Supplementary Information

Cobalt-catalyzed enantioselective C-H/N-H annulation of aryl sulfonamides with allenes or alkynes: facile access to C-N axially chiral sultams

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General information

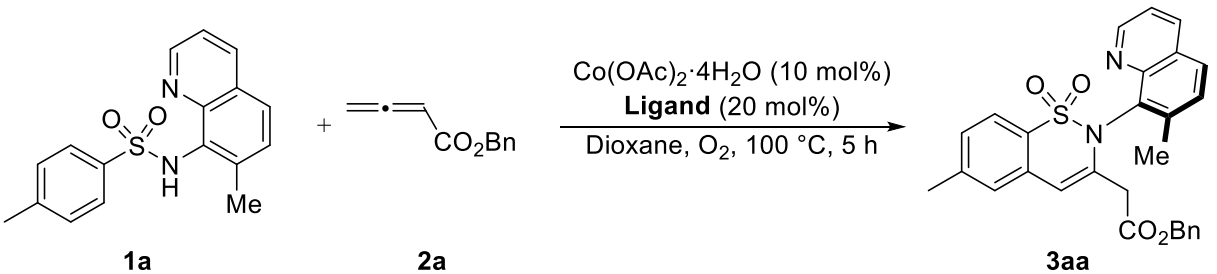
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded at 600 MHz, 151 MHz, and 565 MHz respectively on a Bruker DPX instrument using Me_4Si as an internal standard. High resolution mass spectra (HRMS) for new compounds were measured on a Waters ACQUITY UPLC I-Class PLUS liquid chromatogram coupled with a Waters Xevo G2-XS QTof mass spectrometer. The column was ACOUITY UPLC BEH C18 LC Column (2.1-100 mm, Waters). Melting points were measured on a WC-1 instrument and uncorrected. Chemical shift multiplicities are reported as follows: (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad, dd = doublet of doublet, dt = doublet of triplet, td = triplet of doublet). Unless otherwise mentioned, all materials were commercially obtained and used without further purification, and all the reactions were performed under the air unless otherwise noted. Chiral HPLC analysis was performed on Agilent 1260 Infinity LC instrument using Daicel Chiracel columns at 25 °C and a mixture of HPLC-grade hexanes and isopropanol as eluent. Chiralpak AD-H, AS-H, OD-H, IB-H, IC-H columns were purchased from Daicel Chemical Industries LTD. The specific rotations for were measured on WZZ-3A polarimeter. The absolute configuration of **4aa**, **5aa** were assigned by the X-ray analysis.

I. Supplemental experimental procedures

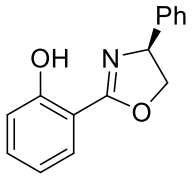
1. Optimization of reaction conditions

1.1 Optimization of reaction conditions for 4aa

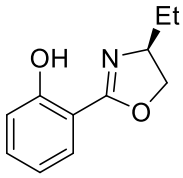
Table S1. Optimization of ligands[a]



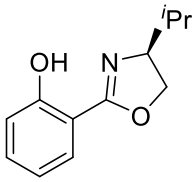
1a **2a** **3aa**



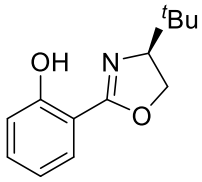
L1
90%, 70% ee



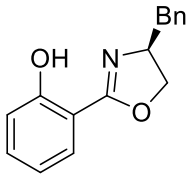
L2
65%, 4% ee



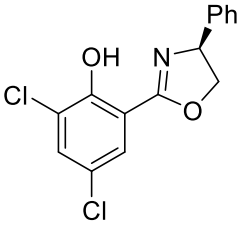
L3
34%, 15% ee



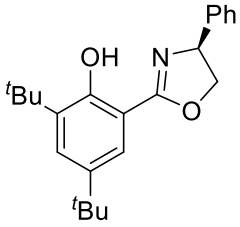
L4
trace



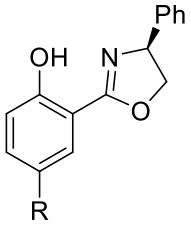
L5
31%, 31% ee



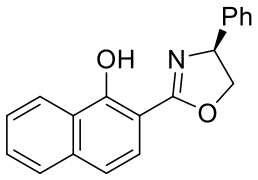
L6
25%, 75% ee



L7
19%, 95% ee



L8, R = OMe, 85%, 72% ee
L9, R = F, 85%, 71% ee



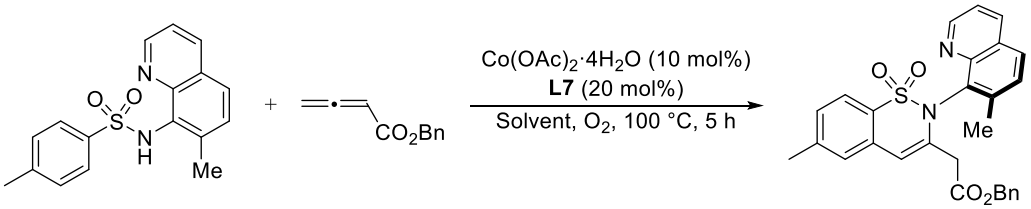
L10
53%, 44% ee

Entry	Ligand	Yield (%)	ee (%)[b]
1	**L1**	90	70
2	**L2**	65	4
3	**L3**	34	15
4	**L4**	Trace	
5	**L5**	31	31
6	**L6**	25	75
7	**L7**	**19**	**95**
8	**L8**	85	72

9	**L9**	85	71
10	**L10**	53	44

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.3 mmol), catalyst (10 mol%), Ligand (20 mol%), dioxane (1.0 mL), 100 °C, O_2, 5 h, isolated yields. [b]Determined by chiral HPLC analysis.

Table S2. Optimization of solvents[a]

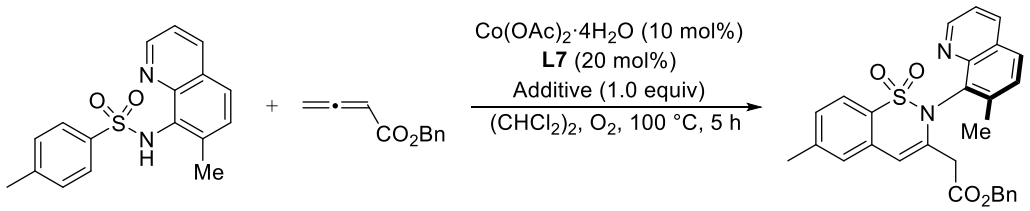


1a **2a** **3aa**

Entry	Solvent	Yield (%)	ee (%)[b]
1	Dioxane	19	95
2	DCM	81	92
3	**$(CHCl_2)_2$**	**61**	**95**
4	DCE	59	92
5	$CHCl_3$	44	87
6	1,2,3-Trichloropropane	35	92
7	CCl_4	7	93
8	1,2-Dichlorobenzene	45	91
9	$PhCF_3$	56	93
10	PhOMe	51	93
11	Acetone	8	89
12	PhCN	44	89
13	TFE	55	90

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.3 mmol), $Co(OAc)_2·4H_2O$ (10 mol%), **L7** (20 mol%), solvent (1.0 mL), 100 °C, O_2, 5 h, isolated yields. [b]Determined by chiral HPLC analysis.

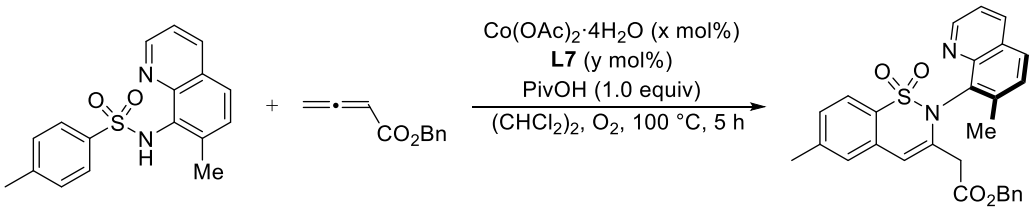
Table S3. Optimization of additives[a]



Entry	Additive	Yield (%)	ee (%)[b]
1	--	61	95
2	**PivOH**	**90**	**95**
3	PhCOOH	89	94
4	1-AdCOOH	90	94
5	AcOH	85	94

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.3 mmol), $Co(OAc)_2 \cdot 4H_2O$ (10 mol%), **L7** (20 mol%), additive (1.0 equiv), 1,1,2,2-Tetrachloroethane (1.0 mL), 100 °C, O_2, 5 h, isolated yields. [b]Determined by chiral HPLC analysis.

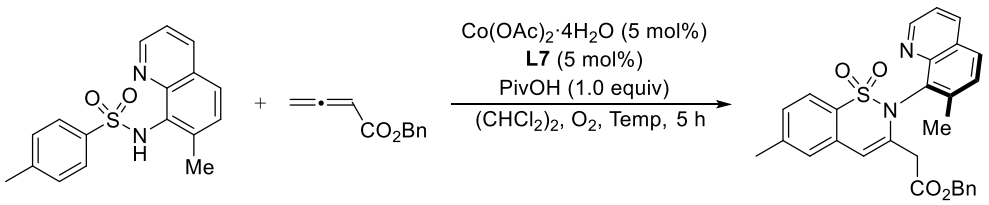
Table S4. Optimization of the amounts of catalyst and ligand[a]



Entry	x	y	Yield (%)[b]	ee (%)
1	10	20	90	95
2	5	10	86	94
3	**5**	**5**	**83**	**94**
4	2.5	5	55	92

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.3 mmol), $Co(OAc)_2 \cdot 4H_2O$ (x mol%), **L7** (y mol%), PivOH (1.0 equiv), 1,1,2,2-Tetrachloroethane (1.0 mL), 100 °C, O_2, 5 h, isolated yields. [b]Determined by chiral HPLC analysis.

Table S5. Optimization of temperature[a]

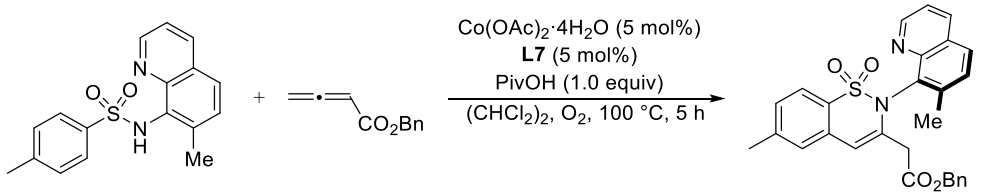


1a **2a** **3aa**

Entry	Temp (°C)	Yield (%)	ee (%)[b]
1	**100**	**83**	**94**
2	80	76	94
3	60	48	94

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.3 mmol), $Co(OAc)_2·4H_2O$ (10 mol%), **L7** (20 mol%), PivOH (1.0 equiv), 1,1,2,2-Tetrachloroethane (1.0 mL), O_2, 5 h, isolated yields. [b]Determined by chiral HPLC analysis.

Table S6. Optimization of the amount of **2a**[a]

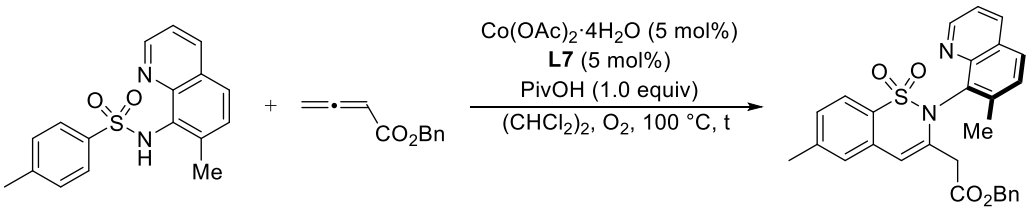


1a **2a** **3aa**

Entry	2a (x equiv)	Yield (%)[b]	ee (%)
1	3	83	94
2	2	83	94
3	**1.5**	**87**	**94**

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (x mmol), $Co(OAc)_2·4H_2O$ (5 mol%), **L7** (5 mol%), PivOH (1.0 equiv), 1,1,2,2-Tetrachloroethane (1.0 mL), O_2, 100 °C, 5 h, isolated yields. [b]Determined by chiral HPLC analysis.

Table S7. Optimization of time[a]

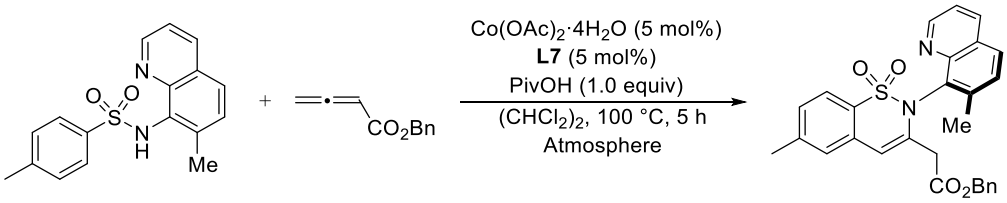


1a **2a** **3aa**

Entry	Time (h)	Yield (%)	ee (%)[b]
1	6	90	94
2	**5**	**90**	**94**
3	4	86	94
4	3	86	94
5	2	77	94

[a]Reaction conditions: **1a** (0.2 mmol), **2a** (0.3 mmol), $Co(OAc)_2 \cdot 4H_2O$ (5 mol%), **L7** (5 mol%), PivOH (1.0 equiv), 1,1,2,2-Tetrachloroethane (1.0 mL), O_2,100 ºC 5 h, isolated yields. [b]Determined by chiral HPLC analysis.

Table S8. Optimization of atmosphere·[a]



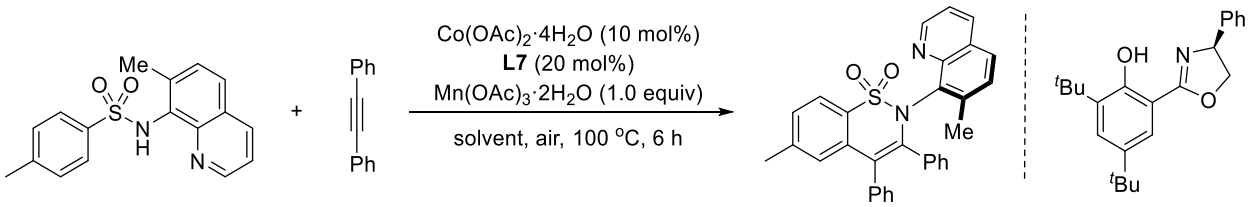
1a **2a** **3aa**

Entry	atmosphere	Yield (%)	ee (%)[b]
1	air	49	92
2	**O_2**	**90**	**94**
3	Ar	N.R.	

[a]Reaction conditions: **1a** (0.2 mmol), **2a** (0.3 mmol), $Co(OAc)_2 \cdot 4H_2O$ (5 mol%), **L7** (5 mol%), PivOH (1.0 equiv), 1,1,2,2-Tetrachloroethane (1.0 mL), atmosphere, 100 ºC 5 h, isolated yields. [b]Determined by chiral HPLC analysis.

1.2 Optimization of 5aa reaction conditions

Table S9. Optimization of solvents[a]

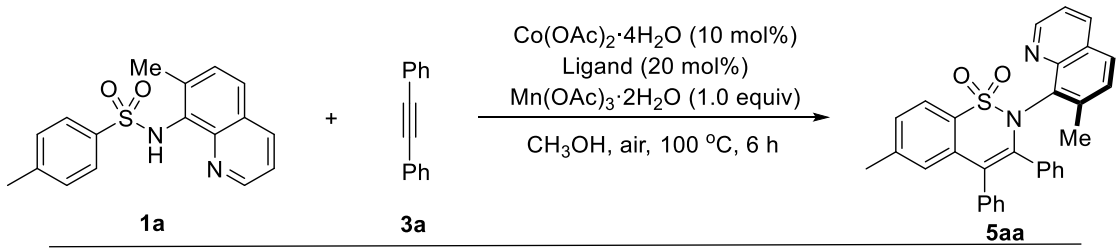


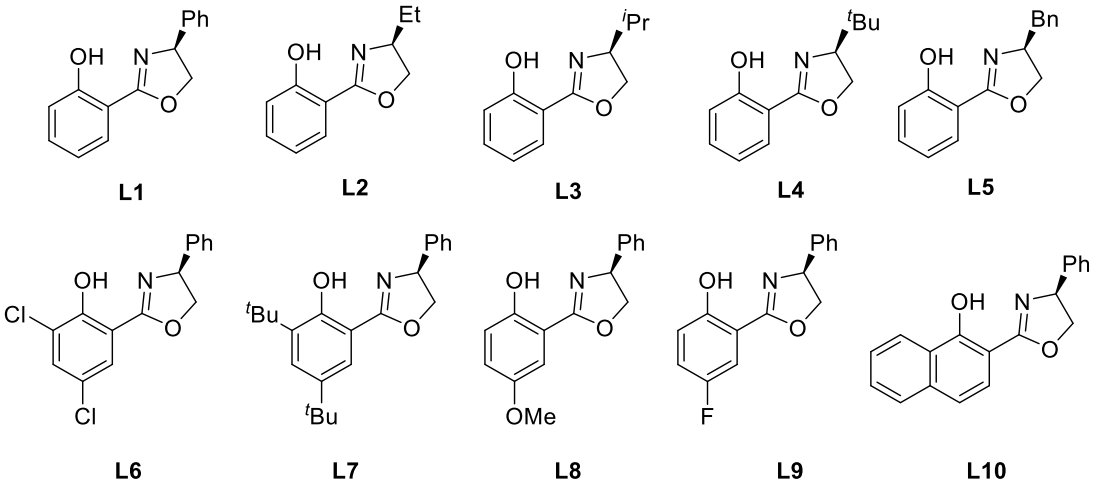
1a 3a 5aa L7

Entry	Solvent	5aa (%)	ee (%)[b]
1	**MeOH**	**41**	**99**
2	^{i}PrOH	31	99
3	1-Butanol	31	99
4	2-methyl-2-butanol	32	98
5	PhCl	trace	--
6	DCM	trace	--
7	CH_3CN	trace	--
8	DMF	trace	--
9	Dioxane	35	99
10	THF	32	98
11	MTBE	27	98

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.1 mmol), **3a** (0.2 mmol), $Co(OAc)_2·4H_2O$ (10 mol%), Ligand (20 mol%), $Mn(OAc)_3·2H_2O$ (0.1 mmol) in solvent (1 mL) under air at 100 °C for 6 h. [b]Isolated yield.

Table S10. Optimization of ligands[a]

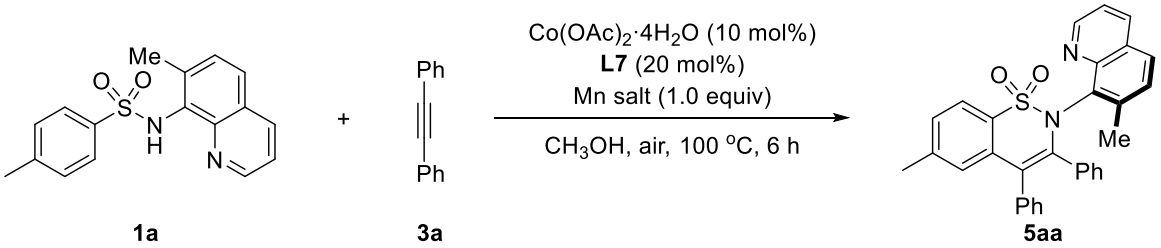




Entry	Ligand	5aa (%)	ee (%)[b]
1	**L1**	26	96
2	**L2**	8	75
3	**L3**	8	66
4	**L4**	trace	
5	**L5**	15	81
6	**L6**	34	95
7	**L7**	**41**	**99**
8	**L8**	25	95
9	**L9**	23	95
10	**L10**	39	97

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.1 mmol), **3a** (0.2 mmol), $Co(OAc)_2 \cdot 4H_2O$ (10 mol%), Ligand (20 mol%) , $Mn(OAc)_3 \cdot 2H_2O$ (0.1 mmol) in MeOH (1 mL) under air at 100 °C for 6 h.

Table S11. Optimization of Mn salts[a]

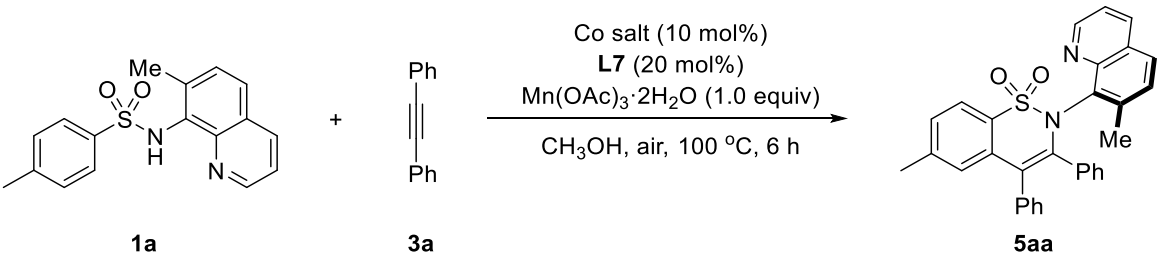


1a **3a** **5aa**

Entry	Mn salt	5aa (%)	ee (%)[b]
1	**$Mn(OAc)_3·2H_2O$**	**41**	**99**
2	$Mn(OAc)_2$	8	98
3	$Mn(OAc)_2·4H_2O$	11	97
4	$Mn(acac)_2$	trace	--
5	$Mn(acac)_3$	N.R.[c]	--
6	MnO_2	trace	--
7	$Mn(NO_3)_2·4H_2O$	N.R.	--
8	$MnC_2O_4·2H_2O$	N.R.	--
9	$MnCl_2·4H_2O$	N.R.	--
10	$MnBr_2·H_2O$	N.R.	--

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.1 mmol), **3a** (0.2 mmol), $Co(OAc)_2·4H_2O$ (10 mol%), Ligand (20 mol%) , Mn salt (0.1 mmol) in MeOH (1 mL) under air at 100 °C for 6 h. [c]No reaction.

Table S12. Optimization of Co salts[a]



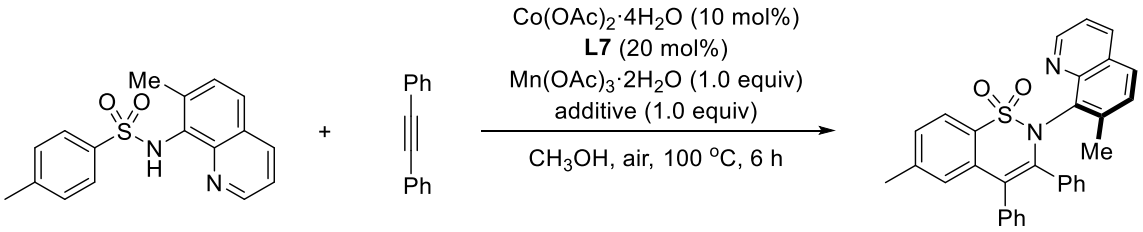
1a **3a** **5aa**

Entry	Co salt	5aa (%)	ee (%)[b]
1	**$Co(OAc)_2·4H_2O$**	**41**	**99**
2	$Co(OAc)_2$	41	99

3	$Co(C_2O_4)_2·4H_2O$	38	99
4	$Co(OOOCC_6H_5)_2$	32	99
5	$CoCl_2·6H_2O$	35	99
6	$Co(ClO_4)_2·6H_2O$	31	99

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.1 mmol), **3a** (0.2 mmol), Co salt (10 mol%), Ligand (20 mol%), $Mn(OAc)_3·2H_2O$ (0.1 mmol) in MeOH (1 mL) under air at 100 °C for 6 h. [b]Isolated yield.

Table S13. Optimization of additives[a]

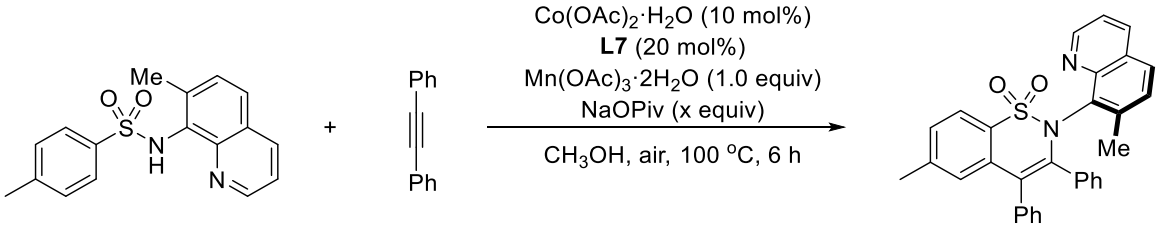


1a **3a** **5aa**

Entry	additive	5aa (%)	ee (%)[b]
1	PivOH	23	99
2	AcOH	29	99
3	1-AdCOOH	32	99
4	Triphenylacetic acid	31	99
5	**NaOPiv**	**48**	**99**
6	CsOPiv	39	99
7	NaOAc	40	98
8	$Na_2C_2O_4$	37	99
9	Na_2CO_3	42	99
10	$Na_2HPO_4·12H_2O$	41	99
11	DBU	35	99

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.1 mmol), **3a** (0.2 mmol), $Co(OAc)_2·4H_2O$ (10 mol%), Ligand (20 mol%), $Mn(OAc)_3·2H_2O$ (0.1 mmol), additive (0.1 mmol) in MeOH (1 mL) under air at 100 °C for 6 h. [b]Isolated yield.

Table S14. Optimization of the amount of NaOPiv[a]

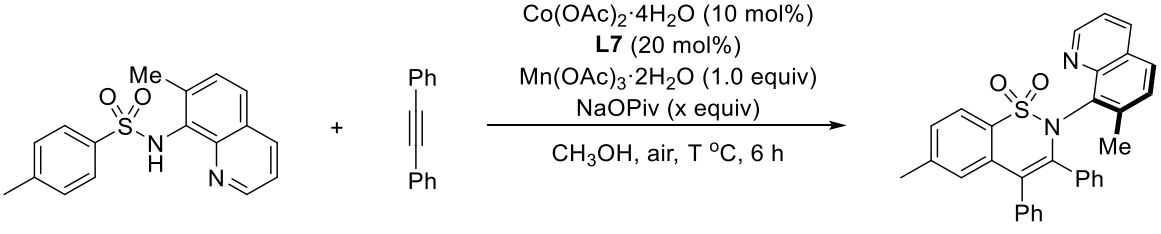


1a **3a** **5aa**

Entry	x	5aa (%)	ee (%)[b]
1	0.5	43	99
2	**1.0**	**48**	**99**
3	2.0	48	99

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.1 mmol), **3a** (0.2 mmol), $Co(OAc)_2 \cdot 4H_2O$ (10 mol%), Ligand (20 mol%), $Mn(OAc)_3 \cdot 2H_2O$ (0.1 mmol), NaOPiv (x equiv) in MeOH (1 mL) under air at 100 °C for 6 h. [b]Isolated yield.

Table S15. Optimization of temperature[a]

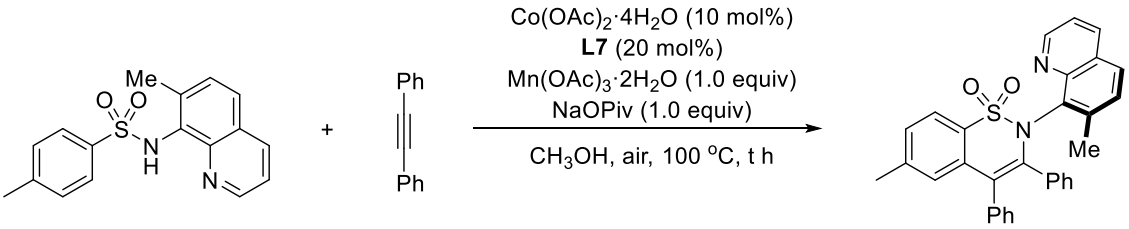


1a **3a** **5aa**

Entry	T	5aa (%)	ee (%)[b]
1	60	15	99
2	80	34	99
3	**100**	**48**	**99**

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.1 mmol), **3a** (0.2 mmol), $Co(OAc)_2 \cdot 4H_2O$ (10 mol%), Ligand (20 mol%), $Mn(OAc)_3 \cdot 2H_2O$ (0.1 mmol), NaOPiv (0.1 mmol) in MeOH (1 mL) under air for 6 h. [b]Isolated yield.

Table S16. Optimization of time[a]

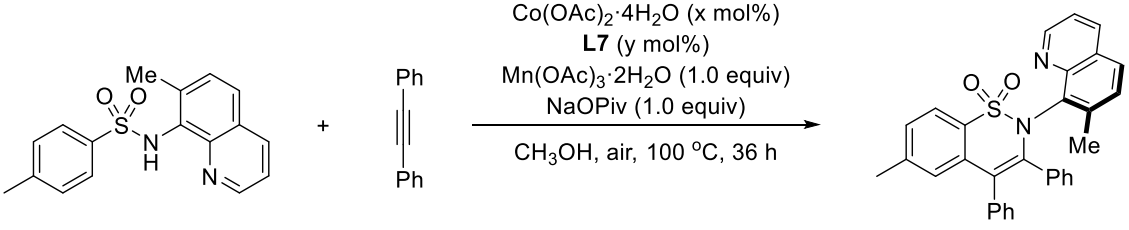


1a **3a** **5aa**

Entry	t	5aa (%)	ee (%)[b]
1	6	48	99
2	12	61	99
3	24	80	99
4	**36**	**93**	**98**

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.1 mmol), **3a** (0.2 mmol), $Co(OAc)_2 \cdot 4H_2O$ (10 mol%), Ligand (20 mol%), $Mn(OAc)_3 \cdot 2H_2O$ (0.1 mmol), NaOPiv (0.1 mmol) in MeOH (1 mL) under air at 100 °C for 36 h. [b]Isolated yield.

Table S17. Optimization of the amounts of cobalt salt and ligand[a]



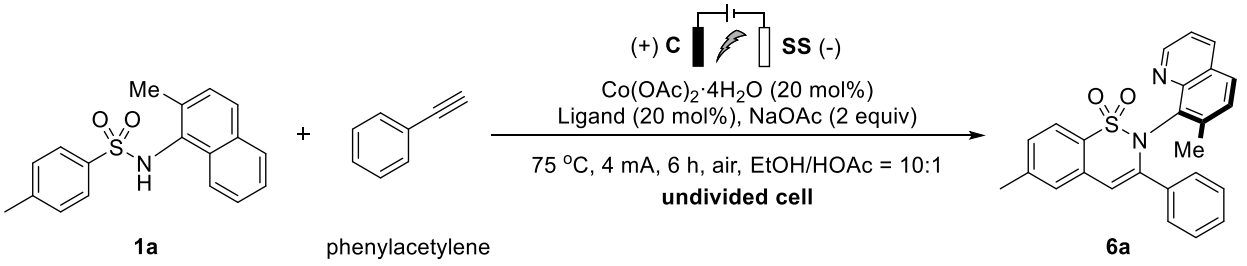
1a **3a** **5aa**

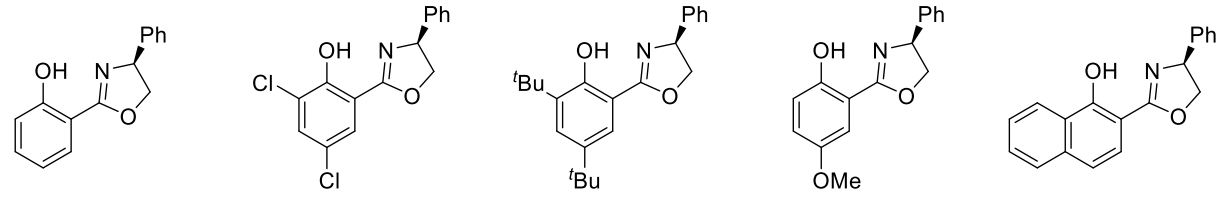
Entry	x	y	5aa (%)	ee (%)[b]
1	5	5	36	97
2	5	10	54	98
3	10	10	85	98
4	**10**	**20**	**93**	**98**

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.1 mmol), **3a** (0.2 mmol), $Co(OAc)_2 \cdot 4H_2O$ (x mol%), Ligand (y mol%), $Mn(OAc)_3 \cdot 2H_2O$ (0.1 mmol), NaOPiv (0.1 mmol) in MeOH (1 mL) under air at 100 °C for 36 h. [b]Isolated yield.

1.3 Optimization of 6a reaction conditions

Table S18. Screening of ligands[a]

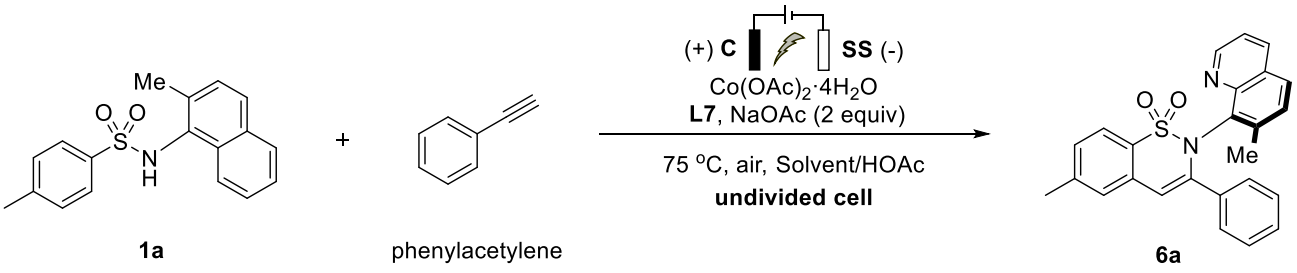




L1, 20%, 97% ee | **L6**, 21%, 90% ee | **L7**, 54%, 99% ee | **L8**, 30%, 97% ee | **L10**, 9%, 98% ee

[a]Reaction conditions: Carbon cloth (15 mm × 20 mm × 0.33 mm) anode, stainless steel plate (15 mm × 20 mm × 1.0 mm) cathode, constant current = 4 mA, **1a** (0.25 mmol), phenylacetylene (0.5 mmol), $Co(OAc)_2·4H_2O$ (20 mol%), ligand (20 mol%), EtOH (10.0 mL), HOAc (1.0 mL), NaOAc (2.0 equiv), 75 °C, 6 h, air, NMR yields. Enantiomeric excess was determined by chiral HPLC.

Table S19. Optimization of the reaction conditions for electronic synthesis[a]

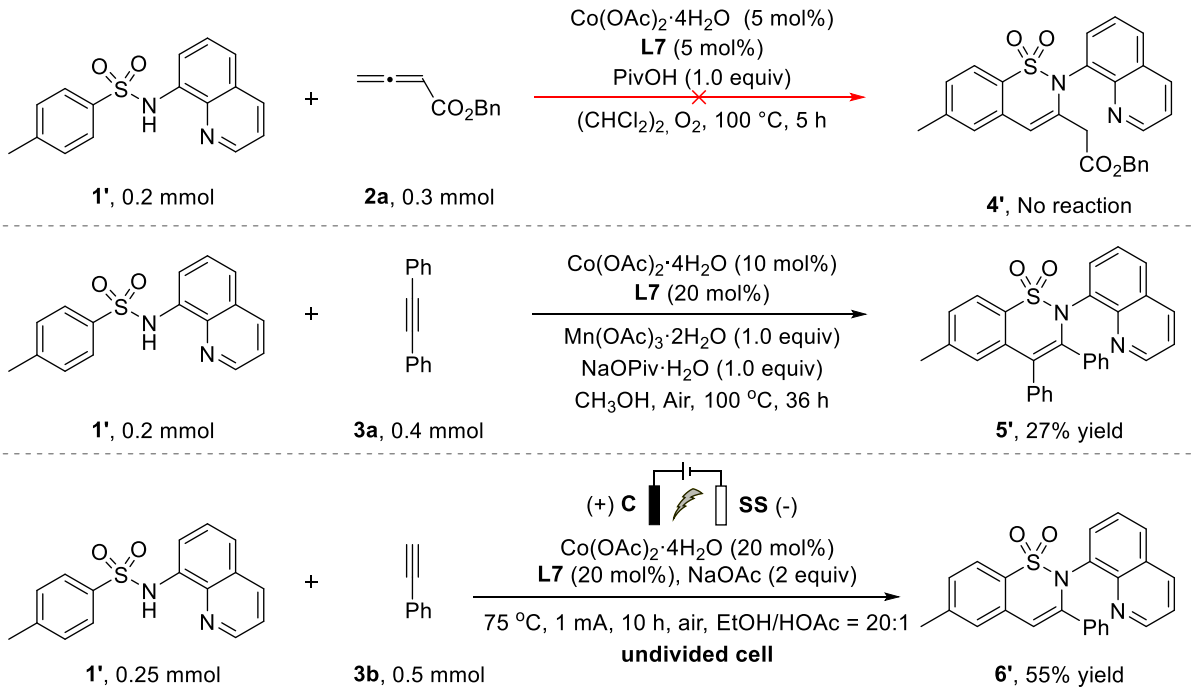


Entry	solvent	Constant current	Time	6a (%)[b]	ee (%)
1	MeOH	4 mA	6 h	36	96
2	EtOH	4 mA	6 h	54	99
3	^{t}BuOH	4 mA	6 h	trace	--
4	TFE	4 mA	6 h	10	98
5	HFIP	4 mA	6 h	--	--
6	EtOH	3 mA	6 h	59	99
7	EtOH	2 mA	6 h	65	99

8	EtOH	1 mA	6 h	72	99
9[c]	EtOH	1 mA	6 h	89	99
10[c]	EtOH	1 mA	2 h	30	99
11[c]	EtOH	1 mA	4 h	47	99
12[c]	EtOH	1 mA	8 h	97(91)	99
13[c]	EtOH	1 mA	10 h	>99(95)	99
14[c, d]	EtOH	1 mA	10 h	77	99
15[c, e]	EtOH	1 mA	10 h	68	99
16[c]	EtOH	--	10 h	--	--
17[c, f]	EtOH	1 mA	10 h	--	--

[a]Reaction conditions: Carbon cloth (15 mm × 20 mm × 0.33 mm) anode, stainless steel plate (15 mm × 20 mm × 1.0 mm) cathode, constant current, **1a** (0.25 mmol), phenylacetylene (0.5 mmol), $Co(OAc)_2·4H_2O$ (20 mol%), **L7** (20 mol%), Solvent (10.0 mL), HOAc (1.0 mL), NaOAc (2.0 equiv), 75 °C, air, NMR yields. Enantiomeric excess was determined by chiral HPLC. [b]isolated yields. [c]EtOH (10.0 mL), HOAc (0.5 mL). [d]$Co(OAc)_2·4H_2O$ (10 mol%), **L7** (20 mol%). [e]$Co(OAc)_2·4H_2O$ (10 mol%), **L7** (10 mol%). [f]Without $Co(OAc)_2·4H_2O$ and **L7** (20 mol%).

Scheme S1. Control experiments.

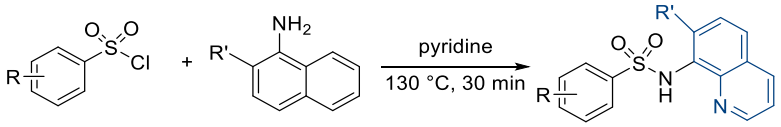


We choose the 8-aminoquinoline sulfonamide as the substrate in which the quinoline is not substituted ortho-position, and the annulations of sulfonamide with allenes or alkynes were conducted

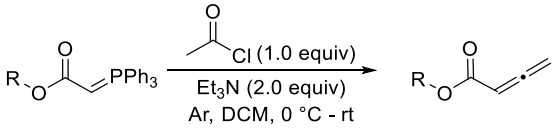
under standard conditions. As a result, the annulation of sulfonamide with allene gave no product. The annulations of sulfonamide with alkyne deliver products **5'** and **6'** with low or moderate yields, and more importantly the products **5'** and **6'** has no axial chirality.

2. General procedure for the synthesis of 1, 2

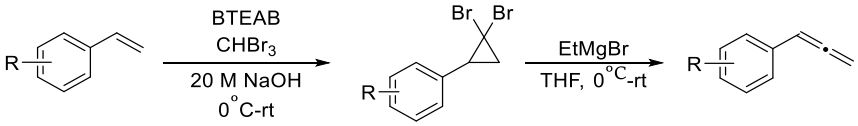
7-substituted 8-aminoquinolines were synthesized according to literature procedures.[1] All sulfonamides were prepared according to the reported literature.[2]



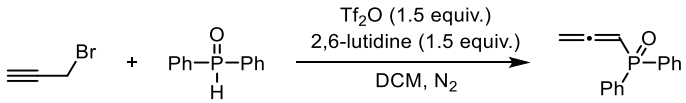
Allene substrates **2a-2f**, **2n** were synthesized according to reported literature methods.[3]



Allene substrates **2g-2k** were synthesized according to literature methods reported by Buono.[4]

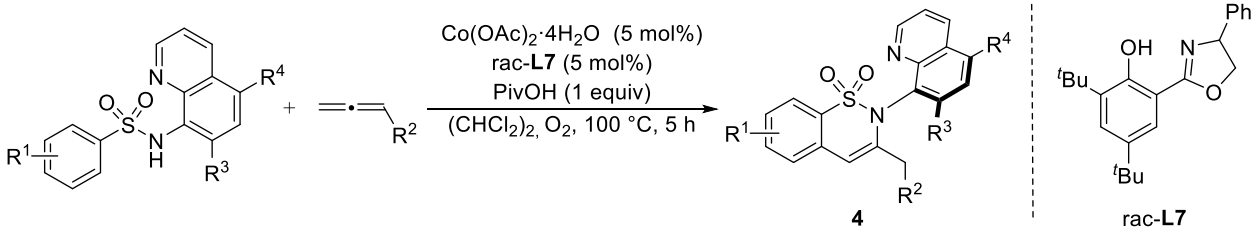


Allene substrate **2m** was synthesized according to literature methods.[5]



3. General procedure for synthesis of racemic samples 4, 5 and 6

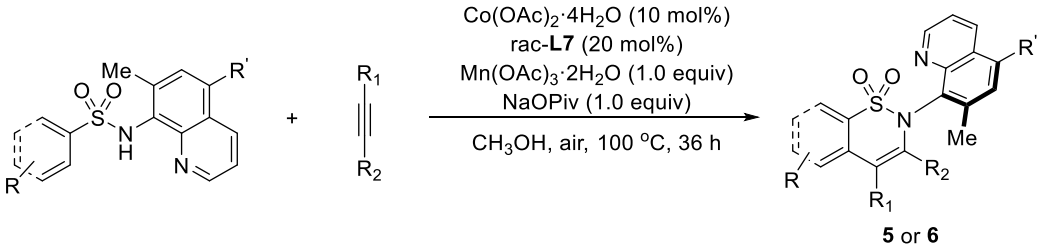
3.1 General procedure for synthesis of racemic product 4



A dry 15 mL high-pressure tube with a stopcock was charged with a suitable magnet, benzenesulfonamide (0.05 mmol), $Co(OAc)_2 \cdot 4H_2O$ (5 mol%), racemic ligand (5 mol%), pivalic acid (0.05 mmol). 0.5 mL of 1,1,2,2-Tetrachloroethane solution and allene (0.075 mmol) was added under oxygen atmosphere. The closed high-pressure tube containing reaction mixture was placed in preheated metal bath at 100 °C for 5 hours. The reaction mixture was cooled to room temperature. The

mixture was quenched with saturated $NaHCO_3$ solution and extracted with CH_2Cl_2 for three times. The combined organic phase was dried over $MgSO_4$, and filtered. Then the solvent was evaporated. Product was purified using column chromatography on silica gel using appropriate eluent.

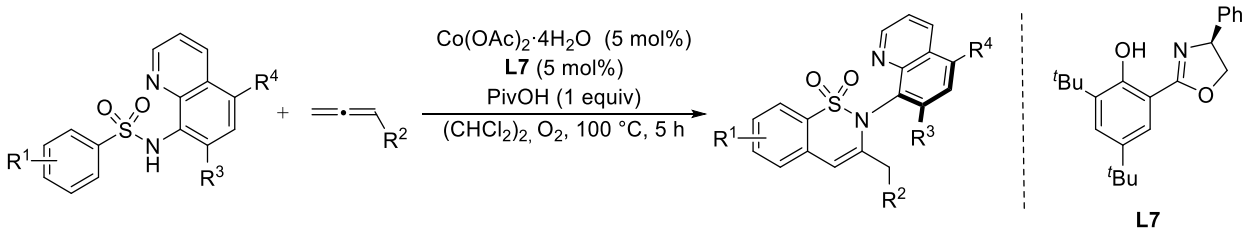
3.2 General procedure for synthesis of racemic product 5 or 6



Sulfonamides **1a** (0.1 mmol), alkynes **3a** (0.2 mmol), $Co(OAc)_2·4H_2O$ (0.01 mmol), rac-**L7** (10 mol%), $Mn(OAc)_3·2H_2O$ (0.1 mmol), NaOPiv (0.1 mmol), anhydrous solvent methanol (1.0 mL) was added successively to a dry 15 mL high-pressure tube containing a magnetic stir bar under air. The closed high-pressure tube containing reaction mixture was placed in preheated metal bath at 100 °C for 36 hours. After the reaction was completed, the reaction mixture was cooled to room temperature, quenched by saturated aqueous Na_2CO_3, and extracted with CH_2Cl_2. The organic layer was dried over anhydrous Na_2SO_4 and concentrated in vacuo. The products were purified by preparative TLC.

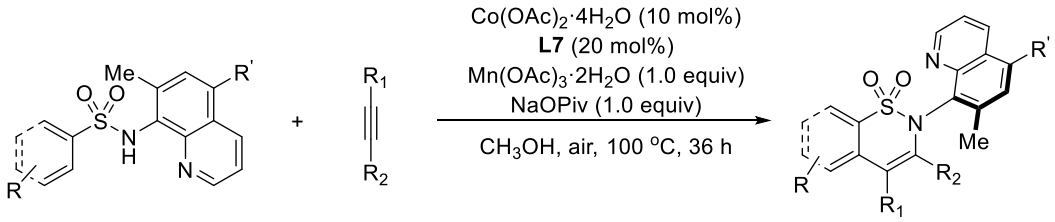
4. General procedure for synthesis of enantioenriched compounds 4, 5 and 6

4.1 General procedure for synthesis of enantioenriched compounds 4



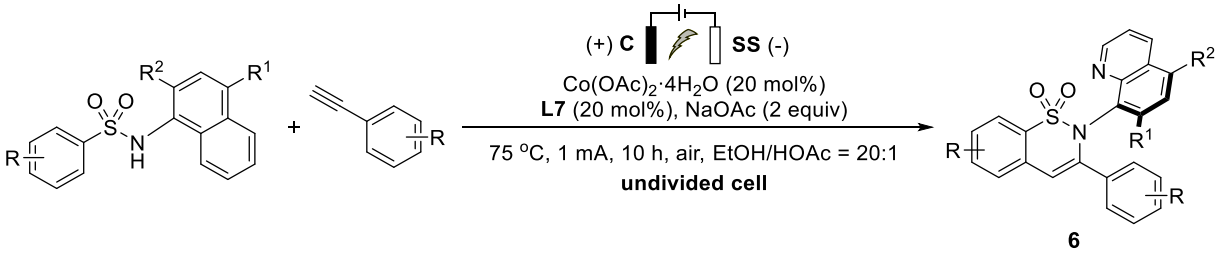
A dry 15 mL high-pressure tube with a stopcock was charged with a suitable magnet, benzenesulfonamide (0.2 mmol), $Co(OAc)_2·4H_2O$ (5 mol%), chiral ligand (5 mol%), pivalic acid (0.2 mmol). 2 mL of 1,1,2,2-Tetrachloroethane solution and allene (0.3 mmol) was added under oxygen atmosphere. The closed high-pressure tube containing reaction mixture was placed in preheated metal bath at 100 °C for 5 hours. The reaction mixture was cooled to room temperature. The mixture was quenched with saturated $NaHCO_3$ solution and extracted with CH_2Cl_2 for three times. The combined organic phase was dried over $MgSO_4$, and filtered. The reaction solution was concentrated in vacuum and purified by flash column chromatography to give the product.

4.2 General procedure for synthesis of enantioenriched compounds 5



Sulfonamides **1a** (0.2 mmol), alkynes **3a** (0.40 mmol), $Co(OAc)_2·4H_2O$ (0.02 mmol), **L7** (20 mol%), $Mn(OAc)_3·2H_2O$ (0.2 mmol), NaOPiv (0.2 mmol), anhydrous solvent methanol (2.0 mL) was added successively to a dry 15 mL high-pressure tube containing a magnetic stir bar under air. The closed high-pressure tube containing reaction mixture were placed in preheated metal bath at 100 °C for 36 hours. After the reaction was completed, the reaction mixture was cooled to room temperature, quenched by saturated aqueous Na_2CO_3, and extracted with CH_2Cl_2. The organic layer was dried over anhydrous Na_2SO_4 and concentrated in vacuo. The products were purified by preparative TLC.

4.3 General procedure for synthesis of enantioenriched compounds 6



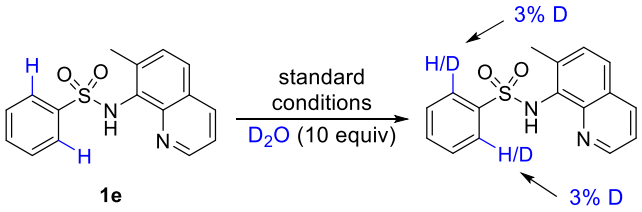
6

A 30 mL sample bottle was equipped with a magnetic stir bar and charged with sulfonamide **1** (0.25 mmol), $Co(OAc)_2·4H_2O$ (20 mol%), **L7** (20 mol%), alkyne (0.5 mmol), anhydrous ethanol (10.0 mL) and HOAc(0.5 mL). The bottle was equipped with carbon cloths (15 mm × 20 mm × 0.33 mm) as the anodes and stainless steel plates (15 mm × 20 mm × 1 mm) as the cathodes, under air conditions (open to air by two thick syringe needles). The reaction mixture was stirred and electrolyzed at a constant current of 1 mA at 75 °C for 10 h. After the completion of the reaction, the solvent is removed under reduced pressure, and saturated $NaHCO_3$ is added and extracted with DCM. The organic phase is combined, dried, filtered, and concentrated in *vacuum*. The crude mixture was purified by preparative TLC using (DCM/PE = 5/1) as eluent, affording the final product **6**.

5. Mechanistic studies

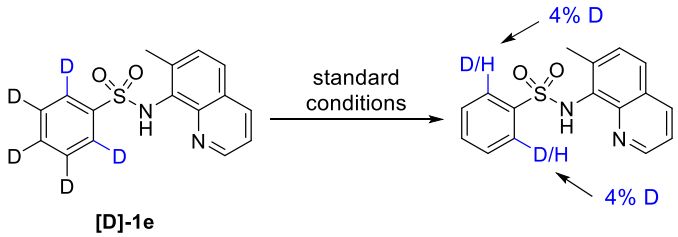
5.1 H/D exchange experiments

5.1.1 H/D exchange experiments of 4aa



1e

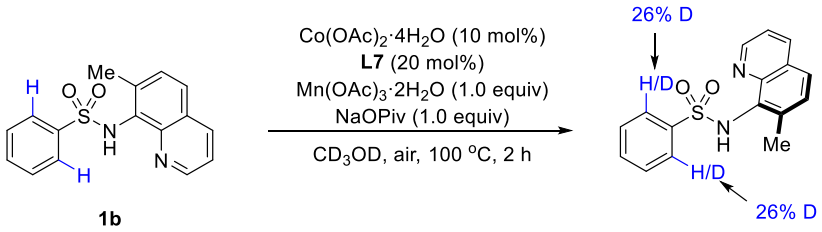
A dry 15 mL high-pressure tube with a stopcock was charged with a suitable magnet, **1e** (0.1 mmol), $Co(OAc)_2 \cdot 4H_2O$ (5 mol%), **L7** (5 mol%), pivalic acid (0.1 mmol). 1,1,2,2-Tetrachloroethane solution and D_2O (10 equiv) was added under oxygen atmosphere. The closed high-pressure tube containing reaction mixture was placed in preheated metal bath at 100 °C for 5 hours. The reaction mixture was cooled to room temperature. The mixture was quenched with saturated $NaHCO_3$ solution and extracted with CH_2Cl_2 for three times. The combined organic phase was dried over $MgSO_4$, and filtered. The reaction solution was concentrated in vacuum and purified by flash column chromatography to give the product. The product was purified by flash column chromatography using PE/EA (6:1) as eluent. ^1H NMR analysis showed that the D contents in the recovered amide was 6%.



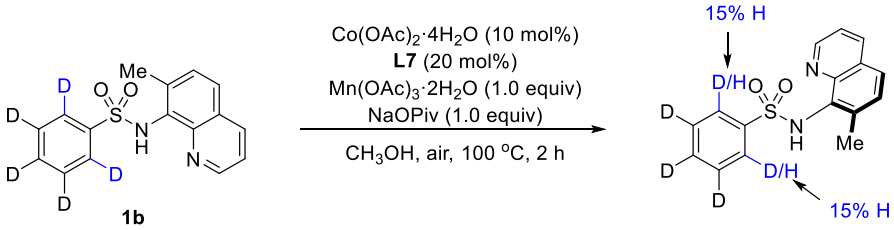
[D]-1e

A dry 15 mL high-pressure tube with a stopcock was charged with a suitable magnet, **[D]-1e** (0.1 mmol), $Co(OAc)_2 \cdot 4H_2O$ (5 mol%), **L7** (5 mol%), pivalic acid (0.1 mmol). 1,1,2,2-Tetrachloroethane solution was added under oxygen atmosphere. The closed high-pressure tube containing reaction mixture was placed in preheated metal bath at 100 °C for 5 hours. The reaction mixture was cooled to room temperature. The mixture was quenched with saturated $NaHCO_3$ solution and extracted with CH_2Cl_2 for three times. The combined organic phase was dried over $MgSO_4$, and filtered. The reaction solution was concentrated in vacuum and purified by flash column chromatography to give the product. The product was purified by flash column chromatography using PE/EA (6:1) as eluent. ^1H NMR analysis showed that the D contents in the recovered amide was 8%.

5.1.2 H/D exchange experiments of 5aa



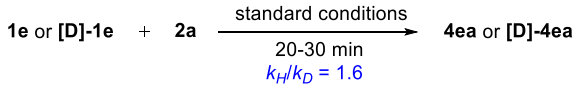
A 10 mL dry high-pressure tube was equipped with a magnetic stir bar and charged with sulfonamide **1e** (0.1 mmol), $Co(OAc)_2·4H_2O$ (0.01 mmol), **L7** (20 mol%), $Mn(OAc)_3·2H_2O$ (1.0 equiv), NaOPiv (1.0 equiv), and anhydrous solvent CD_3OD (1.0 mL) under air. Then the reaction system was closed with a stopper. The container was stirred at 100 °C for 2 h. After the reaction was completed, the reaction mixture was diluted with 25 mL of CH_2Cl_2 and filtered through a pad of celite. The reaction solution was detected by TLC, and then concentrated in vacuum. The product was purified by flash column chromatography using PE/EA (1:1) as eluent. ^1H NMR analysis showed that the D contents in the recovered amide was 26%.



A 10 mL dry high-pressure tube was equipped with a magnetic stir bar and charged with sulfonamide [D]-**1e** (0.1 mmol), $Co(OAc)_2·4H_2O$ (0.01 mmol), **L7** (20 mol%), $Mn(OAc)_3·2H_2O$ (1.0 equiv), NaOPiv (1.0 equiv), and anhydrous solvent CH_3OH (1.0 mL) under air. Then the reaction system was closed with a stopper. The container was stirred at 100 °C for 2 h. After the reaction was completed, the reaction mixture was diluted with 25 mL of CH_2Cl_2 and filtered through a pad of celite. The reaction solution was detected by TLC, and then concentrated in vacuum. The product was purified by flash column chromatography using PE/EA (1:1) as eluent. ^1H NMR analysis showed that the H contents in the recovered amide was 15%.

5.2 Parallel KIE experiments

5.2.1 Parallel KIE experiments of 4ea



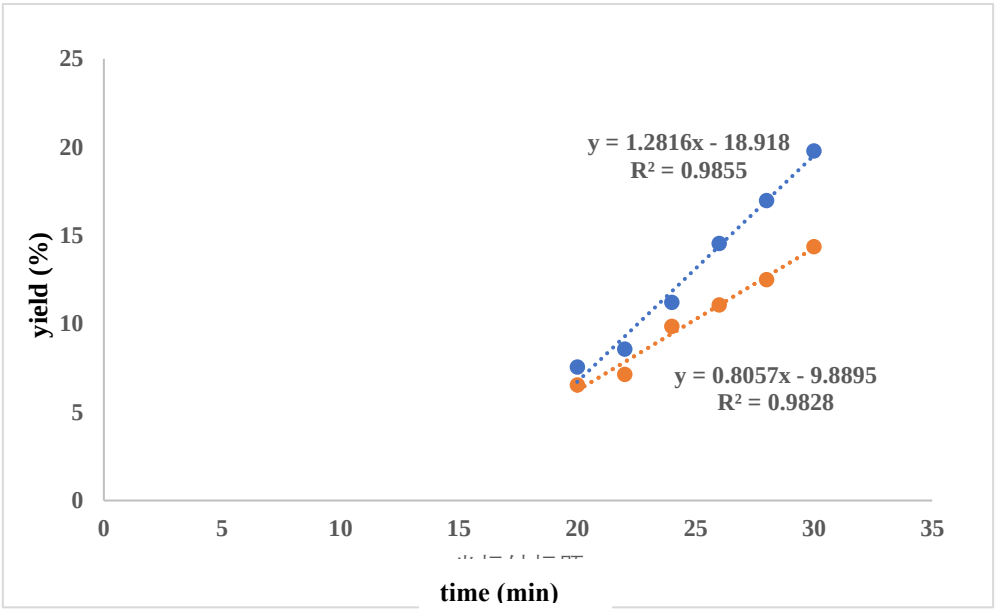
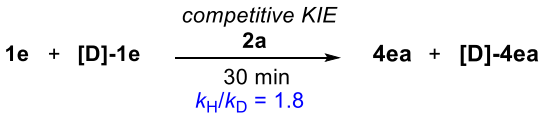


Figure S1. Parallel KIE experiments

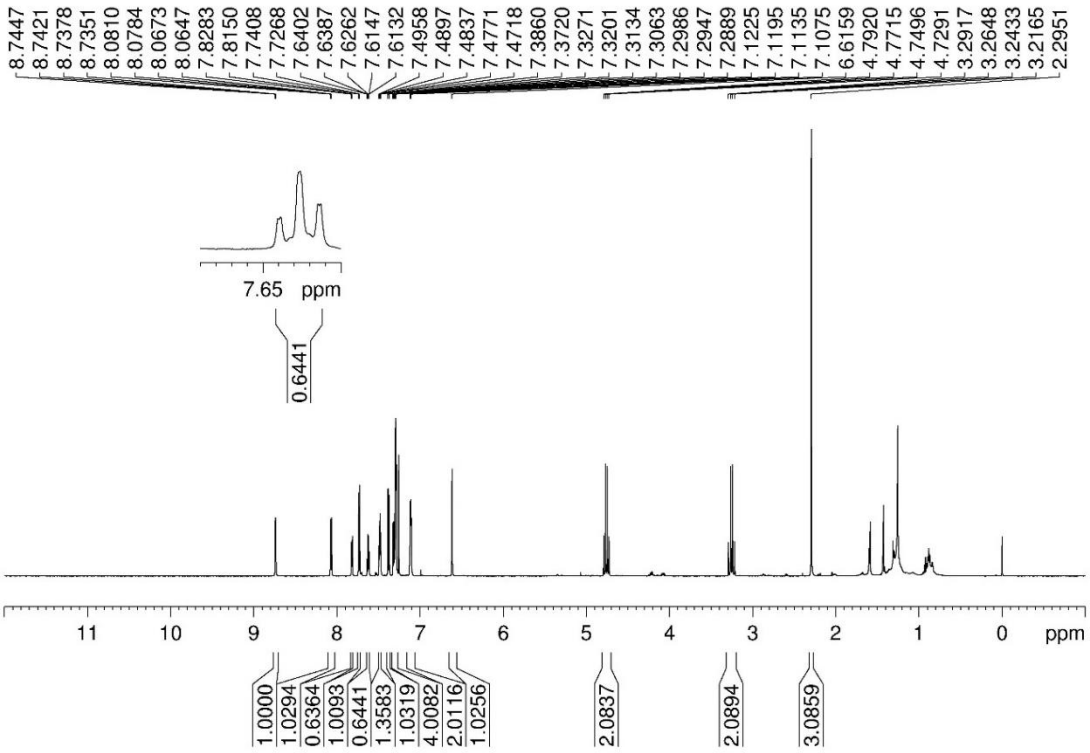
A dry 25 mL high-pressure tube with a stopcock was charged with a suitable magnet, **[D]-1e** or **1e** (0.1 mmol), $Co(OAc)_2 \cdot 4H_2O$ (5 mol%), **L**7 (5 mol%), pivalic acid (0.1 mmol). 1,1,2,2-Tetrachloroethane solution and allene (0.15 mmol) was added under oxygen atmosphere. Then, the reaction system was stirred at 100 ºC for 20 min, 22 min, 24 min, 26 min, 28 min, 30 min. After the reaction was completed, and immediately quenched with ethyl acetate. and filtered through a pad of celite. The reaction solution was removed under reduced pressure and ^{1}H NMR was taken using anisole (0.1 mmol, 10.8 mg) as the internal standard. The KIE was determined as k_H/k_D = 1.2806/0.8057 = 1.6.

5.2.2 Competitive KIE experiments of 4ea

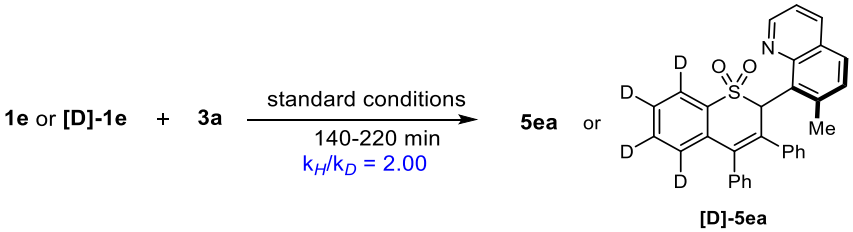


A dry 25 mL high-pressure tube with a stopcock was charged with a suitable magnet, **[D]-1e** and **1e** (0.1 mmol), $Co(OAc)_2 \cdot 4H_2O$ (5 mol%), **L**7 (5 mol%), pivalic acid (0.1 mmol). 1,1,2,2-Tetrachloroethane solution and allene (0.15 mmol) was added under oxygen atmosphere. Then, the reaction system was stirred at 100 ºC for 30 min. After the reaction was completed, and immediately quenched with ethyl acetate. and filtered through a pad of celite. The reaction solution was removed

under reduced pressure and ^{1}H NMR was taken using anisole (0.1 mmol, 10.8 mg) as the internal standard. The KIE was determined as k_H/k_D = 0.6441/0.3559 = 1.8.



5.2.3 Parallel KIE experiments of 5ea



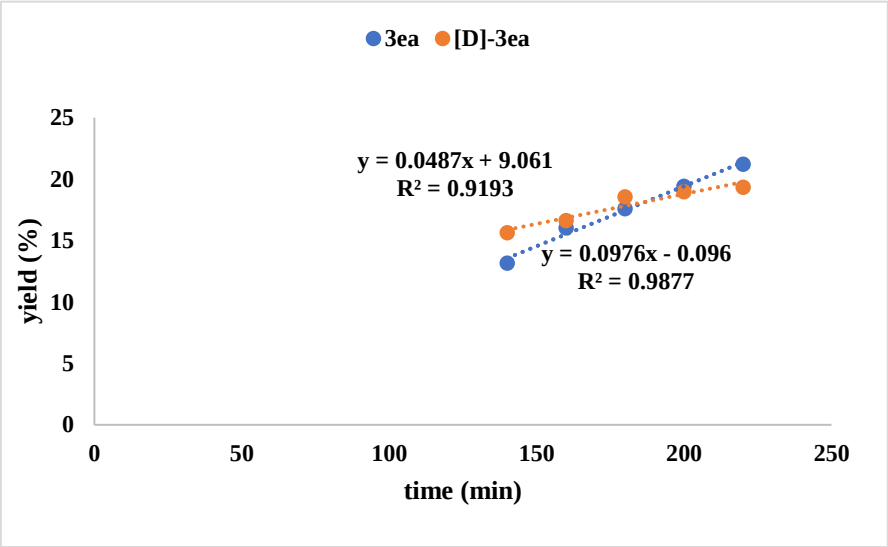
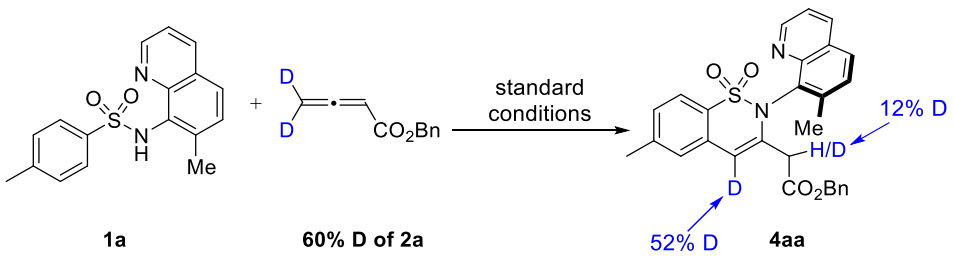


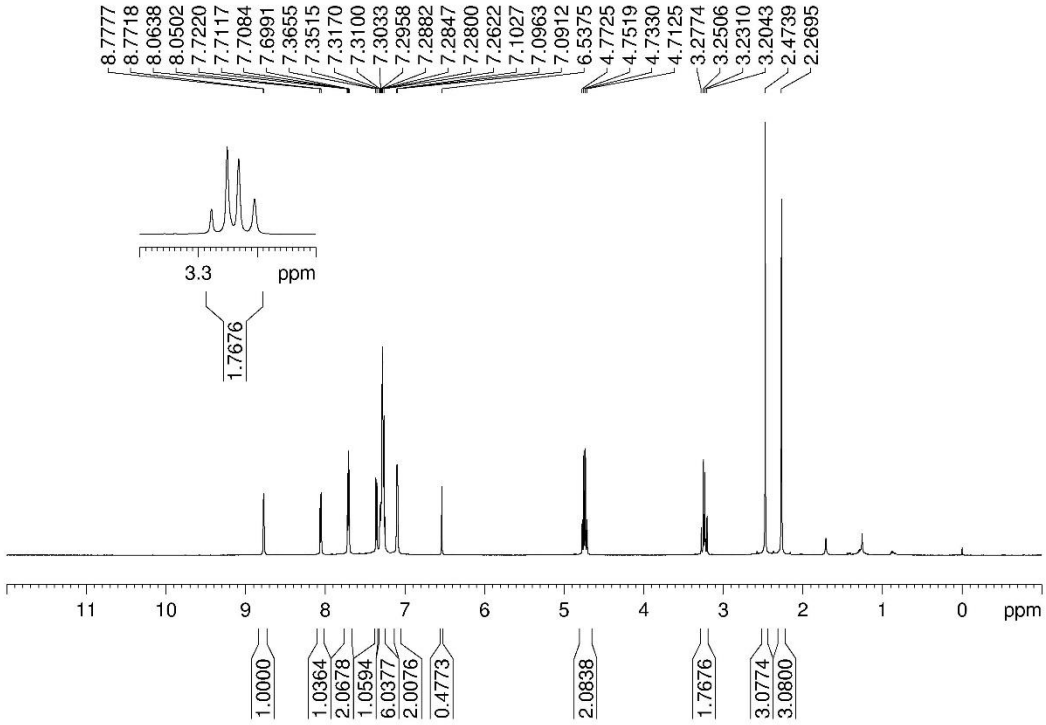
Figure S2. Parallel KIE experiments

A 10 mL dry high-pressure tube was equipped with a magnetic stir bar and charged with sulfonamide **1e** (0.1 mmol) or [D]-**1e** (0.1 mmol), $Co(OAc)_2 \cdot 4H_2O$ (0.01 mmol), $Mn(OAc)_3 \cdot 2H_2O$ (1.0 equiv), NaOPiv (1.0 equiv), and anhydrous solvent CH_3OH (1.0 mL) under air. Then, the reaction system was closed with a stopper. The vessel was heated at 100 °C for 140 min, 160 min, 180 min, 200 min, 220 min and immediately quenched with CH_2Cl_2. The reaction mixture was filtered through a pad of celite. The solvent was then removed under reduced pressure and ^{1}H NMR was taken using anisole (0.1 mmol, 10.8 mg) as the internal standard. The KIE was determined as k_H/k_D = 0.0976/0.0487= 2.00.

5.3 Deuteryl labeling experiment



The prepared deuterodiene was involved in the reaction under standard conditions. After the reaction, the products were separated by column chromatography and detected by ^{1}HNMR. The results showed that 23% of the methylene associated with the olefin was deuterated, suggesting that some of the olefin products in the ring may have been obtained through 1.3- hydrogen migration.



5.4 Nonlinear effect study of 4aa with L7

The factors influencing the selectivity of enantiomers were studied. The catalysts with different ee values were prepared by mixing the chiral ligand **L7** with the racemic ligand in appropriate proportions. The product was separated by column chromatography and the ee value of the product was determined by HPLC. The results showed that the ee value of the product had a linear relationship with that of the corresponding catalyst.

Ligand ee (%)	0	21	40	63	80	99
Product ee (%)	0	19	36	70	72	93

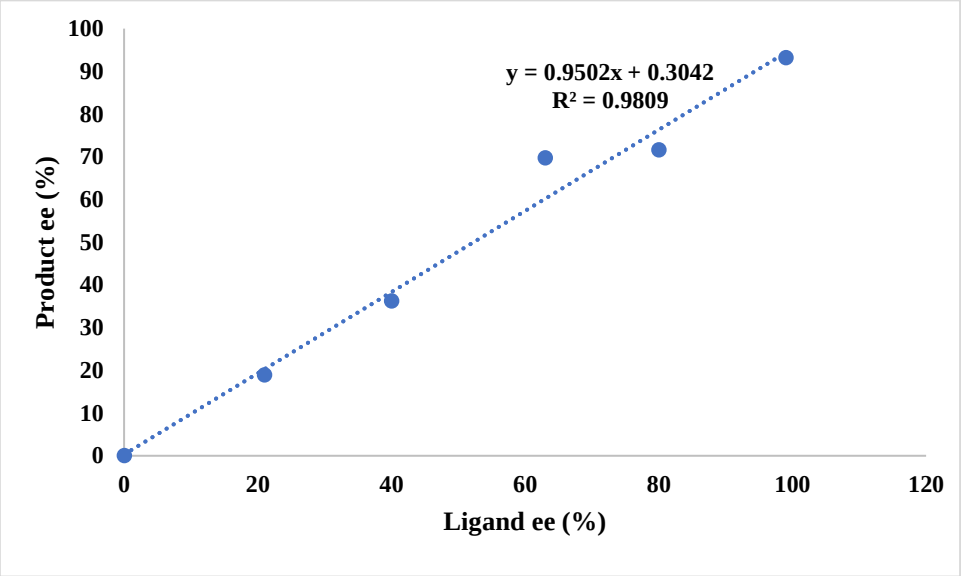
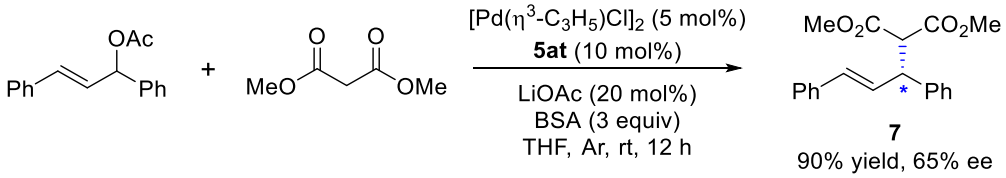


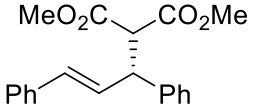
Figure S3. Corresponding ee relationship between ligand and product.

6. Synthetic application



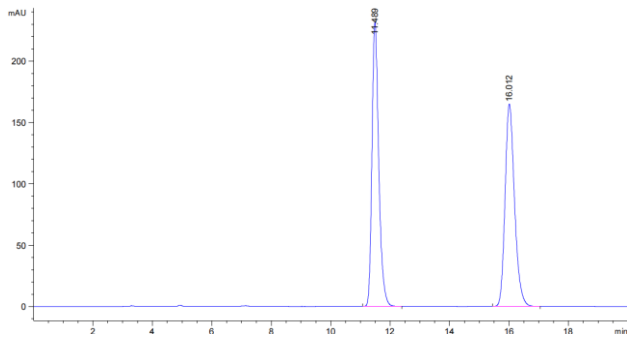
$[Pd(\eta^3\text{-}C_3H_5)Cl]_2$ (0.005 mmol, 5 mol%), LiOAc (0.02 mmol, 20 mol%) was added dropwise to a solution of **5at** (0.01 mmol, 10 mol%) in THF (0.4 mL) and the mixture was stirred under argon at room temperature for 30 min. Then 1,3-diphenyl-2-propenyl acetate (0.1 mmol, 1.0 equiv), dimethyl malonate (0.3 mmol, 3.0 equiv), *N*,*O*-bis(trimethylsilyl)-acetamide (BSA) (0.3 mmol, 3.0 equiv) were added subsequently, and the reaction mixture was stirred at room temperature for 12 h until the reaction was completed. The reaction mixture was quenched with NH_4Cl aqueous solution and extracted with CH_2Cl_2. The combined organic layer extracts were washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure, and purified on silica gel chromatography (hexanes/ethyl acetate = 20:1) to afford the corresponding product.

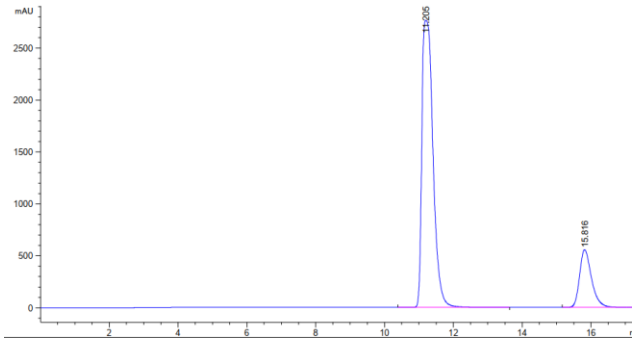
Dimethyl (*R*,*E*)-2-(1,3-diphenylallyl)malonate (7).



Yield: 29.2 mg (90%). Colorless oil. The product was analyzed by HPLC to determine the enantiomeric excess: 65% ee (CHIRALPAK AD-H, hexane/*i*-

PrOH =90/10, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (major) = 11.20 min, t_2 (minor) = 15.82.34 min.



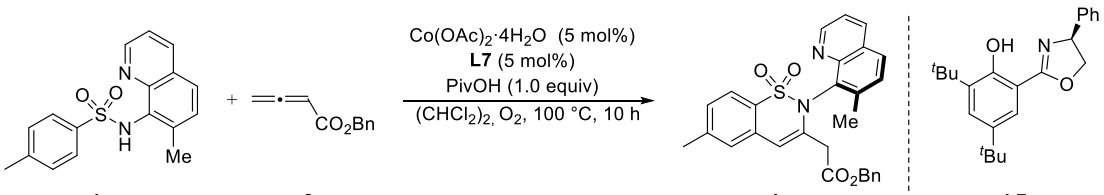


Peak	RetTime	Area	Height	Area
1	11.489	3651.54028	231.72360	50.0657
2	16.012	3641.95410	164.89719	49.9343

Peak	RetTime	Area	Height	Area
1	11.205	6.20244e4	2764.18799	82.3059
2	15.816	1.33340e4	555.65076	17.6941

7. Gram scale

7.1 Gram scale experiment of 4aa



1a 3 mmol, 936 mg

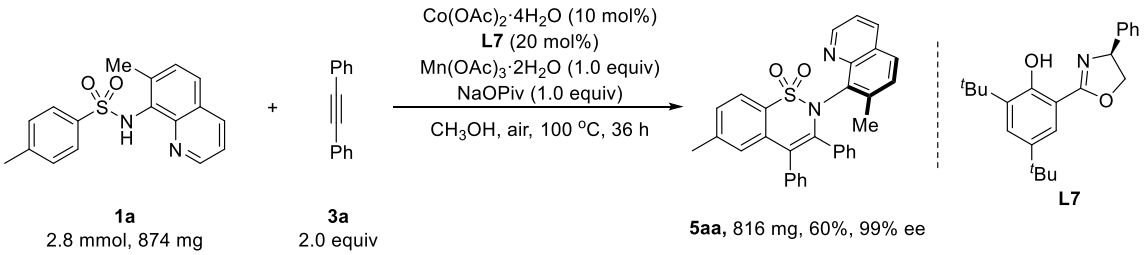
2a (1.5 equiv)

4aa 1.21 g, 83%, 91% ee

L7

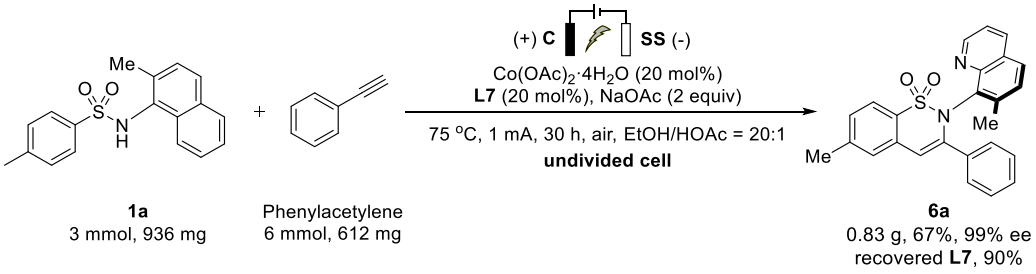
A 100 mL oven-dried Schlenk bottle was equipped with a magnetic stir bar and charged with benzenesulfonamide **1a** (936 mg, 3 mmol), allene **2a** (783 mg, 4.5 mmol), $Co(OAc)_2·4H_2O$ (52.5 mg, 0.15 mmol), **L7** (39 mg, 0.15 mmol), anhydrous solvent 1,1,2,2-Tetrachloroethane (30.0 mL) under the oxygen atmosphere. Then, the reaction system was closed with a Rubber plug. The container was stirred at 100 °C for 10 h. The reaction mixture was cooled to room temperature. The mixture was quenched with saturated $NaHCO_3$ solution and extracted with CH_2Cl_2 for three times. The combined organic phase was dried over $MgSO_4$, and filtered. The reaction solution was detected by TLC, and then concentrated in vacuum. The product was purified by flash column chromatography using (PE/EA = 3/1) as eluent, affording the final product **4aa** (white powdery solid, 1.21 g, 83% yield, 94% ee).

7.2 Gram scale experiment of 5aa



A 250 mL dry high-pressure tube charged with magnetic stirrer added sulfonamide (2.8 mmol), alkyne (5.6 mmol), $Co(OAc)_2 \cdot 4H_2O$ (10 mol%), **L7** (20 mol%), $Mn(OAc)_3 \cdot 2H_2O$ (1.0 equiv), $NaOPiv \cdot H_2O$ (1.0 equiv), and CH_3OH (28 mL). The reaction mixture was heated at 100 °C for 36 h. After the reaction was completed, the reaction mixture was cooled to room temperature, quenched by saturated aqueous Na_2CO_3, and extracted with CH_2Cl_2. The organic layer was dried over anhydrous Na_2SO_4 and concentrated in vacuo. Then the mixture was subjected to column chromatography on silica gel (PE/EtOAc = 10:1) to give the desired product **5aa** (816 mg) in 60% yield as a white solid with 99% ee.

7.3 Gram scale experiment of 6a

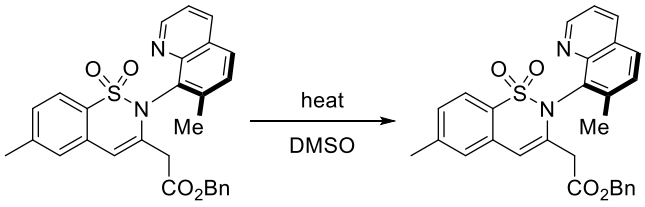


A 250 mL oven-dried three-necked bottle was equipped with a magnetic stir bar and charged with sulfonamide **1a** (936 mg, 3 mmol), $Co(OAc)_2 \cdot 4H_2O$ (149.4 mg, 0.6 mmol), **L7** (210.7 mg, 0.6 mmol), phenylacetylene (612 mg, 6 mmol), anhydrous ethanol (100.0 mL) and HOAc (5 mL). The bottle was equipped with two carbon cloths (20 mm × 30 mm × 0.33 mm) as the anodes and two stainless steel plates (20 mm × 30 mm × 1 mm) as the cathodes, under air conditions (open to air by two thick syringe needles). The reaction mixture was strong stirred and electrolyzed at a constant current of 1 mA at 75 °C for 30 h. After the completion of the reaction, the solvent is removed under reduced pressure, saturated $NaHCO_3$ is added and extracted with DCM, the organic phase is combined, dried, filtered, and concentrated in *vacuum*. The crude mixture was purified by flash column chromatography using (DCM/PE = 5/1) as eluent, affording the final product **6a** (white solid, 0.83 g, 67% yield, 99% ee). We also recovered 191.0 mg of chiral ligand **L7** (90% yield).

8. Study on product stability

The enantiomerization barrier, corresponding to the barrier to rotation for the following atropisomers, was obtained by kinetic of racemization of an enantiomer. The slope of the firstorder kinetic line gives the racemization constant ($k_{racemization} = 2 \times k_{enantiomerization}$). According to the Eyring equation, the enantiomerization barrier ($\Delta G^{\neq}_{enantiomerization}$) can be obtained from enantiomerization constant ($k_{enantiomerization}$), R = 8.31451 J·K^{-1}·mol^{-1}, h = 6.62608 ×10^{-34} J·s and k_B = 1.38066 ×10^{-23} J·K^{-1}.[6-8]

$$\Delta G^{\neq}_{enantiomerization} = RT \cdot \ln \frac{Tk_B}{hk_{enantiomerization}}$$



4aa, 94% ee recovered **4aa**

A solution of (*R*)-**4aa** (10.0 mg, 94% ee) in DMSO (1 mL) was heated at the specific temperatures. The ee value was determined by chiral HPLC analysis at different intervals.

Table S20. Thermal racemization of product **4aa**

Time (h) / Temp.(℃) / ee (%)	1	2	4	6	8	10	12
100	93.246	93.0	92.970	93.06	92.322	92.110	91.014
120	93.678	92.728	92.346	91.5	91.278	90.942	89.000
130	93.118	91.88	89.974	88.292	87.266	85.778	83.118

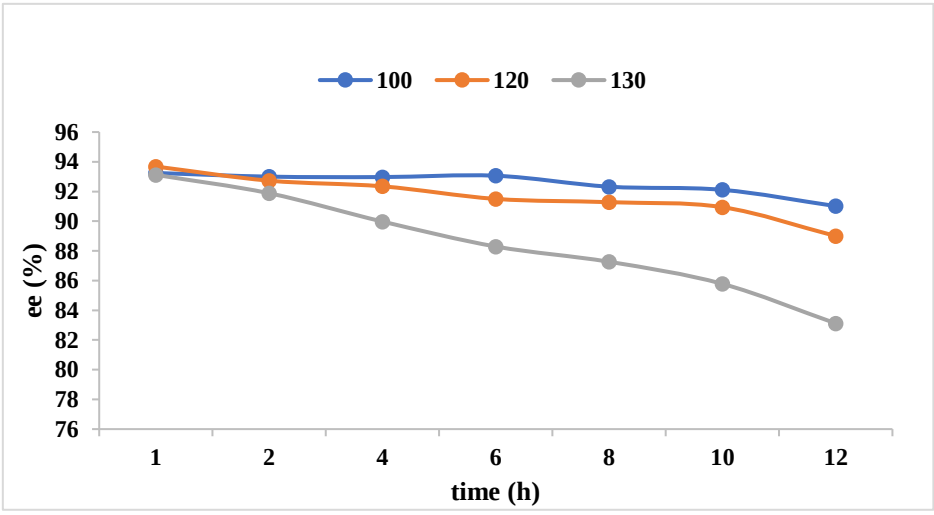


Figure S4. The ee value of **4aa** vs time at different temperature in DMSO

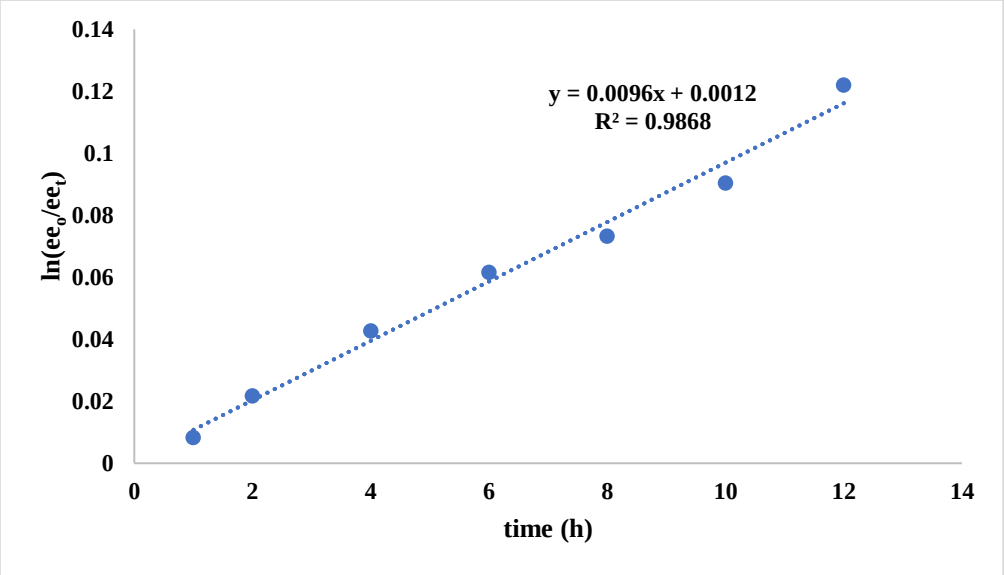


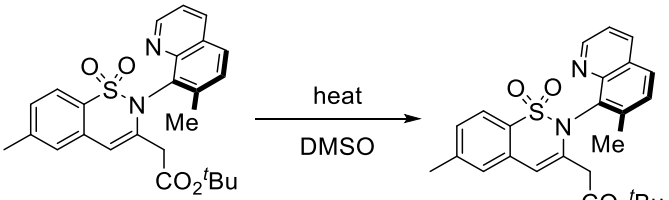
Figure S5. The plot of ln (ee_0/ee_t) vs time of **4aa** at 130 °C

$k_{racemization}$ (130 °C) = 0.00936 h^{-1}= 2.6 x10^{-6} s^{-1}

$k_{enantiomerization}$ (130 °C) = 1.3 x 10^{-6} s^{-1}

$\Delta G^{\neq}_{enantiomerization}$ = 145.10 kJ/mol = 34.68 kcal/mol

$t_{1/2}$ (25 °C) = 4.7×10^4 years



4af, 91.3655% ee

A solution of (*R*)-**4af** (10.0 mg, 94% ee) in DMSO (1 mL) was heated at the specific temperatures. The ee value was determined by chiral HPLC analysis at different intervals.

Table S21. Thermal racemization of product **4af**

Time (h) / Temp.(°C) / ee (%)	1	2	4	6	8	10	12
130	89.542	88.156	86.814	85.044	83.422	81.408	80.568

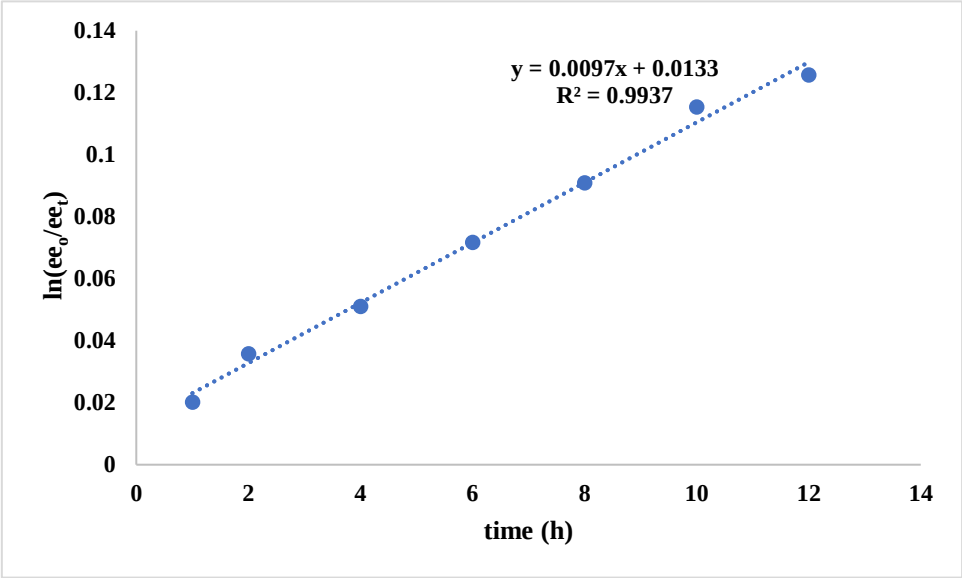


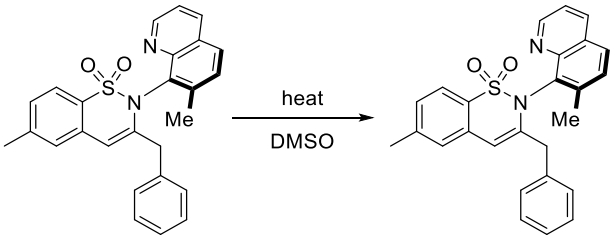
Figure S6. The plot of $\ln(ee_0/ee_t)$ vs time of **4af** at 130 °C

$k_{racemization}$ (130 °C) = 0.01008 h^{-1} = 2.8x10^{-6} s^{-1}

$k_{enantiomerization}$ (130 °C) = 1.4 x 10^{-6} s^{-1}

$\Delta G^{\neq}_{enantiomerization}$ = 145.00 kJ/mol = 34.68 kcal/mol

$t_{1/2}$ (25 °C) = 4.7×10^{4} years



4ag, 93.04% ee

A solution of (*R*)-**4ag** (10.0 mg, 94% ee) in DMSO (1 mL) was heated at the specific temperatures. The ee value was determined by chiral HPLC analysis at different intervals.

Table S22. Thermal racemization of product **4ag**

Time (h) / Temp.(°C) / ee (%)	1	2	4	6	8	10	12
130	93.002	92.044	90.362	88.472	88.492	87.588	85.106

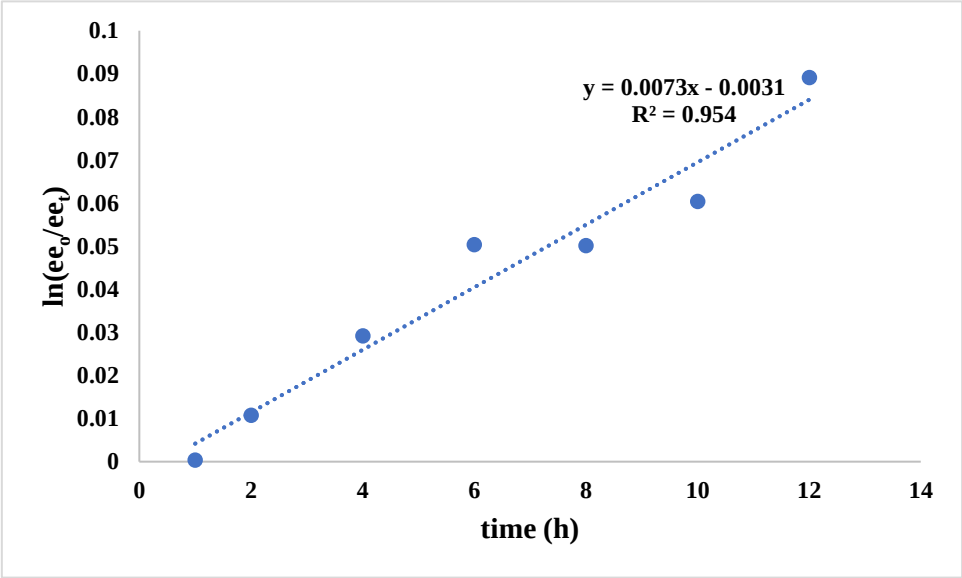


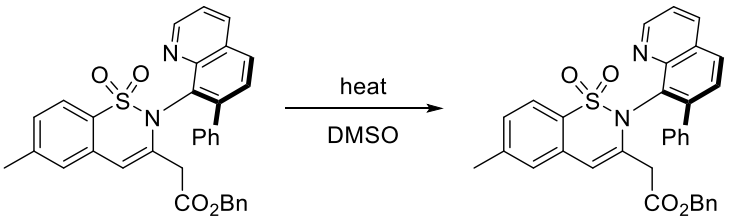
Figure S7. The plot of $\ln(ee_0/ee_t)$ vs time of **4ag** at 130 °C

$k_{racemization}$ (130 °C) = 0.0072 h^{-1}= 2.0 x10^{-6} s^{-1}

$k_{enantiomerization}$ (130 °C) = 1.0 x 10^{-6} s^{-1}

$\Delta G^{\neq}_{enantiomerization}$ = 146.02 kJ/mol = 34.90 kcal/mol

$t_{1/2}$ (25 °C) = 6.3×10^{4} years



4ya, 95.6104% ee

A solution of (*R*)-**4ya** (10.0 mg, 94% ee) in DMSO (1 mL) was heated at the specific temperatures. The ee value was determined by chiral HPLC analysis at different intervals.

Table S23. Thermal racemization of product **4ya**

Time (h) / Temp.(°C) / ee (%)	1	2	4	6	8	10	12
130	92.152	91.364	88.384	86.200	83.640	81.540	79.202

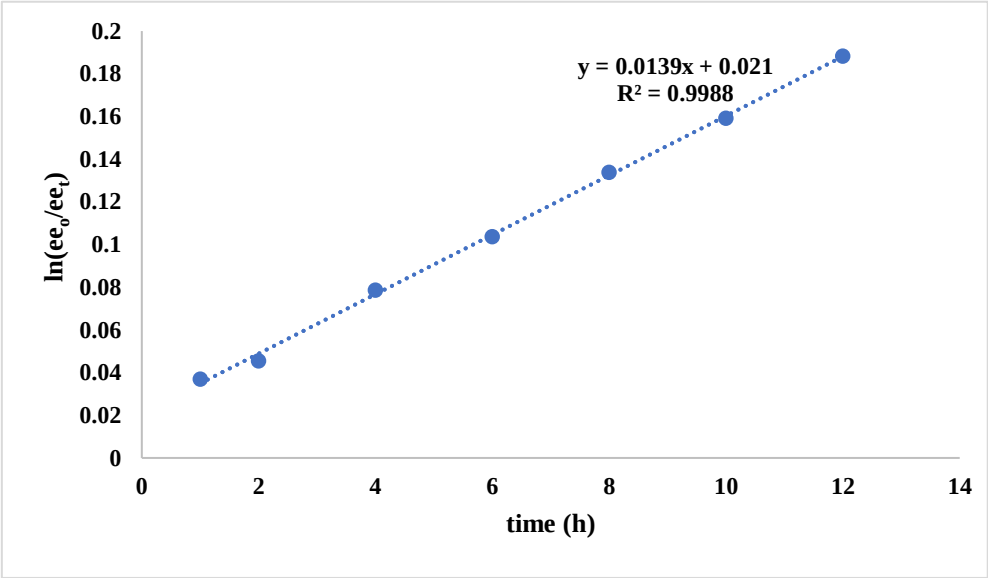


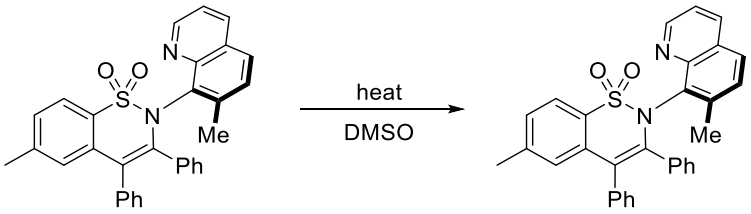
Figure S8. The plot of $\ln(ee_0/ee_t)$ vs time of **4ya** at 130 °C

$k_{racemization}$ (130 °C) = 0.01368 h^{-1}= 3.8 x10^{-6} s^{-1}

$k_{enantiomerization}$ (130 °C) = 1.9 x 10^{-6} s^{-1}

$\Delta G^{\neq}_{enantiomerization}$ = 143.86 kJ/mol = 34.38 kcal/mol

$t_{1/2}$ (25 °C) = 2.8×10^4 years



5aa, 99% ee recovered **5aa**

A solution of (*R*)-**5aa** (10.0 mg, 99% ee) in DMSO (1.0 mL) was heated at the specific temperatures (Table). The ee value was determined by chiral HPLC analysis at different intervals.

Table S24. Thermal racemization of product **5aa**

Time (h) / Temp.(℃) / ee (%)	1	2	4	6	8	10	12
100	98.846	98.760	98.578	98.510	98.272	98.156	97.680
120	97.990	96.932	94.406	93.222	92.274	90.694	88.504
130	96.492	93.918	89.966	87.772	84.498	79.818	75.750

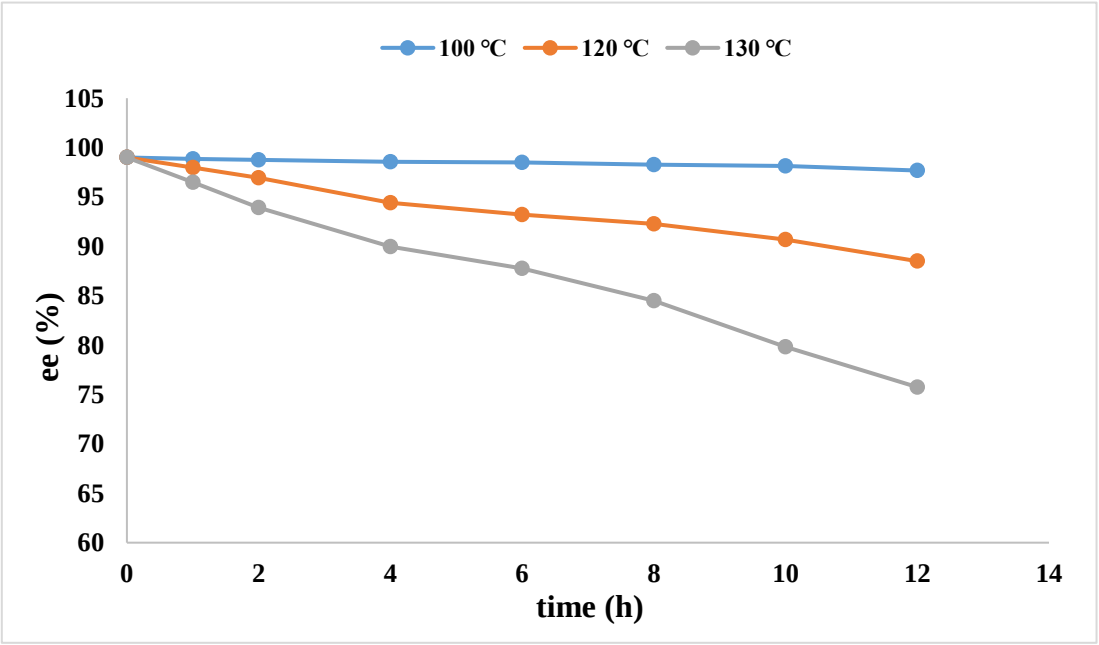


Figure S9. The ee value of **5aa** vs time at different temperature in DMSO

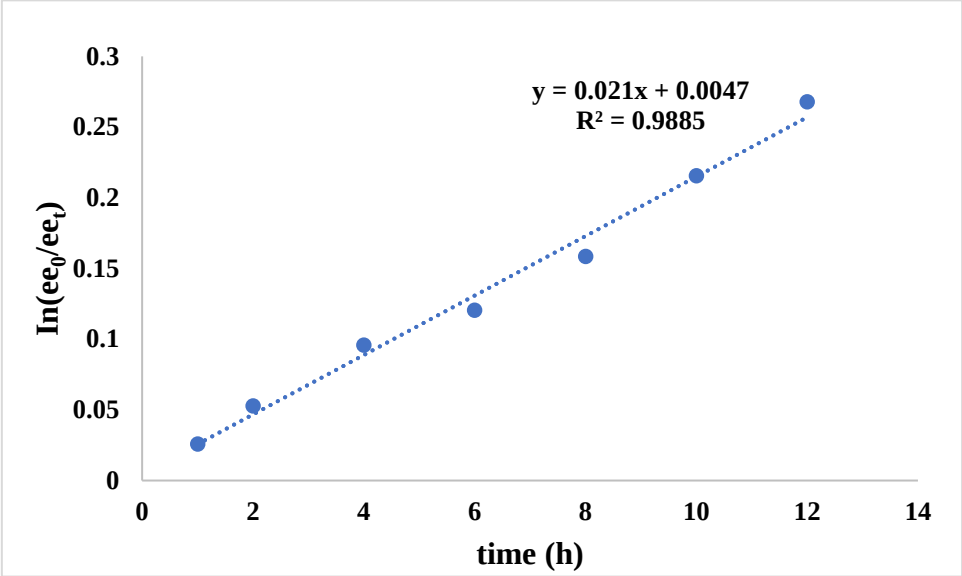


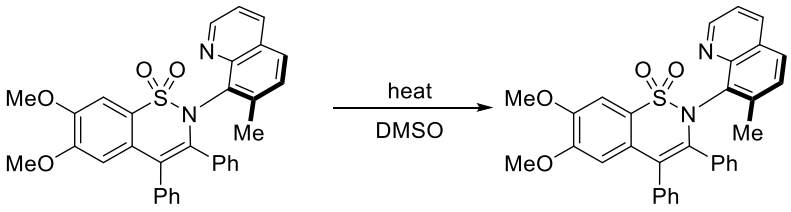
Figure S10. The plot of $\ln(ee_0/ee_t)$ vs time of **5aa** at 130 °C

$k_{racemization}$ (130 °C) = 5.8 x 10^{-6} s^{-1}

$k_{enantiomerization}$ (130 °C) = 2.9 x 10^{-6} s^{-1}

$\Delta G^{\neq}_{enantiomerization}$ = 142.49 kJ/mol = 34.06 kcal/mol

$t_{1/2}$ (25 °C) = 1.6 x 10^{4} years



5qa, 99% ee recovered **5qa**

A solution of (*R*)-**5qa** (10.0 mg, 99% ee) in DMSO (1.0 mL) was heated at 130 °C (Table). The ee value was determined by chiral HPLC analysis at different intervals.

Table S25. Thermal racemization of product **5qa.**

Time (h) / Temp.(°C) / ee (%)	1	2	4	6	8	10	12
130	96.256	95.526	92.712	88.890	85.380	82.114	78.866

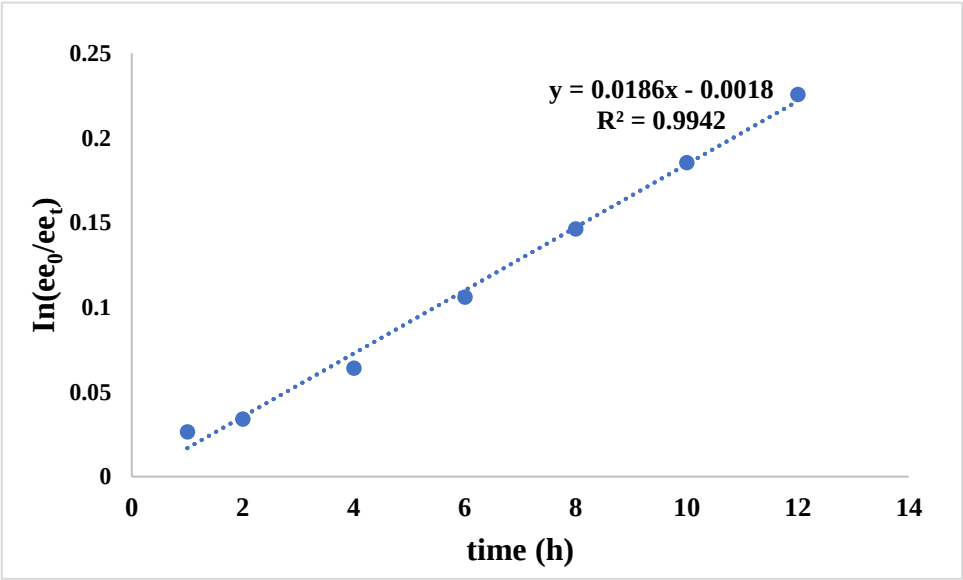


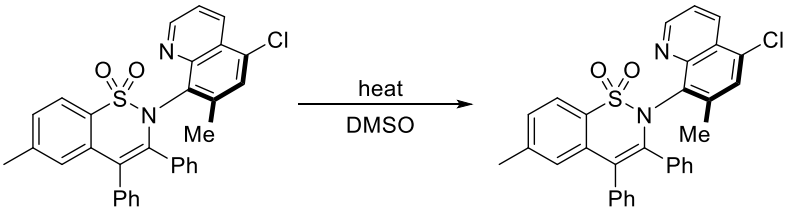
Figure S11. The plot of ln(ee_0/ee_t) vs time of 5qa at 130 °C

$k_{racemization}$ (130 °C) = 5.2 x 10^{-6} s^{-1}

$k_{enantiomerization}$ (130 °C) = 2.6 x 10^{-6} s^{-1}

$\Delta G^{\neq}_{enantiomerization}$ = 142.86 kJ/mol = 34.14 kcal/mol

$t_{1/2}$ (25 °C) = 1.9 x 10^4 years



5xca, 98% ee recovered **5xca**

Table S26. Thermal racemization of product **5xca.**

Time (h) / Temp.(°C) / ee (%)	1	2	4	6	8	10	12
130	97.048	96.114	92.166	86.860	83.880	79.564	74.638

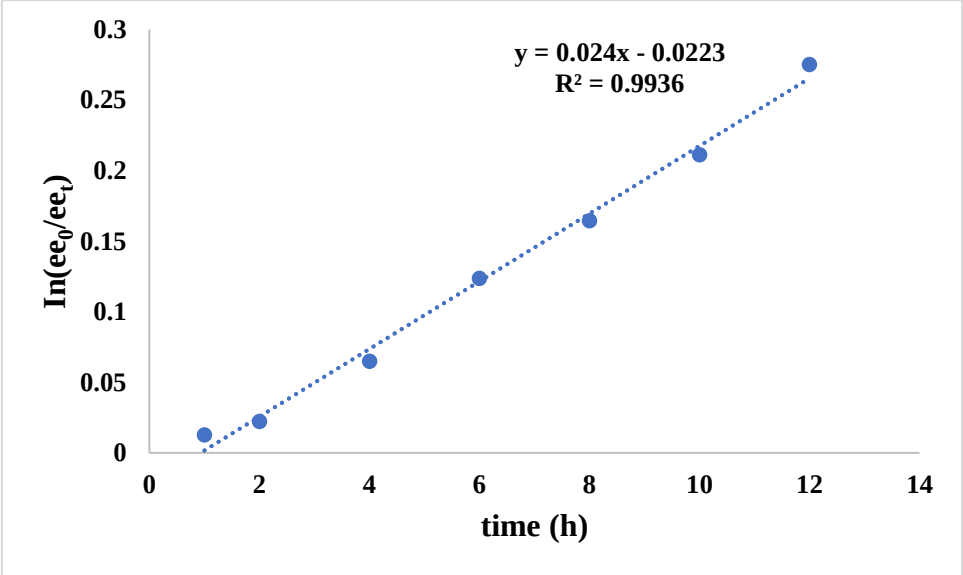


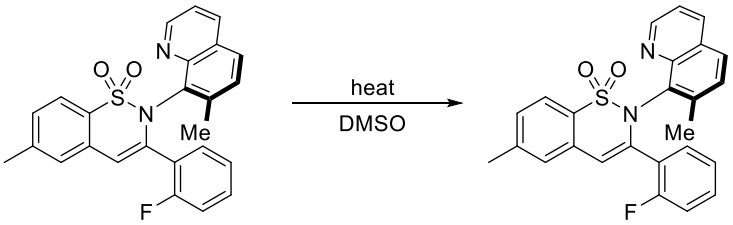
Figure S12. The plot of $\ln(ee_0/ee_t)$ vs time of 5xca at 130 °C

$k_{racemization}$ (130 °C) = 6.6 x 10^{-6} s^{-1}

$k_{enantiomerization}$ (130 °C) = 3.3 x 10^{-6} s^{-1}

$\Delta G^{\neq}_{enantiomerization}$ = 142.06 kJ/mol = 33.95 kcal/mol

$t_{1/2}$ (25 °C) = 1.4 x 10^4 years



5aq, 98% ee recovered **5aq**

Table S27. Thermal racemization of product **5aq**

Time (h) / Temp.(°C) / ee (%)	1	2	4	6	8	10	12
130	89.192	72.934	66.610	56.098	61.884	52.284	42.590

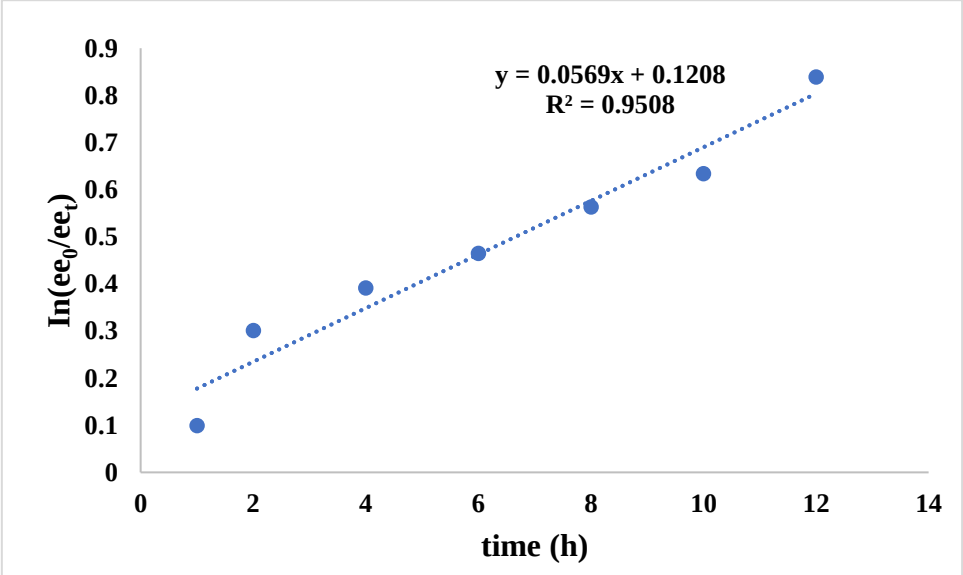


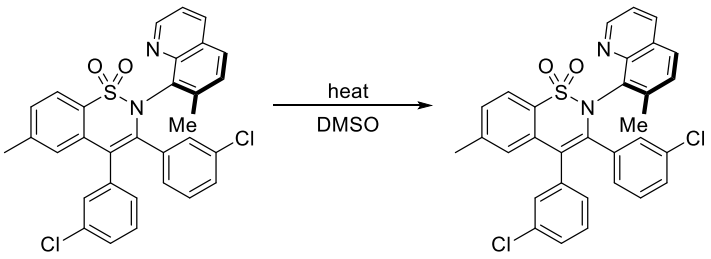
Figure S13. The plot of $\ln(ee_0/ee_t)$ vs time of 5aq at 130 °C

$k_{racemization}$ (130 °C) = 1.58 x 10^{-5} s^{-1}

$k_{enantiomerization}$ (130 °C) = 7.9 x 10^{-6} s^{-1}

$\Delta G^{\neq}_{enantiomerization}$ = 139.14 kJ/mol = 33.26 kcal/mol

$t_{1/2}$ (25 °C) = 4.2 x 10^{3} years



5ai, 98% ee recovered **5ai**

Table S28. Thermal racemization of product 5ai

Time (h) / Temp.(°C) / ee (%)	1	2	4	6	8	10	12
130	93.170	89.720	82.568	78.004	72.844	69.582	62.810

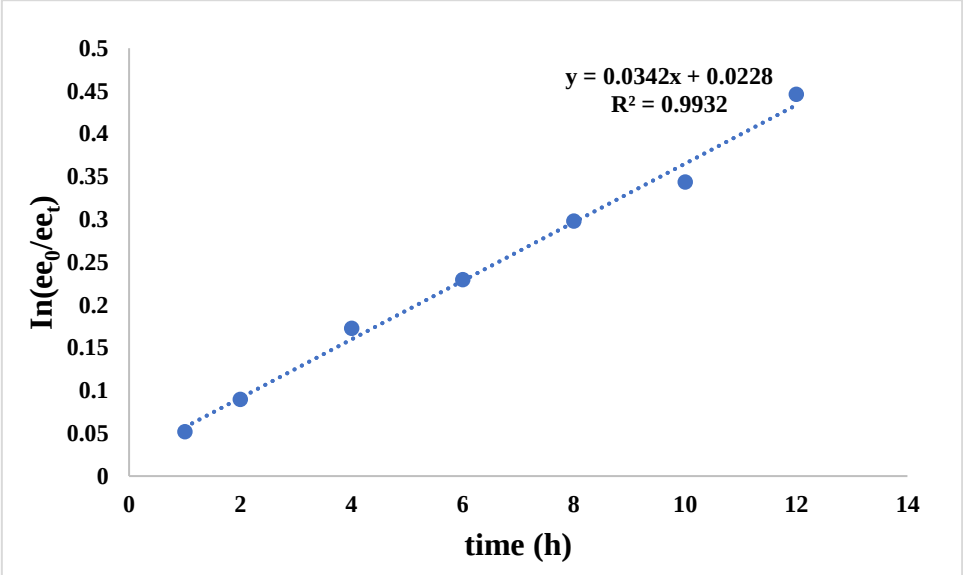


Figure S14. The plot of $\ln(ee_0/ee_t)$ vs time of 5ai at 130 °C

$k_{racemization}$ (130 °C) = 9.50 x 10^{-6} s^{-1}

$k_{enantiomerization}$ (130 °C) = 4.75 x 10^{-6} s^{-1}

$\Delta G^{\neq}_{enantiomerization}$ = 140.85 kJ/mol = 33.66 kcal/mol

$t_{1/2}$ (25 °C) = 8.4 x 10^3 years

9. X-ray crystal structure of 4aa, 5aa

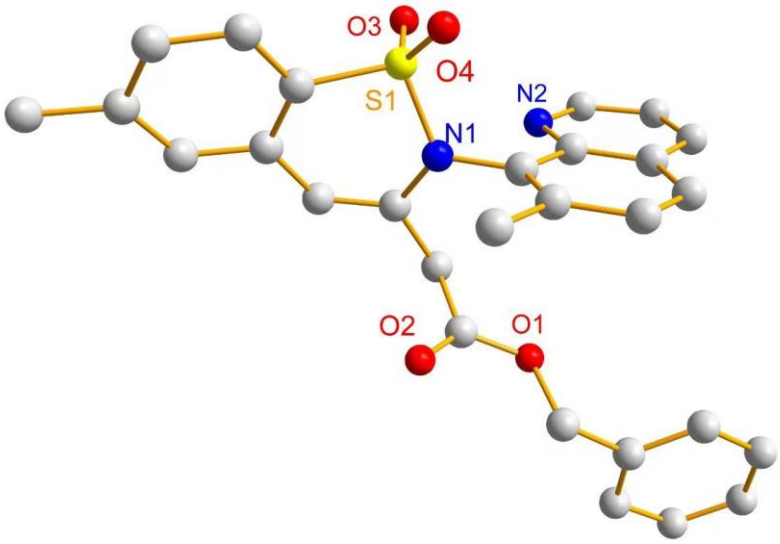


Figure S15. X-ray molecular structure of **4aa** (CCDC 2241825).

Crystal data and structure refinement for 4aa.

Identification code	**4aa**
Empirical formula	$C_{28}H_{24}N_2O_4S$
Formula weight	484.55
Temperature/K	199.99(10)
Crystal system	orthorhombic
Space group	$P2_12_12_1$
a/Å	8.7020(3)
b/Å	10.7451(4)
c/Å	25.9020(9)
α/	90
β/	90
γ/	90
Volume/Å^3	2421.94(15)
Z	4
ρ_{calc}g/cm^3	1.329
μ/mm^{-1}	1.497
F(000)	1016.0
Crystal size/mm^3	0.03 × 0.01 × 0.01
Radiation	CuKα (λ = 1.54184)
2Θ range for data collection/	6.826 to 129.98
Index ranges	$-10 \le h \le 10$, $-12 \le k \le 9$, $-29 \le l \le 30$
Reflections collected	7568
Independent reflections	3888 [R_{int} = 0.0895, R_{sigma} = 0.0984]

Data/restraints/parameters	3888/0/318
Goodness-of-fit on F^2	1.159
Final R indexes [I>=2σ (I)]	R_1 = 0.0589, wR_2 = 0.1715
Final R indexes [all data]	R_1 = 0.0840, wR_2 = 0.1748
Largest diff. peak/hole / e $Å^{-3}$	0.37/-0.47
Flack parameter	0.02(3)

Method for crystal growth：

The pure compound **4aa** was dissolved in DCM in a little sample bottle. And hexane was added dropwise in the bottle. Then, the bottle is sealed with plastic film, and two holes are made in the plastic film. The bottle was placed in a quiet environment.

Crystal measurement:

A dichloromethane and hexane mixture of **4aa** were slowly evaporated at ambient temperature over a period of one day, to afford single crystals suitable for an X-ray crystallographic study. Single-crystal X-ray diffraction data were collected on a Rigaku XtaLAB Pro diffractometer with Mo-Kα radiation (λ =1.54184 Å) for compound **4aa**. The structure was solved by Direct Method of SHELXS-97 and refined by full-matrix least-squares techniques using the SHELXL-97 program. Non-hydrogen atoms were refined with anisotropic temperature parameters, and hydrogen atoms of the ligands were refined as rigid groups.

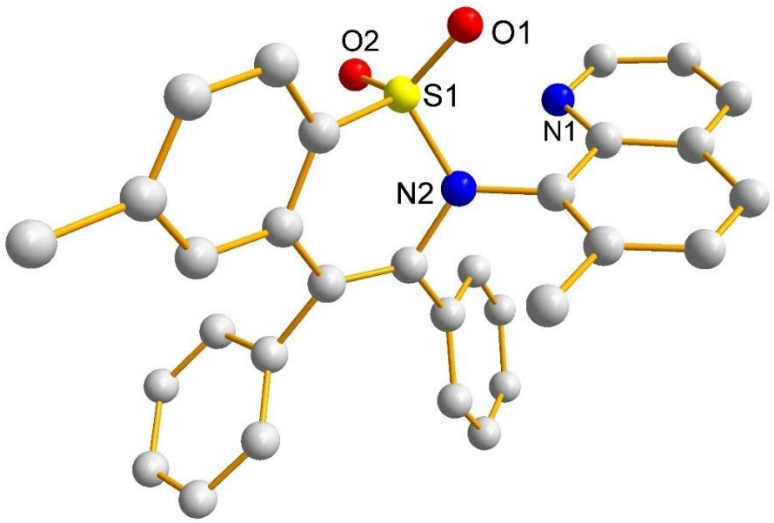


Figure S16. X-ray molecular structure of **5aa** (CCDC 2248260).

Crystal data and structure refinement for 5aa.

Identification code	**5aa**
Empirical formula	$C_{31}H_{24}N_2O_2S$
Formula weight	488.58
Temperature/K	297.15
Crystal system	triclinic
Space group	P-1
a/Å	8.3410(15)
b/Å	9.1638(18)
c/Å	16.563(3)
α/	97.862(7)
β/	92.549(7)
γ/	90.235(7)
Volume/Å^3	1252.8(4)
Z	2
ρ_{calc}g/cm^3	1.295
μ/mm^{-1}	0.161
F(000)	512.0
Crystal size/mm^3	0.2 × 0.1 × 0.05
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/	4.488 to 50
Index ranges	-9 ≤ h ≤ 9, -10 ≤ k ≤ 10, -19 ≤ l ≤ 19

Reflections collected	46254
Independent reflections	4404 [R_{int} = 0.1560, R_{sigma} = 0.0803]
Data/restraints/parameters	4404/50/373
Goodness-of-fit on F^2	1.115
Final R indexes [I>=2σ (I)]	R_1 = 0.1551, wR_2 = 0.3901
Final R indexes [all data]	R_1 = 0.1698, wR_2 = 0.3961
Largest diff. peak/hole / e $Å^{-3}$	0.60/-0.65

Method for crystal growth：

The pure compound **5aa** was dissolved in DCM and toluene (1:1) in a little sample bottle. And hexane was added dropwise in the bottle. Then, the bottle is sealed with plastic film, and two holes are made in the plastic film. The bottle was placed in a quiet environment.

Crystal measurement:

A dichloromethane and hexane mixture of **5aa** were slowly evaporated at ambient temperature over a period of one day, to afford single crystals suitable for an X-ray crystallographic study. Single-crystal X-ray diffraction data were collected on a Rigaku XtaLAB Pro diffractometer with Mo-Kα radiation (λ =1.54184 Å) for compound **5aa**. The structure was solved by Direct Method of SHELXS-97 and refined by full-matrix least-squares techniques using the SHELXL-97 program. Non-hydrogen atoms were refined with anisotropic temperature parameters, and hydrogen atoms of the ligands were refined as rigid groups.

II. Supplemental references

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3. a) T. Li, J. Li, Z. Zhu, Y. Chen, X. Li, Q. Yang, J. Xia, W. Zhang, C. Zhang, W. Pan and S. Wu, *Org. Chem. Front.*, 2021, **8**, 928-935. b) S. Haubenreisser, T. H. Wöste, C. Martínez, K. Ishihara and K. Muñiz, *Angew. Chem. Int. Ed.*, 2016, **55**, 1-1.
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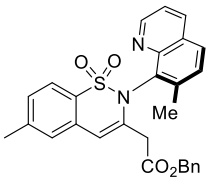
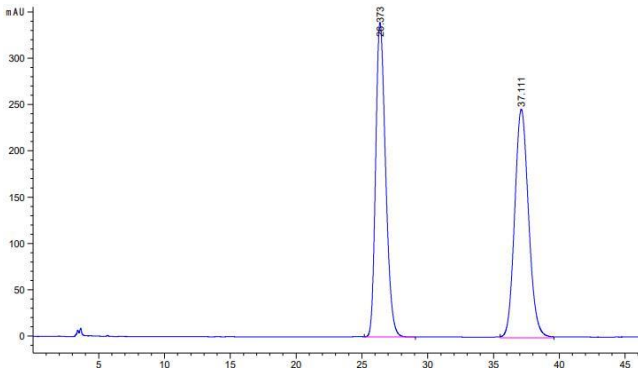
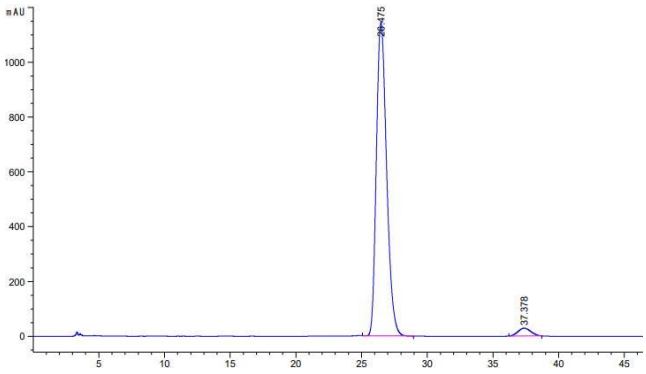
III. Characterization (NMR, HRMS, and HPLC) data

(*R*)-benzyl 2-(6-methyl-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4aa).



Yield: 87.0 mg (90%). White solid, mp: 169-170 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.76 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.04 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.70 (dd, *J* = 8.2, 2.1 Hz, 2H), 7.35 (d, *J* = 8.4 Hz, 1H), 7.31 – 7.23 (m, 6H), 7.15 – 7.06 (m, 2H), 6.53 (s, 1H), 5.46 – 4.27 (m, 2H), 4.10 – 2.90 (m, 2H), 2.46 (s, 3H), 2.27 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.6, 151.5, 147.0, 142.6, 142.2, 136.7, 135.7, 135.3, 133.1, 131.3, 129.9, 129.4, 129.3, 128.5, 128.4, 128.3, 128.2, 127.4, 127.3, 121.1, 111.8, 66.6, 39.6, 21.7, 18.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{28}H_{25}N_2O_4S]^+$ requires 485.1530, found 485.1516. $[\alpha]_D^{25}$ = -116 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 94% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =60/40, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 26.5 min, t_2 (major) = 37.4 min.

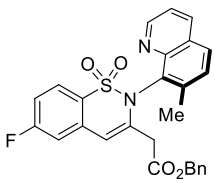




Peak	RetTime	Area	Height	Area
1	26.373	1.77983e4	339.47275	49.5361
2	37.111	1.813164e4	246.91083	50.4639

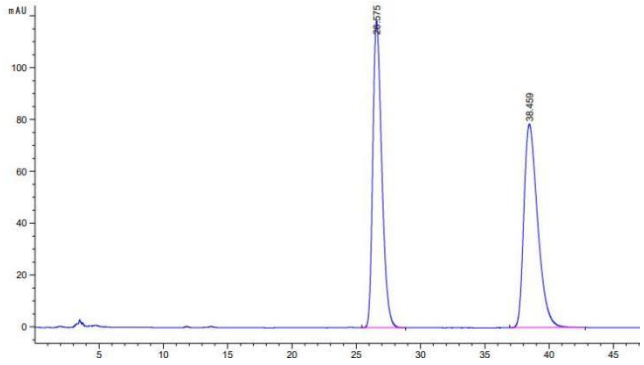
Peak	RetTime	Area	Height	Area
1	26.475	6.20321e4	1143.70483	96.9503
2	37.378	1951.27124	28.73534	3.0497

(*R*)-benzyl 2-(6-fluoro-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ba).

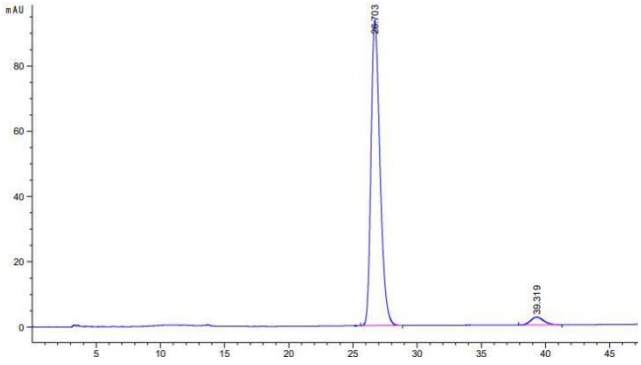


Yield: 92.7 mg (95%). White solid, mp: 172-173 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.07 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.84 – 7.77 (m, 1H), 7.74 (d, *J* = 8.4 Hz, 1H), 7.39 (d, *J* = 8.4 Hz, 1H), 7.36 – 7.22 (m, 4H), 7.23 – 6.97

(m, 4H), 6.56 (s, 1H), 5.14 – 4.52 (m, 2H), 3.67 – 2.97 (m, 2H), 2.36 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.3, 164.4 (d, $^{1}J_{C\text{-}F}$ = 253.6 Hz), 151.4, 146.7, 142.6, 138.4,135.9 (d, $^{3}J_{C\text{-}F}$ = 10.0 Hz), 135.7, 135.2, 131.1, 129.5, 129.4, 128.5, 128.4, 128.3, 127.4, 124.1 (d, $^{3}J_{C\text{-}F}$ = 9.9 Hz), 121.2, 115.2 (d, $^{2}J_{C\text{-}F}$ = 24.2 Hz), 113.1 (d, $^{2}J_{C\text{-}F}$ = 23.2 Hz), 111.2, 111.1, 66.8, 39.6, 19.0. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -106.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}FN_2O_4S]^+$ requires 489.1279, found 489.1280. $[\alpha]_D^{25}$ = -202 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 93% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 26.7 min, t_2 (major) = 39.3 min.

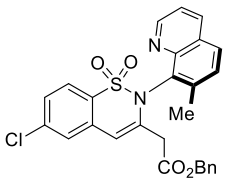


Peak	RetTime	Area	Height	Area
1	26.575	5751.13232	118.44732	49.5741
2	38.459	5849.94775	78.52453	50.4259

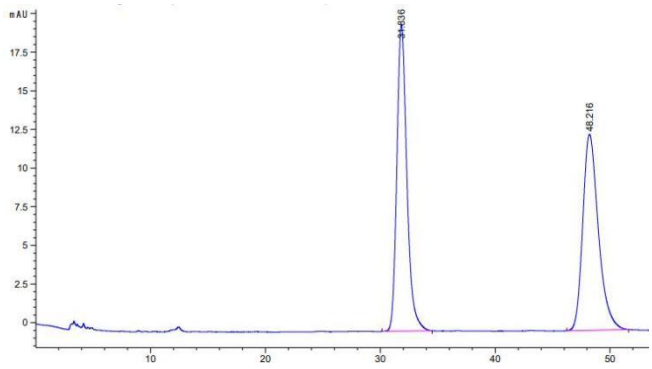


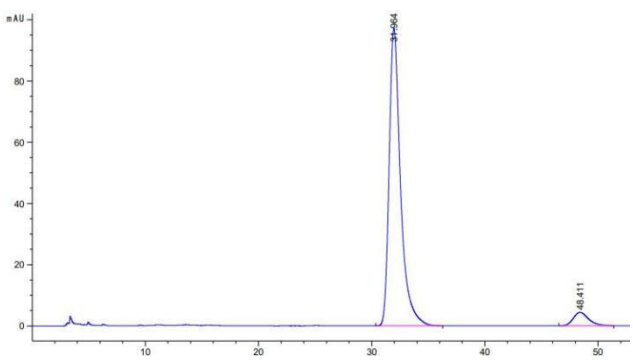
Peak	RetTime	Area	Height	Area
1	26.703	4542.29541	93.58768	96.3783
2	39.319	170.69214	2.41446	3.6217

(*R*)-benzyl 2-(6-chloro-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ca).



Yield: 92.7 mg (92%). White solid, mp: 162-163 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.06 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.74 (dd, *J* = 8.4, 2.6 Hz, 2H), 7.46 (d, *J* = 2.0 Hz, 1H), 7.43 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.39 (d, *J* = 8.4 Hz, 1H), 7.33 – 7.24 (m, 4H), 7.17 – 7.11 (m, 2H), 6.54 (s, 1H), 4.82 – 4.74 (m, 2H), 3.28 – 3.16 (m, 2H), 2.37 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.2, 151.4, 146.7, 142.5, 138.5, 137.8, 135.8, 135.2, 134.8, 131.0, 130.3, 129.5, 129.4, 128.5, 128.4, 128.3, 127.7, 127.4, 126.6, 122.9, 121.3, 111.0, 66.8, 39.6, 19.0. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}ClN_2O_4S]^+$ requires 505.0983, found 505.0986. $[\alpha]_D^{25}$ = -201 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 89% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 32.0 min, t_2 (major) = 48.4 min.



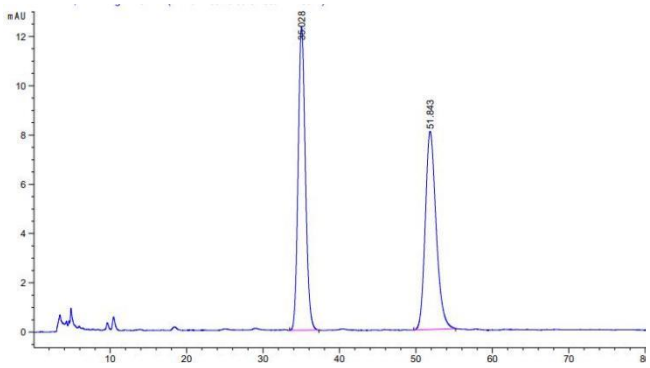


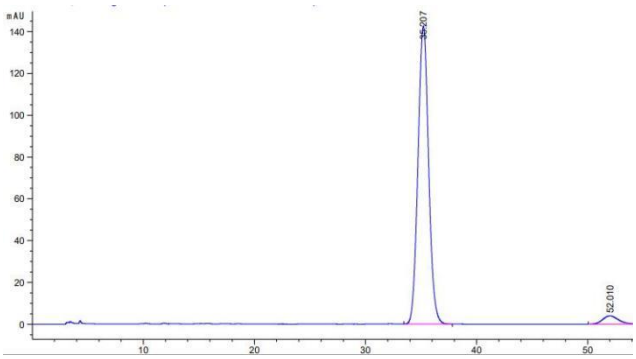
Peak	RetTime	Area	Height	Area
1	31.836	1200.64758	19.81678	50.0993
2	48.216	1195.88940	12.67706	49.9007

Peak	RetTime	Area	Height	Area
1	31.964	6766.04102	97.16827	94.2645
2	48.411	411.67804	4.38783	5.7355

(*R*)-benzyl 2-(6-bromo-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4da).

Yield: 101.0 mg (92%). White solid, mp: 162-163 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.67 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.03 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.65 (d, *J* = 8.3 Hz, 1H), 7.60 (d, *J* = 1.9 Hz, 1H), 7.56 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.37 (d, *J* = 8.4 Hz, 1H), 7.31 – 7.24 (m, 4H), 7.14 – 7.09 (m, 2H), 6.51 (s, 1H), 4.80 – 4.72 (m, 2H), 3.90 – 2.72 (m, 2H), 2.34 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.2, 151.4, 146.6, 142.5, 138.5, 135.8, 135.2, 135.0, 131.1, 131.0, 130.5, 129.6, 129.5, 129.4, 128.5, 128.4, 128.3, 127.4, 126.1, 123.0, 121.2, 110.8, 66.8, 39.6, 19.0. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}BrN_2O_4S]^+$ requires 549.0478, found 549.0477. $[\alpha]_D^{25}$ = -143 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 92% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 35.2 min, t_2 (major) = 52.0 min.

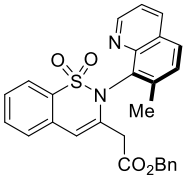




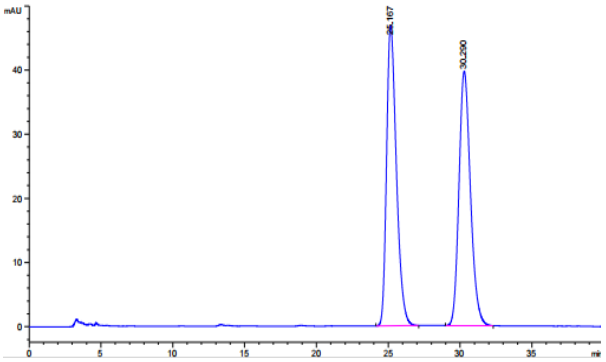
Peak	RetTime	Area	Height	Area
1	35.028	806.68536	12.32828	50.4862
2	51.843	791.14868	8.04253	49.5138

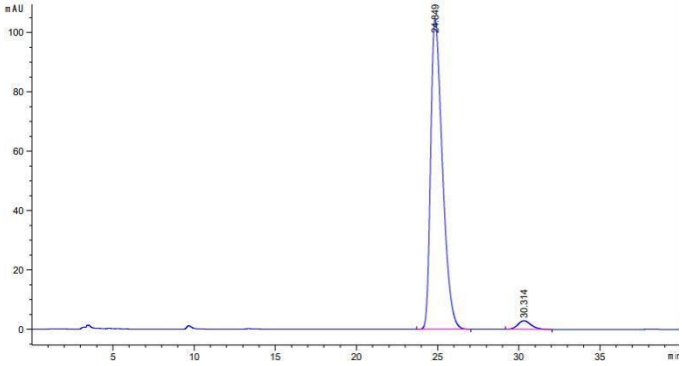
Peak	RetTime	Area	Height	Area
1	35.207	9473.80469	142.63760	96.0426
2	52.010	390.36368	3.97142	3.9574

(*R*)-benzyl 2-(2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ea).



Yield: 78.9 mg (84%). White solid, mp: 151-152 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.73 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.06 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.91 – 7.77 (d, *J* = 8.4 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.64 – 7.59 (m, 1H), 7.48 (t, *J* = 7.2 Hz, 2H), 7.37 (d, *J* = 8.4 Hz, 1H), 7.32 – 7.26 (m, 4H), 7.15 – 7.09 (m, 2H), 6.62 (s, 1H), 4.83 – 4.73 (m, 2H), 3.32 – 3.19 (m, 2H), 2.31 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.6, 151.4, 146.9, 142.5, 136.8, 135.7, 135.2, 133.1, 132.2, 131.7, 131.3, 129.4, 128.5, 128.3, 128.2, 127.6, 127.4, 127.0, 121.2, 121.1, 112.0, 66.7, 39.6, 18.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{23}N_2O_4S]^+$ requires 471.1373, found 471.1366. $[\alpha]_D^{25}$ = -164 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 94% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 24.8 min, t_2 (major) = 30.3 min.

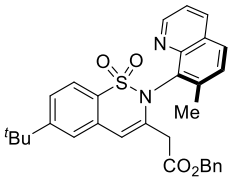




Peak	RetTime	Area	Height	Area
1	25.167	2220.31592	46.84093	50.2075
2	30.290	2201.96729	39.67232	49.7925

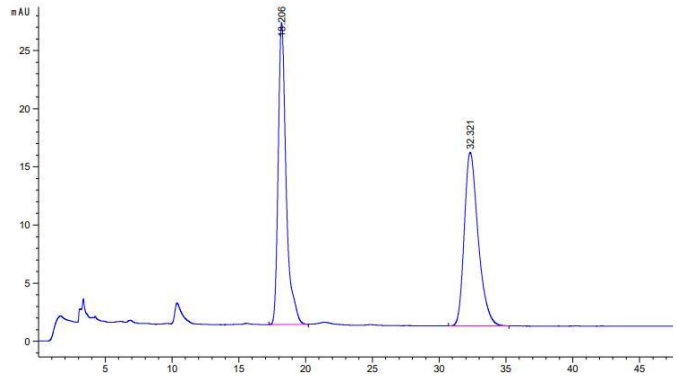
Peak	RetTime	Area	Height	Area
1	24.849	5264.17285	104.34878	97.0011
2	30.314	162.74728	2.89316	2.9989

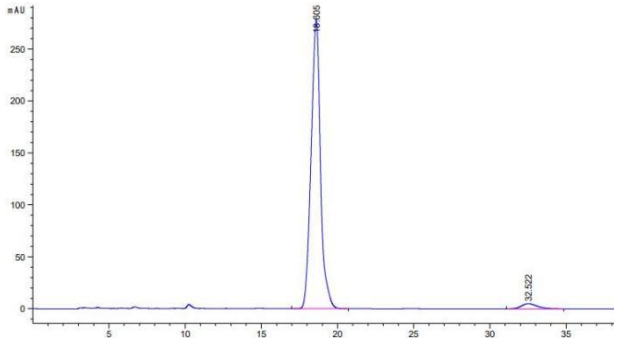
(*R*)-benzyl 2-(6-(tert-butyl)-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4fa).



Yield: 97.7 mg (93%). White solid, mp: 170-171 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.78 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.06 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.76 (d, *J* = 8.3 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 1H), 7.52 (dd, *J* = 8.3, 1.9 Hz, 1H), 7.46 (d, *J* = 1.9 Hz, 1H), 7.37 (d, *J* = 8.4 Hz, 1H), 7.32 – 7.26 (m, 4H), 7.15 – 7.09 (m, 2H), 6.60 (s, 1H), 4.81 – 4.73 (m, 2H), 3.32 – 3.22 (m, 2H), 2.30 (s, 3H), 1.41 (s, 9H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.7, 155.2, 151.5, 147.0, 142.6, 136.5, 135.8, 135.3, 132.8, 131.3, 129.8, 129.4, 129.3, 128.5, 128.3, 128.2, 127.4, 125.2, 123.8, 121.1, 121.0, 112.2, 66.7, 39.6, 35.2, 31.2, 19.0. **HRMS** (ESI): m/z $[M+H]^+$calcd for

$[C_{31}H_{31}N_2O_4S]^+$ requires 527.1999, found 527.1992. $[\alpha]_D^{25}$ = -123 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 94% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 18.6 min, t_2 (major) = 32.5 min.

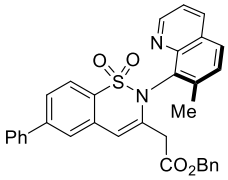




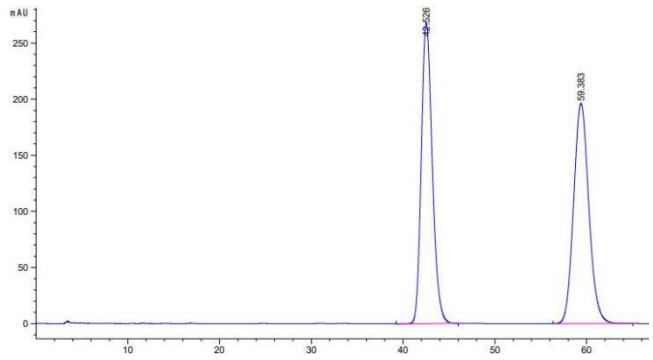
Peak	RetTime	Area	Height	Area
1	18.206	1072.83020	25.95861	49.9110
2	32.321	1076.65454	14.93683	50.0890

Peak	RetTime	Area	Height	Area
1	18.605	1.19338e4	278.09650	97.1207
2	32.522	353.79953	4.97046	2.8793

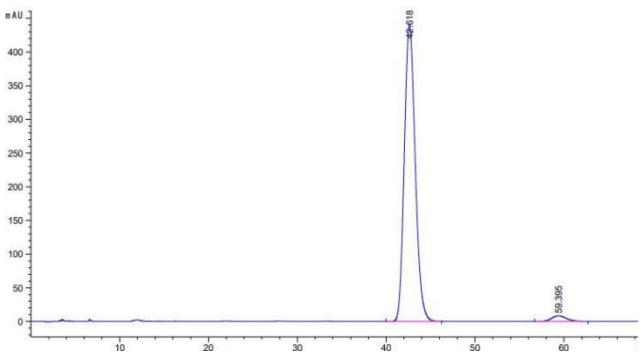
(*R*)-benzyl 2-(2-(7-methylquinolin-8-yl)-1,1-dioxido-6-phenyl-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ga).



Yield: 97.7 mg (89%). White solid, mp: 210-211 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.77 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.07 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.90 (d, *J* = 8.1 Hz, 1H), 7.74 (d, *J* = 8.4 Hz, 1H), 7.71 – 7.65 (m, 4H), 7.54 – 7.49 (t, *J* = 8.4 Hz, 2H), 7.47 – 7.42 (t, *J* = 8.4 Hz, 1H), 7.39 (d, *J* = 8.4 Hz, 1H), 7.34 – 7.27 (m, 4H), 7.17 – 7.11 (m, 2H), 6.68 (s, 1H), 4.83 – 4.75 (m, 2H), 3.35 – 3.24 (m, 2H), 2.36 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.6, 151.5, 150.0, 144.7, 142.6, 139.8, 137.2, 135.8, 135.3, 133.5, 131.3, 131.0, 129.4, 129.3, 129.1, 128.5, 128.3, 128.2, 128.1, 127.4, 126.4, 125.6, 121.8, 121.2, 112.0, 66.7, 39.7, 19.0. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{33}H_{27}N_2O_4S]^+$ requires 547.1686, found 547.1683. $[\alpha]_D^{25}$ = -136 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 95% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 42.6 min, t_2 (major) = 59.4 min.



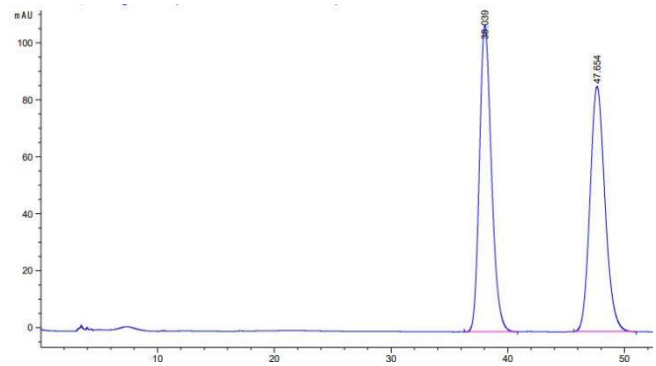
Peak	RetTime	Area	Height	Area
1	42.526	2.23288e4	268.32419	49.6931
2	59.383	2.26046e4	196.31415	50.3069



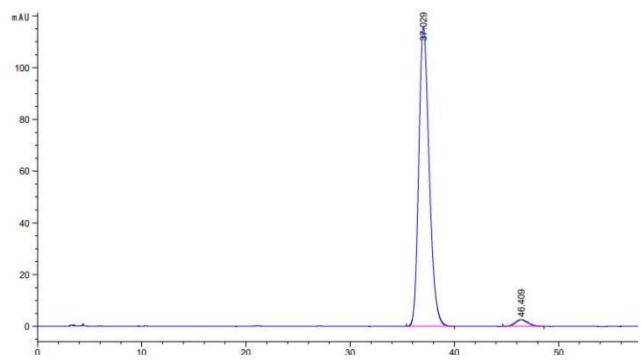
Peak	RetTime	Area	Height	Area
1	42.618	3.76942e4	439.91489	97.4353
2	59.395	992.19702	8.47763	2.5647

(*R*)-benzyl 2-(6-methoxy-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ha).

Yield: 89.9 mg (90%). White solid, mp: 140-141 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.78 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.06 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.73 (dd, *J* = 12.6, 8.5 Hz, 2H), 7.37 (d, *J* = 8.4 Hz, 1H), 7.33 – 7.26 (m, 4H), 7.16 – 7.09 (m, 2H), 6.99 (dd, *J* = 8.7, 2.5 Hz, 1H), 6.90 (d, *J* = 2.5 Hz, 1H), 6.53 (s, 1H), 4.81 – 4.73 (m, 2H), 3.89 (s, 3H), 3.52 – 3.07 (m, 2H), 2.31 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.6, 162.1, 151.5, 146.9, 142.6, 137.3, 135.8, 135.2, 135.1, 131.2, 129.4, 129.3, 128.5, 128.3, 128.2, 127.4, 125.3, 123.2, 121.1, 114.5, 11.6, 110.4, 66.7, 55.6, 39.6, 18.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{28}H_{25}N_2O_5S]^+$ requires 501.1479, found 501.1474. $[\alpha]_D^{25}$ = -45 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 95% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 37.0 min, t_2 (major) = 46.4 min.

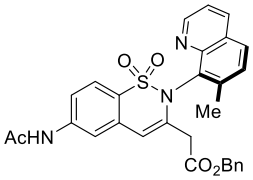


Peak	RetTime	Area	Height	Area
1	38.039	7701.94287	107.62102	50.1010
2	47.654	7670.90283	86.17239	49.8990

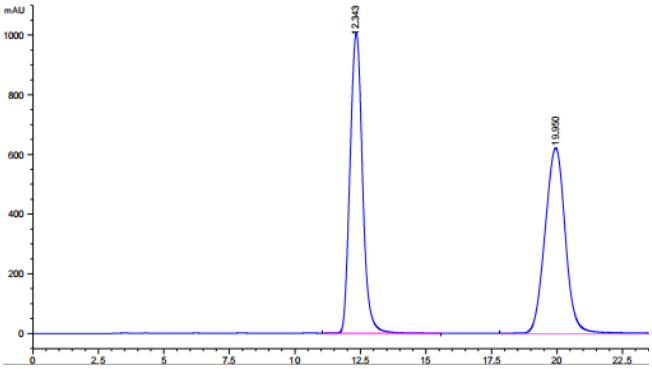


Peak	RetTime	Area	Height	Area
1	37.029	8044.61572	115.58904	97.3667
2	46.409	217.57132	2.59629	2.6333

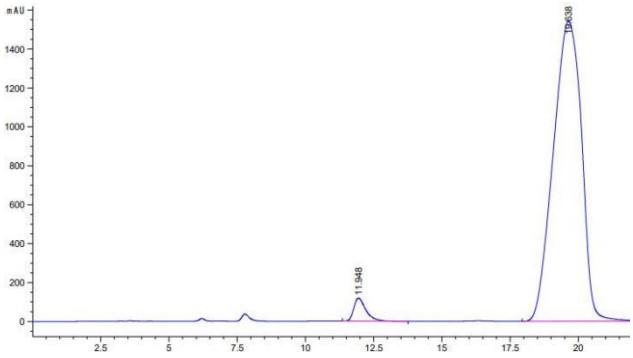
(*R*)-benzyl 2-(6-acetamido-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ia).



Yield: 56.5 mg (54%). White solid, mp: 148-149 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.27 (s, 1H), 8.01 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.68 (d, *J* = 8.4 Hz, 1H), 7.63 – 7.56 (d, *J* = 8.4 Hz, 2H), 7.43 (dd, *J* = 8.5, 2.0 Hz, 1H), 7.32 (d, *J* = 8.5 Hz, 1H), 7.25 – 7.21 (m, 4H), 7.10 – 7.02 (m, 2H), 6.43 (s, 1H), 4.75 – 4.66 (m, 2H), 3.50 – 2.97 (m, 2H), 2.27 (s, 3H), 2.04 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 169.3, 168.5, 151.5, 146.7, 142.7, 141.7, 137.0, 136.0, 135.1, 133.9, 130.9, 129.6, 129.4, 128.5, 128.3, 128.2, 127.5, 126.8, 121.9, 121.3, 118.6, 116.8, 111.9, 66.8, 39.6, 24.5, 19.0. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{29}H_{26}N_3O_5S]^+$ requires 528.1588, found 528.1594. $[\alpha]_D^{25}$ = -136 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 94% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 11.9 min, t_2 (major) = 19.6 min.

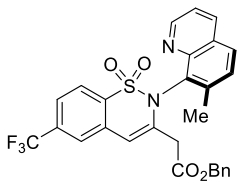


Peak	RetTime	Area	Height	Area
1	12.343	3.32375e4	1007.66034	50.0014
2	19.950	3.32357e4	621.06702	49.9986



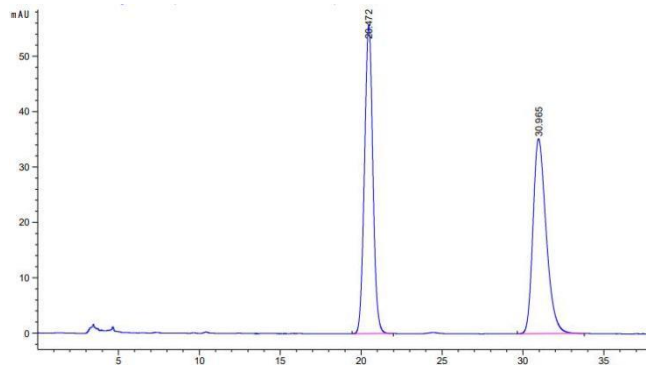
Peak	RetTime	Area	Height	Area
1	11.948	3682.46533	118.54623	3.2098
2	19.638	1.11043e5	1541.12708	96.7902

(*R*)-benzyl 2-(2-(7-methylquinolin-8-yl)-1,1-dioxido-6-(trifluoromethyl)-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ja).

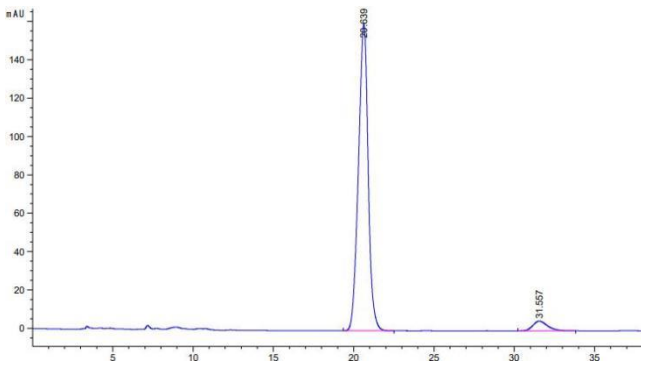


Yield: 77.3 mg (72%). White solid, mp: 174-175 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.63 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.07 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.92 (d, *J* = 8.1 Hz, 1H), 7.78 – 7.74 (m, 2H), 7.72 (d, *J* = 8.2 Hz, 1H), 7.41 (d, *J* = 8.4 Hz, 1H), 7.38 – 7.27 (m, 4H), 7.15 (t, *J* = 6.5 Hz, 2H), 6.66 (s, 1H), 4.83 – 4.75 (m, 2H), 3.50 – 3.09 (m, 2H), 2.39 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.1, 151.4, 146.5, 142.5, 138.8, 135.8, 135.1, 134.3,

133.8, 133.6 (q, $^{2}J_{C\text{-}F}$ = 32.2 Hz), 131.0, 129.6, 129.3, 128.5, 128.4, 128.3, 127.4, 124.1 (q, $^{3}J_{C\text{-}F}$ = 4.4 Hz), 123.5 (q, $^{1}J_{C\text{-}F}$ = 272.9 Hz) 122.2, 121.3, 111.4, 66.8, 39.6, 19.0. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -62.8. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{28}H_{22}F_3N_2O_4S]^+$ requires 539.1247, found 539.1247. $[\alpha]_D^{25}$ = -106 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 91% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 20.6 min, t_2 (major) = 31.6 min.

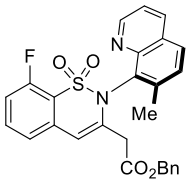


Peak	RetTime	Area	Height	Area
1	20.472	2005.39380	55.75622	50.1080
2	30.965	1996.74768	35.21692	49.8920

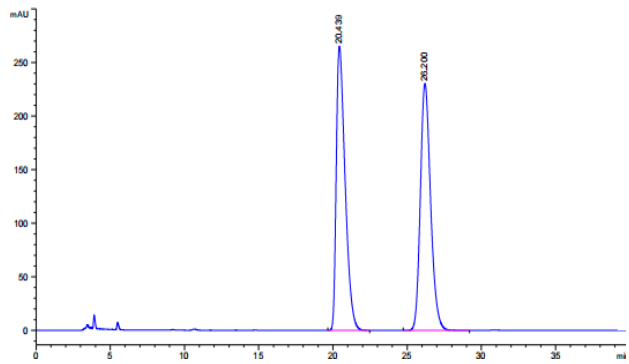


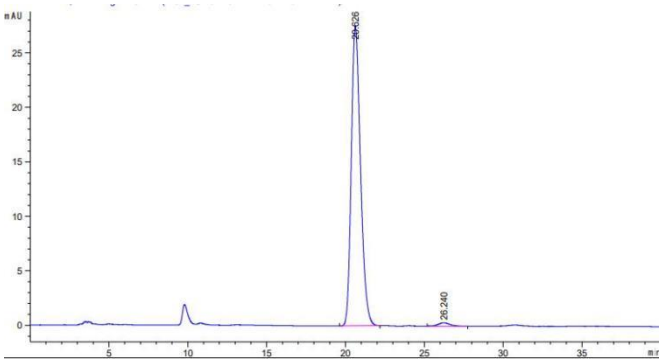
Peak	RetTime	Area	Height	Area
1	20.639	6730.12842	159.91719	95.3808
2	31.557	325.93695	5.08864	4.6192

(*R*)-benzyl 2-(8-fluoro-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ka).



Yield: 89.2 mg (91%). White solid, mp: 155-156 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.64 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.03 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.54 – 7.48 (m, 1H), 7.37 (d, *J* = 8.4 Hz, 1H), 7.32 – 7.23 (m, 4H), 7.20 (d, *J* = 7.8 Hz, 1H), 7.16 – 7.07 (m, 3H), 6.59 (d, *J* = 1.9 Hz, 1H), 4.81 – 4.73 (m, 2H), 3.24 – 3.12 (m, 2H), 2.39 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.3, 156.6 (d, $^{1}J_{C\text{-}F}$ = 256.8 Hz), 151.3, 146.7, 142.6, 137.6, 136.0, 135.7, 135.2, 132.7 (d, $^{3}J_{C\text{-}F}$ = 8.8 Hz), 131.2, 129.4, 129.3, 128.5, 128.3, 128.2, 127.4, 122.9, 121.1, 121.0 (d, $^{3}J_{C\text{-}F}$ = 14.4 Hz), 114.9 (d, $^{2}J_{C\text{-}F}$ = 20.9 Hz), 112.1, 112.0, 66.8, 39.6, 19.0. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -113.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}FN_2O_4S]^+$ requires 489.1279, found 489.1263. $[\alpha]_D^{25}$ = -192 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 20.6 min, t_2 (major) = 26.2 min.



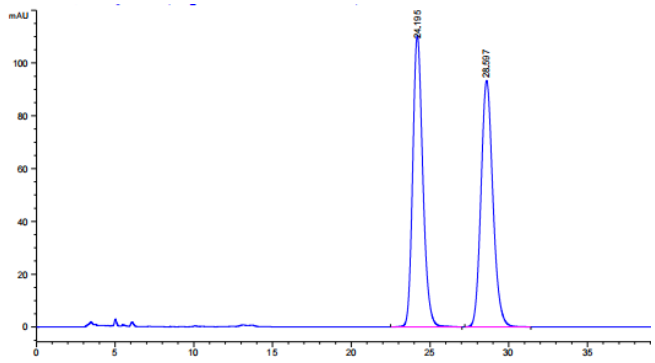


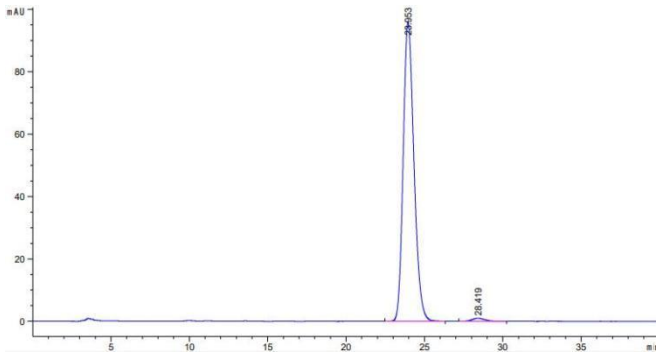
Peak	RetTime	Area	Height	Area
1	20.439	1.09782e4	265.16409	49.8655
2	26.200	1.10375e4	230.36188	50.1345

Peak	RetTime	Area	Height	Area
1	20.626	1118.61487	27.47996	98.5930
2	26.240	15.96370	3.09292e-1	1.4070

(*R*)-benzyl 2-(8-chloro-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4la).

Yield: 93.9 mg (93%). White solid, mp: 159-160 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.66 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.04 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 1H), 7.46 (t, *J* = 7.9 Hz, 1H), 7.40 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.38 (d, *J* = 8.4 Hz, 1H), 7.35 – 7.28 (m, 4H), 7.31 – 7.24 (m, 1H), 7.18 – 7.11 (m, 2H), 6.57 (s, 1H), 4.83 – 4.75 (m, 2H), 3.26 – 3.14 (m, 2H), 2.40 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.3 ,151.3, 146.7, 142.5, 137.2, 136.0, 135.8, 135.2, 131.8, 131.4, 130.6, 130.0, 129.5, 129.3, 128.6, 128.5, 128.4, 128.3, 127.4, 126.3, 121.2, 112.4, 66.8, 39.5, 19.0. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}ClN_2O_4S]^+$ requires 505.0983, found 505.0979. $[\alpha]_D^{25}$ = -158 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 24.0 min, t_2 (major) = 28.4 min.

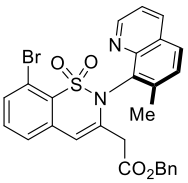




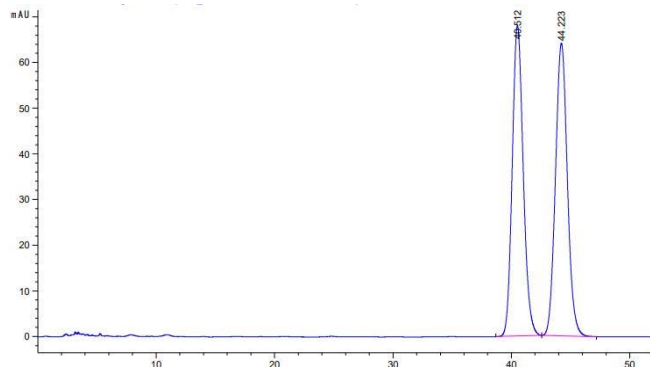
Peak	RetTime	Area	Height	Area
1	24.195	4913.71631	110.40228	50.0408
2	28.597	4905.71631	93.24252	49.9592

Peak	RetTime	Area	Height	Area
1	23.953	4570.55908	95.82829	98.8157
2	28.419	54.77780	9.50165e-1	1.1843

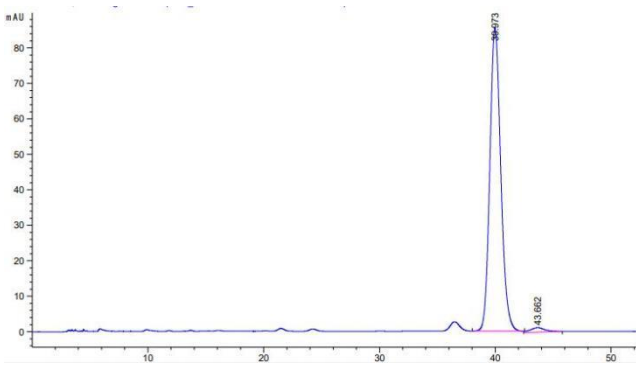
(*R*)-benzyl 2-(8-bromo-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ma).



Yield: 75.0 mg (68%). White solid, mp: 145-146 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.67 – 8.45 (d, *J* = 4.2 Hz 1H), 7.94 (d, *J* = 8.2 Hz, 1H), 7.62 (d, *J* = 8.4 Hz, 1H), 7.53 (t, *J* = 4.2 Hz, 1H), 7.30 – 7.26 (t, *J* = 4.2 Hz, 3H), 7.22 – 7.15 (m, 4H), 7.04 (s, 2H), 6.47 (s, 1H), 4.73 – 4.63 (m, 2H), 3.16 – 3.05 (m, 2H), 2.29 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 167.2, 150.3, 145.6, 141.4, 136.0, 135.0, 134.7, 134.1, 132.4, 131.1, 130.8, 130.5, 128.4, 128.3, 127.4, 127.3, 127.2, 126.4, 126.0, 120.1, 114.4, 111.5, 65.7, 38.4, 17.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}BrN_2O_4S]^+$ requires 549.0478, found 549.0482. $[\alpha]_D^{25}$ = -214 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 40.0 min, t_2 (major) = 43.7 min.

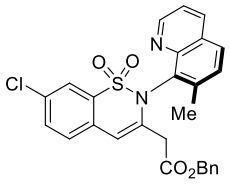


Peak	RetTime	Area	Height	Area
1	40.512	4393.70313	67.9409	49.5236
2	44.223	4478.24023	64.06456	50.4764



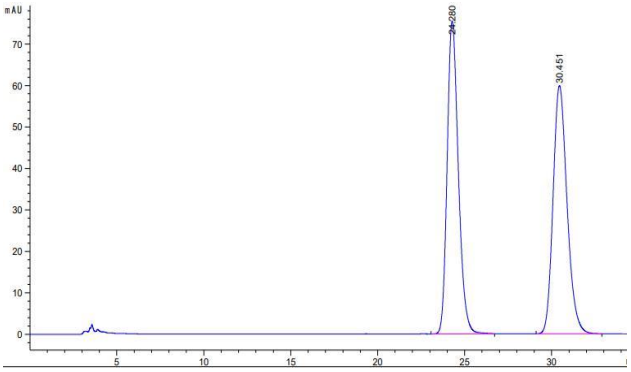
Peak	RetTime	Area	Height	Area
1	39.973	5598.92578	85.49664	98.0551
2	43.662	111.05477	1.26821	1.9449

(*R*)-benzyl 2-(7-chloro-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4na).

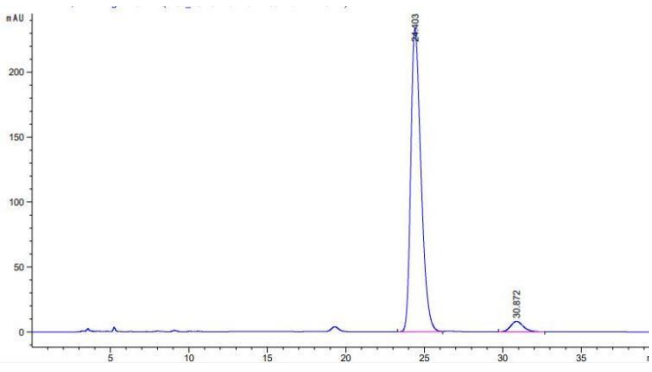


Yield: 71.5 mg (71%). White solid, mp: 133-134 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.07 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.80 (d, *J* = 2.1 Hz, 1H), 7.74 (d, *J* = 8.4 Hz, 1H), 7.57 (dd, *J* = 8.4, 2.1 Hz, 1H), 7.43 – 7.37 (m, 2H), 7.33 – 7.28 (m, 4H), 7.16 – 7.10 (m, 2H), 6.59 (s, 1H), 4.82 – 4.73 (m, 2H), 3.28 – 3.17 (m, 2H), 2.35 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.4, 151.4, 146.7, 142.5, 137.2, 135.7, 135.2, 133.1, 133.0, 132.0, 131.6, 131.1, 129.5, 129.3, 128.5, 128.3, 128.2, 127.4, 121.3, 121.2, 111.5, 66.8, 39.6, 19.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}ClN_2O_4S]^+$ requires 505.0983, found 505.0969. $[\alpha]_D^{25}$ = -213 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 92% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 24.4 min, t_2 (major) = 30.9 min.

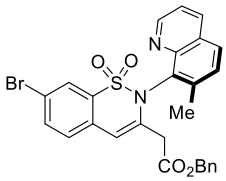


Peak	RetTime	Area	Height	Area
1	24.280	3366.85620	75.46064	50.1625
2	30.451	3345.04150	59.87935	49.8375

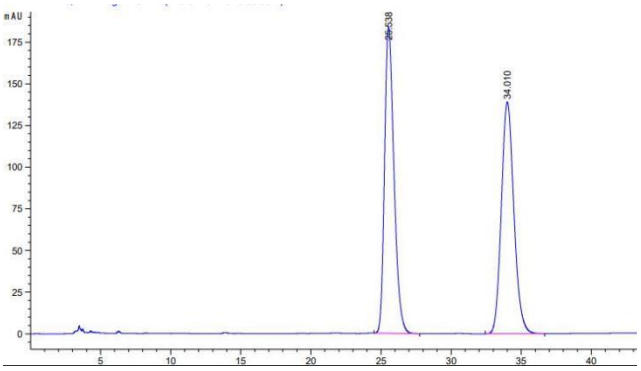


Peak	RetTime	Area	Height	Area
1	24.403	1.05257e4	233.39333	95.9940
2	30.872	439.25076	7.95748	4.0060

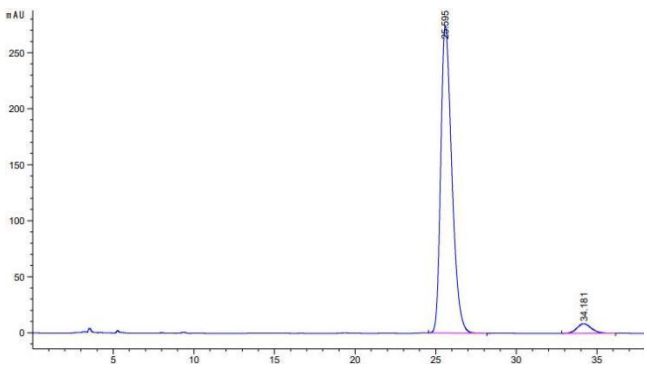
(*R*)-benzyl 2-(7-bromo-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4oa).



Yield: 77.3 mg (71%). White solid, mp: 126-127 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.07 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.94 (d, *J* = 2.0 Hz, 1H), 7.76 – 7.70 (m, 2H), 7.39 (d, *J* = 8.4 Hz, 1H), 7.35 (d, *J* = 8.4 Hz, 1H), 7.33 – 7.28 (m, 4H), 7.18 – 7.10 (m, 2H), 6.58 (s, 1H), 4.82 – 4.73 (m, 2H), 3.27 – 3.16 (m, 2H), 2.35 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.3, 151.4, 146.7, 142.5, 137.4, 135.7, 135.2, 134.8, 133.2, 132.0, 131.1, 129.5, 129.3, 128.6, 128.5, 128.3, 128.2, 127.4, 124.1, 121.2, 120.5, 111.6, 66.8, 39.6, 19.0. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}BrN_2O_4S]^+$ requires 549.0478, found 549.0471. $[\alpha]_D^{25}$ = -429 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 92% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 25.6 min, t_2 (major) = 34.2 min.

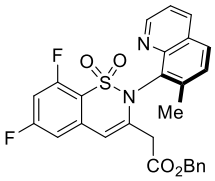


Peak	RetTime	Area	Height	Area
1	25.538	8581.04688	183.76747	49.8397
2	34.010	8636.23242	138.96762	50.1603

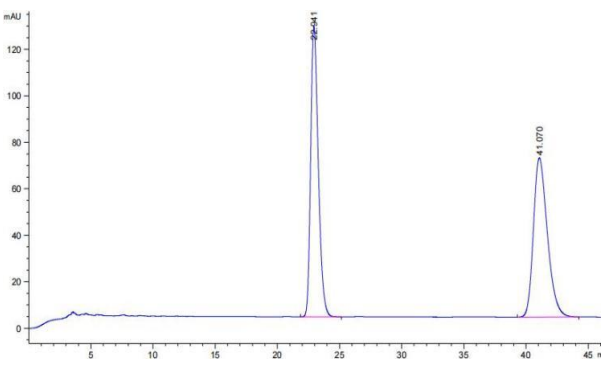


Peak	RetTime	Area	Height	Area
1	25.595	1.03588e4	274.34100	96.1388
2	34.181	524.47229	8.50869	3.8612

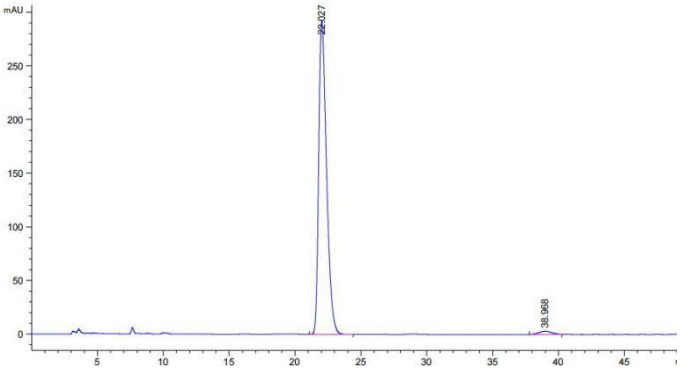
_(R)_-benzyl 2-(6,8-difluoro-2-(7-methylquinolin-8-yl)-1,1-dioxido-_2H_-benzo[1,2]thiazin-3-yl)acetate (4pa).



Yield: 41.4 mg (41%). White solid, mp: 153-154 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.65 (d, *J* = 4.2 Hz, 1H), 8.07 (d, *J* = 8.2 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.41 (d, *J* = 8.4 Hz, 1H), 7.33 – 7.29 (m, 4H), 7.15 (dd, *J* = 6.4, 3.0 Hz, 2H), 6.97 – 6.92 (d, 1H), 6.92 – 6.86 (m, 1H), 6.55 (s, 1H), 4.86 – 4.70 (m, *2*H), 3.24 – 3.11 (m, 2H), 2.45 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.0, 164.0 (d, $^{1}J_{C\text{-}F}$ = 241.4 Hz), 157.6 (d, $^{1}J_{C\text{-}F}$ = 241.6 Hz), 151.3, 146.6, 142.7, 139.1, 137.5, 137.4, 135.7, 135.1, 130.9, 129.5, 129.4, 128.5, 128.4, 128.3, 127.4, 121.3, 117.5 (d, $^{2}J_{C\text{-}F}$ = 11.1 Hz), 111.4, 109.3 (d, $^{2}J_{C\text{-}F}$ = 18.8 Hz), 103.6 (d, $^{3}J_{C\text{-}F}$ = 2.3 Hz), 66.9, 39.6, 19.0. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -103.4, -109.0. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{21}F_2N_2O_4S]^+$ requires 507.1185, found 507.1189. $[\alpha]_D^{25}$ = -193 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 22.0 min, t_2 (major) = 39.0 min.



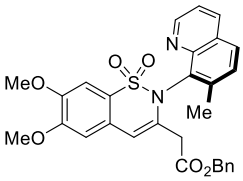
Peak	RetTime	Area	Height	Area
1	22.941	5330.17334	124.94360	50.0103



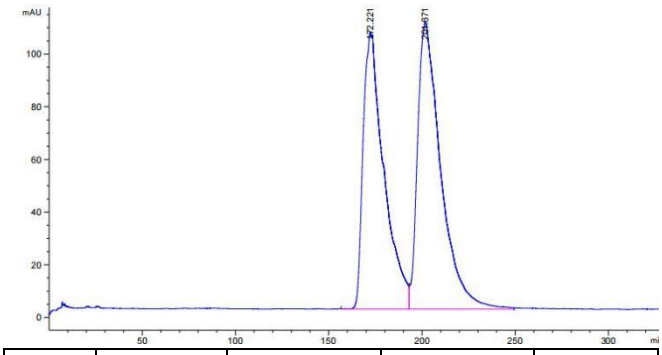
Peak	RetTime	Area	Height	Area
1	22.027	1.20335e4	292.30167	98.3063

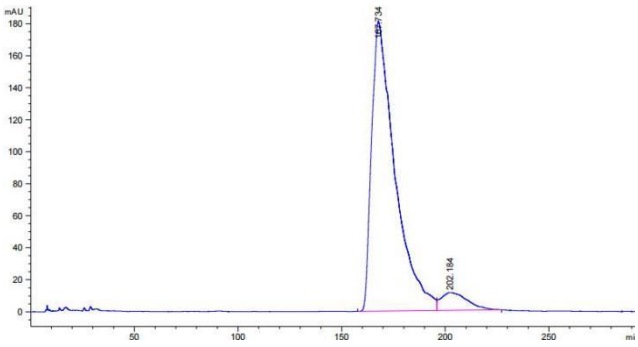
2	41.070	5327.98633	68.48190	49.9897		2	38.968	207.31775	3.03960	1.6937

***(R)*-benzyl 2-(6,7-dimethoxy-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4qa).**



Yield: 46.7 mg (44%). White solid, mp: 168-169 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.81 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.07 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.37 (d, *J* = 8.4 Hz, 1H), 7.35 – 7.32 (m, 1H), 7.31 – 7.24 (m, 4H), 7.13 – 7.07 (m, 2H), 6.90 (s, 1H), 6.50 (s, 1H), 4.80 – 4.70 (m, 2H), 3.99 (s, 3H), 3.92 (s, 3H), 3.28 – 3.19 (m, 2H), 2.29 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.8, 151.9, 151.5, 148.9, 147.0, 142.6, 135.7, 135.3, 135.1, 131.3, 129.4, 129.3, 128.4, 128.3, 128.2, 127.4, 127.2, 124.9, 121.1, 111.5, 108.8, 103.3, 66.6, 56.3, 56.2, 39.4, 18.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{29}H_{27}N_2O_6S]^+$ requires 531.1584, found 531.1577. $[\alpha]_D^{25}$ = -158 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 85% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 167.7 min, t_2 (major) = 202.2 min.

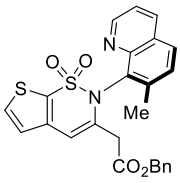




Peak	RetTime	Area	Height	Area
1	172.221	8.11300e4	105.11188	46.3640
2	201.671	9.38550e4	109.02621	53.6360

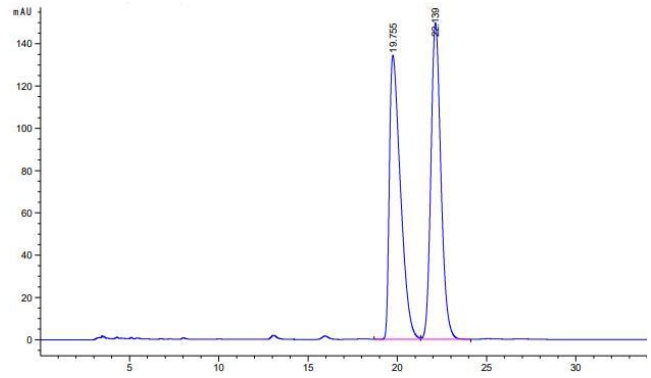
Peak	RetTime	Area	Height	Area
1	167.734	1.41512e5	181.24104	93.4785
2	202.184	9872.52734	11.16241	6.5215

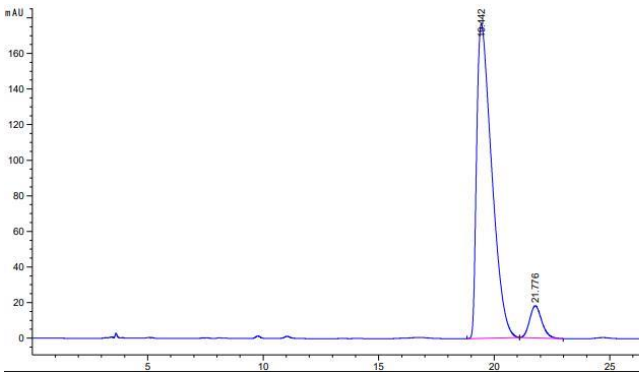
(*R*)-benzyl 2-(2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-thieno[1,2]thiazin-3-yl)acetate (4ra).



Yield: 48.8 mg (51%). White solid, mp: 165-166 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.84 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.07 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.53 (d, *J* = 5.1 Hz, 1H), 7.37 (d, *J* = 8.4 Hz, 1H), 7.35 – 7.31 (m, 1H), 7.30 – 7.26 (m, 3H), 7.13 – 7.07 (m, 3H), 6.64 (s, 1H), 4.82 – 4.70 (m, 2H), 3.31 – 3.19 (m, 2H), 2.26 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.6, 151.6, 147.0, 142.8, 141.3, 136.7, 135.8, 135.2, 130.8, 129.6, 129.4, 128.7, 128.5, 128.3, 128.2, 127.7, 127.5, 125.4, 121.2, 108.2, 66.7, 39.3, 18.7. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{25}H_{21}N_2O_4S_2]^+$ requires 477.0937, found 477.0933. $[\alpha]_D^{25}$ = -89 (c = 0.1, CH_2Cl_2).

The product was analyzed by HPLC to determine the enantiomeric excess: 85% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 19.4 min, t_2 (major) = 21.8 min.

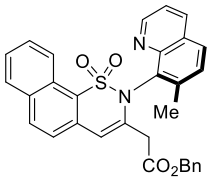




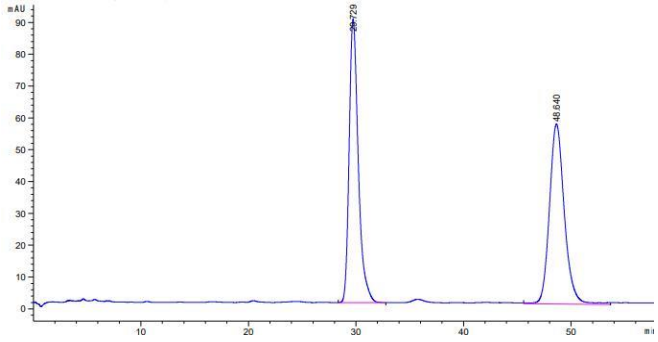
Peak	RetTime	Area	Height	Area
1	19.755	5796.33057	134.36237	49.8560
2	22.139	5829.80664	149.63606	50.1440

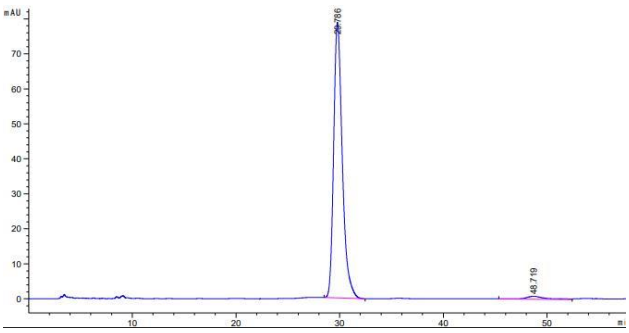
Peak	RetTime	Area	Height	Area
1	19.442	8009.98291	176.70677	92.4505
2	21.776	654.09894	18.02732	7.5495

(*R*)-benzyl 2-(2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-naphtho[1,2]thiazin-3-yl)acetate (4sa).



Yield: 58.7 mg (56%). Red solid, mp: 124-125 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.93 (d, *J* = 8.4 Hz, 1H), 8.76 (d, *J* = 4.4, 1.8 Hz, 1H), 8.07 (dd, *J* = 8.3, 1.7 Hz, 1H), 8.01 (d, *J* = 8.5 Hz, 1H), 7.89 (d, *J* = 7.6, 1.8 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.58 – 7.47 (m, 2H), 7.46 (d, *J* = 8.4 Hz, 1H), 7.38 (d, *J* = 8.4 Hz, 1H), 7.33 – 7.27 (m, 4H), 7.17 – 7.11 (m, 2H), 6.69 (s, 1H), 4.85 – 4.73 (m, 2H), 3.55 – 3.06 (m, 2H), 2.29 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.5, 151.6, 146.9, 142.6, 137.3, 135.7, 135.2, 133.2, 132.9, 132.2, 131.5, 129.4, 129.4, 128.5, 128.4, 128.3, 128.2, 128.1, 127.4, 127.2, 126.4, 126.4, 125.2, 123.9, 121.2, 112.2, 66.7, 39.5, 18.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{31}H_{25}N_2O_4S]^+$ requires 521.1530, found 521.1508. $[\alpha]_D^{25}$ = -86 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 95% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 29.8 min, t_2 (major) = 48.7 min.

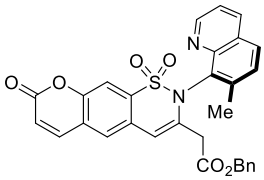




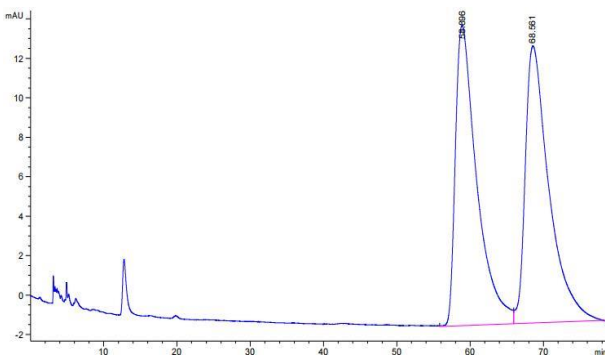
Peak	RetTime	Area	Height	Area
1	29.729	5249.31836	89.10143	49.0093
2	48.640	5461.54150	56.54790	50.9907

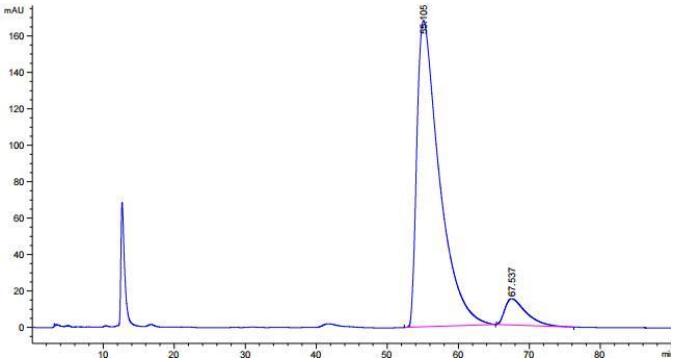
Peak	RetTime	Area	Height	Area
1	29.786	4555.93506	78.72048	97.6171
2	48.719	111.21181	8.18547e-1	2.3829

(*R*)-benzyl 2-(2-(7-methylquinolin-8-yl)-1,1-dioxido-8-oxo-*2H,8H*-chromeno[1,2]thiazin-3-yl)acetate (4ta).



Yield: 83.3 mg (77%). White solid, mp: 123-124 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.63 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.07 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.94 (s, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.70 (d, *J* = 9.5 Hz, 1H), 7.41 (d, *J* = 8.4 Hz, 1H), 7.35 (s, 1H), 7.34 – 7.27 (m, 4H), 7.14 (dd, *J* = 6.6, 2.8 Hz, 2H), 6.64 (s, 1H), 6.43 (d, *J* = 9.5 Hz, 1H), 4.85 – 4.71 (m, 2H), 3.30 – 3.14 (m, 2H), 2.41 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.0, 159.8, 155.6, 151.4, 146.5, 142.6, 142.5, 139.9, 136.4, 135.8, 135.1, 130.8, 129.6, 129.4, 128.8, 128.5, 128.4, 128.3, 127.4, 121.9, 121.3, 118.2, 116.9, 114.1, 111.3, 66.9, 39.8, 19.1. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{30}H_{23}N_2O_6S]^+$ requires 539.1271, found 539.1277. $[\alpha]_D^{25}$ = -132 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 85% ee (CHIRALPAK IB-H, hexane/*i*-PrOH =80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 55.1 min, t_2 (major) = 67.5 min.

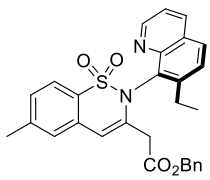




Peak	RetTime	Area	Height	Area
1	58.896	3091.67700	15.22076	49.3900
2	68.561	3168.04443	14.04732	50.6100

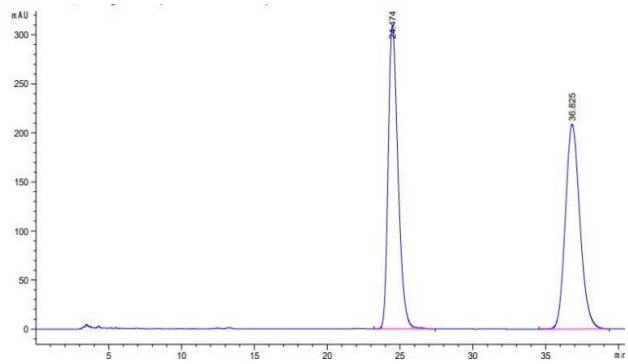
Peak	RetTime	Area	Height	Area
1	55.105	3.70132e4	168.10942	92.5816
2	67.537	2965.80298	14.39145	7.4184

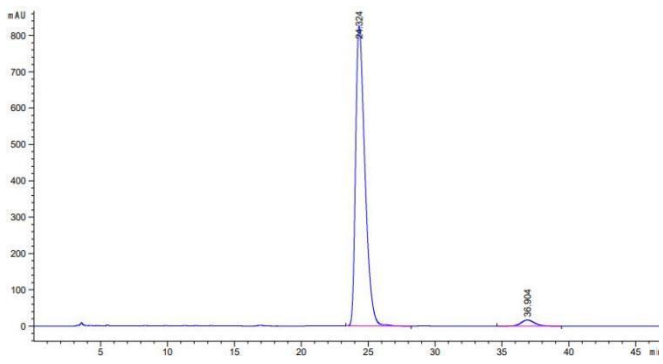
(*R*)-benzyl 2-(2-(7-ethylquinolin-8-yl)-6-methyl-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ua).



Yield: 79.5 mg (80%). White solid, mp: 135-136 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.71 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.03 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.75 (d, *J* = 8.5 Hz, 1H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.43 (d, *J* = 8.5 Hz, 1H), 7.31 – 7.22 (m, 6H), 7.15 –

7.01 (m, 2H), 6.53 (s, 1H), 4.81 – 4.66 (m, 2H), 3.45 – 3.06 (m, 2H), 2.67 – 2.54 (m, 2H), 2.46 (s, 3H), 1.15 (t, *J* = 7.6 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.6, 151.4, 147.8, 147.0, 142.1, 137.0, 135.6, 135.3, 133.1, 130.6, 129.7, 128.5, 128.4, 128.2, 128.1, 127.5, 127.3, 127.2, 121.2, 111.7, 66.6, 39.5, 24.9, 21.7, 14.3. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{29}H_{27}N_2O_4S]^+$ requires 499.1686, found 499.1687. $[\alpha]_D^{25}$ = -147 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 94% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 ^oC, flow rate: 1 mL/min), t_1 (minor) = 24.3 min, t_2 (major) = 36.9 min.

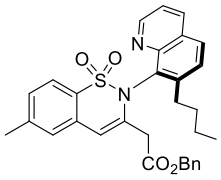




Peak	RetTime	Area	Height	Area
1	24.474	1.44834e4	308.95447	50.0705
2	36.825	1.44427e4	208.74979	49.9295

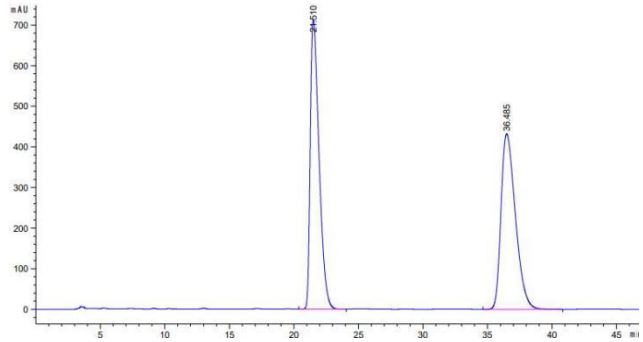
Peak	RetTime	Area	Height	Area
1	24.324	4.00546e4	826.33582	97.1815
2	36.904	1161.66870	16.71033	2.8185

(*R*)-benzyl 2-(2-(7-butylquinolin-8-yl)-6-methyl-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4va).

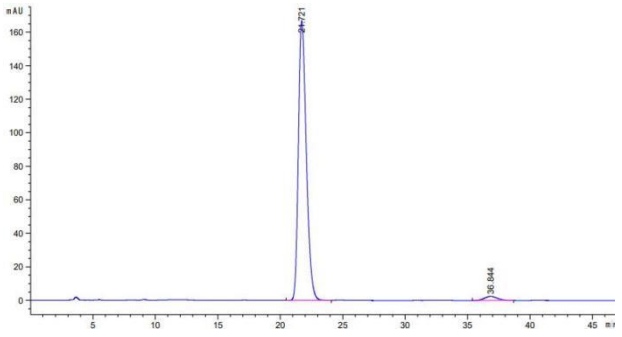


Yield: 95.5 mg (91%). White solid, mp: 117-118 ^oC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.81 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.04 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.73 (d, *J* = 8.5 Hz, 1H), 7.69 (d, *J* = 7.9 Hz, 1H), 7.37 (d, *J* = 8.5 Hz, 1H), 7.32 – 7.23 (m, 6H), 7.13 – 7.07 (m, 2H), 6.55 (s, 1H), 4.82 – 4.70 (m, 2H), 3.40 – 3.04 (m, 2H), 2.46 (s, 3H), 2.44 – 2.36 (t, *J* = 7.6 Hz, 2H), 1.56 – 1.39 (m, 2H), 1.09 (dt, *J* = 13.3, 9.1, 7.4, 5.9 Hz, 1H), 0.93 (dt, *J* = 13.5, 9.2, 7.6, 6.1 Hz, 1H), 0.70 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.6, 151.5, 147.2, 146.7, 142.1, 137.0, 135.7, 135.3, 133.0, 130.1, 130.0, 129.5, 128.5, 128.4, 128.2, 128.1, 128.0, 127.4, 127.3, 121.2, 121.1, 112.0, 66.6, 39.4, 32.6, 31.8, 23.0, 21.7, 13.7. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{31}H_{31}N_2O_4S]^+$ requires 527.1999, found 527.1993. $[\alpha]_D^{25}$ = -99 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 95% ee (CHIRALPAK AD-H, hexane/*i*-

PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 21.7 min, t_2 (major) = 36.8 min.

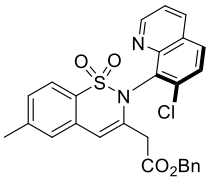


Peak	RetTime	Area	Height	Area
1	21.510	3.36894e4	712.76801	50.1588
2	36.485	3.34762e4	432.26932	49.8412

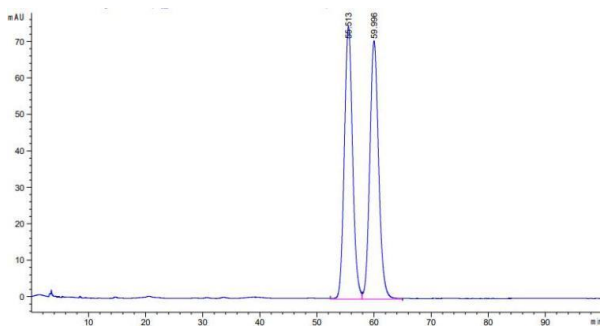


Peak	RetTime	Area	Height	Area
1	21.721	7336.59326	166.78816	97.6991
2	36.844	172.78694	2.43073	2.3009

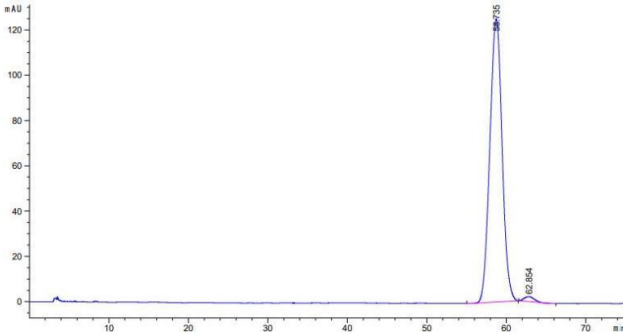
(*R*)-benzyl 2-(2-(7-chloroquinolin-8-yl)-6-methyl-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4wa).



Yield: 80.3 mg (80%). White solid, mp: 150-151 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.96 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.63 (d, *J* = 8.8 Hz, 1H), 7.59 (d, *J* = 7.9 Hz, 1H), 7.38 (d, *J* = 8.8 Hz, 1H), 7.26 – 7.21 (m, 1H), 7.20 – 7.15 (m, 4H), 7.14 (s, 1H), 7.11 – 7.04 (m, 2H), 6.50 (s, 1H), 4.75 –4.64 (m, 2H), 3.45 – 2.95 (m, 2H), 2.36 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 167.2, 151.3, 146.5, 141.1, 137.2, 134.9, 134.8, 134.2, 131.9, 130.0, 129.5, 127.6, 127.4, 127.2, 126.8, 126.5, 126.4, 120.9, 120.0, 111.9, 65.7, 38.4, 20.6. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}ClN_2O_4S]^+$ requires 505.0983, found 505.0991. $[\alpha]_D^{25}$ = -134 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =70/30, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 58.7 min, t_2 (major) = 62.8 min.



Peak	RetTime	Area	Height	Area
1	55.513	7515.62012	74.80699	49.7565

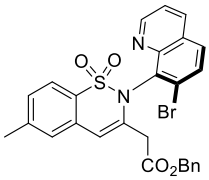


Peak	RetTime	Area	Height	Area
1	58.735	1.33908e4	125.15952	98.5071

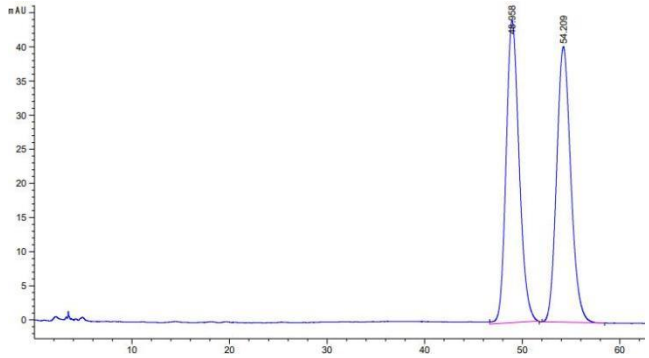
2	59.996	7589.18701	70.83524	50.2435

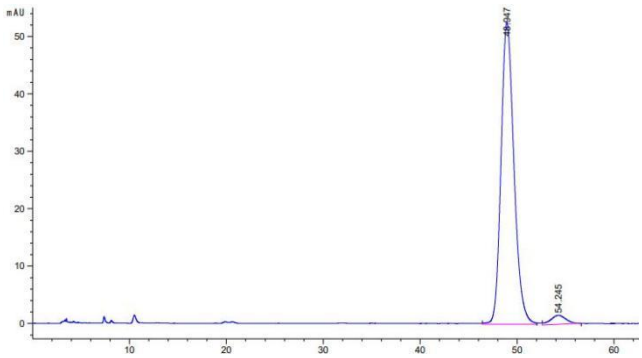
2	62.819	202.94650	2.25859	1.4929

(*R*)-benzyl 2-(2-(7-bromoquinolin-8-yl)-6-methyl-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4xa).



Yield: 73.4 mg (67%). White solid, mp: 151-152 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.82 (dd, *J* = 6.1, 3.1 Hz, 1H), 8.10 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.72 – 7.65 (m, 3H), 7.42 – 7.36 (m, 1H), 7.33 – 7.24 (m, 5H), 7.20 – 7.12 (m, 2H), 6.62 (s, 1H), 4.84 – 4.73 (m, 2H), 3.50 – 3.11 (m, 2H), 2.48 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.3, 152.3, 147.8, 142.1, 135.9, 135.6, 135.3, 133.2, 133.0, 130.8, 130.7, 130.5, 129.1, 128.6, 128.4, 128.2, 128.1, 128.0, 127.4, 122.1, 121.0, 113.1, 66.7, 39.4, 21.7. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}BrN_2O_4S]^+$ requires 549.0478, found 549.0489. $[\alpha]_D^{25}$ = -132 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 93% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) =48.9 min, t_2 (major) = 54.2 min.

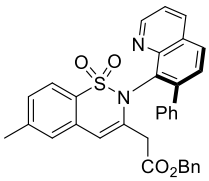




Peak	RetTime	Area	Height	Area
1	48.958	4100.62939	44.25488	50.1867
2	54.209	4070.12183	40.37758	49.8133

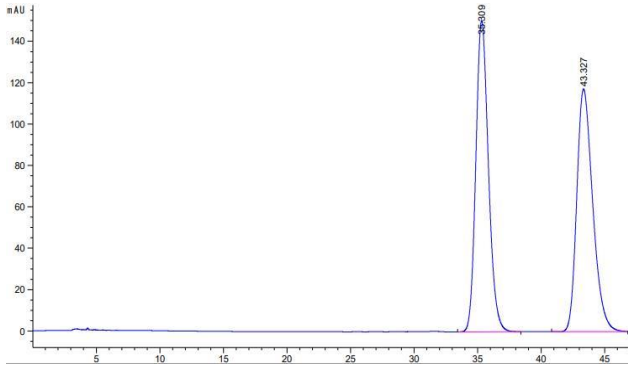
Peak	RetTime	Area	Height	Area
1	48.947	4871.27979	52.54170	96.5882
2	54.245	172.06651	1.56927	3.4118

(*R*)-benzyl 2-(6-methyl-1,1-dioxido-2-(7-phenylquinolin-8-yl)-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ya).

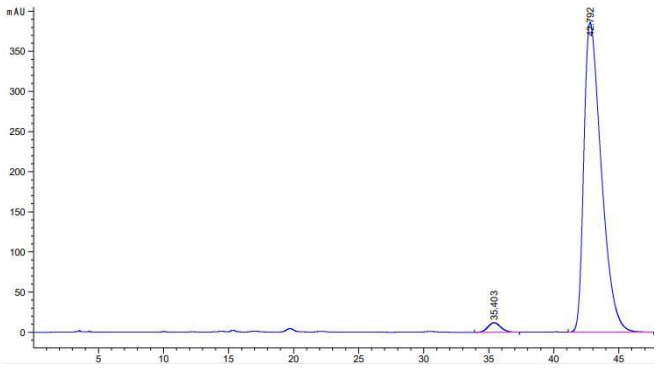


Yield: 65.3 mg (58%). Yellow solid, mp: 124-125 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.00 – 8.97 (d, 1H), 8.19 (d, *J* = 8.3 Hz, 1H), 7.90 (d, *J* = 8.4, 2.1 Hz, 1H), 7.64 (d, *J* = 8.0, 2.0 Hz, 1H), 7.50 (d, *J* = 8.4 Hz, 1H), 7.46 – 7.41 (m, 1H), 7.32 (dd, *J* = 5.0, 2.5 Hz, 3H), 7.27 (d, *J* = 8.1 Hz, 1H), 7.21 (d, *J* = 7.0 Hz, 4H), 7.06 (t, *J* = 7.4 Hz, 1H), 6.94 (d, *J* = 8.0 Hz, 3H), 6.20 (s, 1H), 4.88 – 4.79 (m, 2H), 3.43 – 2.99 (m, 2H), 2.45 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.4, 151.8, 147.1, 144.3, 141.5, 137.7, 136.2, 135.8, 135.4, 132.8, 131.3, 130.0,

129.4, 129.0, 128.5, 128.4, 128.3, 128.2, 128.1, 128.0, 127.5, 127.3, 127.1, 121.9, 121.4, 112.2, 66.7, 39.3, 21.6. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{33}H_{27}N_2O_4S]^+$ requires 547.1686, found 547.1688. $[\alpha]_D^{25}$ = +79 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 35.4 min, t_2 (major) = 42.8 min.

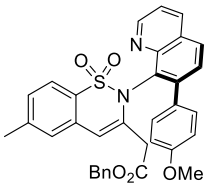


Peak	RetTime	Area	Height	Area
1	35.309	1.03376e4	150.39685	50.2444
2	43.327	1.02370e4	117.28445	49.7556

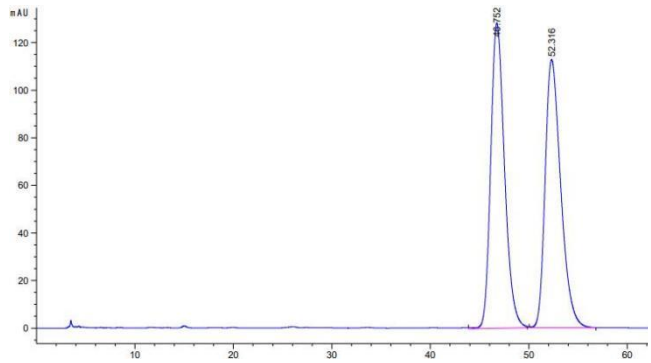


Peak	RetTime	Area	Height	Area
1	35.403	806.93188	11.88482	2.1948
2	42.792	3.59584e4	385.85895	97.8052

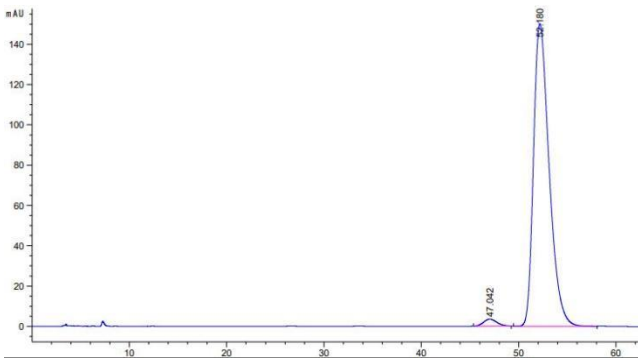
(*R*)-benzyl 2-(2-(7-(4-methoxyphenyl)quinolin-8-yl)-6-methyl-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4za).



Yield: 101.0 mg (88%). White solid, mp: 127-128 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.95 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.16 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.86 (d, *J* = 8.4 Hz, 1H), 7.59 (d, *J* = 8.0 Hz, 1H), 7.47 (d, *J* = 8.4 Hz, 1H), 7.43 – 7.38 (m, 1H), 7.32 – 7.25 (m, 3H), 7.24 (d, *J* = 7.6 Hz, 1H), 7.21 – 7.14 (m, 2H), 7.13 – 7.08 (d, *J* = 8.4 Hz, 2H), 6.95 (s, 1H), 6.52 – 6.33 (d, *J* = 8.0 Hz, 2H), 6.21 (s, 1H), 4.85 – 4.73 (m, 2H), 3.65 (s, 3H), 3.39 – 3.00 (m, 2H), 2.44 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.4, 159.0, 151.8, 147.1, 144.3, 141.4, 136.5, 135.8, 135.4, 132.9, 131.4, 130.1, 130.0, 129.7, 129.3, 129.2, 128.4, 128.3, 128.2, 128.1, 128.0, 127.0, 121.7, 121.4, 112.7, 112.2, 66.6, 55.0, 39.3, 21.6. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C34H29N2O5S]^+$ requires 577.1792, found 577.1790. $[\alpha]_D^{25}$ = +94 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 47.0 min, t_2 (major) = 52.2 min.

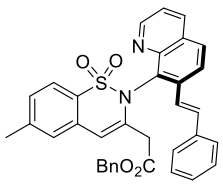


Peak	RetTime	Area	Height	Area
1	46.752	1.24812e4	128.29118	50.1418
2	52.316	1.24106e4	112.71845	49.8582

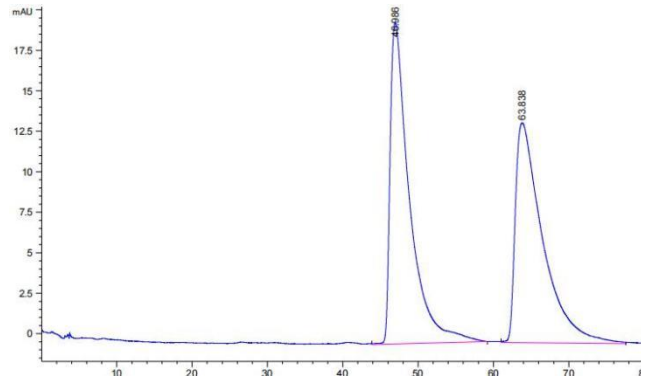


Peak	RetTime	Area	Height	Area
1	47.042	341.75574	3.53945	1.9961
2	52.180	1.67795e4	150.21198	98.0039

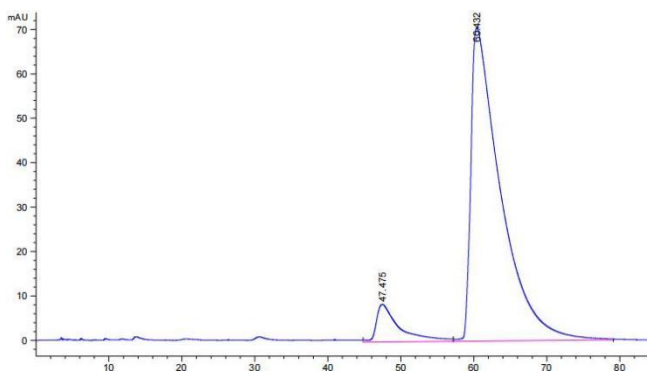
(*R*)-benzyl (E)-2-(6-methyl-1,1-dioxido-2-(7-styrylquinolin-8-yl)-*2H*-benzo[1,2]thiazin-3-yl)acetate (4zaa).



Yield: 78.3 mg (70%). White solid, mp: 190-191 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.87 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.10 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.88 (d, *J* = 8.7 Hz, 1H), 7.82 (d, *J* = 8.7 Hz, 1H), 7.76 (d, *J* = 7.9 Hz, 1H), 7.39 – 7.33 (m, 3H), 7.28 (d, *J* = 5.8 Hz, 1H), 7.26 – 7.18 (m, 5H), 7.16 (d, *J* = 16.3 Hz, 1H), 7.10 – 7.06 (m, 2H), 7.06 – 7.03 (m, 2H), 7.01 (d, *J* = 16.3 Hz, 1H), 6.64 (s, 1H), 4.85 – 4.52 (m, 2H), 3.44 – 2.99 (m, 2H), 2.57 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.4, 151.9, 147.4, 142.3, 140.1, 137.5, 136.6, 135.7, 135.3, 133.4, 133.0, 130.6, 129.7, 129.6, 128.8, 128.5, 128.4, 128.3, 128.1, 127.4, 127.0, 123.3, 123.2, 121.7, 121.6, 112.1, 66.6, 39.6, 21.8. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{35}H_{29}N_2O_4S]^+$ requires 573.1843, found 573.1851. $[\alpha]_D^{25}$ = +112 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 83% ee (CHIRALPAK IB-H, hexane/*i*-PrOH =80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 47.5 min, t_2 (major) = 60.4 min.



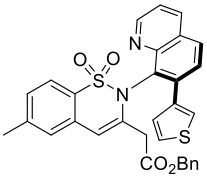
Peak	RetTime	Area	Height	Area
1	46.986	3555.08887	19.84567	50.9436



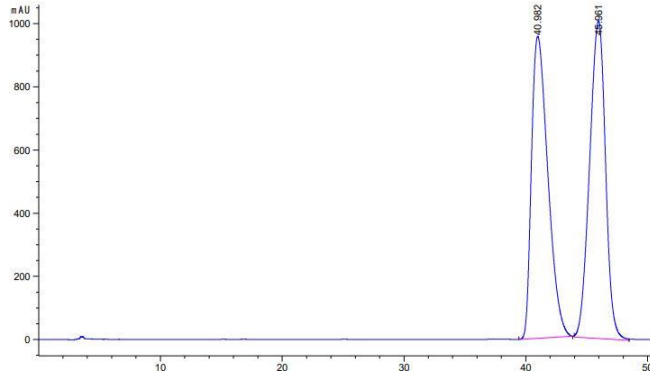
Peak	RetTime	Area	Height	Area
1	47.475	1848.60315	8.45595	8.4624

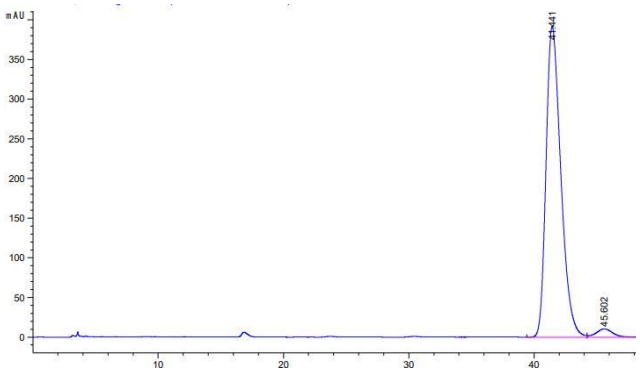
2	63.838	3423.38428	13.57840	49.0564		2	60.432	1.99962e4	70.53047	91.5376

(*R*)-benzyl 2-(6-methyl-1,1-dioxido-2-(7-(thiophen-3-yl)quinolin-8-yl)-*2H*-benzo[1,2]thiazin-3-yl)acetate (4zba).



Yield: 90.0 mg (82%). White solid, mp: 161-162 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.82 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.10 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.82 (d, *J* = 8.5 Hz, 1H), 7.56 (dd, *J* = 20.8, 8.2 Hz, 2H), 7.39 – 7.32 (m, 2H), 7.29 (dd, *J* = 4.9, 1.9 Hz, 3H), 7.24 (d, *J* = 8.1 Hz, 1H), 7.15 – 7.08 (m, 4H), 7.02 (dd, *J* = 4.9, 3.0 Hz, 1H), 6.35 (s, 1H), 4.75 – 4.65 (m, 2H), 3.51 – 3.04 (m, 2H), 2.46 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.6, 151.7, 147.1, 141.7, 140.1, 137.7, 136.9, 135.8, 135.3, 132.9, 131.1, 130.2, 129.6, 128.8, 128.5, 128.4, 128.2, 128.1, 127.1, 124.9, 124.9, 121.8, 121.1, 112.3, 66.6, 39.4, 21.7. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{31}H_{25}N_2O_4S_2]^+$ requires 553.1250, found 553.1243. $[\alpha]_D^{25}$ = -274 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 94% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 41.4 min, t_2 (major) = 45.6 min.

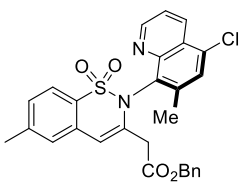




Peak	RetTime	Area	Height	Area
1	40.982	8.93878e4	955.98535	49.5634
2	45.961	9.09626e4	1006.13806	50.4366

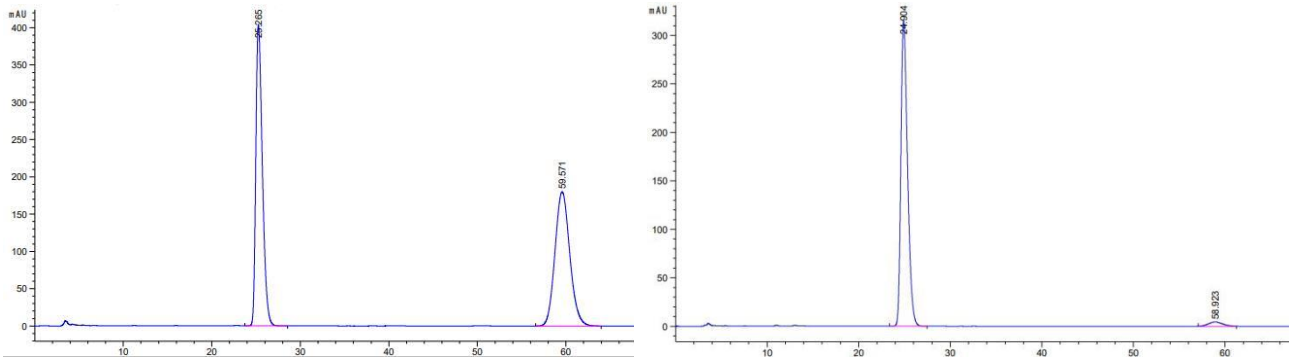
Peak	RetTime	Area	Height	Area
1	41.441	3.18461e4	391.08441	97.1153
2	45.602	721.71613	9.18075	2.8847

(*R*)-benzyl 2-(2-(5-chloro-7-methylquinolin-8-yl)-6-methyl-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4zca).



Yield: 92.3 mg (89%). White solid, mp: 128-129 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.78 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.46 (dd, *J* = 8.5, 1.7 Hz, 1H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.48 (s, 1H), 7.42 – 7.38 (m, 1H), 7.33 – 7.27 (m, 5H), 7.15 – 7.09 (m, 2H), 6.56 (s, 1H), 4.81 – 4.73 (m, 2H), 3.42 – 3.10 (m, 2H), 2.48 (s, 3H), 2.28 (s,

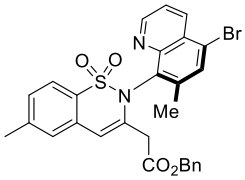
3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.6, 152.0, 147.3, 142.9, 142.3, 136.5, 135.1, 133.0, 132.8, 132.7, 130.6, 129.8, 129.2, 128.6, 128.5, 128.3, 128.2, 127.3, 125.4, 121.8, 121.1, 112.2, 66.8, 39.6, 21.7, 18.8. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{28}H_{24}ClN_2O_4S]^+$ requires 519.1140, found 519.1135. $[\alpha]_D^{25}$ = -102 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 94% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 24.9 min, t_2 (major) = 58.9 min.



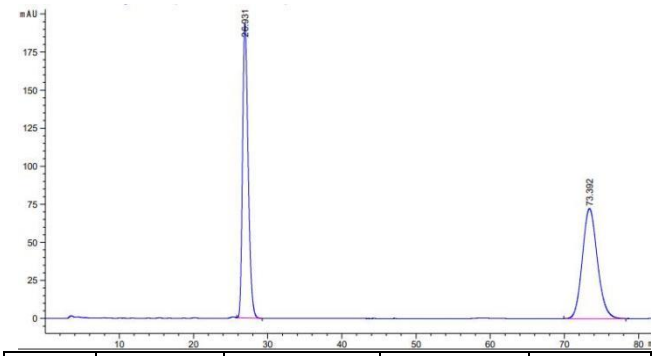
Peak	RetTime	Area	Height	Area
1	25.265	2.07593e4	403.93463	49.8118
2	59.571	2.09162e4	179.97485	50.1882

Peak	RetTime	Area	Height	Area
1	24.904	1.58497e4	315.10645	96.8663
2	58.923	512.74768	4.55417	3.1337

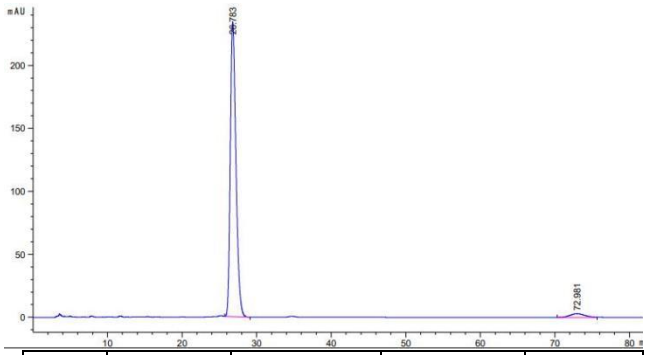
(*R*)-benzyl 2-(2-(5-bromo-7-methylquinolin-8-yl)-6-methyl-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4zda).



Yield: 99.3 mg (94%). Yellow solid, mp: 123-124 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.75 (d, *J* = 4.1, 1.6 Hz, 1H), 8.42 (d, *J* = 8.5, 1.6 Hz, 1H), 7.70 (t, *J* = 4.0 Hz, 2H), 7.41 – 7.37 (m, *J* = 8.5, 4.2 Hz, 1H), 7.36 – 7.26 (m, 5H), 7.16 – 7.10 (m, *J* = 6.7, 2.9 Hz, 2H), 6.56 (s, 1H), 4.79 (t, *J* = 1.7 Hz, 2H), 3.53 – 3.03 (dd, 2H), 2.48 (s, 3H), 2.29 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.6, 152.0, 147.4, 143.2, 142.3, 136.4, 135.4, 135.1, 133.0, 132.9, 131.3, 129.8, 128.7, 128.5, 128.4, 128.3, 127.3, 126.8, 123.5, 122.2, 121.1, 112.,2, 66.8, 39.6, 21.7, 18.7. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{28}H_{24}BrN_2O_4S]^+$ requires 563.0635, found 563.0631. $[\alpha]_D^{25}$ = -199 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 94% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 26.8 min, t_2 (major) = 73.0 min.

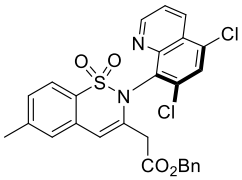


Peak	RetTime	Area	Height	Area
1	26.931	1.02011e4	193.24823	50.0811
2	73.392	1.01680e4	72.28491	49.9189

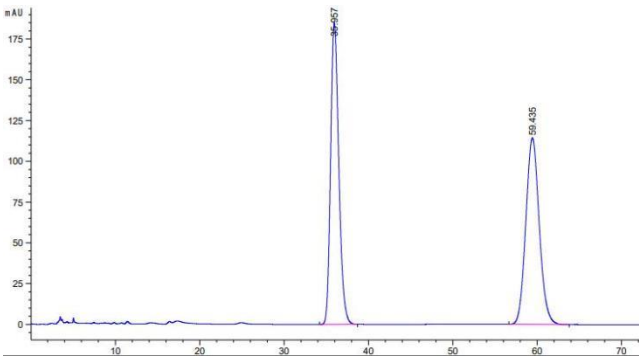


Peak	RetTime	Area	Height	Area
1	26.783	1.23018e4	234.07170	96.8100
2	72.981	405.36096	2.95859	3.1900

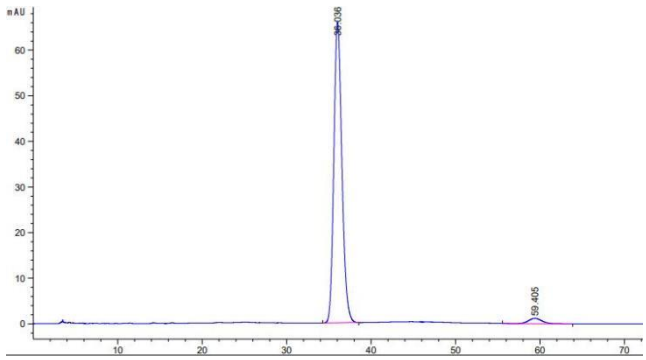
(*R*)-benzyl 2-(2-(5,7-dichloroquinolin-8-yl)-6-methyl-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4zea).



Yield: 54.6 mg (51%). White solid, mp: 172-173 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.81 (dd, *J* = 4.1, 1.6 Hz, 1H), 8.46 (dd, *J* = 8.5, 1.6 Hz, 1H), 7.66 (d, *J* = 7.9 Hz, 1H), 7.61 (s, 1H), 7.49 – 7.40 (m, 1H), 7.30 – 7.25 (m, 4H), 7.24 (s, 1H), 7.17 – 7.12 (m, 2H), 6.59 (s, 1H), 4.84 – 4.76 (m, 2H), 3.44 – 3.01 (m, 2H), 2.46 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.3, 152.9, 147.7, 142.3, 137.9, 135.6, 135.2, 133.8, 133.1, 132.8, 130.5, 130.4, 128.7, 128.5, 128.3, 128.2, 127.7, 127.5, 125.8, 122.6, 121.1, 113.3, 66.9, 39.5, 21.7. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{21}Cl_2N_2O_4S]^+$ requires 539.0594, found 539.0595. $[\alpha]_D^{25}$ = -117 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 94% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 36.0 min, t_2 (major) = 59.4 min.

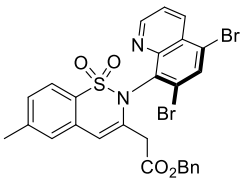


Peak	RetTime	Area	Height	Area
1	35.957	1.24563e4	184.99911	49.5977
2	59.435	1.26584e4	114.40467	50.4023

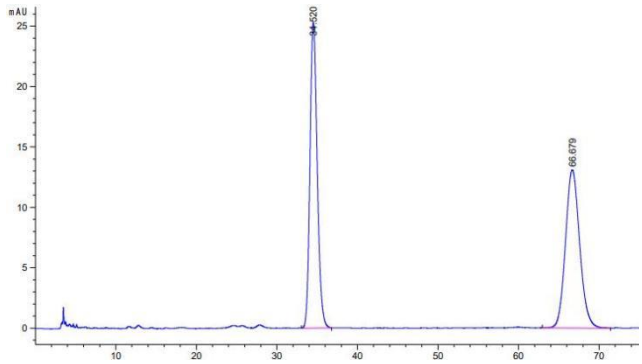


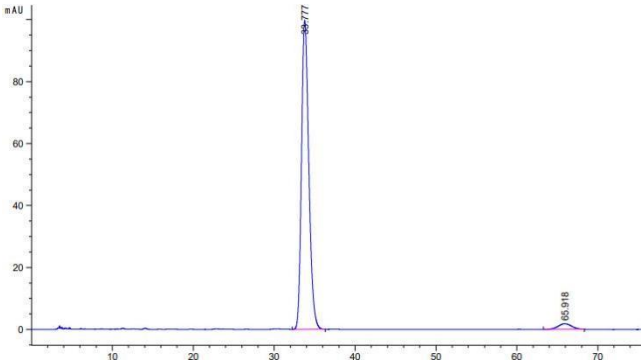
Peak	RetTime	Area	Height	Area
1	36.036	4376.31641	65.94884	96.9278
2	59.405	138.71182	1.19413	3.0722

(*R*)-benzyl 2-(2-(5,7-dibromoquinolin-8-yl)-6-methyl-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4zfa).



Yield: 39.8 mg (32%). White solid, mp: 184-185 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.79 (d, *J* = 4.3, 1H), 8.45 (dd, *J* = 8.5, 1.6 Hz, 1H), 8.01 (s, 1H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.52 – 7.45 (m, 1H), 7.34 – 7.27 (m, 4H), 7.26 (s, 1H), 7.17 (t, *J* = 5.9 Hz, 2H), 6.62 (s, 1H), 4.82 (m, 2H), 3.43 – 3.07 (m, 2H), 2.49 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.2, 152.8, 147.9, 142.3, 135.8, 135.3, 135.1, 133.7, 133.2, 132.9, 130.7, 128.7, 128.6, 128.5, 128.3, 128.2, 127.5, 127.4, 124.4, 123.1, 121.0, 113.4, 66.9, 39.4, 21.7. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{21}Br_2N_2O_4S]^+$ requires 626.9583, found 626.9597. $[\alpha]_D^{25}$ = -54 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 93% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 33.8 min, t_2 (major) = 65.9 min.

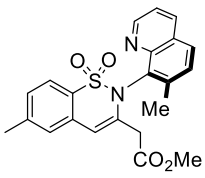




Peak	RetTime	Area	Height	Area
1	34.520	1580.34045	25.33051	49.6439
2	66.679	1603.01001	13.09837	50.3561

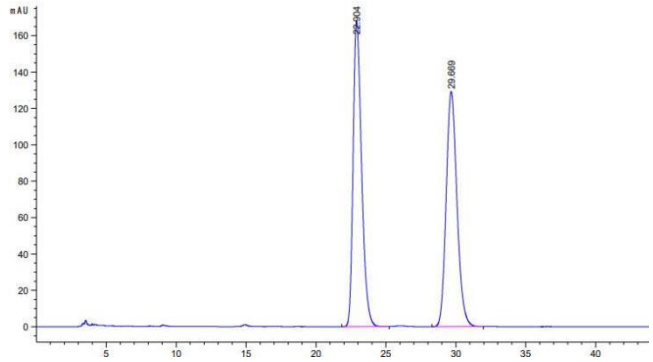
Peak	RetTime	Area	Height	Area
1	33.777	6254.67480	99.66270	96.7056
2	65.918	213.07454	1.82302	3.2944

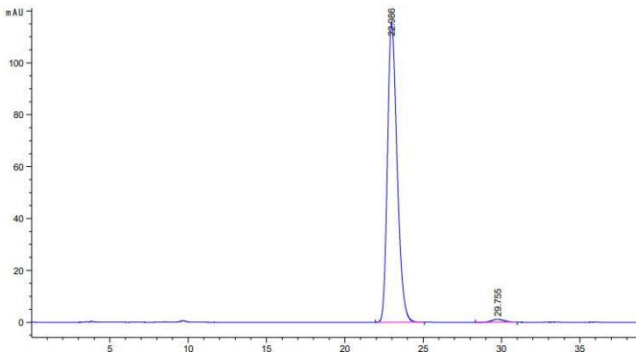
(*R*)-methyl 2-(6-methyl-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ab).



Yield: 70.4 mg (86%). White solid, mp: 219-218 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.79 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.10 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.78 (d, *J* = 8.4 Hz, 1H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.43 (d, *J* = 8.4 Hz, 1H), 7.35 – 7.30 (m, 1H), 7.31 – 7.27 (m, 2H), 6.54 (s, 1H), 3.31 (s, 3H), 3.28 – 3.00 (m, 2H), 2.47 (s, 3H), 2.35 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 169.2, 151.4, 147.0, 142.5, 142.2, 136.8, 135.7, 133.1, 131.3, 129.9, 129.3, 128.5, 127.4, 127.3, 121.1, 111.8, 51.8, 39.6, 21.7, 18.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{22}H_{21}N_2O_4S]^+$

requires 409.1217, found 409.1210. $[\alpha]_D^{25}$ = -213 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (major) = 23.0 min, t_2 (minor) = 29.8 min.

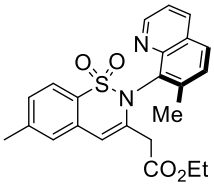




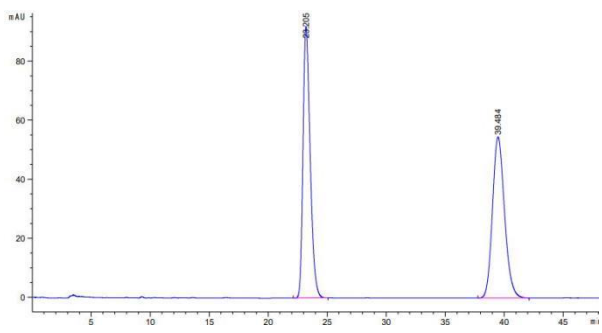
Peak	RetTime	Area	Height	Area
1	22.904	7063.92676	167.86493	50.4103
2	29.669	6948.93799	129.18198	49.5897

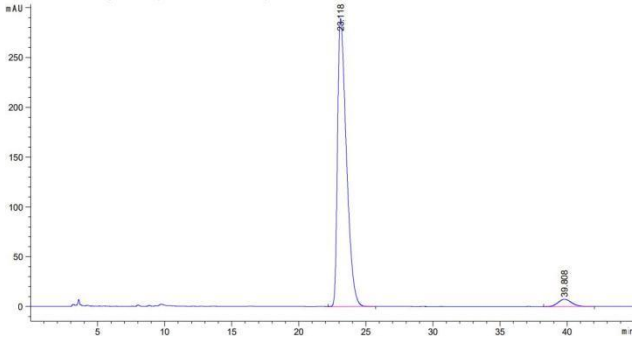
Peak	RetTime	Area	Height	Area
1	22.986	4959.31836	115.37970	98.6576
2	29.755	67.48198	1.18395	1.3424

(*R*)-ethyl 2-(6-methyl-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ac).



Yield: 72.2 mg (86%). White solid, mp: 143-144 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.79 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.08 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.41 (d, *J* = 8.4 Hz, 1H), 7.33 – 7.30 (m, 1H), 7.29 – 7.26 (m, 2H), 6.55 (s, 1H), 3.79 – 3.71 (m, 2H), 3.23 – 3.14 (m, 2H), 2.46 (s, 3H), 2.33 (s, 3H), 0.97 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.8, 151.4, 147.0, 142.6, 142.2, 137.0, 135.7, 133.1, 131.4, 129.9, 129.4, 129.3, 129.2, 128.5, 127.4, 127.3, 121.1, 121.0, 111.8, 60.9, 39.8, 21.7, 18.9, 13.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{23}H_{23}N_2O_4S]^+$ requires 423.1373, found 423.1367. $[\alpha]_D^{25}$ = -210 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 92% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (major) = 23.1 min, t_2 (minor) = 39.8 min.

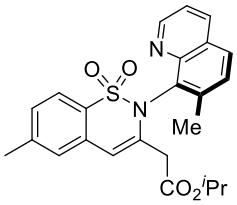




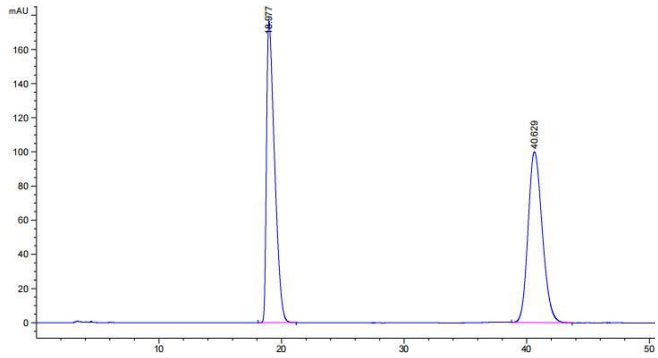
Peak	RetTime	Area	Height	Area
1	23.205	3875.62231	91.91750	49.9937
2	39.484	3876.59839	54.52258	50.0063

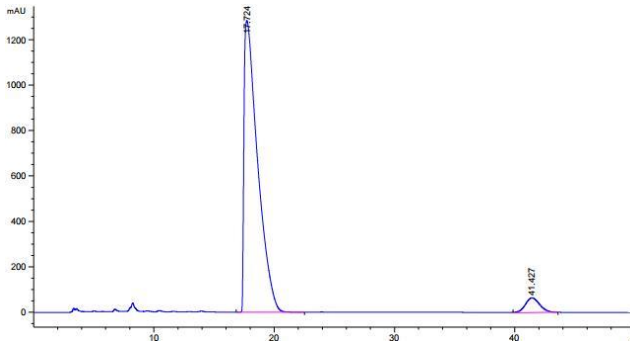
Peak	RetTime	Area	Height	Area
1	23.118	1.33123e4	289.16638	96.2196
2	39.808	523.02814	7.36591	3.78047

(*R*)-isopropyl 2-(6-methyl-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ad).



Yield: 81.5 mg (93%). White solid, mp: 158-159 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.79 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.08 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.42 (d, *J* = 8.4 Hz, 1H), 7.34 – 7.30 (m, 1H), 7.29 – 7.24 (m, 2H), 6.55 (s, 1H), 4.70 – 4.62 (m, 1H), 3.28 – 3.03 (m, 2H), 2.46 (s, 3H), 2.34 (s, 3H), 1.03 (d, *J* = 6.3 Hz, 3H), 0.93 (d, *J* = 6.3 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.4, 151.4, 146.9, 142.6, 142.1, 137.0, 135.7, 133.1, 131.4, 129.9, 129.4, 129.2, 128.4, 127.4, 127.2, 121.1, 121.0, 111.7, 68.6, 39.8, 21.7, 21.5, 19.0. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{24}H_{25}N_2O_4S]^+$ requires 437.1530, found 437.1529. $[\alpha]_D^{25}$ = -165 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 90% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =60/40, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 17.7 min, t_2 (major) = 41.4 min.

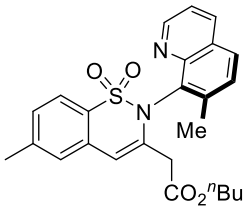




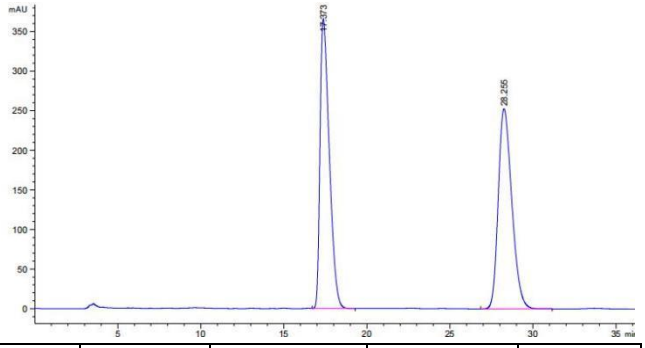
Peak	RetTime	Area	Height	Area
1	18.977	8092.21191	176.70255	50.1604
2	40.629	8040.44238	100.00619	49.8396

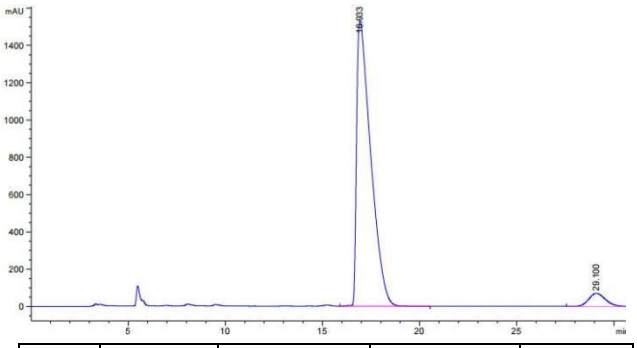
Peak	RetTime	Area	Height	Area
1	17.724	1.00676e5	1283.96960	94.9448
2	41.427	5360.38672	64.45087	5.0552

(*R*)-butyl 2-(6-methyl-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4ae).



Yield: 77.4 mg (86%). White solid, mp: 130-131 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.80 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.42 (d, *J* = 8.4 Hz, 1H), 7.35 – 7.30 (m, 1H), 7.27 (dd, *J* = 7.8, 1.6 Hz, 2H), 6.55 (s, 1H), 3.76 – 3.65 (m, 2H), 3.25 – 3.13 (m, 2H), 2.46 (s, 3H), 2.33 (s, 3H), 1.37 – 1.24 (m, 2H), 1.16 – 1.08 (m, 2H), 0.82 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.9, 151.5, 147.0, 142.6, 142.1, 136.9, 135.7, 133.1, 131.4, 129.7, 129.4, 129.3, 128.5, 127.4, 127.2, 121.1, 121.0, 111.7, 64.9, 39.7, 30.3, 21.7, 18.9, 13.6. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{25}H_{27}N_2O_4S]^+$ requires 451.1686, found 451.1677. $[\alpha]_D^{25}$ = -158 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 90% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =60/40, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 17.0 min, t_2 (major) = 29.1 min.

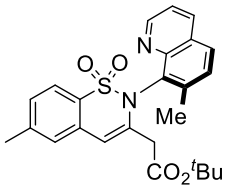




Peak	RetTime	Area	Height	Area
1	17.373	1.41956e4	365.62860	49.7462
2	28.255	1.43405e4	252.82619	50.2538

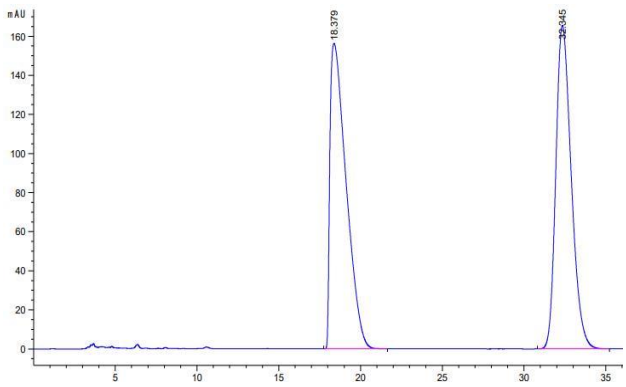
Peak	RetTime	Area	Height	Area
1	16.933	7.73608e4	1531.05798	94.9123
2	29.100	4146.86621	70.08300	5.0877

(*R*)-tert-butyl 2-(6-methyl-2-(7-methylquinolin-8-yl)-1,1-dioxido-*2H*-benzo[1,2]thiazin-3-yl)acetate (4af).

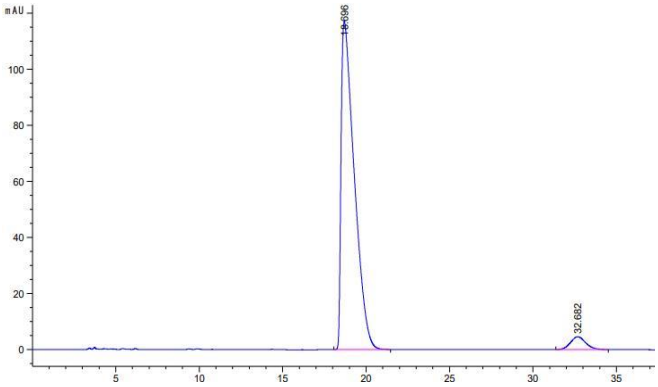


Yield: 47.3 mg (52%). White solid, mp: 154-155 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.71 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.02 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.62 (d, *J* = 7.9 Hz, 1H), 7.36 (d, *J* = 8.4 Hz, 1H), 7.26 – 7.23 (m, 1H), 7.23 – 7.17 (m, 2H), 6.47 (s, 1H), 3.25 – 2.87 (m, 2H), 2.40 (s, 3H), 2.28 (s, 3H), 1.12 (s, 9H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.1, 151.4, 147.0, 142.5, 142.1, 137.4, 135.6, 133.2, 131.6, 129.9, 129.5, 129.1, 128.3, 127.5, 127.2, 121.1, 111.6, 81.3, 40.7, 27.7, 21.7, 19.0. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{25}H_{27}N_2O_4S]^+$ requires 451.1686, found 451.1688. $[\alpha]_D^{25}$ = -218 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 91% ee (CHIRALPAK

AD-H, hexane/*i*-PrOH =60/40, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 18.7 min, t_2 (major) = 32.7 min.

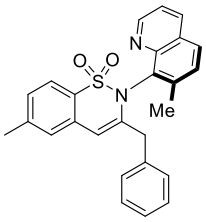


Peak	RetTime	Area	Height	Area
1	18.379	1.07485e4	156.28583	49.7681
2	32.345	1.08487e4	165.51979	50.2319

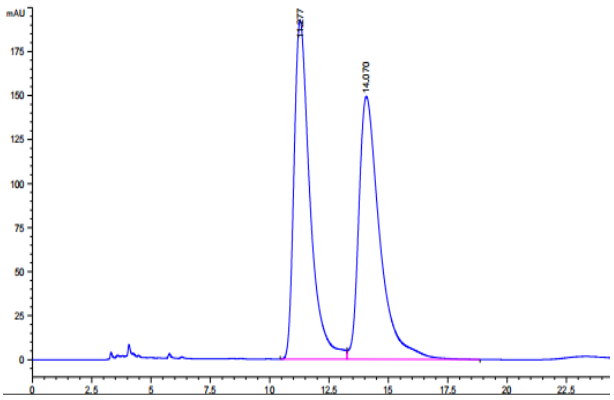


Peak	RetTime	Area	Height	Area
1	18.696	6400.15625	117.02428	95.6829
2	32.682	288.76904	4.56879	4.3171

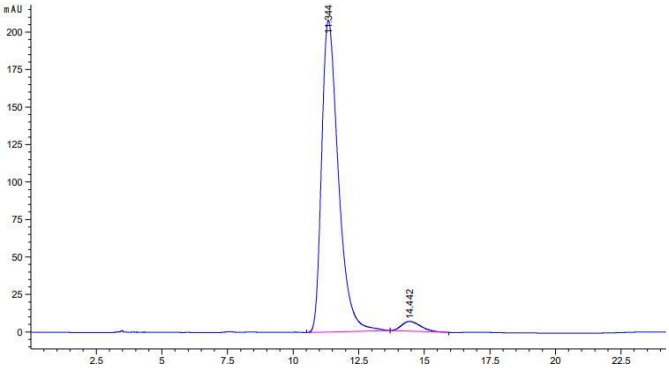
(*R*)-3-benzyl-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine 1,1-dioxide (4ag).



Yield: 51.1 mg (60%). White solid, mp: 206-207 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.72 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.08 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.34 (d, *J* = 8.4 Hz, 1H), 7.32 – 7.28 (m, 1H), 7.23 (d, *J* = 8.0 Hz, 2H), 7.19 – 7.12 (m, 3H), 6.91 – 6.86 (m, 2H), 6.26 (s, 1H), 3.68 – 3.06 (m, 2H), 2.46 (s, 3H), 2.13 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.1, 146.8, 143.7, 142.2, 142.0, 136.6, 135.6, 133.6, 131.7, 129.3, 129.1, 128.8, 128.3, 127.9, 127.3, 126.9, 126.6, 121.1, 121.0, 109.9, 40.3, 21.7, 18.8. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{26}H_{23}N_2O_2S]^+$ requires 427.1475, found 427.1482. $[\alpha]_D^{25}$ = -185 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 93% ee (CHIRALPAK AS-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 11.3 min, t_2 (major) = 14.4 min.



Peak	RetTime	Area	Height	Area

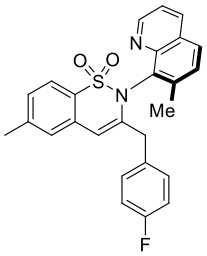


Peak	RetTime	Area	Height	Area

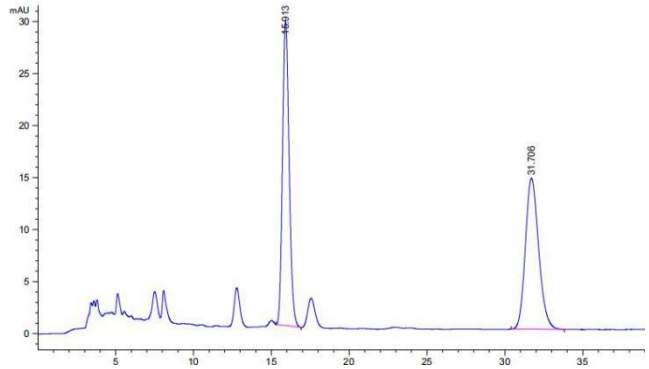
1	11.277	9026.43262	192.32336	49.7502
2	14.070	9117.08594	149.26382	50.2498

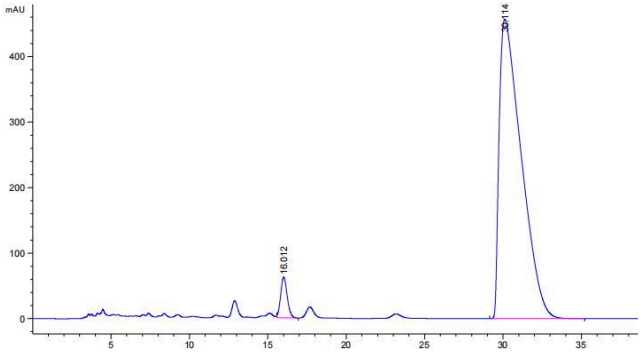
1	11.344	9219.26465	207.90971	96.5200
2	14.442	332.40063	6.51449	3.4800

(*R*)-3-(4-fluorobenzyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine 1,1-dioxide (4ah).



Yield: 49.1mg (55%). White solid, mp: 180-181 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.07 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.66 (d, *J* = 8.4 Hz, 1H), 7.35 (d, *J* = 8.4 Hz, 1H), 7.32 – 7.28 (m, 1H), 7.24 (d, *J* = 1.6 Hz, 2H), 6.87 – 6.81 (m, 4H), 6.27 (s, 1H), 3.48 (d, *J* = 16.3 Hz, 1H), 3.23 (d, *J* = 16.4 Hz, 1H), 2.46 (s, 3H), 2.15 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 161.7 (d, $^1J_{C\text{-}F}$ = 245.1 Hz), 151.1, 146.7, 143.4, 142.0, 135.6, 133.5, 132.4 (d, $^3J_{C\text{-}F}$ = 3.6 Hz), 131.7, 130.5, 130.4, 129.3, 129.2, 128.8, 128.0, 127.3, 126.9, 121.2, 121.0, 115.1, 115.0, 110.1, 39.5, 21.7, 18.8. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -116.3. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{26}H_{22}FN_2O_2S]^+$ requires 445.1381, found 4445.1391. $[\alpha]_D^{25}$ = -94 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 92% ee (CHIRALPAK AS-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 16.0 min, t_2 (major) = 30.1 min.

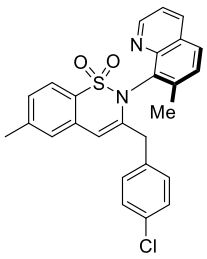




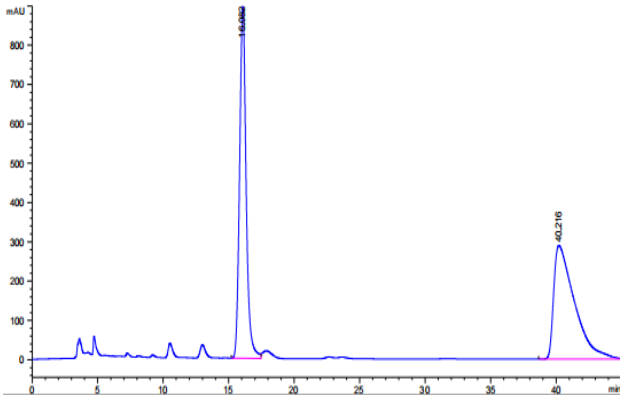
Peak	RetTime	Area	Height	Area
1	15.913	869.82690	29.31031	50.1865
2	31.706	863.36353	14.52713	49.8135

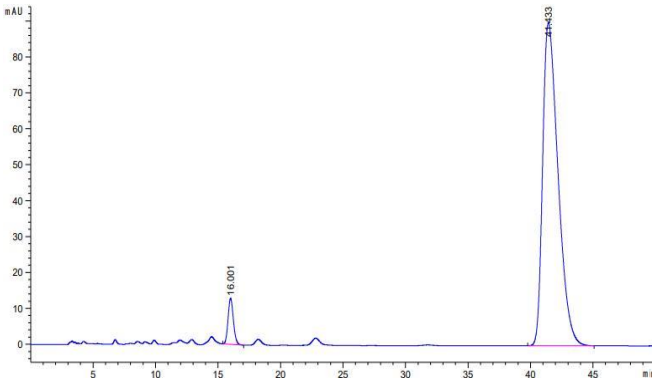
Peak	RetTime	Area	Height	Area
1	16.012	1812.79358	62.30758	3.9055
2	30.114	4.46034e4	456.90622	96.0945

(*R*)-3-(4-chlorobenzyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine 1,1-dioxide (4ai).



Yield: 66.3mg (72%). White solid, mp: 142-143 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.66 (dd, *J* = 4.3, 1.8 Hz, 1H), 8.05 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 1H), 7.67 (d, *J* = 8.2 Hz, 1H), 7.34 (d, *J* = 8.4 Hz, 1H), 7.30 – 7.26 (m, 1H), 7.24 (d, *J* = 6.0 Hz, 2H), 7.14 – 7.07 (m, 2H), 6.80 (d, *J* = 8.0 Hz, 2H), 6.28 (s, 1H), 3.47 (d, *J* = 16.3 Hz, 1H), 3.24 (d, *J* = 16.3 Hz, 1H), 2.46 (s, 3H), 2.17 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.1, 146.7, 143.1, 142.1, 142.0, 135.6, 135.2, 133.5, 132.4, 131.7, 130.4, 129.4, 129.2, 128.9, 128.3, 128.1, 127.3, 127.0, 121.1, 121.0, 110.2, 39.7, 21.7, 18.8. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{26}H_{22}ClN_2O_2S]^+$ requires 461.1085, found 461.1092. $[\alpha]_D^{25}$ = -36 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 91% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =60/40, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 16.0 min, t_2 (major) = 41.4 min.

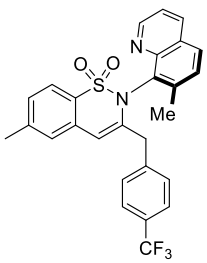




Peak	RetTime	Area	Height	Area
1	16.082	3.20888e4	899.24500	50.1895
2	40.216	3.18465e4	289.37302	49.8105

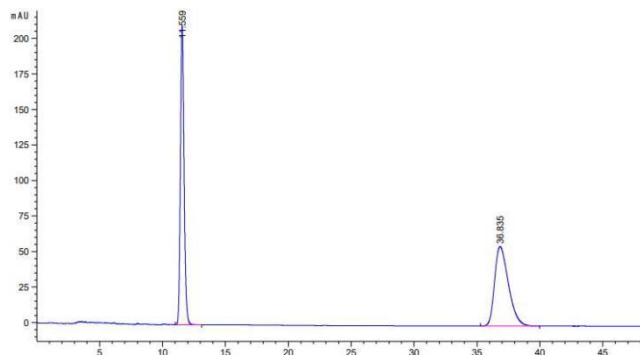
Peak	RetTime	Area	Height	Area
1	16.001	377.30667	12.79375	4.6833
2	41.433	7679.11133	89.94887	95.3167

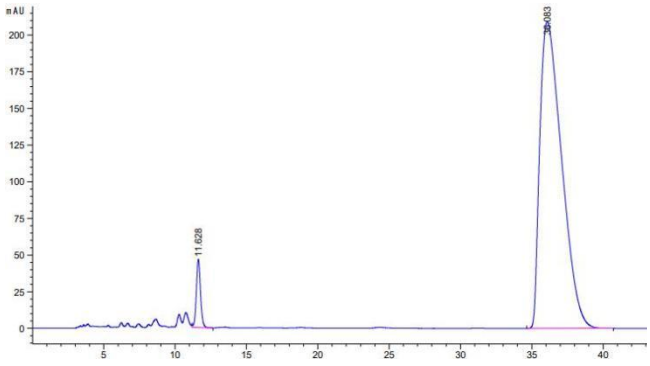
(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3-(4-(trifluoromethyl)benzyl)-*2H*-benzo[1,2]thiazine 1,1-dioxide (4aj).



Yield: 61.0mg (62%). White solid, mp: 168-169 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.61 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.02 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.68 (dd, *J* = 11.5, 8.1 Hz, 2H), 7.33 (dd, *J* = 15.6, 8.2 Hz, 3H), 7.28 – 7.22 (m, 3H), 6.95 (d, *J* = 8.0 Hz, 2H), 6.33 (s, 1H), 3.54 (d, *J* = 16.2 Hz, 1H), 3.37 (d, *J* = 16.2 Hz, 1H), 2.46 (s, 3H), 2.16 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.1, 146.6, 142.4, 142.1, 142.0, 140.8, 135.5, 133.4, 131.6, 129.1, 129.0 (q, $^2J_{C-F}$ = 35.6 Hz), 128.5, 128.2, 127.3, 127.0, 125.0 (q, $^3J_{C-F}$ = 4.4 Hz), 124.2 (q, $^1J_{C-F}$ = 271.9 Hz), 121.2, 121.0, 110.7, 40.3, 21.7, 18.8. **^{19}F NMR** (565 MHz,

$CDCl_3$) δ -62.4. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{22}F_3N_2O_2S]^+$ requires 495.1349, found 495.1353. $[\alpha]_D^{25}$ = -104 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 92% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =60/40, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 11.6 min, t_2 (major) = 36.1 min.

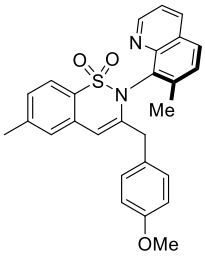




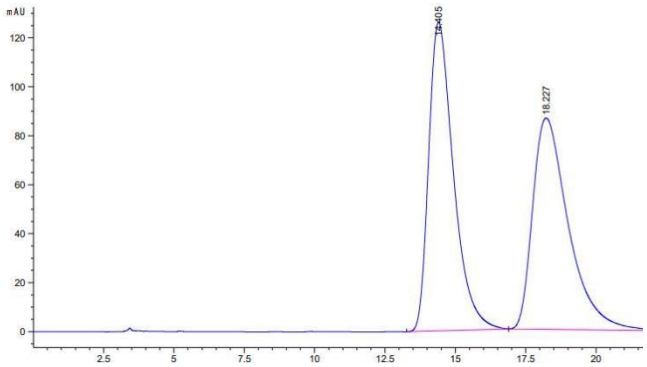
Peak	RetTime	Area	Height	Area
1	11.559	4244.96436	210.65674	49.8010
2	36.835	4278.89453	56.02214	50.1990

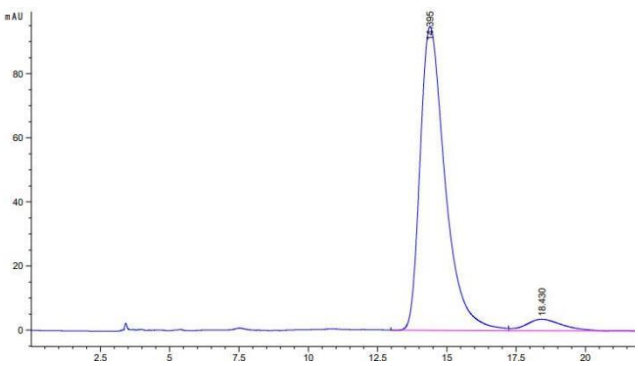
Peak	RetTime	Area	Height	Area
1	11.628	944.88794	46.61975	4.0753
2	36.083	2.22408e4	209.39919	95.9247

(*R*)-3-(4-methoxybenzyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine 1,1-dioxide (4ak).



Yield: 56.6mg (62%). White solid, mp: 134-135 °C.**^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.71 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.07 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.68 (d, *J* = 7.8 Hz, 1H), 7.36 (d, *J* = 8.4 Hz, 1H), 7.31 – 7.27 (m, 1H), 7.22 (d, *J* = 7.7 Hz, 2H), 6.84 – 6.79 (m, 2H), 6.75 – 6.69 (m, 2H), 6.24 (s, 1H), 3.76 (s, 3H), 3.47 (d, *J* = 16.5 Hz, 1H), 3.18 (d, *J* = 16.5 Hz, 1H), 2.44 (s, 3H), 2.17 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 158.4, 151.1, 146.8, 144.1, 142.1, 142.0, 135.6, 133.7, 131.8, 130.2, 129.3, 128.8, 128.6, 127.8, 127.3, 126.9, 121.1, 121.0, 113.7, 109.6, 55.3, 39.4, 21.7, 18.8. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{25}N_2O_3S]^+$ requires 457.1580, found 457.1587. $[\alpha]_D^{25}$ = -190 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 90% ee (CHIRALPAK AS-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 14.4 min, t_2 (major) = 18.4 min.

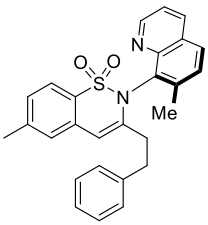




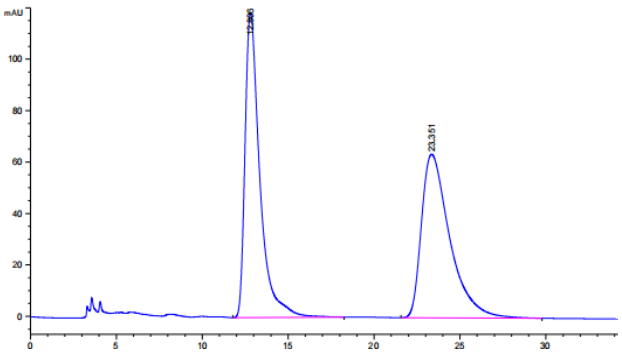
Peak	RetTime	Area	Height	Area
1	14.405	7722.64941	126.11690	50.3249
2	18.227	7622.93652	86.34531	49.6751

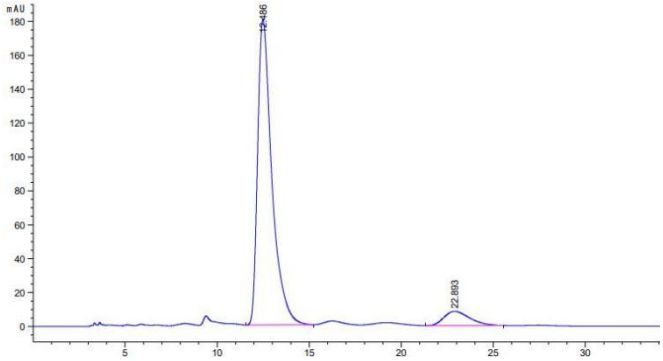
Peak	RetTime	Area	Height	Area
1	14.395	5940.56592	94.72958	94.8790
2	18.430	320.63315	3.55101	5.1210

(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3-phenethyl-*2H*-benzo[1,2]thiazine 1,1-dioxide (4al).



Yield: 56.5mg (64%). Yellow solid, mp: 180-181 ^{o}C.**^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.82 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.11 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.70 (d, *J* = 8.3 Hz, 1H), 7.44 (d, *J* = 8.4 Hz, 1H), 7.35 – 7.30 (m, 1H), 7.25 – 7.22 (m, 2H), 7.16 (dd, *J* = 8.2, 6.6 Hz, 2H), 7.13 – 7.08 (m, 1H), 6.92 – 6.88 (m, 2H), 6.39 (s, 1H), 2.89 – 2.78 (m, 2H), 2.47 (s, 3H), 2.36 – 2.31 (m, 5H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.3, 147.0, 143.8, 142.0, 141.1, 140.8, 135.6, 133.5, 132.2, 129.7, 129.4, 128.8, 127.9, 127.5, 126.9, 126.0, 121.1, 108.9, 35.8, 34.1, 21.7, 18.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{27}H_{25}N_2O_2S]^+$ requires 441.1631, found 4411632. $[\alpha]_D^{25}$ = -405 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 84% ee (CHIRALPAK AS-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 ^{o}C, flow rate: 1 mL/min), t_1 (minor) = 12.5 min, t_2 (major) = 22.9 min.

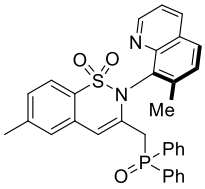




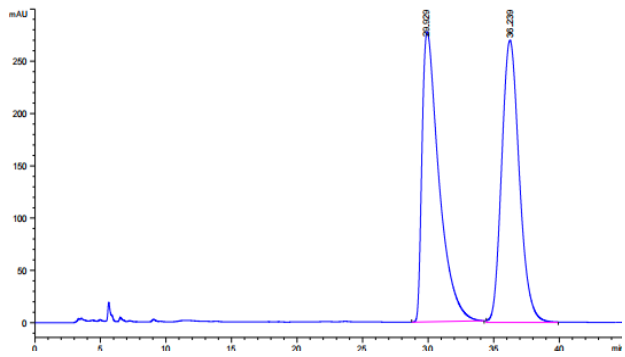
Peak	RetTime	Area	Height	Area
1	12.806	7108.19141	118.51214	49.3749
2	23.351	7288.18164	63.65857	50.6251

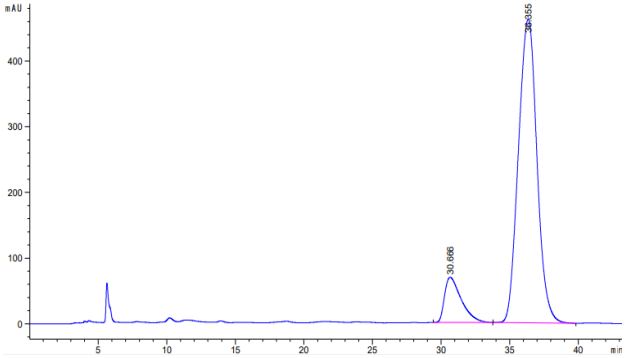
Peak	RetTime	Area	Height	Area
1	12.486	9692.85840	180.34088	92.2104
2	22.893	818.81738	8.43655	7.7896

(*R*)-3-((diphenylphosphoryl)methyl)-6-methyl-2-(7-methylquinolin-8-yl)-2*H*-benzo[1,2]thiazine 1,1-dioxide (4am).



Yield: 55.0 mg (50%). Yellow solid, mp: 208-209 °C.**^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.80 (dd, *J* = 4.1, 1.7 Hz, 1H), 8.06 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.86 (dd, *J* = 11.7, 7.2 Hz, 2H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.63 (d, *J* = 7.9 Hz, 1H), 7.51 – 7.42 (m, 6H), 7.37 – 7.28 (m, 4H), 7.20 (d, *J* = 9.0 Hz, 2H), 7.07 (d, *J* = 2.5 Hz, 1H), 3.24 (dd, *J* = 16.5, 13.1 Hz, 1H), 2.99 (t, *J* = 15.9 Hz, 1H), 2.40 (s, 3H), 2.09 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.4, 147.1, 142.2(d, $^3J_{C\text{-}F}$ = 4.3 Hz), 135.8, 134.7(d, $^3J_{C\text{-}F}$ = 4.3 Hz), 133.0, 132.8(d, $^1J_{C\text{-}F}$ = 101.5 Hz), 132.1(d, $^3J_{C\text{-}F}$ = 2.3 Hz), 131.8(d, $^3J_{C\text{-}F}$ = 2.3 Hz), 131.6(d, $^1J_{C\text{-}F}$ = 102.6 Hz), 131.5, 131.4, 131.3, 130.7(d, $^2J_{C\text{-}F}$ = 9.9 Hz), 130.1, 129.6, 129.2, 128.8(d, $^2J_{C\text{-}F}$ = 12.1 Hz), 128.5(d, $^2J_{C\text{-}F}$ = 12.1 Hz), 128.4, 127.6, 127.4, 121.2, 120.8, 113.2(d, $^3J_{C\text{-}F}$ = 5.5 Hz), 33.6(d, $^1J_{C\text{-}F}$ = 66.1 Hz), 21.7, 18.6. **^{31}P NMR** (565 MHz, $CDCl_3$) δ 29.9. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{32}H_{28}N_2O_3PS]^+$ requires 551.1553, found 551.1560. $[\alpha]_D^{25}$ = -157 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 77% ee (CHIRALPAK AS-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 30.7 min, t_2 (major) = 36.4 min.

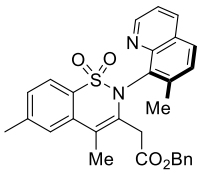




Peak	RetTime	Area	Height	Area
1	29.929	2.49163e4	277.48050	49.7168
2	36.239	2.52002e4	269.91238	50.2832

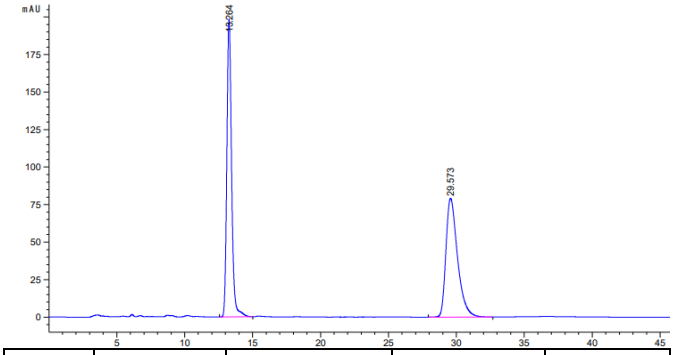
Peak	RetTime	Area	Height	Area
1	30.666	5812.68701	68.89148	11.8011
2	36.355	4.34428e4	462.57236	88.1989

(*R*)-benzyl 2-(4,6-dimethyl-2-(7-methylquinolin-8-yl)-1,1-dioxido-2*H*-benzo [1,2]thiazin-3-yl)acetate (4an).

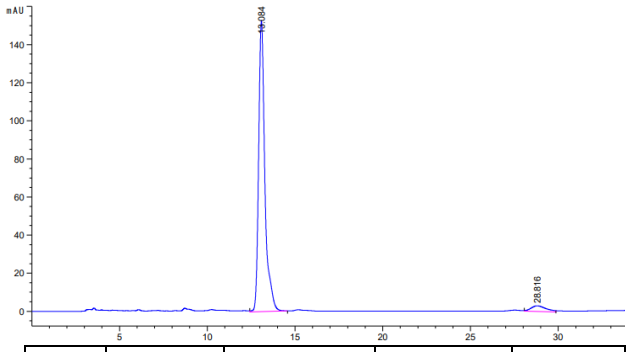


Yield: 34.9mg (35%). White solid, mp: 179-180 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.83 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.06 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.71 (dd, *J* = 8.4 Hz ,1.9 Hz, 2H), 7.48 (s, 1H), 7.34 – 7.23 (m, 6H), 7.05 (dd, *J* = 7.2, 2.4 Hz, 2H), 4.75 – 4.68

(m, 2H), 3.56 (d, *J* = 16.9 Hz, 1H), 3.26 (d, *J* = 16.9 Hz, 1H), 2.51 (s, 3H), 2.30 (s, 3H), 2.06 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.7, 151.6, 147.2, 142.5, 141.9, 135.7, 135.3, 135.0, 131.9, 131.6, 129.5, 129.1, 128.4, 128.3, 128.2, 128.1, 127.4, 125.4, 121.1, 121.0, 118.2, 66.5, 37.0, 22.0, 18.6, 15.3. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{29}H_{27}N_2O_4S]^+$ requires 499.1686, found 499.1693. $[\alpha]_D^{25}$ = -158 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 91% ee (CHIRALPAK AD-H, hexane/*i*-PrOH =65/35, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 13.1 min, t_2 (major) = 28.8 min.

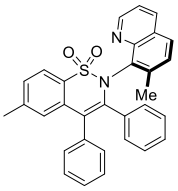


Peak	RetTime	Area	Height	Area
1	13.264	4810.46143	198.38057	50.6313
2	29.573	4690.49561	79.15138	49.3687

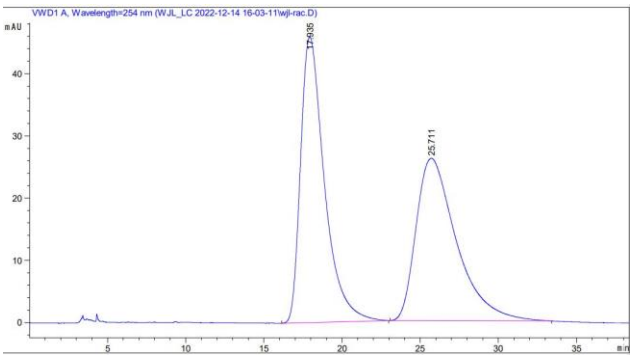


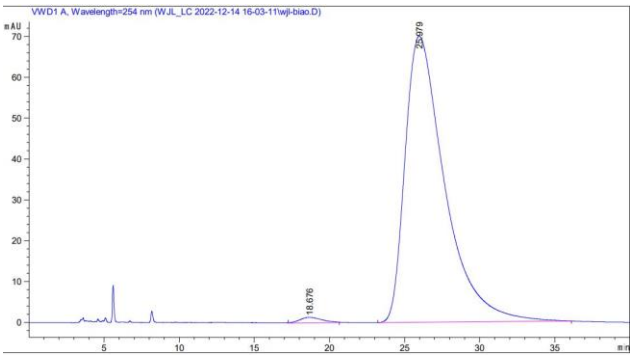
Peak	RetTime	Area	Height	Area
1	13.084	3797.25537	152.71175	95.5479
2	28.816	176.93550	2.93734	4.4521

(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5aa).



Yield: 88.9 mg (91%). White solid, mp: 200-201 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.80 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.96 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.79 (d, *J* = 7.9 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.33 - 7.26 (m, 4H), 7.23 (t, *J* = 7.3 Hz, 2H), 7.21 - 7.15 (m, 3H), 7.06 (d, *J* = 7.0 Hz, 2H), 6.81 (t, *J* = 7.4 Hz, 1H), 6.74 (t, *J* = 7.5 Hz, 2H), 2.40 (s, 3H), 2.39 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.5, 146.6, 141.7, 141.0, 140.5, 136.7, 135.3, 134.9, 133.1, 131.8, 130.5, 129.2, 128.4, 128.2, 127.8, 127.7, 127.2, 127.0, 126.9,126.7, 124.1, 121.3, 120.7, 22.0, 19.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{31}H_{24}N_2O_2S]^+$ requires 489.1631, found 489.1635. $[\alpha]_D^{25}$ = -135 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AS-H, hexane/*i*-PrOH = 95/5, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 18.68 min, t_2 (major) = 25.98 min.

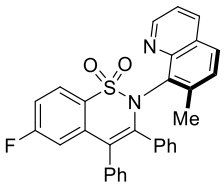




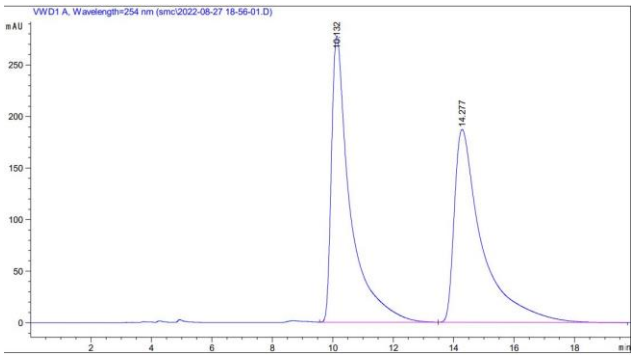
Peak	RetTime	Area	Height	Area
1	17.935	4862.44775	45.93650	50.9440
2	25.711	4682.23682	26.10755	49.0560

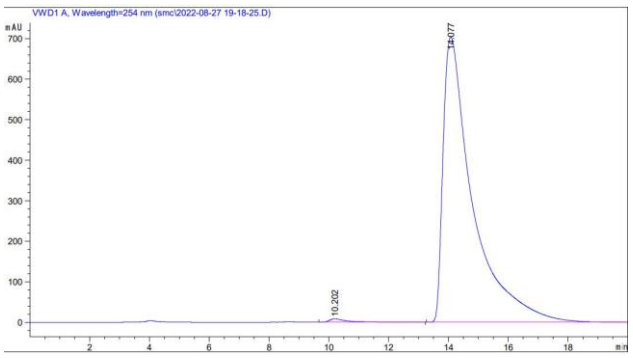
Peak	RetTime	Area	Height	Area
1	18.676	141.52917	1.36001	1.0919
2	25.979	1.28200e^4	70.06489	98.9081

(*R*)-6-fluoro-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ba).



Yield: 76.8 mg (78%). White solid, mp: 186-187 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.73 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.97 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.88 (dd, *J* = 8.6, 5.4 Hz, 1H), 7.54 (d, *J* = 8.4 Hz, 1H), 7.32 – 7.15 (m, 8H), 7.07 (dd, *J* = 10.6, 2.5 Hz, 1H), 7.01 (d, *J* = 7.0 Hz, 2H), 6.84 (t, *J* = 7.4 Hz, 1H), 6.76 (t, *J* = 7.6 Hz, 2H), 2.45 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 164.3 (d, $^1J_{C\text{-}F}$ = 250.8 Hz), 150.5, 146.5, 142.5, 140.8, 138.0 (d, $^3J_{C\text{-}F}$ = 9.0 Hz), 136.0, 135.4, 134.7, 132.8, 131.6, 129.6, 129.2, 129.0, 128.4, 128.1, 128.0, 127.3, 127.0, 126.8, 124.1 (d, $^3J_{C\text{-}F}$ = 8.6 Hz), 123.6 (d, $^4J_{C\text{-}F}$ = 2.6 Hz), 114.9 (d, $^2J_{C\text{-}F}$ = 24.2 Hz), 113.5 (d, $^2J_{C\text{-}F}$ = 24.2 Hz), 19.3. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -106.7. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{21}FN_2O_2S]^+$ requires 493.1381, found 493.1384. $[\alpha]_D^{25}$ = +16 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 90/10, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 10.20 min, t_2 (major) = 14.08 min.



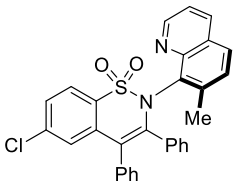


Peak	RetTime	Area	Height	Area
1	10.132	1.19288e^4	277.64850	50.0778

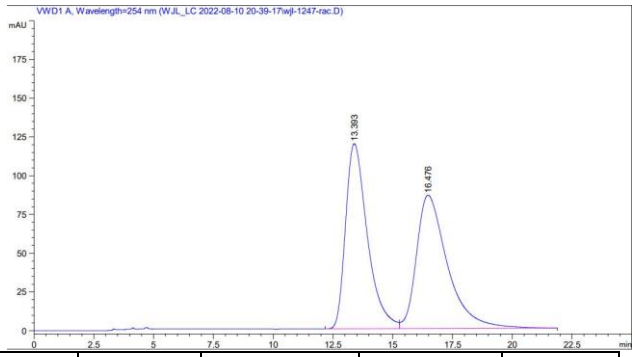
Peak	RetTime	Area	Height	Area
1	10.202	355.11111	8.27984	0.7295

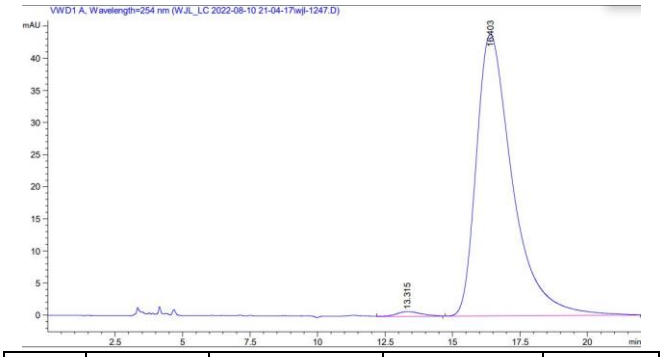
2	14.277	1.18918e^4	187.14862	49.9222		2	14.077	4.83243e^4	704.15118	99.2705

(*R*)-6-chloro-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ca).



Yield: 58.3 mg (57%). White solid, mp: 182-183 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.71 (d, *J* = 4.2 Hz, 1H), 7.95 (d, *J* = 8.6 Hz, 1H), 7.80 (d, *J* = 8.3 Hz, 1H), 7.52 (d, *J* = 8.4 Hz, 1H), 7.42 (d, *J* = 8.4 Hz, 1H), 7.35 (s, 1H), 7.30 – 7.24 (m, 3H), 7.22 (t, *J* = 7.5 Hz, 2H), 7.20 – 7.14 (m, 2H), 6.99 (d, *J* = 7.6 Hz, 2H), 6.82 (t, *J* = 7.4 Hz, 1H), 6.75 (t, *J* = 7.5 Hz, 2H), 2.44 (s, 3H).**^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.5, 146.4, 142.6, 140.7, 137.7, 136.9, 135.8, 135.4, 134.6, 132.7, 131.6, 131.0, 129.6, 129.1, 128.4, 128.1, 128.0, 127.6, 127.4, 127.0, 126.8, 126.7, 123.5, 123.0, 120.9, 19.3. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{21}ClN_2O_2S]^+$ requires 509.1085, found 509.1093. $[\alpha]_D^{25}$ = -203 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AS-H, hexane/*i*-PrOH = 95/5, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 13.32 min, t_2 (major) = 16.40 min.

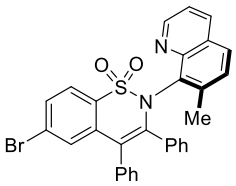




Peak	RetTime	Area	Height	Area
1	13.393	7576.88525	119.41000	49.4183
2	16.476	7755.27148	86.05502	50.5817

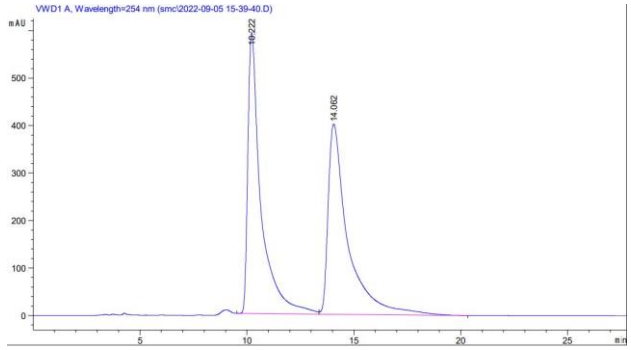
Peak	RetTime	Area	Height	Area
1	13.315	49.72678	7.59538e^{-1}	1.2070
2	16.403	4070.18604	43.83670	98.7930

(*R*)-(6-bromo-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5da).

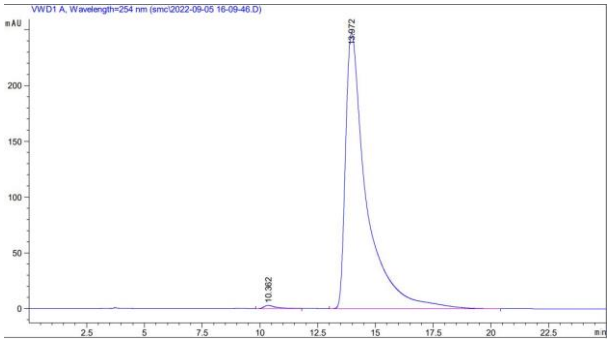


Yield: 55.6 mg (50%). White solid, mp: 215-216 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.76 (d, *J* = 3.1 Hz, 1H), 7.99 (d, *J* = 8.2, Hz, 1H), 7.77 (d, *J* = 8.3 Hz, 1H), 7.63 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.59 – 7.53 (m, 2H), 7.33 – 7.28 (m, 3H), 7.26 (t, *J* = 7.5 Hz, 2H), 7.22 (t, *J* = 7.3 Hz, 2H), 7.02 (d, *J* = 7.6 Hz, 2H), 6.86 (t, *J* = 7.4 Hz, 1H), 6.79 (t, *J* = 7.5 Hz, 2H), 2.48 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.6, 146.4, 142.6, 140.7, 137.0, 135.8, 135.4, 134.6, 132.7, 131.6, 131.4, 130.5, 129.7, 129.6, 129.1, 128.4, 128.1, 128.0, 127.4, 127.0, 126.8, 126.1, 123.4,123.0, 120.9, 19.3. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{21}BrN_2O_2S]^+$ requires 553.0580, found 553.0598. $[\alpha]_D^{25}$ = -206 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine

the enantiomeric excess: 99% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 90/10, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 10.36 min, t_2 (major) = 13.97 min.

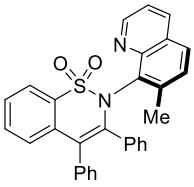


Peak	RetTime	Area	Height	Area
1	10.222	2.52181e^4	591.59351	49.6253
2	14.062	2.55990e^4	400.68750	50.3747

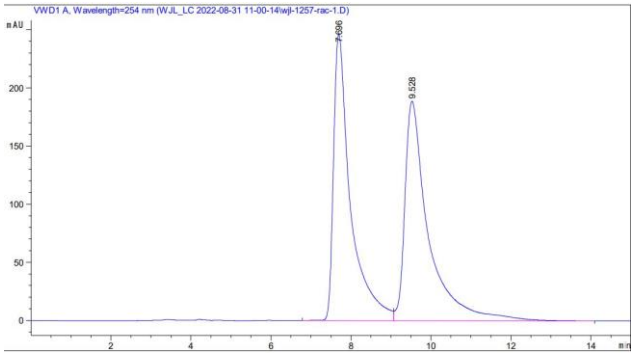


Peak	RetTime	Area	Height	Area
1	10.362	109.05839	2.93999	0.6956
2	13.972	1.55702e^4	247.23865	99.3044

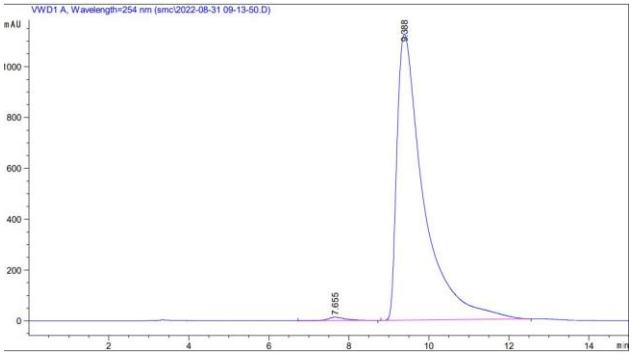
(*R*)-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ea).



Yield: 90.1 mg (95%). White solid, mp: 232-233 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.73 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.94 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.88 (d, *J* = 7.7 Hz, 1H), 7.55 – 7.50 (m, 2H), 7.46 (t, *J* = 7.6 Hz, 1H), 7.38 (d, *J* = 8.2 Hz, 1H), 7.28 (d, *J* = 6.7 Hz, 2H), 7.26 – 7.19 (m, 3H), 7.19 – 7.12 (m, 2H), 7.04 (d, *J* = 7.0 Hz, 2H), 6.81 (t, *J* = 7.4 Hz, 1H), 6.74 (t, *J* = 7.5 Hz, 2H), 2.40 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.5, 146.6, 141.1, 140.6, 136.5, 135.4, 135.0, 134.9, 133.0, 132.9, 131.7, 131.3, 129.8, 129.2, 128.3, 127.9, 127.8, 127.5, 127.1, 127.0, 126.9, 126.7, 124.3, 121.3, 120.8, 19.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{22}N_2O_2S]^+$ requires 475.1475, found 475.1479. $[\alpha]_D^{25}$ = -158 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 7.66 min, t_2 (major) = 9.39 min.

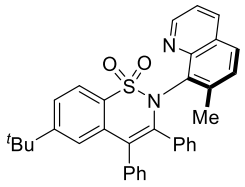


Peak	RetTime	Area	Height	Area
1	7.696	7231.02100	245.96959	48.3254
2	9.528	7732.16211	188.68849	51.6746

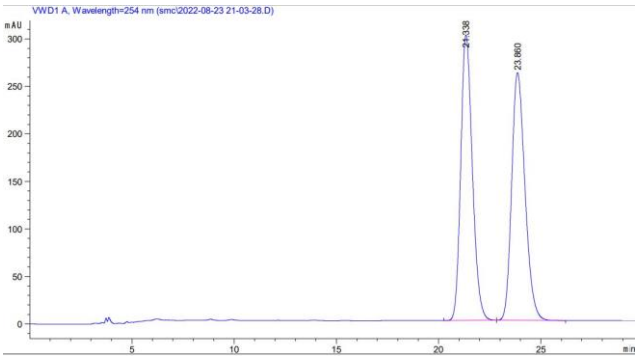


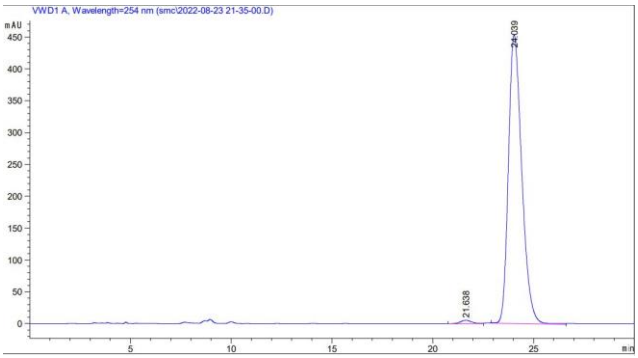
Peak	RetTime	Area	Height	Area
1	7.655	454.29727	13.77904	0.8659
2	9.388	5.20081e^4	1125.47559	99.1341

(*R*)-6-(tert-butyl)-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5fa).



Yield: 100.6 mg (95%). White solid, mp: 219-220 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.87 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.74 (d, *J* = 8.2 Hz, 1H), 7.51 – 7.37 (m, 2H), 7.34 (d, *J* = 1.8 Hz, 1H), 7.24 – 7.17 (m, 3H), 7.14 (t, *J* = 7.5 Hz, 2H), 7.11 – 7.06 (m, 2H), 6.99 (d, *J* = 6.9 Hz, 2H), 6.75 – 6.70 (m, 1H), 6.66 (t, *J* = 7.5 Hz, 2H), 2.31 (s, 3H), 1.19 (s, 9H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 154.7, 150.5, 146.6, 140.8, 140.4, 136.7, 135.3, 135.0, 134.6, 133.2, 131.7, 130.4, 129.8, 129.2, 128.2, 127.8, 127.7, 127.0, 126.9, 126.7, 124.9, 124.5, 123.8, 121.0, 120.7, 35.3, 31.2, 19.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{34}H_{30}N_2O_2S]^+$ requires 531.2101, found 531.2100. $[\alpha]_D^{25}$ = -133 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AD-H, hexane/*i*-PrOH = 90/10, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 21.64 min, t_2 (major) = 24.04 min.

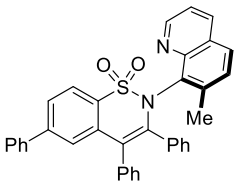




Peak	RetTime	Area	Height	Area
1	21.338	1.18531e^4	300.55734	50.0774
2	23.860	1.18165e^4	260.68475	49.9226

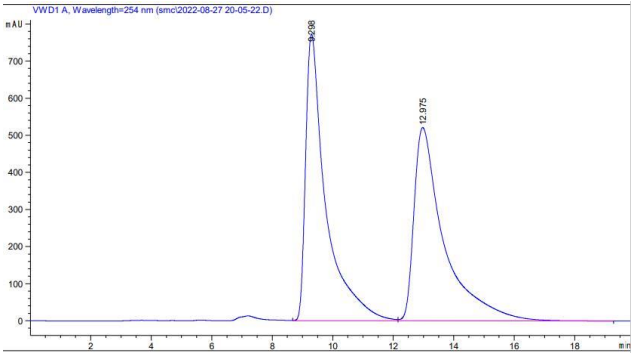
Peak	RetTime	Area	Height	Area
1	21.638	196.48929	5.15724	0.9334
2	24.039	2.08537e^4	453.26038	99.0666

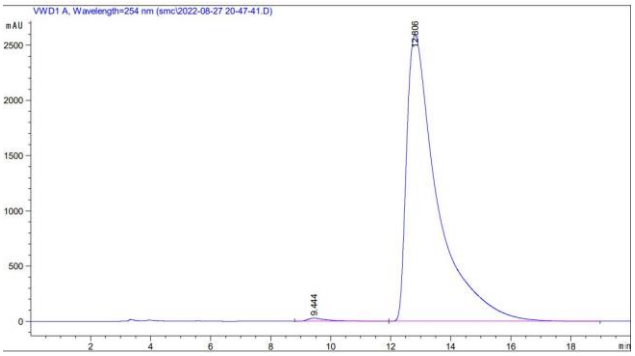
(*R*)- 2-(7-methylquinolin-8-yl)-3,4,6-triphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ga).



Yield: 103.6 mg (94%). White solid, mp: 239-240 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.75 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.05 – 7.83 (m, 2H), 7.67 (dd, *J* = 8.1, 1.7 Hz, 1H), 7.61 (s, 1H), 7.50 (d, *J* = 7.1 Hz, 3H), 7.41 (t, *J* = 7.7 Hz, 2H), 7.38 – 7.30 (m, 3H), 7.27 – 7.19 (m, 3H), 7.19 – 7.13 (m, 2H), 7.06 (d, *J* = 7.0 Hz, 2H), 6.80 (t, *J* = 7.4 Hz, 1H), 6.74 (t, *J* = 7.6 Hz, 2H), 2.44 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.6, 146.6, 144.3, 141.6, 140.6, 140.2, 136.5, 135.5, 135.4, 134.9, 133.0, 131.8, 131.7, 129.8, 129.2, 129.0, 128.3, 128.1, 128.0,

127.9, 127.5, 127.2, 127.0, 126.8, 126.3, 125.7, 124.4, 121.9, 120.8, 19.3. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{36}H_{26}N_2O_2S]^+$ requires 551.1788, found 551.1795. $[\alpha]_D^{25}$ = -127 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 9.44 min, t_2 (major) = 12.81 min.

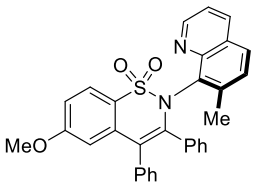




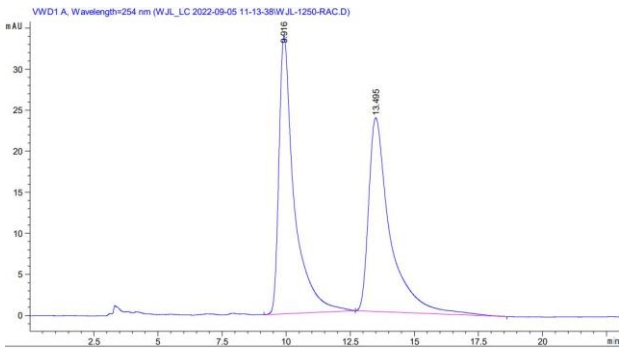
Peak	RetTime	Area	Height	Area
1	9.298	3.58337e4	772.54193	49.9688
2	12.975	3.58785e4	521.12201	50.0312

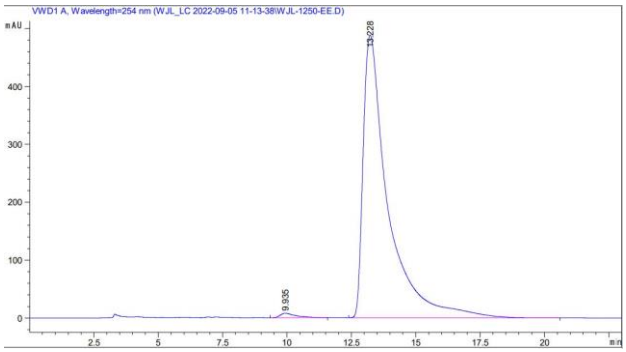
Peak	RetTime	Area	Height	Area
1	9.444	1377.78796	28.17617	0.7409
2	12.806	$1.84586e^5$	2590.00586	99.2591

(*R*)-6-methoxy-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ha).



Yield: 94.8 mg (94%). White solid, mp: 203-204 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.79 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.93 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.82 (d, *J* = 8.6 Hz, 1H), 7.50 (d, *J* = 8.4 Hz, 1H), 7.32 – 7.26 (m, 2H), 7.25 (d, *J* = 8.2 Hz, 1H), 7.20 (t, *J* = 7.5 Hz, 2H), 7.18 – 7.12 (m, 2H), 7.05 (d, *J* = 7.0 Hz, 2H), 6.98 (dd, *J* = 8.6, 2.5 Hz, 1H), 6.86 (d, *J* = 2.5 Hz, 1H), 6.84 – 6.76 (m, 1H), 6.73 (t, *J* = 7.5 Hz, 2H), 3.75 (s, 3H), 2.42 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 161.9, 150.5, 146.6, 141.7, 140.5, 137.1, 136.6, 135.3, 135.0, 133.1, 131.7, 129.7, 129.2, 128.2, 127.9, 127.8, 127.1, 127.0, 126.7, 126.1, 123.9, 123.3, 120.8, 113.2, 112.0, 55.5, 19.3. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{31}H_{24}N_2O_3S]^+$ requires 505.1580, found 505.1587. $[\alpha]_D^{25}$ = -139 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AS-H, hexane/*i*-PrOH = 95/5, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 9.94 min, t_2 (major) = 13.23 min.

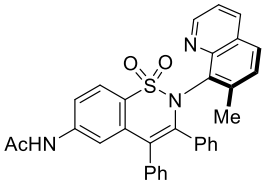




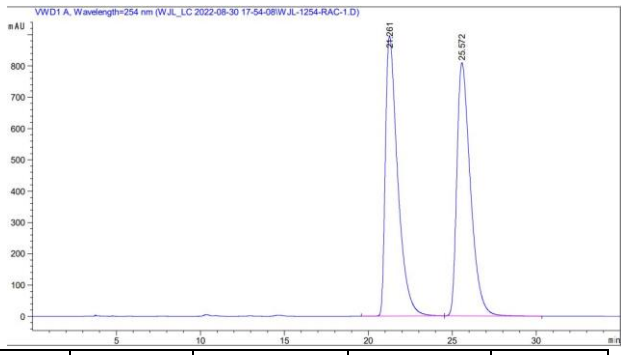
Peak	RetTime	Area	Height	Area
1	9.916	1400.12427	33.88245	50.6218
2	13.495	1365.72571	23.59272	49.3782

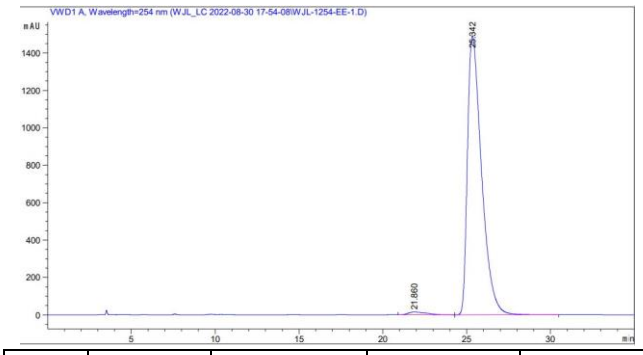
Peak	RetTime	Area	Height	Area
1	9.935	331.43689	7.81301	1.0049
2	13.228	3.26497e^4	488.15979	98.9951

(*R*)-N-(2-(7-methylquinolin-8-yl)-1,1-dioxido-3,4-diphenyl-*2H*-benzo[1,2]thiazin-6-yl)acetamide (5ia).



Yield: 95.0 mg (89%). White solid, mp: 226-227 ^{o}C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.76 (dd, *J* = 4.1, 1.8 Hz, 1H), 7.92 (d, *J* = 6.6 Hz, 2H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.65 – 7.52 (m, 2H), 7.49 (d, *J* = 8.4 Hz, 1H), 7.35 – 7.22 (m, 3H), 7.19 (t, *J* = 7.5 Hz, 2H), 7.17 – 7.11 (m, 2H), 7.04 (d, *J* = 7.6 Hz, 2H), 6.80 (t, *J* = 7.4 Hz, 1H), 6.72 (t, *J* = 7.5 Hz, 2H), 2.37 (s, 3H), 2.04 (s, 3H).**^{13}C NMR** (151 MHz, $CDCl_3$) δ 168.9, 150.6, 146.5, 141.6, 141.1, 140.4, 136.3, 135.9, 135.4, 134.7, 132.9, 131.7, 129.7, 129.2, 128.4, 128.0, 127.9, 127.2, 127.0, 126.8, 123.9, 122.3, 120.9, 118.6, 117.2, 24.5, 19.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{32}H_{25}N_3O_3S]^+$ requires 532.1689, found 532.1700. $[\alpha]_D^{25}$ = -118 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/*i*-PrOH = 90/10, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 21.86 min, t_2 (major) = 25.34 min.

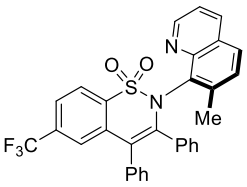




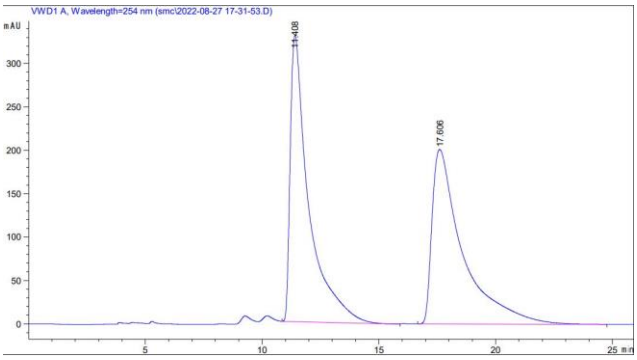
Peak	RetTime	Area	Height	Area
1	21.261	4.54743e^4	895.18158	50.0826
2	25.572	4.53244e^4	809.01318	49.9174

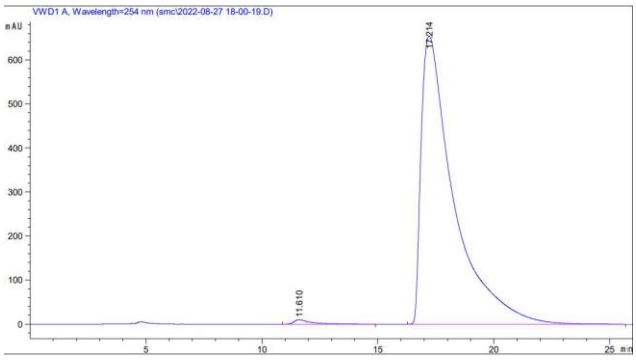
Peak	RetTime	Area	Height	Area
1	21.860	1157.77478	14.76121	1.3103
2	25.342	8.71987e^4	1492.01025	98.6897

(*R*)-2-(7-methylquinolin-8-yl)-3,4-diphenyl-6-(trifluoromethyl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ja).



Yield: 77.9 mg (72%). White solid, mp: 192-193 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.64 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.10 – 7.90 (m, 2H), 7.72 (d, *J* = 8.2 Hz, 1H), 7.65 (s, 1H), 7.55 (d, *J* = 8.3 Hz, 1H), 7.28 – 7.21 (m, 6H), 7.19 (t, *J* = 7.7 Hz, 1H), 6.98 (d, *J* = 7.6 Hz, 2H), 6.85 (t, *J* = 7.5 Hz, 1H), 6.77 (t, *J* = 7.5 Hz, 2H), 2.45 (s, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 150.5, 146.4, 142.8, 140.9, 135.9, 135.6, 135.5, 135.0, 134.5, 133.2 (q, $^2J_{C-F}$ = 32.5 Hz) 132.6, 131.5, 129.6, 129.1, 128.5, 128.2, 128.1, 127.5, 127.0, 126.9, 124.1 (q, $^3J_{C-F}$ = 4.0 Hz), 124.0, 123.9, 123.8, 123.6 (q, $^1J_{C-F}$ = 273.0 Hz), 122.3, 120.9, 19.3. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -62.7.**HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{31}H_{21}F_3N_2O_2S]^+$ requires 543.1349, found 543.1352. $[\alpha]_D^{25}$ = -225 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 95/5, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 11.61 min, t_2 (major) = 17.21 min.

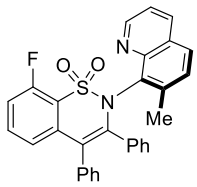




Peak	RetTime	Area	Height	Area
1	11.408	1.81699e^4	329.69110	49.8285
2	17.606	1.82950e^4	201.10814	50.1715

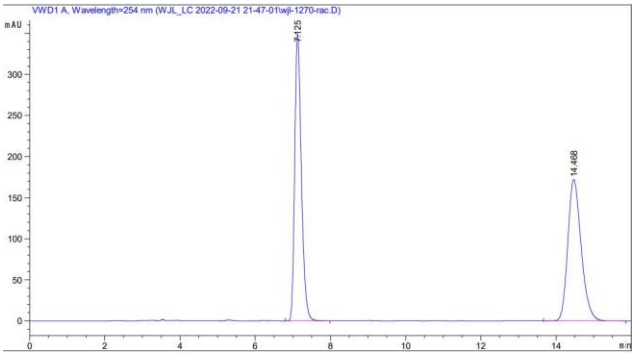
Peak	RetTime	Area	Height	Area
1	11.610	514.76831	9.98517	0.7990
2	17.214	6.39128e^4	654.75549	99.2010

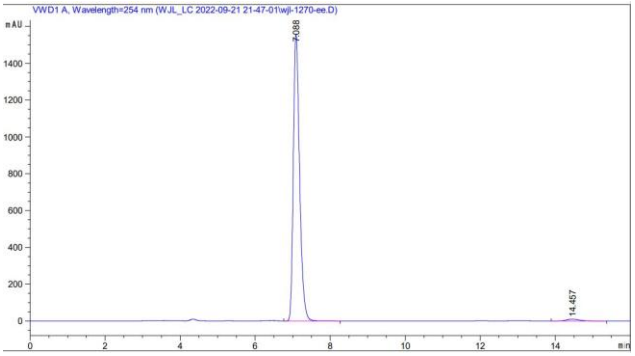
(*R*)- 8-fluoro-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine 1,1-dioxide (5ka).



Yield: 42.2 mg (43%). White solid, mp: 215-216 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.68 (dd, *J* = 4.3, 1.7 Hz, 1H), 7.96 (d, *J* = 8.2 Hz, 1H), 7.54 (d, *J* = 8.4 Hz, 1H), 7.48 – 7.40 (m, 1H), 7.30 – 7.17 (m, 6H), 7.16 – 7.10 (m, 3H), 6.97 (d, *J* = 7.1 Hz, 2H), 6.84 (t, *J* = 7.3 Hz, 1H), 6.76 (t, *J* = 7.5 Hz, 2H), 2.46 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 156.7 (d, $^1J_{C-F}$ = 255.7 Hz), 150.4, 146.6, 141.7, 141.0, 138.2, 136.4, 135.5, 134.7, 132.8, 132.1 (d, $^3J_{C-F}$ = 8.8 Hz), 131.6, 129.5, 129.2, 128.4, 128.0, 127.9, 127.2, 127.0, 126.8, 124.5 (d, $^4J_{C-F}$ = 2.2 Hz), 123.1

(d, $^{4}J_{C\text{-}F}$ = 3.3 Hz), 121.8 (d, $^{2}J_{C\text{-}F}$ = 14.1 Hz), 120.8, 114.8 (d, $^{2}J_{C\text{-}F}$ = 20.8 Hz), 19.4. ^{19}F NMR (565 MHz, $CDCl_3$) δ -114.4. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{21}FN_2O_2S]^+$ requires 493.1381, found 493.1390. $[\alpha]_D^{25}$ = -213 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (major) = 7.09 min, t_2 (minor) = 14.46 min.

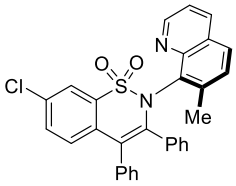




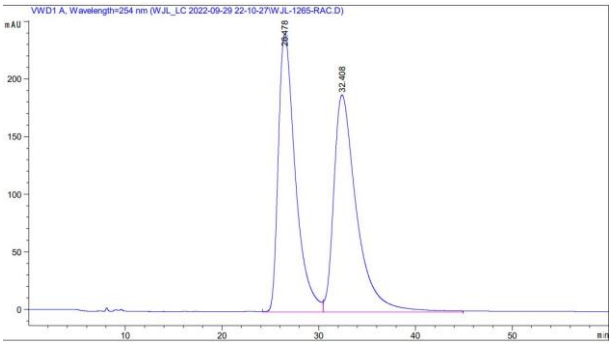
Peak	RetTime	Area	Height	Area
1	7.125	4295.34277	348.51236	50.2657
2	14.468	4249.94043	172.11548	49.7343

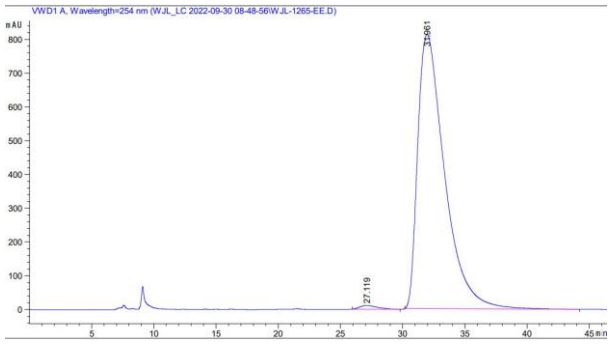
Peak	RetTime	Area	Height	Area
1	7.088	1.80252e^4	1557.64685	98.6773
2	14.457	241.61351	9.99804	1.3227

(*R*)-7-chloro-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine 1,1-dioxide (5na).



Yield: 85.9 mg (84%). White solid, mp: 184-185 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.72 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.98 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.86 (d, *J* = 2.2 Hz, 1H), 7.55 (d, *J* = 8.4 Hz, 1H), 7.48 (dd, *J* = 8.7, 2.2 Hz, 1H), 7.32 (d, *J* = 8.7 Hz, 1H), 7.28 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.26 – 7.16 (m, 6H), 6.99 (d, *J* = 7.0 Hz, 2H), 6.84 (t, *J* = 7.4 Hz, 1H), 6.76 (t, *J* = 7.6 Hz, 2H), 2.43 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.6, 146.4, 141.3, 140.7, 136.1, 135.4, 134.6, 133.7, 133.6, 133.1, 132.7, 131.6, 131.4, 129.7, 129.2, 128.6, 128.4, 128.0, 127.9, 127.3, 127.0, 126.8, 123.9, 121.3, 120.9, 19.3. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{21}ClN_2O_2S]^+$ requires 509.1085, found 509.1089. $[\alpha]_D^{25}$ = -216 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AS-H, hexane/*i*-PrOH = 95/5, detector: 254 nm, T = 25 ℃, flow rate: 0.5 mL/min), t_1 (minor) = 27.12 min, t_2 (major) = 31.96 min.

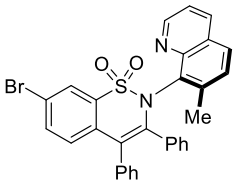




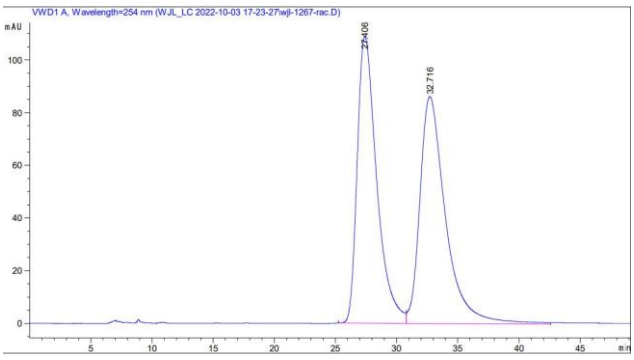
Peak	RetTime	Area	Height	Area
1	26.478	2.96194e^4	241.30435	48.7792
2	32.408	3.11019e^4	188.63194	51.2208

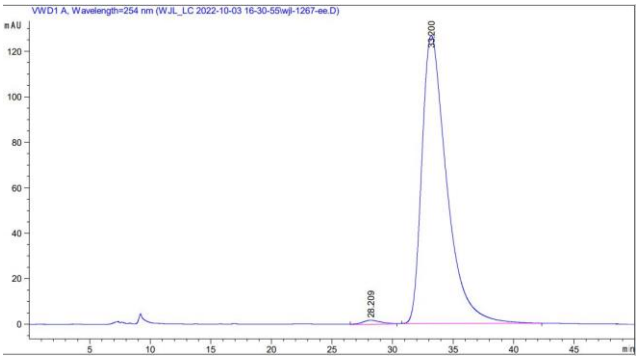
Peak	RetTime	Area	Height	Area
1	27.119	1086.30505	10.79943	0.8835
2	31.961	1.21867e^5	812.50787	99.1165

(*R*)-7-bromo-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine 1,1-dioxide (5oa).



Yield: 89.6 mg (81%). White solid, mp: 175-176 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.71 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.00 (d, *J* = 2.1 Hz, 1H), 7.96 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.62 (dd, *J* = 8.7, 2.1 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.28 – 7.19 (m, 7H), 7.18 – 7.14 (m, 1H), 6.99 (d, *J* = 7.1 Hz, 2H), 6.83 (t, *J* = 7.4 Hz, 1H), 6.75 (t, *J* = 7.6 Hz, 2H), 2.42 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.6, 146.4, 141.6, 140.7, 136.0, 135.5, 134.6, 134.3, 134.0, 133.8, 132.7, 131.6, 129.6, 129.2, 128.8, 128.5, 128.0, 127.3, 127.0, 126.8, 124.1, 124.0, 120.9, 120.7, 19.3 **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{21}BrN_2O_2S]^+$ requires 553.0580, found 553.0592. $[\alpha]_D^{25}$ = -199 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AS-H, hexane/*i*-PrOH = 95/5, detector: 254 nm, T = 25 °C, flow rate: 0.5 mL/min), t_1 (minor) = 28.21 min, t_2 (major) = 33.20 min.

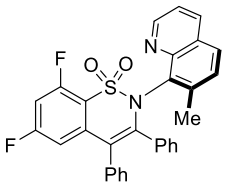




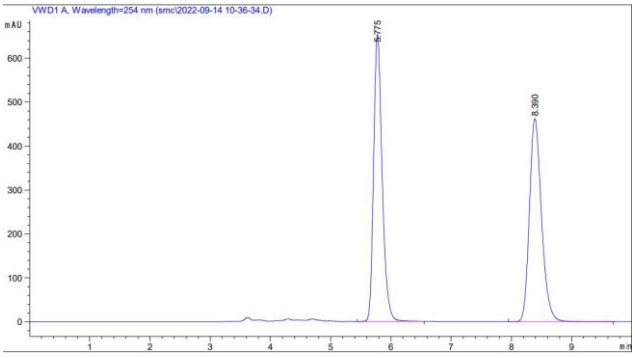
Peak	RetTime	Area	Height	Area
1	27.406	1.20488e^4	108.79118	48.9646
2	32.716	1.25584e^4	86.13596	51.0354

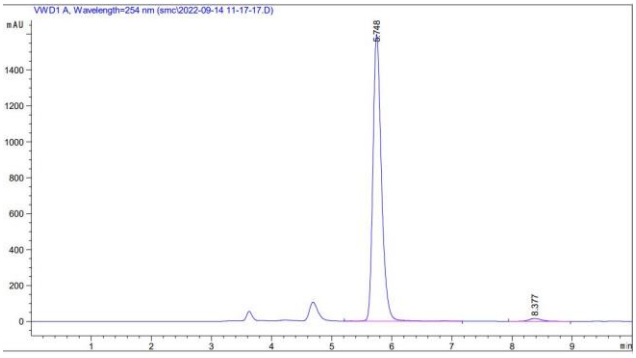
Peak	RetTime	Area	Height	Area
1	28.209	195.25334	1.76910	1.0718
2	33.200	1.80219e^4	126.70089	98.9282

(*R*)-6,8-difluoro-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine 1,1-dioxide (5pa).



Yield: 23.5 mg (24%). White solid, mp: 215-216 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.00 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.57 (d, *J* = 8.4 Hz, 1H), 7.29 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.25 – 7.07 (m, 6H), 6.96 – 6.81 (m, 5H), 6.78 (t, *J* = 7.6 Hz, 2H), 2.50 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 163.8 (dd, $^1J_{C\text{-}F}$ = 253.2, 12.3 Hz), 157.7 (dd, $^1J_{C\text{-}F}$ = 258.0, 14.4 Hz), 150.5, 146.46, 142.96, 141.21, 139.98 (d, $^2J_{C\text{-}F}$ = 10.4 Hz), 135.82, 135.51, 134.52, 132.56, 131.50, 129.36, 129.16, 128.52, 128.13, 127.49, 127.02, 126.89, 123.91 (t, $^4J_{C\text{-}F}$ = 2.3 Hz), 120.88, 118.29 (dd, *J* = 14.0, 3.4 Hz), 109.83 (dd, *J* = 24.2, 3.8 Hz), 103.5 (t, $^2J_{C\text{-}F}$ = 25.9 Hz), 19.42. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -103.3, -109.5. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{20}F_2N_2O_2S]^+$ requires 511.1286, found 511.1291. $[\alpha]_D^{25}$ = -194 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (major) =5.75 min, t_2 (minor) = 8.38 min.

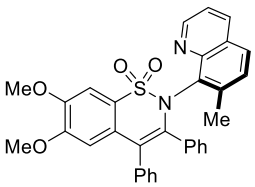




Peak	RetTime	Area	Height	Area
1	5.775	6421.53857	653.07355	49.8803
2	8.390	6452.36670	462.17502	50.1197

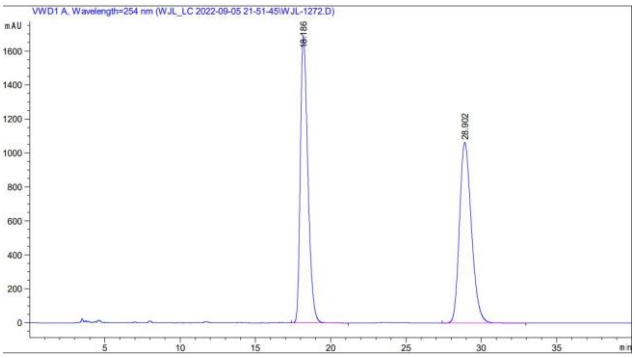
Peak	RetTime	Area	Height	Area
1	5.748	1.56536e4	1597.87622	98.5978
2	8.377	222.61229	15.91588	1.4022

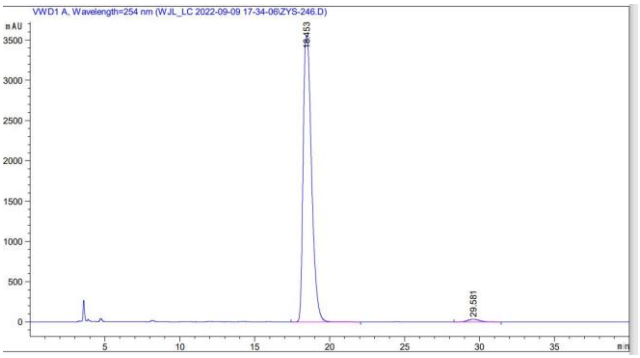
(*R*)-6,7-dimethoxy-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-benzo[1,2]thiazine 1,1-dioxide (5qa).



Yield: 102.6 mg (96%). White solid, mp: 205-206 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.83 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.94 (dd, *J* = 14.6, 8.6 Hz, 1H), 7.50 (dd, *J* = 9.5, 5.6 Hz, 1H), 7.35 (s, 1H), 7.32 – 7.20 (m, 5H), 7.19 – 7.14 (m, 2H), 7.07 (d, *J* = 7.2 Hz, 2H), 6.84 (s, 1H), 6.78 (t, *J* = 7.2 Hz, 1H), 6.73 (t, *J* = 7.5 Hz, 2H), 3.89 (s, 3H), 3.74 (s, 3H), 2.40 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 151.4, 150.6, 148.8, 146.6, 140.4, 139.7, 136.8, 135.4, 134.9, 133.0, 131.6, 129.9, 129.2, 129.1, 128.2, 128.0, 127.7, 127.1, 127.0, 126.7, 125.7,

123.8, 120.8, 109.3, 103.5, 56.3, 56.0, 19.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{32}H_{26}N_2O_4S]^+$ requires 535.1686, found 535.1686. $[\alpha]_D^{25}$ = -170 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (major) =18.45 min, t_2 (minor) = 29.58 min.

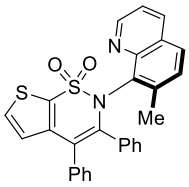




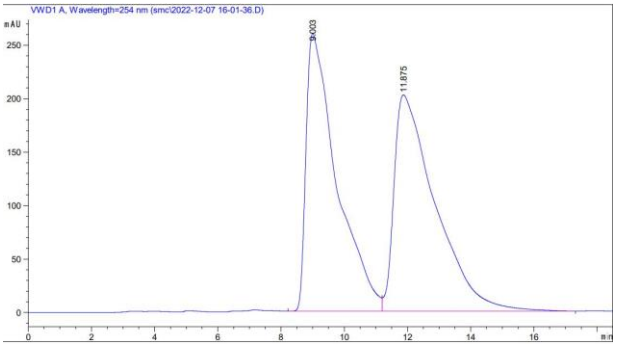
Peak	RetTime	Area	Height	Area
1	18.186	5.87425e^4	1691.00439	50.1201
2	28.902	5.84610e^4	1063.09717	49.8799

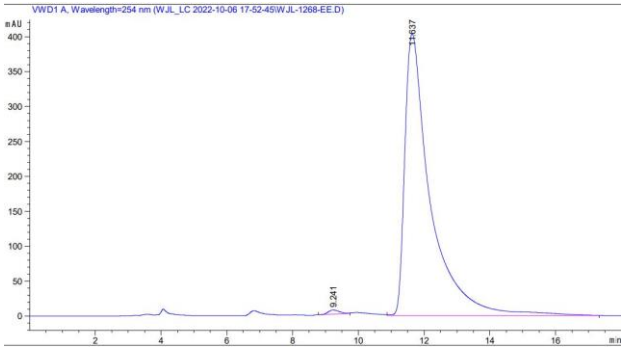
Peak	RetTime	Area	Height	Area
1	18.453	1.37744e^5	3548.21143	98.6671
2	29.581	1860.73816	33.63058	1.3329

(*R*)-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-thieno[1,2]thiazine-1,1-dioxide (5ra).



Yield: 23.2 mg (24%). White solid, mp: 199-200 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.92 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.96 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.52 (d, *J* = 8.4 Hz, 1H), 7.47 (d, *J* = 5.2 Hz, 1H), 7.31 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.26 (t, *J* = 7.3 Hz, 2H), 7.22 (t, *J* = 7.4 Hz, 2H), 7.19 – 7.14 (m, 2H), 7.11 – 7.08 (m, 2H), 7.04 (d, *J* = 5.2 Hz, 1H), 6.81 (t, *J* = 7.4 Hz, 1H), 6.72 (t, *J* = 7.7 Hz, 2H), 2.38 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.7, 146.6, 143.4, 140.8, 140.3, 137.2, 135.4, 134.2, 133.0, 130.8, 130.0, 129.2, 128.5, 128.1, 128.0, 127.9, 127.0, 126.9, 126.8, 126.3, 121.9, 120.9, 19.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{28}H_{20}N_2O_2S_2]^+$ requires 481.1039, found 481.1040. $[\alpha]_D^{25}$ = -157 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 9.24 min, t_2 (major) = 11.64 min.

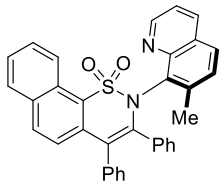




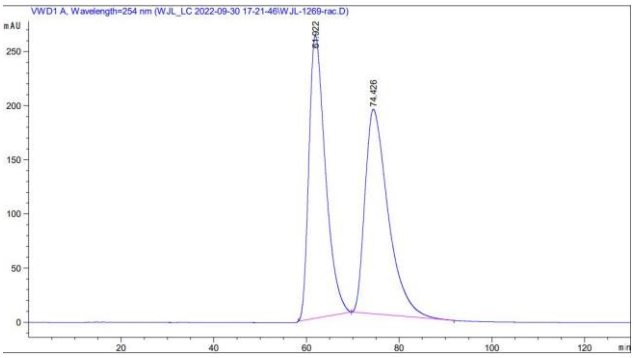
Peak	RetTime	Area	Height	Area
1	9.003	1.73891e^4	259.67963	48.7374
2	11.875	1.82901e^4	202.24532	51.2626

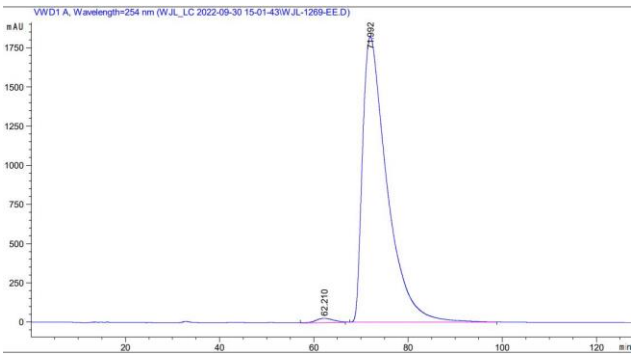
Peak	RetTime	Area	Height	Area
1	9.241	136.98273	5.78675	0.6199
2	11.637	2.19605e^4	403.85309	99.3801

(*R*)-2-(7-methylquinolin-8-yl)-3,4-diphenyl-*2H*-naphtho[1,2]thiazine 1,1-dioxide (5sa).



Yield: 87.1 mg (83%). White solid, mp: 131-132 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.09 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.74 (dd, *J* = 4.3, 1.7 Hz, 1H), 7.93 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.90 (d, *J* = 9.2 Hz, 1H), 7.85 (d, *J* = 6.8 Hz, 1H), 7.58 – 7.48 (m, 3H), 7.45 (d, *J* = 8.9 Hz, 1H), 7.36 – 7.30 (m, 2H), 7.25 – 7.21 (m, 3H), 7.19 (t, *J* = 8.1 Hz, 2H), 7.12 – 7.07 (m, 2H), 6.83 (t, *J* = 7.4 Hz, 1H), 6.77 (t, *J* = 7.5 Hz, 2H), 2.42 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.6, 146.6, 141.5, 140.7, 136.9, 135.3, 134.9, 134.6, 133.2, 132.6, 132.0, 131.6, 129.7, 129.1, 128.4, 128.2, 128.1, 127.9, 127.8, 127.5, 127.2, 127.1, 126.9, 126.7, 126.5, 124.7, 124.4, 124.3, 120.7, 19.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{34}H_{24}N_2O_2S]^+$ requires 525.1631, found 525.1644. $[\alpha]_D^{25}$ = -242 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AS-H, hexane/*i*-PrOH = 95/5, detector: 254 nm, T = 25 °C, flow rate: 0.5 mL/min), t_1 (minor) =62.21 min, t_2 (major) = 71.99 min.

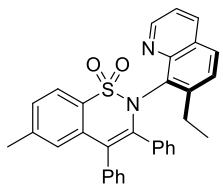




Peak	RetTime	Area	Height	Area
1	61.922	6.45490e^4	261.19769	49.5591
2	74.426	6.56976e^4	189.05763	50.4409

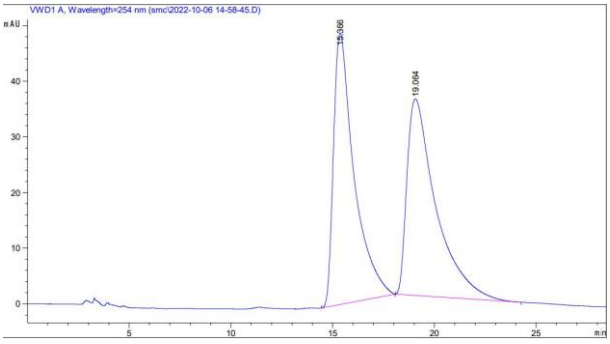
Peak	RetTime	Area	Height	Area
1	62.210	7333.42480	28.00846	1.1011
2	71.992	6.58646e^5	1823.29053	98.8989

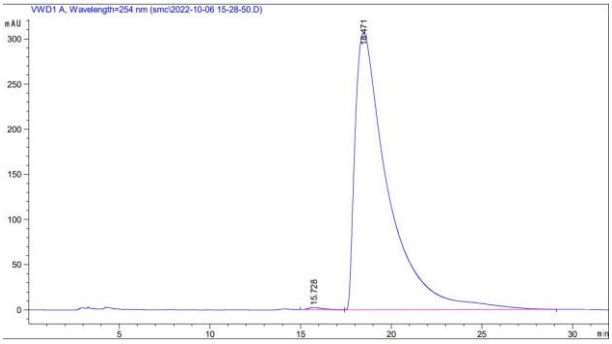
(*R*)-2-(7-ethylquinolin-8-yl)-6-methyl-3,4-diphenyl-2H-benzo[1,2]thiazine-1,1-dioxide (5ua).



Yield: 79.1 mg (79%). White solid, mp: 213-214 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.72 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.95 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 7.9 Hz, 1H), 7.58 (d, *J* = 8.5 Hz, 1H), 7.32 – 7.24 (m, 5H), 7.21 (t, *J* = 7.3 Hz, 2H), 7.18 – 7.10 (m, 2H), 6.97 (d, *J* = 7.0 Hz, 2H), 6.80 (t, *J* = 7.4 Hz, 1H), 6.73 (t, *J* = 7.5 Hz, 2H), 3.00 – 2.86 (m, 1H), 2.80 – 2.69 (m, 1H), 2.38 (s, 3H), 1.10 (t, *J* = 7.6 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ

150.4, 146.6, 146.3, 141.6, 141.3, 136.7, 135.3, 135.1, 135.0, 132.0, 131.7, 130.2, 129.9, 128.6, 128.4, 127.8, 127.7, 127.2, 127.0, 126.9, 126.7, 124.1, 121.3, 120.8, 24.8, 22.0, 14.4. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{32}H_{26}N_2O_2S]^+$ requires 503.1788, found 503.1798. $[\alpha]_D^{25}$ = -106 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 95/5, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) =15.73 min, t_2 (major) = 18.47 min.

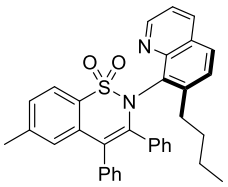




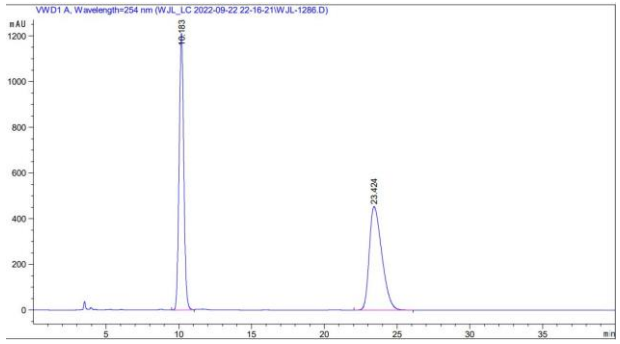
Peak	RetTime	Area	Height	Area
1	15.366	3430.74194	48.79355	49.6472
2	19.064	3479.50146	35.32418	50.3528

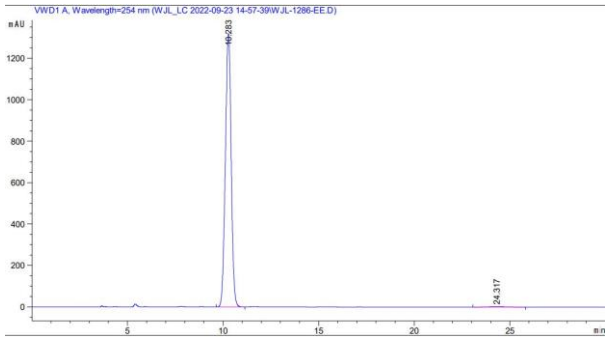
Peak	RetTime	Area	Height	Area
1	15.728	134.98059	2.30117	0.3475
2	18.471	$3.87045e^4$	306.33740	99.6525

(*R*)-2-(7-butylquinolin-8-yl)-6-methyl-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5va).



Yield: 88.0 mg (83%). White solid, mp: 195-196 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.83 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.94 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 7.9 Hz, 1H), 7.55 (d, *J* = 8.4 Hz, 1H), 7.34 – 7.25 (m, 4H), 7.24 – 7.19 (m, 3H), 7.18 – 7.12 (m, 2H), 7.08 – 6.98 (m, 2H), 6.78 (t, *J* = 7.4 Hz, 1H), 6.72 (t, *J* = 7.6 Hz, 2H), 2.79 – 2.70 (m, 1H), 2.61 – 2.52 (m, 1H), 2.38 (s, 3H), 1.51 – 1.37 (m, 1H), 1.35 – 1.25 (m, 1H), 1.24 – 1.14 (m, 1H), 1.13 – 1.01 (m, 1H), 0.76 (t, *J* = 7.3 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.5, 146.8, 145.0, 141.6, 141.3, 136.7, 135.2, 134.9, 132.3, 131.7, 130.6, 130.0, 128.4, 128.3, 127.8, 127.7, 127.2, 127.0, 126.9, 126.6, 124.1, 121.4, 120.8, 32.7, 31.8, 23.0, 21.9, 13.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{34}H_{30}N_2O_2S]^+$ requires 531.2101, found 531.2109. $[\alpha]_D^{25}$ = -71 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK AD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (major) = 10.28 min, t_2 (minor) = 24.32 min.

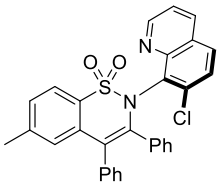




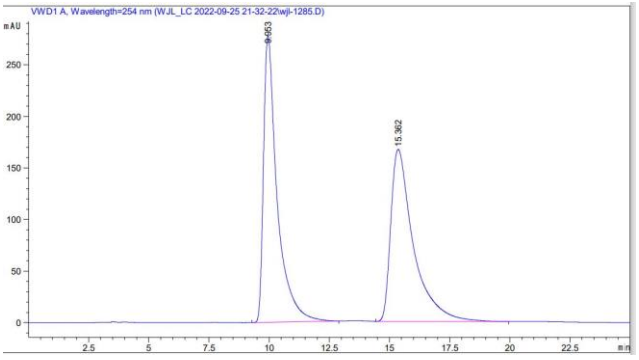
Peak	RetTime	Area	Height	Area
1	10.183	2.69170e^4	1212.08813	49.7688
2	23.424	2.71670e^4	453.33005	50.2312

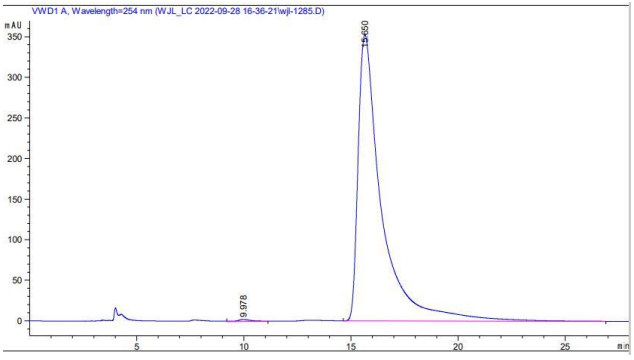
Peak	RetTime	Area	Height	Area
1	10.283	2.78897e^4	1319.19910	99.5166
2	24.317	135.47023	2.31060	0.4834

(*R*)-2-(7-chloroquinolin-8-yl)-6-methyl-3,4-diphenyl-*2H*-benzo[1,2]thiazine 1,1-dioxide (5wa).



Yield: 60.4 mg (59%). White solid, mp: 242-243 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.01 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.96 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.79 (d, *J* = 7.9 Hz, 1H), 7.52 (d, *J* = 8.8 Hz, 1H), 7.42 – 7.02 (m, 11H), 6.78 (t, *J* = 7.3 Hz, 1H), 6.73 (t, *J* = 7.4 Hz, 2H), 2.38 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.6, 147.1, 141.9, 140.3, 136.4, 136.3, 135.5, 134.7, 134.4, 132.7, 131.8, 130.9, 130.1, 129.3, 128.4, 128.0, 127.9, 127.8, 127.3, 127.2, 127.1, 126.7, 124.7, 121.6, 121.3, 22.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{21}ClN_2O_2S]^+$ requires 509.1085, found 509.1088. $[\alpha]_D^{25}$ = -142 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) =9.98 min, t_2 (major) = 15.65 min.

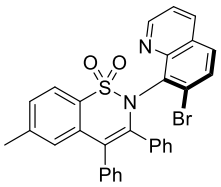




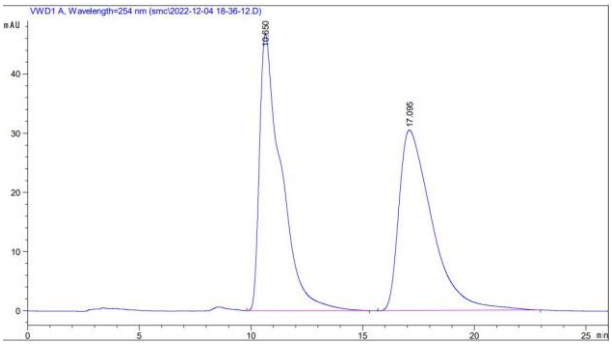
Peak	RetTime	Area	Height	Area
1	9.953	1.09365e^4	277.82120	50.0801
2	15.362	1.09015e^4	166.86676	49.9199

Peak	RetTime	Area	Height	Area
1	9.978	111.12524	2.42481	0.4133
2	15.650	2.67744e^4	352.13504	99.5867

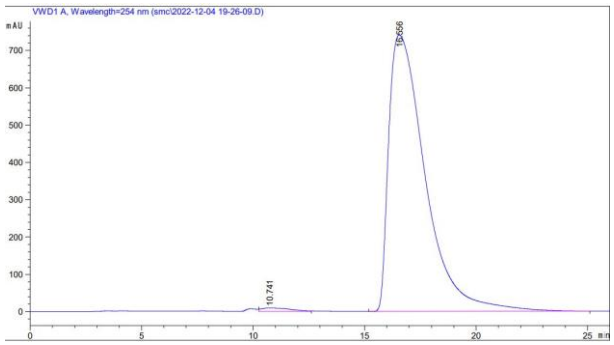
(*R*)-2-(7-bromoquinolin-8-yl)-6-methyl-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5xa).



Yield: 25.1 mg (23%). White solid, mp: 209-210 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.04 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.97 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.80 (d, *J* = 7.9 Hz, 1H), 7.54 – 7.42 (m, 2H), 7.40 – 7.36 (m, 1H), 7.35 – 7.27 (m, 5H), 7.27 – 7.11 (m, 4H), 6.78 (t, *J* = 7.3 Hz, 1H), 6.72 (t, *J* = 7.6 Hz, 2H), 2.38 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.6, 147.5, 141.9, 139.9, 136.4, 135.6, 134.8, 134.7, 134.3, 131.8, 131.1, 130.6, 130.1, 129.5, 128.4, 128.0, 127.9, 127.5, 127.3, 127.1, 126.9, 126.6, 125.0, 121.8, 121.2, 22.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{21}BrN_2O_2S]^+$ requires 553.0580, found 553.0586. $[\alpha]_D^{25}$ = -113 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) =10.74 min, t_2 (major) = 16.56 min.

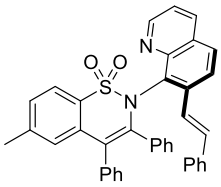


Peak	RetTime	Area	Height	Area
1	10.650	3155.85913	46.73004	50.1541
2	17.095	3136.46313	30.54653	49.8459



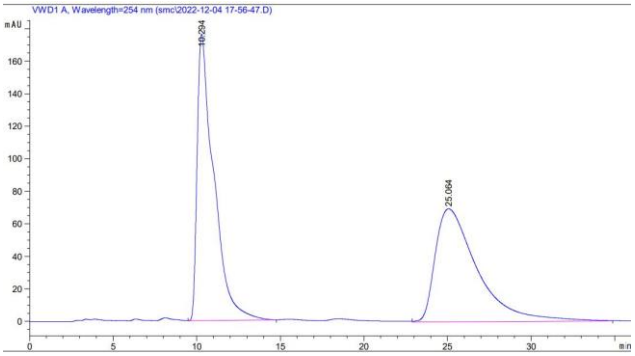
Peak	RetTime	Area	Height	Area
1	10.741	869.58191	9.63144	1.0231
2	16.556	8.41229e^4	741.08698	98.9769

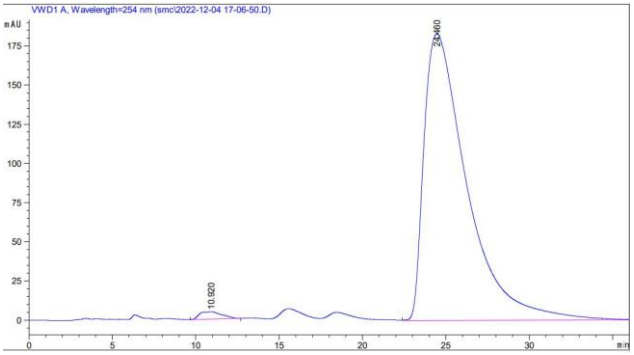
(*R*)-(*E*)-6-methyl-3,4-diphenyl-2-(7-styrylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5xaa).



Yield: 22.7 mg (20%). White solid, mp: 248-249 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.07 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.96 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.90 (d, *J* = 7.9 Hz, 1H), 7.65 – 7.56 (m, 2H), 7.38 (d, *J* = 7.9 Hz, 1H), 7.35 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.32 – 7.30 (m, 4H), 7.18 – 7.11 (m, 9H), 7.04 – 6.85 (m, 2H), 6.73 (t, *J* = 7.4 Hz, 1H), 6.65 (t, *J* = 7.6 Hz, 2H), 2.49 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.3, 146.9, 142.3, 141.9, 138.2, 136.9, 136.1, 135.2, 134.5, 134.4, 132.7, 132.5, 131.8, 130.4, 130.0, 128.8, 128.7, 128.6, 128.4, 127.9, 127.8, 127.4, 127.0, 126.9, 126.5, 124.3, 124.0, 123.5, 122.0, 121.3, 22.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{38}H_{28}N_2O_2S]^+$ requires 577.1944, found 577.1956. $[\alpha]_D^{25}$ = -120 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK OD-H, hexane/*i*-

PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 10.92 min, t_2 (major) = 24.46 min.

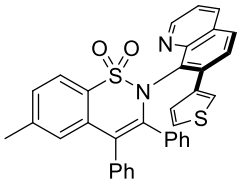




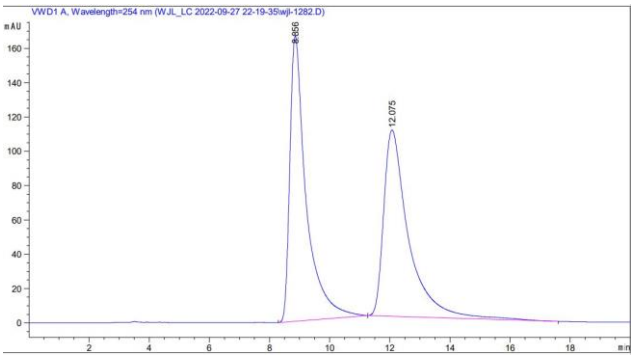
Peak	RetTime	Area	Height	Area
1	10.294	1.21686e^4	176.10878	49.9691
2	25.064	1.21836e^4	69.55257	50.0309

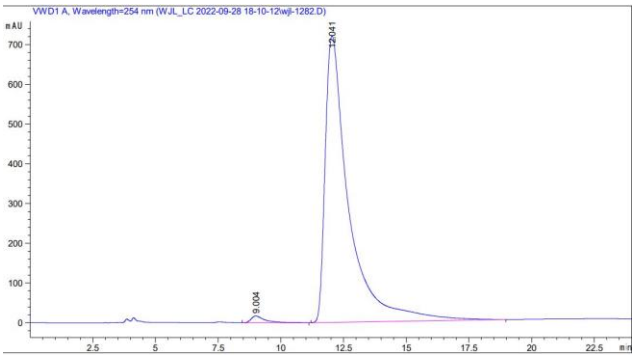
Peak	RetTime	Area	Height	Area
1	10.920	434.36969	4.71320	1.3087
2	24.460	3.27574e^4	182.74223	98.6913

(*R*)-6-methyl-3,4-diphenyl-2-(7-(thiophen-3-yl)quinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5xba).



Yield: 32.7 mg (29%). White solid, mp: 202-203 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.21 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.05 (d, *J* = 8.3 Hz, 1H), 7.89 (d, *J* = 7.9 Hz, 1H), 7.59 (d, *J* = 8.4 Hz, 1H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.36 (d, *J* = 7.9 Hz, 1H), 7.23 (d, *J* = 8.4 Hz, 1H), 7.19 – 7.07 (m, 4H), 7.04 – 6.90 (m, 5H), 6.79 (dd, *J* = 3.0, 1.3 Hz, 1H), 6.76 – 6.67 (m, 2H), 6.64 – 6.61 (m, 2H), 2.40 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.1, 146.7, 141.7, 139.9, 138.4, 137.3, 136.2, 135.4, 134.6, 134.3, 133.0, 131.7, 130.2, 130.0, 128.7, 128.6, 128.5, 128.1, 127.8, 127.7, 127.6, 127.4, 126.9, 126.3, 124.6, 124.2, 123.9, 121.9, 121.5, 22.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{34}H_{24}N_2O_2S_2]^+$ requires 557.1352, found 557.1361. $[\alpha]_D^{25}$ = -40 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) =9.00 min, t_2 (major) = 12.04 min.

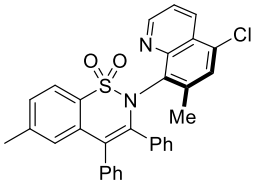




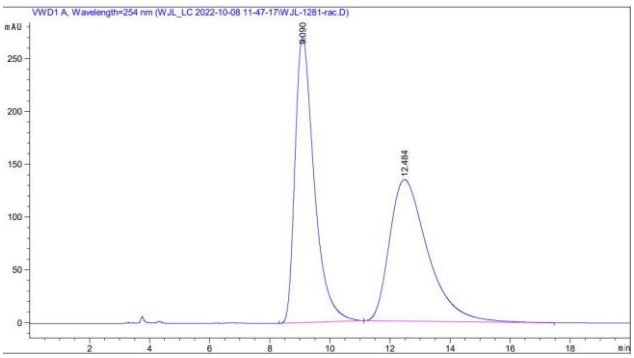
Peak	RetTime	Area	Height	Area
1	8.856	6252.42236	166.20657	50.0741
2	12.075	6233.91602	108.52622	49.9259

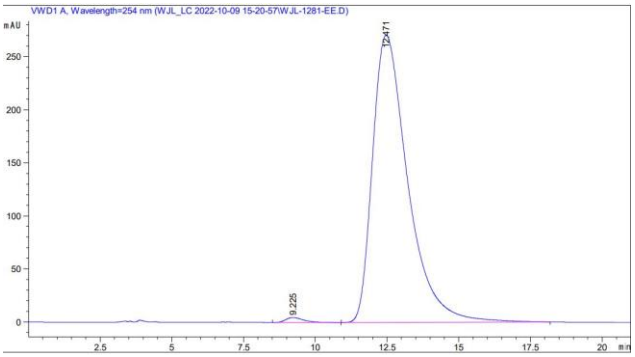
Peak	RetTime	Area	Height	Area
1	9.004	655.74805	16.94347	1.3746
2	12.041	$4.70475e^4$	721.12506	98.6254

(*R*)-2-(5-chloro-7-methylquinolin-8-yl)-6-methyl-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5xca).



Yield: 96.6 mg (92%). White solid, mp: 224-225 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.82 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.35 (dd, *J* = 8.5, 1.7 Hz, 1H), 7.77 (d, *J* = 7.9 Hz, 1H), 7.41 – 7.35 (m, 1H), 7.35 – 7.11 (m, 8H), 7.05 (d, *J* = 6.9 Hz, 2H), 6.84 (t, *J* = 7.4 Hz, 1H), 6.76 (t, *J* = 7.6 Hz, 2H), 2.39 (s, 3H), 2.38 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.0, 147.0, 141.9, 140.9, 140.7, 136.5, 134.9, 134.8, 132.5, 132.4, 131.7, 131.4, 130.5, 129.7, 129.0, 128.5, 128.0, 127.9, 127.3, 127.0, 126.9, 124.9, 124.4, 121.5, 121.3, 22.0, 19.1. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{31}H_{23}ClN_2O_2S]^+$ requires 523.1242, found 523.1251. $[\alpha]_D^{25}$ = -161 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AS-H, hexane/*i*-PrOH = 90/10, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) =9.23 min, t_2 (major) = 12.47 min.

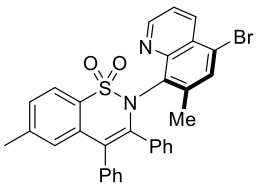




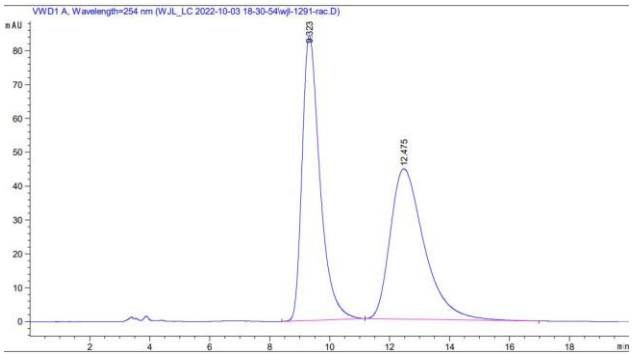
Peak	RetTime	Area	Height	Area
1	9.090	$1.19807e^4$	270.86691	50.3912
2	12.484	$1.17947e^4$	134.07501	49.6088

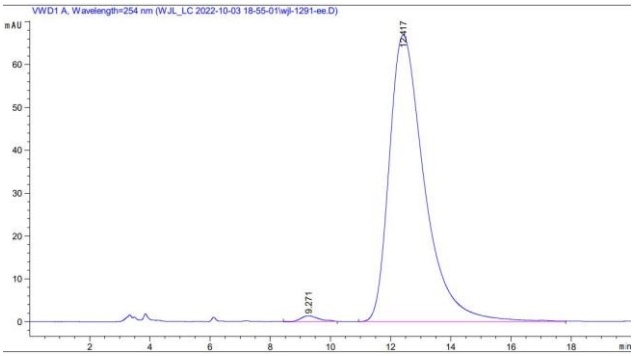
Peak	RetTime	Area	Height	Area
1	9.225	199.31787	4.64207	0.8544
2	12.471	$2.31280e^4$	270.53802	99.1456

(*R*)-2-(5-bromo-7-methylquinolin-8-yl)-6-methyl-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5xda).



Yield: 102.6 mg (90%). White solid, mp: 222-223 °C. **^{1}H NMR** (600 MHz, CDCl3) δ 8.79 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.31 (dd, *J* = 8.5, 1.7 Hz, 1H), 7.76 (d, *J* = 7.9 Hz, 1H), 7.51 (s, 1H), 7.41 – 7.34 (m, 1H), 7.32 – 7.26 (m, 3H), 7.27 – 7.20 (m, 2H), 7.20 – 7.14 (m, 2H), 7.05 (d, *J* = 7.0 Hz, 2H), 6.84 (t, *J* = 7.4 Hz, 1H), 6.77 (t, *J* = 7.6 Hz, 2H), 2.39 (s, 3H), 2.38 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.1, 147.0, 141.9, 141.2, 140.8, 136.5, 135.1, 134.9, 134.8, 133.1, 132.7, 131.7, 130.5, 129.7, 128.5, 128.0, 127.9, 127.3, 127.1, 126.9, 126.3, 124.5, 122.2, 121.8, 121.3, 22.0, 19.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{31}H_{23}BrN_2O_2S]^+$ requires 567.0736, found 567.0749. $[\alpha]_D^{25}$ = -222 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AS-H, hexane/*i*-PrOH = 90/10, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 9.27 min, t_2 (major) = 12.42 min.

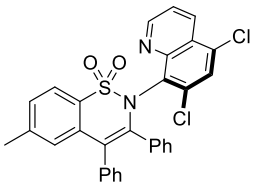




Peak	RetTime	Area	Height	Area
1	9.323	3469.97363	84.23627	49.8292
2	12.475	3493.76855	44.43232	50.1708

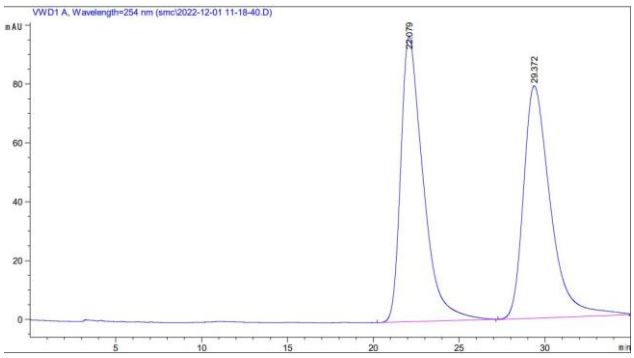
Peak	RetTime	Area	Height	Area
1	9.271	58.63945	1.35928	1.0689
2	12.417	5427.38965	66.92525	98.9311

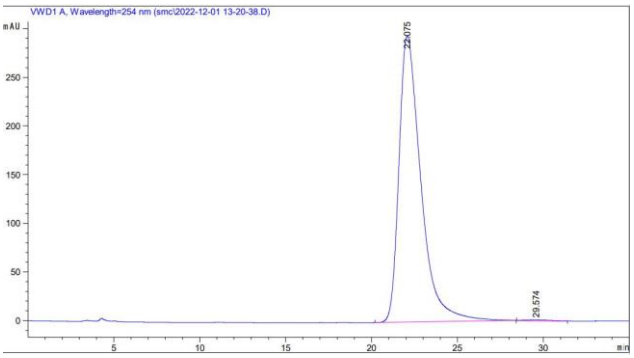
(*R*)-2-(5,7-dichloroquinolin-8-yl)-6-methyl-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5xea).



Yield: 97.8 mg (90%). White solid, mp: 206-207 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.03 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.33 (dd, *J* = 8.5, 1.6 Hz, 1H), 7.77 (d, *J* = 7.9 Hz, 1H), 7.50 – 7.39 (m, 2H), 7.32 (d, *J* = 7.1 Hz, 2H), 7.29 – 7.11 (m, 7H), 6.81 (t, *J* = 7.3 Hz, 1H), 6.76 (t, *J* = 7.5 Hz, 2H), 2.37 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 152.1, 147.2, 142.1, 140.1, 140.0, 136.3, 135.8, 134.7, 134.3, 132.7, 132.4, 132.1, 131.7, 130.9, 130.1, 128.5, 128.2, 128.0, 127.6, 127.4, 127.3, 127.2, 127.1, 126.9, 125.3, 125.0, 122.3, 121.3, 22.0. **HRMS**

(ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{20}Cl_2N_2O_2S]^+$ requires 543.0695, found 543.0695. $[\alpha]_D^{25}$ = -45 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IC-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (major) = 22.08 min, t_2 (minor) = 29.57 min.

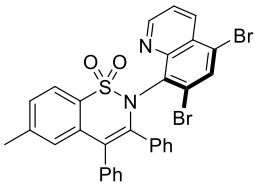




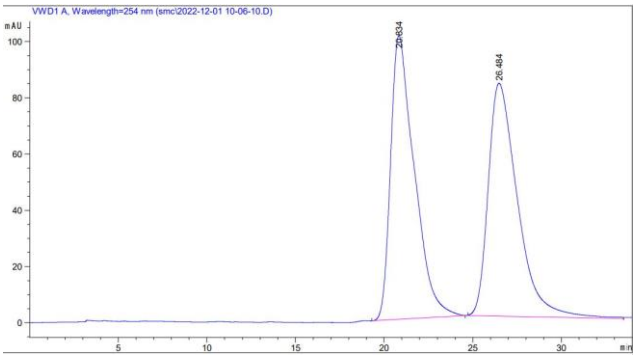
Peak	RetTime	Area	Height	Area
1	22.079	8781.87500	96.95437	50.5352
2	29.372	8595.87793	78.99887	49.4648

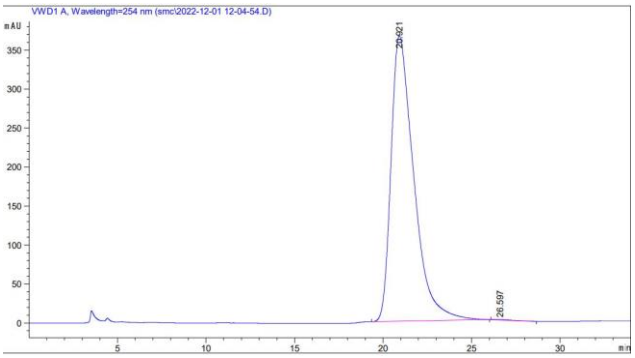
Peak	RetTime	Area	Height	Area
1	22.075	$2.60877e^4$	294.11508	99.5886
2	29.574	107.76842	$9.61860e^{-1}$	0.4114

(*R*)-2-(5,7-dibromoquinolin-8-yl)-6-methyl-3,4-diphenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5xfa).



Yield: 116.0 mg (92%). White solid, mp: 194-195 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.04 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.34 (dd, *J* = 8.5, 1.6 Hz, 1H), 7.82 (s, 1H), 7.78 (d, *J* = 7.9 Hz, 1H), 7.53 – 7.45 (m, 1H), 7.37 – 7.08 (m, 9H), 6.82 (t, *J* = 7.5 Hz, 1H), 6.76 (t, *J* = 7.5 Hz, 2H), 2.39 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 152.1, 147.6, 142.0, 139.6, 136.2, 135.4, 134.7, 134.6, 134.1, 133.7, 131.7, 131.1, 130.1, 128.5, 128.2, 127.9, 127.4, 127.2, 127.0, 126.8, 126.4, 125.3, 123.0, 122.8, 121.2, 22.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{20}Br_2N_2O_2S]^+$ requires 630.9685, found 630.9695. $[\alpha]_D^{25}$ = -110 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: >99% ee (CHIRALPAK IC-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (major) =20.92 min, t_2 (minor) = 26.60 min.

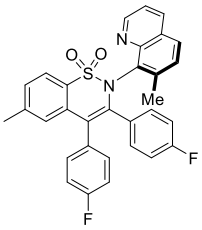




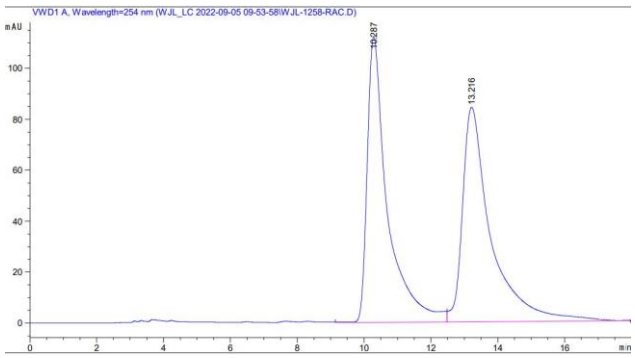
Peak	RetTime	Area	Height	Area
1	20.834	9372.89648	100.90287	49.6422
2	26.484	9508.00195	82.85613	50.3578

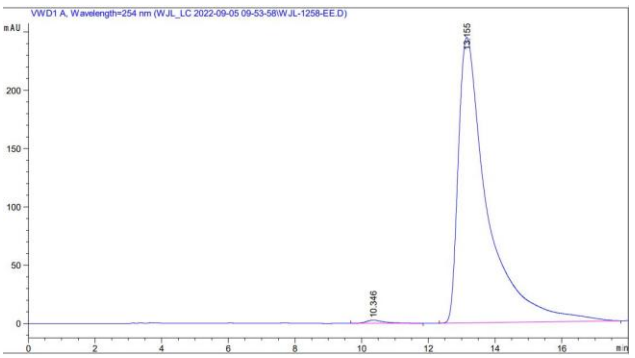
Peak	RetTime	Area	Height	Area
1	20.921	3.26313e^{4}	366.14182	99.8553
2	26.597	47.27801	7.40868e^{-1}	0.1447

(*R*)-3,4-bis(4-fluorophenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ab).



Yield: 90.4 mg (86%). White solid, mp: 250-251 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.79 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.99 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.79 (d, *J* = 7.9 Hz, 1H), 7.57 (d, *J* = 8.4 Hz, 1H), 7.39 – 7.27 (m, 2H), 7.25 – 7.20 (m, 3H), 7.11 (s, 1H), 7.02 (dd, *J* = 8.5, 5.5 Hz, 2H), 6.95 (t, *J* = 8.6 Hz, 2H), 6.46 (t, *J* = 8.6 Hz, 2H), 2.41 (s, 3H), 2.39 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 162.7 (d, $^{2}J_{C\text{-}F}$ = 22.1 Hz), 161.1 (d, $^{2}J_{C\text{-}F}$ = 19.9 Hz), 150.6, 146.5, 141.9, 140.5 (d, $^{3}J_{C\text{-}F}$ = 7.6 Hz), 134.7, 134.1 (d, $^{1}J_{C\text{-}F}$ = 281.7 Hz), 133.3 (d, $^{3}J_{C\text{-}F}$ = 7.7 Hz), 132.4 (d, $^{3}J_{C\text{-}F}$ = 4.1 Hz), 131.6, 131.5, 130.9 (d, $^{4}J_{C\text{-}F}$ = 3.0 Hz), 130.6, 129.2, 128.6, 128.4, 127.1, 127.0, 123.3, 121.4, 120.9, 115.1 (d, $^{2}J_{C\text{-}F}$ = 21.0 Hz), 114.0 (d, $^{2}J_{C\text{-}F}$ = 22.0 Hz), 22.0, 19.2. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -112.6, -114.7. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C31H22F2N2O2S]^+$ requires 525.1443, found 525.1443. $[\alpha]_D^{25}$ = +17 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 90/10, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 10.35 min, t_2 (major) = 13.16 min.

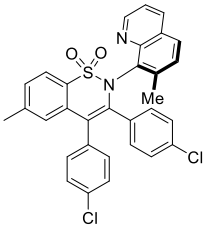




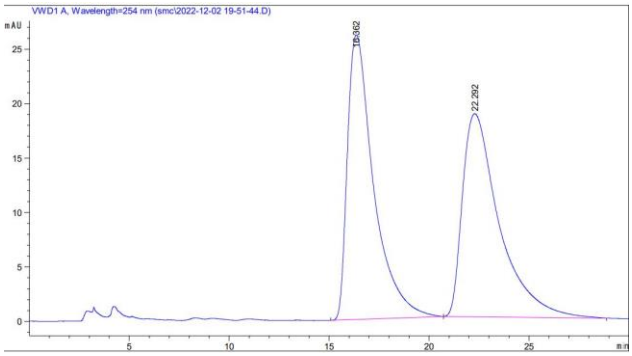
Peak	RetTime	Area	Height	Area
1	10.287	4722.00781	112.26784	48.7560
2	13.216	4962.97949	84.23692	51.2440

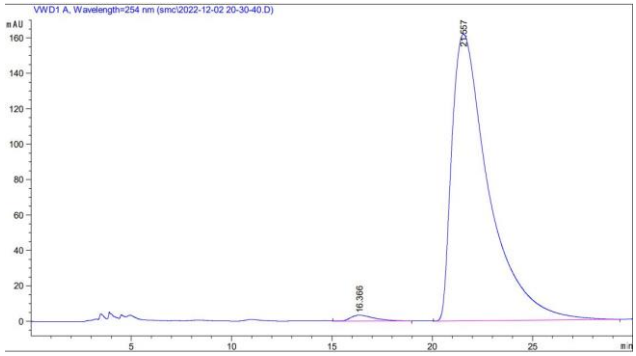
Peak	RetTime	Area	Height	Area
1	10.346	104.35126	2.72366	0.6997
2	13.155	$1.48087e^4$	244.66856	99.3003

(*R*)-3,4-bis(4-chlorophenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine 1,1-dioxide (5ac).



Yield: 42.5 mg (38%). White solid, mp: 251-252 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.78 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.00 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.78 (d, *J* = 7.9 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 1H), 7.36 – 7.28 (m, 2H), 7.28 – 7.23 (m, 3H), 7.21 (t, *J* = 6.9 Hz, 2H), 7.09 (s, 1H), 6.99 (d, *J* = 8.3 Hz, 2H), 6.76 (d, *J* = 8.3 Hz, 2H), 2.41 (s, 3H), 2.39 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.6, 146.4, 142.0, 140.4, 140.2, 135.5, 134.9, 134.4, 134.0, 133.3, 133.2, 133.0, 132.7, 131.0, 130.5, 129.2, 128.8, 128.5, 128.4, 127.3, 127.0, 123.3, 121.4, 120.9, 22.0, 19.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{31}H_{22}Cl_2N_2O_2S]^+$ requires 557.0852, found 557.0851. $[\alpha]_D^{25}$ = -190 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 95/5, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 16.37 min, t_2 (major) = 21.56 min.

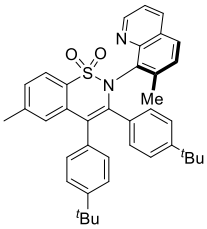




Peak	RetTime	Area	Height	Area
1	16.362	2382.92627	26.13785	50.0015
2	22.292	2382.78540	18.65500	49.9985

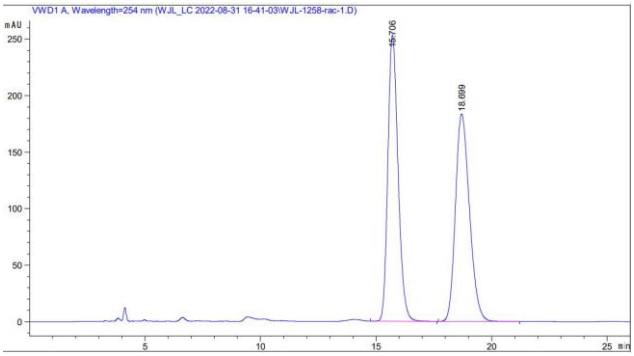
Peak	RetTime	Area	Height	Area
1	16.366	265.85269	3.29085	1.2717
2	21.557	$2.06402e^4$	161.87393	98.7283

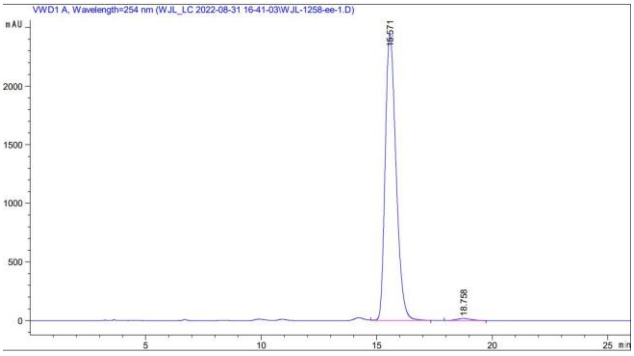
(*R*)-3,4-bis(4-(tert-butyl)phenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ad).



Yield: 97 mg (81%). White solid, mp: 210-211 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.82 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.95 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 7.9 Hz, 1H), 7.51 (dd, *J* = 8.5, 2.7 Hz, 1H), 7.33 – 7.25 (m, 3H), 7.21 – 7.10 (m, 5H), 6.92 (dd, *J* = 8.5, 2.0 Hz, 2H), 6.70 – 6.68 (m, 2H), 2.40 (s, 3H), 2.37 (s, 3H), 1.24 (s,

9H), 0.99 (s, 9H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.5, 150.4, 149.6, 146.7, 141.6, 141.4, 140.3, 135.2, 135.0, 133.7, 133.3, 132.1, 131.5, 130.5, 129.5, 129.2, 128.1, 128.0, 127.2, 127.0, 124.5, 123.9, 123.3, 121.2, 120.6, 34.4, 34.2, 31.3, 30.9, 22.0, 19.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{39}H_{40}N_2O_2S]^+$ requires 601.2883, found 601.2897. $[\alpha]_D^{25}$ = -101 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK AD-H, hexane/*i*-PrOH = 95/5, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (major) = 15.57 min, t_2 (minor) = 18.76 min.

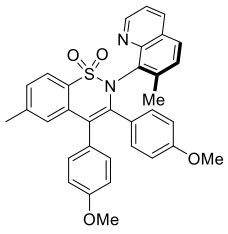




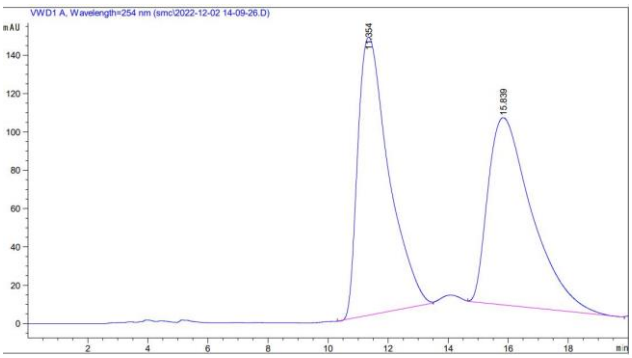
Peak	RetTime	Area	Height	Area
1	15.706	7762.59619	253.57166	50.0266
2	18.699	7754.35303	183.59186	49.9734

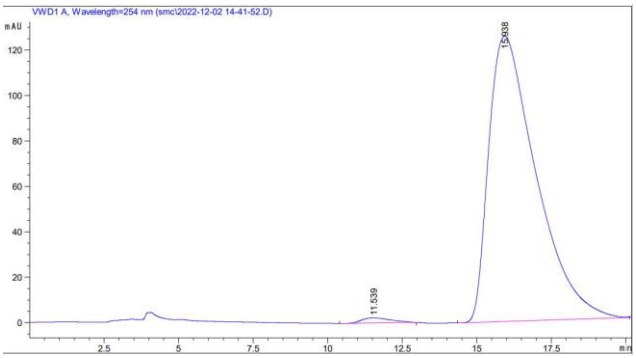
Peak	RetTime	Area	Height	Area
1	15.571	7.96054e^4	2442.62280	99.0320
2	18.758	778.11700	17.70855	0.9680

(*R*)-3,4-bis(4-methoxyphenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ae).



Yield: 98.0 mg (89%). White solid, mp: 155-156 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.85 (dd, *J* = 4.3, 1.7 Hz, 1H), 7.96 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.76 (d, *J* = 7.9 Hz, 1H), 7.52 (d, *J* = 8.4 Hz, 1H), 7.39 – 7.25 (m, 2H), 7.22 – 7.15 (m, 4H), 7.04 (d, *J* = 8.7 Hz, 2H), 6.79 (d, *J* = 8.4 Hz, 2H), 6.26 (d, *J* = 8.7 Hz, 2H), 3.76 (s, 3H), 3.51 (s, 3H), 2.39 (s, 3H), 2.33 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 158.7, 158.3, 150.5, 146.6, 141.7, 141.1, 140.1, 135.3, 135.2, 133.3, 132.8, 131.2, 130.5, 129.2, 129.0, 128.1, 128.0, 127.6, 127.2, 127.0, 123.3, 121.2, 120.7, 113.4, 112.1, 55.1, 54.8, 22.0, 19.1. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{33}H_{28}N_2O_4S]^+$ requires 549.1843, found 549.1850. $[\alpha]_D^{25}$ = -138 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 11.54 min, t_2 (major) = 15.94 min.

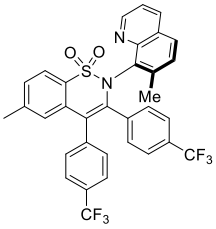




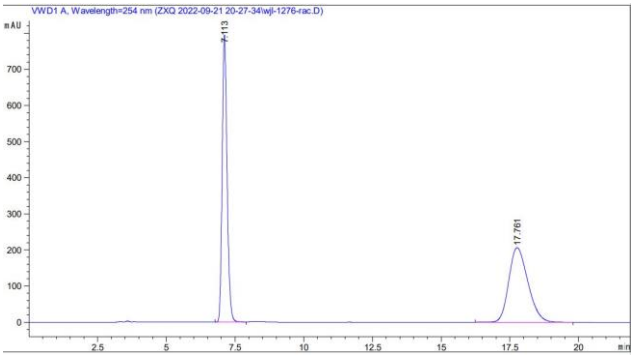
Peak	RetTime	Area	Height	Area
1	11.354	1.03713e^4	145.08365	51.0206
2	15.839	9956.33887	97.71770	48.9794

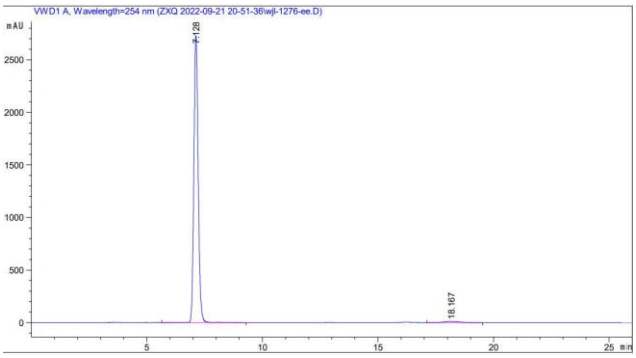
Peak	RetTime	Area	Height	Area
1	11.539	155.89290	2.30812	1.1109
2	15.938	1.38775e^4	125.59432	98.8891

(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3,4-bis(4-(trifluoromethyl)phenyl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5af).



Yield: 107.9 mg (86%). White solid, mp: 258-259 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.77 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.00 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 2H), 7.41 (d, *J* = 8.0 Hz, 2H), 7.35 (d, *J* = 7.4 Hz, 1H), 7.30 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.23 (d, *J* = 8.4 Hz, 1H), 7.16 (d, *J* = 8.0 Hz, 2H), 7.05 (d, *J* = 8.2 Hz, 3H), 2.43 (s, 3H), 2.42 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.7, 146.4, 142.3, 140.6, 140.1, 138.1, 135.6, 134.0, 132.4, 132.0, 130.6, 130.0, 129.9 (q, $^2J_{C\text{-}F}$= 33.1 Hz), 129.5, 129.2, 128.7, 127.1, 127.0, 125.1 (q, $^4J_{C\text{-}F}$ = 272.3, 65.6 Hz), 129.3, 129.2, 128.7, 127.1, 127.0, 125.6 (dd, *J* = 272.3, 65.6 Hz), 125.1 (q, $^4J_{C\text{-}F}$ = 3.7 Hz), 124.1 (q, $^4J_{C\text{-}F}$ = 3.7 Hz), 124.0 (q, $^1J_{C\text{-}F}$ = 272.2 Hz), 123.5 (q, $^1J_{C\text{-}F}$ = 272.2 Hz), 121.6, 121.3, 22.0, 19.2. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -62.6, -62.9.**HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{33}H_{22}F_6N_2O_2S]^+$ requires 625.1379, found 625.1383. $[\alpha]_D^{25}$ = -113 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (major) =7.13 min, t_2 (minor) = 18.17 min.

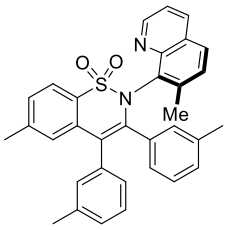




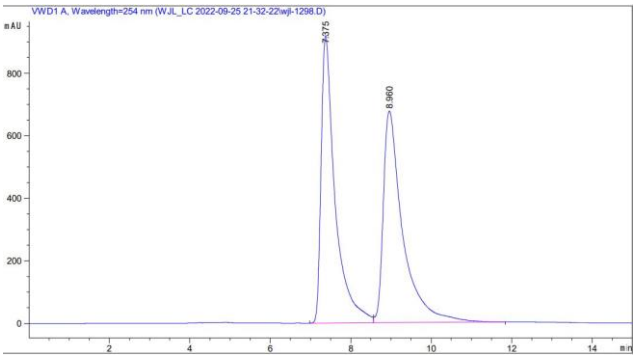
Peak	RetTime	Area	Height	Area
1	7.113	9895.54688	795.08264	49.8537
2	17.761	9953.63867	206.43063	50.1463

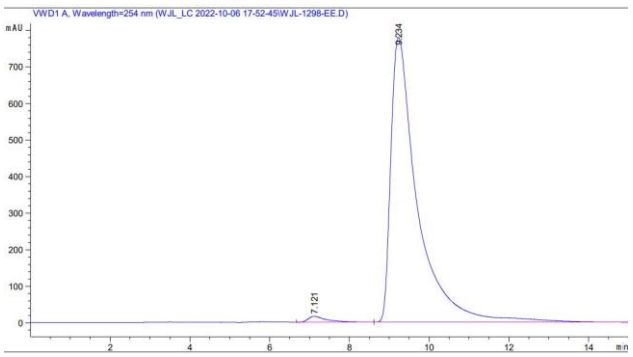
Peak	RetTime	Area	Height	Area
1	7.128	3.53210e^4	2728.90918	98.5581
2	18.167	516.72943	10.36173	1.4419

(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3,4-di-m-tolyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ag).



Yield: 84.2 mg (82%). White solid, mp: 226-227 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.86 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.95 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.78 (d, *J* = 8.0 Hz, 1H), 7.50 (dd, *J* = 8.4, 1.8 Hz, 1H), 7.34 – 7.24 (m, 2H), 7.21 – 7.06 (m, 6H), 6.98 (d, *J* = 6.5 Hz, 1H), 6.81 – 6.74 (m, 1H), 6.63 – 6.54 (m, 2H), 2.38 (s, 3H), 2.33 (s, 3H), 2.24 (s, 3H), 1.88 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.5, 146.7, 141.7, 141.0, 140.2, 137.4, 136.5, 136.1, 135.3, 135.0, 134.7, 133.3, 132.4, 130.7, 129.2, 129.0, 128.5, 128.3, 128.1, 127.7, 127.5, 127.3, 126.9, 126.3, 124.0, 121.2, 120.7, 22.0, 21.4, 20.8, 19.1. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{33}H_{28}N_2O_2S]^+$ requires 517.1944, found 517.1948. $[\alpha]_D^{25}$ = +88 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 7.12 min, t_2 (major) = 9.23 min.

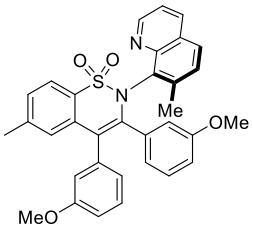




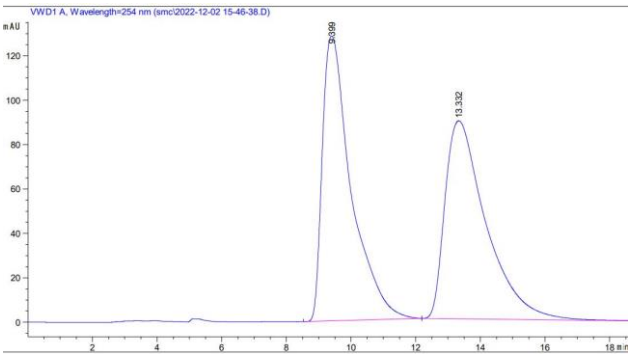
Peak	RetTime	Area	Height	Area
1	7.375	2.21491e^4	918.50043	49.3498
2	8.960	2.27327e^4	676.34637	50.6502

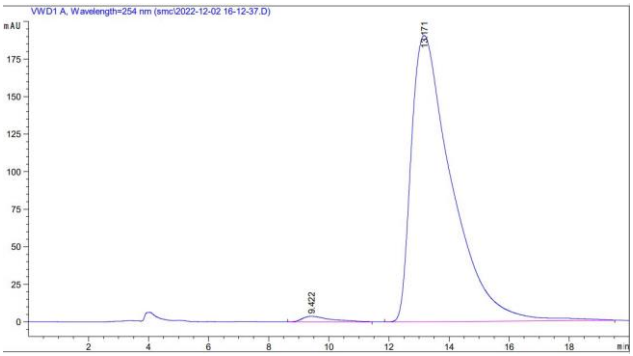
Peak	RetTime	Area	Height	Area
1	7.121	512.70258	15.95782	1.3979
2	9.234	3.61649e^4	778.70892	98.6021

(*R*)-3,4-bis(3-methoxyphenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ah).



Yield: 102.0 mg (93%). White solid, mp: 197-198 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.83 (d, *J* = 2.6 Hz, 1H), 7.96 (d, *J* = 8.3 Hz, 1H), 7.78 (d, *J* = 7.9 Hz, 1H), 7.52 (d, *J* = 8.4 Hz, 1H), 7.32 – 7.22 (m, 3H), 7.21 – 7.14 (m, 2H), 6.95 (d, *J* = 7.6 Hz, 1H), 6.80 (s, 1H), 6.75 – 6.56 (m, 4H), 6.37 (dd, *J* = 8.1, 2.6 Hz, 1H), 3.67 (s, 3H), 3.35 (s, 3H), 2.39 (s, 3H), 2.36 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 159.2, 158.0, 150.5, 146.7, 141.8, 140.8, 140.3, 138.0, 136.1, 135.4, 134.7, 133.2, 130.5, 129.3, 128.7, 128.5, 128.2, 127.7, 127.3, 127.0, 124.4, 123.8, 122.6, 121.3, 120.8, 117.1, 114.9, 114.4, 112.9, 55.2, 55.0, 22.0, 19.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{33}H_{28}N_2O_4S]^+$ requires 549.1843, found 549.1852. $[\alpha]_D^{25}$ = -180 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 9.42 min, t_2 (major) = 13.17 min.

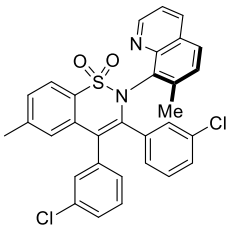




Peak	RetTime	Area	Height	Area
1	9.399	7933.53076	128.35252	50.1175
2	13.332	7896.33984	89.25243	49.8825

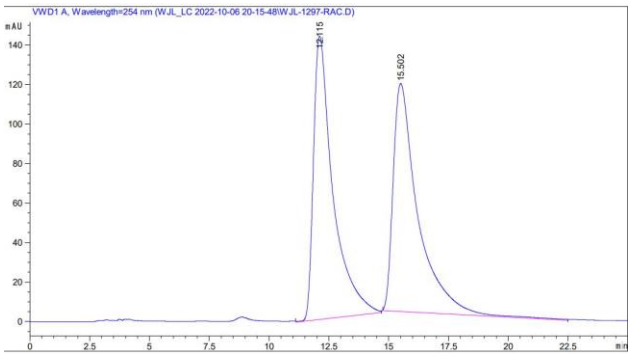
Peak	RetTime	Area	Height	Area	
1	9.422	248.65074	3.69090	1.3717	
2	13.171	1.78783e4	190.54797	98.6283	

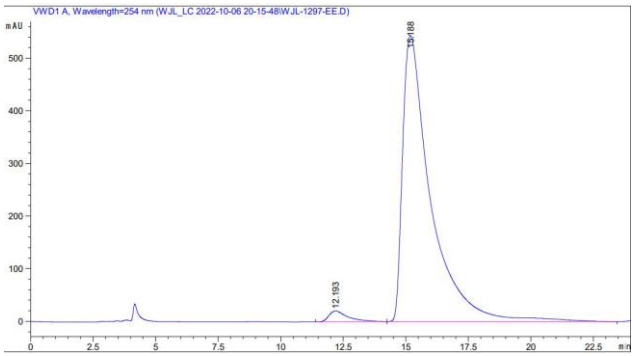
(*R*)-3,4-bis(3-chlorophenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ai).



Yield: 74.4 mg (67%). White solid, mp: 220-221 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.79 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.96 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.78 (d, *J* = 7.9 Hz, 1H), 7.55 (d, *J* = 8.4 Hz, 1H), 7.32 (d, *J* = 6.0 Hz, 2H), 7.30 – 7.26 (m, 1H), 7.23 – 7.15 (m, 5H), 7.10 (s, 1H), 6.87 (d, *J* = 7.7 Hz, 1H), 6.83 – 6.79 (m, 1H), 6.69 (t, *J* = 7.9 Hz, 1H), 2.41 (s, 3H), 2.40 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.7, 146.4, 142.1, 140.5,

140.1, 138.1, 136.2, 135.5, 134.2, 133.9, 132.9, 132.6, 131.6, 130.6, 129.9, 129.8, 129.4, 129.2, 128.9, 128.6, 128.3, 128.2, 127.9, 127.7, 127.1, 127.0, 123.3, 121.4, 121.0, 22.0, 19.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{31}H_{22}Cl_2N_2O_2S]^+$ requires 557.0852, found 557.0853. $[\alpha]_D^{25}$ = +53 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 95% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 90/10, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 12.19 min, t_2 (major) = 15.19 min.

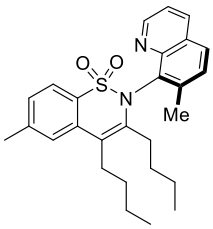




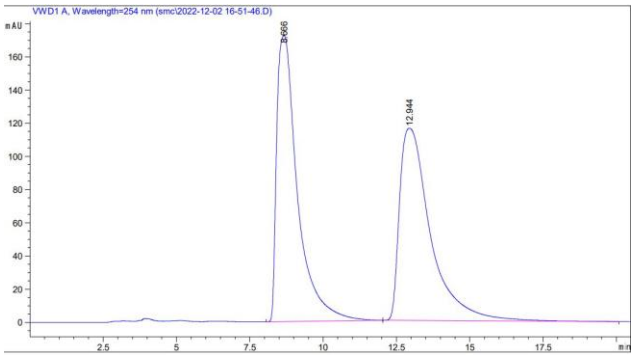
Peak	RetTime	Area	Height	Area
1	12.115	8137.08789	143.51866	49.4716
2	15.502	8310.92383	115.44869	50.5284

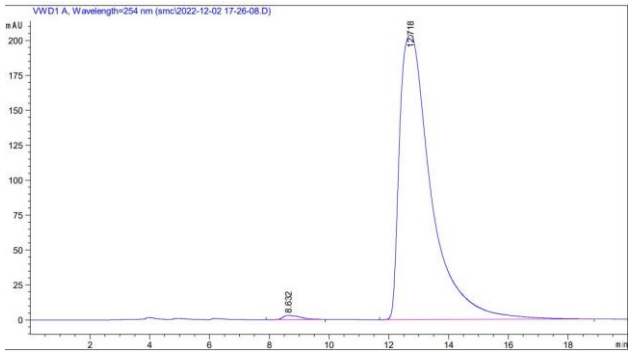
Peak	RetTime	Area	Height	Area
1	12.193	1087.71130	20.60661	2.4963
2	15.188	$4.24845e^4$	543.19104	97.5037

(*R*)-3,4-dibutyl-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5aj).



Yield: 72.8 mg (81%). White solid, mp: 198-199 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.82 (dd, *J* = 4.1, 1.8 Hz, 1H), 8.07 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.66 (d, *J* = 7.9 Hz, 1H), 7.44 (s, 1H), 7.36 (d, *J* = 8.4 Hz, 1H), 7.33 – 7.29 (m, 1H), 7.22 (d, *J* = 9.5 Hz, 1H), 2.79 – 2.61 (m, 2H), 2.50 (s, 3H), 2.18 – 2.10 (m, 4H), 2.04 – 1.89 (m, 1H), 1.68 – 1.61 (m, 3H), 1.52 – 1.44 (m, 2H), 1.42 – 1.34 (m, 1H), 1.12 – 0.98 (m, 2H), 0.97 (t, *J* = 7.3 Hz, 3H), 0.58 (t, *J* = 7.3 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 147.1, 141.4, 140.5, 139.9, 135.4, 134.7, 133.1, 131.9, 129.4, 128.3, 127.6, 127.3, 124.7, 121.3, 120.9, 120.5, 32.2, 30.7, 30.5, 28.2, 23.0, 22.6, 22.1, 18.6, 14.0, 13.4. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{27}H_{32}N_2O_2S]^+$ requires 449.2257, found 449.2266. $[\alpha]_D^{25}$ = -282 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 90/10, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 8.63 min, t_2 (major) = 12.72 min.

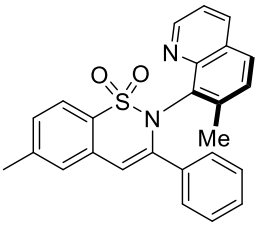




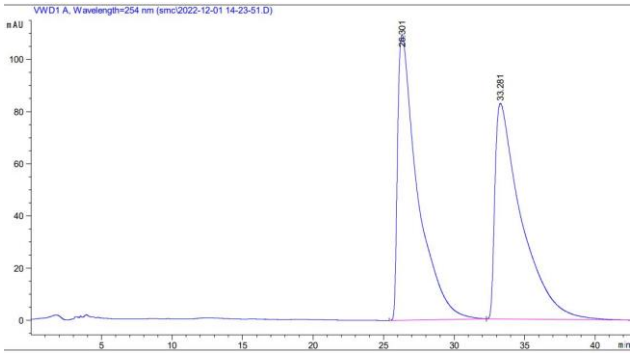
Peak	RetTime	Area	Height	Area
1	8.666	8492.09375	172.39214	49.9804
2	12.944	8498.75488	115.91394	50.0196

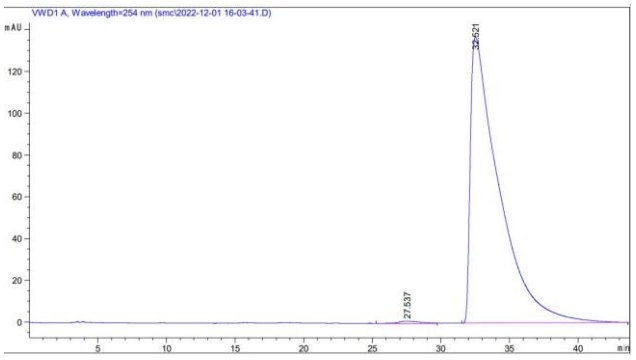
Peak	RetTime	Area	Height	Area
1	8.632	124.42561	3.06659	0.8266
2	12.718	1.49281e^4	203.73566	99.1734

(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3-phenyl-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ak).



Yield: 61.7 mg (75%). White solid, mp: 241-242 ^{o}C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.00 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.99 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.60 (d, *J* = 7.0 Hz, 2H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.38 (s, 1H), 7.36 – 7.31 (m, 1H), 7.27 (d, *J* = 8.1 Hz, 1H), 7.14 (d, *J* = 8.3 Hz, 1H), 7.11 (t, *J* = 7.3 Hz, 1H), 7.04 (t, *J* = 7.6 Hz, 2H), 6.73 (s, 1H), 2.49 (s, 3H), 2.16 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 146.8, 144.7, 142.2, 139.6, 135.8, 135.4, 133.7, 133.5, 130.3, 129.4, 129.2, 128.5, 128.3, 127.9, 127.8, 127.4, 127.0, 121.5, 120.9, 111.2, 21.7, 18.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{20}N_2O_2S]^+$ requires 413.1318, found 413.1328. $[\alpha]_D^{25}$ = +119 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 27.54 min, t_2 (major) = 32.52 min.

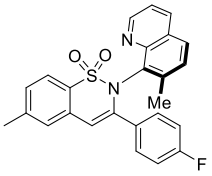




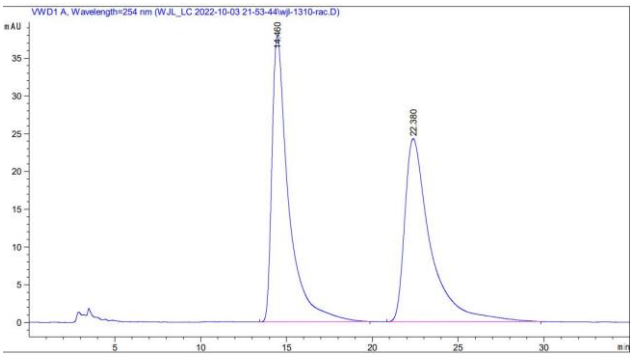
Peak	RetTime	Area	Height	Area
1	26.301	1.07853e^4	109.58272	50.0718
2	33.281	1.07544e^4	82.68362	49.9282

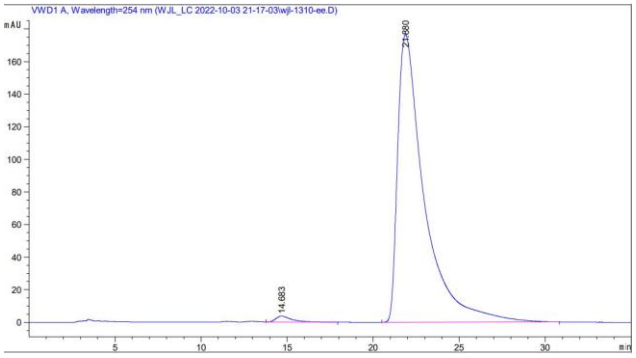
Peak	RetTime	Area	Height	Area
1	27.537	141.74036	1.17679	0.7093
2	32.521	1.98418e^4	137.00093	99.2907

(*R*)-3-(4-fluorophenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5al).



Yield: 81.0 mg (94%). White solid, mp: 234-235 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.99 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.01 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.65 – 7.47 (m, 3H), 7.42 – 7.33 (m, 2H), 7.28 (d, *J* = 8.0 Hz, 1H), 7.17 (d, *J* = 8.4 Hz, 1H), 6.73 (t, *J* = 8.7 Hz, 2H), 6.68 (s, 1H), 2.49 (s, 3H), 2.14 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 163.2 (d, $^1J_{C\text{-}F}$ = 249.7 Hz), 151.0, 146.7, 143.5, 142.3, 139.6, 135.5, 133.5, 133.3, 131.9 (d, $^4J_{C\text{-}F}$ = 3.2 Hz), 130.3, 129.7 (d, $^3J_{C\text{-}F}$ = 8.9 Hz), 129.4, 128.6, 128.4, 127.4, 127.1, 121.5, 121.0, 115.0 (d, $^2J_{C\text{-}F}$ = 20.8 Hz), 110.4, 21.7, 18.7. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -111.6. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{19}FN_2O_2S]^+$ requires 431.1224, found 431.1228. $[\alpha]_D^{25}$ = -120 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 14.68 min, t_2 (major) = 21.88 min.

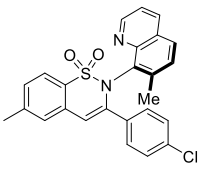




Peak	RetTime	Area	Height	Area
1	14.460	2555.48071	37.84724	50.4238
2	22.380	2512.52734	24.24912	49.5762

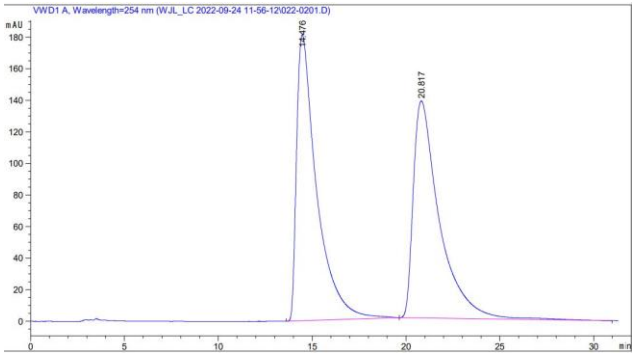
Peak	RetTime	Area	Height	Area
1	14.683	233.37505	3.62806	1.2145
2	21.880	1.89825e^4	176.62465	98.7855

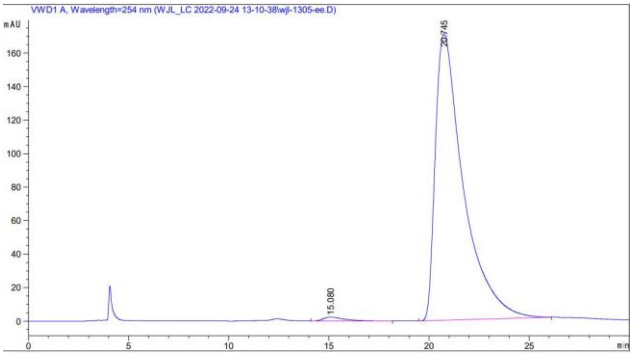
(*R*)-3-(4-chlorophenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5am).



Yield: 82.2 mg (92%). White solid, mp: 246-247 °C. **^{1}H NMR** (600 MHz, CDCl3) δ 8.98 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.00 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.62 – 7.49 (m, 3H), 7.36 (s, 1H), 7.34 – 7.31 (m, 1H), 7.27 (d, *J* = 8.0 Hz, 1H), 7.15 (d, *J* = 8.4 Hz, 1H), 7.01 (d, *J* = 8.6 Hz, 2H), 6.70 (s, 1H), 2.48 (s, 3H), 2.13 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.0, 146.7, 143.4, 142.4, 139.6, 135.6, 135.2, 134.3, 133.4, 133.3, 130.4, 129.5,

129.1, 128.8, 128.5, 128.3, 127.5, 127.4, 127.1, 121.5, 121.0, 111.5, 111.4, 21.7, 18.7. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{19}ClN_2O_2S]^+$ requires 447.0929, found 447.0930. $[\alpha]_D^{25}$ = -103 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 15.08 min, t_2 (major) = 20.75 min.

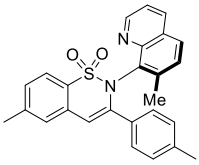




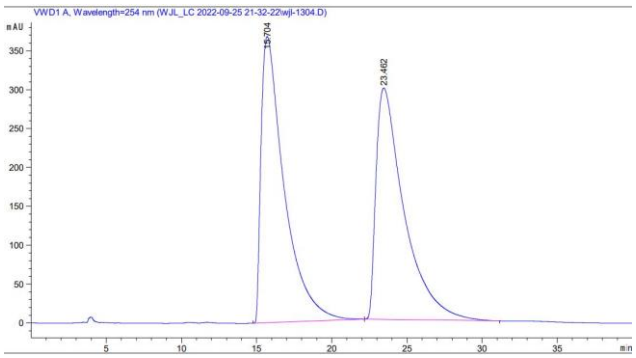
Peak	RetTime	Area	Height	Area
1	14.476	1.34789e4	181.34236	50.2574
2	20.817	1.33409e4	137.50198	49.7426

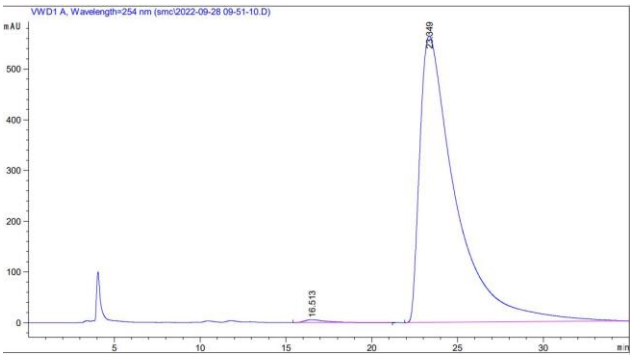
Peak	RetTime	Area	Height	Area
1	15.080	176.67310	2.37675	1.0485
2	20.745	1.66729e4	170.74089	98.9515

(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3-(p-tolyl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5an).



Yield: 74.5 mg (87%). White solid, mp: 260-261 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.01 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.99 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.54 (d, *J* = 8.4 Hz, 1H), 7.49 (d, *J* = 8.2 Hz, 2H), 7.36 (s, 1H), 7.35 – 7.32 (m, 1H), 7.29 – 7.22 (m, 1H), 7.14 (d, *J* = 8.4 Hz, 1H), 6.85 (d, *J* = 8.0 Hz, 2H), 6.70 (s, 1H), 2.49 (s, 3H), 2.15 (s, 3H), 2.13 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 146.9, 144.7, 142.2, 139.5, 139.2, 135.4, 133.8, 133.6, 133.0, 130.3, 129.5, 128.7, 128.3, 128.2, 127.8, 127.3, 127.0, 121.5, 120.9, 110.6, 21.7, 21.1, 18.7. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{26}H_{22}N_2O_2S]^+$ requires 427.1475, found 427.1479. $[\alpha]_D^{25}$ = +92 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 16.51 min, t_2 (major) = 23.35 min.

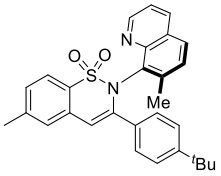




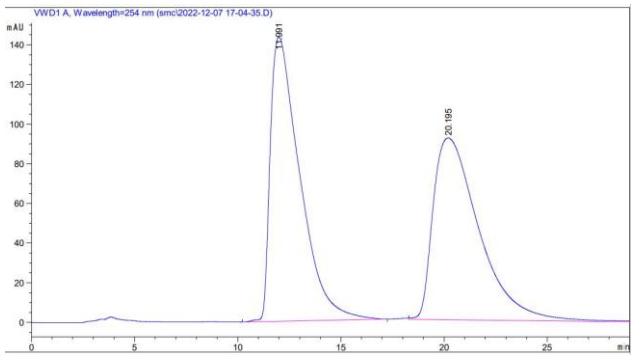
Peak	RetTime	Area	Height	Area
1	15.704	3.92003e^4	367.87833	50.4109
2	23.462	3.85613e^4	297.40997	49.5891

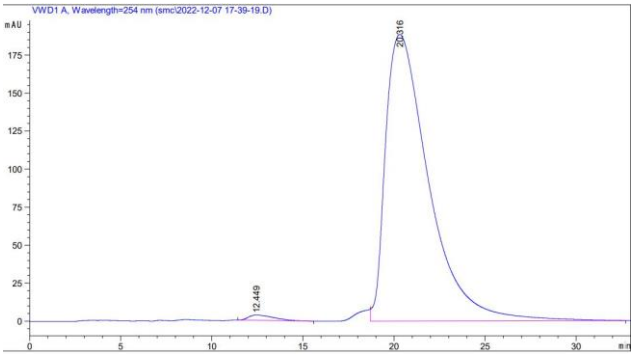
Peak	RetTime	Area	Height	Area
1	16.513	501.75006	5.40673	0.6111
2	23.349	8.15991e^4	564.82068	99.3889

(*R*)-3-(4-(tert-butyl)phenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ao).



Yield: 87.1 mg (93%). White solid, mp: 228-229 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.01 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.00 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.69 (d, *J* = 7.9 Hz, 1H), 7.54 (dd, *J* = 8.4, 6.3 Hz, 3H), 7.47 – 7.30 (m, 2H), 7.25 (d, *J* = 7.0 Hz, 1H), 7.15 (d, *J* = 8.4 Hz, 1H), 7.06 (d, *J* = 8.5 Hz, 2H), 6.71 (s, 1H), 2.49 (s, 3H), 2.15 (s, 3H), 1.15 (s, 9H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 152.3, 150.9, 147.0, 144.7, 142.1, 139.6, 135.4, 133.9, 133.7, 132.9, 130.3, 129.5, 128.3, 128.1, 127.5, 127.3, 127.1, 124.9, 121.5, 120.9, 110.8, 34.5, 31.1, 21.7, 18.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{29}H_{28}N_2O_2S]^+$ requires 469.1944, found 469.1948. $[\alpha]_D^{25}$ = -181 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 12.45 min, t_2 (major) = 20.32 min.



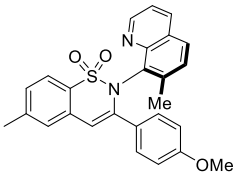


Peak	RetTime	Area	Height	Area
1	11.991	1.43108e^4	143.40851	50.1118

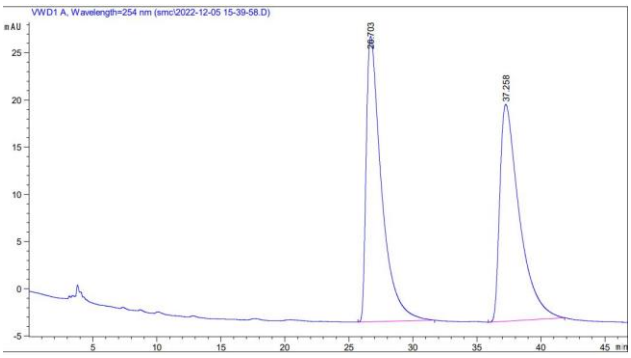
Peak	RetTime	Area	Height	Area
1	12.449	334.37659	3.42004	1.0629

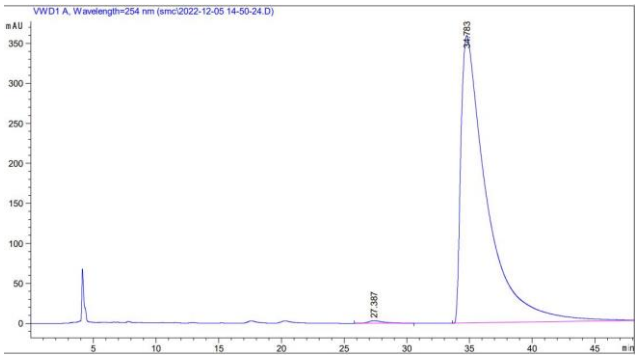
2	20.195	1.42469e^4	91.66684	49.8882		2	20.316	3.11239e^4	188.48344	98.9371

(*R*)-3-(4-methoxyphenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ap).



Yield: 83.0 mg (94%). White solid, mp: 238-239 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.01 (dd, *J* = 4.3, 1.7 Hz, 1H), 7.98 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.53 (t, *J* = 8.2 Hz, 3H), 7.39 – 7.27 (m, 2H), 7.26 – 7.21 (m, 1H), 7.13 (d, *J* = 8.4 Hz, 1H), 6.65 (s, 1H), 6.55 (d, *J* = 8.8 Hz, 2H), 3.61 (s, 3H), 2.46 (s, 3H), 2.10 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 160.4, 150.9, 146.9, 144.4, 142.2, 139.5, 135.5, 133.9, 133.7, 130.2, 129.5, 129.3, 128.4, 128.2, 128.1, 127.3, 127.1, 121.4, 120.9, 113.4, 110.0, 55.1, 21.7, 18.7. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{26}H_{22}N_2O_3S]^+$ requires 443.1424, found 443.1422. $[\alpha]_D^{25}$ = +153 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 27.39 min, t_2 (major) = 34.78 min.

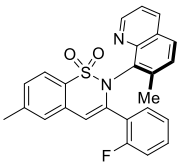




Peak	RetTime	Area	Height	Area
1	26.703	2513.95728	30.25465	50.7221
2	37.258	2442.37427	22.99876	49.2779

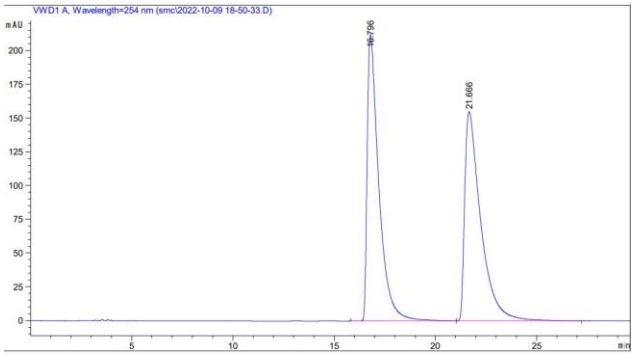
Peak	RetTime	Area	Height	Area
1	27.387	266.75439	3.15039	0.5168
2	34.783	5.13528e^4	359.36899	99.4832

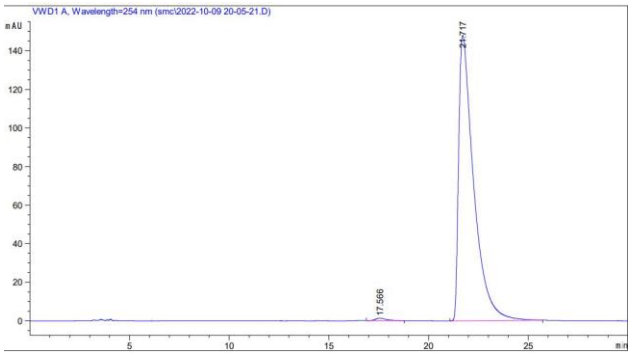
(*R*)-3-(2-fluorophenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5aq).



Yield: 77.5 mg (90%). White solid, mp: 216-217 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.93 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.98 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.68 – 7.58 (m, 1H), 7.54 (d, *J* = 8.4 Hz, 1H), 7.39 (s, 1H), 7.31 (dd, *J* = 8.2, 4.3 Hz, 2H), 7.20 (d, *J* = 8.4 Hz, 1H), 7.09 – 6.98 (m, 1H), 6.92 – 6.84 (m, 1H), 6.81 (d, *J* = 1.9 Hz, 1H), 6.69 (t, *J* = 7.6 Hz, 1H), 2.50 (s, 3H), 2.26 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 160.2 (d, $^1J_{C\text{-}F}$ = 251.0

Hz), 150.8, 146.7, 142.2, 140.5, 137.4, 135.4, 133.3, 133.0, 131.8, 130.6, 130.5 (d, $^{3}J_{C\text{-}F}$ = 8.8 Hz), 129.5, 128.9, 128.3, 127.7, 127.0, 123.3 (d, $^{4}J_{C\text{-}F}$ = 3.4 Hz), 123.1 (d, $^{2}J_{C\text{-}F}$ = 11.9 Hz), 121.4, 120.9, 115.6 (d, $^{2}J_{C\text{-}F}$ = 22.0 Hz), 114.4 (d, $^{3}J_{C\text{-}F}$ = 4.4 Hz), 21.7, 18.6. ^{19}F NMR (565 MHz, $CDCl_3$) δ -116.4. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{19}FN_2O_2S]^+$ requires 431.1224, found 431.1234. $[\alpha]_D^{25}$ = -175 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 17.57 min, t_2 (major) = 21.72 min.

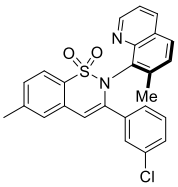




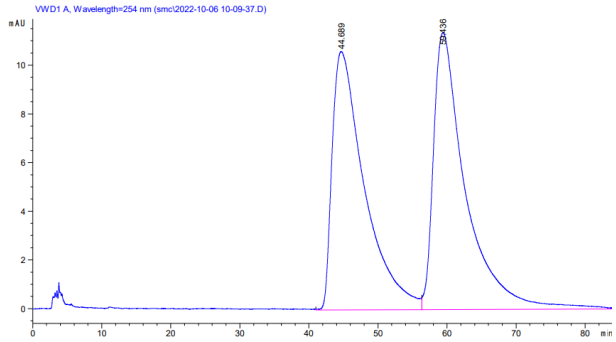
Peak	RetTime	Area	Height	Area
1	16.796	8502.19922	212.19034	49.9164
2	21.666	8530.67773	154.96280	50.0836

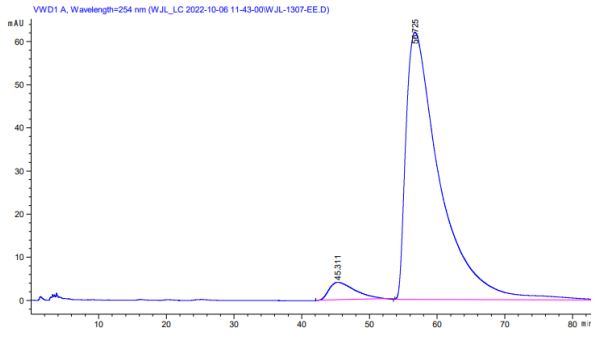
Peak	RetTime	Area	Height	Area
1	17.566	54.97084	1.34104	0.7055
2	21.717	7736.68994	147.97299	99.2945

(*R*)-3-(3-chlorophenyl)-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5ar).



Yield: 76.5 mg (86%). White solid, mp: 218-219 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.00 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.01 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.66 (t, *J* = 1.9 Hz, 1H), 7.57 (d, *J* = 8.3 Hz, 1H), 7.49 (d, *J* = 7.9 Hz, 1H), 7.39 (s, 1H), 7.35 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.30 (d, *J* = 6.6 Hz, 1H), 7.18 (d, *J* = 8.4 Hz, 1H), 7.08 – 7.05 (m, 1H), 6.97 (t, *J* = 7.9 Hz, 1H), 6.74 (s, 1H), 2.50 (s, 3H), 2.16 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.0, 146.7, 143.2, 142.4, 139.7, 137.5, 135.5, 133.9, 133.3, 133.2, 130.5, 129.5, 129.2, 129.1, 128.9, 128.5, 127.9, 127.6, 127.1, 125.9, 121.5, 121.0, 111.9, 21.7, 18.7. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{19}ClN_2O_2S]^+$ requires 447.0929, found 447.0933. $[\alpha]_D^{25}$ = -73 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 91% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 90/10, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 45.31min, t_2 (major) = 56.73 min.

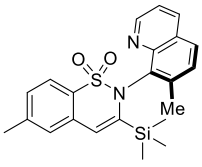




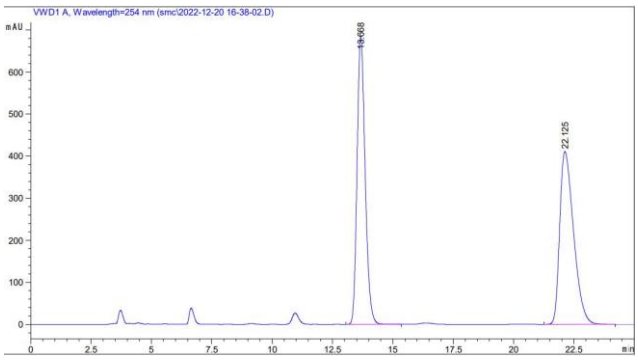
Peak	RetTime	Area	Height	Area
1	44.689	3447.89453	10.61153	48.3388
2	59.436	3684.87964	11.37391	51.6612

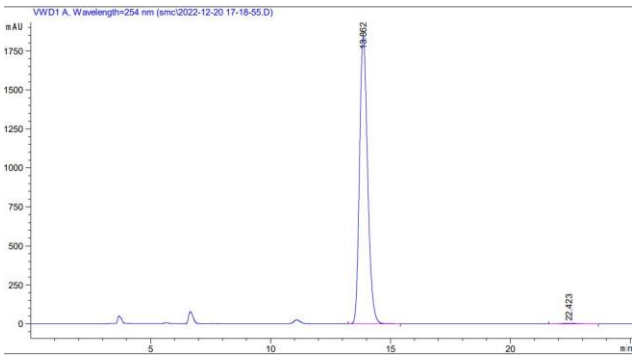
Peak	RetTime	Area	Height	Area
1	45.311	1076.86499	4.06268	4.7478
2	56.725	2.16044e^4	61.98511	95.2522

(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5as).



Yield: 77.6 mg (95%). White solid, mp: 184-185 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.02 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.32 (dd, *J* = 8.2, 1.7 Hz, 1H), 8.00 (d, *J* = 8.4 Hz, 1H), 7.94 (d, *J* = 7.9 Hz, 1H), 7.67 (d, *J* = 8.4 Hz, 1H), 7.59 – 7.43 (m, 3H), 7.03 (s, 1H), 2.73 (s, 3H), 2.62 (s, 3H), 0.00 (s, 9H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 152.1, 149.0, 148.4, 142.9, 142.5, 136.5, 135.4, 134.7, 131.5, 130.4, 130.0, 129.9, 128.4, 128.1, 122.1, 121.2, 22.8, 20.3, 0.00. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{22}H_{24}N_2O_2SSi]^+$ requires 409.1401, found 409.1404. $[\alpha]_D^{25}$ = -393 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee. (CHIRALPAK AD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (major) = 13.86 min, t_2 (minor) = 22.42 min.

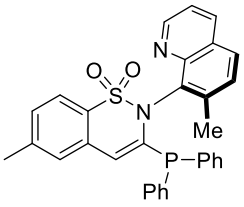




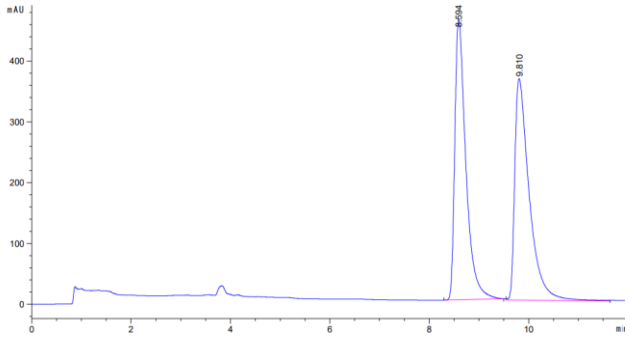
Peak	RetTime	Area	Height	Area
1	13.668	1.58902e^4	684.14288	49.2619
2	22.125	1.63664e^4	410.87125	50.7381

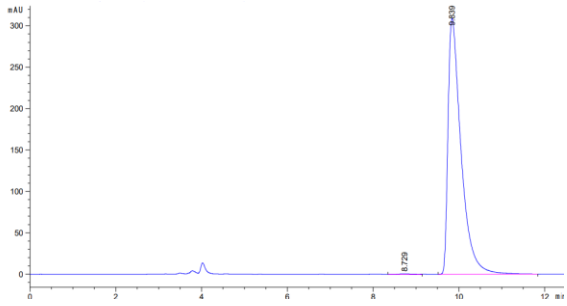
Peak	RetTime	Area	Height	Area
1	13.862	4.31416e^4	1841.94287	99.6547
2	22.423	149.48857	3.76484	0.3453

(*R*)-3-(diphenylphosphaneyl)-6-methyl-2-(7-methylquinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide (5at).



Yield: 57.2 mg (55%). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.35 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.95 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.65 (t, *J* = 8.1 Hz, 2H), 7.50 (dt, *J* = 7.3, 4.0 Hz, 2H), 7.41 (t, *J* = 3.5 Hz, 3H), 7.33 (d, *J* = 8.4 Hz, 1H), 7.23 (d, *J* = 7.6 Hz, 2H), 7.19 (t, *J* = 7.0 Hz, 2H), 7.14 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.09 (t, *J* = 7.7 Hz, 2H), 7.05 (s, 1H), 6.13 (s, 1H), 2.40 (s, 3H), 2.25 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.3, 146.8 (d, *J* = 13.3 Hz), 146.7 (d, *J* = 2.4 Hz), 142.5 (d, *J* = 2.2 Hz), 141.9, 135.3, 134.9, 134.7, 134.1, 134.0, 133.8 (d, *J* = 10.4 Hz), 133.4, 133.1 (d, *J* = 2.2 Hz), 129.7, 129.6, 129.1 (d, *J* = 2.4 Hz), 128.9, 128.8, 128.3, 128.2, 127.1, 121.1, 120.7, 118.1 (d, *J* = 4.5 Hz), 21.7, 19.3 (d, *J* = 2.6 Hz). **^{31}P NMR** (243 MHz, $CDCl_3$) δ -7.91. **HRMS** (ESI): m/z $[M+H]^+$calcd for $[C_{31}H_{26}N_2O_2PS]^+$ requires 521.1447, found 521.1464. $[\alpha]_D^{25}$ = -82 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK OD-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 8.73 min, t_2 (major) = 9.84 min.

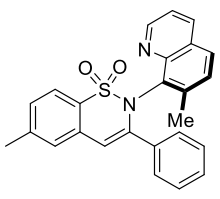




Peak	RetTime	Area	Height	Area
1	8.594	7153.47021	461.31271	49.3148
2	9.810	7352.25098	364.34186	50.6852

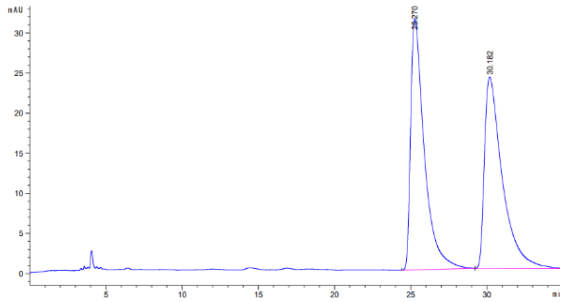
Peak	RetTime	Area	Height	Area
1	8.729	21.98350	8.82406e-1	0.3362
2	9.839	6515.98682	308.91144	99.6638

(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6a).

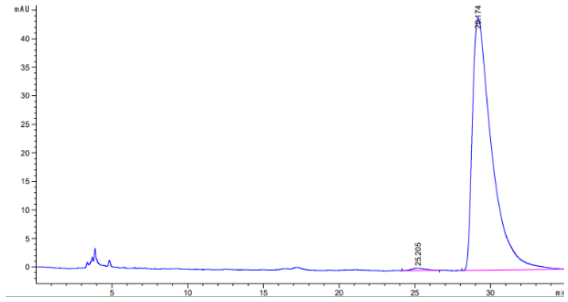


Yield: 97.9 mg (95%). White solid, mp: 241-242 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.00 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.99 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.72 (d, *J* = 8.0 Hz, 1H), 7.63 – 7.58 (m, 2H), 7.54 (d, *J* = 8.4 Hz, 1H), 7.38 (s, 1H), 7.34 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.28 (dd, *J* = 8.1, 1.6 Hz, 1H), 7.15 (d, *J* = 8.4 Hz, 1H), 7.14 – 7.09 (m, 1H), 7.05 (dd, *J* = 8.4, 6.9 Hz, 2H), 6.73 (s, 1H), 2.50 (s, 3H), 2.17 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 146.8, 144.7, 142.2, 139.6, 135.8, 135.4, 133.7, 133.5, 130.3, 129.5, 129.2, 128.5, 128.3, 127.9, 127.9, 127.4, 127.1, 121.5, 121.0, 111.2, 21.8, 18.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd

for $[C_{25}H_{21}N_2O_2S]^+$ requires 413.1318, found 413.1328. $[\alpha]_D^{25}$ = +119 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 25.21 min, t_2 (major) = 29.17 min.

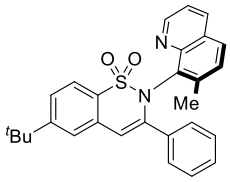


Peak	RetTime	Area	Height	Area
1	25.270	1953.53833	31.32151	50.1286
2	30.182	1943.51282	23.84711	49.8714

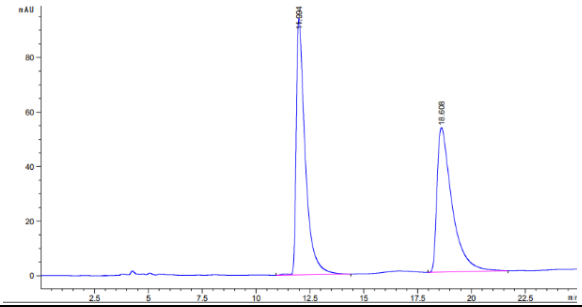


Peak	RetTime	Area	Height	Area
1	25.205	23.43216	3.91445e-1	0.5914
2	29.174	3938.92700	44.26401	99.4086

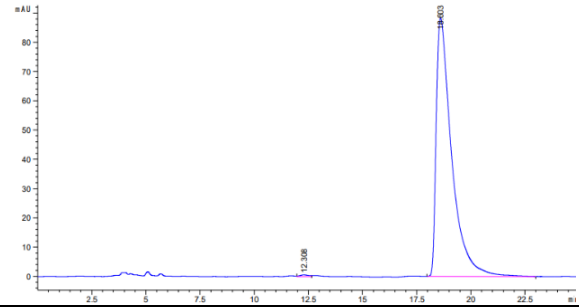
(*R*)-6-(tert-butyl)-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6b).



Yield: 111.2 mg (98%). White solid, mp: 112-113 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.95 (s, 1H), 7.89 (d, *J* = 8.2 Hz, 1H), 7.74 (d, *J* = 8.3 Hz, 1H), 7.62 (d, *J* = 7.6 Hz, 2H), 7.55 (s, 1H), 7.46 (dd, *J* = 28.3, 8.4 Hz, 2H), 7.24 (dd, *J* = 8.5, 4.2 Hz, 1H), 7.09 – 6.96 (m, 4H), 6.77 (s, 1H), 2.12 (s, 3H), 1.39 (s, 9H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 155.4, 150.9, 146.9, 144.5, 139.6, 135.9, 135.5, 133.5, 133.5, 130.3, 129.5, 129.2, 128.3, 128.0, 127.9, 127.1, 125.2, 124.0, 121.3, 120.9, 111.7, 35.3, 31.3, 18.9. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{28}H_{27}N_2O_2S]^+$ requires 455.1788, found 455.1786. $[\alpha]_D^{25}$ = +92 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 12.31 min, t_2 (major) = 18.60 min.

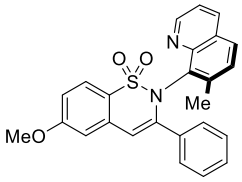


Peak	RetTime	Area	Height	Area
1	11.994	2738.31763	94.02395	51.6042
2	18.608	2568.06738	53.02249	48.3958

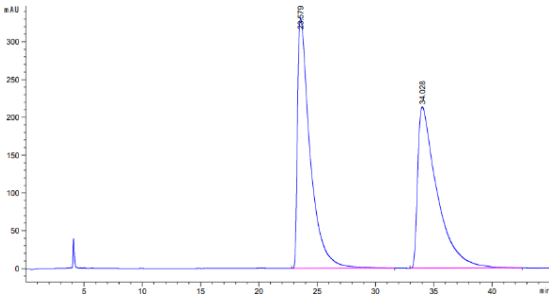


Peak	RetTime	Area	Height	Area
1	12.308	17.80372	6.07025e^{-1}	0.4056
2	18.603	4372.18945	88.26296	99.5944

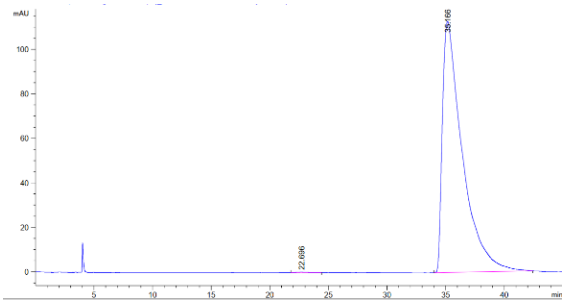
(*R*)-6-methoxy-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6c).



Yield: 106.0 mg (99%). White solid, mp: 266-267 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.99 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.99 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.74 (d, *J* = 8.6 Hz, 1H), 7.60 (d, *J* = 7.0 Hz, 2H), 7.54 (d, *J* = 8.4 Hz, 1H), 7.33 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.16 (d, *J* = 8.4 Hz, 1H), 7.13 – 7.10 (m, 1H), 7.08 – 7.01 (m, 3H), 6.99 (dd, *J* = 8.6, 2.5 Hz, 1H), 6.72 (s, 1H), 3.92 (s, 3H), 2.21 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 162.2, 150.9, 146.8, 145.3, 139.7, 135.8, 135.7, 135.4, 133.5, 129.5, 129.3, 128.3, 127.9, 127.1, 125.8, 123.5, 120.9, 114.4, 111.0, 110.7, 55.7, 18.9. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{21}N_2O_3S]^+$ requires 429.1267, found 429.1272. $[\alpha]_D^{25}$ = +168 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: >99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 22.70 min, t_2 (major) = 35.16 min.

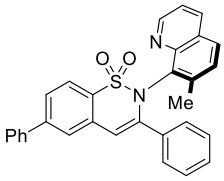


Peak	RetTime	Area	Height	Area
1	23.579	2.49868e4	330.91678	50.3193
2	34.028	2.46697e4	213.52238	49.6807



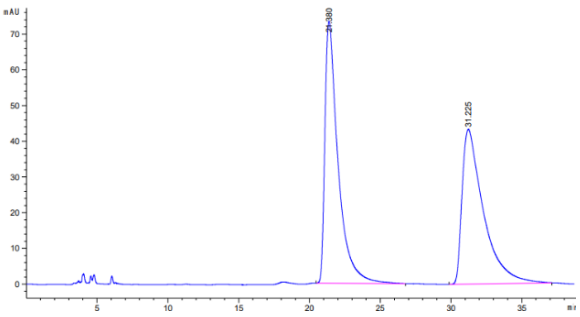
Peak	RetTime	Area	Height	Area
1	22.696	18.01620	2.29978e-1	0.1351
2	35.166	1.33196e4	112.88069	99.8649

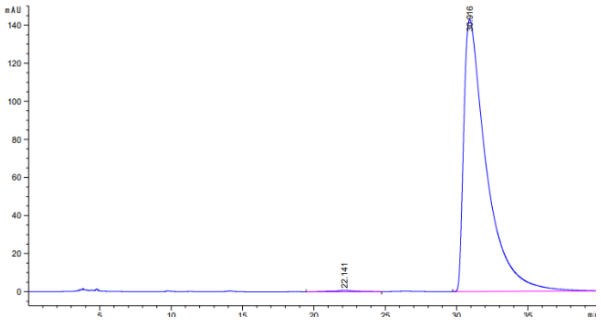
(*R*)-2-(7-methylquinolin-8-yl)-3,6-diphenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6d).



Yield: 113.9 mg (96%). White solid, mp: 272-273 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.99 (dd, *J* = 4.3, 1.7 Hz, 1H), 8.00 (dt, *J* = 8.4, 3.1 Hz, 1H), 7.90 (d, *J* = 8.1 Hz, 1H), 7.79 (d, *J* = 1.7 Hz, 1H), 7.73 – 7.67 (m, 3H), 7.65 – 7.61 (m, 2H), 7.58 – 7.49 (m, 3H), 7.47 – 7.43 (m, 1H), 7.37 – 7.32 (m, 1H), 7.20 – 7.16 (m, 1H), 7.15 – 7.11 (m, 1H), 7.07 (t, *J* = 7.6 Hz, 2H), 6.86 (s, 1H), 2.24 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 146.8, 145.1, 144.8, 139.9, 139.7, 135.7, 135.5, 134.2, 133.5, 131.4, 129.5, 129.3, 129.1, 128.4, 128.3, 128.0, 127.9, 127.5, 127.1, 126.4, 125.8, 122.1, 122.1, 121.0, 111.3, 18.9. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{23}N_2O_2S]^+$ requires 475.1475, found 475.1468. $[\alpha]_D^{25}$ = +92 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH

= 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 22.14 min, t_2 (major) = 30.92 min.

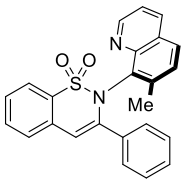




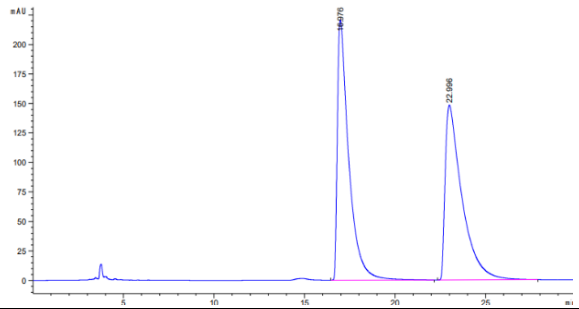
Peak	RetTime	Area	Height	Area
1	21.380	4733.14648	73.31850	51.0734
2	31.225	4534.19336	43.37156	48.9266

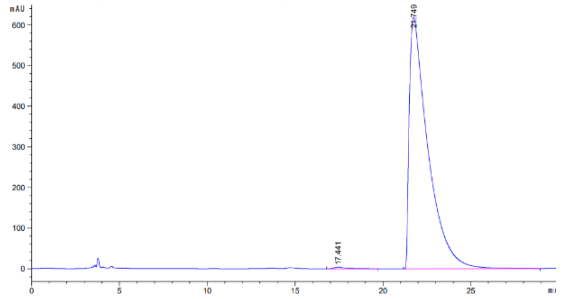
Peak	RetTime	Area	Height	Area
1	22.141	86.62561	7.39944e-1	0.5463
2	30.916	1.57696e4	143.04997	99.4537

(*R*)-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6e).



Yield: 98.9 mg (99%). White solid, mp: 155-165 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.97 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.99 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.83 (d, *J* = 7.8 Hz, 1H), 7.65 (td, *J* = 7.6, 1.3 Hz, 1H), 7.61 (ddd, *J* = 10.7, 5.6, 4.2 Hz, 3H), 7.55 (d, *J* = 8.4 Hz, 1H), 7.48 (td, *J* = 7.6, 1.3 Hz, 1H), 7.34 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.16 (d, *J* = 8.4 Hz, 1H), 7.14 – 7.10 (m, 1H), 7.06 (dd, *J* = 8.3, 6.9 Hz, 2H), 6.80 (s, 1H), 2.20 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 146.8, 144.7, 139.7, 135.7, 135.5, 133.7, 133.4, 132.7, 131.8, 129.4, 129.3, 128.3, 127.9, 127.9, 127.5, 127.2, 127.1, 121.5, 120.9, 111.2, 18.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{24}H_{19}N_2O_2S]^+$ requires 399.1162, found 399.1167. $[\alpha]_D^{25}$ = +86 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 17.44 min, t_2 (major) = 21.75 min.

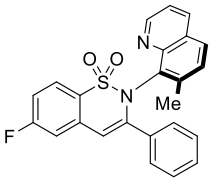




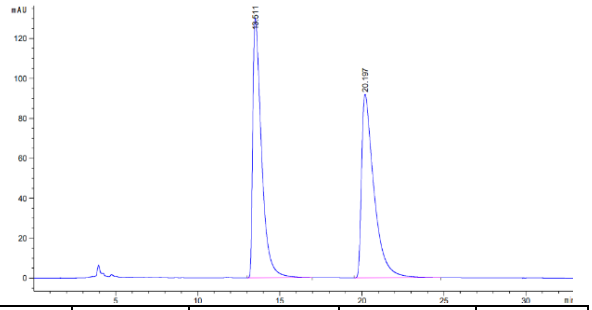
Peak	RetTime	Area	Height	Area
1	16.976	9637.76953	220.30920	50.2954
2	22.996	9524.56738	148.12575	49.7046

Peak	RetTime	Area	Height	Area
1	17.441	176.20949	3.80723	0.3854
2	21.749	4.55457e4	619.00909	99.6146

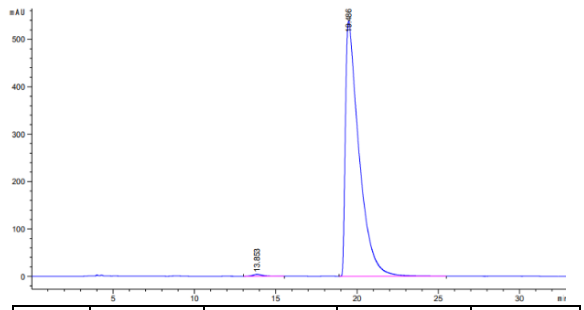
(*R*)-6-fluoro-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6f).



Yield: 96.1 mg (92%). White solid, mp: 223-224 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.91 (dd, *J* = 4.3, 1.8 Hz, 1H), 7.98 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.81 (dd, *J* = 8.6, 5.2 Hz, 1H), 7.61 – 7.53 (m, 3H), 7.32 (dd, *J* = 8.2, 4.2, 1H), 7.26 (dd, *J* = 9.3, 2.5 Hz, 1H), 7.21 – 7.11 (m, 3H), 7.07 (dd, *J* = 8.4, 7.0 Hz, 2H), 6.72 (s, 1H), 2.28 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 164.5 (d, $^1J_{C\text{-}F}$ = 251.6 Hz), 150.9, 146.6, 146.3, 139.8, 136.5 (d, $^3J_{C\text{-}F}$ = 9.8 Hz), 135.5, 135.5, 133.2, 129.5, 129.4, 128.7, 128.5, 128.0, 128.0, 127.1, 124.4 (d, $^3J_{C\text{-}F}$ = 9.8 Hz), 121.0, 115.1 (d, $^2J_{C\text{-}F}$ = 23.7 Hz), 113.2 (d, $^2J_{C\text{-}F}$ = 23.1 Hz), 110.4 (d, $^4J_{C\text{-}F}$ = 2.5 Hz), 19.0. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -106.9. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{24}H_{18}FN_2O_2S]^+$ requires 417.1068, found 417.1063. $[\alpha]_D^{25}$ = +70 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 13.85 min, t_2 (major) = 19.49 min.



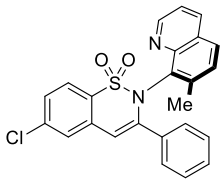
Peak	RetTime	Area	Height	Area
1	13.511	4819.27588	129.78621	49.8744
2	20.197	4843.54590	91.88331	50.1256



Peak	RetTime	Area	Height	Area
1	13.853	188.21143	4.23566	0.5985
2	19.486	3.12586e4	538.54791	99.4015

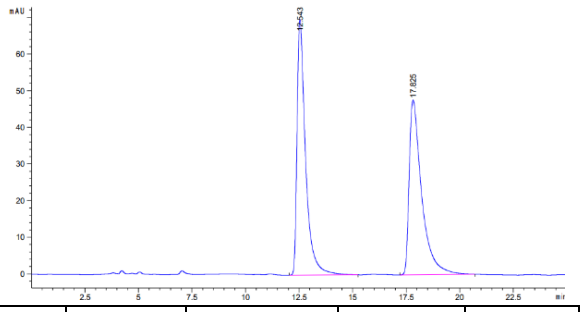
(*R*)-6-chloro-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6g).

Yield: 102.0 mg (94%). White solid, mp: 253-254 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.91 (dd, *J* = 4.3,

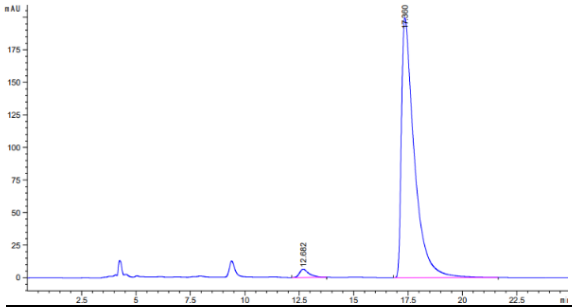


1.7 Hz, 1H), 7.99 (dt, *J* = 8.2, 2.5 Hz, 1H), 7.75 (d, *J* = 8.3 Hz, 1H), 7.61 – 7.53 (m, 4H), 7.46 – 7.41 (m, 1H), 7.33 (dd, *J* = 8.1, 4.1 Hz, 1H), 7.19 (dd, *J* = 8.4, 3.3 Hz, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.07 (t, *J* = 7.6 Hz, 2H), 6.70 (s, 1H), 2.28 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 146.6, 146.3, 139.8, 137.9, 135.5, 135.4, 133.2, 130.7, 129.6, 129.4, 128.5, 128.0, 128.0, 127.6, 127.0, 126.7, 123.3, 121.0, 110.1, 19.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{24}H_{18}ClN_2O_2S]^+$ requires 433.0772, found 433.0771. $[\alpha]_D^{25}$ = +47 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 95% ee

(CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 12.68 min, t_2 (major) = 17.36 min.

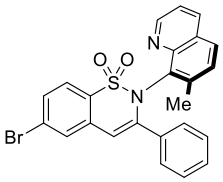


Peak	RetTime	Area	Height	Area
1	12.543	1932.20874	69.64011	50.0233
2	17.825	1930.41040	47.69860	49.9767

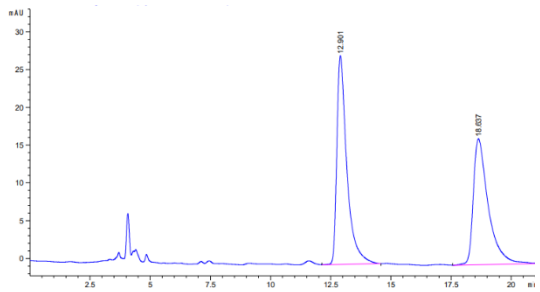


Peak	RetTime	Area	Height	Area
1	12.682	188.44875	6.35275	2.2517
2	17.360	8180.62549	199.62489	97.7483

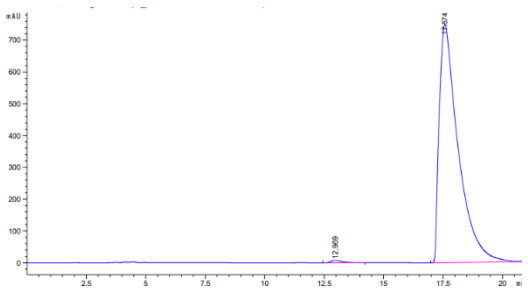
(*R*)-6-bromo-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6h).



Yield: 108.9 mg (87%). White solid, mp: 271-272 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.91 (dd, *J* = 4.3, 1.7 Hz, 1H), 7.99 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.75 (d, *J* = 1.8 Hz, 1H), 7.68 (d, *J* = 8.3 Hz, 1H), 7.61 – 7.54 (m, 4H), 7.33 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.19 (d, *J* = 8.4 Hz, 1H), 7.16 – 7.12 (m, 1H), 7.07 (t, *J* = 7.6 Hz, 2H), 6.69 (s, 1H), 2.28 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 146.5, 146.3, 139.8, 135.6, 135.5, 135.4, 133.2, 131.1, 130.4, 129.7, 129.6, 129.4, 128.5, 128.0, 128.0, 127.0, 126.2, 123.3, 121.0, 110.0, 19.0. **HRMS** (ESI): m/z $[M+Na]^+$ calcd for $[C_{24}H_{17}BrN_2NaO_2S]^+$ requires 499.0886, found 499.0087. $[\alpha]_D^{25}$ = +27 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 12.97 min, t_2 (major) = 17.57 min.

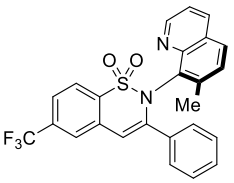


Peak	RetTime	Area	Height	Area
1	12.901	803.39325	27.63015	52.7390
2	18.637	719.94342	16.67657	47.2610

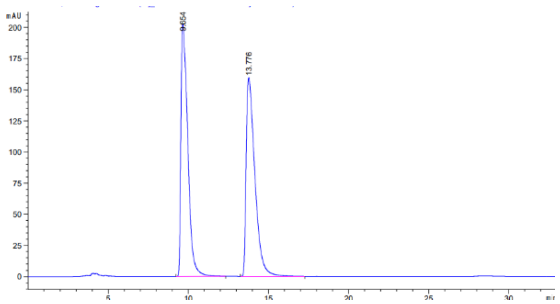


Peak	RetTime	Area	Height	Area
1	12.969	229.53325	7.06614	0.5495
2	17.574	4.15431e4	749.31989	99.4505

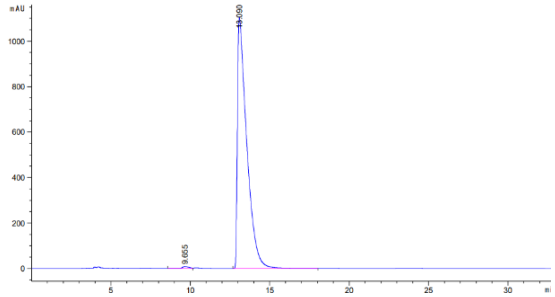
(*R*)-2-(7-methylquinolin-8-yl)-3-phenyl-6-(trifluoromethyl)-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6i).



Yield: 100.4 mg (86%). White solid, mp: 210-211 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.86 (dd, *J* = 4.3, 1.7 Hz, 1H), 8.01 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.93 (d, *J* = 8.1 Hz, 1H), 7.88 (s, 1H), 7.74 – 7.69 (m, 1H), 7.61 – 7.54 (m, 3H), 7.34 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.22 (d, *J* = 8.4 Hz, 1H), 7.19 – 7.13 (m, 1H), 7.09 (dd, *J* = 8.4, 7.0 Hz, 2H), 6.83 (s, 1H), 2.31 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 146.6, 146.4, 139.9, 135.5, 135.3, 134.5, 134.5, 133.7 (q, $^2J_{C\text{-}F}$ = 32.8 Hz), 133.1, 129.7, 129.3, 128.6, 128.1, 128.0, 127.1, 124.2 (q, $^4J_{C\text{-}F}$ = 3.9 Hz), 124.0 (q, $^4J_{C\text{-}F}$ = 3.6 Hz), 123.5 (q, $^1J_{C\text{-}F}$ = 272.9 Hz), 122.55, 121.1, 110.5, 19.1. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -62.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{18}F_3N_2O_2S]^+$ requires 467.1036, found 467.1041. $[\alpha]_D^{25}$ = +40 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 9.66 min, t_2 (major) = 13.09 min.

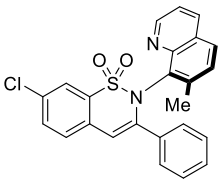


Peak	RetTime	Area	Height	Area
1	9.654	6015.36279	202.34924	49.8957
2	13.776	6040.52295	159.58882	50.1043

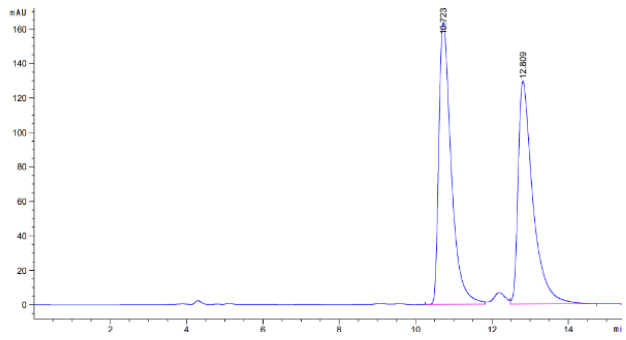


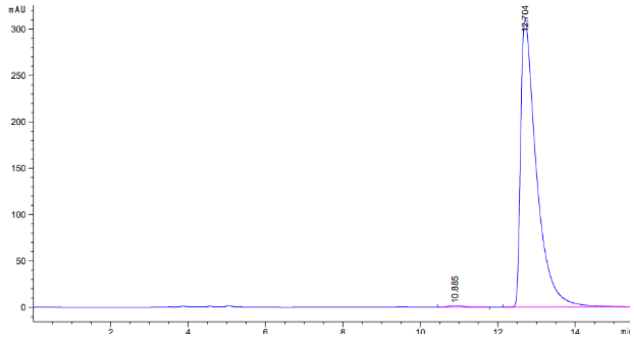
Peak	RetTime	Area	Height	Area
1	9.655	230.09375	8.29641	0.5077
2	13.090	4.50919e4	1104.99731	99.4923

(*R*)-7-chloro-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6j).



Yield: 104.6 mg (97%). White solid, mp: 160-161 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.92 (dd, *J* = 4.3, 1.7 Hz, 1H), 7.97 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.81 (d, *J* = 2.1 Hz, 1H), 7.58 (dd, *J* = 8.1, 2.2 Hz, 3H), 7.53 (t, *J* = 9.0 Hz, 2H), 7.31 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.18 – 7.11 (m, 2H), 7.06 (t, *J* = 7.6 Hz, 2H), 6.74 (s, 1H), 2.24 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 146.6, 145.1, 139.8, 135.5, 135.5, 133.3, 133.1, 133.0, 132.2, 132.1, 129.5, 129.4, 128.7, 128.6, 128.0, 127.9, 127.1, 121.6, 121.0, 110.6, 19.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{24}H_{18}ClN_2O_2S]^+$ requires 433.0772, found 433.0779. $[\alpha]_D^{25}$ = +26 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 10.89 min, t_2 (major) = 12.70 min.

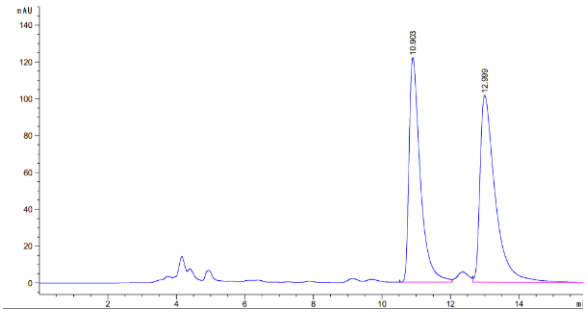


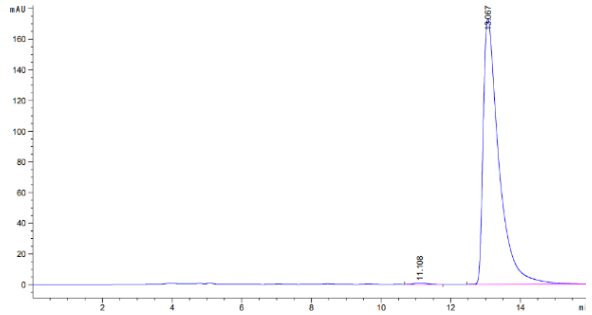


Peak	RetTime	Area	Height	Area
1	10.723	3684.32471	163.78735	51.4714
2	12.809	3473.67749	129.40588	48.5286

Peak	RetTime	Area	Height	Area
1	10.885	43.94597	1.89305	0.4959
2	12.704	8817.66797	310.40289	99.5041

(*R*)-7-bromo-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6k). Yield: 106.3 mg (89%). White solid, mp: 235-236 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.92 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.99 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.95 (d, *J* = 2.0 Hz, 1H), 7.74 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.60 – 7.54 (m, 3H), 7.47 (d, *J* = 8.4 Hz, 1H), 7.33 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.18 (d, *J* = 8.4 Hz, 1H), 7.15 – 7.12 (m, 1H), 7.06 (t, *J* = 7.6 Hz, 2H), 6.74 (s, 1H), 2.25 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 146.6, 145.3, 139.8, 135.5, 135.5, 134.9, 133.5, 133.2, 132.6, 129.5, 129.4, 128.8, 128.5, 128.0, 127.9, 127.1, 124.5, 121.0, 120.5, 110.6, 19.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{24}H_{18}BrN_2O_2S]^+$ requires 477.0267, found 477.0266. $[\alpha]_D^{25}$ = +41 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 11.11 min, t_2 (major) = 13.07 min.

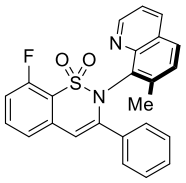




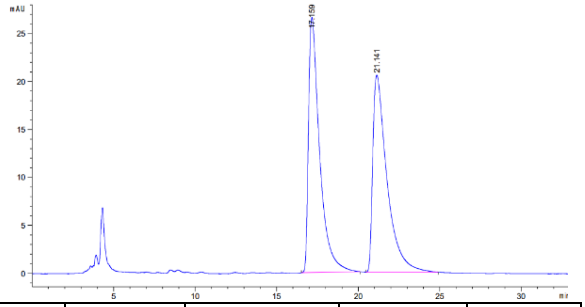
Peak	RetTime	Area	Height	Area
1	10.903	2763.53760	121.94778	46.8755
2	12.999	3131.94507	101.48201	53.1245

Peak	RetTime	Area	Height	Area
1	11.108	28.33352	1.03326	0.5230
2	13.067	5388.89551	173.19127	99.4770

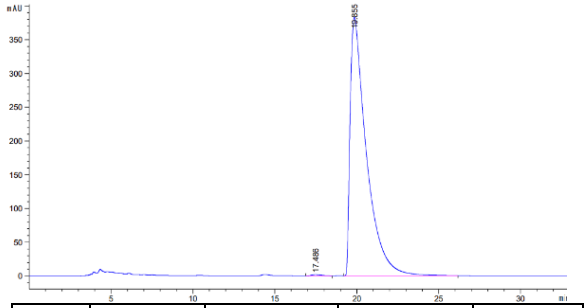
(*R*)-8-fluoro-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6l).



Yield: 67.3 mg (65%). White solid, mp: 260-261 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.89 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.96 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.62 – 7.50 (m, 4H), 7.33 (d, *J* = 7.9 Hz, 1H), 7.29 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.18 – 7.04 (m, 5H), 6.76 (d, *J* = 1.9 Hz, 1H), 2.31 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 156.7 (d, $^1J_{C\text{-}F}$ = 257.3 Hz), 150.8, 146.7, 145.4, 140.0, 136.7, 135.5, 135.5, 133.3, 132.9 (d, $^3J_{C\text{-}F}$ = 8.6 Hz), 129.5 (d, $^3J_{C\text{-}F}$ = 12.4 Hz), 128.5, 128.0, 127.8, 127.1, 123.1 (d, $^4J_{C\text{-}F}$ = 3.7 Hz), 121.2 (d, $^2J_{C\text{-}F}$ = 14.1 Hz), 120.9, 114.8 (d, $^2J_{C\text{-}F}$ = 20.9 Hz), 111.2, 19.1. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -113.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{24}H_{18}FN_2O_2S]^+$ requires 417.1071, found 417.1068. $[\alpha]_D^{25}$ = +44 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 17.49 min, t_2 (major) = 19.86 min.

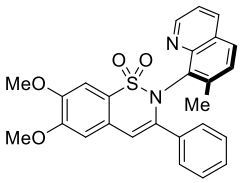


Peak	RetTime	Area	Height	Area
1	17.159	1262.42249	26.61270	50.3652
2	21.141	1244.11536	20.54607	49.6348



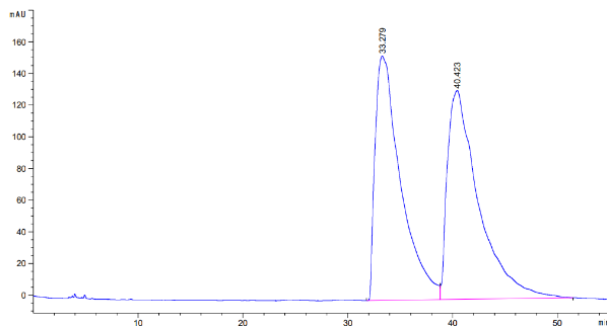
Peak	RetTime	Area	Height	Area
1	17.486	68.68462	1.70766	0.2595
2	19.855	2.63977e4	383.00177	99.7405

(*R*)-6,7-dimethoxy-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6m).

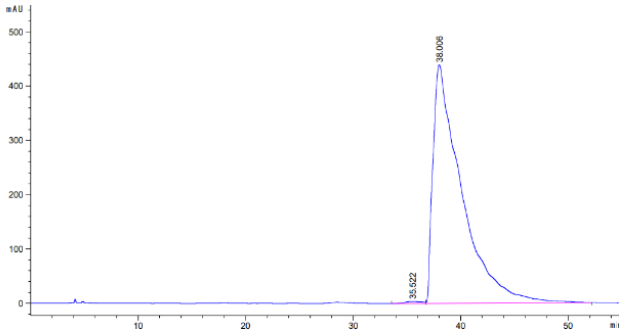


Yield: 106.2 mg (93%). White solid, mp: 245-246 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.00 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.95 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.62 – 7.58 (m, 2H), 7.49 (d, *J* = 8.4 Hz, 1H), 7.30 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.26 (s, 1H), 7.08 (dd, *J* = 16.4, 7.9 Hz, 2H), 7.04 – 6.98 (m, 3H), 6.67 (s, 1H), 3.97 (s, 3H), 3.87 (s, 3H), 2.13 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 152.1, 150.9, 148.9, 146.8, 143.1, 139.6, 135.8, 135.5, 133.4, 129.5, 129.0, 128.3, 127.9, 127.8, 127.8, 127.1, 125.4, 120.9, 111.0, 109.0, 103.6, 56.3, 18.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{26}H_{23}N_2O_4S]^+$ requires 459.1373, found

459.1375. $[\alpha]_D^{25}$ = +358 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 35.52 min, t_2 (major) = 38.01 min.

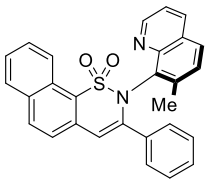


Peak	RetTime	Area	Height	Area
1	33.279	2.61653e4	154.35413	48.9211
2	40.423	2.73194e4	131.84772	51.0789

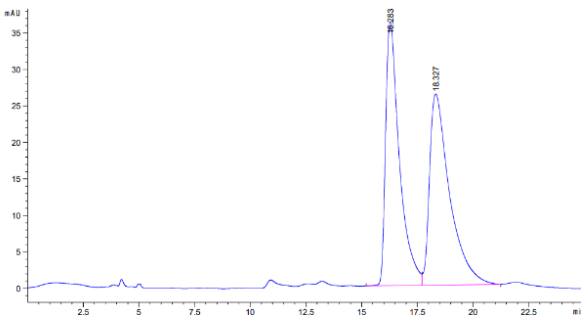


Peak	RetTime	Area	Height	Area
1	35.522	382.63260	3.41365	0.4692
2	38.006	8.11617e4	439.53998	99.5308

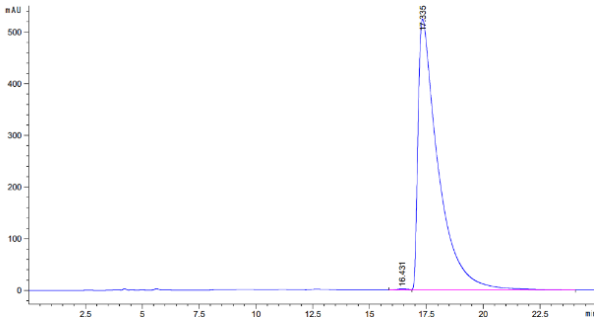
(*R*)-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-naphtho[2,1-e][1,2]thiazine 1,1-dioxide (6n).



Yield: 96.5 mg (86%). White solid, mp: 266-267 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.06 – 8.97 (m, 2H), 8.09 – 7.96 (m, 2H), 7.88 (dd, *J* = 7.5, 2.0 Hz, 1H), 7.69 – 7.66 (m, 2H), 7.61 (dd, *J* = 8.6, 3.7 Hz, 1H), 7.58 – 7.50 (m, 3H), 7.35 (dt, *J* = 8.3, 4.7 Hz, 1H), 7.18 – 7.13 (m, 2H), 7.08 (t, *J* = 7.6 Hz, 2H), 6.86 (s, 1H), 2.19 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.1, 147.0, 145.3, 140.0, 135.5, 135.4, 133.9, 133.7, 132.9, 132.4, 129.4, 128.6, 128.4, 128.3, 128.0, 127.4, 127.0, 126.8, 126.4, 125.4, 124.1, 121.0, 111.4, 18.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{28}H_{21}N_2O_2S]^+$ requires 449.1318, found 449.1318. $[\alpha]_D^{25}$ = +70 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: >99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 16.43 min, t_2 (major) = 17.34 min.



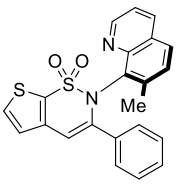
Peak	RetTime	Area	Height	Area
1	16.283	1560.86987	36.10542	48.7166



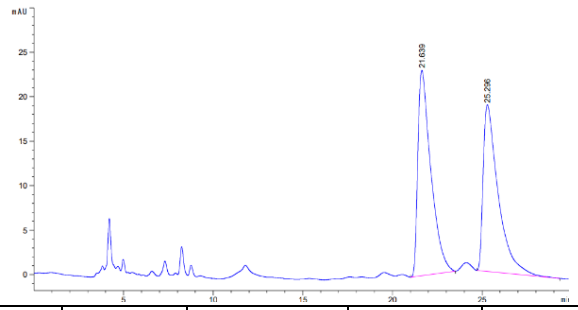
Peak	RetTime	Area	Height	Area
1	16.431	71.26311	2.15012	0.2213

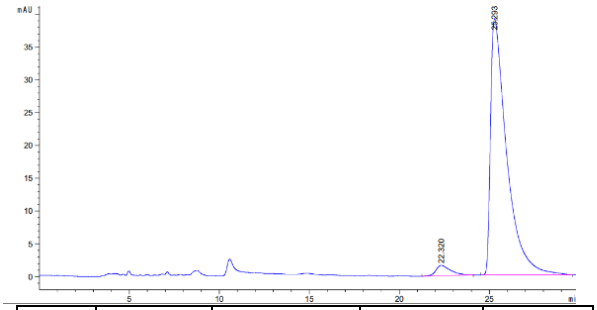
2	18.327	1643.10693	26.18628	51.2834		2	17.335	3.21266e4	524.16840	99.7787

(*R*)-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-thieno[3,2-e][1,2]thiazine 1,1-dioxide (6o).



Yield: 42.3 mg (42%). White solid, mp: 260-261 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.04 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.01 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.62 – 7.54 (m, 4H), 7.37 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.20 (d, *J* = 5.1 Hz, 1H), 7.16 (d, *J* = 8.4 Hz, 1H), 7.13 – 7.09 (m, 1H), 7.04 (dd, *J* = 8.4, 7.0 Hz, 2H), 6.82 (s, 1H), 2.15 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.0, 146.9, 144.8, 141.7, 139.9, 135.5, 133.3, 129.5, 129.2, 128.7, 128.5, 128.4, 127.9, 127.9, 127.0, 125.5, 121.0, 107.7, 18.6. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{22}H_{17}N_2O_2S2]^+$ requires 405.0726, found 405.0739. $[\alpha]_D^{25}$ = +173 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 93% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 22.32 min, t_2 (major) = 25.29 min.

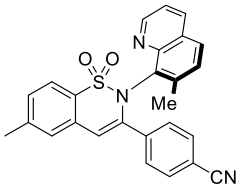




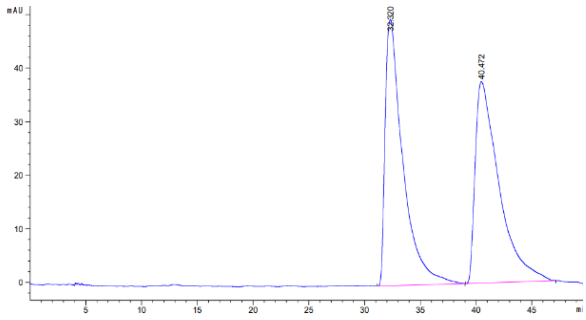
Peak	RetTime	Area	Height	Area
1	21.639	1166.77783	23.08001	51.6056
2	25.296	1094.17285	18.72970	48.3944

Peak	RetTime	Area	Height	Area
1	22.320	90.32493	1.58532	3.5759
2	25.293	2435.61475	39.07062	96.4241

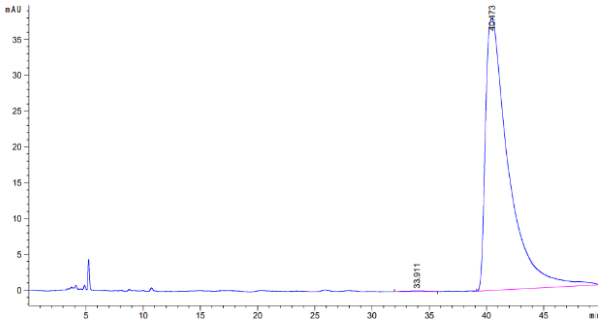
(*R*)-4-(6-methyl-2-(7-methylquinolin-8-yl)-1,1-dioxido-2*H*-benzo[e][1,2]thiazin-3-yl)benzonitrile



(6p). Yield: 108.0 mg (99%). White solid, mp: 300-301 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.99 (dd, *J* = 4.3, 1.7 Hz, 1H), 8.04 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.73 (dd, *J* = 8.2, 6.0 Hz, 3H), 7.60 (d, *J* = 8.4 Hz, 1H), 7.41 (s, 1H), 7.39 – 7.32 (m, 4H), 7.20 (d, *J* = 8.5 Hz, 1H), 6.79 (s, 1H), 2.52 (s, 3H), 2.18 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.1, 146.6, 142.7, 142.6, 140.1, 139.7, 135.7, 133.0, 133.0, 131.9, 130.7, 129.5, 129.4, 128.7, 128.3, 127.8, 127.2, 121.7, 121.2, 118.3, 113.0, 112.7, 21.8, 18.8. **HRMS** (ESI): m/z $[M+Na]^+$ calcd for $[C_{26}H_{19}N_3NaO_2S]^+$ requires 438.1271, found 460.1095. $[\alpha]_D^{25}$ = +155 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 33.91 min, t_2 (major) = 40.47 min.

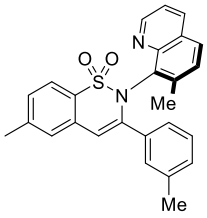


Peak	RetTime	Area	Height	Area
1	32.320	5502.34570	49.74416	49.7544
2	40.472	5556.66895	37.61363	50.2456

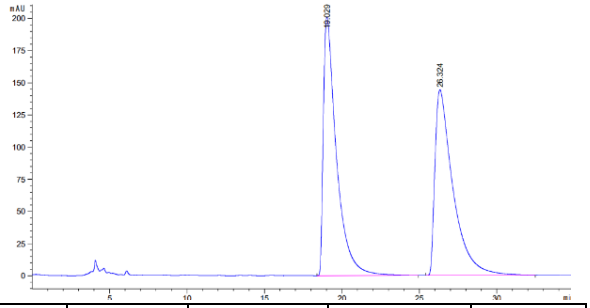


Peak	RetTime	Area	Height	Area
1	33.911	16.02860	1.22064e^{-1}	0.3020
2	40.473	5291.84570	37.90219	99.6980

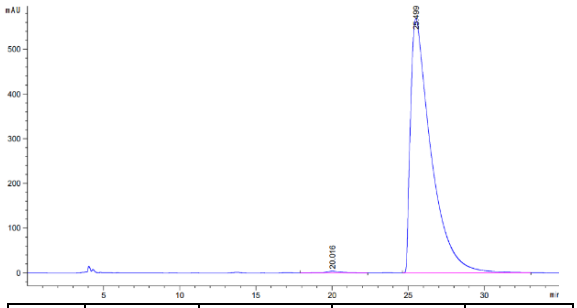
(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3-(m-tolyl)-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6q).



Yield: 105.3 mg (99%). White solid, mp: 204-205 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.04 (dd, *J* = 4.3, 1.7 Hz, 1H), 8.04 – 7.97 (m, 1H), 7.72 (d, *J* = 7.9 Hz, 1H), 7.59 – 7.52 (m, 1H), 7.48 (s, 1H), 7.41 – 7.32 (m, 3H), 7.28 (d, *J* = 8.1 Hz, 1H), 7.17 – 7.12 (m, 1H), 6.93 (dt, *J* = 14.2, 7.5 Hz, 2H), 6.74 (s, 1H), 2.50 (s, 3H), 2.13 (s, 3H), 2.06 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 147.0, 144.7, 142.2, 139.6, 137.5, 135.7, 135.4, 133.7, 133.7, 130.4, 130.0, 129.5, 128.7, 128.5, 128.3, 127.8, 127.4, 127.1, 124.9, 121.5, 120.9, 111.0, 21.7, 21.0, 18.7. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{26}H_{23}N_2O_2S]^+$ requires 427.1475, found 427.1474. $[\alpha]_D^{25}$ = +39 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 20.02 min, t_2 (major) = 25.50 min.

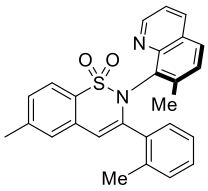


Peak	RetTime	Area	Height	Area
1	19.029	1.18268e4	200.93001	50.3094
2	26.324	1.16814e4	144.32545	49.6906

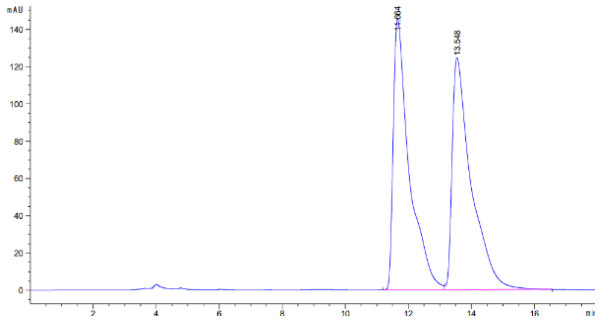


Peak	RetTime	Area	Height	Area
1	20.016	247.54741	3.65792	0.4658
2	25.499	5.29012e4	568.72900	99.5342

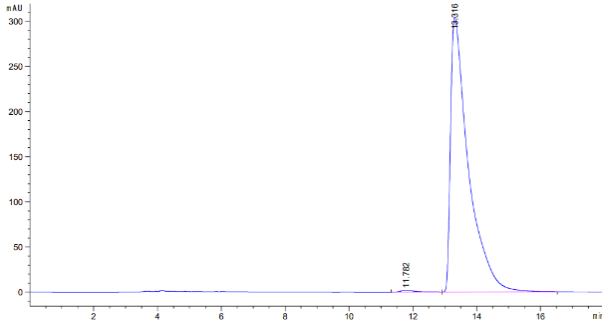
(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3-(o-tolyl)-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6r).



Yield: 45.8 mg (43%). White solid, mp: 240-241 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.54 (dd, *J* = 4.2, 1.8 Hz, 1H), 7.97 – 7.92 (m, 1H), 7.72 (d, *J* = 7.9 Hz, 1H), 7.54 (dd, *J* = 8.5, 2.4 Hz, 1H), 7.36 – 7.29 (m, 2H), 7.25 – 7.18 (m, 2H), 7.06 – 6.98 (m, 2H), 6.90 (s, 1H), 6.76 (d, *J* = 6.3 Hz, 1H), 6.48 (s, 1H), 2.52 (s, 3H), 2.48 (s, 3H), 2.45 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.4, 146.7, 143.1, 142.0, 141.5, 137.9, 135.3, 135.1, 133.6, 132.9, 130.0, 129.5, 129.2, 128.6, 128.5, 128.3, 127.2, 127.0, 124.5, 121.3, 120.8, 113.1, 21.8, 19.6, 19.3. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{26}H_{23}N_2O_2S]^+$ requires 427.1475, found 427.1477. $[\alpha]_D^{25}$ = -220 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 11.78 min, t_2 (major) = 13.32 min.



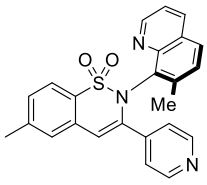
Peak	RetTime	Area	Height	Area
1	11.664	5120.18750	145.21574	49.4608
2	13.548	5231.83203	124.45634	50.5392



Peak	RetTime	Area	Height	Area
1	11.780	66.77655	2.16046	0.5629
2	13.316	1.17954e4	304.05023	99.4371

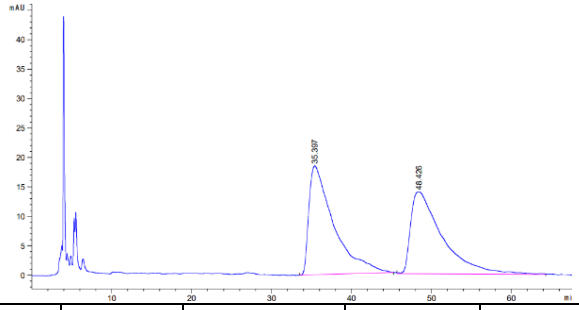
(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3-(pyridin-4-yl)-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6s).

Yield: 73.1 mg (71%). White solid, mp: 217-218 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.96 (dd, *J* = 4.2,

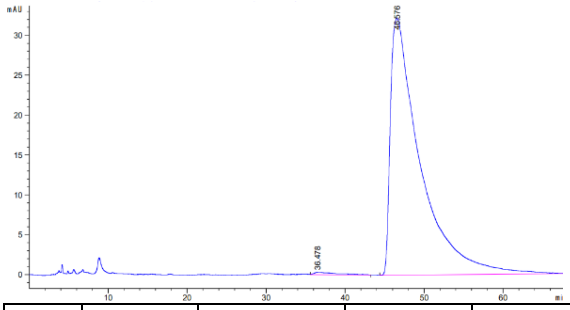


1.7 Hz, 1H), 8.40 – 8.30 (s, 2H), 8.01 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.72 (d, *J* = 7.9 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 1H), 7.49 (s, 2H), 7.40 (s, 1H), 7.36 – 7.30 (m, 2H), 7.18 (d, *J* = 8.4 Hz, 1H), 6.83 (s, 1H), 2.50 (s, 3H), 2.19 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.1, 149.7, 146.6, 143.2, 142.6, 142.1, 139.8, 135.7, 133.0, 132.9, 130.7, 129.5, 129.5, 128.8, 127.9, 127.2, 122.1, 121.6, 121.6, 121.1, 113.1, 21.7, 18.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{24}H_{20}N_3O_2S]^+$ requires 414.1271, found 414.1279. $[\alpha]_D^{25}$ = +57 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-

PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 36.48 min, t_2 (major) = 46.58 min.



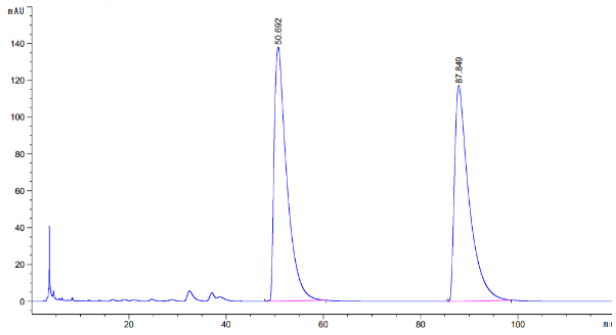
Peak	RetTime	Area	Height	Area
1	35.397	3981.47119	18.50051	50.9689
2	48.426	3830.10083	13.92346	49.0311



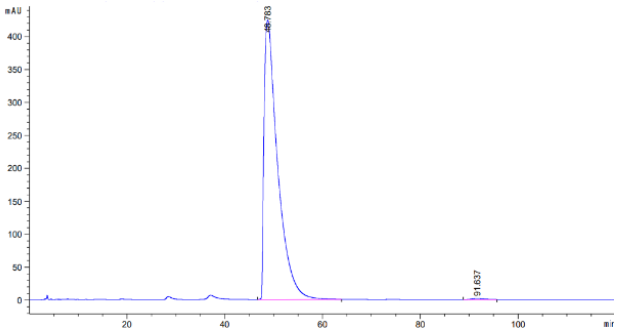
Peak	RetTime	Area	Height	Area
1	36.478	66.51886	2.9615e-1	0.7137
2	46.576	8418.79492	32.19272	99.2863

(*R*)-6-methyl-2-(7-methylquinolin-8-yl)-3-(thiophen-3-yl)-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6t).

Yield: 99.3 mg (95%). White solid, mp: 237-238 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.04 – 8.97 (m, 1H), 8.09 – 7.97 (m, 1H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.64 – 7.56 (m, 1H), 7.46 – 7.31 (m, 3H), 7.29 – 7.23 (m, 1H), 7.24 – 7.15 (m, 2H), 7.02 – 6.94 (m, 1H), 6.79 (s, 1H), 2.48 (s, 3H), 2.12 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.2, 147.0, 142.3, 139.7, 139.3, 137.3, 135.7, 133.7, 133.5, 130.4, 129.6, 128.4, 128.4, 127.4, 127.2, 126.9, 125.4, 125.0, 121.4, 121.0, 110.3, 21.8, 18.6. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{23}H_{19}N_2O_2S_2]^+$ requires 419.0882, found 419.0885. $[\alpha]_D^{25}$ = +34 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (major) = 48.78 min, t_2 (minor) = 91.64 min.



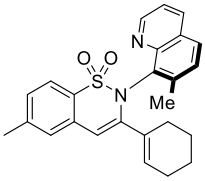
Peak	RetTime	Area	Height	Area
1	50.692	2.48091e4	137.98349	50.5342
2	87.849	2.42846e4	117.16052	49.4658



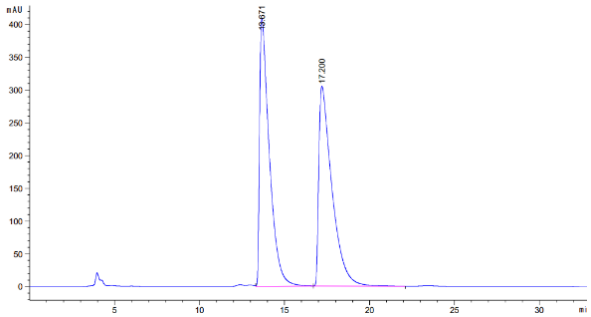
Peak	RetTime	Area	Height	Area
1	48.783	8.20239e4	424.62747	99.6539
2	91.637	284.88248	1.55370	0.3461

(*R*)-3-(cyclohex-1-en-1-yl)-6-methyl-2-(7-methylquinolin-8-yl)-2*H*-benzo[e][1,2]thiazine 1,1-

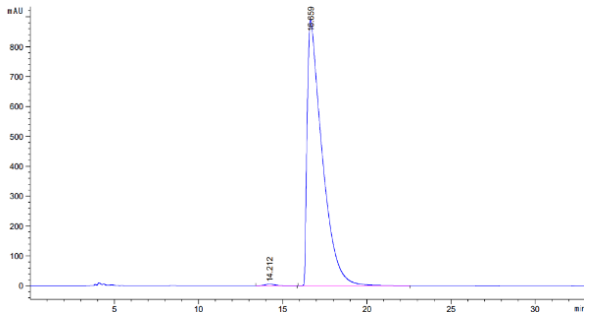
dioxide (6u).



Yield: 98.8 mg (95%). White solid, mp: 196-197 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.86 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.06 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.67 (d, *J* = 8.4 Hz, 1H), 7.64 (d, *J* = 7.9 Hz, 1H), 7.35 – 7.29 (m, 2H), 7.29 (s, 1H), 7.21 (d, *J* = 7.9 Hz, 1H), 6.54 (s, 1H), 6.09 (t, *J* = 1.8 Hz, 1H), 2.47 (s, 3H), 2.21 (s, 3H), 2.12 – 2.02 (m, 2H), 1.82 (dd, *J* = 18.8, 4.2 Hz, 1H), 1.74 – 1.64 (m, 1H), 1.39 – 1.32 (m, 1H), 1.31 – 1.23 (m, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.7, 146.8, 146.7, 142.0, 139.7, 135.3, 134.0, 133.9, 133.6, 130.9, 130.3, 129.5, 128.0, 128.0, 127.2, 127.1, 121.4, 120.8, 108.9, 26.8, 25.4, 22.4, 21.7, 21.6, 18.9. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{25}N_2O_2S]^+$ requires 417.1631, found 417.1638. $[\alpha]_D^{25}$ = -56 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 14.21 min, t_2 (major) = 16.66 min.

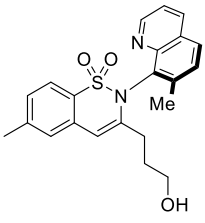


Peak	RetTime	Area	Height	Area
1	13.671	1.63305e4	408.32181	49.8998
2	17.200	1.63961e4	305.16980	50.1002



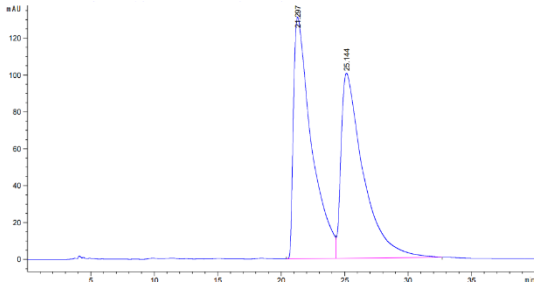
Peak	RetTime	Area	Height	Area
1	14.212	231.82561	5.37188	0.4208
2	16.659	5.48655e4	889.26837	99.5792

(*R*)-3-(3-hydroxypropyl)-6-methyl-2-(7-methylquinolin-8-yl)-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6v).

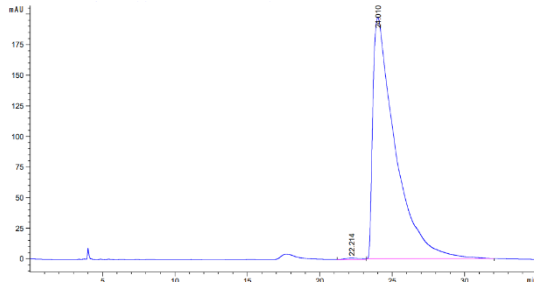


Yield: 84.7 mg (86%). White solid, mp: 100-101 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.83 (dd, *J* = 4.3, 1.8 Hz, 1H), 8.09 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.74 (d, *J* = 8.4 Hz, 1H), 7.66 (d, *J* = 8.3 Hz, 1H), 7.38 (d, *J* = 8.4 Hz, 1H), 7.33 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.22 (s, 2H), 6.44 (s, 1H), 3.67 – 3.59 (m, 1H), 3.53 – 3.44 (m, 1H), 2.95 (s, 1H), 2.44 (s, 3H), 2.24 – 2.18 (m, 1H), 2.17 (s, 3H), 2.13 – 2.06 (m, 1H), 1.79 – 1.66 (m, 2H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.4, 147.0, 144.0, 142.2, 141.1, 136.0, 133.5, 132.0, 129.7, 129.6, 128.9, 128.0, 127.5, 126.9, 121.3, 121.1, 109.3, 61.0, 31.1, 29.6, 21.7, 18.6. **HRMS** (ESI): m/z $[M+H]^+$ calcd

for $[C_{22}H_{23}N_2O_3S]^+$ requires 395.1424, found 395.1435. $[\alpha]_D^{25}$ = -76 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 22.21 min, t_2 (major) = 24.01 min.

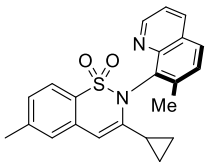


Peak	RetTime	Area	Height	Area
1	21.297	1.28689e4	130.97375	51.3967
2	25.144	1.21695e4	100.36426	48.6033

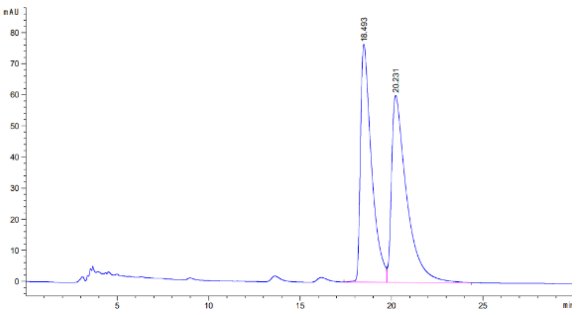


Peak	RetTime	Area	Height	Area
1	22.214	107.82291	1.33824	0.5072
2	24.010	2.11527e4	197.14571	99.4928

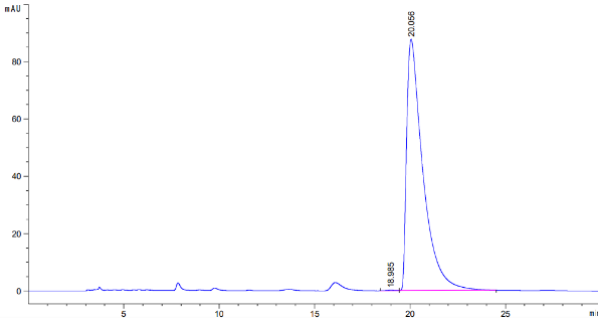
(*R*)-3-cyclopropyl-6-methyl-2-(7-methylquinolin-8-yl)-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6w).



Yield: 50.4 mg (54%). White solid, mp: 87-88 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.75 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.09 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.76 (d, *J* = 8.3 Hz, 1H), 7.69 (d, *J* = 8.3 Hz, 1H), 7.46 (d, *J* = 8.4 Hz, 1H), 7.30 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.21 (s, 2H), 6.20 (s, 1H) 2.45 (s, 3H), 2.41 (s, 3H), 1.34 (td, *J* = 8.4, 4.3 Hz, 1H), 0.54 – 0.48 (m, 1H), 0.45 (dq, *J* = 9.7, 5.1 Hz, 1H), 0.41 – 0.30 (m, 2H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.2, 147.0, 146.1, 141.9, 141.5, 135.6, 133.7, 132.6, 129.5, 129.3, 128.8, 127.6, 127.4, 126.8, 121.1, 121.0, 104.5, 21.7, 19.0, 14.2, 7.1, 6.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{22}H_{21}N_2O_2S]^+$ requires 377.1318, found 377.1319. $[\alpha]_D^{25}$ = -80 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: >99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 18.99 min, t_2 (major) = 20.06 min.



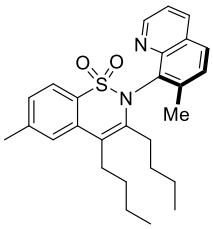
Peak	RetTime	Area	Height	Area
1	18.493	3207.85522	76.49994	48.2861



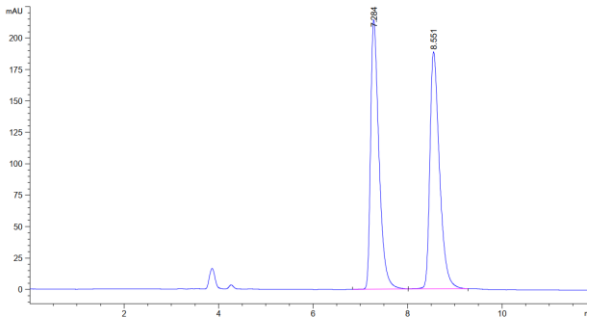
Peak	RetTime	Area	Height	Area
1	18.985	6.28946	1.83030e^{-1}	0.1211

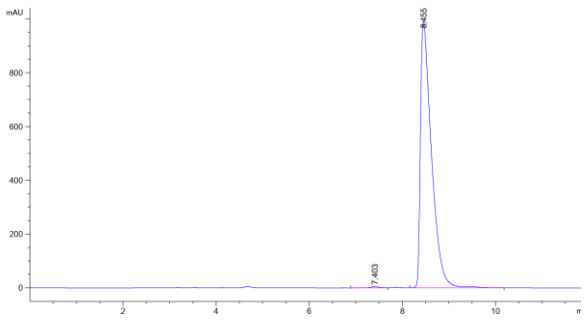
2	20.231	3435.58521	60.10282	51.7139		2	20.056	5187.70801	87.58326	99.8789

(*R*)-3,4-dibutyl-6-methyl-2-(7-methylquinolin-8-yl)-*2H*-benzo[1,2]thiazine-1,1-dioxide (5aj).



Yield: 47.8 mg (43%). White solid, mp: 198-199 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.82 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.08 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.71 (d, *J* = 8.3 Hz, 1H), 7.66 (d, *J* = 7.9 Hz, 1H), 7.44 (s, 1H), 7.36 (d, *J* = 8.4 Hz, 1H), 7.32 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.22 (dd, *J* = 8.0, 1.5 Hz, 1H), 2.77 – 2.66 (m, 2H), 2.51 (s, 3H), 2.15 (s, 4H), 1.96 (ddd, *J* = 14.2, 11.4, 4.8 Hz, 1H), 1.67 – 1.59 (m, 4H), 1.48 (hd, *J* = 7.0, 2.1 Hz, 2H), 1.42 – 1.33 (m, 1H), 1.12 – 1.04 (m, 1H), 1.03 – 0.95 (m, 4H), 0.58 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 147.1, 141.4, 140.5, 139.9, 135.4, 134.7, 133.1, 131.9, 129.4, 128.3, 127.6, 127.3, 124.7, 121.3, 121.0, 120.5, 32.2, 30.7, 30.5, 28.2, 23.0, 22.6, 22.1, 18.6, 14.0, 13.3. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{27}H_{32}N_2O_2S]^+$ requires 449.2257, found 449.2266. $[\alpha]_D^{25}$ = -282 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 7.40 min, t_2 (major) = 8.46 min.

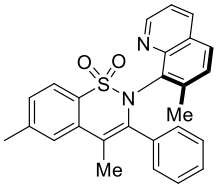




Peak	RetTime	Area	Height	Area
1	7.284	2634.91602	214.28548	49.6896
2	8.551	2667.83032	188.52023	50.3104

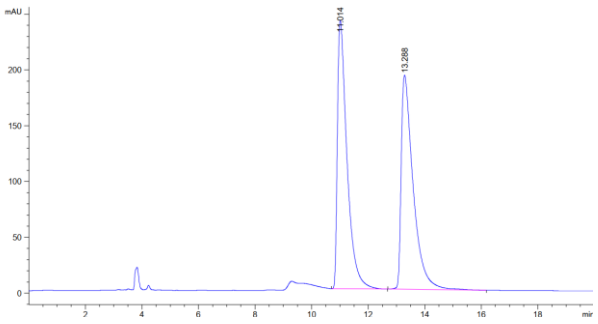
Peak	RetTime	Area	Height	Area
1	7.403	57.91543	4.52758	0.3545
2	8.455	1.62777e^4	991.35419	99.6455

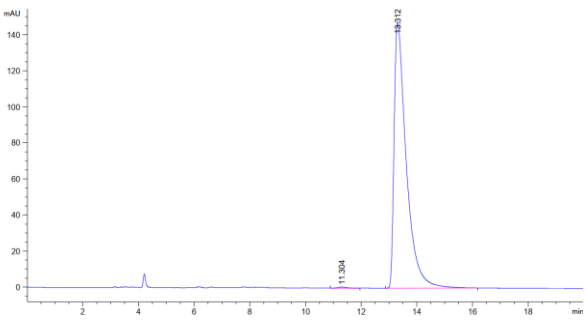
(*R*)-4,6-dimethyl-2-(7-methylquinolin-8-yl)-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6x).



Yield: 37.1 mg (35%). Colorless oil. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.79 (dd, *J* = 4.2, 1.8 Hz, 1H), 7.92 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.73 (d, *J* = 7.9 Hz, 1H), 7.55 (s, 1H), 7.48 (d, *J* = 8.4 Hz, 1H), 7.41 – 7.29 (m, 3H), 7.27 – 7.23 (m, 1H), 7.13 (d, *J* = 8.4 Hz, 1H), 7.08 – 6.95 (m, 1H), 2.55 (s, 3H), 2.26 (s, 3H), 2.23 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.5, 146.6, 141.8, 139.9, 139.7, 136.0, 135.2, 135.1, 133.4, 131.2, 129.6, 129.1, 128.4, 128.2, 128.0, 127.3, 126.9, 125.3, 121.3, 120.6, 116.0, 22.1, 19.0, 16.3. **HRMS** (ESI):

m/z $[M+H]^+$ calcd for $[C_{26}H_{23}N_2O_2S]^+$ requires 427.1475, found 427.1475. $[\alpha]_D^{25}$ = +154 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 11.30 min, t_2 (major) = 13.31 min.

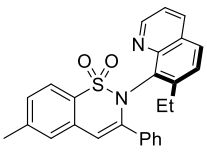




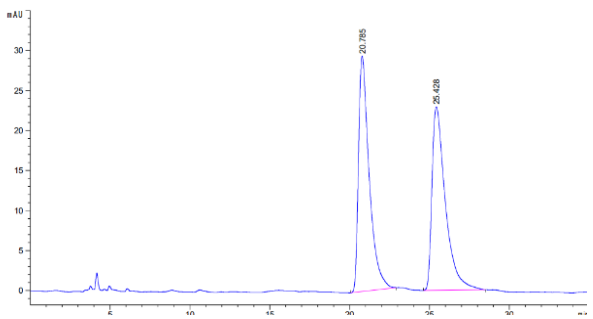
Peak	RetTime	Area	Height	Area
1	11.014	5668.95752	240.40921	50.1206
2	13.288	5641.67285	191.77019	49.8794

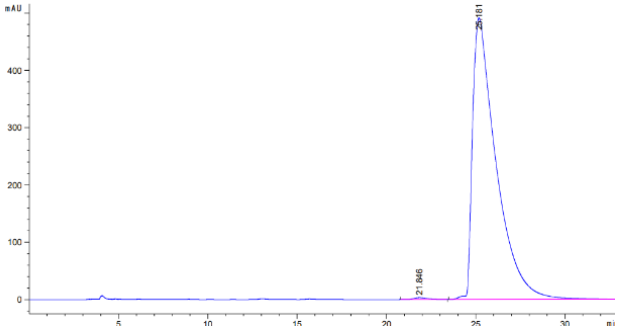
Peak	RetTime	Area	Height	Area
1	11.304	15.97842	5.95117e^{-1}	0.3560
2	13.312	4471.96191	147.55960	99.6440

(*R*)-2-(7-ethylquinolin-8-yl)-6-methyl-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6y).



Yield: 86.1 mg (81%). White solid, mp: 208-209 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.93 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.99 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.69 (d, *J* = 7.9 Hz, 1H), 7.64 – 7.54 (m, 3H), 7.39 (s, 1H), 7.36 – 7.30 (m, 1H), 7.28 (dd, *J* = 8.1, 1.6 Hz, 1H), 7.25 (d, *J* = 5.7 Hz, 1H), 7.15 – 7.08 (m, 1H), 7.04 (dd, *J* = 8.2, 6.9 Hz, 2H), 6.70 (s, 1H), 2.63 (dq, *J* = 15.1, 7.6 Hz, 1H), 2.56 (dq, *J* = 14.9, 7.6 Hz, 1H), 2.51 (s, 3H), 1.06 (t, *J* = 7.6 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.8, 146.9, 145.5, 145.1, 142.1, 135.9, 135.4, 133.8, 132.5, 129.9, 129.1, 128.7, 128.5, 128.1, 127.8, 127.5, 127.4, 127.0, 121.6, 121.6, 120.9, 111.1, 24.5, 21.8, 14.3. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{26}H_{23}N_2O_2S]^+$ requires 427.1475, found 427.1477. $[\alpha]_D^{25}$ = +139 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 21.85 min, t_2 (major) = 25.18 min.

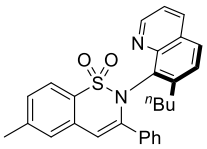




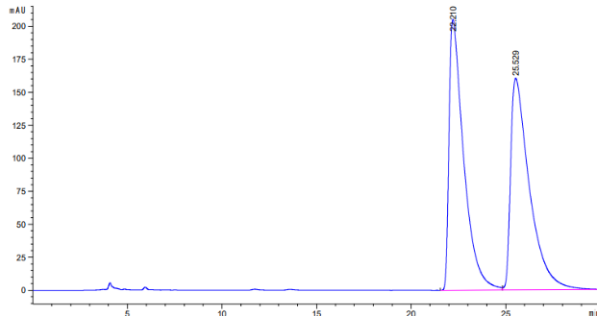
Peak	RetTime	Area	Height	Area
1	20.785	1362.69092	29.41368	50.4919
2	25.428	1336.13818	22.92377	49.5081

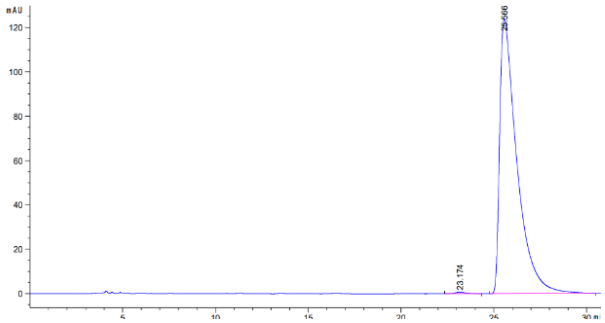
Peak	RetTime	Area	Height	Area
1	21.846	163.70512	3.23367	0.3625
2	25.181	4.49961e4	491.40109	99.6375

(*R*)-2-(7-butylquinolin-8-yl)-6-methyl-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6z).



Yield: 90.6 mg (80%). White solid, mp: 200-201 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.07 (dd, *J* = 4.3, 1.7 Hz, 1H), 7.97 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.63 (d, *J* = 6.9 Hz, 2H), 7.54 (d, *J* = 8.5 Hz, 1H), 7.38 (s, 1H), 7.34 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.28 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.15 (d, *J* = 8.5 Hz, 1H), 7.12 – 7.07 (m, 1H), 7.03 (t, *J* = 7.6 Hz, 2H), 6.72 (s, 1H), 2.48 (s, 3H), 2.43 – 2.34 (m, 1H), 2.34 –2.26 (m, 1H), 1.36 –1.28 (m, 1H), 1.27 – 1.18 (m, 1H), 1.09 –0.98 (m, 1H), 0.91 –0.80 (m, 1H), 0.66 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.9, 147.2, 145.1, 144.3, 142.2, 135.8, 135.5, 133.6, 132.7, 130.1, 129.2, 128.6, 128.6, 128.2, 128.1, 127.9, 127.4, 127.0, 121.6, 121.0, 111.2, 32.9, 31.4, 23.0, 21.7, 13.7. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{28}H_{27}N_2O_2S]^+$ requires 455.1788, found 455.1786. $[\alpha]_D^{25}$ = +132 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (minor) = 23.17 min, t_2 (major) = 25.57 min.

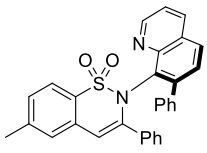




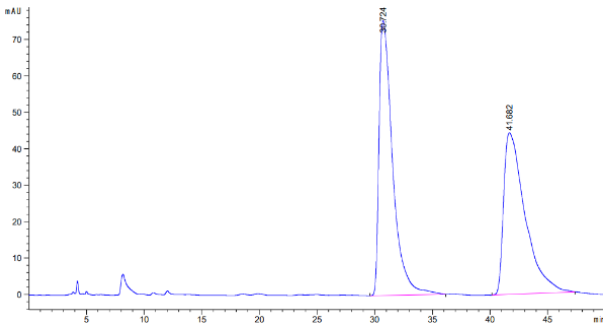
Peak	RetTime	Area	Height	Area
1	22.21	1.10280e4	205.11058	49.8773
2	25.529	1.10822e4	160.40794	50.1227

Peak	RetTime	Area	Height	Area
1	23.174	29.86735	6.27136e-1	0.3722
2	25.566	7993.88281	123.73645	99.6278

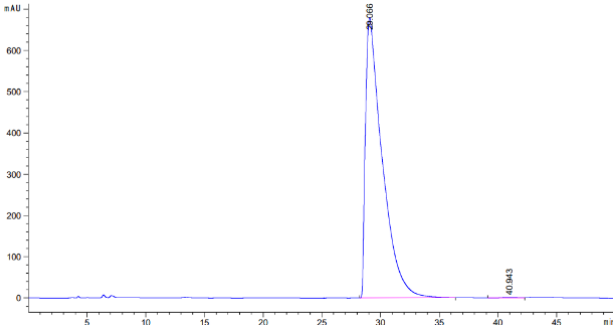
(*R*)-6-methyl-3-phenyl-2-(7-phenylquinolin-8-yl)-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6za).



Yield: 71.2 mg (60%). White solid, mp: 160-161 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.33 (dd, *J* = 4.3, 1.7 Hz, 1H), 8.13 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.82 (d, *J* = 7.9 Hz, 1H), 7.65 (d, *J* = 8.3 Hz, 1H), 7.51 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.34 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.26 – 7.22 (m, 2H), 7.13 (d, *J* = 8.3 Hz, 1H), 7.11 – 7.04 (m, 2H), 6.97 (t, *J* = 7.6 Hz, 2H), 6.91 (s, 1H), 6.79 (t, *J* = 7.4 Hz, 2H), 6.71 (d, *J* = 7.5 Hz, 2H), 6.09 (s, 1H), 2.47 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.7, 147.1, 143.2, 142.2, 141.9, 137.8, 135.7, 135.5, 133.6, 133.2, 129.6, 129.1, 128.9, 128.6, 128.3, 128.1, 128.0, 127.9, 127.6, 127.5, 127.3, 127.0, 122.2, 121.7, 111.7, 21.6. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{30}H_{23}N_2O_2S]^+$ requires 475.1475, found 475.1485. $[\alpha]_D^{25}$ = + 128 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: >99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (major) = 29.07 min, t_2 (minor) = 40.94 min.



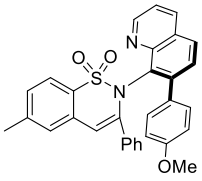
Peak	RetTime	Area	Height	Area
1	30.724	6164.22949	75.37975	51.8618
2	41.682	5721.65576	44.38799	48.1382



Peak	RetTime	Area	Height	Area
1	29.066	6.74213e4	678.82184	99.7977
2	40.943	136.66936	1.43888	0.2023

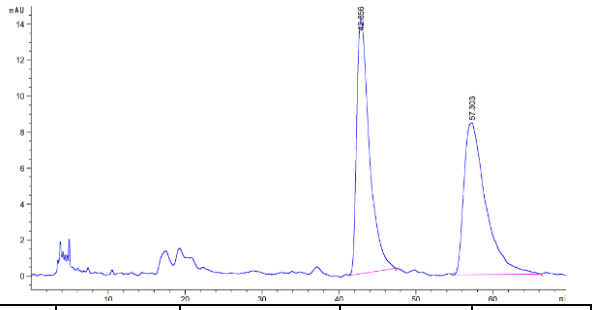
(*R*)-2-(7-(4-methoxyphenyl)quinolin-8-yl)-6-methyl-3-phenyl-2H-benzo[e][1,2]thiazine 1,1-dioxide (6zb).

Yield: 57.1 mg (45%). White solid, mp: 107-108 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.33 (dd, *J* = 4.2,

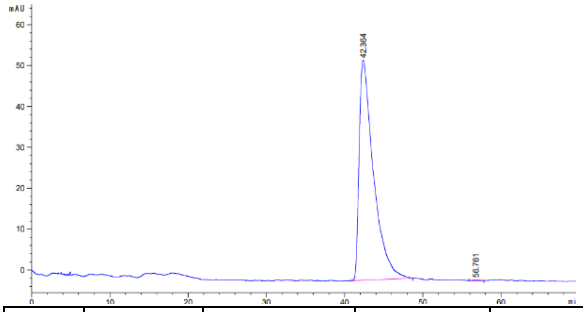


1.8 Hz, 1H), 8.13 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.82 (d, *J* = 7.9 Hz, 1H), 7.65 (d, *J* = 8.4 Hz, 1H), 7.52 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.36 (ddd, *J* = 8.0, 1.7, 0.8 Hz, 1H), 7.27 – 7.22 (m, 2H), 7.13 (d, *J* = 8.3 Hz, 1H), 7.10 – 7.04 (m, 1H), 7.00 – 6.93 (m, 3H), 6.67 – 6.62 (m, 2H), 6.32 (d, *J* = 8.0 Hz, 2H), 6.14 (s, 1H), 3.70 (s, 3H), 2.48 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 159.0, 151.6, 147.2, 143.5, 142.0, 141.6, 135.7, 135.6, 133.8, 133.3, 130.3, 129.3, 129.2, 128.9, 128.5, 128.2, 128.1, 127.8, 127.6, 127.4, 122.3, 121.6, 112.4, 111.5, 55.1, 21.6. **HRMS**

(ESI): m/z $[M+H]^+$ calcd for $[C_{31}H_{25}N_2O_3S]^+$ requires 505.1580, found 505.1591. $[\alpha]_D^{25}$ = + 334 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: >99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (major) = 42.36 min, t_2 (minor) = 56.76 min.

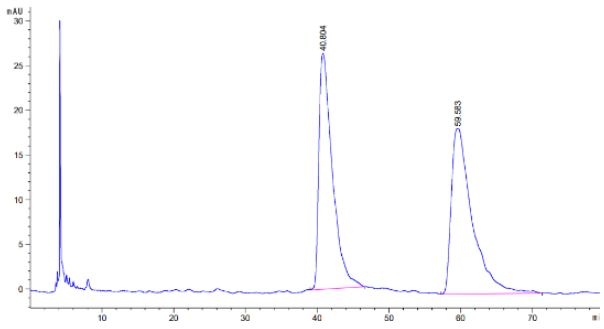


Peak	RetTime	Area	Height	Area
1	42.856	1625.45801	14.14548	49.4733
2	57.303	1660.06873	8.44374	50.5267

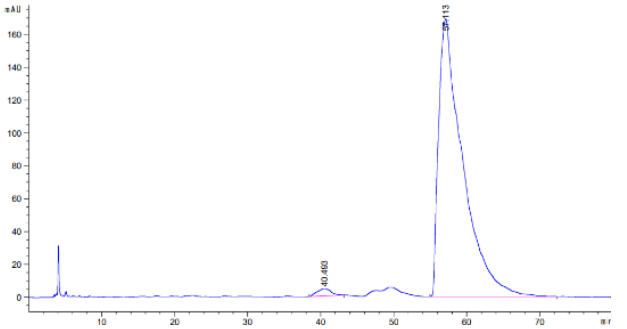


Peak	RetTime	Area	Height	Area
1	42.364	6841.52197	53.79322	99.8623
2	56.761	9.43174	1.87364e^{-1}	0.1377

(*R*)- (E)-6-methyl-3-phenyl-2-(7-styrylquinolin-8-yl)-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6zc). Yield: 110.0 mg (88%). White solid, mp: 160-161 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.08 (dd, *J* = 4.2, 1.7 Hz, 1H), 7.87 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.76 (d, *J* = 7.9 Hz, 1H), 7.51 (d, *J* = 7.2 Hz, 2H), 7.42 (d, *J* = 9.8 Hz, 3H), 7.31 – 7.27 (m, 2H), 7.23 – 7.19 (m, 3H), 7.03 – 6.96 (m, 3H), 6.94 (t, *J* = 7.6 Hz, 2H), 6.81 (d, *J* = 16.2 Hz, 1H), 6.71 – 6.53 (m, 2H), 2.53 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.5, 147.2, 146.2, 142.5, 138.2, 136.8, 135.7, 135.5, 133.6, 132.9, 132.8, 130.0, 129.3, 128.9, 128.7, 128.6, 128.3, 128.1, 128.0, 127.9, 127.7, 126.9, 123.8, 123.6, 122.10, 121.4, 111.1, 21.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{32}H_{25}N_2O_2S]^+$ requires 501.1631, found 501.1635. $[\alpha]_D^{25}$ = + 43 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (minor) = 40.49 min, t_2 (major) = 57.13 min.



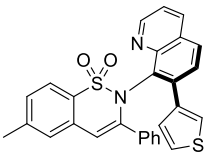
Peak	RetTime	Area	Height	Area
1	40.804	3451.33691	26.37794	47.9621



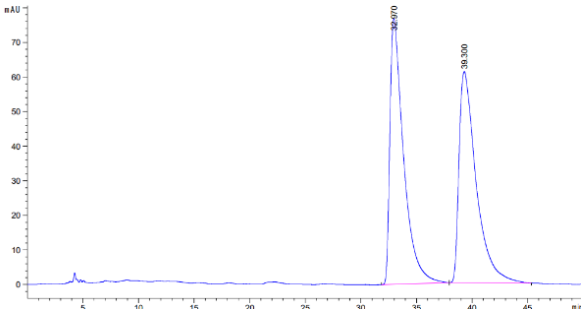
Peak	RetTime	Area	Height	Area
1	40.493	597.75977	4.47436	1.5168

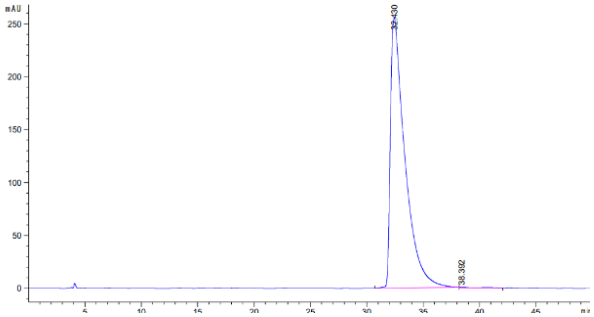
2	59.583	3744.62817	18.51033	52.0379		2	57.113	3.88110e4	169.10344	98.4832

(*R*)-6-methyl-3-phenyl-2-(7-(thiophen-3-yl)quinolin-8-yl)-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6zd).



Yield: 64.8 mg (54%). White solid, mp: 225-226 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.24 (d, *J* = 4.1 Hz, 1H), 8.09 (d, *J* = 8.2 Hz, 1H), 7.78 (d, *J* = 7.9 Hz, 1H), 7.60 (d, *J* = 8.3 Hz, 1H), 7.49 – 7.43 (m, 1H), 7.32 (d, *J* = 8.0 Hz, 1H), 7.21 (d, *J* = 7.7 Hz, 2H), 7.14 (d, *J* = 8.3 Hz, 1H), 7.08 – 7.02 (m, 2H), 6.98 – 6.90 (m, 3H), 6.58 (s, 1H), 6.50 (d, *J* = 4.9 Hz, 1H), 6.22 (s, 1H), 2.47 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.6, 147.1, 143.6, 142.1, 138.0, 137.4, 135.7, 135.6, 133.7, 133.3, 129.5, 128.9, 128.7, 128.2, 128.1, 128.0, 128.0, 127.6, 127.6, 124.2, 123.5, 122.1, 121.7, 111.7, 21.7. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{28}H_{21}N_2O_2S_2]^+$ requires 481.1039, found 481.1048. $[\alpha]_D^{25}$ = + 311 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 99% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 °C, flow rate: 1 mL/min), t_1 (major) = 32.43 min, t_2 (minor) = 38.39 min.

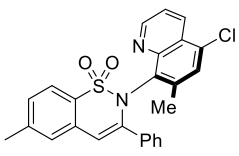




Peak	RetTime	Area	Height	Area
1	32.970	6536.83984	76.75323	50.0620
2	39.300	6520.65381	61.04184	49.9380

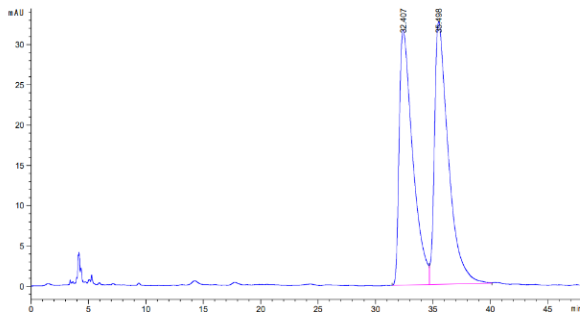
Peak	RetTime	Area	Height	Area
1	32.430	2.28055e4	255.08836	99.7306
2	38.392	61.61191	6.18263e-1	0.2694

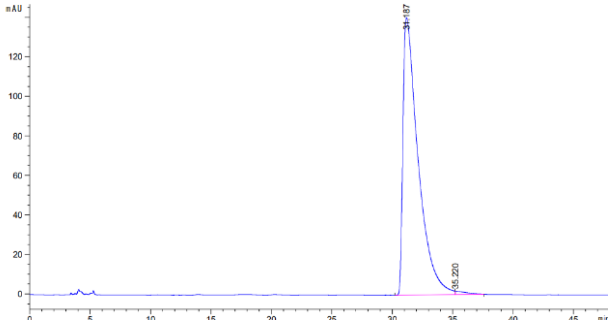
(*R*)-2-(5-chloro-7-methylquinolin-8-yl)-6-methyl-3-phenyl-2*H*-benzo[e][1,2]thiazine 1,1-dioxide (6ze).



Yield: 108.2 mg (97%). White solid, mp: 246-247 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.98 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.33 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.57 (d, *J* = 7.2 Hz, 2H), 7.43 – 7.33 (m, 2H), 7.24 (d, *J* = 7.0 Hz, 2H), 7.10 (t, *J* = 7.3 Hz, 1H), 7.05 (t, *J* = 7.5 Hz, 2H), 6.72 (s, 1H), 2.44 (s, 3H), 2.16 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 151.4, 147.2, 144.5, 142.5, 139.9, 135.7, 133.6, 132.8, 132.6, 131.5, 130.3, 129.4, 129.3, 128.7, 128.1, 127.9, 127.6, 125.0, 121.7, 121.5, 111.5, 21.8, 18.8. **HRMS** (ESI): m/z

$[M+H]^+$ calcd for $[C_{25}H_{20}ClN_2O_2S]^+$ requires 447.0929, found 447.0927. $[\alpha]_D^{25}$ = + 40 (c = 0.1, CH_2Cl_2). The product was analyzed by HPLC to determine the enantiomeric excess: 98% ee (CHIRALPAK IB-H, hexane/*i*-PrOH = 80/20, detector: 254 nm, T = 25 ℃, flow rate: 1 mL/min), t_1 (major) = 31.18 min, t_2 (minor) = 35.22 min.

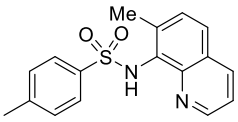




Peak	RetTime	Area	Height	Area
1	32.407	2571.64209	31.64595	48.4591
2	35.498	2735.18457	32.60436	51.5409

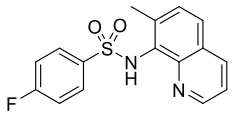
Peak	RetTime	Area	Height	Area
1	31.184	1.22611e4	140.20547	99.1214
2	35.220	108.68090	1.69732	0.8786

4-methyl-*N*-(7-methylquinolin-8-yl)benzenesulfonamide (1a)



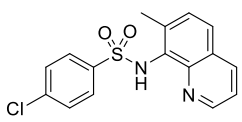
Yield: 1.4 g (90%). White solid, mp: 114-115 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.37 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.14 (s, 1H), 7.97 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.55 (d, *J* = 8.4 Hz, 1H), 7.43 (d, *J* = 8.4 Hz, 1H), 7.38 (d, *J* = 8.3 Hz, 2H), 7.19 – 7.15 (m, 1H), 6.90 (d, *J* = 8.0 Hz, 2H), 2.76 (s, 3H), 2.21 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 148.8, 143.1, 142.9, 136.7, 135.9, 135.6, 131.1, 130.5, 128.6, 127.6, 126.4, 125.2, 120.4, 21.3, 20.1. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{17}H_{17}N_2O_2S]^+$ requires 313.0932, found 313.1009.

4-fluoro-N-(7-methylquinolin-8-yl)benzenesulfonamide (1b)



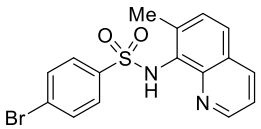
Yield: 870.0 mg (90%). White solid, mp: 132-133 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.37 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.08 (s, 1H), 7.99 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.57 (d, *J* = 8.5 Hz, 1H), 7.52 – 7.45 (m, 2H), 7.45 (d, *J* = 8.4 Hz, 1H), 7.22 – 7.15 (m, 1H), 6.80 – 6.73 (m, 2H), 2.78 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 165.0 (d, $^1J_{C-F}$ = 254.1 Hz), 149.0, 142.8, 137.3, 135.7, 134.7, 134.6, 130.7, 130.5 (d, $^2J_{C-F}$ = 36.4 Hz), 130.4, 126.4, 125.6, 120.6, 115.1, 115.0, 20.0. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -105.8. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{14}FN_2O_2S]^+$ requires 317.0755, found 317.0762.

4-chloro-N-(7-methylquinolin-8-yl)benzenesulfonamide (1c)



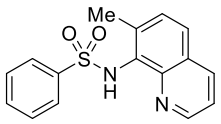
Yield: 1.36 g (82%). White solid, mp: 135-136 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.37 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.08 (s, 1H), 8.00 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 1H), 7.45 (d, *J* = 8.4 Hz, 1H), 7.44 – 7.39 (d, 2H), 7.23 – 7.16 (m, 1H), 7.09 – 7.05 (d, 2H), 2.78 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.1, 142.8, 138.9, 137.3, 137.2, 135.8, 130.6, 129.1, 128.2, 126.5, 125.7, 120.6, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{14}ClN_2O_2S]^+$ requires 333.0459, found 333.0461.

4-bromo-*N*-(7-methylquinolin-8-yl)benzenesulfonamide (1d)



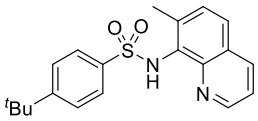
Yield: 1.5 g (80%). White solid, mp: 138-139 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.37 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.06 (s, 1H), 8.00 (d, *J* = 8.2 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 1H), 7.46 (d, *J* = 8.4 Hz, 1H), 7.34 (dd, *J* = 8.6, 1.6 Hz, 2H), 7.31 – 7.08 (m, 3H), 2.78 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.0, 142.8, 137.7, 137.3, 135.7, 131.2, 130.6, 130.5, 129.2, 127.4, 126.4, 125.7, 120.6, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{14}BrN_2O_2S]^+$ requires 376.9881, found 376.9962.

***N*-(7-methylquinolin-8-yl)benzenesulfonamide (1e)**



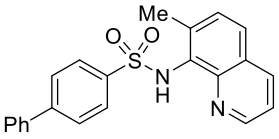
Yield: 1.4 g (94%). White solid, mp: 152-153 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.34 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.12 (s, 1H), 7.96 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.56 (d, *J* = 8.4 Hz, 1H), 7.50 (dd, *J* = 8.5, 1.3 Hz, 2H), 7.45 (d, *J* = 8.4 Hz, 1H), 7.31 – 7.22 (m, 1H), 7.15 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.13 – 7.09 (m, 2H), 2.78 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 148.9, 142.8, 138.6, 136.9, 135.6, 132.3, 130.9, 130.5, 128.0, 127.6, 126.3, 125.4, 120.5, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{15}N_2O_2S]^+$ requires 299.0776, found 299.0853.

4-(tert-butyl)-N-(7-methylquinolin-8-yl)benzenesulfonamide (1f)



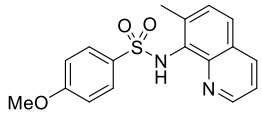
Yield: 1.48 g (83%). White solid, mp: 141-142 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.26 (dd, *J* = 3.7, 1.8 Hz, 1H), 8.00 (s, 1H), 7.95 (dd, *J* = 8.2, 2.0 Hz, 1H), 7.55 (dd, *J* = 8.6, 3.4 Hz, 1H), 7.44 (dd, *J* = 9.5, 4.6 Hz, 1H), 7.37 – 7.31 (m, 2H), 7.13 – 7.05 (m, 1H), 7.06 (d, *J* = 6.9 Hz, 2H), 2.78 (s 3H), 1.15 (s, 9H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 156.2, 148.7, 142.9, 137.1, 135.5, 135.4, 131.1, 130.5, 127.4, 126.3, 125.4, 125.3, 124.8, 120.2, 34.9, 31.0, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{20}H_{23}N_2O_2S]^+$ requires 355.1475, found 355.1483.

***N*-(7-methylquinolin-8-yl)-[1,1'-biphenyl]-4-sulfonamide (1g)**



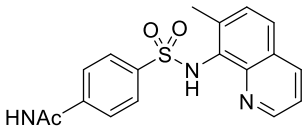
Yield: 1.8 g (81%). White solid, mp: 147-148 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.32 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.13 (s, 1H), 7.96 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.57 (d, *J* = 8.4 Hz, 1H), 7.53 (d, *J* = 8.5 Hz, 2H), 7.46 (d, *J* = 8.5 Hz, 1H), 7.45 – 7.34 (m, 5H), 7.29 (d, *J* = 8.5 Hz, 2H), 7.15 – 7.09 (m, 1H), 2.81 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 148.9, 145.3, 142.9, 139.4, 137.2, 137.1, 135.6, 130.9, 130.5, 128.9, 128.3, 128.1, 127.1, 126.6, 126.4, 125.4, 120.4, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{22}H_{19}N_2O_2S]^+$ requires 375.1089, found 375.1167.

4-methoxy-N-(7-methylquinolin-8-yl)benzenesulfonamide (1h)



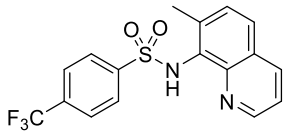
Yield: 1.29 g (79%). White solid, mp: 135-136 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.41 (dd, *J* = 3.5, 1.7 Hz, 1H), 8.11 (s, 1H), 7.98 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.55 (d, *J* = 8.5 Hz, 1H), 7.46 – 7.40 (m, 3H), 7.20 – 7.14 (m, 1H), 6.60 – 6.54 (m, 2H), 3.69 (d, *J* = 3.1 Hz, 3H), 2.77 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 162.8, 148.9, 142.9, 136.8, 135.6, 131.2, 130.5, 129.7, 126.4, 125.2, 120.5, 113.1, 55.4, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{17}H_{17}N_2O_3S]^+$ requires 328.0882, found 329.0963.

N-(7-Methylquinoline-8-yl)-4-acetamidobenzenesulfonamide (1i)



Yield: 532 mg (30%). White solid, mp: 241-242 °C. **^{1}H NMR** (600 MHz, DMSO-d_6) δ 10.16 (s, 1H), 9.49 (s, 1H), 8.42 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.23 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.54 – 7.48 (m, 3H), 7.47 – 7.42 (m, 2H), 7.37 – 7.28 (m, 1H), 2.56 (s, 3H), 2.05 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 174.0, 154.4, 149.2, 147.8, 143.2, 141.0, 139.6, 136.4, 135.0, 133.4, 131.8, 131.5, 125.9, 122.9, 29.3, 24.7. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{18}H_{18}N_3O_3S]^+$ requires 356.1063, found 356.1072.

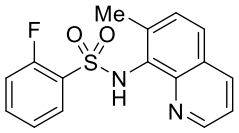
N-(7-methylquinolin-8-yl)-4-(trifluoromethyl)benzenesulfonamide (1j)



Yield: 1.20 g (66%). White solid, mp: 150-151 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.26 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.01 (s, 1H), 7.98 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.59 (t, *J* = 7.9 Hz, 3H), 7.48 (d, *J* = 8.4 Hz, 1H), 7.35 (d, *J* = 8.2 Hz, 2H), 7.18 – 7.12 (m, 1H), 2.80 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.0, 142.7, 142.0, 137.7, 135.7, 134.1 (q, $^2J_{C-F}$ = 32.9 Hz), 130.6, 130.3, 128.2, 126.4, 126.0, 124.9 (q, $^3J_{C-F}$ = 4.5 Hz), 124.1,

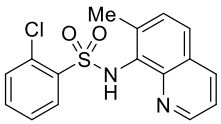
123.1 (q, $^{1}J_{C\text{-}F}$ = 272.54 Hz), 120.6, 20.0. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -63.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{18}H_{15}F_3NO_2S]^+$ requires 366.077, found 366.0779.

2-fluoro-*N*-(7-methylquinolin-8-yl)benzenesulfonamide (1k)



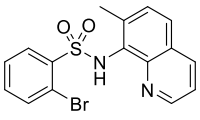
Yield: 1.2 g (75%). White solid, mp: 126-127 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.40 (d, *J* = 4.7 Hz, 1H), 8.20 (s, 1H), 7.98 (d, *J* = 8.1 Hz, 1H), 7.57 (d, *J* = 8.5 Hz, 1H), 7.50 – 7.38 (m, 2H), 7.37 – 7.32 (m, 1H), 7.17 (dd, *J* = 8.4, 4.2 Hz, 1H), 7.02 (t, *J* = 9.3 Hz, 1H), 6.90 (t, *J* = 7.6 Hz, 1H), 2.74 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 159.7 (d, $^{1}J_{C\text{-}F}$ = 256.3 Hz), 149.1, 143.3, 137.8, 135.7, 134.7 (d, $^{3}J_{C\text{-}F}$ = 8.5 Hz), 130.5, 130.1, 126.4, 125.8, 123.5 (d, $^{4}J_{C\text{-}F}$= 3.8 Hz), 120.6, 116.4 (d, $^{2}J_{C\text{-}F}$ = 21.7 Hz), 20.0. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -106.9 **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{14}FN_2O_2S]^+$ requires 317.0682, found 317.0758.

2-chloro-*N*-(7-methylquinolin-8-yl)benzenesulfonamide (1l)



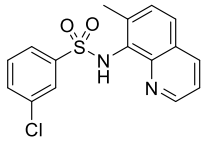
Yield: 1.1 g (67%). White solid, mp: 147-148 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.41 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.36 (s, 1H), 7.98 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.62 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.58 (d, *J* = 8.5 Hz, 1H), 7.46 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.43 (d, *J* = 8.4 Hz, 1H), 7.34 – 7.28 (m, 1H), 7.20 – 7.17 (m, 1H), 7.05 (t, *J* = 7.7 Hz, 1H), 2.69 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.0, 143.4, 137.9, 135.6, 133.2, 133.1, 131.2, 130.9, 130.6, 130.4, 126.4, 126.3, 125.8, 120.6, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{14}ClN_2O_2S]^+$ requires 333.0386, found 333.0463.

2-bromo-N-(7-methylquinolin-8-yl)benzenesulfonamide (1m)



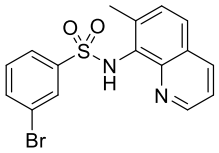
Yield: 1.49 g (79%). White solid, mp: 170-171 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.41 (dd, *J* = 4.3, 1.7 Hz, 2H), 7.97 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.67 (dd, *J* = 7.9, 1.2 Hz, 1H), 7.64 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.57 (d, *J* = 8.4 Hz, 1H), 7.42 (d, *J* = 8.4 Hz, 1H), 7.21 (td, *J* = 7.7, 1.7 Hz, 1H), 7.18 – 7.14 (m, 1H), 7.08 (td, *J* = 7.6, 1.2 Hz, 1H), 2.68 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 148.9, 143.4, 139.6, 137.9, 135.5, 134.7, 133.1, 131.0, 130.8, 130.3, 126.8, 126.4, 125.8, 121.6, 120.6, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{14}BrN_2O_2S]^+$ requires 376.9954, found 376.9962.

3-chloro-N-(7-methylquinolin-8-yl)benzenesulfonamide (1n)



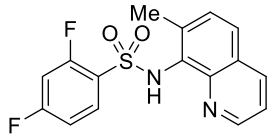
Yield: 1.33 g (80%). White solid, mp: 143-144 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.39 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.08 (s, 1H), 8.00 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 1H), 7.52 (t, *J* = 1.9 Hz, 1H), 7.46 (d, *J* = 8.4 Hz, 1H), 7.31 (d, *J* = 7.9 Hz, 1H), 7.24 – 7.20 (m, 1H), 7.19 – 7.14 (m, 1H), 7.00 (t, *J* = 7.9 Hz, 1H), 2.78 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.0, 142.7, 140.1, 137.4, 135.7, 134.2, 132.3, 130.6, 130.4, 129.1, 127.9, 126.5, 125.8, 125.7, 120.6, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{14}ClN_2O_2S]^+$ requires 333.0459, found 333.0467.

3-bromo-N-(7-methylquinolin-8-yl)benzenesulfonamide (1o)



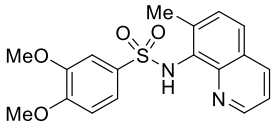
Yield: 1.02 g (55%). White solid, mp: 155-156 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.39 (dd, *J* = 4.3, 1.7 Hz, 1H), 8.09 (s, 1H), 7.99 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.64 (t, *J* = 1.9 Hz, 1H), 7.58 (d, *J* = 8.5 Hz, 1H), 7.44 (d, *J* = 8.4 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 2H), 7.21 – 7.15 (m, 1H),, 6.92 (t, *J* = 7.9 Hz, 1H), 2.77 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.0, 142.7, 1403, 137.4, 135.8, 135.2, 130.8, 130.5, 130.4, 129.3, 126.5, 126.1, 128.8, 121.9, 120.7, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{14}BrN_2O_2S]^+$ requires 376.9954, found 376.9958.

2,4-difluoro-*N*-(7-methylquinolin-8-yl)benzenesulfonamide (1p)



Yield: 1.4 g (81%). White solid, mp: 140-141 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.44 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.13 (s, 1H), 8.01 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.59 (d, *J* = 8.4 Hz, 1H), 7.50 – 7.41 (m, 2H), 7.25 – 7.18 (m, 1H), 6.75 (t, *J* = 8.13 Hz 1H), 6.60 (t, *J* = 8.35 Hz 1H), 2.74 (s, 3H).**^{13}C NMR** (151 MHz, $CDCl_3$) δ 165.71 (dd, *J* = 257.5, 12.0 Hz), 161.41 (d, $^2J_{C\text{-}F}$ = 16.0 Hz), 159.68 (d, $^2J_{C\text{-}F}$ = 15.4 Hz), 149.22, 143.28, 138.22, 135.79, 131.84 (d, $^2J_{C\text{-}F}$ = 10.4 Hz), 130.49, 126.46, 126.01, 124.19 (dd, *J* = 14.4, 3.9 Hz), 120.73, 110.77 (dd, *J* = 21.6, 3.8 Hz), 104.82 (t, $^2J_{C\text{-}F}$ = 25.6 Hz), 19.96. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -101.2, -101.4.**HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{13}F_2N_2O_2S]^+$ requires 335.0588, found 335.0663.

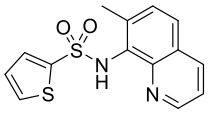
3,4-dimethoxy-*N*-(7-methylquinolin-8-yl)benzenesulfonamide (1q)



Yield: 1.3 g (75%). White solid, mp: 129-130 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.40 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.13 (s, 1H), 7.99 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.56 (d, *J* = 8.5 Hz, 1H), 7.45 (d, *J* = 8.4 Hz, 1H), 7.21 – 7.09 (m, 2H), 6.87 (d, *J* = 2.1 Hz, 1H), 6.56 (d, *J* = 8.5 Hz, 1H), 3.77 (s, 3H), 3.57 (s, 3H), 2.79 (s, 3H). **^{13}C NMR** (151 MHz,

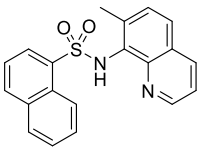
$CDCl_3$) δ 152.4, 148.9, 148.1, 142.9, 136.8, 135.6, 131.4, 130.6, 130.5, 126.4, 125.1, 121.6, 120.6, 110.2, 109.7, 56.0, 55.9, 20.1. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{18}H_{19}N_2O_4S]^+$ requires 359.0987, found 359.1069.

N-(7-methylquinolin-8-yl)thiophene-2-sulfonamide (1r)



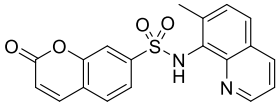
Yield: 608 g (40%). White solid, mp: 119-120 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.43 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.20 (s, 1H), 8.02 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.60 (d, *J* = 8.4 Hz, 1H), 7.47 (d, *J* = 8.6 Hz, 1H), 7.27 (d, *J* = 5.0 Hz, 1H), 7.23 – 7.18 (m, 1H), 7.15 – 7.09 (m, 1H), 6.68 (dd, *J* = 5.0, 3.7 Hz, 1H), 2.78 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.0, 143.2, 139.1, 137.4, 135.7, 132.7, 132.0, 130.1, 130.6, 126.6, 126.4, 125.6, 120.6, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{14}H_{13}N_2O_2S_2]^+$ requires 305.0413, found 305.0441.

N-(7-methylquinolin-8-yl)naphthalene-1-sulfonamide (1s)



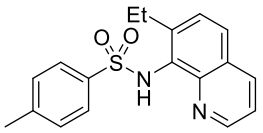
Yield: 1.44 g (83%). White solid, mp: 152-153 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.53 – 8.48 (m, 1H), 8.24 (s, 1H), 8.02 – 7.97 (t, 1H), 7.81 (dt, *J* = 5.7, 2.3 Hz, 3H), 7.69 (t, *J* = 6.4 Hz, 1H), 7.50 – 7.45 (m, 1H), 7.43 – 7.34 (m, 3H), 7.27 – 7.21 (m, 1H), 6.93 (dt, *J* = 6.1, 3.2 Hz, 1H), 2.75 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 148.2, 143.0, 136.7, 135.2, 135.0, 133.9, 133.7, 131.3, 130.3, 129.5, 129.3, 128.1, 127.3, 126.2, 126.1, 125.3, 124.9, 123.7, 120.2, 20.1. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{20}H_{17}N_2O_2S]^+$ requires 349.1005, found 349.1008.

N-(7-methylquinolin-8-yl)-2-oxo-2H-chromene-7-sulfonamide (1t)



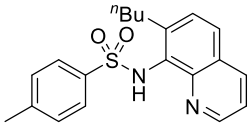
Yield: 420 mg (28%). White solid, mp: 207-208 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.29 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.07 (s, 1H), 7.97 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.68 (d, *J* = 2.2 Hz, 1H), 7.62 – 7.56 (m, 2H), 7.48 (dd, *J* = 9.1, 2.1 Hz, 2H), 7.18 – 7.09 (m, 1H), 7.01 (d, *J* = 8.7 Hz, 1H), 6.39 (d, *J* = 9.6 Hz, 1H), 2.81 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 159.4, 156.1, 149.0, 142.7, 142.2, 137.8, 135.8, 134.9, 130.8, 130.7, 130.3, 128.0, 126.5, 125.9, 120.7, 117.9, 117.8, 116.6, 20.2. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{19}H_{15}N_2O_4S]^+$ requires 367.0747, found 367.0754.

N-(7-ethylquinolin-8-yl)-4-methylbenzenesulfonamide (1u)



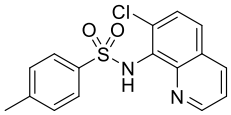
Yield: 1.30 g (80%). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.35 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.08 (s, 1H), 7.97 (dd, *J* = 8.1, 1.7 Hz, 1H), 7.60 (d, *J* = 8.5 Hz, 1H), 7.52 (d, *J* = 8.5 Hz, 1H), 7.40 – 7.33 (m, 2H), 7.16 (dd, *J* = 8.2, 4.2 Hz, 1H), 6.88 (d, *J* = 8.0 Hz, 2H), 3.32 – 3.20 (m, 2H), 2.20 (s, 3H), 1.34 (t, *J* = 7.6 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 148.82, 143.05, 142.92, 142.63, 135.52, 130.33, 129.73, 128.80, 128.64, 128.50, 127.71, 126.36, 125.72, 25.35, 21.33, 14.63. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{19}H_{21}N_2O_2S]^+$ requires 327.1162, found 327.1166.

N-(7-butylquinolin-8-yl)-4-methylbenzenesulfonamide (1v)



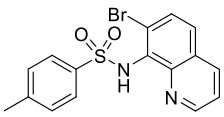
Yield: 796 mg (75%). White solid, mp: 164-165 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.36 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.06 (s, 1H), 7.96 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.58 (d, *J* = 8.5 Hz, 1H), 7.50 (d, *J* = 8.5 Hz, 1H), 7.36 (d,*J* = 8.6 Hz, 2H), 7.23 – 7.15 (m, 1H), 6.89 (d, *J* = 8.0 Hz, 2H), 3.25 – 3.20 (t, 2H), 2.21 (s, 3H), 1.74 – 1.66 (m, 2H), 1.40 (t, *J* = 7.4 Hz, 2H), 0.95 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 148.8, 143.1, 143.0, 141.5, 135.8, 135.5, 130.6, 129.1, 128.5,127.7, 126.4, 125.5, 120.4, 32.6, 32.0, 22.7, 21.3, 14.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{20}H_{23}N_2O_2S]^+$ requires 355.1475, found 355.1475.

***N*-(7-chloroquinolin-8-yl)-4-methylbenzenesulfonamide (1w)**



Yield: 1.1 g (66%). White solid, mp: 144-145 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.64 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.26 (s, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.71 (d, *J* = 8.3 Hz, 2H), 7.60 (d, *J* = 8.8 Hz, 1H), 7.55 (d, *J* = 8.8 Hz, 1H), 7.38 – 7.31 (m, 1H), 7.14 (d, *J* = 8.0 Hz, 2H), 2.35 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.0, 143.5, 143.1, 137.3, 136.0, 131.8, 130.3, 129.0, 128.9, 127.6, 126.8, 125.8, 121.6, 21.5. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{14}ClN_2O_2S]^+$ requires 333.0386, found 333.0466.

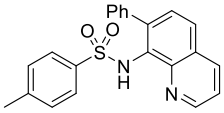
N-(5-bromoquinolin-8-yl)-4-methylbenzenesulfonamide (1x)



Yield: 1.50 g (80%). White solid, mp: 156-157 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.56 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.10 – 8.05 (m, 2H), 7.74 (d, *J* = 8.8 Hz, 1H), 7.65 (d, *J* = 7.2 Hz, 2H), 7.54 (d, *J* = 8.8 Hz, 1H), 7.36 – 7.31 (m, 1H), 7.11 (d, *J* = 8.1 Hz, 2H), 2.34 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.9, 143.6, 143.5, 137.2, 136.0, 133.6, 131.8, 128.9, 127.7,

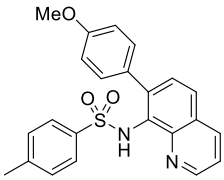
127.3, 126.4, 121.7, 121.1, 21.5. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{14}BrN_2O_2S]^+$ requires 376.9954, found 376.9962.

4-methyl-N-(7-phenylquinolin-8-yl)benzenesulfonamide (1y)



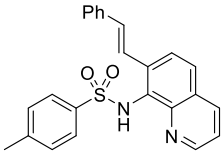
Yield: 1.38 g (79%). Yellow solid, mp: 146-147 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.45 (s, 1H), 8.12 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.71 (d, *J* = 8.5 Hz, 1H), 7.63 (d, *J* = 7.7 Hz, 2H), 7.59 (d, *J* = 8.5 Hz, 1H), 7.41 – 7.29 (m, 6H), 6.94 (d, *J* = 8.0 Hz, 2H), 2.28 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.5, 143.0, 142.7, 139.8, 137.6, 136.7, 135.8, 130.8, 130.2, 129.6, 128.6, 128.3, 127.4, 127.3, 127.1, 125.3, 121.4, 21.4. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{22}H_{19}N_2O_2S]^+$ requires 375.1162, found 375.1166.

N-(7-(4-methoxyphenyl)quinolin-8-yl)-4-methylbenzenesulfonamide (1z)



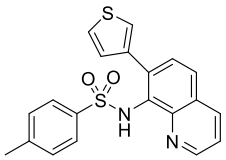
Yield: 594 mg (73%). Yellow solid, mp: 194-195 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.67 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.45 (s, 1H), 8.07 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.66 (d, *J* = 8.5 Hz, 1H), 7.54 – 7.47 (m, 3H), 7.34 – 7.30 (m, 1H), 7.31 (d, *J* = 8.2 Hz, 2H), 6.93 (d, *J* = 8.0 Hz, 2H), 6.84 – 6.79 (d, *J* = 8.2 Hz, 2H), 3.82 (s, 3H), 2.27 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 159.0, 149.5, 143.1, 142.6, 137.2, 137.0, 135.8, 132.0, 130.8, 130.7, 130.2, 128.6, 127.1, 125.2, 121.3, 113.7, 55.1, 21.4. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{23}H_{21}N_2O_3S]^+$ requires 405.1267, found 405.1274.

(E)-4-methyl-N-(7-styrylquinolin-8-yl)benzenesulfonamide (1za)



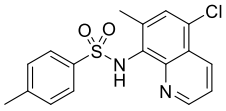
Yield: 882 mg (63%). White solid, mp: 207-208 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.52 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.42 (s, 1H), 8.01 – 7.93 (m, 3H), 7.63 – 7.58 (m, 3H), 7.46 (d, *J* = 8.3 Hz, 2H), 7.38 (t, *J* = 7.6 Hz, 2H), 7.32 – 7.21 (m, 3H), 6.90 (d, *J* = 7.9 Hz, 2H), 2.19 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.3, 143.3, 143.2, 137.3, 135.7, 135.6, 133.8, 130.6, 130.5, 128.7, 128.0, 127.8, 127.4, 127.2, 125.8, 125.5, 124.2, 121.1, 21.4. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{24}H_{22}N_2O_2S]^+$ requires 401.1318, found 401.1321.

4-methyl-N-(7-(thiophen-3-yl)quinolin-8-yl)benzenesulfonamide (1zb)



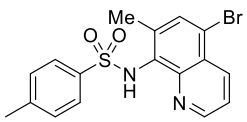
Yield: 741 mg (63%). White solid, mp: 191-192 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.57 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.31 (s, 1H), 8.05 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.67 – 7.62 (m, 3H), 7.55 (dd, *J* = 5.0, 1.3 Hz, 1H), 7.32 (d, *J* = 8.6 Hz, 2H), 7.33 – 7.26 (m, 2H), 6.93 (d, *J* = 8.0 Hz, 2H), 2.25 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.5, 143.3, 142.9, 140.0, 136.6, 135.7, 133.0, 130.6, 129.5, 128.7, 128.6, 127.3, 127.2, 125.6, 125.2, 124.2, 121.2, 21.4. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{20}H_{17}N_2O_2S_2]^+$ requires 381.0726, found 381.0730.

N-(5-chloro-7-methylquinolin-8-yl)-4-methylbenzenesulfonamide(1zc)



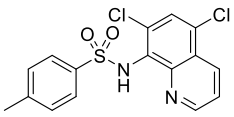
Yield: 504 mg (73%). White solid, mp: 173-174 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.41 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.34 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.05 (s, 1H), 7.53 (s, 1H), 7.41 – 7.36 (d, *J* = 1.6 Hz, 2H), 7.30 – 7.25 (m, 1H), 6.92 (d, *J* = 8.0 Hz, 2H), 2.74 (s, 3H), 2.22 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.4, 143.3, 143.2, 137.2, 135.6, 132.8, 130.5, 130.2, 128.7, 128.5, 127.6, 124.4, 121.1, 21.4, 20.0. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{17}H_{16}ClN_2O_2S]^+$ requires 347.0616, found 347.0620.

***N*-(5-bromo-7-methylquinolin-8-yl)-4-methylbenzenesulfonamide (1zd)**



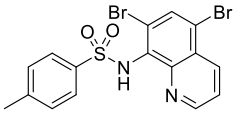
Yield: 1.6 g (82%). White solid, mp: 166-167 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.39 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.32 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.08 (s, 1H), 7.75 (s, 1H), 7.40 (d, *J* = 8.3 Hz, 2H), 7.33 – 7.22 (m, 1H), 6.93 (d, *J* = 8.0 Hz, 2H), 2.75 (s, 3H), 2.23 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 149.4, 143.3, 143.2, 137.6, 135.7, 135.4, 133.9, 131.2, 128.7, 127.6, 125.8, 121.4, 118.8, 21.4, 19.9. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{17}H_{16}BrN_2O_2S]^+$ requires 391.0038, found 391.0115.

N-(5,7-dichloroquinolin-8-yl)-4-methylbenzenesulfonamide (1ze)



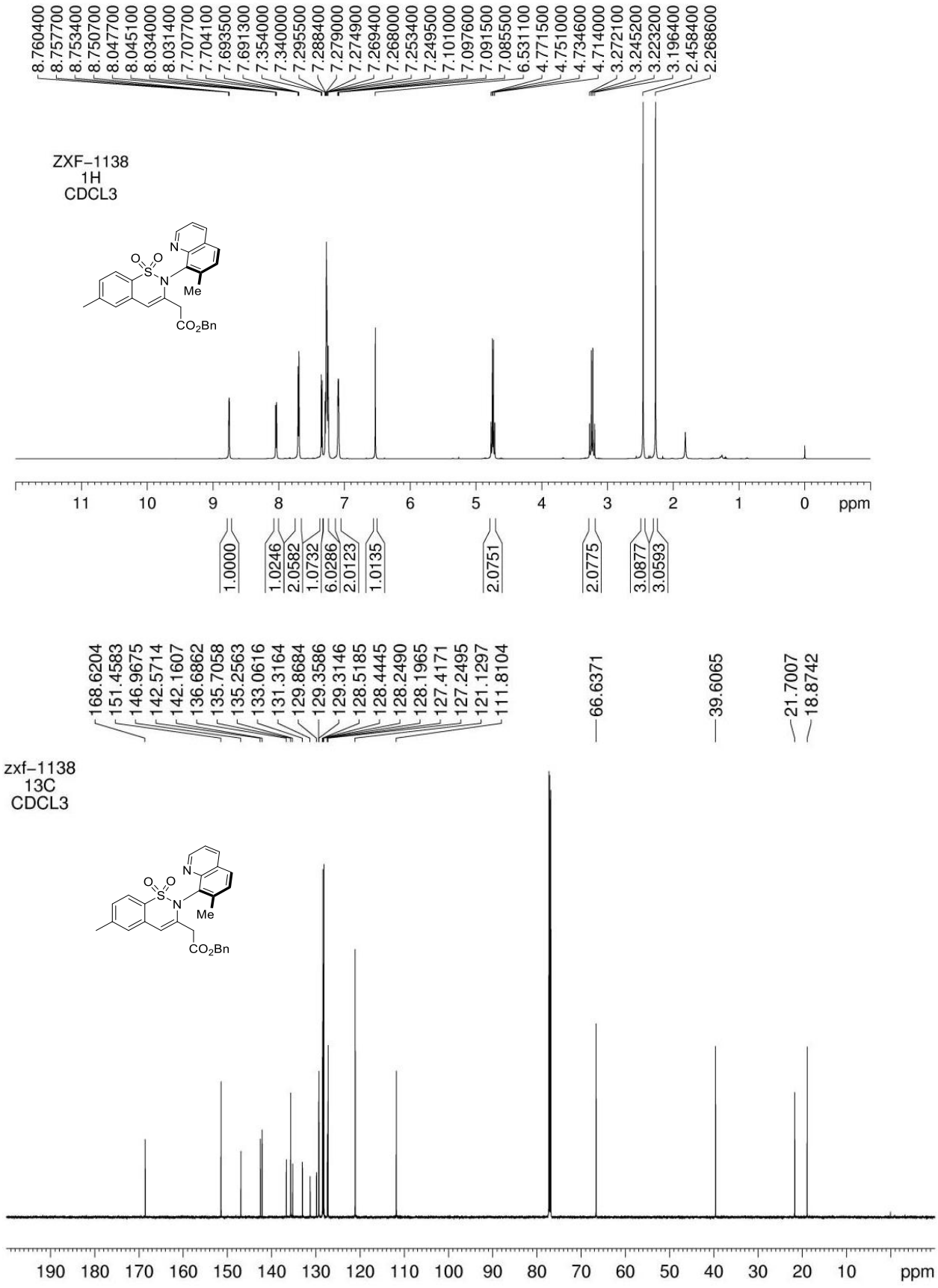
Yield: 413 mg (39%). Yellow solid, mp: 198-199 °C. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.68 (dd, *J* = 4.3, 1.6 Hz, 1H), 8.47 (dd, *J* = 8.5, 1.6 Hz, 1H), 8.19 (s, 1H), 7.71 (d, *J* = 8.1 Hz, 2H), 7.68 (s, 1H), 7.51 – 7.42 (m, 1H), 7.16 (d, *J* = 8.0 Hz, 2H), 2.36 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.6, 143.7, 143.3, 137.2, 133.3, 131.2, 130.0, 129.1, 129.0, 128.6, 127.6, 125.1, 122.3, 21.5. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{13}Cl_2N_2O_2S]^+$ requires 367.0069, found 367.0075.

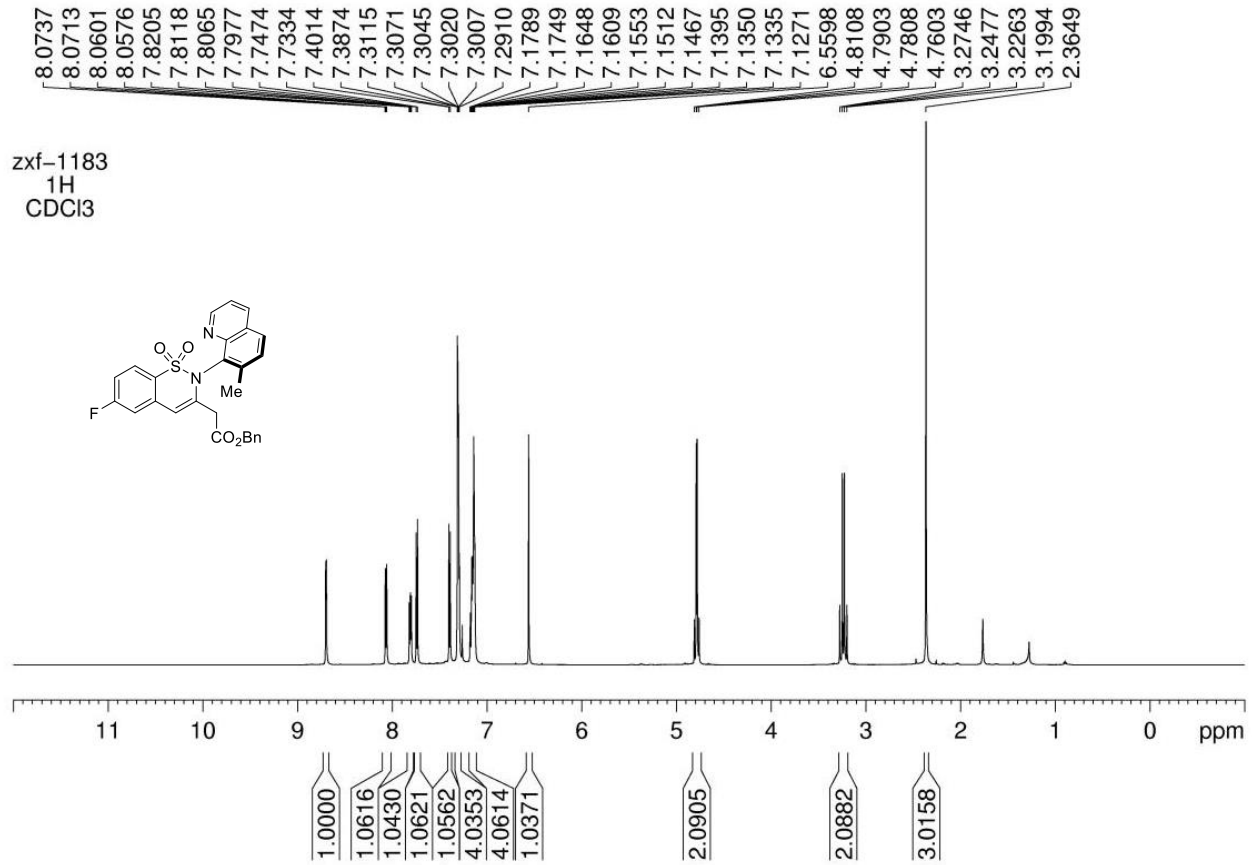
N-(5,7-dibromoquinolin-8-yl)-4-methylbenzenesulfonamide (1zf)



Yield: 720 mg (55%). White solid, mp: 198-199 ºC. **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.58 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.42 (dd, *J* = 8.5, 1.6 Hz, 1H), 8.06 (s, 2H), 7.68 – 7.64 (d, *J* = 8.6 Hz, 2H), 7.53 – 7.41 (m, 1H), 7.14 (d, *J* = 8.0 Hz, 2H), 2.35 (s, 3H). **^{13}C NMR** (151 MHz, $CDCl_3$) δ 150.5, 143.7, 143.6, 137.1, 135.9, 134.6, 133.7, 129.0, 127.7, 126.8, 122.7, 120.6, 119.5, 21.5. **HRMS** (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{13}Br_2N_2O_2S]^+$ requires 454.9059, found 454.9067.

IV. NMR spectra for new compounds

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7.3874
7.3115
7.3071
7.3045
7.3020
7.3007
7.2910
7.1789
7.1749
7.1648
7.1609
7.1553
7.1512
7.1467
7.1395
7.1350
7.1335
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6.5598
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4.7903
4.7808
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3.2746
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3.2263
3.1994
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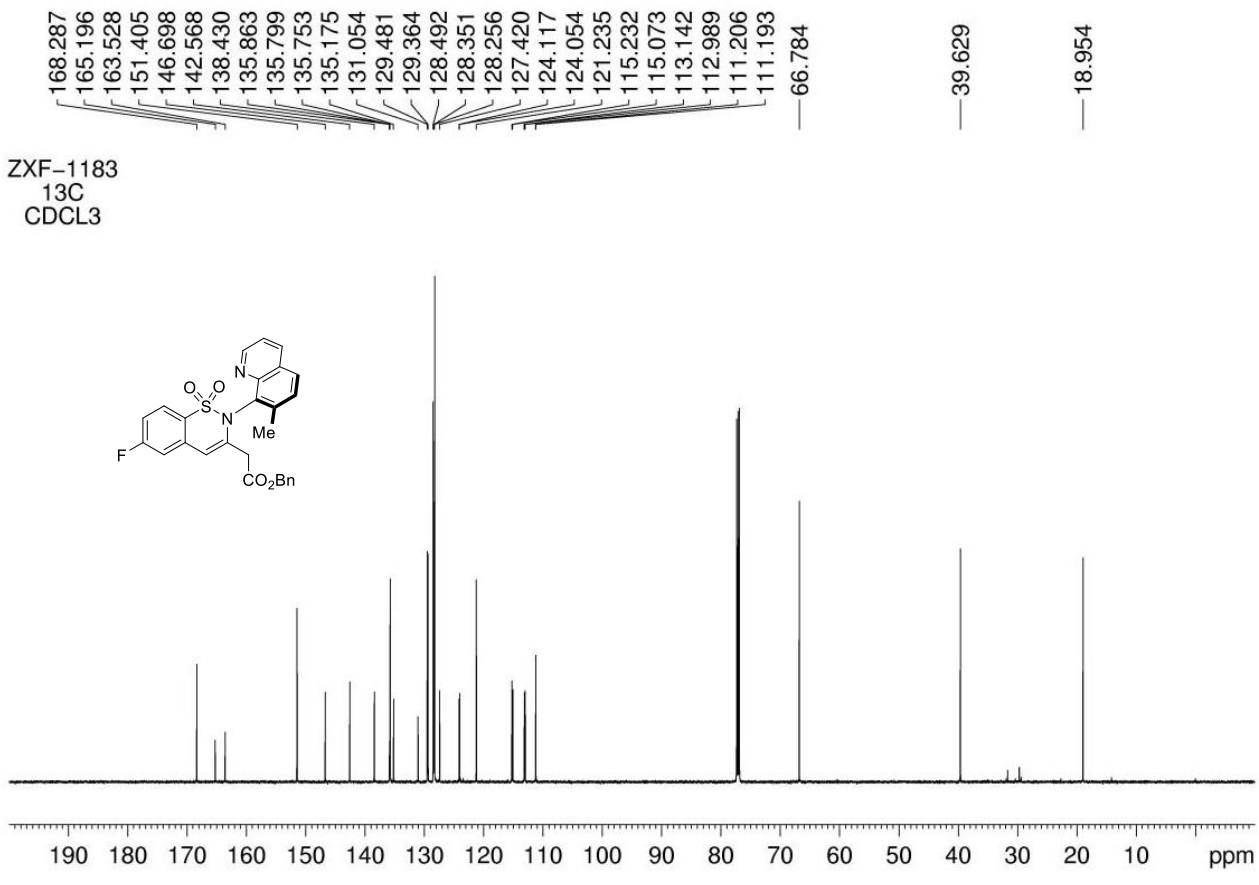
3.0158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10
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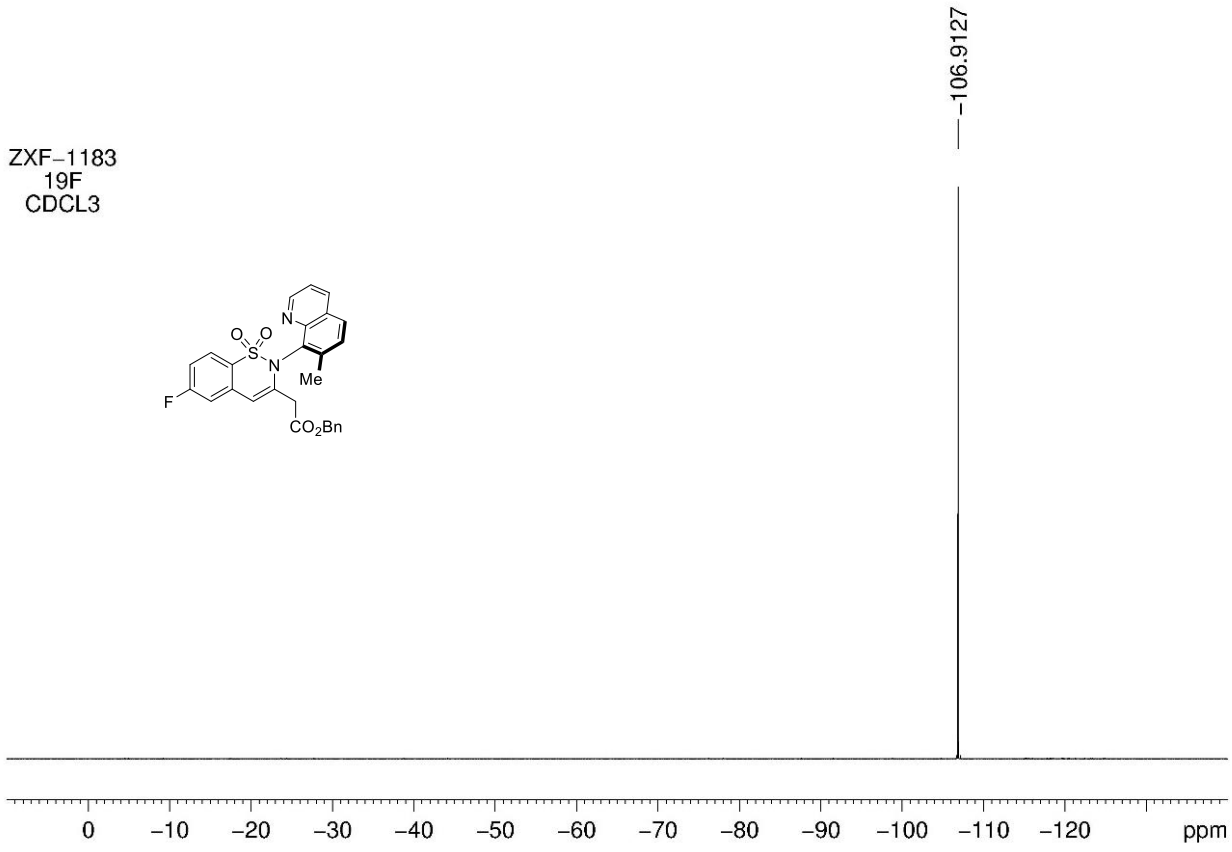
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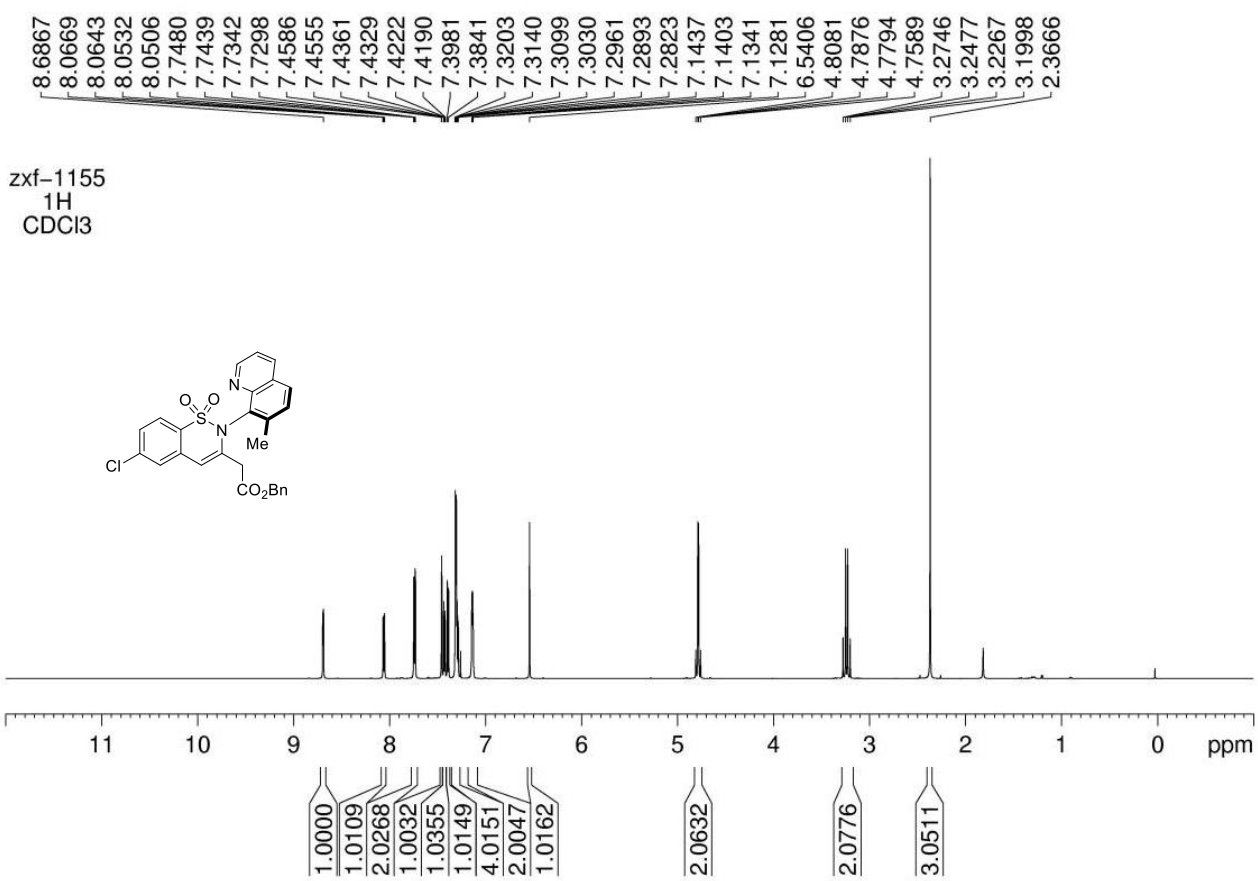
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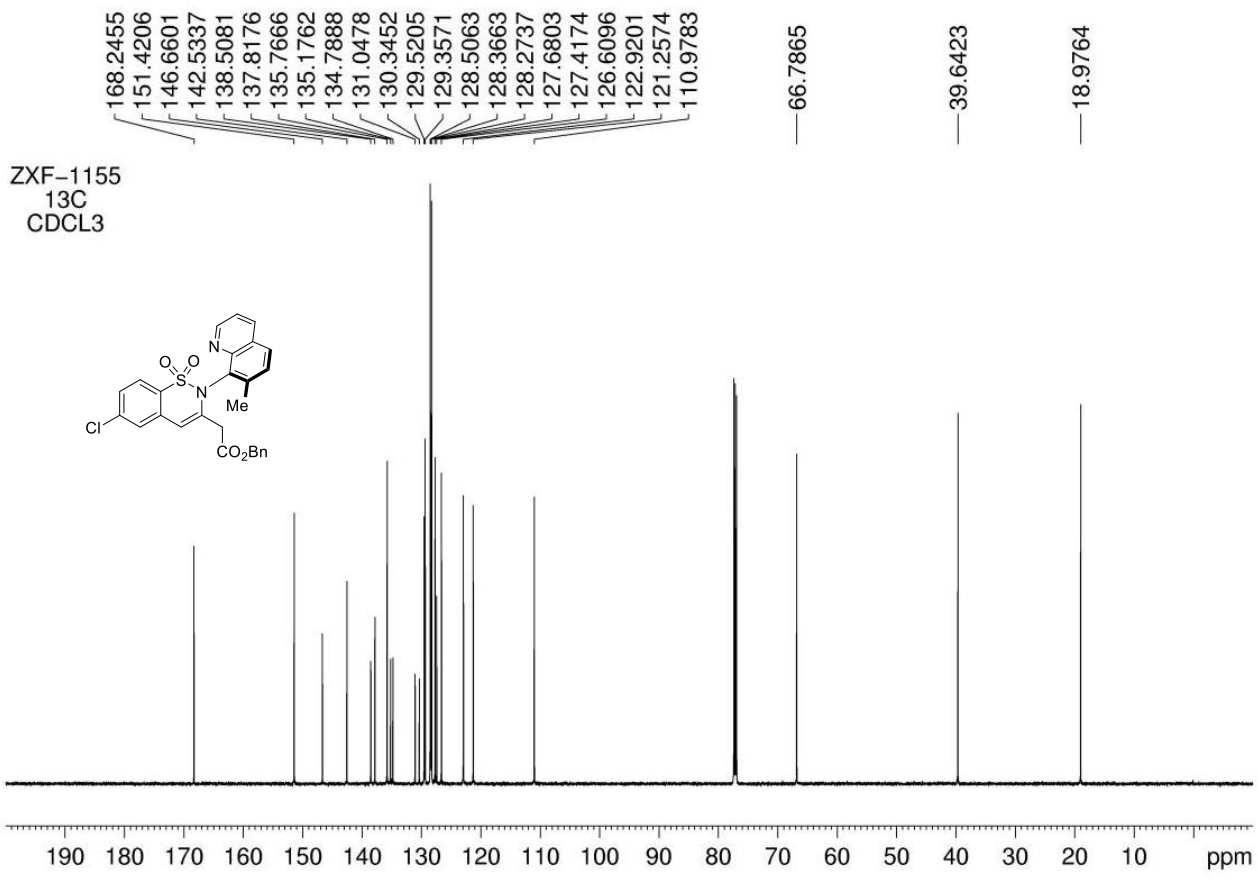
3.0511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128.2737
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127.4174
126.6096
122.9201
121.2574
110.9783
66.7865
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CDCL3
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O
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S
N
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CO2Bn
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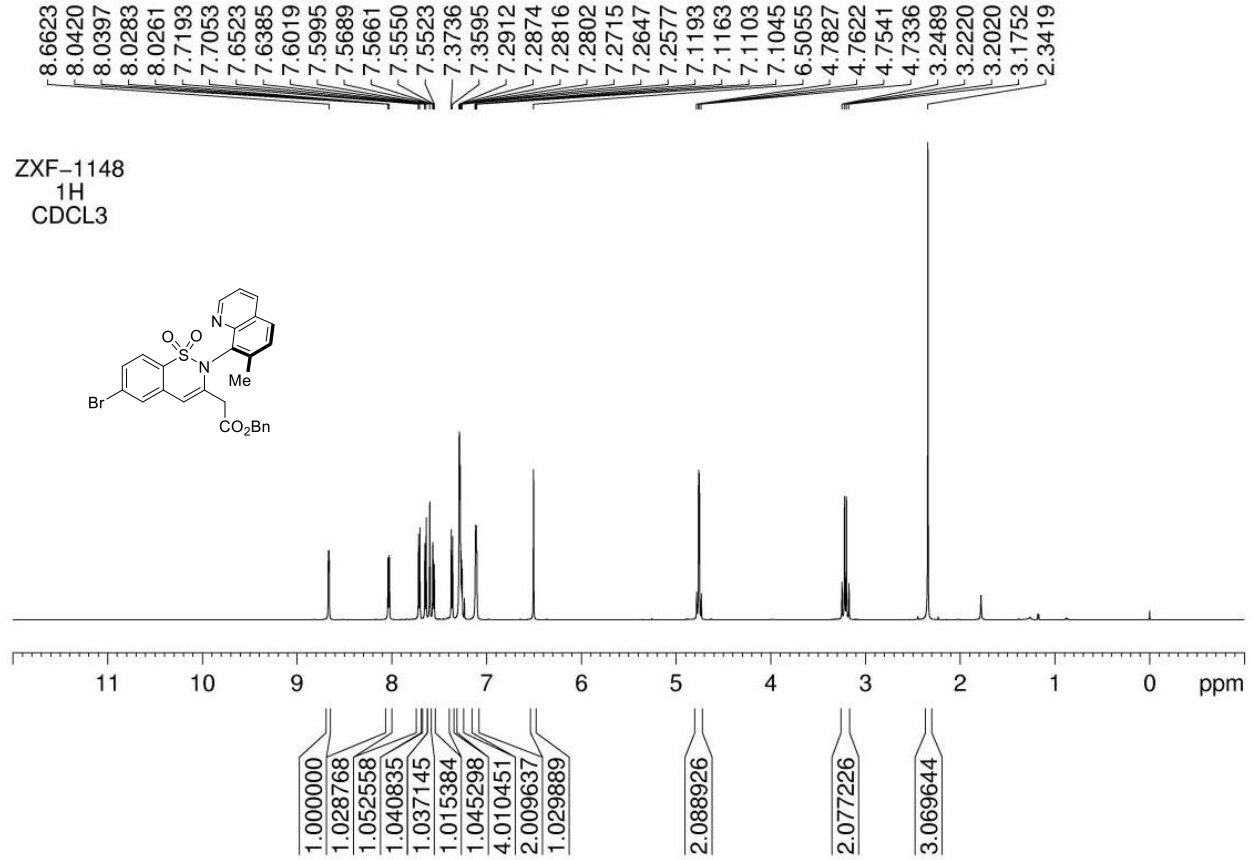
10
ppm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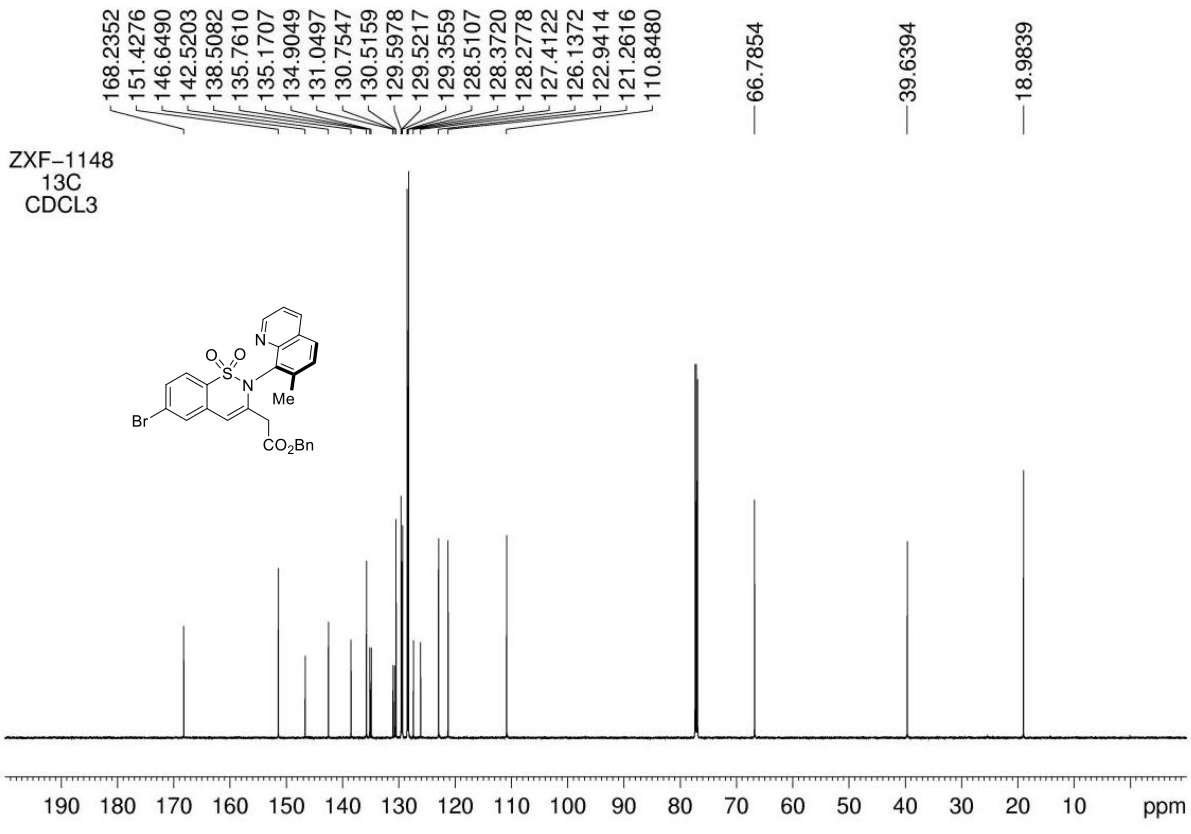
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Br
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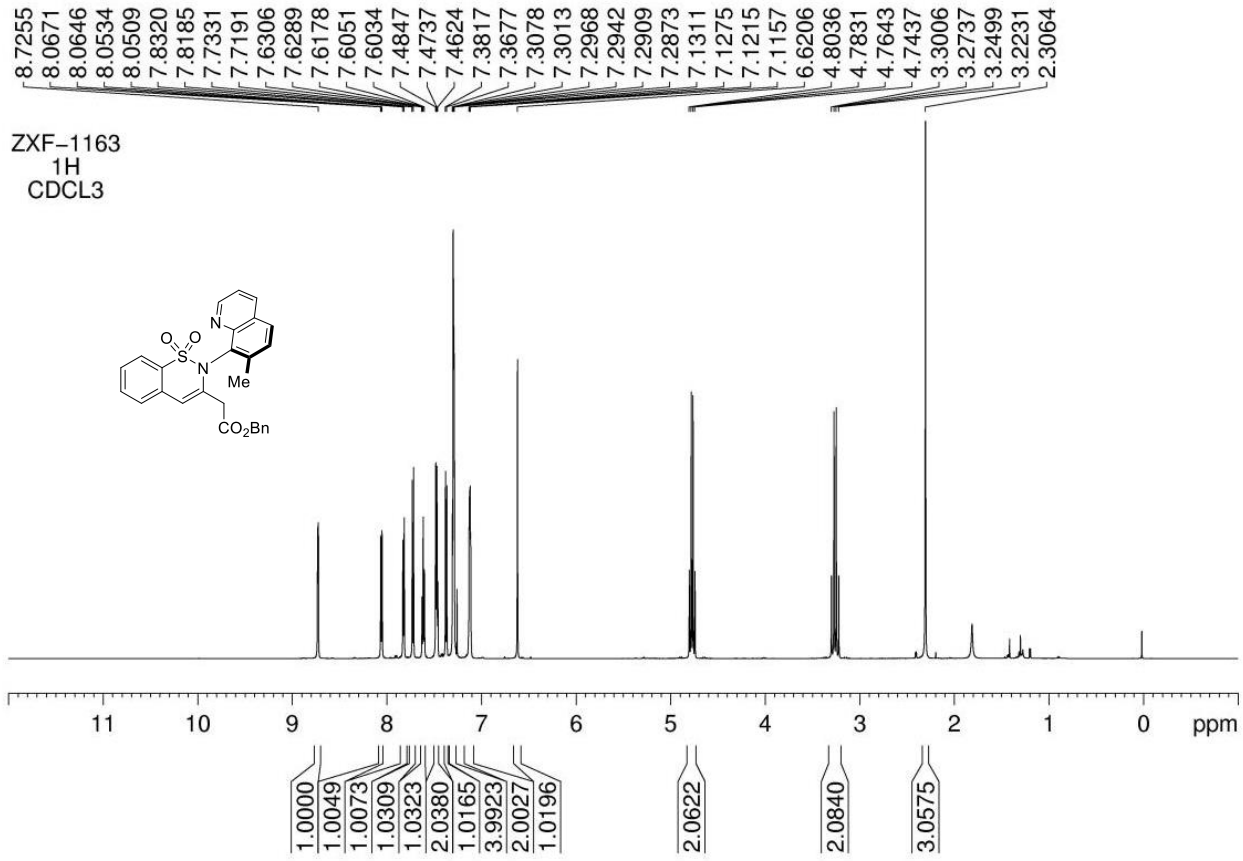
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CO2Bn
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10
9
8
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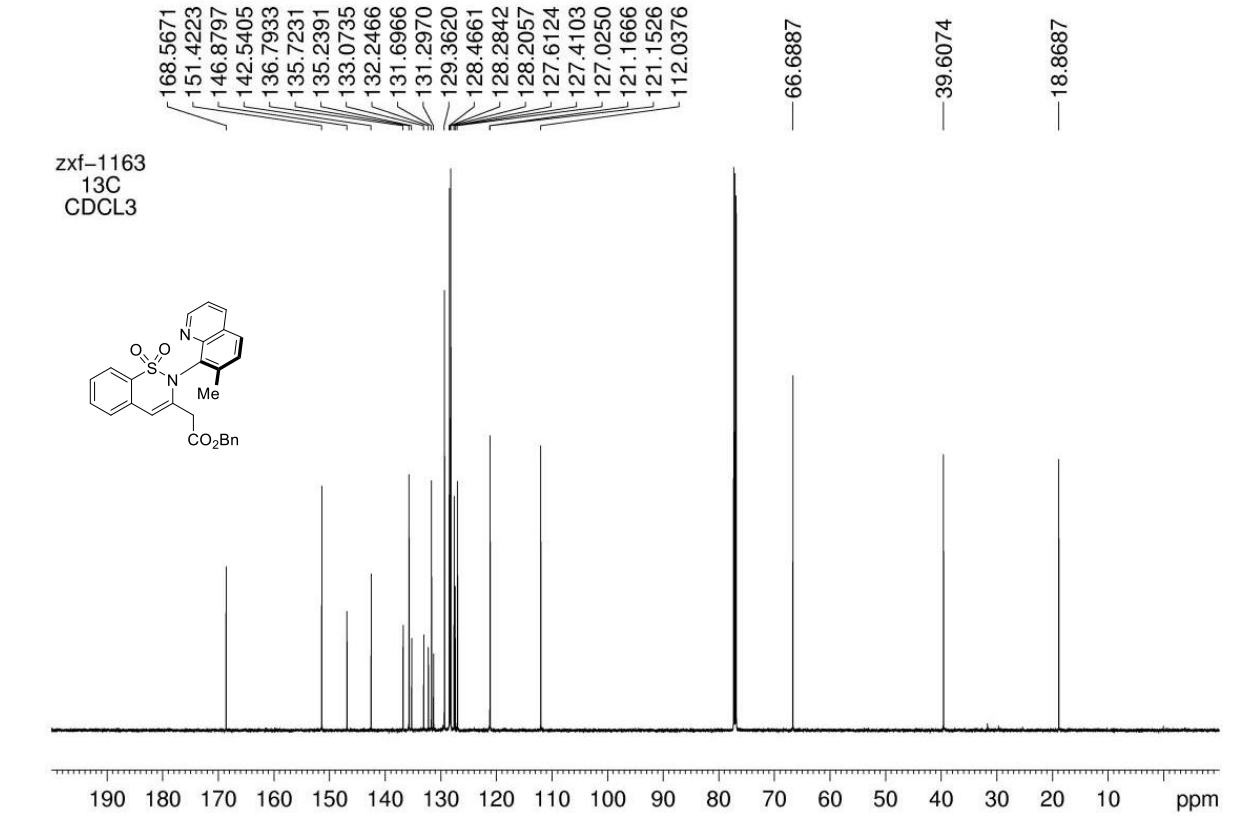
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Me
CO2Bn
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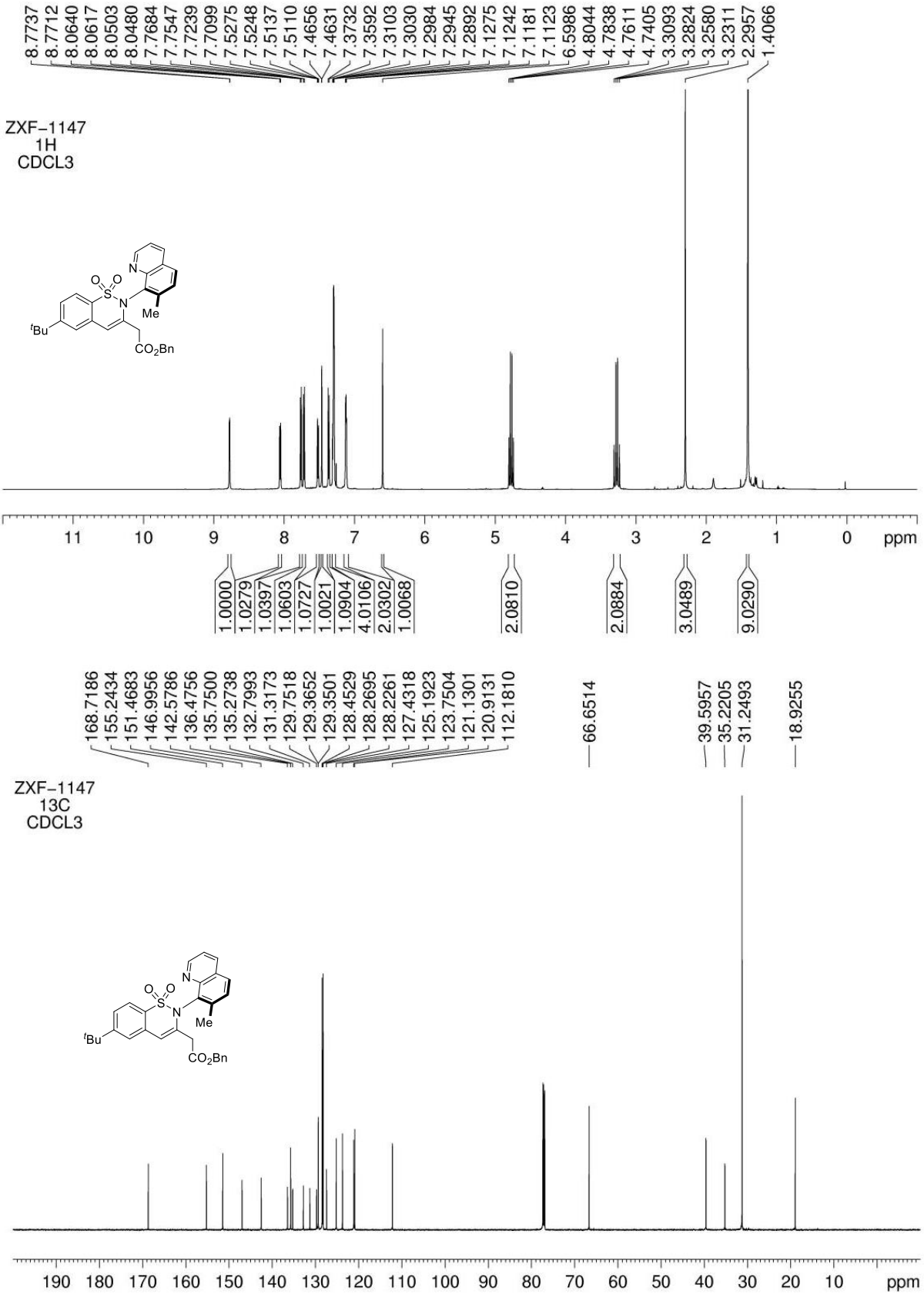
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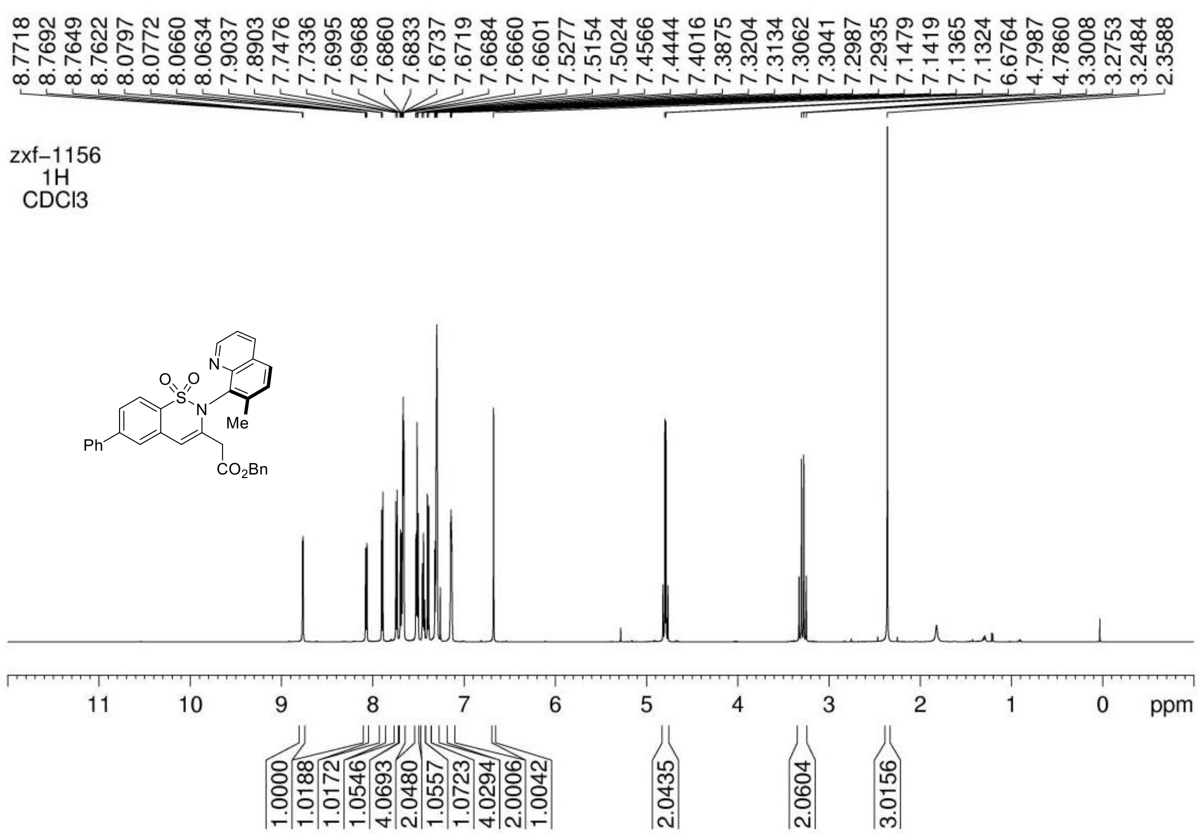
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7.1419
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CDCl3
N
O
O
S
N
Me
Ph
CO2Bn
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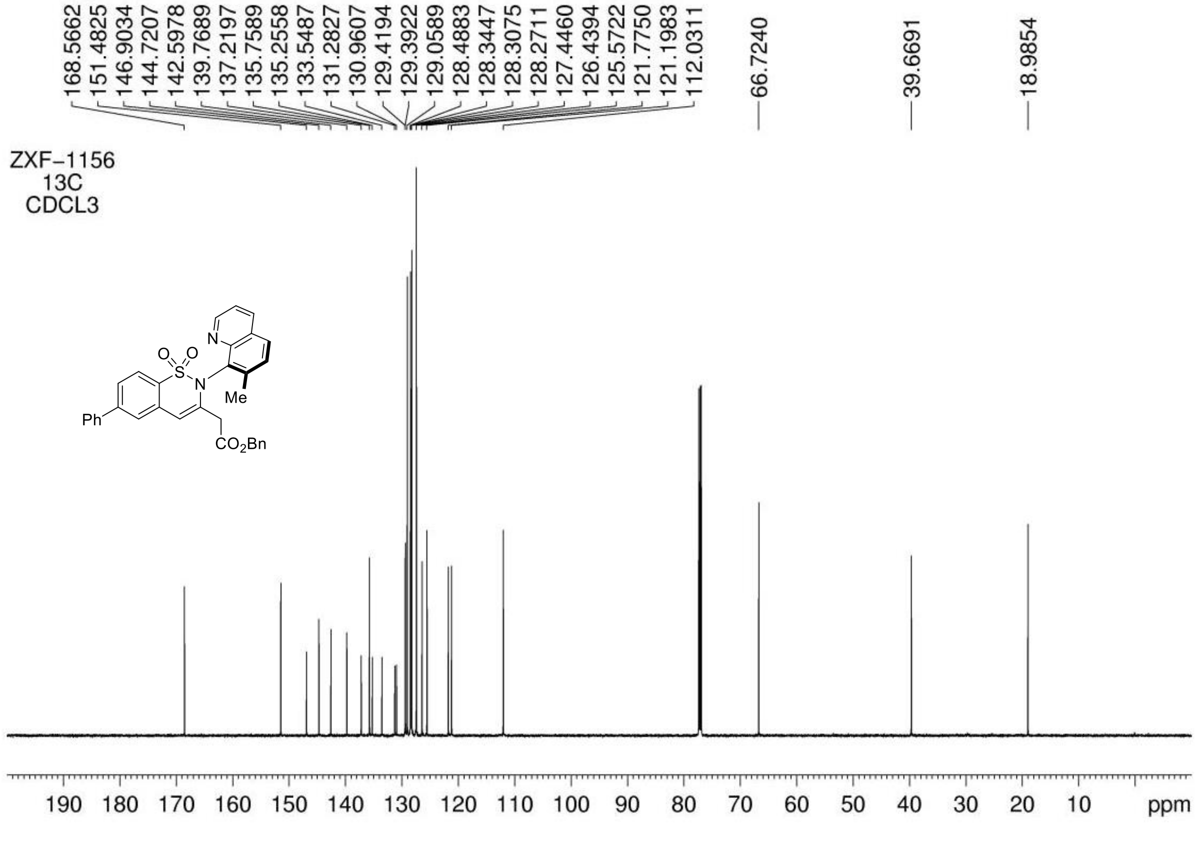
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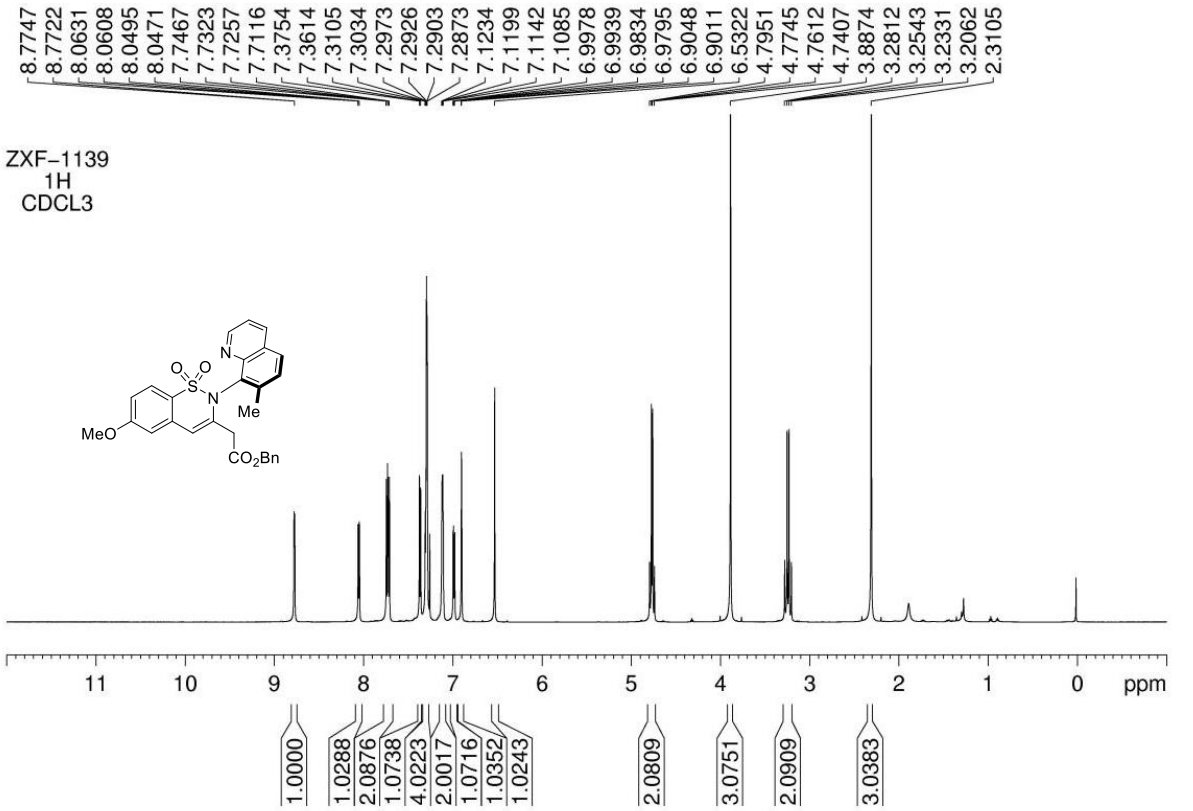
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MeO
Me
CO2Bn
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7
6
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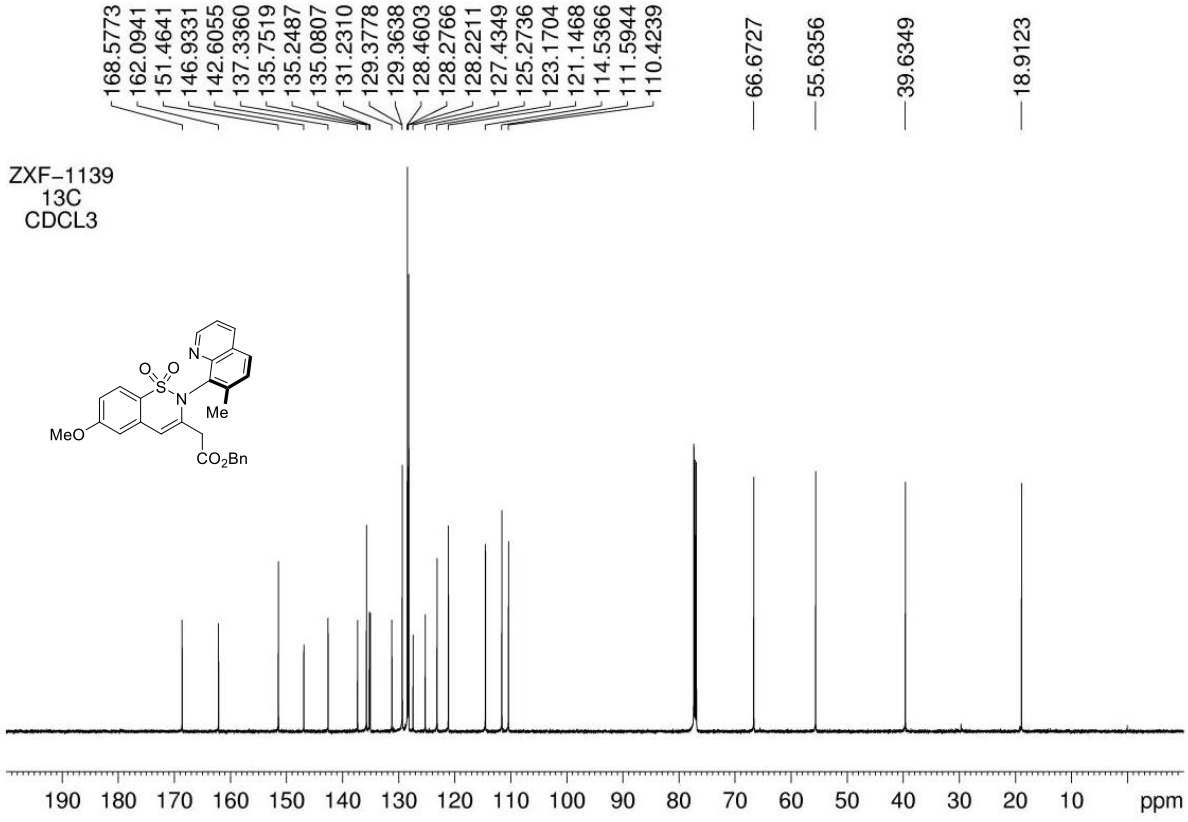
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Me
CO2Bn
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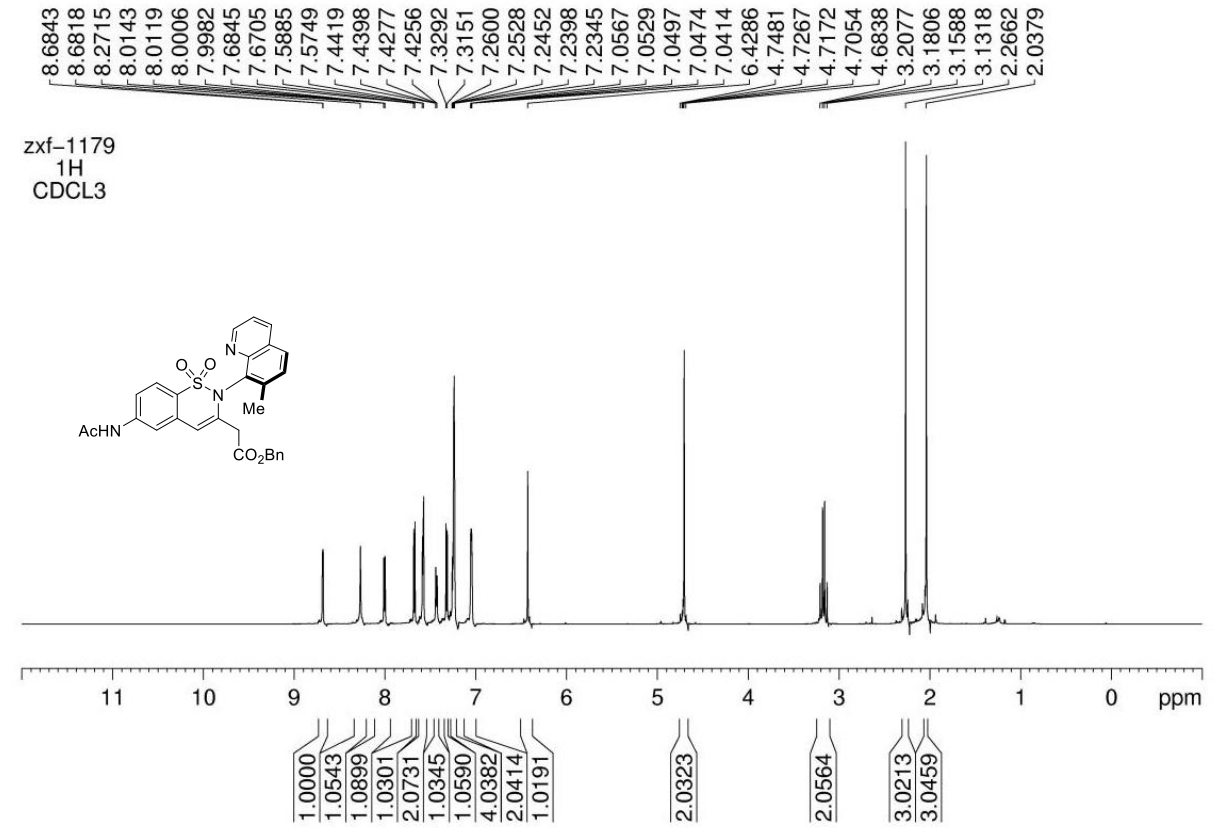
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AcHN
Me
CO2Bn
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7
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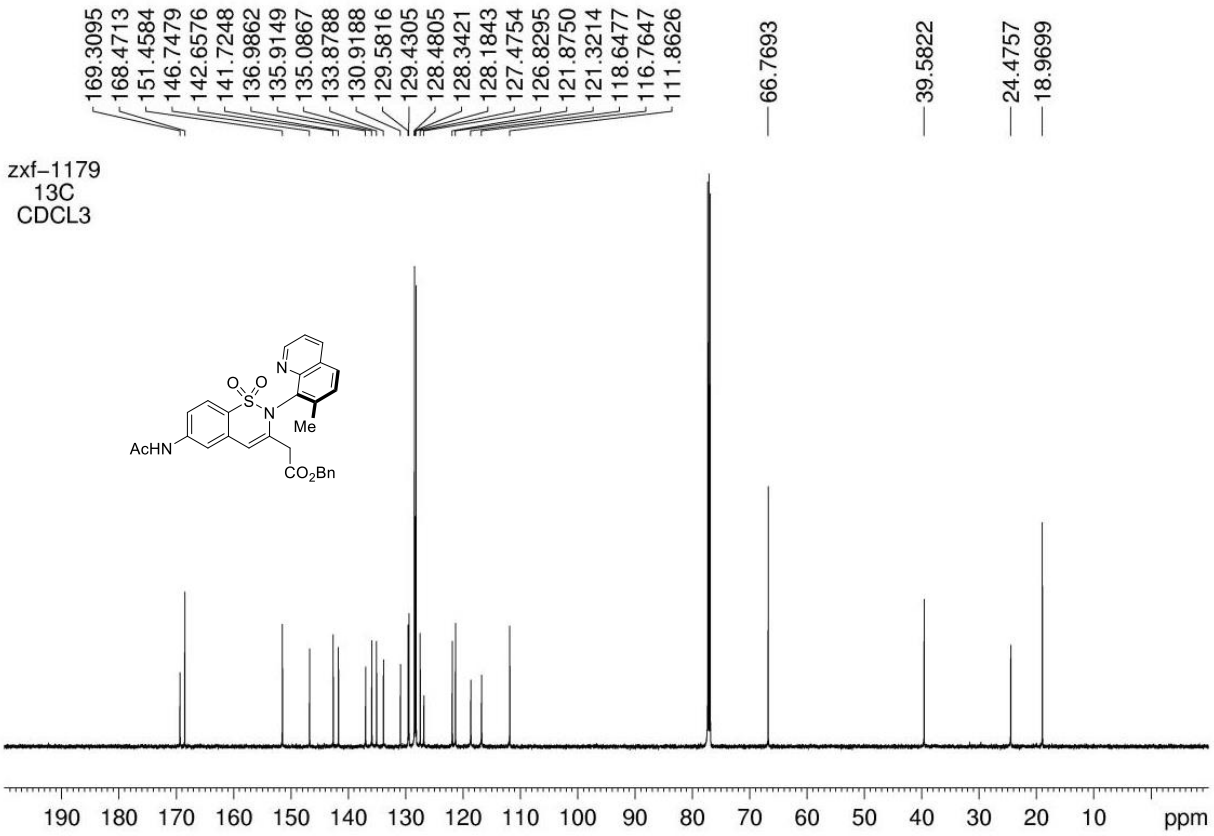
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Me
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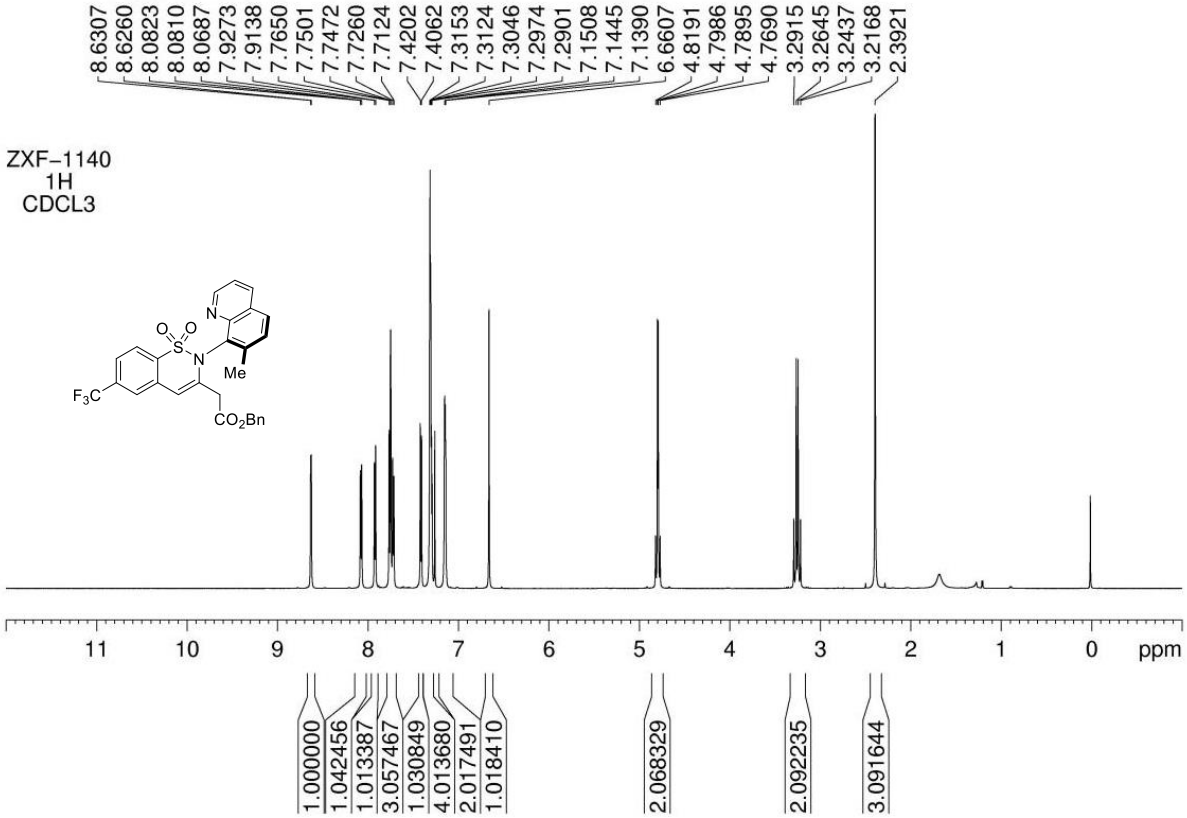
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Me
F3C
CO2Bn
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7
6
5
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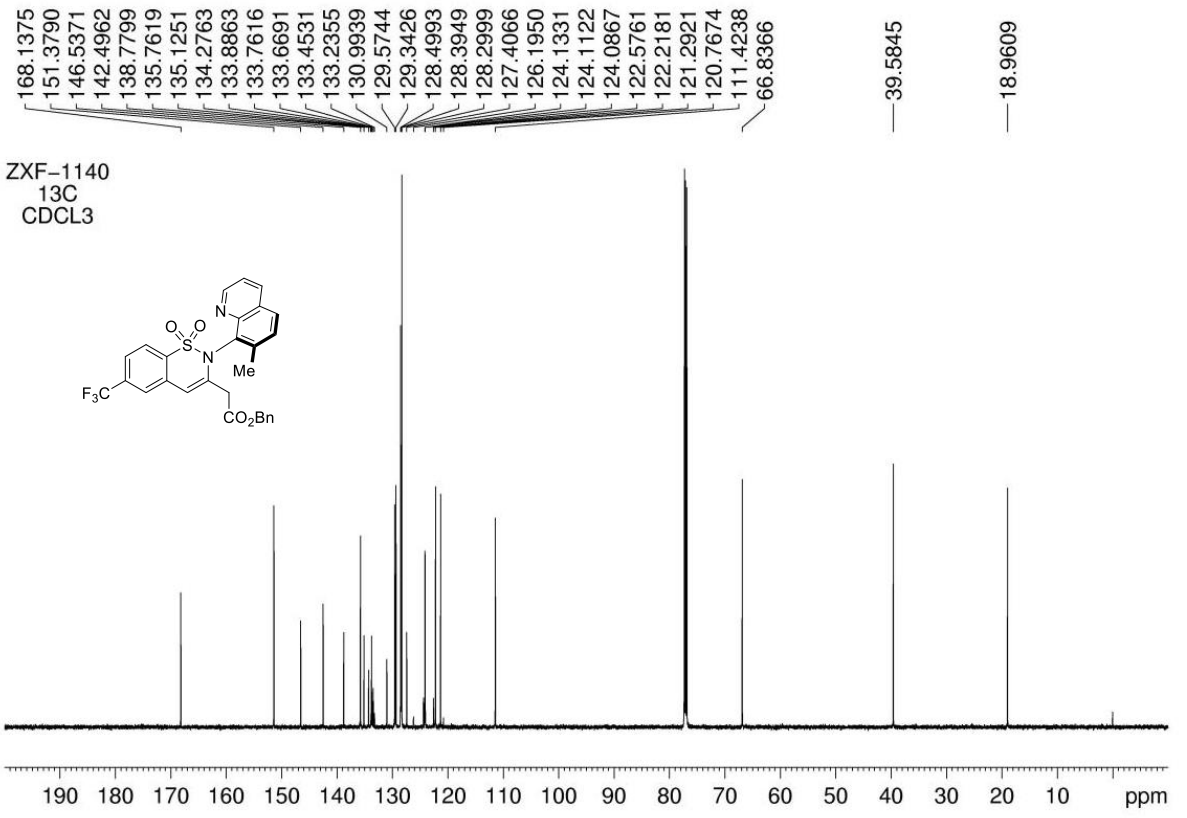
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CDCL3
Me
F3C
CO2Bn
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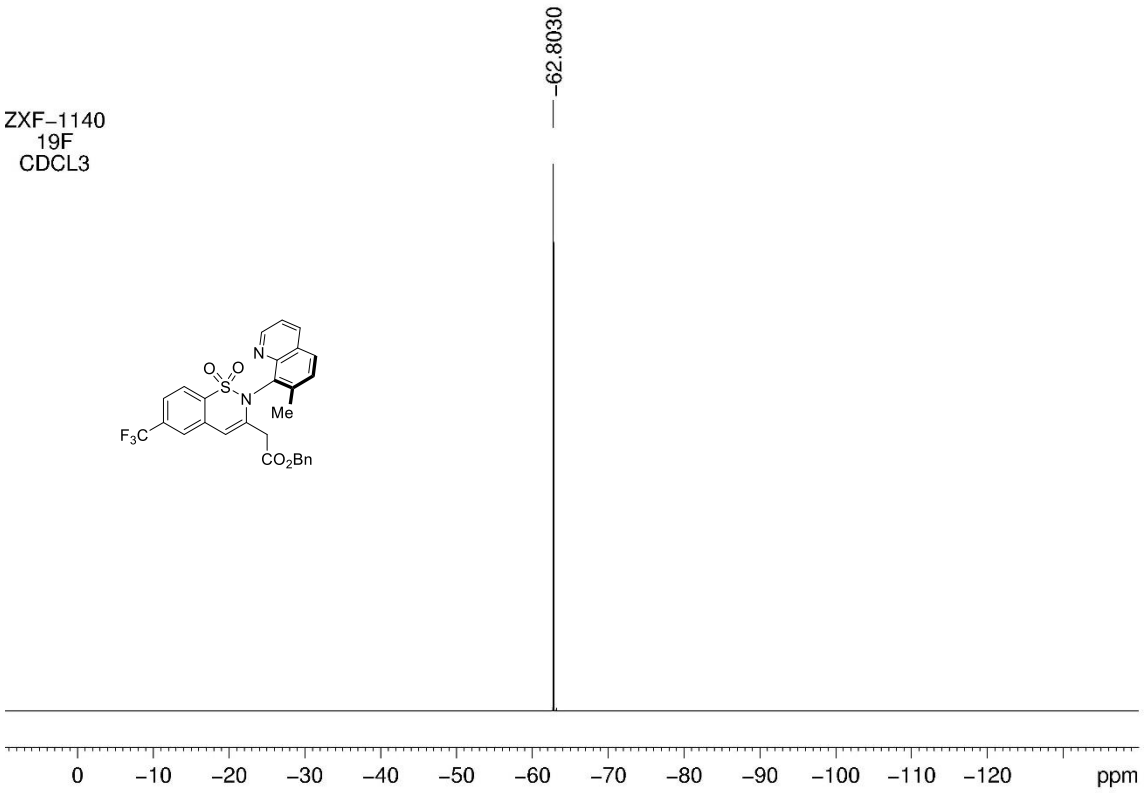
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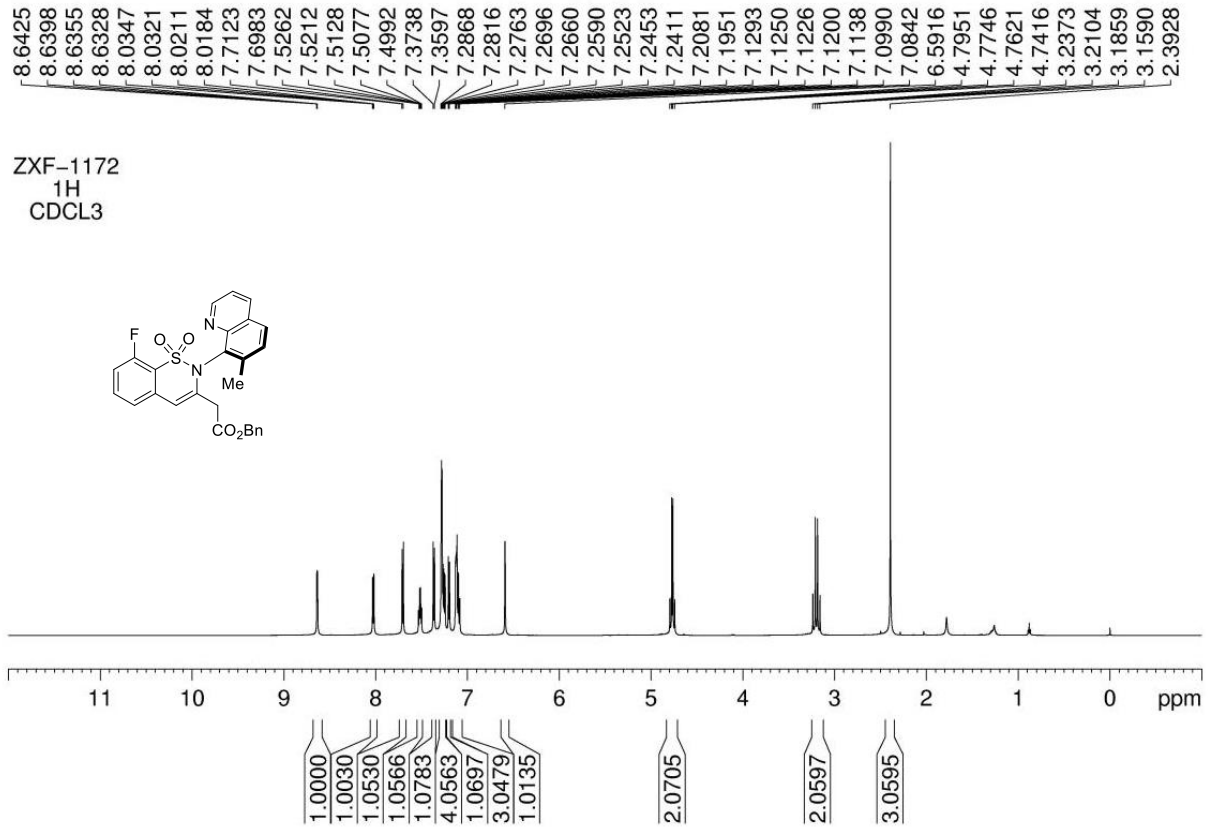
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3.1590
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O
S
N
N
Me
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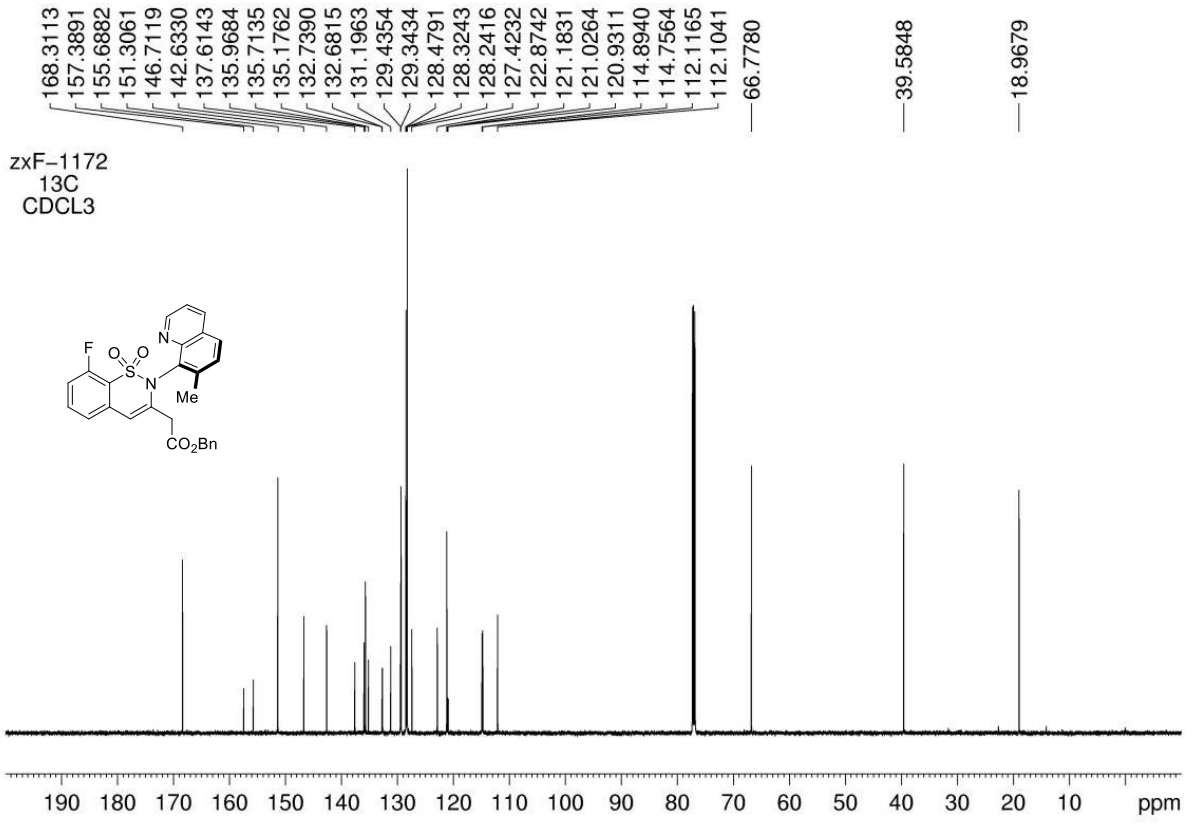
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O
S
N
N
Me
CO2Bn
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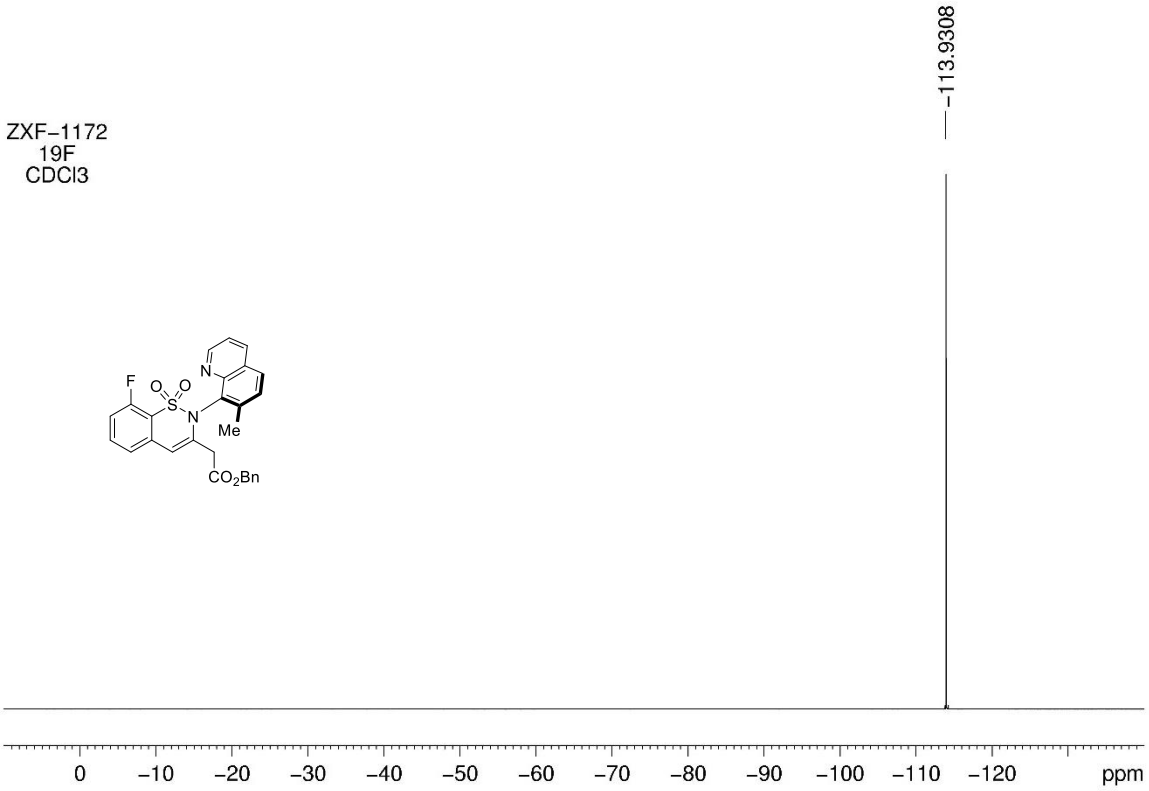
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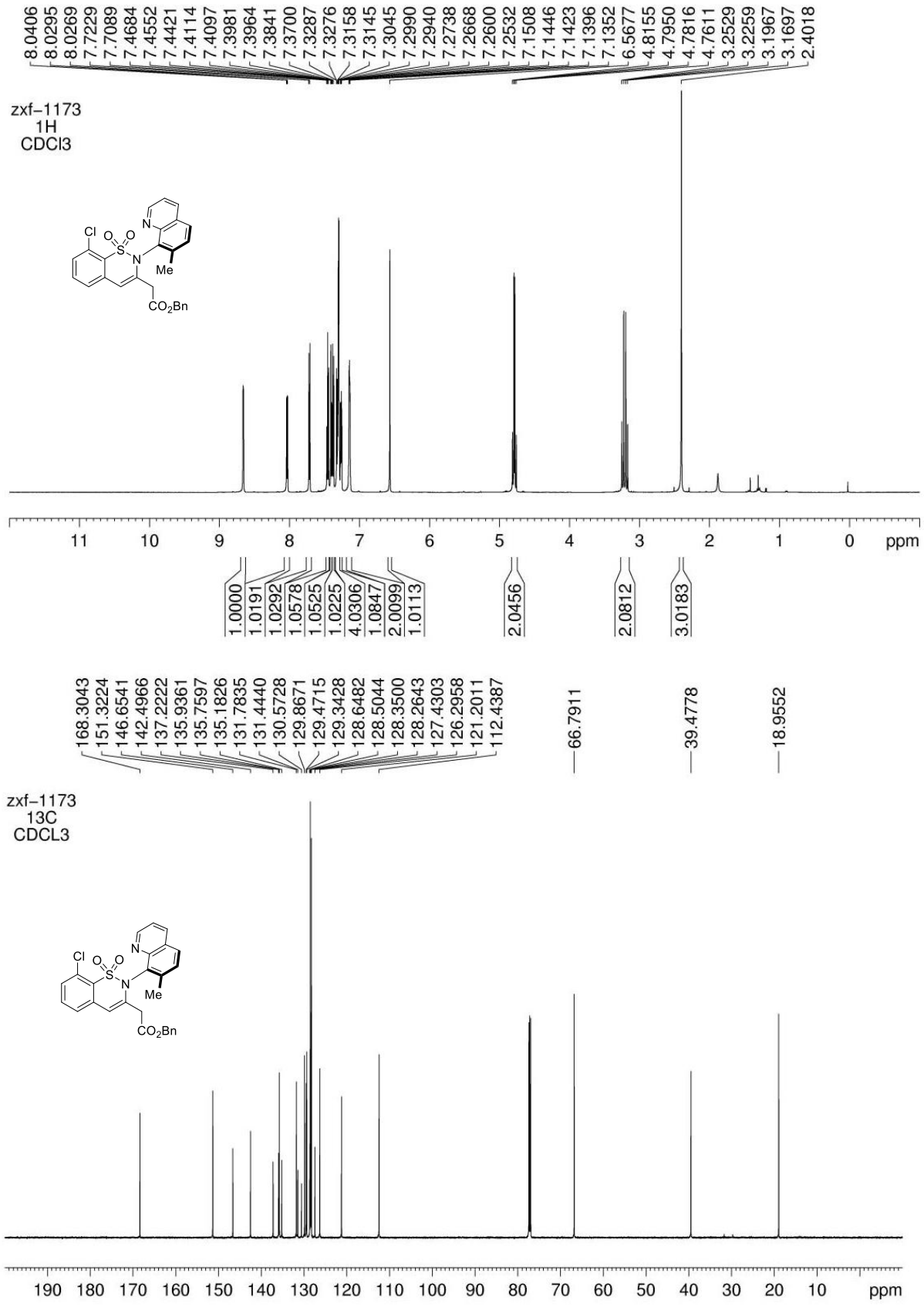
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Me
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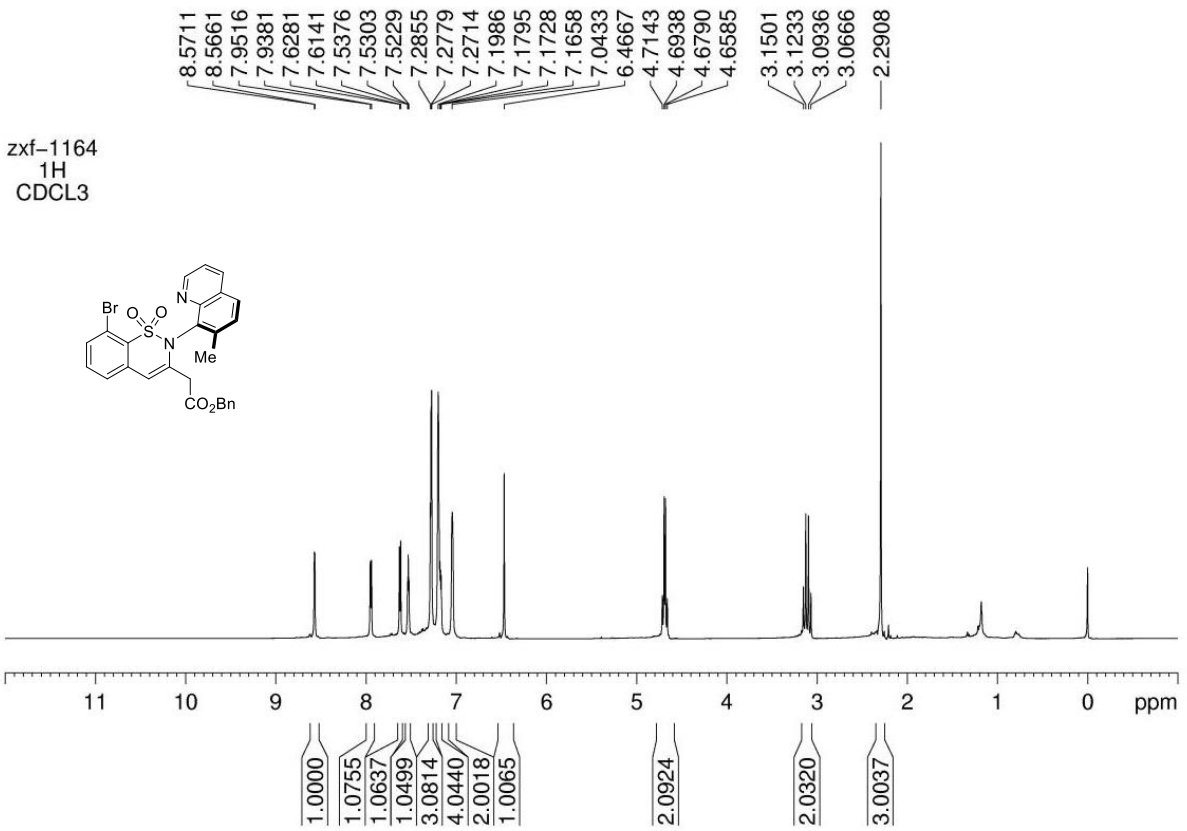
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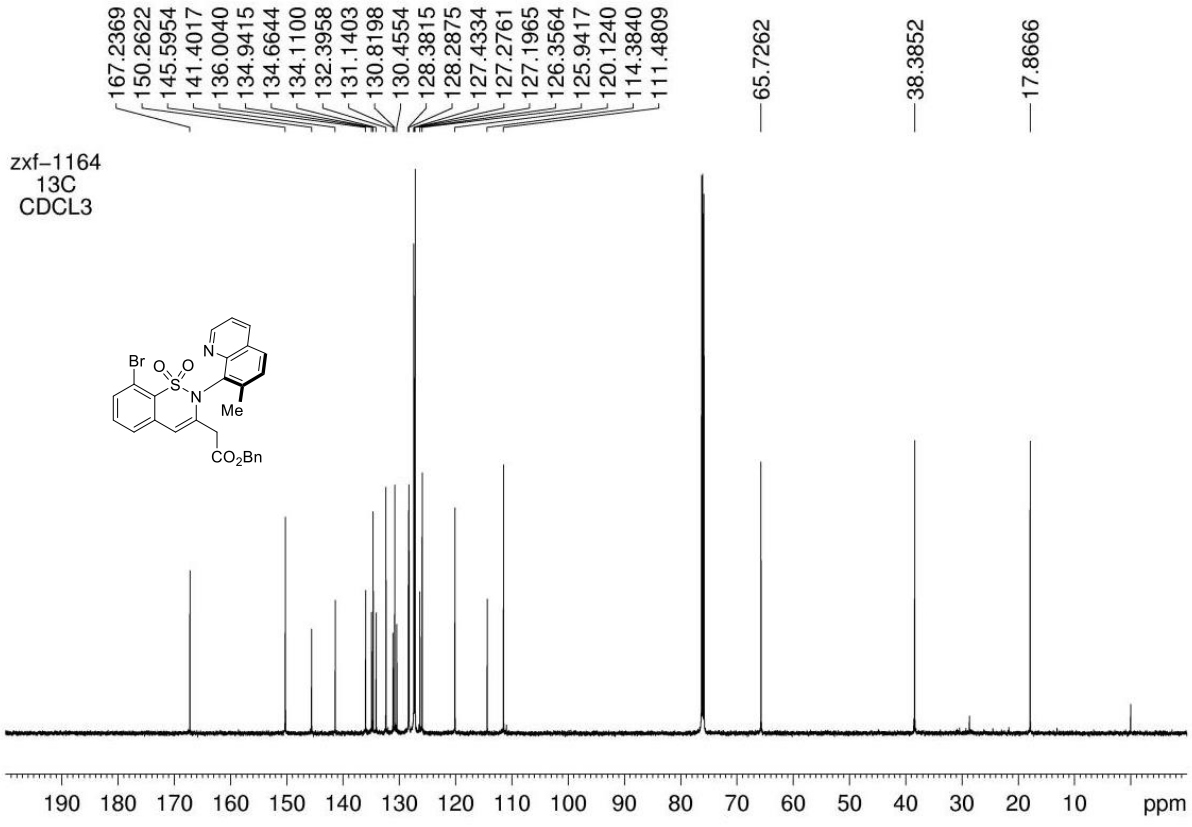
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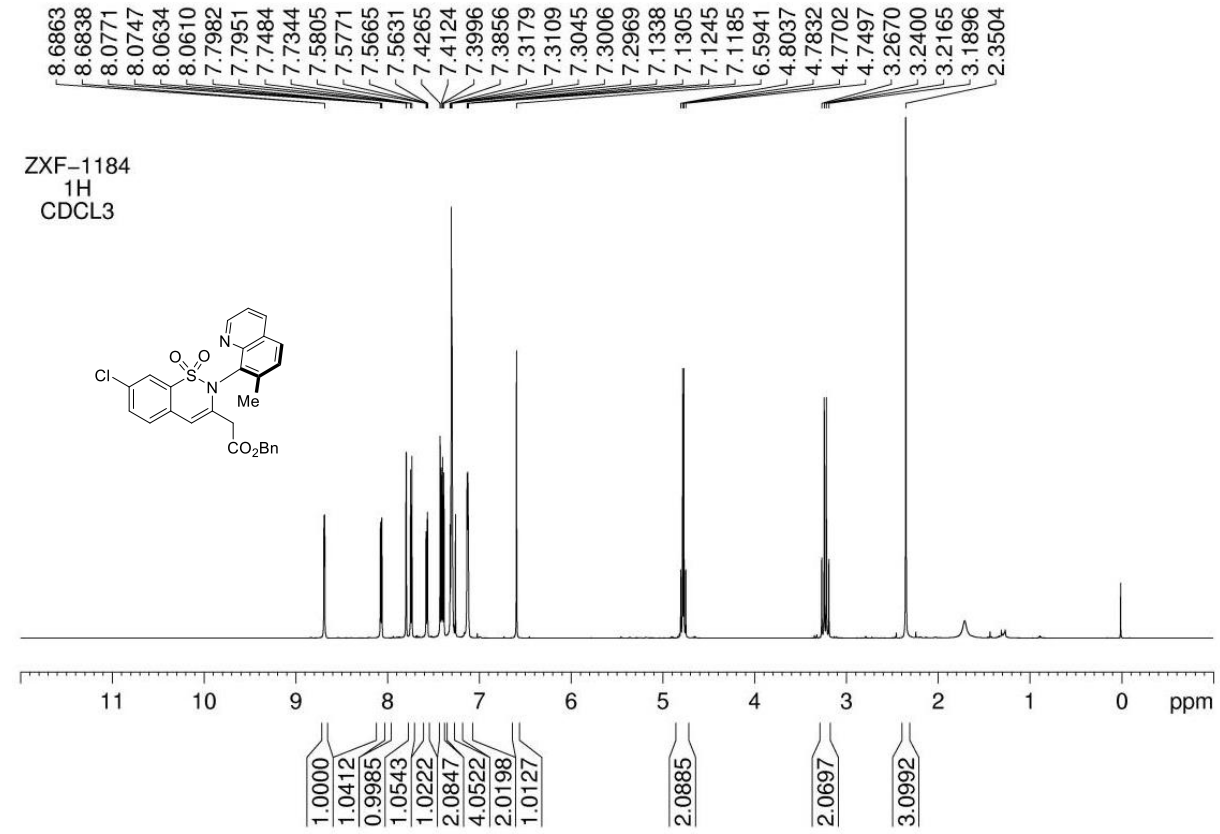
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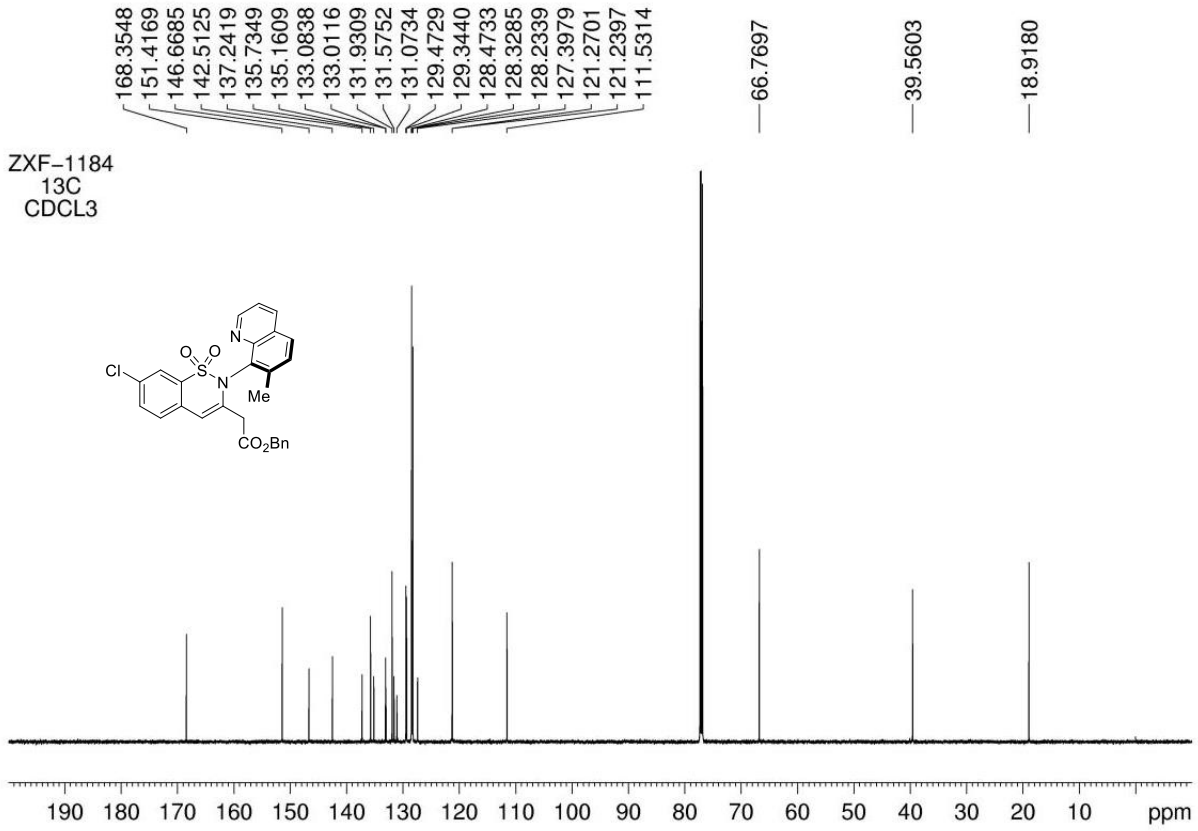
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Me
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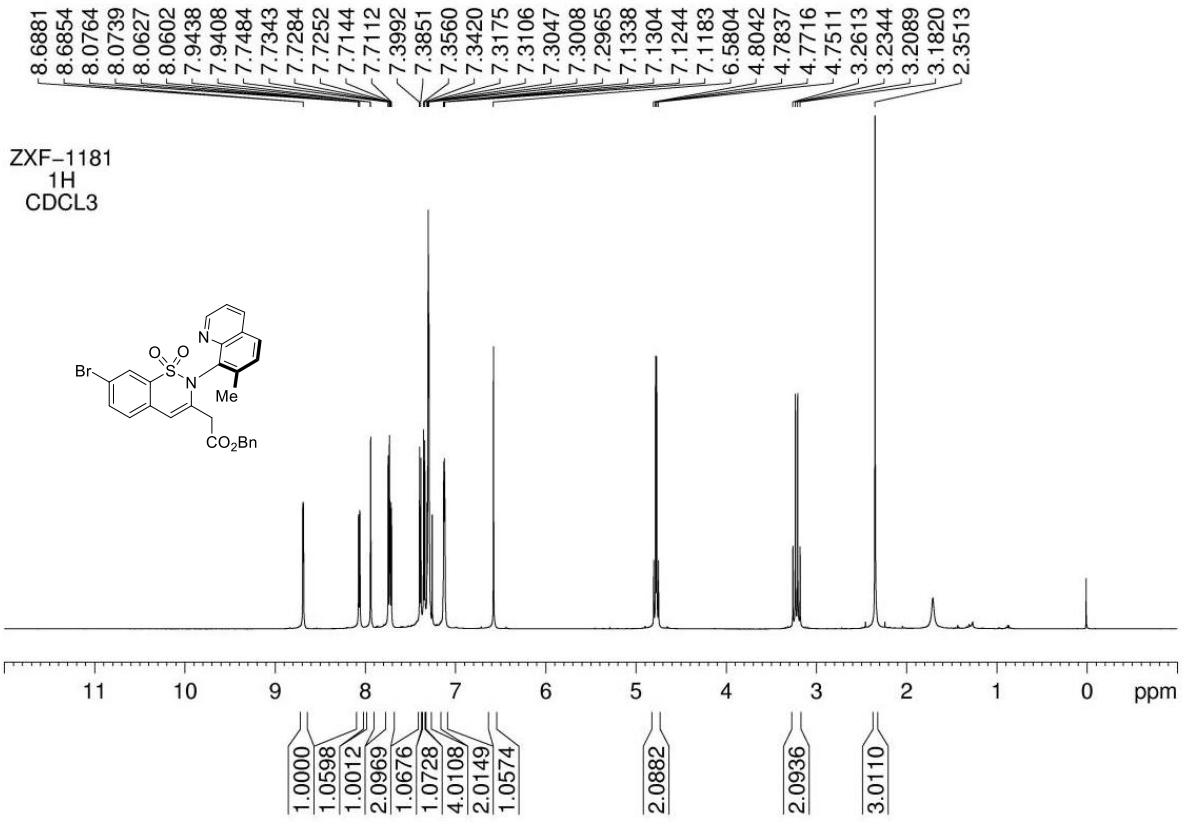
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Me
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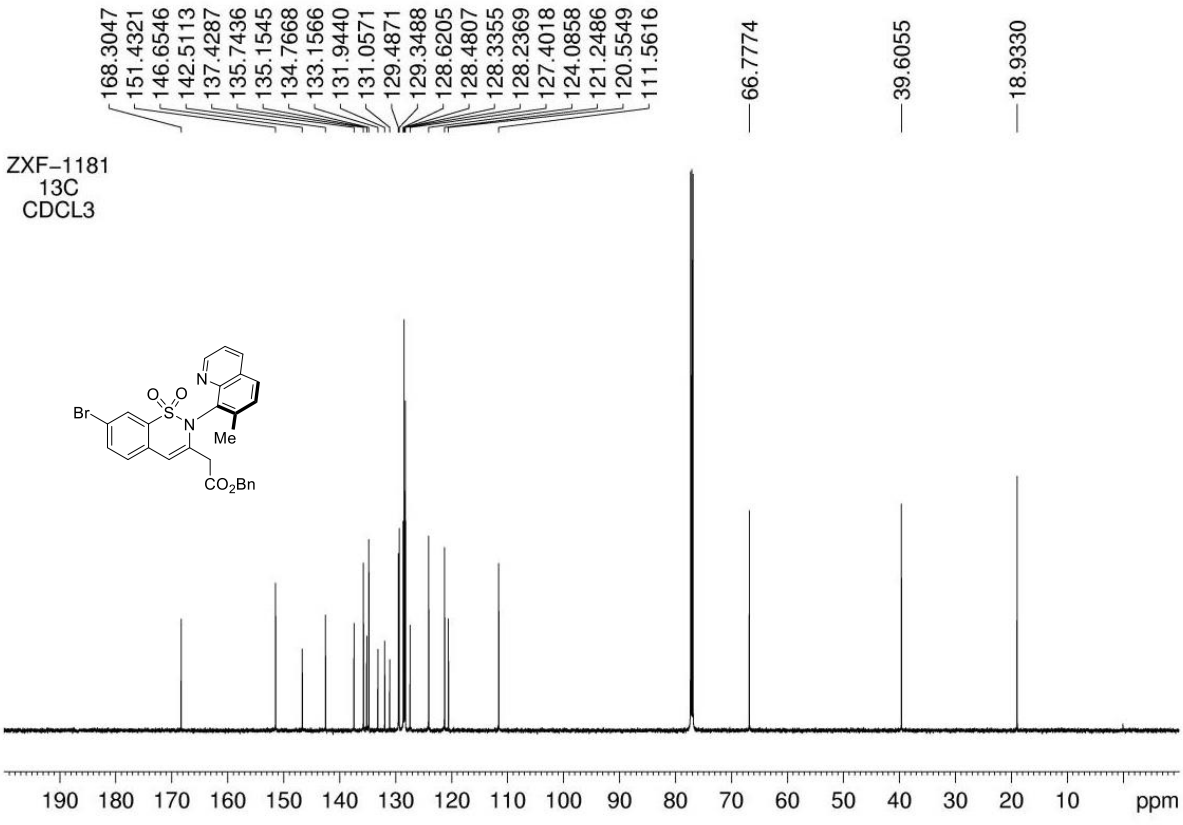
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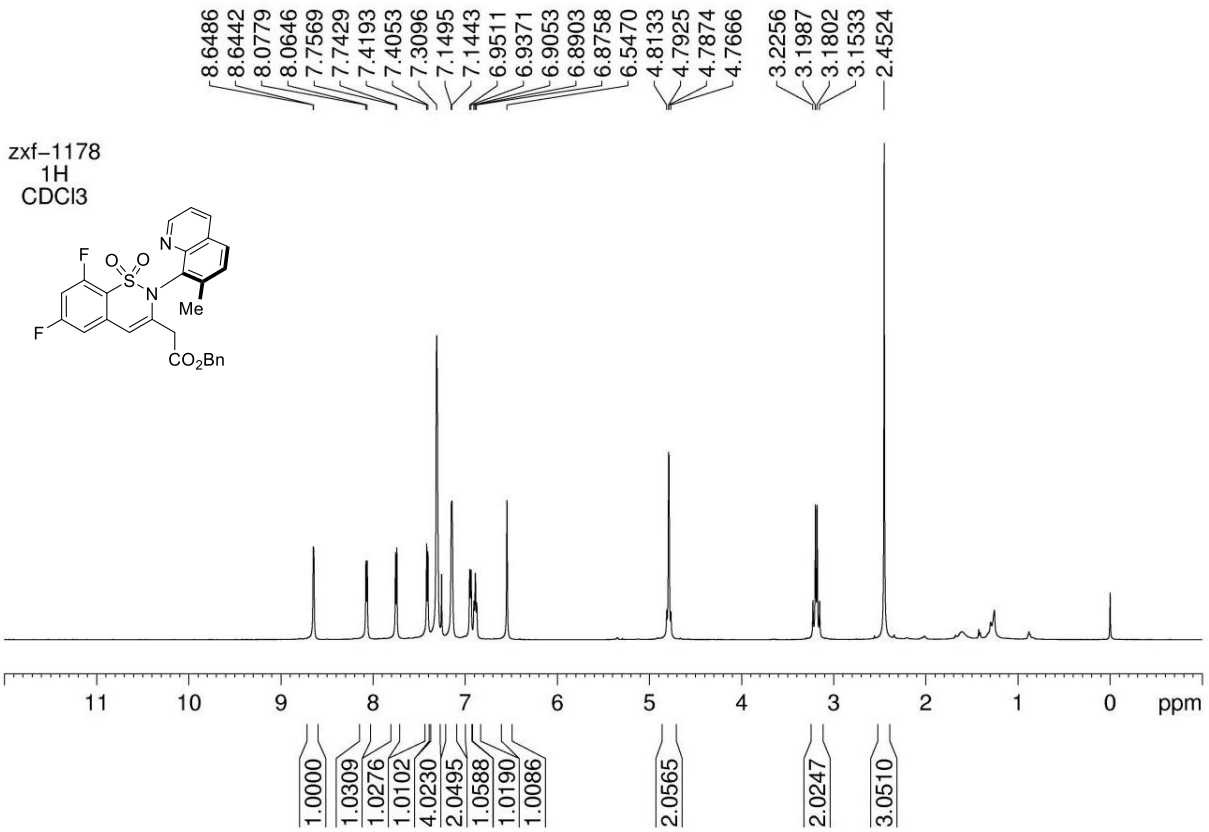
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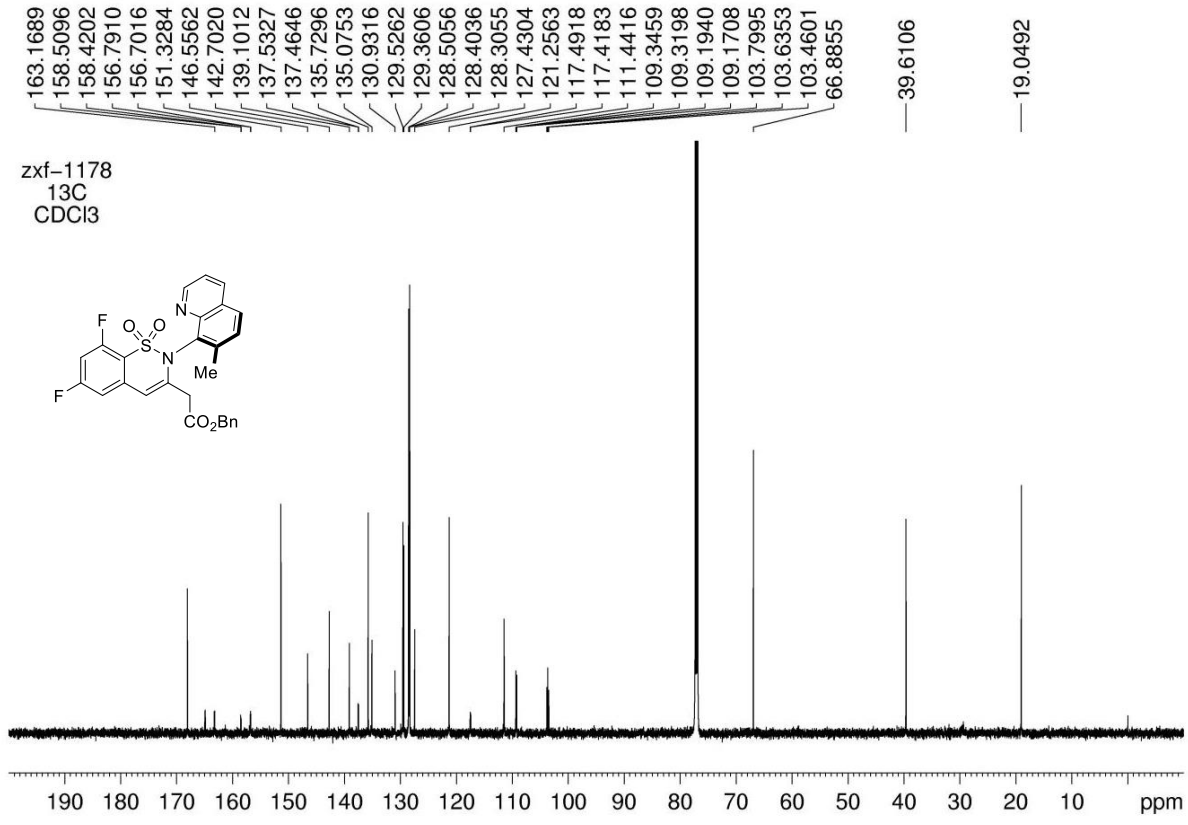
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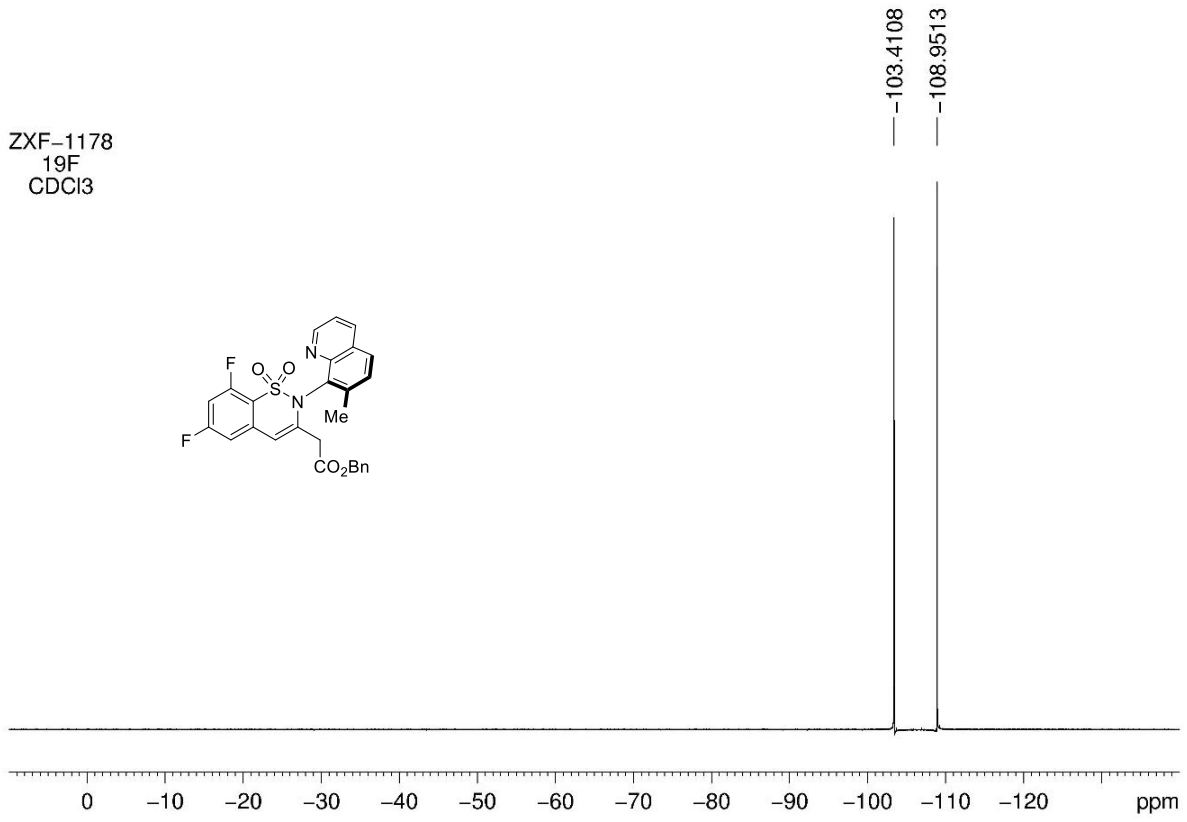
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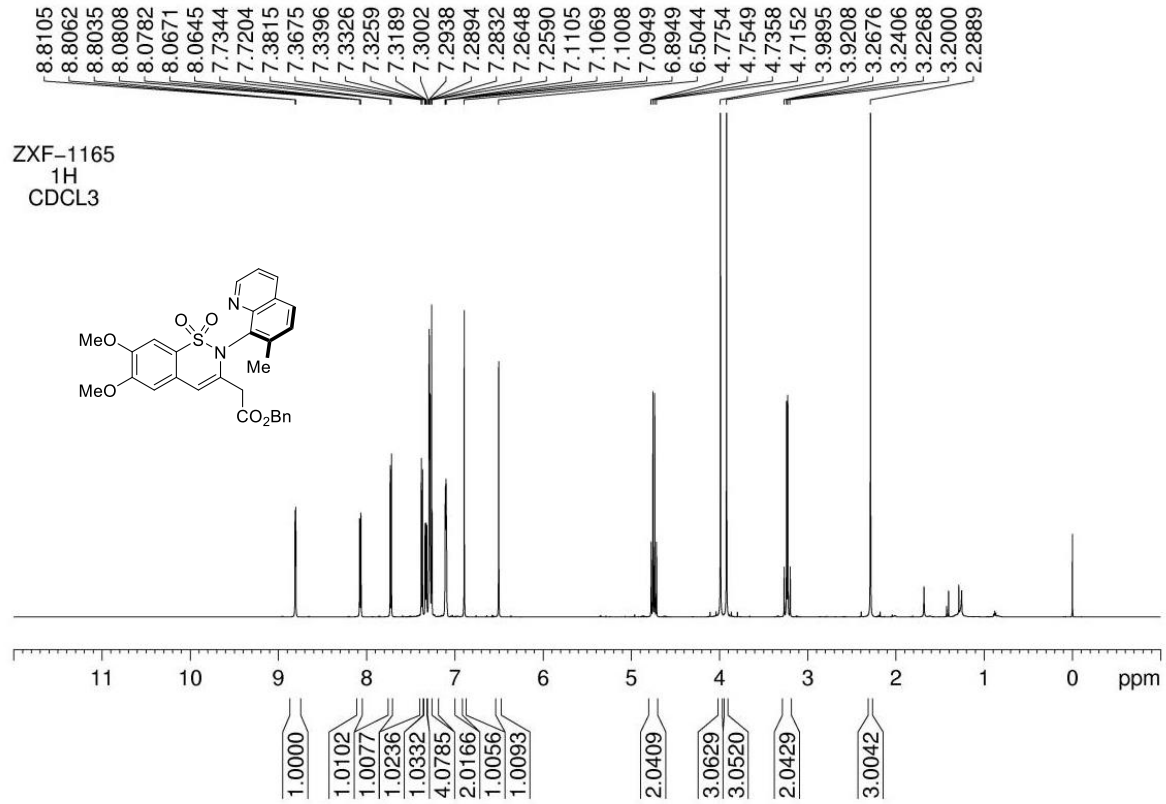
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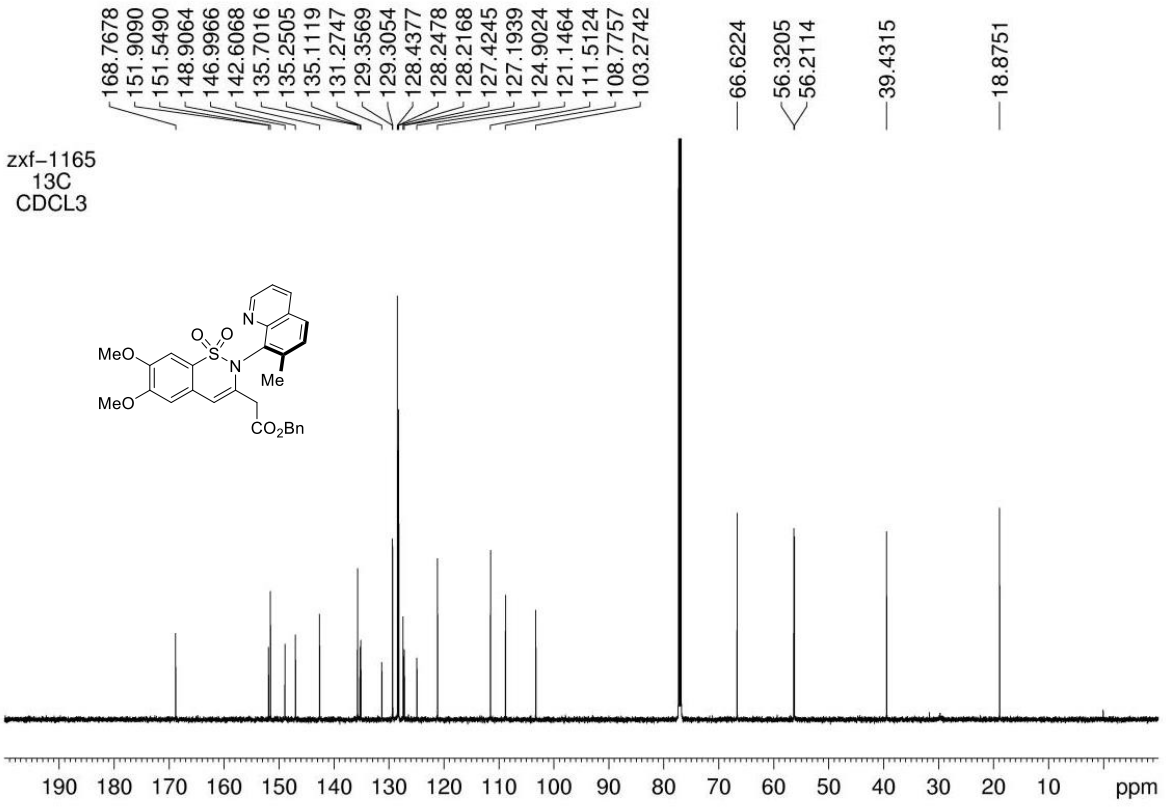
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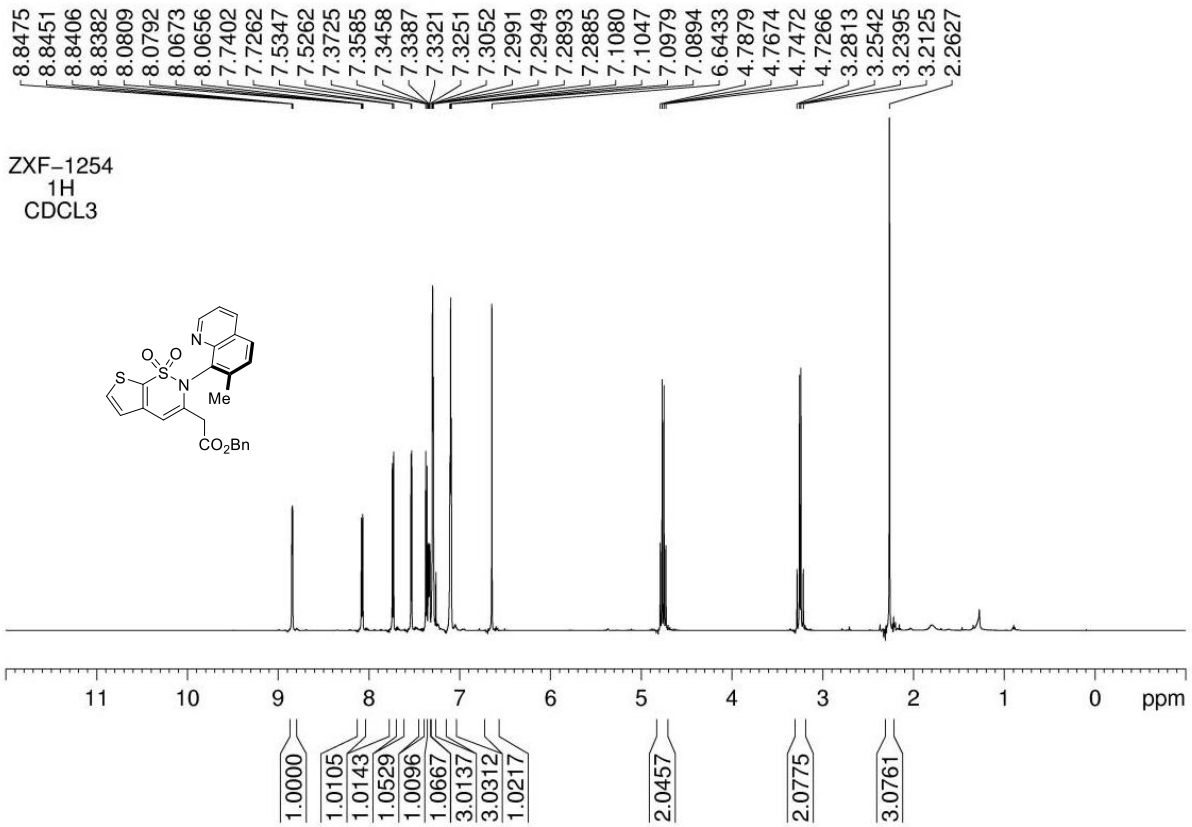
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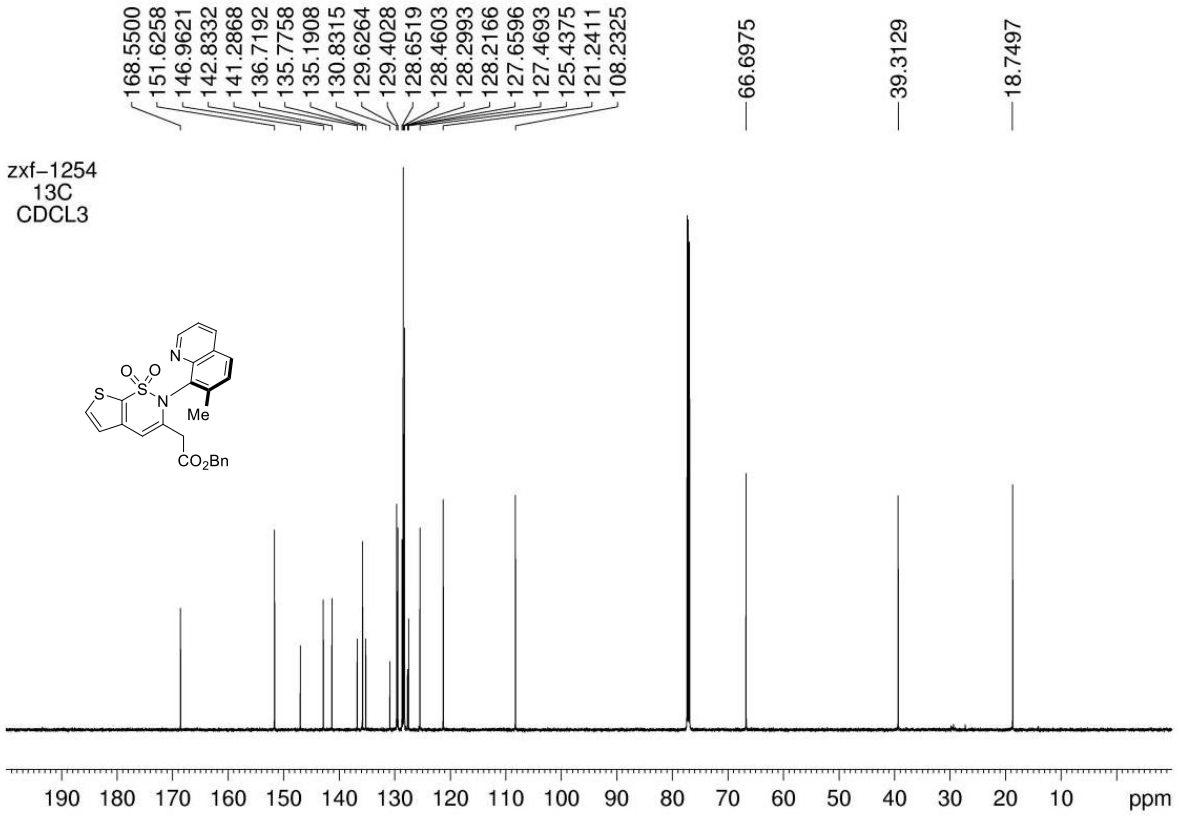
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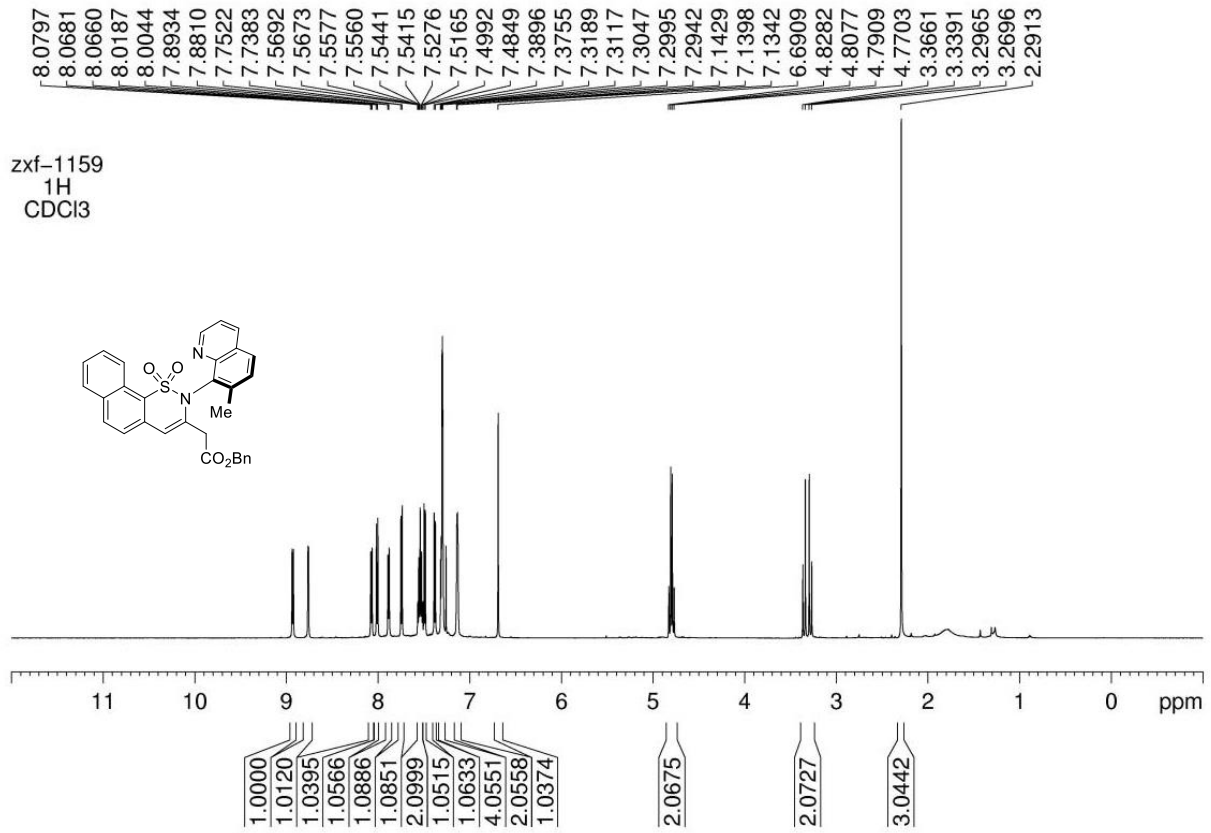
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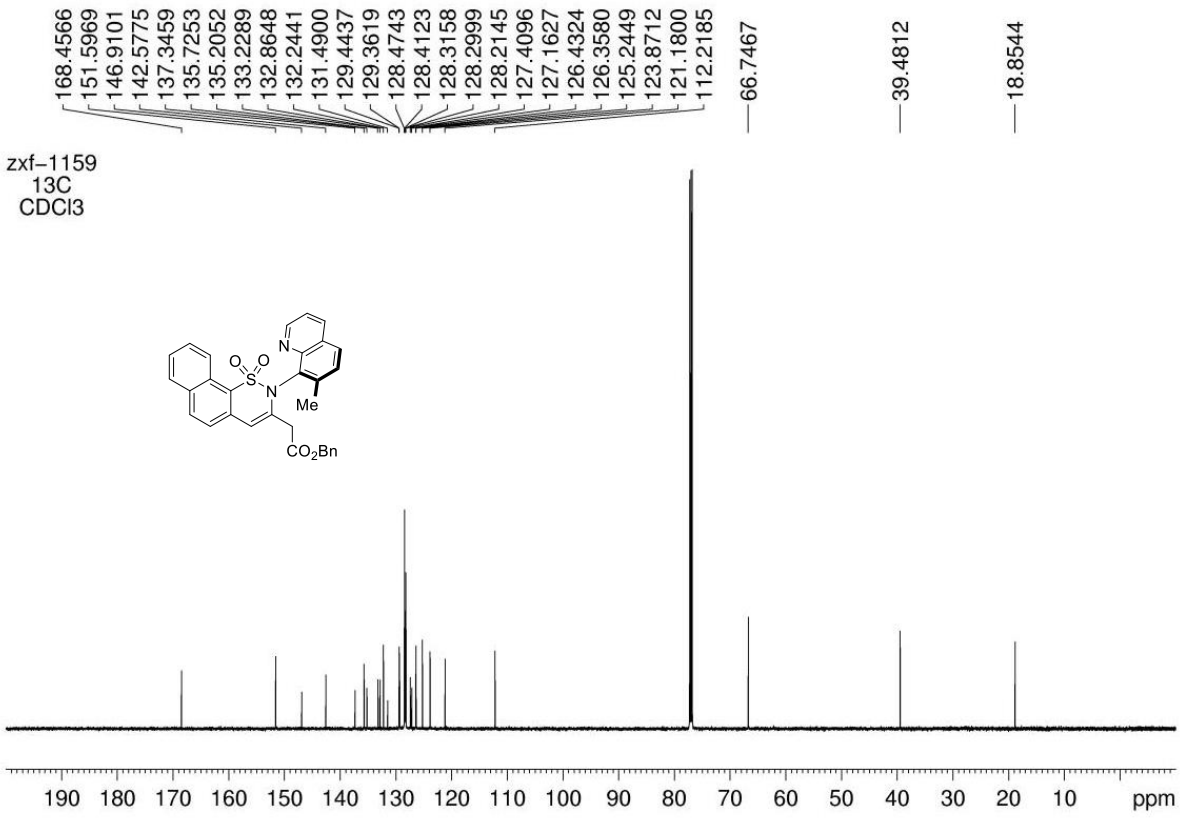
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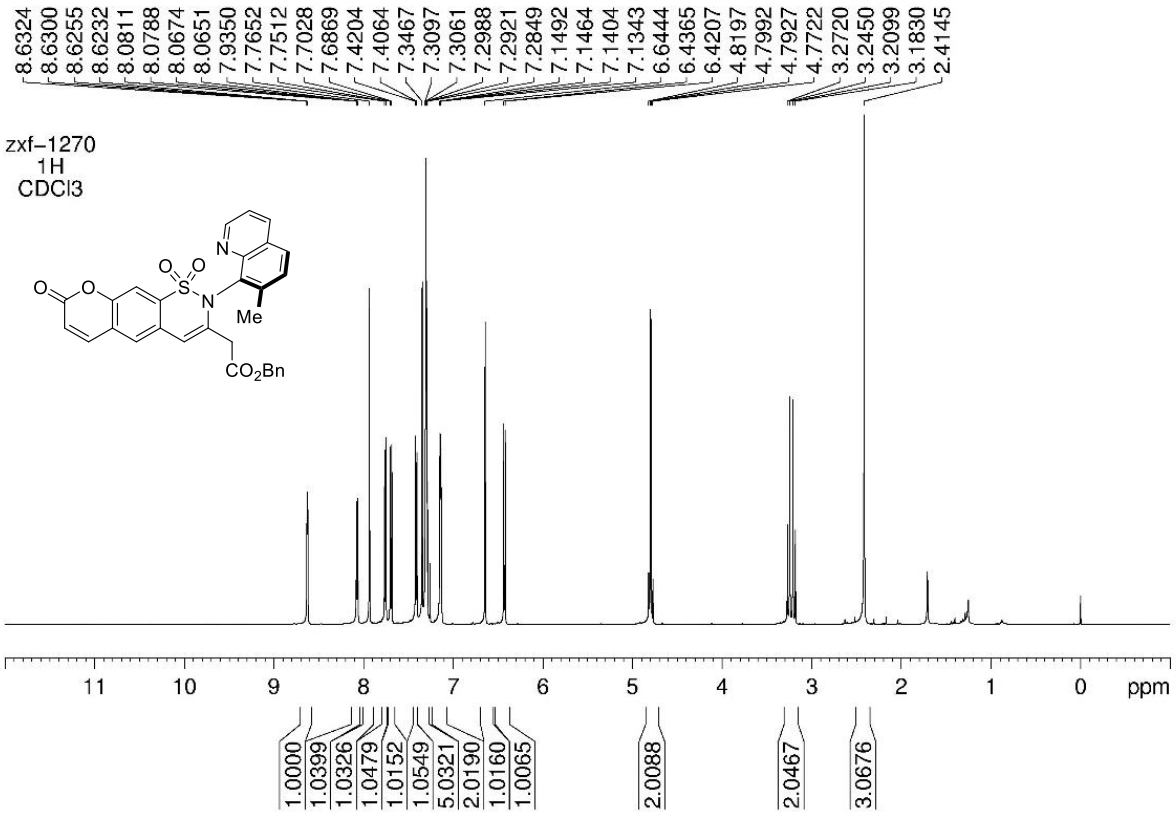
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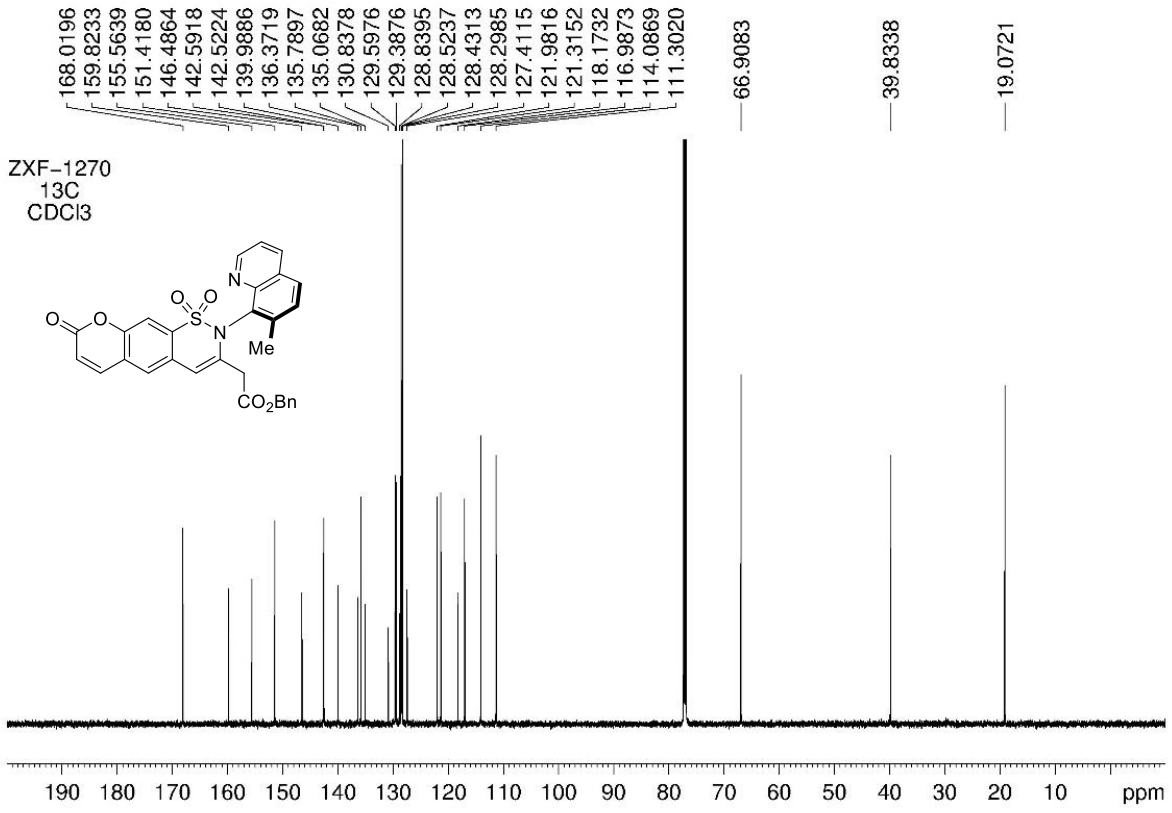
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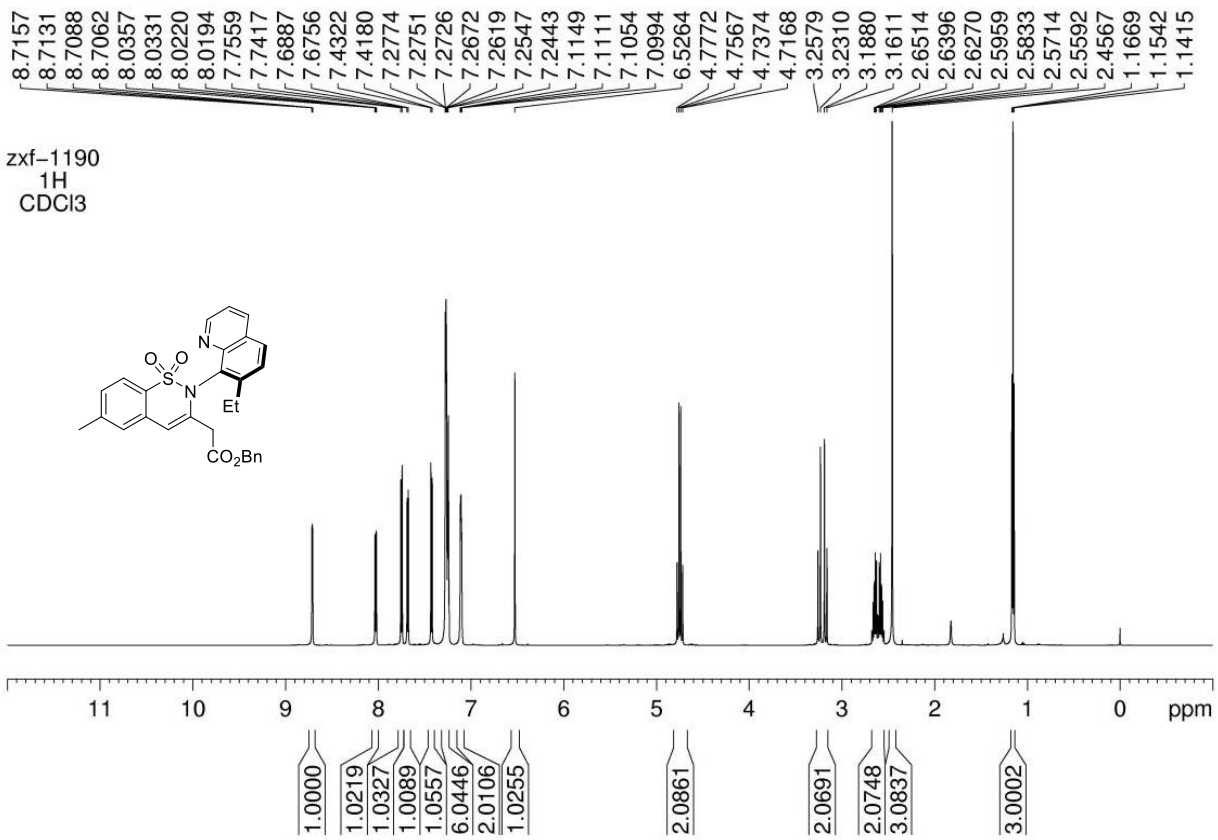
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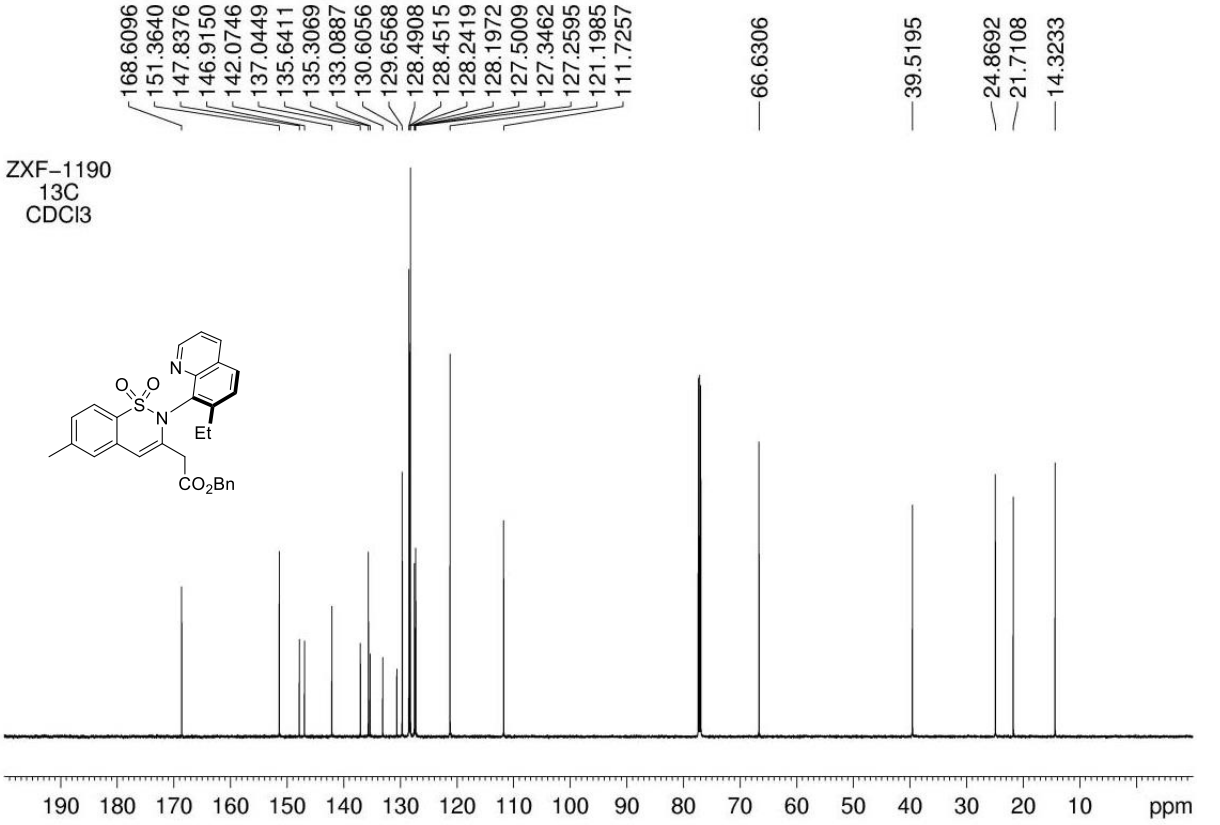
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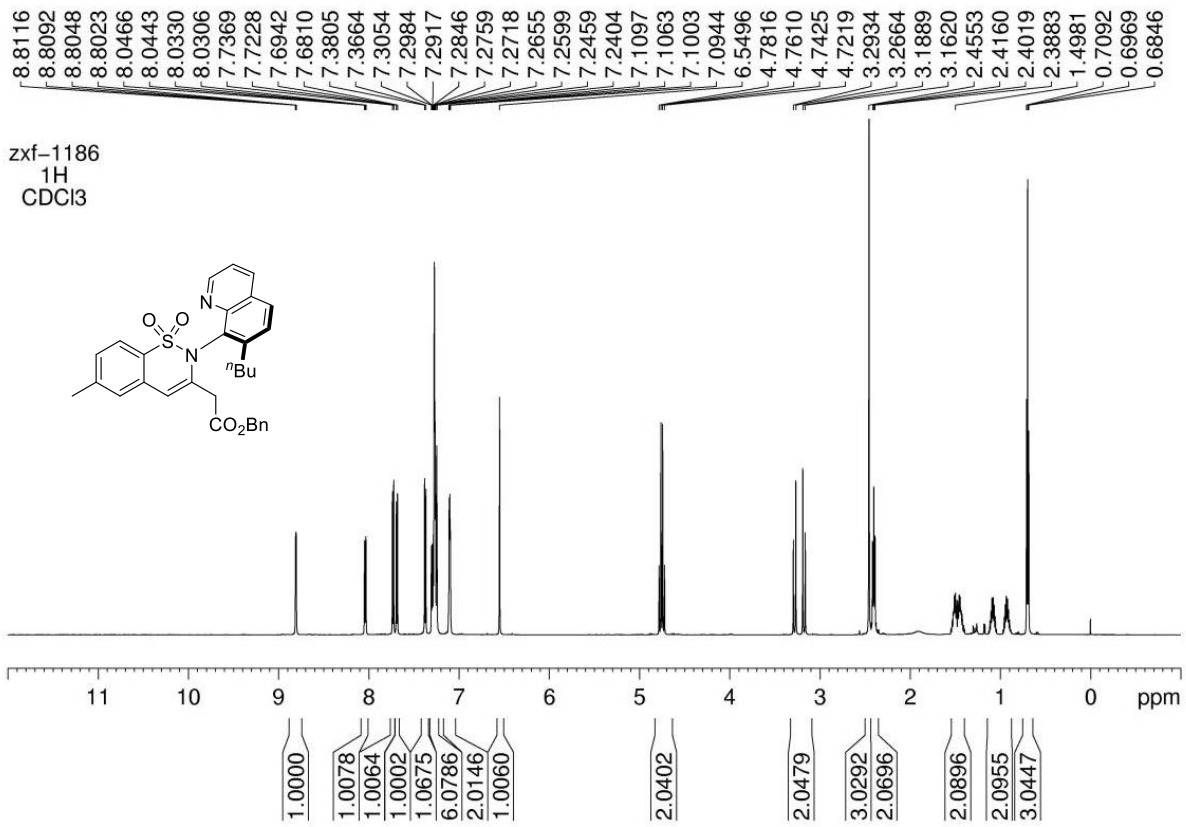
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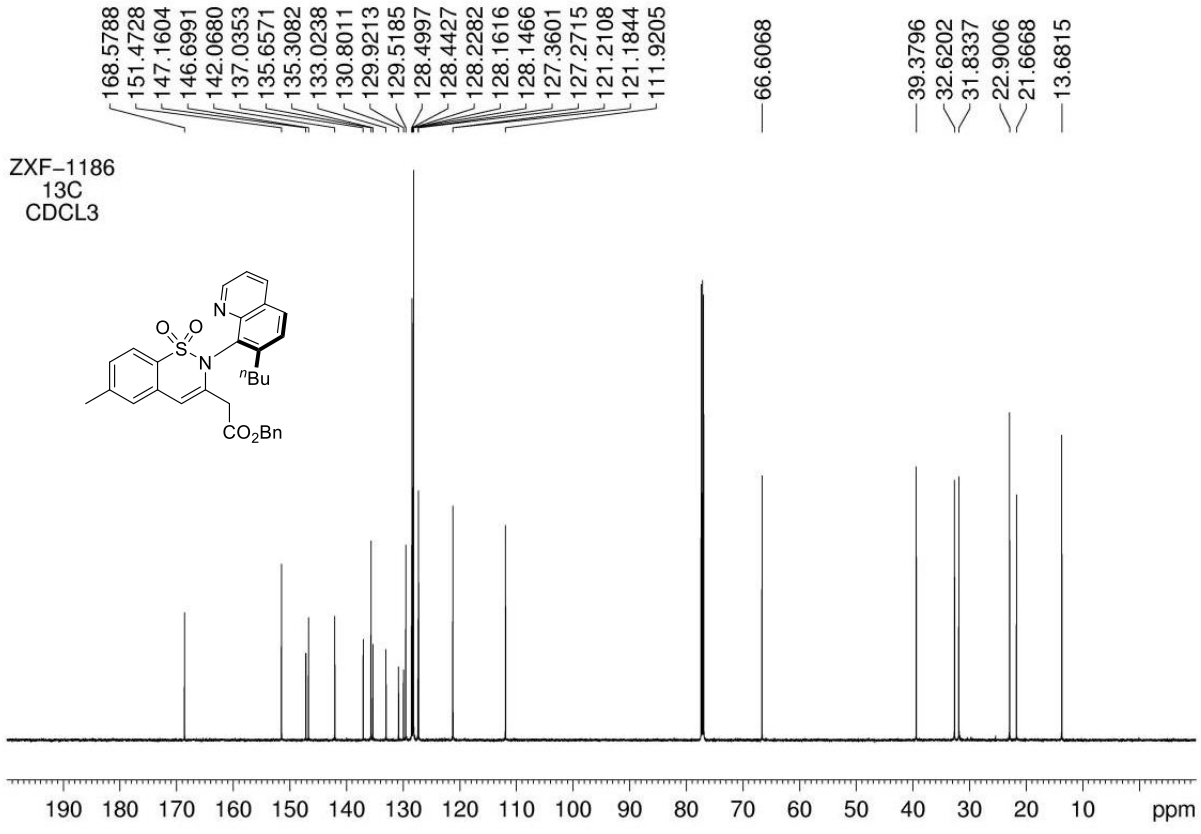
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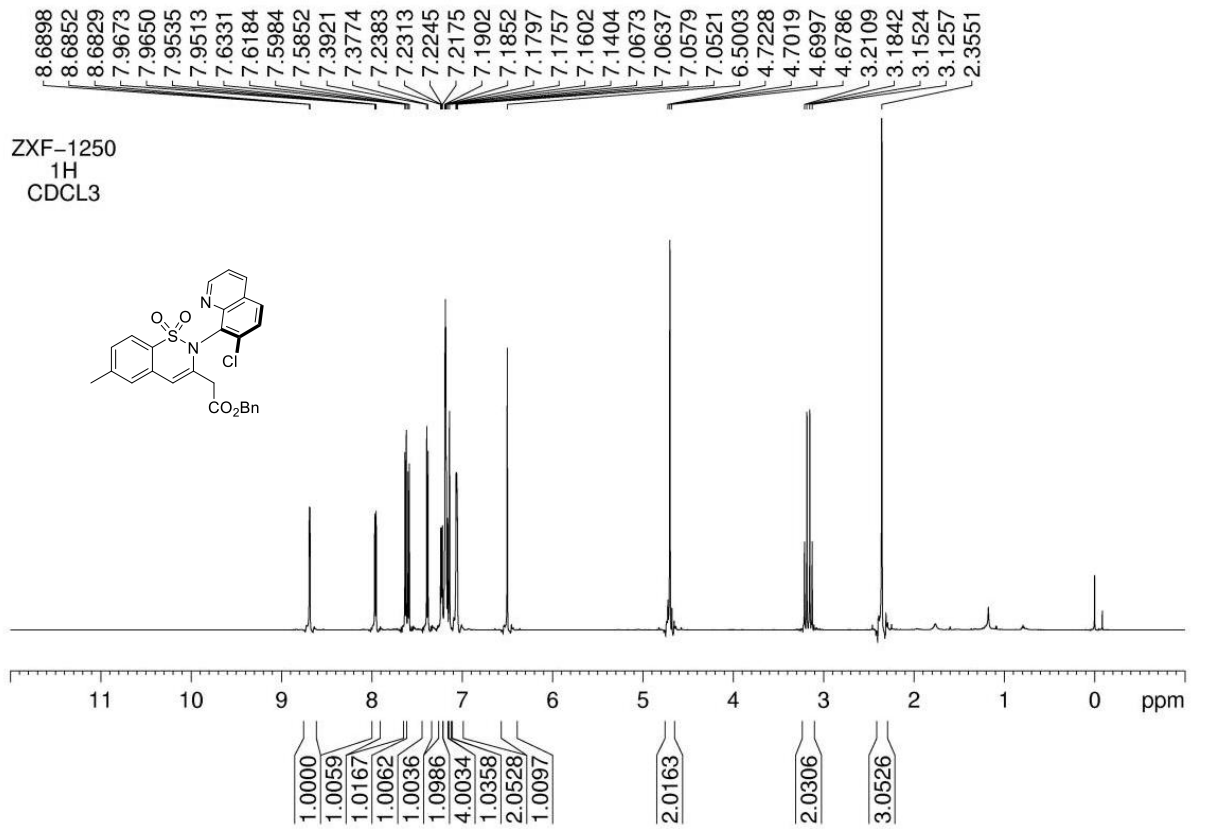
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O
S
N
Cl
CO2Bn
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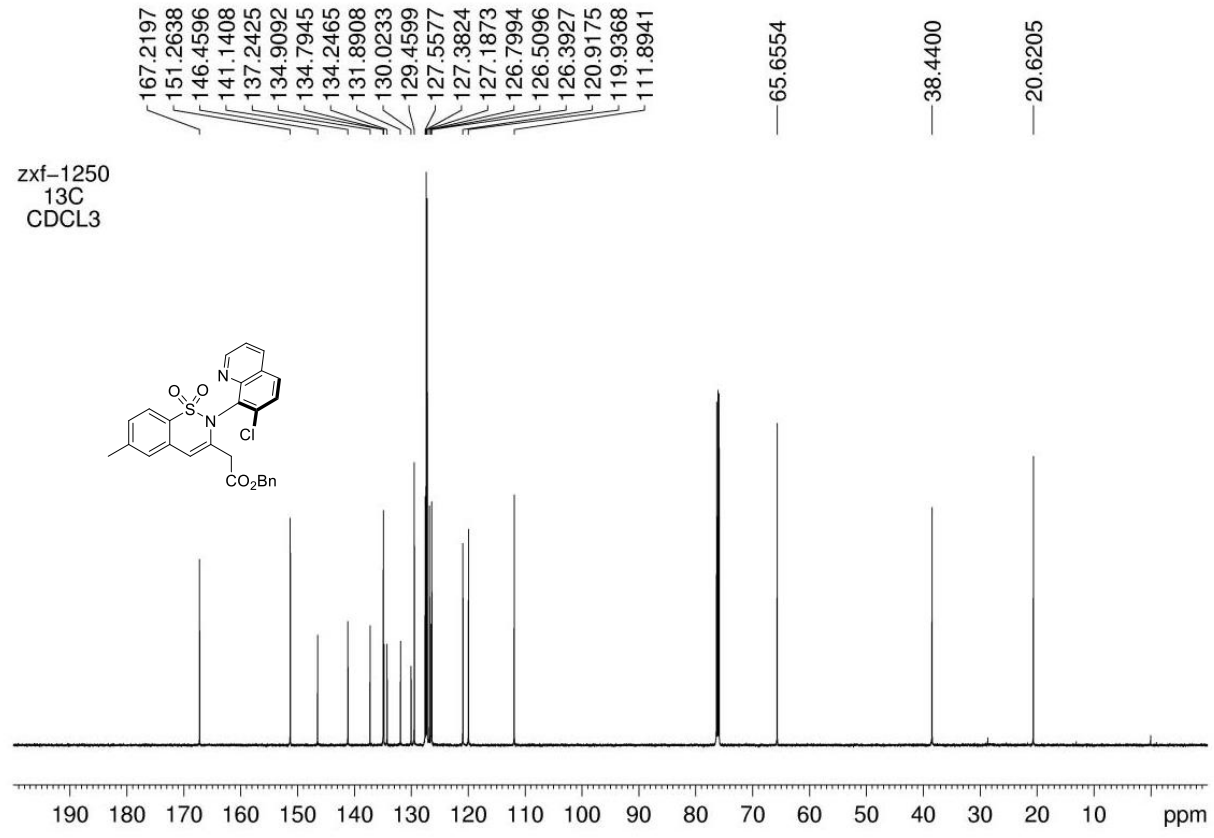
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O
O
S
N
Cl
CO2Bn
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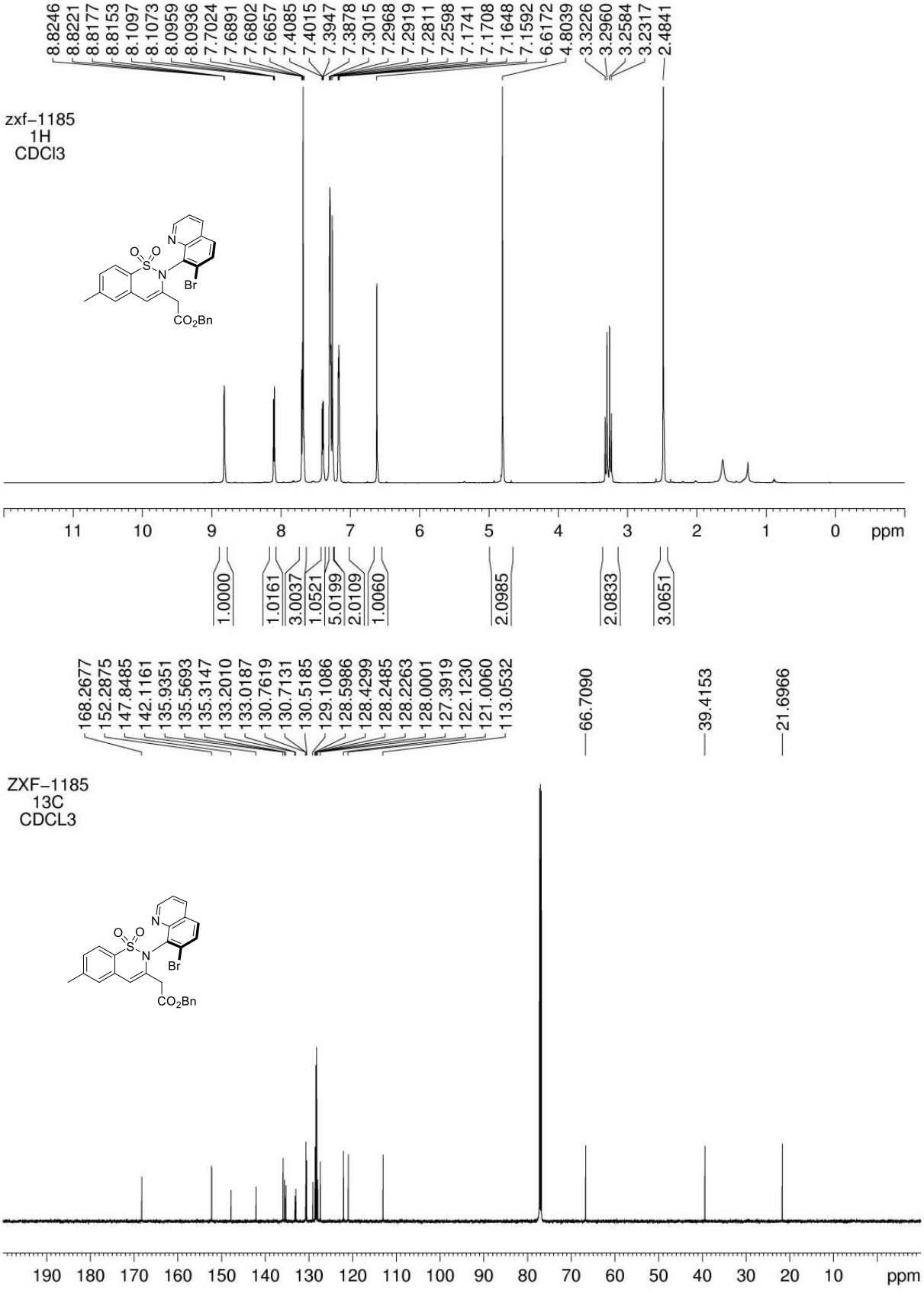
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7.1741
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7.1592
6.6172
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3.3226
3.2960
3.2584
3.2317
2.4841
zxf−1185
1H
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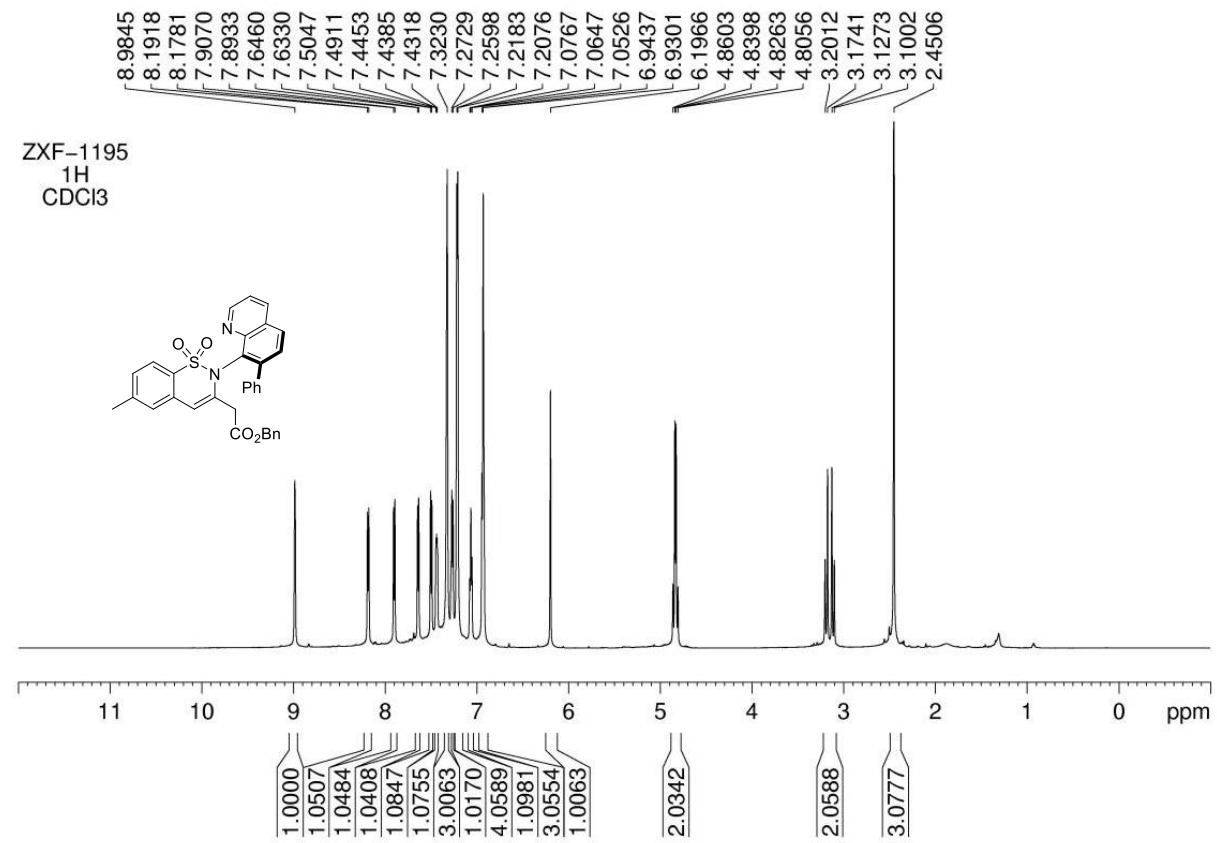
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Ph
CO2Bn
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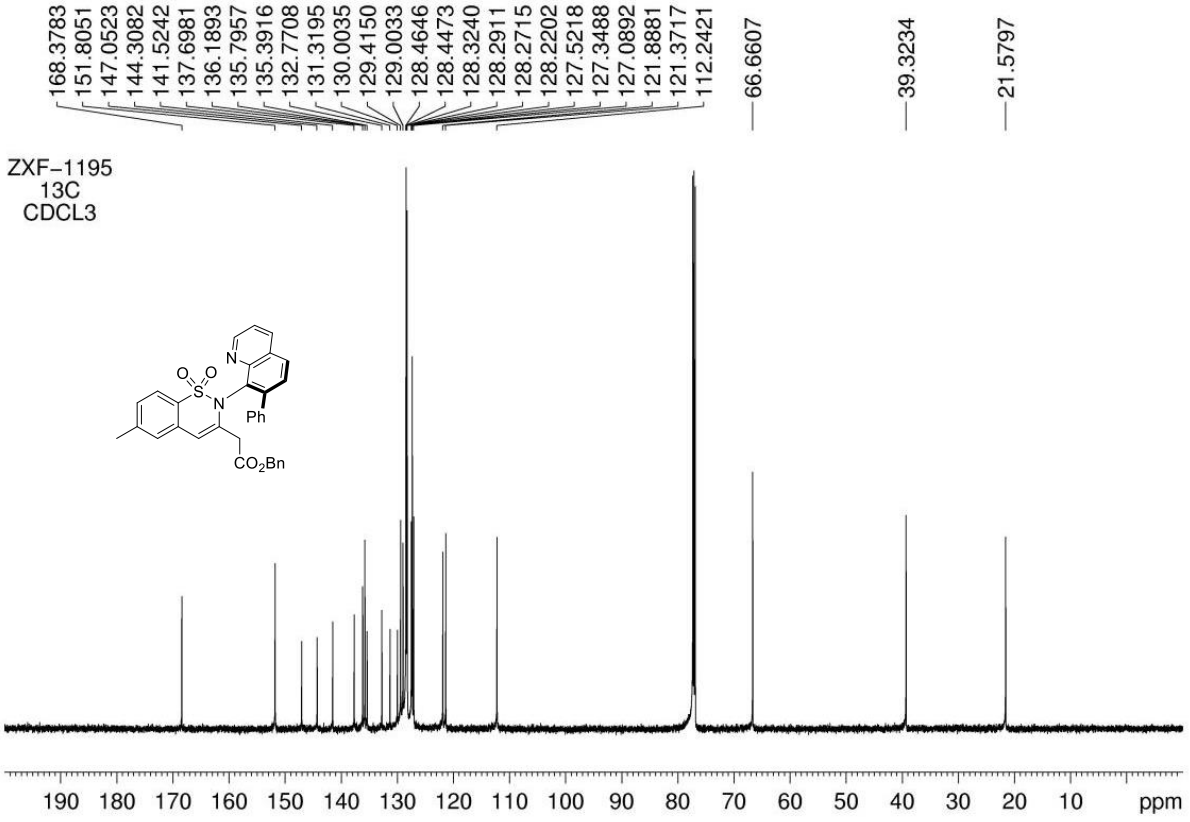
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Ph
CO2Bn
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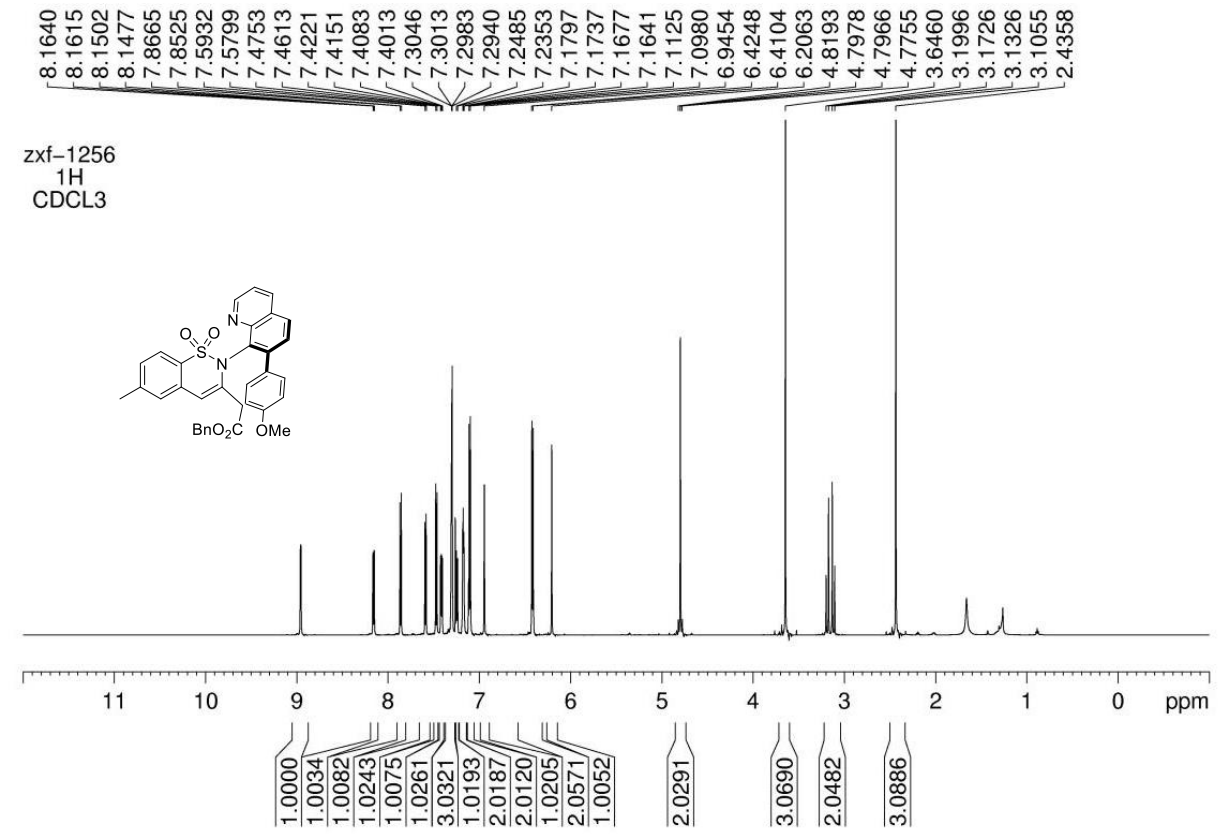
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BnO2C
OMe
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7
6
5
4
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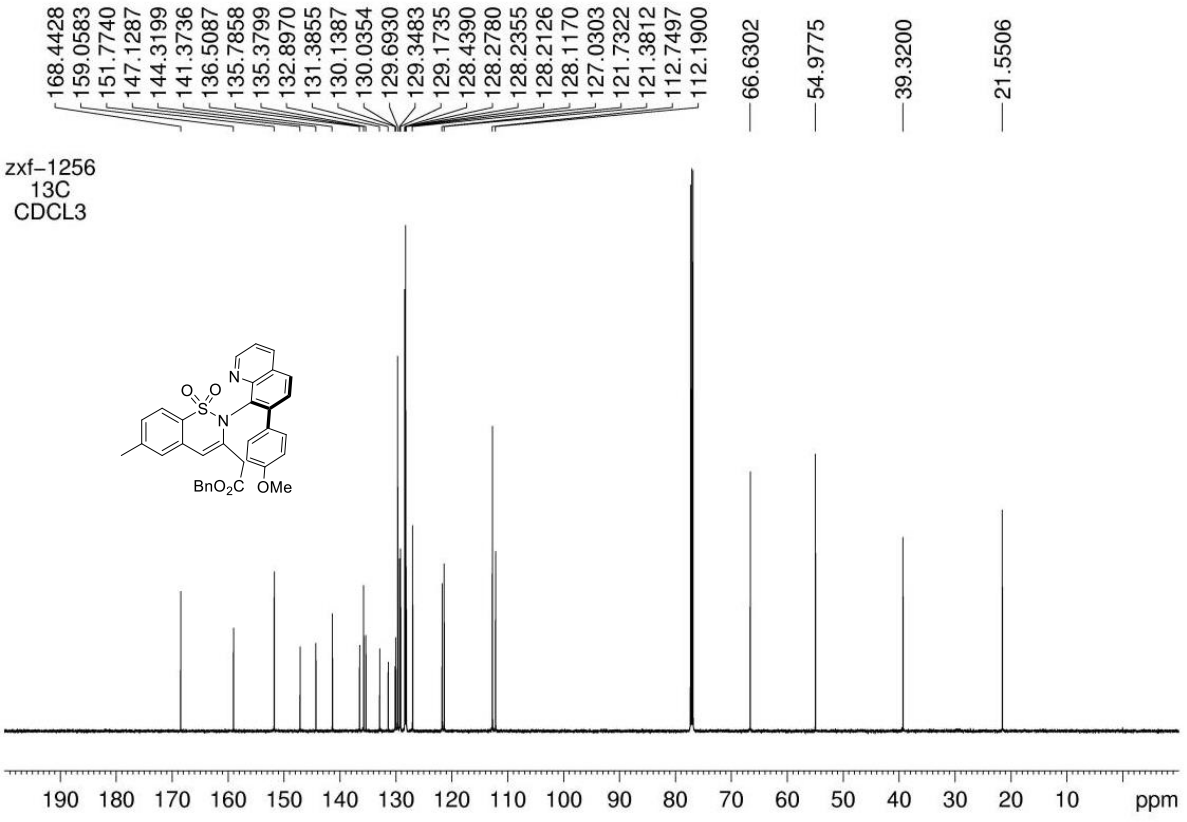
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CDCL3
BnO2C
OMe
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140
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20
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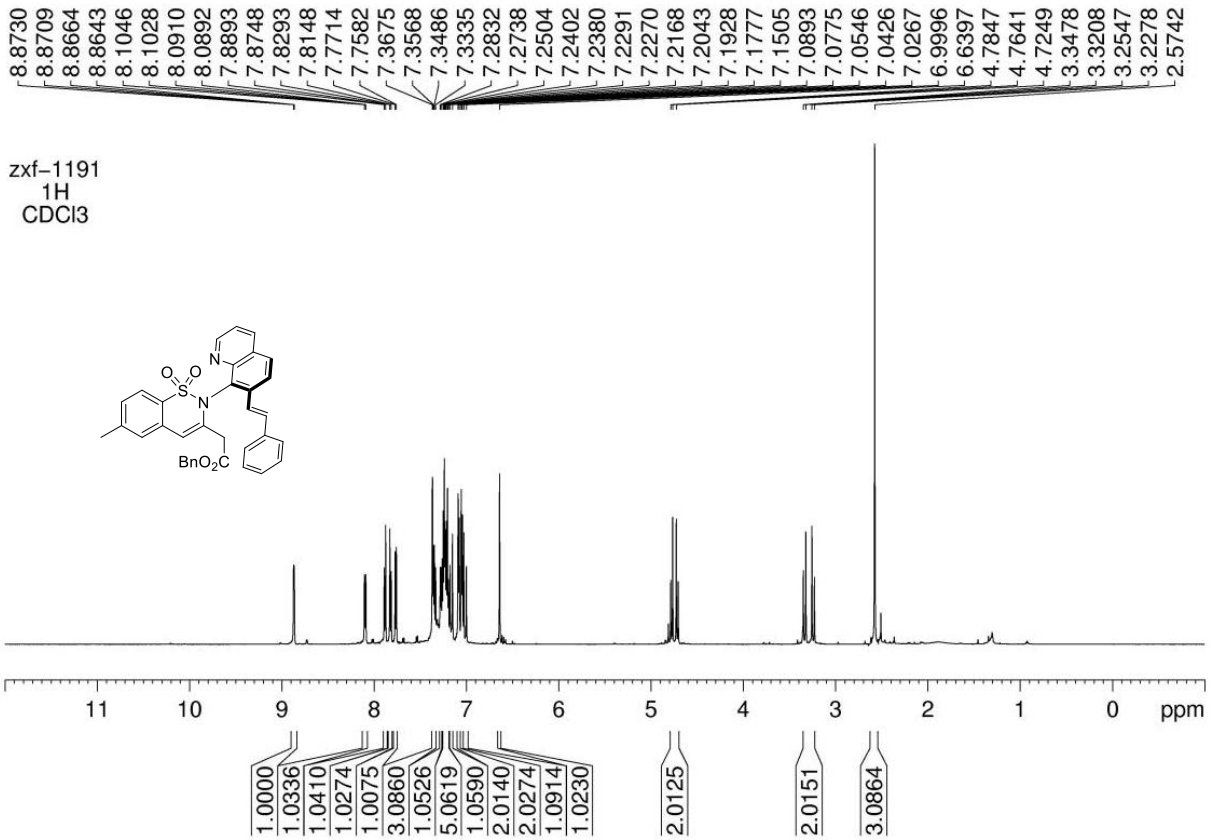
ppm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7.0893
7.0775
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7.0426
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4.7249
3.3478
3.3208
3.2547
3.2278
2.5742
zxf−1191
1H
CDCl3
BnO2C
11
10
9
8
7
6
5
4
3
2
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0
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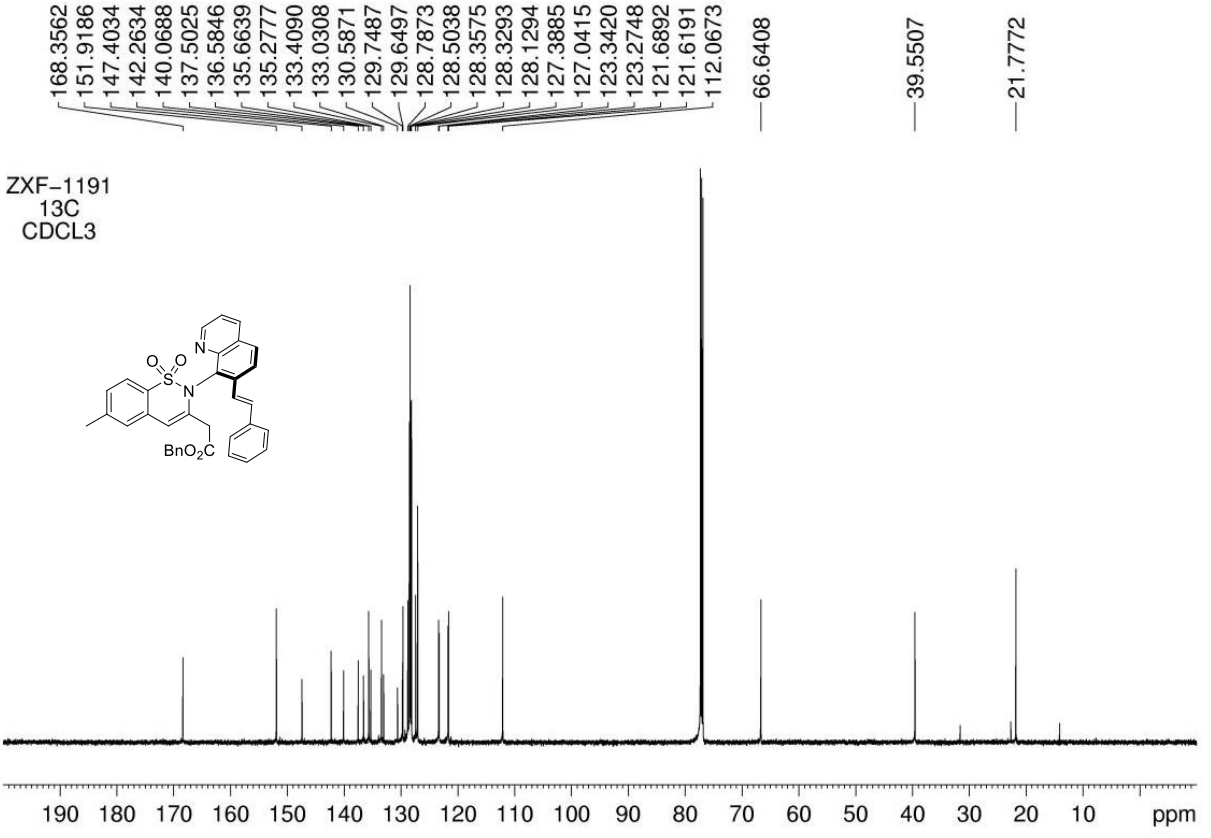
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CDCL3
BnO2C
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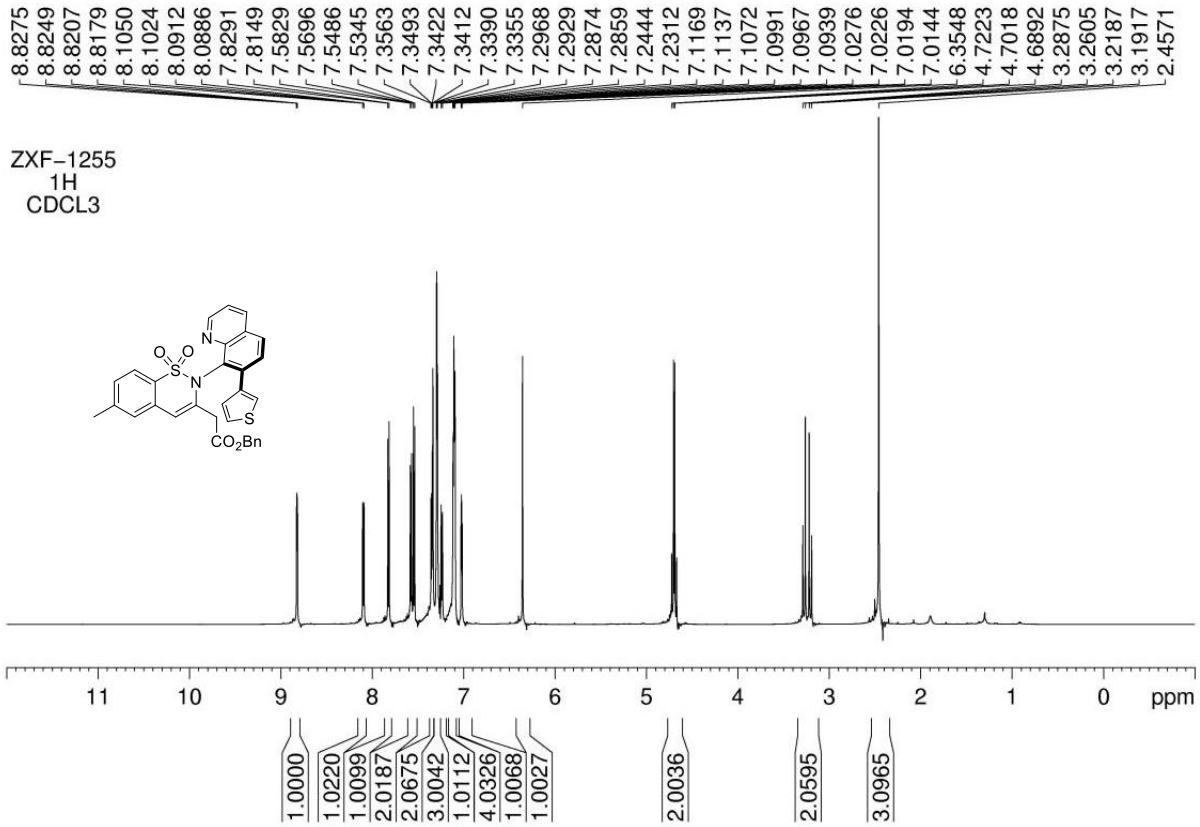
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3.2875
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CDCL3
CO2Bn
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8
7
6
5
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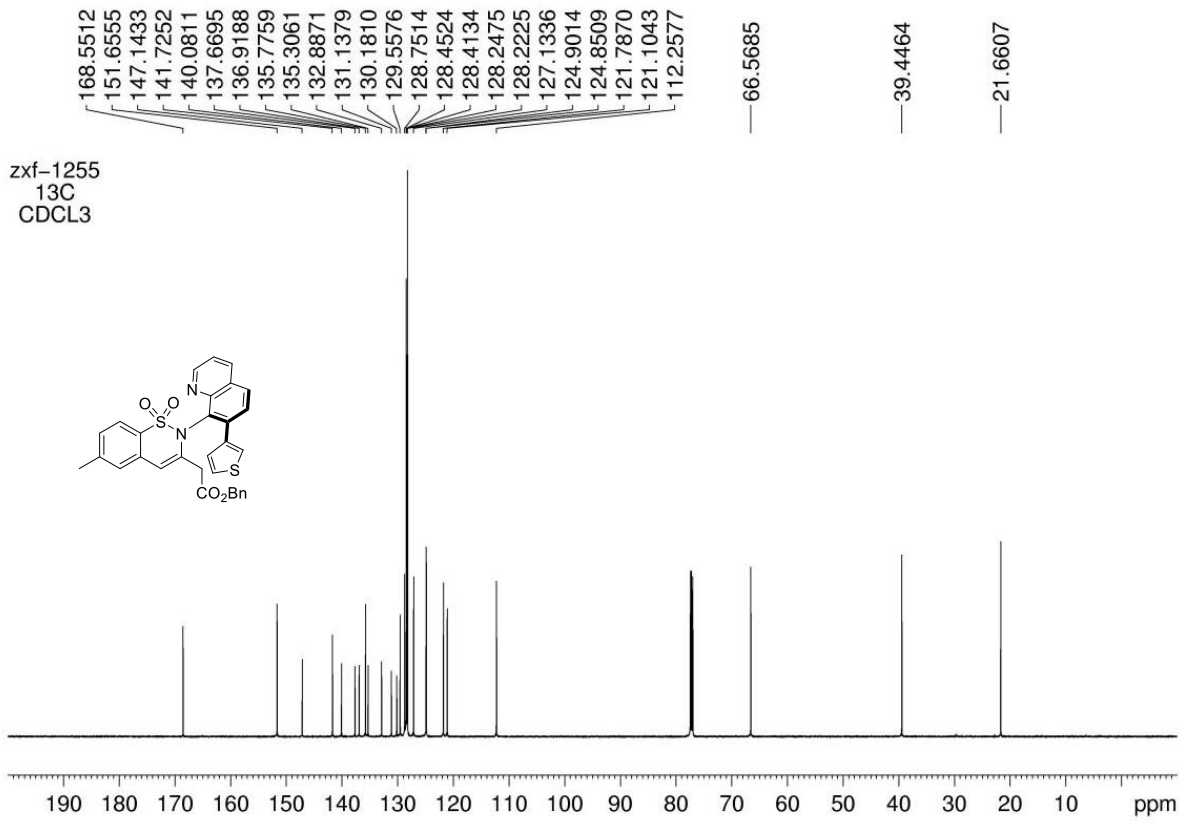
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CDCL3
CO2Bn
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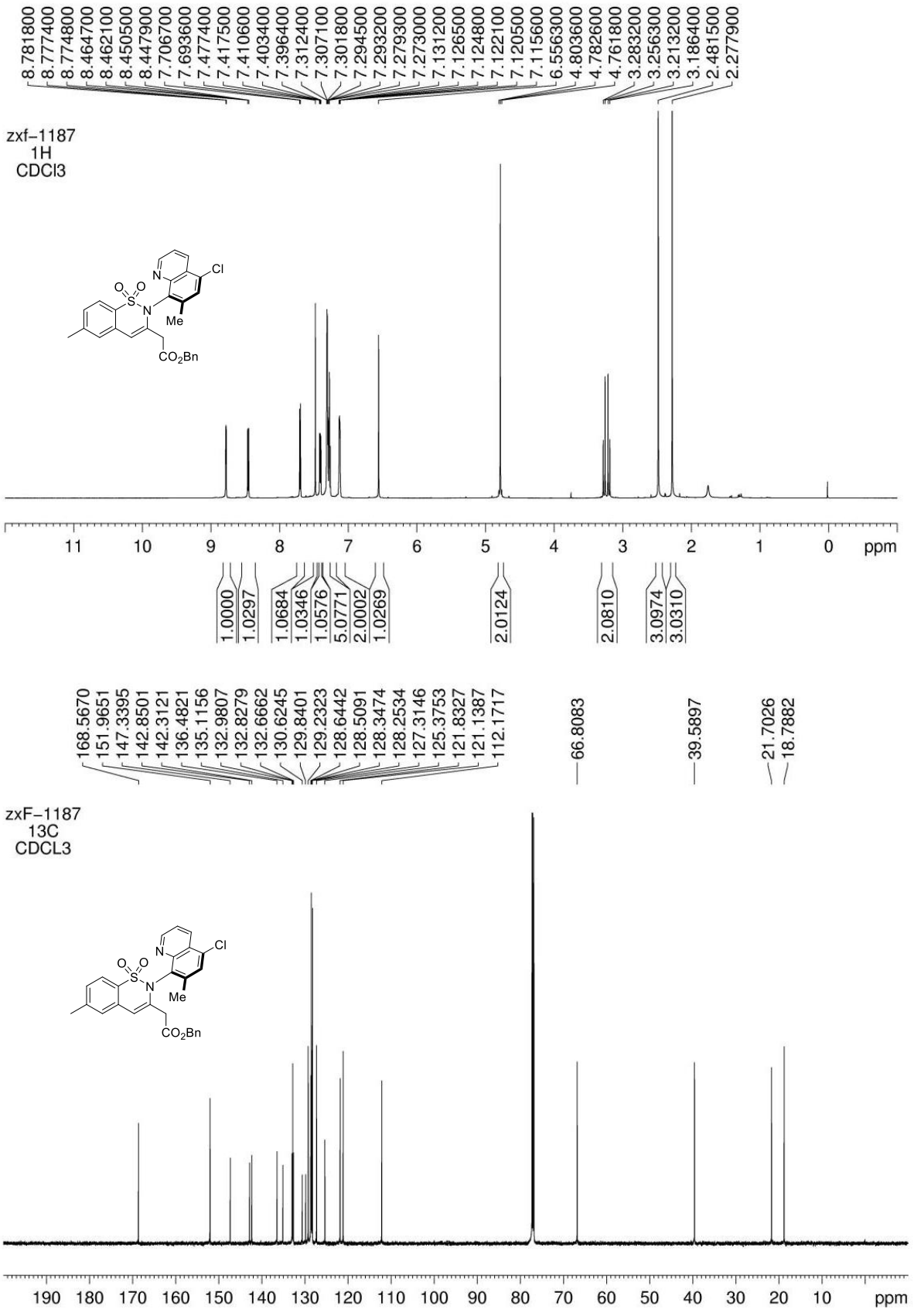
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O
S
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Me
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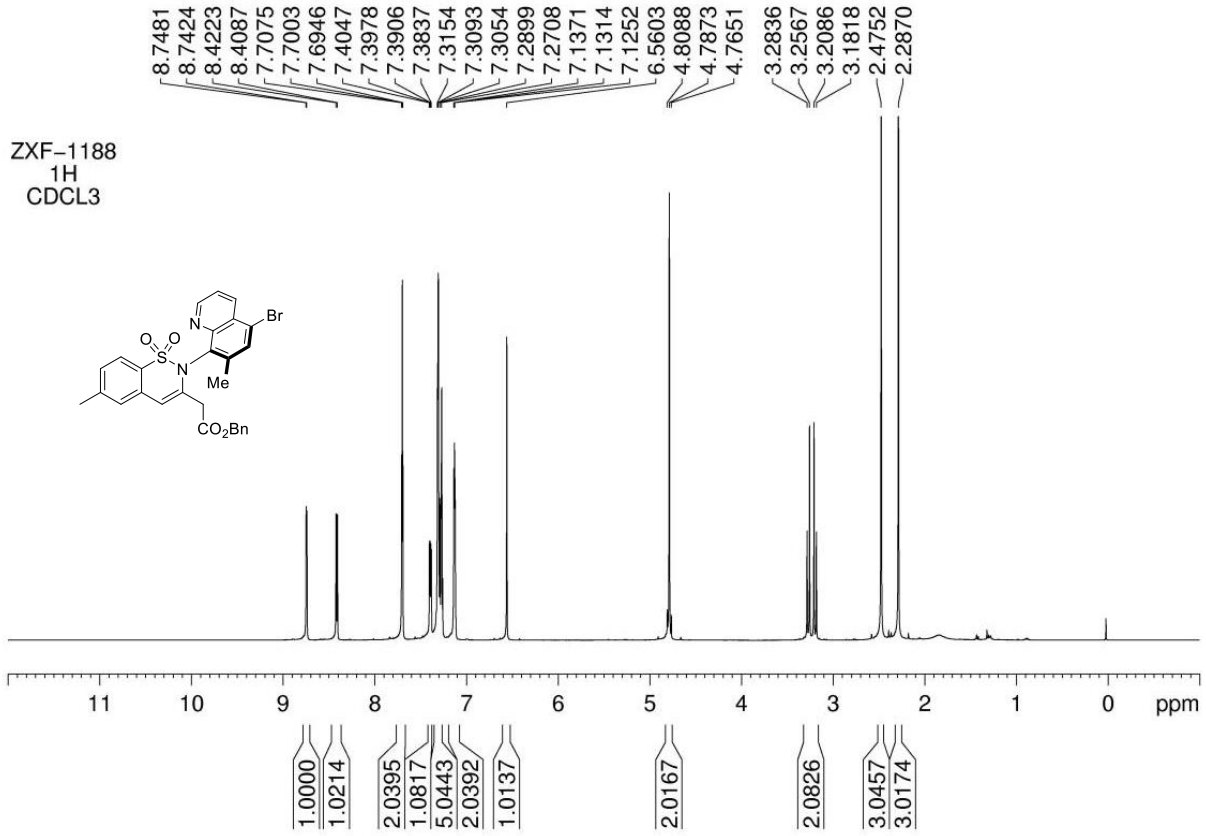
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Me
CO2Bn
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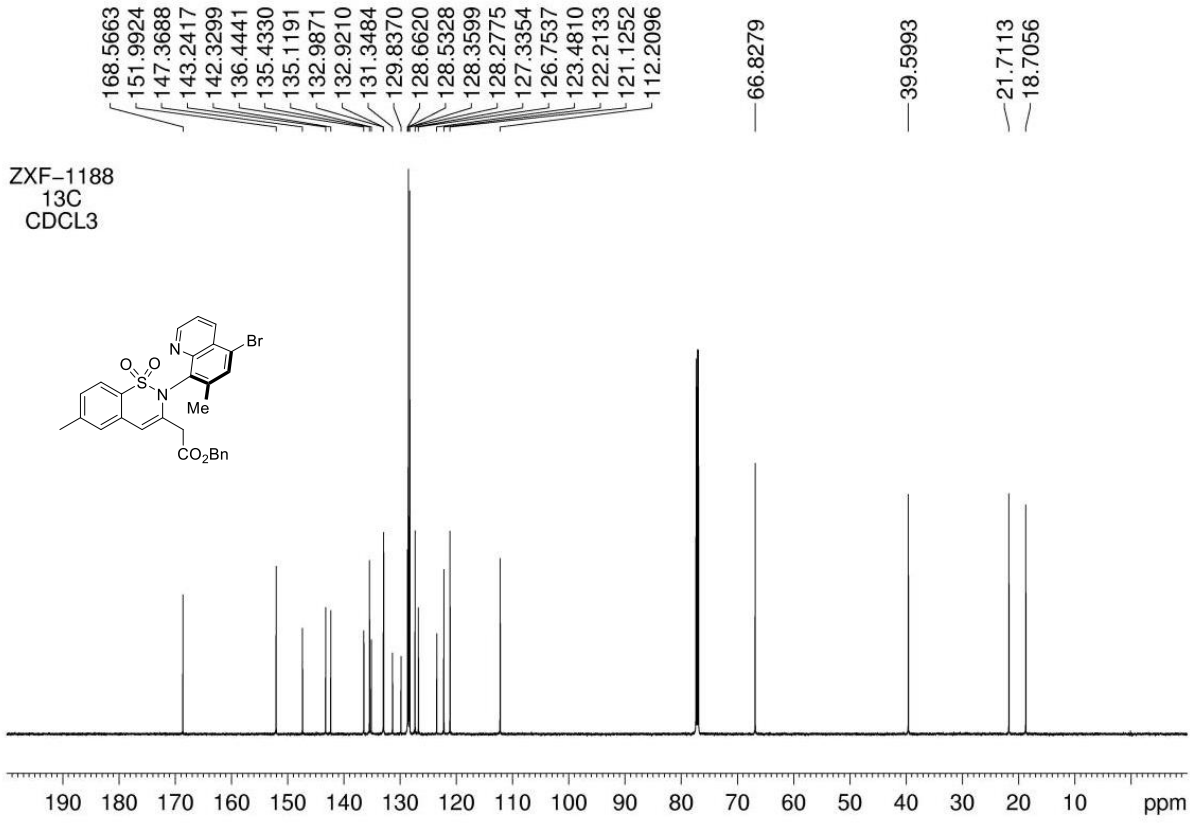
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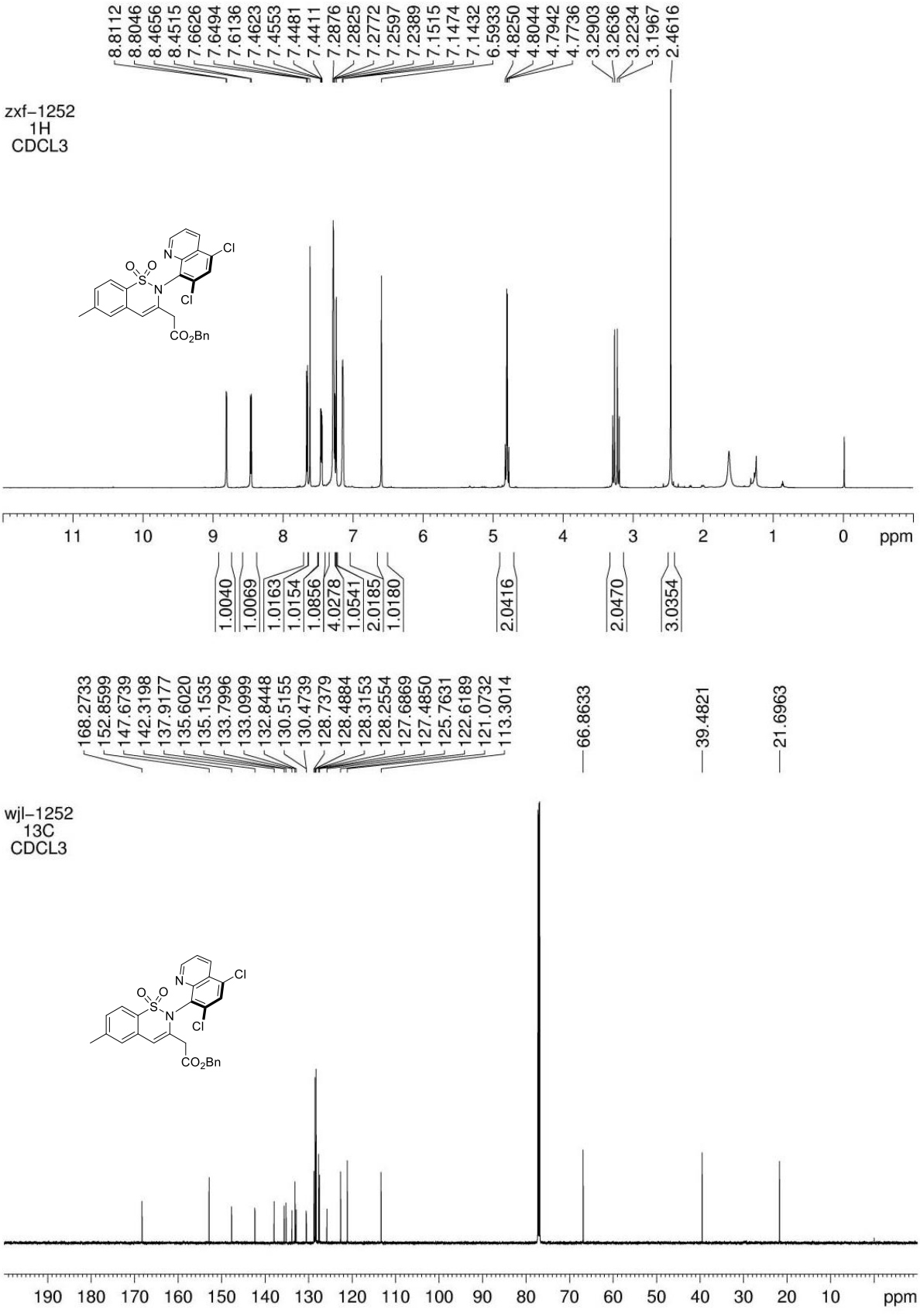
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O
S
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CO2Bn
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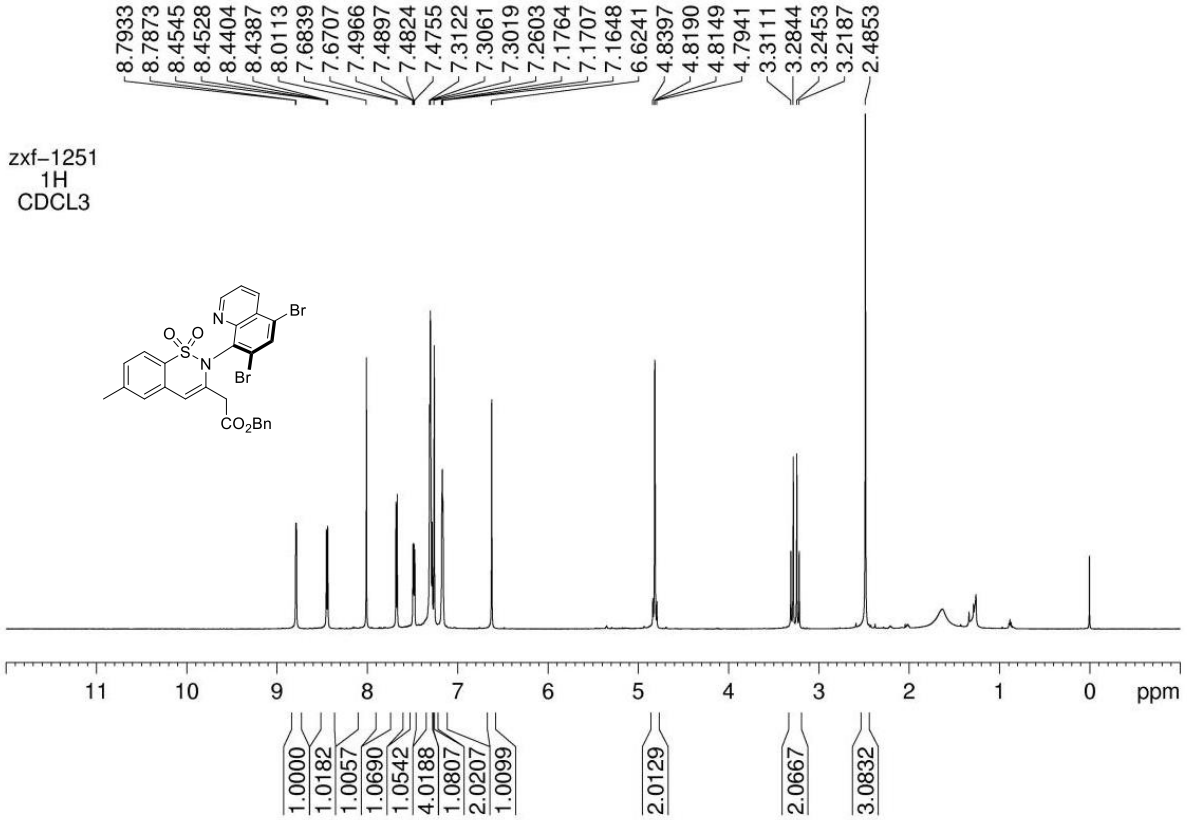
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Br
Br
CO2Bn
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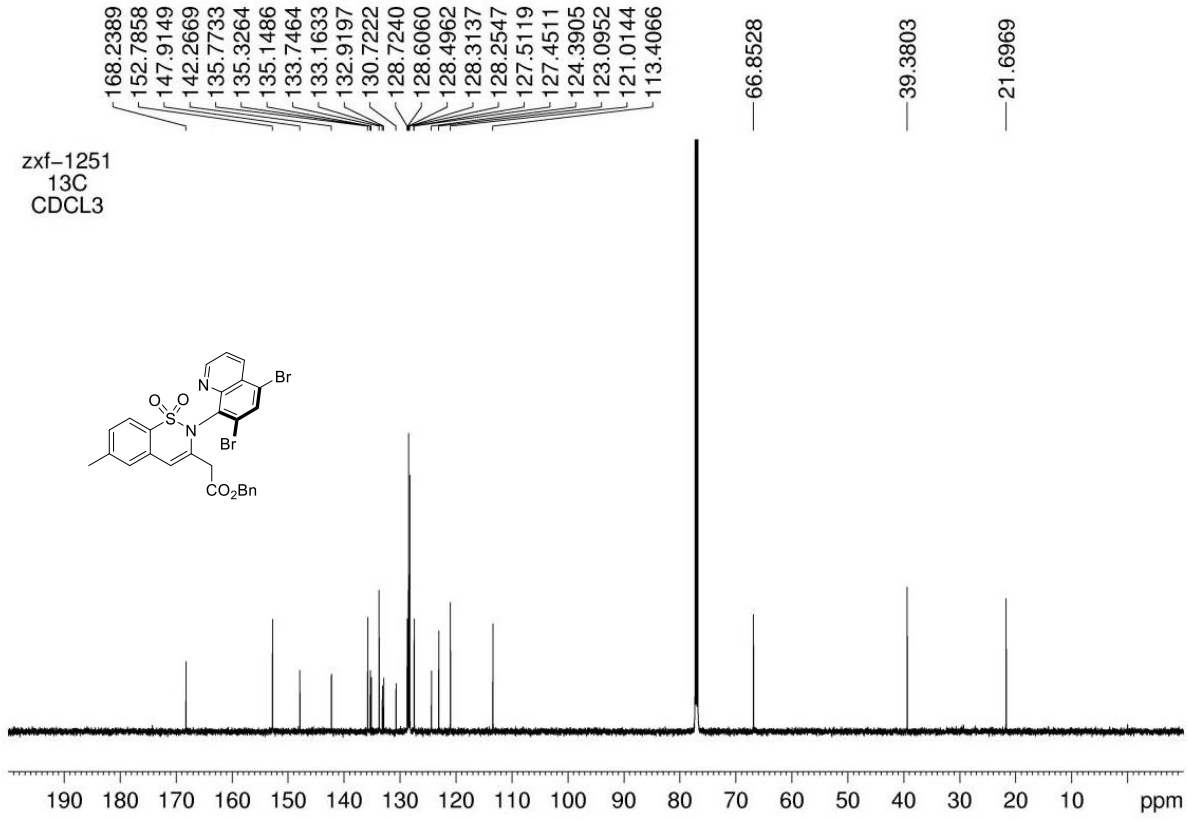
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Br
Br
CO2Bn
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10
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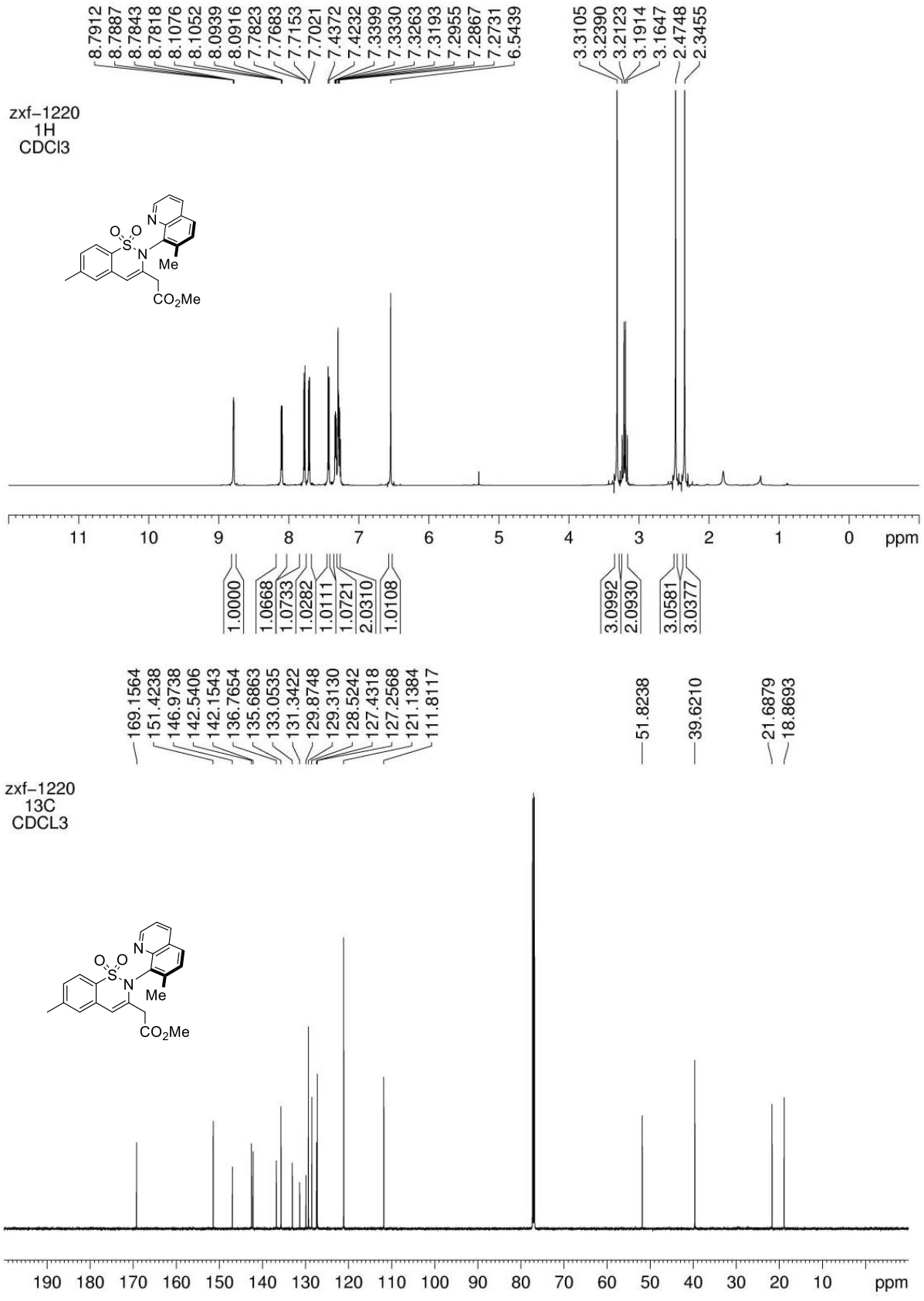
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Me
CO2Me
11 10 9 8 7 6 5 4 3 2 1 0 ppm
1.0000
1.0668
1.0733
1.0282
1.0111
1.0721
2.0310
1.0108
3.0992
2.0930
3.0581
3.0377
169.1564
151.4238
146.9738
142.5406
142.1543
136.7654
135.6863
133.0535
131.3422
129.8748
129.3130
128.5242
127.4318
127.2568
121.1384
111.8117
51.8238
39.6210
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zxf−1220
13C
CDCL3
Me
CO2Me
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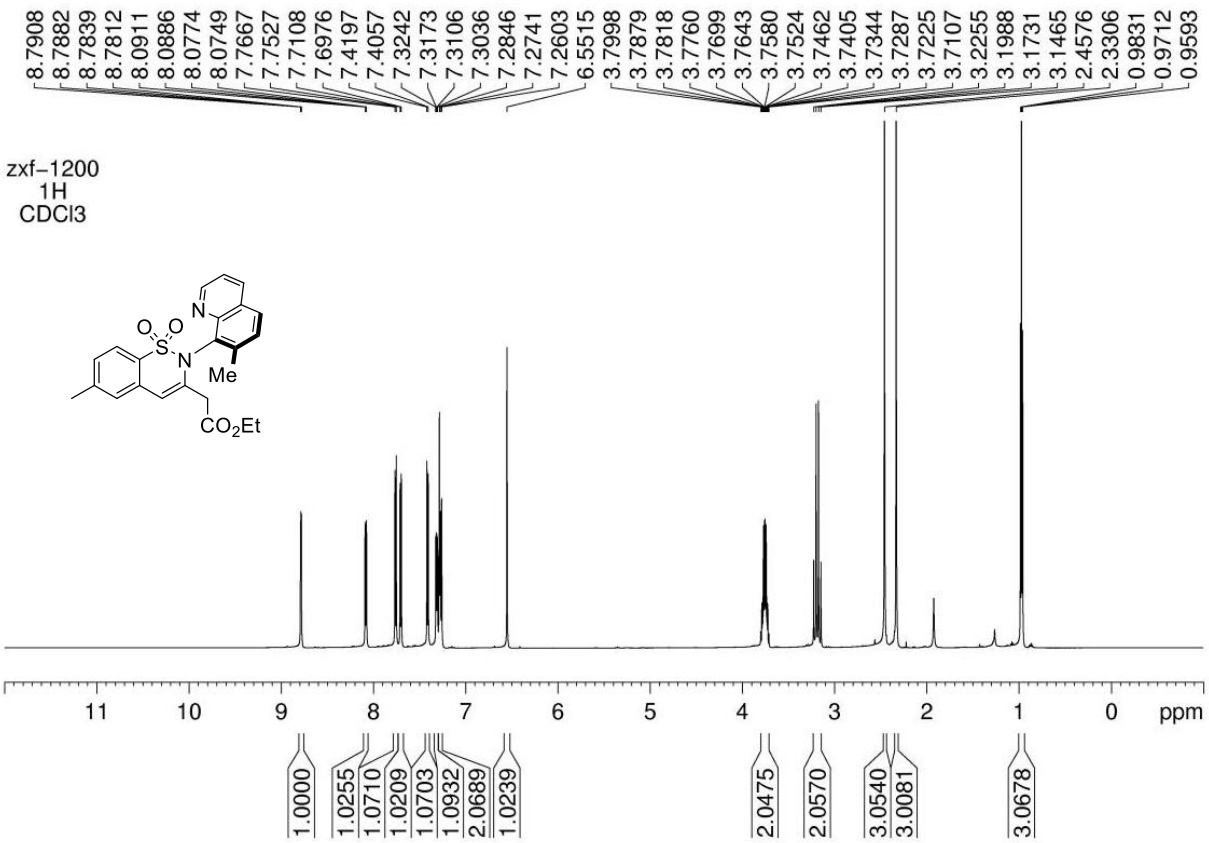
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7.6976
7.4197
7.4057
7.3242
7.3173
7.3106
7.3036
7.2846
7.2741
7.2603
6.5515
3.7998
3.7879
3.7818
3.7760
3.7699
3.7643
3.7580
3.7524
3.7462
3.7405
3.7344
3.7287
3.7225
3.7107
3.2255
3.1988
3.1731
3.1465
2.4576
2.3306
0.9831
0.9712
0.9593
zxf−1200
1H
CDCl3
N
O
O
S
N
Me
CO2Et
11
10
9
8
7
6
5
4
3
2
1
0
ppm
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1.0255
1.0710
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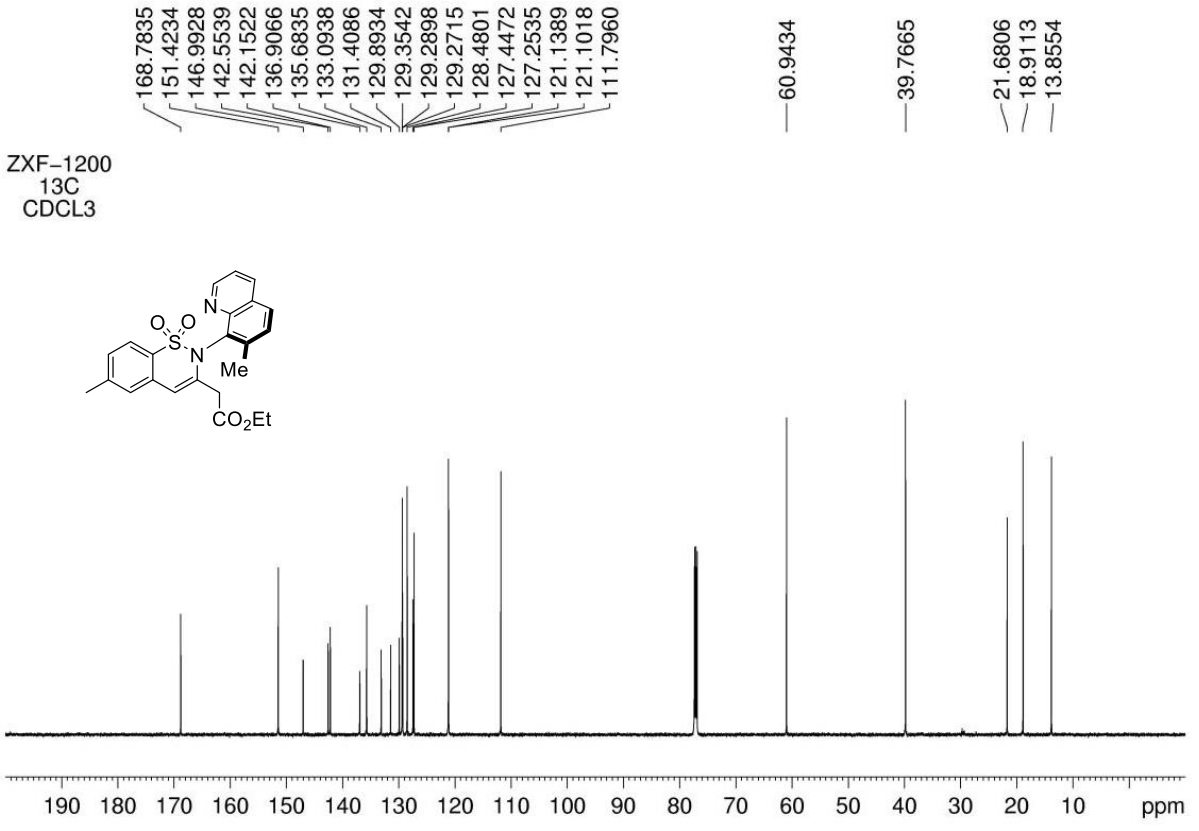
3.0678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129.2898
129.2715
128.4801
127.4472
127.2535
121.1389
121.1018
111.7960
60.9434
39.7665
21.6806
18.9113
13.8554
ZXF−1200
13C
CDCL3
N
O
O
S
N
Me
CO2Et
190
180
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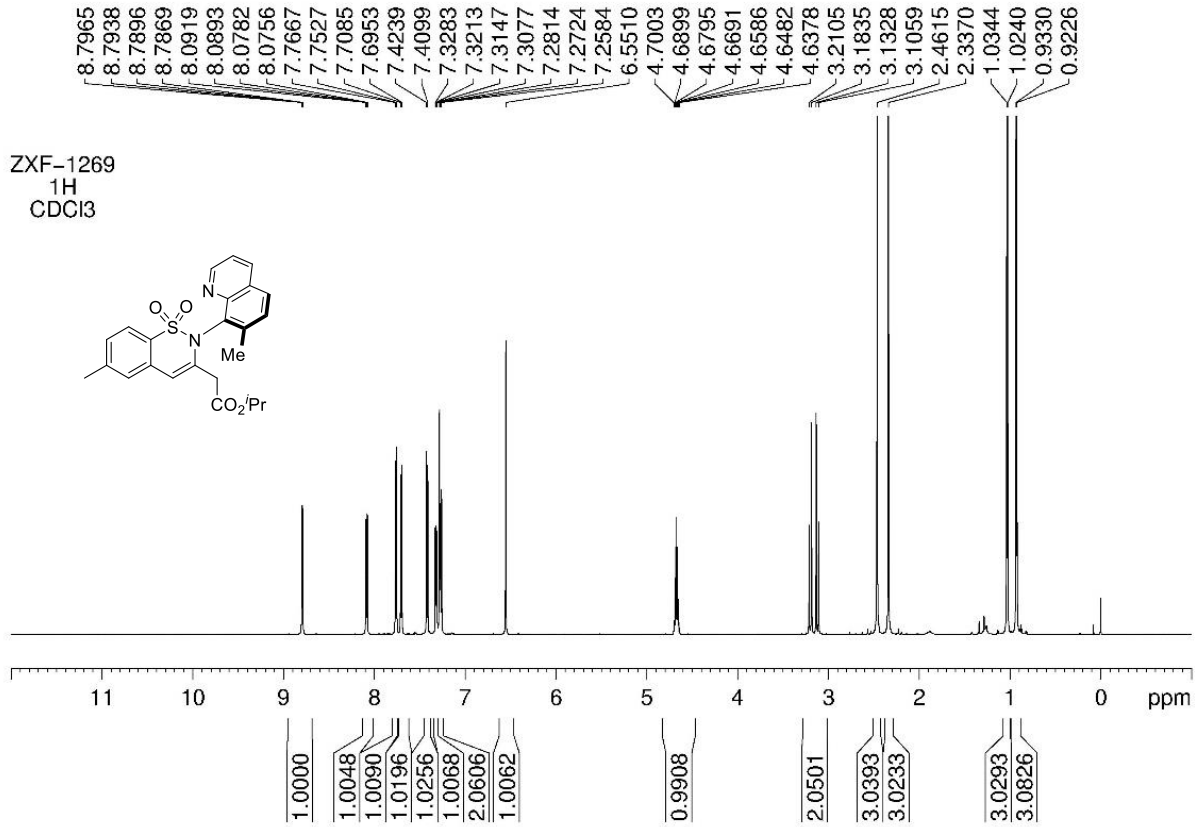
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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7.7085
7.6953
7.4239
7.4099
7.3283
7.3213
7.3147
7.3077
7.2814
7.2724
7.2584
6.5510
4.7003
4.6899
4.6795
4.6691
4.6586
4.6482
4.6378
3.2105
3.1835
3.1328
3.1059
2.4615
2.3370
1.0344
1.0240
0.9330
0.9226
ZXF-1269
1H
CDCl3
Me
CO2iPr
11
10
9
8
7
6
5
4
3
2
1
0
ppm
1.0000
1.0048
1.0090
1.0196
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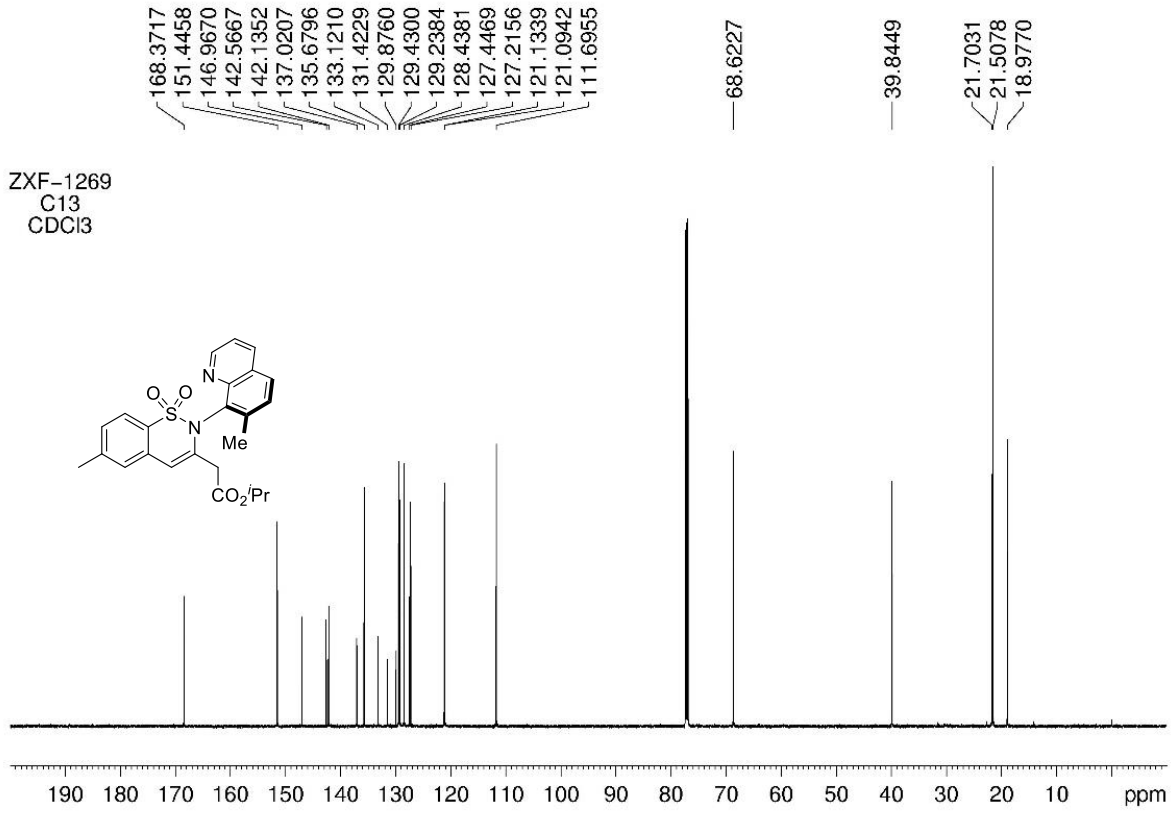
3.0826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121.1339
121.0942
111.6955
68.6227
39.8449
21.7031
21.5078
18.9770
ZXF-1269
C13
CDCl3
Me
CO2iPr
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150
140
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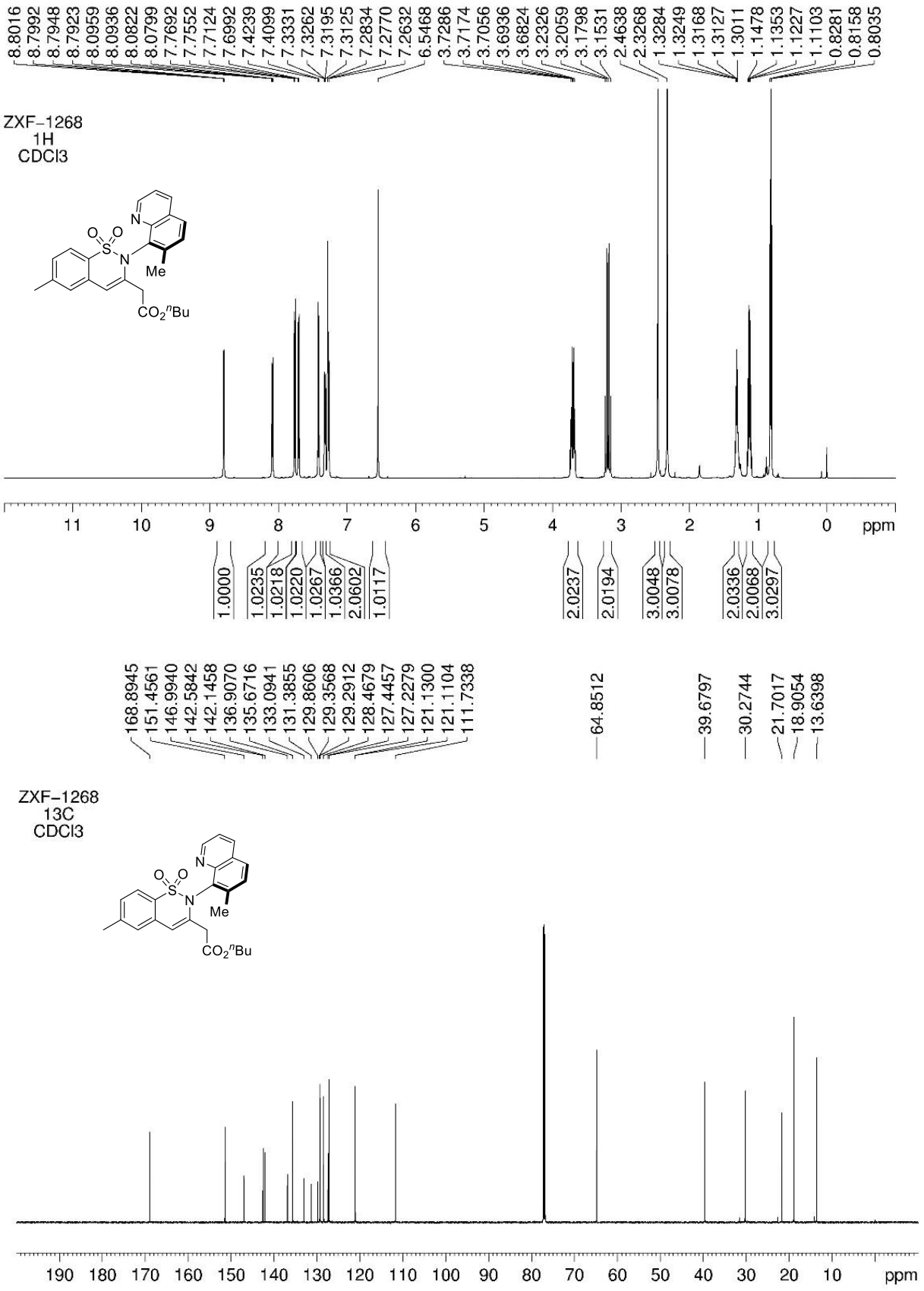
90
80
70
60
50
40
30
20
10
ppm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7.4239
7.4099
7.3331
7.3262
7.3195
7.3125
7.2834
7.2770
7.2632
6.5468
3.7286
3.7174
3.7056
3.6936
3.6824
3.2326
3.2059
3.1798
3.1531
2.4638
2.3268
1.3284
1.3249
1.3168
1.3127
1.3011
1.1478
1.1353
1.1227
1.1103
0.8281
0.8158
0.8035
ZXF−1268
1H
CDCl3
Me
CO2nBu
11
10
9
8
7
6
5
4
3
2
1
0
ppm
1.0000
1.0235
1.0218
1.0220
1.0267
1.0366
2.0602
1.0117
2.0237
2.0194
3.0048
3.0078
2.0336
2.0068
3.0297
168.8945
151.4561
146.9940
142.5842
142.1458
136.9070
135.6716
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131.3855
129.8606
129.3568
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121.1300
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18.9054
13.6398
ZXF−1268
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CDCl3
Me
CO2nBu
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150
140
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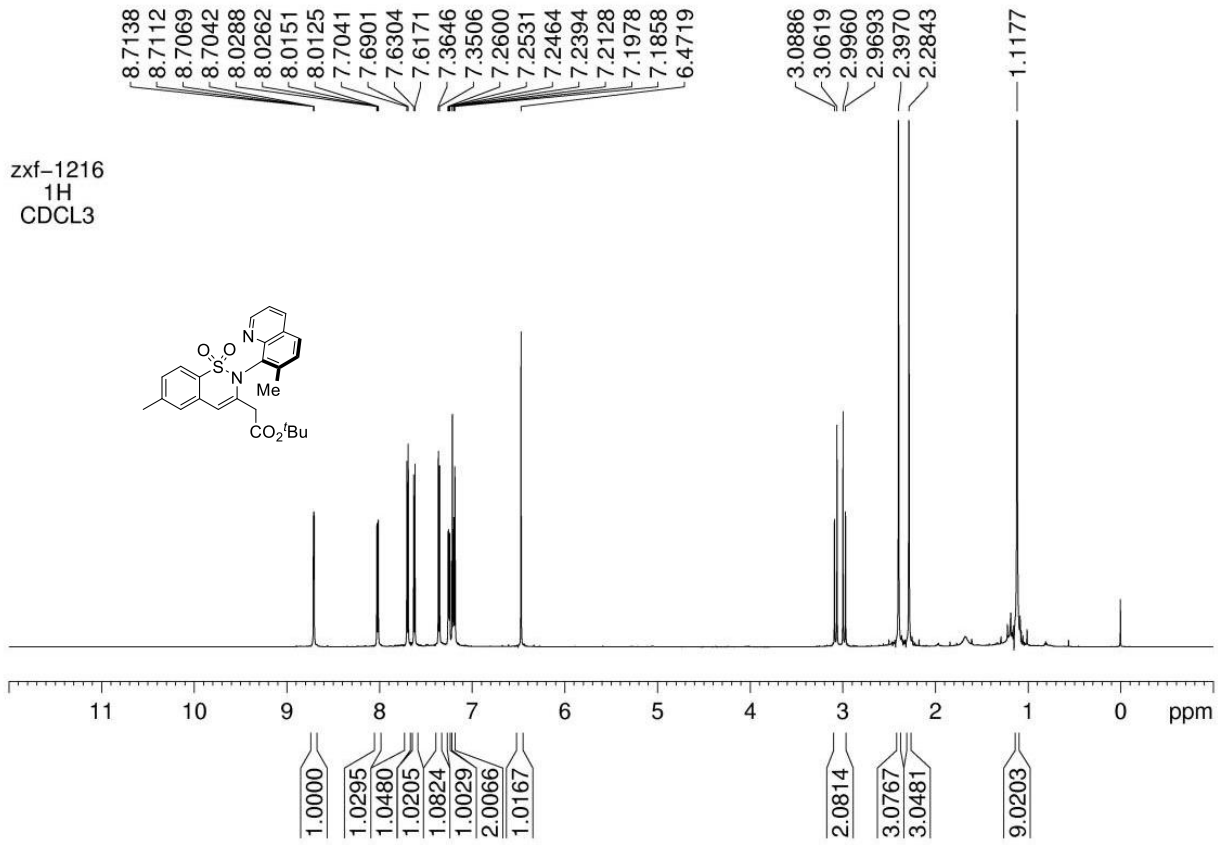
80
70
60
50
40
30
20
10
ppm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8.0151
8.0125
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7.6304
7.6171
7.3646
7.3506
7.2600
7.2531
7.2464
7.2394
7.2128
7.1978
7.1858
6.4719
3.0886
3.0619
2.9960
2.9693
2.3970
2.2843
1.1177
zxf−1216
1H
CDCL3
Me
CO2tBu
11
10
9
8
7
6
5
4
3
2
1
0
ppm
1.0000
1.0295
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1.0824
1.0029
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2.0814
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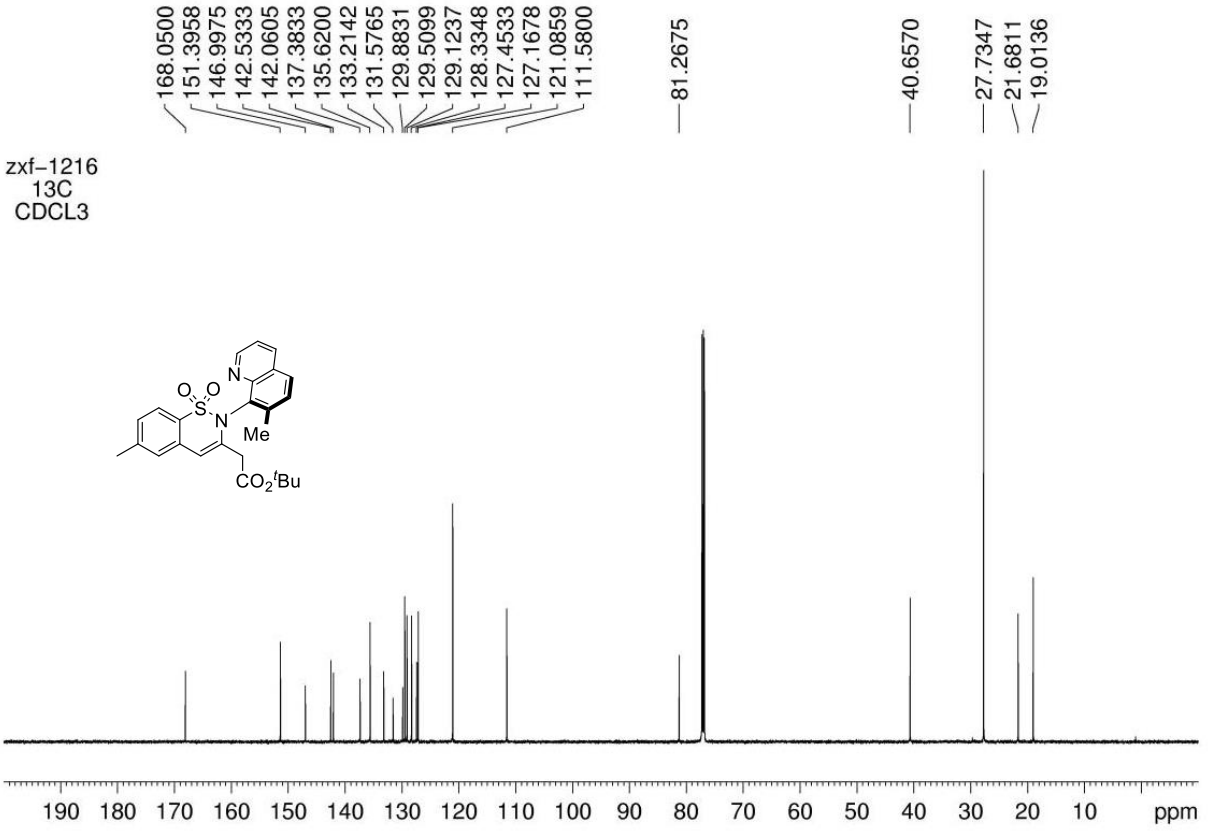
3.0481
9.0203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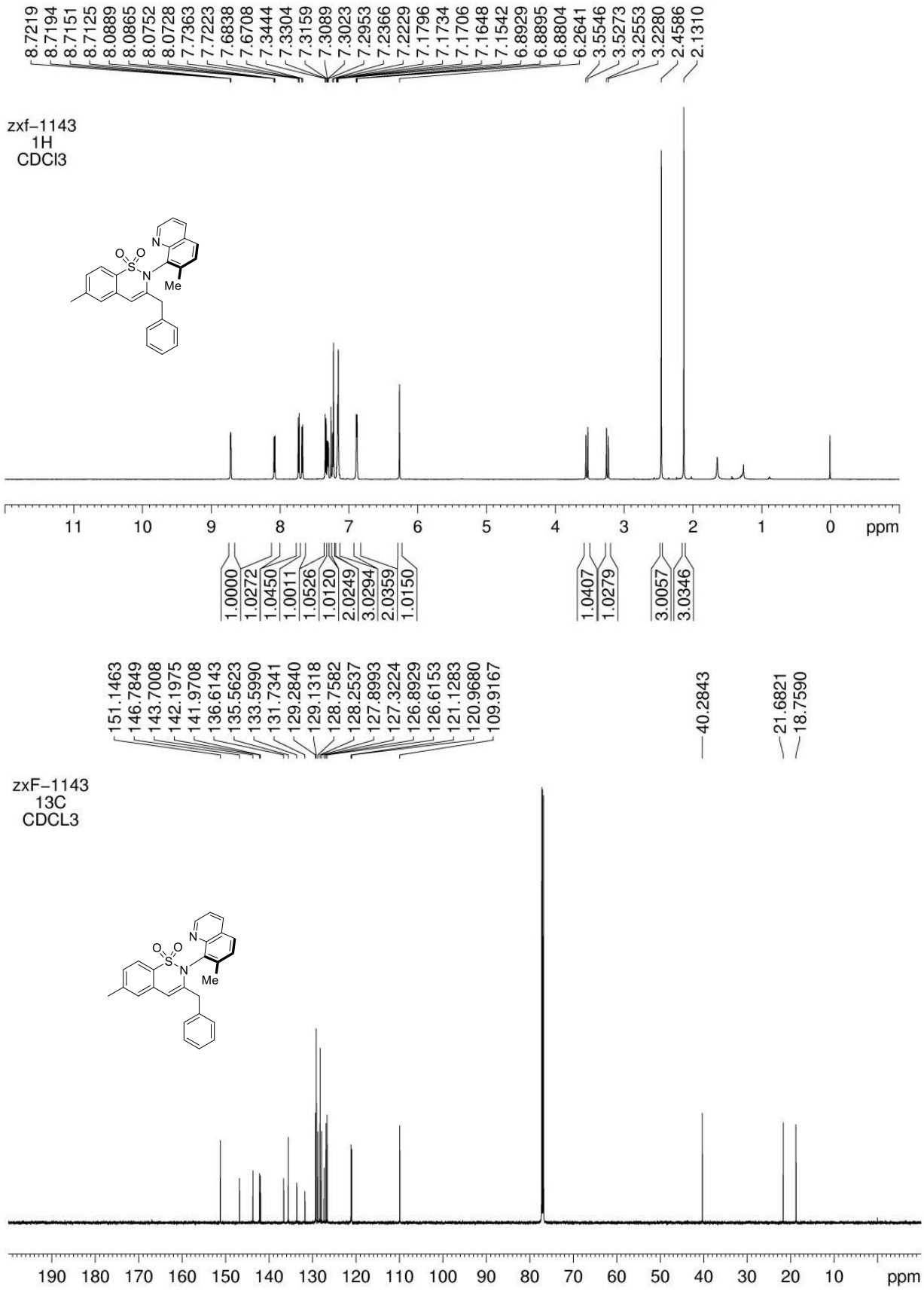
129.1237
128.3348
127.4533
127.1678
121.0859
111.5800
81.2675
40.6570
27.7347
21.6811
19.0136
zxf−1216
13C
CDCL3
Me
CO2tBu
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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7.6838
7.6708
7.3444
7.3304
7.3159
7.3089
7.3023
7.2953
7.2366
7.2229
7.1796
7.1734
7.1706
7.1648
7.1542
6.8929
6.8895
6.8804
6.2641
3.5546
3.5273
3.2553
3.2280
2.4586
2.1310
zxf-1143
1H
CDCl3
Me
11 10 9 8 7 6 5 4 3 2 1 0 ppm
1.0000
1.0272
1.0450
1.0011
1.0526
1.0120
2.0249
3.0294
2.0359
1.0150
1.0407
1.0279
3.0057
3.0346
151.1463
146.7849
143.7008
142.1975
141.9708
136.6143
135.5623
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131.7341
129.2840
129.1318
128.7582
128.2537
127.8993
127.3224
126.8929
126.6153
121.1283
120.9680
109.9167
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18.7590
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CDCL3
Me
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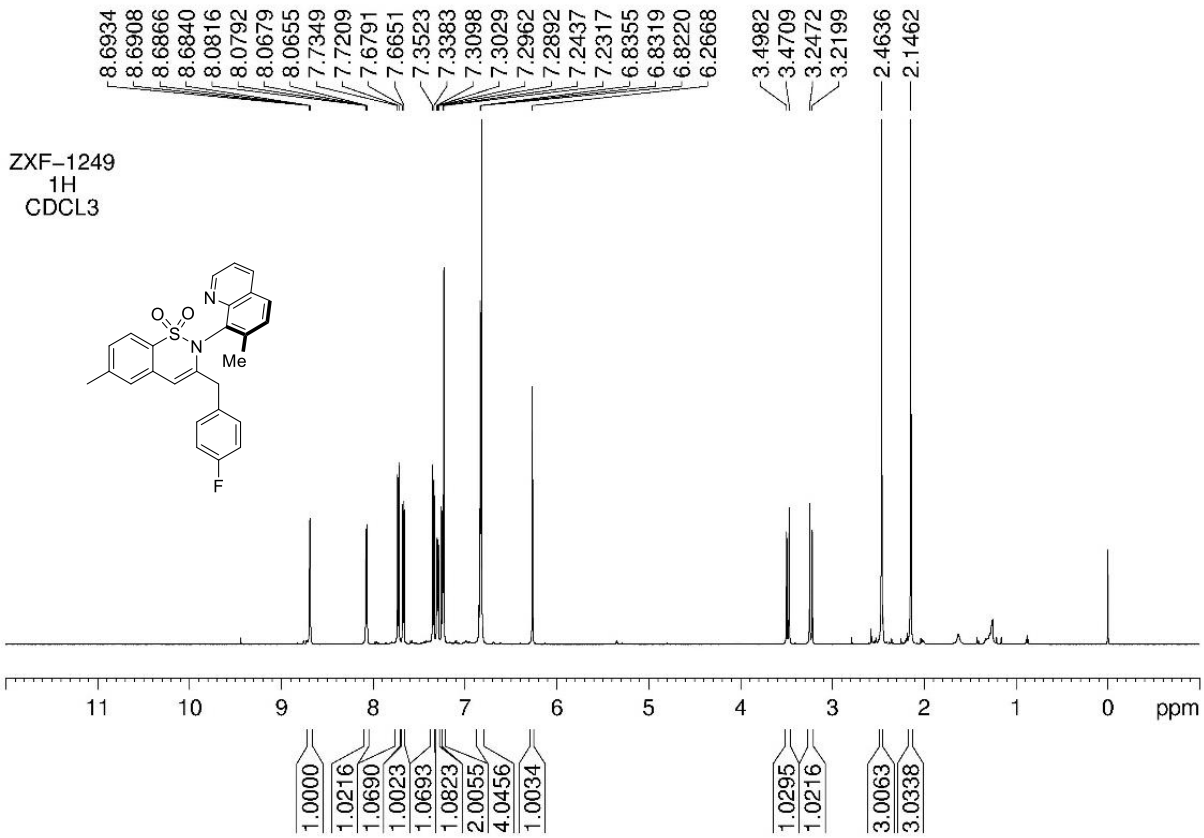
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8.0816
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8.0679
8.0655
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7.7209
7.6791
7.6651
7.3523
7.3383
7.3098
7.3029
7.2962
7.2892
7.2437
7.2317
6.8355
6.8319
6.8220
6.2668
3.4982
3.4709
3.2472
3.2199
2.4636
2.1462
ZXF-1249
1H
CDCL3
Me
F
11
10
9
8
7
6
5
4
3
2
1
0
ppm
1.0000
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1.0823
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1.0295
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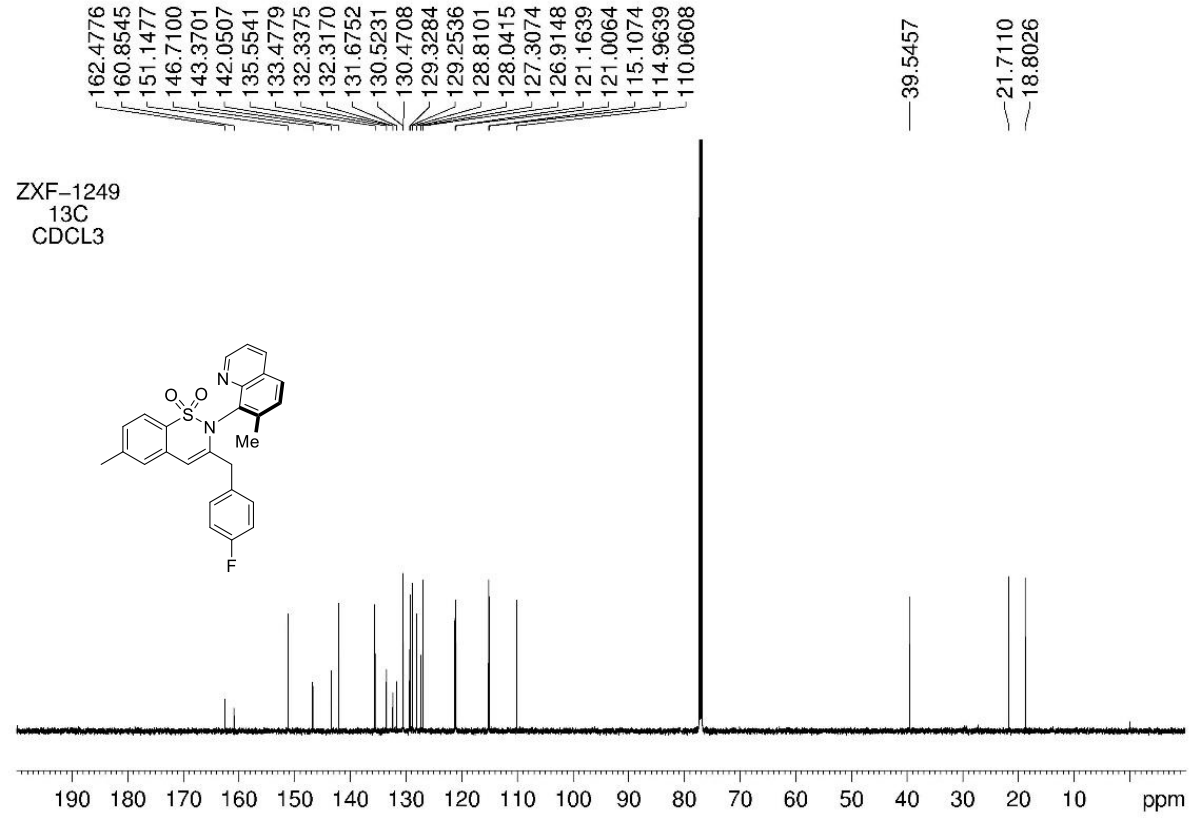
3.0338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132.3375
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131.6752
130.5231
130.4708
129.3284
129.2536
128.8101
128.0415
127.3074
126.9148
121.1639
121.0064
115.1074
114.9639
110.0608
39.5457
21.7110
18.8026
ZXF-1249
13C
CDCL3
Me
F
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180
170
160
150
140
130
120
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90
80
70
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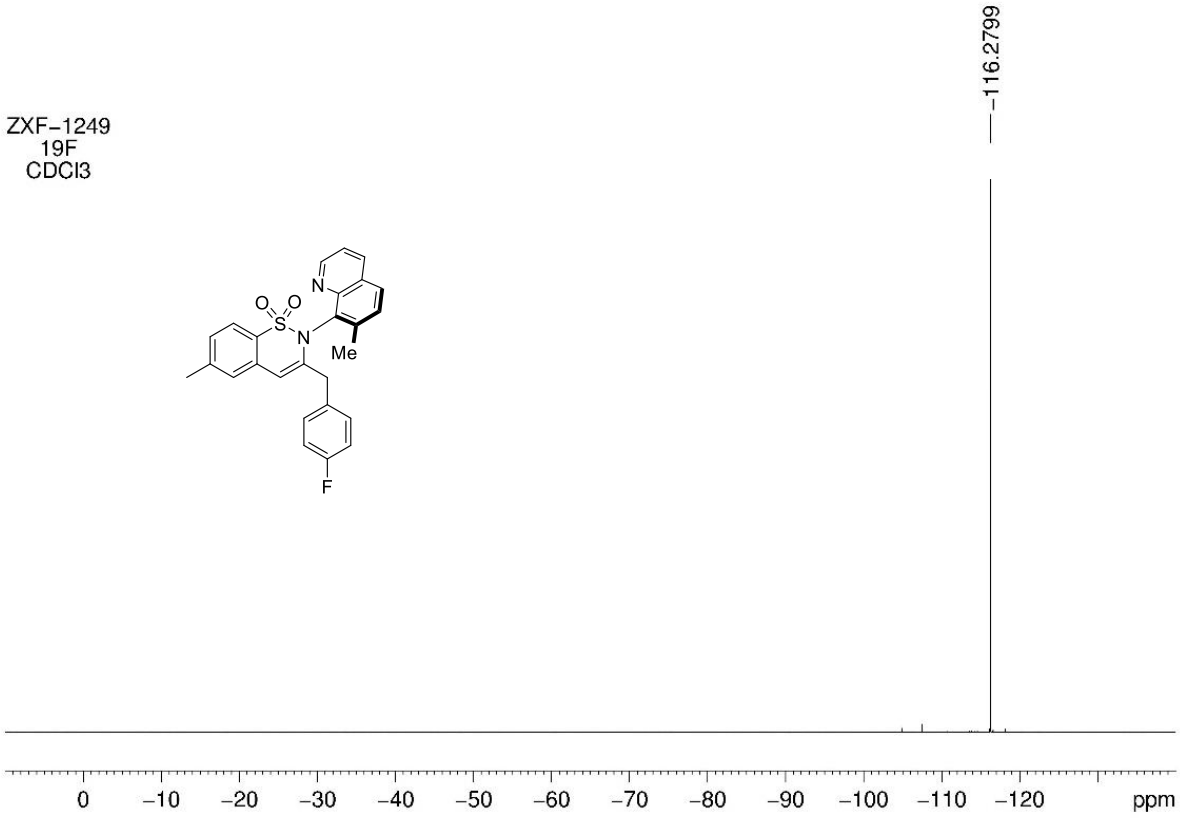
50
40
30
20
10
ppm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O
S
N
N
Me
F
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-40
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-60
-70
-80
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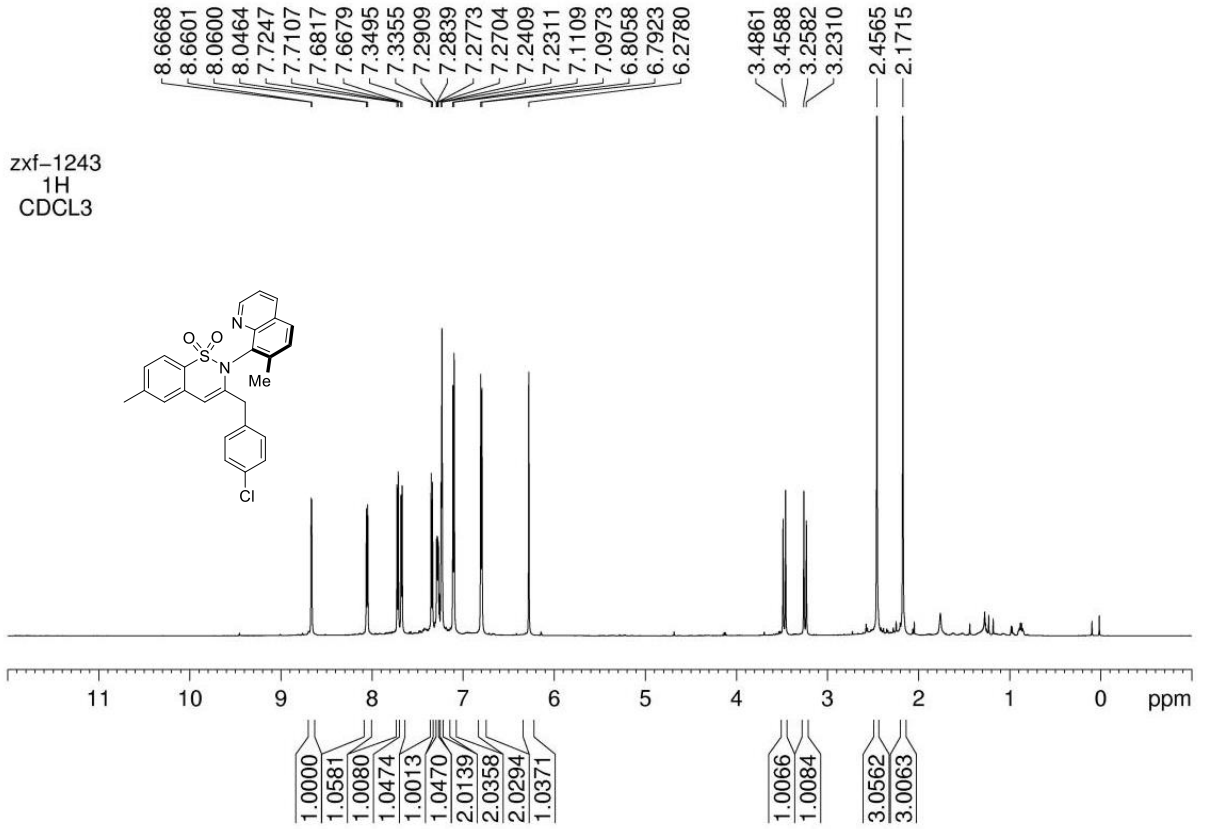
-100
-110
-120
ppm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7.3355
7.2909
7.2839
7.2773
7.2704
7.2409
7.2311
7.1109
7.0973
6.8058
6.7923
6.2780
3.4861
3.4588
3.2582
3.2310
2.4565
2.1715
zxf-1243
1H
CDCL3
N
O
O
S
N
Me
Cl
11
10
9
8
7
6
5
4
3
2
1
0
ppm
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1.0581
1.0080
1.0474
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1.0066
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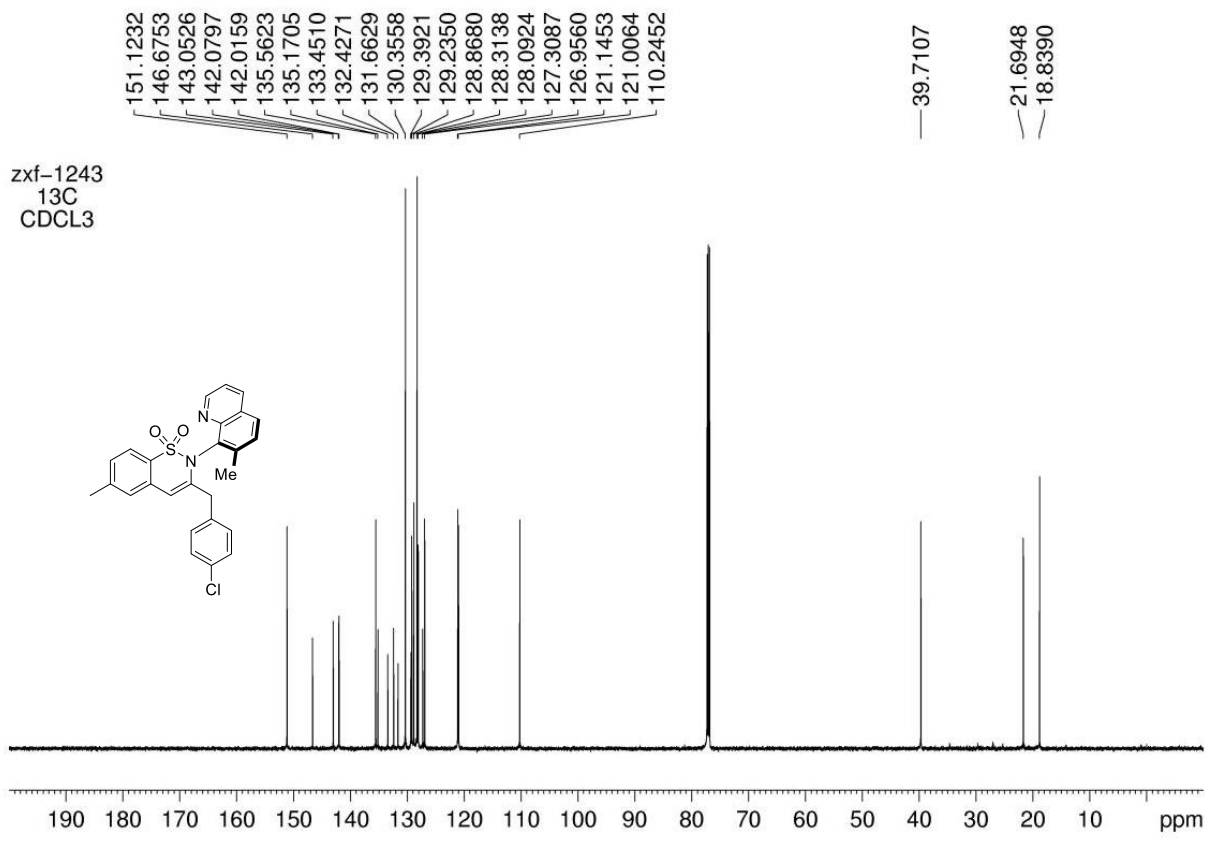
3.0562
3.0063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130.3558
129.3921
129.2350
128.8680
128.3138
128.0924
127.3087
126.9560
121.1453
121.0064
110.2452
39.7107
21.6948
18.8390
zxf-1243
13C
CDCL3
N
O
O
S
N
Me
Cl
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180
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150
140
130
120
110
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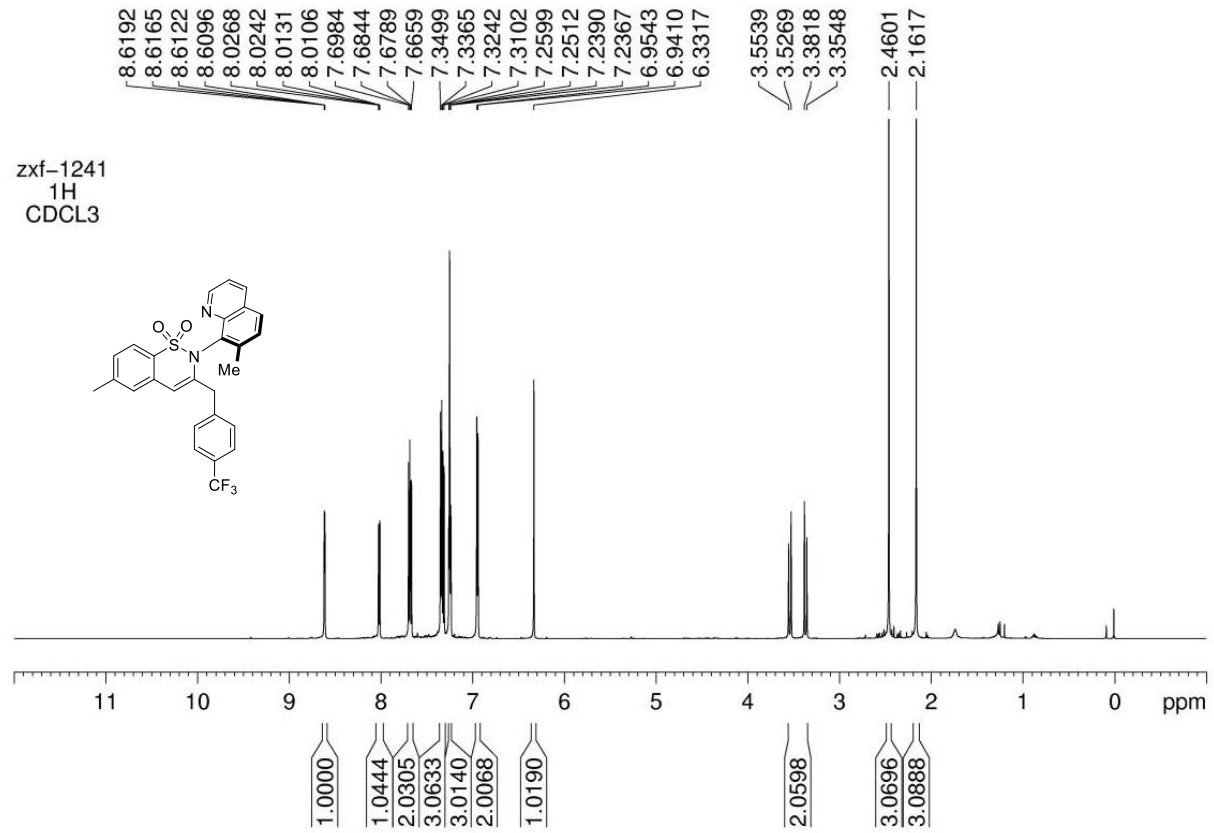
90
80
70
60
50
40
30
20
10
ppm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8.0131
8.0106
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7.6789
7.6659
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7.3365
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7.3102
7.2599
7.2512
7.2390
7.2367
6.9543
6.9410
6.3317
3.5539
3.5269
3.3818
3.3548
2.4601
2.1617
zxf−1241
1H
CDCL3
Me
CF3
11
10
9
8
7
6
5
4
3
2
1
0
ppm
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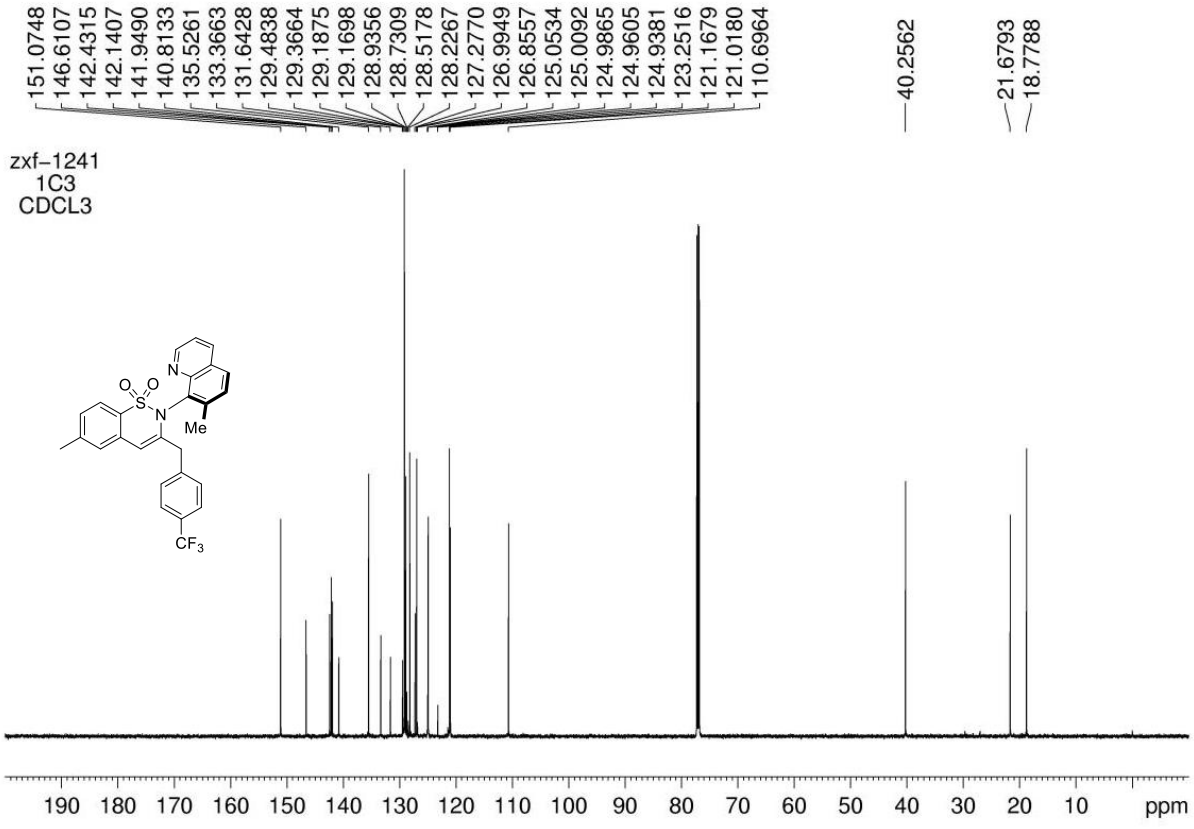
1.0190
2.0598
3.0696
3.0888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129.1875
129.1698
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128.5178
128.2267
127.2770
126.9949
126.8557
125.0534
125.0092
124.9865
124.9605
124.9381
123.2516
121.1679
121.0180
110.6964
40.2562
21.6793
18.7788
zxf−1241
1C3
CDCL3
Me
CF3
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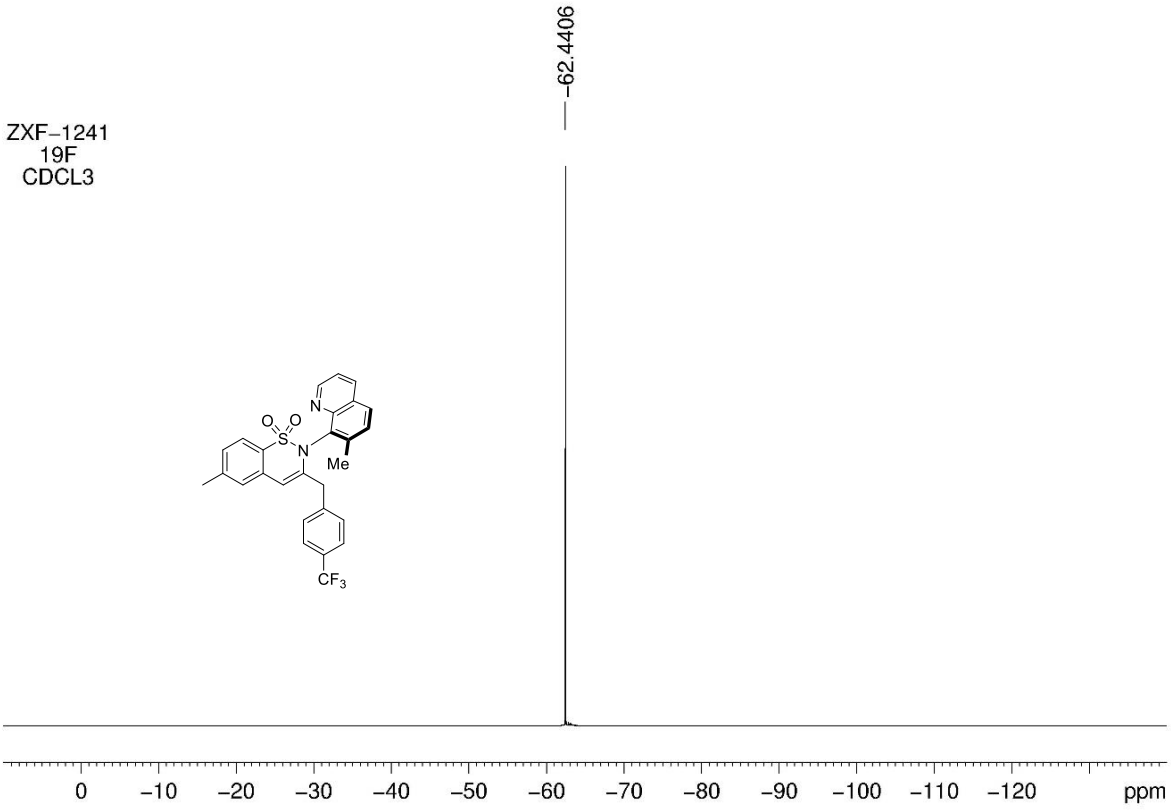
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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O
O
S
N
Me
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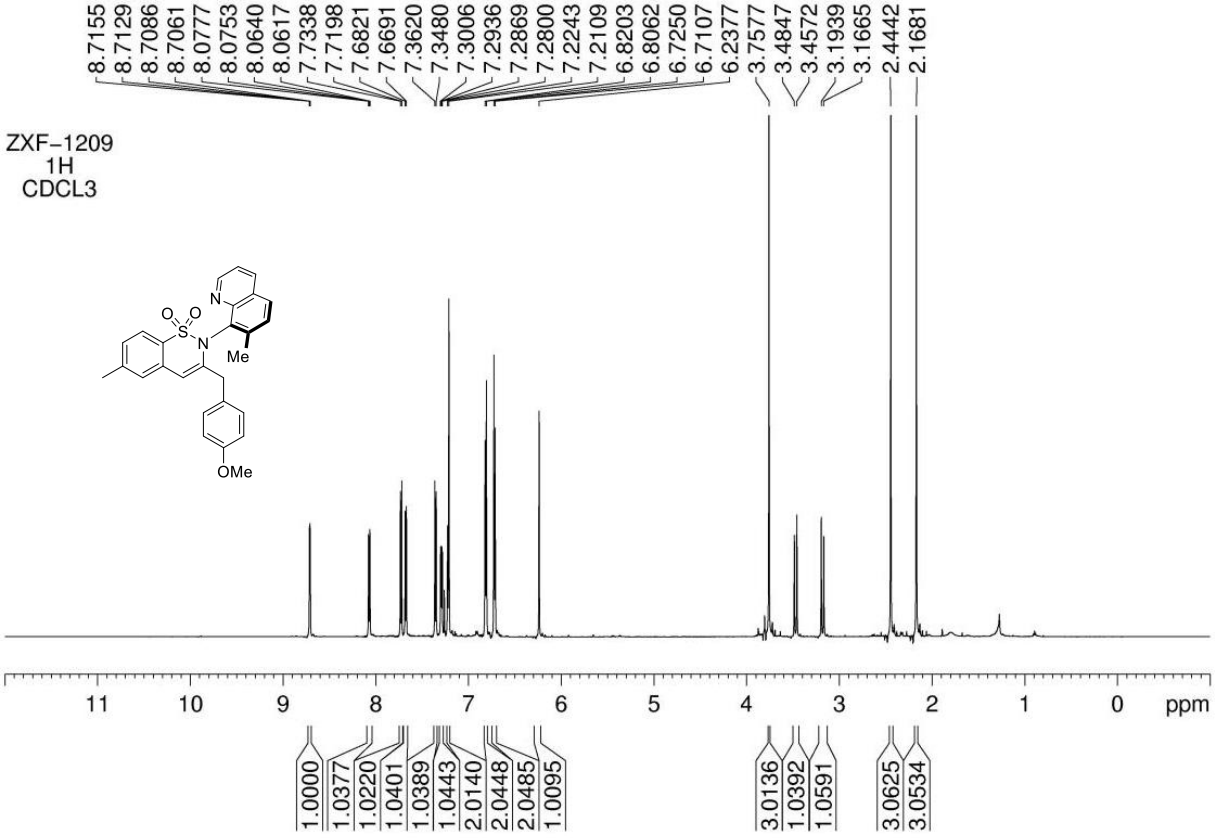
-120
ppm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8.0777
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7.6821
7.6691
7.3620
7.3480
7.3006
7.2936
7.2869
7.2800
7.2243
7.2109
6.8203
6.8062
6.7250
6.7107
6.2377
3.7577
3.4847
3.4572
3.1939
3.1665
2.4442
2.1681
ZXF−1209
1H
CDCL3
Me
OMe
11 10 9 8 7 6 5 4 3 2 1 0 ppm
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1.0377
1.0220
1.0401
1.0389
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2.0448
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1.0392
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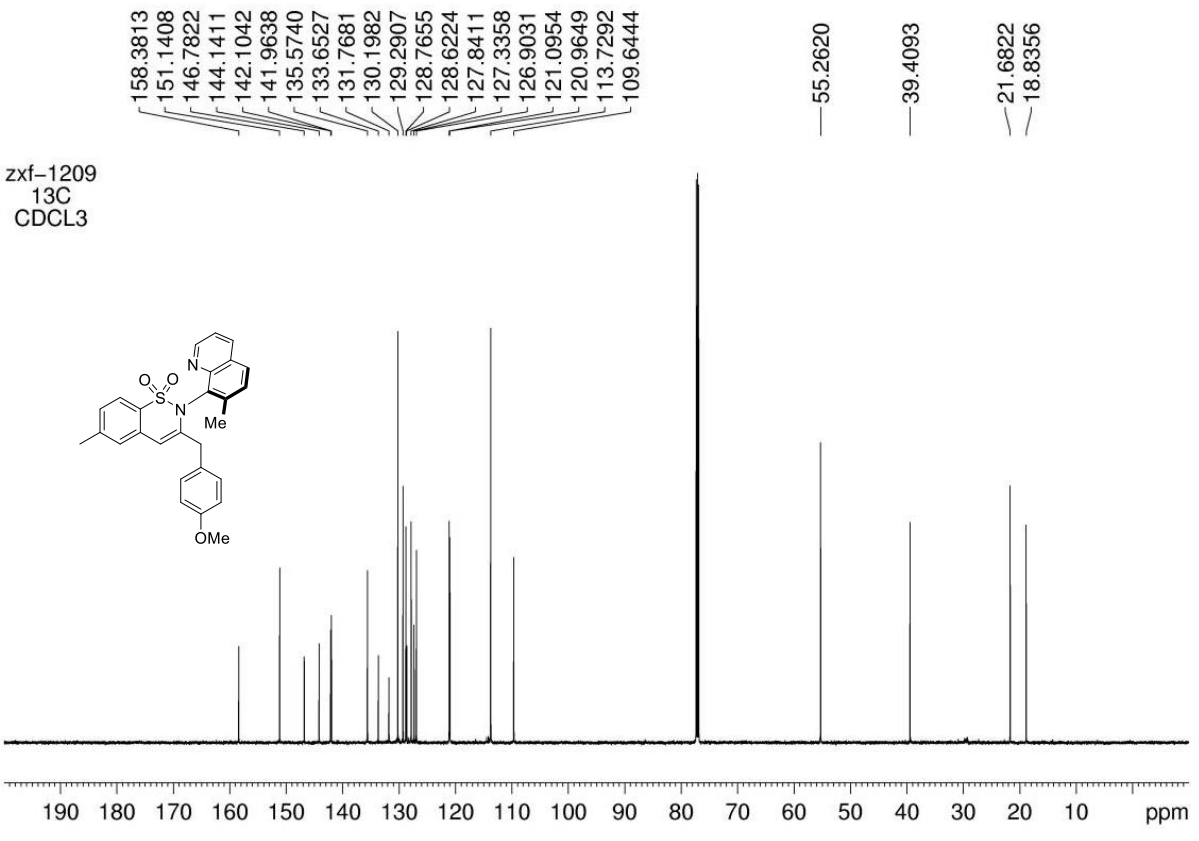
3.0625
3.0534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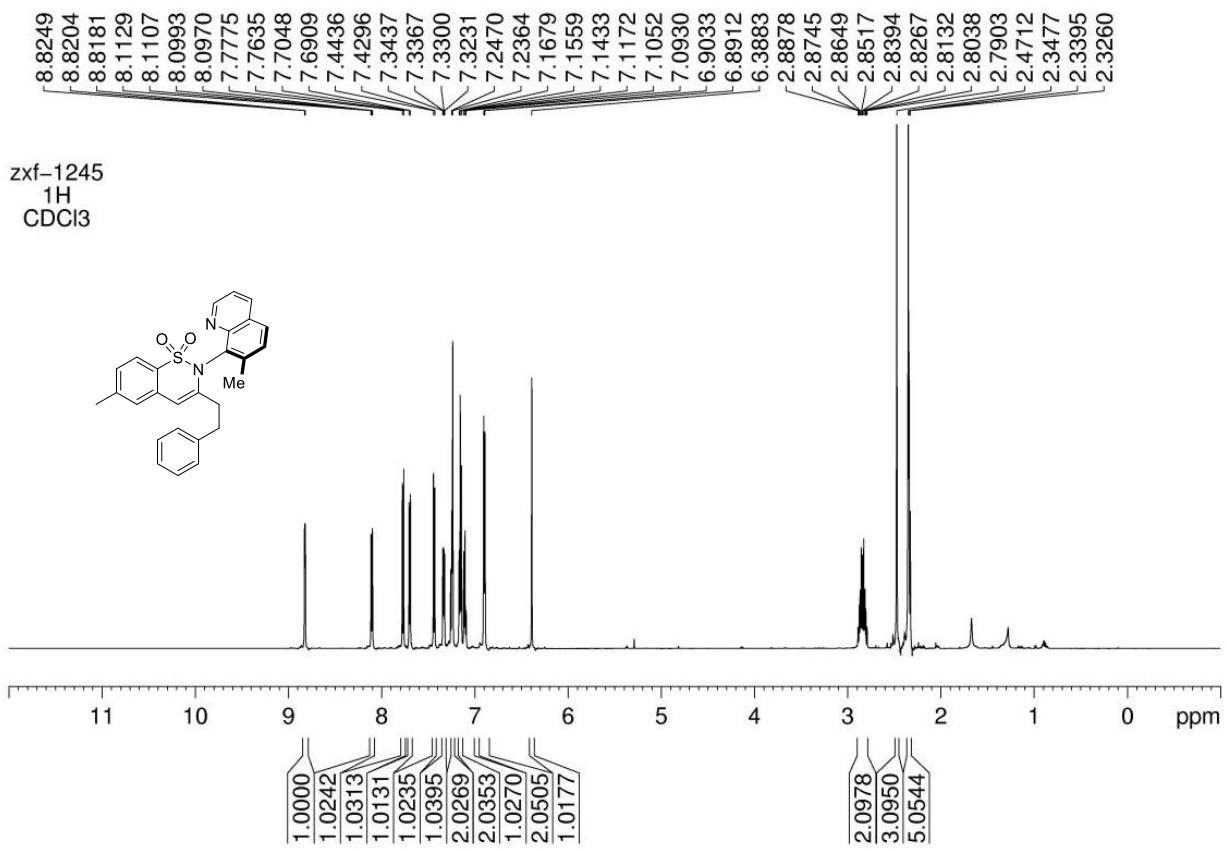
129.2907
128.7655
128.6224
127.8411
127.3358
126.9031
121.0954
120.9649
113.7292
109.6444
55.2620
39.4093
21.6822
18.8356
zxf−1209
13C
CDCL3
Me
OMe
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 ppm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zxf−1245
1H
CDCl3
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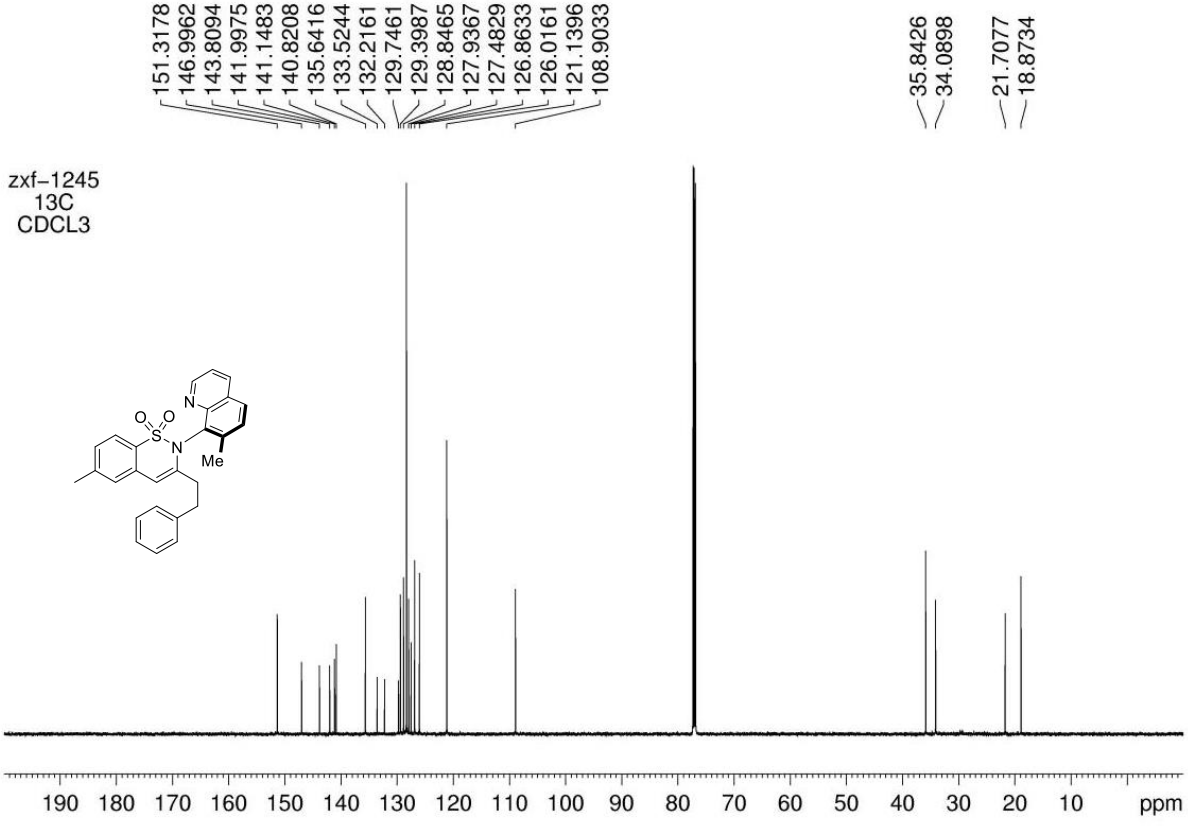
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CDCL3
Me
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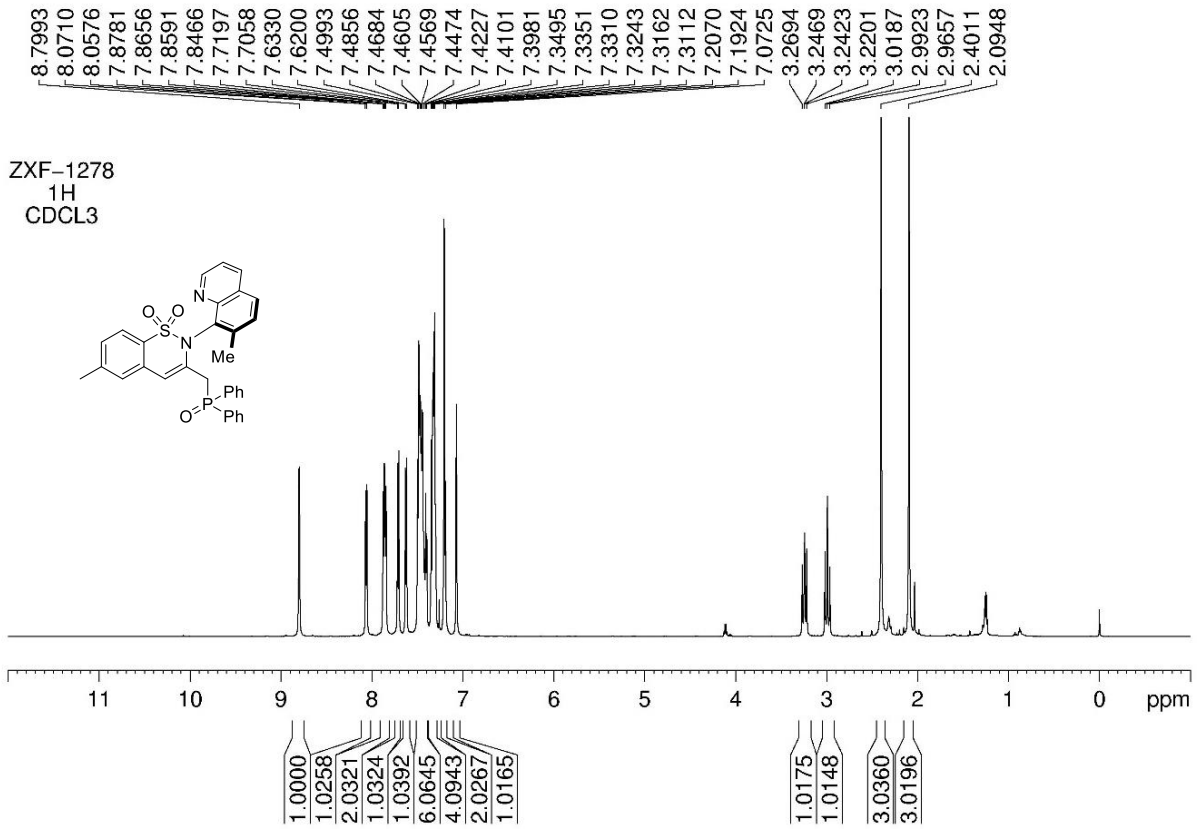
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ZXF-1278
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Ph
Ph
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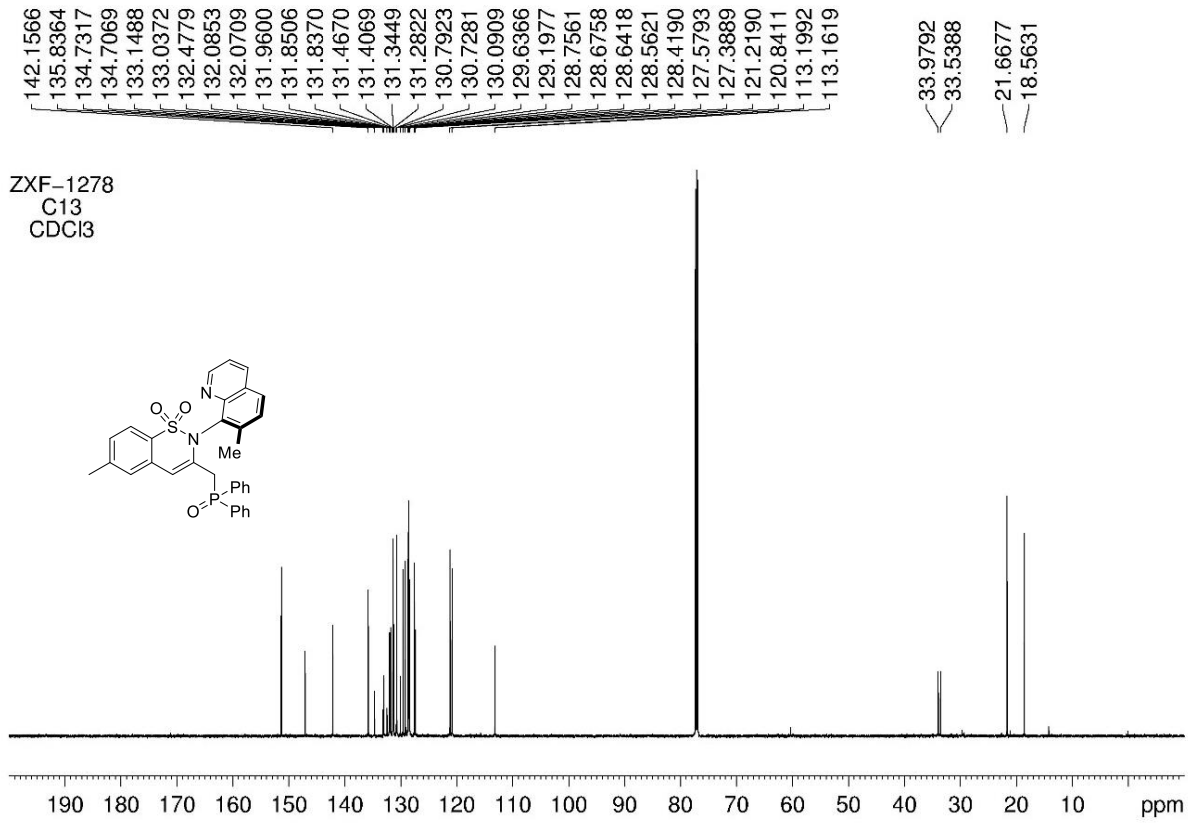
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ZXF-1278
C13
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Me
Ph
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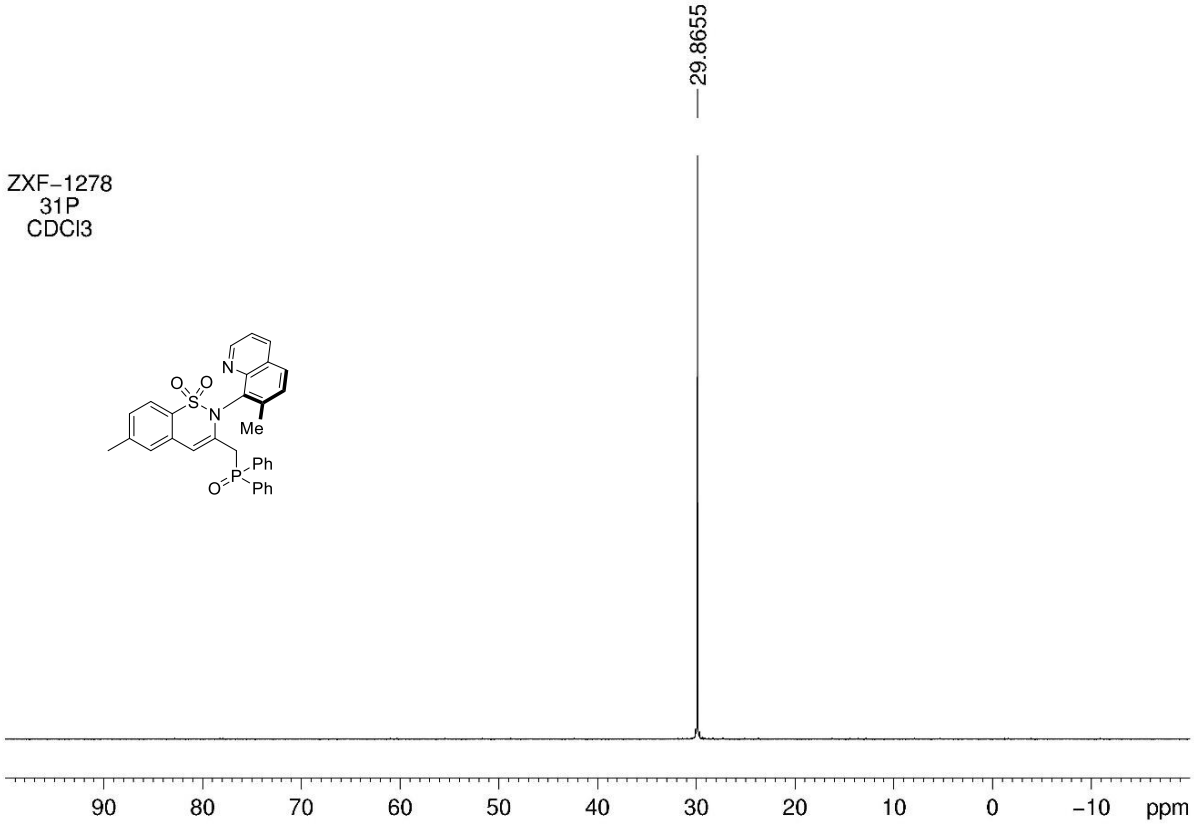
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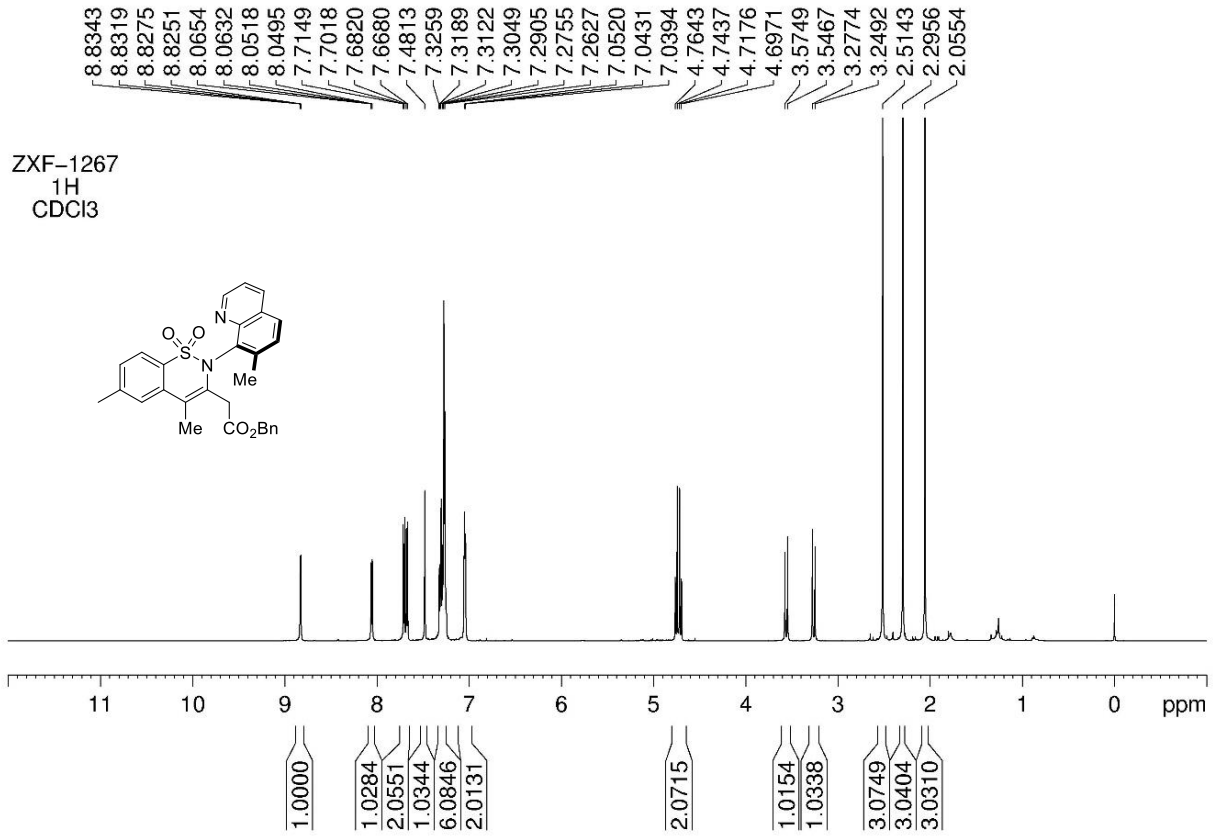
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7.3259
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7.2755
7.2627
7.0520
7.0431
7.0394
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3.2492
2.5143
2.2956
2.0554
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CDCl3
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O
S
N
Me
Me
CO2Bn
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10
9
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6
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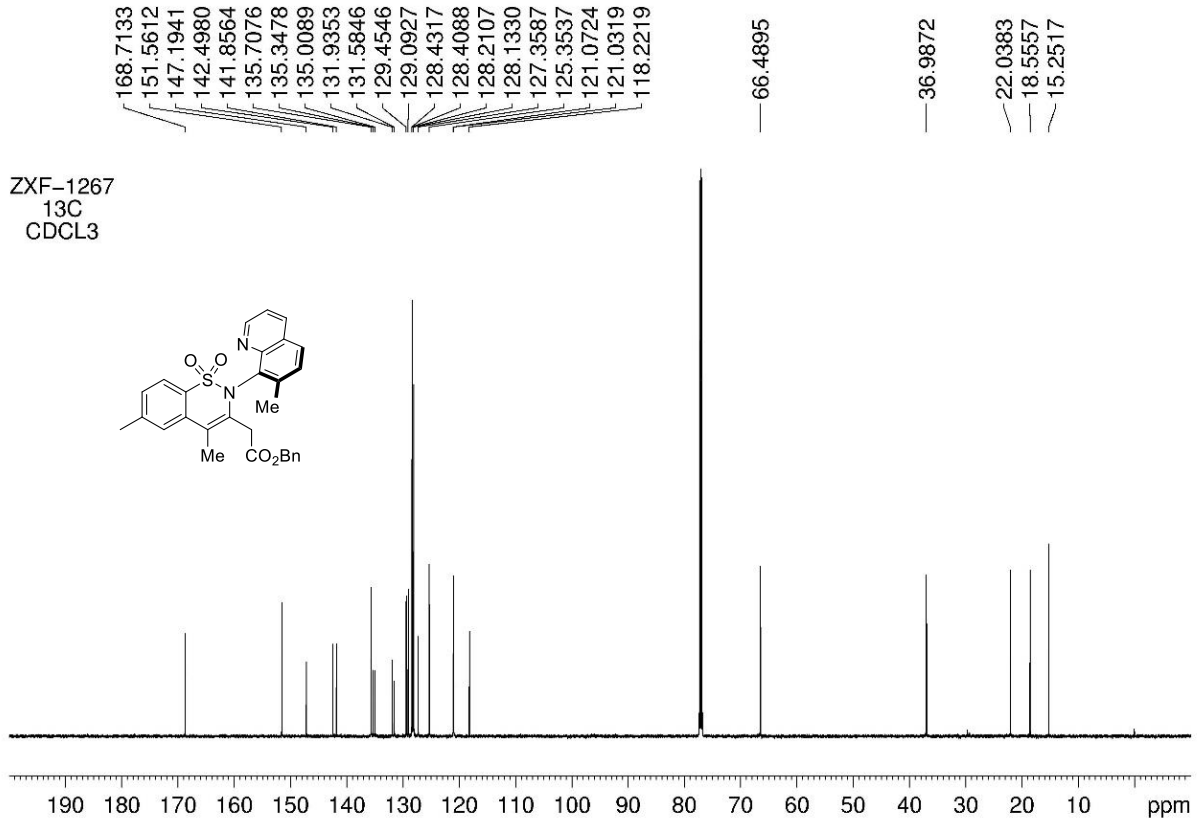
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125.3537
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121.0319
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66.4895
36.9872
22.0383
18.5557
15.2517
ZXF-1267
13C
CDCL3
N
O
O
S
N
Me
Me
CO2Bn
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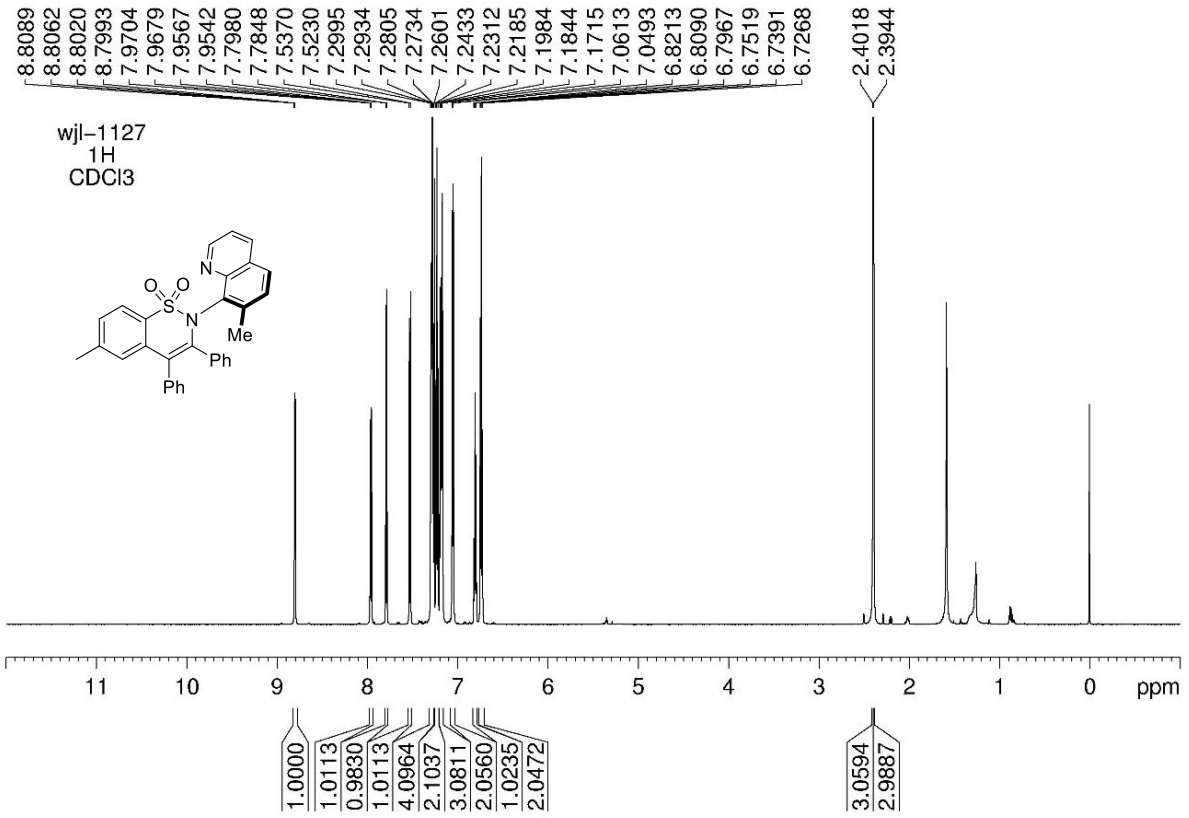
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7.2934
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wjl-1127
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CDCl3
Me
Ph
Ph
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6
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4
3
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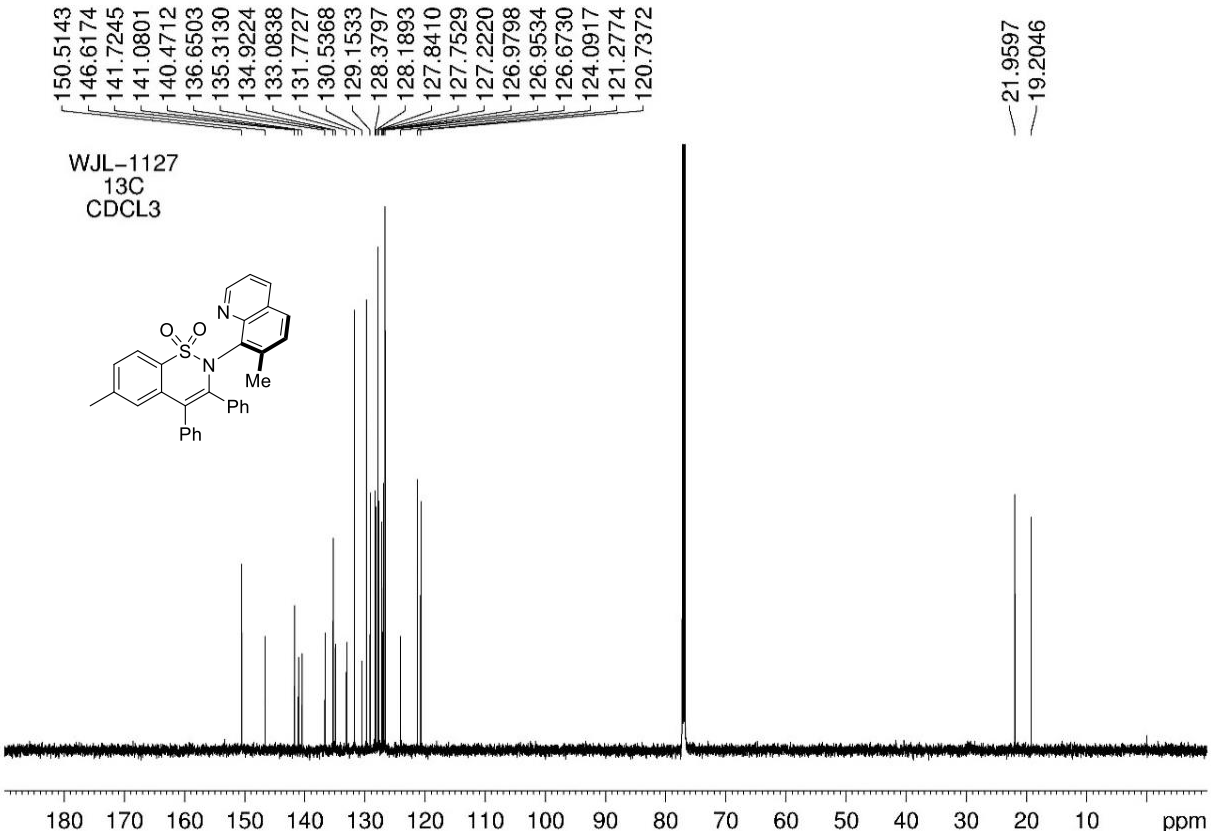
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WJL-1127
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CDCL3
Me
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150
140
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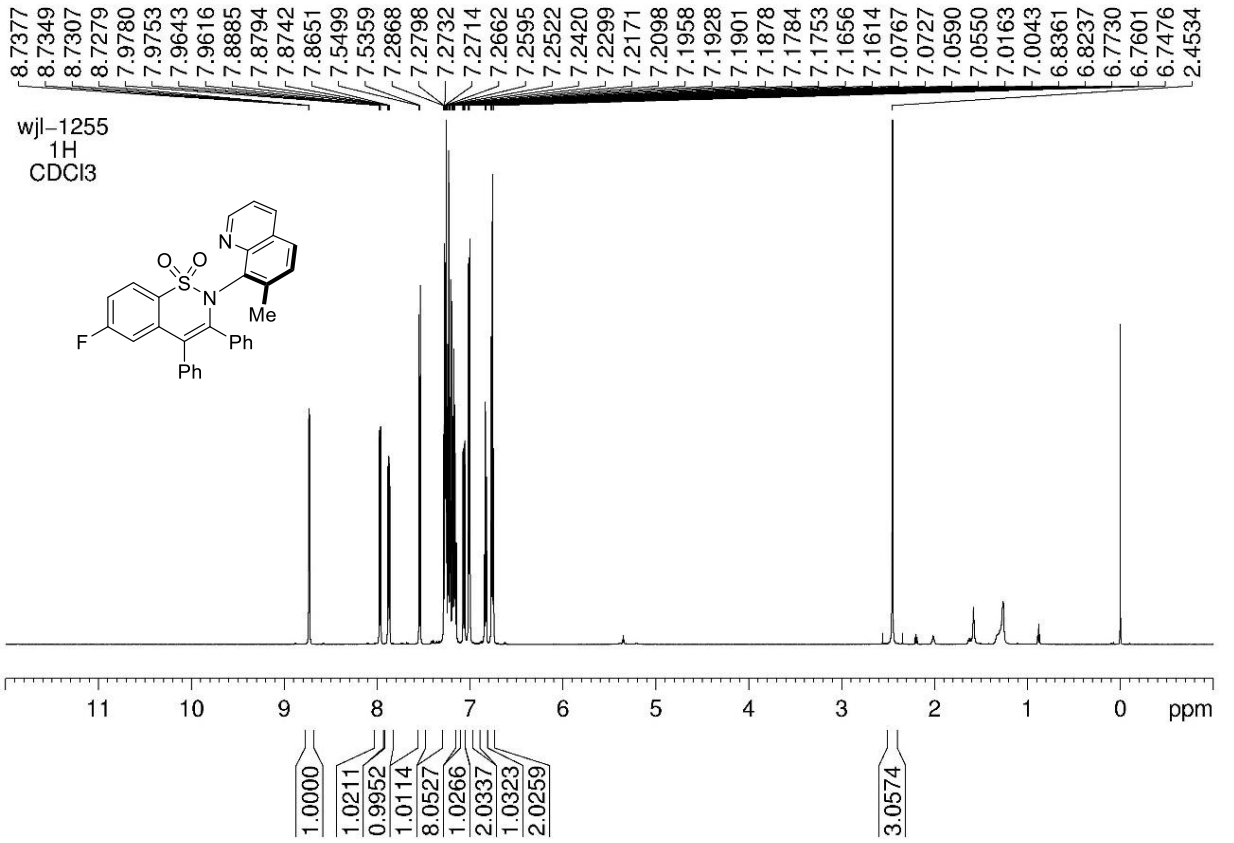
10
ppm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wjl-1255
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8
7
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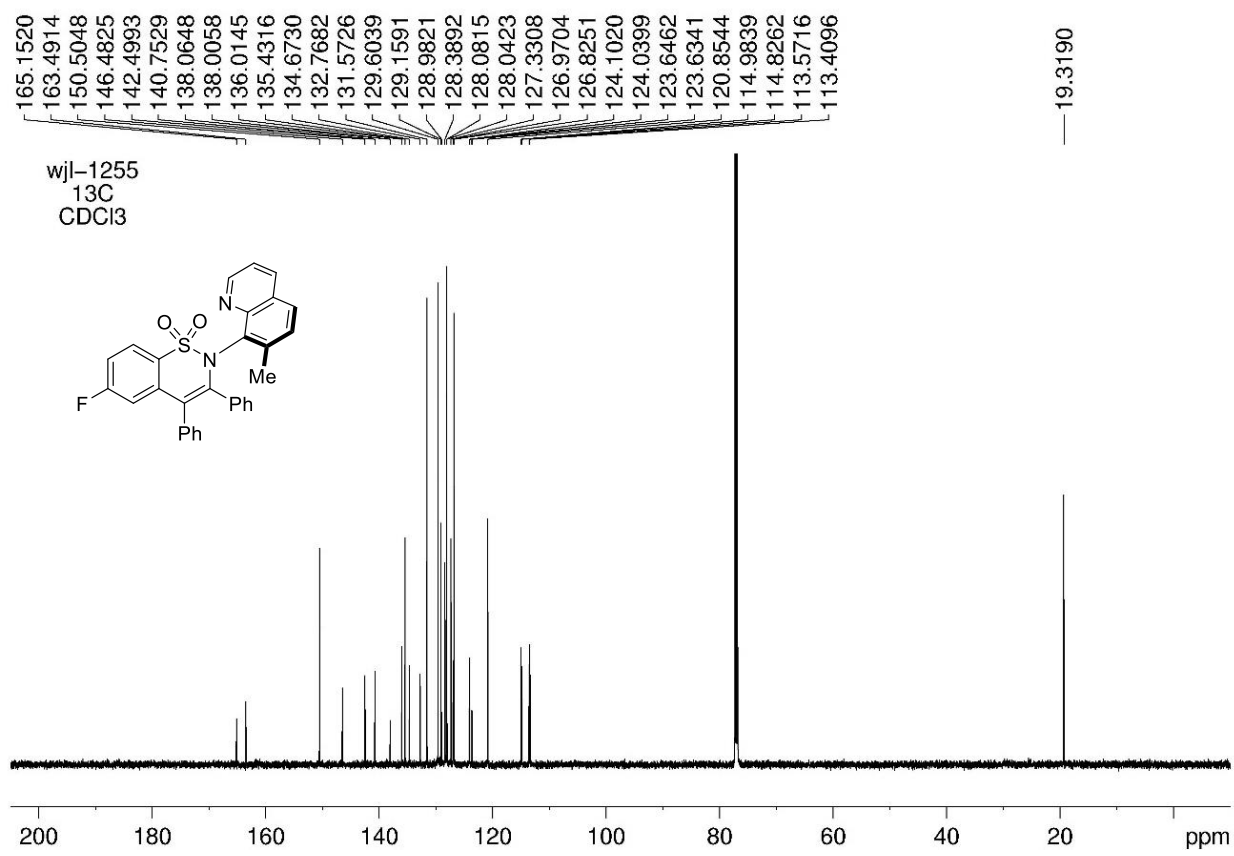
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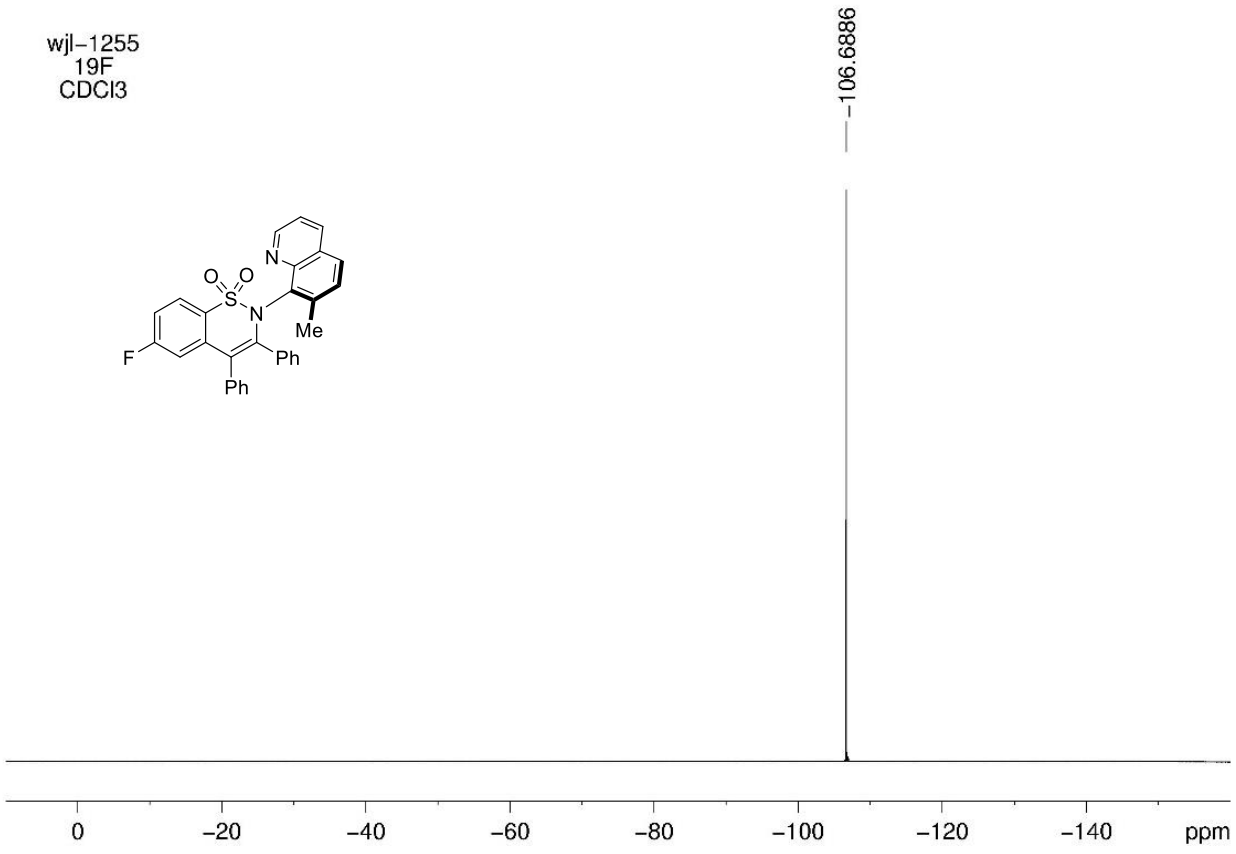
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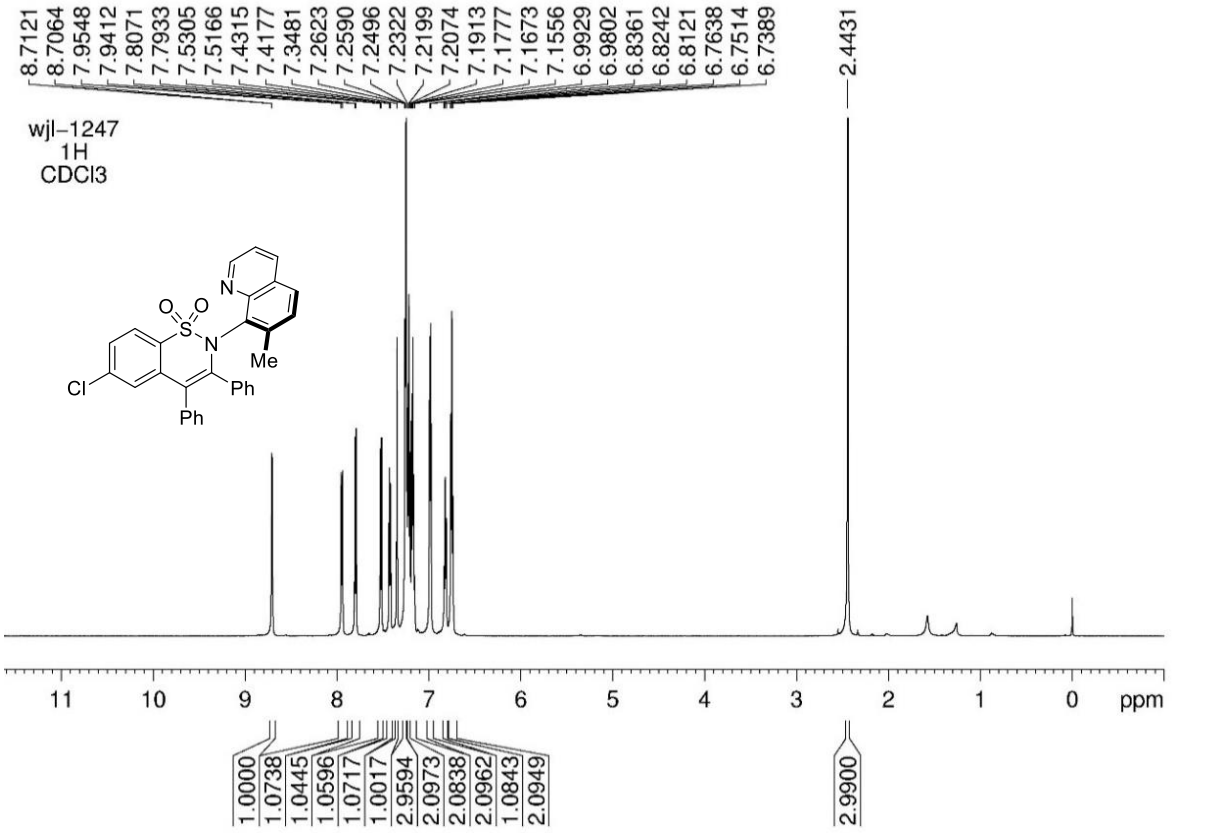
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7.2496
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7.1777
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6.9929
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6.8242
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6.7514
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Cl
Me
Ph
Ph
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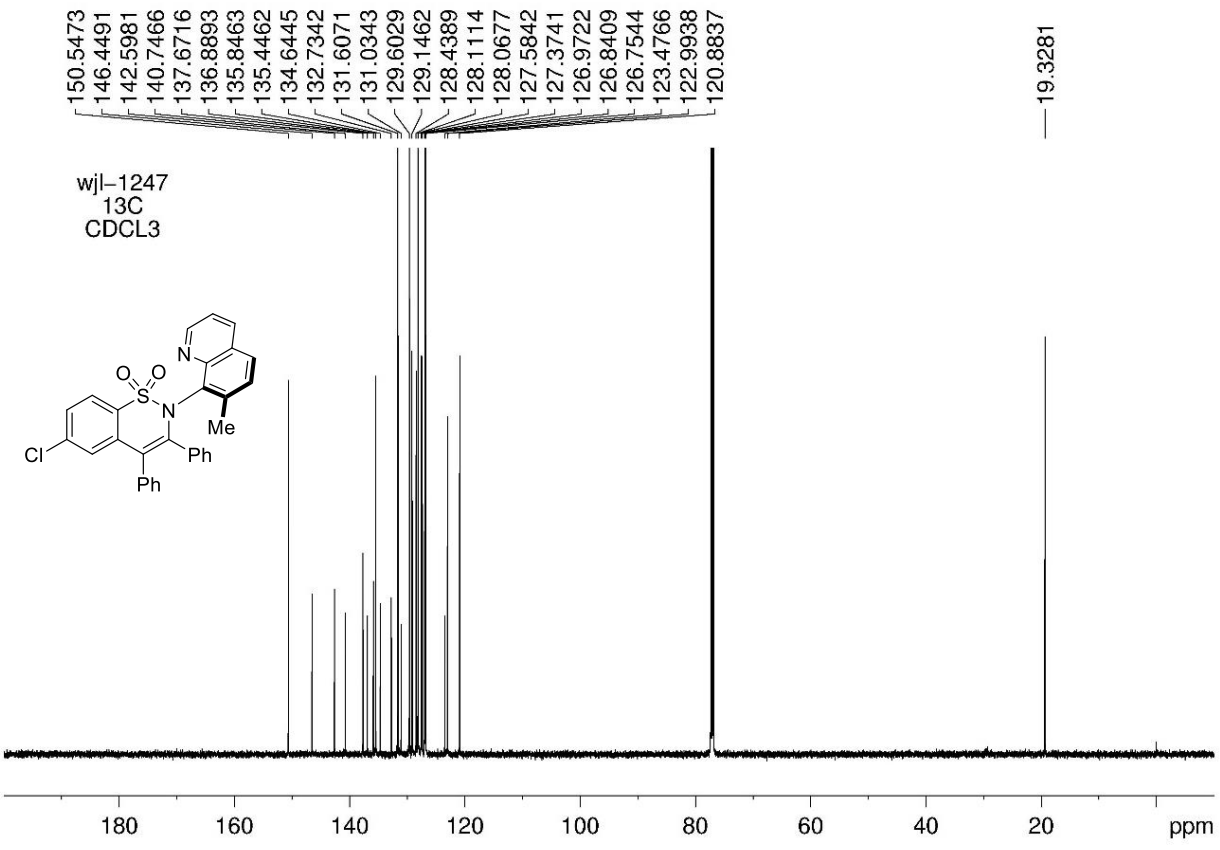
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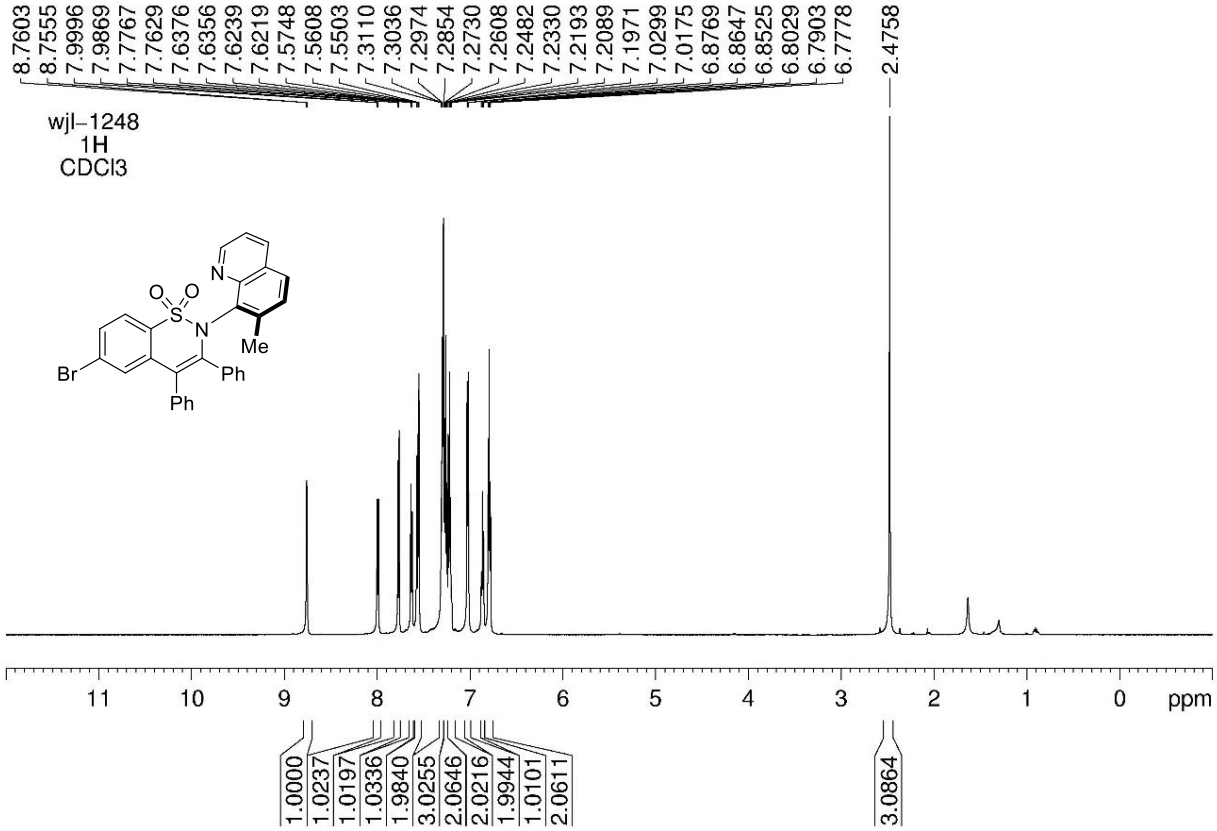
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Br
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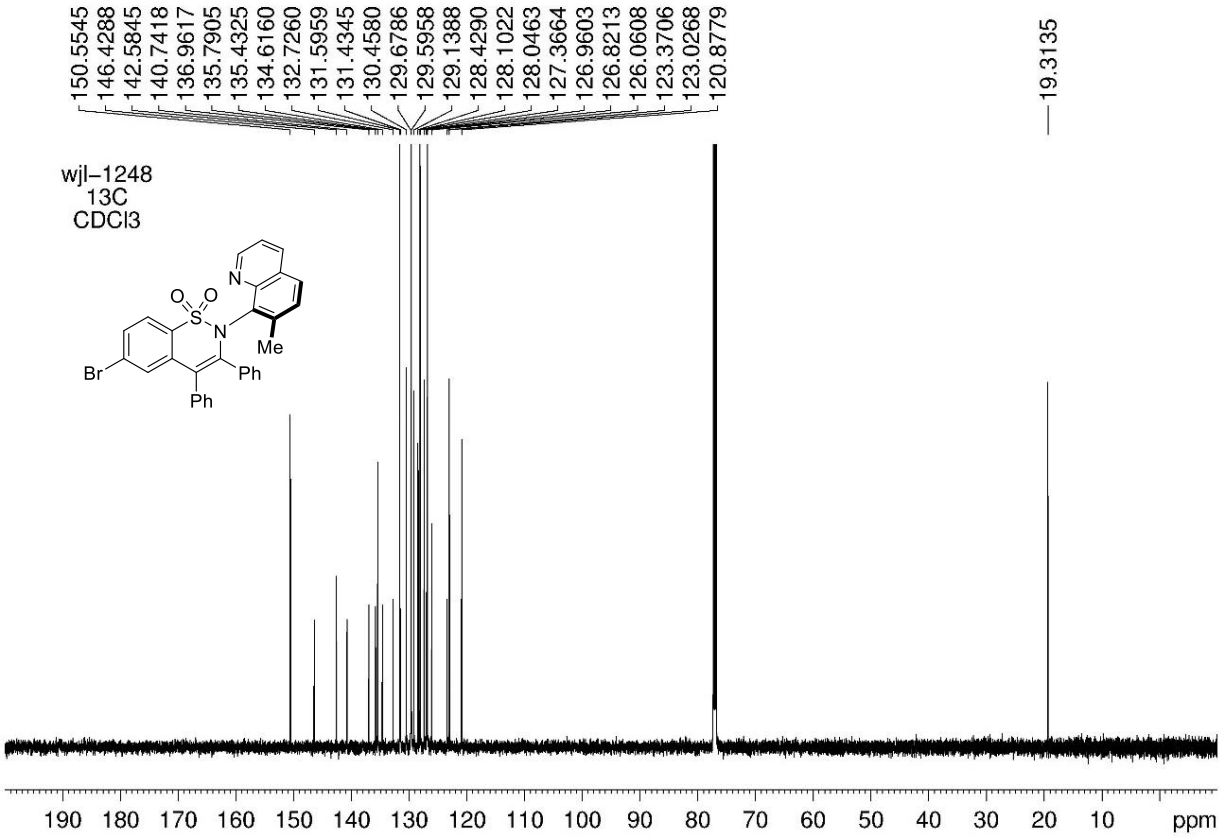
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S
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Me
Br
Ph
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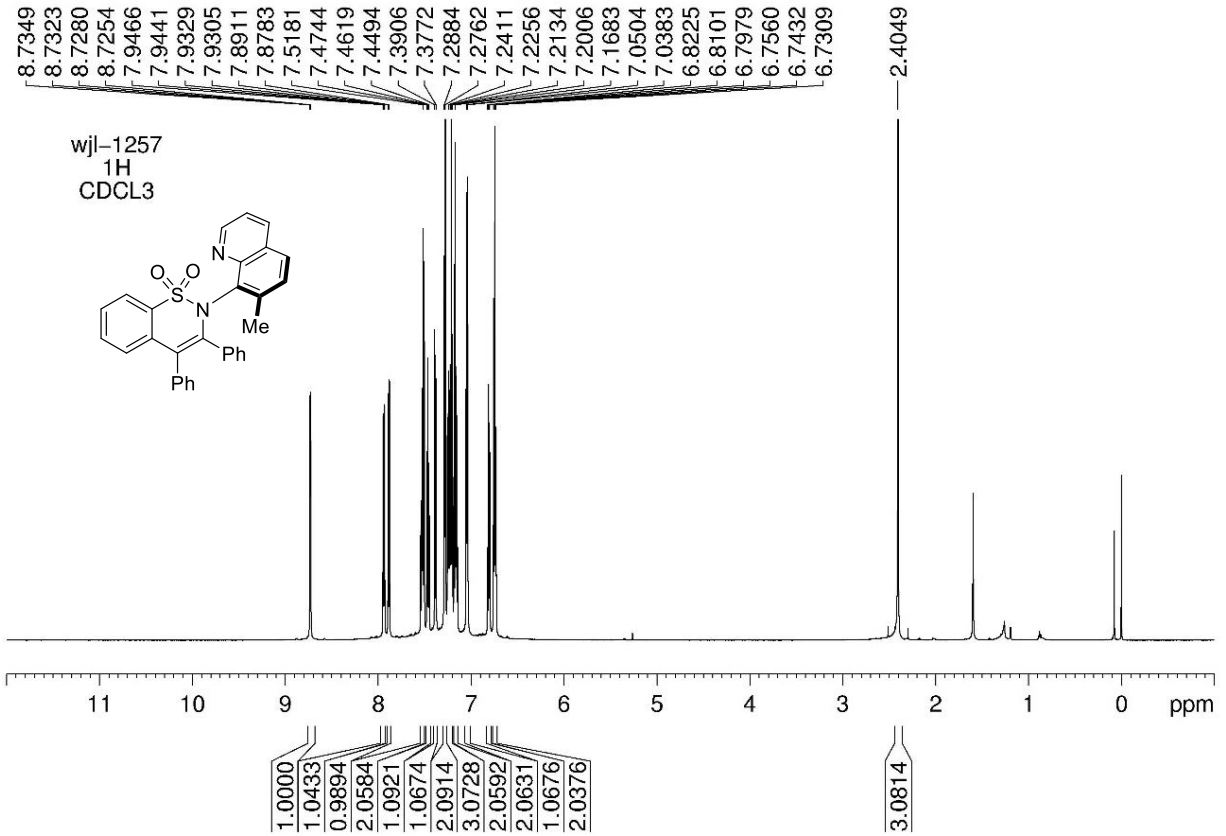
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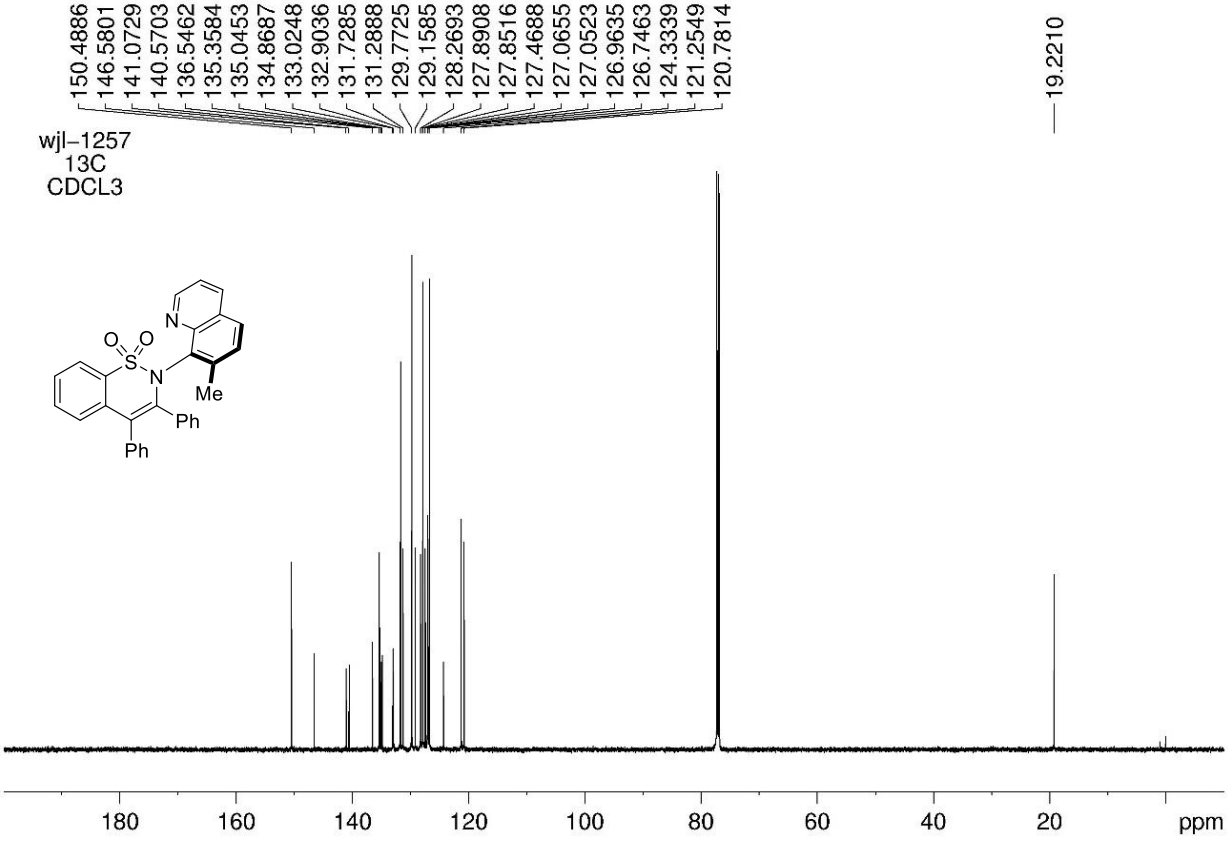
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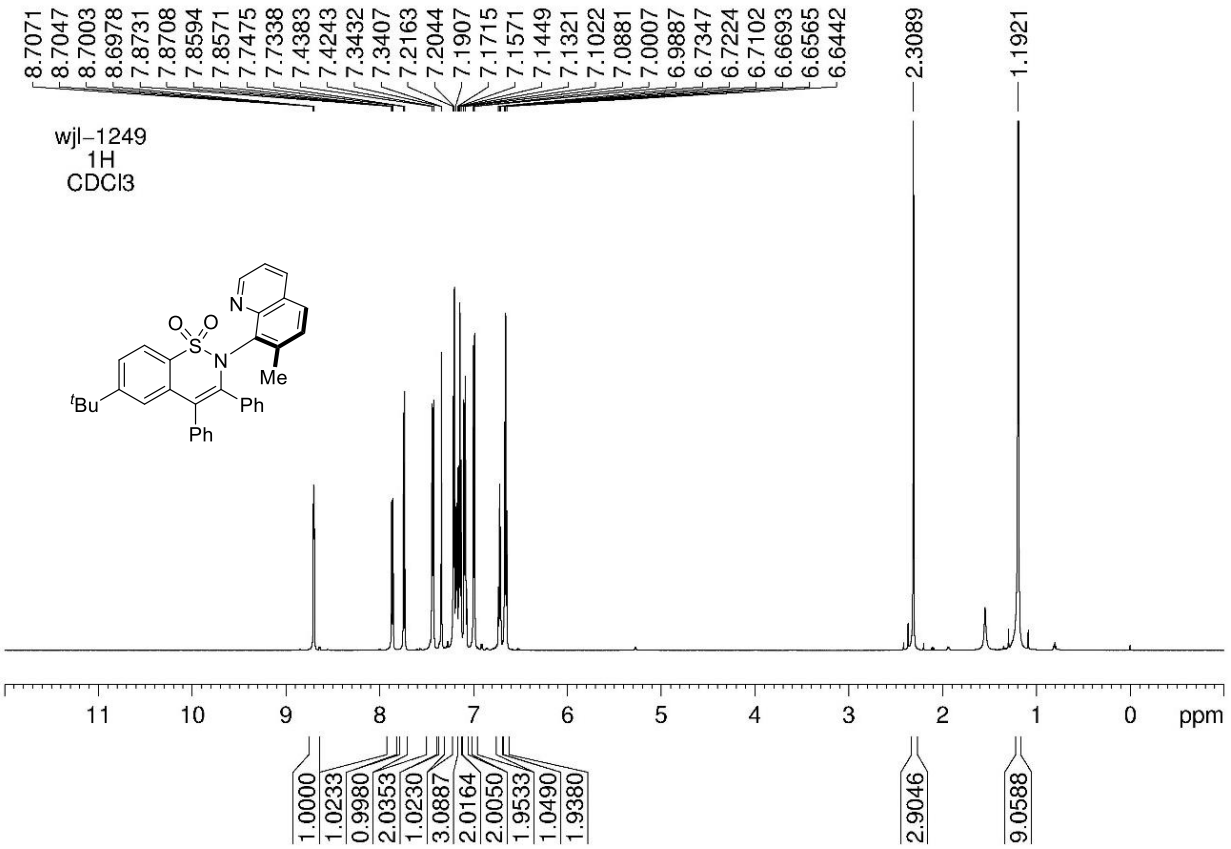
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S
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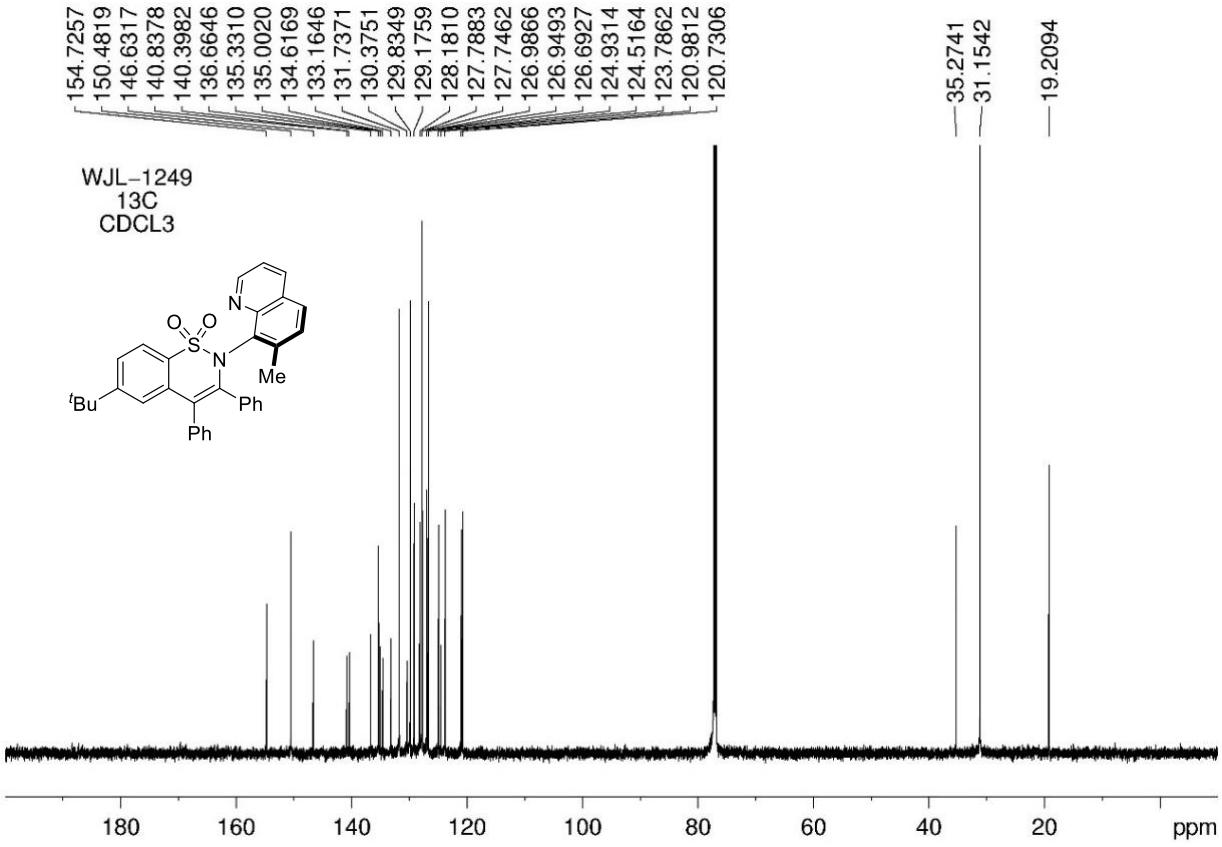
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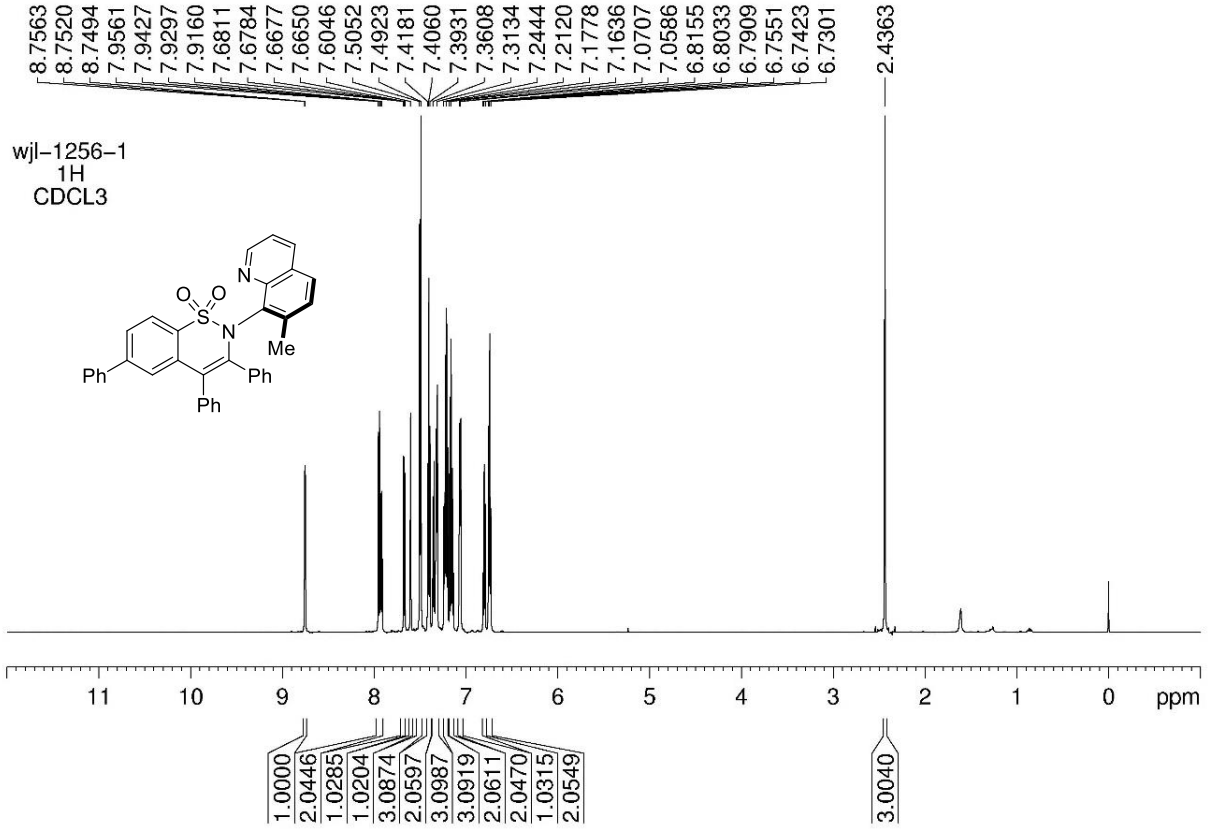
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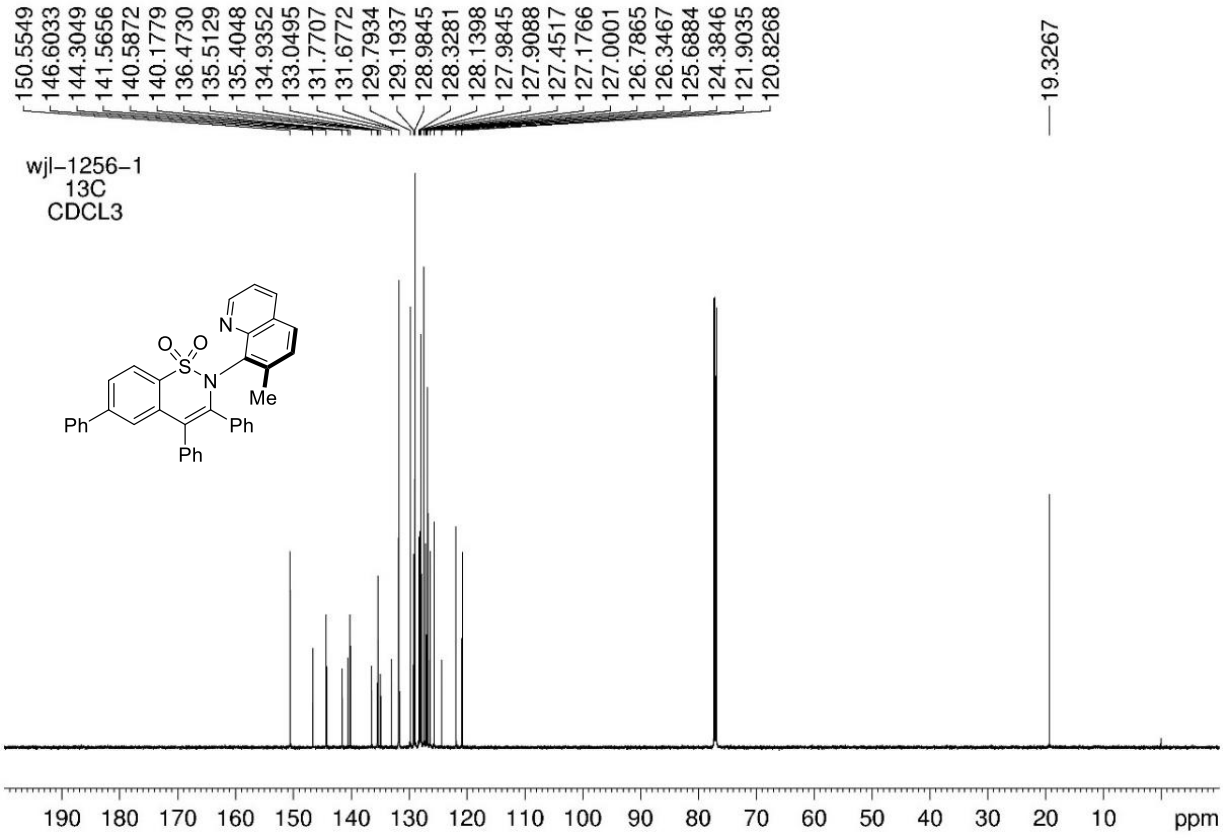
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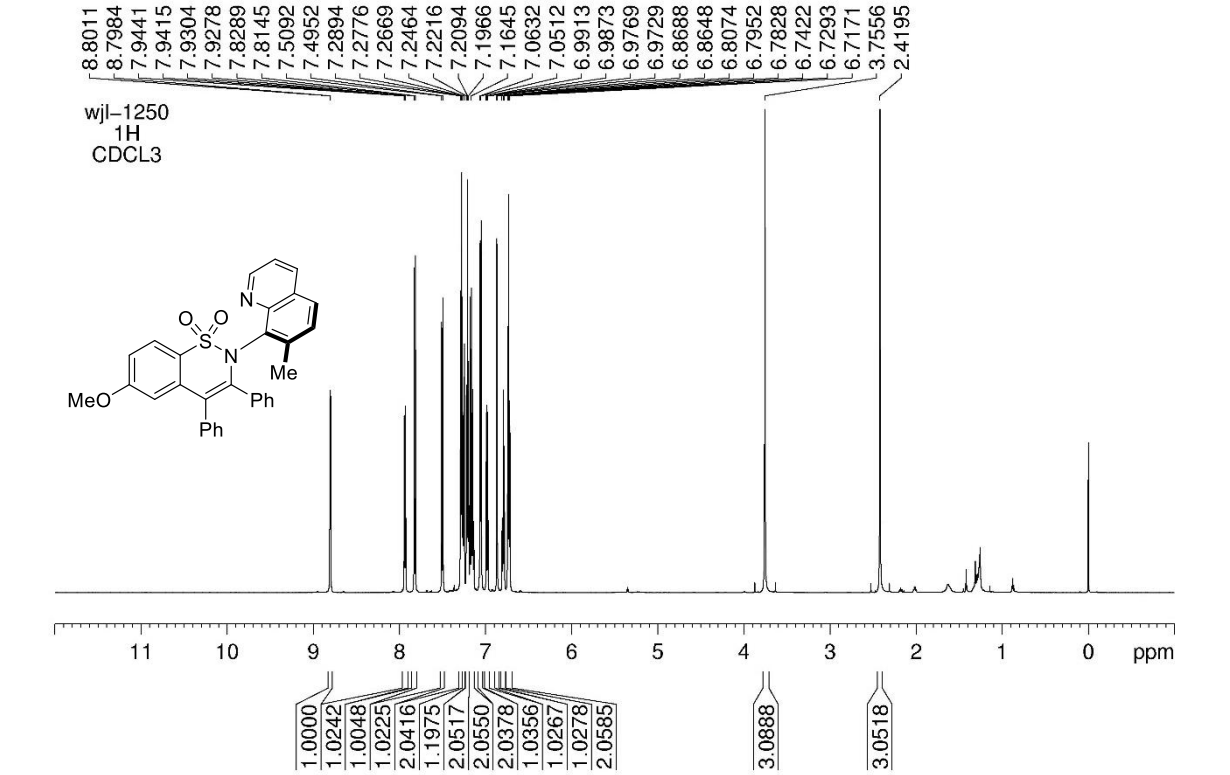
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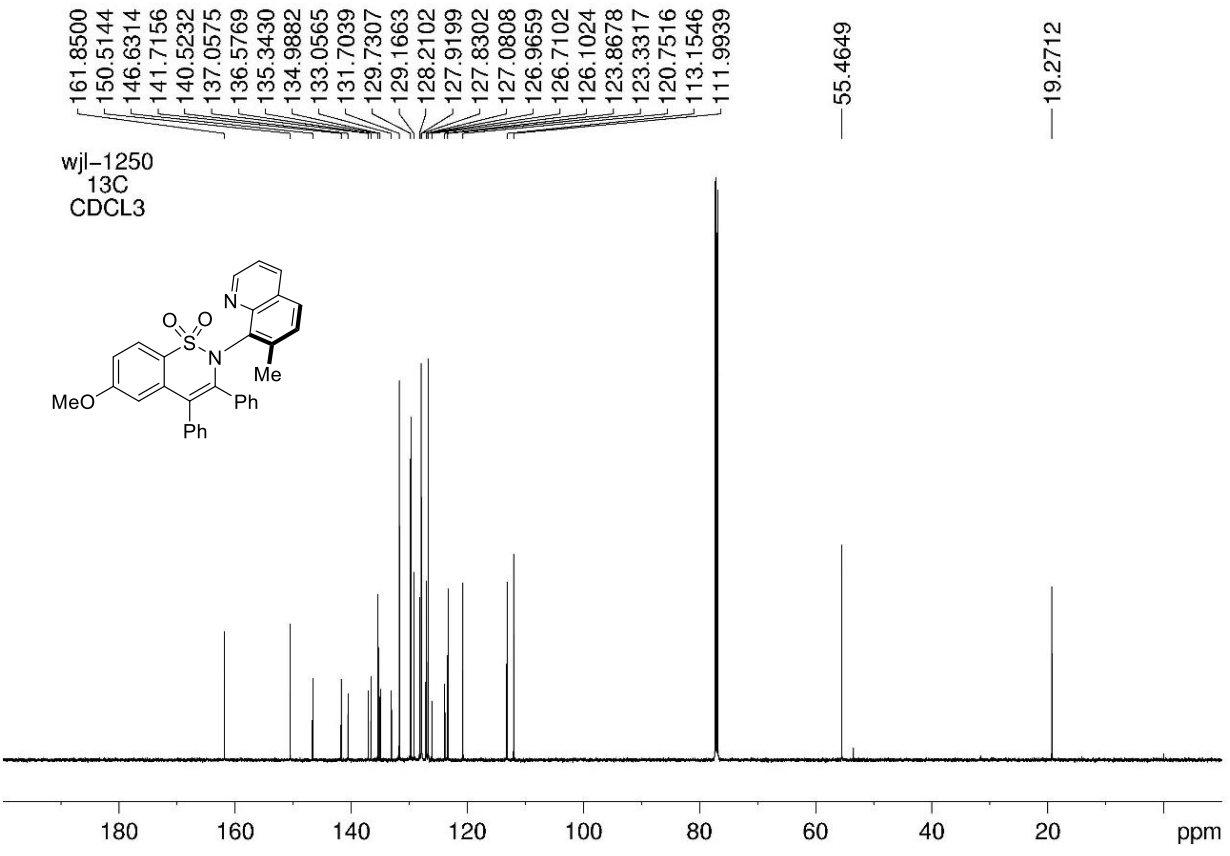
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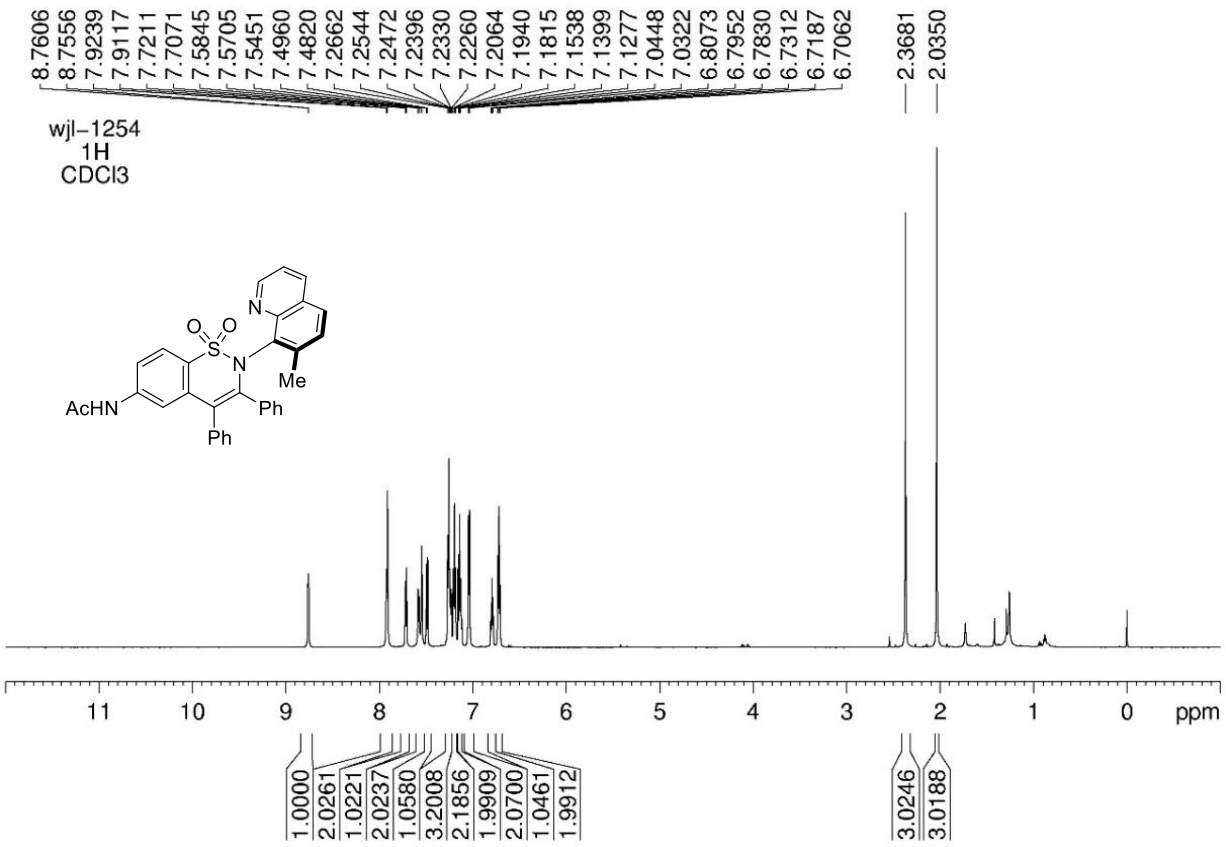
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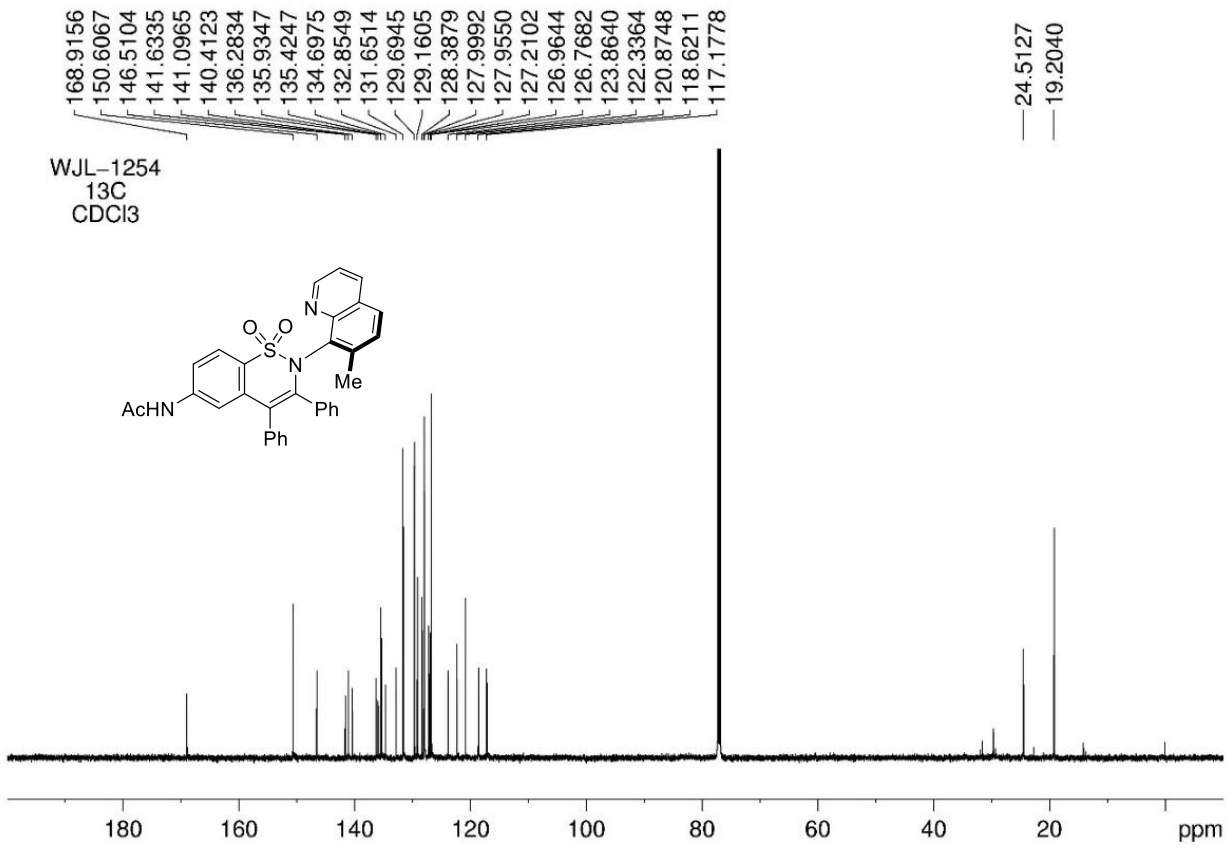
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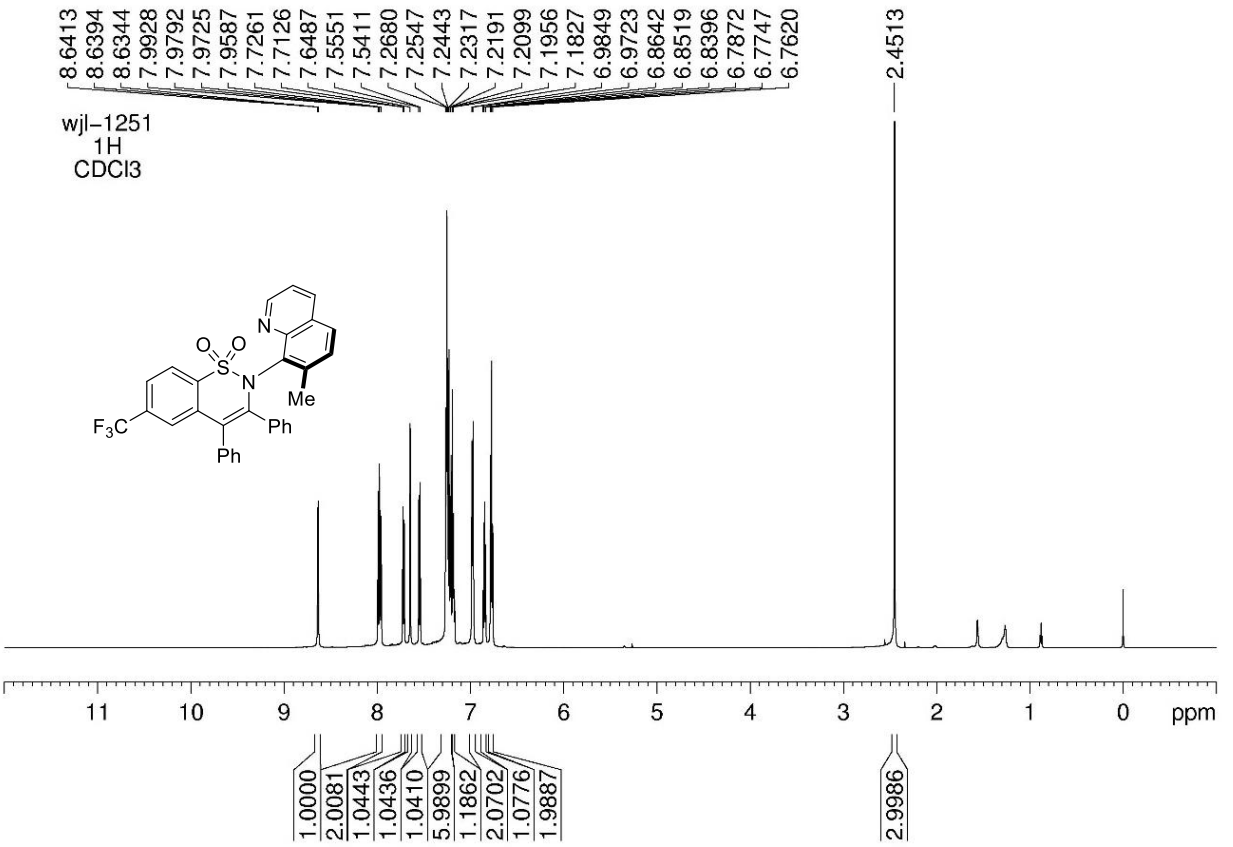
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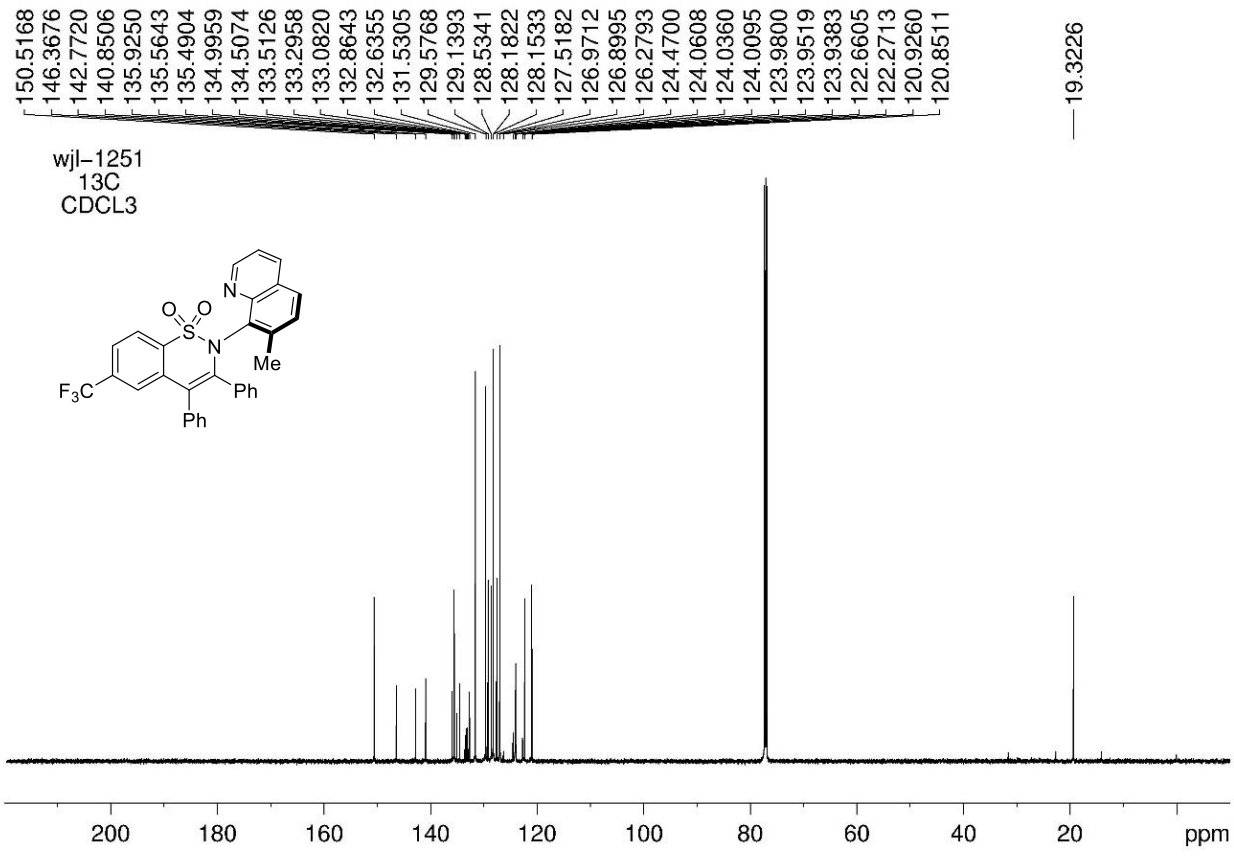
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CDCL3
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Me
F3C
Ph
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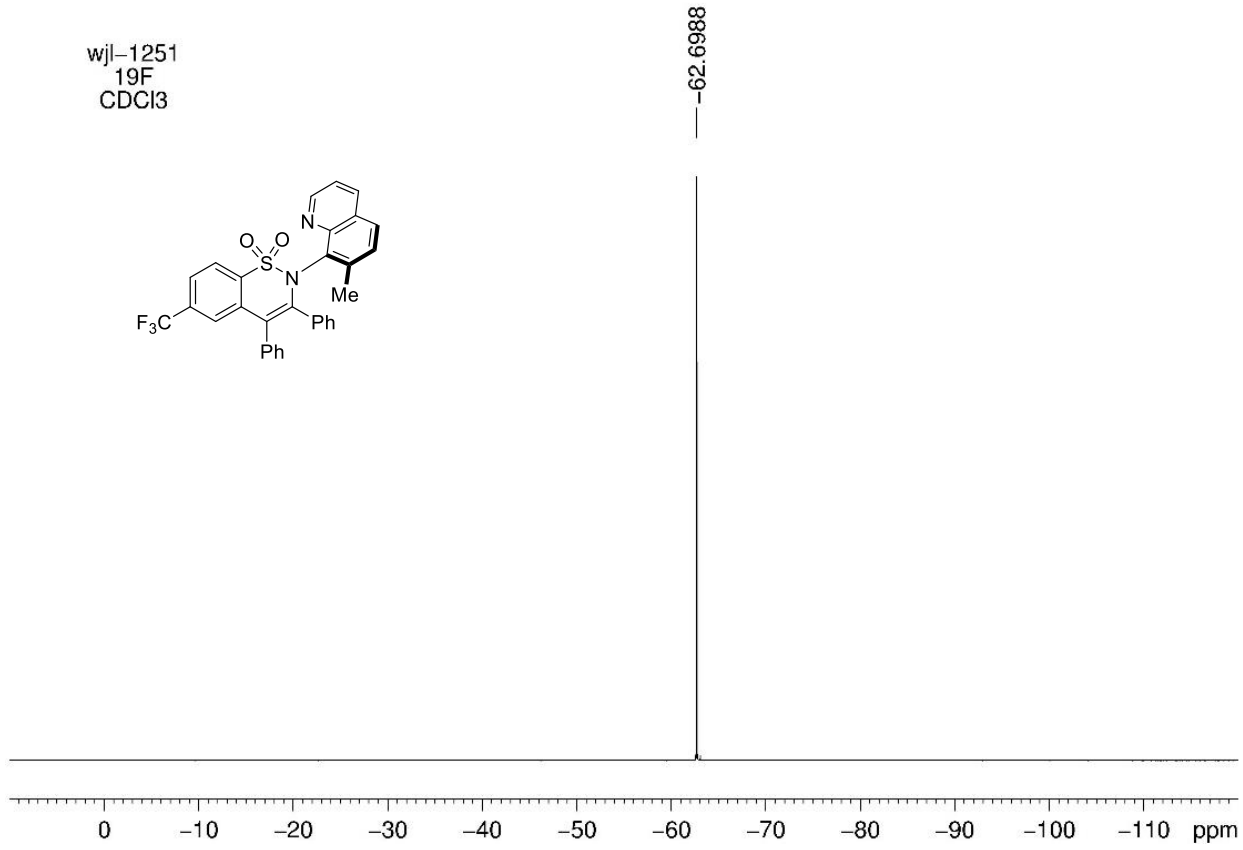
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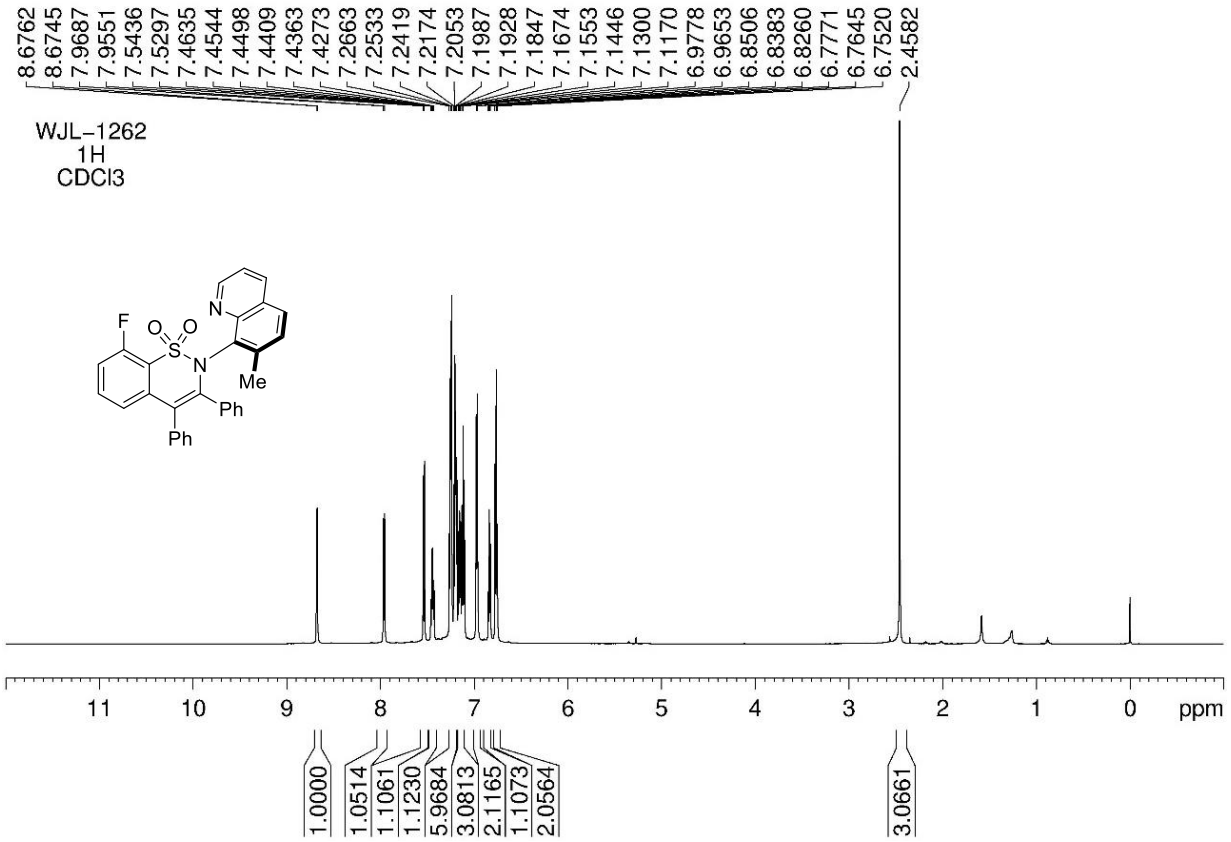
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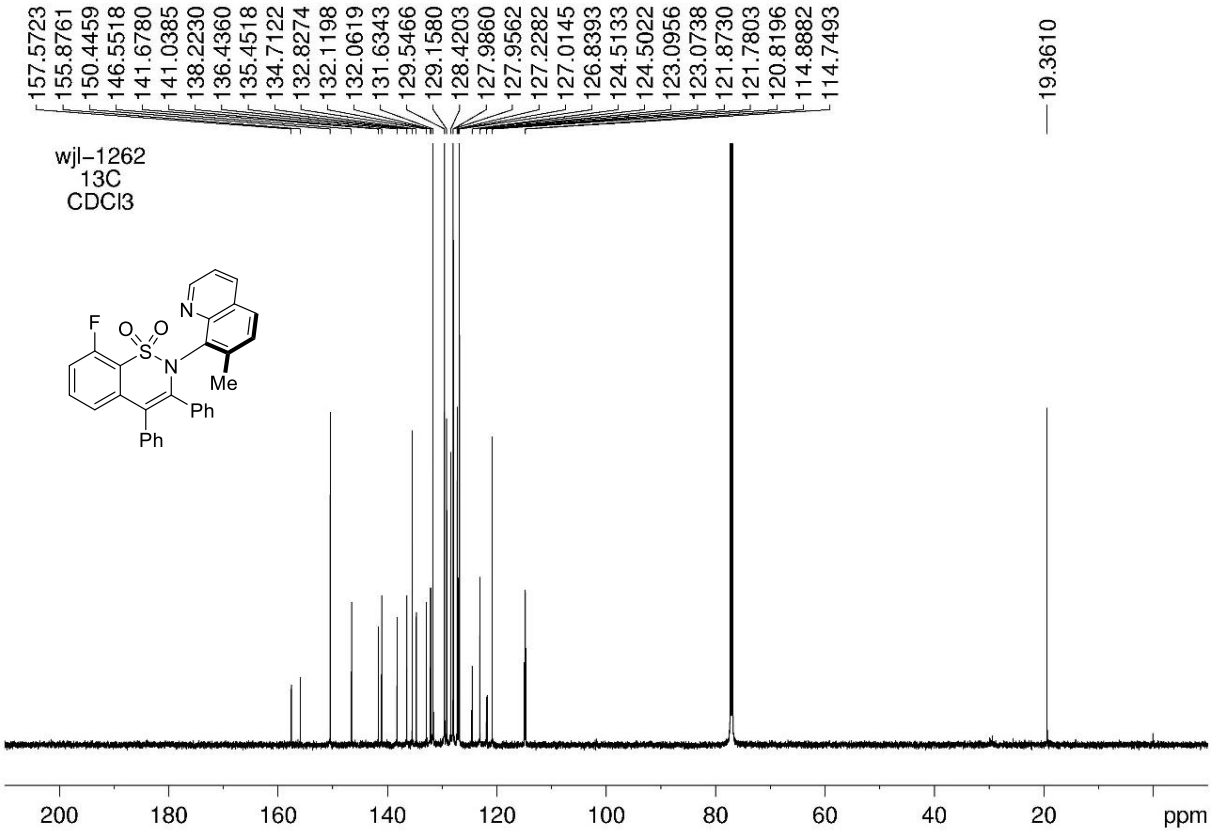
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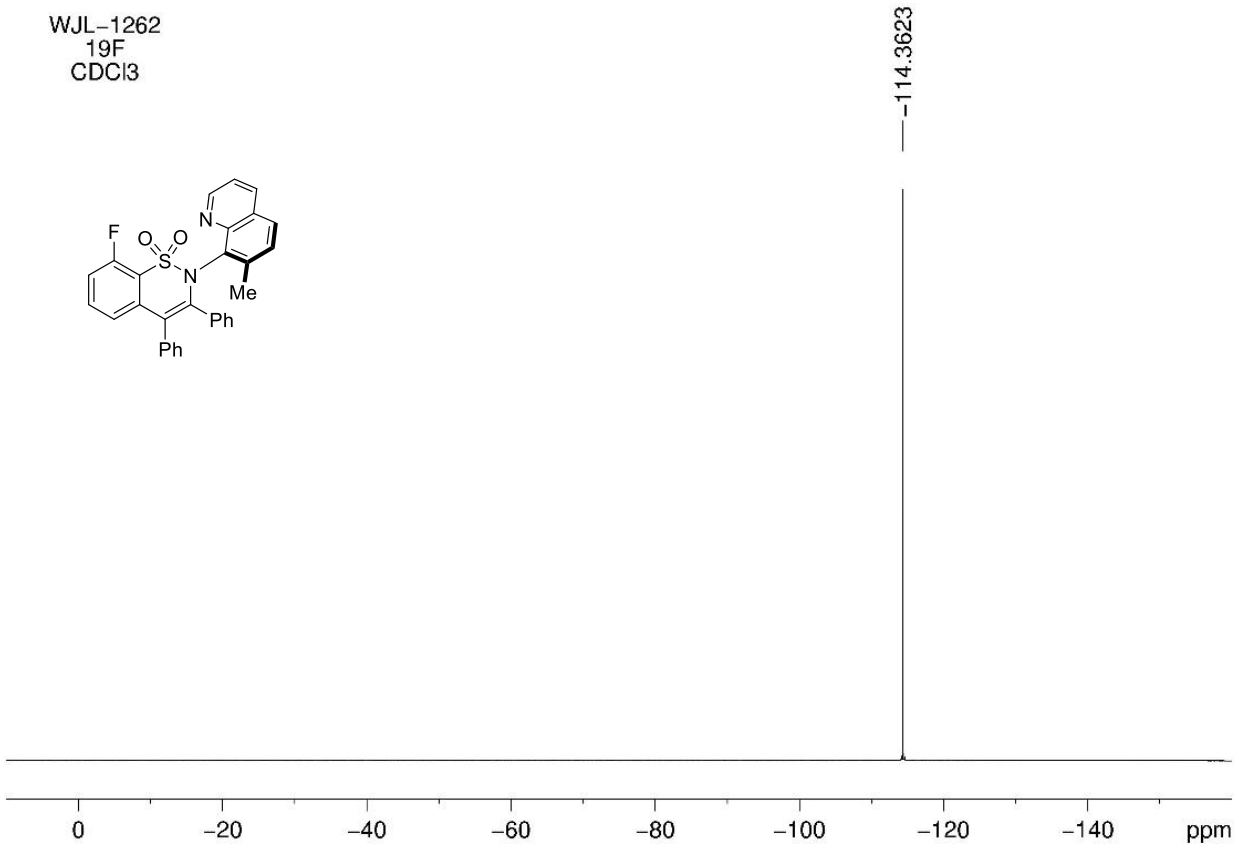
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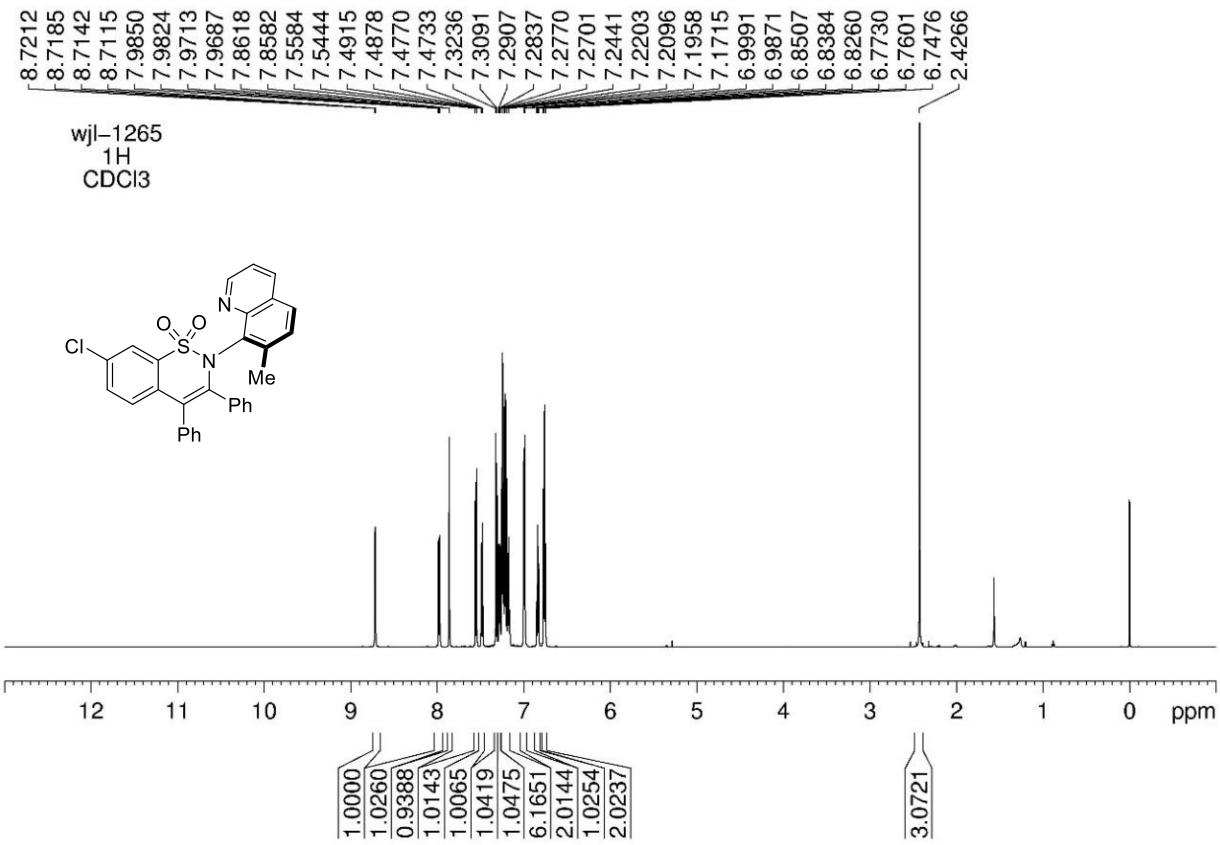
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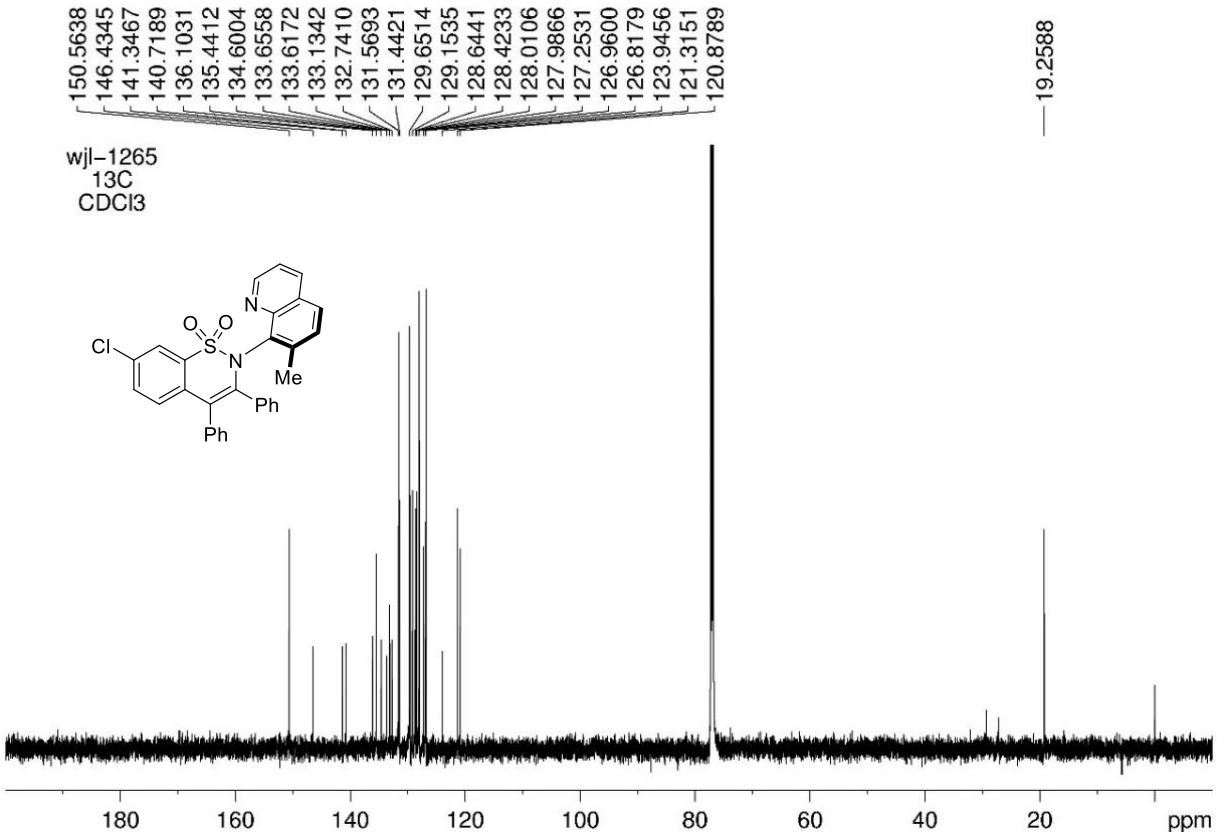
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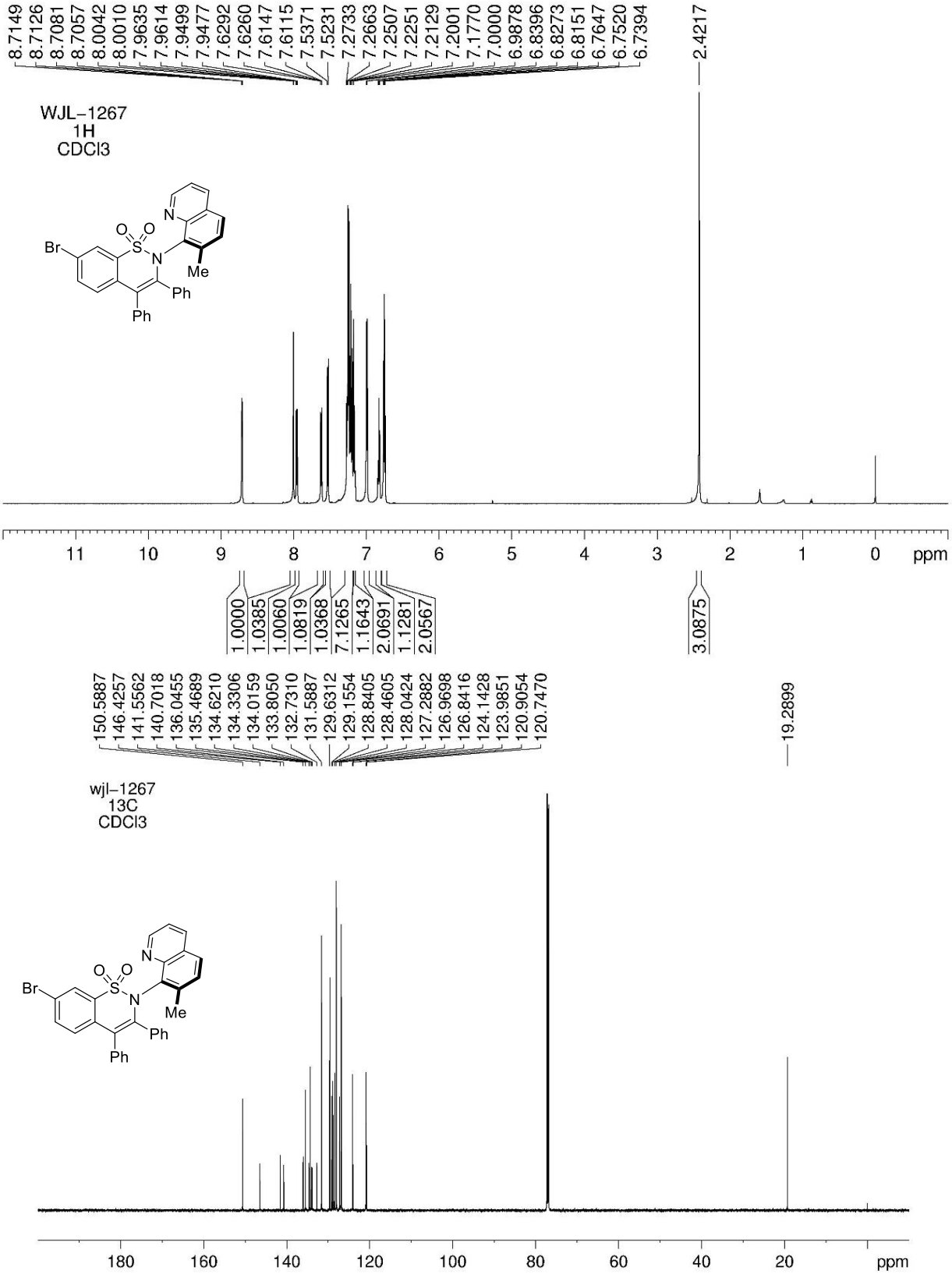
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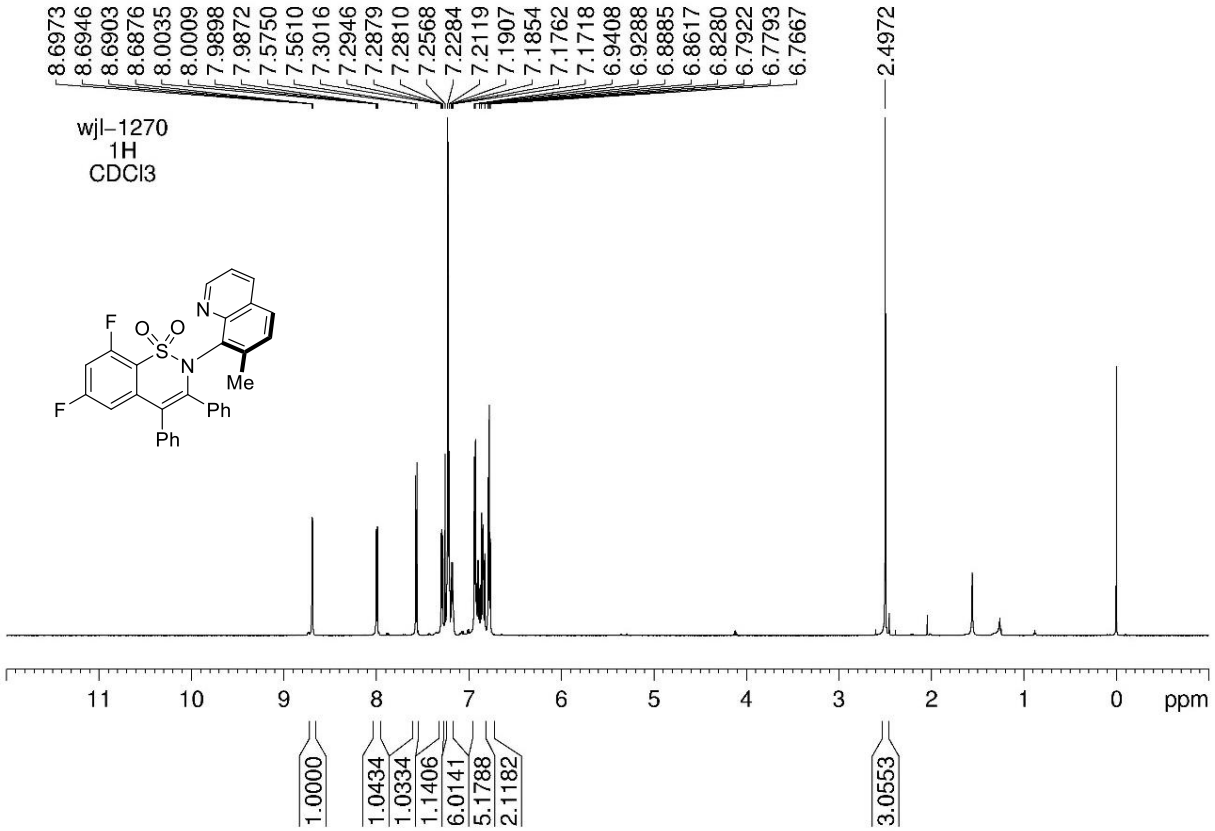
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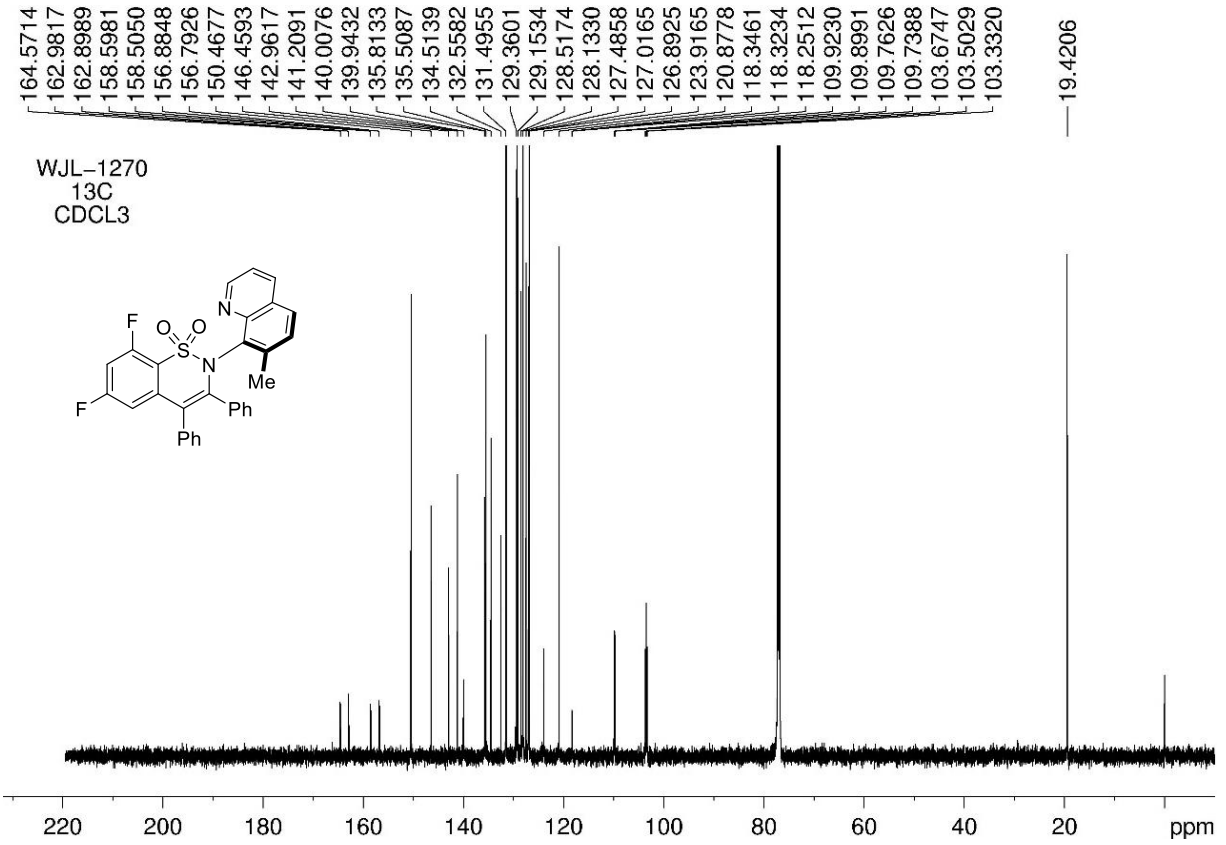
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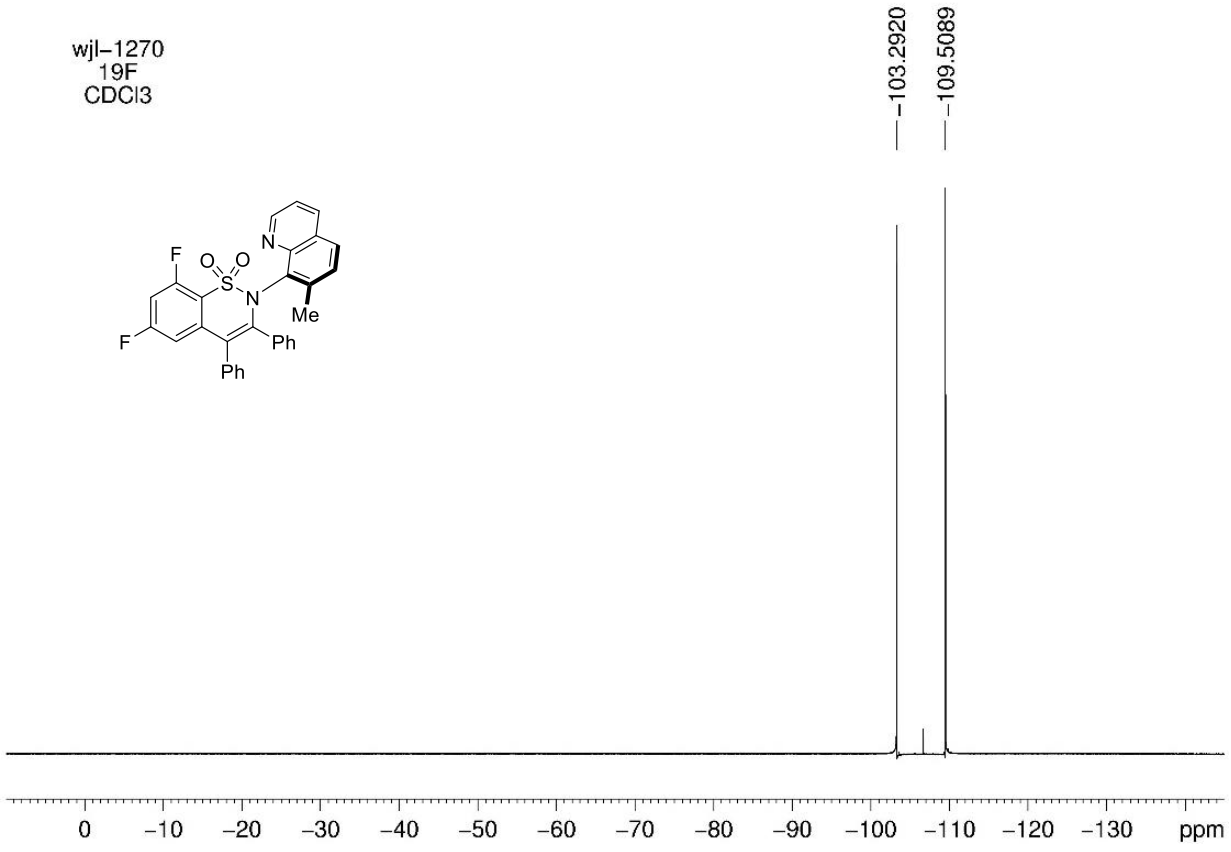
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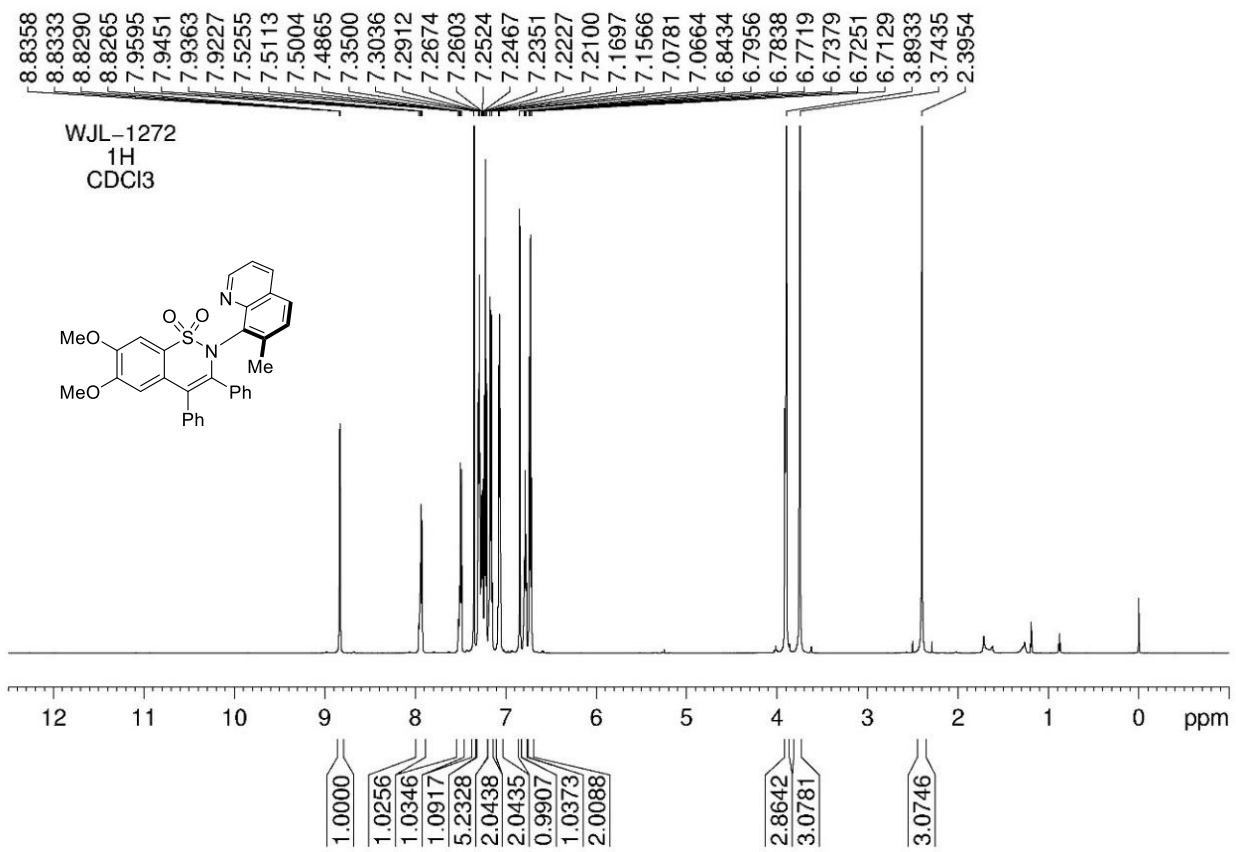
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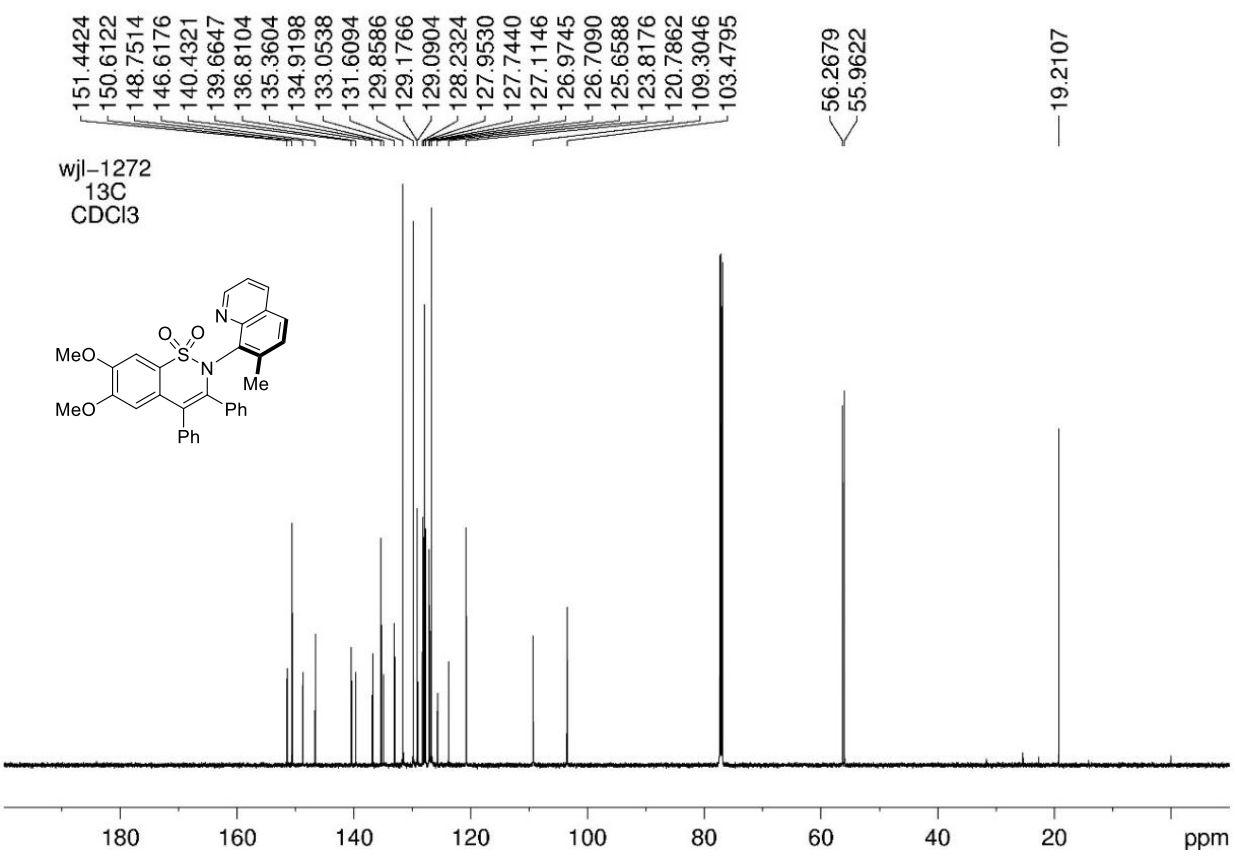
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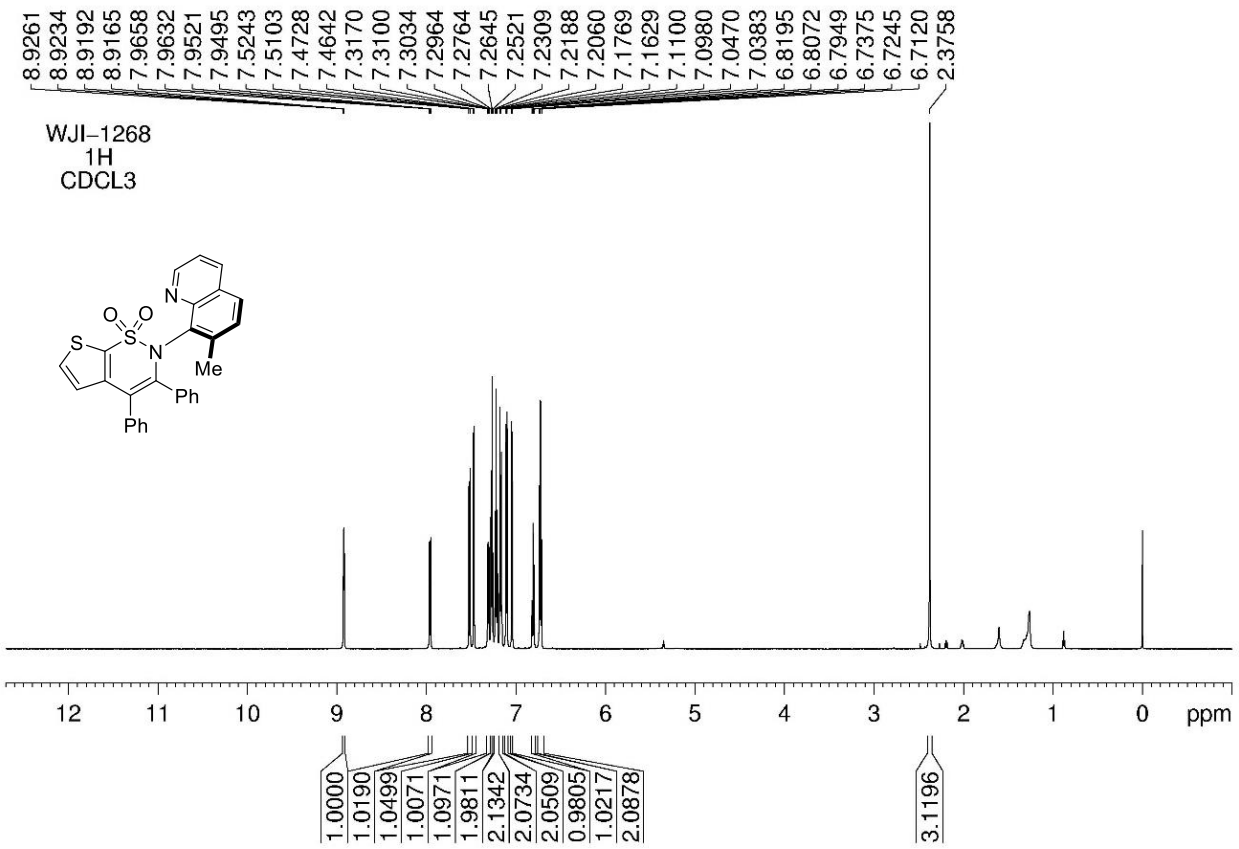
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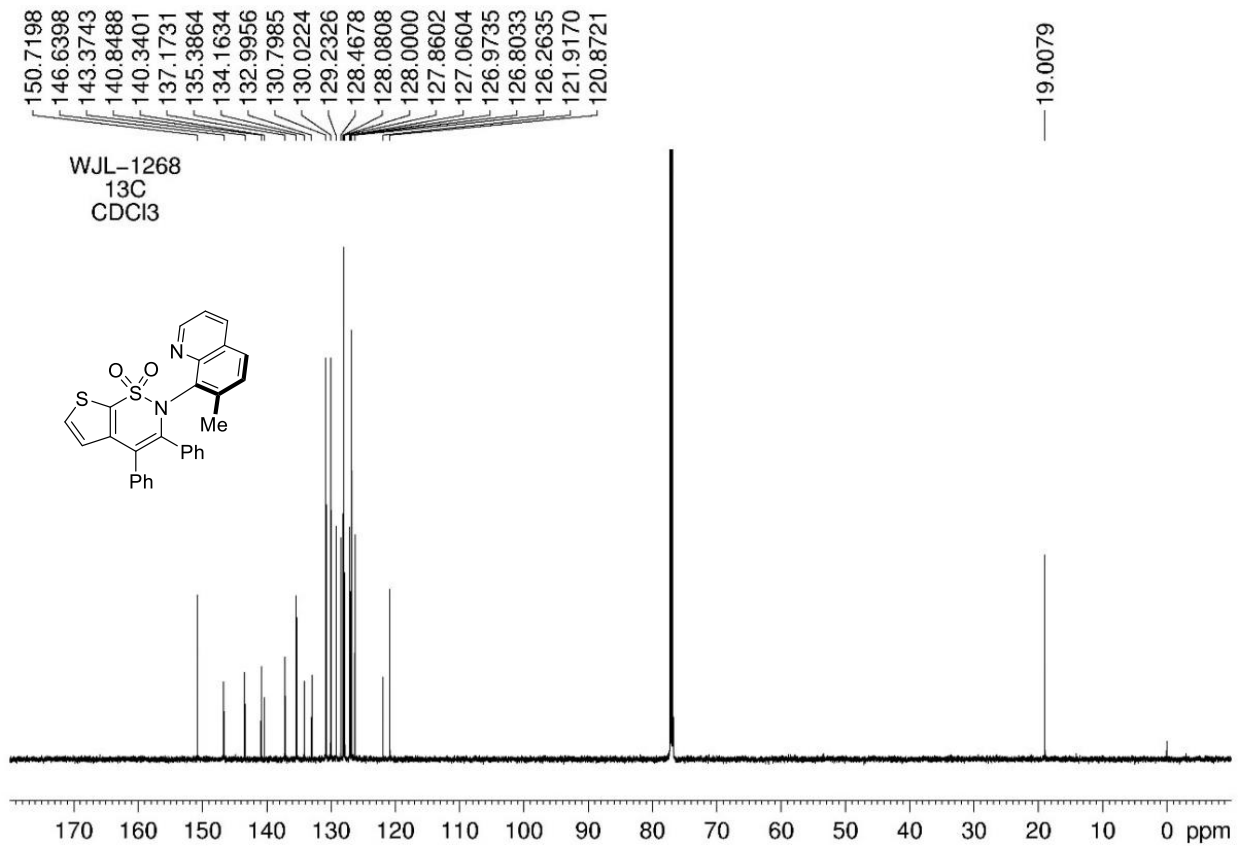
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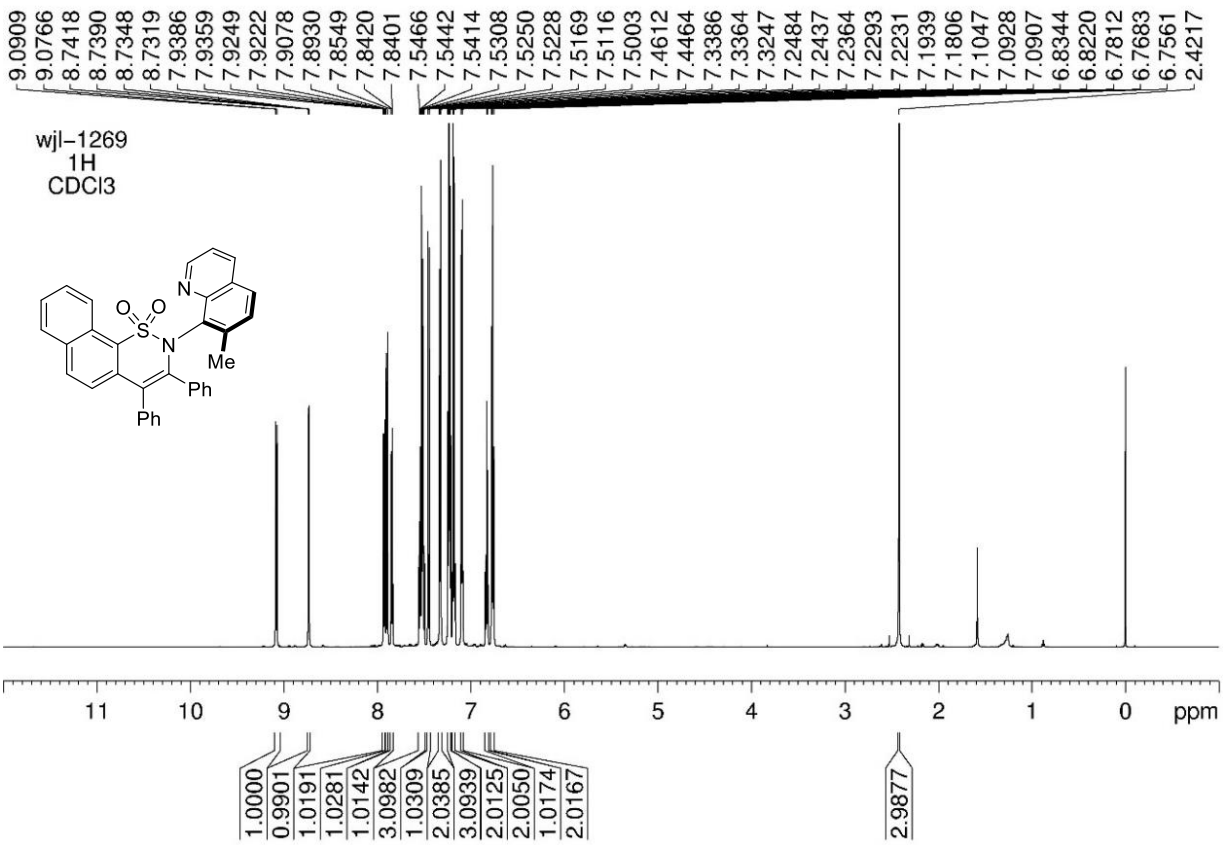
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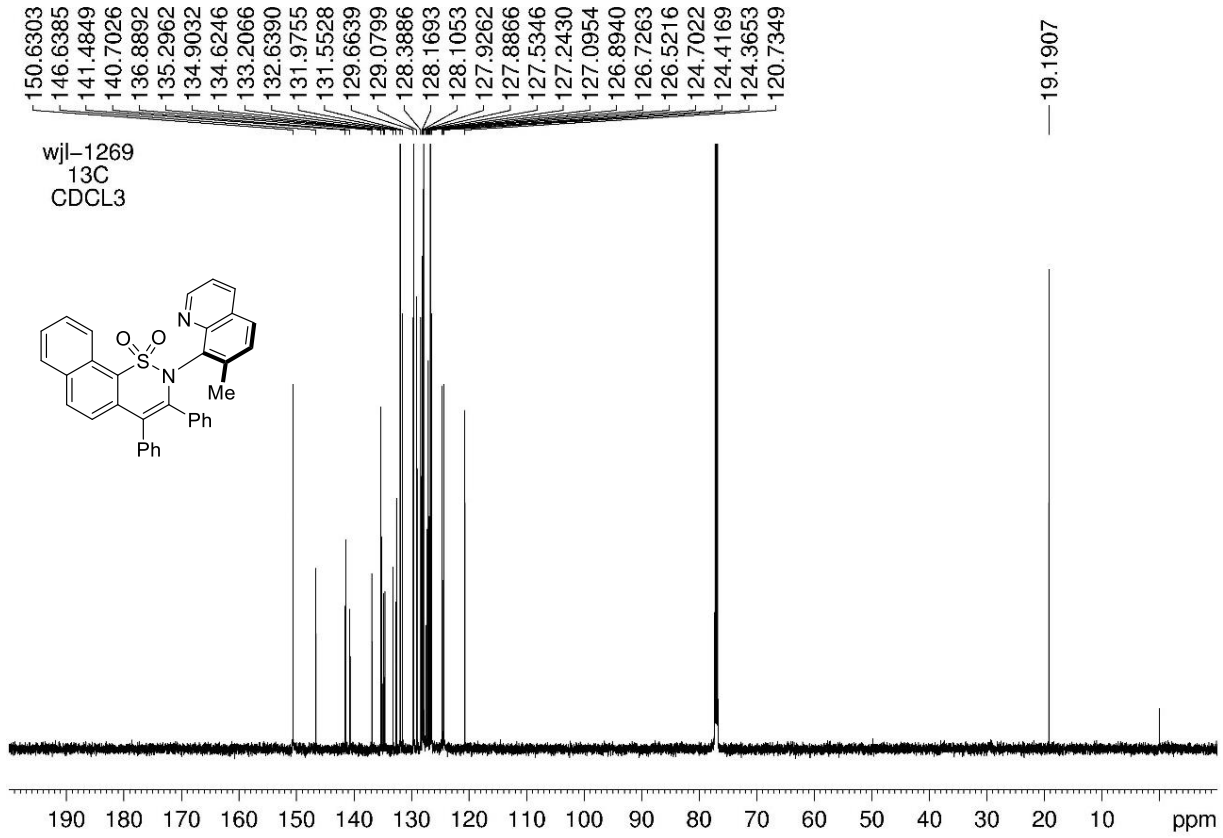
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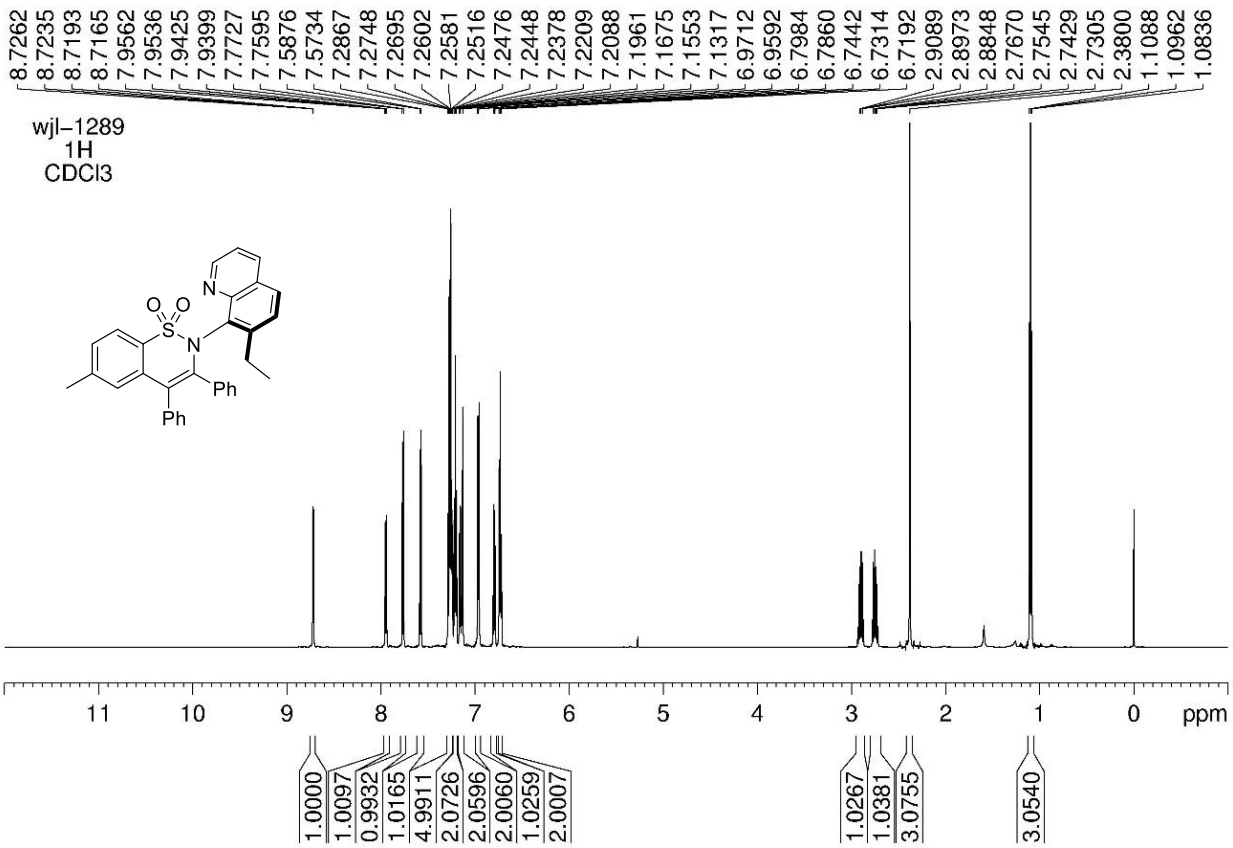
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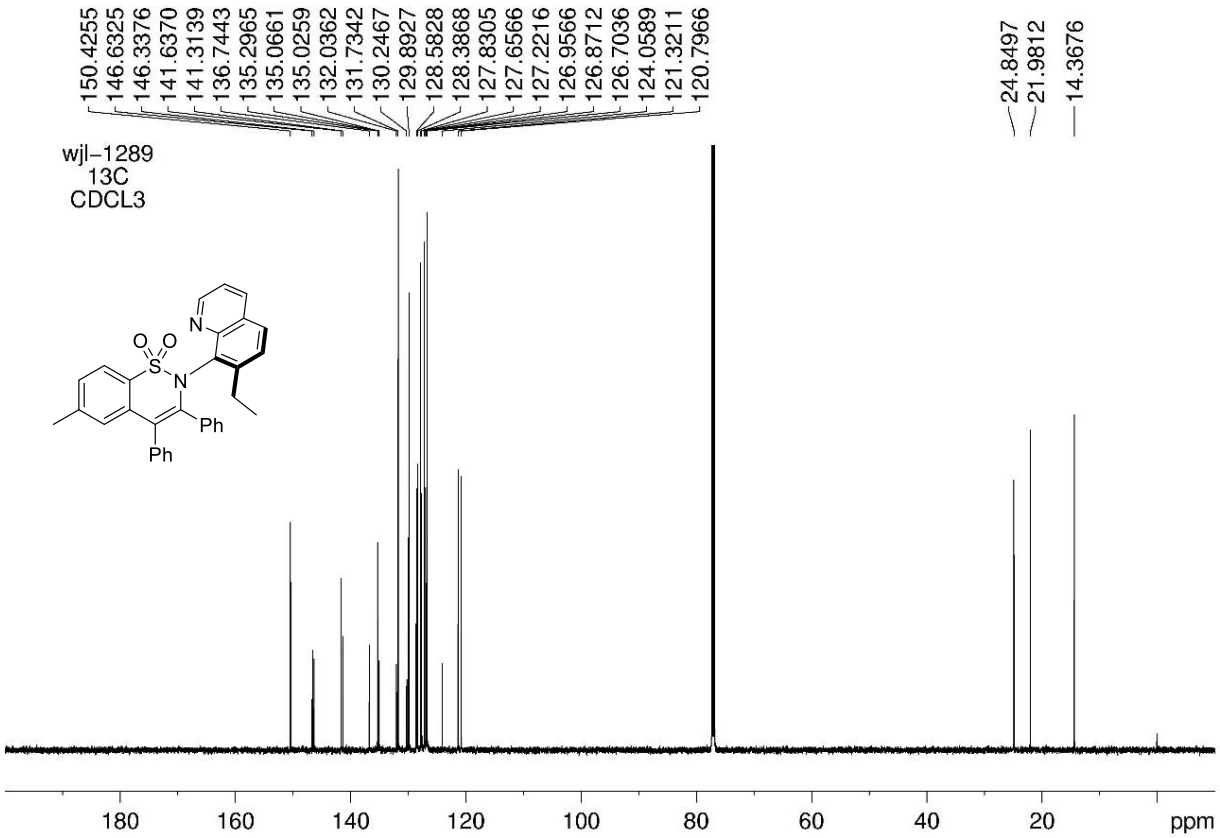
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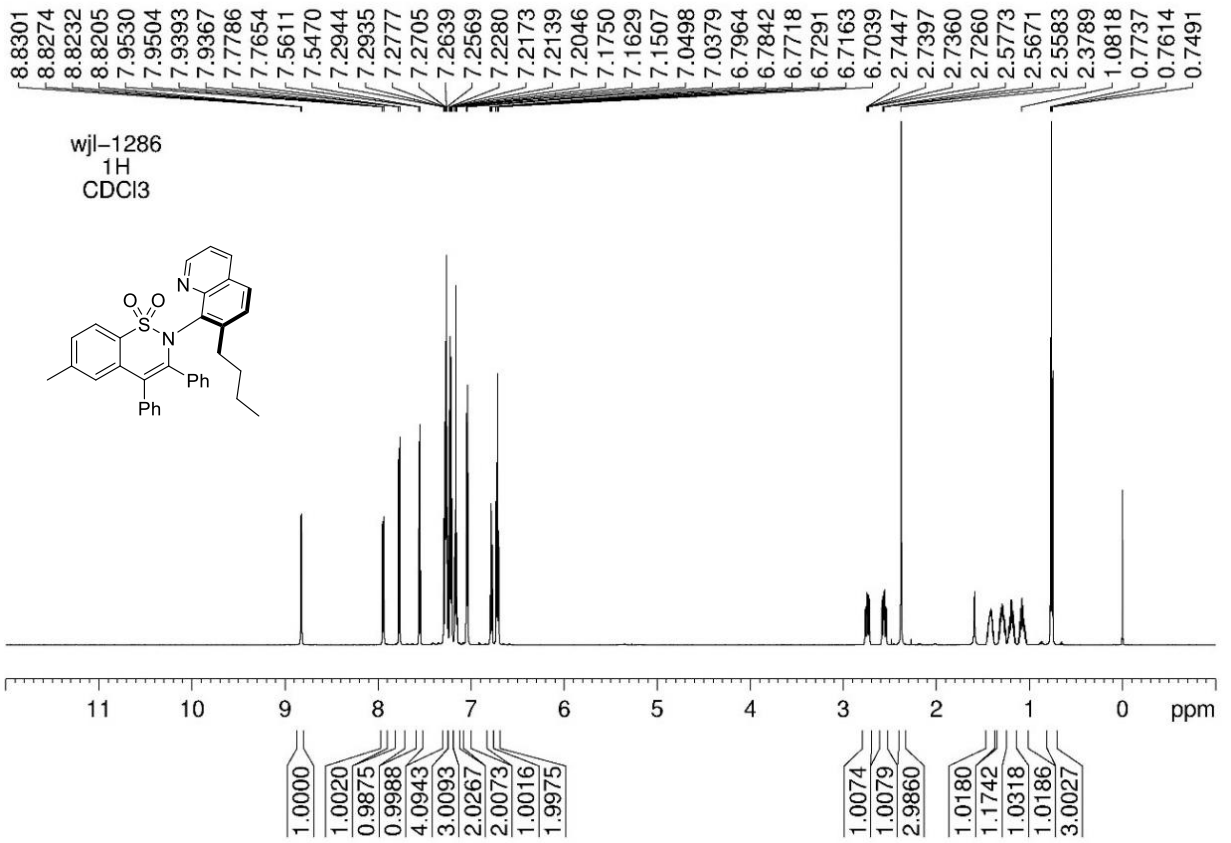
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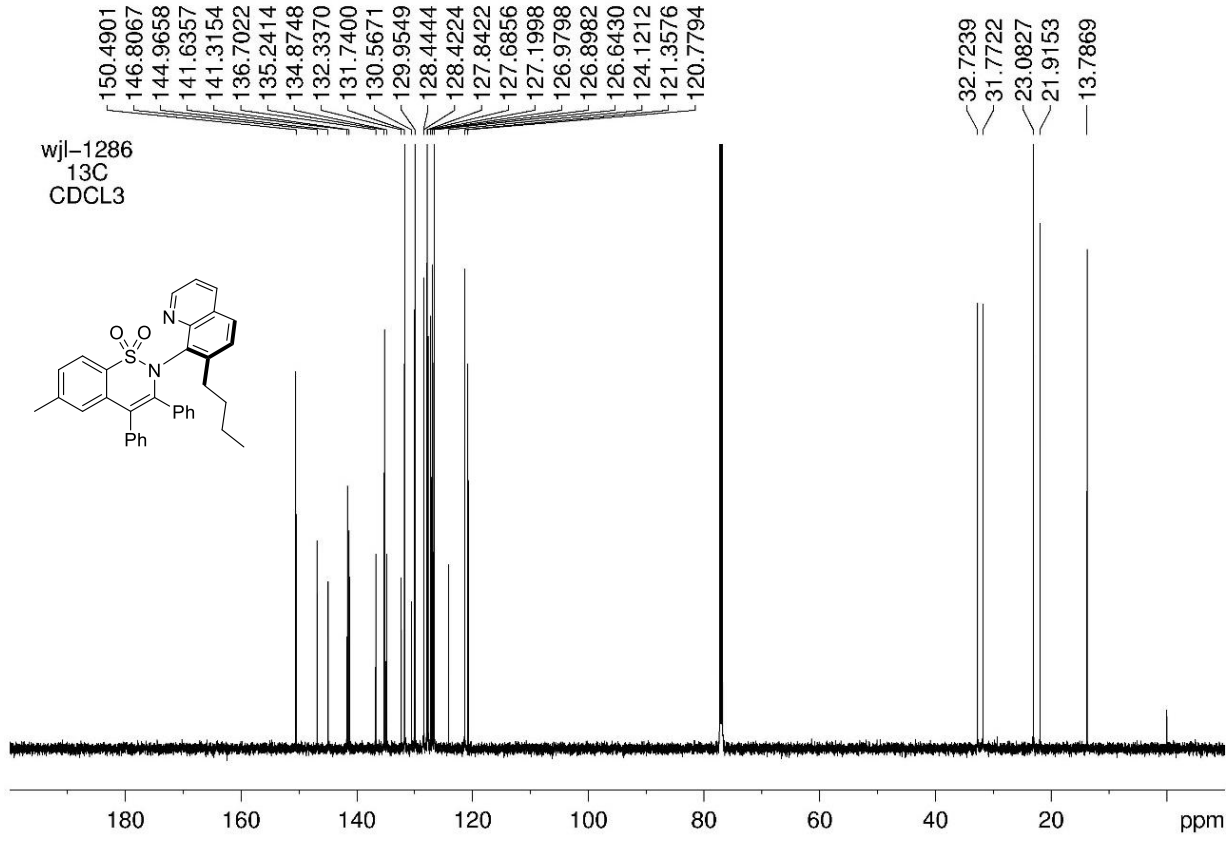
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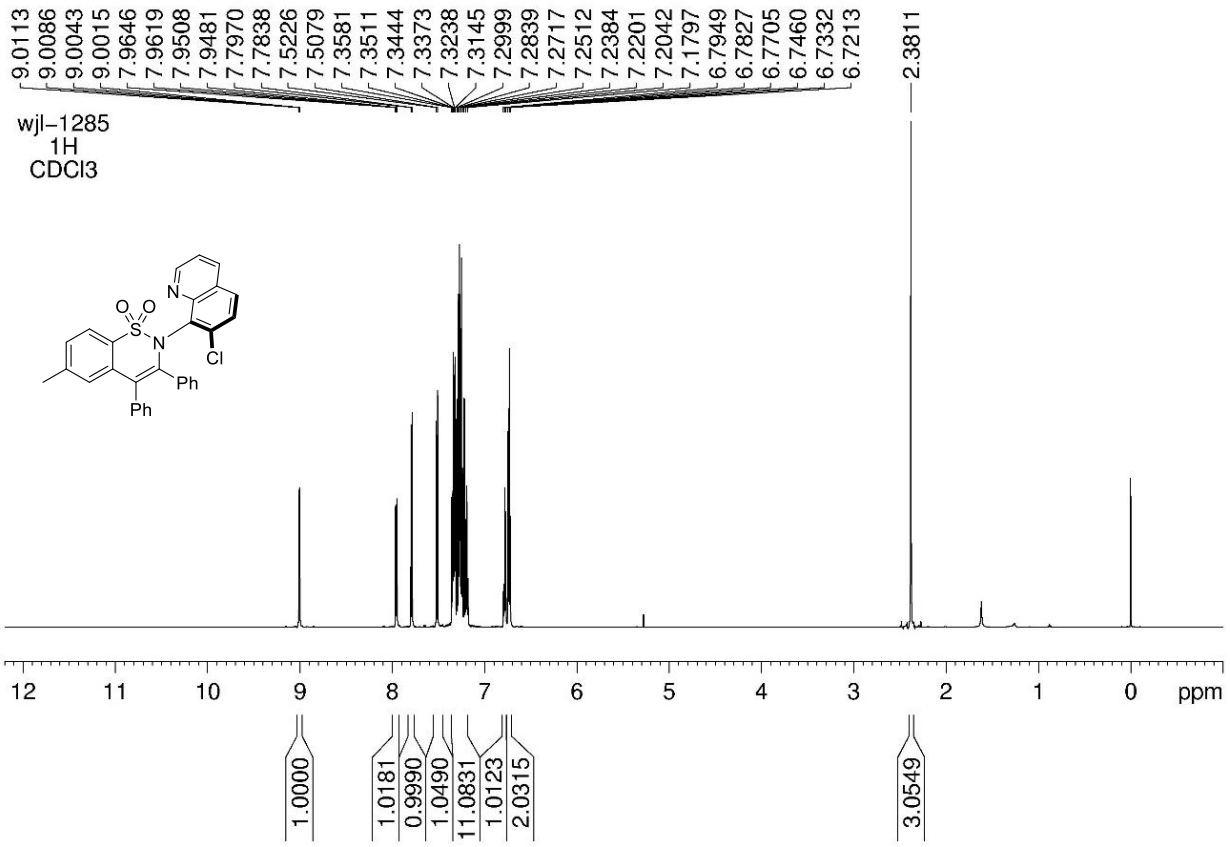
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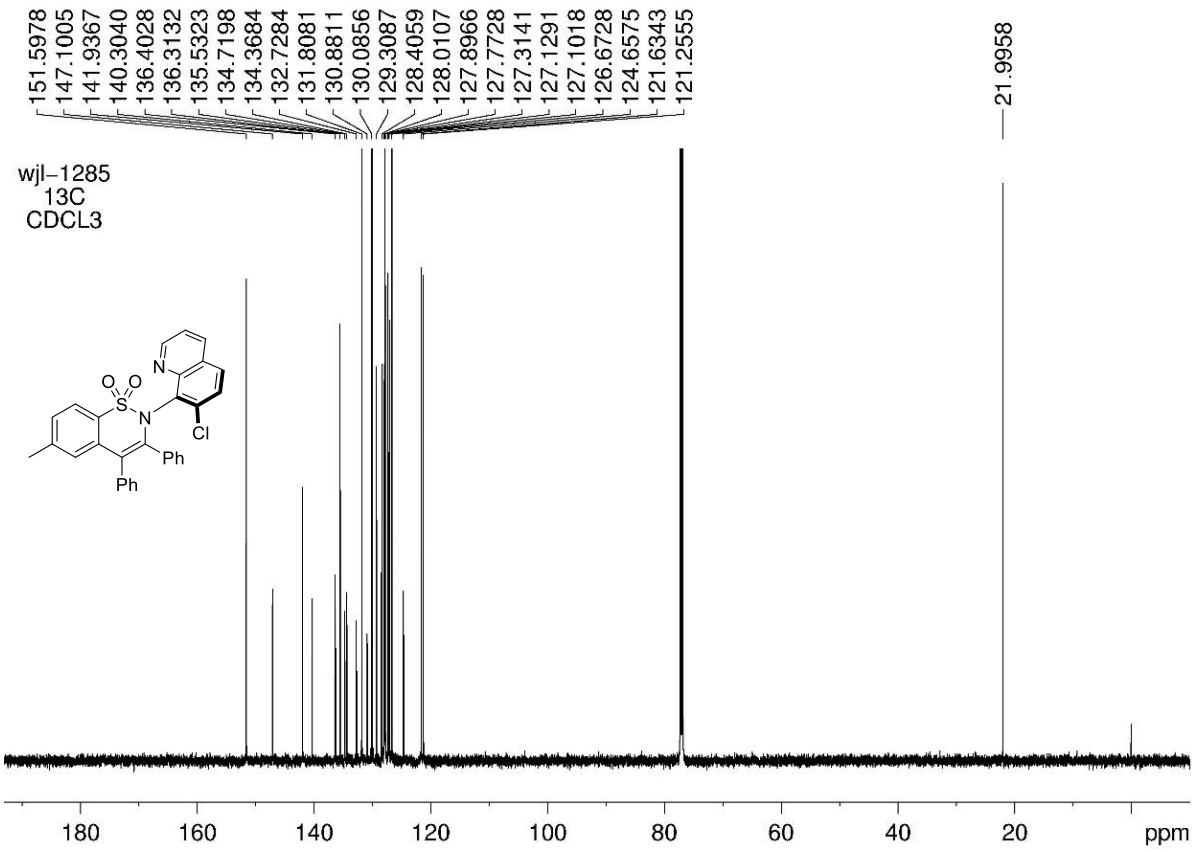
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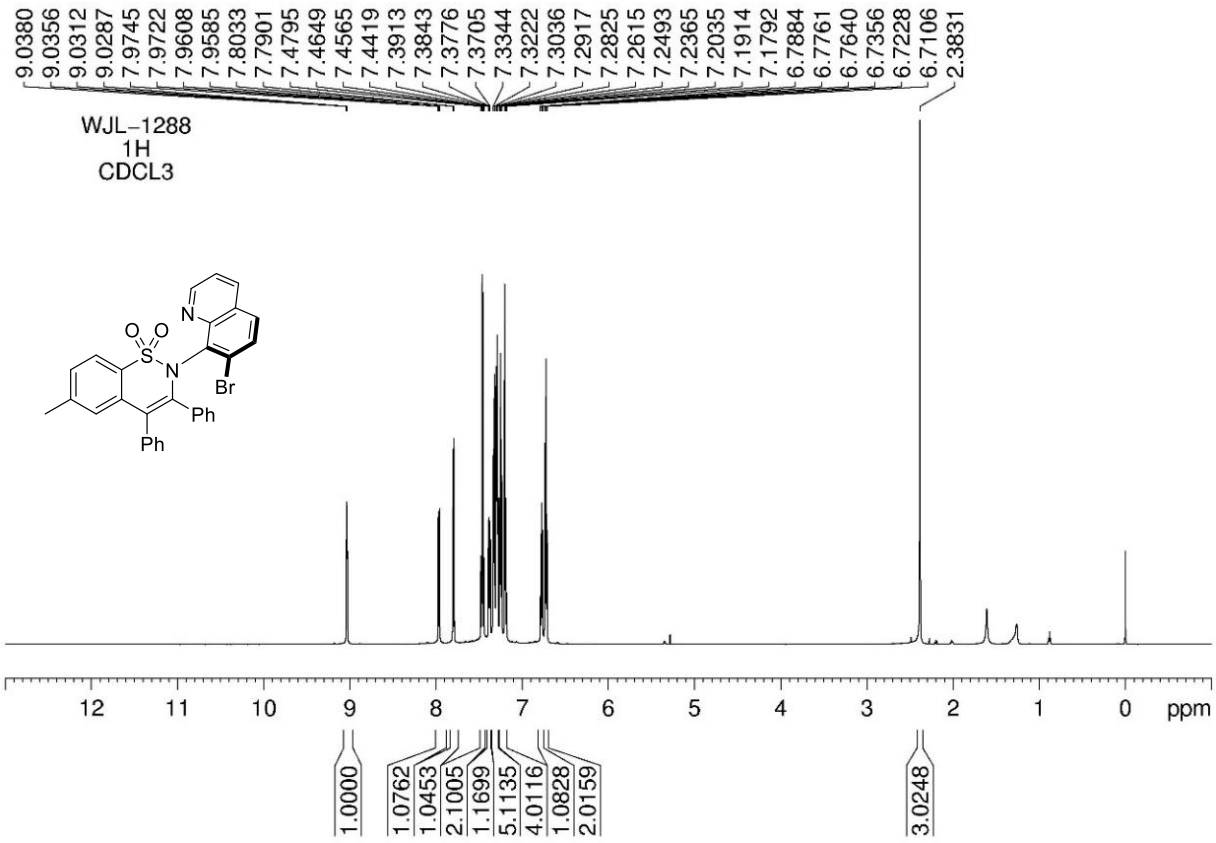
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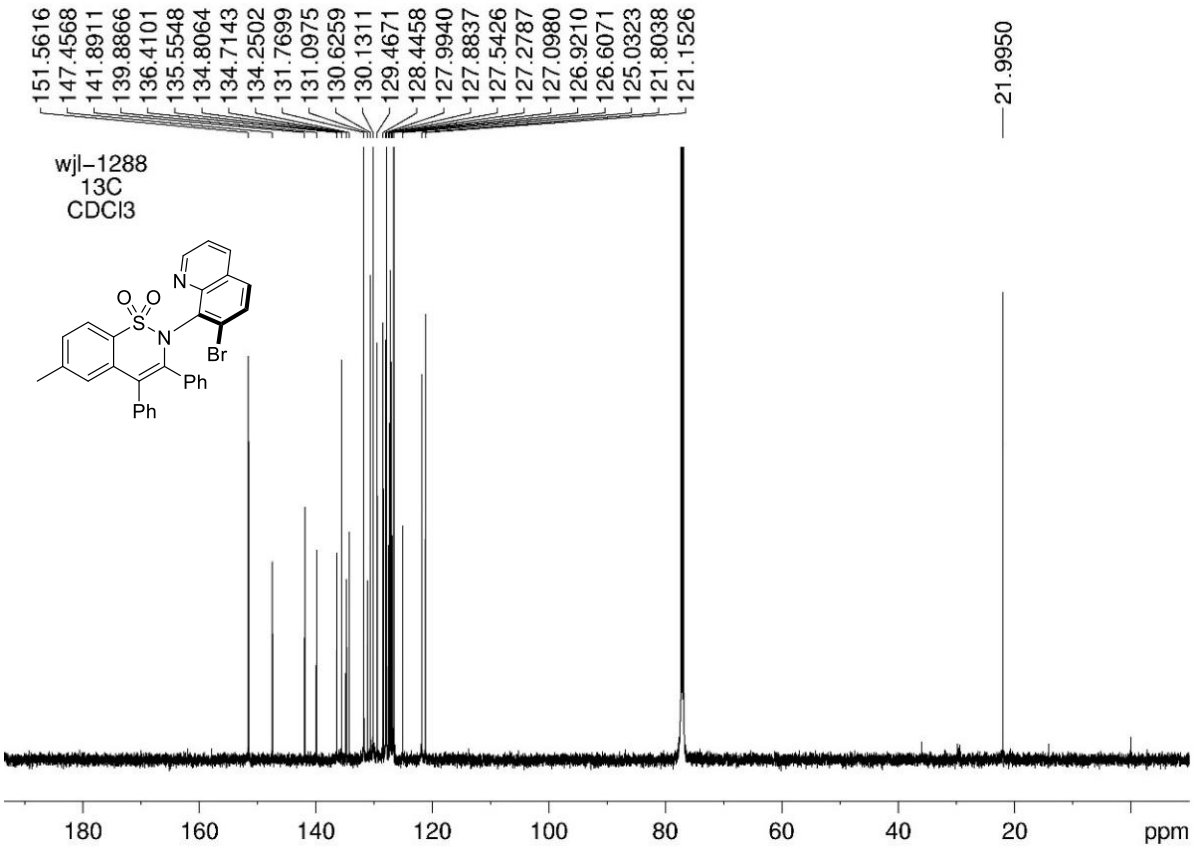
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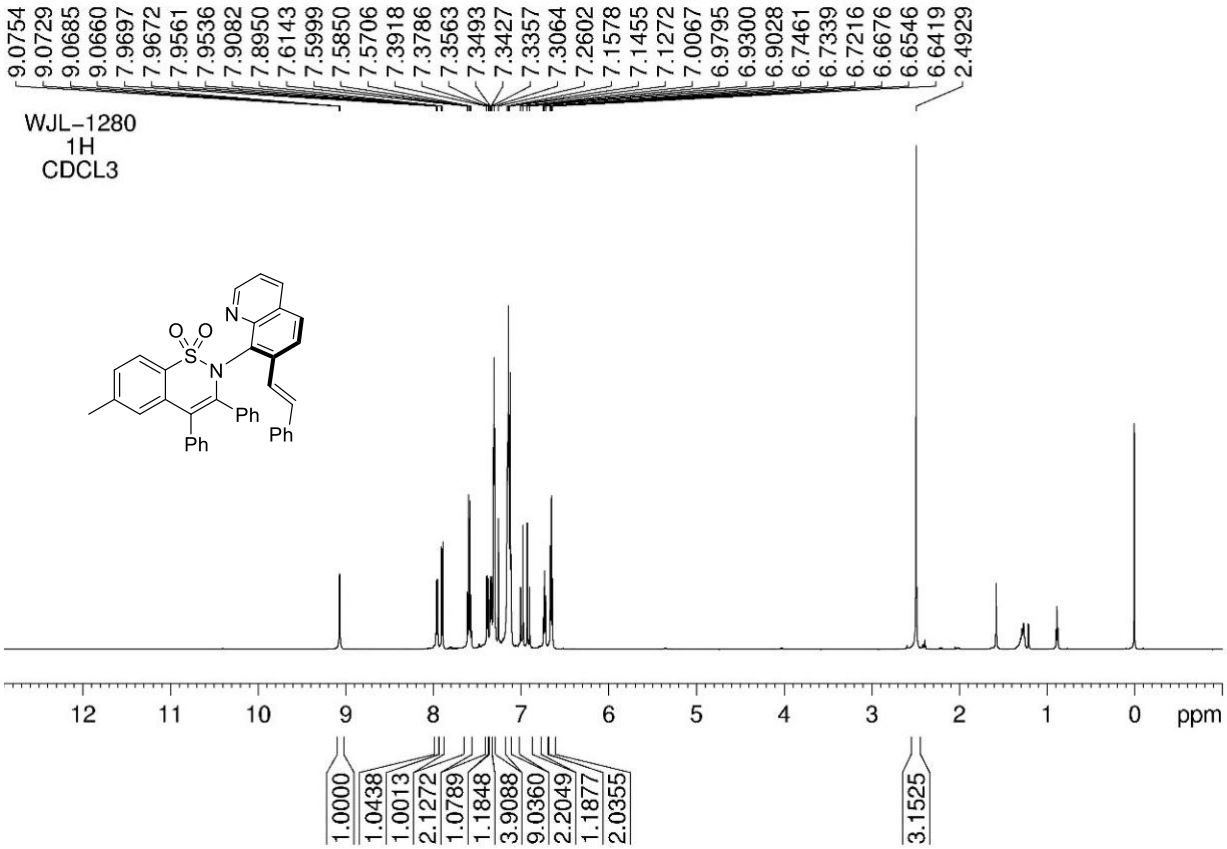
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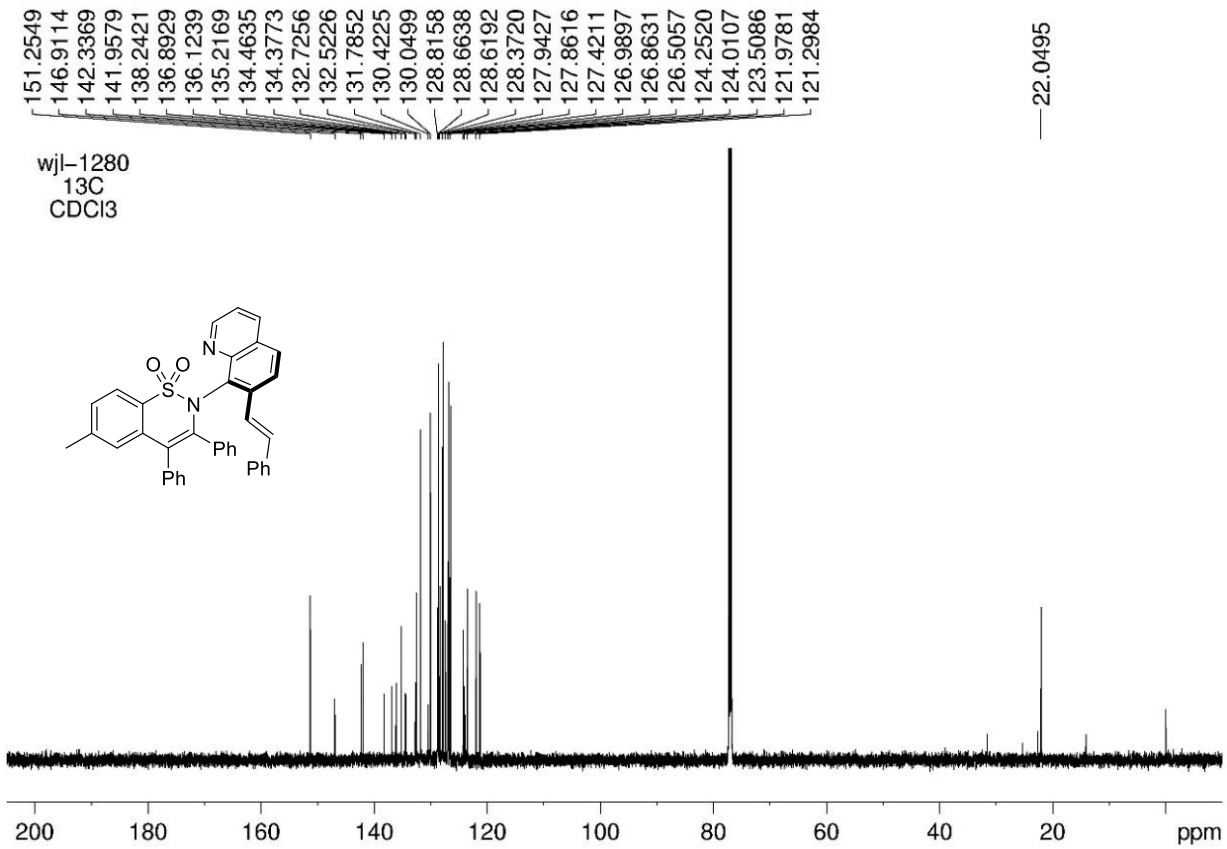
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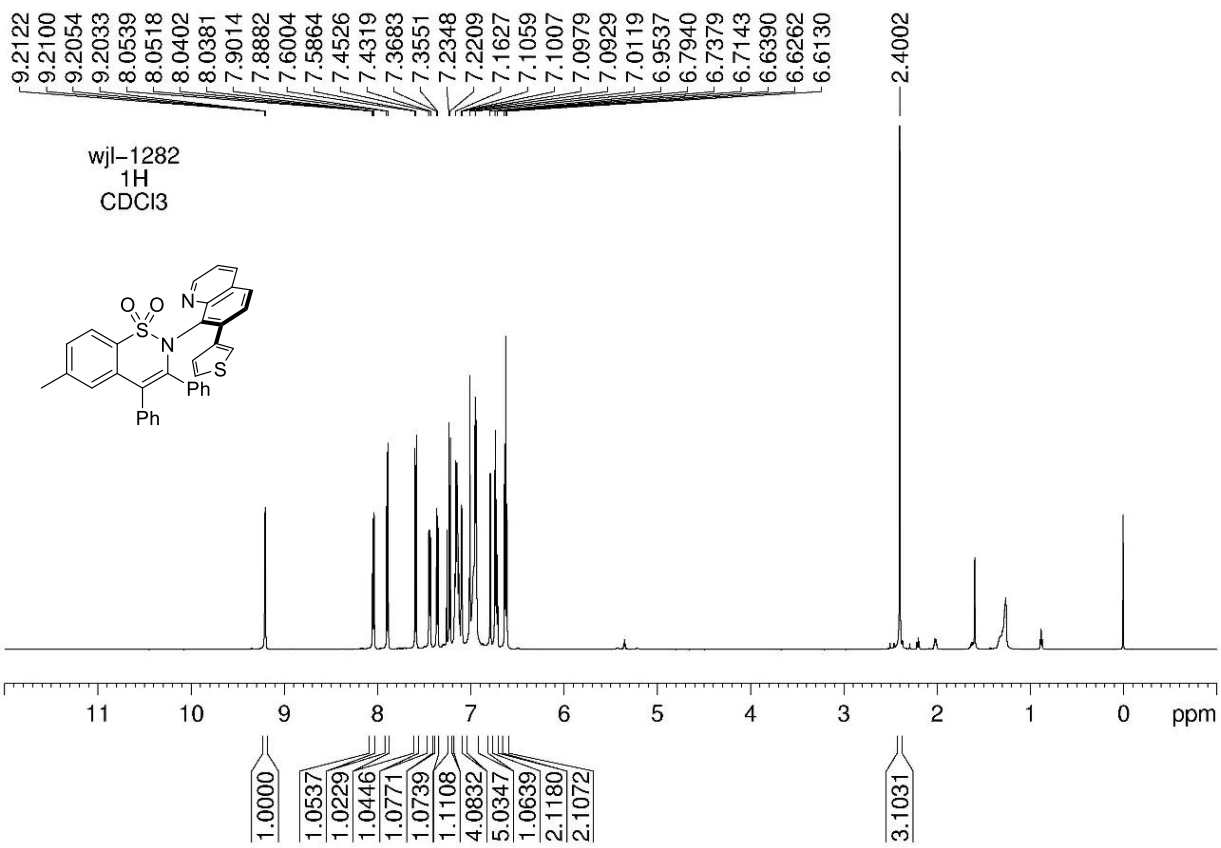
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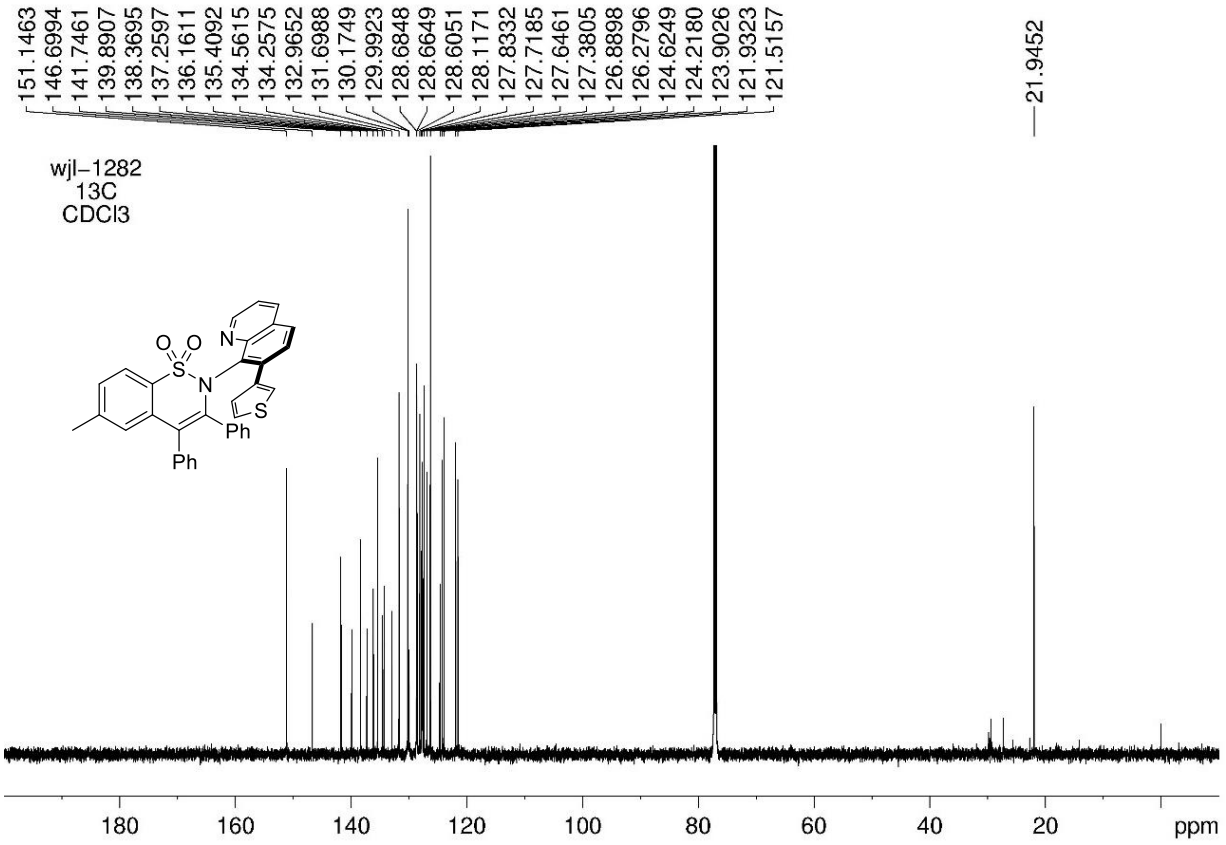
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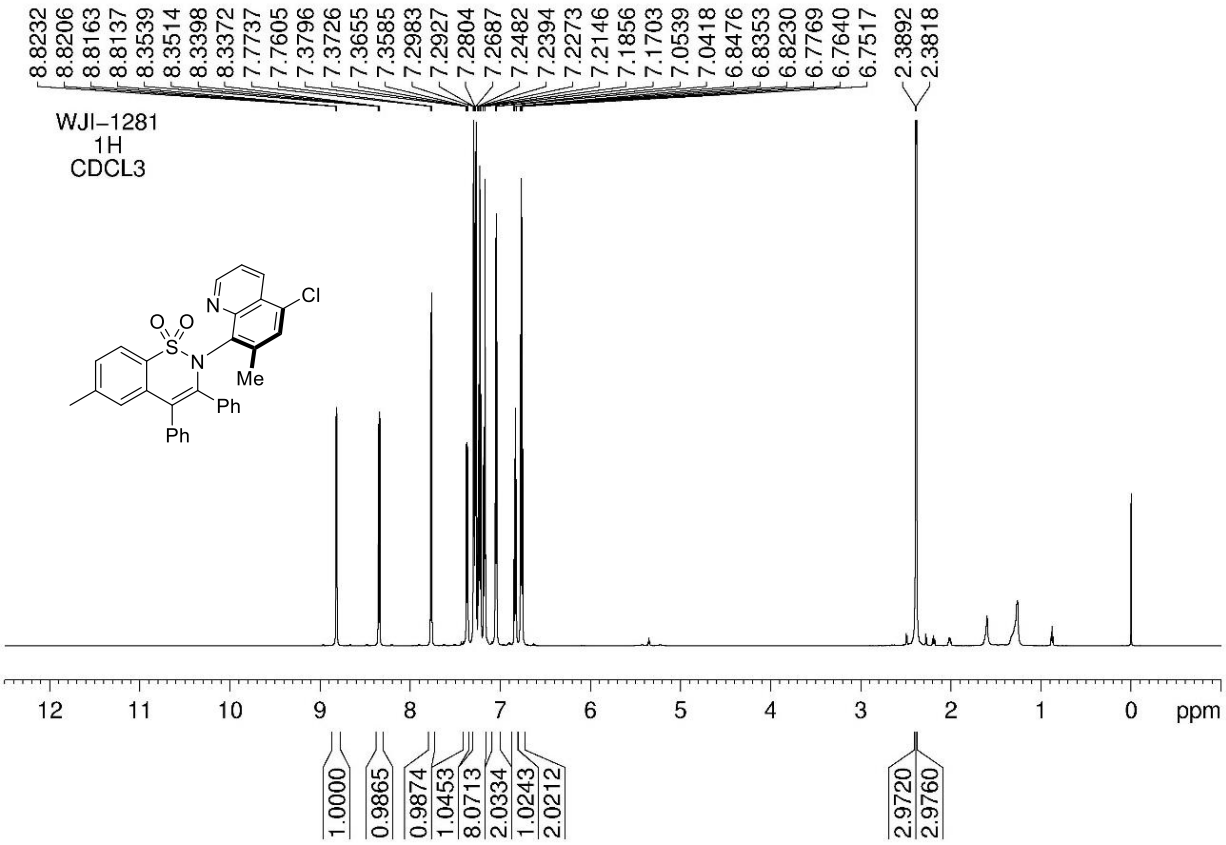
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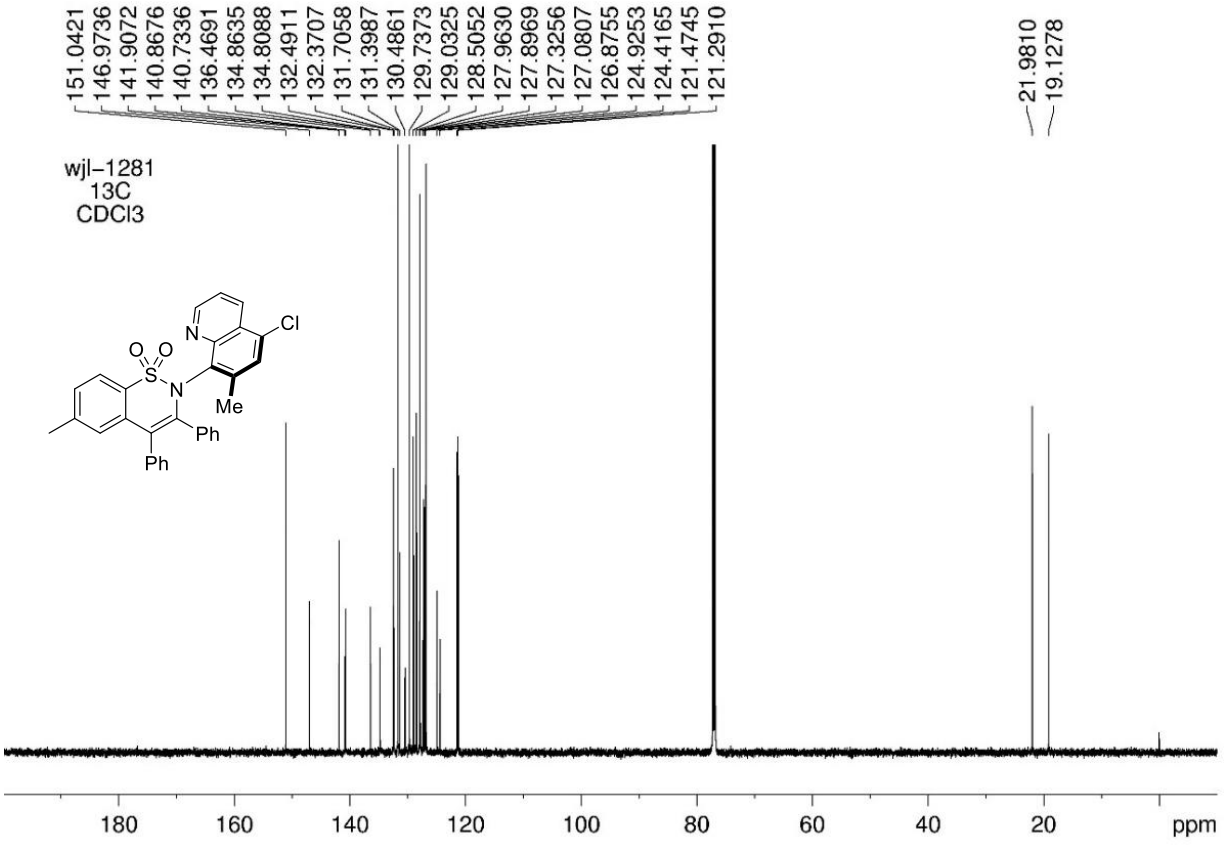
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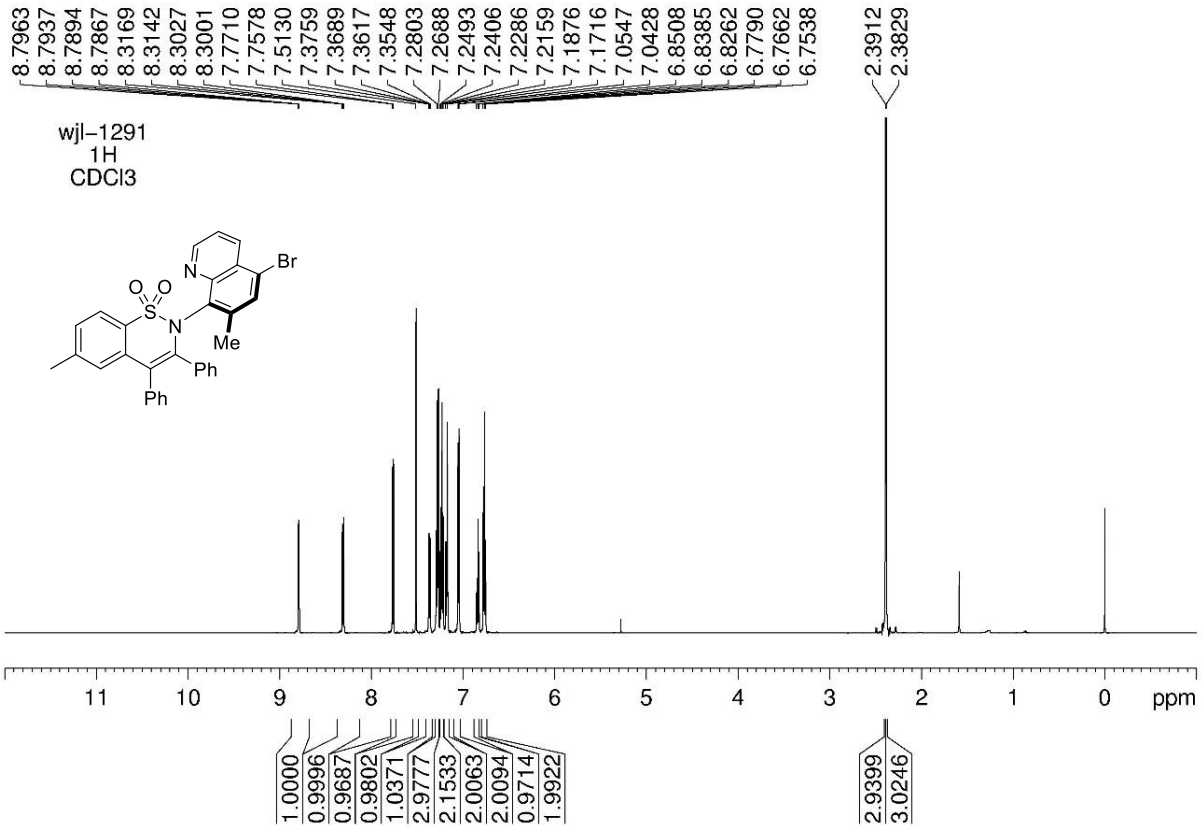
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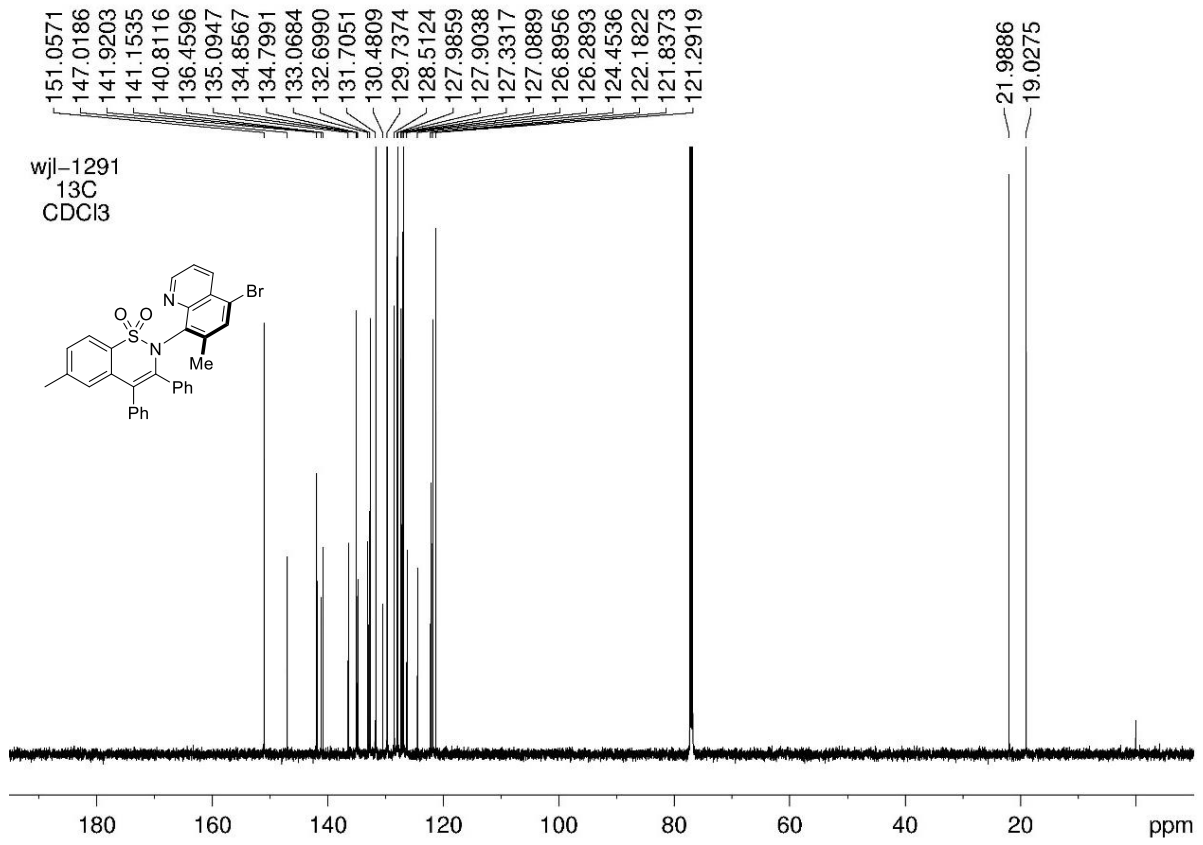
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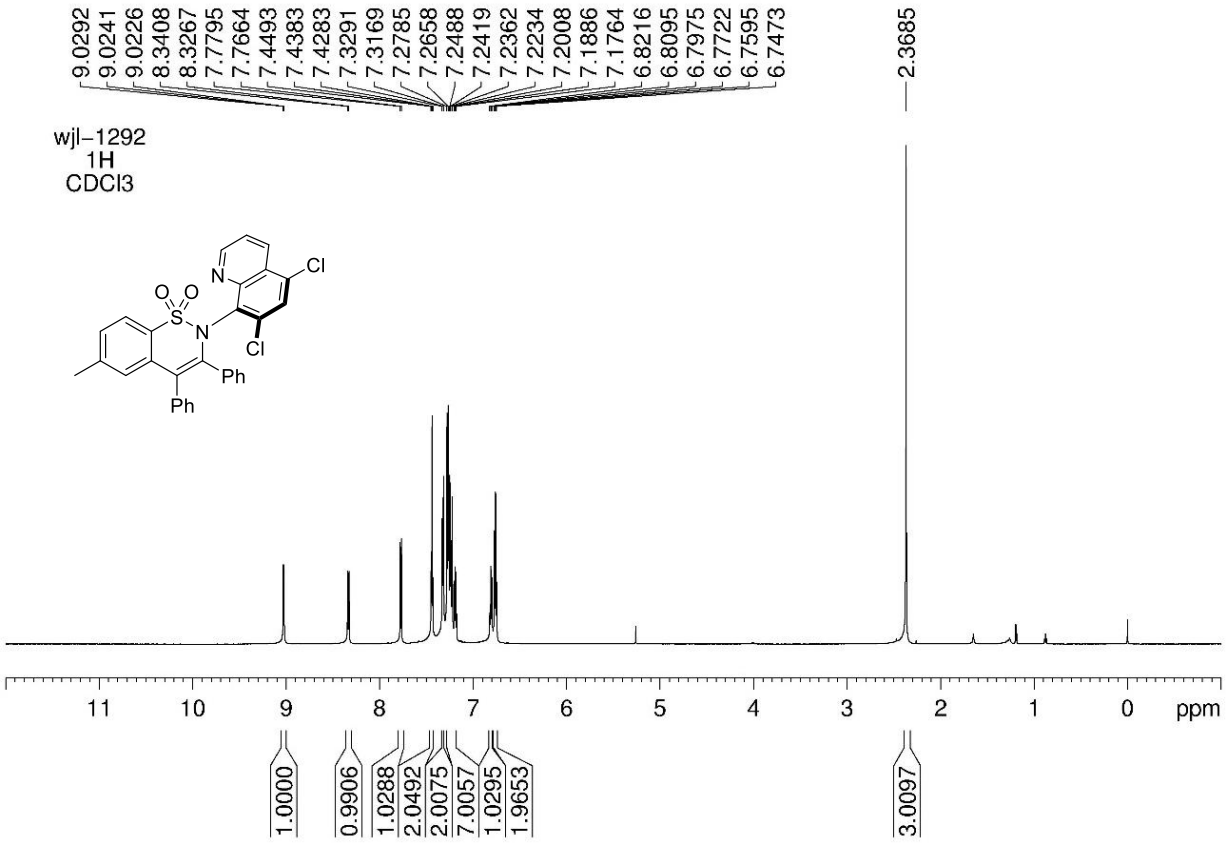
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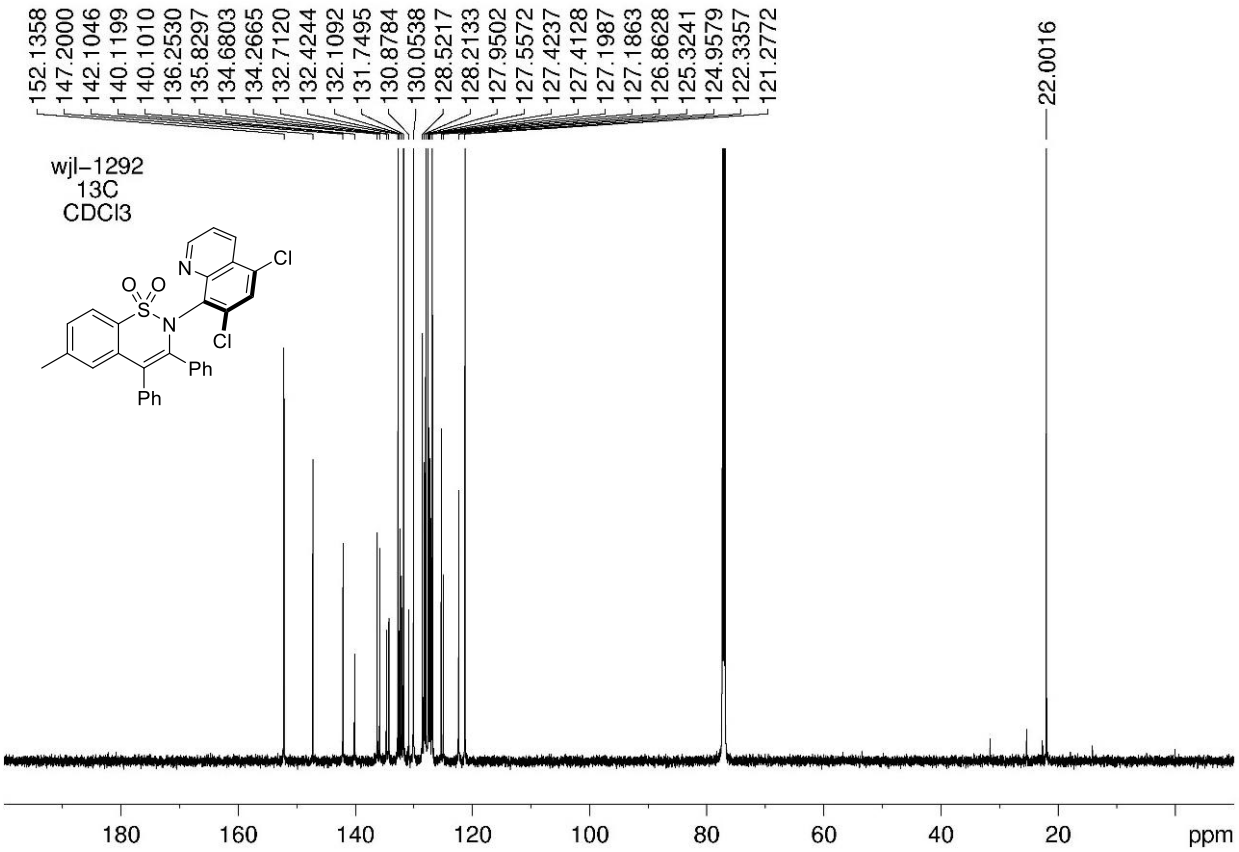
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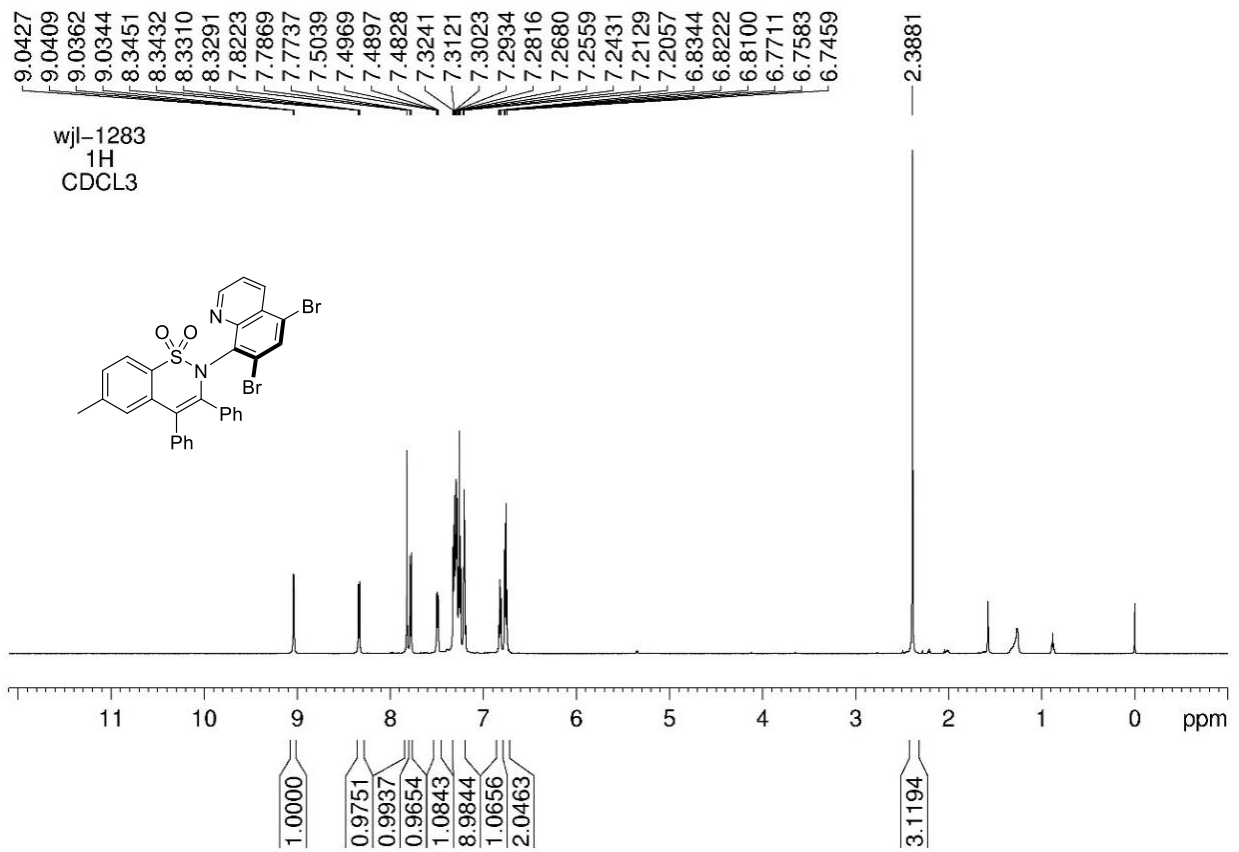
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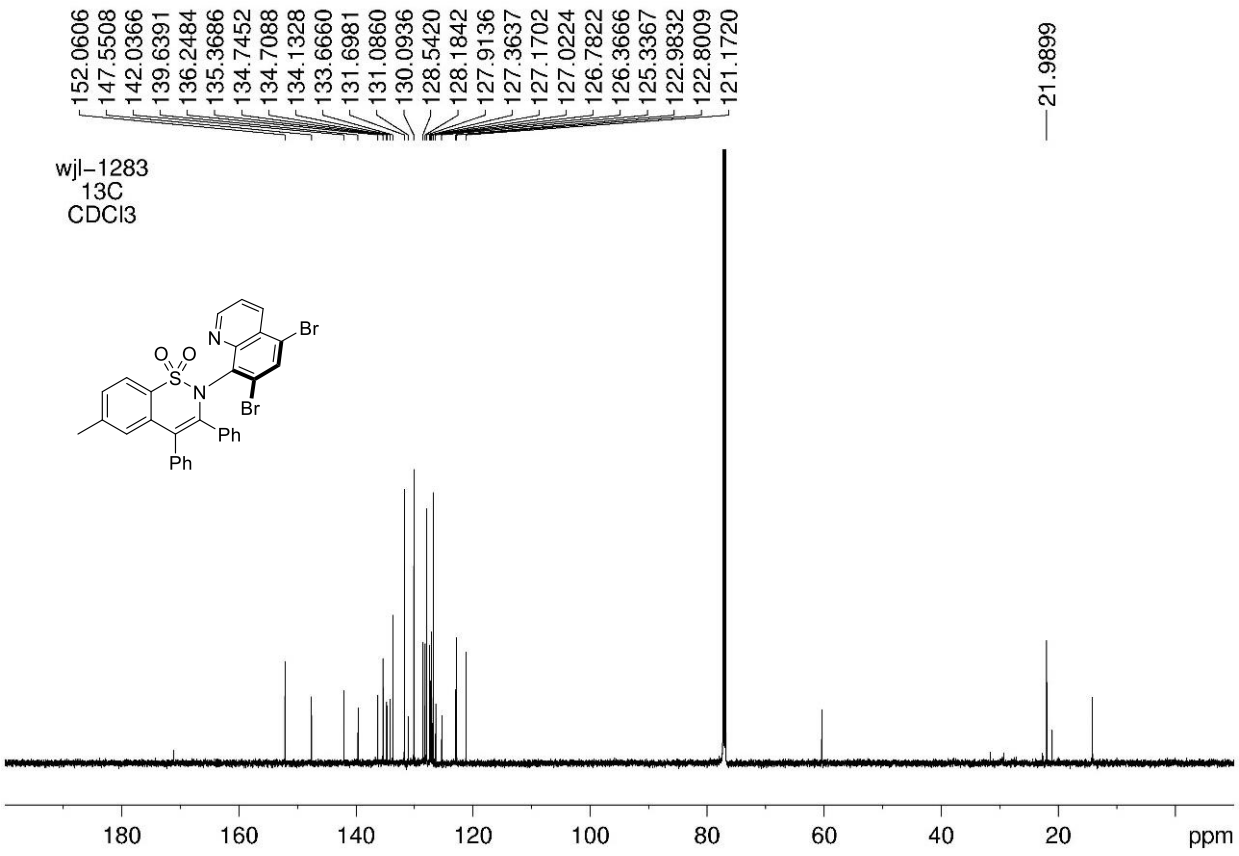
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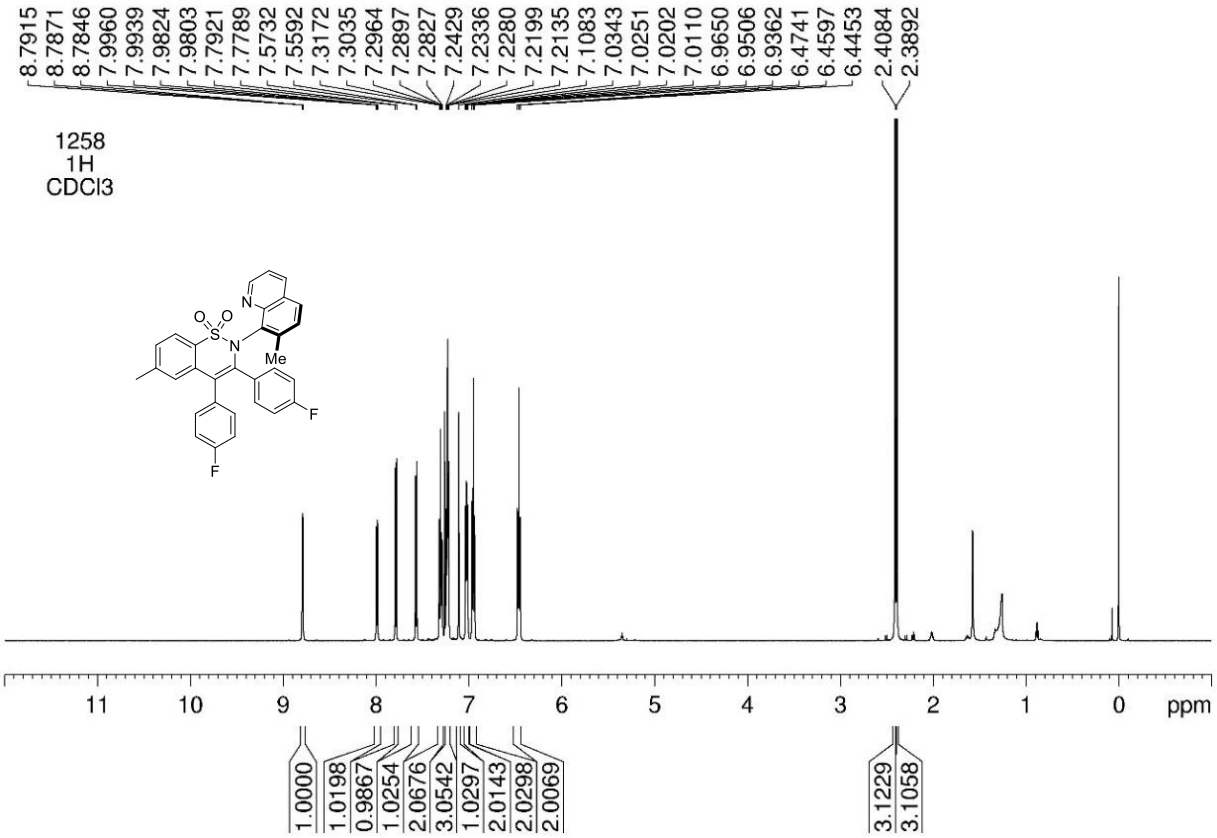
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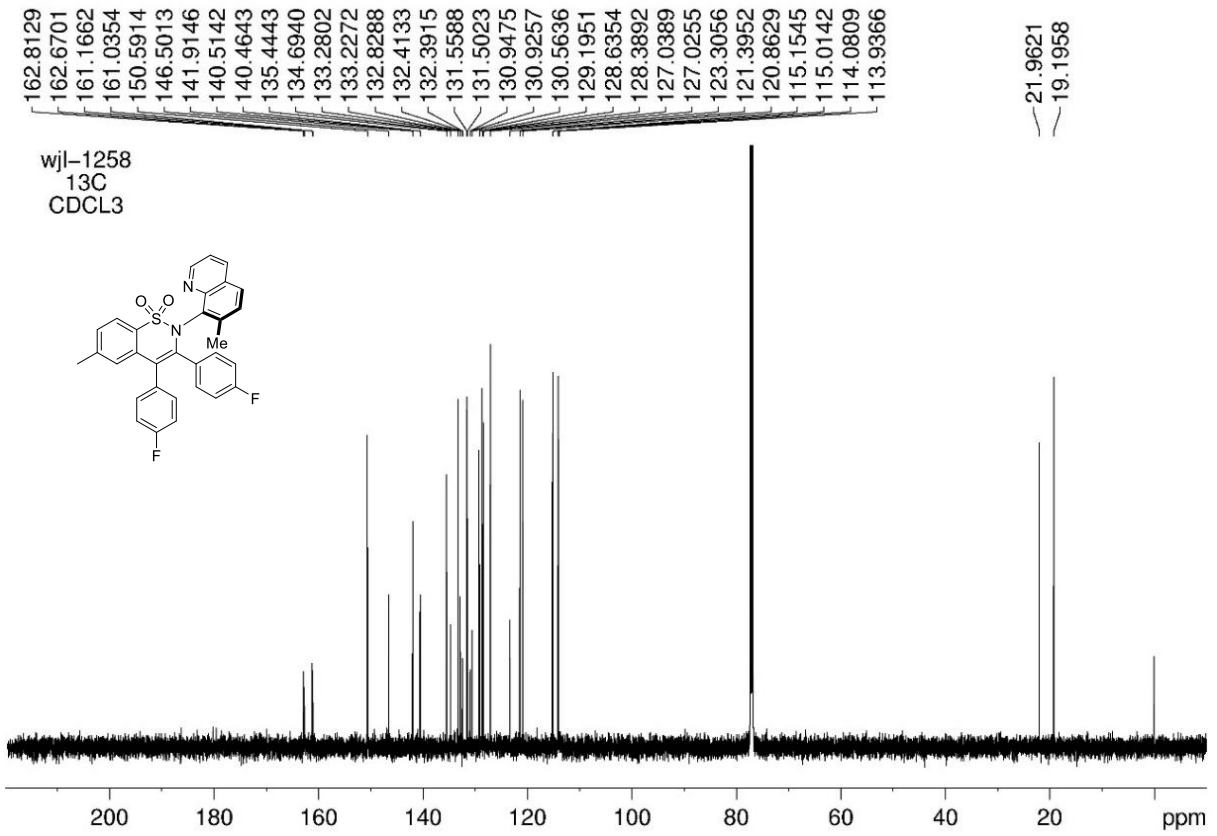
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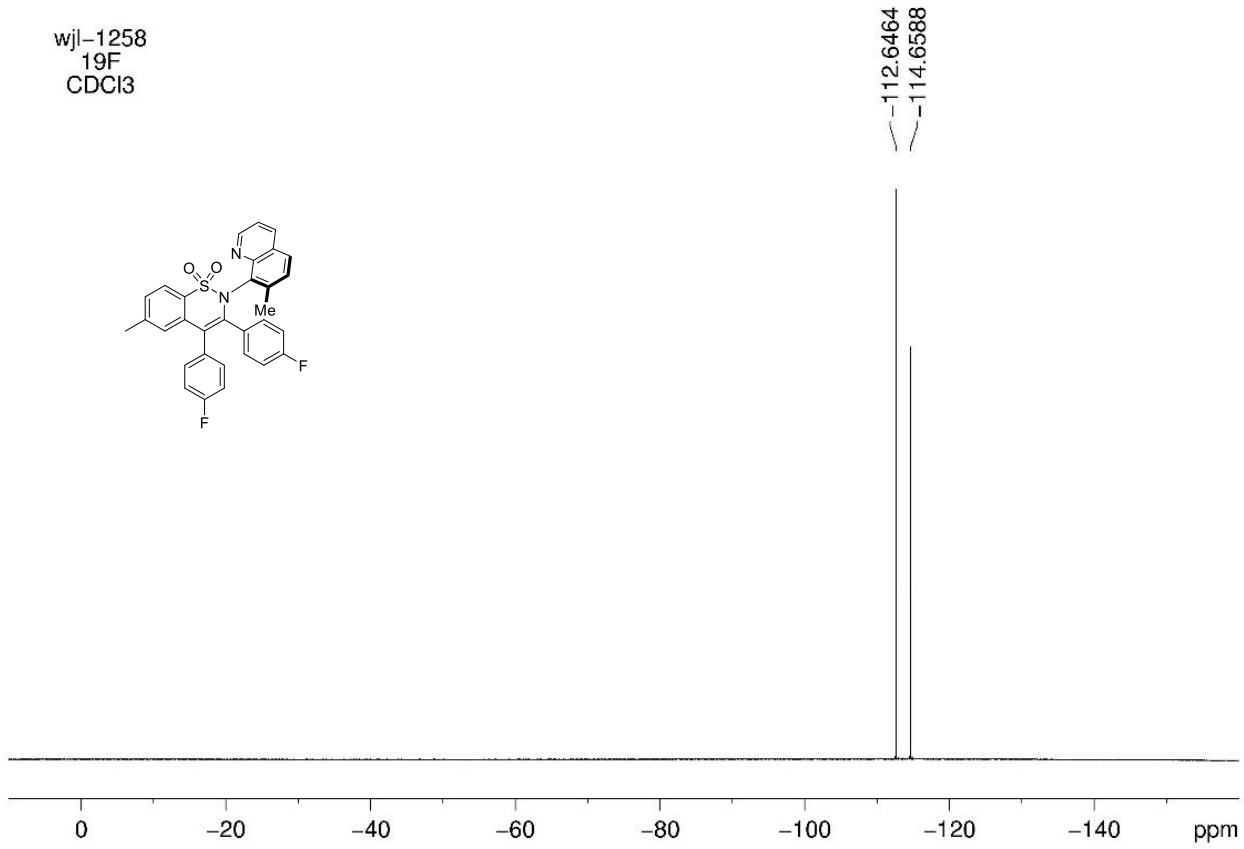
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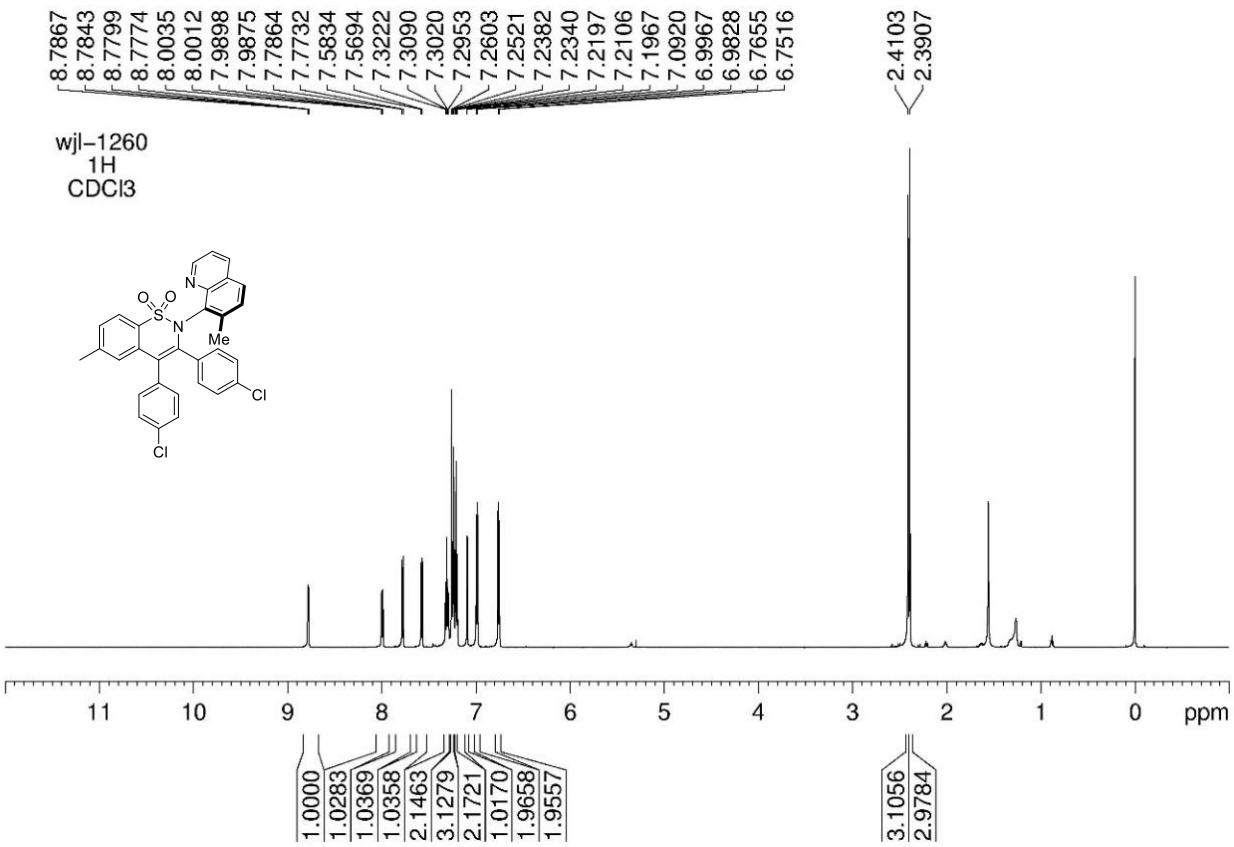
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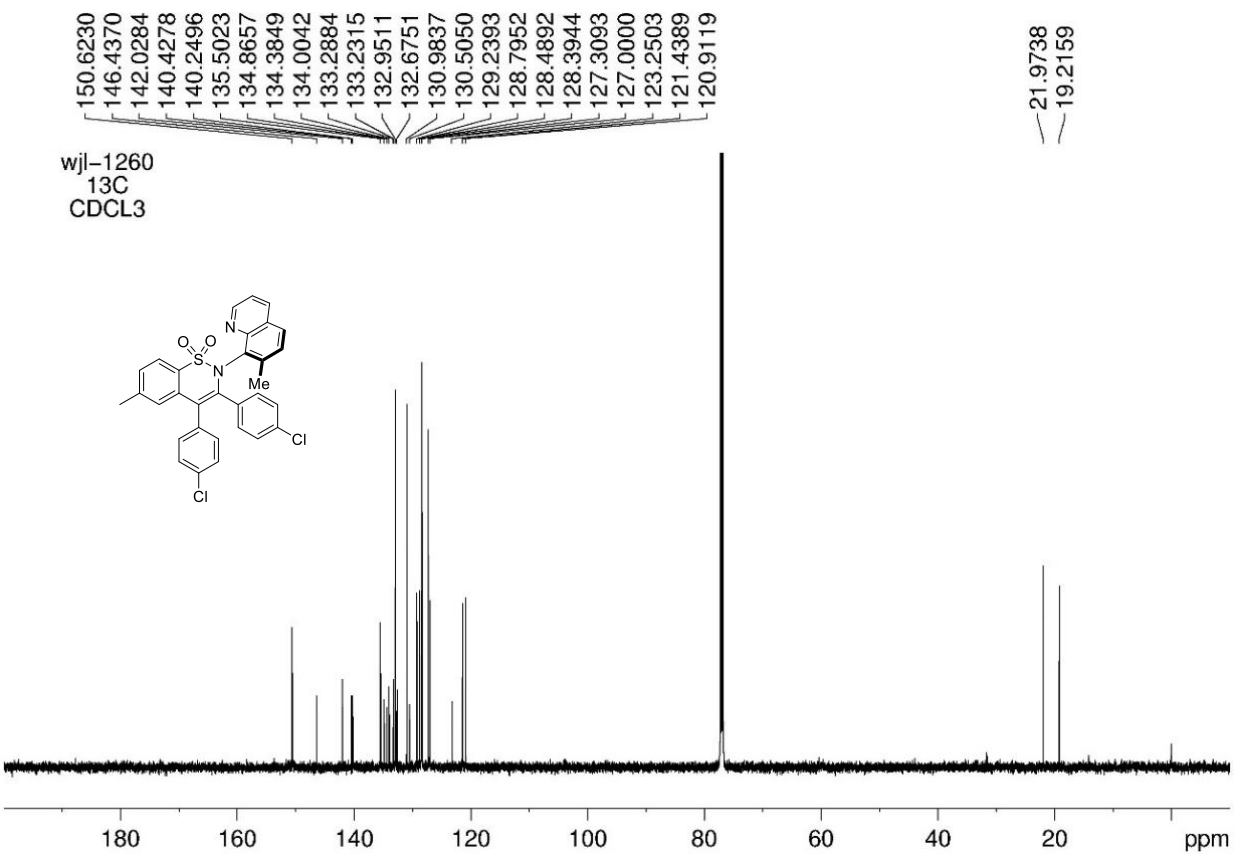
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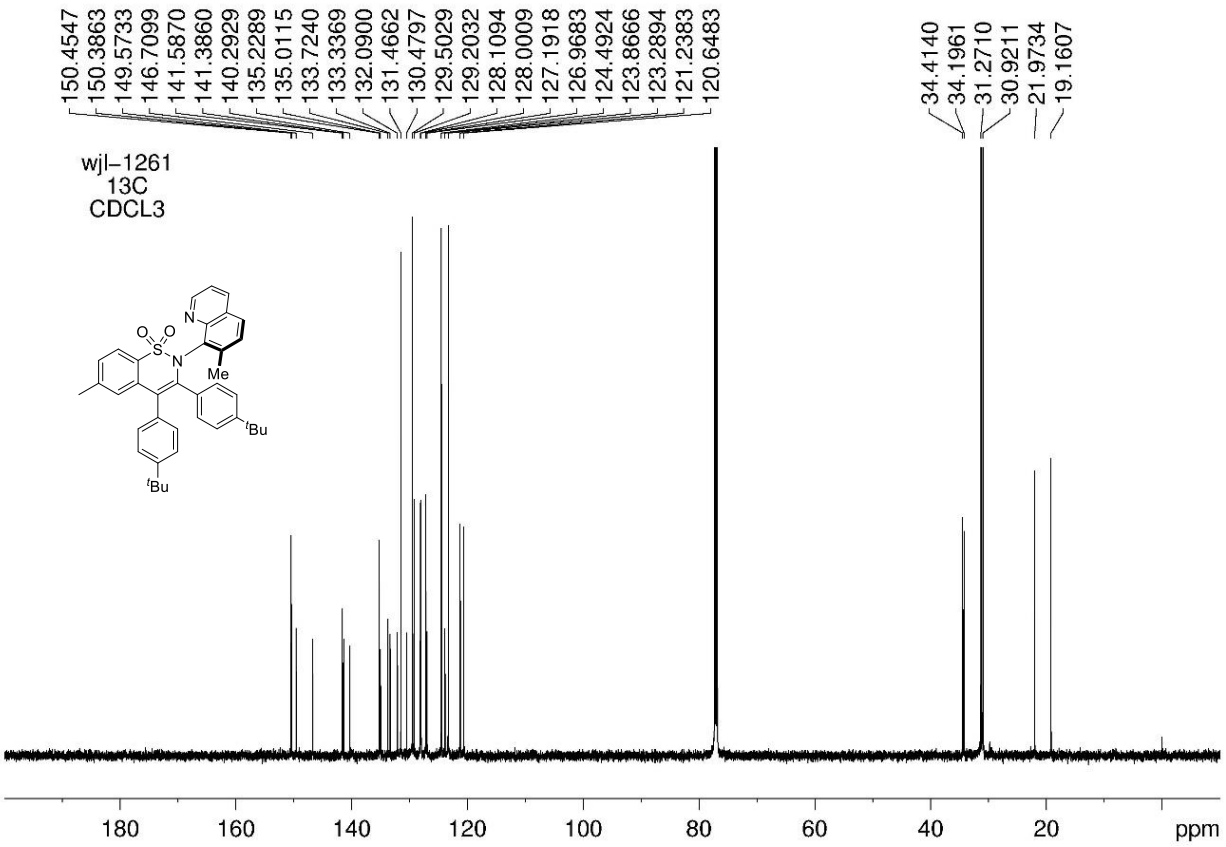
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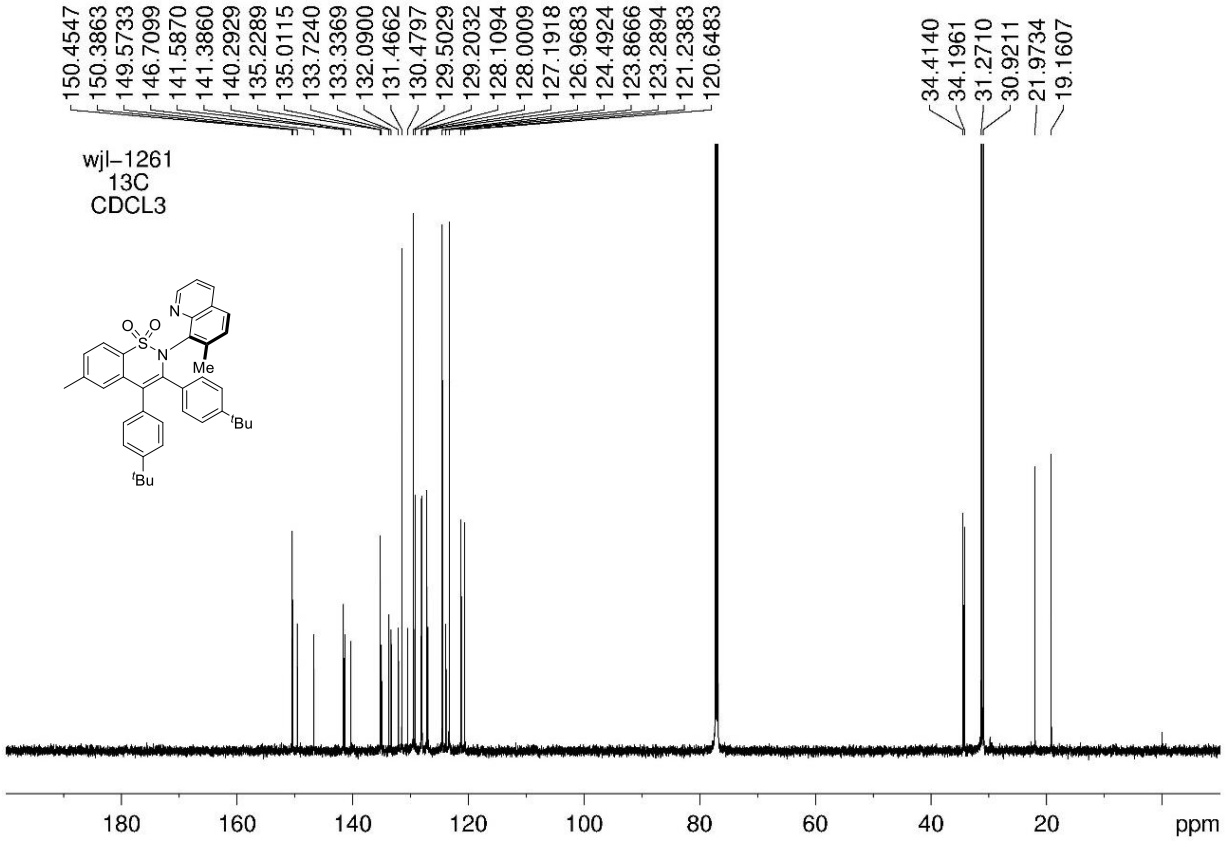
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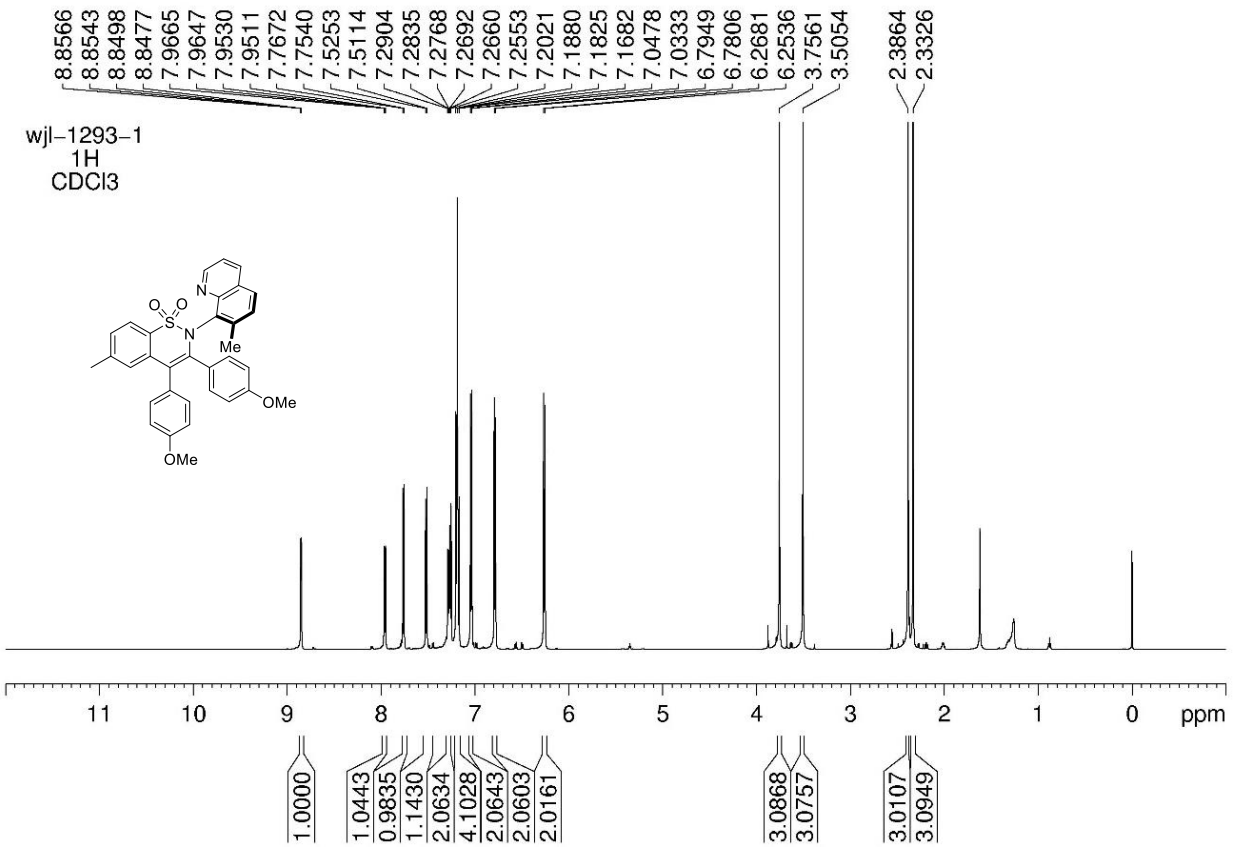
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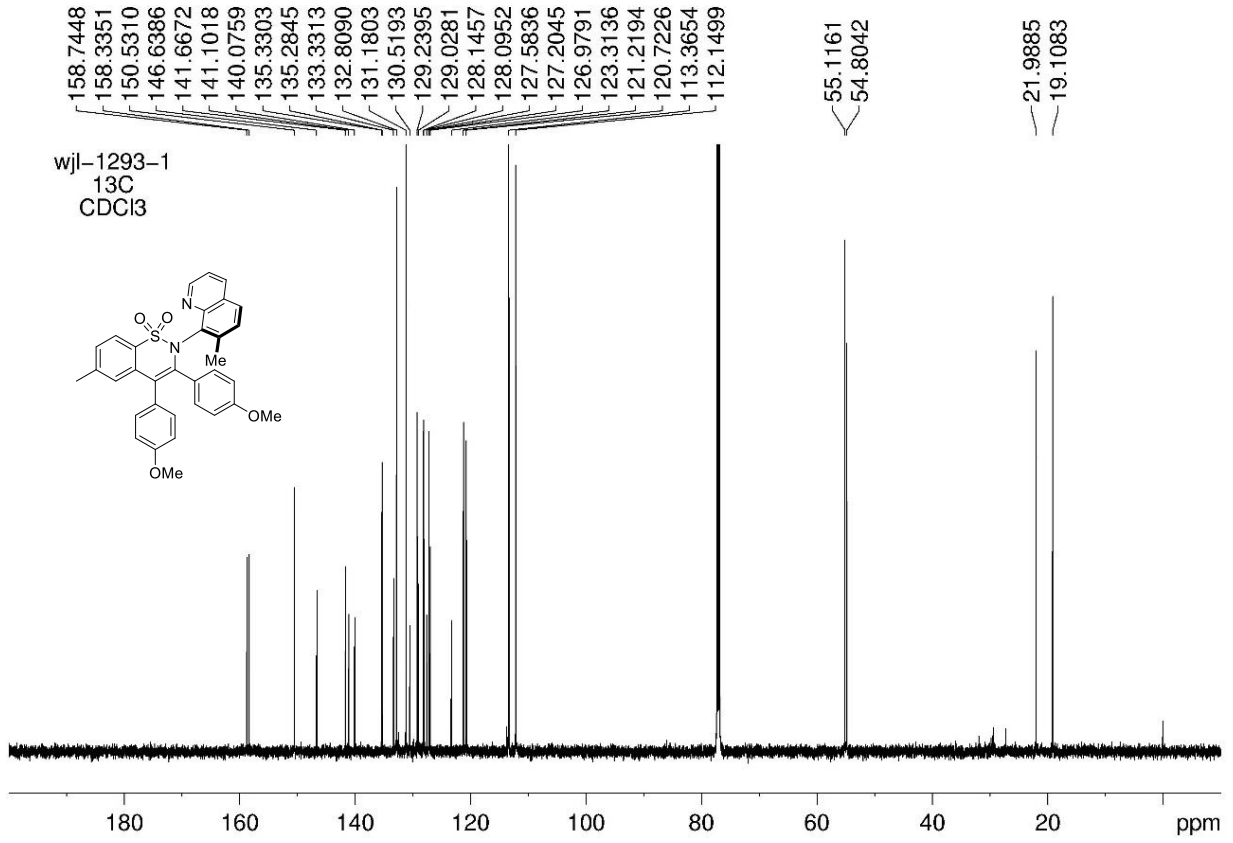
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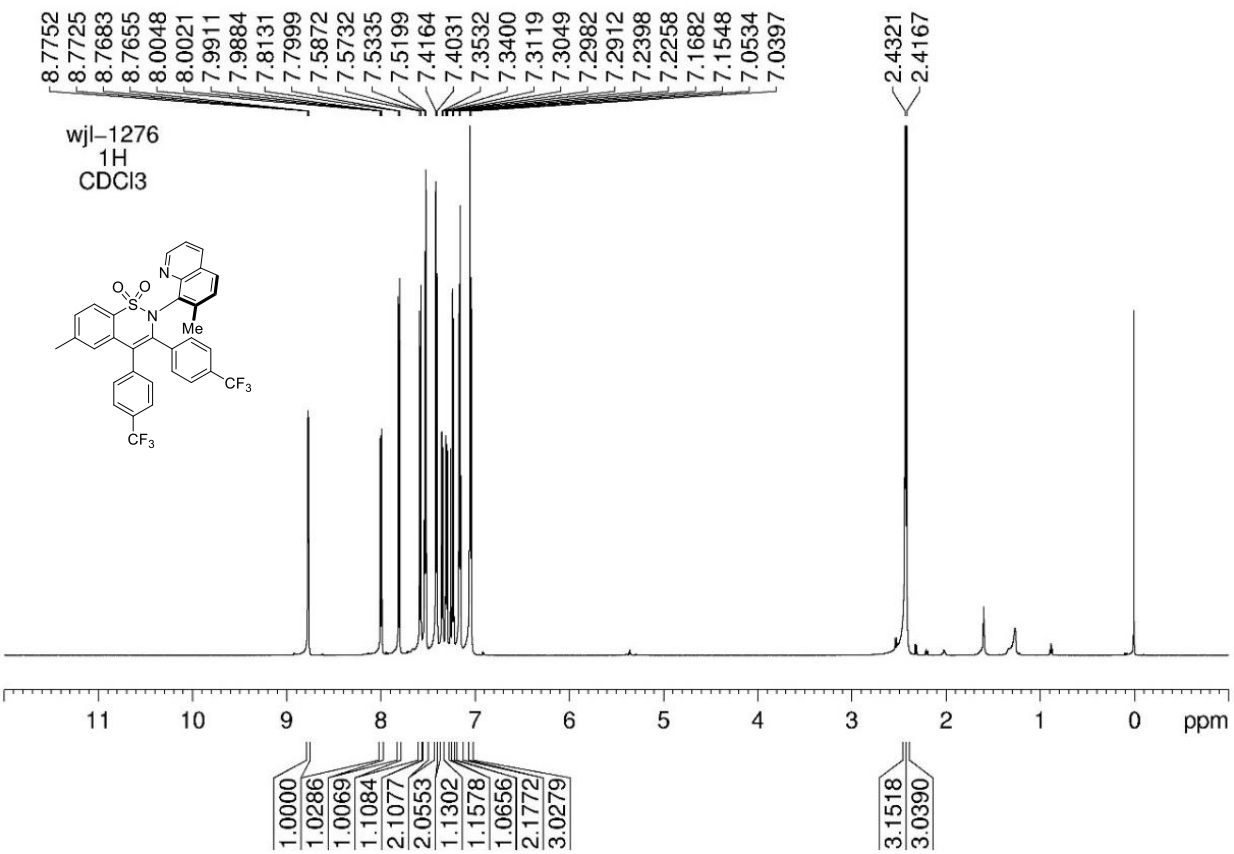
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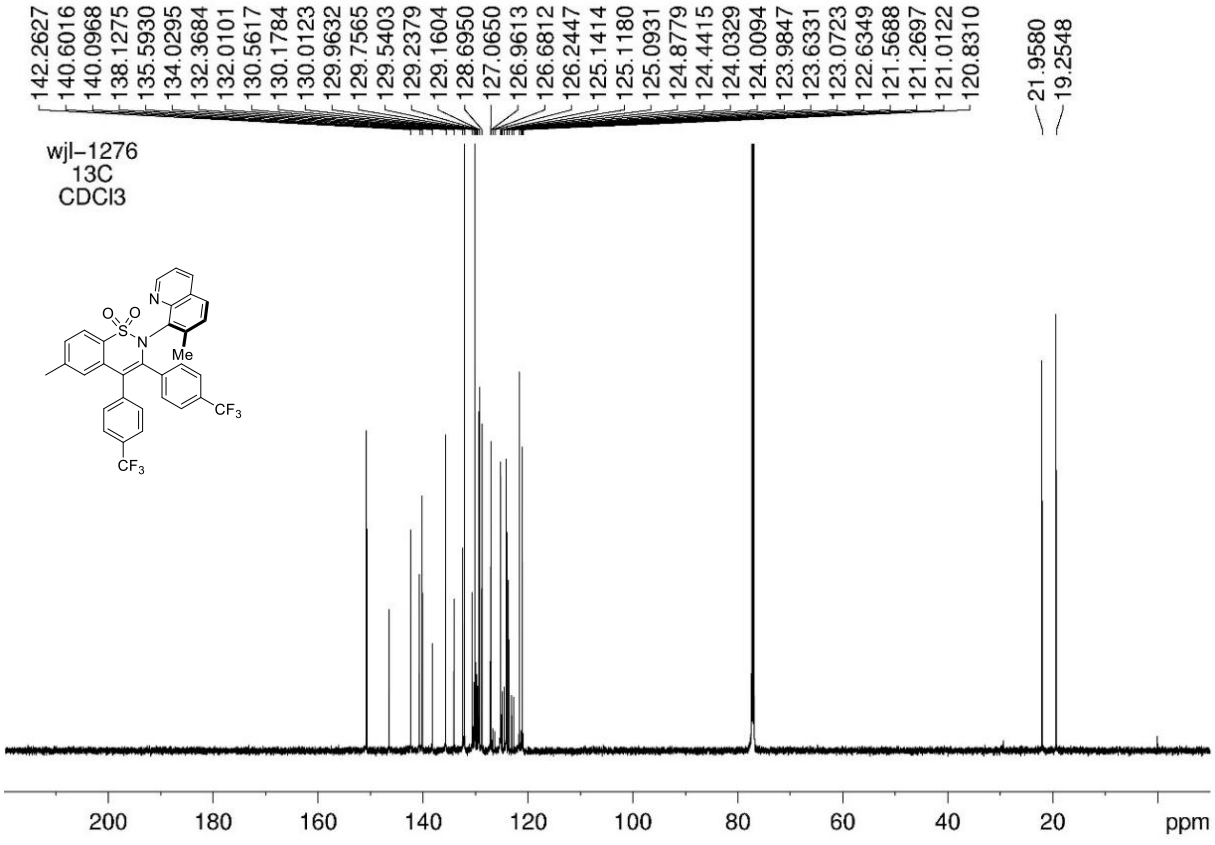
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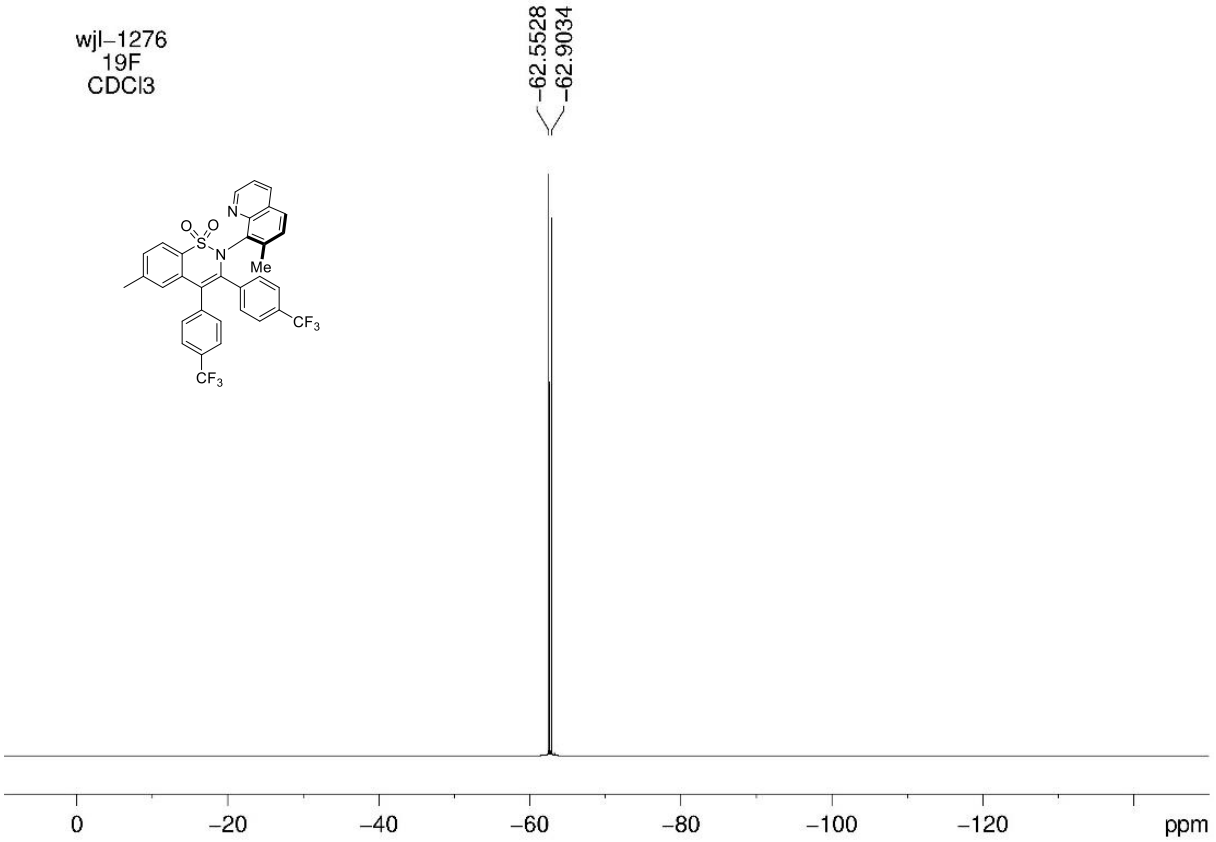
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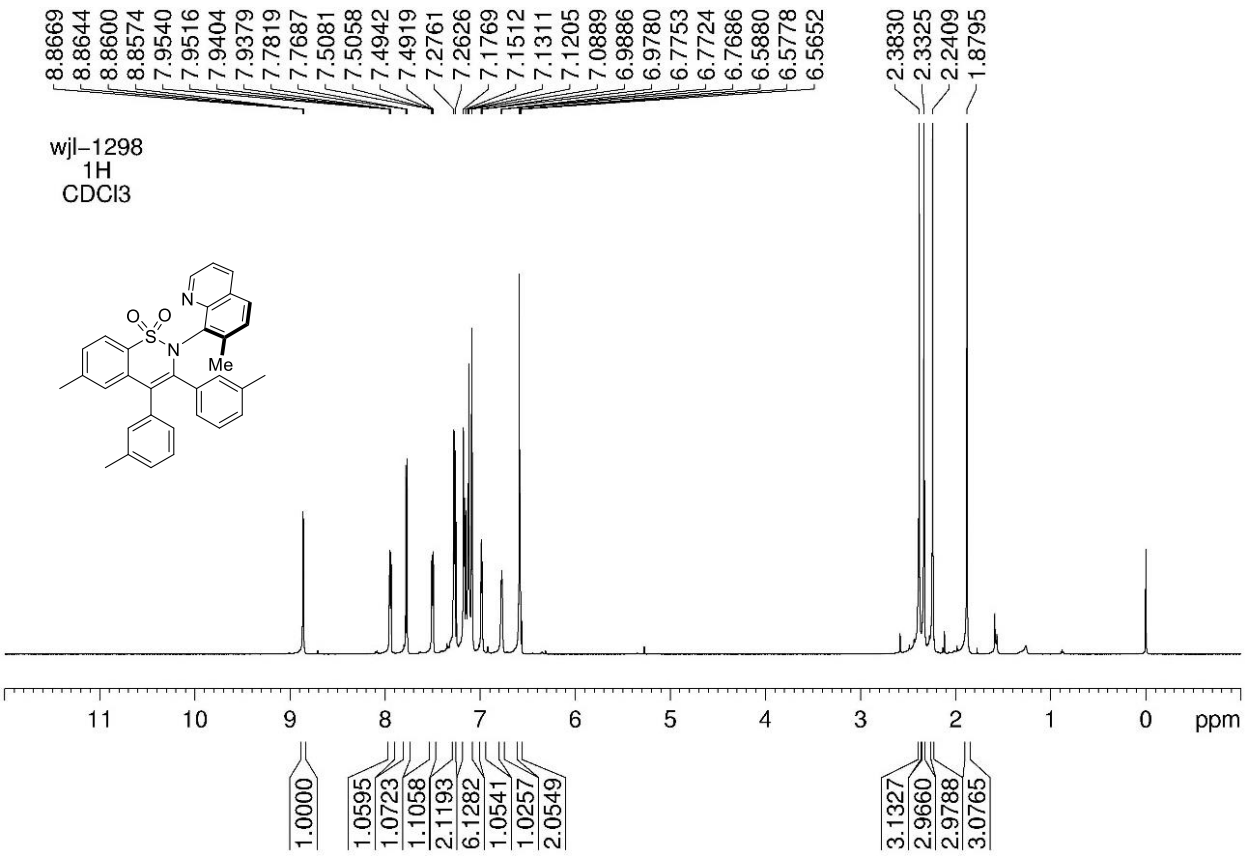
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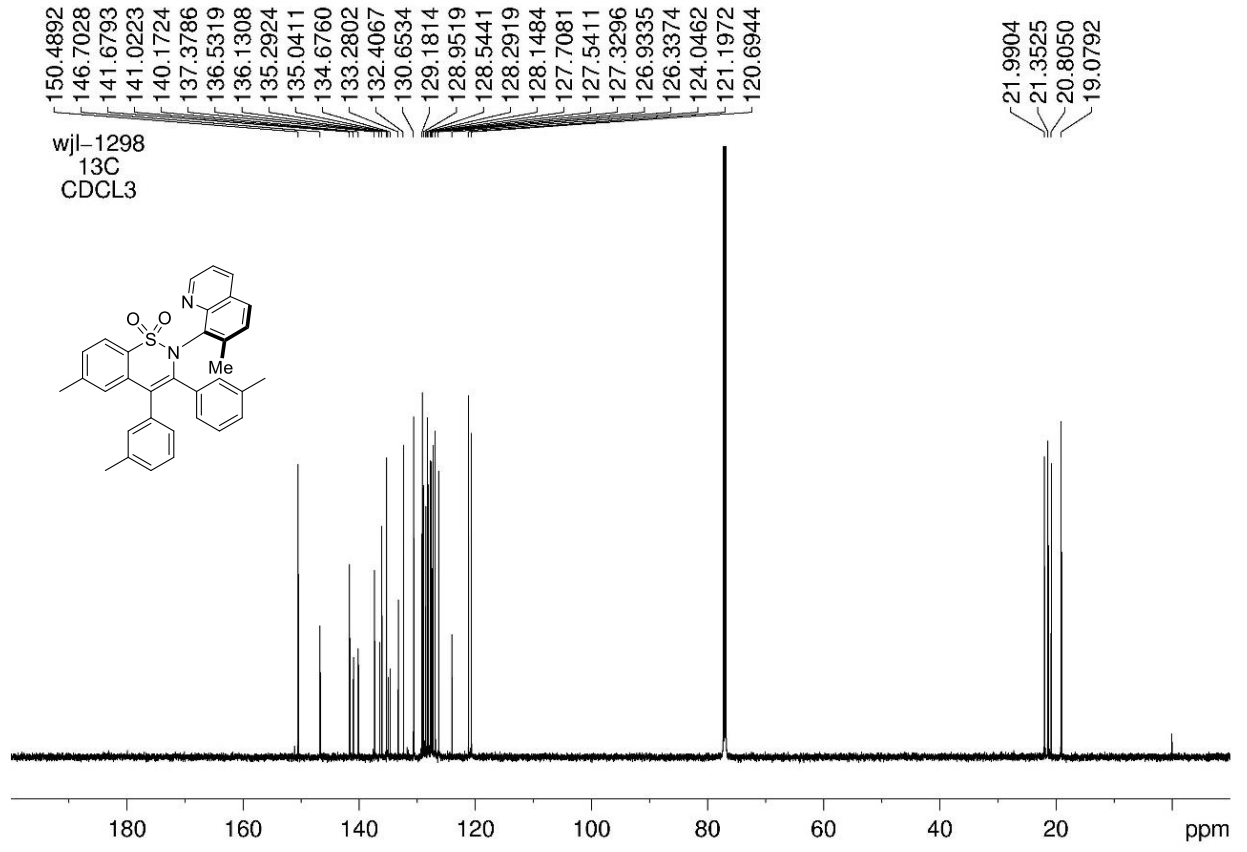
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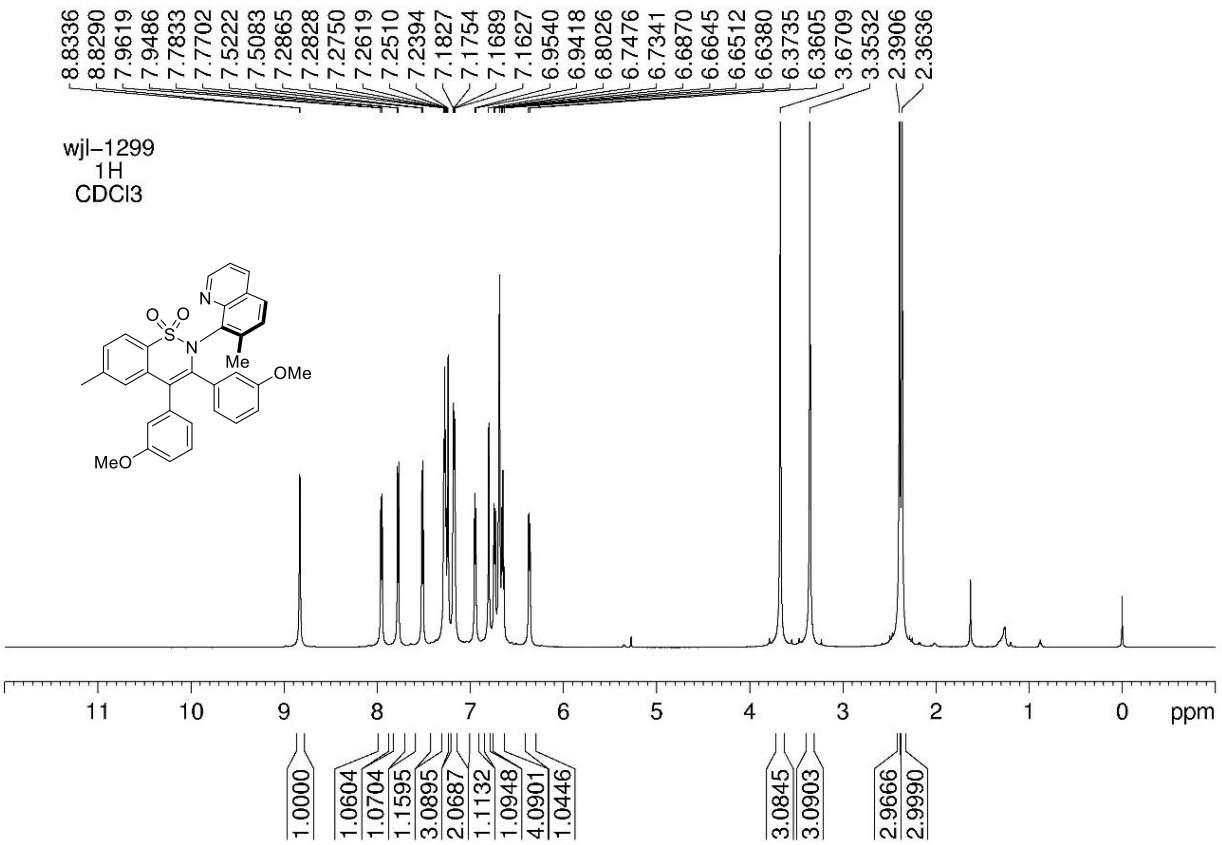
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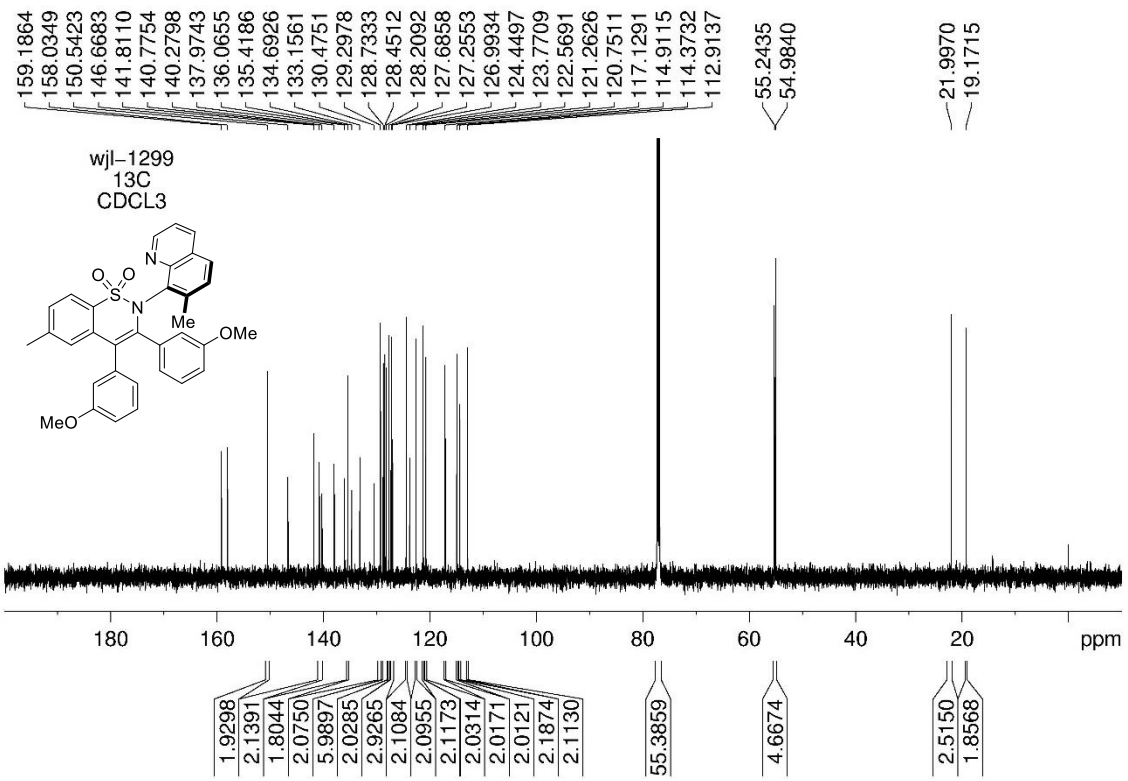
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S
N
Me
OMe
MeO
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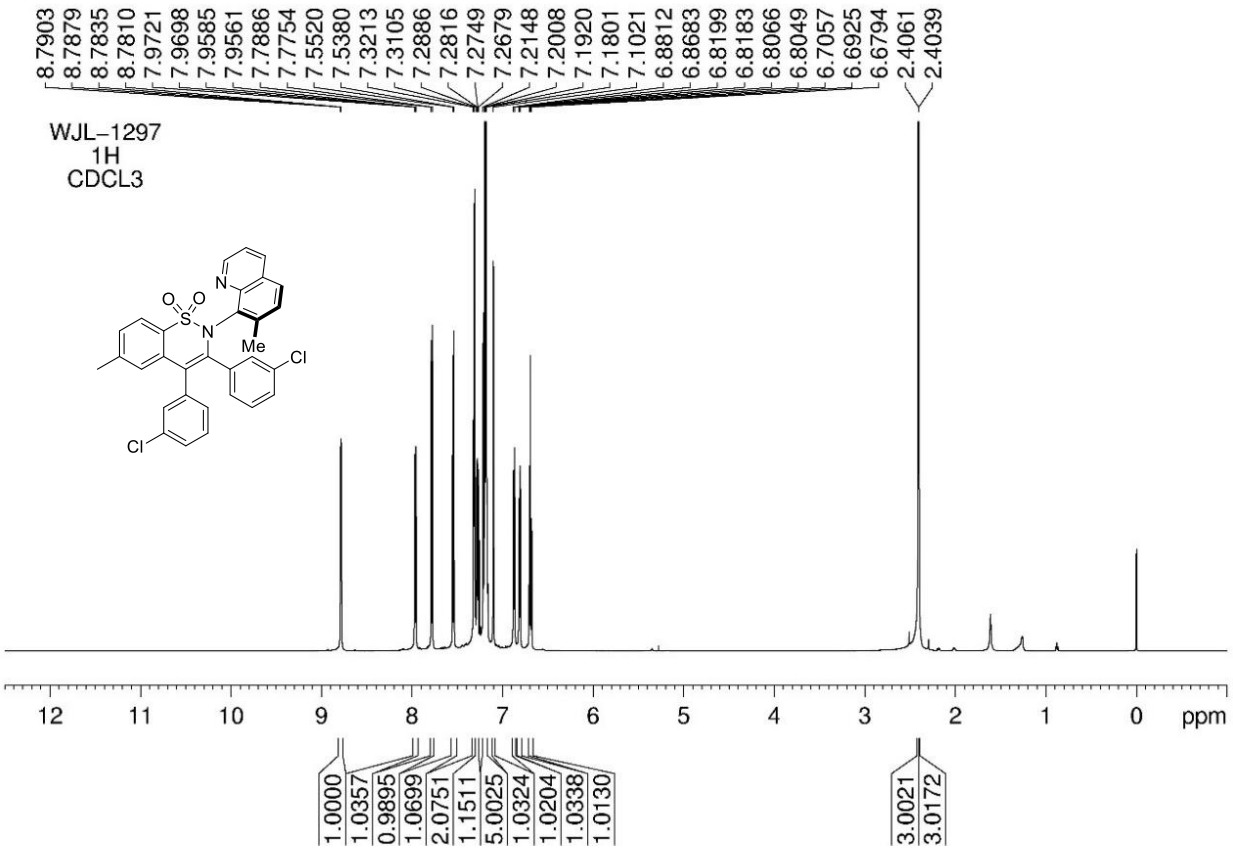
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WJL–1297
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Me
Cl
Cl
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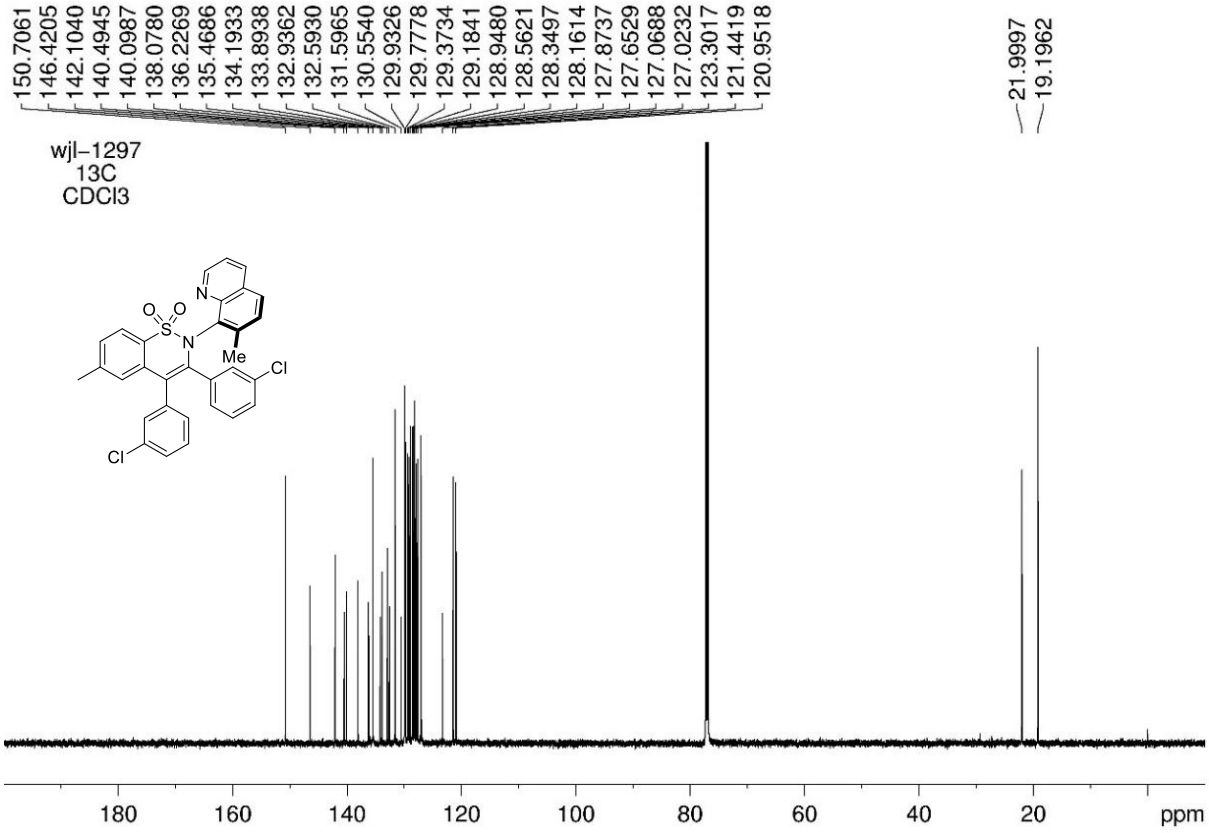
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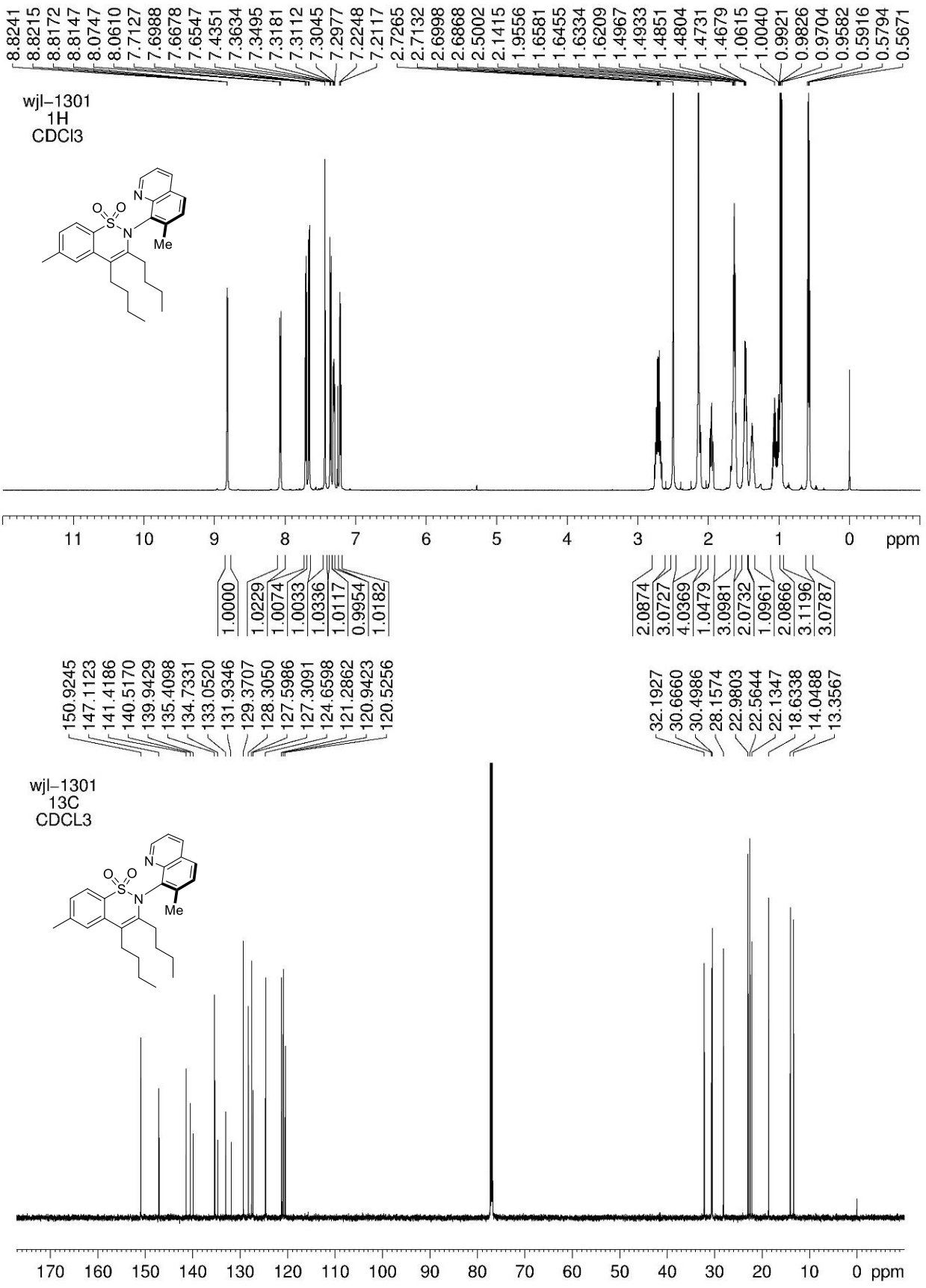
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Me
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10
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7
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4
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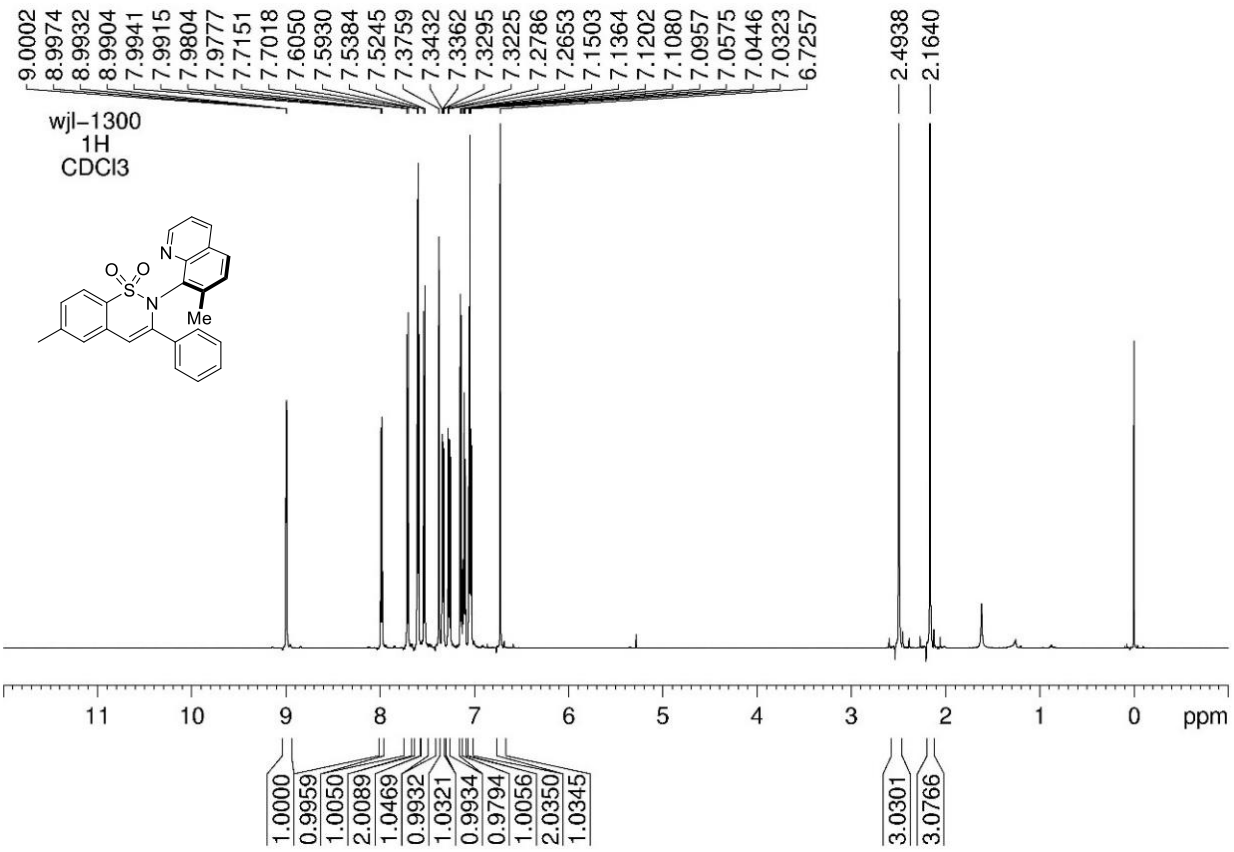
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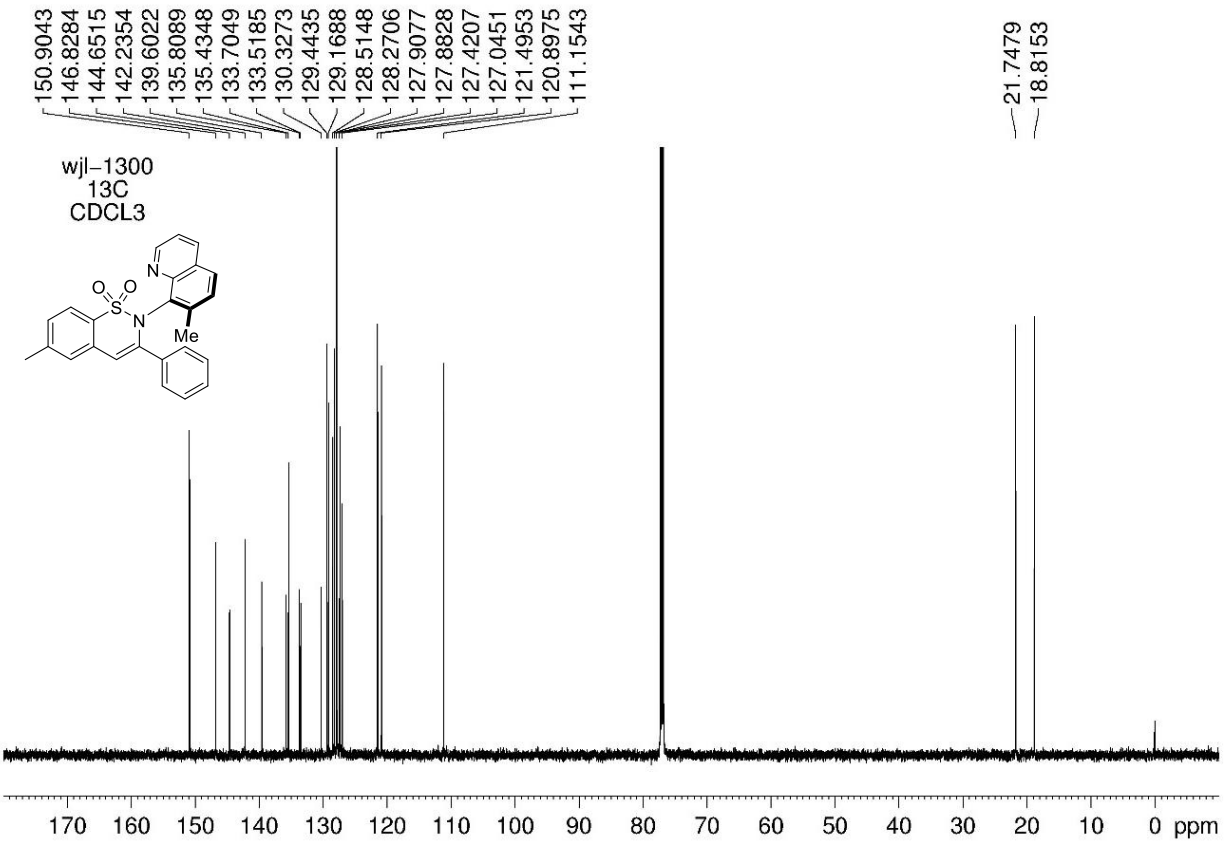
3.0301
3.0766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13C
CDCL3
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120
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20
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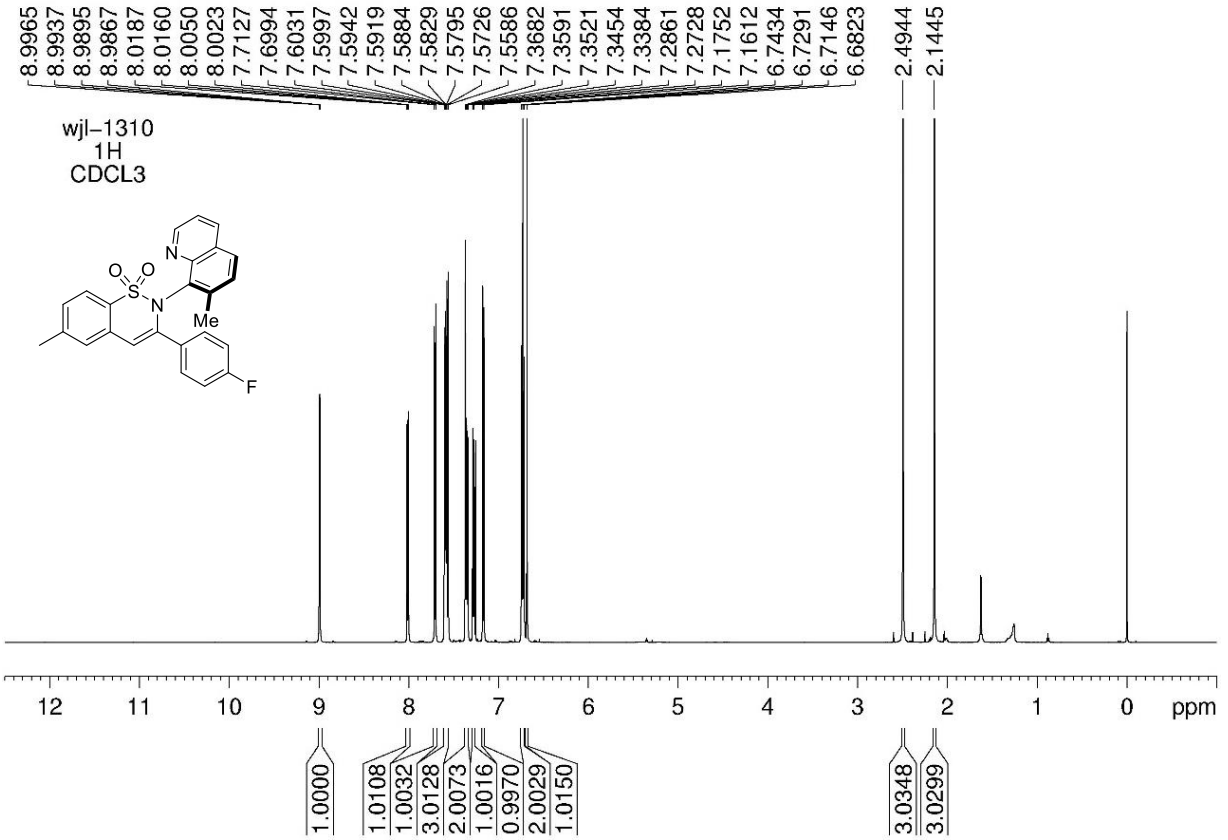
ppm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7.5919
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7.5829
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Me
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7
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5
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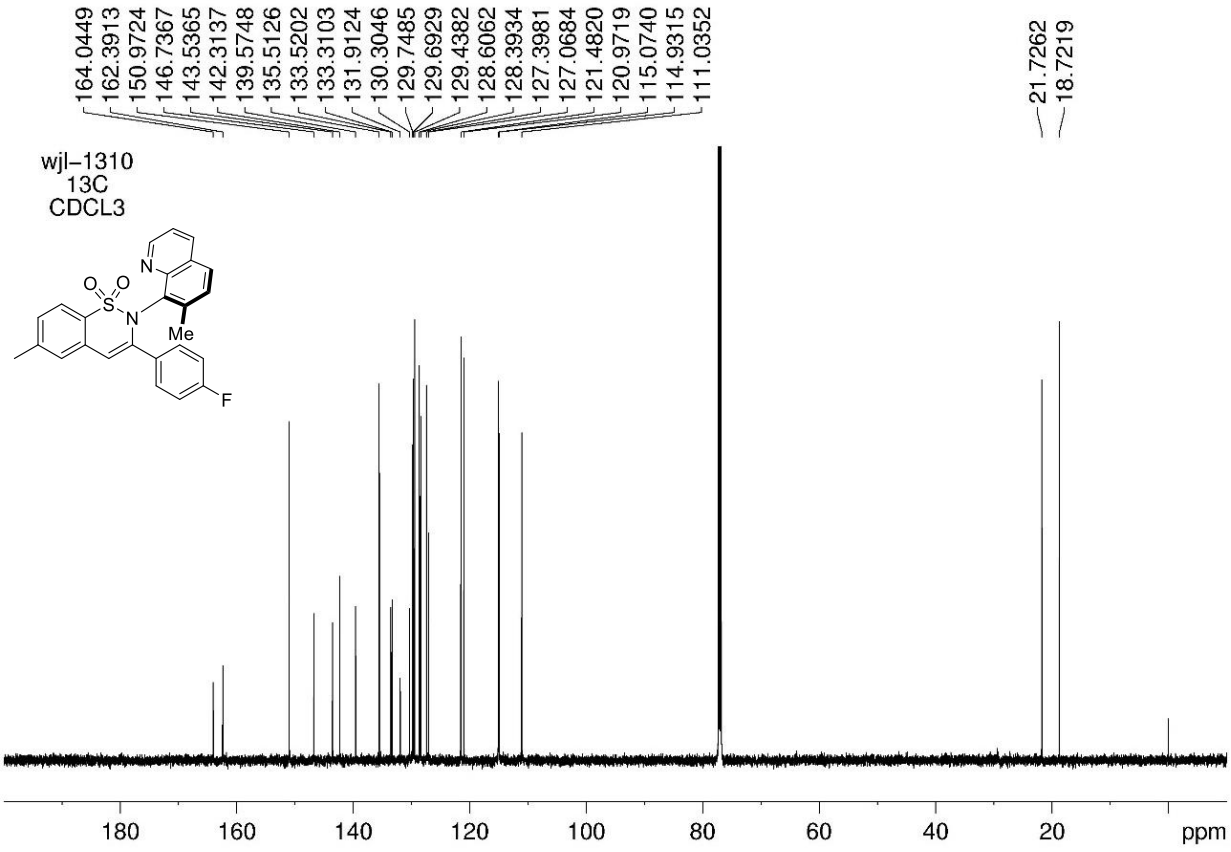
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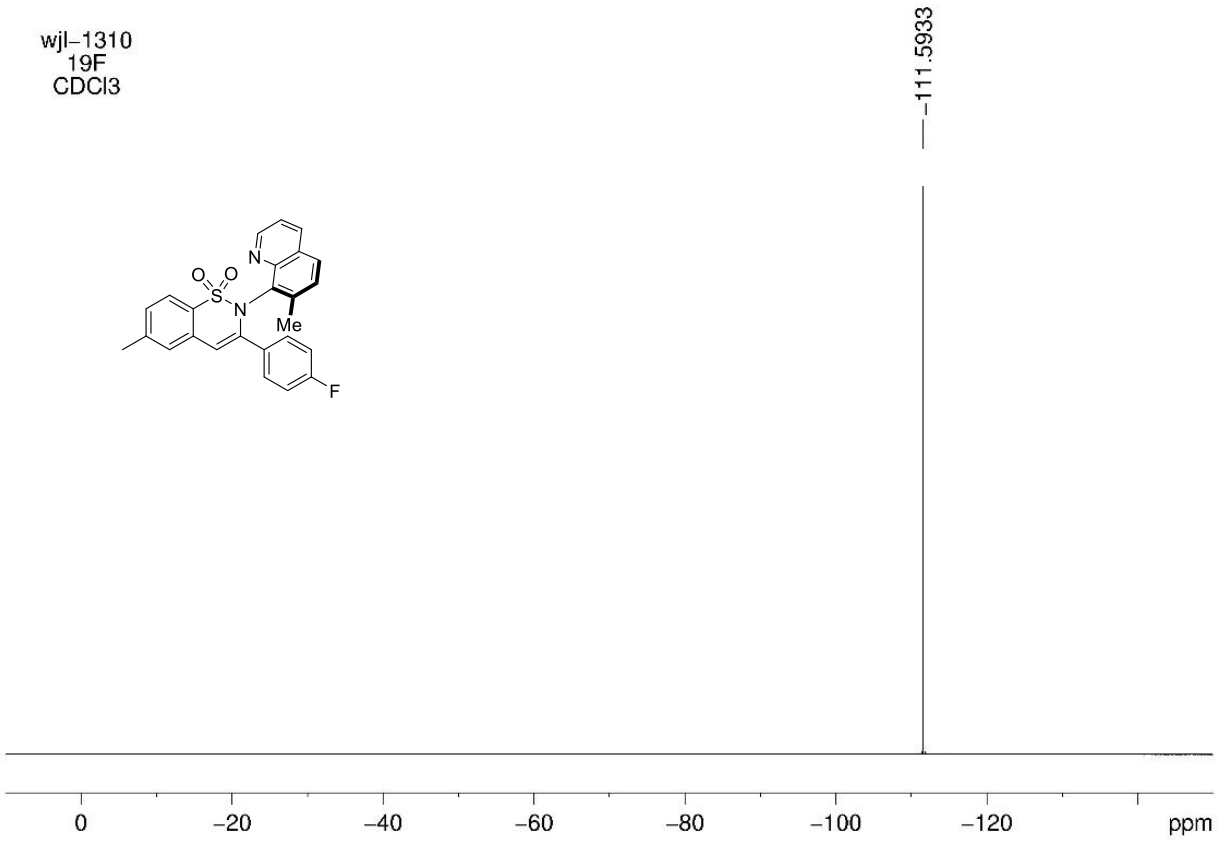
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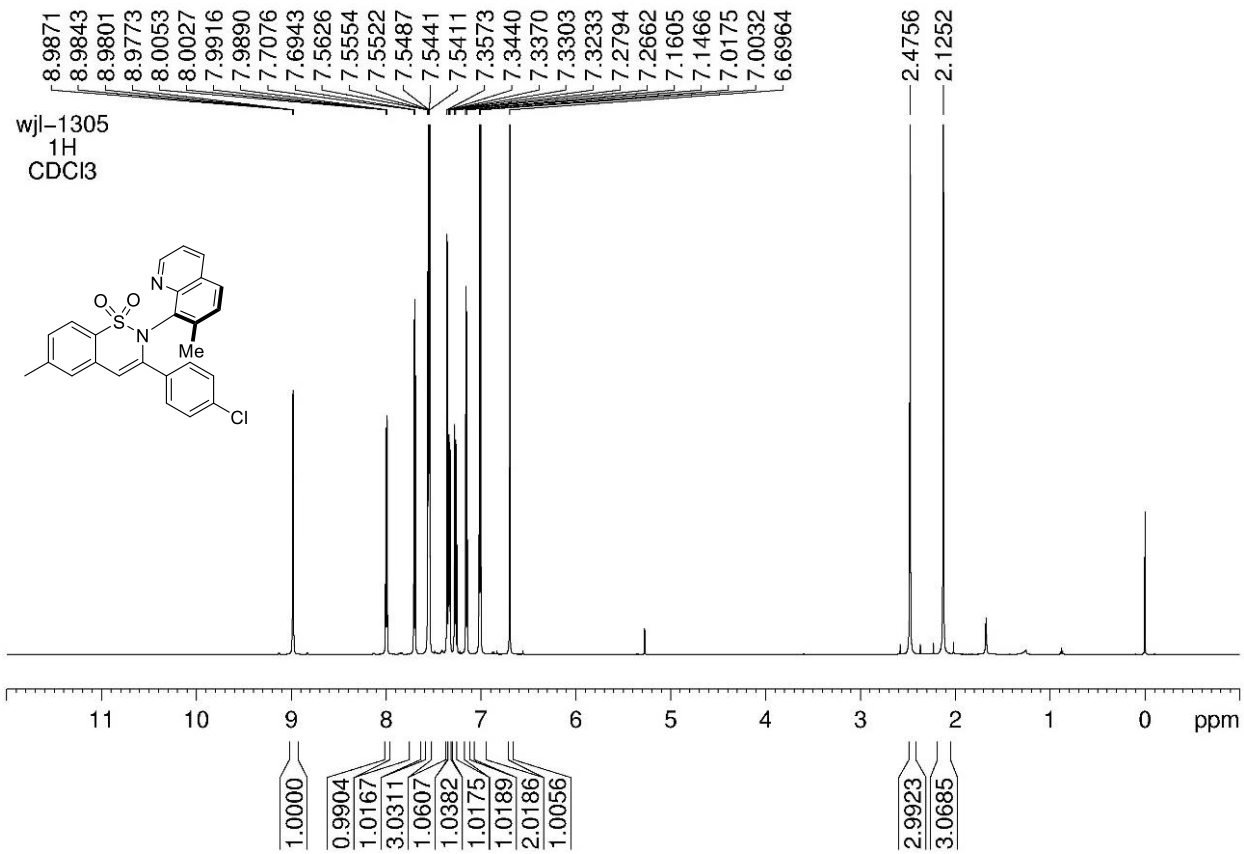
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O
S
N
Me
Cl
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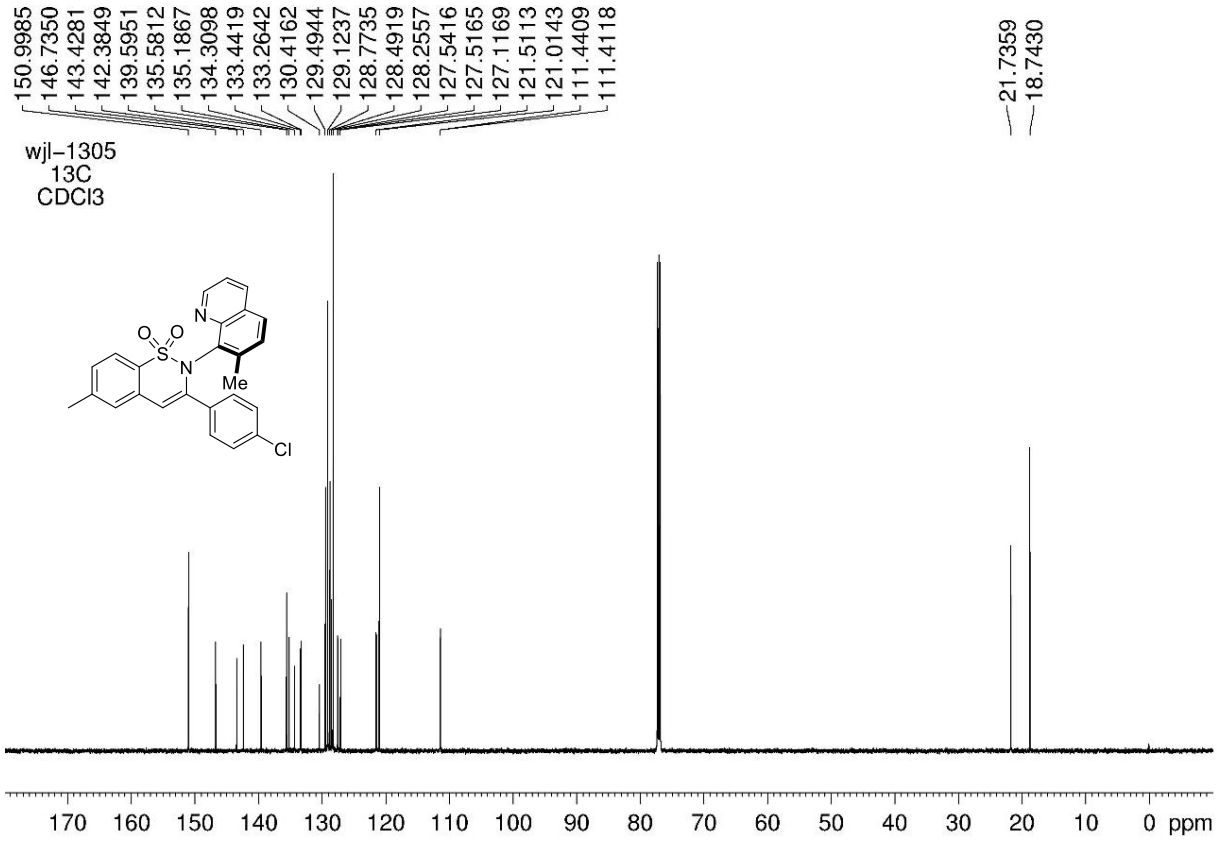
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S
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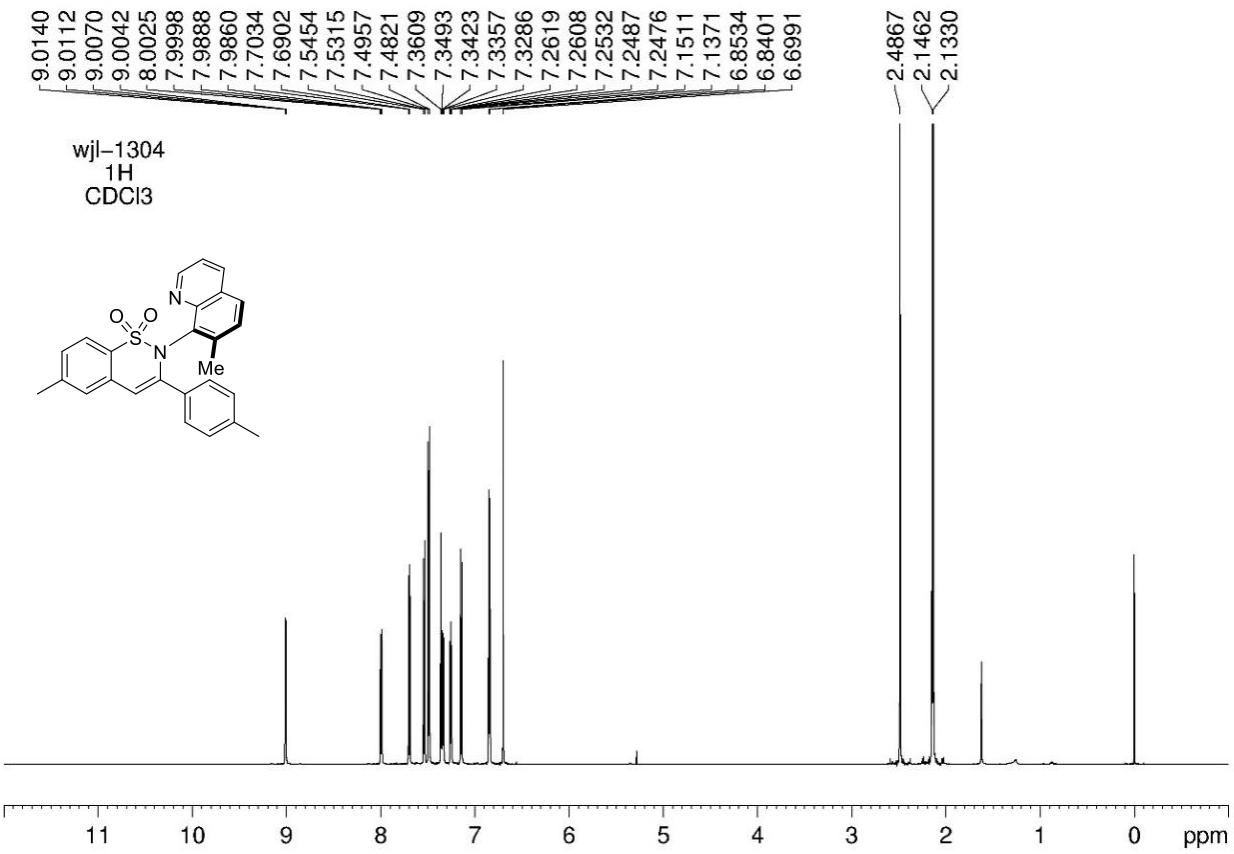
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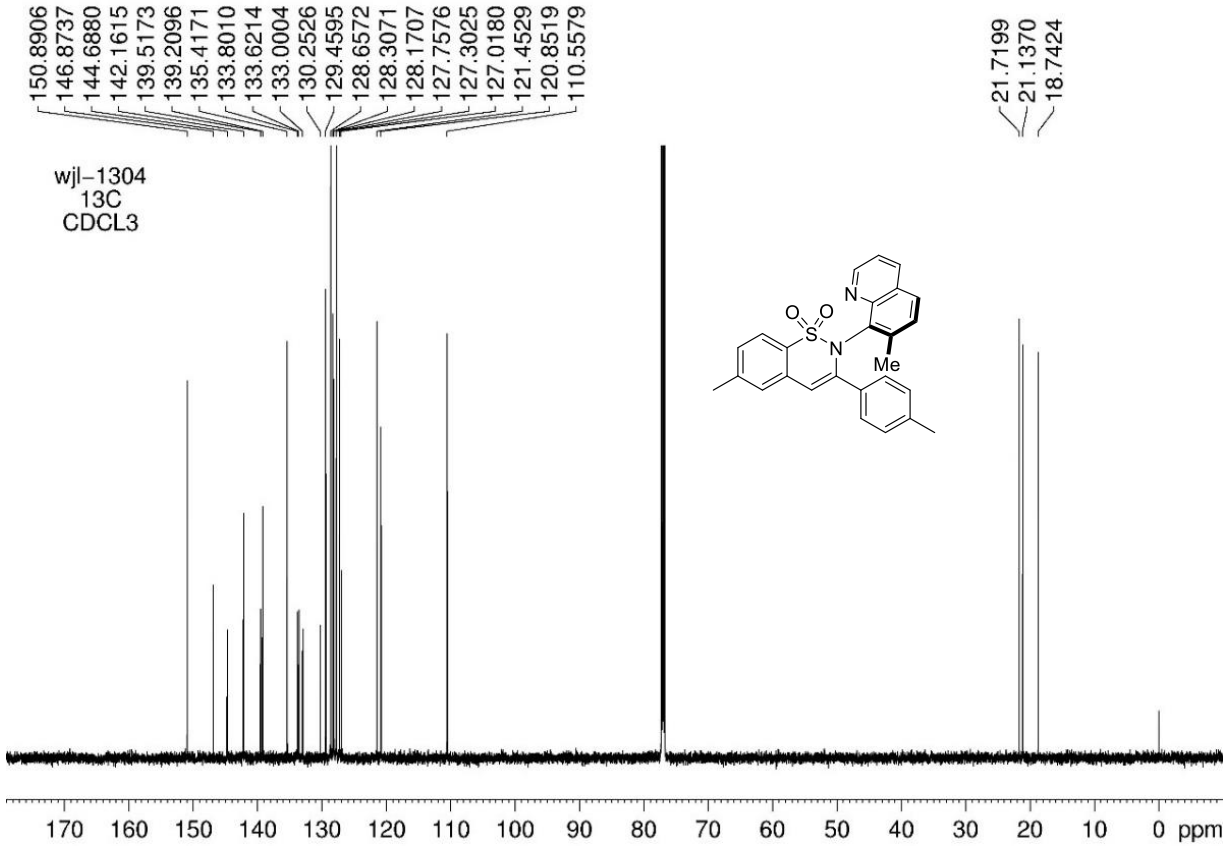
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13C
CDCL3
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O
O
S
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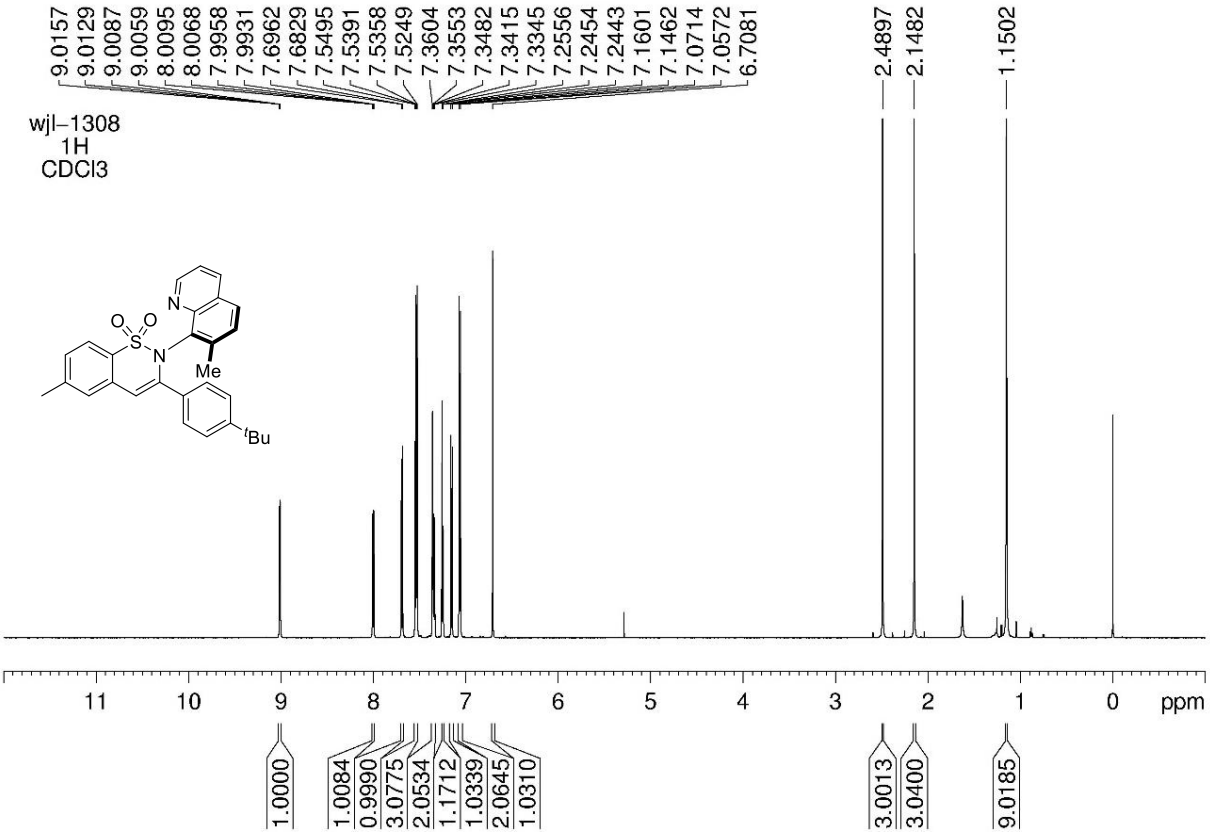
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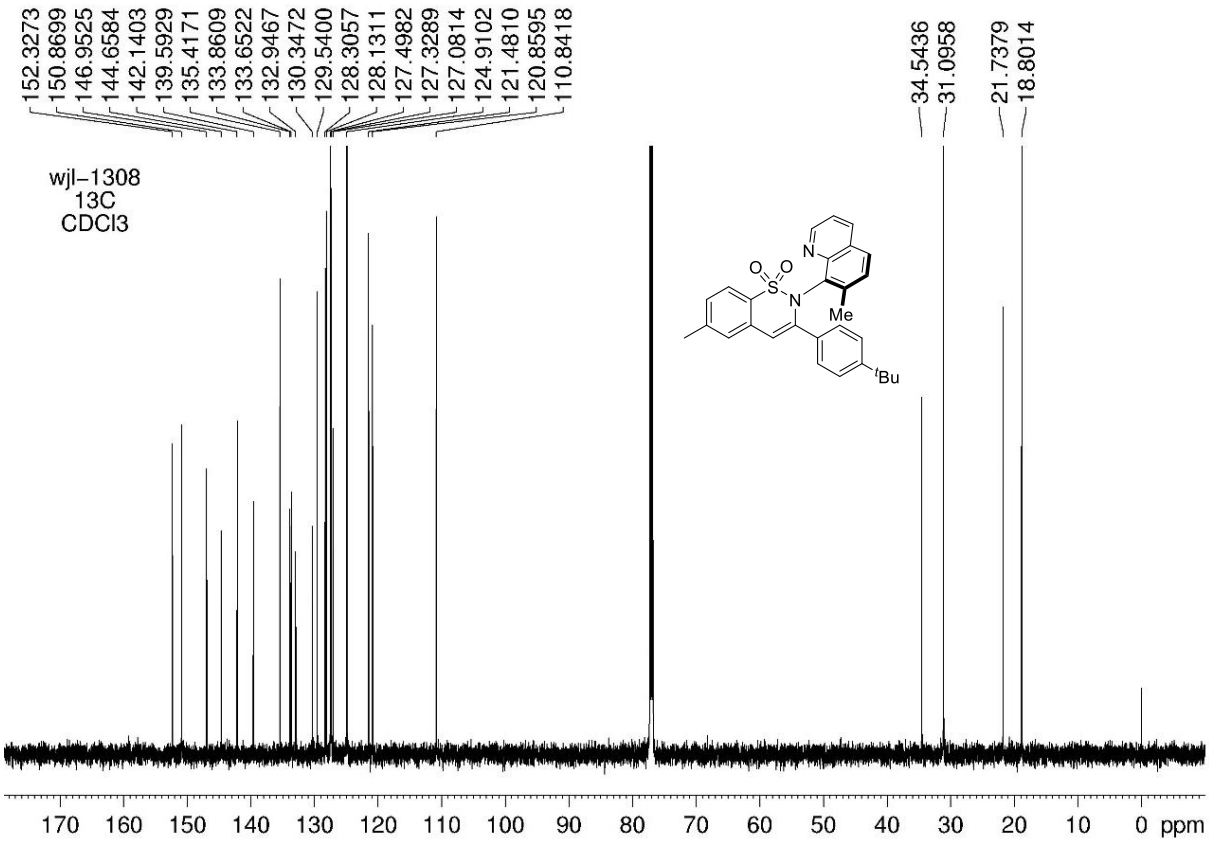
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Me
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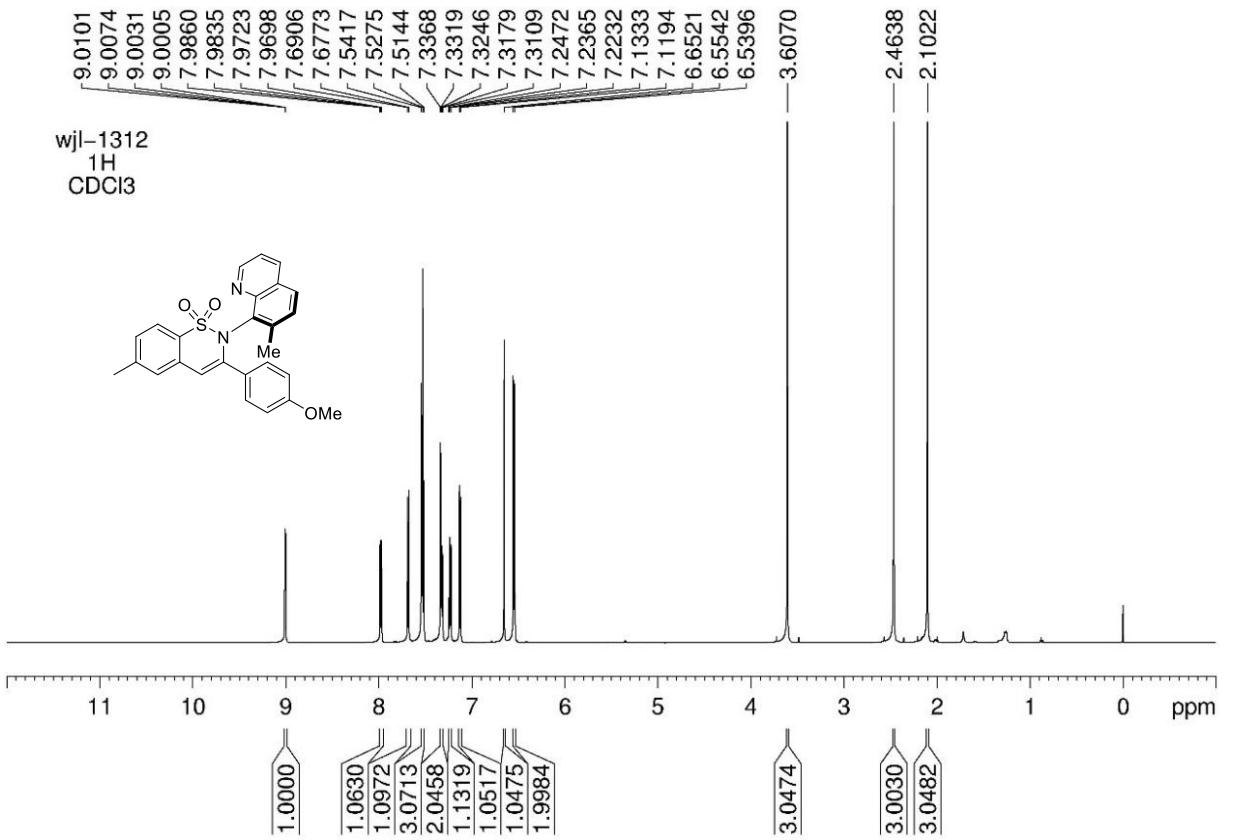
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7.2472
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1H
CDCl3
Me
OMe
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4
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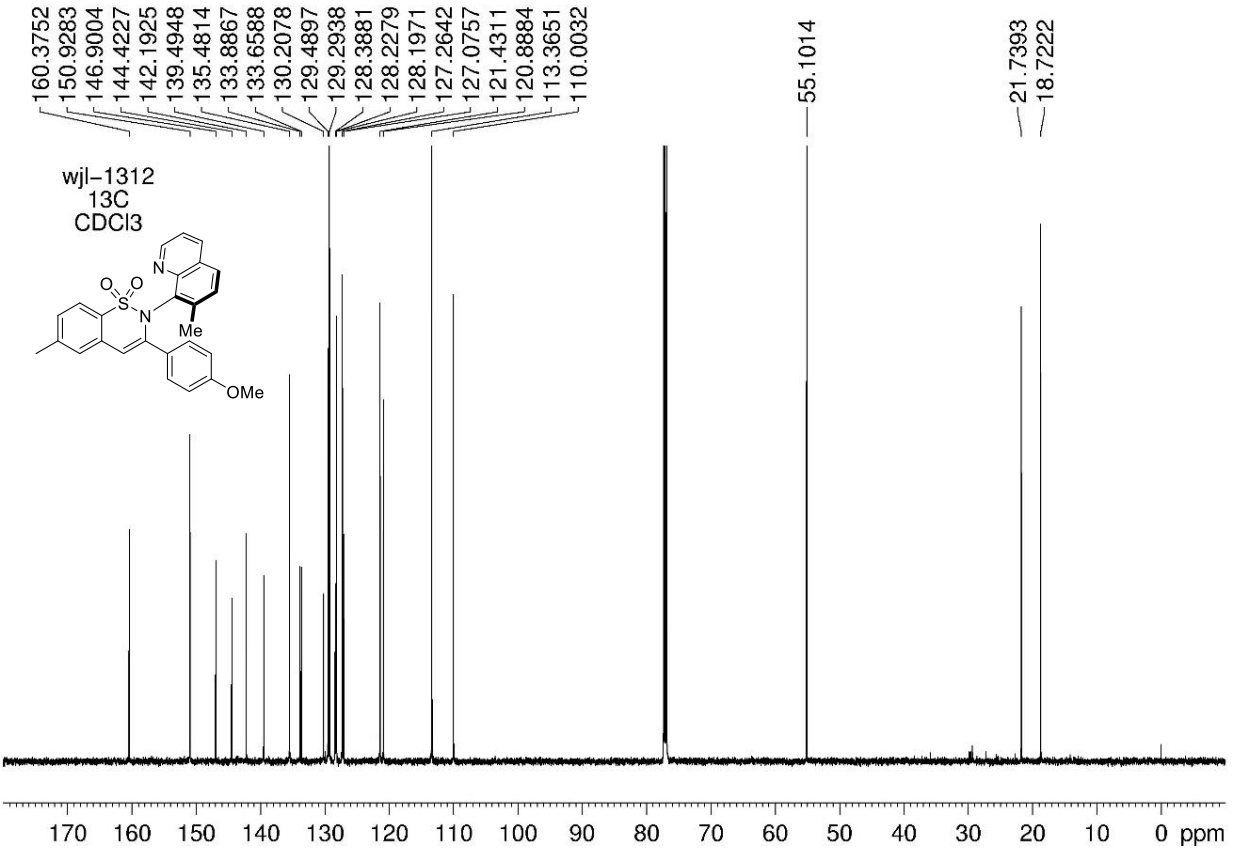
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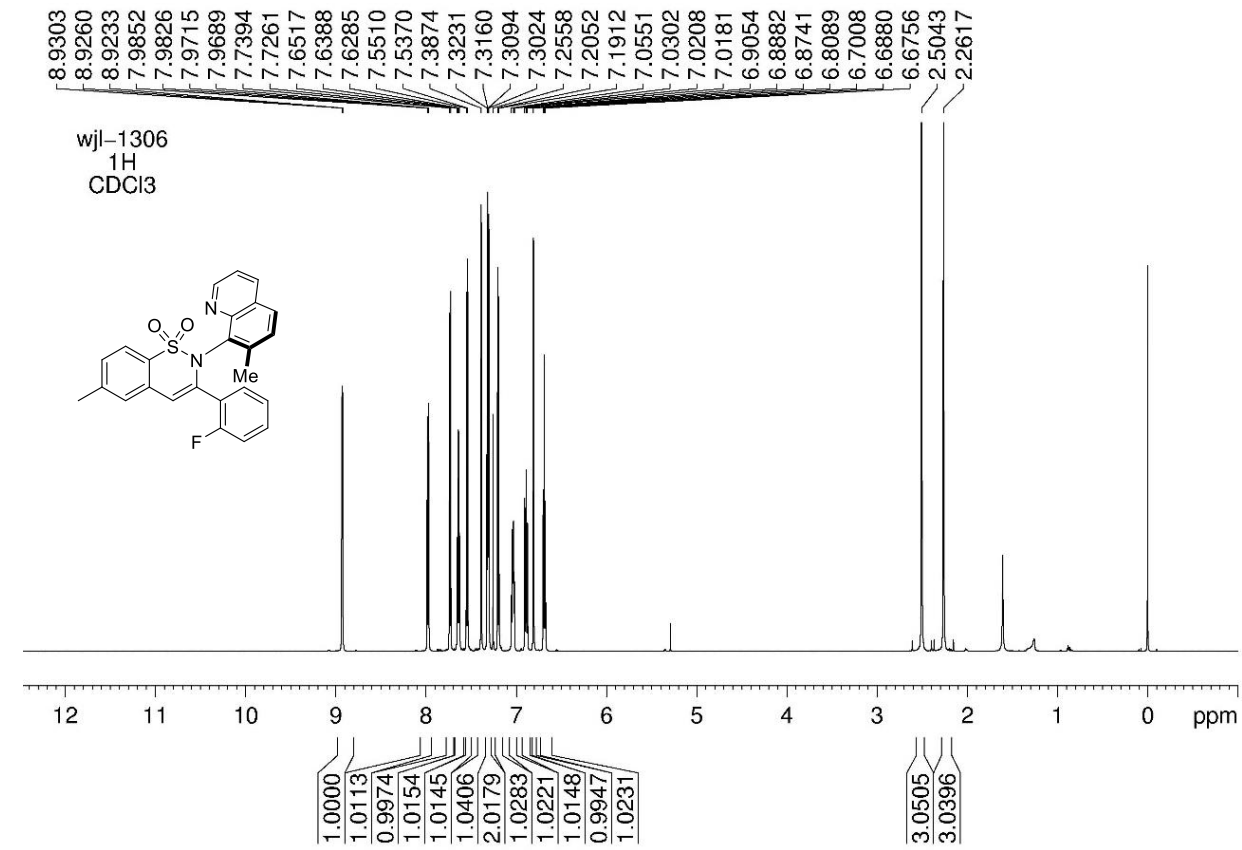
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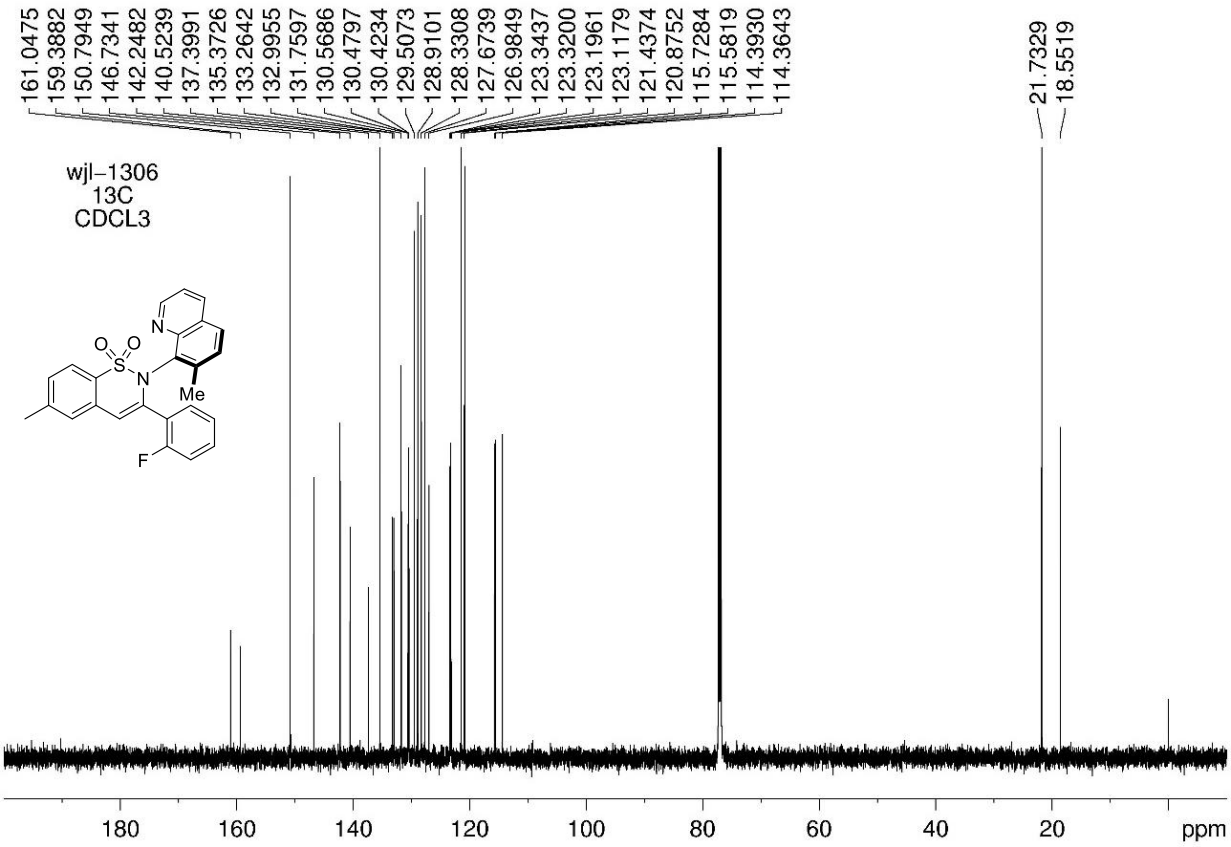
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Me
F
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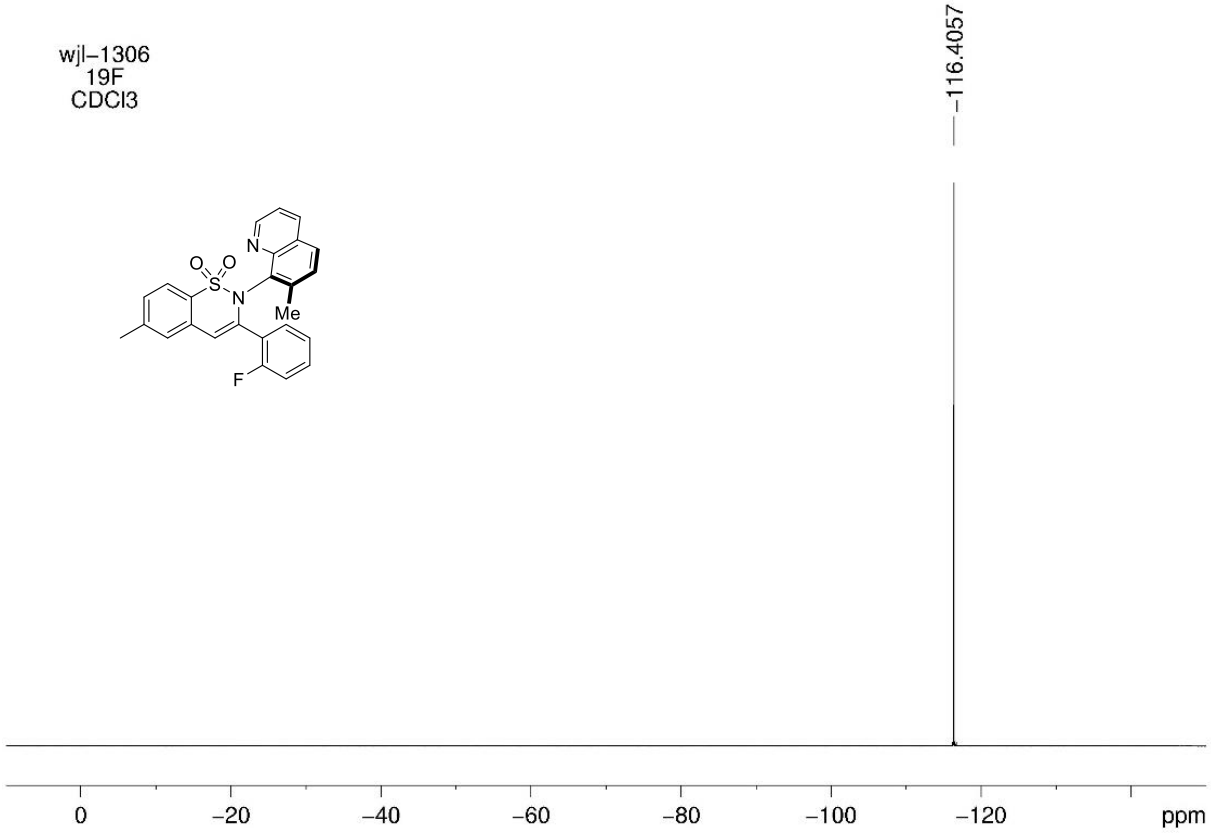
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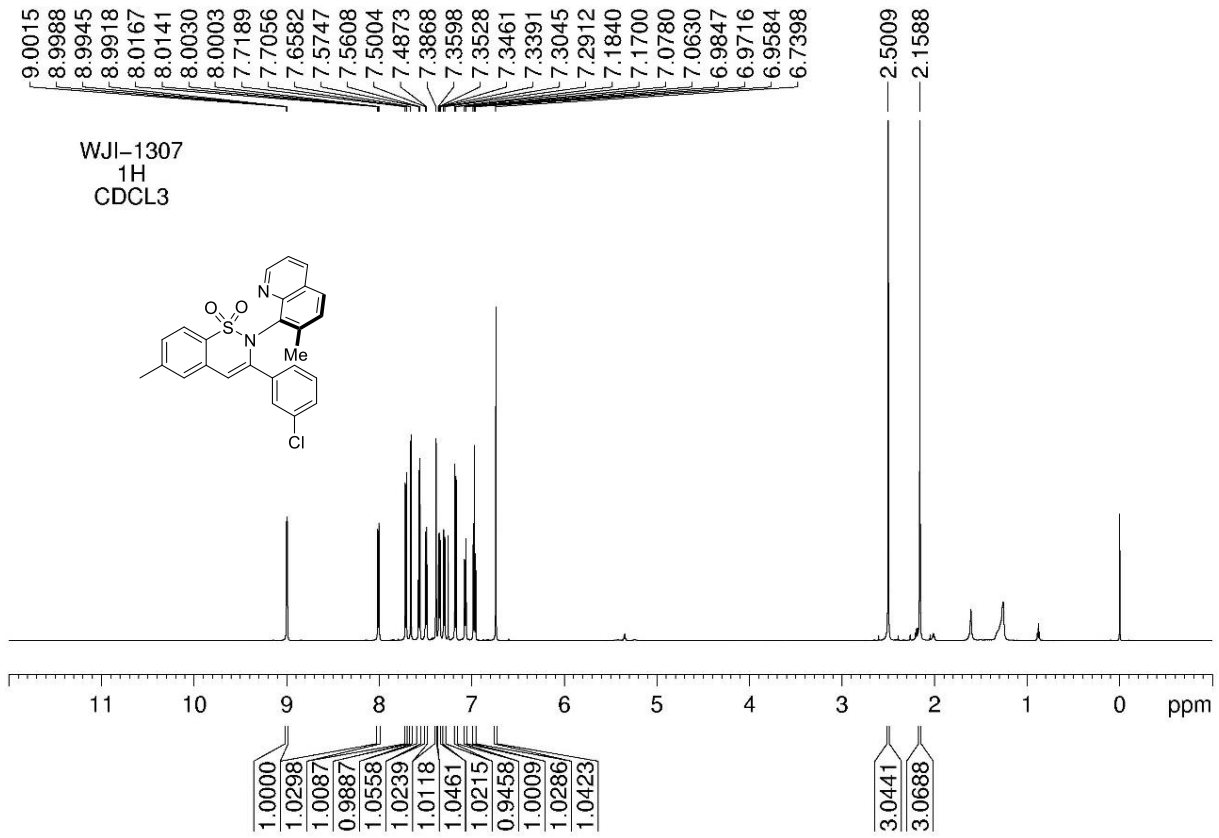
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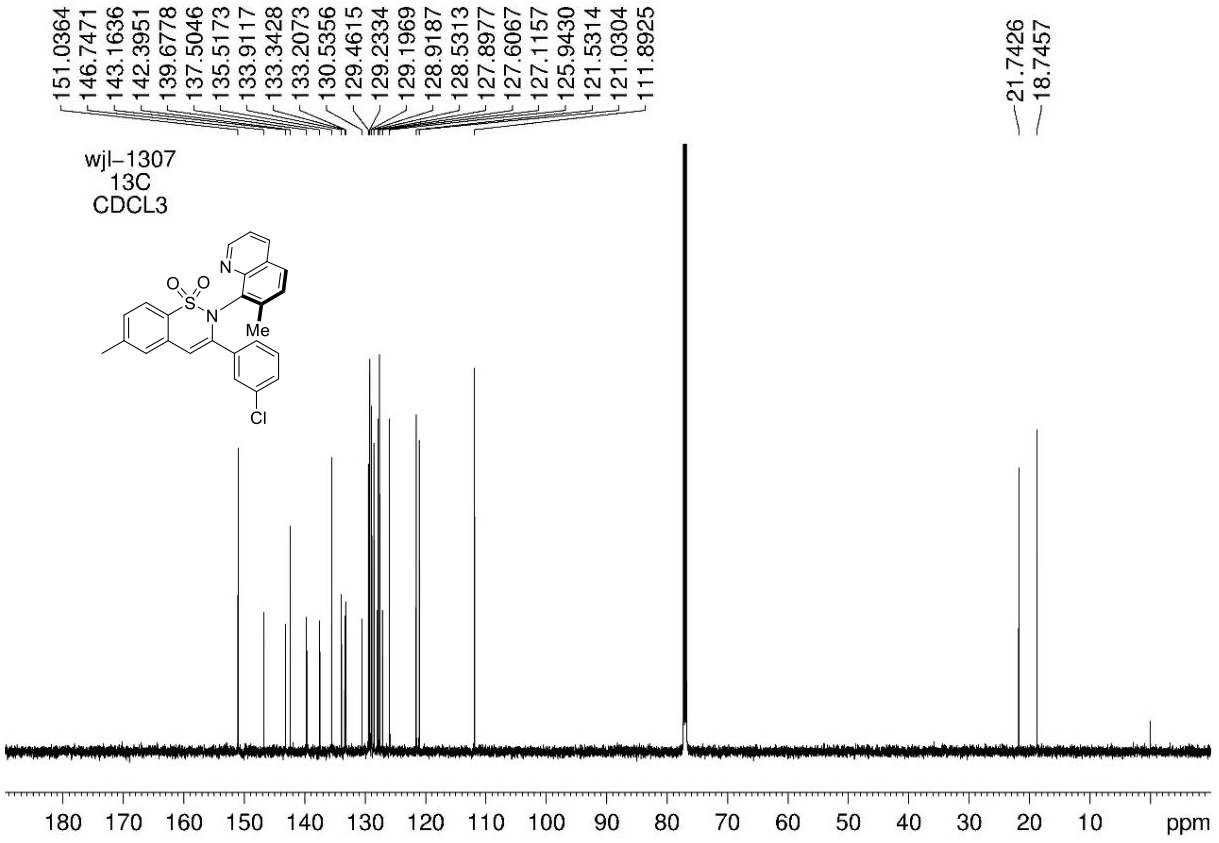
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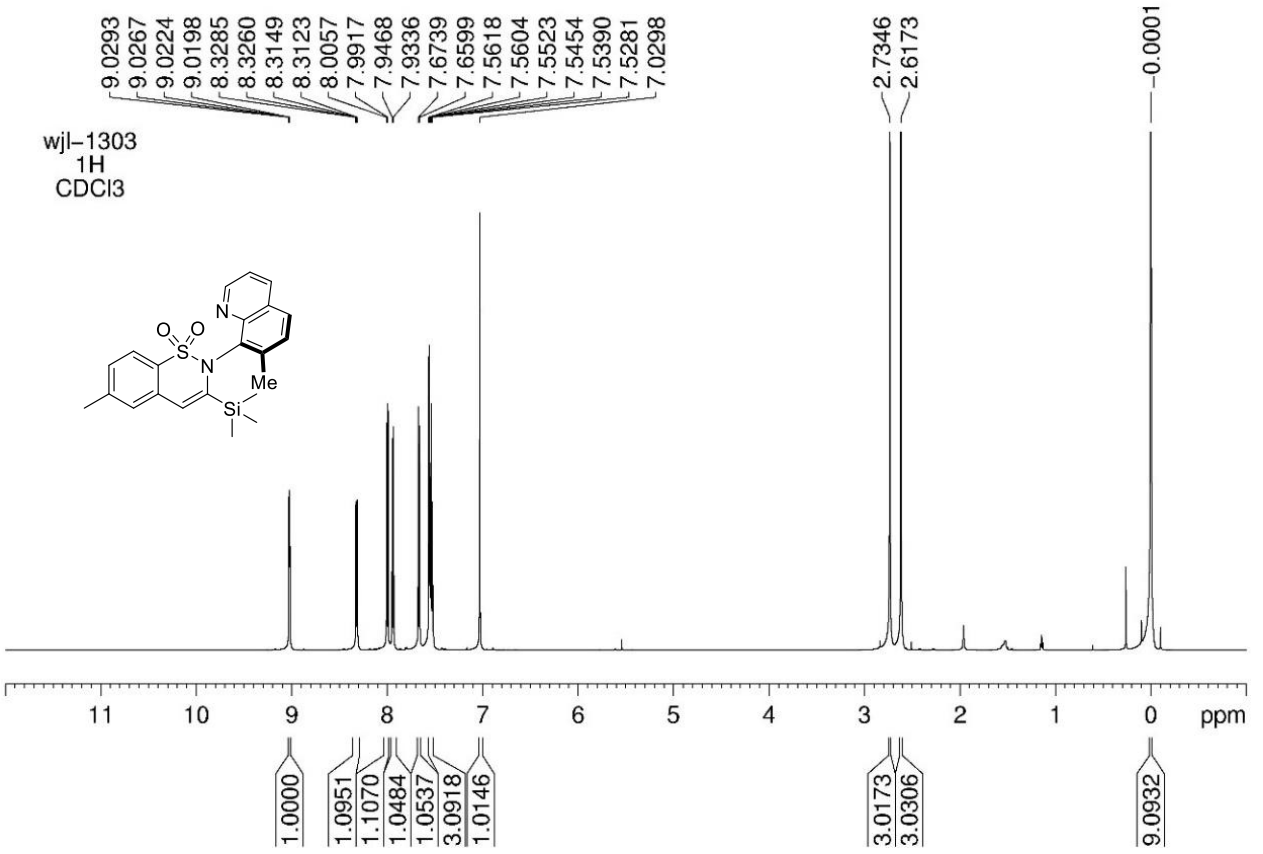
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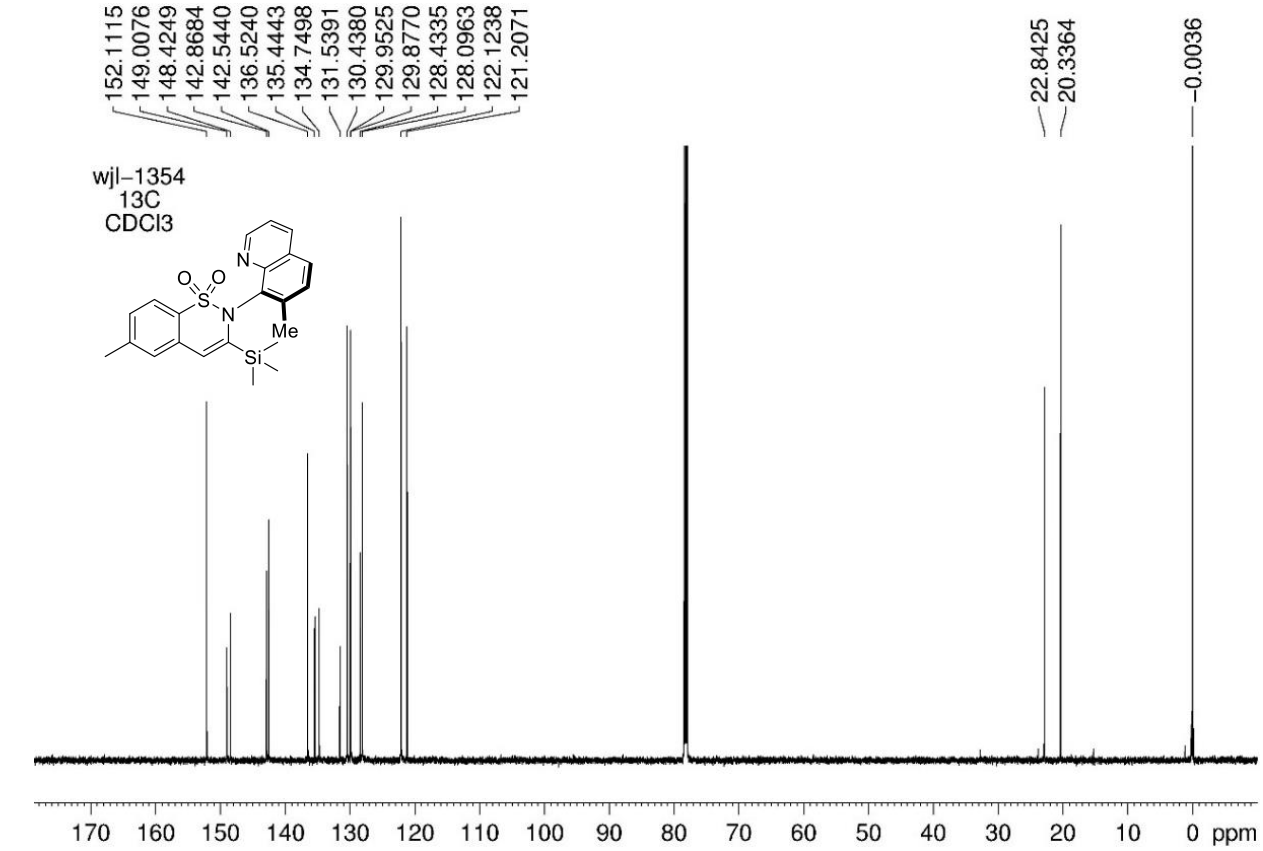
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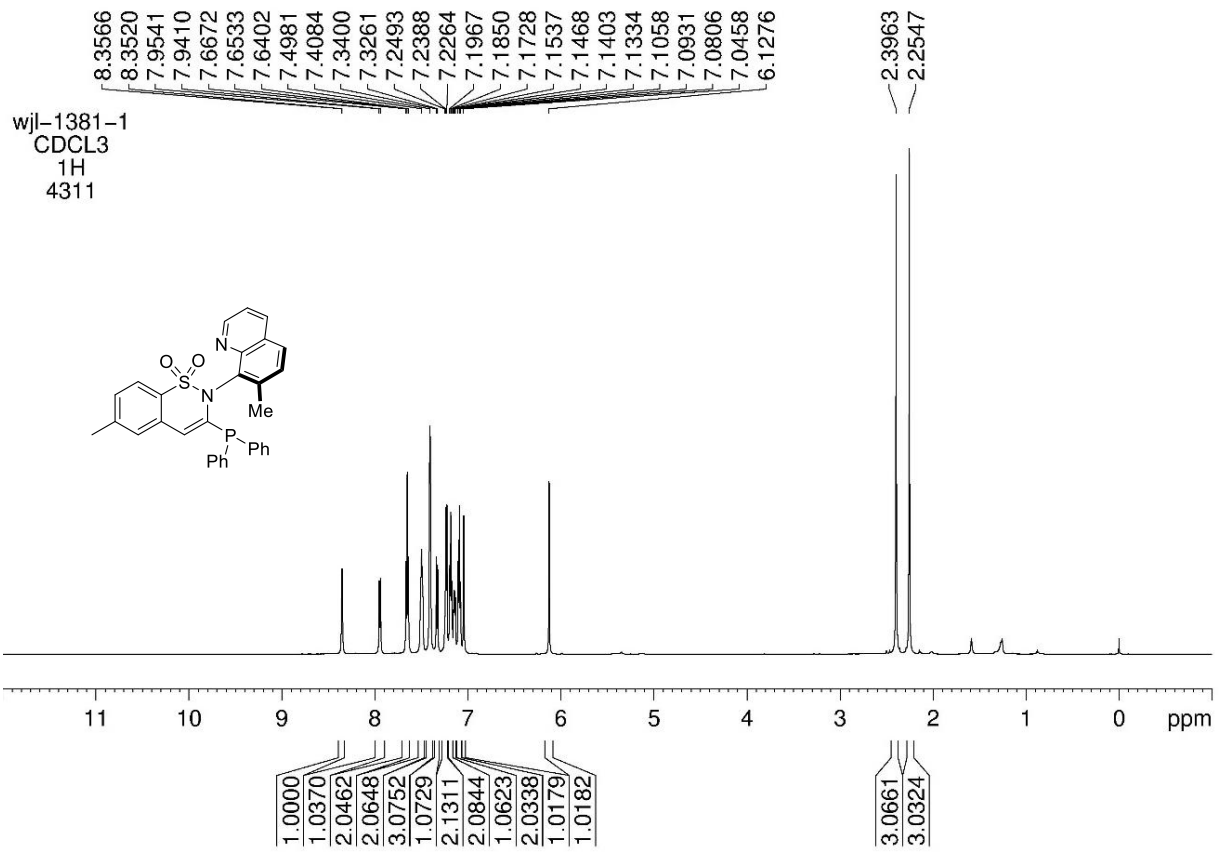
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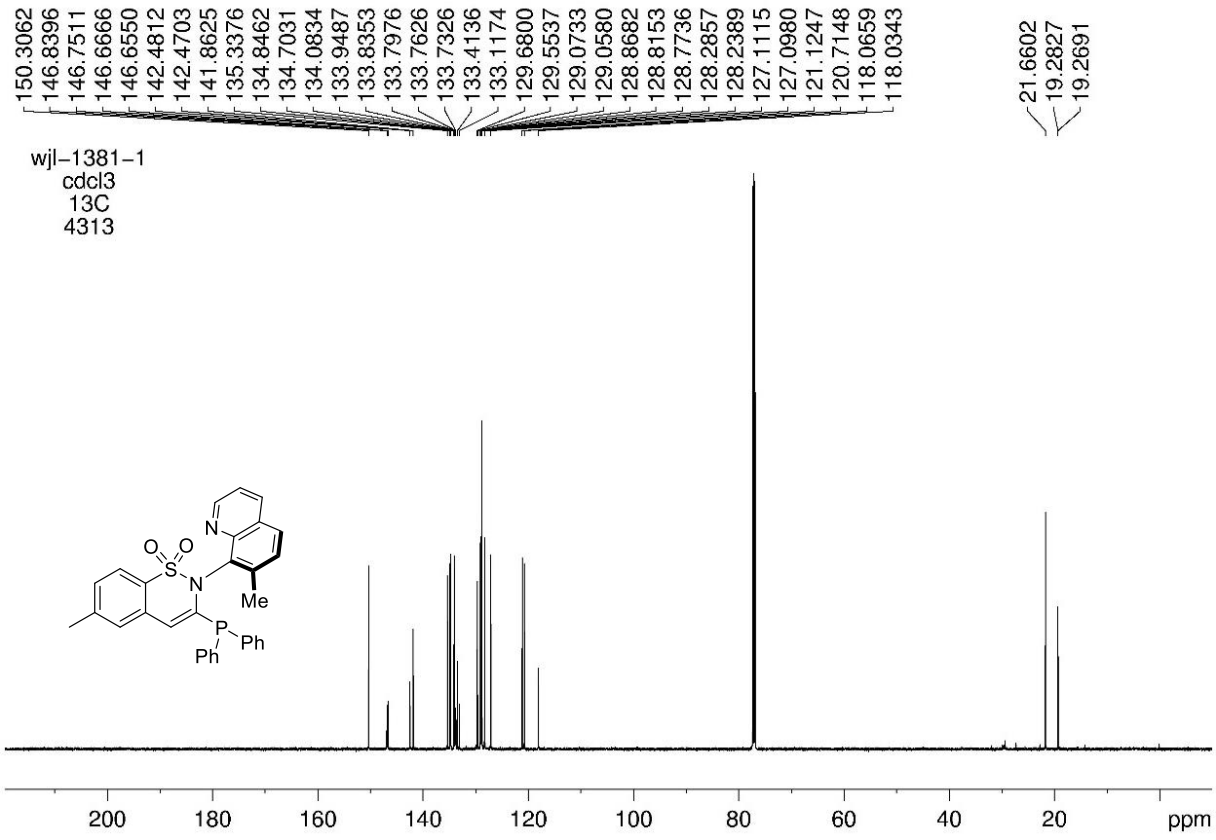
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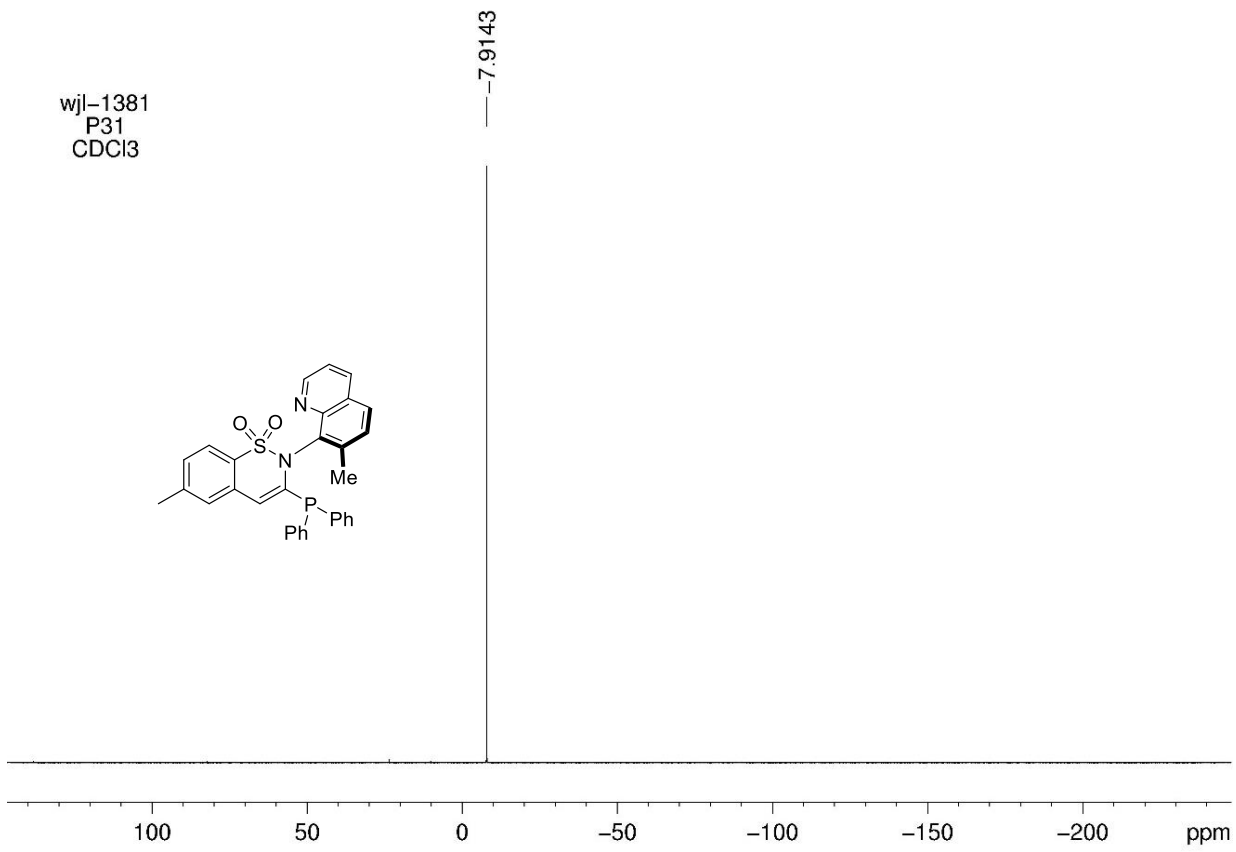
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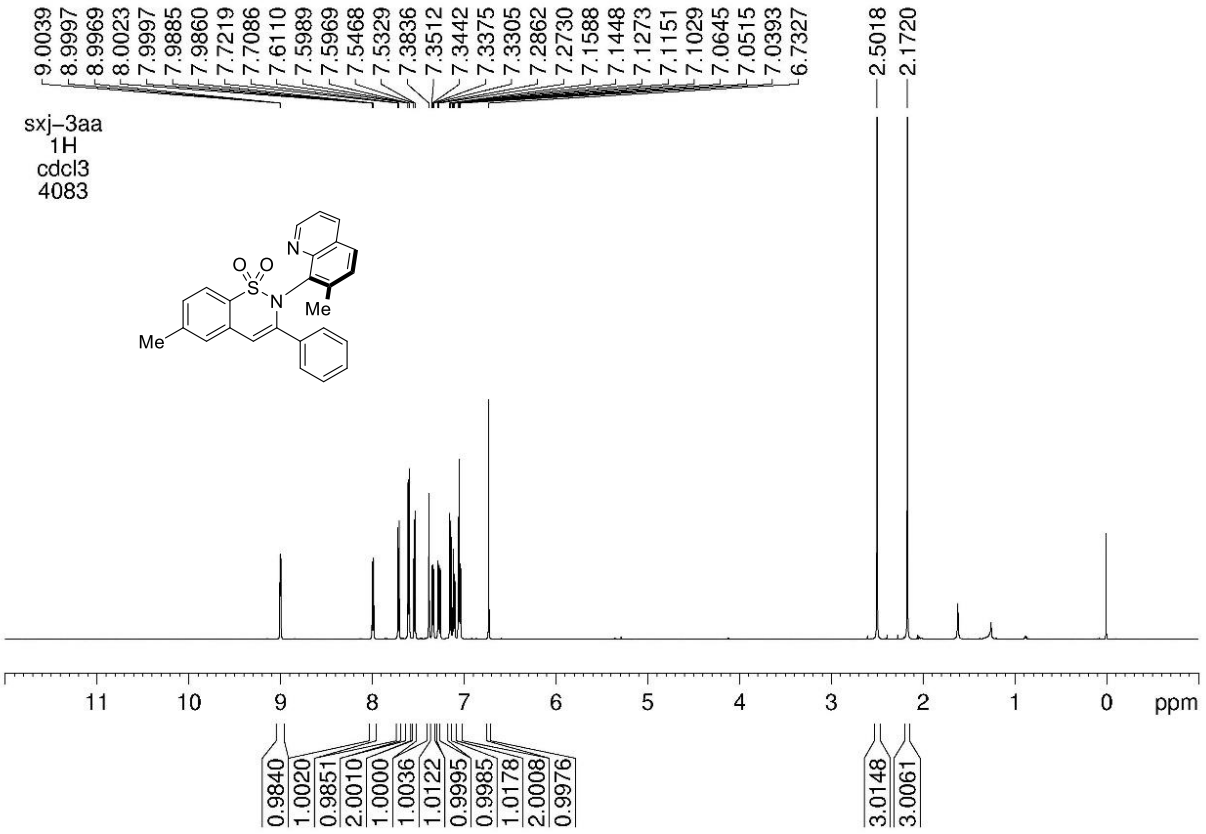
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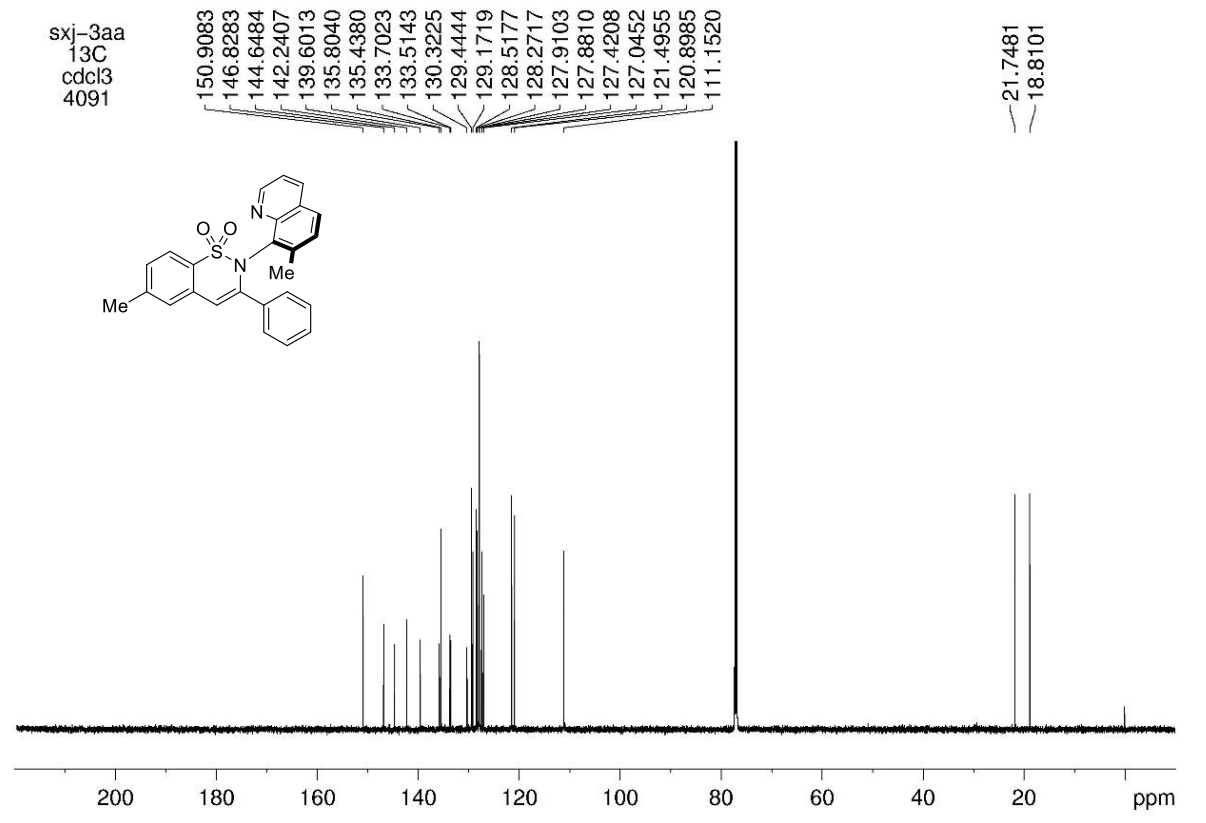
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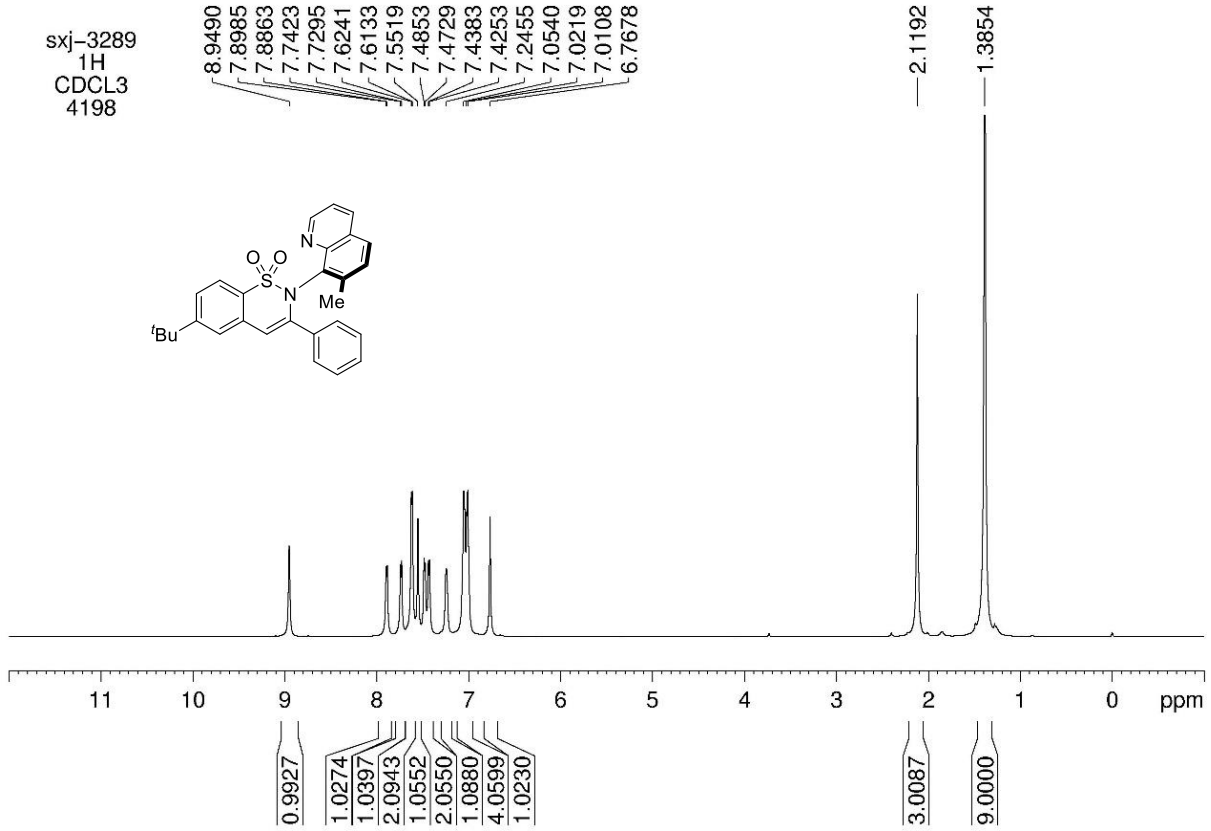
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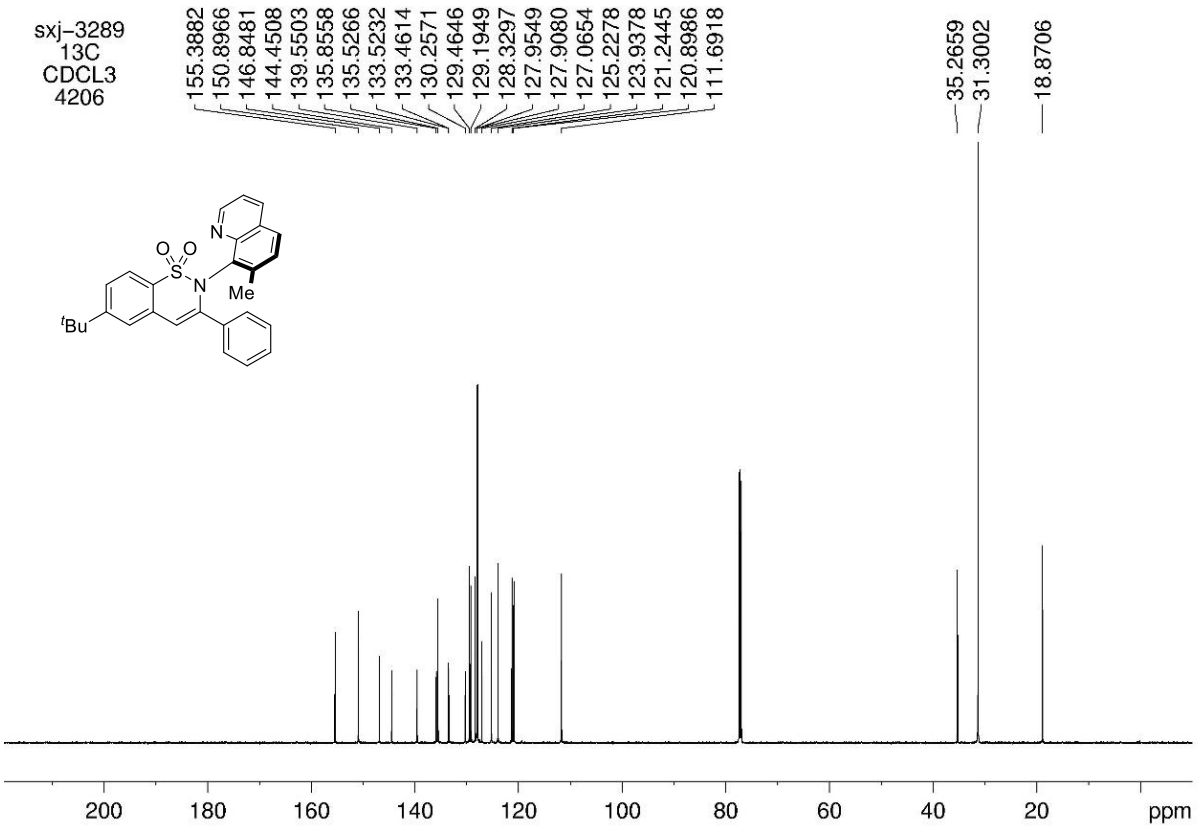
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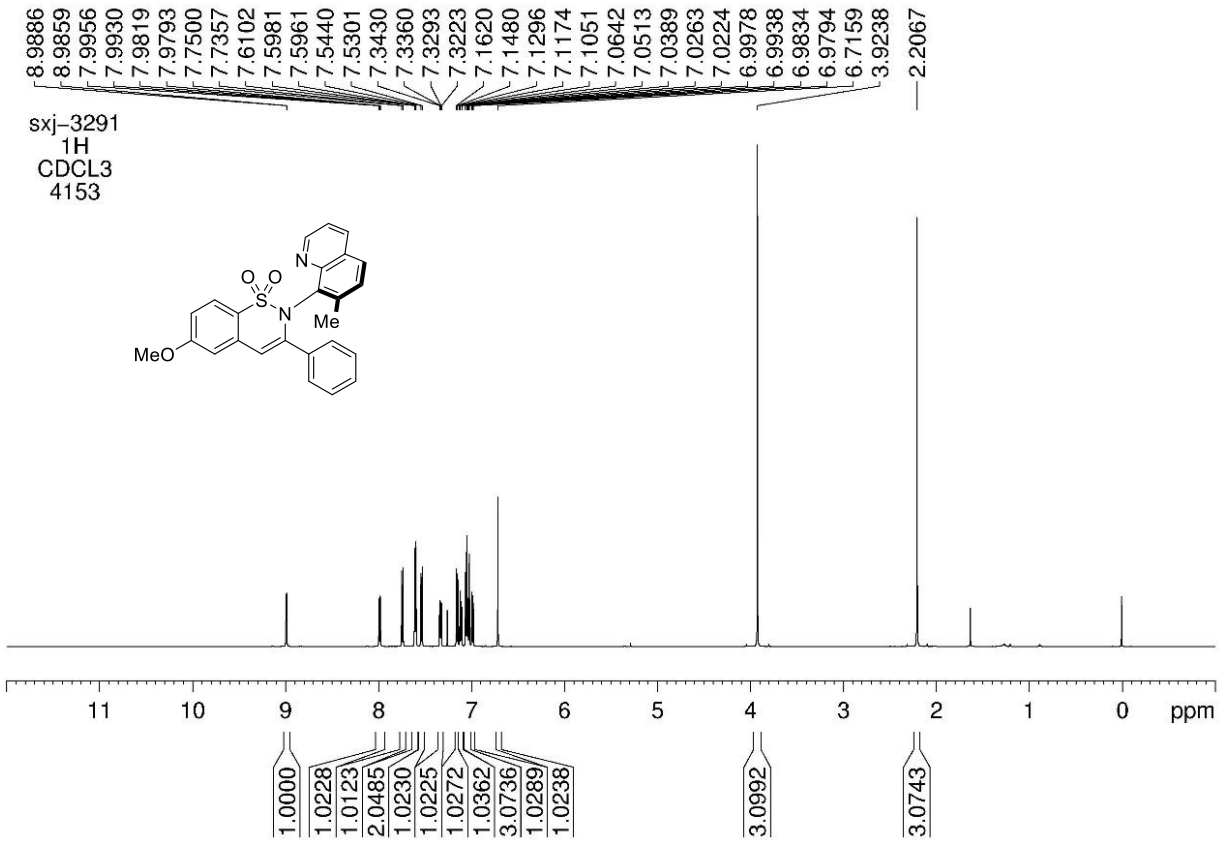
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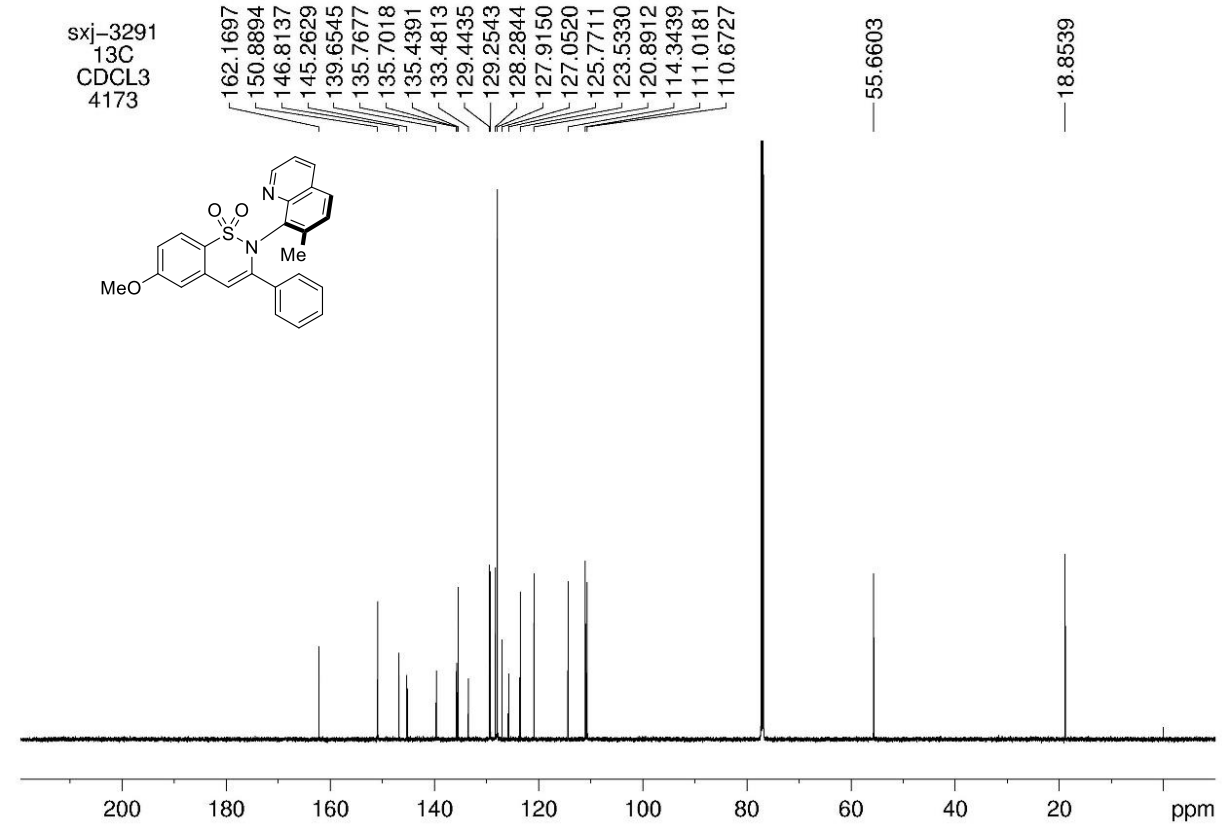
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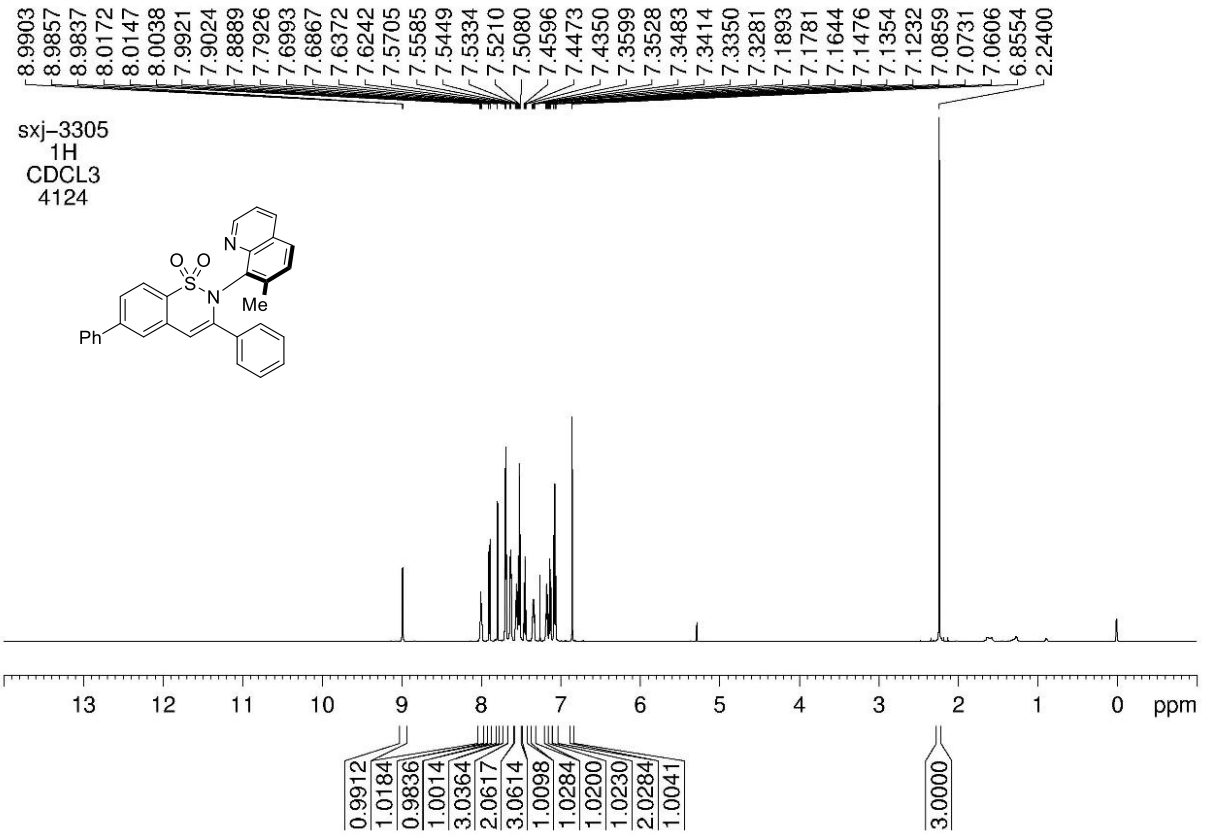
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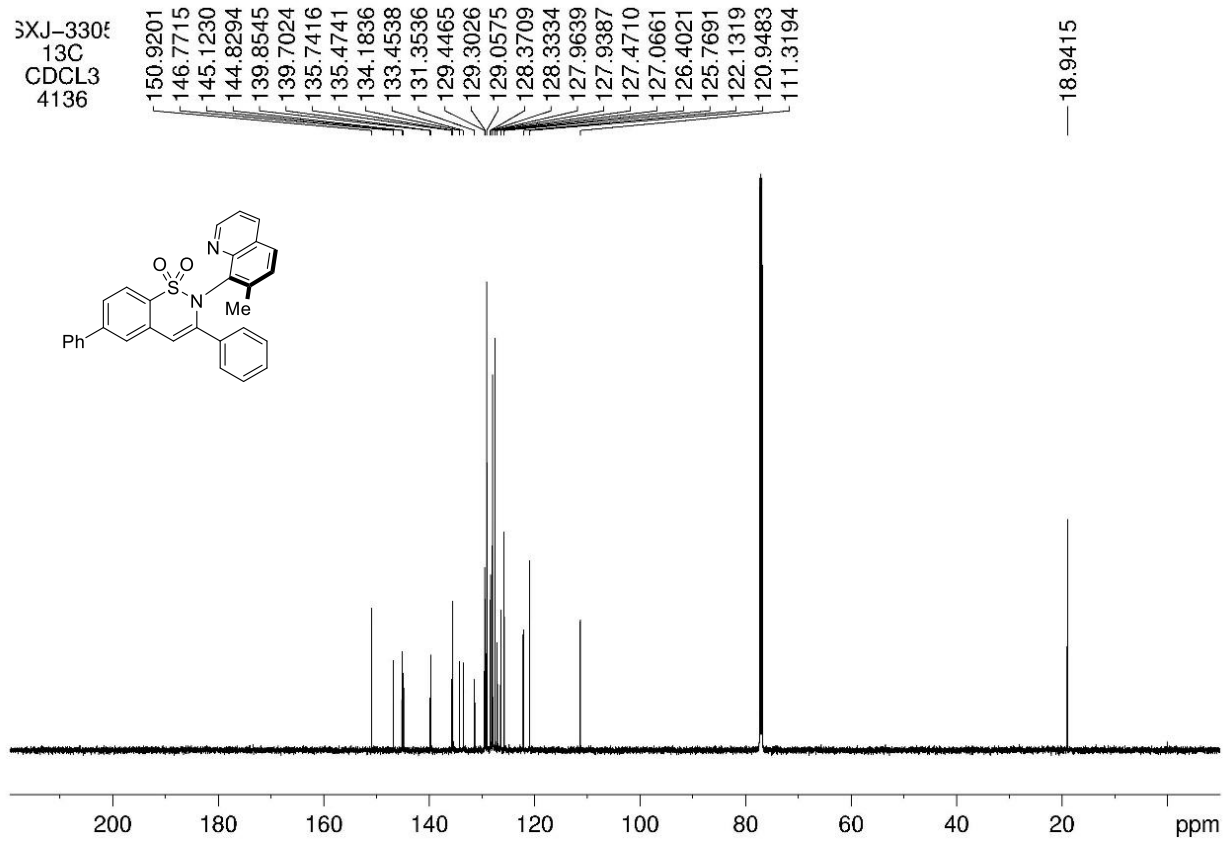
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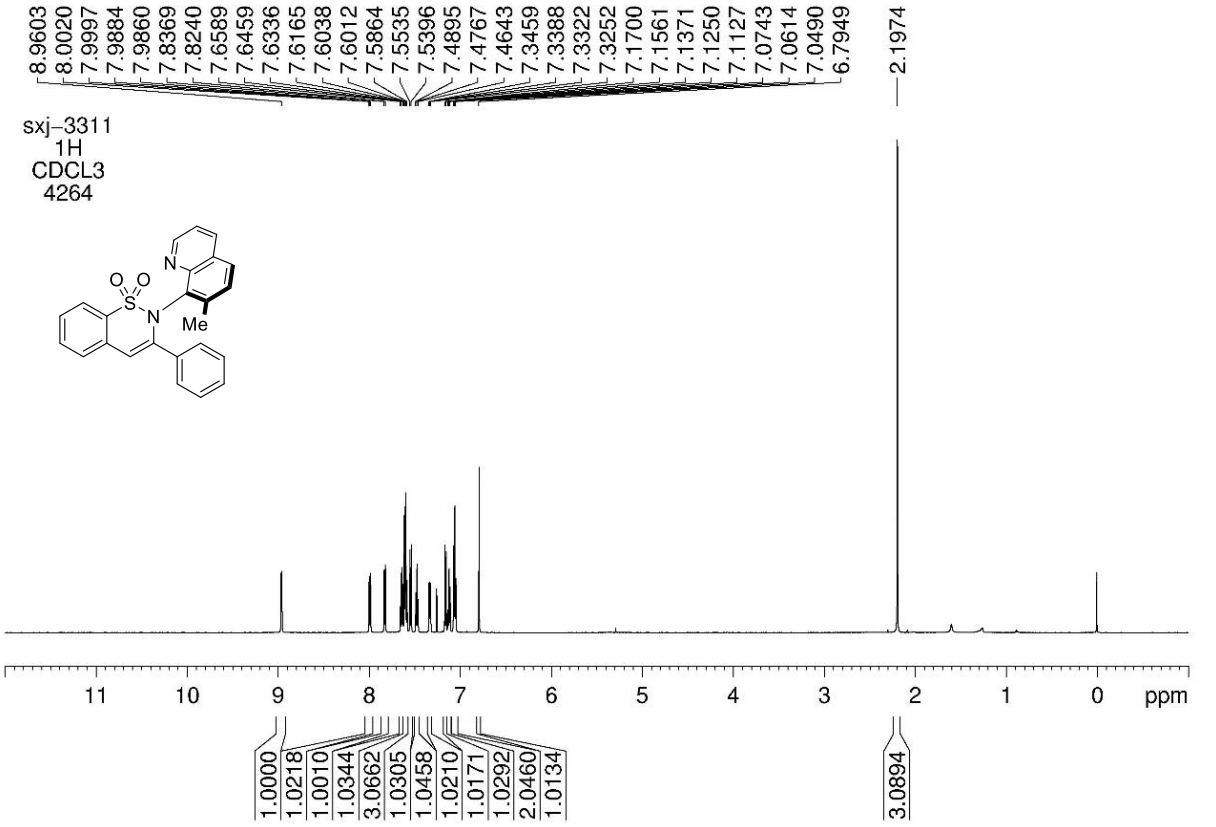
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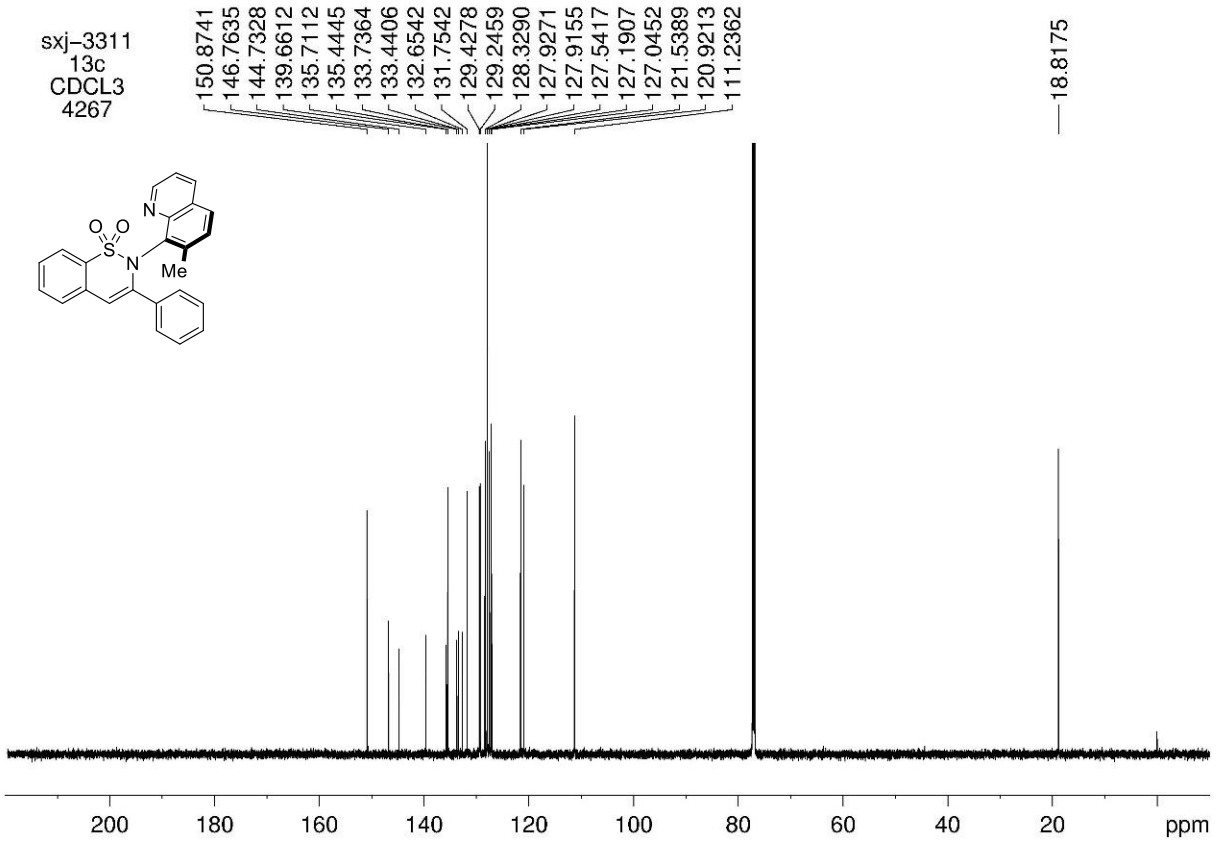
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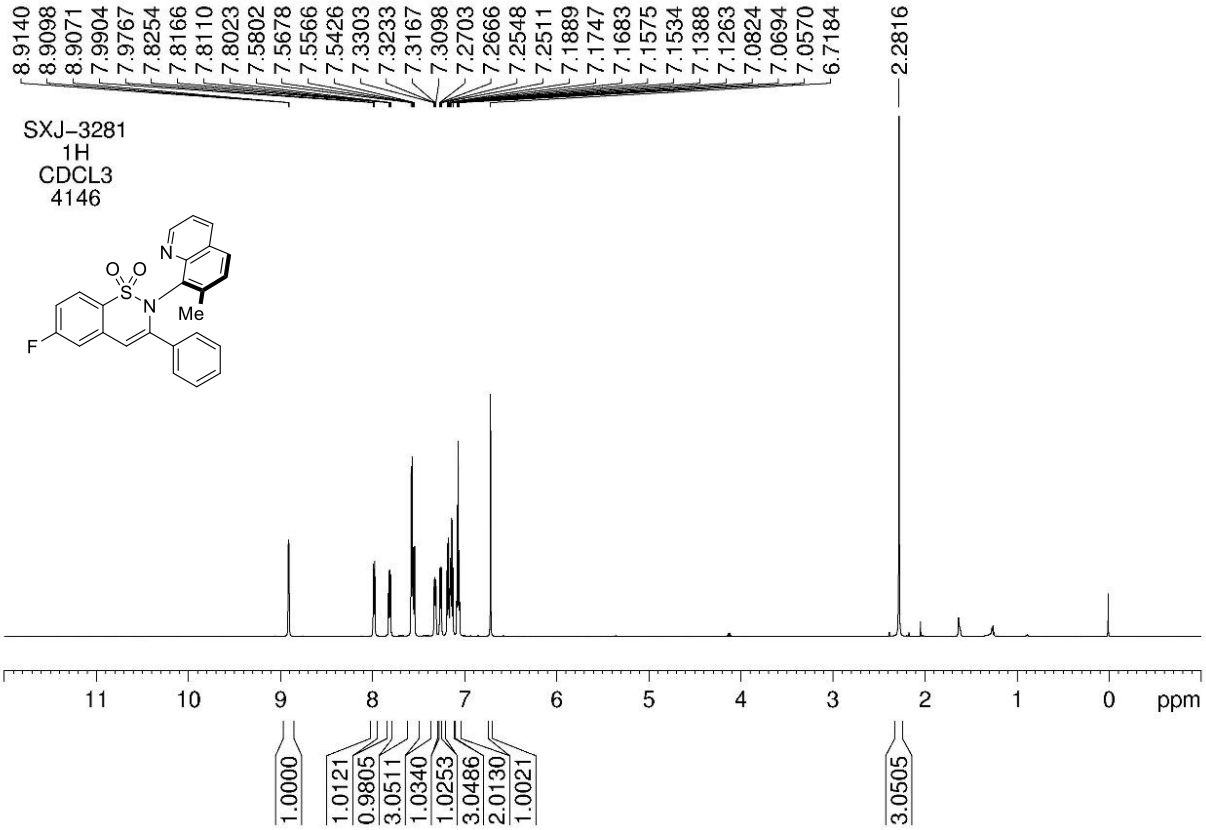
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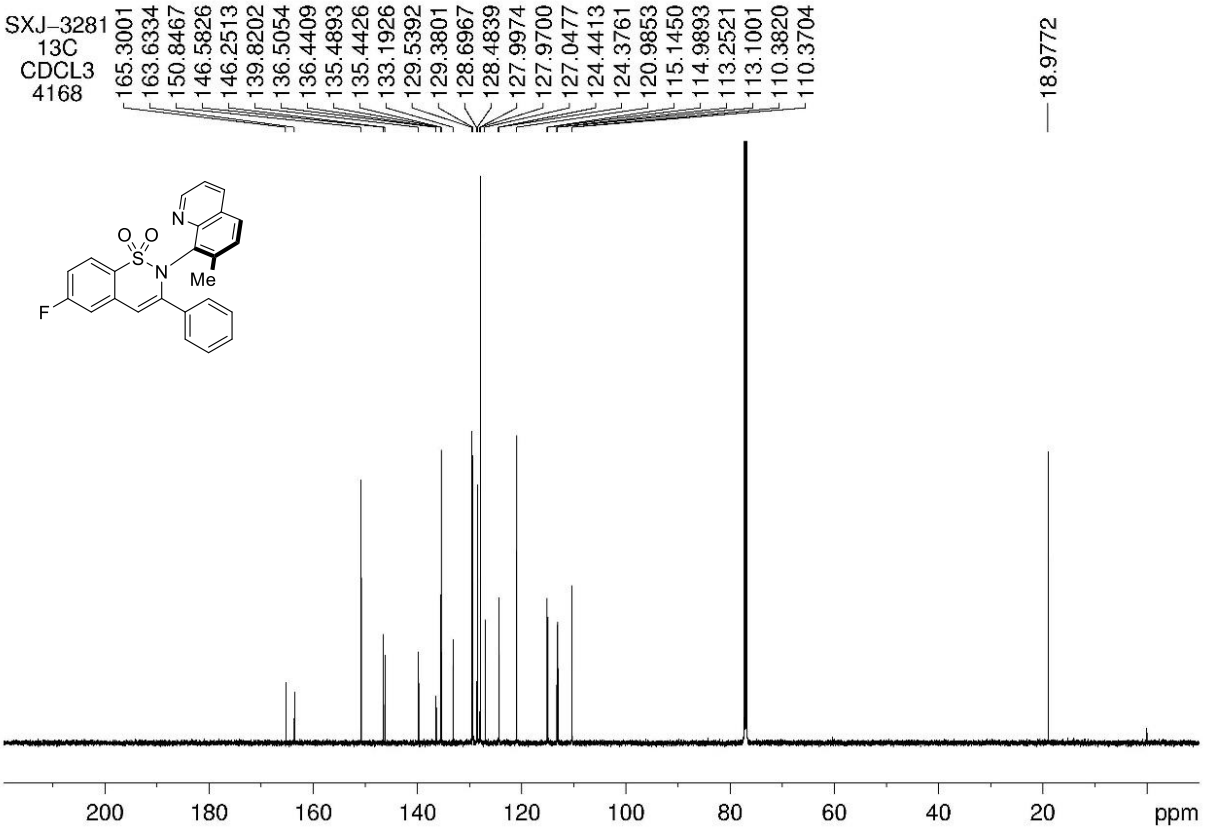
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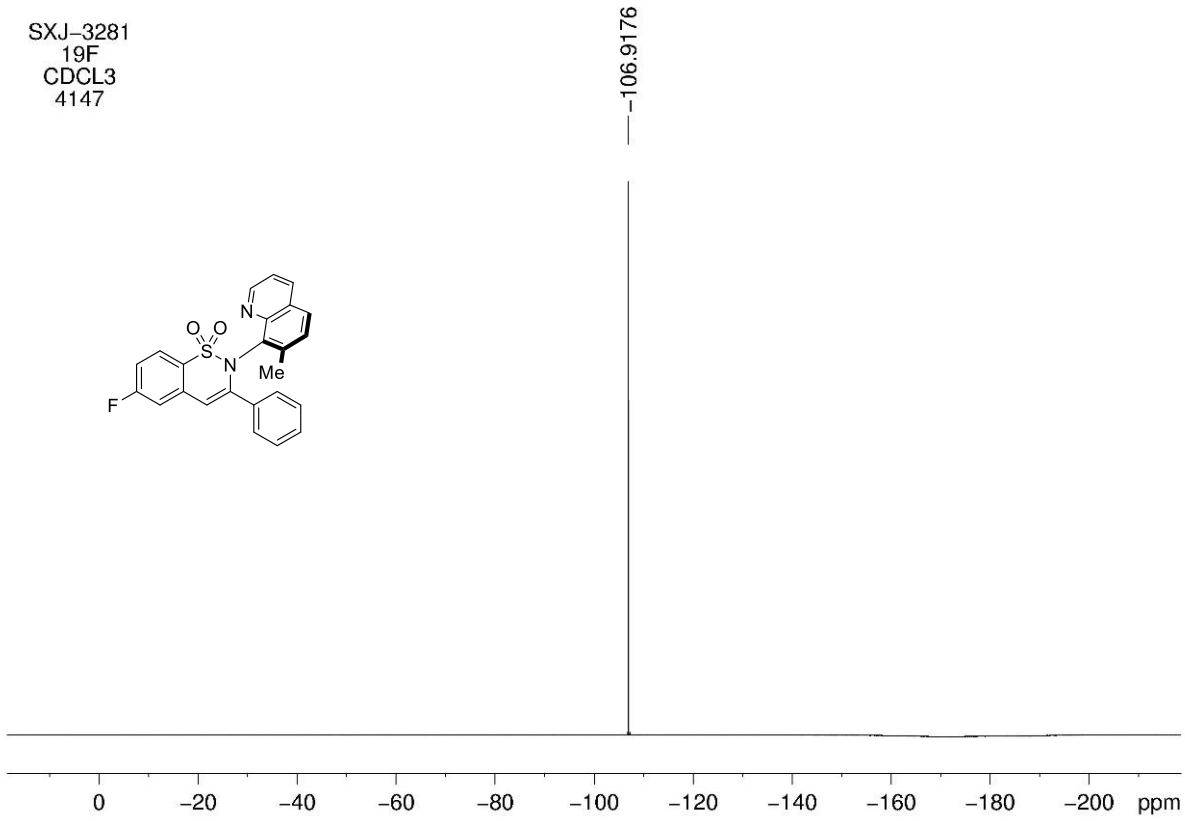
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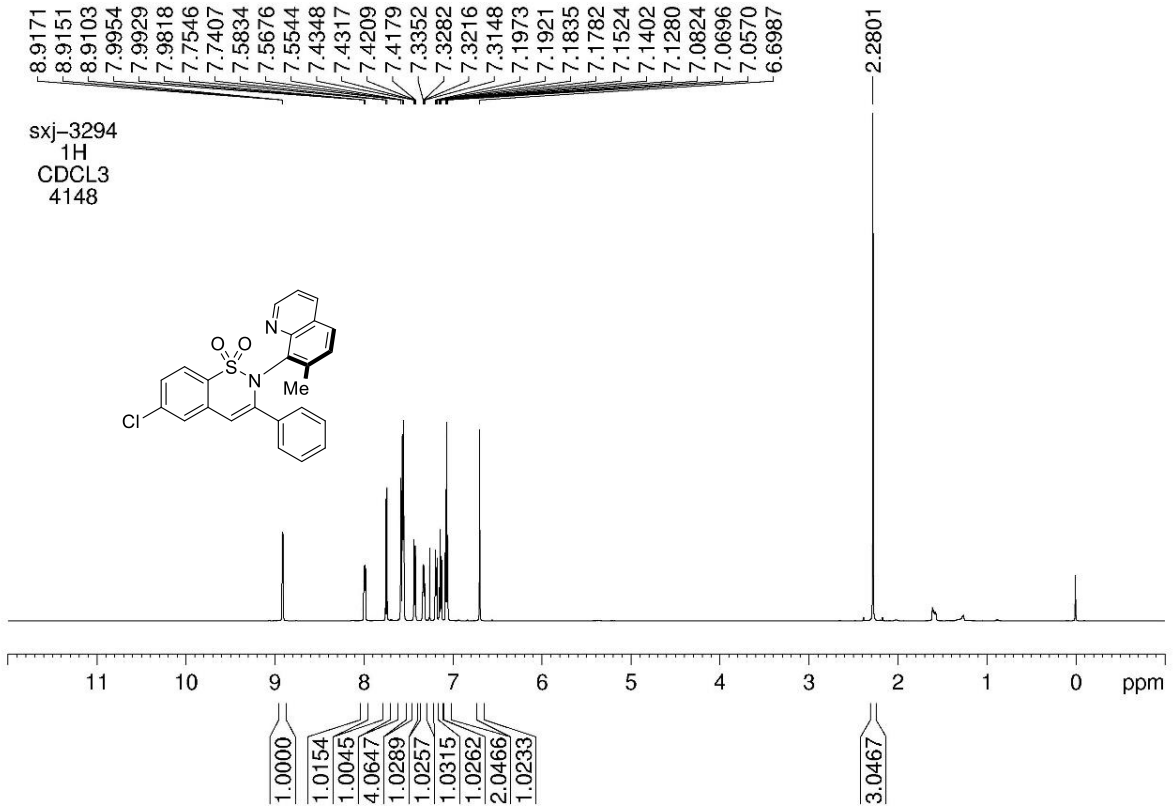
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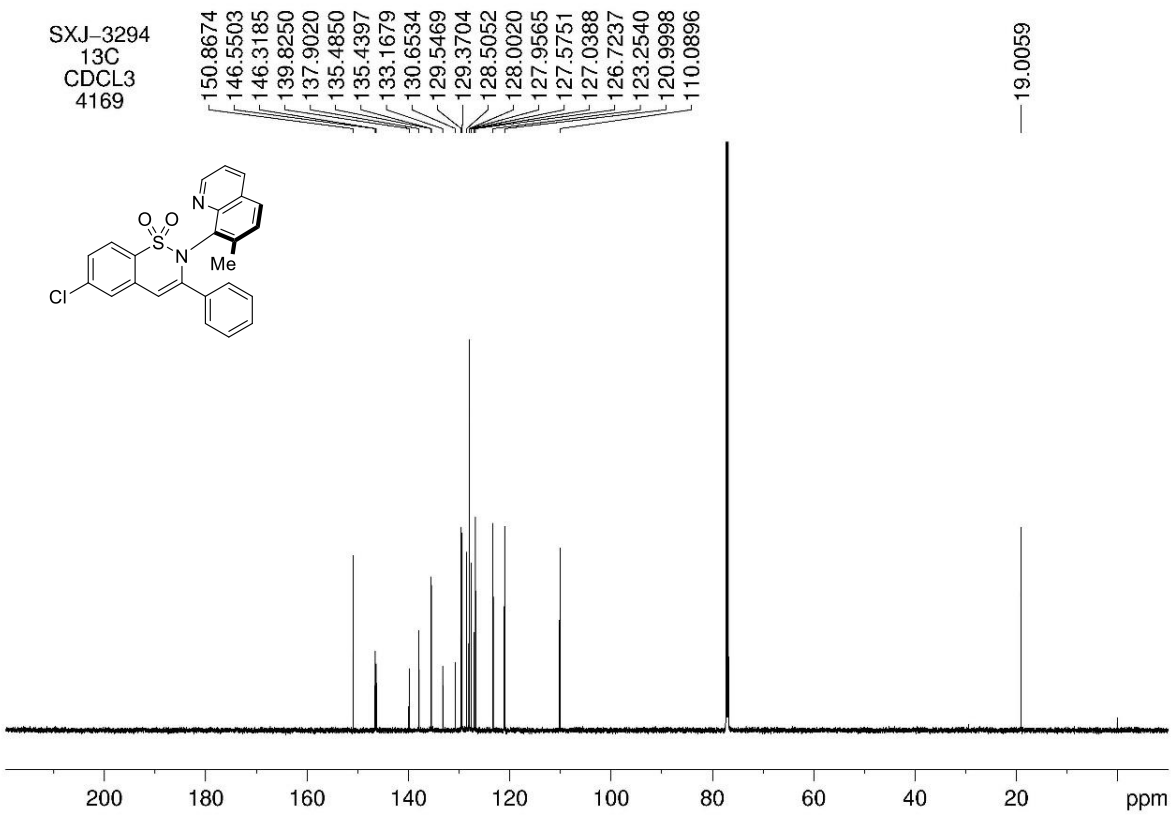
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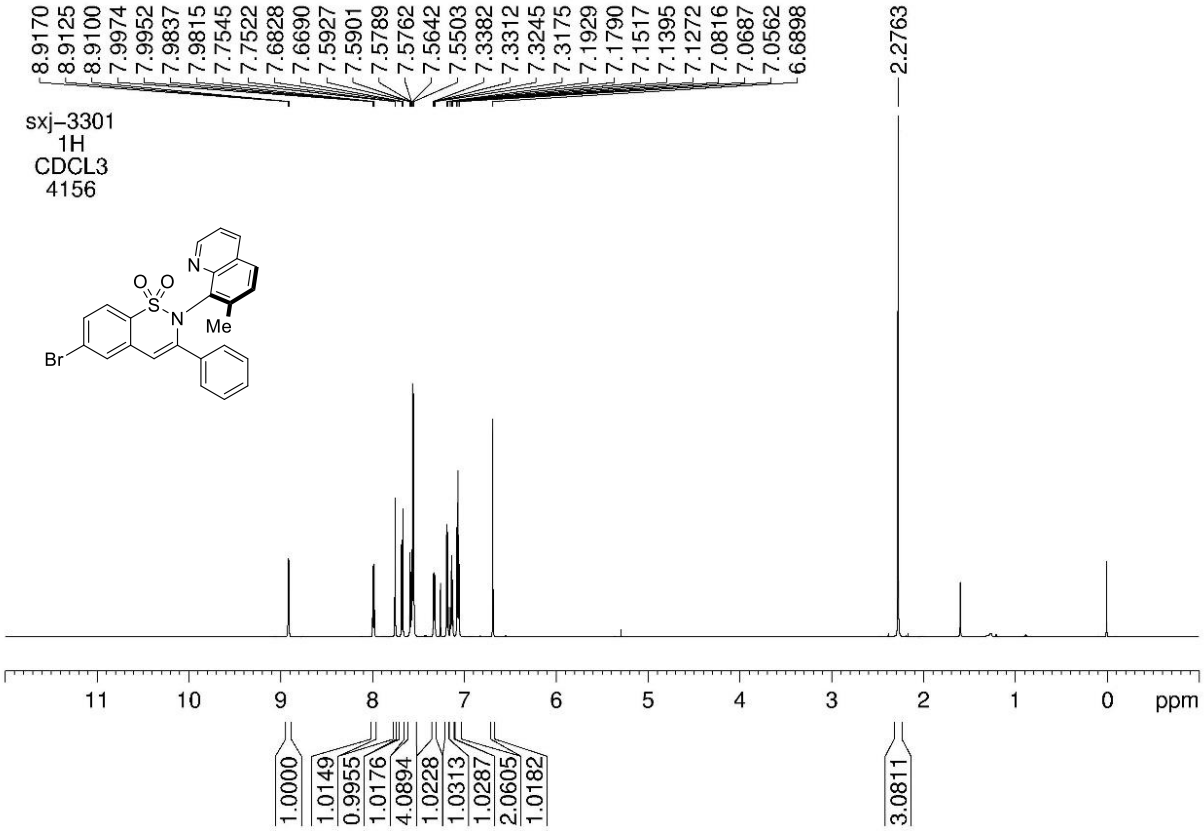
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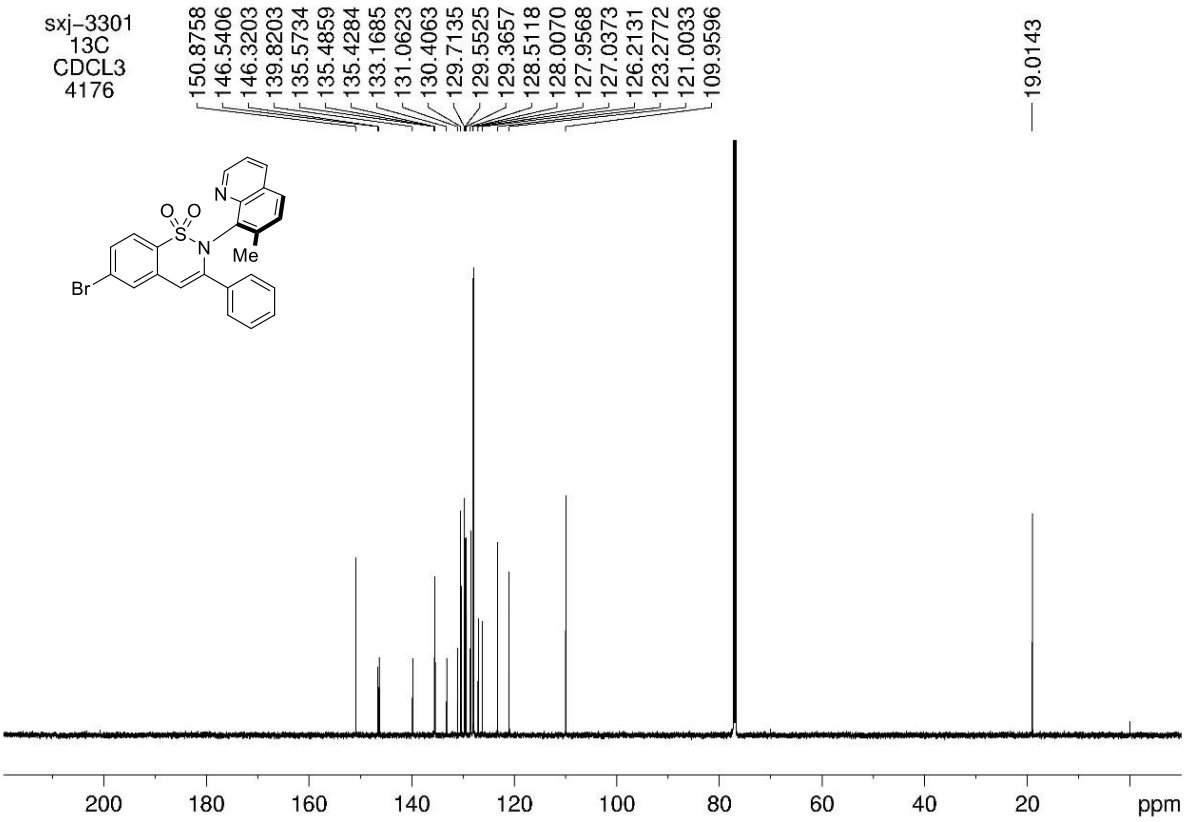
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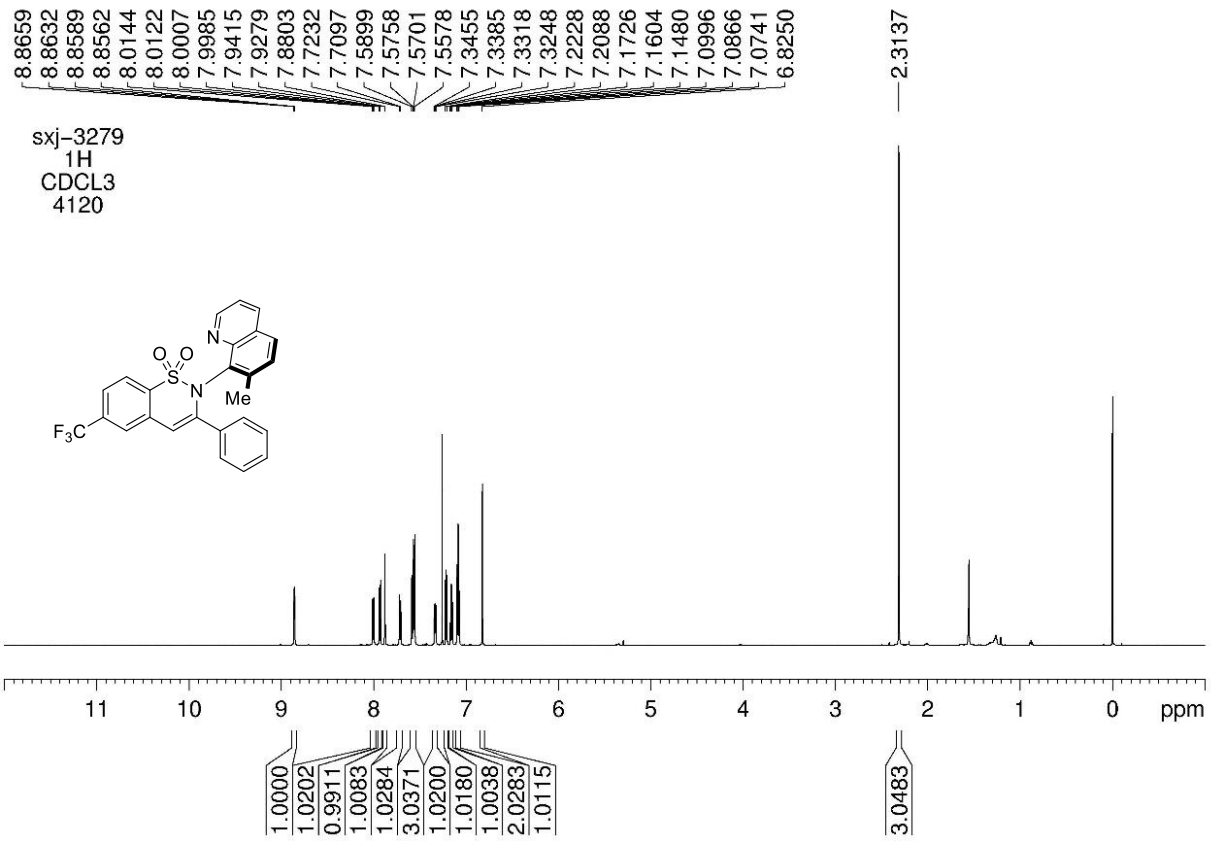
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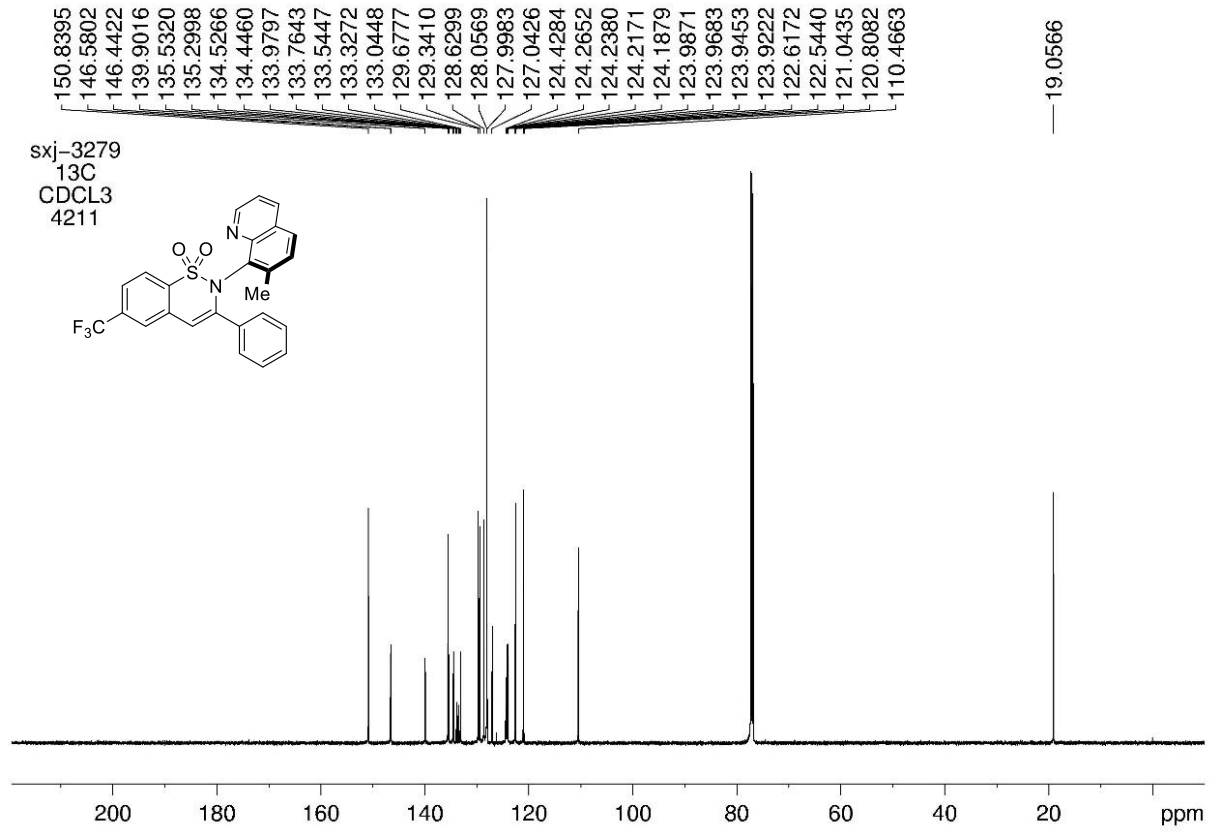
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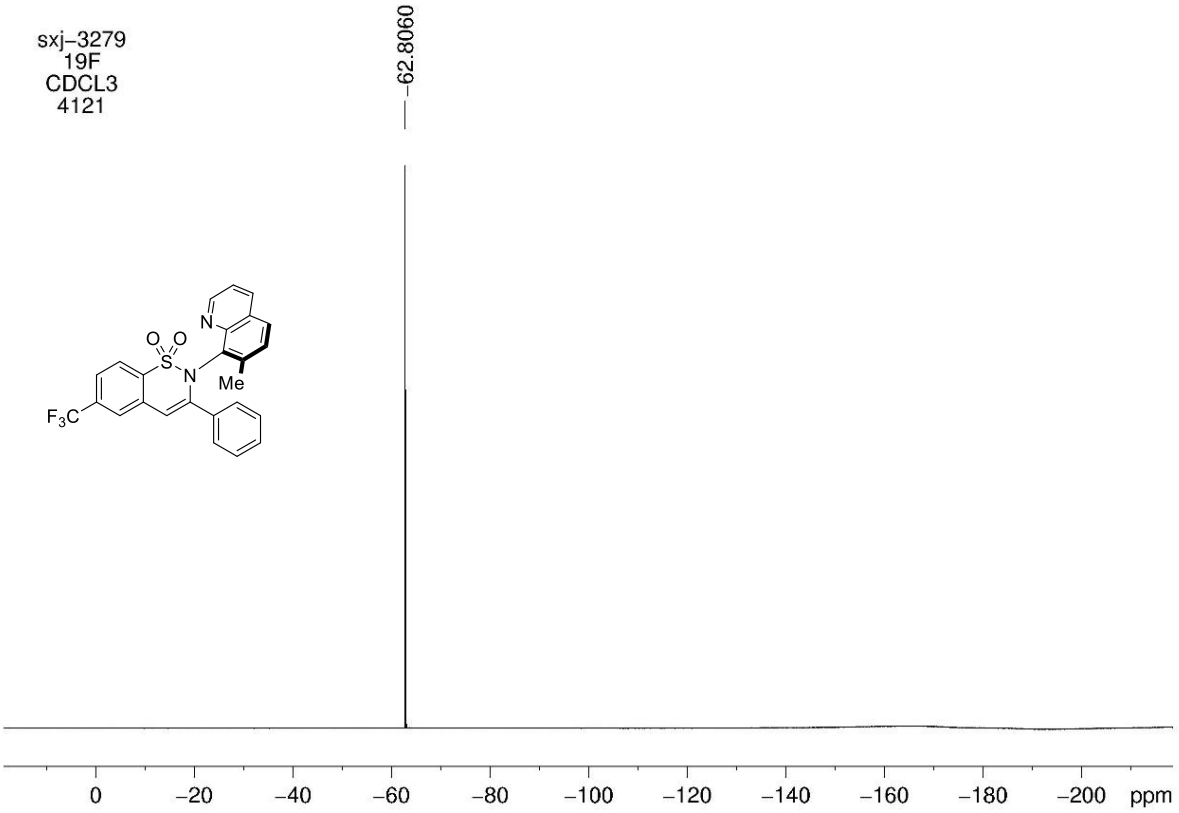
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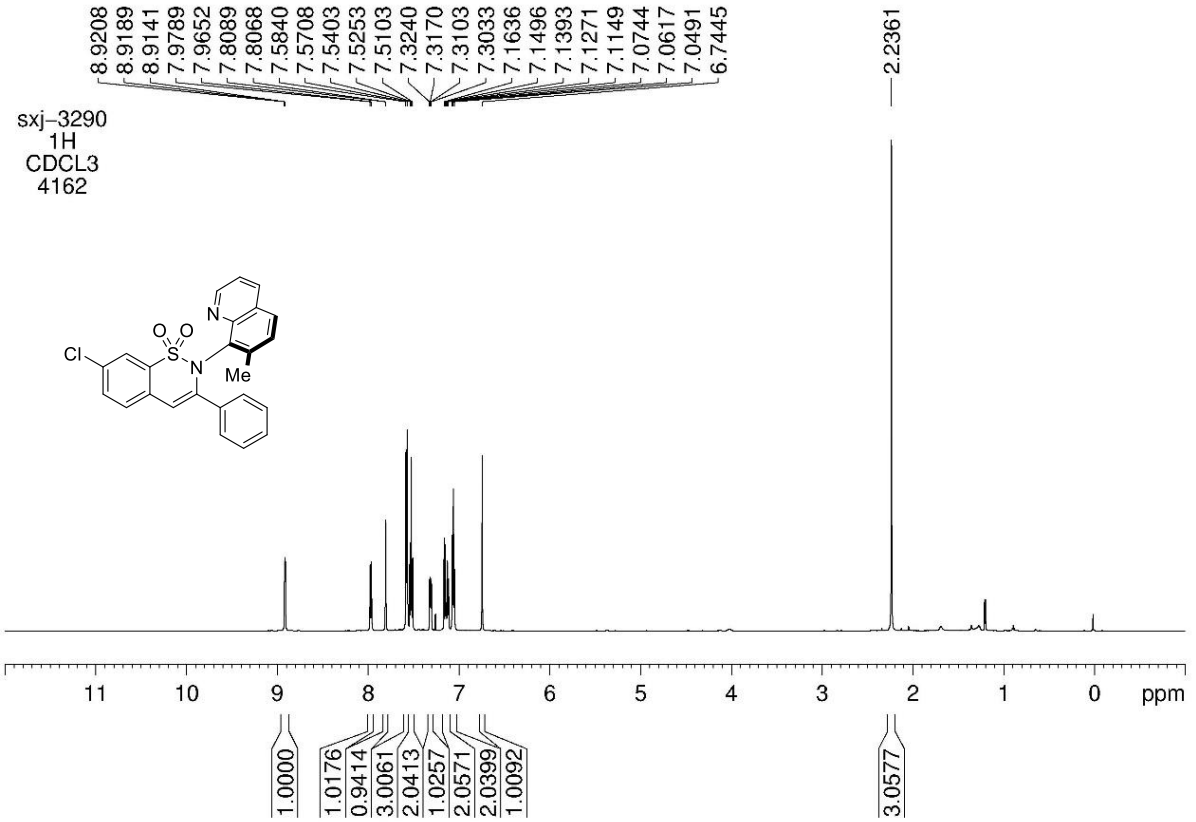
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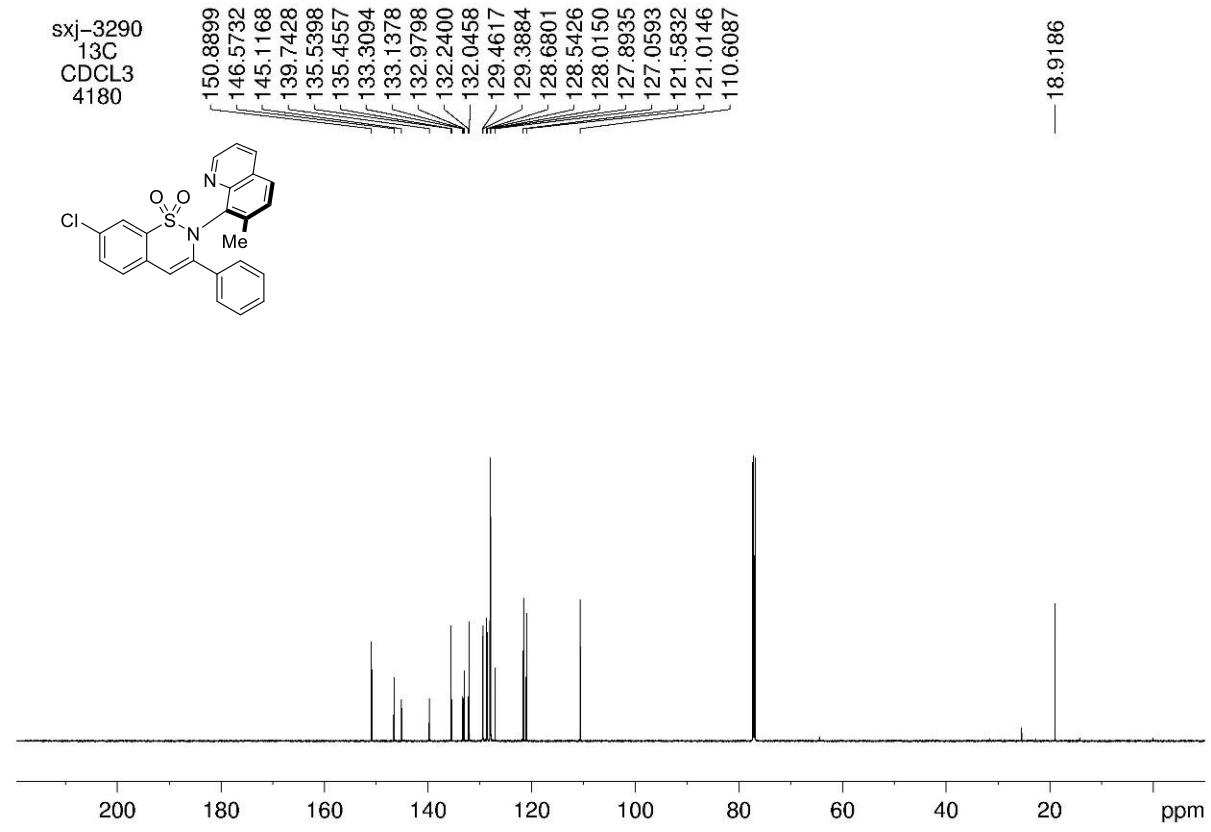
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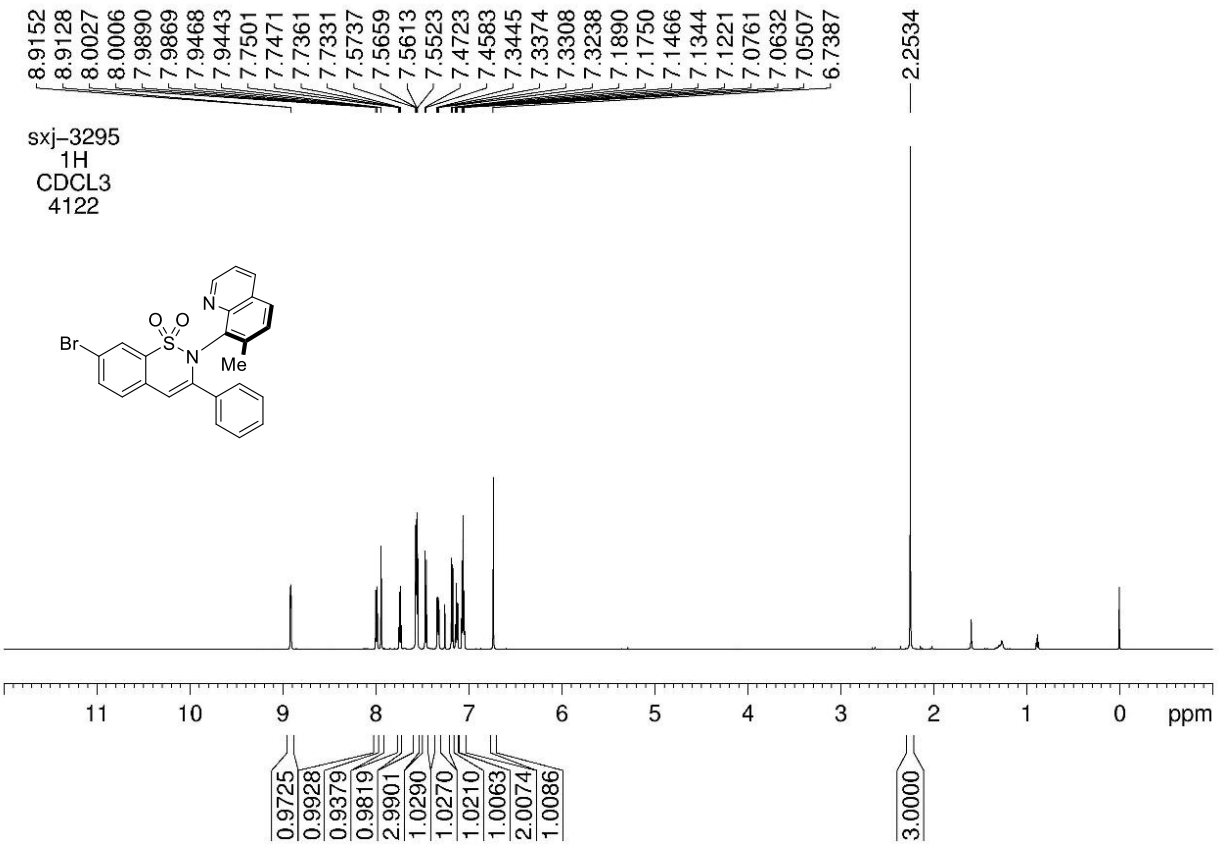
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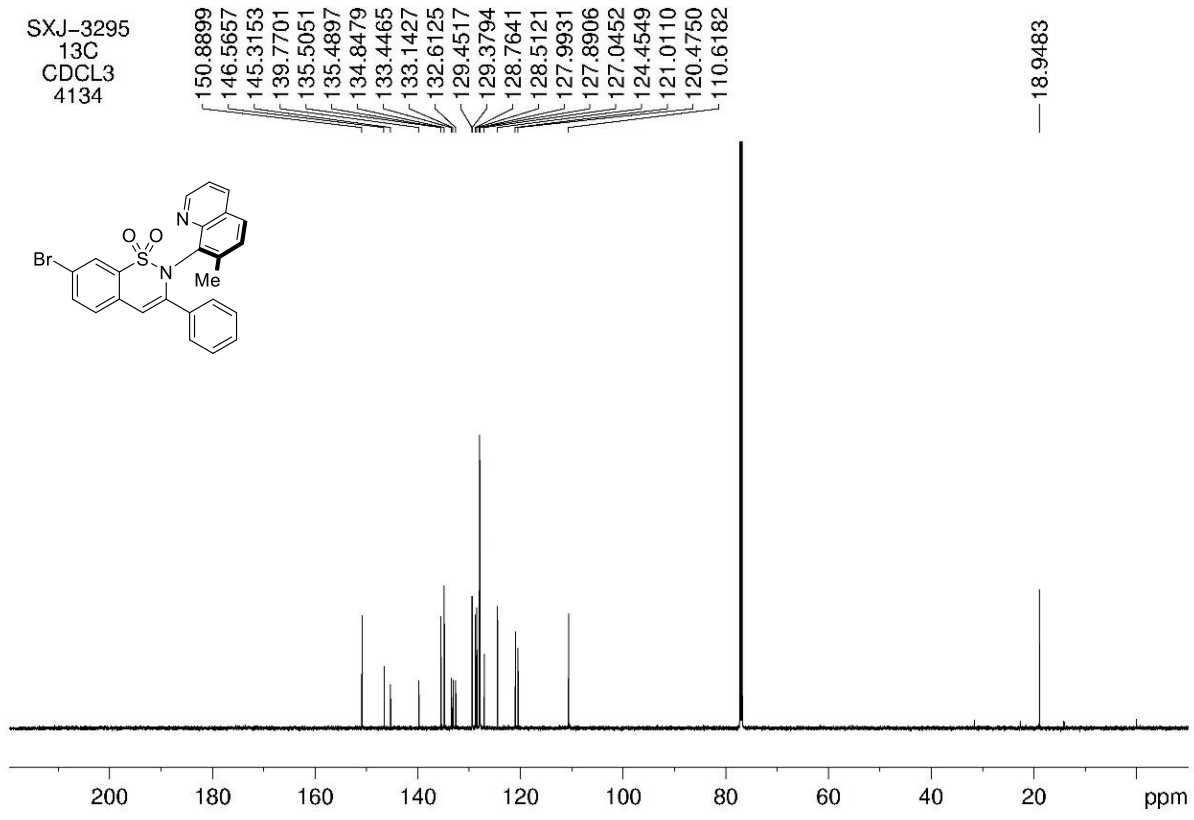
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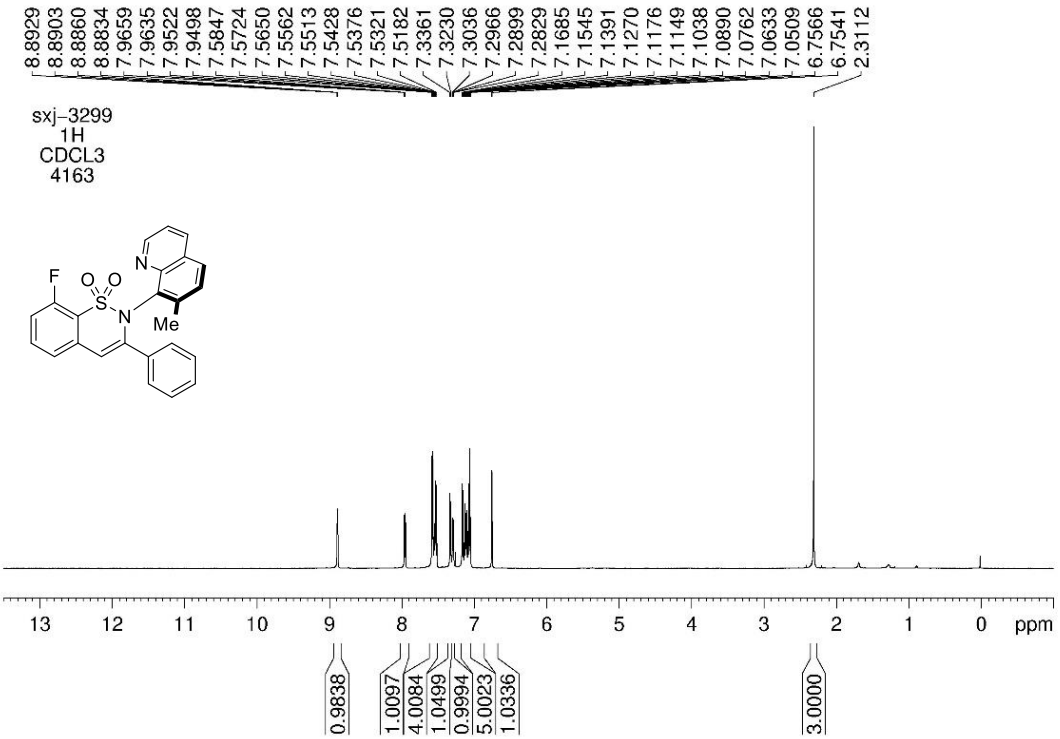
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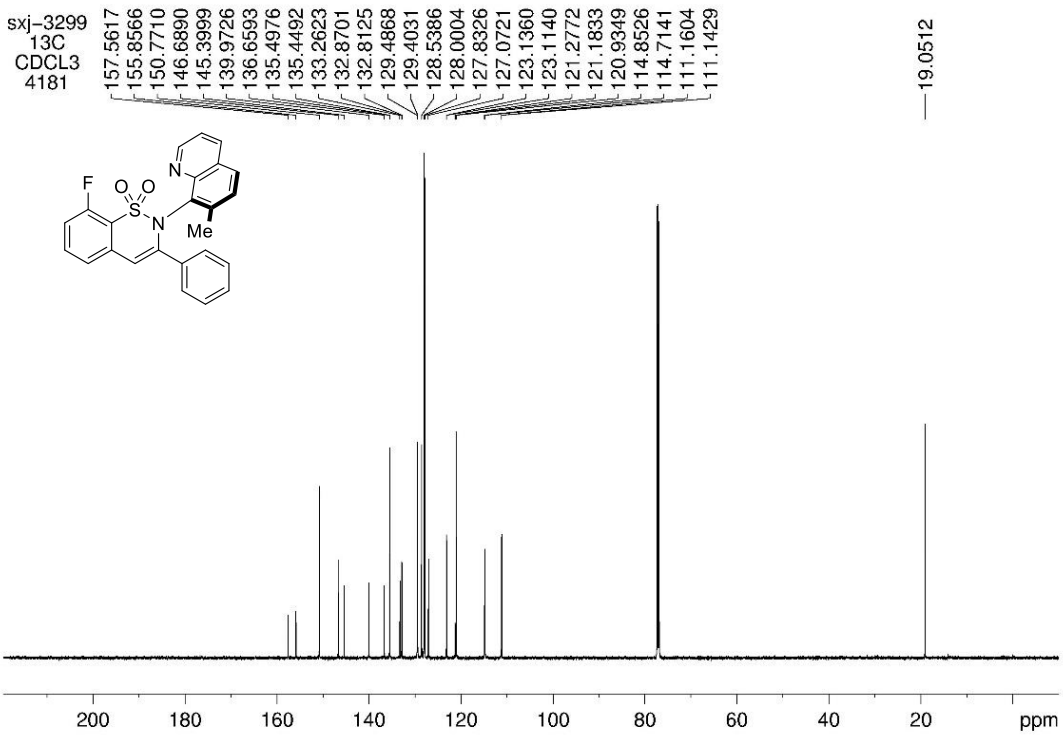
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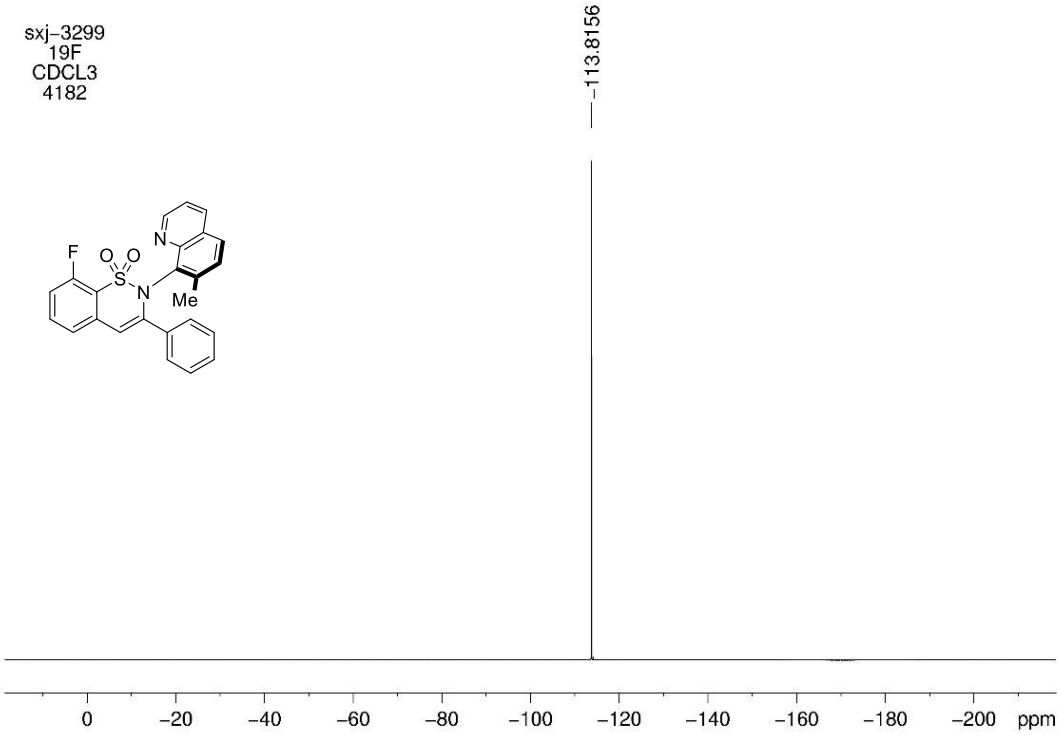
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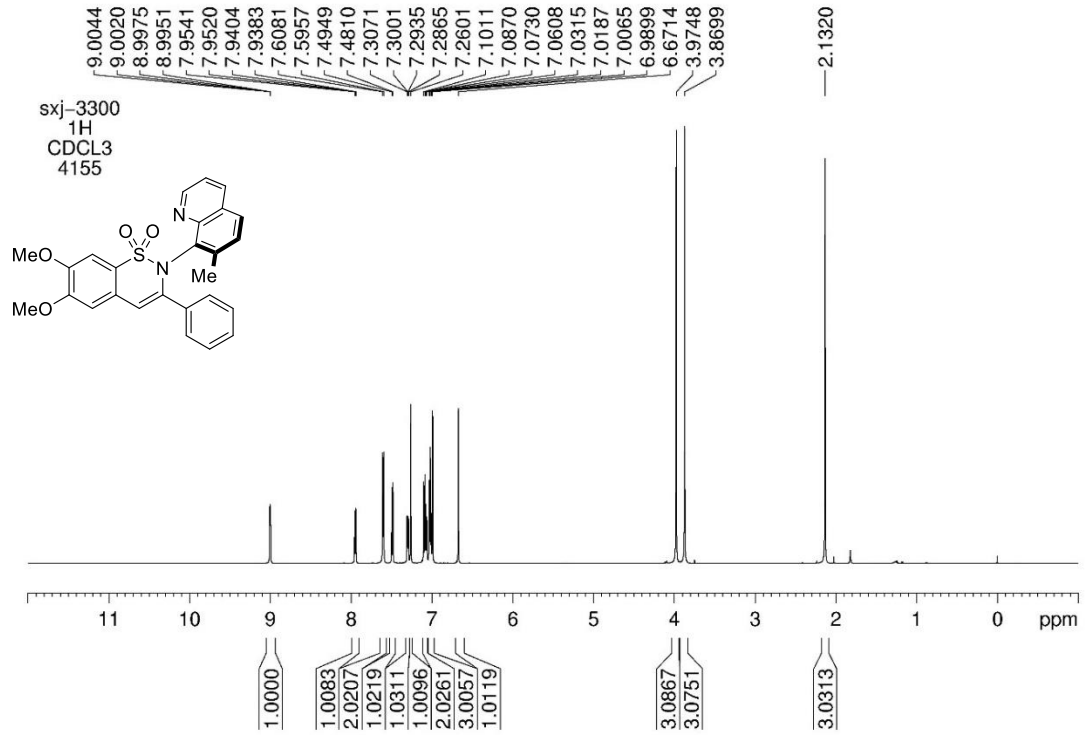
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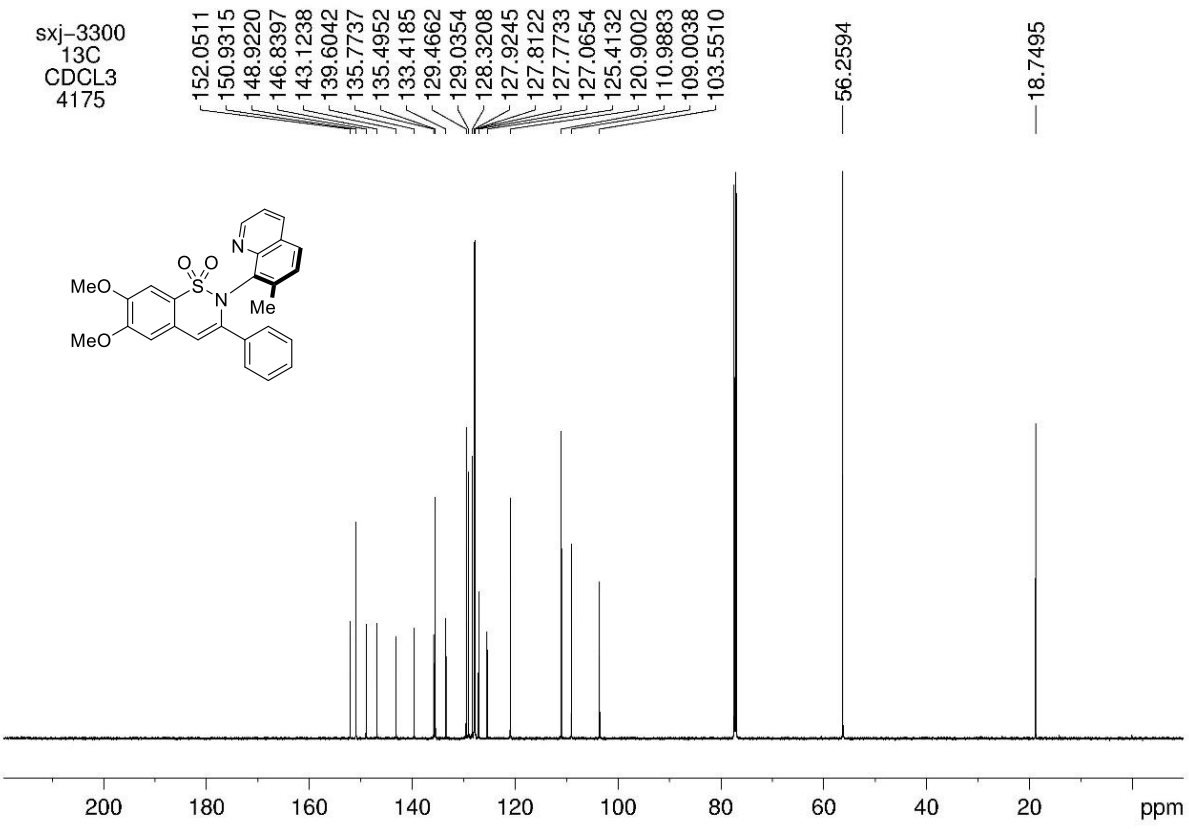
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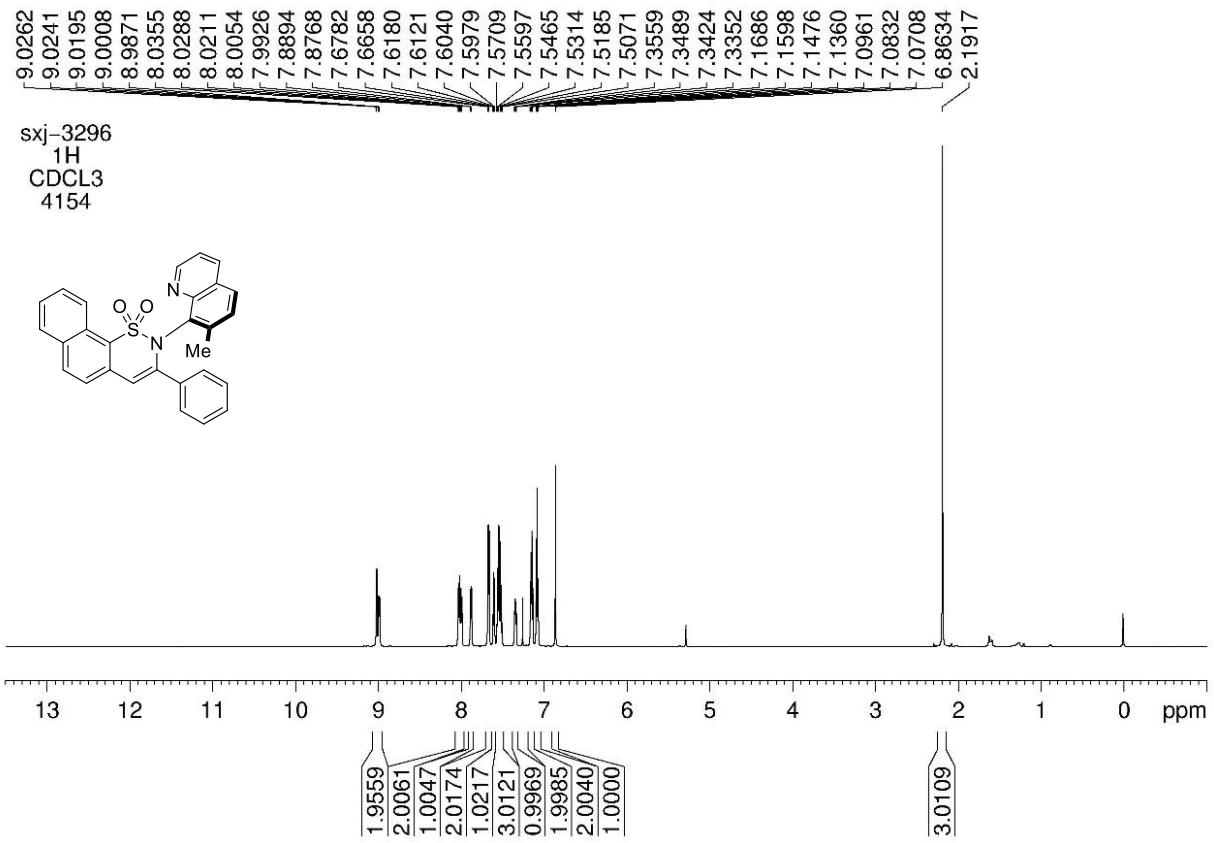
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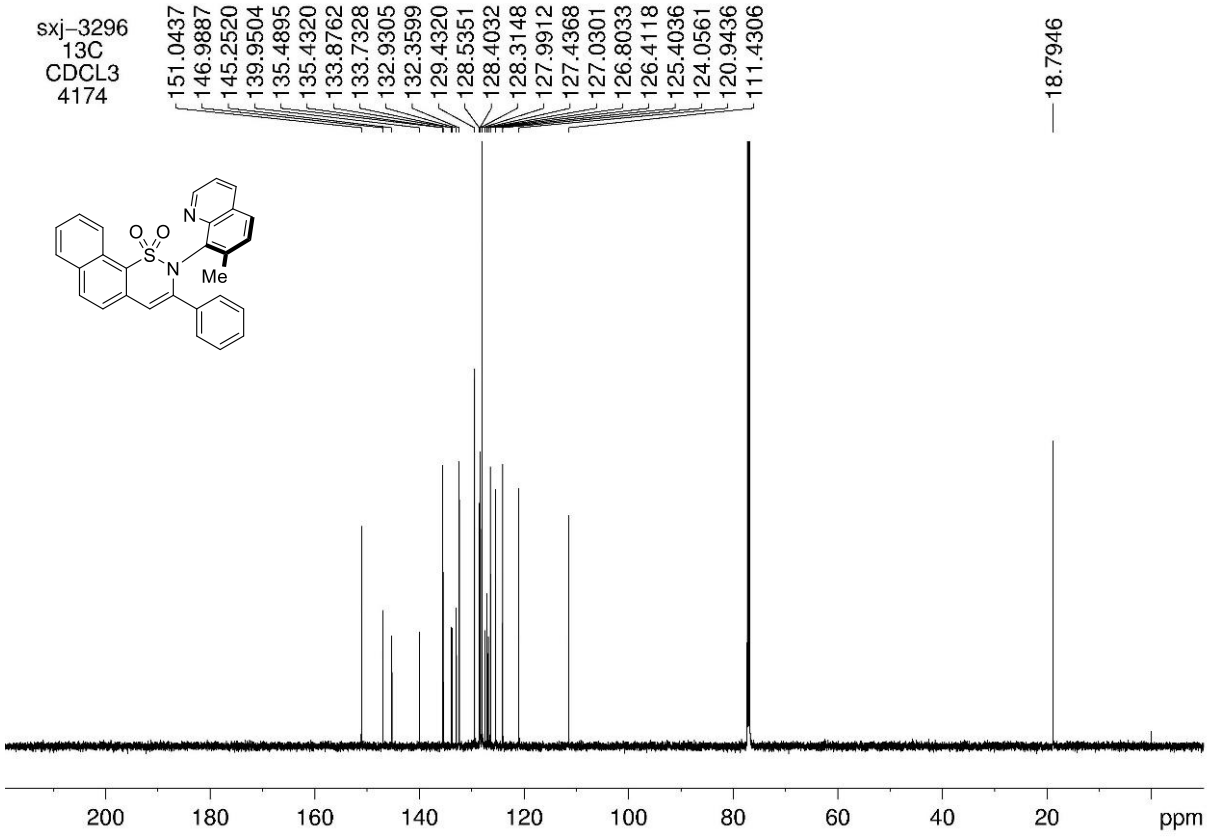
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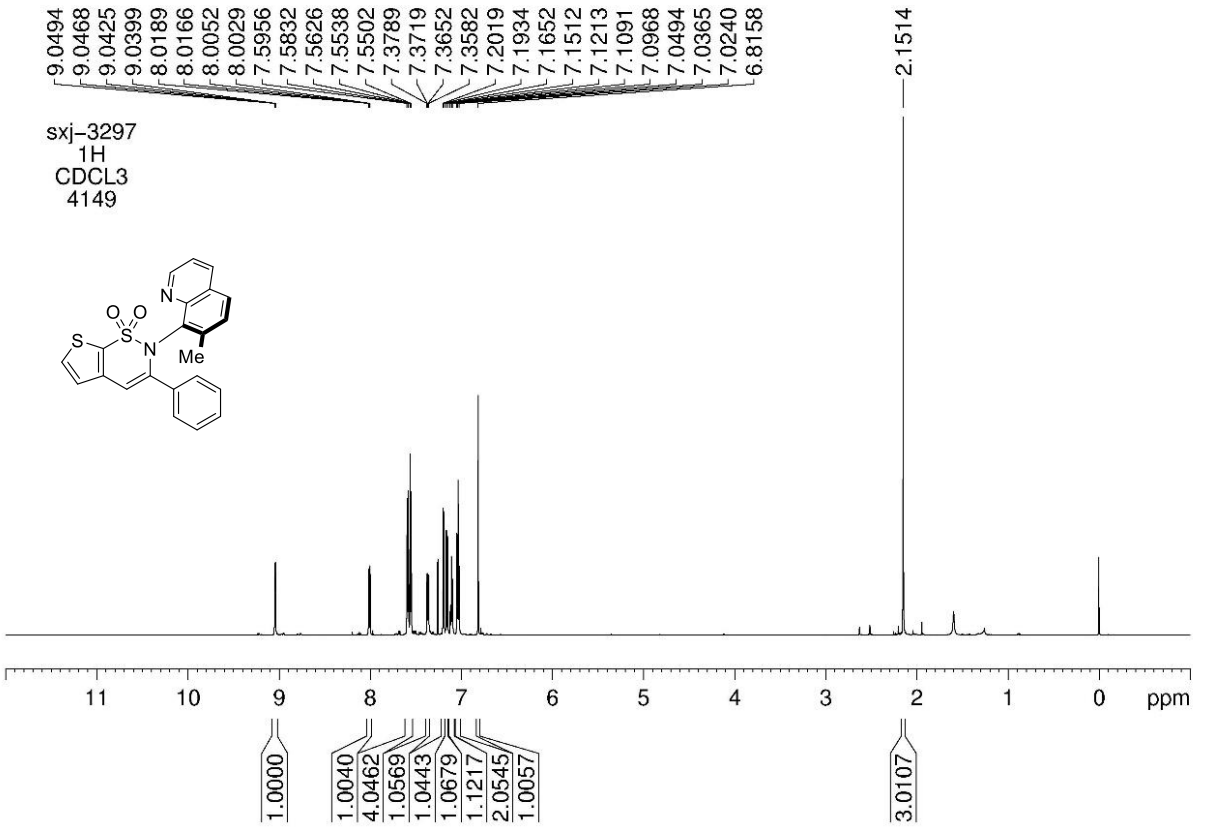
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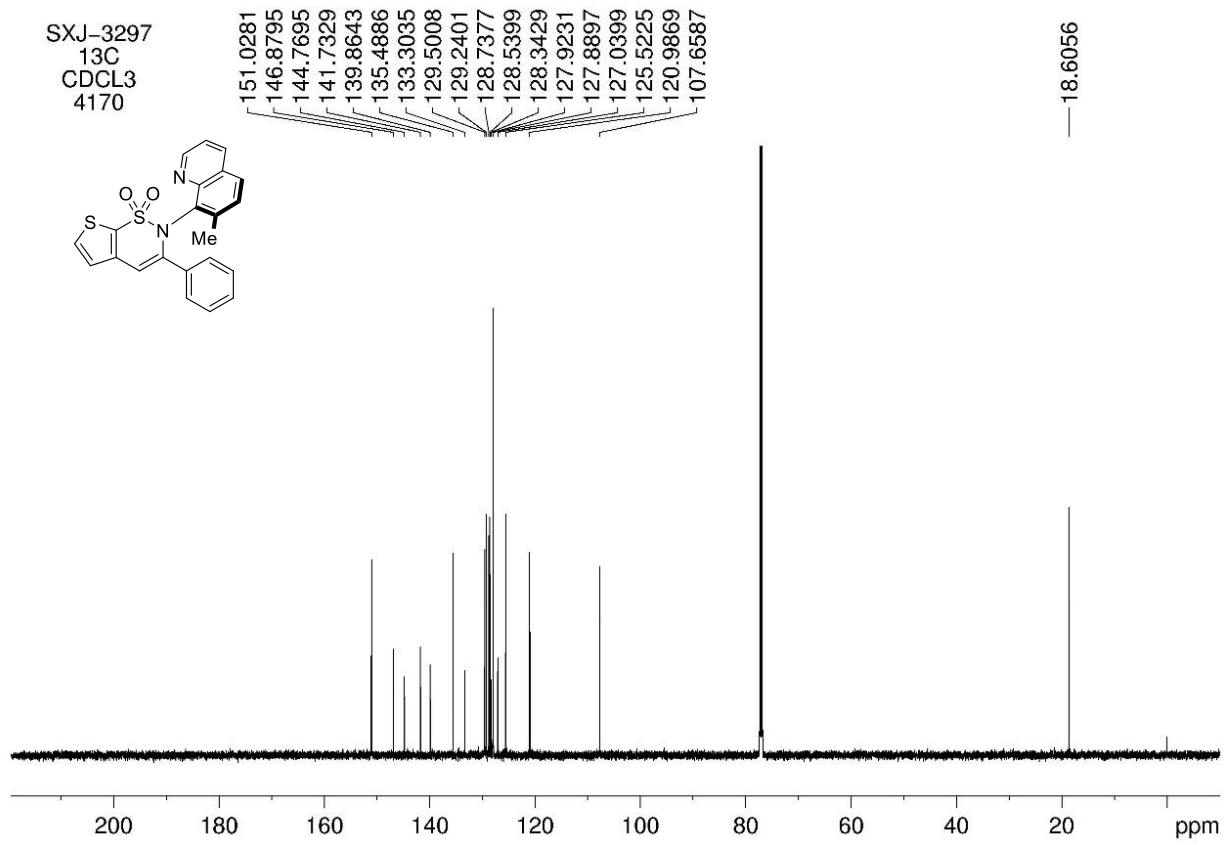
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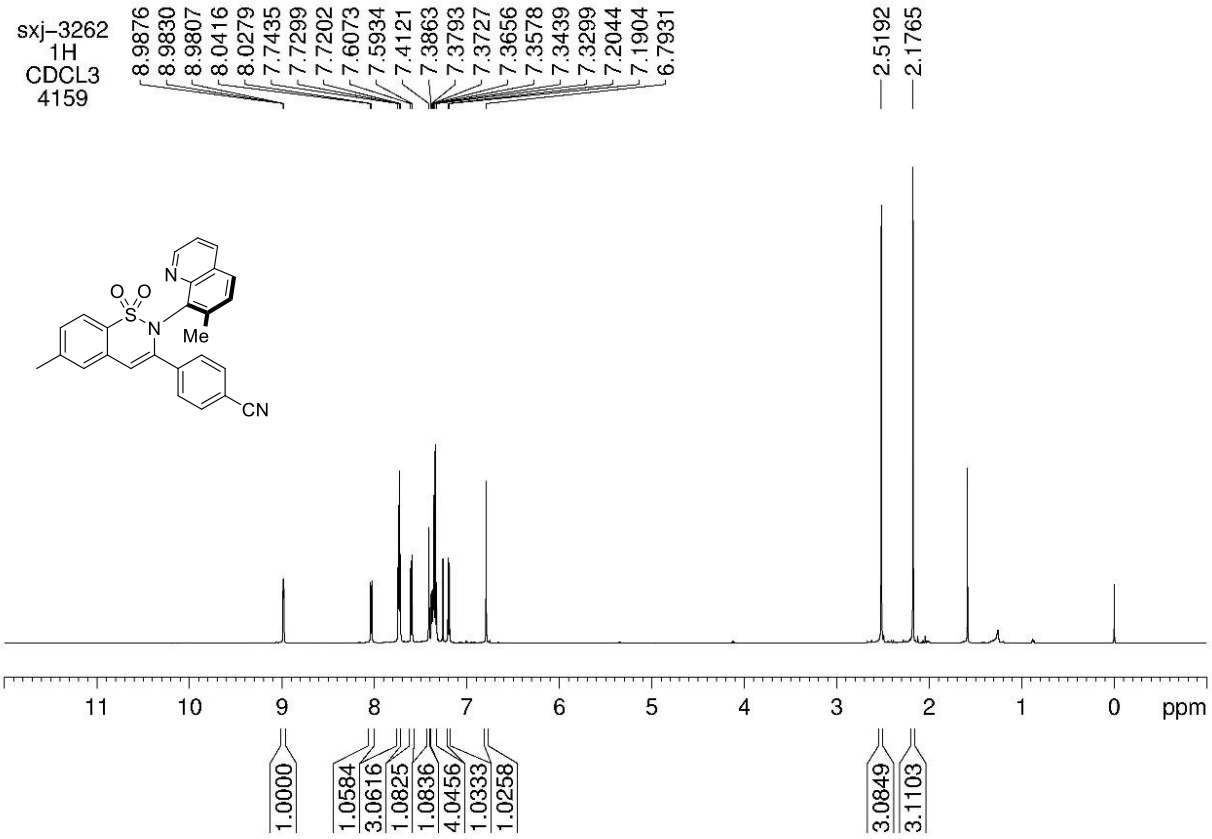
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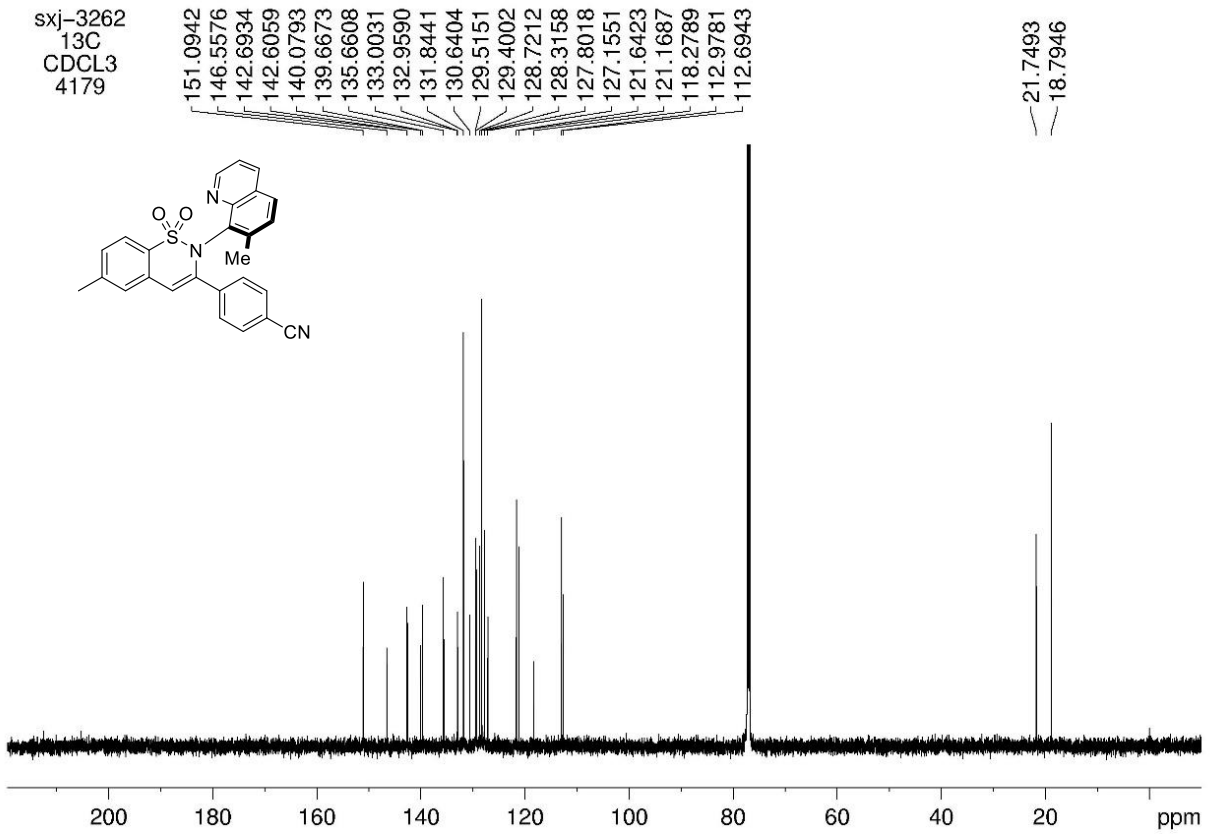
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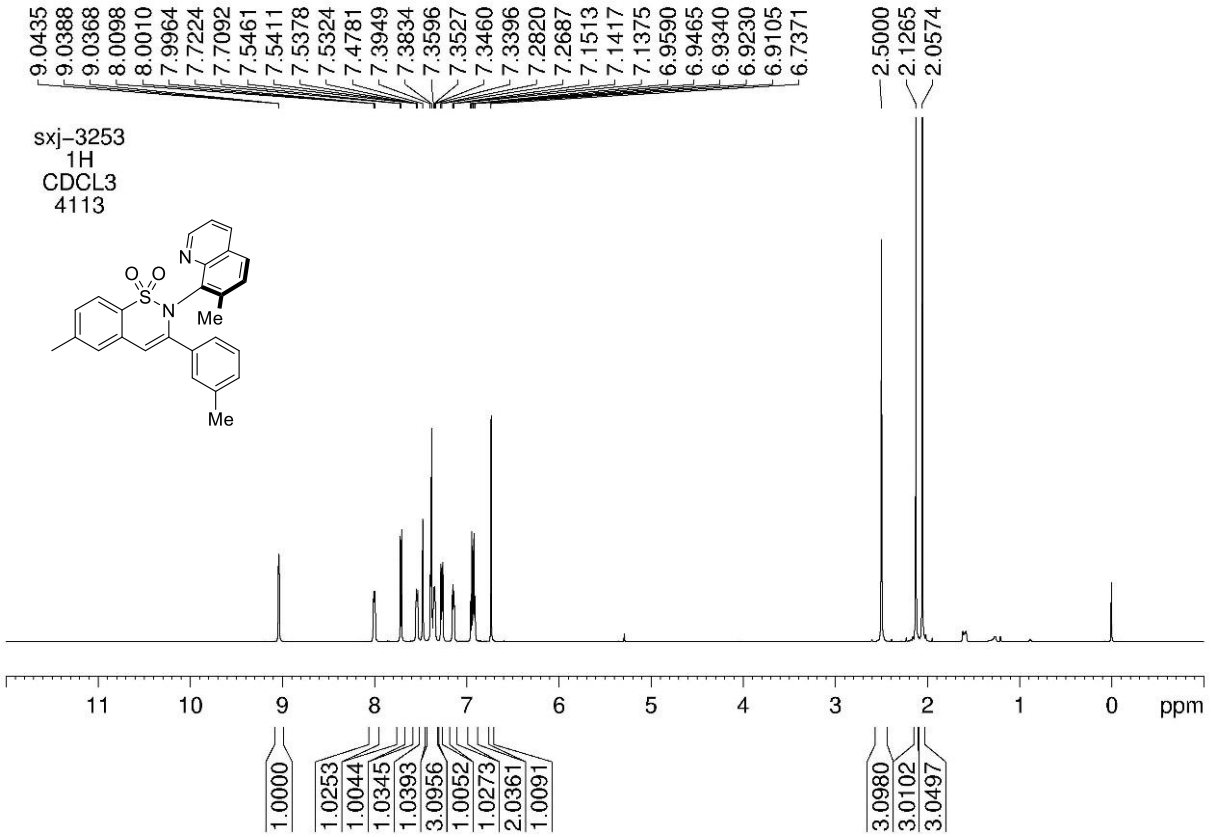
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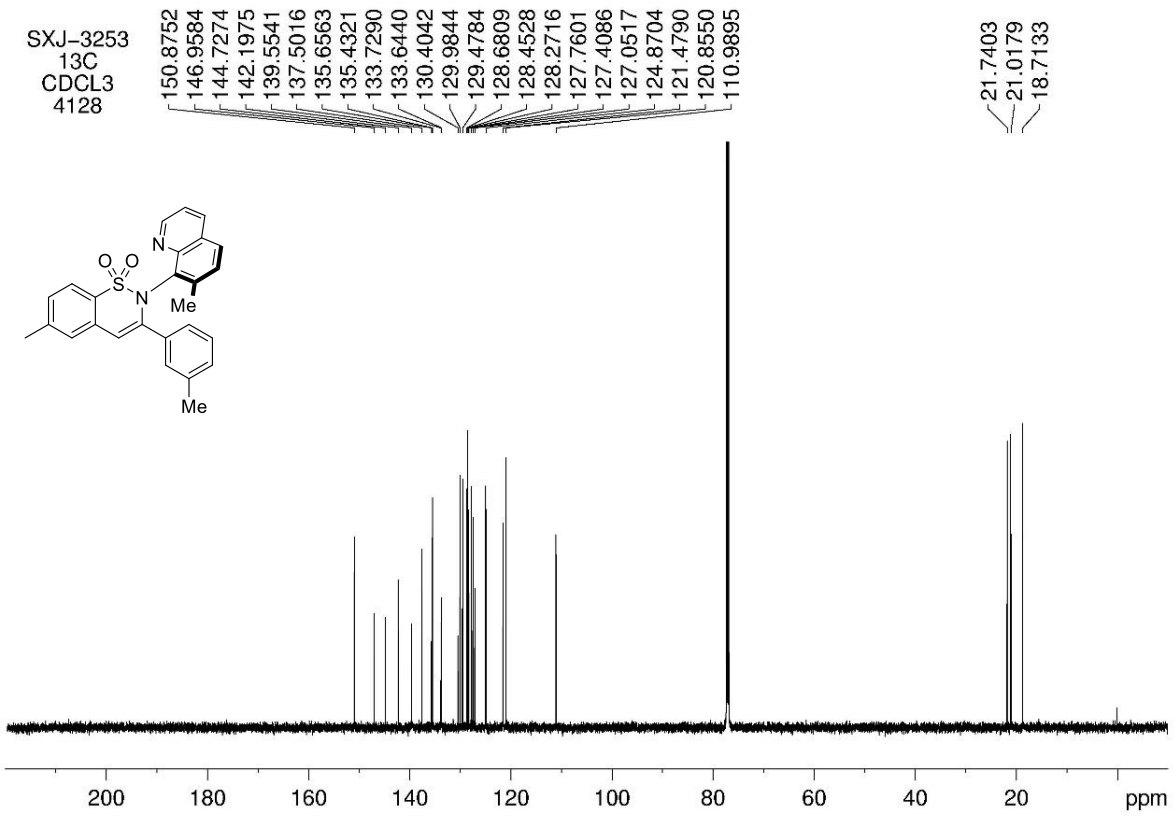
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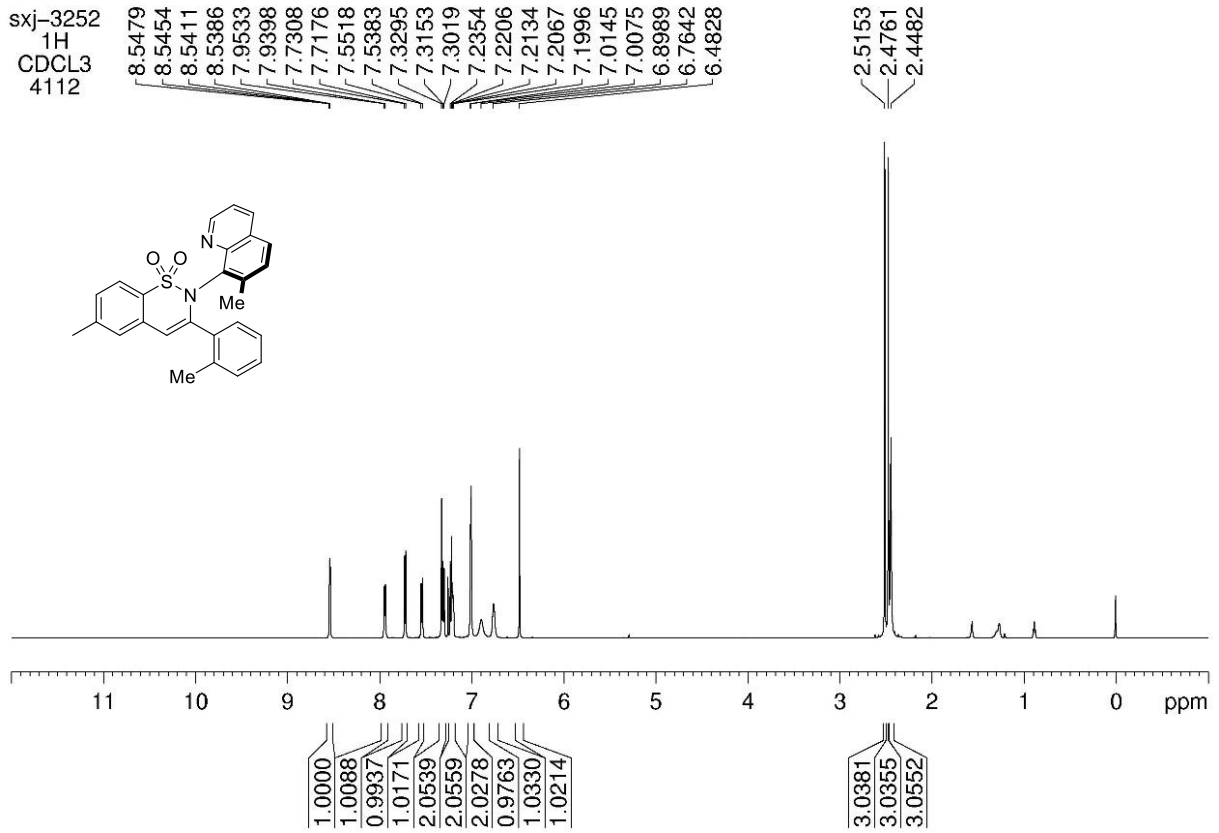
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2.4482
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O
O
S
N
Me
Me
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7
6
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4
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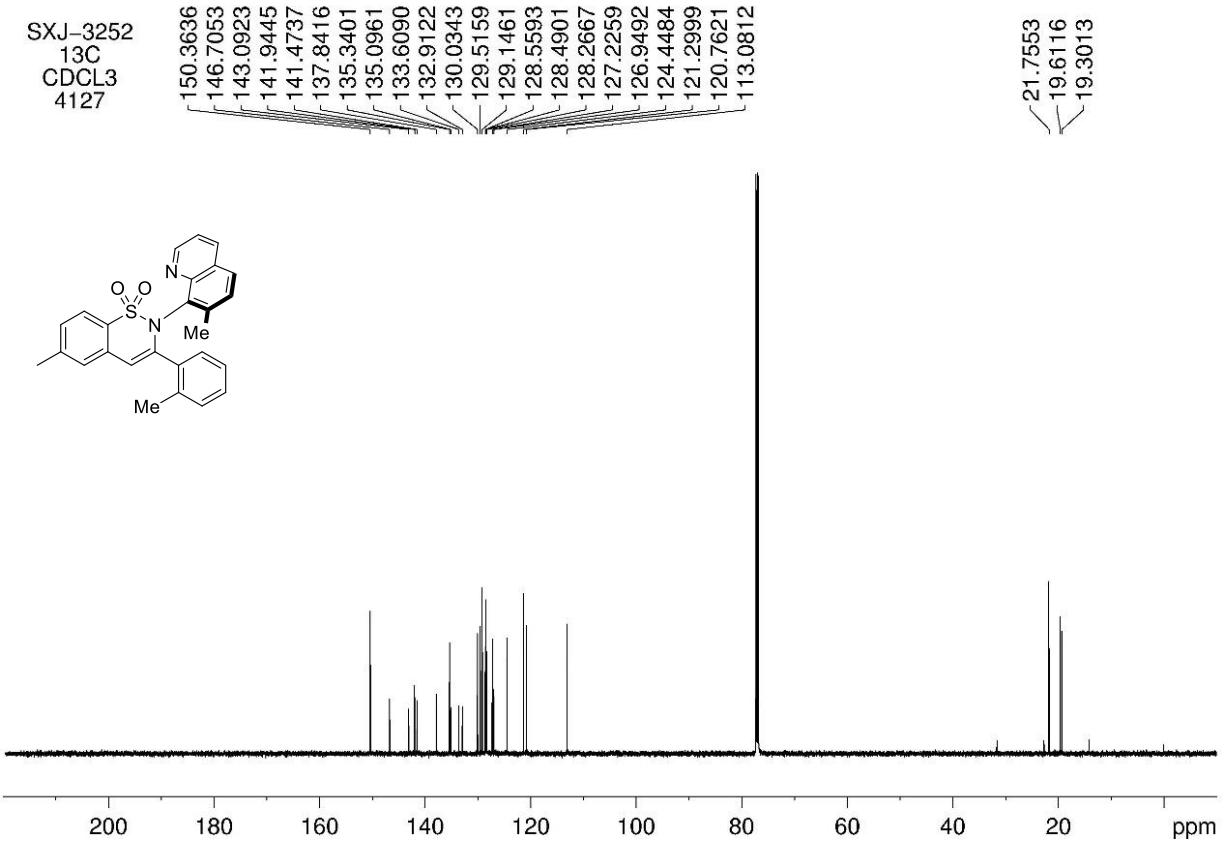
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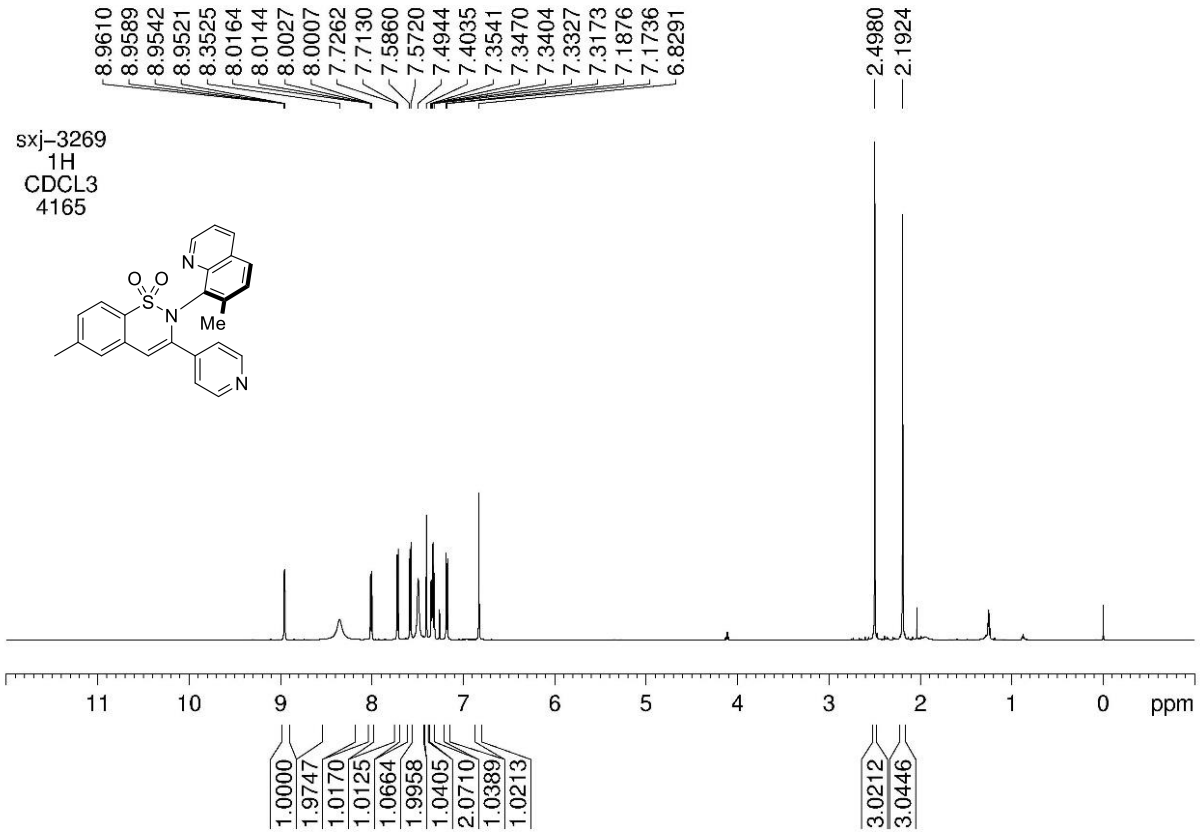
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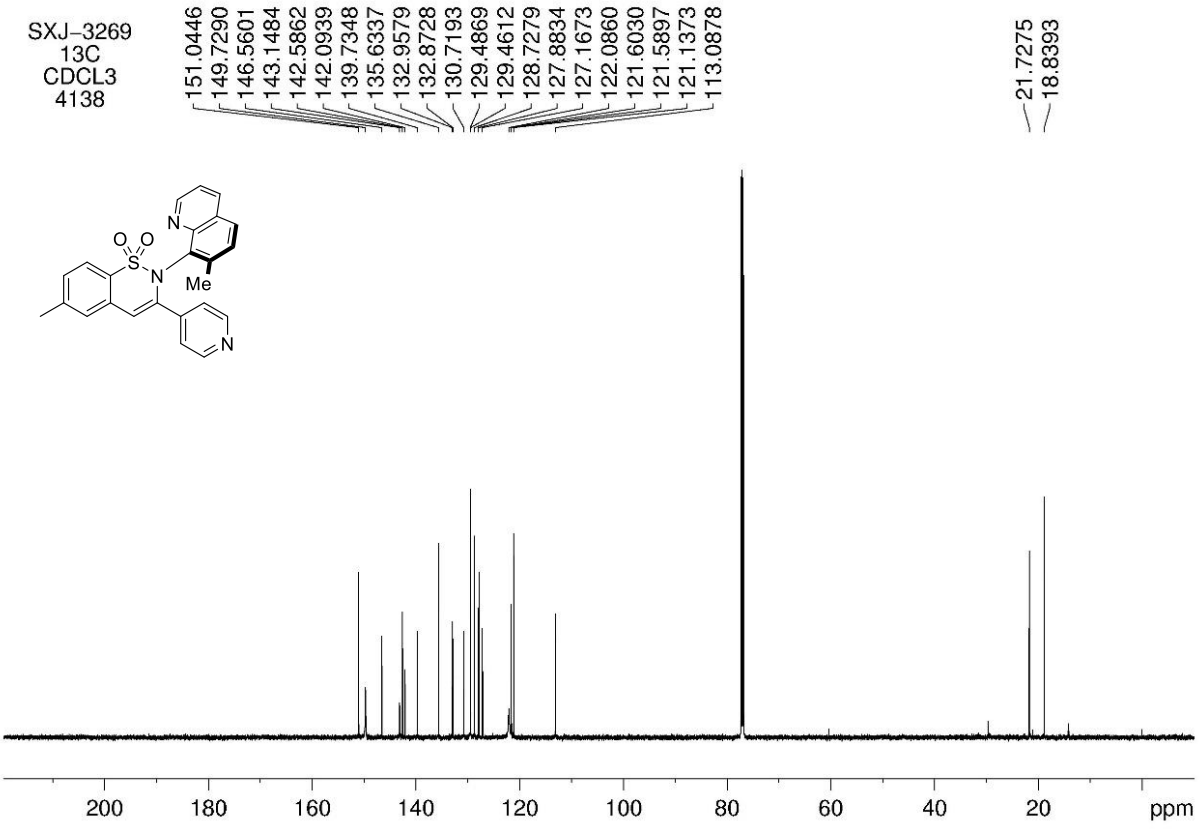
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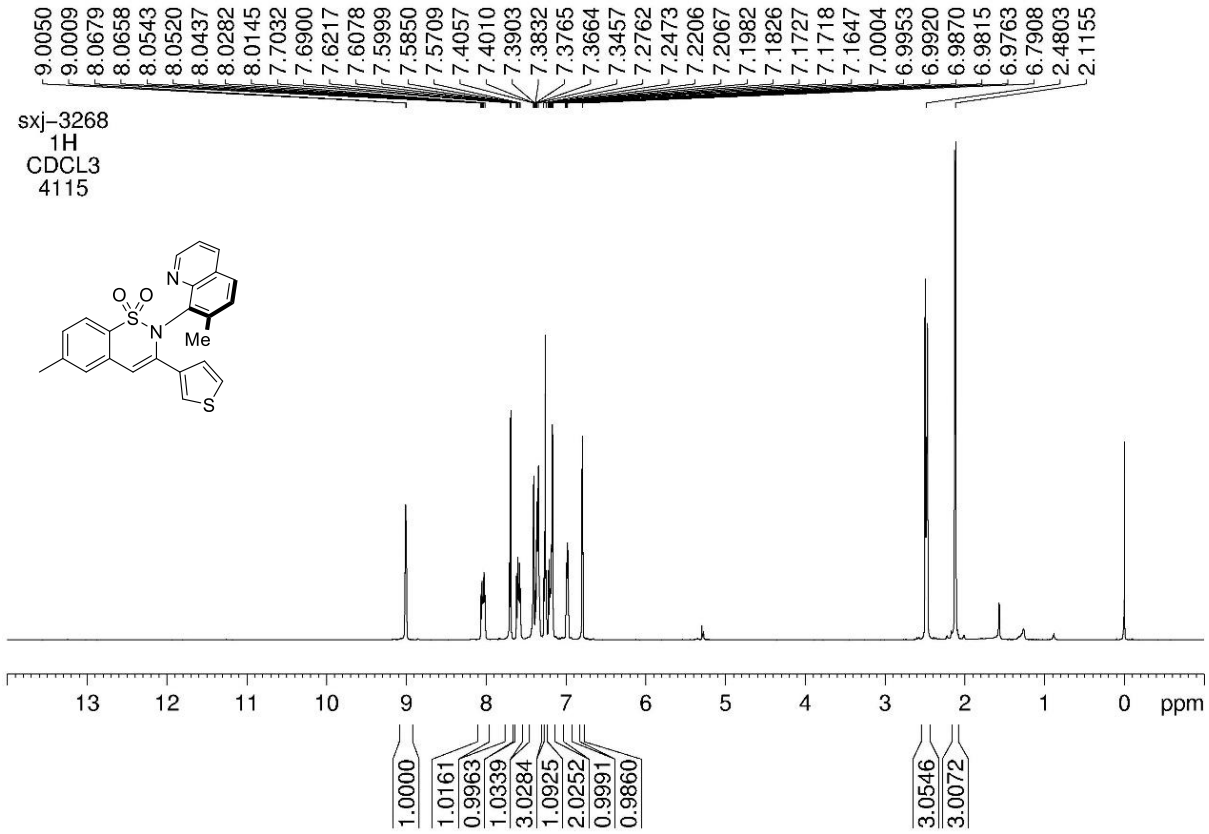
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7.6078
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7.5709
7.4057
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7.3765
7.3664
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7.2762
7.2473
7.2206
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7.1826
7.1727
7.1718
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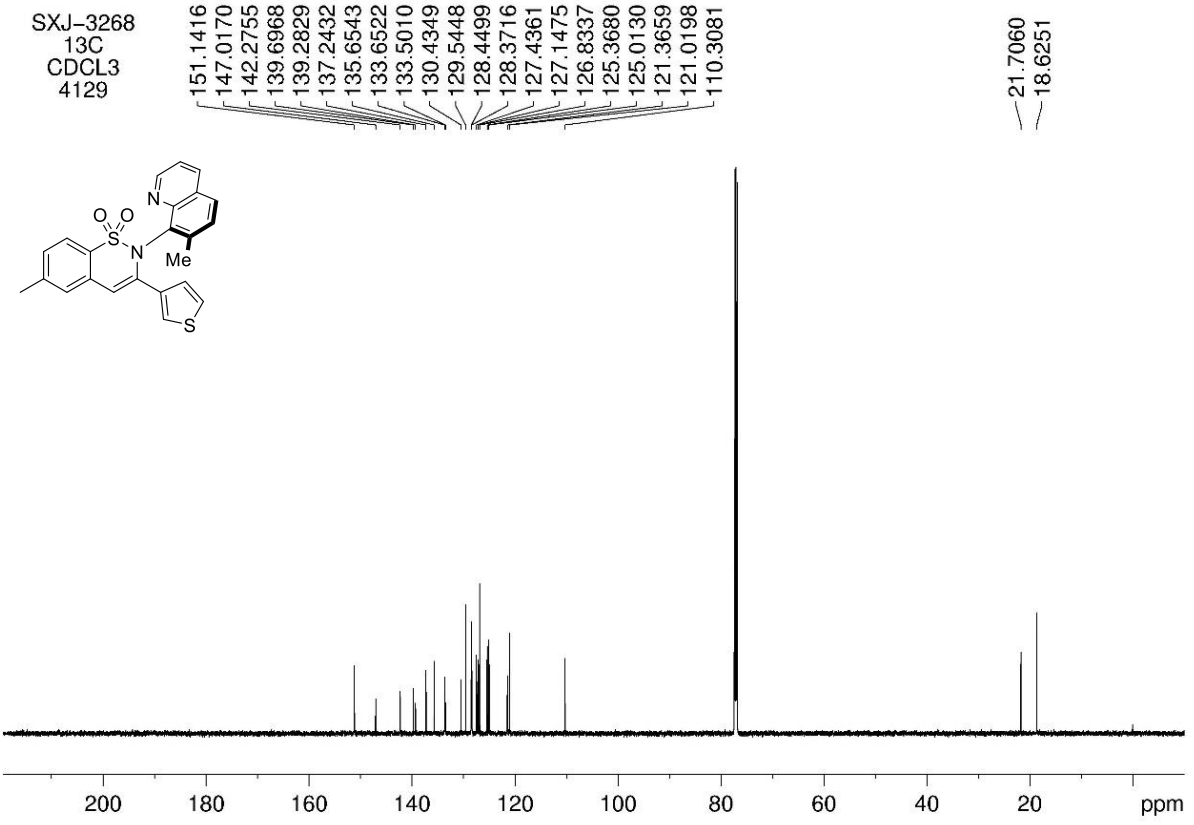
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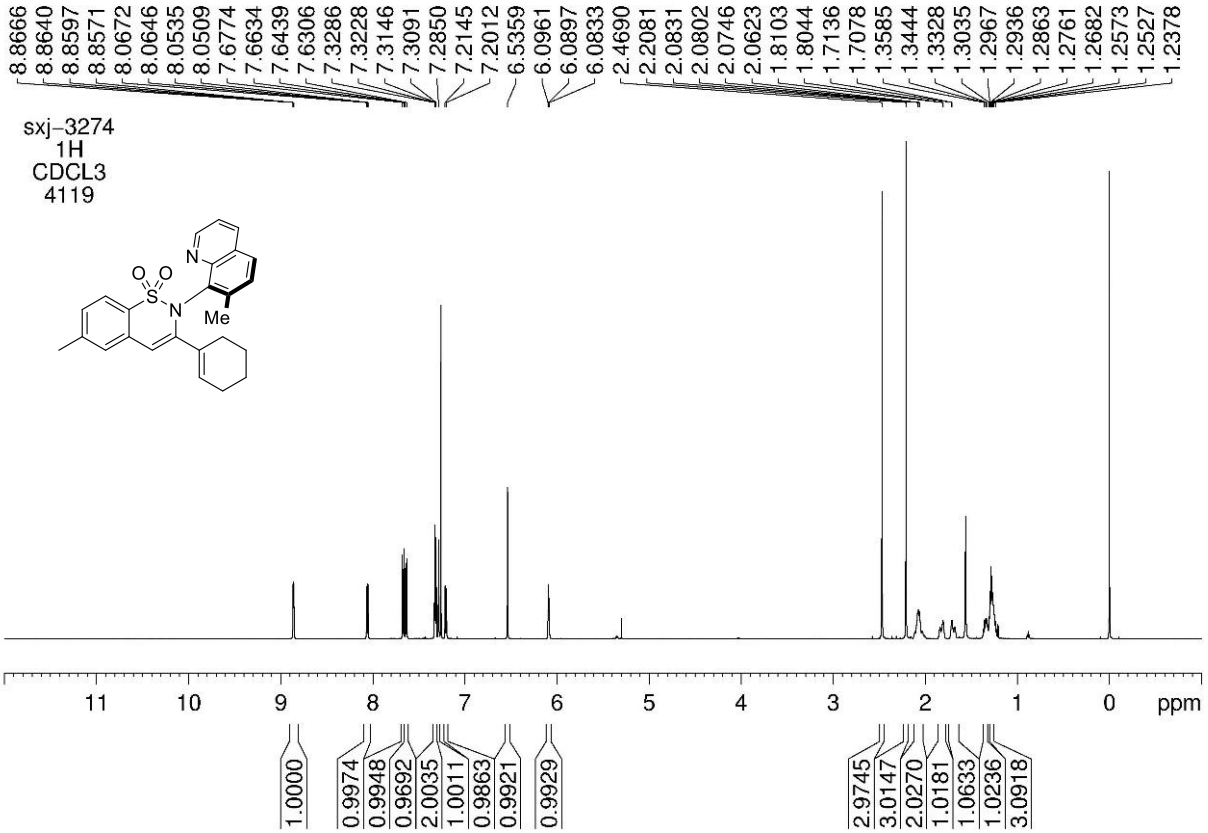
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7.2850
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1.7136
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1.3035
1.2967
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1.2378
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CDCL3
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S
N
Me
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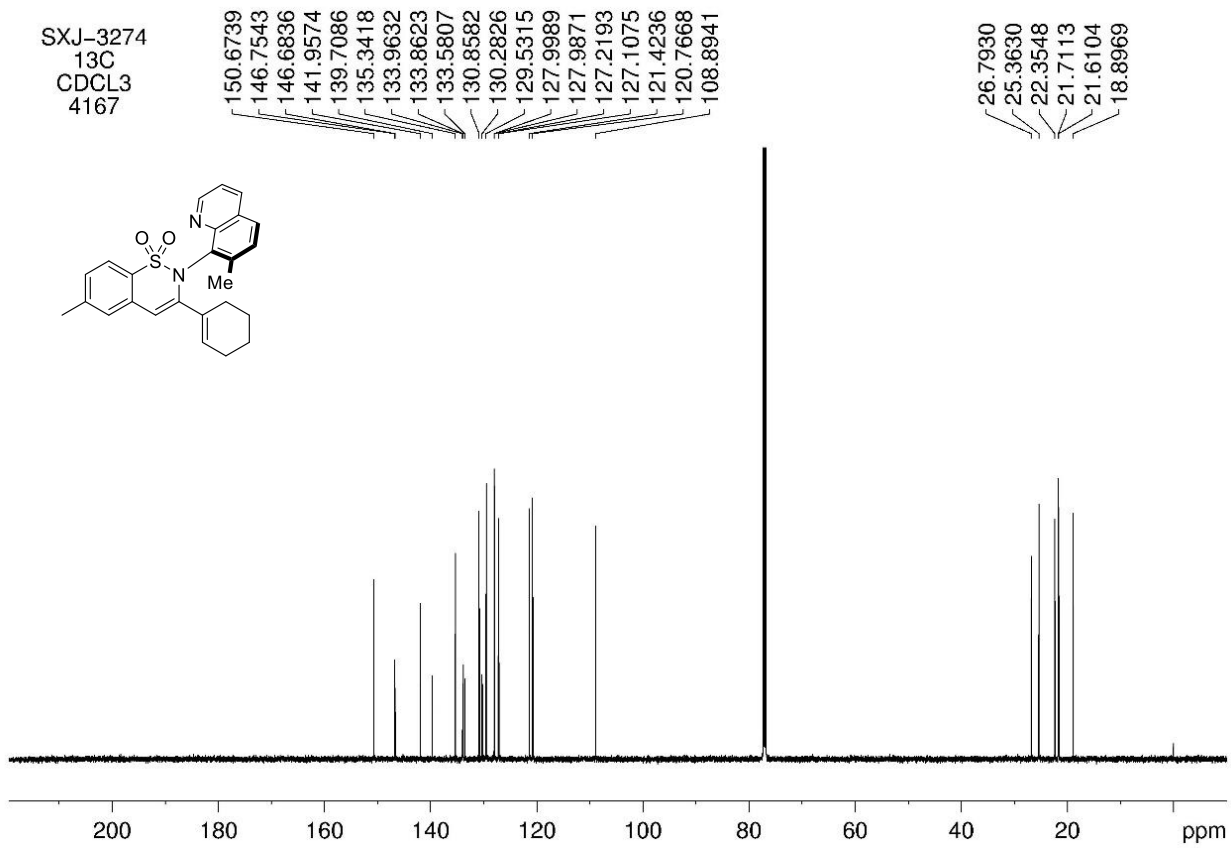
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121.4236
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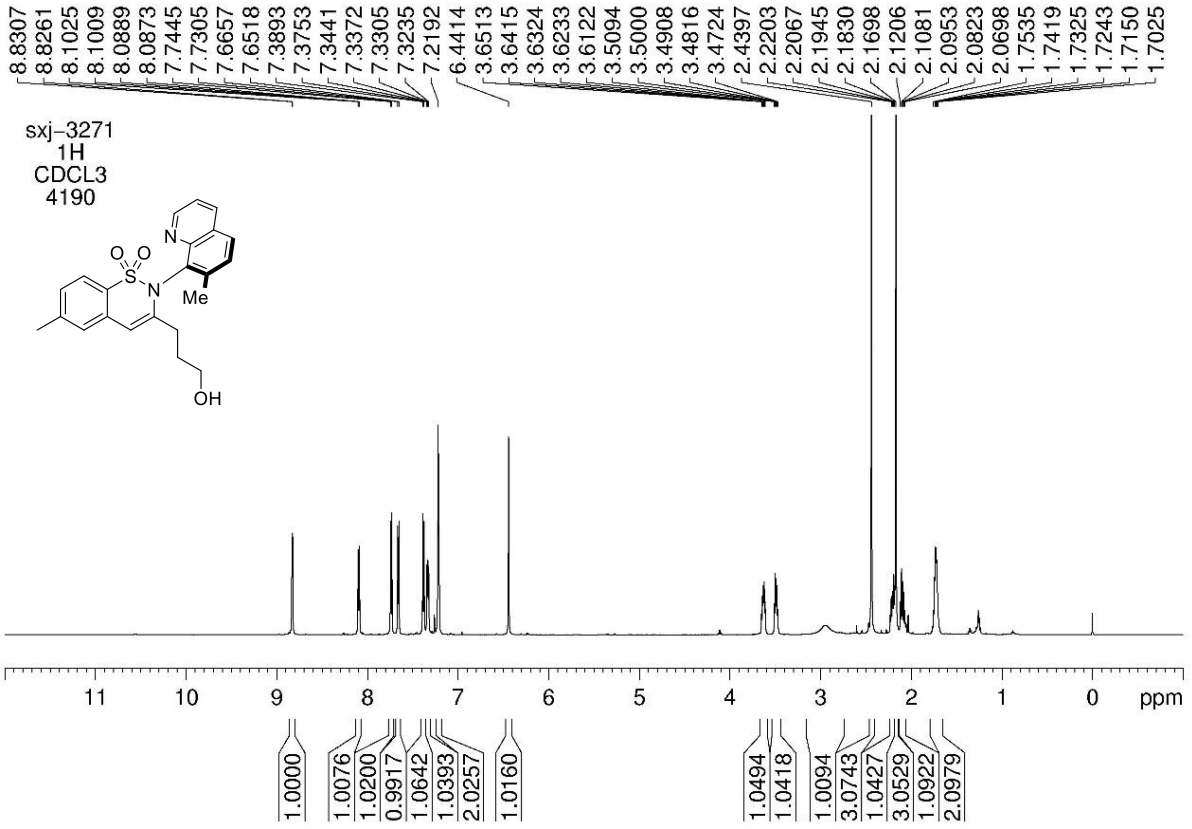
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3.6233
3.6122
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CDCL3
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Me
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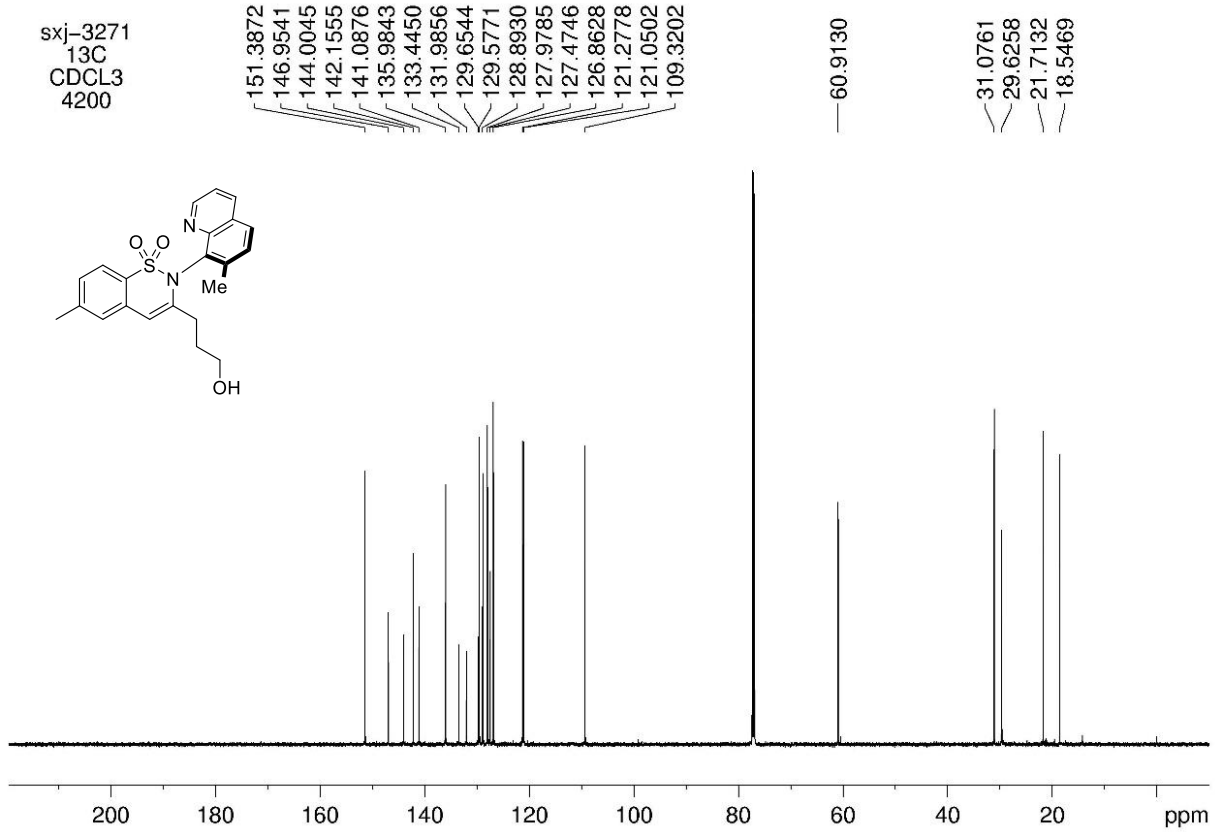
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O
S
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Me
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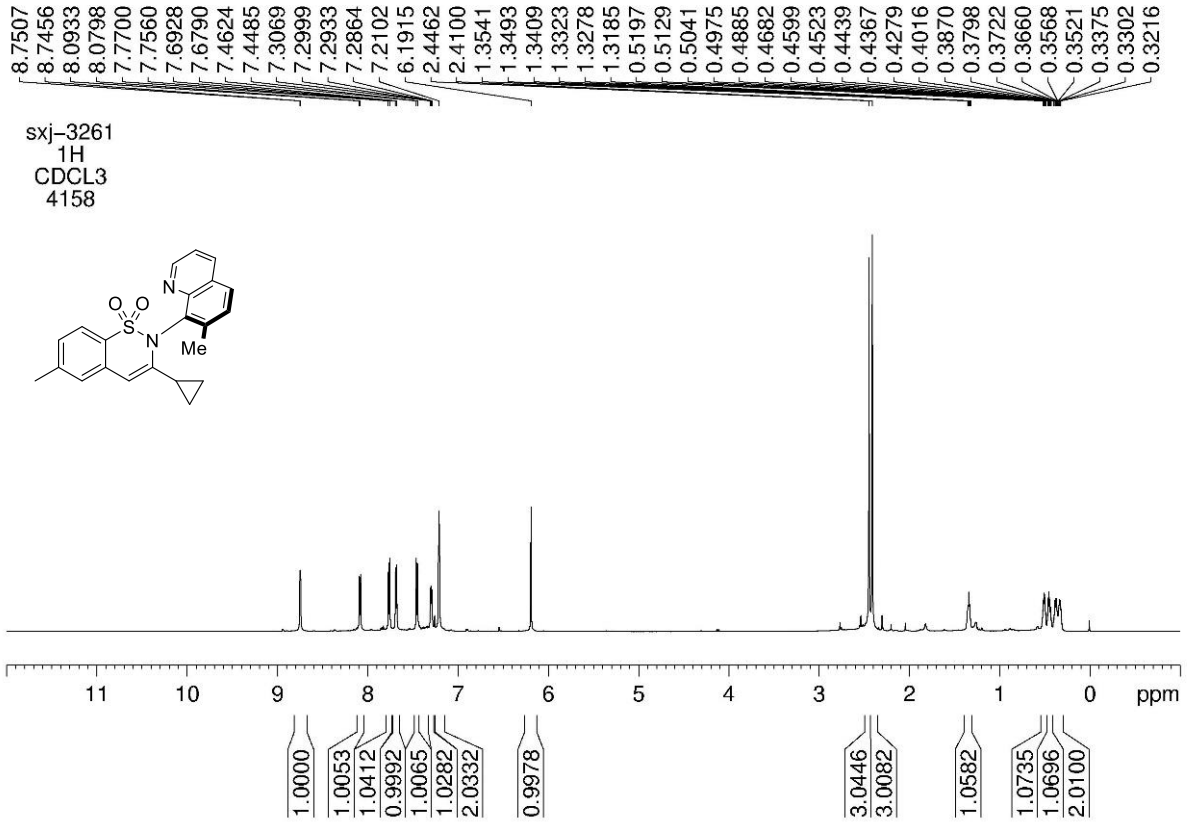
20
ppm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0.4016
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0.3722
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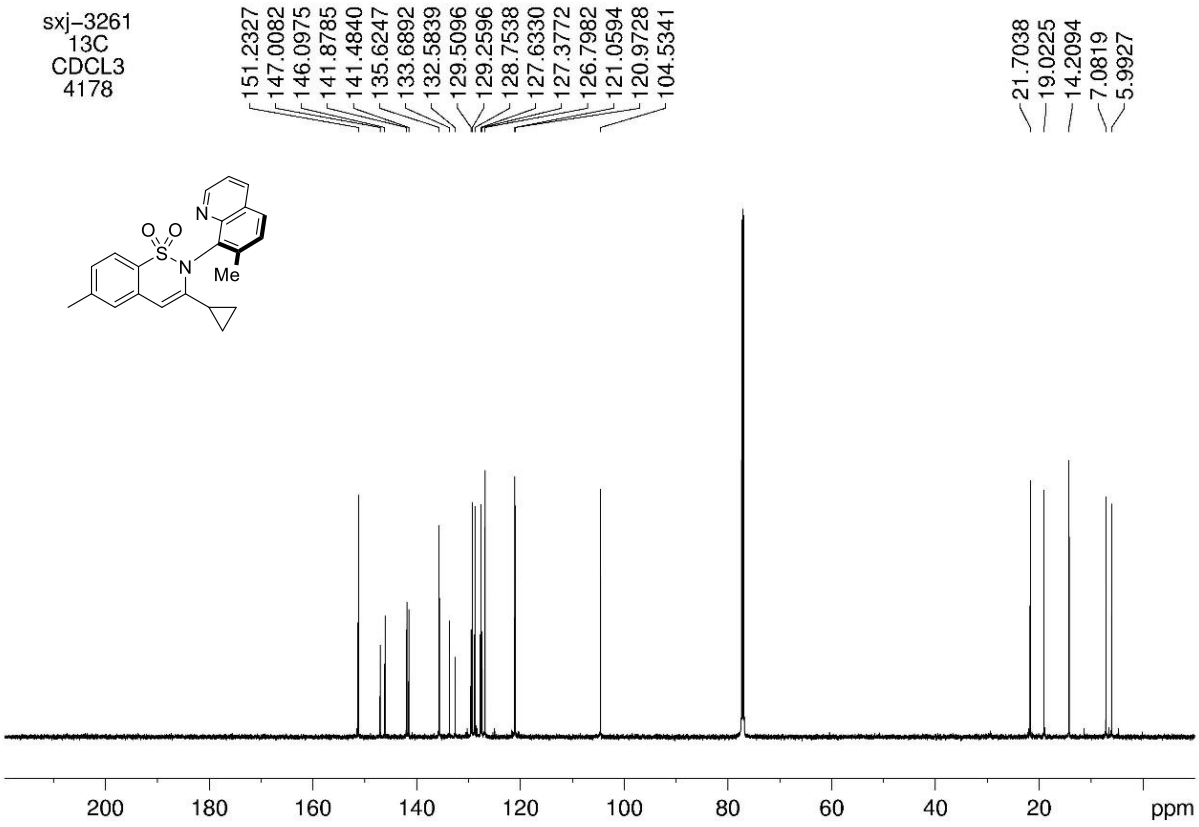
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20
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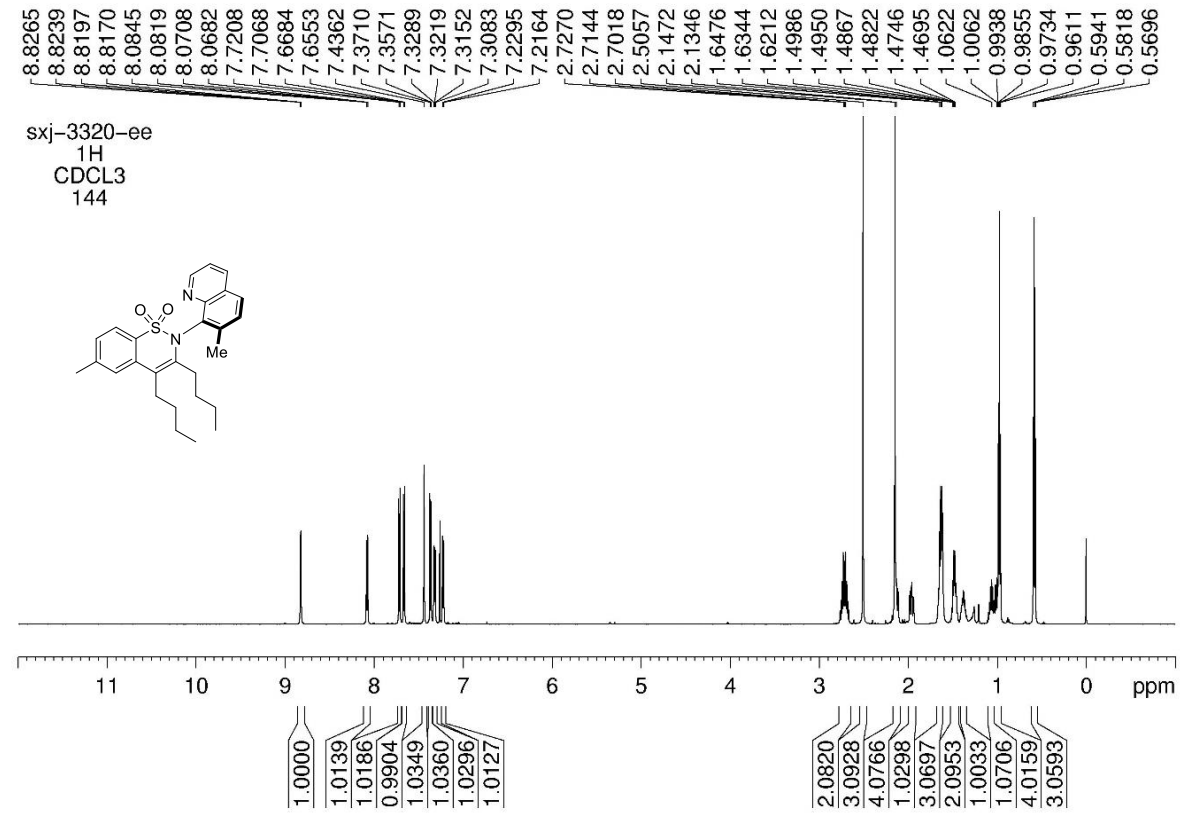
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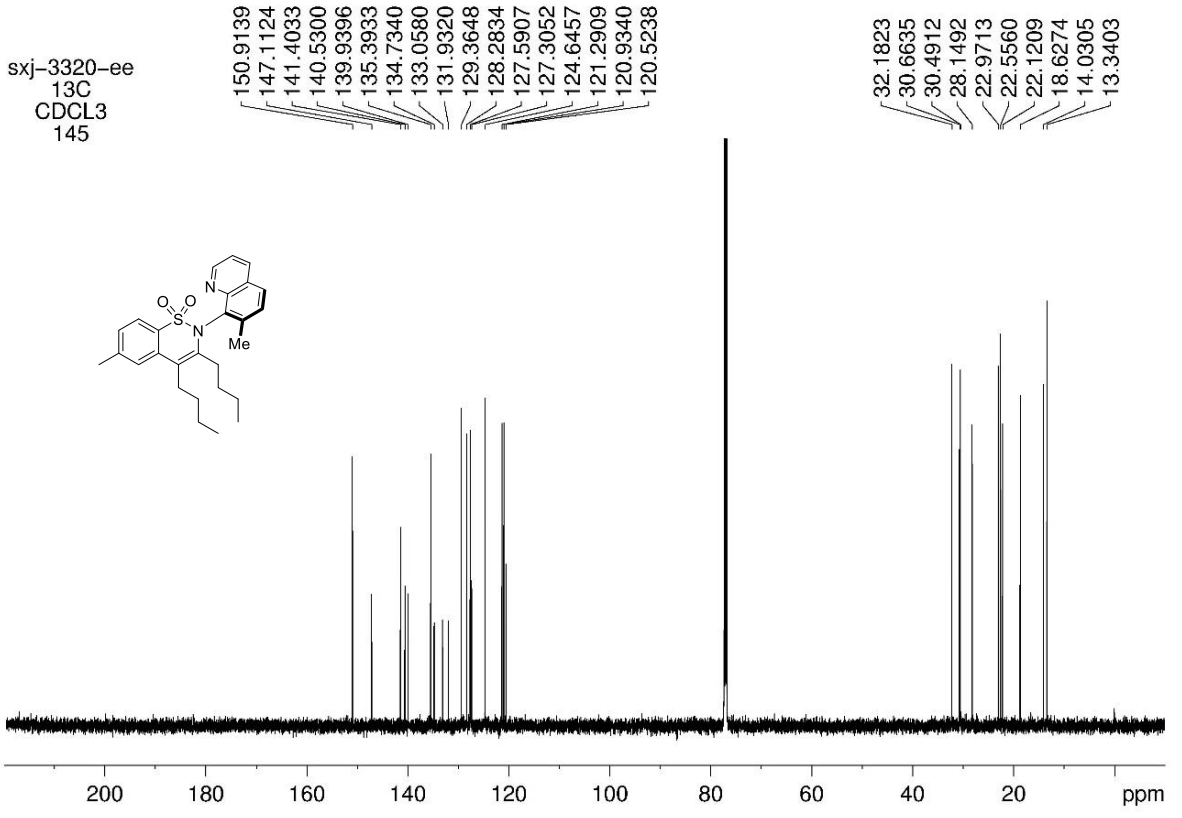
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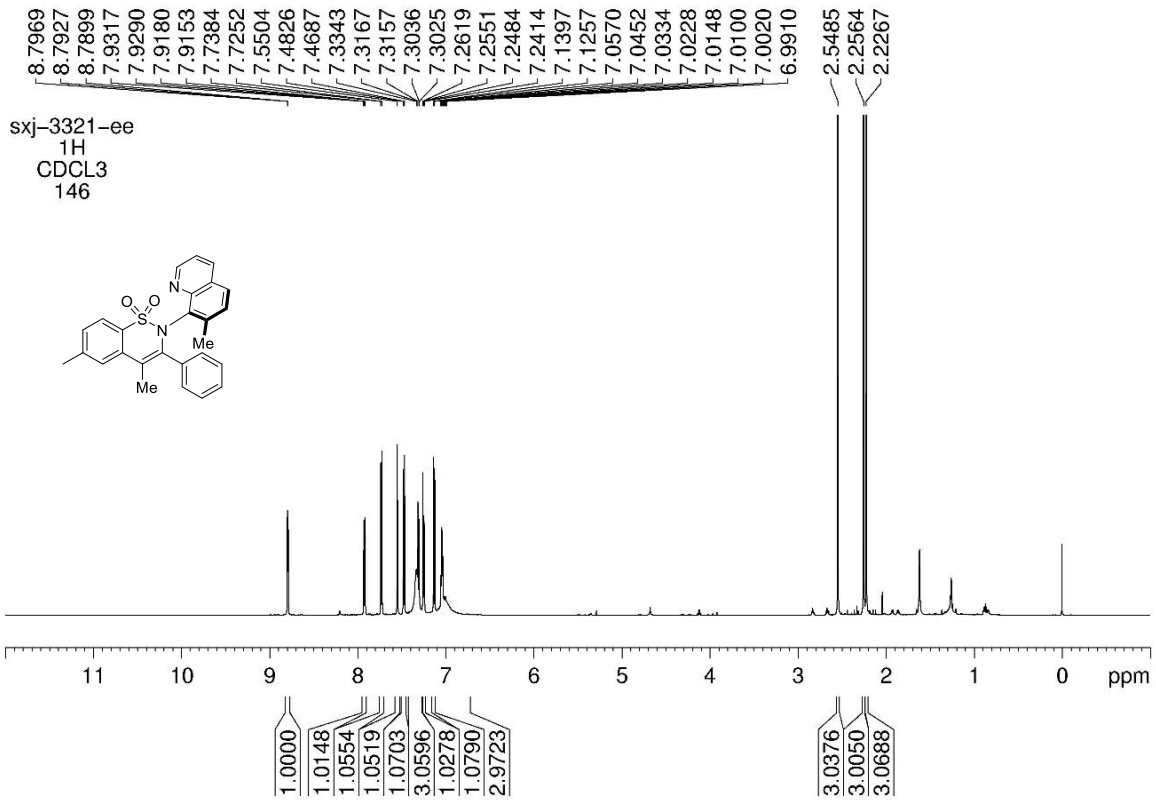
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7.3157
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7.2551
7.2484
7.2414
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Me
Me
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7
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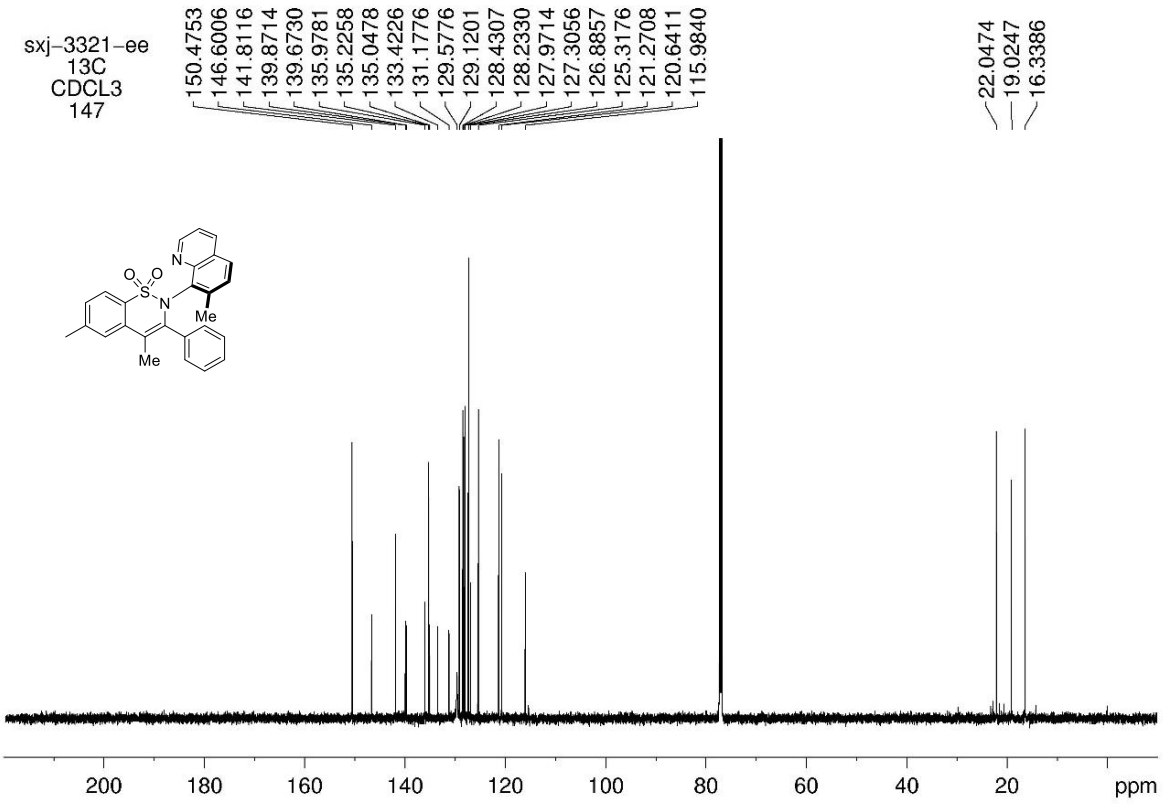
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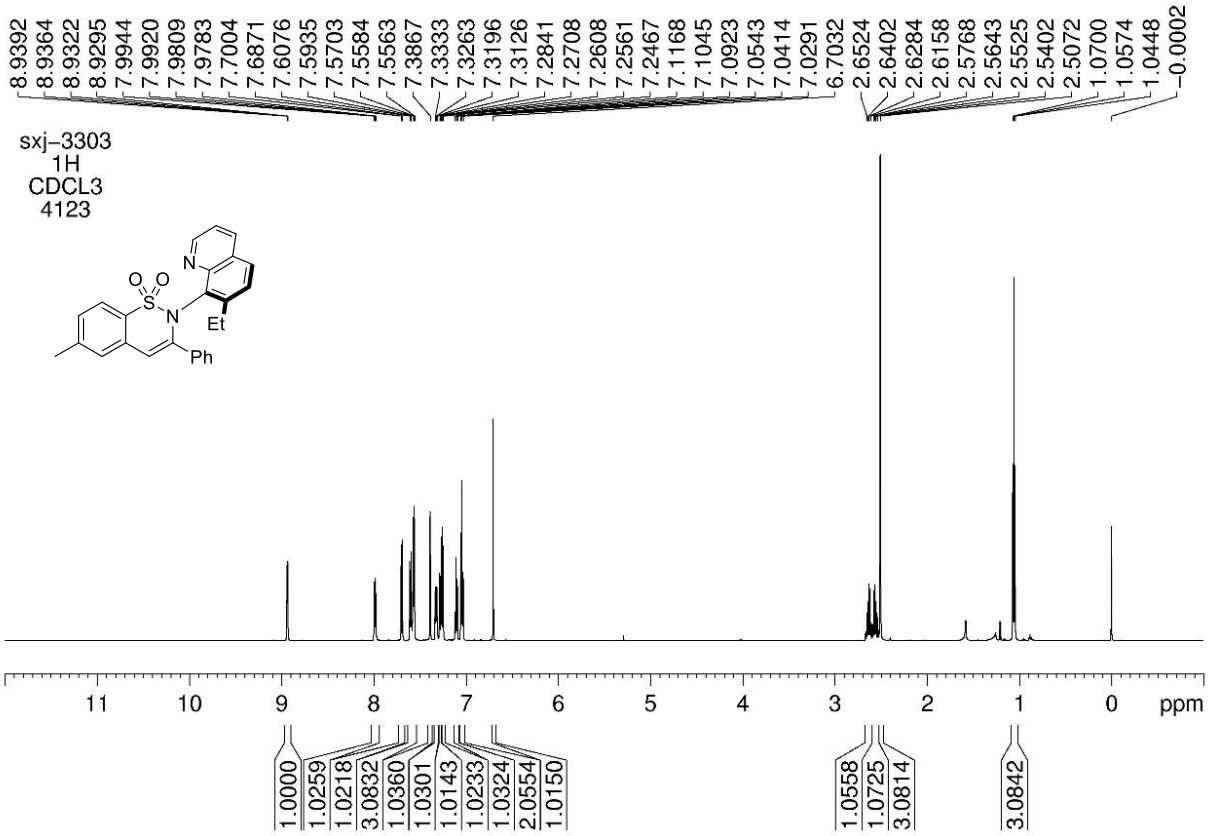
20
ppm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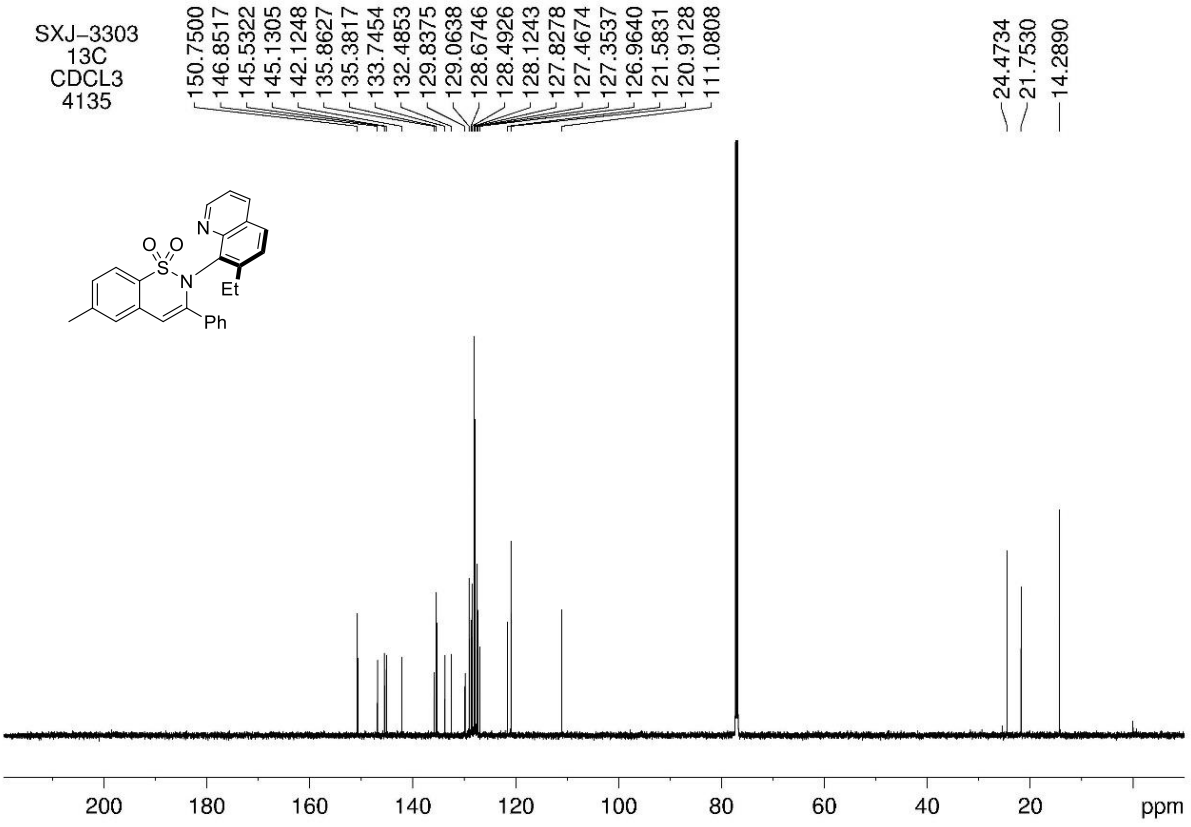
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128.1243
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127.4674
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Ph
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180
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140
120
100
80
60
40
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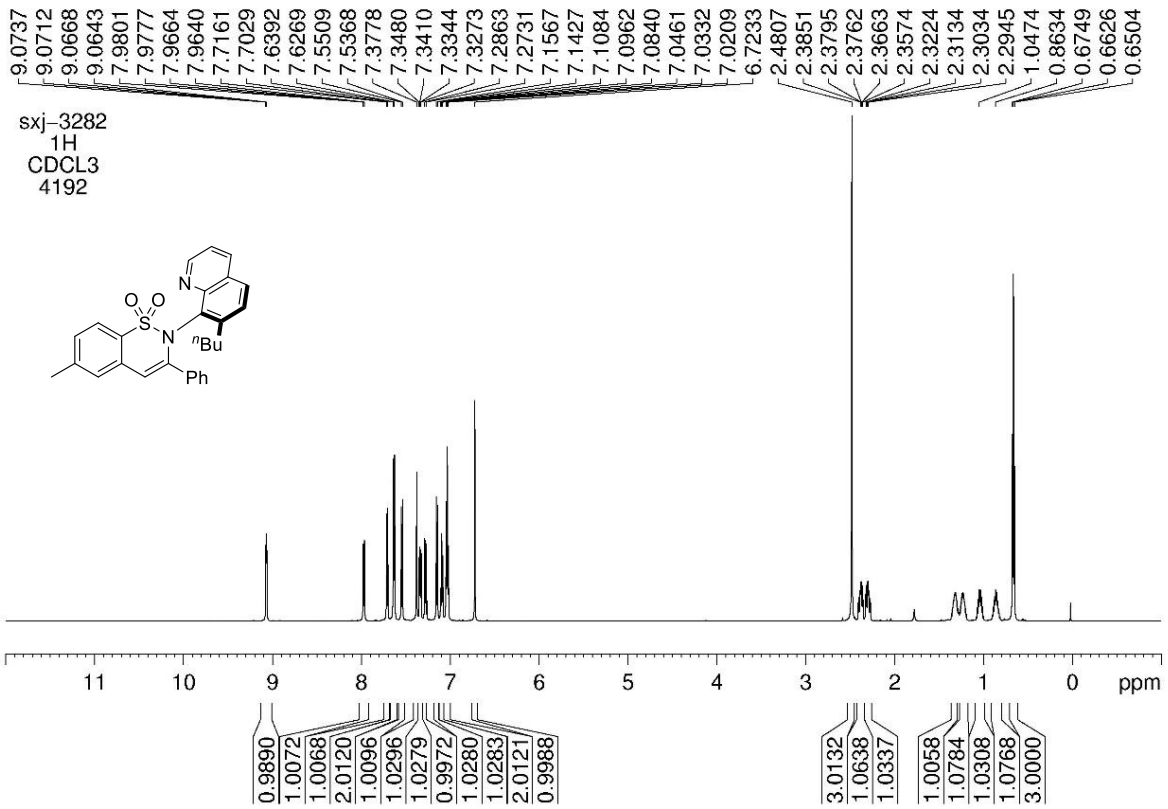
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7.6269
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7.5368
7.3778
7.3480
7.3410
7.3344
7.3273
7.2863
7.2731
7.1567
7.1427
7.1084
7.0962
7.0840
7.0461
7.0332
7.0209
6.7233
2.4807
2.3851
2.3795
2.3762
2.3663
2.3574
2.3224
2.3134
2.3034
2.2945
1.0474
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0.6504
sxj-3282
1H
CDCL3
4192
N
O
O
S
N
nBu
Ph
11
10
9
8
7
6
5
4
3
2
1
0
ppm
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1.0068
2.0120
1.0096
1.0296
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1.0280
1.0283
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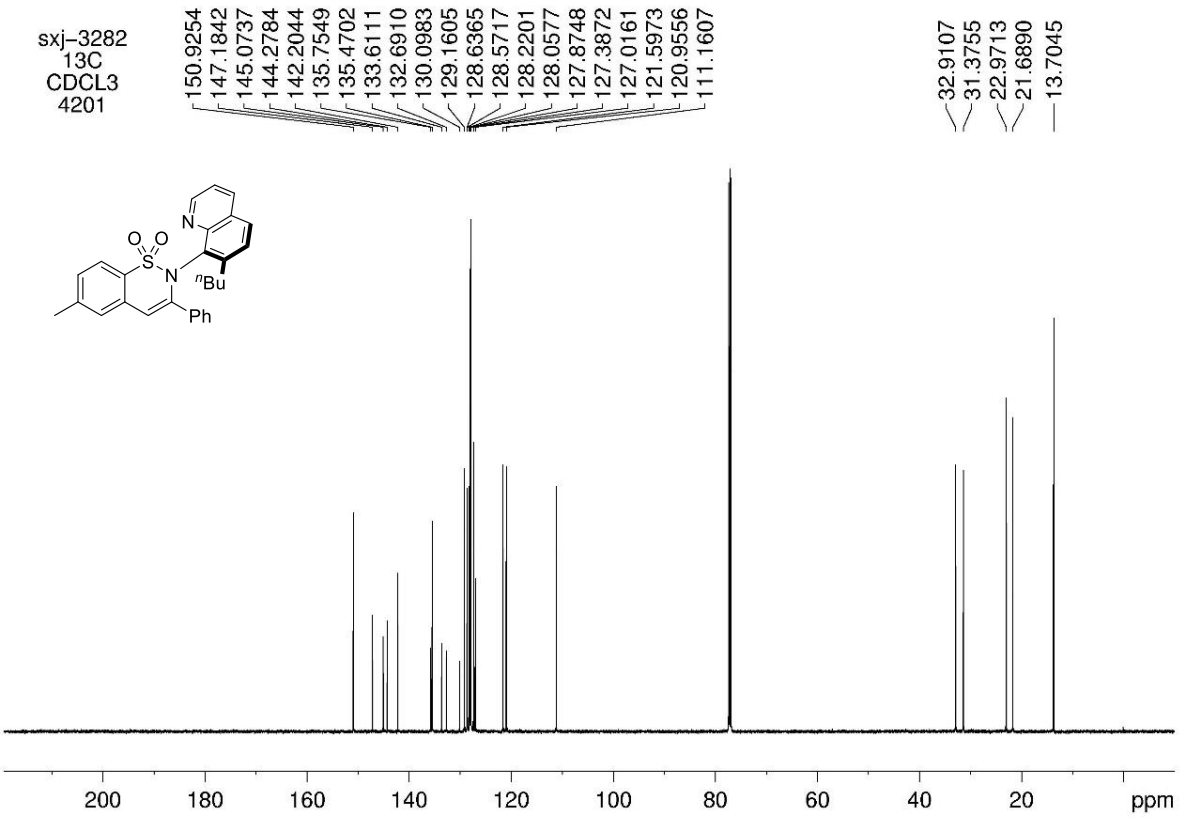
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127.0161
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21.6890
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S
N
nBu
Ph
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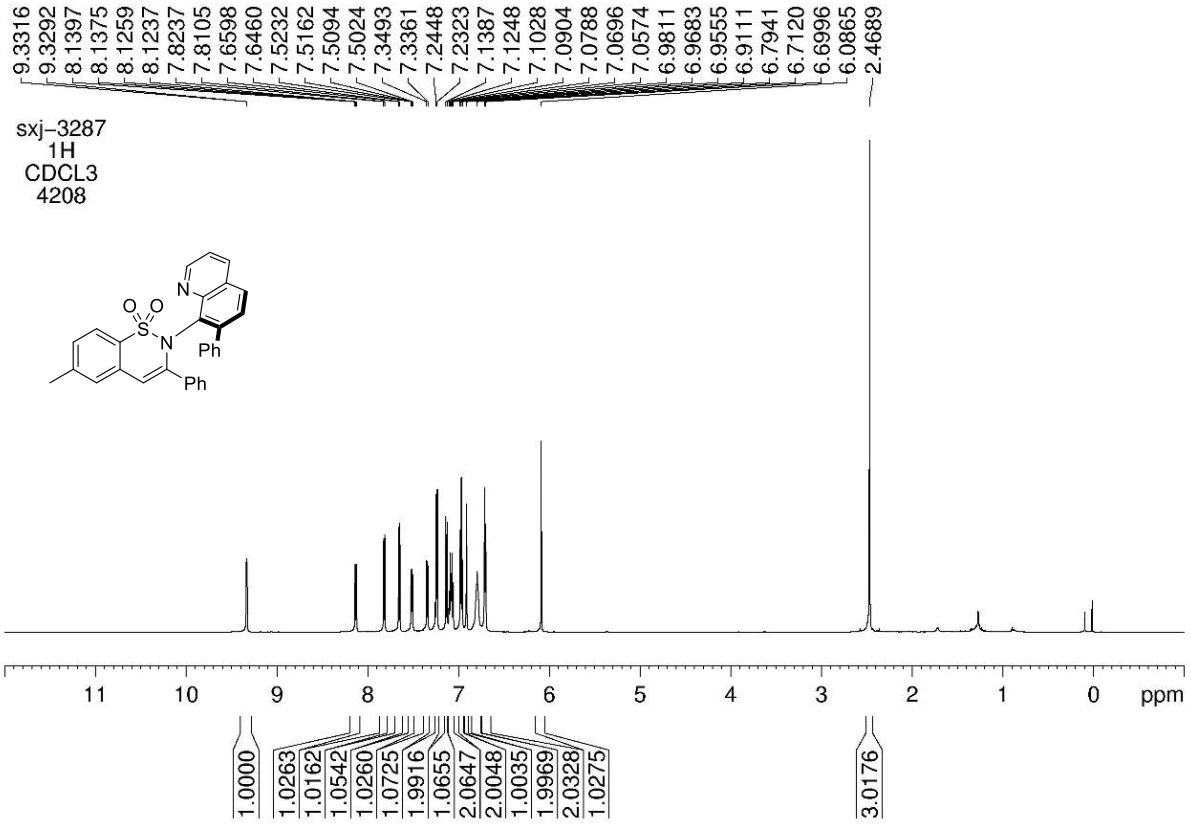
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7.5162
7.5094
7.5024
7.3493
7.3361
7.2448
7.2323
7.1387
7.1248
7.1028
7.0904
7.0788
7.0696
7.0574
6.9811
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6.9555
6.9111
6.7941
6.7120
6.6996
6.0865
2.4689
sxj-3287
1H
CDCL3
4208
N
O
O
S
N
Ph
Ph
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10
9
8
7
6
5
4
3
2
1
0
ppm
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1.0542
1.0260
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1.0275
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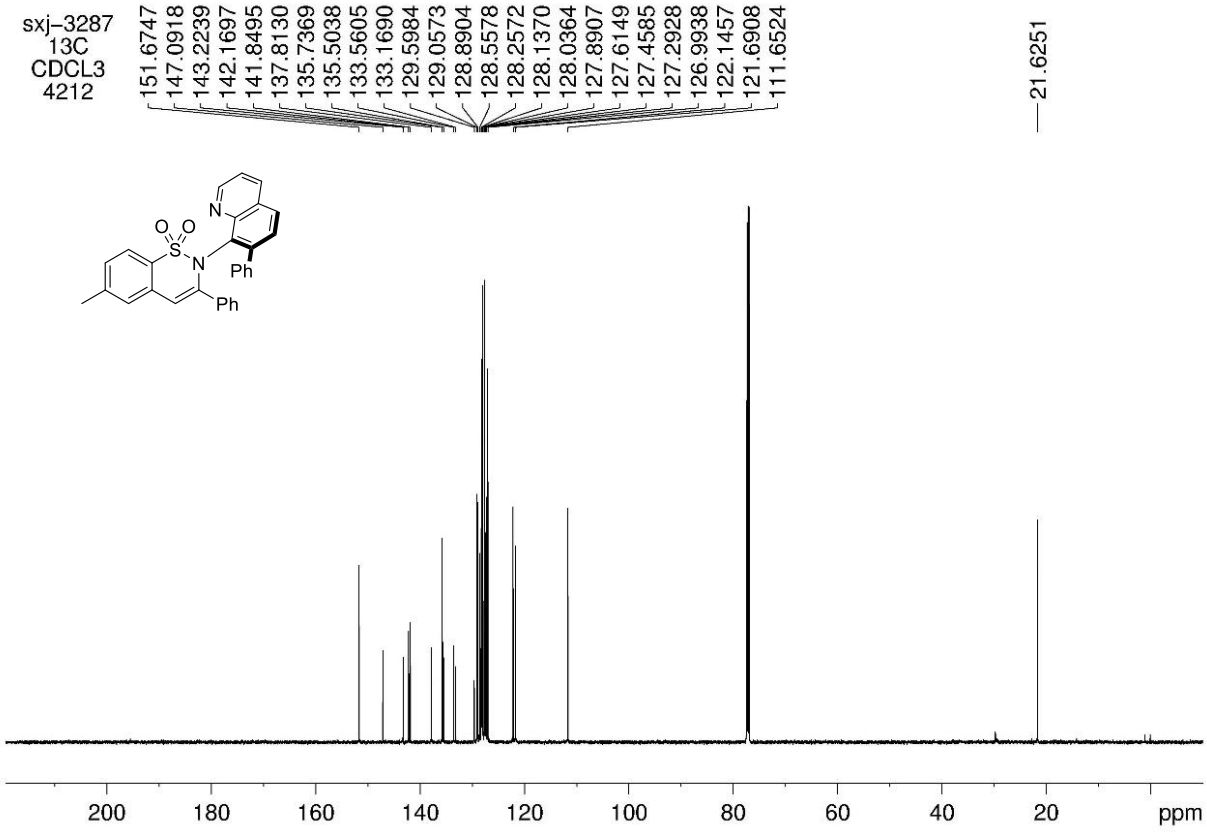
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127.8907
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127.2928
126.9938
122.1457
121.6908
111.6524
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O
S
N
Ph
Ph
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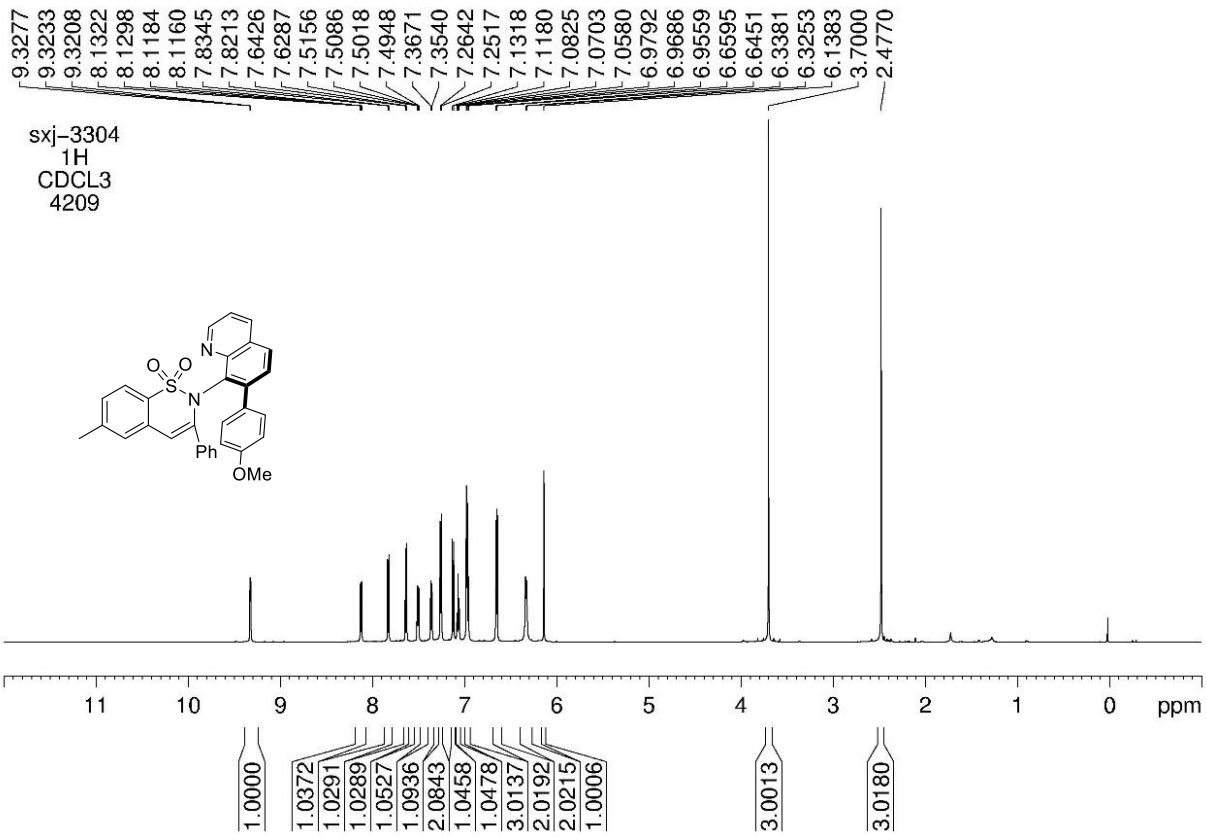
ppm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7.5086
7.5018
7.4948
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7.3540
7.2642
7.2517
7.1318
7.1180
7.0825
7.0703
7.0580
6.9792
6.9686
6.9559
6.6595
6.6451
6.3381
6.3253
6.1383
3.7000
2.4770
sxj-3304
1H
CDCL3
4209
N
O
O
S
N
Ph
OMe
11
10
9
8
7
6
5
4
3
2
1
0
ppm
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1.0291
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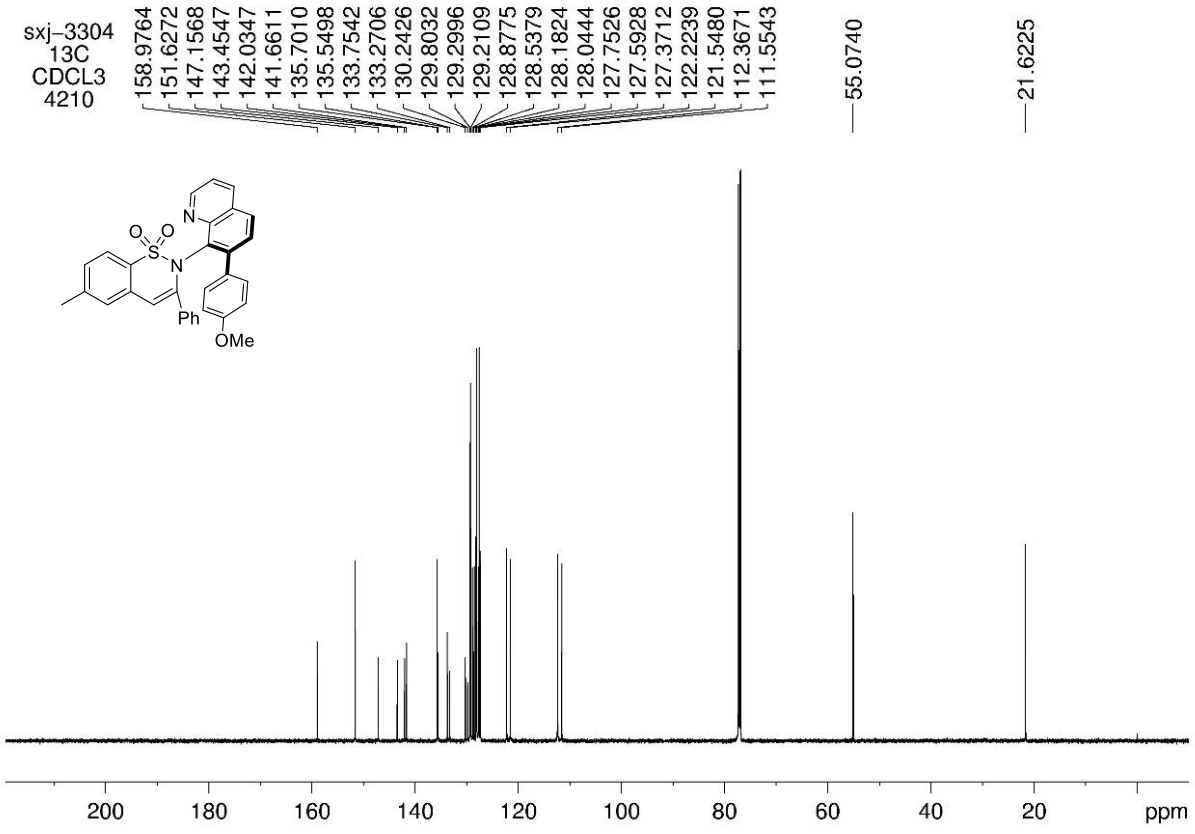
3.0013
3.0180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133.2706
130.2426
129.8032
129.2996
129.2109
128.8775
128.5379
128.1824
128.0444
127.7526
127.5928
127.3712
122.2239
121.5480
112.3671
111.5543
55.0740
21.6225
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O
S
N
Ph
OMe
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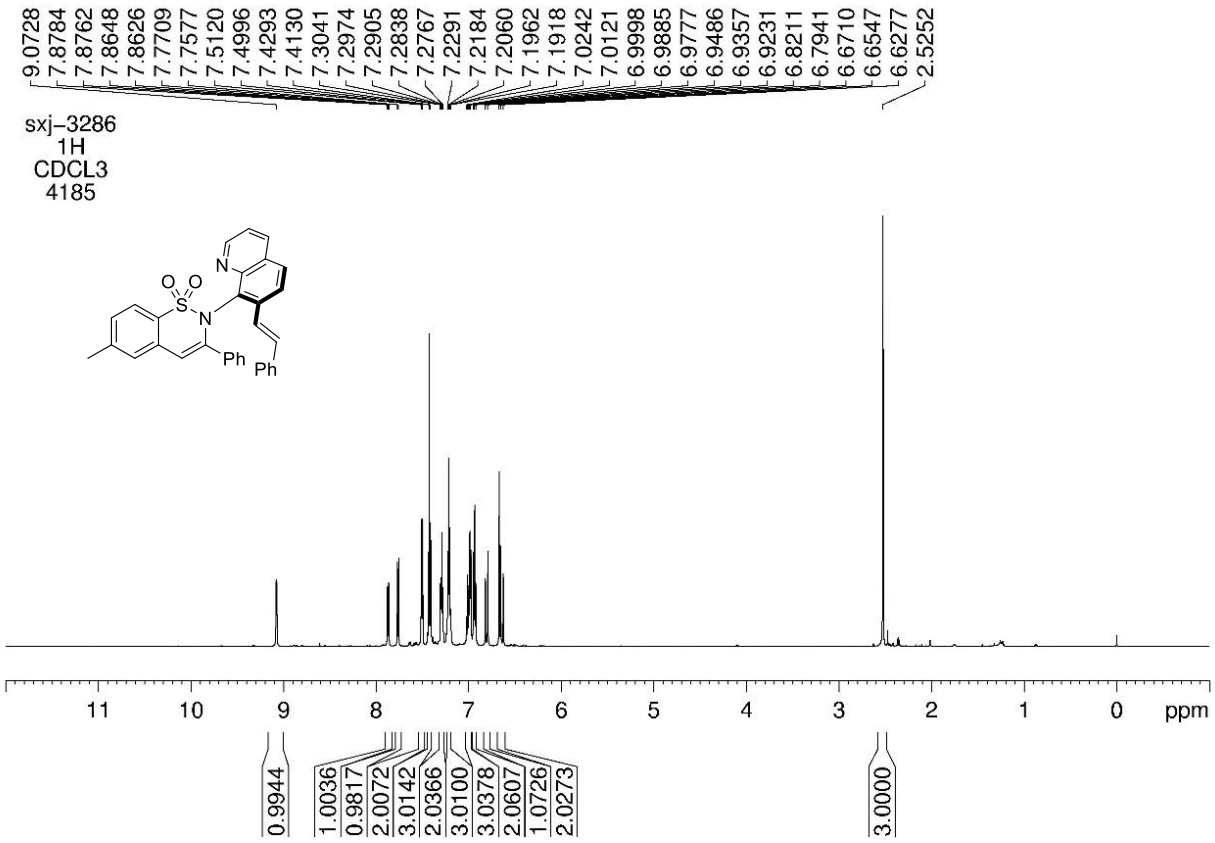
160
140
120
100
80
60
40
20
ppm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7.4130
7.3041
7.2974
7.2905
7.2838
7.2767
7.2291
7.2184
7.2060
7.1962
7.1918
7.0242
7.0121
6.9998
6.9885
6.9777
6.9486
6.9357
6.9231
6.8211
6.7941
6.6710
6.6547
6.6277
2.5252
sxj-3286
1H
CDCL3
4185
Ph
Ph
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10
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8
7
6
5
4
3
2
1
0
ppm
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3.0100
3.0378
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2.0273
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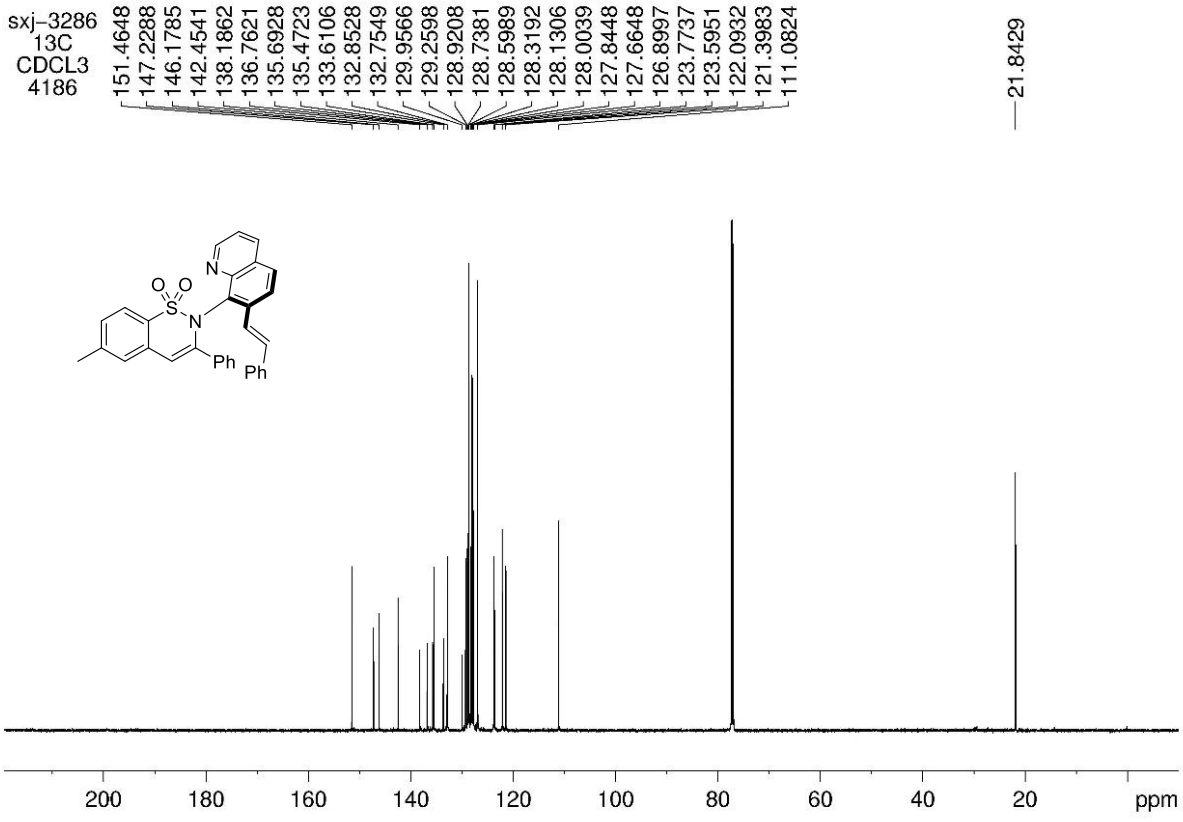
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Ph
Ph
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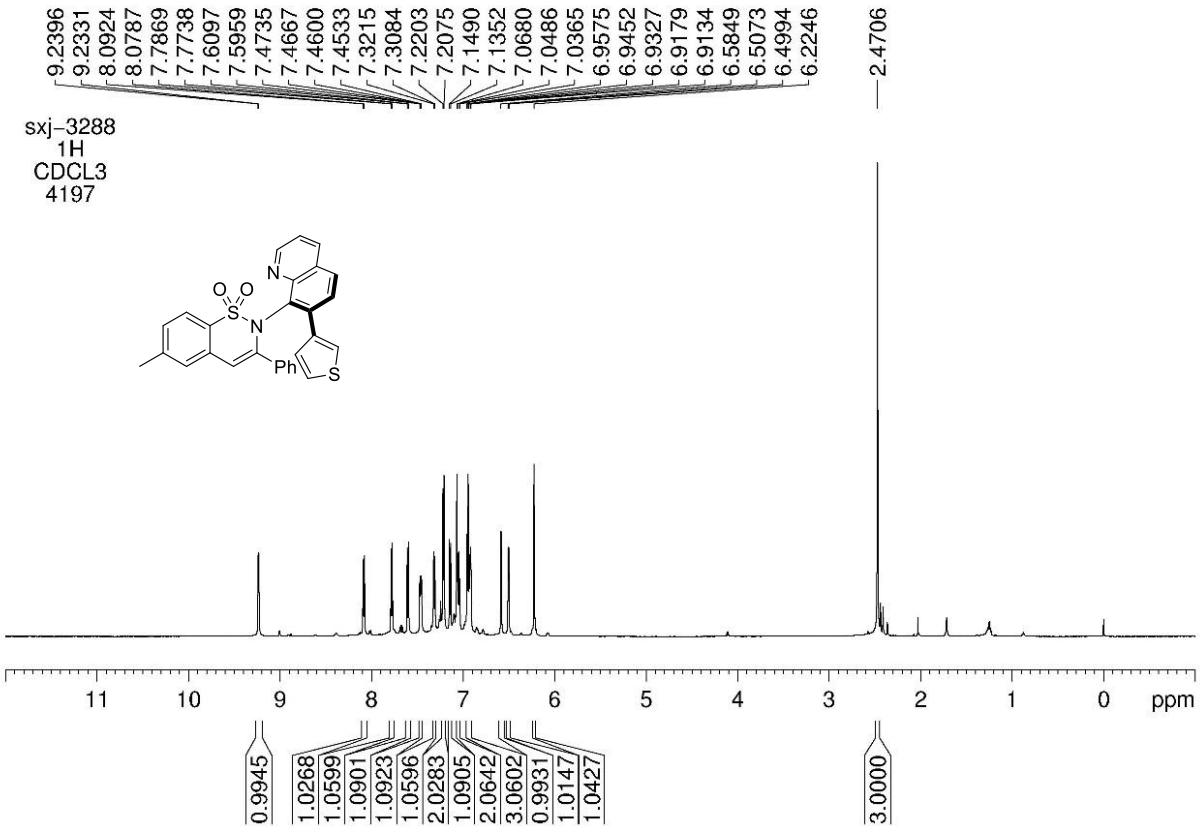
40
20
ppm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7.4667
7.4600
7.4533
7.3215
7.3084
7.2203
7.2075
7.1490
7.1352
7.0680
7.0486
7.0365
6.9575
6.9452
6.9327
6.9179
6.9134
6.5849
6.5073
6.4994
6.2246
2.4706
sxj-3288
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CDCL3
4197
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O
O
S
N
Ph
S
11
10
9
8
7
6
5
4
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ppm
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1.0901
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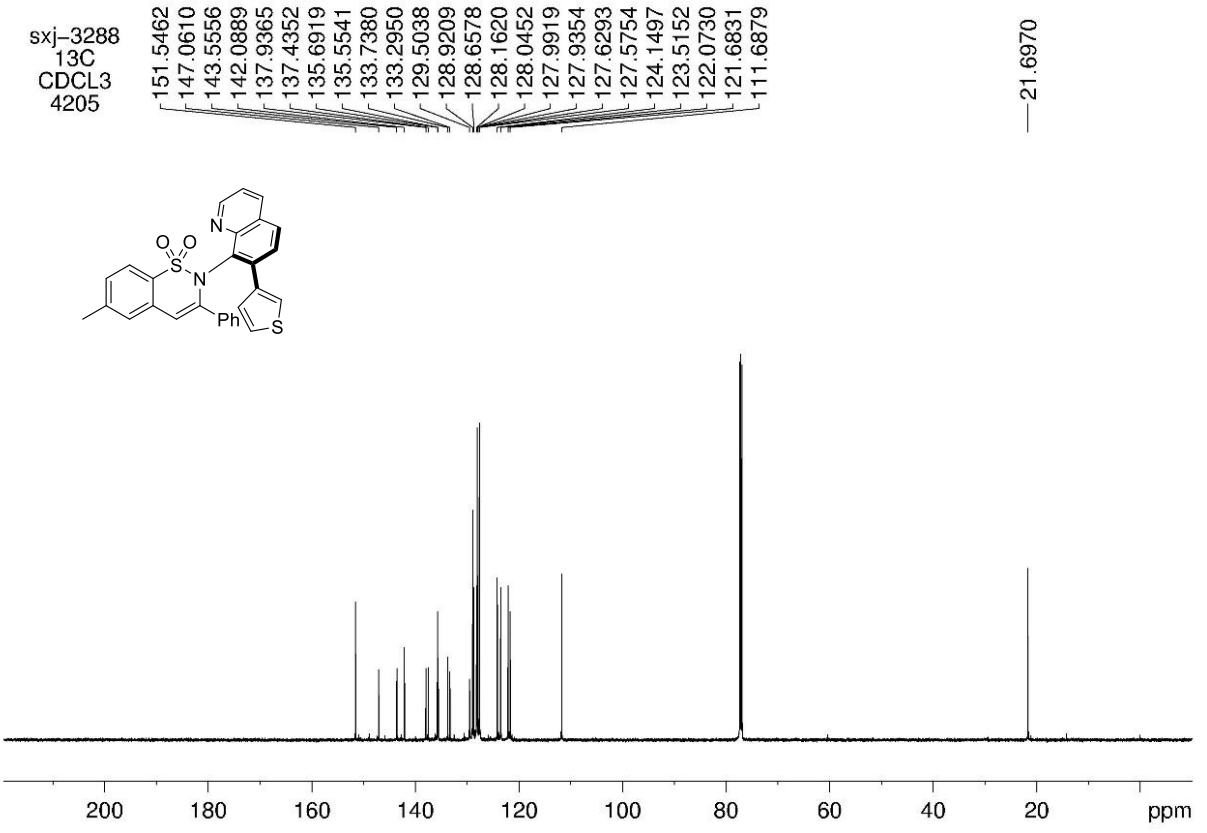
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133.2950
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128.6578
128.1620
128.0452
127.9919
127.9354
127.6293
127.5754
124.1497
123.5152
122.0730
121.6831
111.6879
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O
S
N
Ph
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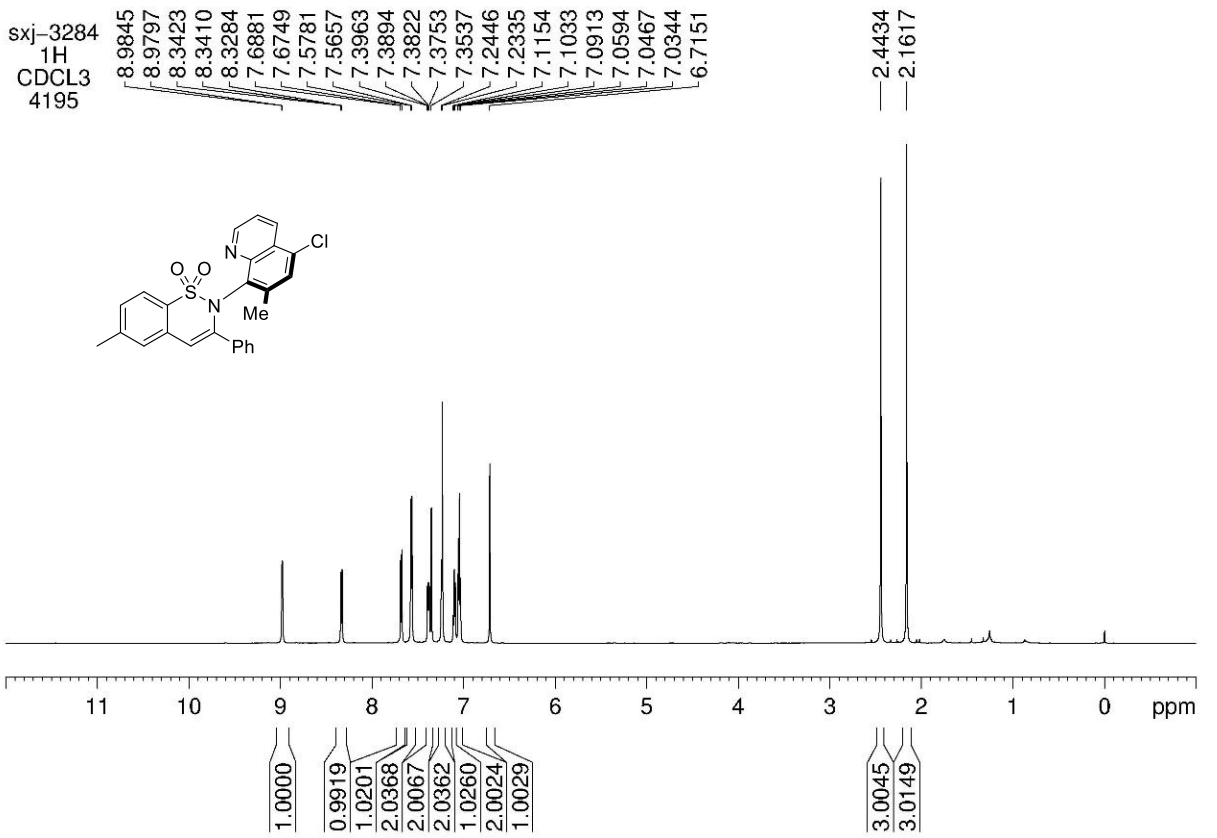
20
ppm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8.3284
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7.5781
7.5657
7.3963
7.3894
7.3822
7.3753
7.3537
7.2446
7.2335
7.1154
7.1033
7.0913
7.0594
7.0467
7.0344
6.7151
2.4434
2.1617
Cl
N
O
O
S
N
Me
Ph
11
10
9
8
7
6
5
4
3
2
1
0
ppm
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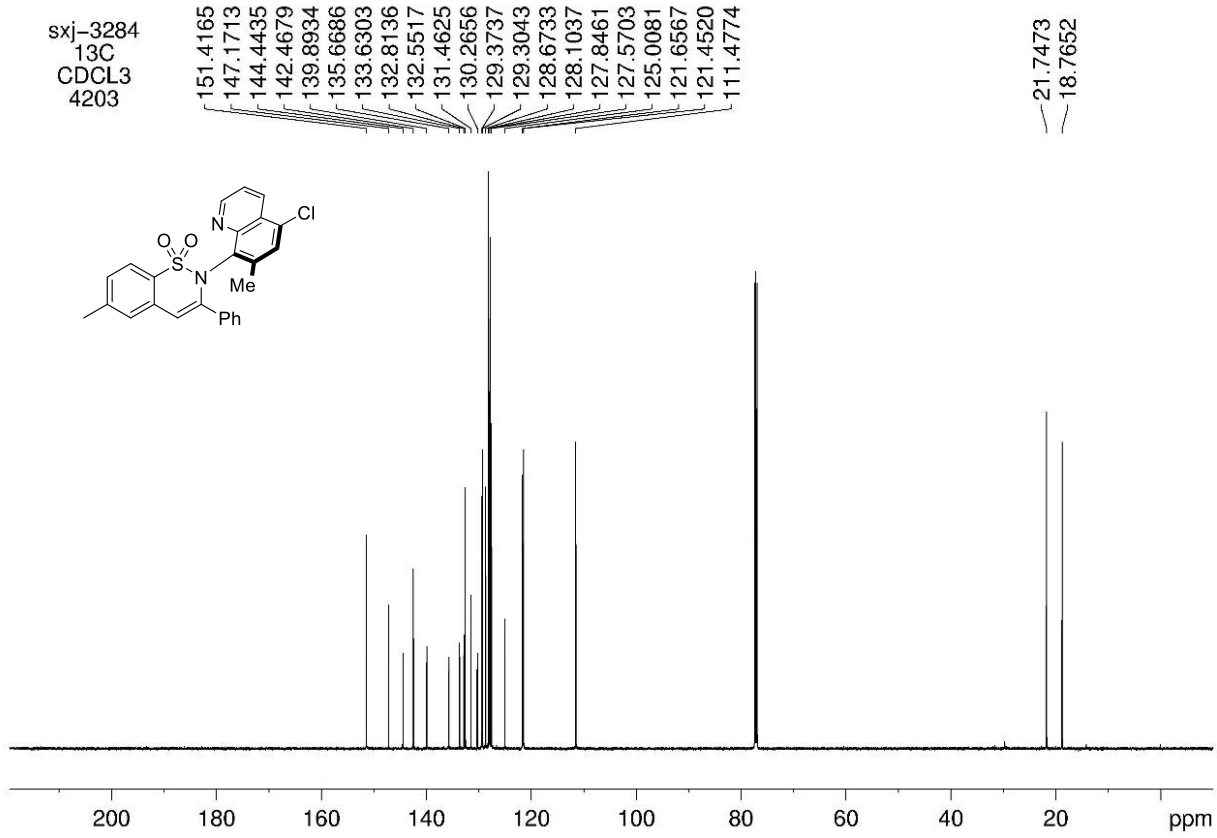
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128.1037
127.8461
127.5703
125.0081
121.6567
121.4520
111.4774
21.7473
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O
S
N
Me
Ph
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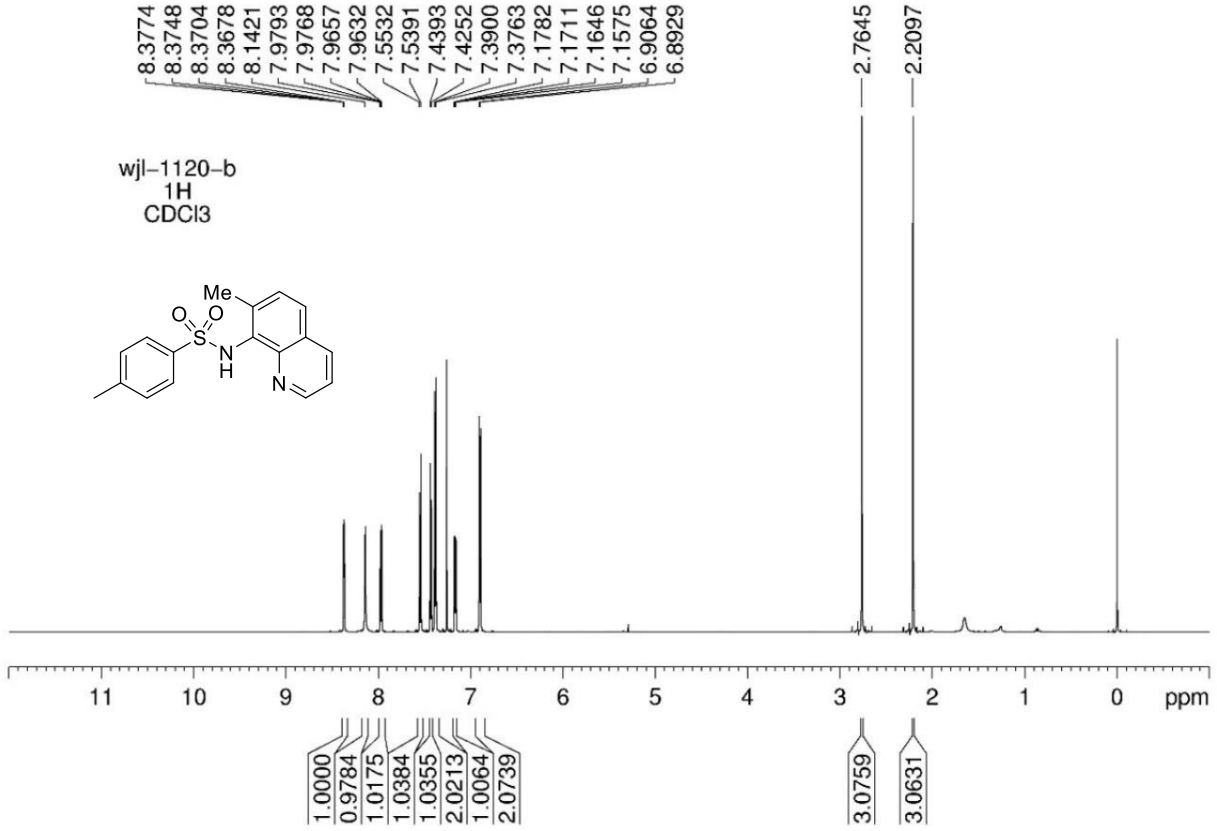
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7.5532
7.5391
7.4393
7.4252
7.3900
7.3763
7.1782
7.1711
7.1646
7.1575
6.9064
6.8929
2.7645
2.2097
wjl-1120-b
1H
CDCl3
Me
O
O
S
N
H
N
11
10
9
8
7
6
5
4
3
2
1
0
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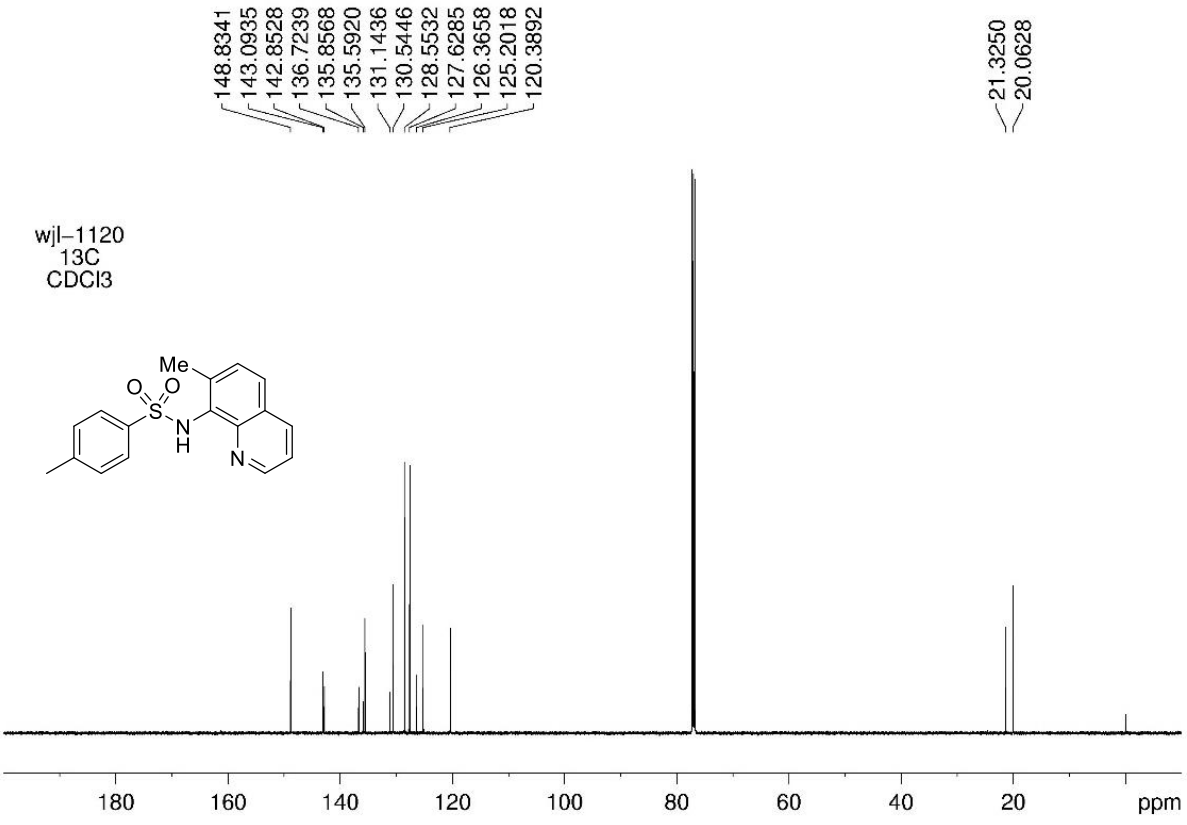
3.0631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wjl-1120
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CDCl3
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O
O
S
N
H
N
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140
120
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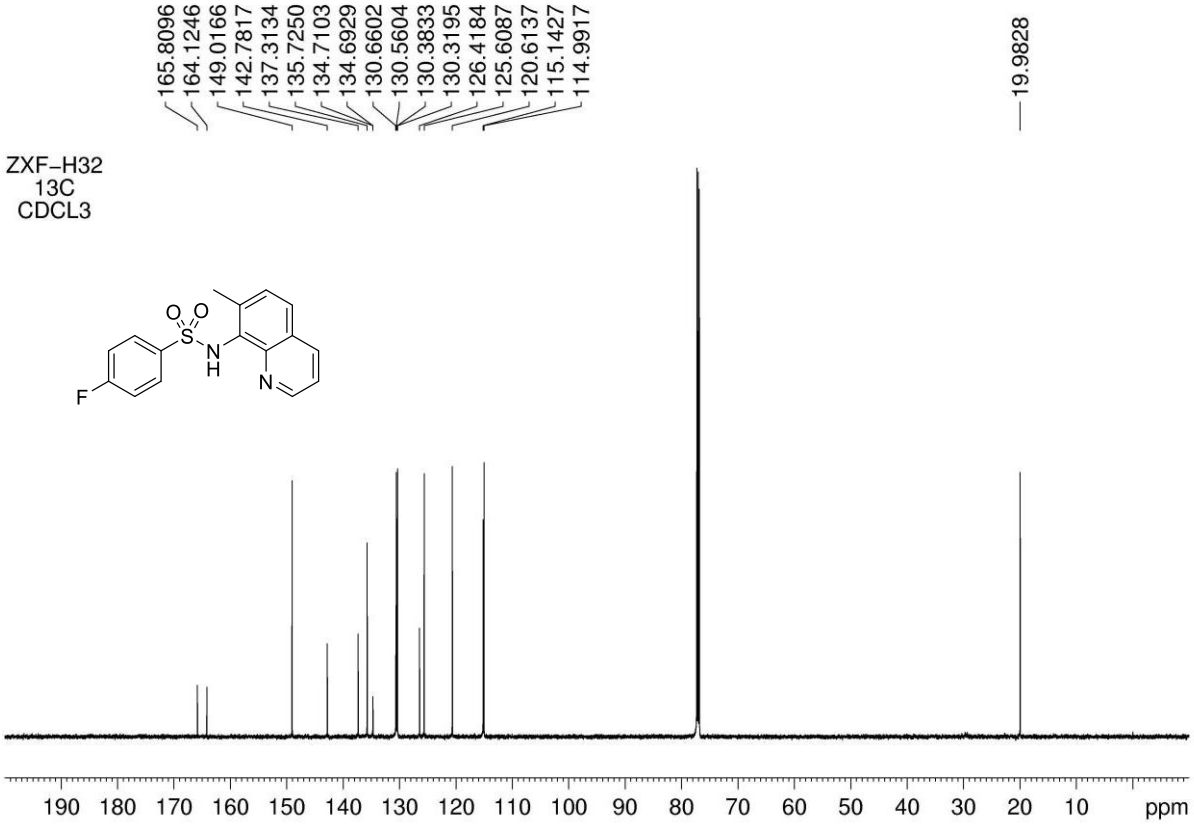
80
60
40
20
ppm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130.3833
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126.4184
125.6087
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19.9828
ZXF-H32
13C
CDCL3
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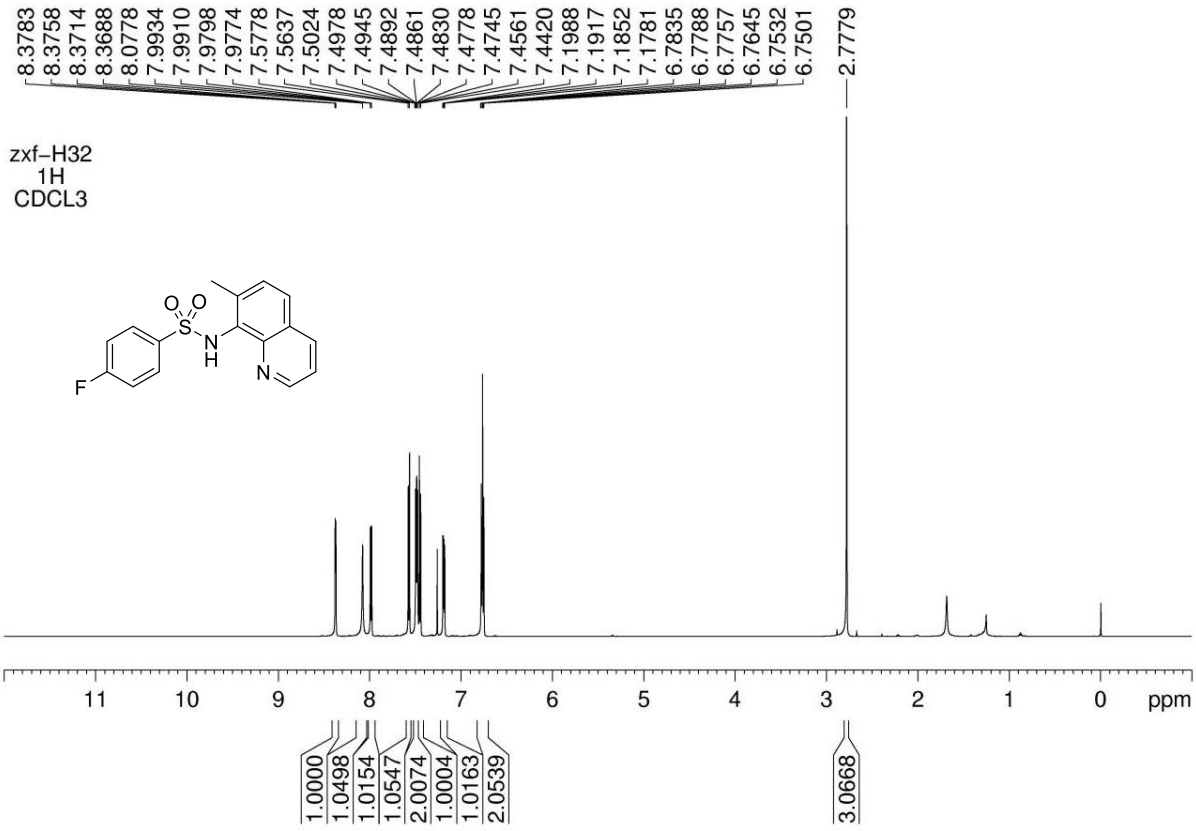
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7.5637
7.5024
7.4978
7.4945
7.4892
7.4861
7.4830
7.4778
7.4745
7.4561
7.4420
7.1988
7.1917
7.1852
7.1781
6.7835
6.7788
6.7757
6.7645
6.7532
6.7501
2.7779
zxf-H32
1H
CDCL3
11 10 9 8 7 6 5 4 3 2 1 0 ppm
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1.0547
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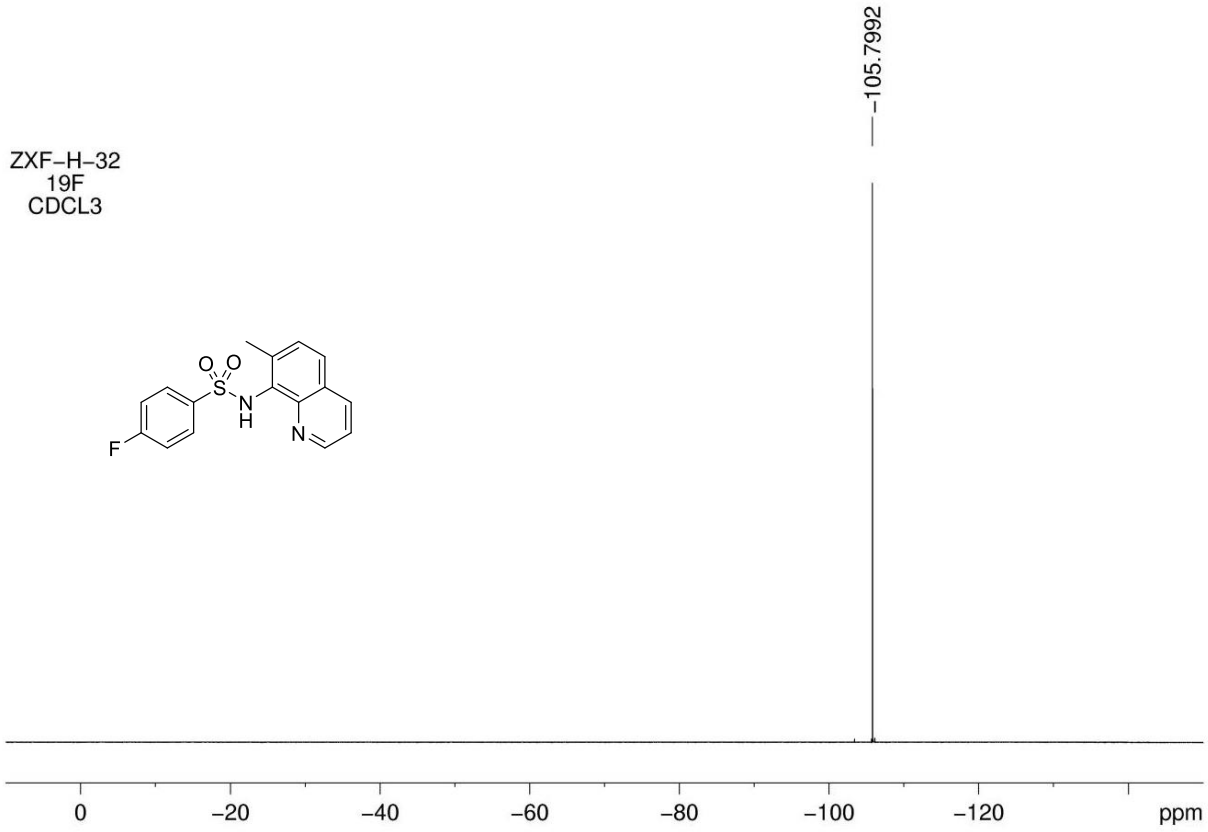
1.0004
1.0163
2.0539
3.0668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CDCL3
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O
S
N
H
F
N
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-40
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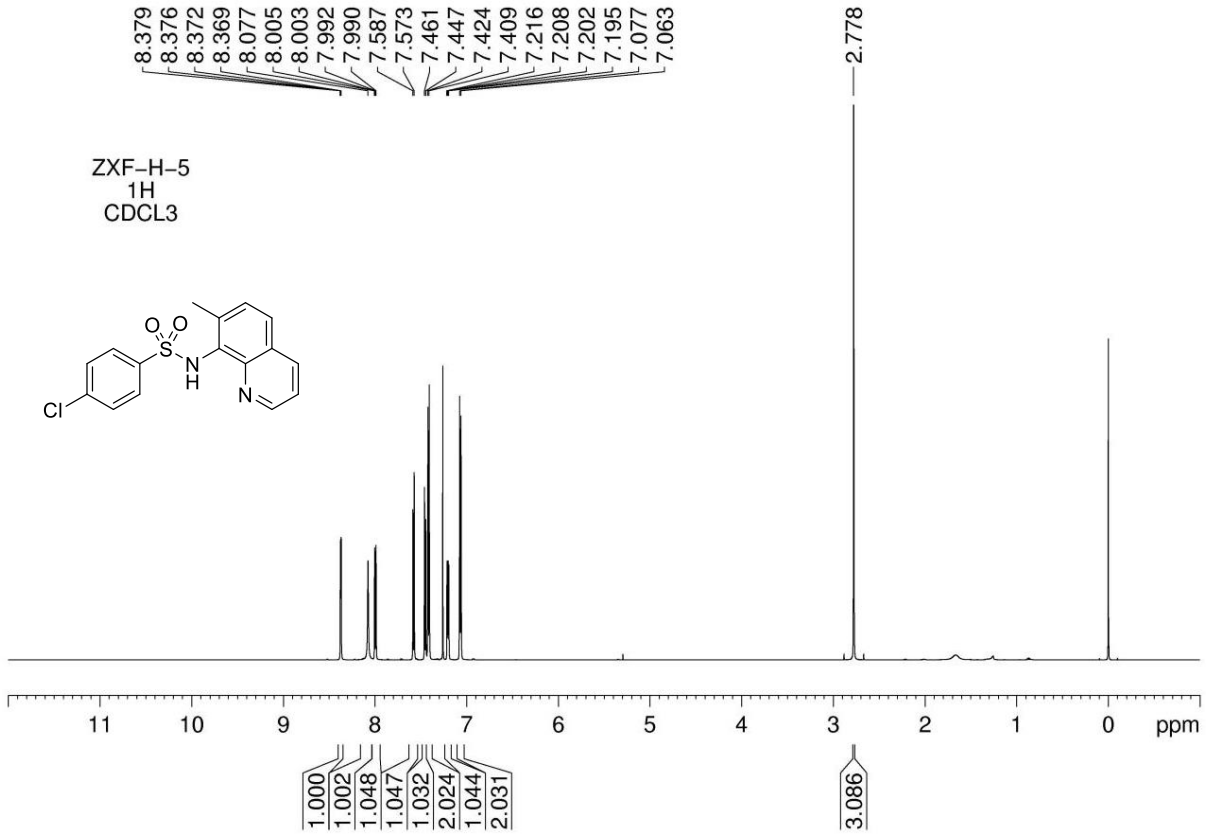
-100
-120
ppm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7.587
7.573
7.461
7.447
7.424
7.409
7.216
7.208
7.202
7.195
7.077
7.063
2.778
ZXF–H–5
1H
CDCL3
Cl
O
O
S
N
H
N
11
10
9
8
7
6
5
4
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1.032
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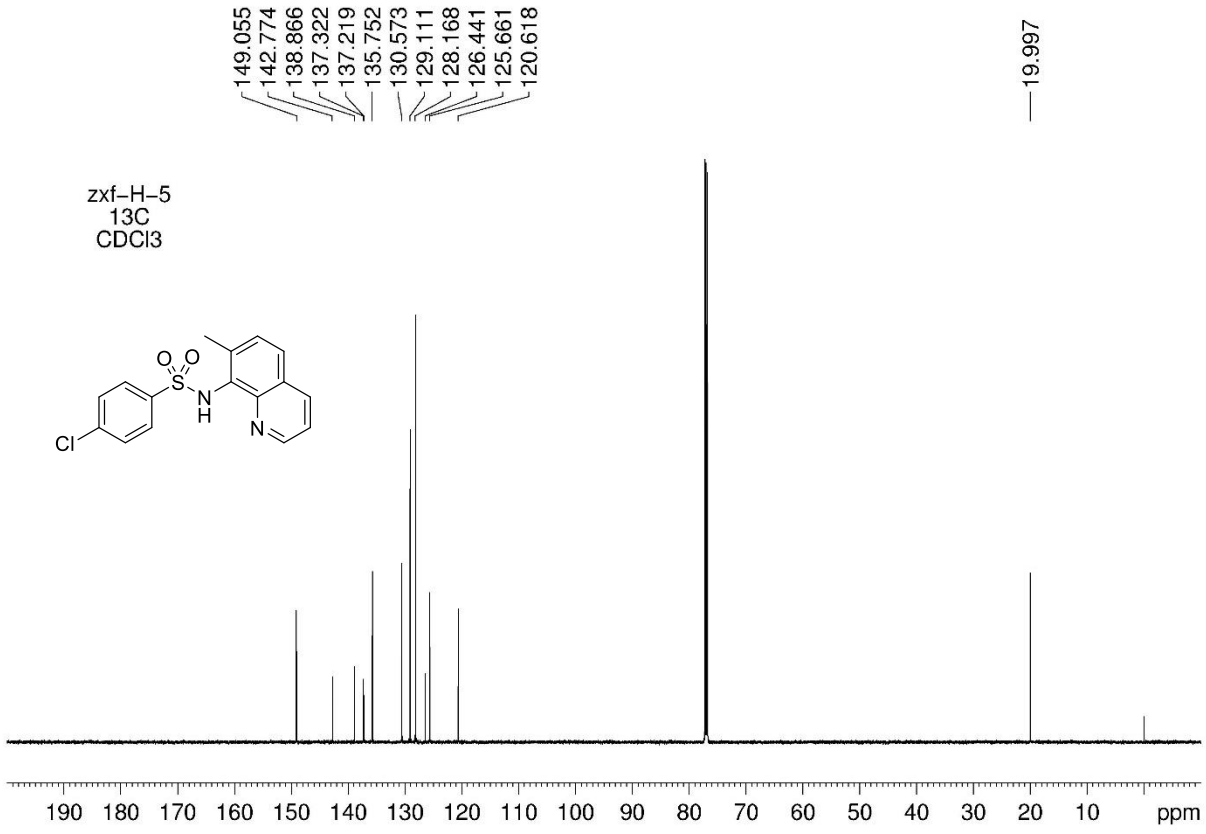
1.044
2.031
3.086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137.322
137.219
135.752
130.573
129.111
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126.441
125.661
120.618
19.997
zxf–H–5
13C
CDCl3
Cl
O
O
S
N
H
N
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150
140
130
120
110
100
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70
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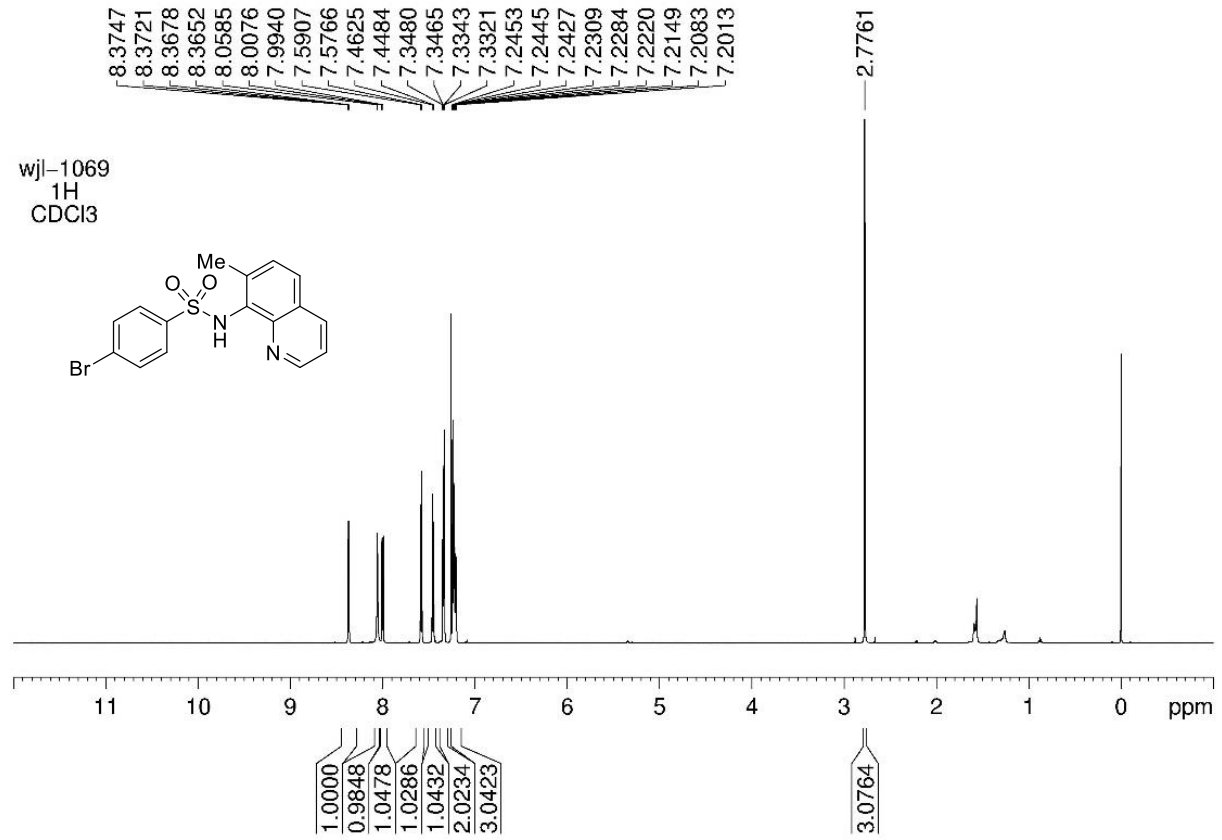
30
20
10
ppm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8.0585
8.0076
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7.4625
7.4484
7.3480
7.3465
7.3343
7.3321
7.2453
7.2445
7.2427
7.2309
7.2284
7.2220
7.2149
7.2083
7.2013
2.7761
wjl-1069
1H
CDCl3
Me
O
O
S
N
H
Br
N
11
10
9
8
7
6
5
4
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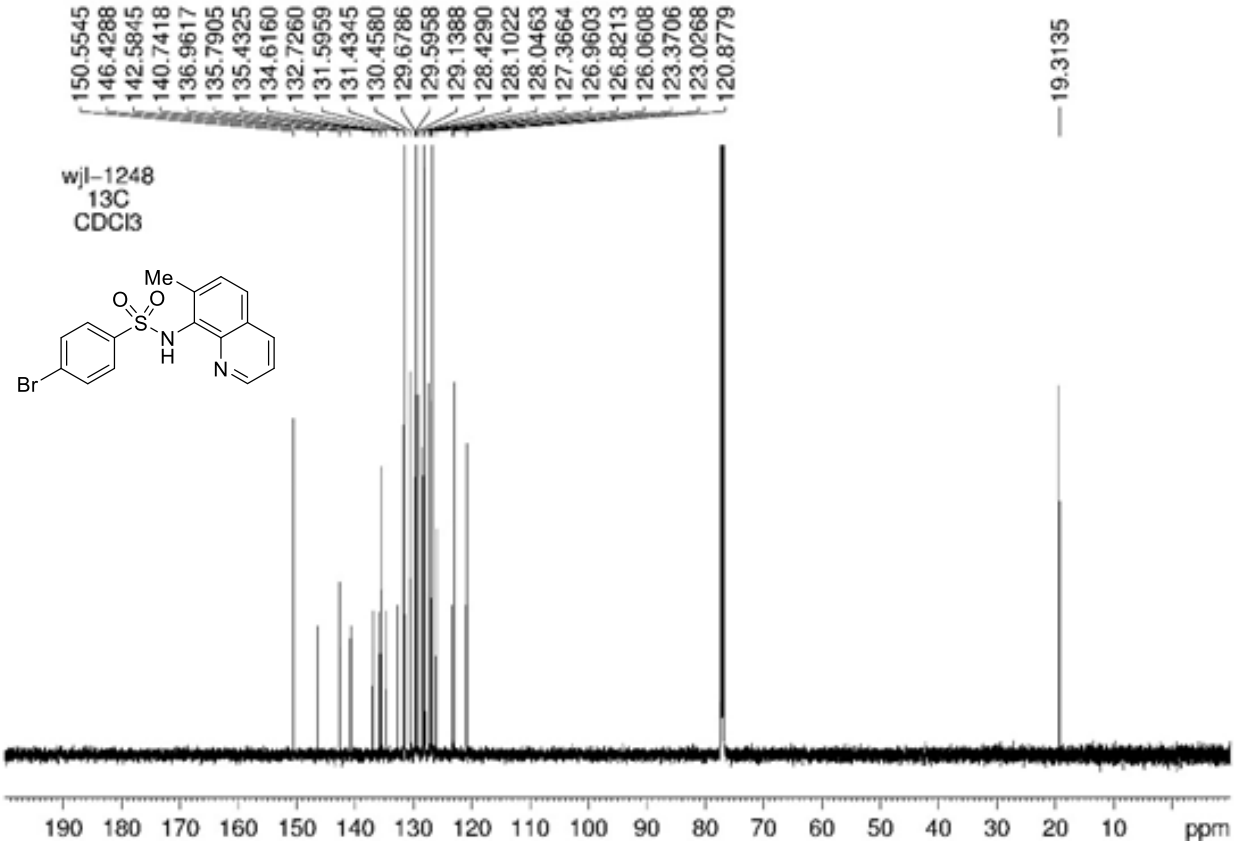
3.0423
3.0764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128.4290
128.1022
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126.8213
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123.3706
123.0268
120.8779
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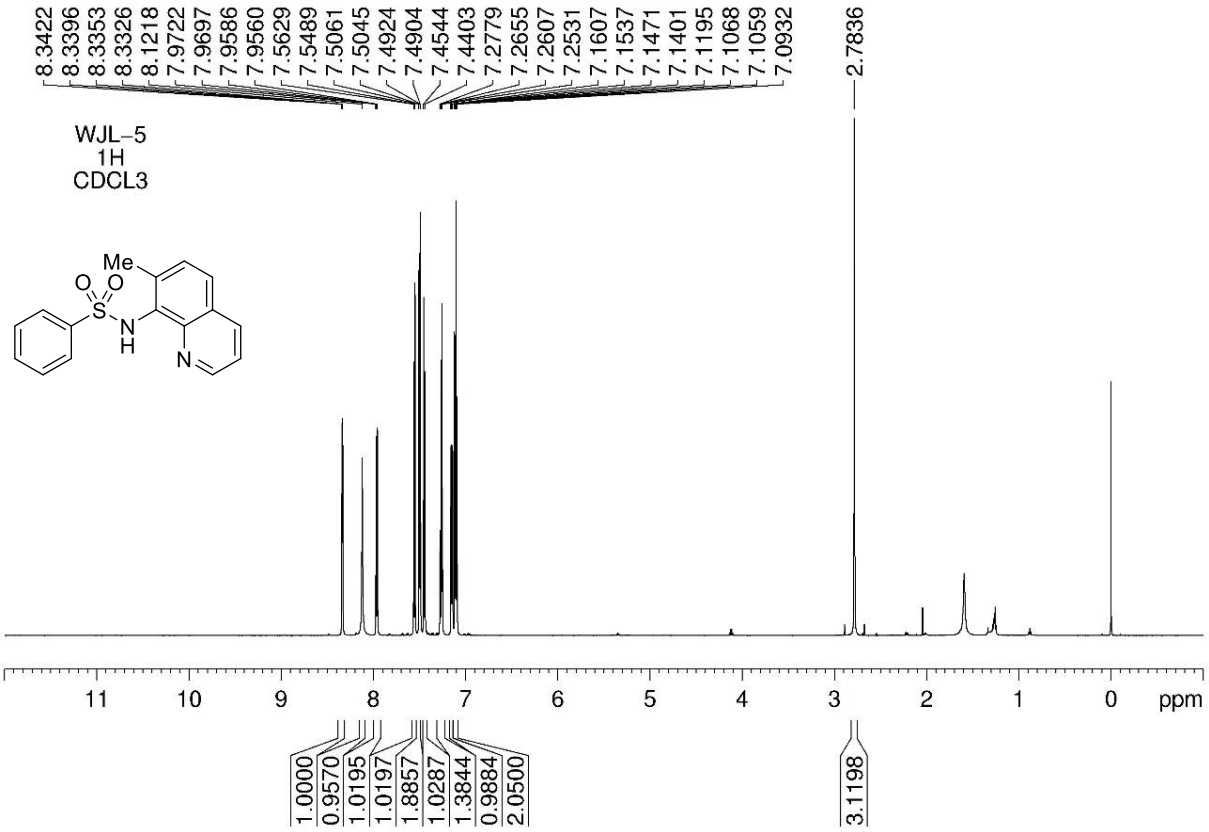
wjl-1248
13C
CDCl3
Me
O
O
S
N
H
Br
N
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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8.3353
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7.9722
7.9697
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7.9560
7.5629
7.5489
7.5061
7.5045
7.4924
7.4904
7.4544
7.4403
7.2779
7.2655
7.2607
7.2531
7.1607
7.1537
7.1471
7.1401
7.1195
7.1068
7.1059
7.0932
2.7836
WJL-5
1H
CDCL3
Me
O
O
S
N
H
N
11
10
9
8
7
6
5
4
3
2
1
0
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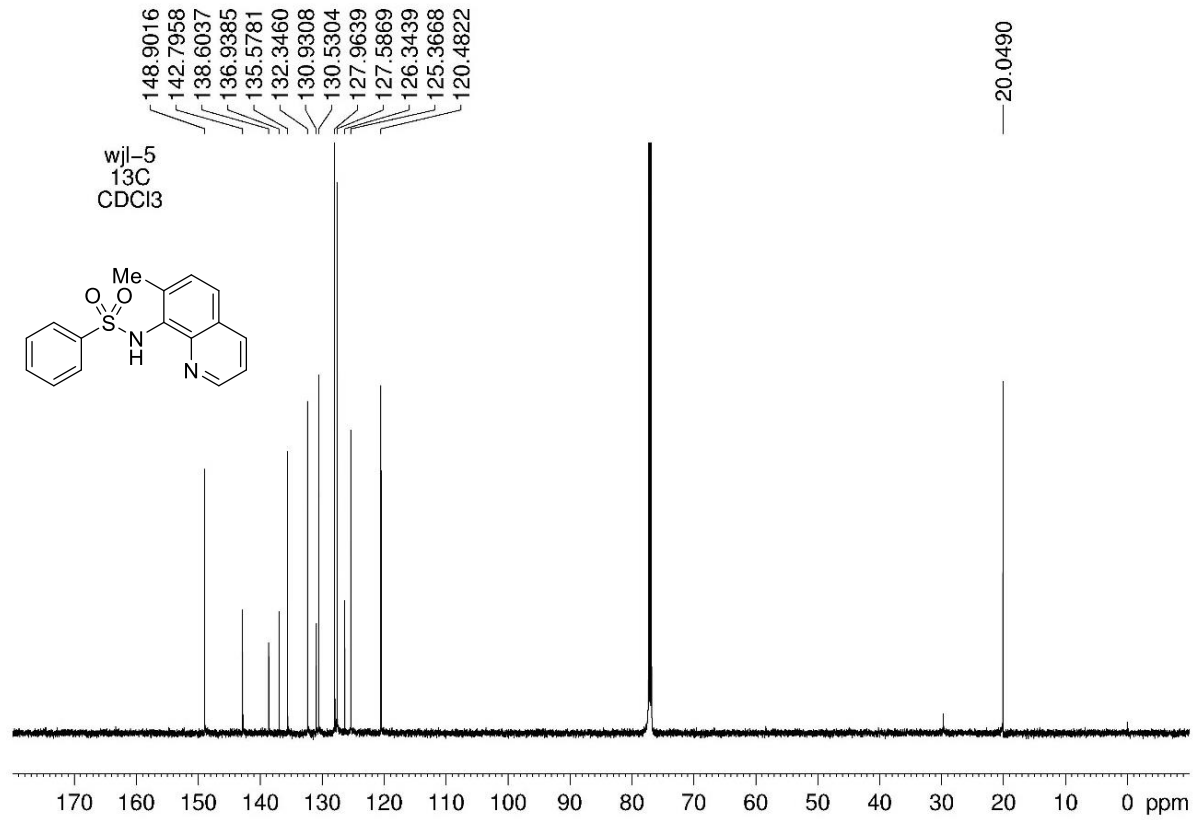
3.1198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126.3439
125.3668
120.4822
20.0490
wjl-5
13C
CDCl3
Me
O
O
S
N
H
N
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150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
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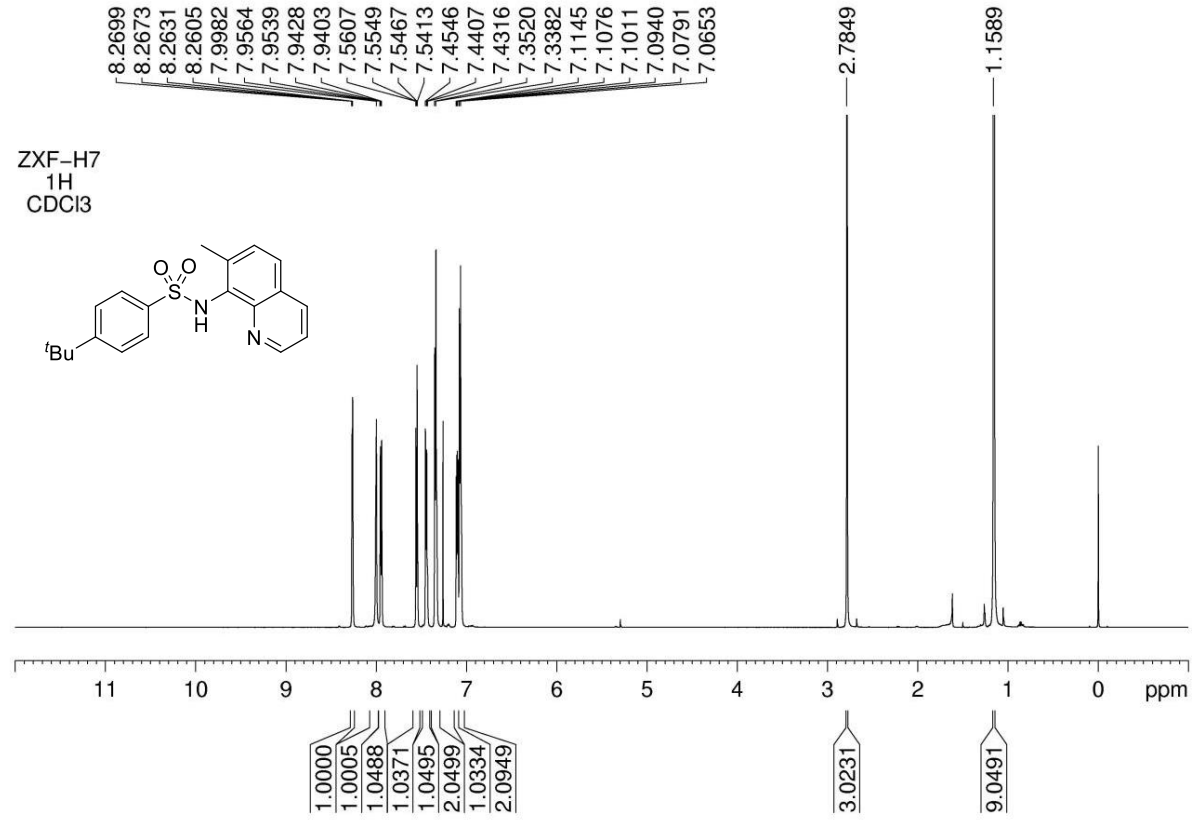
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8.2631
8.2605
7.9982
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7.9539
7.9428
7.9403
7.5607
7.5549
7.5467
7.5413
7.4546
7.4407
7.4316
7.3520
7.3382
7.1145
7.1076
7.1011
7.0940
7.0791
7.0653
2.7849
1.1589
ZXF-H7
1H
CDCl3
tBu
11 10 9 8 7 6 5 4 3 2 1 0 ppm
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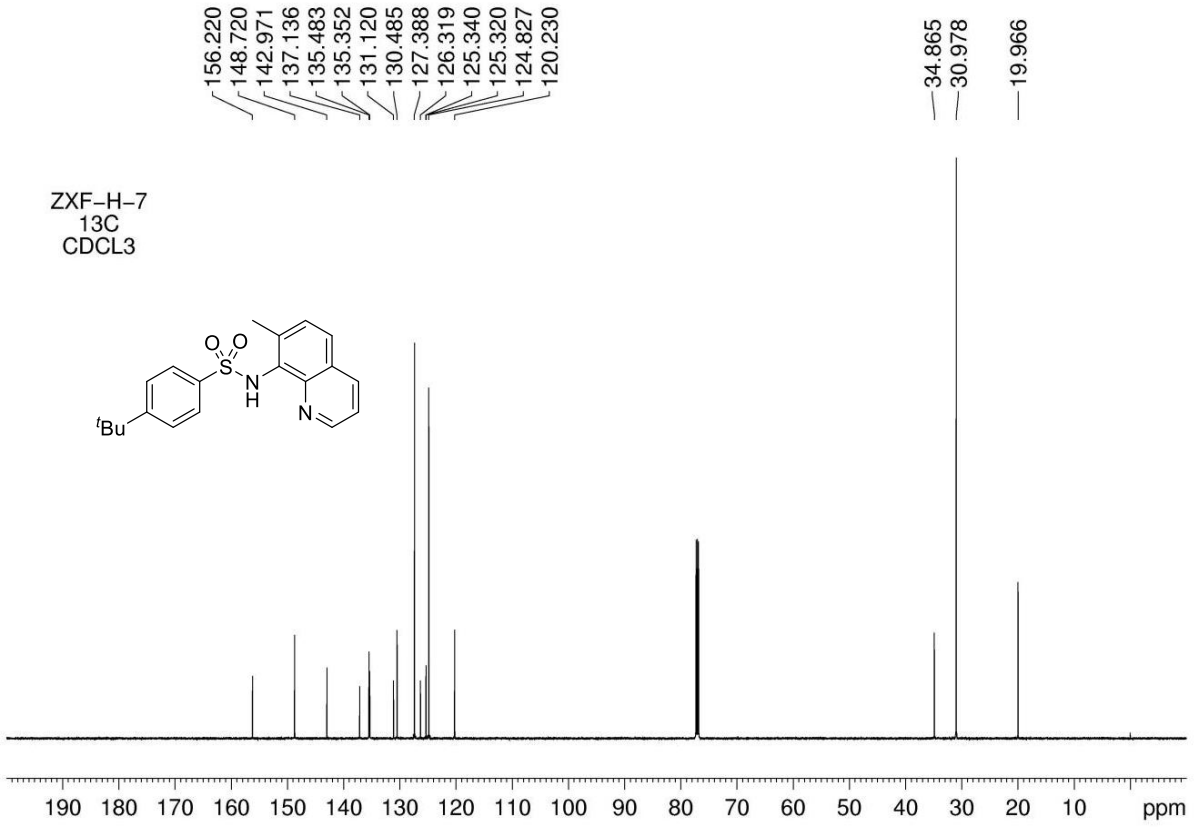
1.0005
1.0488
1.0371
1.0495
2.0499
1.0334
2.0949
3.0231
9.0491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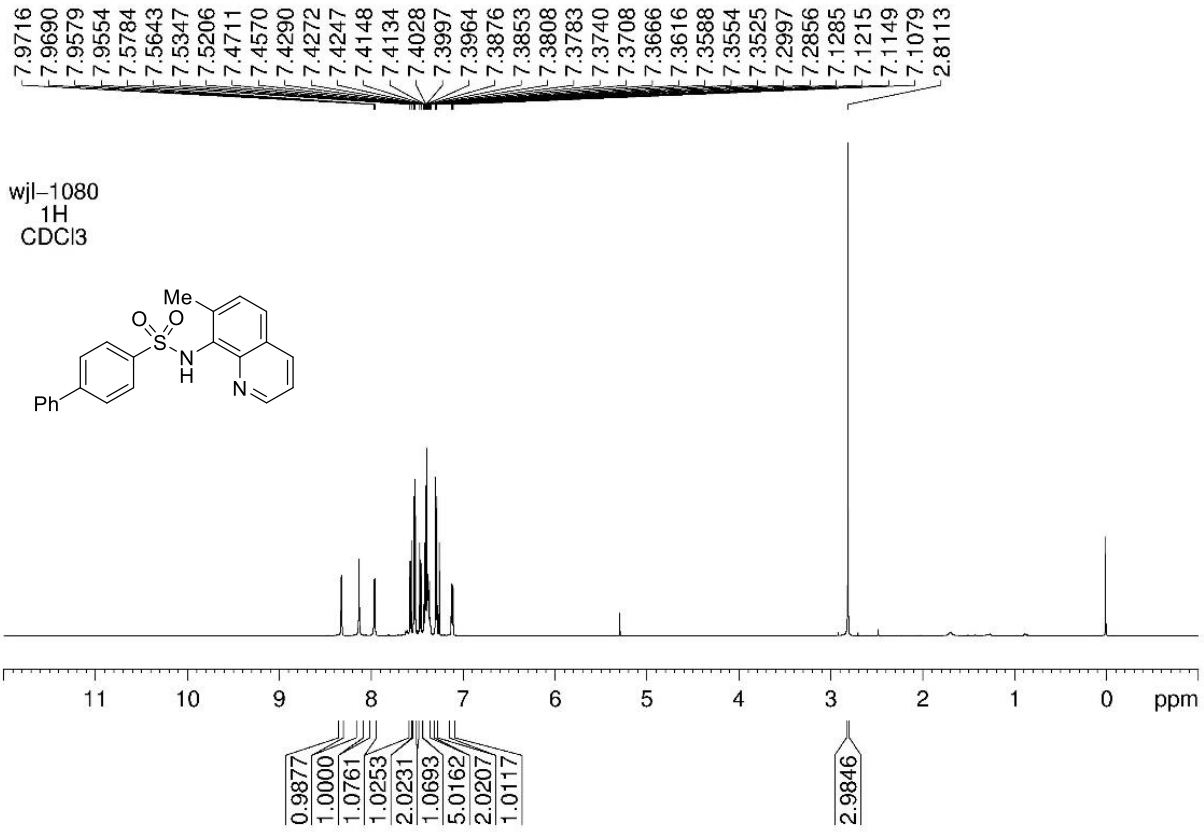
148.720
142.971
137.136
135.483
135.352
131.120
130.485
127.388
126.319
125.340
125.320
124.827
120.230
34.865
30.978
19.966
ZXF-H-7
13C
CDCL3
tBu
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 ppm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7.9579
7.9554
7.5784
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7.5347
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7.4711
7.4570
7.4290
7.4272
7.4247
7.4148
7.4134
7.4028
7.3997
7.3964
7.3876
7.3853
7.3808
7.3783
7.3740
7.3708
7.3666
7.3616
7.3588
7.3554
7.3525
7.2997
7.2856
7.1285
7.1215
7.1149
7.1079
2.8113
wjl-1080
1H
CDCl3
Me
O
O
S
N
H
Ph
N
11
10
9
8
7
6
5
4
3
2
1
0
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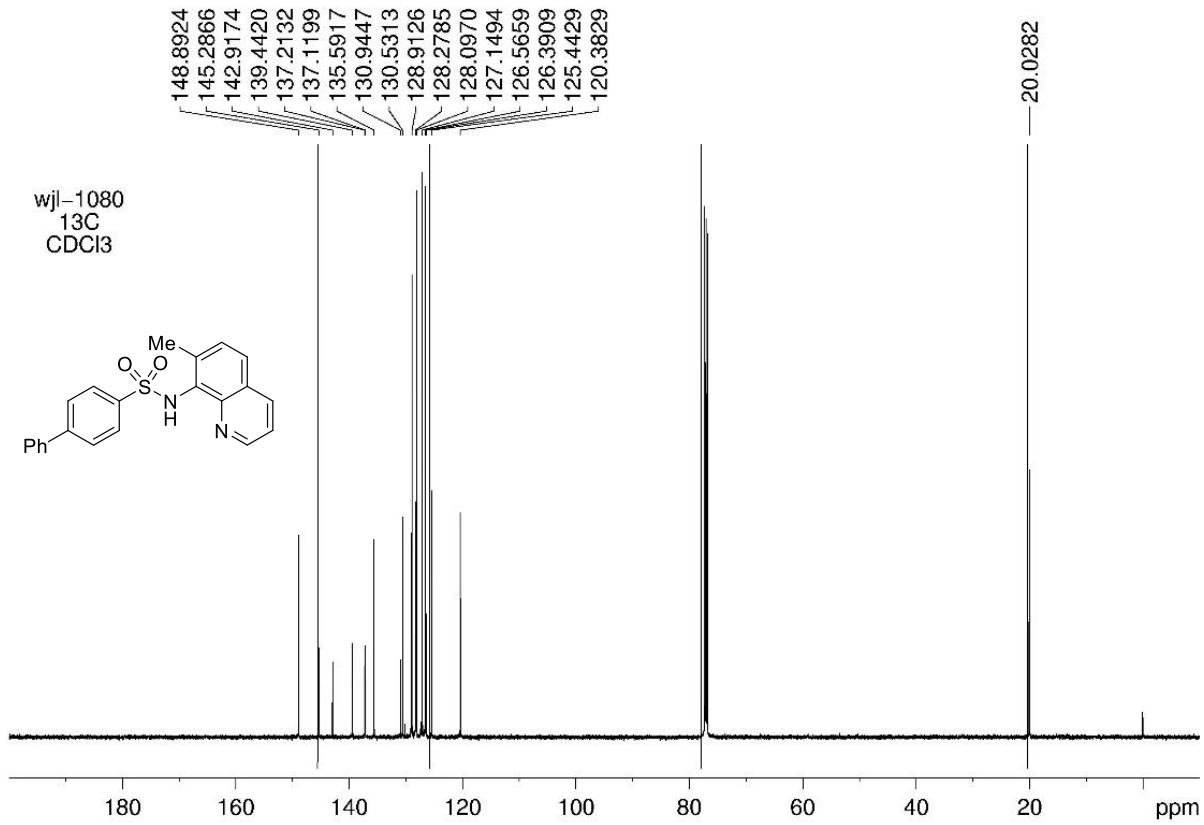
5.0162
2.0207
1.0117
2.9846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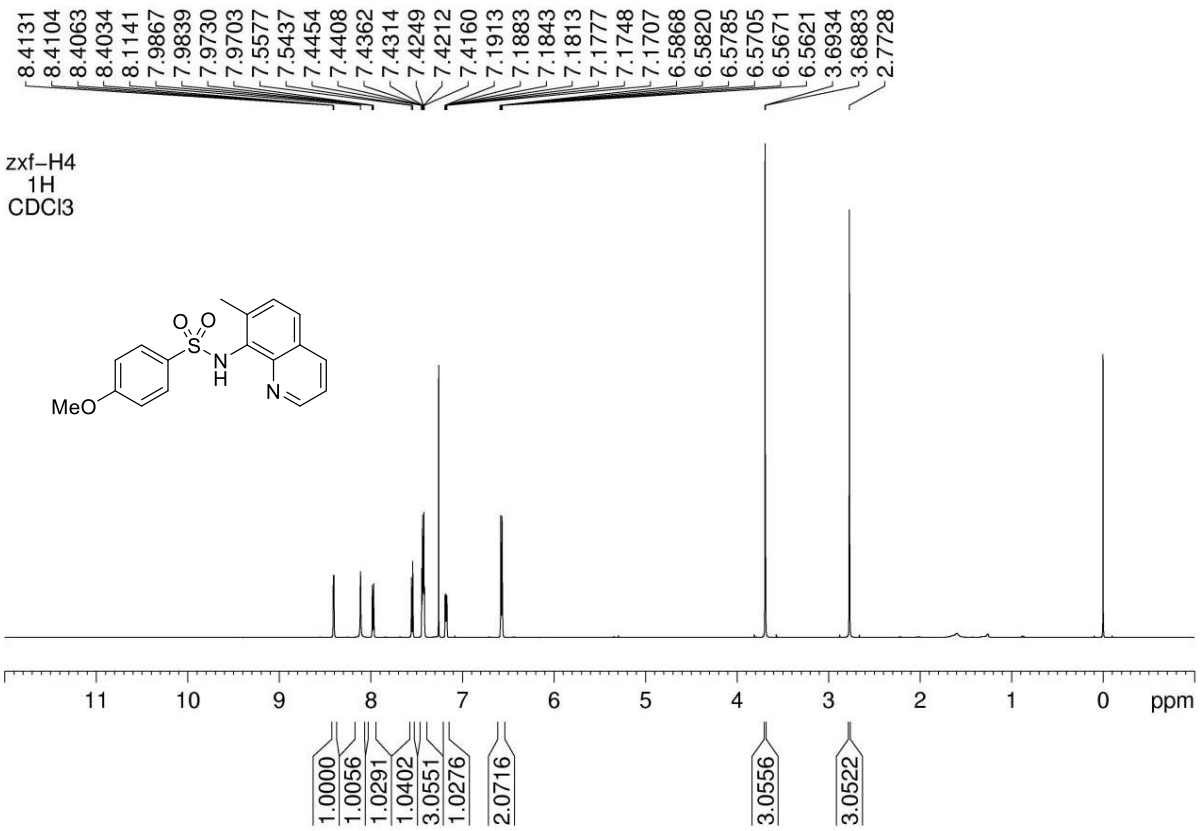
145.2866
142.9174
139.4420
137.2132
137.1199
135.5917
130.9447
130.5313
128.9126
128.2785
128.0970
127.1494
126.5659
126.3909
125.4429
120.3829
20.0282
wjl-1080
13C
CDCl3
Me
O
O
S
N
H
Ph
N
180
160
140
120
100
80
60
40
20
ppm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8.4104
8.4063
8.4034
8.1141
7.9867
7.9839
7.9730
7.9703
7.5577
7.5437
7.4454
7.4408
7.4362
7.4314
7.4249
7.4212
7.4160
7.1913
7.1883
7.1843
7.1813
7.1777
7.1748
7.1707
6.5868
6.5820
6.5785
6.5705
6.5671
6.5621
3.6934
3.6883
2.7728
zxf-H4
1H
CDCl3
MeO
11 10 9 8 7 6 5 4 3 2 1 0 ppm
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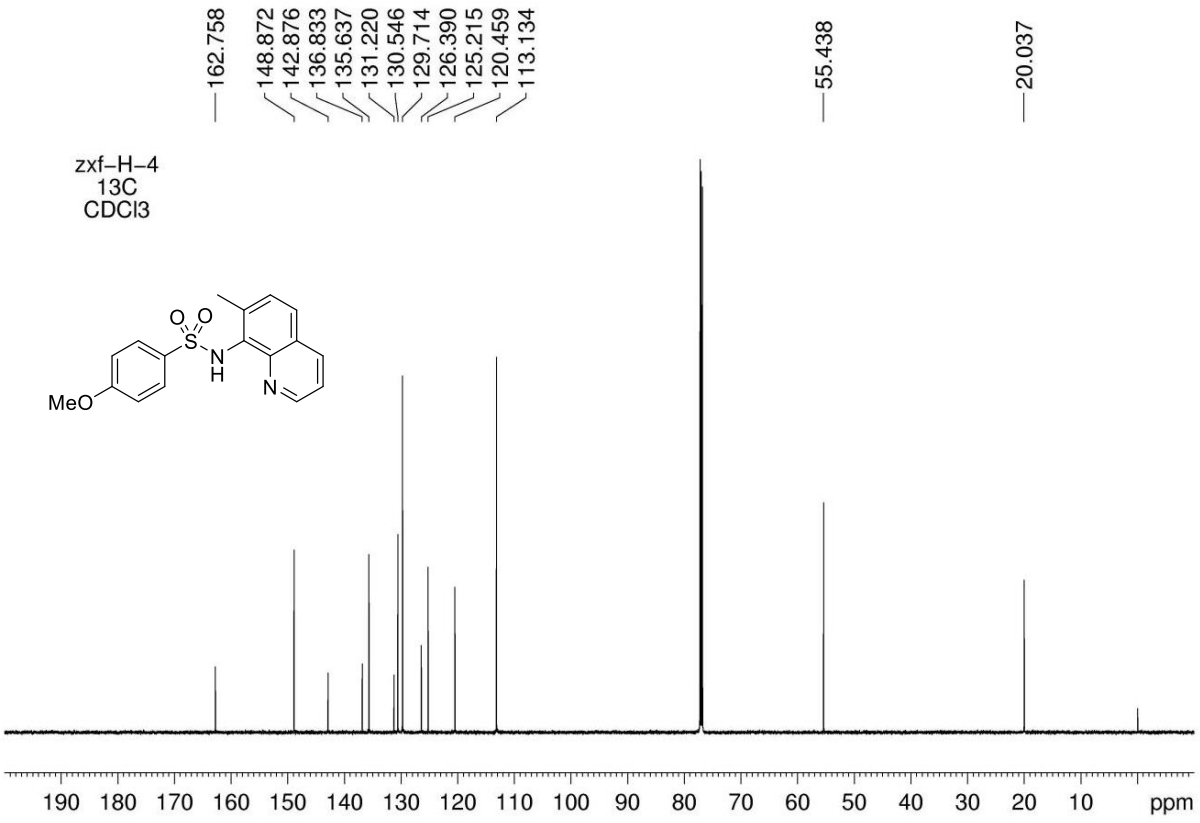
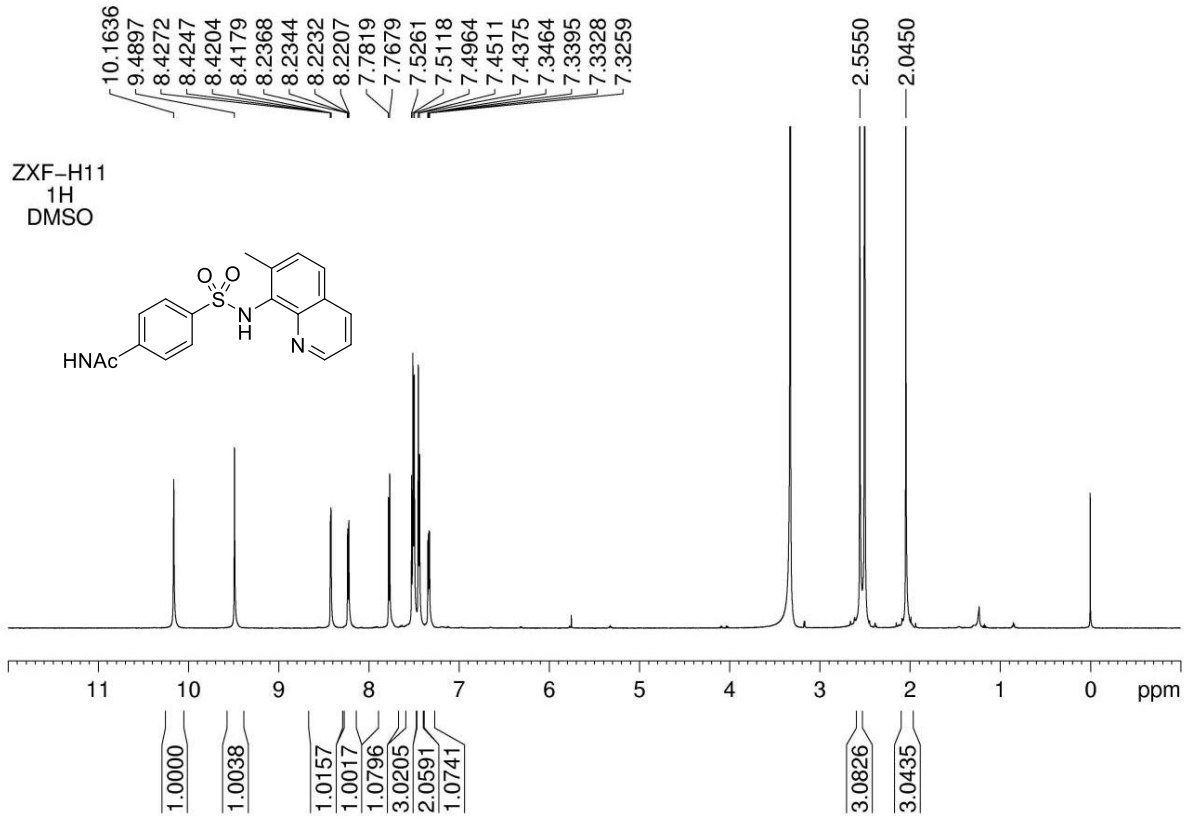
1.0056
1.0291
1.0402
3.0551
1.0276
2.0716
3.0556
3.0522


162.758
148.872
142.876
136.833
135.637
131.220
130.546
129.714
126.390
125.215
120.459
113.134
55.438
20.037
zxf-H-4
13C
CDCl3
MeO
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 ppm


10.1636
9.4897
8.4272
8.4247
8.4204
8.4179
8.2368
8.2344
8.2232
8.2207
7.7819
7.7679
7.5261
7.5118
7.4964
7.4511
7.4375
7.3464
7.3395
7.3328
7.3259
2.5550
2.0450
ZXF-H11
1H
DMSO
HNAc
11
10
9
8
7
6
5
4
3
2
1
0
ppm
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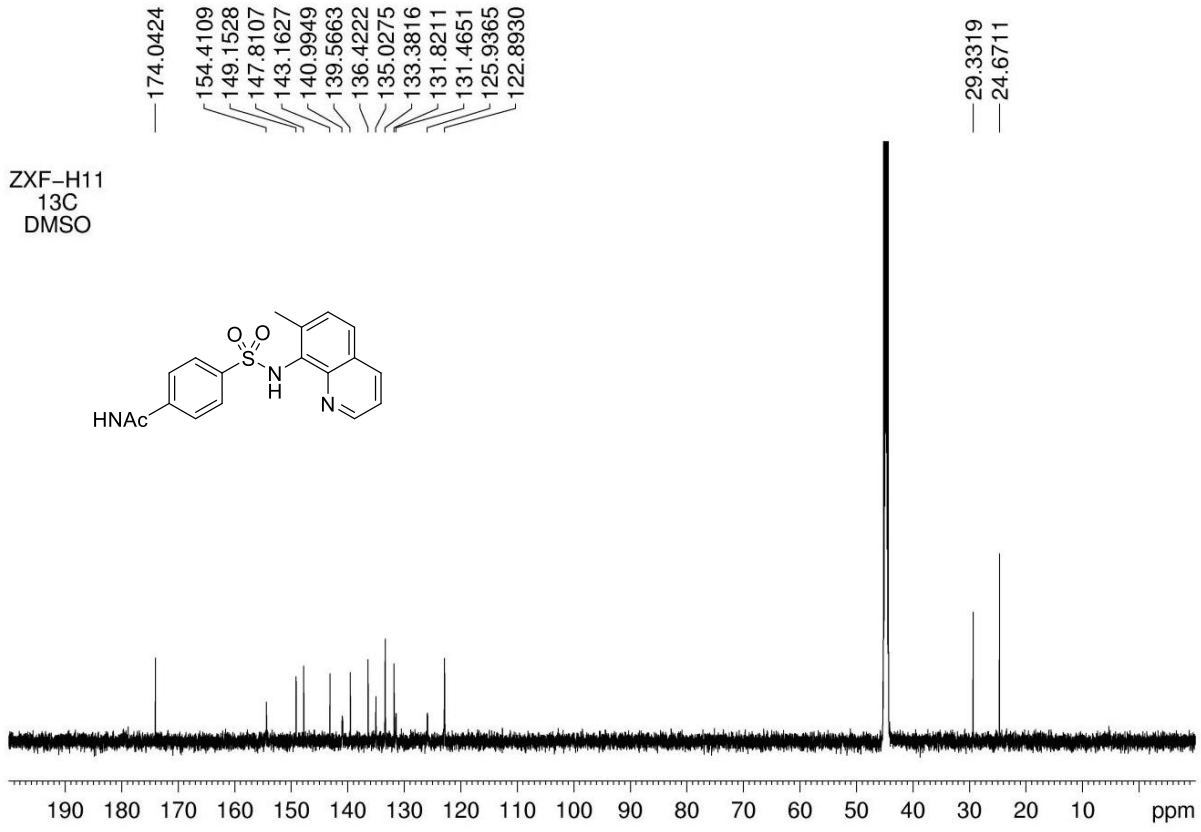
1.0038
1.0157
1.0017
1.0796
3.0205
2.0591
1.0741
3.0826
3.0435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149.1528
147.8107
143.1627
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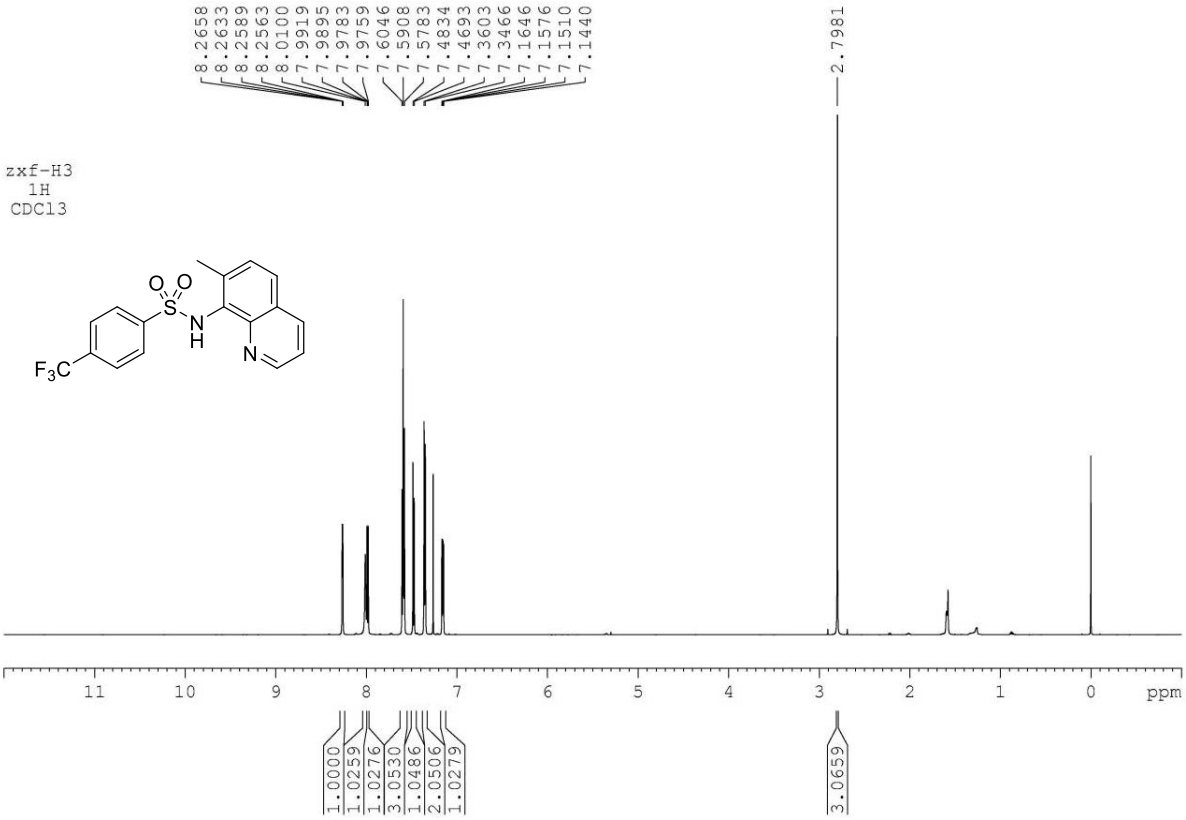
139.5663
136.4222
135.0275
133.3816
131.8211
131.4651
125.9365
122.8930
29.3319
24.6711
ZXF-H11
13C
DMSO
HNAc
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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8.2589
8.2563
8.0100
7.9919
7.9895
7.9783
7.9759
7.6046
7.5908
7.5783
7.4834
7.4693
7.3603
7.3466
7.1646
7.1576
7.1510
7.1440
2.7981
zxf-H3
1H
CDCl3
O
O
S
N
H
F3C
N
11
10
9
8
7
6
5
4
3
2
1
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ppm
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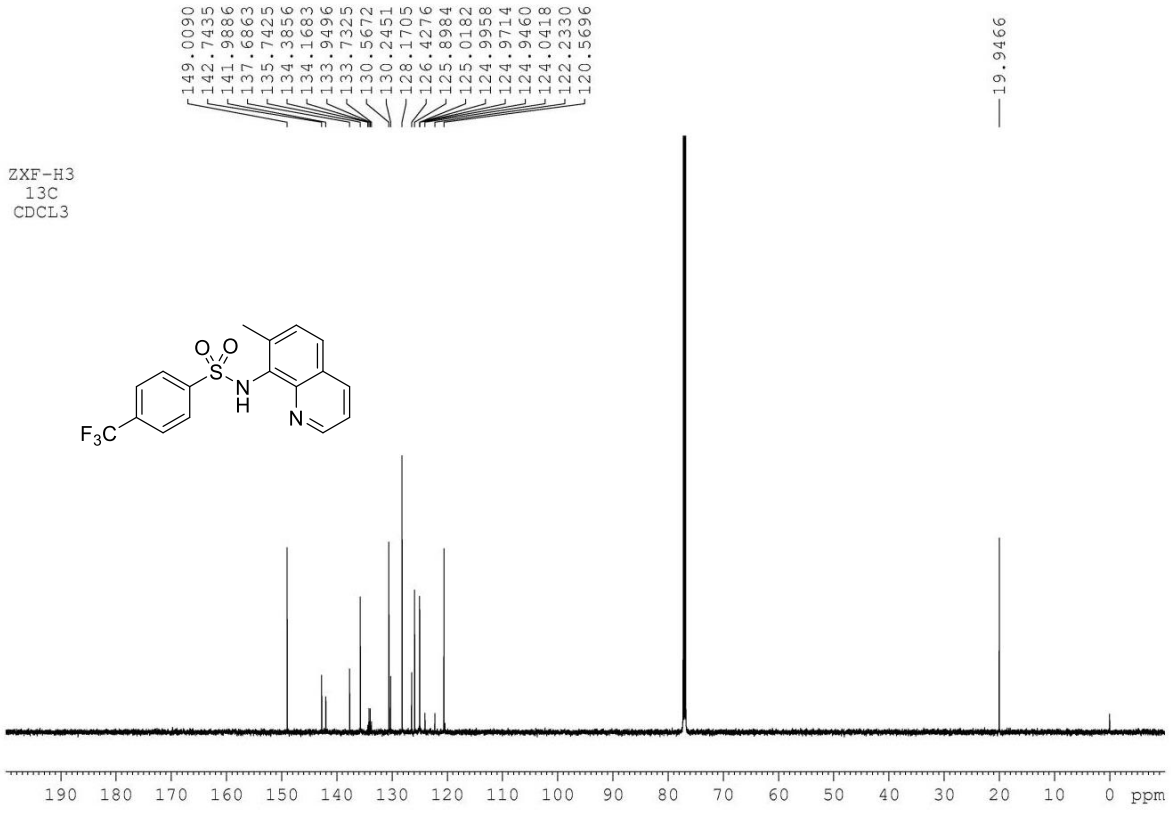
1.0276
3.0530
1.0486
2.0506
1.0279
3.0659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142.7435
141.9886
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134.1683
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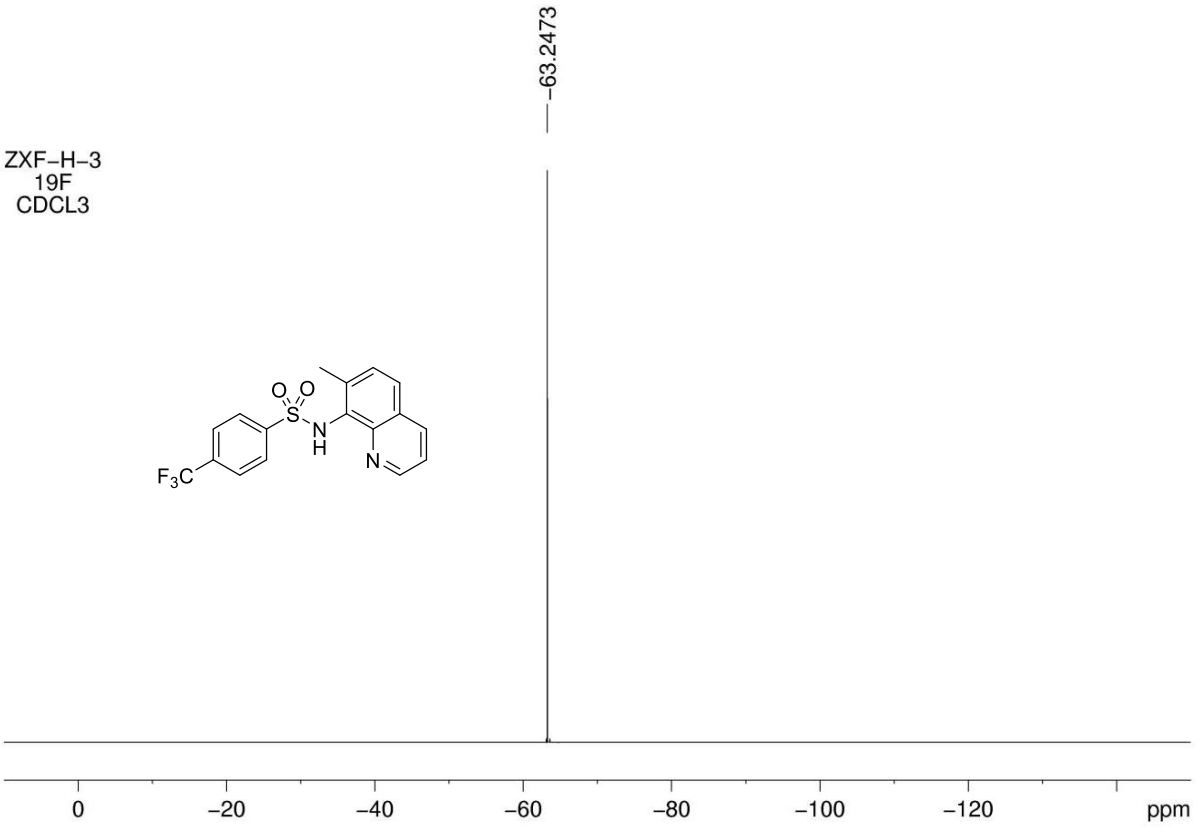
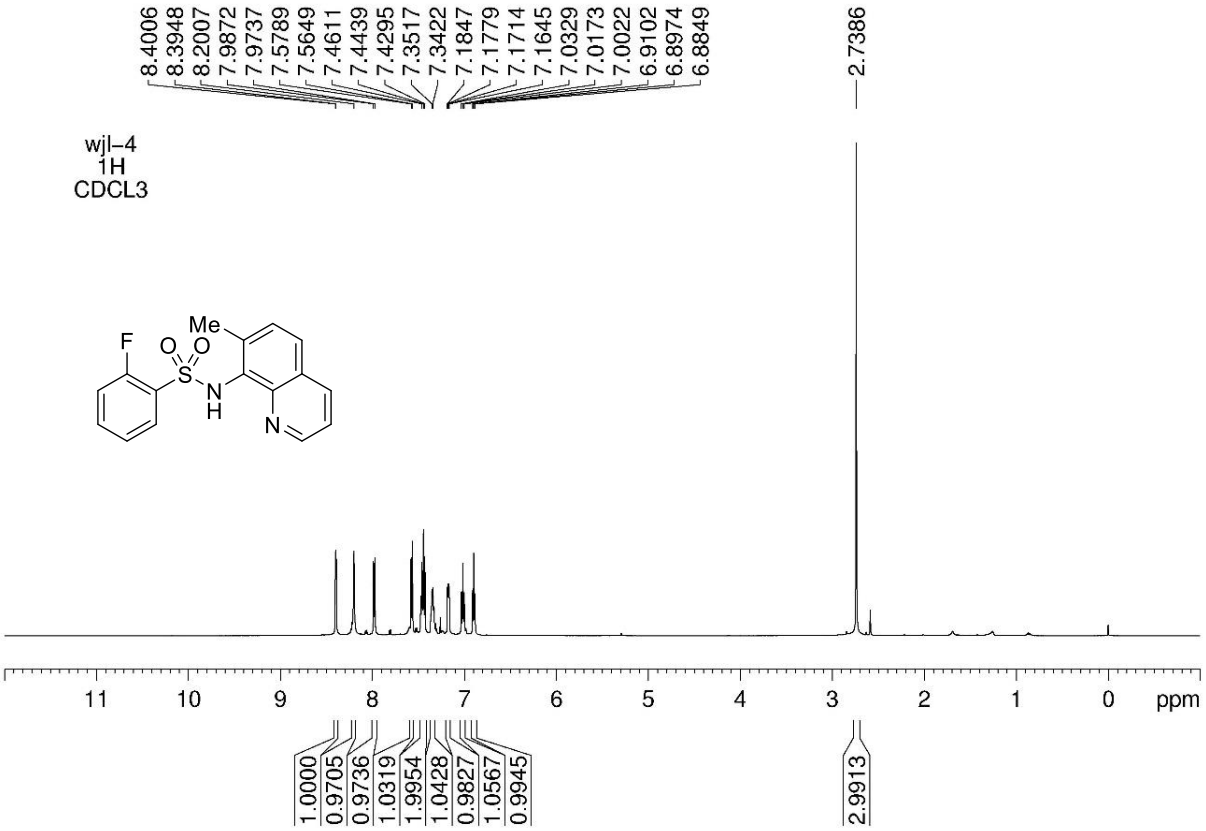
133.7325
130.5672
130.2451
128.1705
126.4276
125.8984
125.0182
124.9958
124.9714
124.9460
124.0418
122.2330
120.5696
19.9466
ZXF-H3
13C
CDCL3
O
O
S
N
H
F3C
N
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm


ZXF-H-3
19F
CDCL3
-63.2473
O
O
S
N
H
N
F3C
0
-20
-40
-60
-80
-100
-120
ppm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8.2007
7.9872
7.9737
7.5789
7.5649
7.4611
7.4439
7.4295
7.3517
7.3422
7.1847
7.1779
7.1714
7.1645
7.0329
7.0173
7.0022
6.9102
6.8974
6.8849
2.7386
wjl-4
1H
CDCL3
Me
F
O
O
S
N
H
N
11
10
9
8
7
6
5
4
3
2
1
0
ppm
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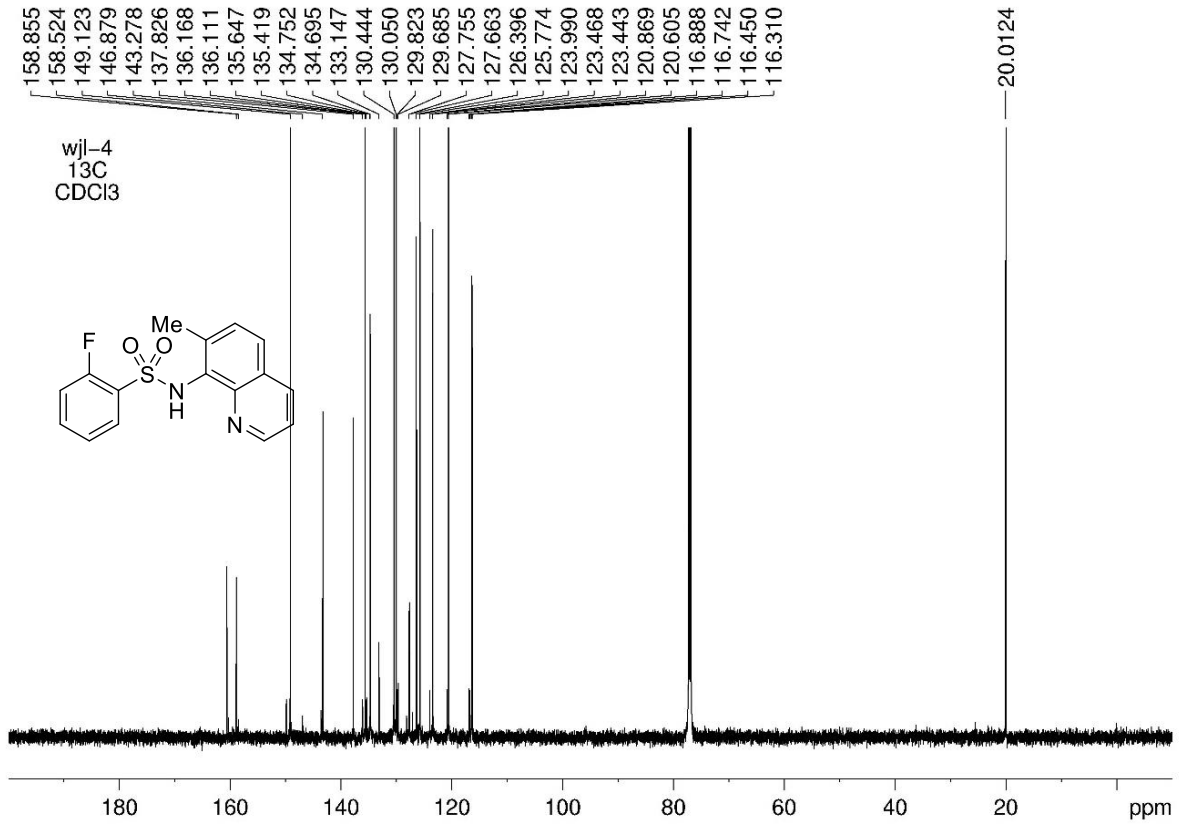
0.9827
1.0567
0.9945
2.9913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146.879
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136.111
135.647
135.419
134.752
134.695
133.147
130.444
130.050
129.823
129.685
127.755
127.663
126.396
125.774
123.990
123.468
123.443
120.869
120.605
116.888
116.742
116.450
116.310
20.0124
wjl-4
13C
CDCl3
Me
F
O
O
S
N
H
N
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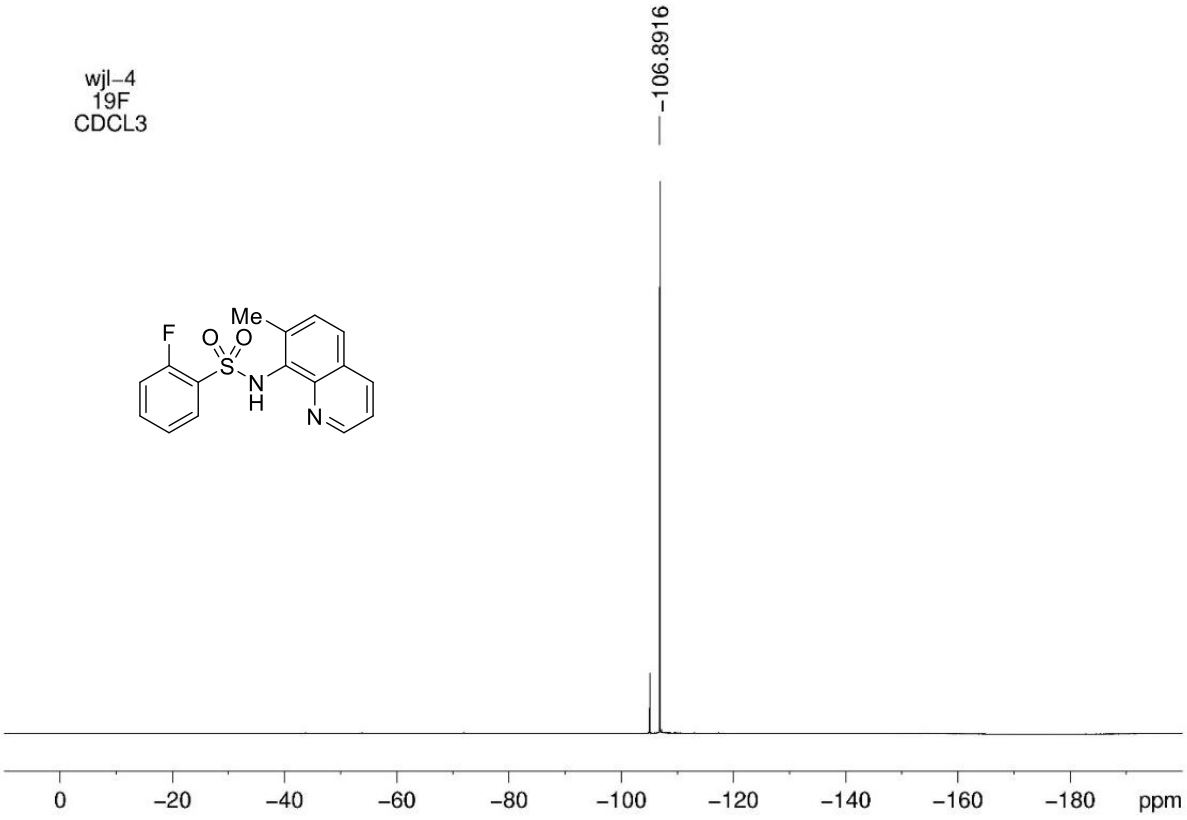
160
140
120
100
80
60
40
20
ppm


wjl-4
19F
CDCL3
-106.8916
F
O
O
S
N
H
Me
N
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-20
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-100
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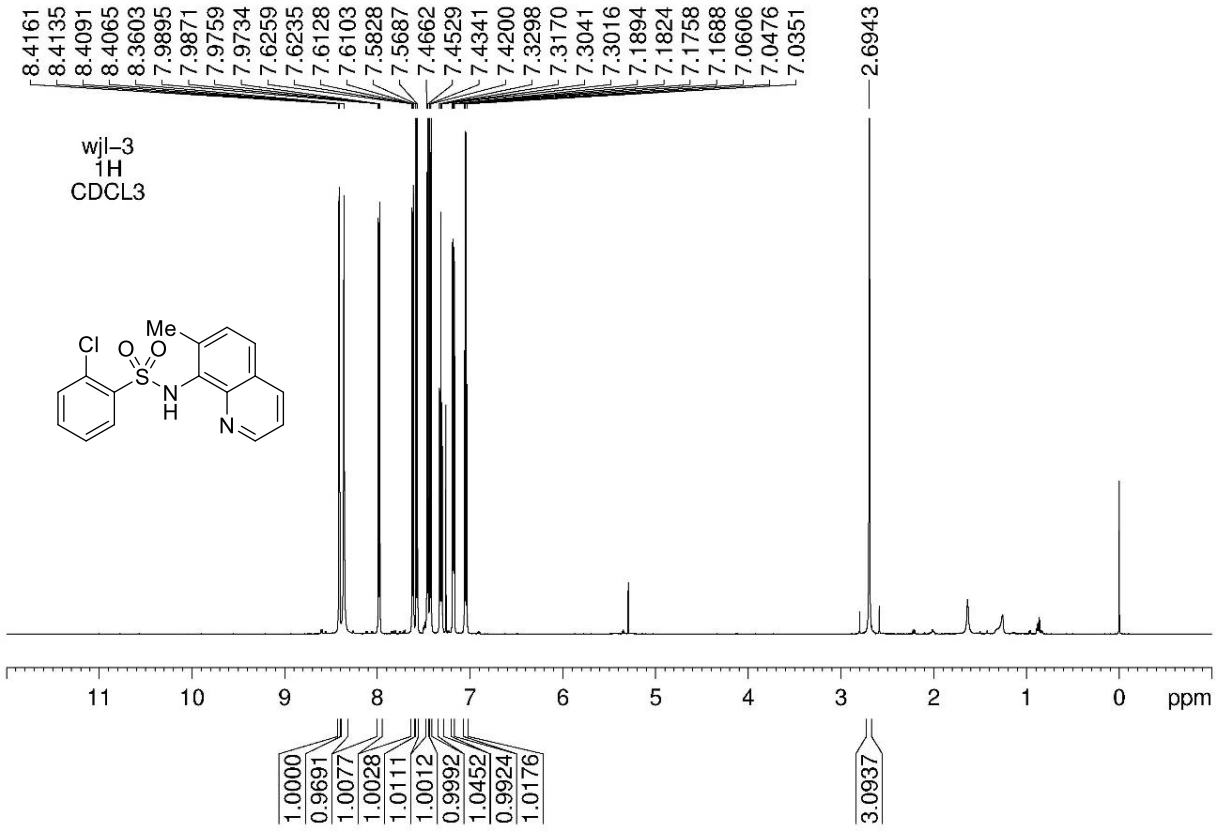
-140
-160
-180
ppm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8.4065
8.3603
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7.9871
7.9759
7.9734
7.6259
7.6235
7.6128
7.6103
7.5828
7.5687
7.4662
7.4529
7.4341
7.4200
7.3298
7.3170
7.3041
7.3016
7.1894
7.1824
7.1758
7.1688
7.0606
7.0476
7.0351
2.6943
wjl-3
1H
CDCL3
Cl
Me
O
O
S
N
H
N
11
10
9
8
7
6
5
4
3
2
1
0
ppm
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1.0012
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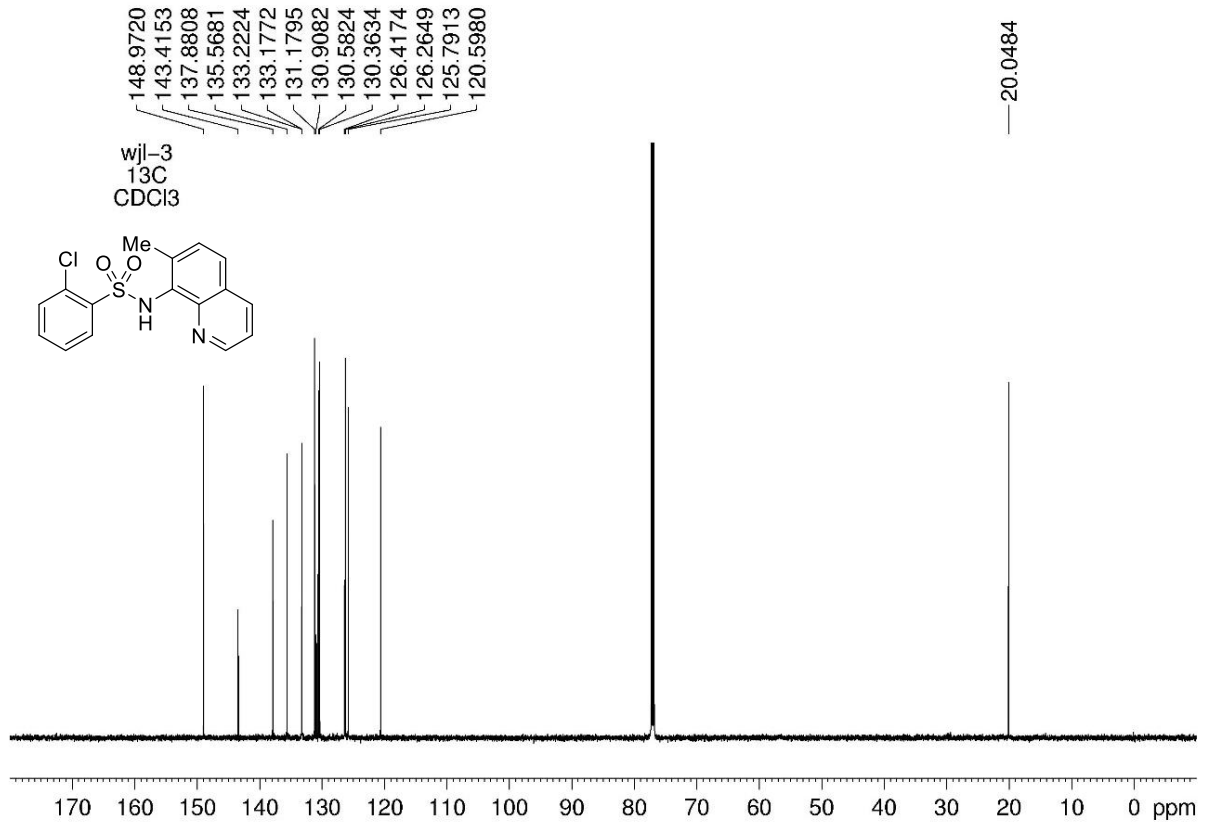
1.0452
0.9924
1.0176
3.0937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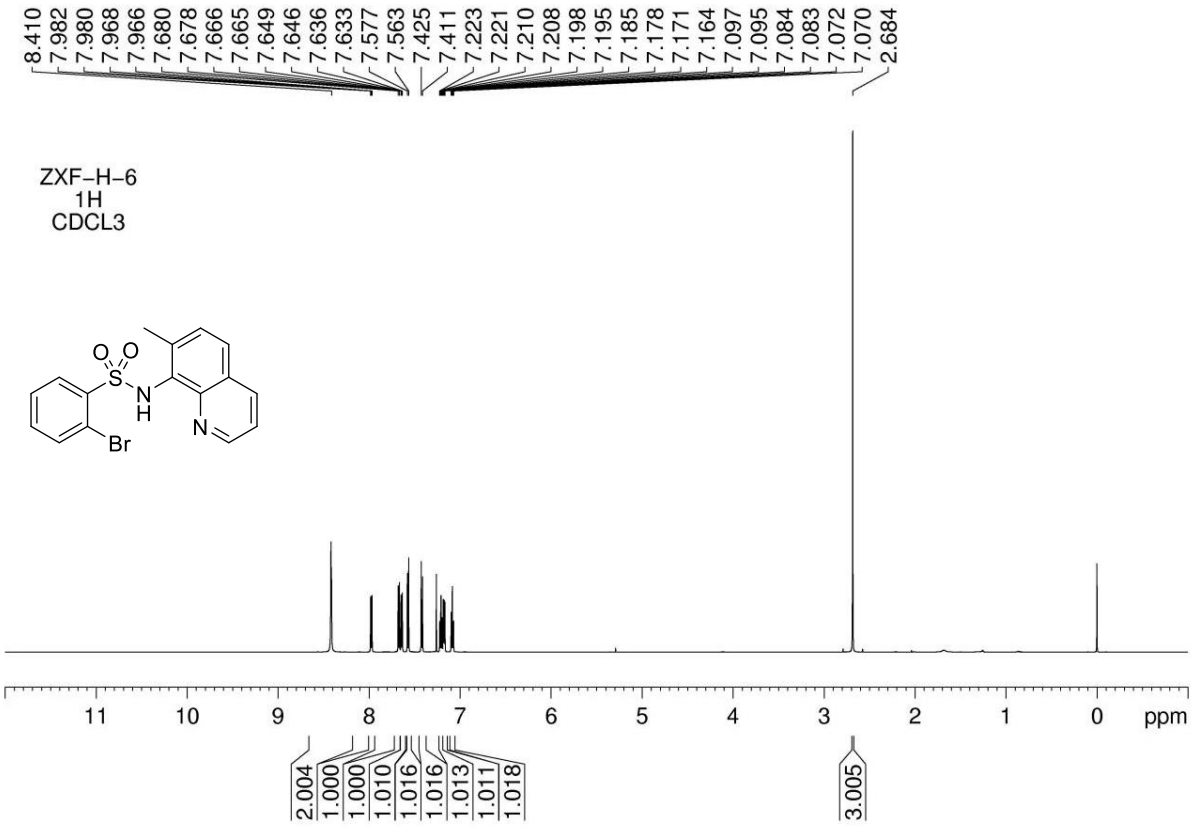
137.8808
135.5681
133.2224
133.1772
131.1795
130.9082
130.5824
130.3634
126.4174
126.2649
125.7913
120.5980
20.0484
wjl-3
13C
CDCl3
Cl
Me
O
O
S
N
H
N
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm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7.980
7.968
7.966
7.680
7.678
7.666
7.665
7.649
7.646
7.636
7.633
7.577
7.563
7.425
7.411
7.223
7.221
7.210
7.208
7.198
7.195
7.185
7.178
7.171
7.164
7.097
7.095
7.084
7.083
7.072
7.070
2.684
ZXF-H-6
1H
CDCL3
O
O
S
N
H
Br
N
11
10
9
8
7
6
5
4
3
2
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0
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1.000
1.000
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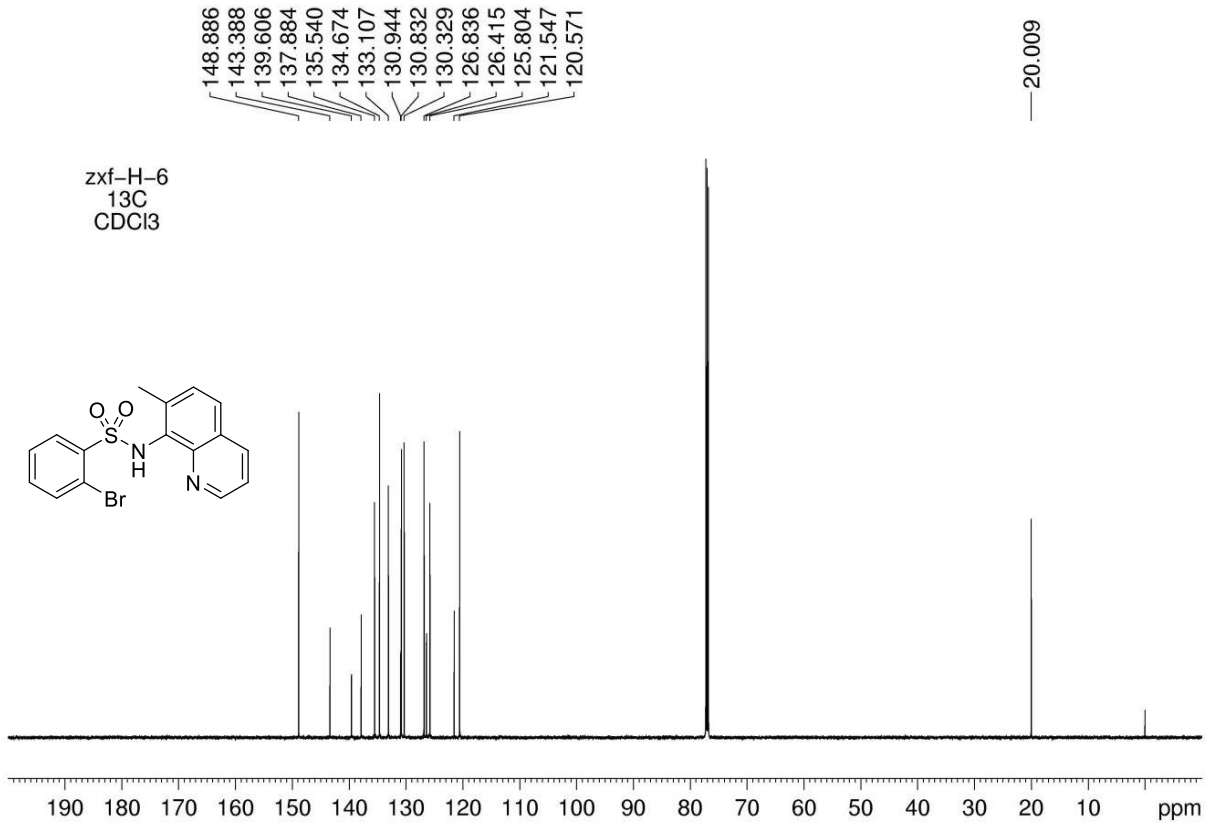
1.016
1.013
1.011
1.018
3.005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143.388
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137.884
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134.674
133.107
130.944
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130.329
126.836
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125.804
121.547
120.571
20.009
zxf-H-6
13C
CDCl3
O
O
S
N
H
Br
N
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180
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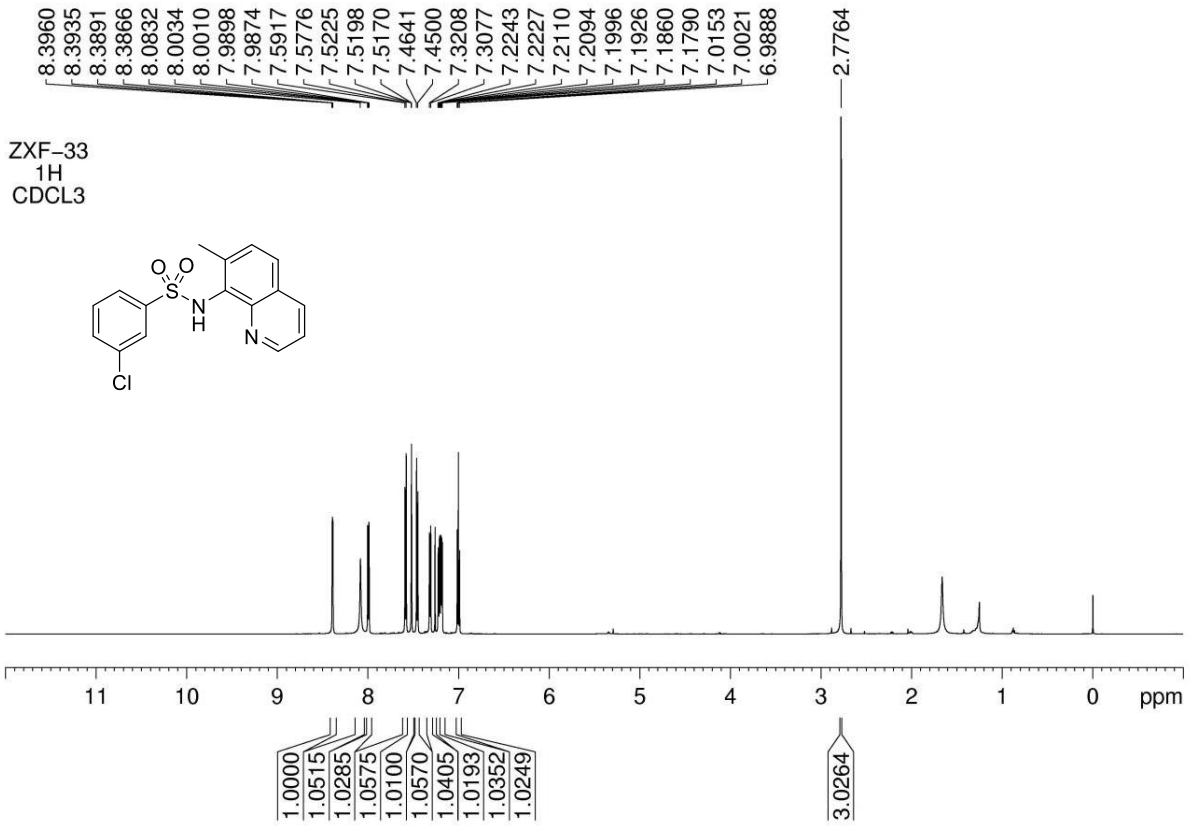
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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8.3891
8.3866
8.0832
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8.0010
7.9898
7.9874
7.5917
7.5776
7.5225
7.5198
7.5170
7.4641
7.4500
7.3208
7.3077
7.2243
7.2227
7.2110
7.2094
7.1996
7.1926
7.1860
7.1790
7.0153
7.0021
6.9888
2.7764
ZXF-33
1H
CDCL3
O
O
S
N
H
N
Cl
11
10
9
8
7
6
5
4
3
2
1
0
ppm
1.0000
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1.0193
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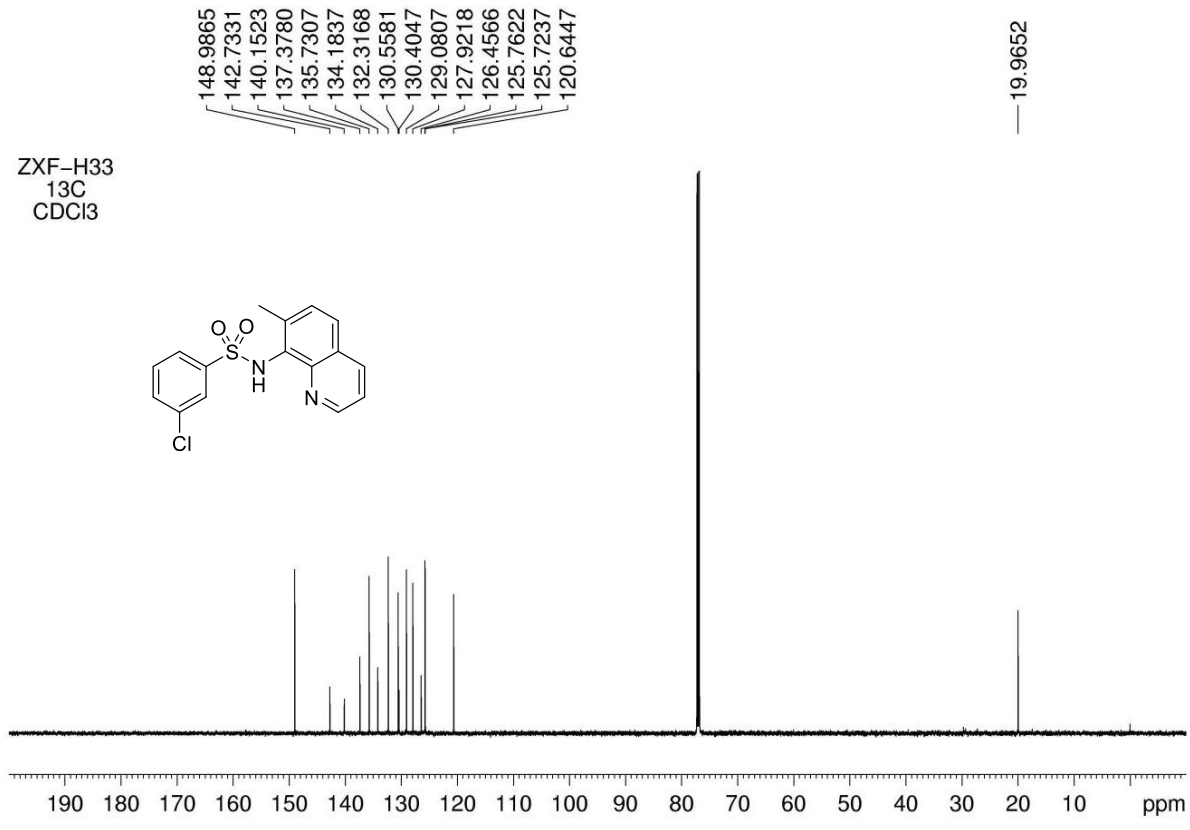
1.0249
3.0264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137.3780
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132.3168
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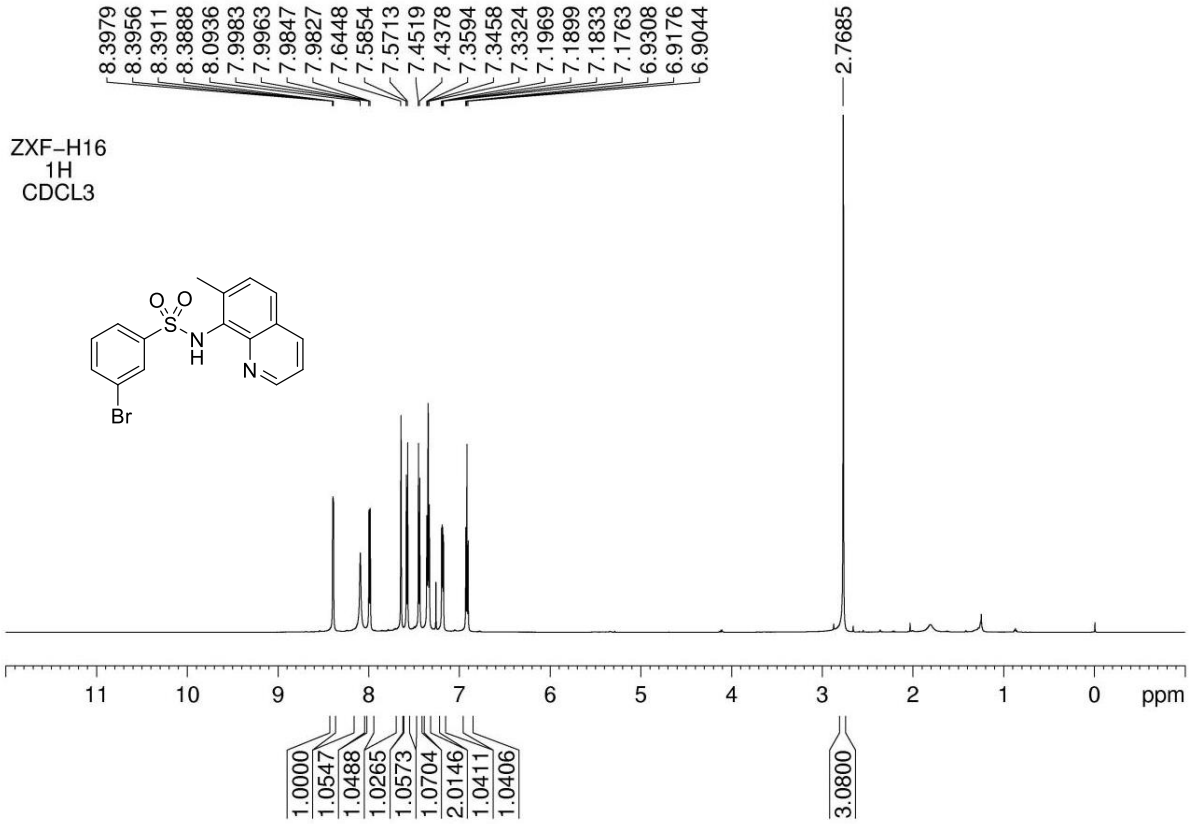
130.4047
129.0807
127.9218
126.4566
125.7622
125.7237
120.6447
19.9652
ZXF-H33
13C
CDCl3
O
O
S
N
H
N
Cl
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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8.3911
8.3888
8.0936
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7.9963
7.9847
7.9827
7.6448
7.5854
7.5713
7.4519
7.4378
7.3594
7.3458
7.3324
7.1969
7.1899
7.1833
7.1763
6.9308
6.9176
6.9044
2.7685
ZXF-H16
1H
CDCL3
O
O
S
N
H
Br
N
11
10
9
8
7
6
5
4
3
2
1
0
ppm
1.0000
1.0547
1.0488
1.0265
1.0573
1.0704
2.0146
1.0411
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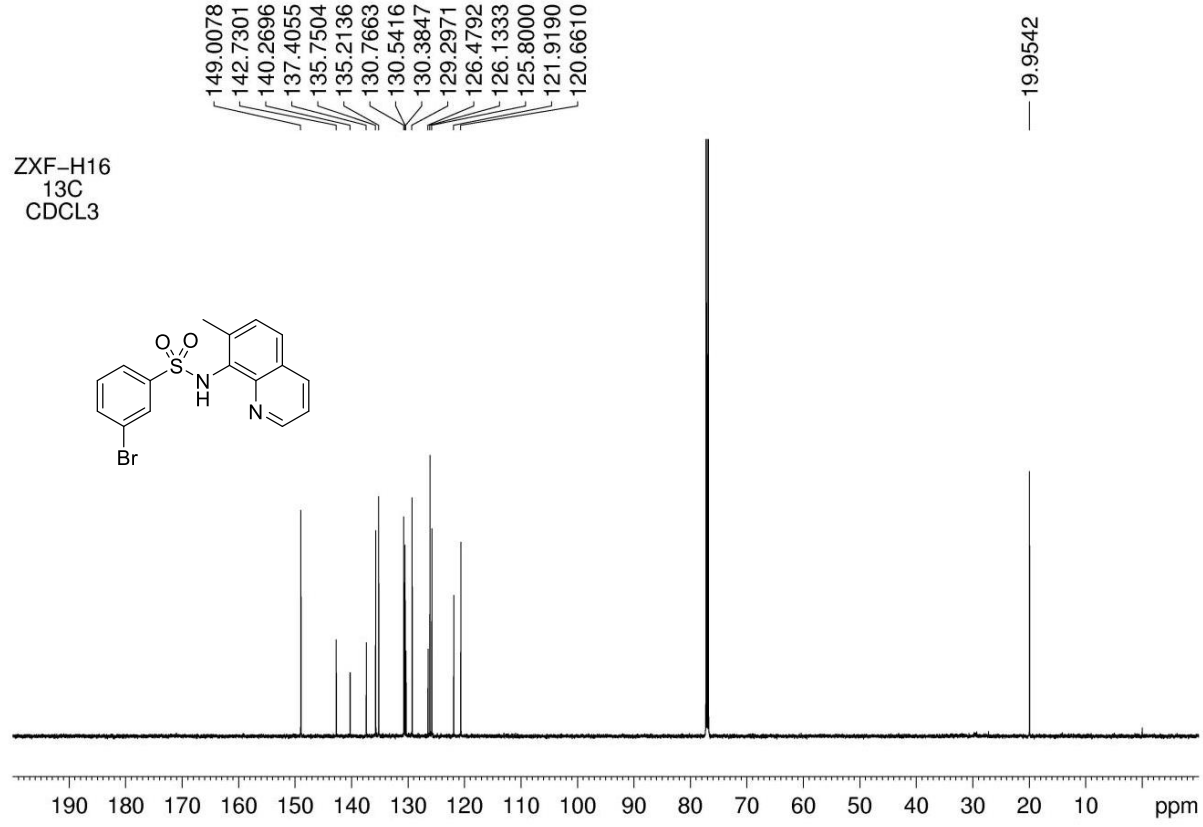
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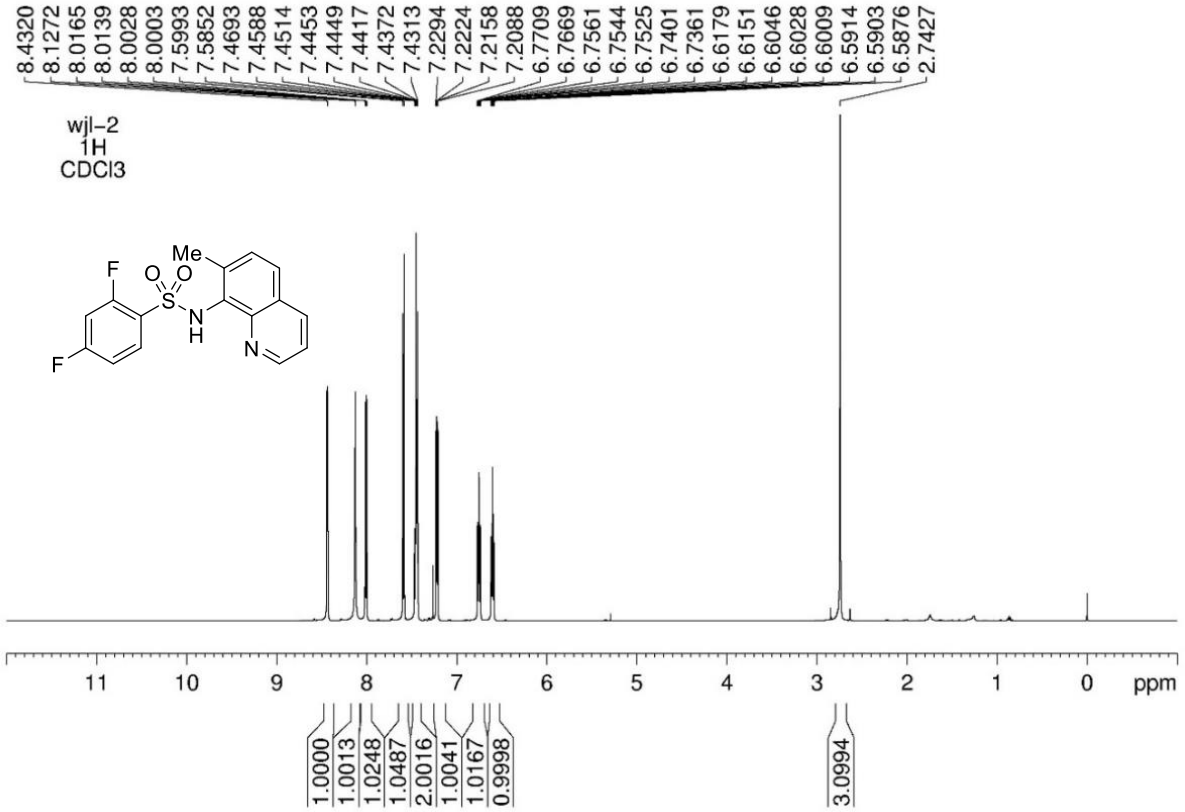
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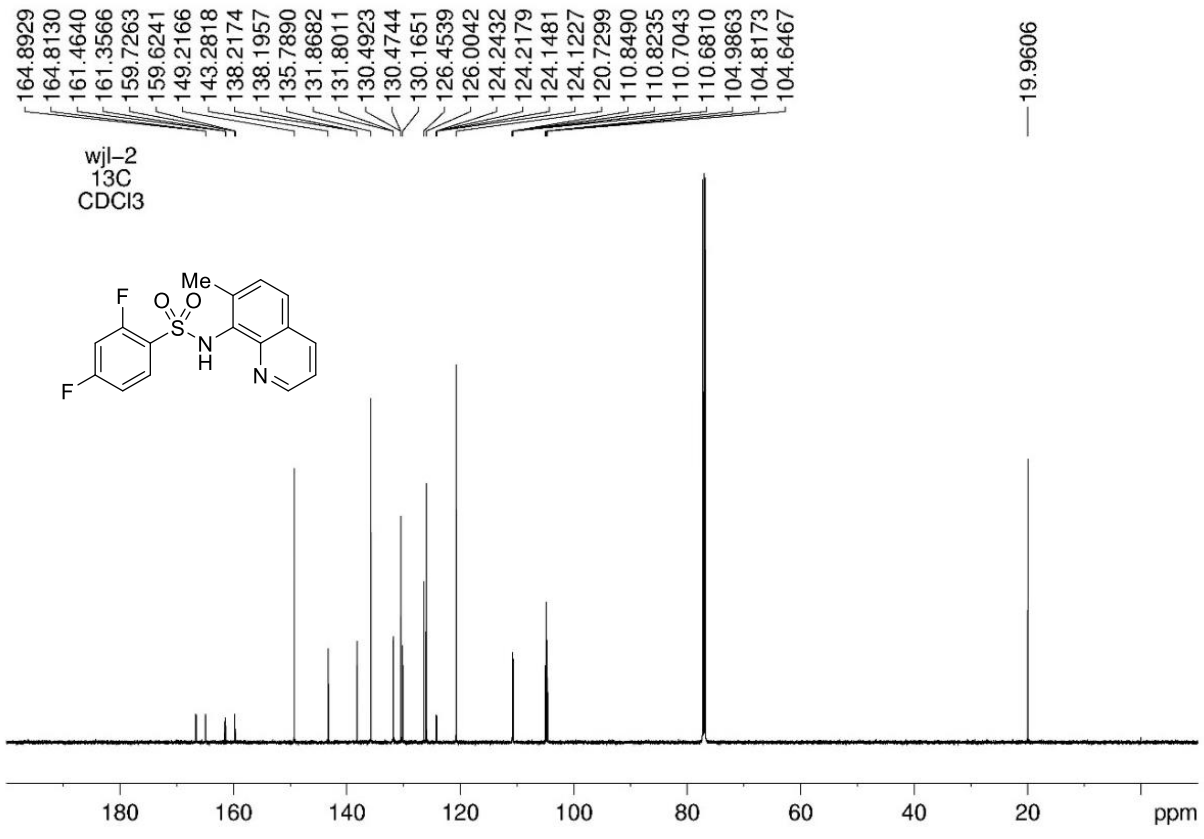
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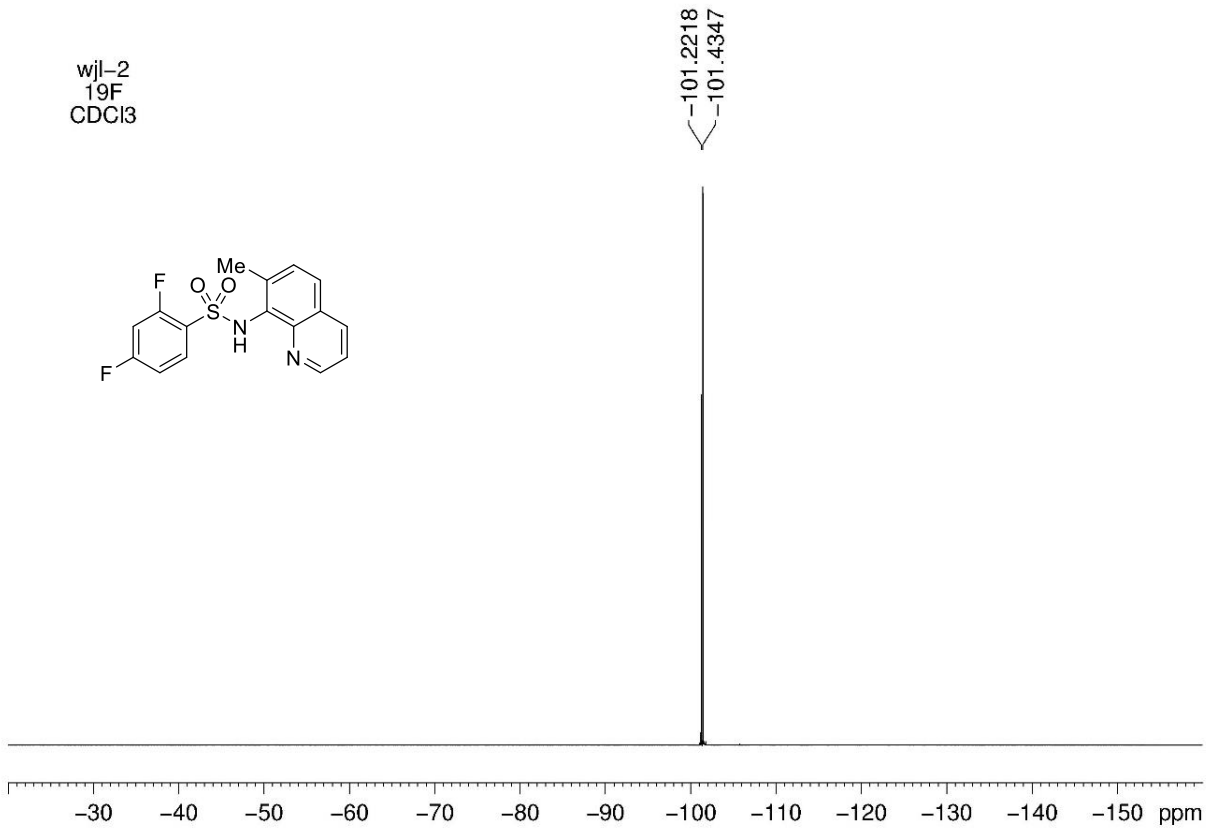
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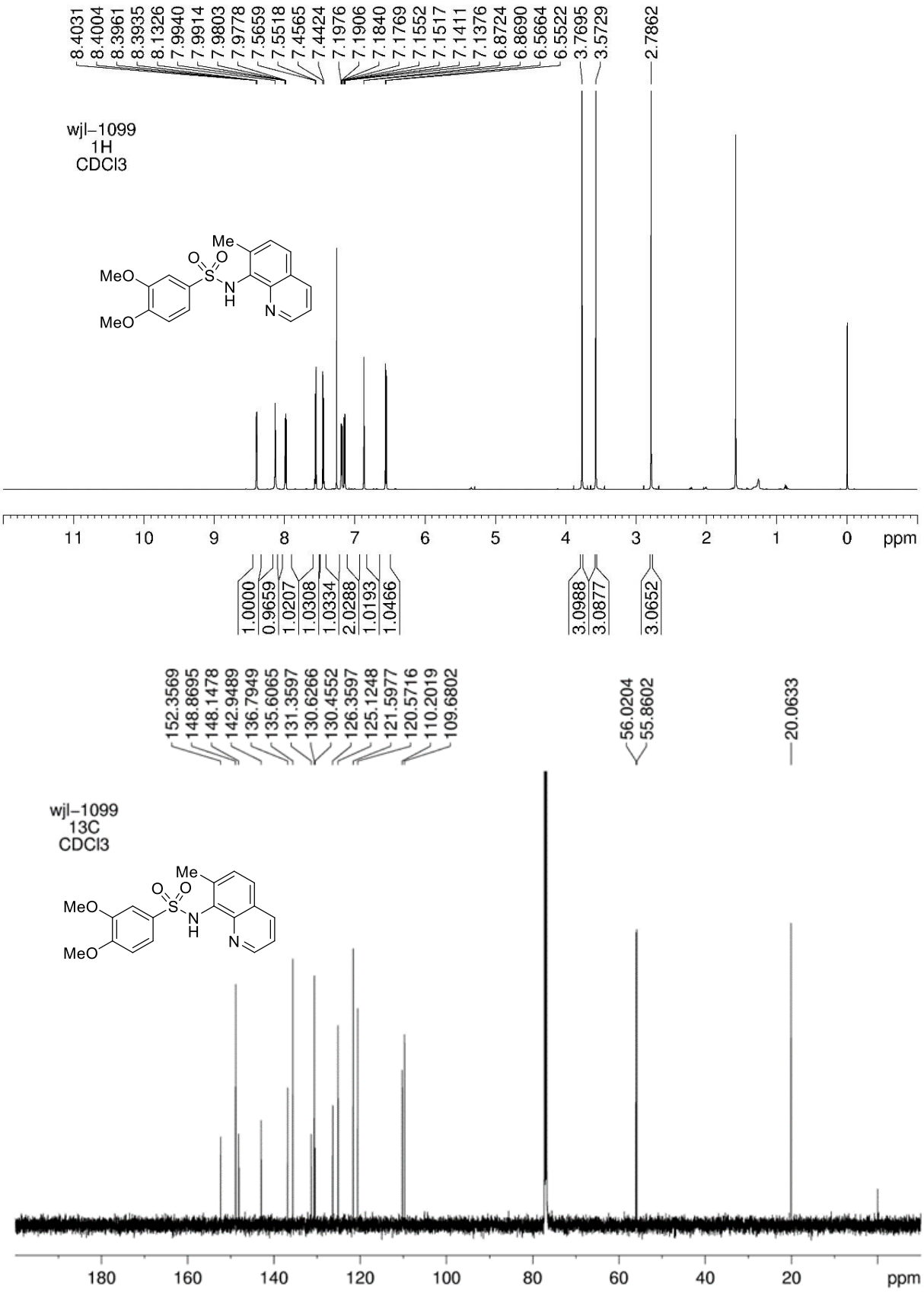
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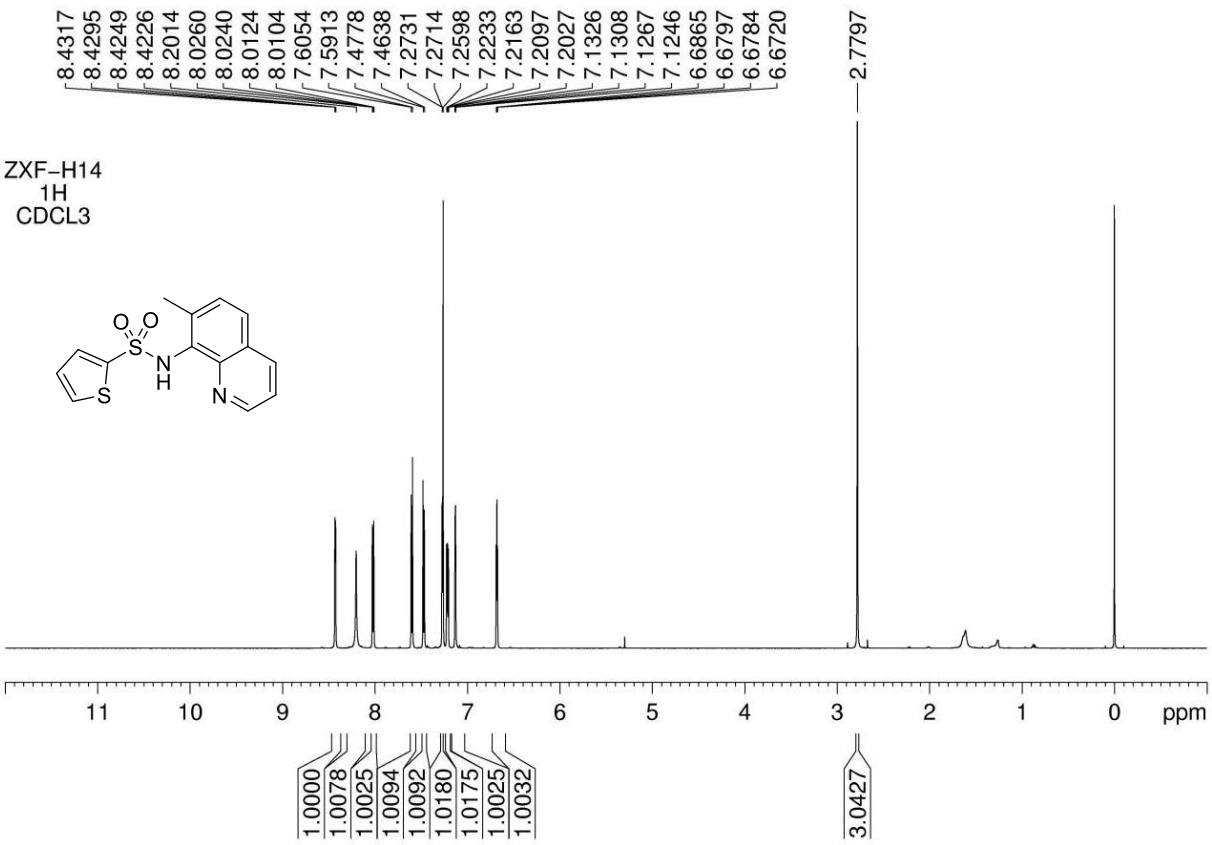
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ZXF-H14
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CDCL3
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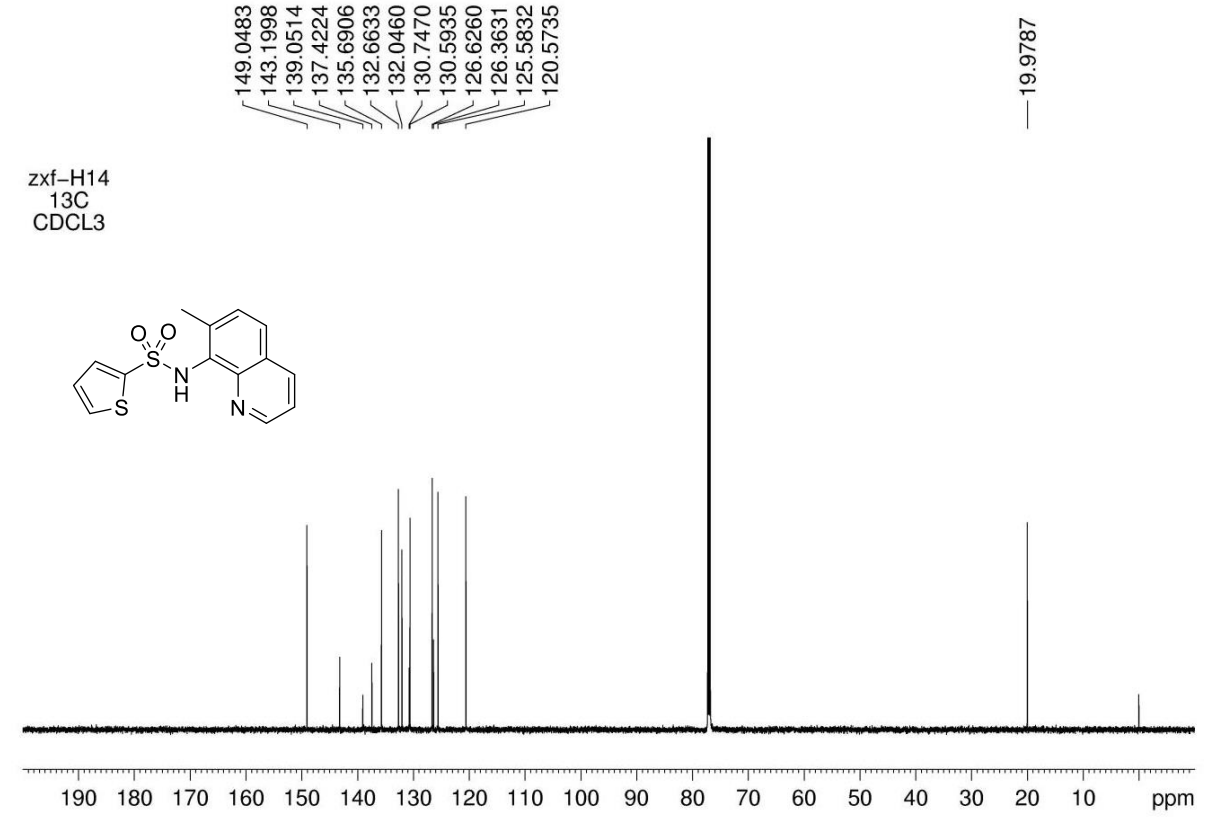
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13C
CDCL3
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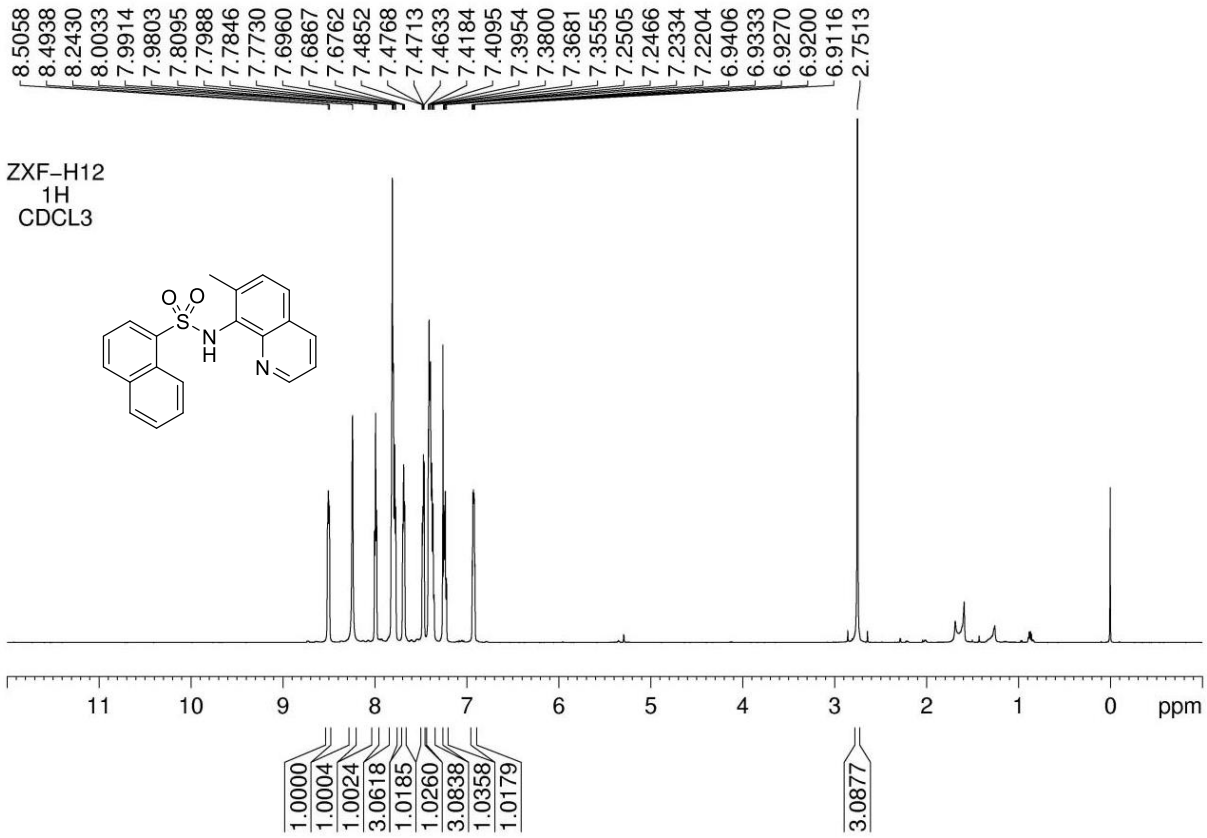
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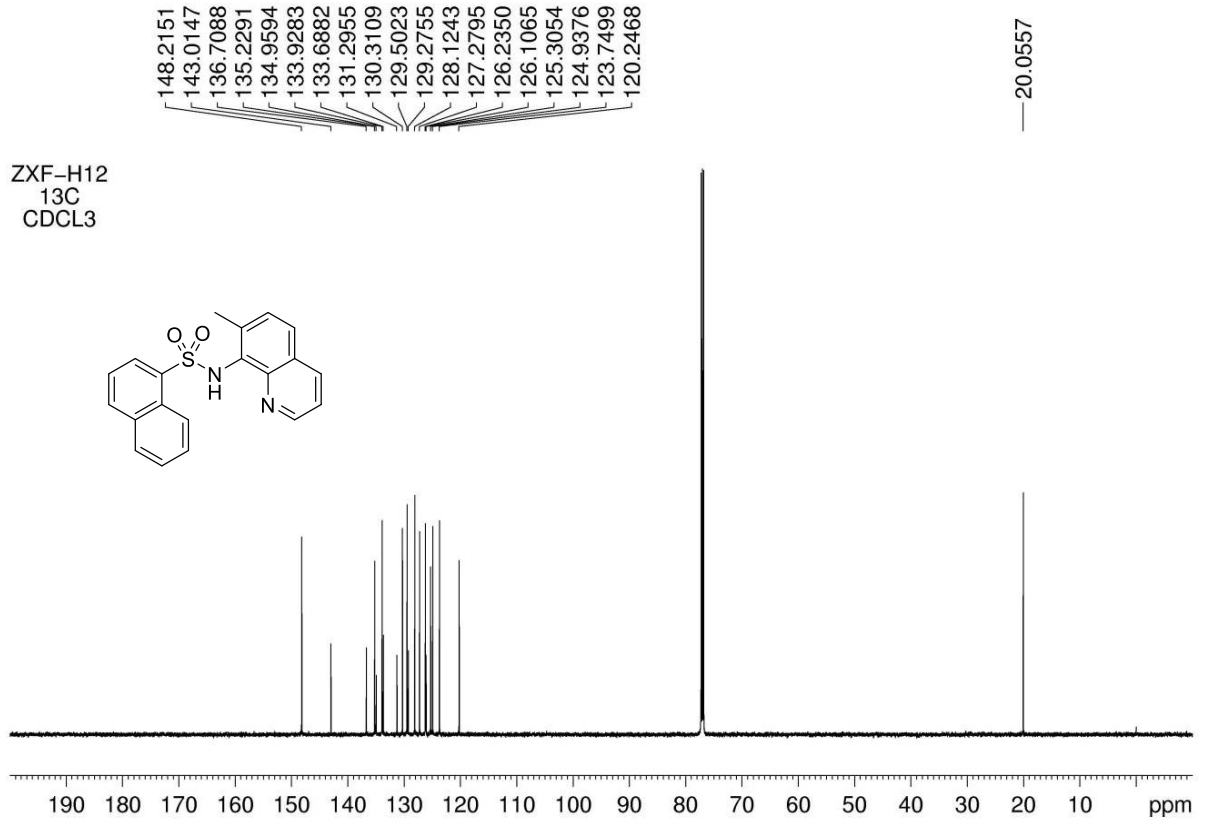
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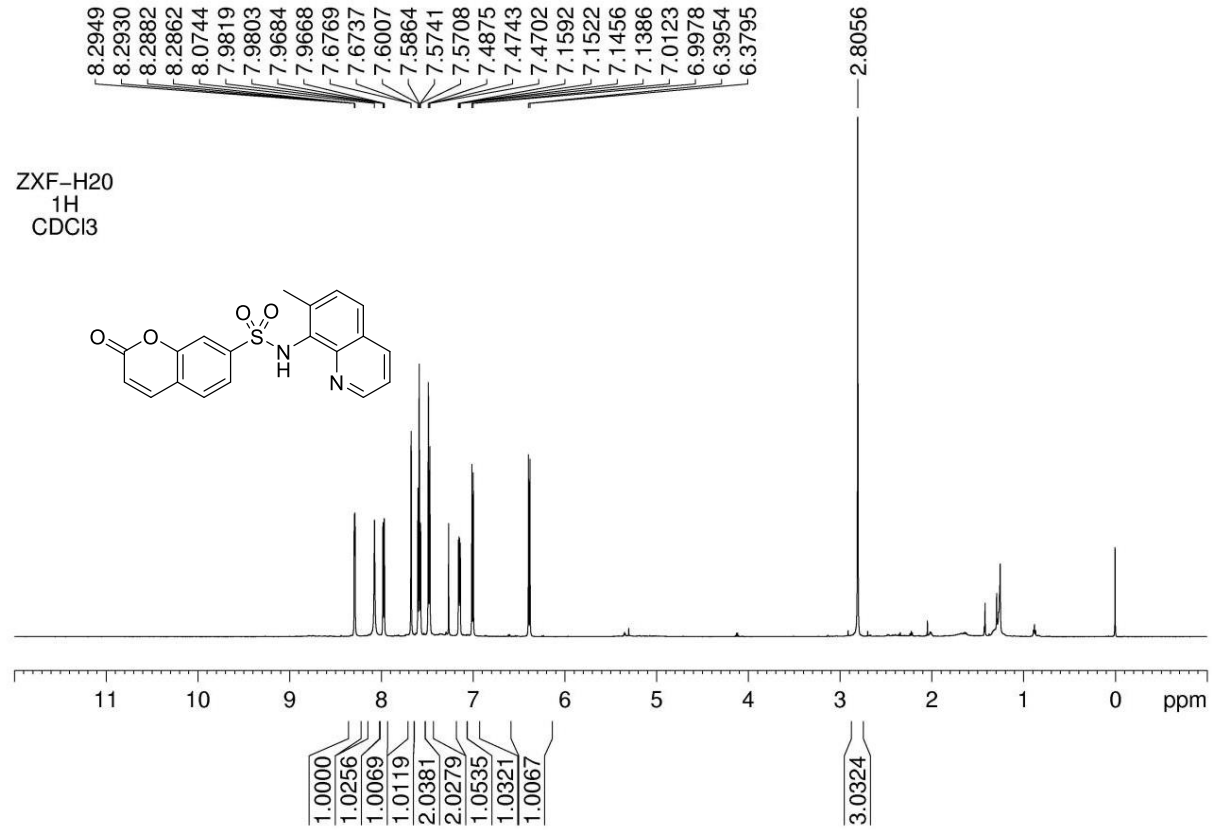
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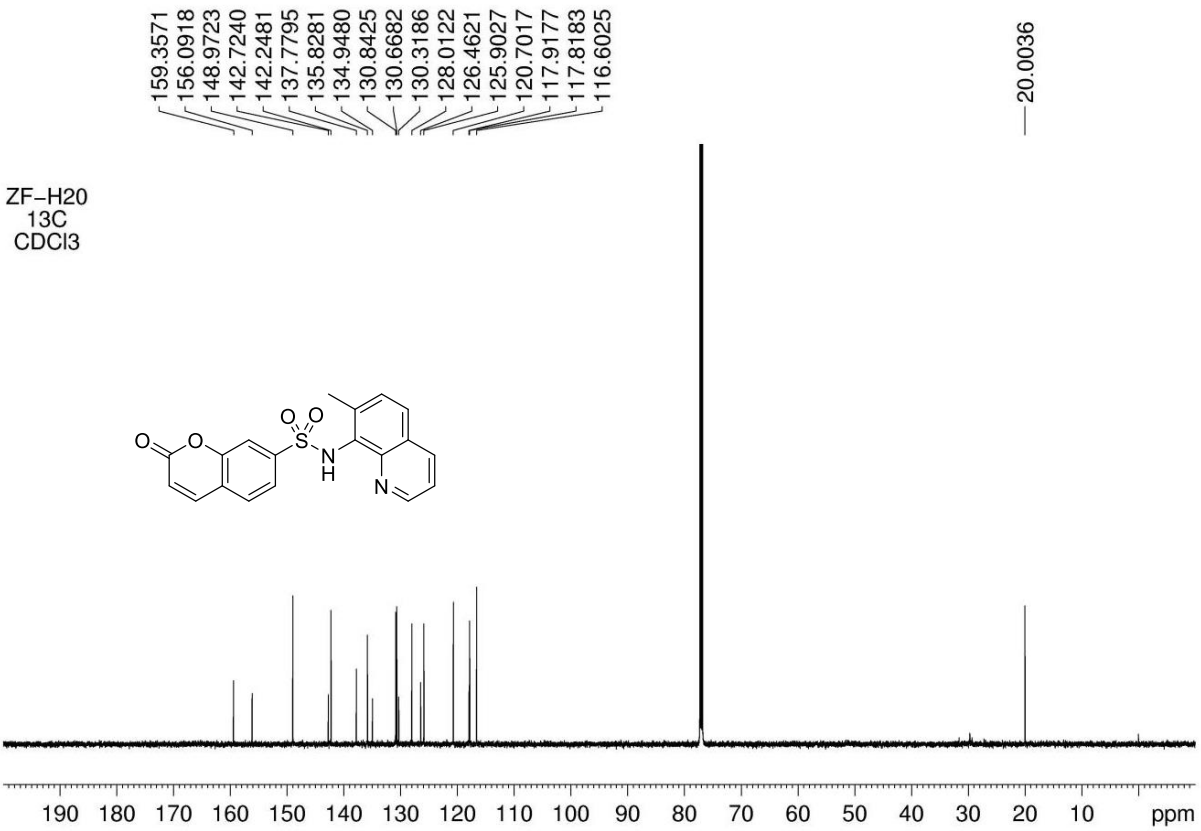
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CDCl3
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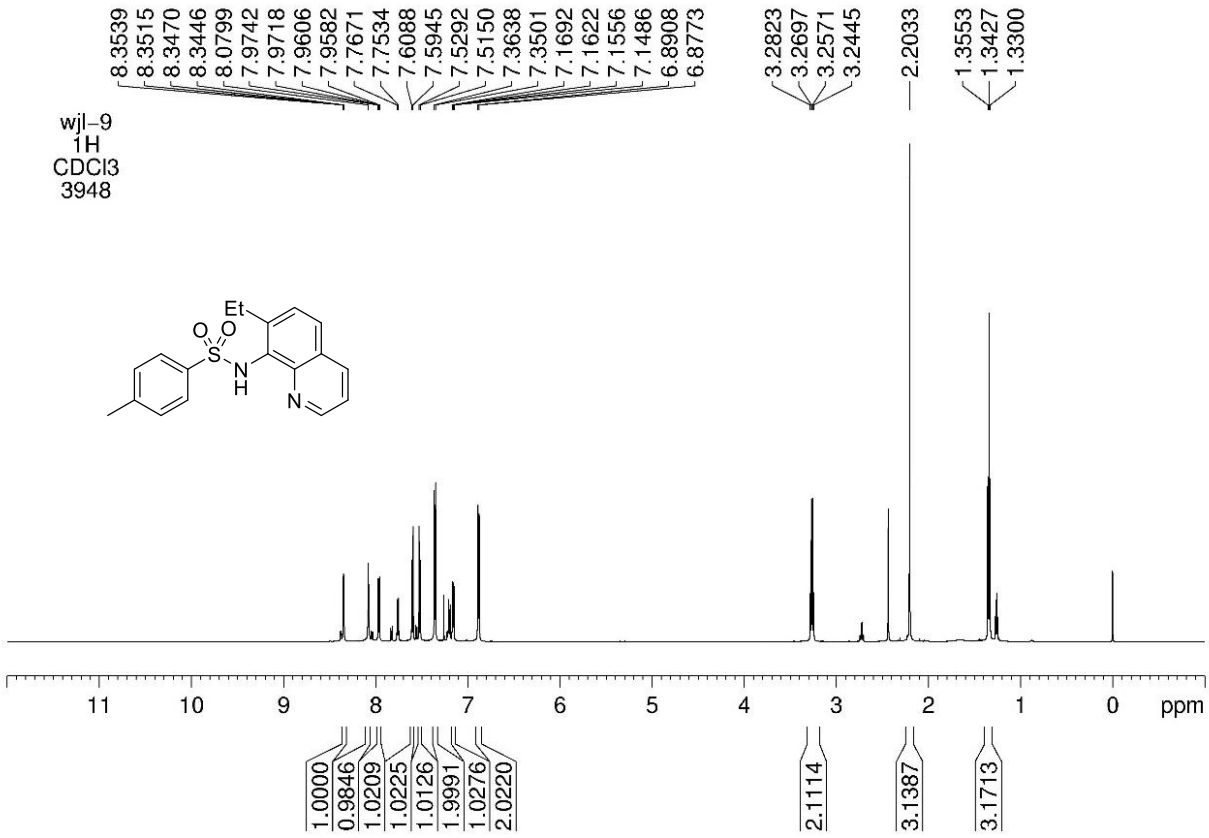
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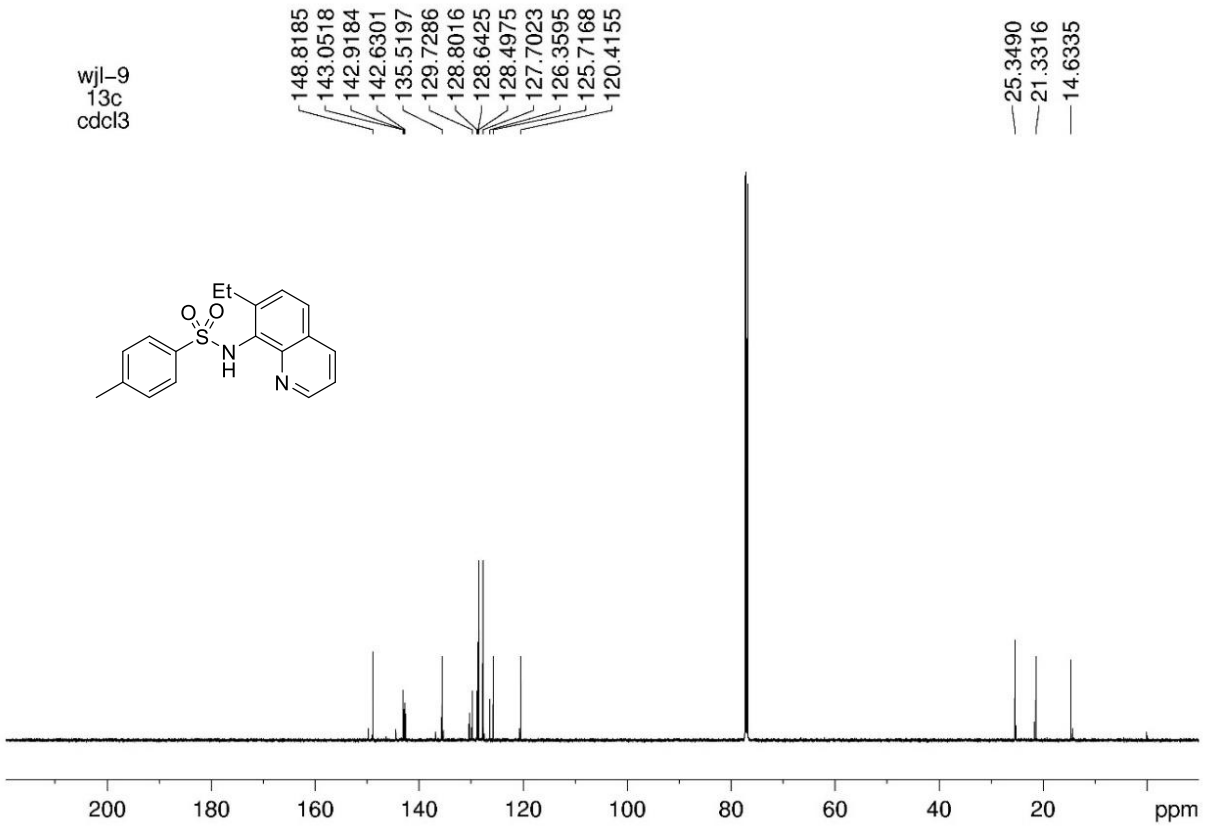
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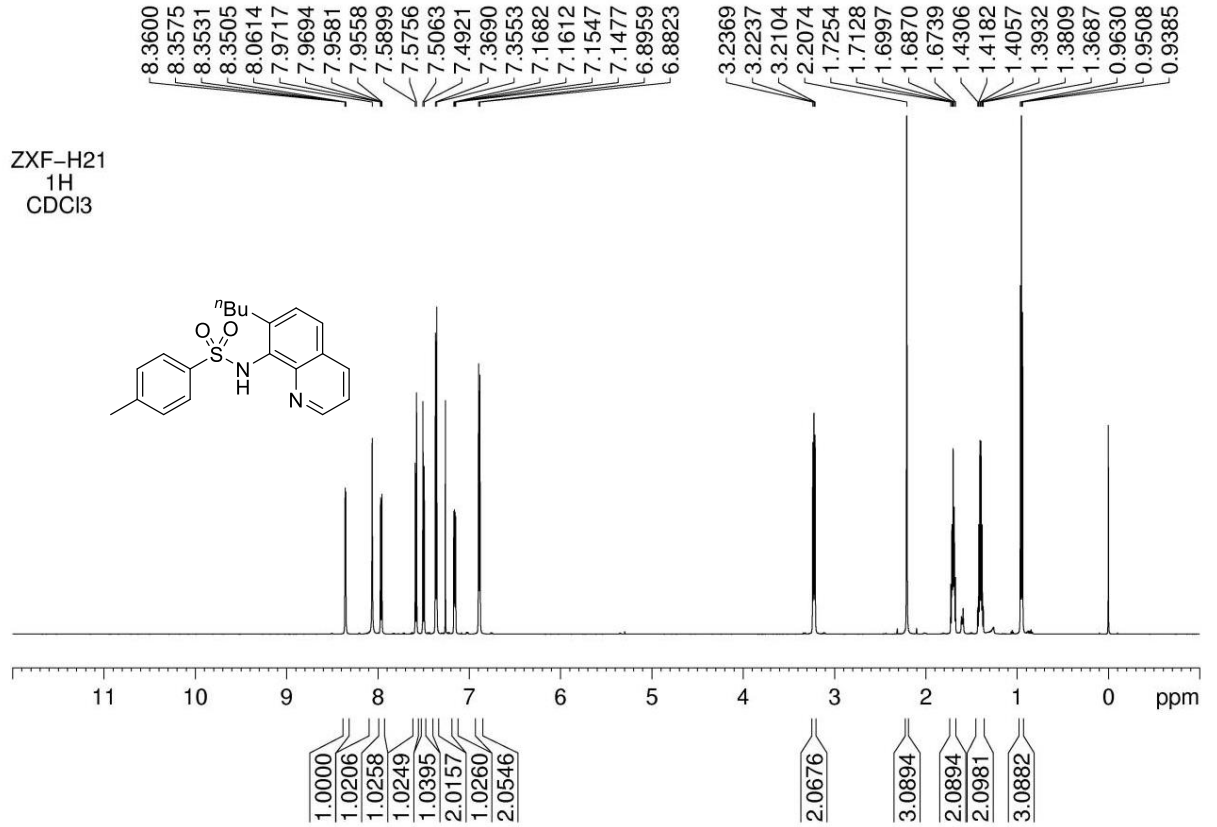
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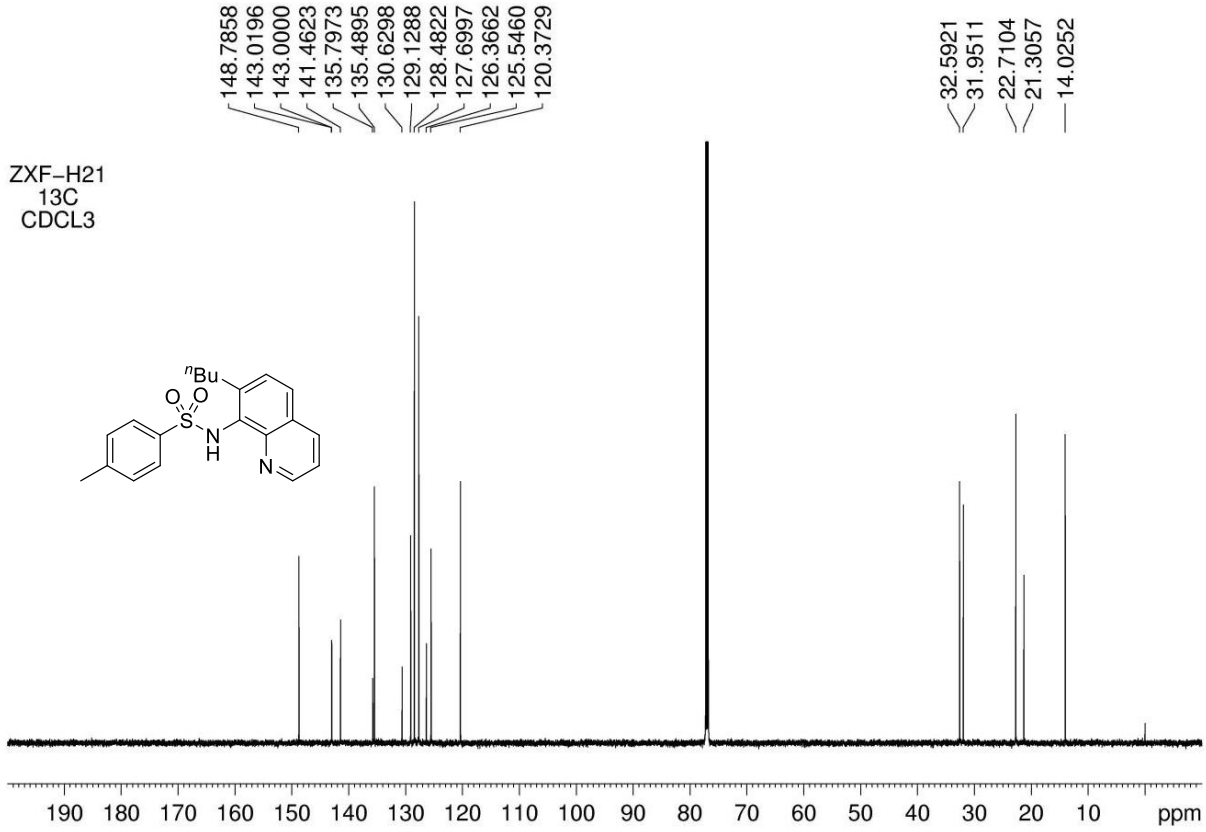
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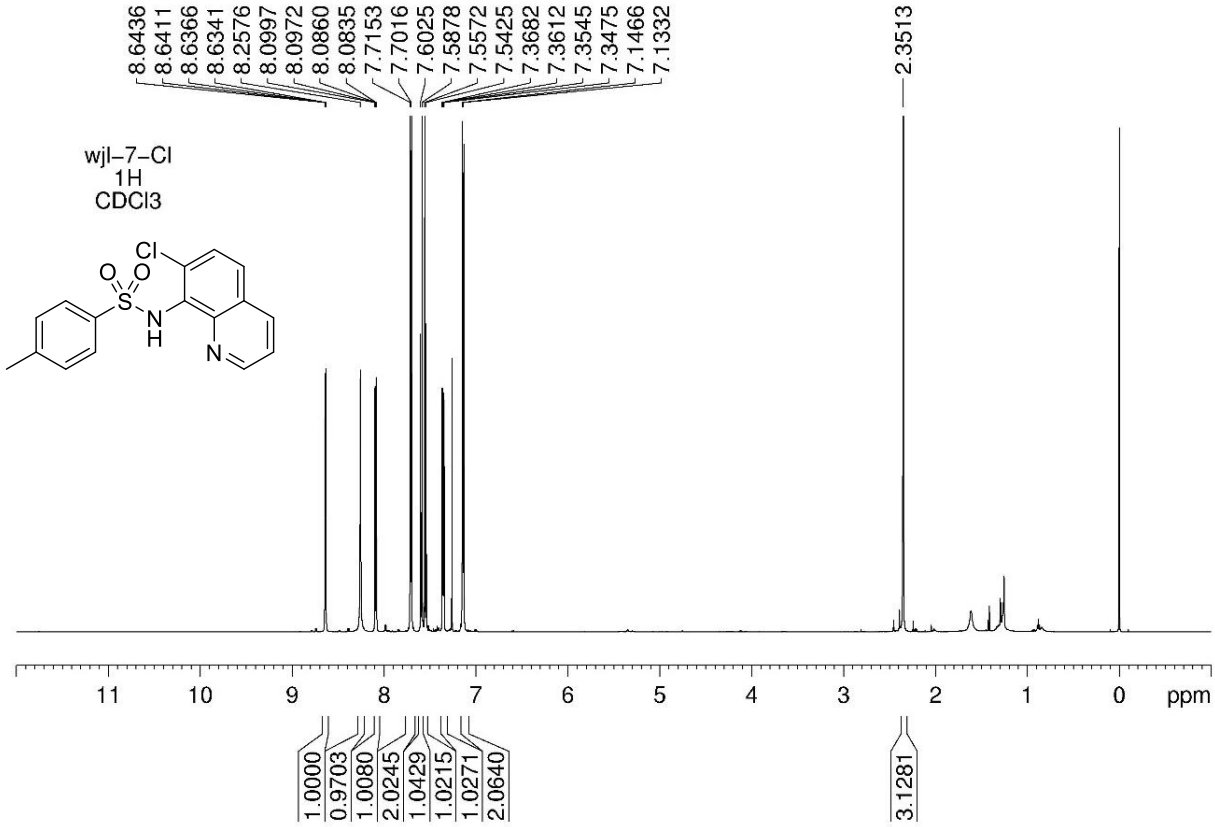
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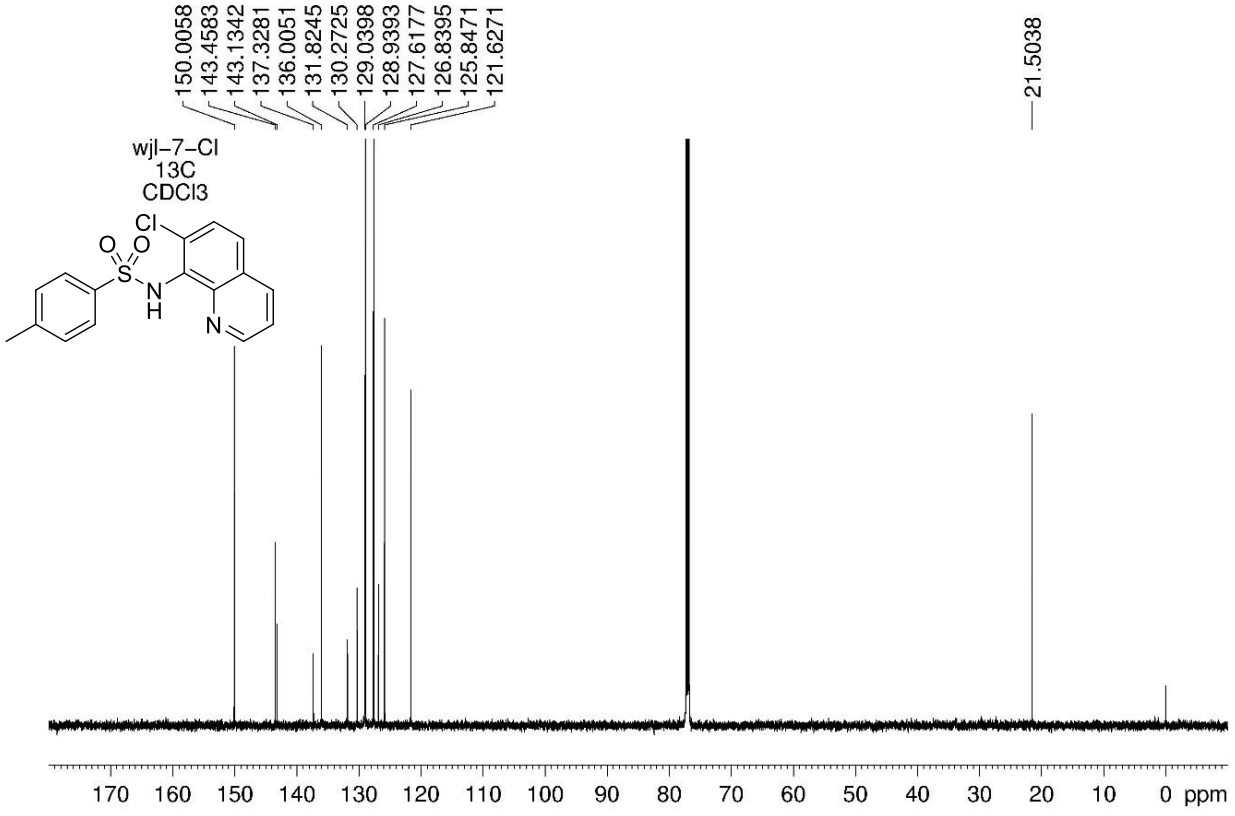
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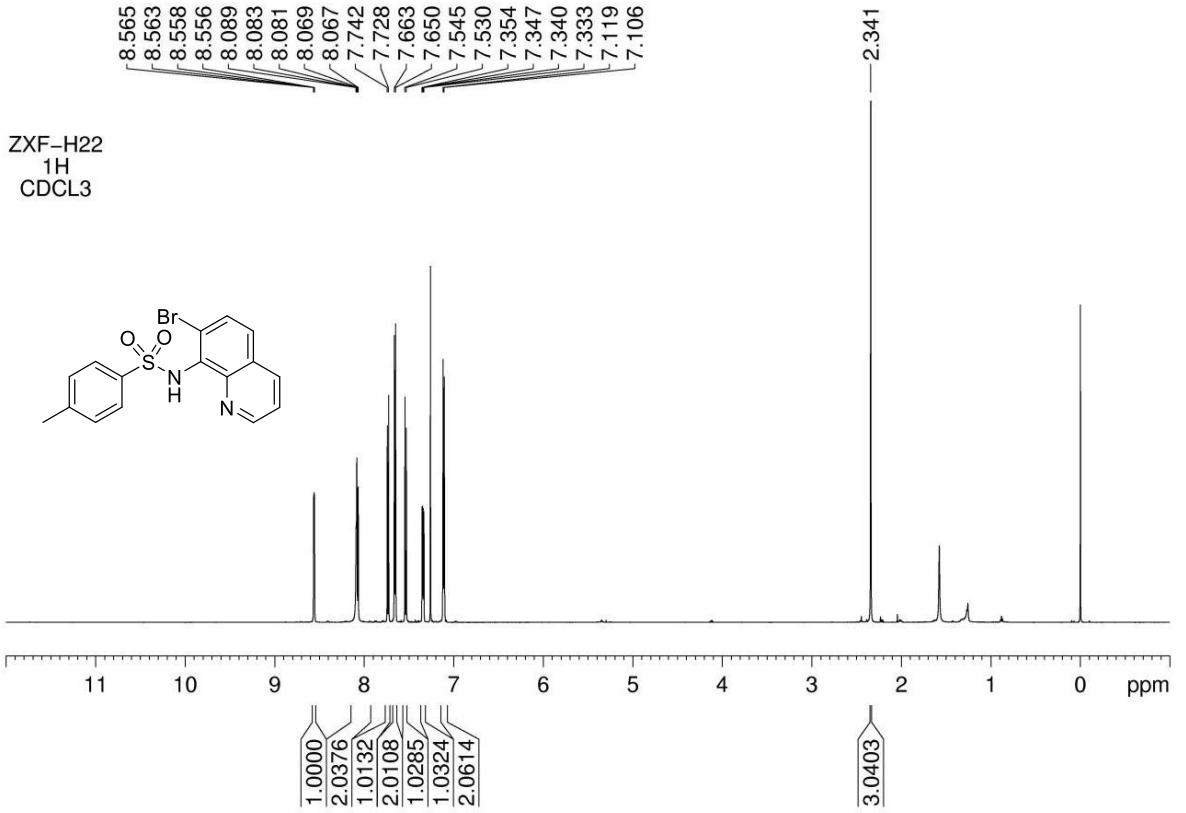
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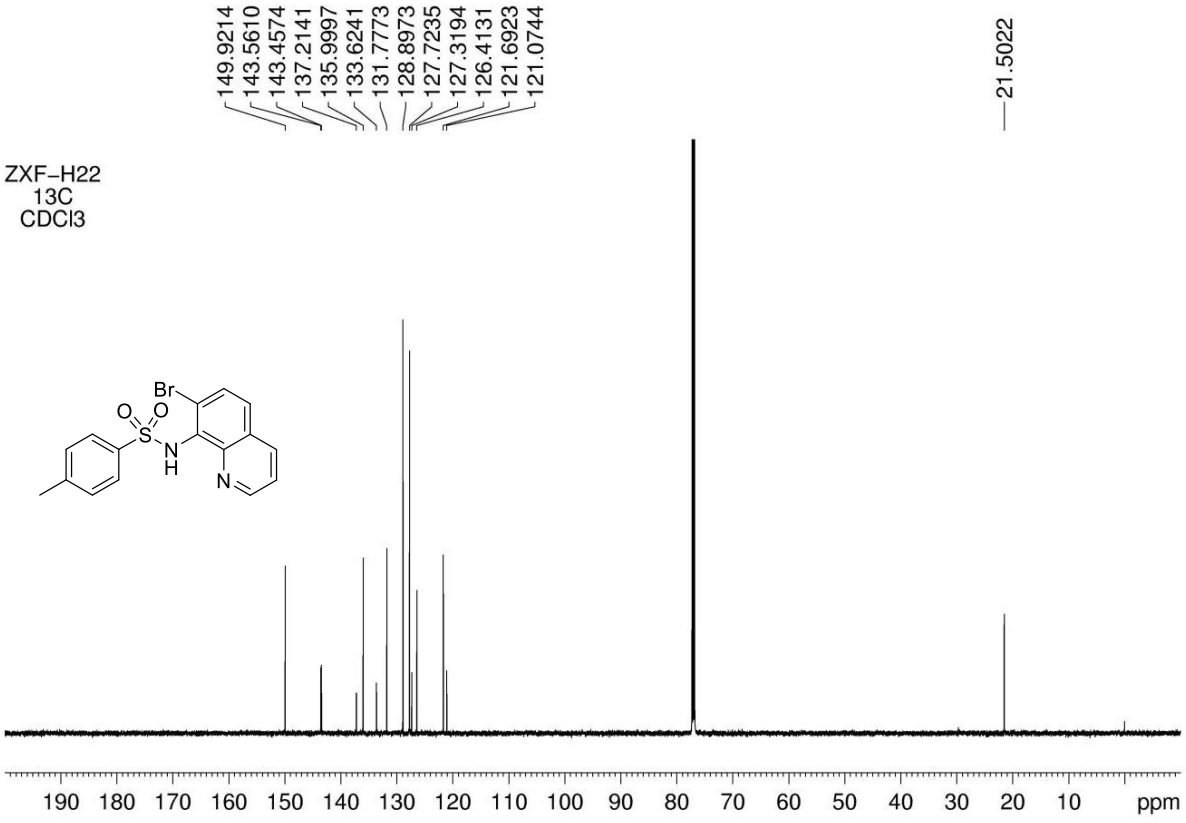
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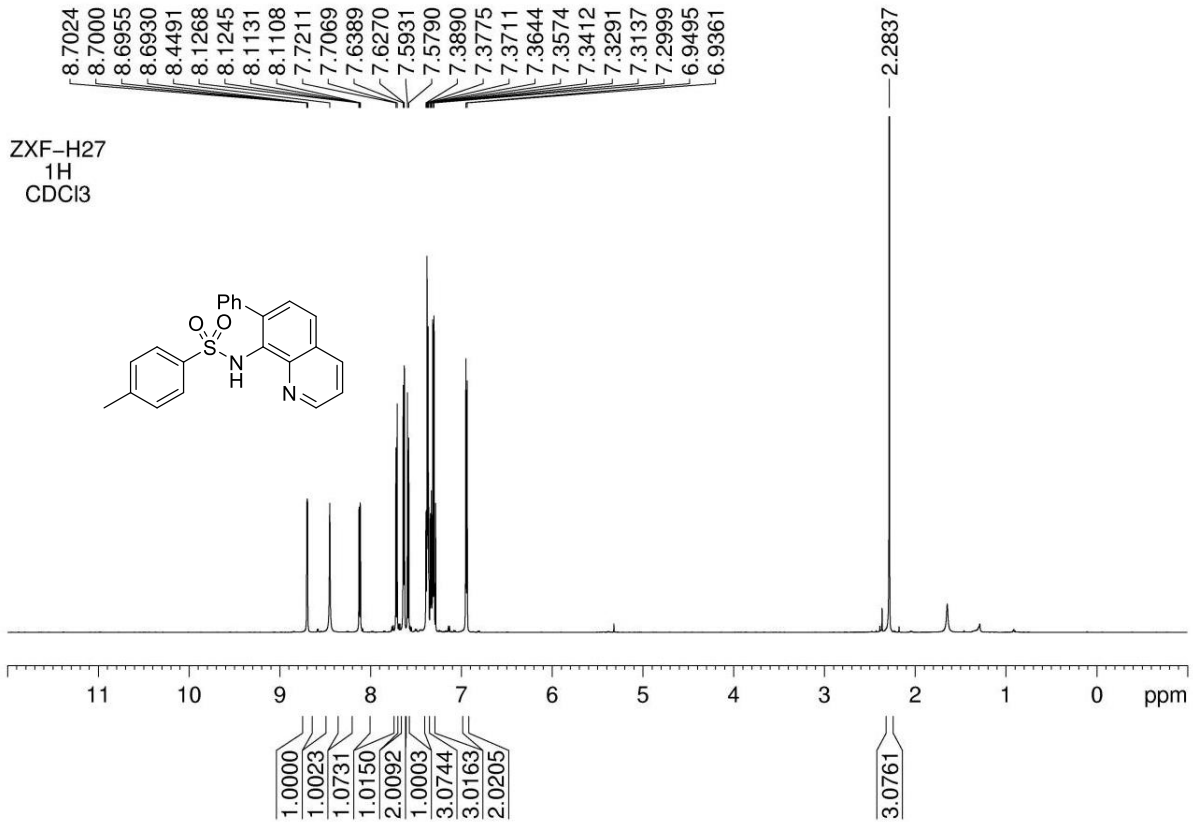
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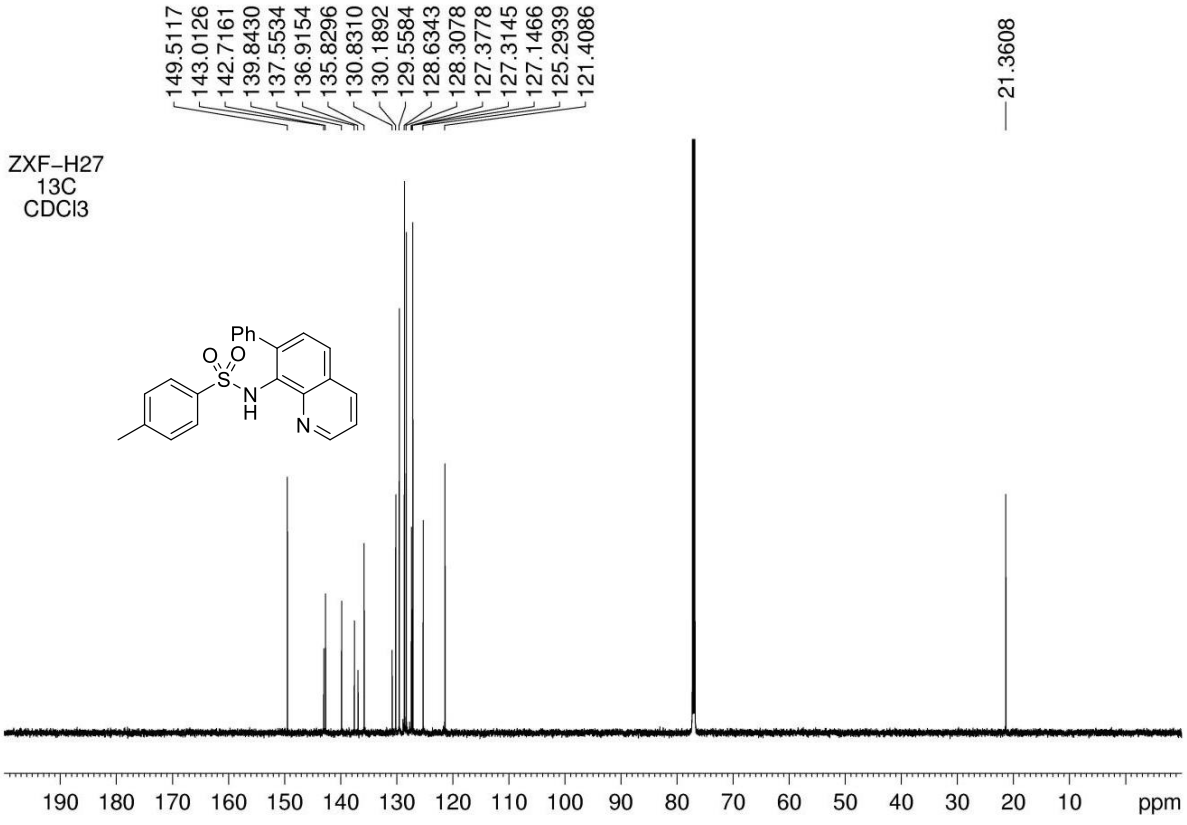
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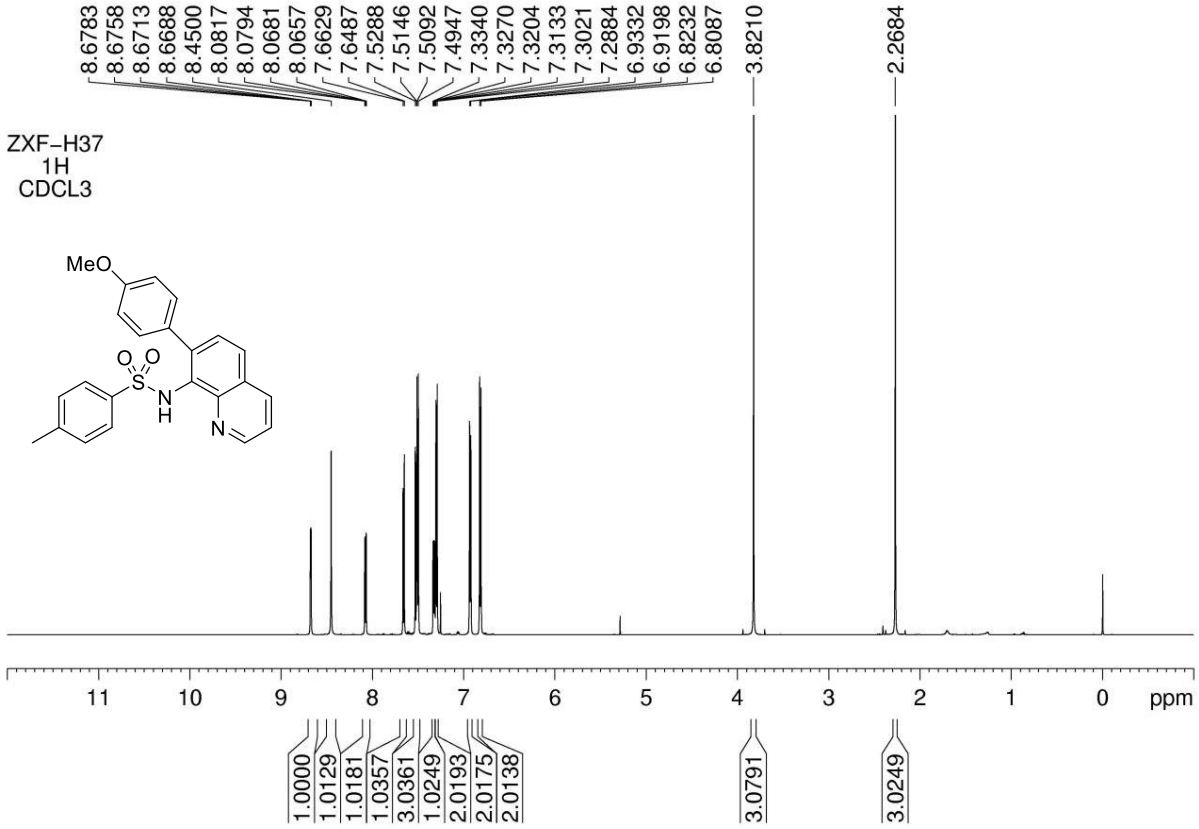
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7.5288
7.5146
7.5092
7.4947
7.3340
7.3270
7.3204
7.3133
7.3021
7.2884
6.9332
6.9198
6.8232
6.8087
3.8210
2.2684
ZXF-H37
1H
CDCL3
MeO
O
O
S
N
H
N
11
10
9
8
7
6
5
4
3
2
1
0
ppm
1.0000
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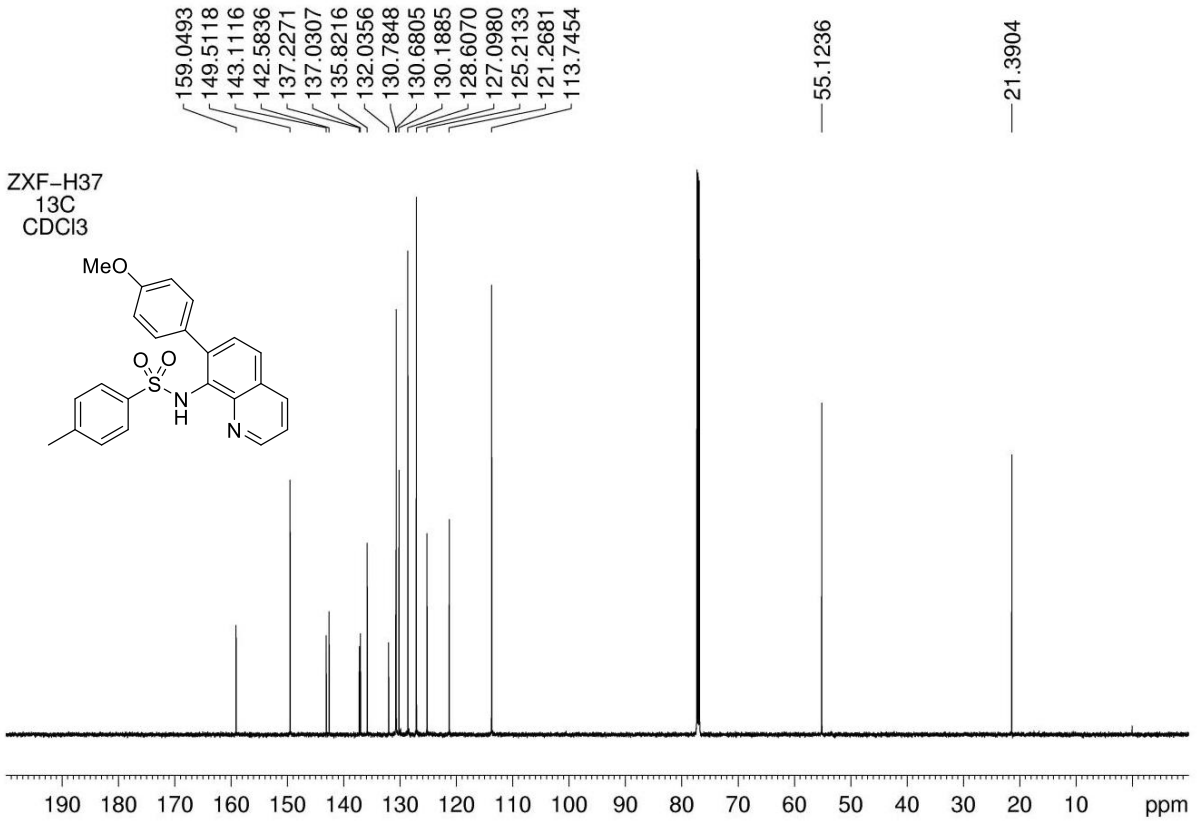
3.0249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128.6070
127.0980
125.2133
121.2681
113.7454
55.1236
21.3904
ZXF-H37
13C
CDCl3
MeO
O
O
S
N
H
N
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70
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30
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10
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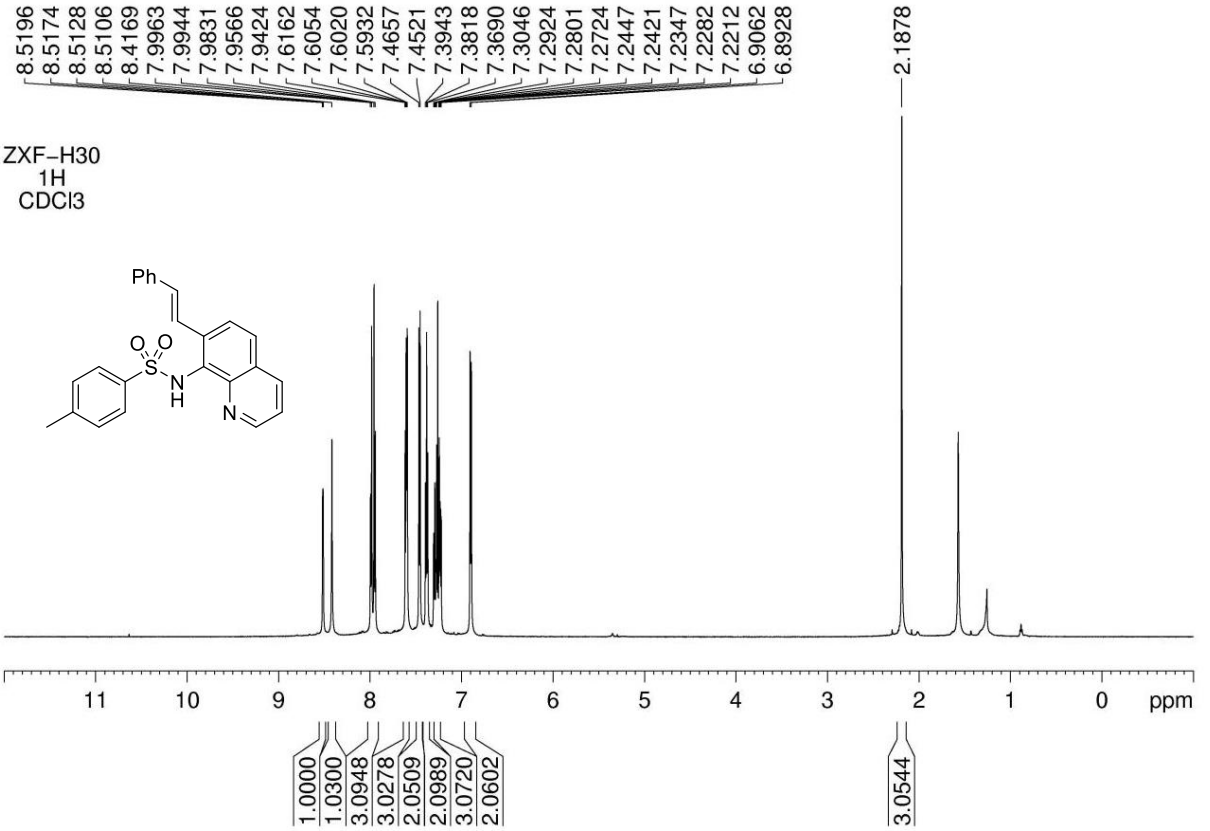
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7.6162
7.6054
7.6020
7.5932
7.4657
7.4521
7.3943
7.3818
7.3690
7.3046
7.2924
7.2801
7.2724
7.2447
7.2421
7.2347
7.2282
7.2212
6.9062
6.8928
2.1878
ZXF-H30
1H
CDCl3
Ph
O
O
S
N
H
N
11
10
9
8
7
6
5
4
3
2
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2.0989
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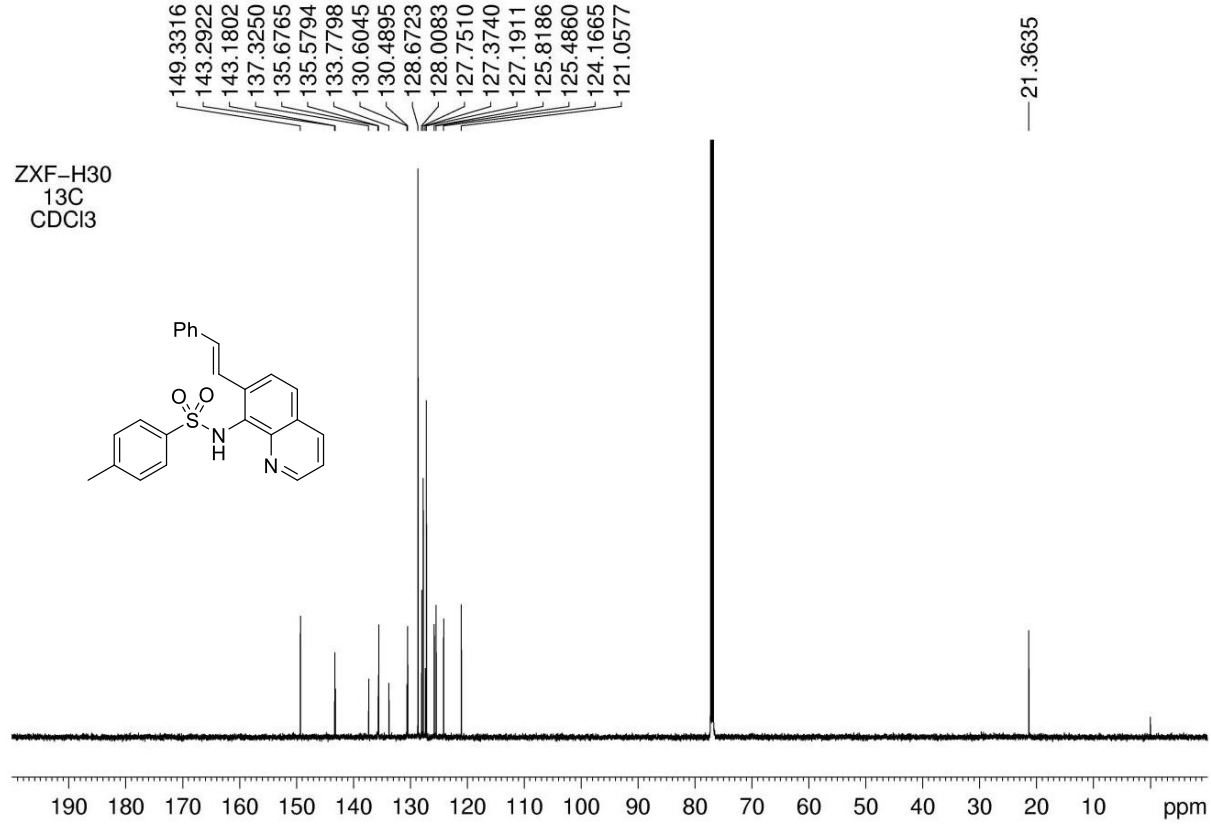
3.0544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127.7510
127.3740
127.1911
125.8186
125.4860
124.1665
121.0577
21.3635
ZXF-H30
13C
CDCl3
Ph
O
O
S
N
H
N
190
180
170
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150
140
130
120
110
100
90
80
70
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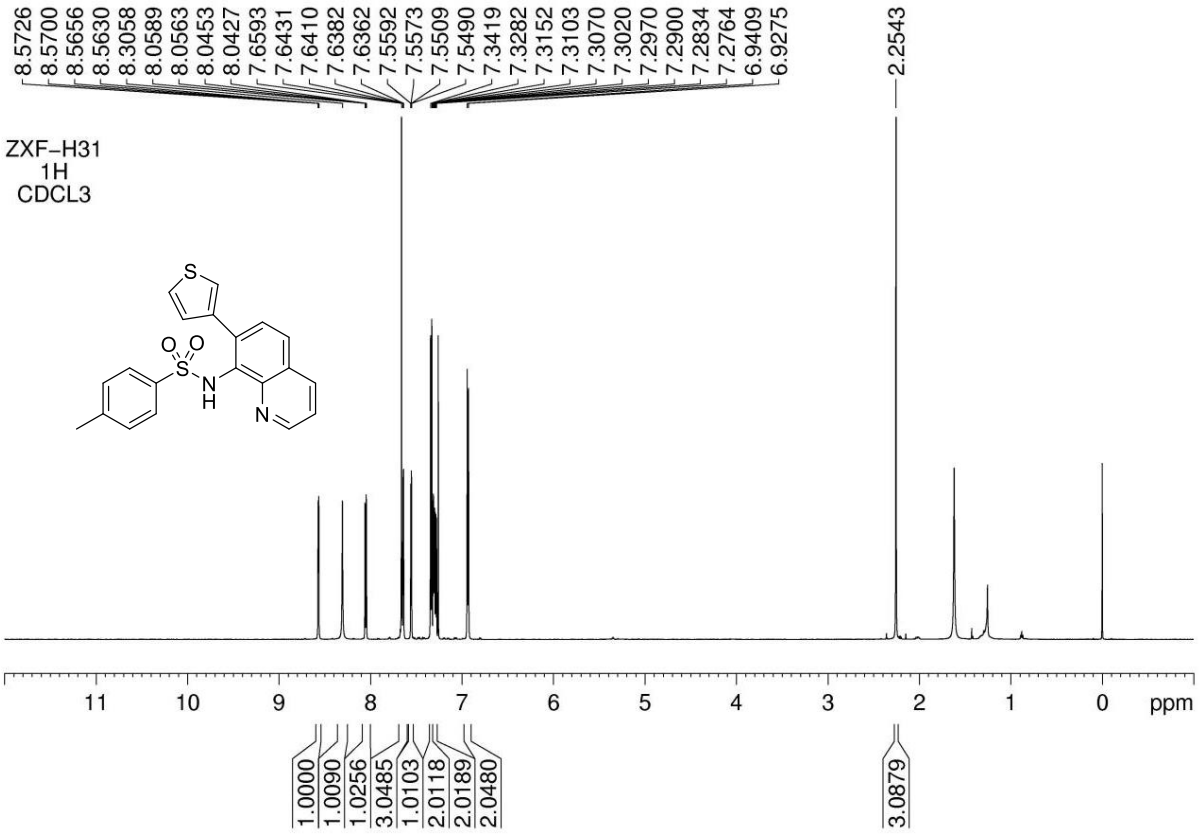
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8.0563
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8.0427
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7.6431
7.6410
7.6382
7.6362
7.5592
7.5573
7.5509
7.5490
7.3419
7.3282
7.3152
7.3103
7.3070
7.3020
7.2970
7.2900
7.2834
7.2764
6.9409
6.9275
2.2543
ZXF-H31
1H
CDCL3
11
10
9
8
7
6
5
4
3
2
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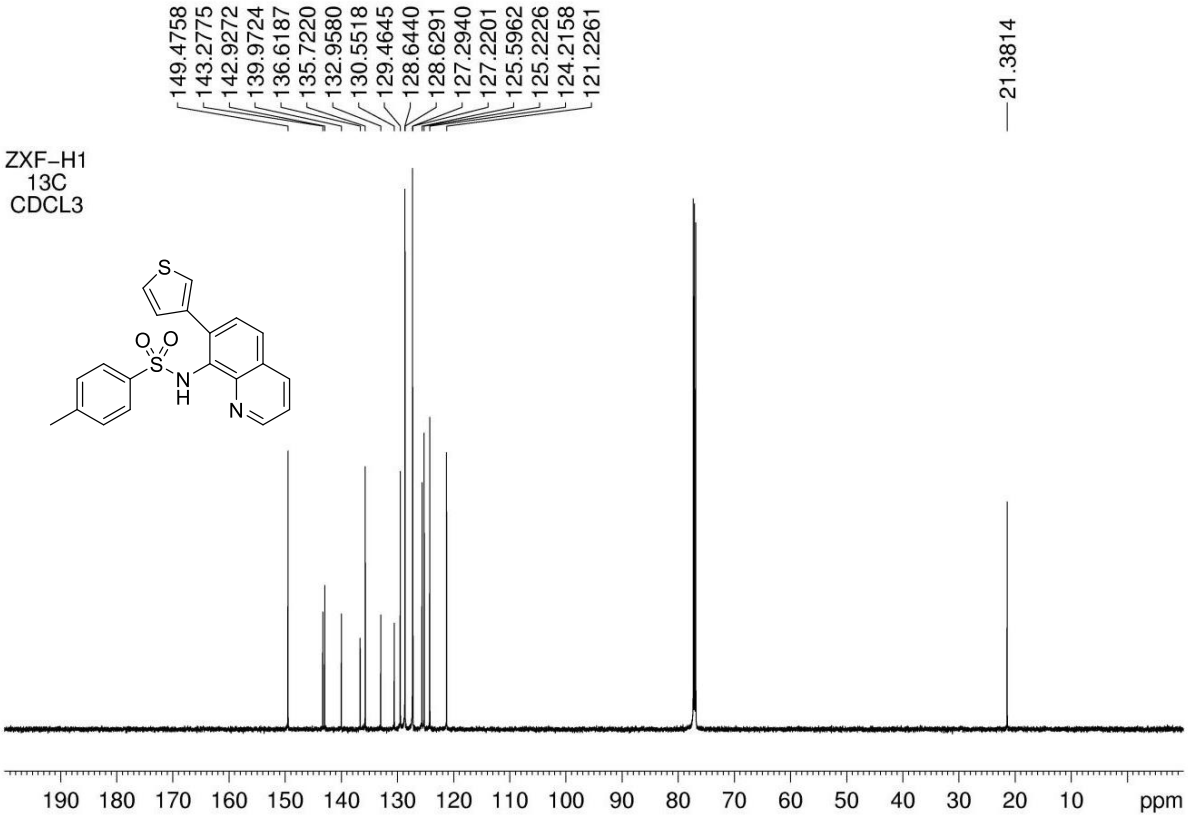
2.0118
2.0189
2.0480
3.0879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139.9724
136.6187
135.7220
132.9580
130.5518
129.4645
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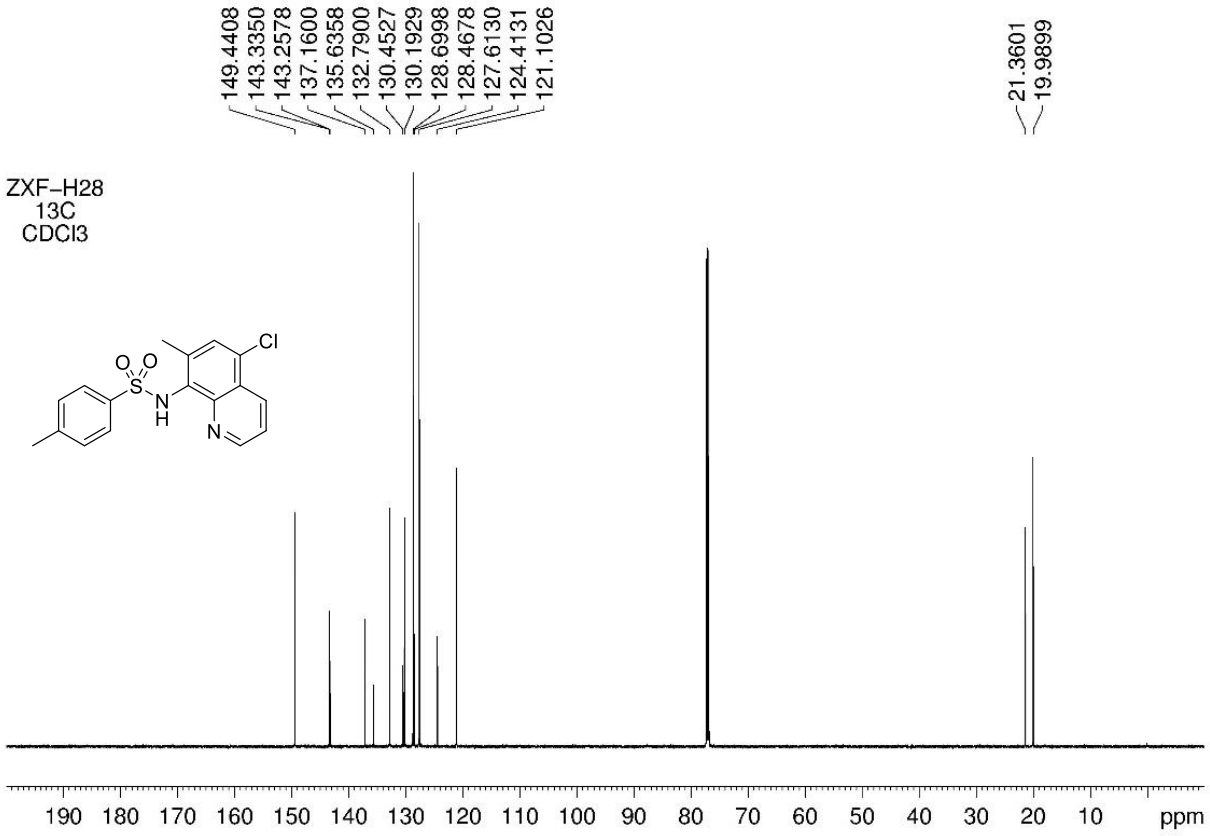
127.2940
127.2201
125.5962
125.2226
124.2158
121.2261
21.3814
ZXF-H1
13C
CDCL3
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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124.4131
121.1026
21.3601
19.9899
ZXF-H28
13C
CDCl3
Cl
O
O
S
N
H
N
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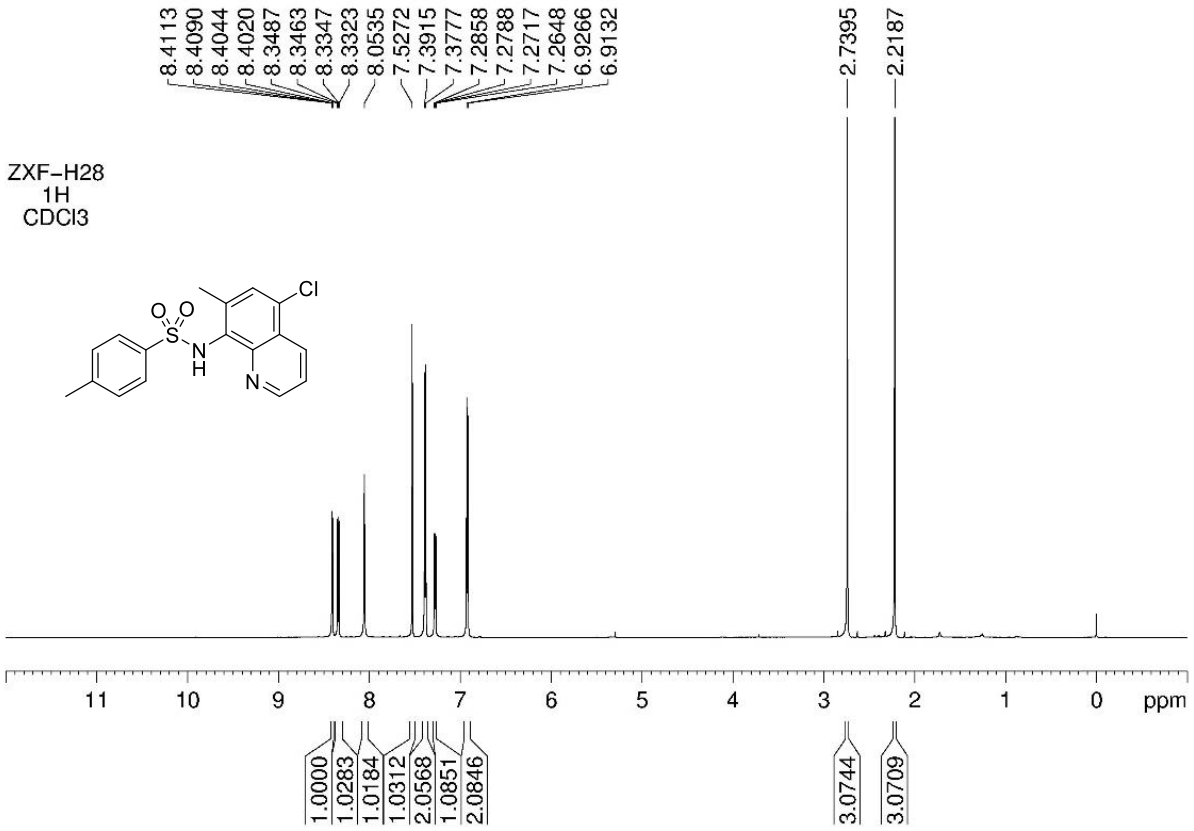
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8.3463
8.3347
8.3323
8.0535
7.5272
7.3915
7.3777
7.2858
7.2788
7.2717
7.2648
6.9266
6.9132
2.7395
2.2187
ZXF-H28
1H
CDCl3
Cl
O
O
S
N
H
N
11 10 9 8 7 6 5 4 3 2 1 0 ppm
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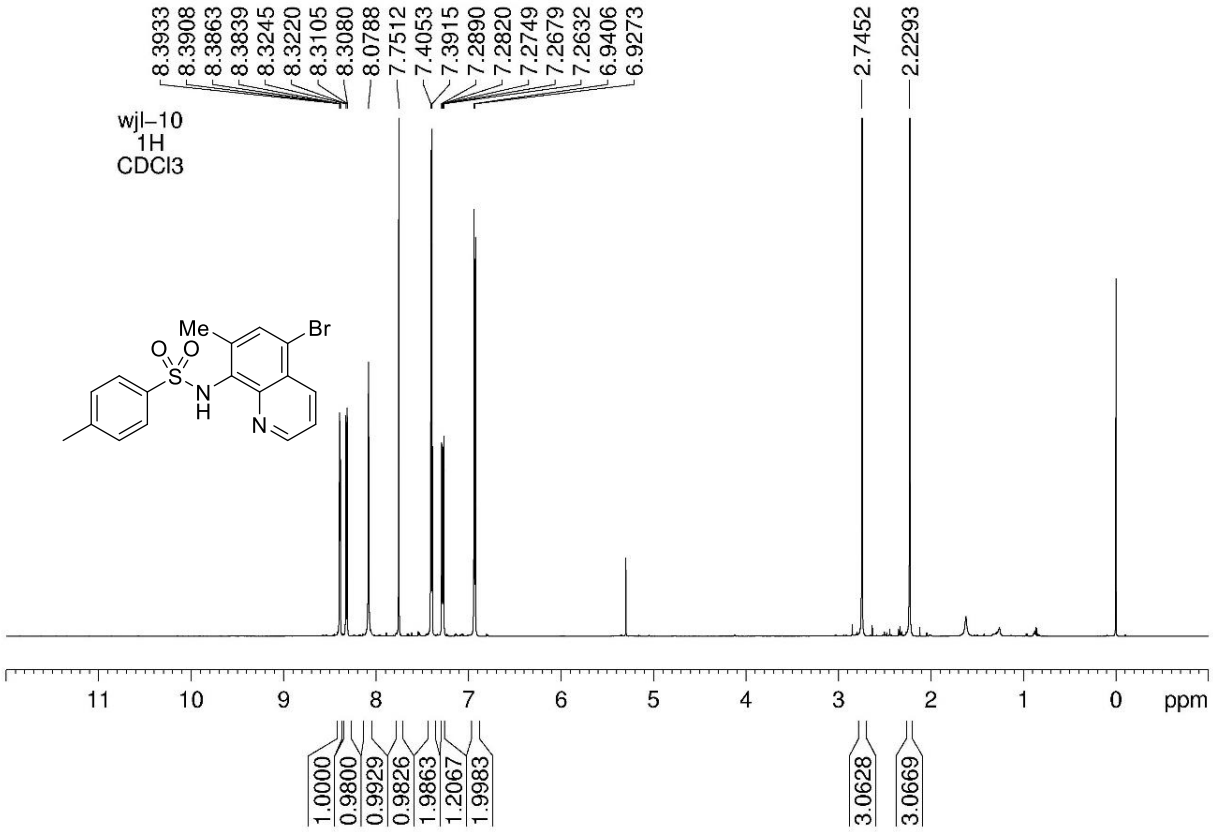
1.0851
2.0846
3.0744
3.0709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8.3220
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8.0788
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7.4053
7.3915
7.2890
7.2820
7.2749
7.2679
7.2632
6.9406
6.9273
2.7452
2.2293
wjl-10
1H
CDCl3
Me
Br
O
O
S
N
H
N
11
10
9
8
7
6
5
4
3
2
1
0
ppm
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0.9800
0.9929
0.9826
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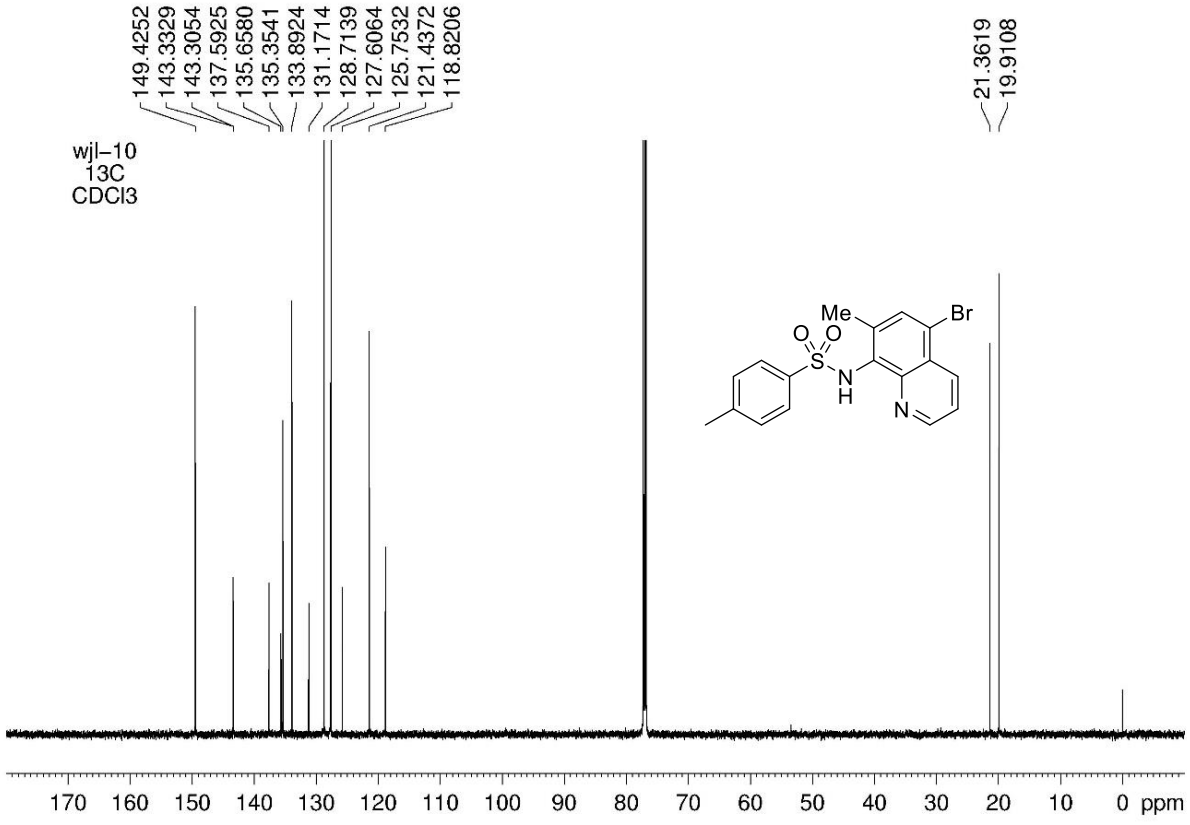
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125.7532
121.4372
118.8206
21.3619
19.9108
wjl-10
13C
CDCl3
Me
Br
O
O
S
N
H
N
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
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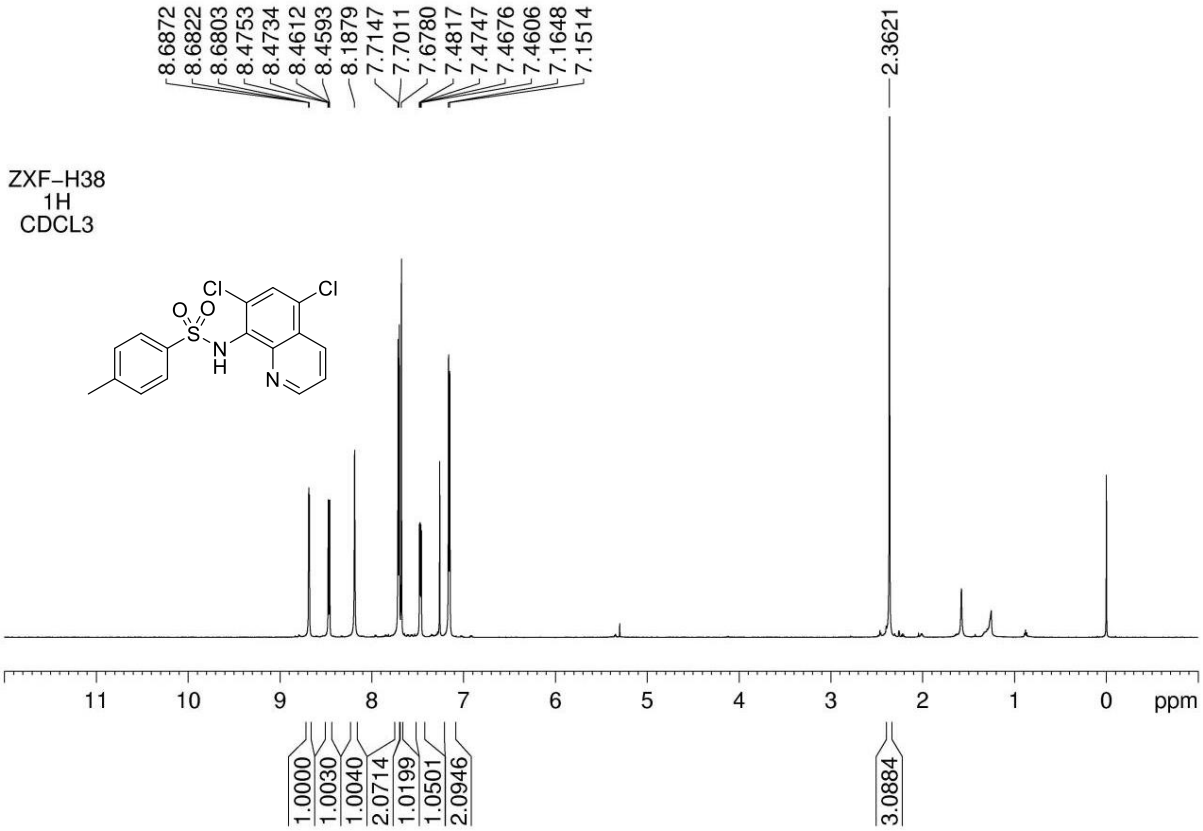
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8.4593
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7.6780
7.4817
7.4747
7.4676
7.4606
7.1648
7.1514
2.3621
ZXF-H38
1H
CDCL3
Cl
Cl
O
O
S
N
H
N
11
10
9
8
7
6
5
4
3
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ppm
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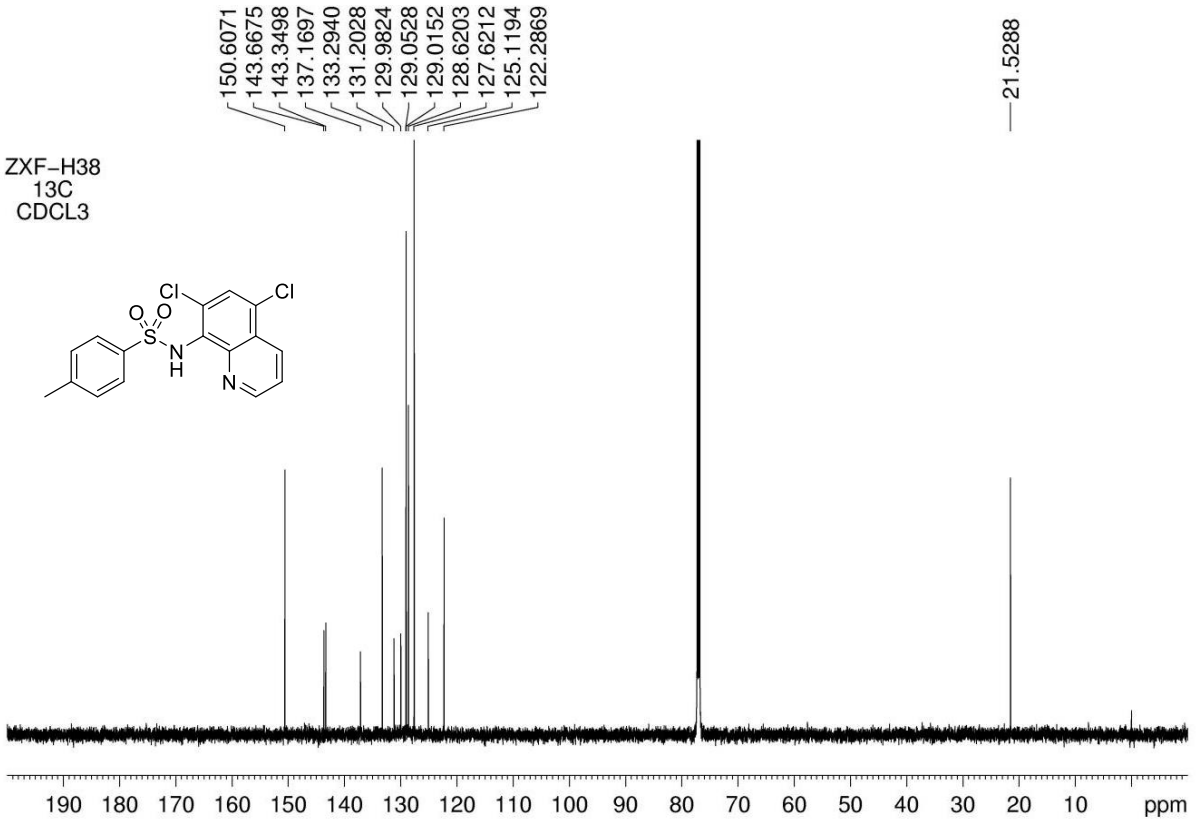
3.0884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125.1194
122.2869
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ZXF-H38
13C
CDCL3
Cl
Cl
O
O
S
N
H
N
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150
140
130
120
110
100
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80
70
60
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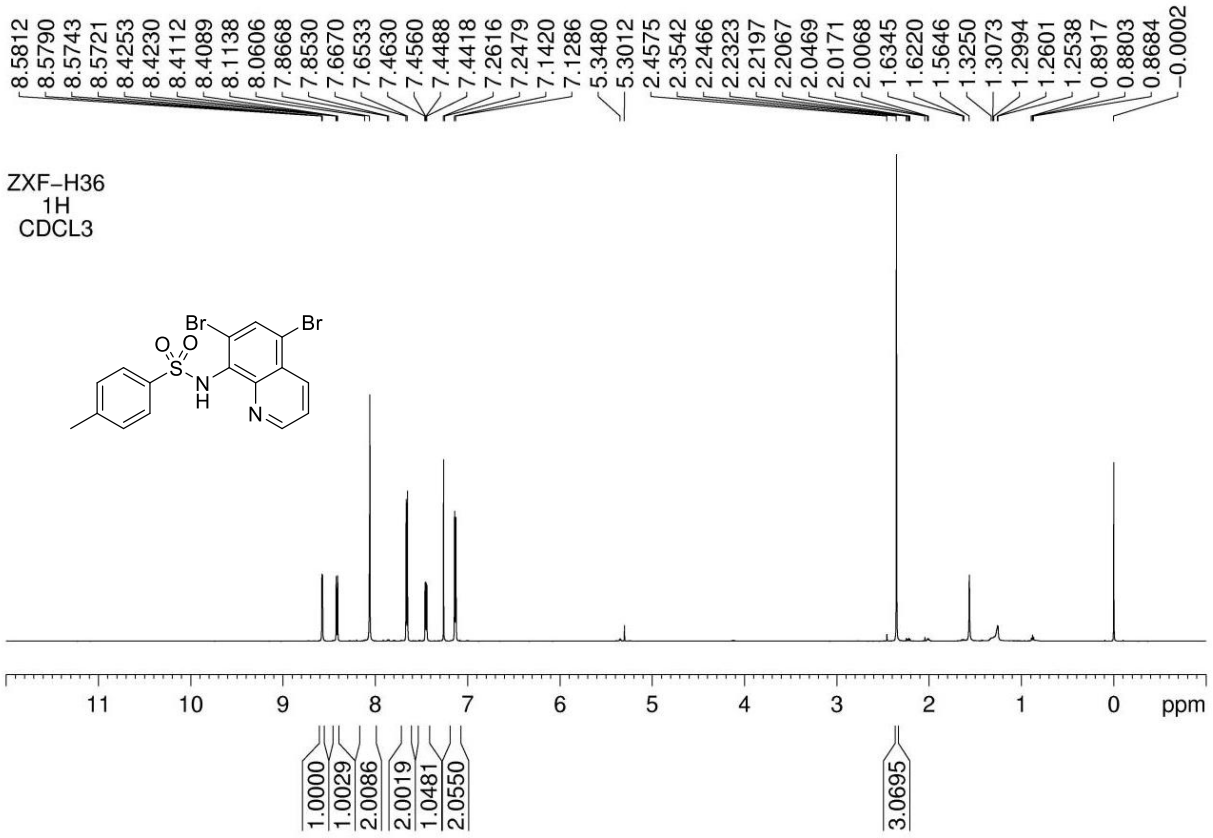
30
20
10
ppm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8.4112
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8.1138
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7.8530
7.6670
7.6533
7.4630
7.4560
7.4488
7.4418
7.2616
7.2479
7.1420
7.1286
5.3480
5.3012
2.4575
2.3542
2.2466
2.2323
2.2197
2.2067
2.0469
2.0171
2.0068
1.6345
1.6220
1.5646
1.3250
1.3073
1.2994
1.2601
1.2538
0.8917
0.8803
0.8684
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ZXF-H36
1H
CDCL3
Br
Br
O
O
S
N
H
N
11
10
9
8
7
6
5
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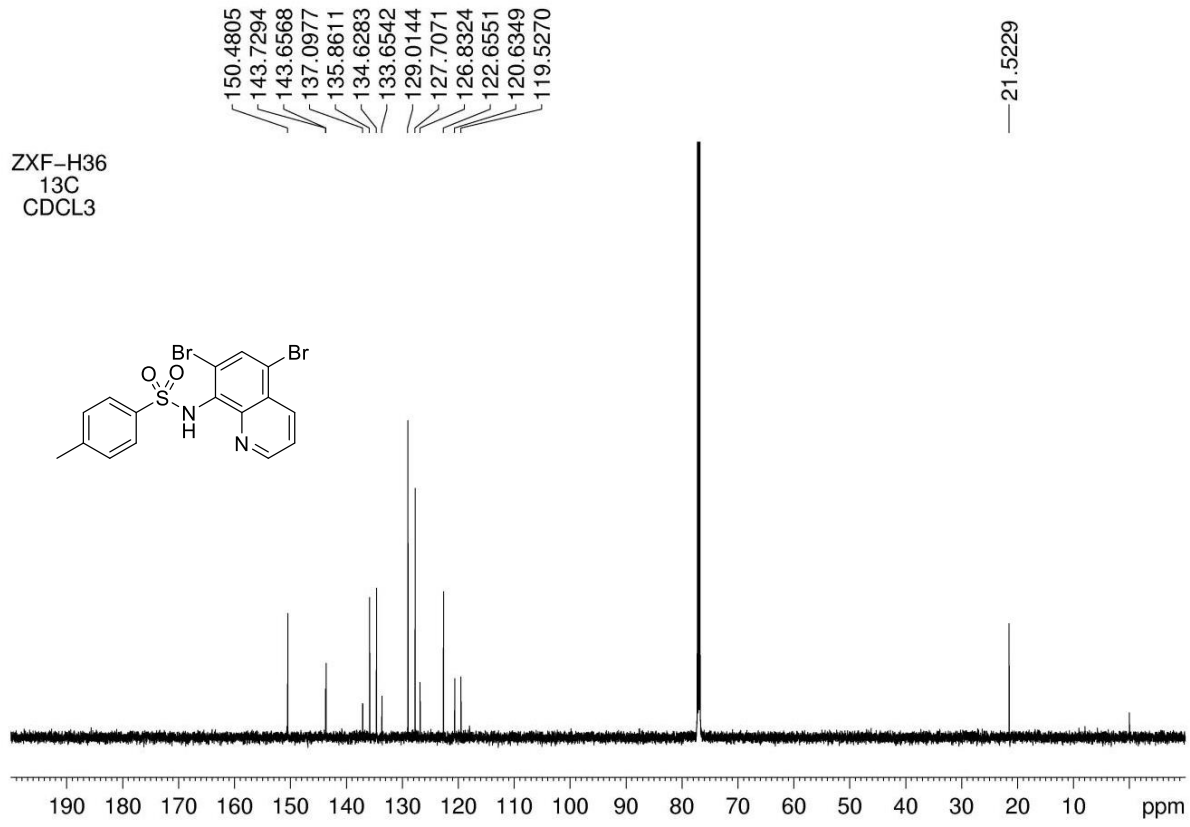
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122.6551
120.6349
119.5270
21.5229
ZXF-H36
13C
CDCL3
Br
Br
O
O
S
N
H
N
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm