Supplementary Information

De novo construction of C-O axial chirality via cobalt-catalyzed atroposelective C-H activation/annulation

Yanbo Zhang,[a†] Yichao Xie,[a†] Baicheng Guo,[a] Yingchao Dou,*[a] Dandan Yang,*[a] and Jun-Long Niu*[a,b]

[a]College of Chemistry, Pingyuan Laboratory, Zhengzhou University, Zhengzhou 450001. China.

[b]State Key Laboratory of Coking Coal Resources Green Exploitation, Zhengzhou University, Zhengzhou 450001, China.

†These authors contributed equally to this work: Yanbo Zhang, Yichao Xie.

*Corresponding authors. Email: yingchaodou@zzu.edu.cn; yangdandan@zzu.edu.cn; niujunlong@zzu.edu.cn

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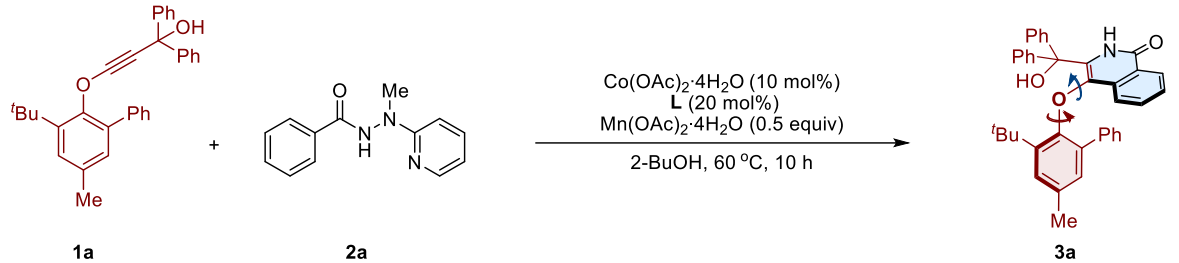
1. General Information

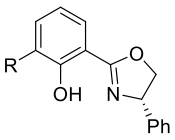
All materials were commercially obtained and used without further purification. ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded at 600 MHz and 400 MHz, 151 MHz and 101MHz, 565 MHz and 377 MHz respectively on a Bruker DPX instrument using Me_4Si as an internal standard. Chemical shift multiplicities 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). Melting points were measured on a WC-1 instrument and uncorrected, and the melting point is determined using compounds that have not been recrystallized. High-resolution mass spectra (HRMS) were recorded on a Quadrupole Time-of-flight Mass Spectrometry (WATERS, G2-XS QTOF, ESI). The conversion of starting materials was monitored by thin layer chromatography (TLC) using silica gel plates (silica gel 60 F254 0.25 mm), and components were visualized by observation under UV light (254 and 365 nm). Column chromatography was performed on silica gel 200-300 mesh. The enantiomeric excess (ee) of the products was determined by high-performance liquid chromatography (HPLC) with a chiral stationary phase in comparison with the authentic racemate sample. Optical Rotations were measured on an Anton Paar MCP 200 polarimeter at 589 nm using a thermostated cell (10 cm). Specific rotations are reported as follows: $[\boldsymbol{\alpha}]_{D}^{25}$ = value (c = concentration in g/100 mL, solvent). The absolute configuration of **3za** and **5a** was assigned by the X-ray analysis. Single-crystal X-ray diffraction data were collected on a Rigaku XtaLAB Pro diffractometer with Cu-Kα radiation (λ =1.54184 Å) for compound **3za** and **5a**. The structure was solved by Direct Method of SHELXS-97 and refined by full-matrix least-squares techniques using the SHELXL-97 program.

2. Optimization of reaction conditions

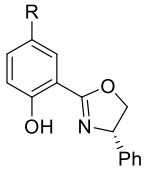
Table S1. Optimization of ligands[a]



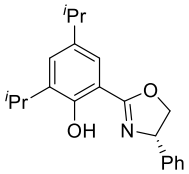
1a **2a** **3a**



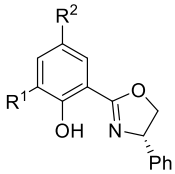
R = H, **L1**: 91% yield, 75% ee
R = Me, **L2**: 56% yield, 85% ee
R = Ph, **L3**: 17% yield, 95% ee
R = OMe, **L4**: 23% yield, 90% ee



R = Cl, **L5**: 79% yield, 71% ee
R = Br, **L6**: 60% yield, 69% ee
R = OMe, **L7**, 91% yield, 75% ee



L8, 46% yield, 96% ee

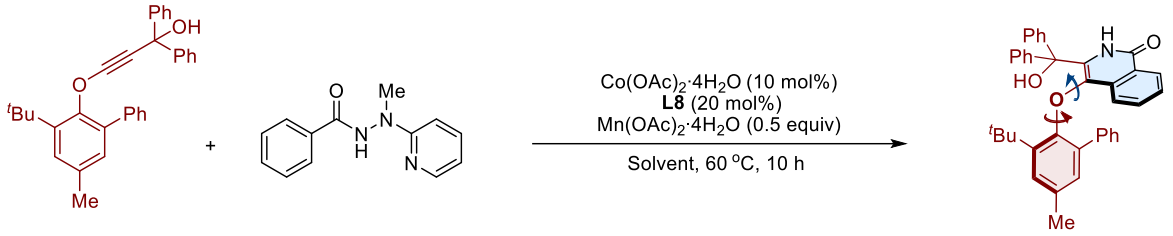


$R^1 = R^2 = {}^t$Bu, **L9**, trace
$R^1 = {}^t$Bu, R^2 = H, **L10**, trace
$R^1 = R^2$ = Cl, **L11**, 37% yield, 86% ee

Entry	Ligand	Yield (%)	ee (%)
1	**L1**	91	75
2	**L2**	56	85
3	**L3**	17	95
4	**L4**	23	90
5	**L5**	79	71
6	**L6**	60	69
7	**L7**	91	75
8	**L8**	**46**	**96**
9	**L9**	trace	--
10	**L10**	trace	--
11	**L11**	37	86

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.12 mmol, 54 mg), **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2 \cdot 4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), Ligand (0.02 mmol, 20 mol%), $Mn(OAc)_2 \cdot 4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv) in 2-BuOH (1 mL) at 60 °C for 10 h, isolated yield. The ee value was determined by HPLC analysis.

Table S2. Optimization of solvent[a]

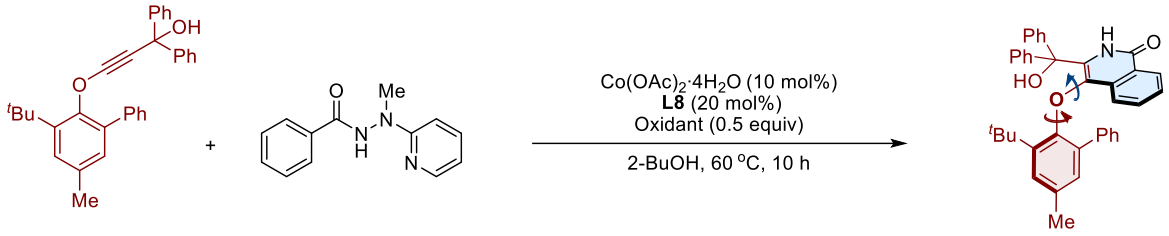


1a **2a** **3a**

Entry	Solvent	Yield (%)	ee (%)
1	DCE	3	69
2	1,4-Dioxane	6	57
3	THF	trace	--
4	MeCN	trace	--
5	MeOH	3	90
6	EtOH	21	94
7	*n*-PrOH	17	93
8	*n*-BuOH	24	89
9	**2-BuOH**	**46**	**96**
10	*t*-BuOH	45	95
12	2-Methyl-2-Butanol	33	95
13	Ethylene glycol	trace	--

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.12 mmol, 54 mg), **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv) in solvent (1 mL) at 60 °C for 10 h, isolated yield. The ee value was determined by HPLC analysis.

Table S3. Optimization of Oxidants.[a]

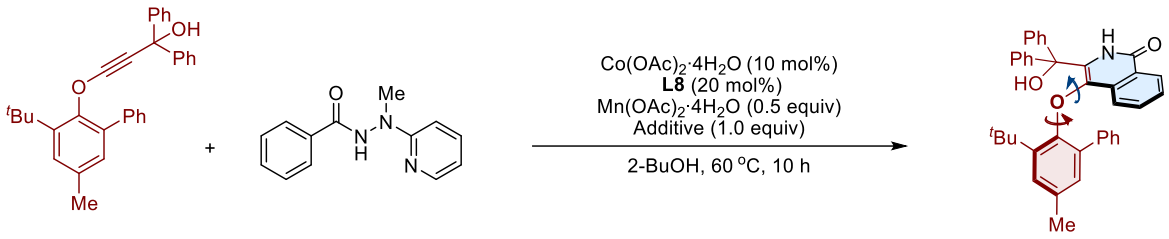


1a **2a** **3a**

Entry	Oxidant	Yield (%)	ee (%)
1	O_2	19	93
2	$Mn(OAc)_3·2H_2O$	15	95
3	**$Mn(OAc)_2·4H_2O$**	**46**	**96**
4	Ag_2CO_3	16	91
5	AgOAc	19	93

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.12 mmol, 54 mg), **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), Oxidant (0.5 equiv) in 2-BuOH (1 mL) at 60 °C for 10 h, isolated yield. The ee value was determined by HPLC analysis.

Table S4. Optimization of Additives.[a]

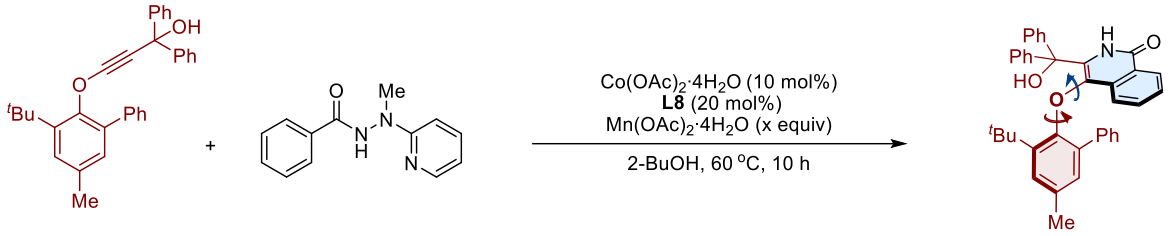


1a **2a** **3a**

Entry	Additive	Yield (%)	ee (%)
1	$NaOPiv·H_2O$	44	95
2	1-AdCOOH	33	94
3	PivOH	22	91
4	PhCOOH	21	95
5	Na_2CO_3	41	96

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.12 mmol, 54 mg), **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv), Additive (1.0 equiv) in 2-BuOH (1 mL) at 60 °C for 10 h, isolated yield. The ee value was determined by HPLC analysis.

Table S5. Optimization of amounts of Mn salt [a]

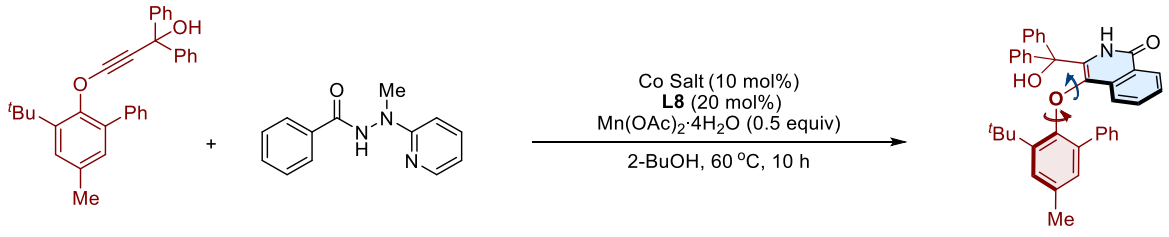


1a 2a 3a

Entry	Mn Salt (x equiv)	Yield (%)	ee (%)
1	0.1	11	96
2	0.3	39	96
3	**0.5**	**46**	**96**
4	0.6	45	96
5	0.7	43	96

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.12 mmol, 54 mg), **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (x equiv) in 2-BuOH (1 mL) at 60 °C for 10 h, isolated yield. The ee value was determined by HPLC analysis.

Table S6. Optimization of Co Salt.[a]

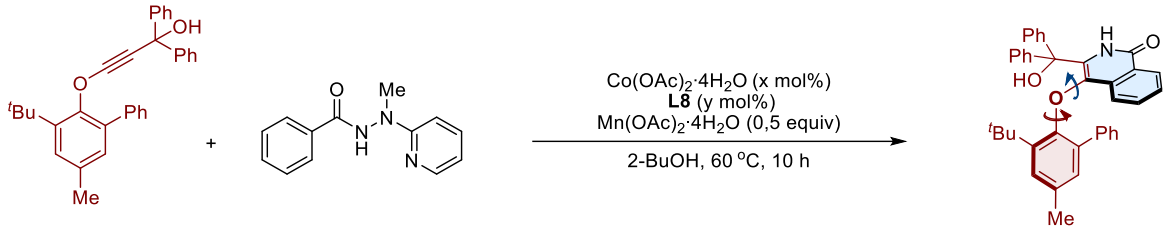


1a 2a 3a

Entry	Co salt	Yield (%)	ee (%)
1	**$Co(OAc)_2·4H_2O$**	**46**	**96**
2	$Co(OAc)_2$	40	95
3	$Co(acac)_2$	trace	--
4	$Co(ClO_4)_2·6H_2O$	3	93
5	CoF_3	N.R.	--
6	$CoBr_2$	18	95
7	$Co(SO_4)_2·7H_2O$	trace	--

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.12 mmol, 54 mg), **2a** (0.1 mmol, 23 mg, 1.0 eq), Co Salt (0.01 mmol, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv) in 2-BuOH (1 mL) at 60 °C for 10 h, isolated yield. The ee value was determined by HPLC analysis.

Table S7. Optimization of amounts of cobalt salt and ligand[a]

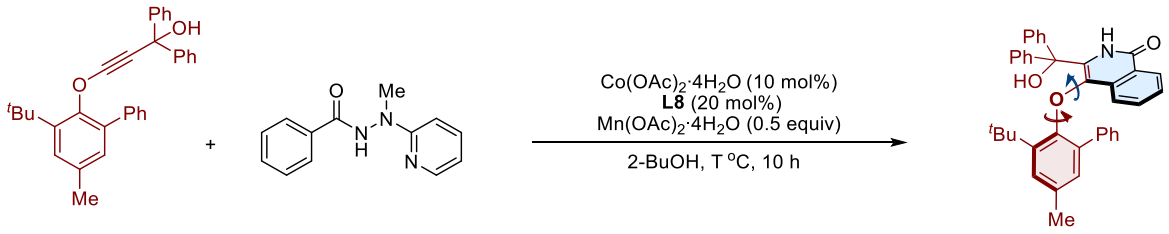


1a **2a** **3a**

Entry	X (%)	Y (%)	Yield (%)	ee (%)
1	**10**	**10**	**46**	**96**
2	20	20	43	96

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.12 mmol, 54 mg), **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (x mol%), **L8** (y mol%) $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv) in 2-BuOH (1 mL) at 60 °C for 10 h, isolated yield. The ee value was determined by HPLC analysis.

Table S8. Optimization of Temperature.[a]

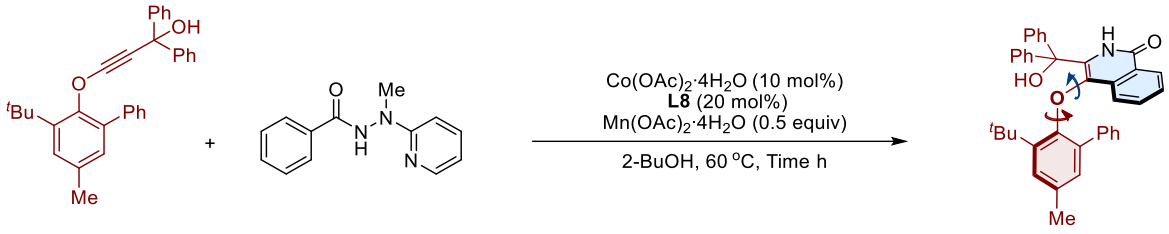


1a **2a** **3a**

Entry	T (°C)	Yield (%)	ee (%)
1	**60**	**45**	**96**
2	80	46	94
3	100	43	92

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.12 mmol, 54 mg), **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv) in 2-BuOH (1 mL) at T °C for 10 h, isolated yield. The ee value was determined by HPLC analysis.

Table S9. Optimization of time.[a]

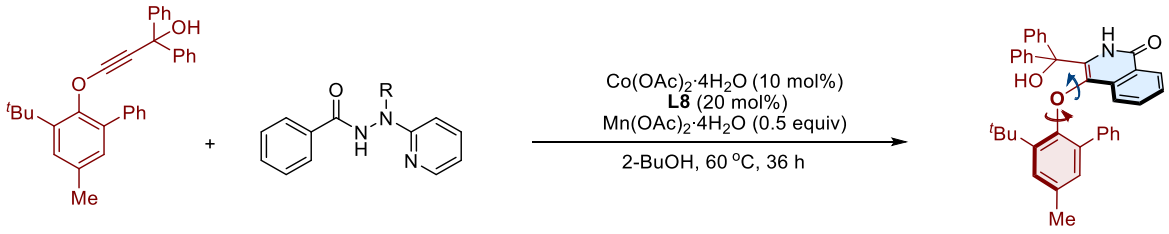


1a **2a** **3a**

Entry	Time (h)	Yield (%)	ee (%)
1	10	46	96
2	20	63	96
3	30	78	96
4	**36**	**89**	**96**

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.12 mmol, 54 mg), **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv) in 2-BuOH (1 mL) at 60 °C for Time h, isolated yield. The ee value was determined by HPLC analysis.

Table S10. Optimization of directing group.[a]

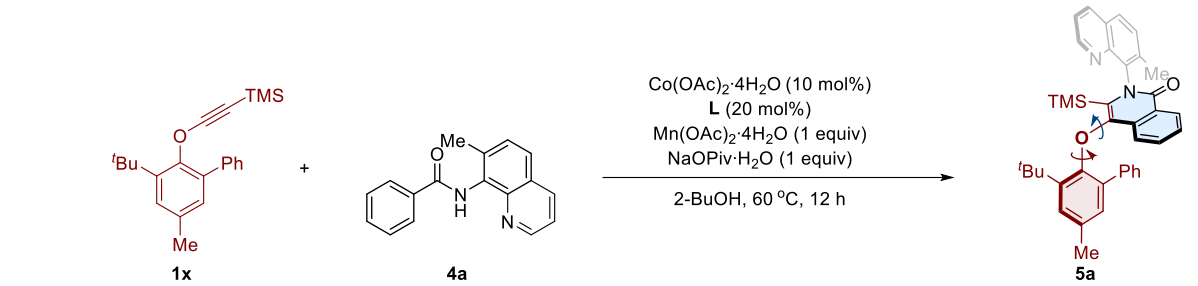


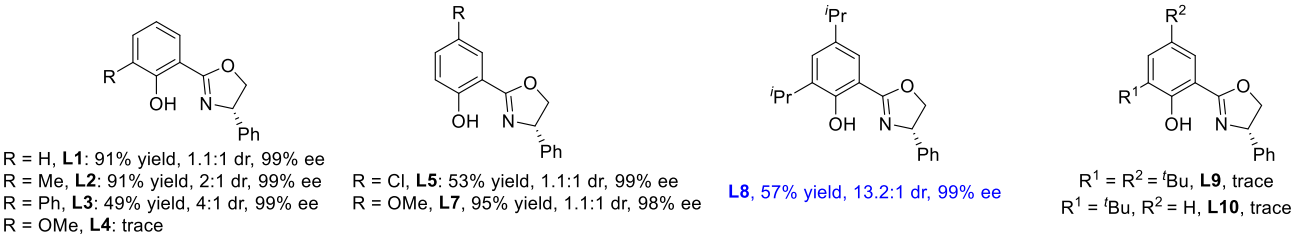
1a **2a** **3a**

Entry	R	Yield (%)	ee (%)
1	Et	81	96
2	Pr	77	96
3	Bn	18	96

[a]Unless otherwise mentioned, all reactions were carried out using **1a** (0.12 mmol, 54 mg), **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv) in 2-BuOH (1 mL) at 60 °C for 36 h, isolated yield. The ee value was determined by HPLC analysis.

Table S11. Optimization of ligands[a]





R = H, **L1**: 91% yield, 1.1:1 dr, 99% ee
R = Me, **L2**: 91% yield, 2:1 dr, 99% ee
R = Ph, **L3**: 49% yield, 4:1 dr, 99% ee
R = OMe, **L4**: trace

R = Cl, **L5**: 53% yield, 1.1:1 dr, 99% ee
R = OMe, **L7**, 95% yield, 1.1:1 dr, 98% ee

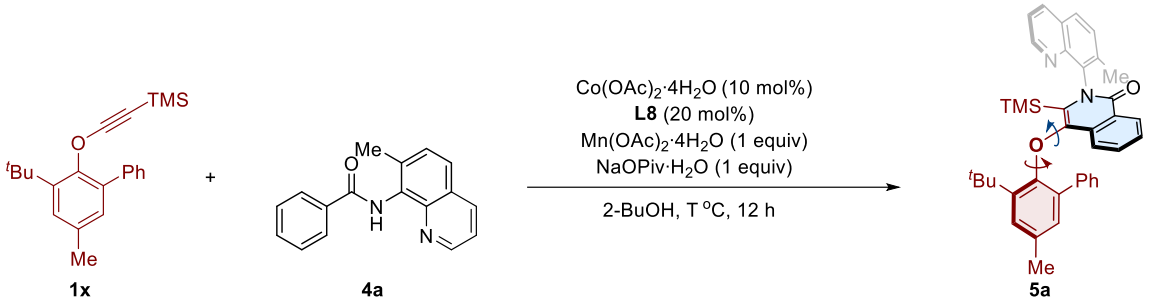
L8, 57% yield, 13.2:1 dr, 99% ee

$R^1 = R^2 = {}^t$Bu, **L9**, trace
$R^1 = {}^t$Bu, R^2 = H, **L10**, trace

Entry	Ligand	Yield (%)	dr	ee (%)
1	**L1**	91	1.1:1	99
2	**L2**	91	2:1	99
3	**L3**	49	4:1	99
4	**L4**	trace	--	--
5	**L5**	53	1.1:1	99
6	**L7**	95	1.1:1	98
7	**L8**	**57**	**13.2:1**	**99**
8	**L9**	trace	--	--
9	**L10**	trace	--	--

[a]Unless otherwise mentioned, all reactions were carried out using **1x** (0.12 mmol, 39.8 mg, 1.2 equiv), **4a** (0.1 mmol, 26.2 mg), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), Ligand (0.02 mmol, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.1 mmol, 24.5 mg, 1 equiv), $NaOPiv·H_2O$ (0.1 mmol, 14.4 mg, 1 equiv) in 2-BuOH (1 mL) at 60 °C under air for 12 h, isolated yield. ee and dr values were determined by HPLC analysis.

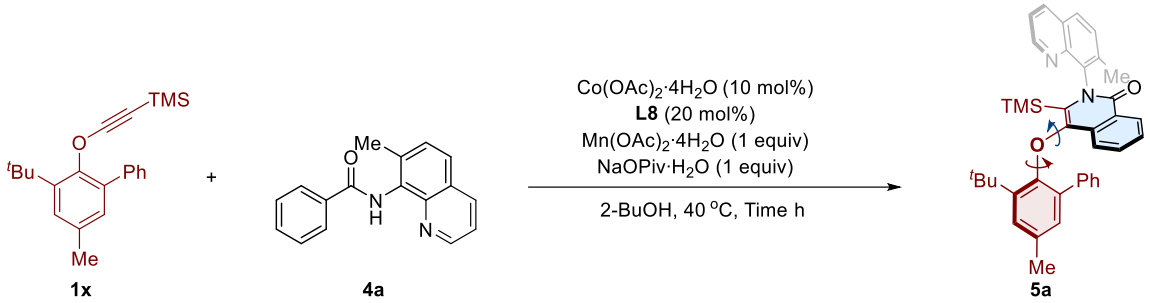
Table S12. Optimization of temperature.[a]



Entry	T (°C)	Yield (%)	dr	ee (%)
1	25	trace	--	--
2	30	17	17.3:1	99%
3	**40**	**26**	**17.3**:1	**99%**
4	50	36	15.5	99%
5	60	57	13.2:1	99%
6	70	64	13:1	98%
7	100	35	10:1	91%

[a]Unless otherwise mentioned, all reactions were carried out using **1x** (0.12 mmol, 39.8 mg, 1.2 equiv), **4a** (0.1 mmol, 26.2 mg), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.4 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.1 mmol, 24.5 mg, 1.0 equiv), $NaOPiv·H_2O$ (0.1 mmol, 14.4 mg, 1 equiv) in 2-BuOH (1 mL) at T °C under air for 12 h, isolated yield. ee and dr values were determined by HPLC analysis.

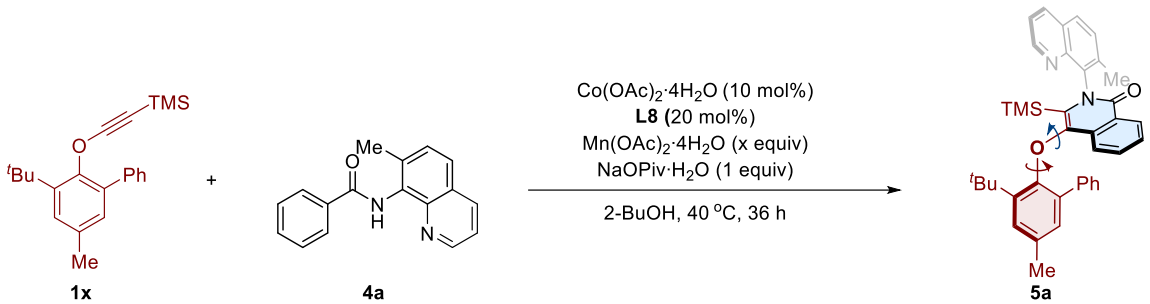
Table S13. Optimization of time.[a]



Entry	Time (h)	Yield (%)	dr	ee (%)
1	12	26	17.3:1	99
2	24	36	17.3:1	99
3	**36**	**48**	**17.3:1**	**99**
4	48	50	17.3:1	99

[a]Unless otherwise mentioned, all reactions were carried out using **1x** (0.12 mmol, 39.8 mg, 1.2 equiv), **4a** (0.1 mmol, 26.2 mg), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.4 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.1 mmol, 24.5 mg, 1.0 equiv), $NaOPiv·H_2O$ (0.1 mmol, 14.4 mg, 1 equiv) in 2-BuOH (1 mL) at 40 °C under air for Time h, isolated yield. ee and dr values were determined by HPLC analysis.

Table S14. Optimization of the amounts of Mn salt.[a]

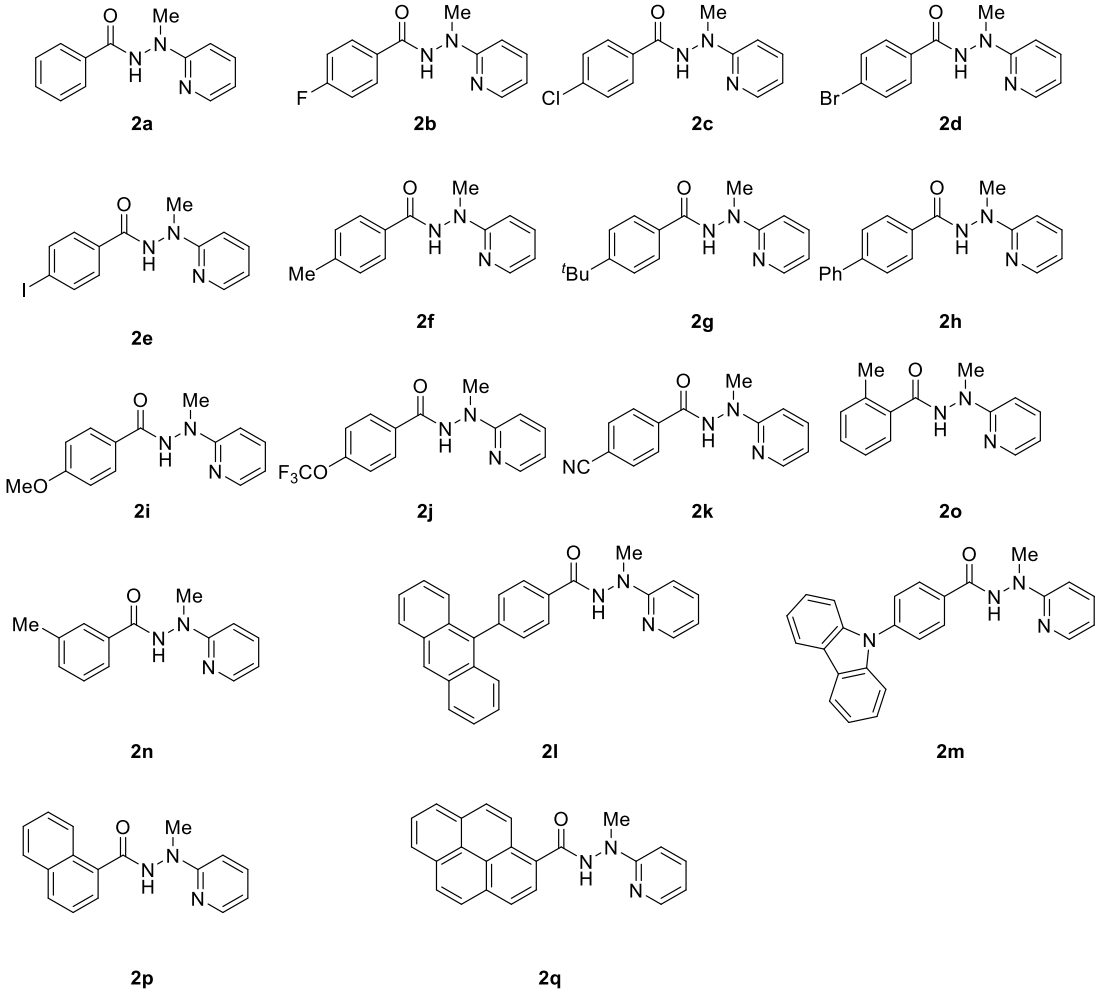


Entry	Mn Salt (x equiv)	Yield (%)	dr	ee (%)
1	0.8	72%	17.3:1	99%
2	0.6	76%	17.7:1	99%
3	**0.5**	**86%**	**19:1**	**99%**
4	0.3	64%	16.2:1	99%

[a]Unless otherwise mentioned, all reactions were carried out using **1x** (0.12 mmol, 39.8 mg, 1.2 equiv), **4a** (0.1 mmol, 26.2 mg), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.4 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (x equiv), $NaOPiv·H_2O$ (0.1 mmol, 14.4 mg, 1 equiv) in 2-BuOH (1 mL) at 40 °C under air for 36 h, isolated yield. ee and dr values were determined by HPLC analysis.

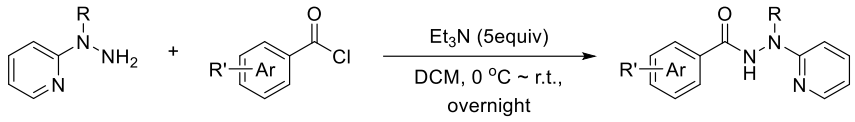
3. General procedure for the synthesis of substrates

3.1 Preparation of benzamide substrates



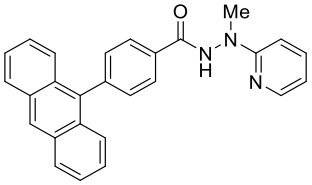
The known substrates **2a**-**2k**, **2n**-**2p** were synthesized as reported.[1-2]

According to the references,[1-3] the new compounds **2l**, **2m**, **2q** were synthesized as follows.



A solution of 2-(1-methylhydrazineyl)pyridine derivative (5 mmol) and Et_3N (25mmol, 5 equiv) in dichloromethane was added dropwise to acid chloride solution at 0 °C. The resulting mixture was allowed to warm to room temperature and then stirred for 12 h. The mixture was quenched with saturated $NaHCO_3$ solution and extracted with DCM for three times. These extracts were combined and dried over Na_2SO_4. The residue was purified by flash column chromatography on silica gel (PE: EA = 2:1 to 1:1) to give the amide.

4-(anthracen-9-yl)-N'-methyl-N'-(pyridin-2-yl)benzohydrazide (2l)



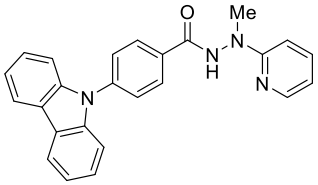
White solid. (1.7 g, 84% yield).

^{1}H NMR (600 MHz, DMSO-d_6) δ 10.98 (s, 1H), 8.73 (s, 1H), 8.20 (dd, *J* = 16.5, 8.0 Hz, 5H), 7.62 – 7.52 (m, 7H), 7.49 – 7.44 (m, 2H), 6.87 (d, *J* = 8.5 Hz, 1H), 6.75 (dd, *J* = 7.1, 4.9 Hz, 1H), 3.41 (s, 3H).

^{13}C NMR (151 MHz, DMSO-d_6) δ 165.9, 160.1, 147.8, 142.4, 137.9, 135.8, 132.5, 131.7, 131.4, 129.8, 129.0, 128.3, 127.4, 126.6, 126.2, 125.9, 114.2, 107.3, 38.2.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{27}H_{22}N_3O]^+$ required 404.1757, found 404.1765.

4-(9H-carbazol-9-yl)-N'-methyl-N'-(pyridin-2-yl)benzohydrazide (2m)



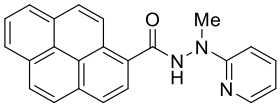
White solid. (1.8 g, 92% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 9.11 (s, 1H), 8.22 (d, *J* = 5.0 Hz, 1H), 8.13 (t, *J* = 9.0 Hz, 4H), 7.63 (d, *J* = 8.0 Hz, 2H), 7.53 (t, *J* = 7.9 Hz, 1H), 7.43 – 7.37 (m, 4H), 7.30 (t, *J* = 7.4 Hz, 2H), 6.81 (d, *J* = 8.5 Hz, 1H), 6.74 (t, *J* = 6.1 Hz, 1H), 3.47 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 165.8, 159.2, 147.6, 141.4, 140.3, 137.9, 131.1, 129.1, 126.8, 126.2, 123.8, 120.6, 120.5, 114.9, 109.7, 107.2, 39.1.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{21}N_4O]^+$ required 393.1710, found 393.1721.

N'-methyl-N'-(pyridin-2-yl)pyrene-1-carbohydrazide (2q)



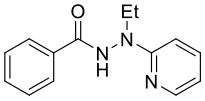
White solid. (1.23 g, 70% yield).

^{1}H NMR (600 MHz, DMSO-d_6) δ 10.93 (s, 1H), 8.60 (d, *J* = 9.2 Hz, 1H), 8.43 – 8.25 (m, 8H), 8.15 (t, *J* = 7.6 Hz, 1H), 7.74 – 7.60 (m, 1H), 7.03 (d, *J* = 8.5 Hz, 1H), 6.79 (dd, *J* = 7.1, 4.8 Hz, 1H), 3.52 (s, 3H).

^{13}C NMR (151 MHz, DMSO-d_6) δ 168.6, 160.1, 147.9, 138.1, 132.6, 131.2, 130.7, 129.7, 129.2, 129.1, 128.9, 127.7, 127.2, 126.5, 126.3, 126.0, 124.9, 124.7, 124.4, 124.1, 114.4, 107.3, 38.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{23}H_{18}N_3O]^+$ required 352.1444, found 352.1452.

N'-ethyl-N'-(pyridin-2-yl)benzohydrazide (2r)



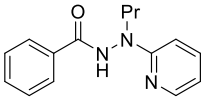
White solid. (1.01 g, 83% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.40 (s, 1H), 8.19 (d, *J* = 6.0 Hz, 1H), 7.89 (d, *J* = 7.9 Hz, 2H), 7.55 (t, *J* = 7.6 Hz, 1H), 7.47 (dt, *J* = 15.1, 8.1 Hz, 3H), 6.75 (d, *J* = 8.5 Hz, 1H), 6.73 – 6.68 (m, 1H), 3.93 (q, *J* = 7.1 Hz, 2H), 1.25 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 166.9, 158.8, 147.8, 137.9, 133.0, 132.3, 128.9, 127.4, 114.9, 107.6, 45.1, 12.1.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{14}H_{16}N_3O]^+$ required 242.1293, found 242.1295.

N'-propyl-N'-(pyridin-2-yl)benzohydrazide (2s)



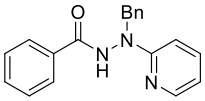
White solid. (1.07 g, 84% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ δ 8.64 (s, 1H), 8.17 (d, *J* = 4.9 Hz, 1H), 7.85 (d, *J* = 7.6 Hz, 2H), 7.52 (t, *J* = 7.5 Hz, 1H), 7.43 (dt, *J* = 23.6, 7.4 Hz, 3H), 6.68 (dd, *J* = 17.5, 7.4 Hz, 2H), 3.79 (t, *J* = 7.6 Hz, 2H), 1.67 (q, *J* = 7.5 Hz, 2H), 0.93 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 166.7, 158.9, 147.7, 137.6, 132.8, 132.1, 128.7, 127.3, 114.5, 107.1, 51.9, 20.4, 11.4.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{15}H_{18}N_3O]^+$ required 256.1444, found 256.1468.

N'-benzyl-N'-(pyridin-2-yl)benzohydrazide (2t)



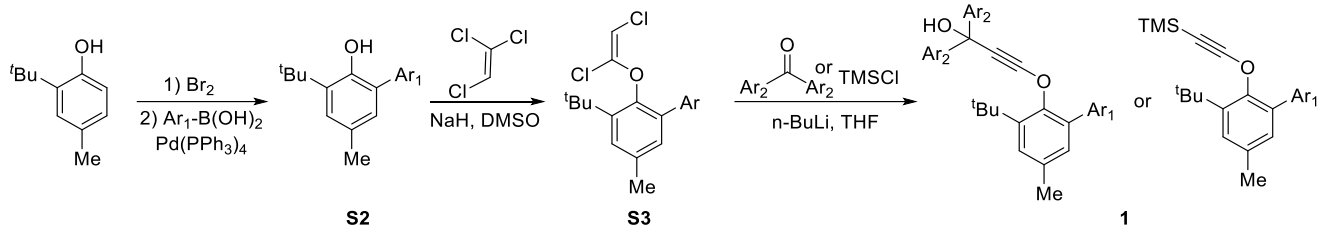
White solid. (1.23 g, 81% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (d, *J* = 5.0 Hz, 1H), 8.04 (d, *J* = 32.8 Hz, 1H), 7.68 (d, *J* = 8.3 Hz, 2H), 7.56 – 7.46 (m, 2H), 7.36 (ddd, *J* = 34.1, 14.0, 6.3 Hz, 6H), 6.83 – 6.70 (m, 2H), 5.16 (d, *J* = 3.1 Hz, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 66.8, 159.1, 147.9, 138.0, 137.5, 132.8, 132.3, 128.9, 128.8, 127.7, 127.3, 115.1, 107.2, 53.0

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{19}H_{18}N_3O]^+$ required 304.1450, found 304.1455.

3.2 The procedure for the synthesis of 1



1 were synthesized according to literature procedures.[3-6]

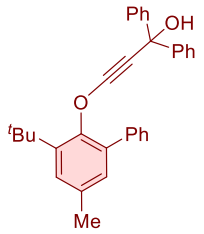
To a solution of 2-tert-butyl-4-methylphenol (5.0 g, 10 mmol) in CH_2Cl_2 (25 mL) was added Br_2 (10 mmol, 1 equiv.) in one portion at 0 °C. After stirring for 2 h at room temperature, the reaction was quenched with aqueous $NaHCO_3$. The organic layer was washed with brine and dried over $MgSO_4$. After filtration, the filtrate was concentrated in vacuo and the residue was purified by column chromatography on silica gel to provide **S1** as a colorless oil.

To a flask containing $Pd(PPh_3)_4$ (0.48 mmol, 5 mol%), Ar-$B(OH)_2$ (1.2 equiv.), Na_2CO_3 (19 mmol, 2 equiv.) and **S1** (9.5 mmol) were added THF (28 mL) and H_2O (8 mL). After stirring for 12 h at 90 °C, the reaction mixture was cooled to room temperature and EtOAc (5 mL) was added to the reaction mixture. The mixture was extracted with EtOAc, and the organic layer was washed with brine and dried over $MgSO_4$. After filtration, the filtrate was concentrated in vacuo and the residue was purified by column chromatography on silica gel to provide **S2** as a colorless oil.

To a solution of **S2** (6.2 mmol, 1.0 equiv) in DMSO (20 mL) was added NaOH (6.2 mmol, 1.0 equiv). The mixture was stirred at room temperature for 2 h. Afterwards 1,1,2-trichloroethylene was slowly added and the reaction mixture was stirred overnight. After the completion of the reaction (monitored by TLC), the reaction mixture was quenched with water (50 mL) and the layers were separated. The aqueous layer was extracted with DCM (30 mL x 3). The combined organic layers were washed with brine, dried over Na_2SO_4, filtered, and evaporated. The residue was purified by column chromatography on silica gel, eluting with petroleum ether to give **S3** as a white solid.

To a solution of **S3** (5.7 mmol, 1.0 equiv) in THF (20 mL) was added dropwise n-BuLi (2.5 M solution in hexane, 12.5 mmol, 2.2 equiv) at -78 °C. The solution was then stirred at room temperature for 2 h. After cooling to –78 °C again, the TMSCl or Aryl ketone (1.1 equiv) is added dropwise and the mixture is stirred for 16 h at room temperature. After completion of the reaction (monitored by TLC), the reaction was quenched with water and the reaction mixture was extracted with EtOAc. The organic layers were washed with water and brine, dried over Na_2SO_4, filtered, and evaporated. The solvent was removed under vacuum and the residue was purified by flash chromatography on silica gel (PE: EA = 30:1) to afford compound **1**.

3-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-diphenylprop-2-yn-1-ol (1a)



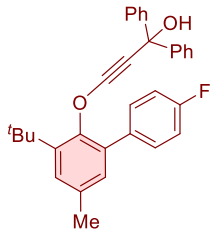
Yellow oil. (2.90 g, 67% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.50 (d, *J* = 7.5 Hz, 2H), 7.42 – 7.39 (m, 2H), 7.36 (t, *J* = 7.2 Hz, 1H), 7.25 – 7.21 (m, 4H), 7.17 (t, *J* = 7.4 Hz, 4H), 7.14 (d, *J* = 5.0 Hz, 3H), 7.03 (s, 1H), 2.35 (s, 3H), 1.93 (s, 1H), 1.47 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.1, 147.4, 145.9, 141.1, 137.8, 135.6, 134.3, 130.6, 129.7, 128.6, 128.3, 128.0, 127.6, 127.5, 127.2, 126.9, 126.2, 126.0, 94.2, 74.1, 44.0, 35.3, 30.8, 21.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{32}H_{31}O_2]^+$ required 447.2324, found 447.2348.

3-((3-(tert-butyl)-4'-fluoro-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-diphenylprop-2-yn-1-ol (1b)



Yellow oil. (2.45 g, 53% yield).

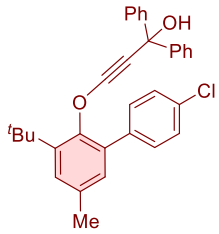
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.50 – 7.42 (m, 2H), 7.22 (d, *J* = 6.6 Hz, 4H), 7.17 (dt, *J* = 21.9, 7.3 Hz, 7H), 7.06 (t, *J* = 8.6 Hz, 2H), 6.99 (s, 1H), 2.35 (s, 3H), 2.12 (s, 1H), 1.46 (d, *J* = 1.8 Hz, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 163.4 (d, $^{1}J_{C\text{-}F}$ = 247.2 Hz), 151.0, 145.8, 141.3, 135.7, 133.6 (d, $^{4}J_{C\text{-}F}$ = 3.3 Hz), 133.5, 131.3 (d, $^{3}J_{C\text{-}F}$ = 8.1 Hz), 130.5, 127.99, 127.6, 127.3, 126.0, 115.6 (d, $^{2}J_{C\text{-}F}$ = 21.2 Hz), 94.1, 74.2, 43.8, 35.3, 30.8, 21.3.

^{19}F NMR (565 MHz, $CDCl_3$) δ -114.27.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{32}H_{29}FNaO_2]^+$ required 487.2049, found 487.2056

3-((3-(tert-butyl)-4'-chloro-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-diphenylprop-2-yn-1-ol (1c)



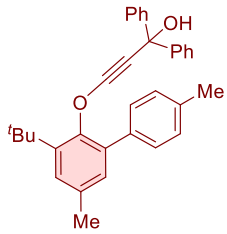
Yellow oil. (2.41 g, 51% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.42 (d, *J* = 8.3 Hz, 2H), 7.35 (d, *J* = 8.4 Hz, 2H), 7.24 – 7.18 (m, 8H), 7.18 – 7.13 (m, 3H), 6.99 (s, 1H), 2.35 (s, 3H), 2.15 (s, 1H), 1.46 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.0, 145.7, 141.4, 136.1, 135.8, 133.8, 133.3, 130.9, 130.4, 128.8, 128.0, 127.9, 127.3, 126.0, 94.1, 74.2, 43.9, 35.3, 30.8, 21.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{32}H_{30}ClO_2]^+$ required 481.1934, found 481.1945.

3-((3-(tert-butyl)-4',5-dimethyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-diphenylprop-2-yn-1-ol (1d)



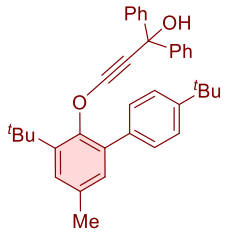
Yellow oil. (1.97 g, 43% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.39 (d, *J* = 7.8 Hz, 2H), 7.24 (d, *J* = 8.1 Hz, 4H), 7.21 (d, *J* = 7.4 Hz, 2H), 7.17 (d, *J* = 7.7 Hz, 4H), 7.16 – 7.10 (m, 3H), 7.02 (s, 1H), 2.38 (s, 3H), 2.34 (s, 3H), 1.98 (s, 1H), 1.46 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.1, 145.9, 141.0, 137.5, 135.5, 134.9, 134.3, 130.5, 129.6, 129.2, 128.3, 127.9, 127.2, 127.1, 126.2, 126.1, 94.2, 74.1, 44.0, 35.3, 30.8, 21.4, 21.3.

HRMS (ESI): m/z $[M+K]^+$ calcd for $[C_{33}H_{32}KO_2]^+$ required 499.2039, found 499.1947.

3-((3,4'-di-tert-butyl-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-diphenylprop-2-yn-1-ol (1e)



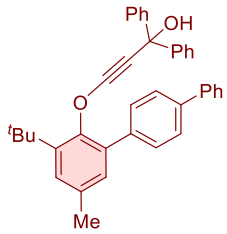
Yellow oil. (1.86 g, 37% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.44 (s, 4H), 7.25 (d, *J* = 8.5 Hz, 4H), 7.17 (t, *J* = 7.4 Hz, 4H), 7.13 (d, *J* = 9.5 Hz, 3H), 7.03 (s, 1H), 2.33 (s, 3H), 1.83 (s, 1H), 1.46 (s, 9H), 1.31 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.2, 150.8, 145.9, 140.9, 135.4, 134.8, 134.1, 130.6, 129.4, 127.9, 127.2, 127.1, 126.0, 125.4, 94.2, 74.0, 44.3, 35.3, 34.7, 31.5, 30.8, 21.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{36}H_{39}O_2]^+$ required 503.2950, found 503.2960.

3-((3-(tert-butyl)-5-methyl-[1,1':4',1''-terphenyl]-2-yl)oxy)-1,1-diphenylprop-2-yn-1-ol (1f)



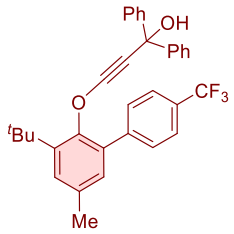
Yellow oil. (2.24 g, 43% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.62 (d, *J* = 7.9 Hz, 2H), 7.58 (d, *J* = 7.4 Hz, 4H), 7.43 (t, *J* = 7.6 Hz, 2H), 7.34 (t, *J* = 7.4 Hz, 1H), 7.22 (d, *J* = 7.3 Hz, 4H), 7.15 (s, 1H), 7.10 (q, *J* = 8.2 Hz, 7H), 2.36 (s, 3H), 2.01 (s, 1H), 1.48 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.2, 145.8, 141.1, 140.7, 140.6, 136.7, 135.6, 133.9, 130.5, 130.1, 128.9, 127.9, 127.6, 127.5, 127.3, 127.2, 127.1, 126.0, 94.2, 74.1, 44.2, 35.3, 30.8, 21.3.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{38}H_{34}NaO_2]^+$ required 545.2457, found 545.2466.

3-((3-(tert-butyl)-5-methyl-4'-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)oxy)-1,1-diphenylprop-2-yn-1-ol (1g)



Yellow oil. (1.95 g, 38% yield).

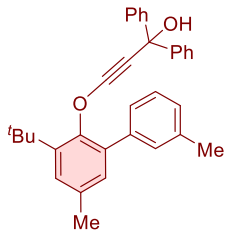
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.61 (d, *J* = 8.3 Hz, 2H), 7.57 (d, *J* = 8.3 Hz, 2H), 7.21 – 7.13 (m, 11H), 7.00 (s, 1H), 2.36 (s, 3H), 2.05 (s, 1H), 1.48 (s, 9H).

^{13}C NMR (151 MHz, CDCl3) δ 151.1, 145.6, 141.5, 141.3, 136.0, 133.2, 130.4, 129.9, 128.4, 128.0, 127.4, 125.9, 125.4, 125.3 (q, $^{1}J_{C\text{-}F}$= 272.0 Hz), 123.5, 94.0, 74.1, 44.0, 35.4, 30.8, 21.2.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.31.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{33}H_{29}F_3NaO_2]^+$ required 537.2017, found 537.2007.

3-((3-(tert-butyl)-3',5-dimethyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-diphenylprop-2-yn-1-ol (1h)



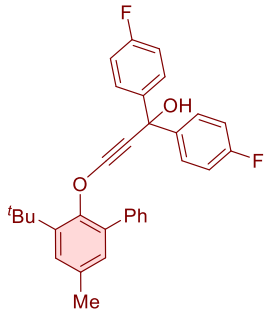
Yellow oil. (2.53 g, 55% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.30 (d, *J* = 4.3 Hz, 3H), 7.24 (d, *J* = 8.3 Hz, 4H), 7.21 – 7.15 (m, 5H), 7.14 (d, *J* = 7.1 Hz, 3H), 7.02 (s, 1H), 2.34 (s, 3H), 2.31 (s, 3H), 1.94 (s, 1H), 1.46 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.1, 145.9, 141.0, 138.3, 137.8, 135.4, 134.4, 130.6, 130.4, 128.5, 128.3, 127.9, 127.4, 127.2, 126.8, 126.0, 94.2, 74.1, 44.1, 35.3, 30.8, 21.5, 21.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{33}H_{33}O_2]^+$ required 461.2481, found 461.2474.

3-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-bis(4-fluorophenyl)prop-2-yn-1-ol (1i)



Yellow oil. (2.41 g, 50% yield).

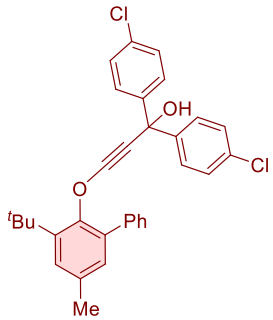
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.50 (d, *J* = 7.4 Hz, 2H), 7.41 (t, *J* = 7.3 Hz, 2H), 7.37 (d, *J* = 7.1 Hz, 1H), 7.15 (t, *J* = 7.1 Hz, 5H), 7.04 (s, 1H), 6.85 (t, *J* = 8.5 Hz, 4H), 2.35 (s, 3H), 1.97 (s, 1H), 1.46 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 162.9 (d, $^{1}J_{C\text{-}F}$ = 246.0 Hz), 151.0, 141.6 (d, $^{4}J_{C\text{-}F}$ = 3.2 Hz), 141.1, 137.8, 135.8, 134.2, 130.7, 129.7, 128.6, 127.8, 127.7, 127.5 (d, $^{3}J_{C\text{-}F}$ = 8.3 Hz), 114.8(d, $^{2}J_{C\text{-}F}$ = 21.5 Hz), 94.5, 73.2, 43.6, 35.3, 30.8, 21.3.

^{19}F NMR (565 MHz, $CDCl_3$) δ -115.66.

HRMS (ESI): m/z $[M+K]^+$ calcd for $[C_{32}H_{28}F_2KO_2]^+$ required 521.1694, found 521.1654.

3-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-bis(4-chlorophenyl)prop-2-yn-1-ol (1j)



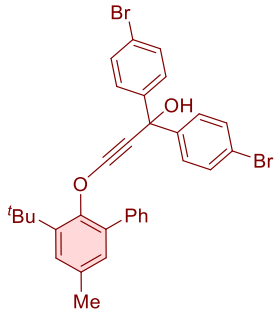
Yellow oil. (3.13 g, 61% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.52 (d, *J* = 8.3 Hz, 2H), 7.44 (t, *J* = 7.4 Hz, 2H), 7.39 (t, *J* = 7.2 Hz, 1H), 7.17 (d, *J* = 8.6 Hz, 5H), 7.13 (d, *J* = 8.7 Hz, 4H), 7.07 (s, 1H), 2.38 (s, 3H), 1.99 (s, 1H), 1.48 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.9, 144.1, 141.1, 137.8, 135.8, 134.1, 133.3, 130.7, 129.7, 128.6, 128.2, 127.7, 127.6, 127.4, 94.6, 73.2, 43.2, 35.3, 30.8, 21.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{32}H_{29}Cl_2O_2]^+$ required 515.1545, found 515.1536.

1,1-bis(4-bromophenyl)-3-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)prop-2-yn-1-ol (1k)



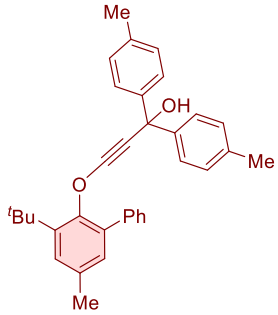
Yellow oil. (3.68 g, 61% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.51 (d, *J* = 7.9 Hz, 2H), 7.43 (t, *J* = 7.5 Hz, 2H), 7.39 (t, *J* = 7.1 Hz, 1H), 7.31 (d, *J* = 8.0 Hz, 4H), 7.17 (s, 1H), 7.06 (d, *J* = 7.8 Hz, 5H), 2.38 (s, 3H), 1.97 (s, 1H), 1.47 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.9, 144.5, 141.0, 137.7, 135.9, 134.1, 131.2, 130.7, 129.7, 128.6, 127.8, 127.7, 127.6, 121.5, 94.6, 73.3, 43.1, 35.3, 30.8, 21.3.

HRMS (ESI): m/z $[M+K]^+$ calcd for $[C_{32}H_{28}Br_2KO_2]^+$ required 641.0093, found 641.0100.

3-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-di-p-tolylprop-2-yn-1-ol (1l)



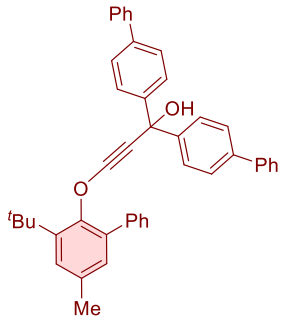
Yellow oil. (3.08 g, 65% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.54 (d, *J* = 7.8 Hz, 2H), 7.44 (t, *J* = 7.5 Hz, 2H), 7.40 (t, *J* = 7.3 Hz, 1H), 7.16 (s, 1H), 7.13 (d, *J* = 8.1 Hz, 4H), 7.06 (s, 1H), 7.00 (d, *J* = 8.0 Hz, 4H), 2.38 (s, 3H), 2.29 (s, 6H), 1.89 (s, 1H), 1.49 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.1, 143.2, 141.1, 137.8, 136.7, 135.5, 134.4, 130.6, 129.7, 128.6, 128.6, 127.6, 127.4, 125.9, 94.0, 73.8, 44.2, 35.3, 30.8, 21.3, 21.1.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{34}H_{35}O_2]^+$ required 475.2637, found 475.2629

1,1-di([1,1'-biphenyl]-4-yl)-3-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)prop-2-yn-1-ol (1m)



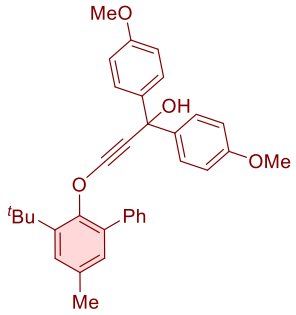
Yellow oil. (2.39 g, 40% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.54 (t, *J* = 6.8 Hz, 6H), 7.43 (d, *J* = 8.6 Hz, 6H), 7.41 – 7.37 (m, 5H), 7.36 – 7.28 (m, 6H), 7.15 (s, 1H), 7.05 (s, 1H), 2.35 (s, 3H), 2.01 (s, 1H), 1.49 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.1, 144.9, 141.1, 141.0, 140.2, 137.8, 135.7, 134.3, 130.6, 129.8, 128.9, 128.6, 127.7, 127.5, 127.3, 127.2, 126.8, 126.5, 94.4, 73.8, 43.9, 35.4, 30.8, 21.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{44}H_{39}O_2]^+$ required 599.2950, found 599.2934.

3-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-bis(4-methoxyphenyl)prop-2-yn-1-ol (1n)



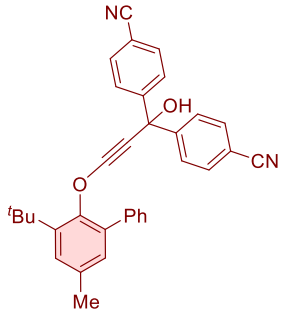
Yellow oil. (3.04 g, 60% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.44 (dt, *J* = 15.0, 7.5 Hz, 4H), 7.35 (t, *J* = 7.1 Hz, 1H), 7.20 – 7.14 (m, 3H), 7.01 (s, 1H), 6.84 (d, *J* = 8.7 Hz, 2H), 6.67 (d, *J* = 8.5 Hz, 2H), 6.53 (d, *J* = 8.5 Hz, 2H), 6.20 (s, 1H), 3.82 (s, 3H), 3.77 (s, 3H), 2.33 (s, 3H), 1.39 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 163.8, 161.2, 159.9, 159.0, 144.7, 142.0, 139.7, 136.6, 134.9, 134.1, 131.4, 130.5, 130.4, 129.7, 129.6, 128.2, 127.2, 127.0, 113.9, 113.7, 113.1, 55.5, 55.3, 34.9, 30.9, 21.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{34}H_{34}KO_4]^+$ required 545.2094, found 545.2104

4,4'-(3-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1-hydroxyprop-2-yne-1,1-diyl)dibenzonitrile (1o)



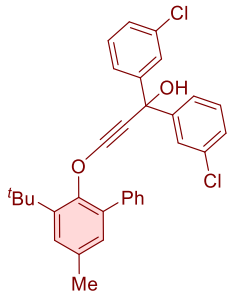
Yellow oil. (3.12 g, 63% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.50 (dd, *J* = 15.1, 7.4 Hz, 6H), 7.44 (t, *J* = 7.3 Hz, 2H), 7.40 (d, *J* = 7.7 Hz, 1H), 7.30 (d, *J* = 7.8 Hz, 4H), 7.18 (s, 1H), 7.07 (s, 1H), 2.38 (s, 3H), 2.19 (s, 1H), 1.47 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.7, 149.7, 141.0, 137.7, 136.2, 133.9, 132.2, 130.7, 129.7, 128.7, 127.8, 127.7, 126.6, 118.6, 111.7, 95.4, 73.3, 42.1, 35.3, 30.7, 21.3

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{34}H_{28}N_2NaO_2]^+$ required 519.2048, found 519.2103.

3-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-bis(3-chlorophenyl)prop-2-yn-1-ol (1p)



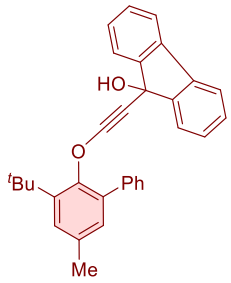
Yellow oil. (3.08 g, 60% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.51 (d, *J* = 7.0 Hz, 2H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 7.4 Hz, 1H), 7.26 (s, 2H), 7.17 – 7.08 (m, 5H), 7.05 (s, 3H), 2.35 (s, 3H), 1.99 (s, 1H), 1.47 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.92, 147.30, 140.99, 137.64, 135.83, 134.22, 134.04, 130.60, 129.69, 129.38, 128.70, 127.82, 127.67, 127.58, 126.20, 124.25, 94.72, 73.22, 42.99, 35.31, 30.75, 21.27.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{32}H_{29}Cl_2O_2]^+$ required 515.1545, found 515.1519.

9-(((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)-9H-fluoren-9-ol (1q)



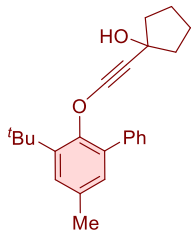
Yellow oil. (1.46 g, 33% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.48 (d, *J* = 7.5 Hz, 2H), 7.44 (d, *J* = 7.6 Hz, 2H), 7.34 (t, *J* = 7.5 Hz, 2H), 7.30 – 7.23 (m, 5H), 7.20 (t, *J* = 7.4 Hz, 2H), 7.11 (s, 1H), 7.00 (s, 1H), 2.34 (s, 3H), 1.90 (s, 1H), 1.39 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.0, 147.8, 141.0, 139.0, 137.7, 135.4, 134.4, 130.5, 129.6, 129.1, 128.5, 128.2, 127.5, 127.4, 124.2, 119.9, 90.4, 74.0, 40.9, 35.2, 30.7, 21.2.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{32}H_{29}O_2]^+$ required 445.2168, found 445.2152.

1-(((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)cyclopentan-1-ol (1t)



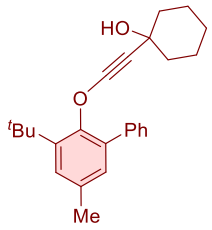
Yellow oil. (2.33 g, 67% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.52 (d, *J* = 7.5 Hz, 2H), 7.45 (t, *J* = 7.5 Hz, 2H), 7.38 (t, *J* = 7.4 Hz, 1H), 7.15 (s, 1H), 7.05 (s, 1H), 2.37 (s, 3H), 1.65 – 1.59 (m, 2H), 1.55 (d, *J* = 9.4 Hz, 2H), 1.47 (d, *J* = 3.9 Hz, 4H), 1.45 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.8, 140.4, 137.6, 134.7, 133.8, 130.0, 129.2, 127.9, 126.9, 126.8, 90.4, 73.5, 43.9, 41.8, 34.7, 30.2, 22.8, 20.7.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{24}H_{28}NaO_2]^+$ required 371.1987, found 371.1990.

1-(((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)cyclohexan-1-ol (1u)



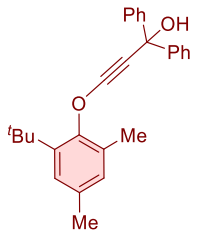
Yellow oil. (1.41 g, 39% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.53 (d, *J* = 7.6 Hz, 2H), 7.45 (t, *J* = 7.6 Hz, 2H), 7.38 (t, *J* = 7.4 Hz, 1H), 7.15 (s, 1H), 7.05 (s, 1H), 2.37 (s, 3H), 1.46 (s, 9H), 1.45 – 1.41 (m, 3H), 1.38 – 1.28 (m, 2H), 1.28 – 1.23 (m, 2H), 1.13 (qd, *J* = 10.0, 3.4 Hz, 2H), 1.04 (q, *J* = 10.9 Hz, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.3, 141.0, 138.1, 135.3, 134.4, 130.6, 129.7, 128.5, 127.5, 127.4, 91.6, 68.3, 43.9, 40.2, 35.3, 30.7, 25.3, 23.5, 21.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{31}O_2]^+$ required 363.2324, found 363.2314.

3-(2-(tert-butyl)-4,6-dimethylphenoxy)-1,1-diphenylprop-2-yn-1-ol (1v)



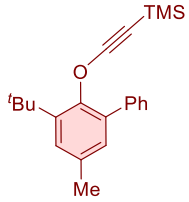
Yellow oil. (3.07 g, 80% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.56 (d, *J* = 7.7 Hz, 4H), 7.27 (t, *J* = 7.5 Hz, 4H), 7.21 (q, *J* = 7.5 Hz, 2H), 6.97 (s, 1H), 6.86 (s, 1H), 2.61 (s, 1H), 2.38 (s, 3H), 2.27 (s, 3H), 1.43 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 152.5, 146.1, 140.7, 135.4, 130.7, 130.4, 128.2, 127.5, 126.2, 125.9, 94.7, 74.7, 43.0, 35.1, 30.9, 21.2, 16.6.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{27}H_{29}O_2]^+$ required 385.2168, found 385.2177.

(((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)trimethylsilane (1x)



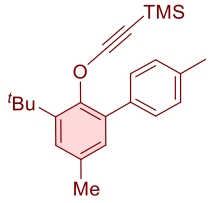
Yellow oil. (2.01 g, 60% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.48 (d, *J* = 7.7 Hz, 2H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 7.3 Hz, 1H), 7.15 (s, 1H), 7.04 (s, 1H), 2.37 (s, 3H), 1.46 (s, 9H), -0.15 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.8, 141.0, 137.7, 135.3, 134.8, 130.6, 129.6, 128.4, 127.4, 127.3, 106.6, 38.9, 35.3, 30.8, 21.3, 0.4.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{22}H_{28}OSi]^+$ required 336.1909, found 336.1929.

(((3-(tert-butyl)-4',5-dimethyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)trimethylsilane (1y)



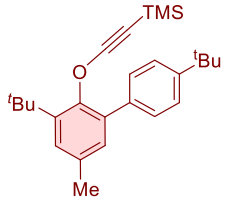
Yellow oil. (2.33 g, 67% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.37 (d, J = 8.0 Hz, 2H), 7.24 (d, J = 7.7 Hz, 2H), 7.13 (s, 1H), 7.04 (s, 1H), 2.42 (s, 3H), 2.36 (s, 3H), 1.46 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.8, 140.8, 137.1, 135.2, 134.7, 130.6, 129.4, 129.1, 127.1, 106.5, 39.0, 35.3, 30.7, 21.3, 21.3, 0.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{23}H_{31}OSi]^+$ required 351.2144, found 351.2141.

(((3,4'-di-tert-butyl-5-methyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)trimethylsilane (1z)



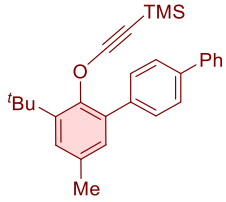
Yellow oil. (2.59 g, 66% yield).

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.47 – 7.40 (m, 4H), 7.12 (s, 1H), 7.05 (s, 1H), 2.36 (s, 3H), 1.45 (s, 9H), 1.38 (s, 9H), -0.16 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 150.9, 150.2, 140.8, 135.3, 134.6, 130.7, 129.1, 127.1, 125.4, 106.7, 38.7, 35.3, 34.7, 31.6, 30.7, 21.3, 0.5.

HRMS (ESI): m/z $[M+NH_4]^+$ calcd for $[C_{26}H_{40}NOSi]^+$ required 410.2879, found 410.2852.

(((3-(tert-butyl)-5-methyl-[1,1':4',1''-terphenyl]-2-yl)oxy)ethynyl)trimethylsilane (1za)



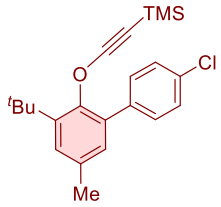
Yellow oil. (3.00 g, 73% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.68 – 7.64 (m, 4H), 7.58 (d, J = 8.1 Hz, 2H), 7.48 (t, J = 7.6 Hz, 2H), 7.41 – 7.35 (m, 1H), 7.17 (s, 1H), 7.10 (s, 1H), 2.39 (s, 3H), 1.48 (s, 9H), -0.16 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.9, 141.3, 141.0, 140.4, 136.7, 135.4, 134.3, 130.6, 129.9, 128.9, 127.4, 127.4, 127.3, 127.2, 106.6, 39.3, 35.3, 30.7, 21.3, 0.4.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{28}H_{32}NaOSi]^+$ required 435.2120, found 435.2115.

(((3-(tert-butyl)-4'-chloro-5-methyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)trimethylsilane (1zb)



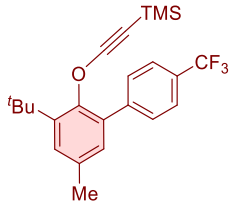
Yellow oil. (2.22 g, 60% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.47 – 7.36 (m, 4H), 7.16 (s, 1H), 7.01 (s, 1H), 2.37 (s, 3H), 1.45 (s, 9H), -0.11 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.7, 141.1, 136.1, 135.5, 133.6, 133.5, 130.9, 130.3, 128.6, 127.7, 106.3, 39.4, 35.3, 30.7, 21.3, 0.3.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{22}H_{27}ClNaOSi]^+$ required 393.1417, found 393.1395.

(((3-(tert-butyl)-5-methyl-4'-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)oxy)ethynyl)trimethylsilane (1zc)



Yellow oil. (3.23 g, 80% yield).

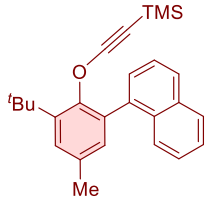
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.75 – 7.67 (m, 2H), 7.61 (dd, J = 8.4, 4.0 Hz, 2H), 7.21 (s, 1H), 7.04 (s, 1H), 2.39 (s, 3H), 1.47 (s, 9H), -0.15 (s, 9H).

^{19}F NMR (565 MHz, Chloroform-*d*) δ -62.48.

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.8, 141.5, 141.3, 135.7, 133.3, 130.3, 129.9, 129.8, 128.2, 125.4(q, $^1J_{C\text{-}F}$ = 272.8 Hz), 123.6, 106.2, 39.5, 35.3, 30.7, 21.3, 0.2.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{23}H_{27}F_3NaOSi]^+$ required 427.1681, found 427.1668.

((2-(tert-butyl)-4-methyl-6-(naphthalen-1-yl)phenoxy)ethynyl)trimethylsilane (1zd)



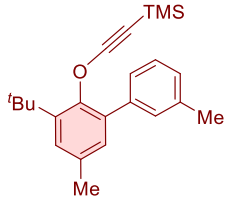
Yellow oil. (0.77 g, 20% yield).

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (s, 1H), 7.91 – 7.85 (m, 3H), 7.62 (d, *J* = 8.4 Hz, 1H), 7.52 – 7.47 (m, 2H), 7.18 (d, *J* = 2.9 Hz, 1H), 7.15 (d, *J* = 2.9 Hz, 1H), 2.40 (s, 3H), 1.48 (s, 9H), -0.42 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 151.0, 140.9, 135.4, 135.2, 134.6, 133.7, 132.8, 130.8, 128.5, 128.3, 127.8, 127.7 (2C), 127.4, 126.1, 106.3, 39.2, 35.3, 30.7, 21.3, -0.0.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{26}H_{31}OSi]^+$ required 387.2144, found 387.2138.

(((3-(tert-butyl)-3',5-dimethyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)trimethylsilane (1ze)



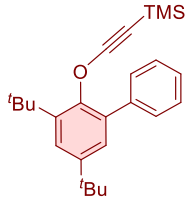
Yellow oil. (1.96 g, 56% yield).

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.33 – 7.27 (m, 3H), 7.18 (d, *J* = 7.0 Hz, 1H), 7.14 (s, 1H), 7.04 (s, 1H), 2.42 (s, 3H), 2.36 (s, 3H), 1.46 (s, 9H), -0.14 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 150.7, 140.8, 137.8, 137.5, 135.2, 134.8, 130.6, 130.2, 128.3, 128.2, 127.2, 126.7, 106.6, 38.9, 35.3, 30.7, 21.6, 21.3, 0.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{23}H_{31}OSi]^+$ required 351.2144, found 351.2141.

(((3,5-di-tert-butyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)trimethylsilane (1zf)



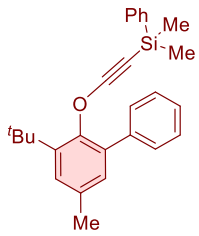
Yellow oil. (2.38 g, 60% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.56 – 7.35 (m, 6H), 7.23 (ddd, J = 11.3, 4.4, 2.2 Hz, 1H), 1.50 (s, 9H), 1.37 (s, 9H), -0.12 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.5, 148.4, 140.3, 138.1, 134.2, 129.7, 128.4, 127.4, 127.3, 123.8, 106.5, 39.0, 35.6, 34.9, 31.7, 30.8, 0.4.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{25}H_{35}OSi]^+$ required 379.2457, found 379.2450.

(((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)dimethyl(phenyl)silane (1zg)



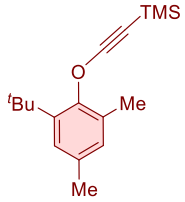
Yellow oil. (2.03 g, 51% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.51 (ddt, J = 8.0, 2.9, 1.4 Hz, 2H), 7.45 – 7.39 (m, 2H), 7.39 – 7.26 (m, 6H), 7.17 (s, 1H), 7.06 (s, 1H), 2.38 (s, 3H), 1.49 (s, 9H), 0.11 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.7, 141.0, 138.3, 137.5, 135.5, 134.8, 133.8, 130.7, 129.6, 129.0, 128.5, 127.6, 127.5, 127.4, 108.0, 37.0, 35.3, 30.8, 21.3, -0.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{27}H_{31}OSi]^+$ required 399.2144, found 399.2133.

((2-(tert-butyl)-4,6-dimethylphenoxy)ethynyl)trimethylsilane (1zh)



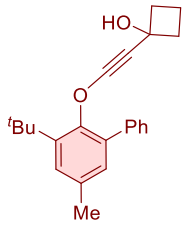
Yellow oil. (1.54 g, 56% yield).

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.00 (s, 1H), 6.91 (s, 1H), 2.44 (s, 3H), 2.31 (s, 3H), 1.43 (s, 9H), 0.14 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 152.1, 140.6, 135.3, 130.6 (2C), 125.9, 107.2, 38.3, 35.1, 30.8, 21.2, 16.6, 0.7

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{17}H_{27}OSi]^+$ required 275.1831, found 275.1484.

1-(((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)ethynyl)cyclobutan-1-ol (1zi)



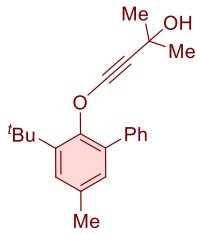
Colorless oil. (2.14 g, 64% yield).

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.56 – 7.50 (m, 2H), 7.45 (t, J = 7.5 Hz, 2H), 7.41 – 7.35 (m, 1H), 7.15 (s, 1H), 7.05 (s, 1H), 2.37 (s, 3H), 2.00 – 1.87 (m, 4H), 1.45 (s, 9H), 1.35 (td, J = 8.4, 2.9 Hz, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 151.2, 140.9, 138.0, 135.3, 134.3, 130.5, 129.6, 128.5, 127.5, 127.4, 91.1, 67.4, 44.1, 38.6, 35.3, 30.7, 21.3, 12.6.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{23}H_{27}O_2]^+$ required 335.2011, found 335.2009.

4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-methylbut-3-yn-2-ol (1zj)



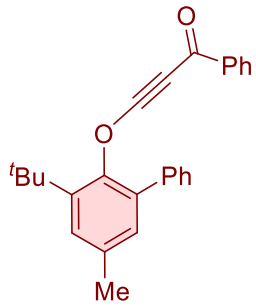
Colorless oil. (1.93 g, 60% yield).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 7.54 – 7.49 (m, 2H), 7.45 (t, *J* = 7.6 Hz, 2H), 7.38 (dd, *J* = 8.7, 6.1 Hz, 1H), 7.14 (s, 1H), 7.05 (s, 1H), 2.37 (s, 3H), 1.44 (s, 9H), 1.11 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.2, 140.9, 138.2, 135.2, 134.2, 130.6, 129.7, 128.5, 127.4 (2C), 90.0, 64.7, 45.4, 35.3, 31.6, 30.7, 21.2.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{22}H_{27}O_2]^+$ required 323.2011, found 323.2002.

4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-methylbut-3-yn-2-ol (1zk)



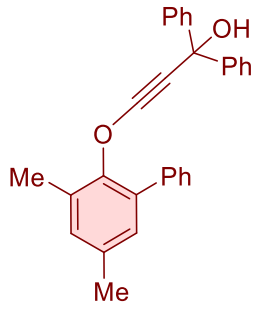
Yellow oil. (1.48 g, 40% yield).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 7.71 (d, J = 7.5 Hz, 2H), 7.53 (d, J = 7.4 Hz, 2H), 7.50 (t, J = 7.4 Hz, 1H), 7.39 – 7.33 (m, 4H), 7.26 (t, J = 7.4 Hz, 1H), 7.21 (s, 1H), 7.12 (s, 1H), 2.41 (s, 3H), 1.49 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 177.8, 150.7, 141.0, 137.3, 136.6, 136.5, 134.5, 133.3, 130.7, 129.5, 129.3, 128.7, 128.2, 128.1, 127.7, 101.5, 44.0, 35.3, 30.8, 21.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{26}H_{25}O_2]^+$ required 369.1855, found 369.1846.

3-((3,5-dimethyl-[1,1'-biphenyl]-2-yl)oxy)-1,1-diphenylprop-2-yn-1-ol (1zo)



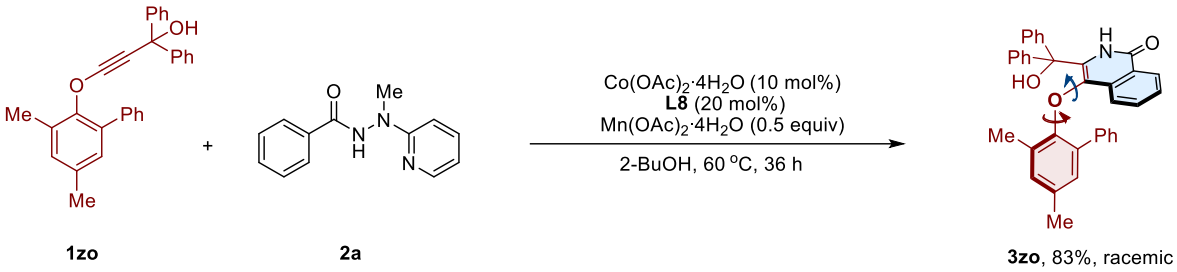
Yellow oil. (1.07 g, 53% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (d, *J* = 6.6 Hz, 2H), 7.57 – 7.40 (m, 7H), 7.35 – 7.22 (m, 6H), 7.09 (d, *J* = 13.5 Hz, 2H), 2.48 (s, 3H), 2.43 (s, 1H), 2.40 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 150.2, 145.9, 137.3, 135.9, 133.1, 131.4, 129.8, 129.6, 129.4, 128.5, 128.0, 127.7, 127.2, 125.9, 94.3, 74.2, 42.2, 20.9, 16.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{29}H_{25}O_2]^+$ required 405.1855, found 405.1865.

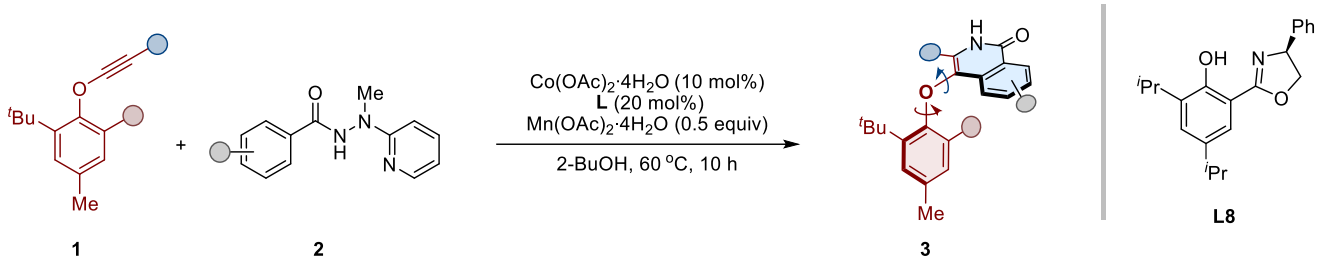
Table S15. The reaction of 1zo with 2a



1zo **2a** **3zo**, 83%, racemic

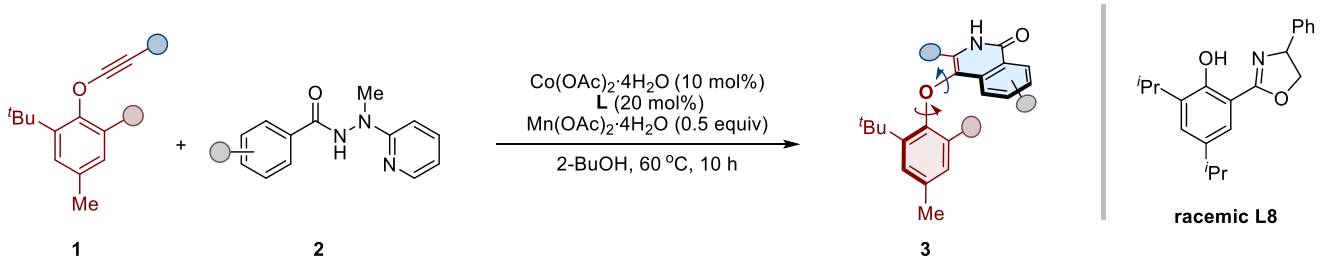
4. General procedure for synthesis of products 3 and 5.

4.1 General procedure for synthesis of products 3 and racemic products 3



1 2 3

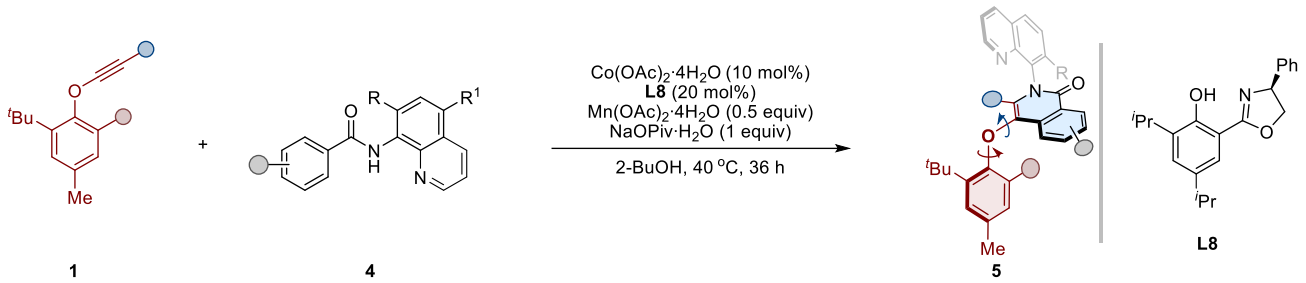
An oven-dried Schleck tube charged with magnetic stirrer was added **1** (0.12 mmol, 1.2 equiv), benzamide **2** (0.1 mmol, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv), and anhydrous solvent 2-BuOH (1 mL). Then, the reaction system was placed in preheated metal bath stirred at 60 °C for 36 h. After the reaction was completed, the mixture was cooled to room temperature and diluted with CH_2Cl_2 and filtered over a Celite. The reaction solution was concentrated in vacuum and purified on silica gel chromatography to afford the corresponding products **3**.



1 2 3

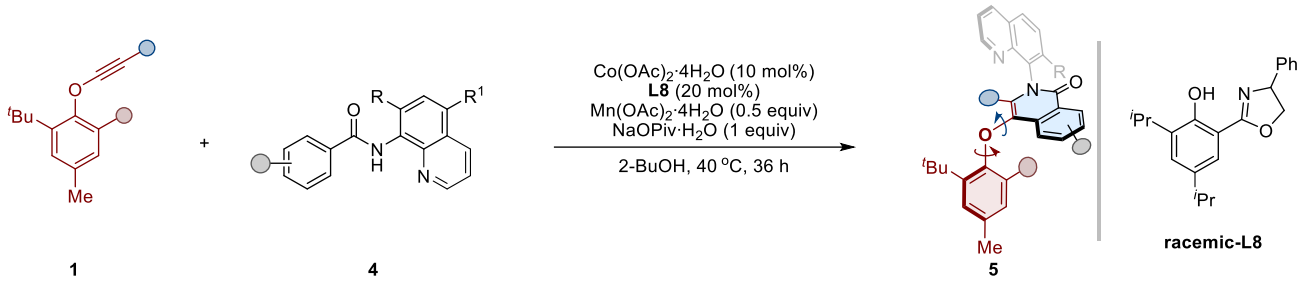
An oven-dried Schleck tube charged with magnetic stirrer was added **1** (0.12 mmol, 1.2 equiv), benzamide **2** (0.1 mmol, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), racemic **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv), and anhydrous solvent 2-BuOH (1 mL). Then, the reaction system was placed in preheated metal bath stirred at 60 °C for 36 h. After the reaction was completed, the mixture was cooled to room temperature and diluted with CH_2Cl_2 and filtered over a Celite. The reaction solution was concentrated in vacuum and purified on silica gel chromatography to afford the corresponding products **3**.

4.2 General procedure for synthesis of products 5 and racemic products 5



1 4 5

An oven-dried Schleck tube charged with magnetic stirrer was added **1** (0.12 mmol, 1.2 equiv), benzamide **4** (0.1 mmol, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv), $NaOPiv·H_2O$ (0.1 mmol, 14.4 mg, 1.0 equiv), and anhydrous solvent 2-BuOH (1 mL). Then, the reaction system was placed in preheated metal bath stirred at 40 °C for 36 h. After the reaction was completed, The mixture was cooled to room temperature and diluted with CH_2Cl_2 and filtered over a Celite. The reaction solution was concentrated in vacuum and purified on silica gel chromatography to afford the corresponding products **5**.

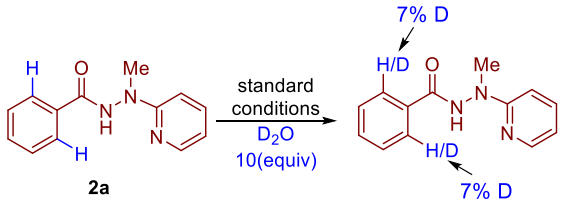


1 4 5

An oven-dried Schleck tube charged with magnetic stirrer was added **1** (0.12 mmol, 1.2 equiv), benzamide **4** (0.1 mmol, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), racemic **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv), $NaOPiv·H_2O$ (0.1 mmol, 14.4 mg, 1.0 equiv), and anhydrous solvent 2-BuOH (1 mL). Then, the reaction system was placed in preheated metal bath stirred at 40 °C for 36 h. After the reaction was completed, The mixture was cooled to room temperature and diluted with CH_2Cl_2 and filtered over a Celite. The reaction solution was concentrated in vacuum and purified on silica gel chromatography to afford the corresponding products **5**.

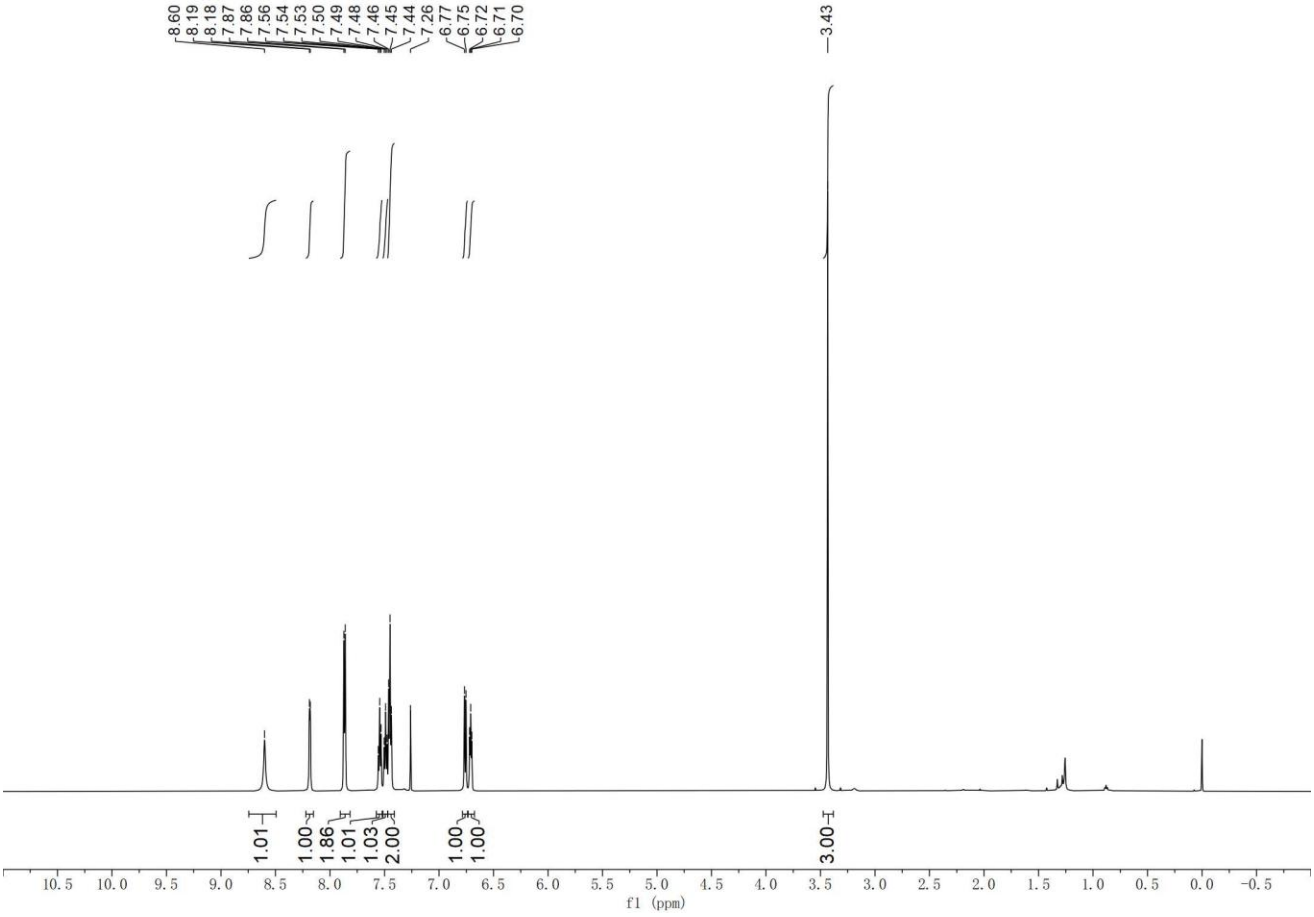
5. Mechanistic studies

5.1 H/D exchange experiments

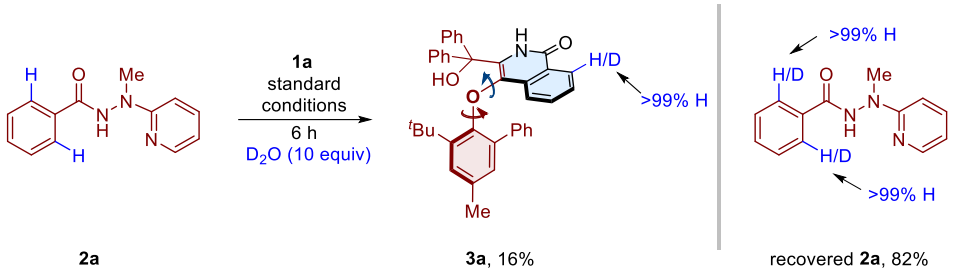


2a

An oven dried Schlenk tube charged with magnetic stirrer added benzamide **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv), D_2O (10 equiv) with subsequent addition of 2-BuOH (1 mL) as solvent. Then, the reaction system was placed in preheated metal bath stirred at 60 °C for 36 h. After the reaction was completed, the reaction mixture was diluted with DCM 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 petroleum ether/ethyl acetate (1:1) as eluent. ^{1}H NMR analysis showed that the D contents in the recovered amide were 7%.

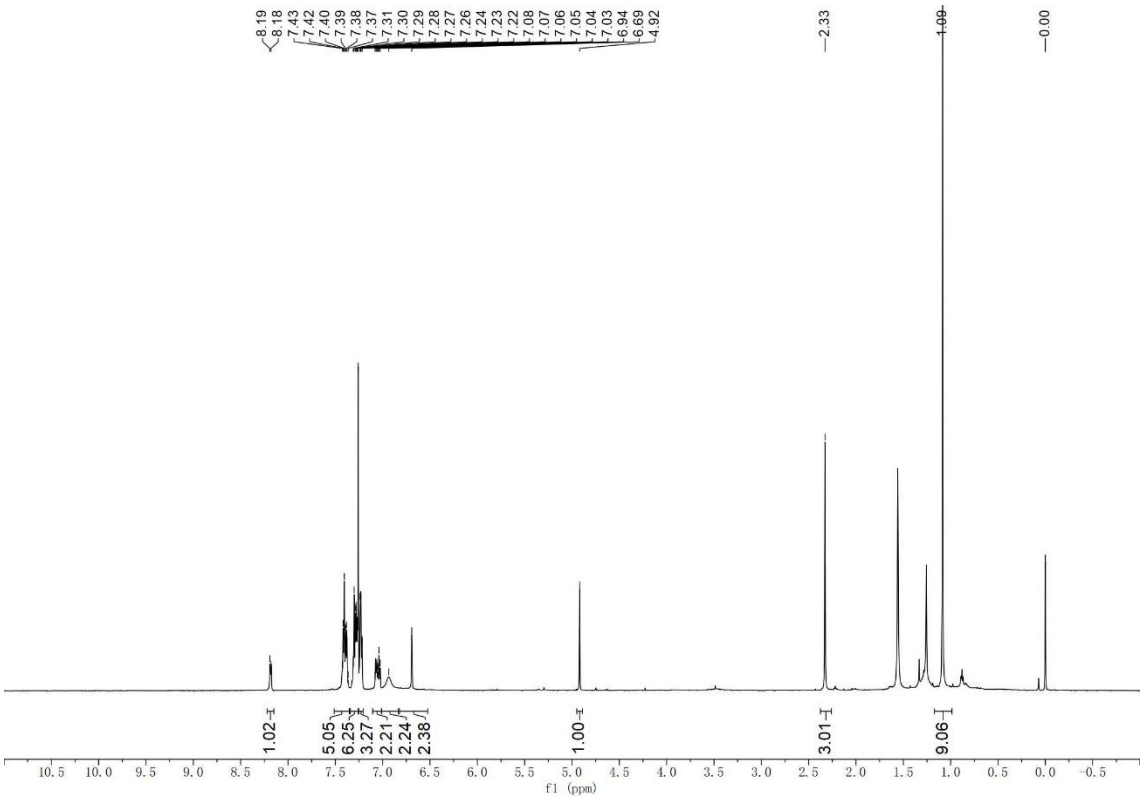


^{1}H NMR spectrum of recovered amide **2a**

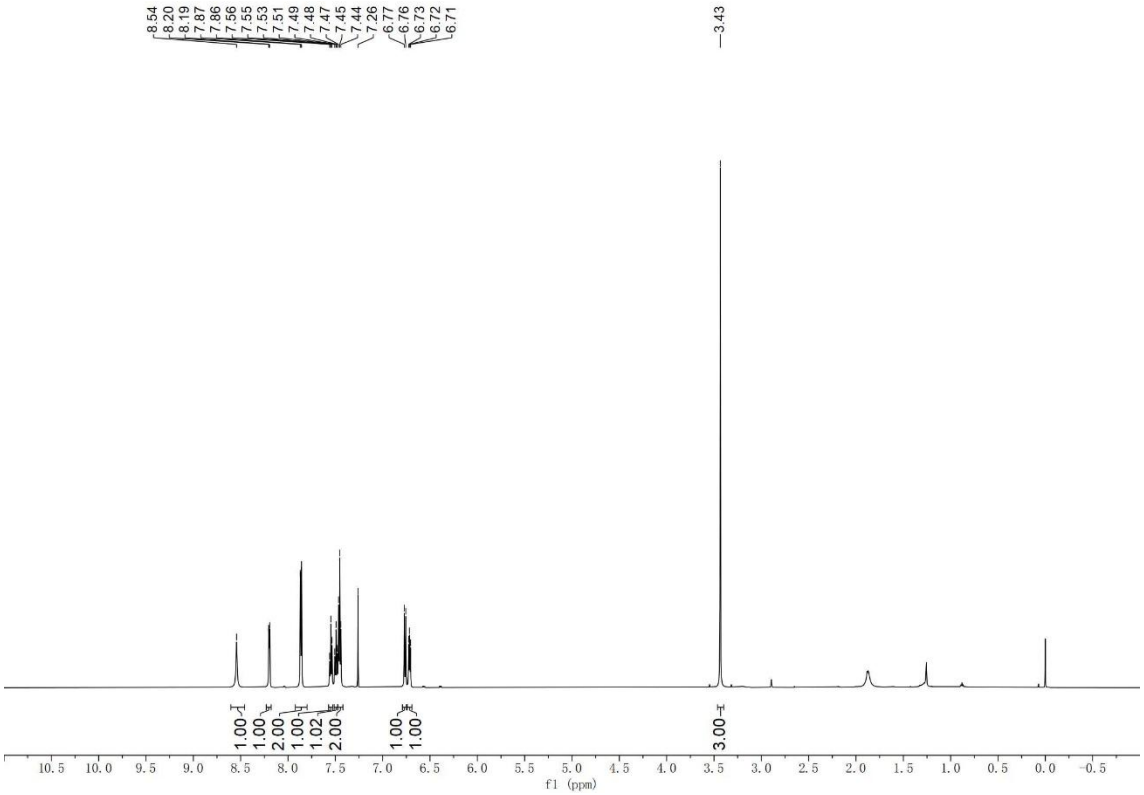


2a **3a**, 16% recovered **2a**, 82%

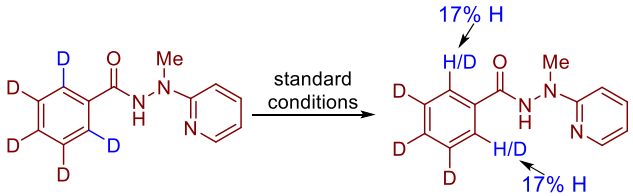
An oven-dried schlenk tube charged with magnetic stirrer added benzamide **2a** (0.1 mmol), **1a** (0.12 mmol), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv), D_2O (10 equiv) with subsequent addition of 2-BuOH (1 mL) as solvent. Then, the reaction system was placed in preheated metal bath stirred at 60 °C for 6 h. After the reaction was completed, the reaction mixture was diluted with DCM 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 petroleum ether/ethyl acetate (1:1) as eluent. **^{1}H NMR** analysis showed that the H contents in the **3a** and recovered amide were >99%.



^{1}H NMR spectrum of product **3a**

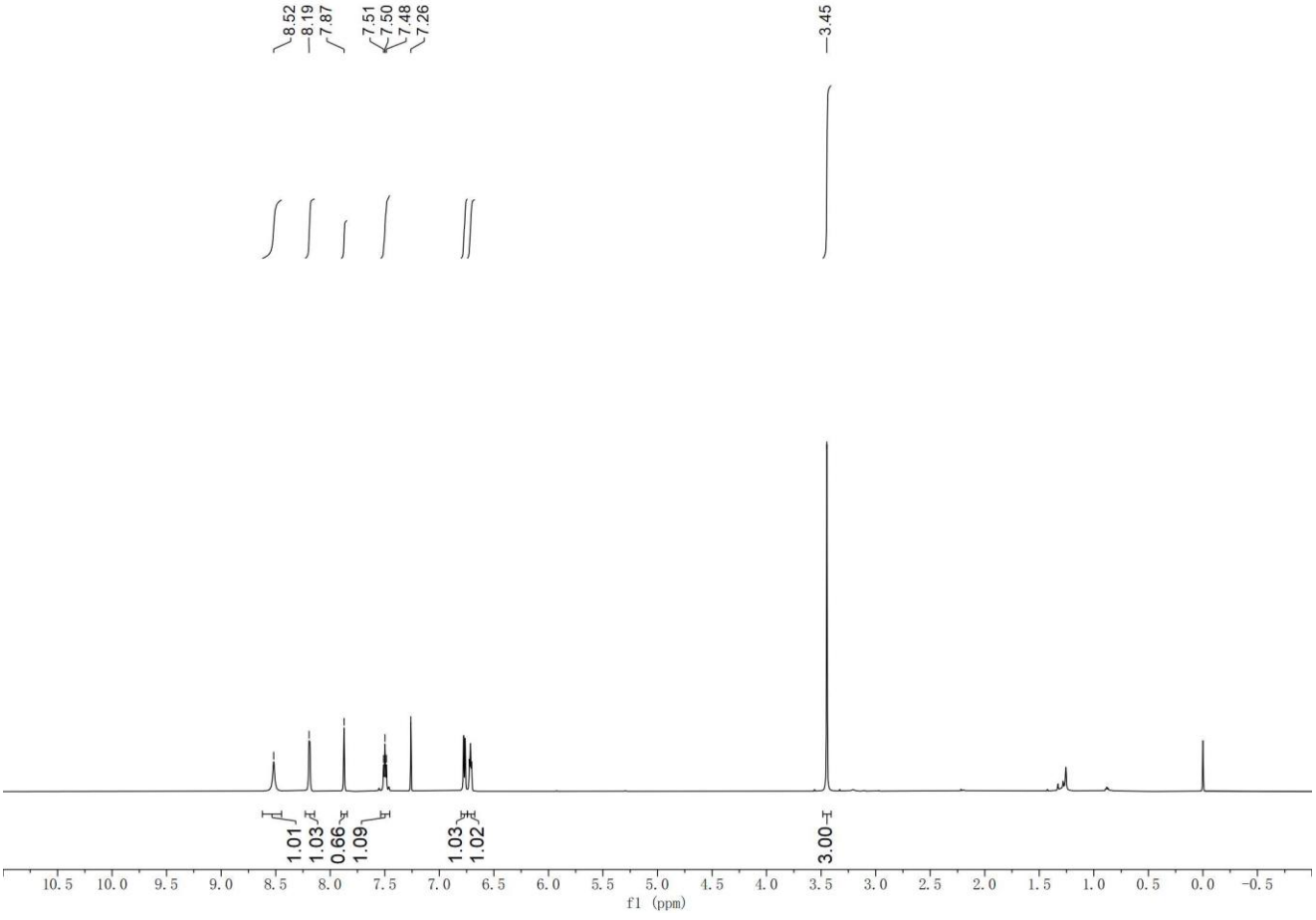


^{1}H NMR spectrum of recovered amide **2a**

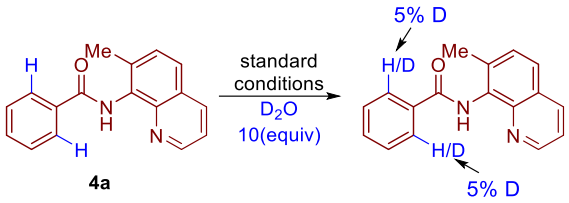


[D_5]-2a

An oven dried Schlenk tube charged with magnetic stirrer added Deuterated benzamide **[D_5]-2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv) with subsequent addition of 2-BuOH (1 mL) as solvent. Then, the reaction system was placed in preheated metal bath stirred at 60 °C for 36 h. After the reaction was completed, the reaction mixture was diluted with DCM 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 petroleum ether/ethyl acetate (1:1) as eluent. ^{1}H NMR analysis showed that the H contents in the recovered amide were 17%.

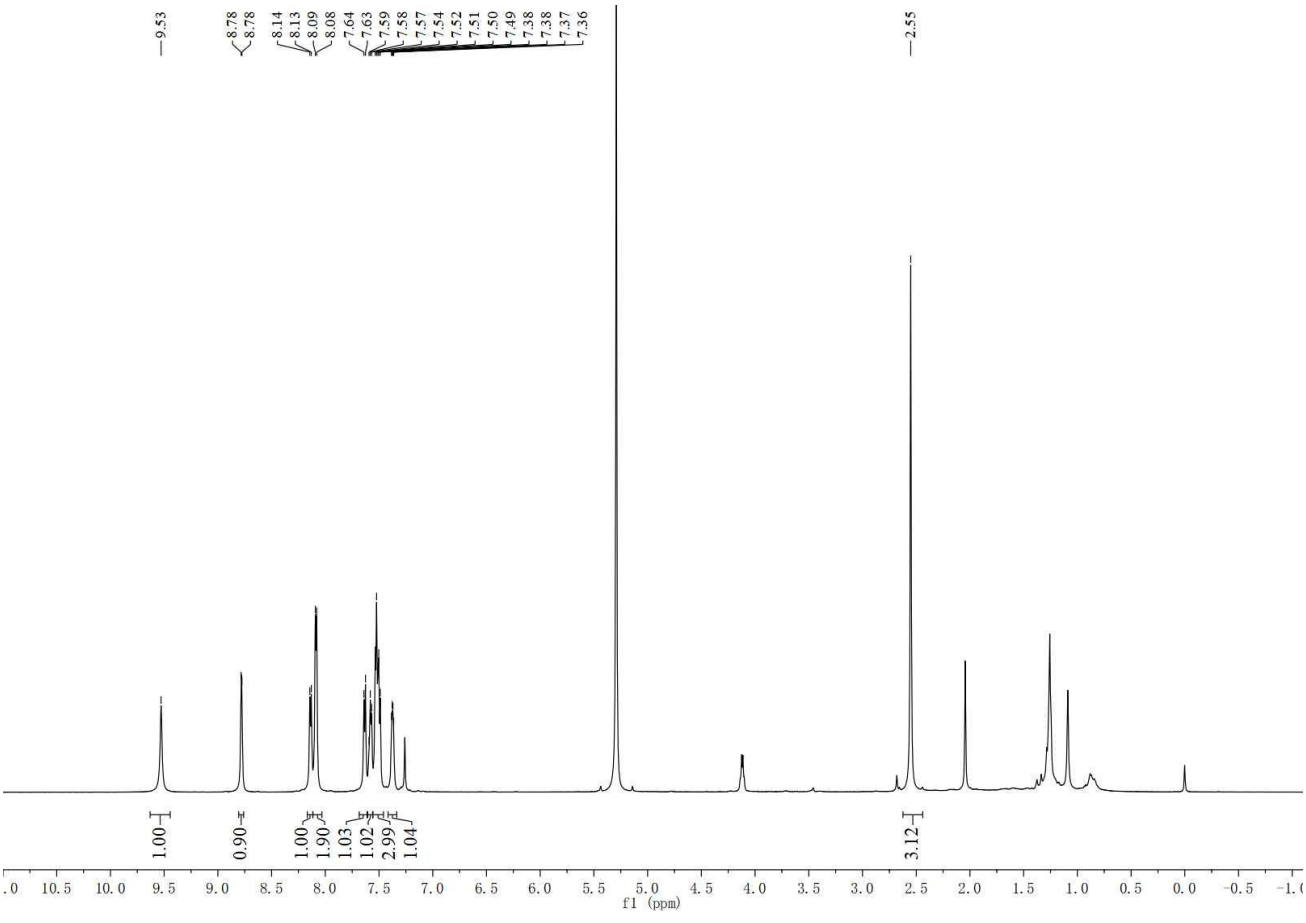


^{1}H NMR spectrum of recovered amide **[D_5]-2a**

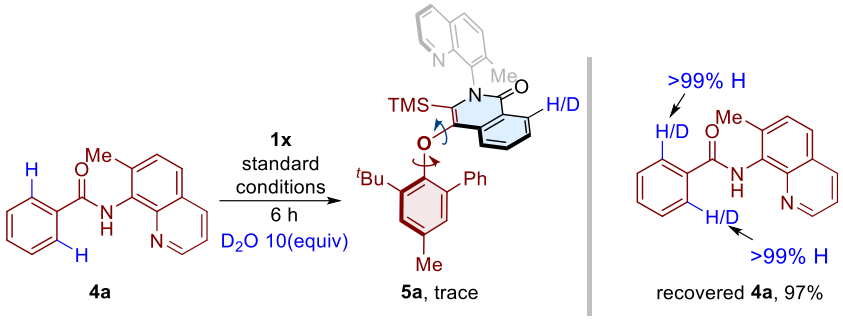


4a

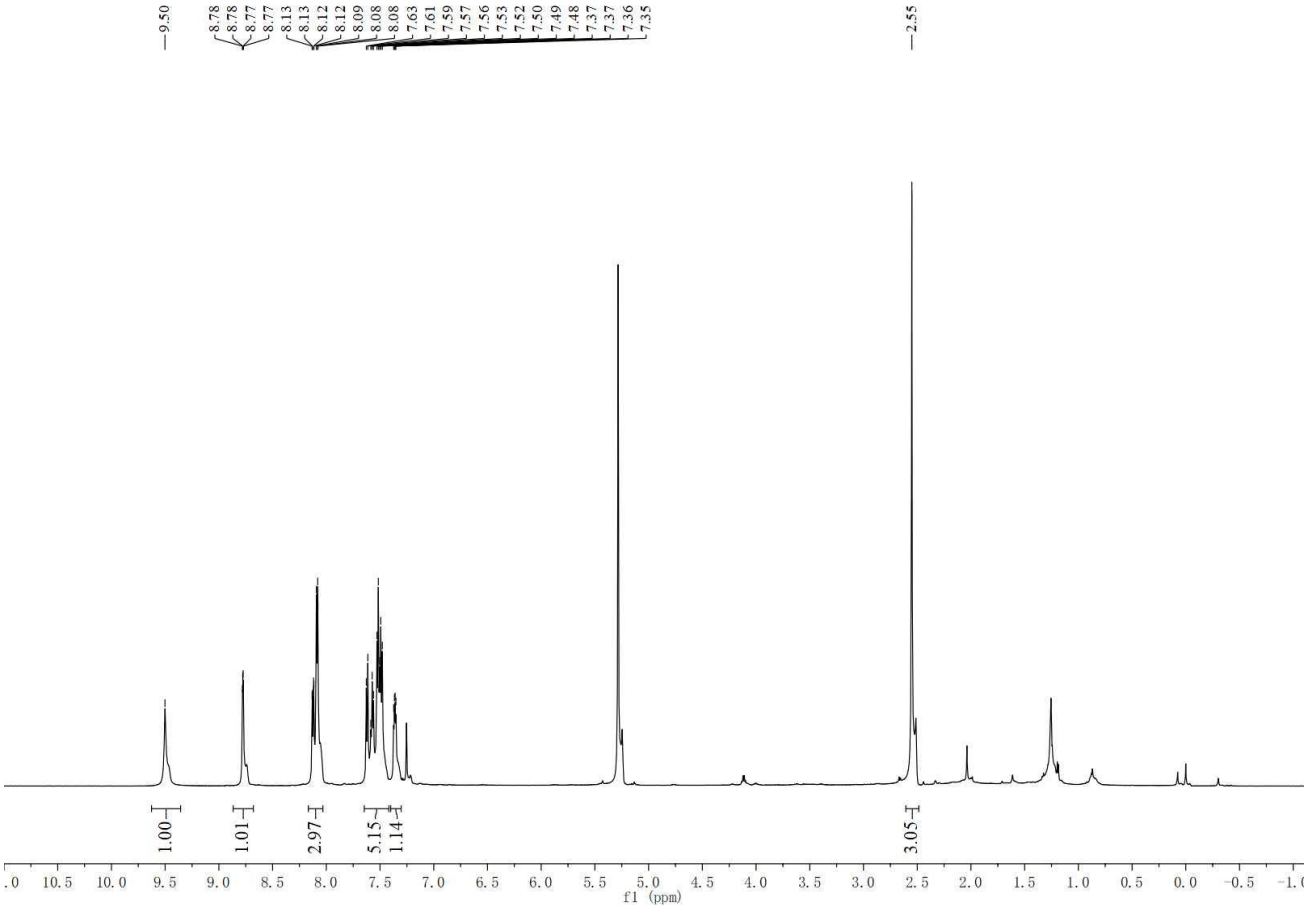
An oven dried Schlenk tube charged with magnetic stirrer added benzamide **4a** (0.1 mmol, 26 mg, 1.0 eq), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv), D_2O (10 equiv) with subsequent addition of 2-BuOH (1 mL) as solvent. Then, the reaction system was placed in preheated metal bath stirred at 40 °C for 36 h. After the reaction was completed, the reaction mixture was diluted with DCM 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 petroleum ether/ethyl acetate (2:1) as eluent. ^{1}H NMR analysis showed that the D contents in the recovered amide were 5%.



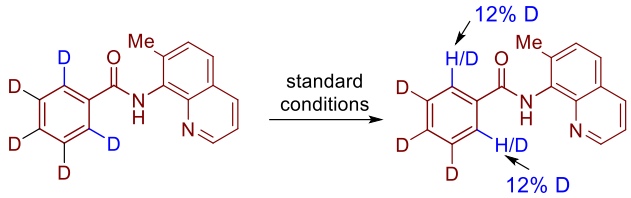
^{1}H NMR spectrum of recovered amide **4a**



An oven-dried schlenk tube charged with magnetic stirrer added benzamide **4a** (0.1 mmol), **1x** (0.12 mmol), $Co(OAc)_2·4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2·4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv), $NaOPiv·H_2O$ (0.1 mmol, 14.4 mg, 1 equiv), D_2O (10 equiv) with subsequent addition of 2-BuOH (1 mL) as solvent. Then, the reaction system was placed in preheated metal bath stirred at 40 ºC for 6 h. After the reaction was completed, the reaction mixture was diluted with DCM 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 petroleum ether/ethyl acetate (2:1) as eluent. **^{1}H NMR** analysis showed that the H contents in the **5a** and recovered amide were >99%.

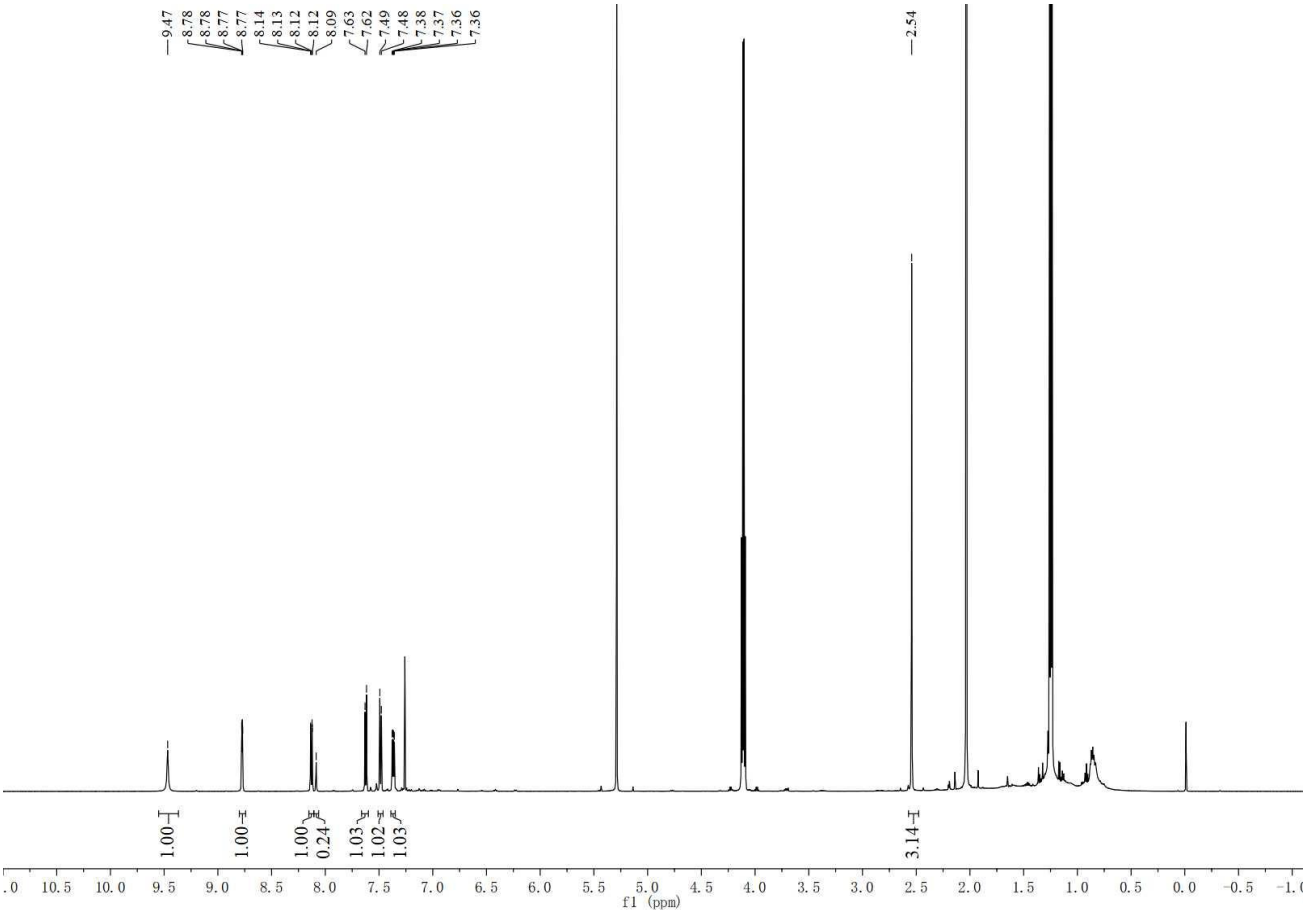


^{1}H NMR spectrum of recovered amide **4a**



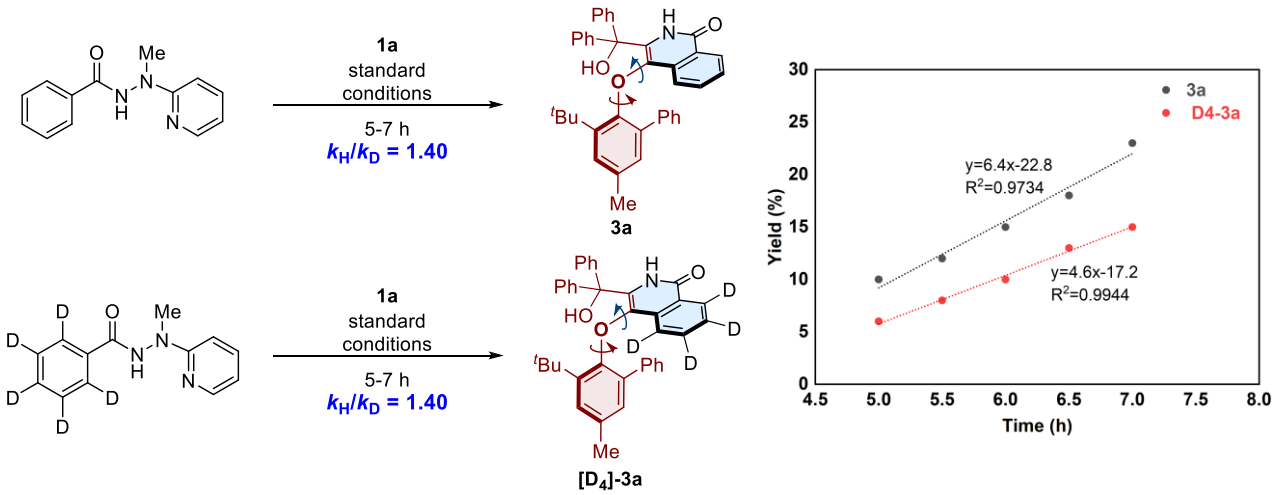
[D_5]-4a

An oven dried Schlenk tube charged with magnetic stirrer added Deuterated benzamide **[D_5]-4a** (0.1 mmol, 27 mg, 1.0 eq), $Co(OAc)_2{\cdot}4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2{\cdot}4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv) with subsequent addition of 2-BuOH (1 mL) as solvent. Then, the reaction system was placed in preheated metal bath stirred at 40 °C for 36 h. After the reaction was completed, the reaction mixture was diluted with DCM 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 petroleum ether/ethyl acetate (2:1) as eluent. ^{1}H NMR analysis showed that the H contents in the recovered amide were 12%.

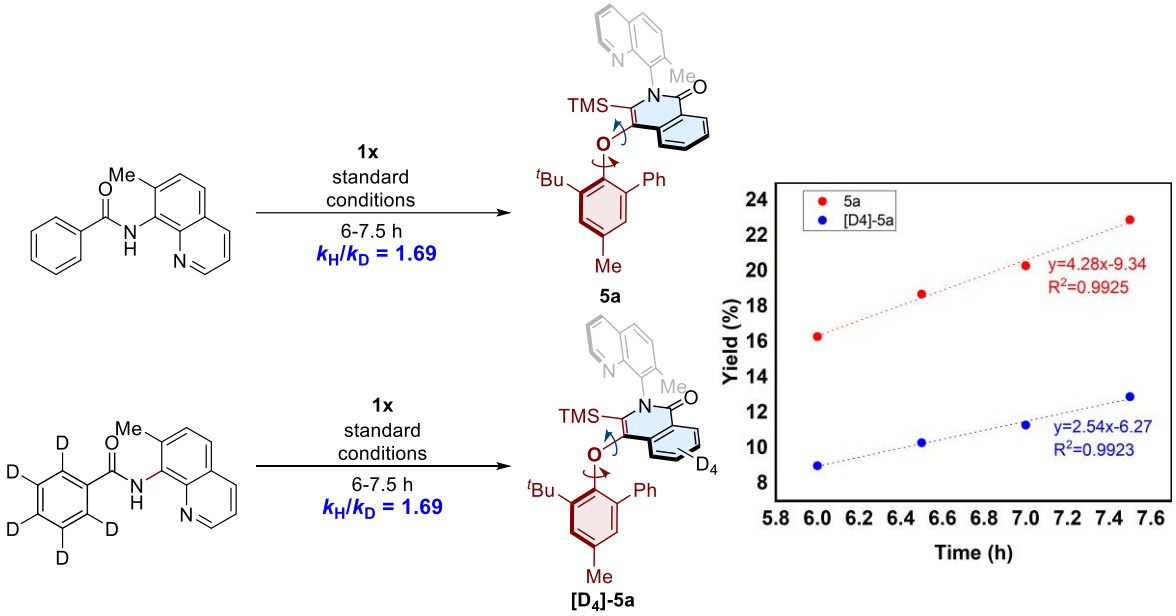


^{1}H NMR spectrum of recovered amide **[D_5]-4a**

5.2 Parallel KIE experiments



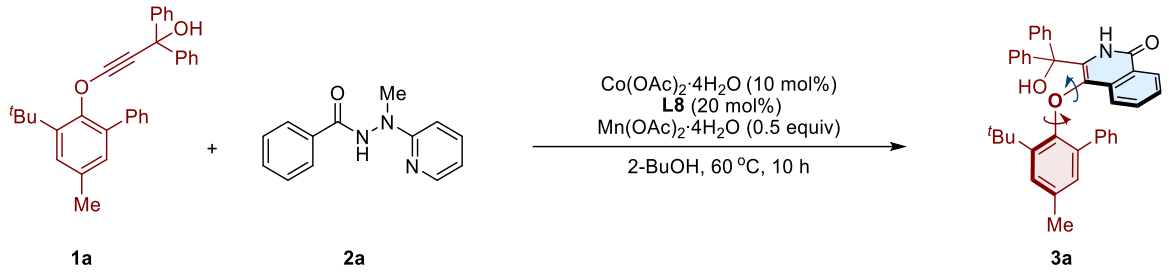
An oven dried Schlenk tube charged with magnetic stirrer added Deuterated benzamide **[D$_5$]-2a** or benzamide **2a** (0.1 mmol, 23 mg, 1.0 eq), $Co(OAc)_2{\cdot}4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.6 mg, 20 mol%), $Mn(OAc)_2{\cdot}4H_2O$ (0.05 mmol, 13 mg, 0.5 equiv) with subsequent addition of 2-BuOH (1 mL) as solvent. To this reaction mixture, **1a** (0.12 mmol, 54 mg, 1.2 equiv) was added. Then, the reaction system was stirred at 60 °C for 5.0 h, 5.5 h, 6.0 h, 6.5 h, 7.0 h. 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 (0.05 mmol, 5.4mg) as the internal standard. The KIE was determined as k_H/k_D = 6.40/4.60 = 1.40.



An oven dried schlenk tube charged with magnetic stirrer added Deuterated benzamide **[D$_5$]-4a** or benzamide **4a** (0.1 mmol, 26.2 mg, 1.0 equiv), **1x** (0.12 mmol, 39.8 mg, 1.2 equiv), $Co(OAc)_2 \cdot 4H_2O$ (0.01 mmol, 2.5 mg, 10 mol%), **L8** (0.02 mmol, 6.5 mg, 20 mol%), $Mn(OAc)_2 \cdot 4H_2O$ (0.05 mmol, 12.3mg, 0.5 equiv), $NaOPiv \cdot H_2O$ (0.1 mmol, 14.4 mg, 1 equiv), 2-BuOH (1 mL) as solvent. Then, the reaction system was placed in preheated metal bath stirred at 40 °C under Air for 6 h, 6.5 h, 7 h, 7.5 h. 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 1H NMR was taken using anisole (0.05 mmol, 5.4mg) as the internal standard.The KIE was determined as k_H/k_D = 4.28/2.54 = 1.69.

6.0 Synthetic applications

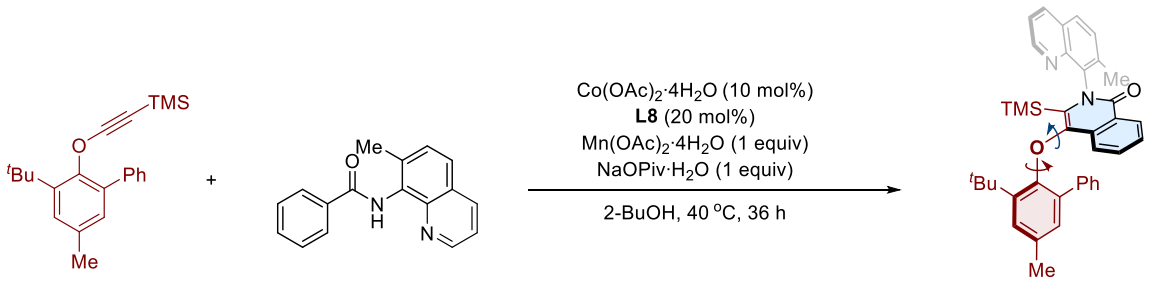
6.1. Gram-scale synthesis of 3a



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

An oven-dried Schleck tube charged with magnetic stirrer was added **1a** (3.0 mmol, 1.4 g, 1.2 equiv), b benzamide **2a** (2.5 mmol, 568 mg, 1.0 eq), $Co(OAc)_2{\cdot}4H_2O$ (0.25 mmol, 63 mg, 10 mol%), **L8** (0.5 mmol, 161.6 mg, 20 mol%), $Mn(OAc)_2{\cdot}4H_2O$ (1.25 mmol, 307.5 mg, 0.5 equiv), and anhydrous solvent 2-BuOH (20 mL) under air. Then, the reaction system was placed in preheated metal bath stirred at 60 °C for 36 h. After the reaction was completed, the mixture was cooled to room temperature and diluted with CH_2Cl_2 and filtered over a Celite. The reaction solution was concentrated in vacuum and purified on silica gel chromatography to afford the corresponding products **3a** (1.2 g, 83%, 96% ee).

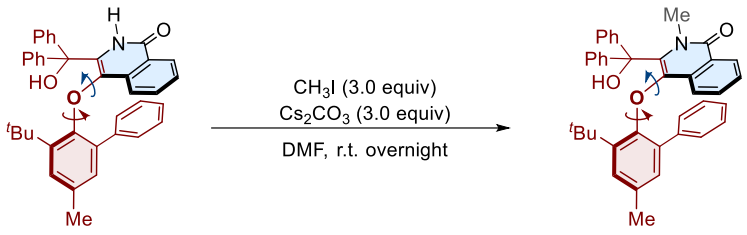
6.1. Gram-scale synthesis of 5a



1x **4a** **5a**

An oven dried Schleck tube charged with magnetic stirrer added benzamide **4a** (2.5 mmol, 655 mg, 1.0 eq), **1x** (2.8 mmol, 0.94 g, 1.2 equiv), $Co(OAc)_2{\cdot}4H_2O$ (0.25 mmol, 63 mg, 10 mol%), **L8** (0.5 mmol, 161.6 mg, 20 mol%), $Mn(OAc)_2{\cdot}4H_2O$ (1.25 mmol, 307.5 mg, 0.5 equiv), $NaOPiv{\cdot}H_2O$ (2.5 mmol, 360.4 mg, 1.0 equiv), and anhydrous solvent 2-BuOH (20 mL) under air. Then, the reaction system was placed in preheated metal bath stirred at 40 °C for 36 h. After the reaction was completed. The mixture was cooled to room temperature and diluted with CH_2Cl_2 and filtered over a Celite. The reaction solution was concentrated in vacuum and purified on silica gel chromatography to afford the corresponding products **5a** (1.1 g, 76%, 19:1 dr ,99% ee).

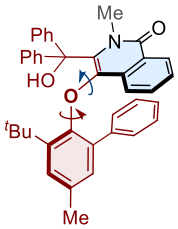
6.3. Transformations and Synthetic Applications of 3a and 5a



3a, 96% ee **6**, 86%, 96% ee

An oven-dried Schleck tube was charged with **3a** (0.1 mmol, 57.0 mg, 1.0 eq), MeI (0.3 mmol, 43.0 mg, 3.0 eq) and Cs_2CO_3 (0.3 mmol, 98.0 mg, 3.0 eq) in DMF (2 mL). Then, the reaction mixture was stirred at room temperature for overnight. After the completion of the reaction as indicated by TLC, water was added to quench the reaction. The product was extracted by ethyl acetate, washed with brine, dried over anhydrous Na_2SO_4, evaporated the solvent under vacuum, and purified by silica gel column chromatography (petroleum ether/dichloromethane = 2/1) to afford the product **6** as a white solid (49.9 mg, 86% yield, 96% ee).

(*S*$_a$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)-2-methylisoquinolin-1(2H)-one (6)



(PE:DCM = 2:1) White solid. (49.9 mg, 86% yield, 96% ee). mp: 176–177 °C.

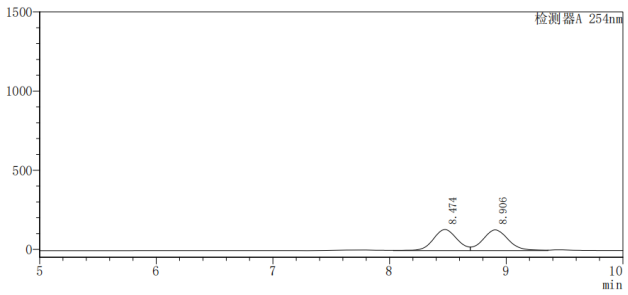
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.93 (d, *J* = 8.2 Hz, 1H), 7.35 (t, *J* = 7.6 Hz, 1H), 7.28 (d, *J* = 9.5 Hz, 7H), 7.25 – 7.18 (m, 6H), 6.79 (t, *J* = 7.4 Hz, 1H), 6.68 (t, *J* = 7.6 Hz, 2H), 6.64 (s, 1H), 6.45 (s, 2H), 5.63 (s, 1H), 3.50 (s, 3H), 2.32 (s, 3H), 1.16 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 153.5, 150.7, 147.4, 146.7, 140.7, 140.1, 139.8, 138.2, 132.6, 132.4, 132.1, 131.6, 129.5, 129.1, 129.0, 128.2, 128.1, 127.8, 127.3, 127.0, 126.6, 126.3, 126.0, 125.9, 124.4, 122.0, 119.3, 83.2, 53.4, 35.2, 30.3, 21.0.

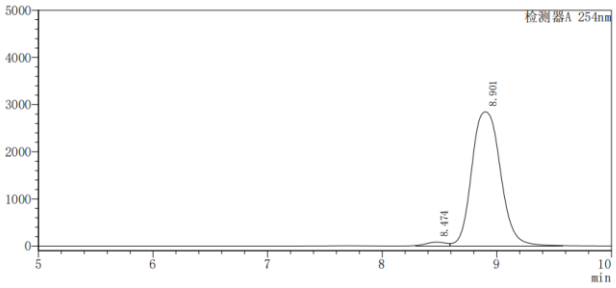
HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{40}H_{38}NO_3]^+$ required 580.2852, found 580.2853.

$[\alpha]_D^{25}$ = +44.67 (c = 0.05, CH_2Cl_2).

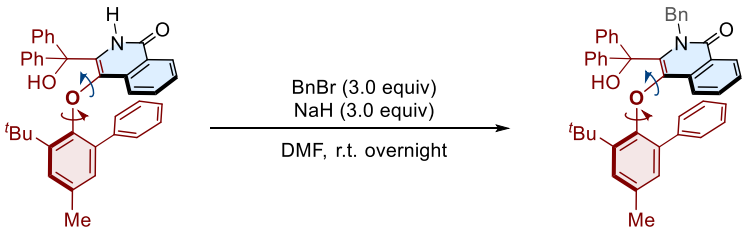
HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 95/5, 0.6 mL/min, λ = 254 nm, t (minor) = 8.474 min, t (major) = 8.901 min.



Peak	RetTime	Area	Height	Area%
1	8.474	1879966	132697	48.972
2	8.906	1958918	130531	51.028



Peak	RetTime	Area	Height	Area%
1	8.474	1029783	82249	2.028
2	8.901	49744665	2846300	97.972

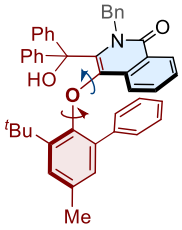


3a, 96% ee

7, 85% yield, 96% ee

An oven-dried Schleck tube was charged with **3a** (0.1 mmol, 57.0 mg, 1.0 eq), BnBr (0.3 mmol, 53.1 mg, 3.0 eq) and NaH (0.3 mmol, 12.0 mg, 3.0 eq) in DMF (2 mL). Then, the reaction mixture was stirred at room temperature for overnight. After the completion of the reaction as indicated by TLC, water was added to quench the reaction. The product was extracted by ethyl acetate, washed with brine, dried over anhydrous Na_2SO_4, evaporated the solvent under vacuum, and purified by silica gel column chromatography (petroleum ether/dichloromethane = 2/1) to afford the product **7** as a white solid (55.8 mg, 85% yield, 96% ee).

(S_a)-2-benzyl-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (7)



(PE:DCM = 2:1) White solid. (55.8 mg, 85% yield, 96% ee). mp: 202–203 °C.

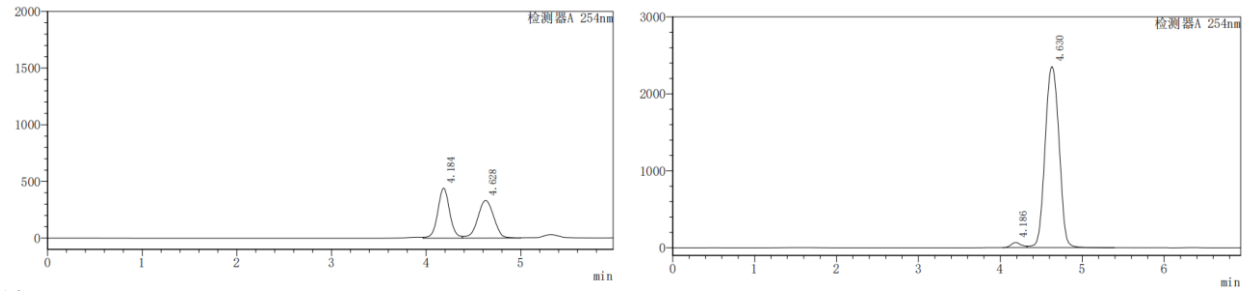
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.02 (d, *J* = 8.2 Hz, 1H), 7.35 (t, *J* = 7.5 Hz, 1H), 7.28 (t, *J* = 6.3 Hz, 8H), 7.25 – 7.15 (m, 8H), 7.08 (d, *J* = 7.9 Hz, 2H), 6.67 – 6.59 (m, 4H), 6.49 (s, 2H), 5.53 (s, 1H), 5.03 (d, *J* = 12.6 Hz, 1H), 4.91 (d, *J* = 12.6 Hz, 1H), 2.32 (s, 3H), 1.15 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 152.7, 150.6, 147.5, 146.7, 140.8, 140.2, 139.9, 138.1, 137.9, 132.7, 132.5, 132.0, 131.6, 129.5, 129.2, 129.1, 128.3, 128.1 (2C), 128.0, 127.7, 127.6, 127.2, 127.1, 126.7, 126.4, 126.1, 125.9, 124.5, 122.0, 119.4, 83.3, 67.2, 35.2, 30.3, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{46}H_{42}NO_3]^+$ required 656.3165, found 656.3171.

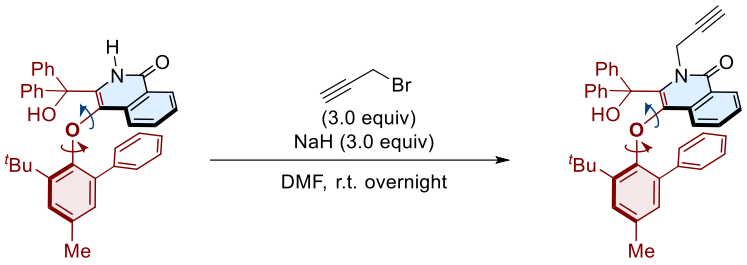
$[\alpha]_D^{25}$ = +53.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 95/5, 0.6 mL/min, λ = 254 nm, t (minor) = 4.186 min, t (major) = 4.630 min.



Peak	RetTime	Area	Height	Area%
1	4.184	3868446	442220	49.657
2	4.628	3921895	332416	50.343

Peak	RetTime	Area	Height	Area%
1	4.186	573349	65551	2.013
2	4.630	27909324	2351187	97.987

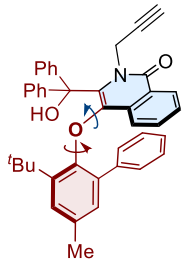


3a, 96% ee

8, 85% yield, 96% ee

An oven-dried Schleck tube was charged with **3a** (0.1 mmol, 57.0 mg, 1.0 eq), 3-bromoprop-1-yne (0.3 mmol, 36.0 mg, 3.0 eq) and NaH (0.3 mmol, 12.0 mg, 3.0 eq) in DMF (2 mL). Then, the reaction mixture was stirred at room temperature for overnight. After the completion of the reaction as indicated by TLC, water was added to quench the reaction. The product was extracted by ethyl acetate, washed with brine, dried over anhydrous Na_2SO_4, evaporated the solvent under vacuum, and purified by silica gel column chromatography (petroleum ether/dichloromethane = 4/1) to afford the product **8** as a yellow oil (53.2 mg, 85% yield, 96% ee).

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)-2-(prop-2-yn-1-yl)isoquinolin-1(2H)-one (8)



(PE:DCM = 4:1) yellow oil. (53.2 mg, 85% yield, 96% ee).

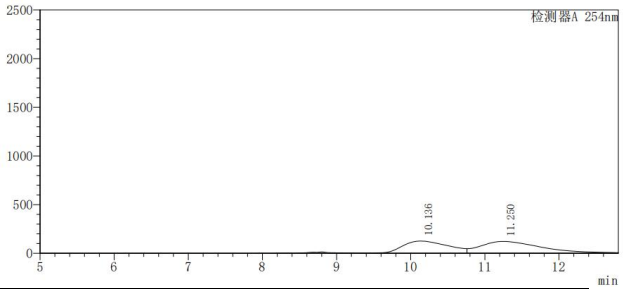
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.98 (d, *J* = 8.2 Hz, 1H), 7.37 (t, *J* = 7.6 Hz, 1H), 7.30 (p, *J* = 7.6 Hz, 5H), 7.26 – 7.23 (m, 2H), 7.21 (d, *J* = 8.8 Hz, 6H), 6.81 (t, *J* = 7.4 Hz, 1H), 6.72 (t, *J* = 7.6 Hz, 2H), 6.67 (s, 1H), 6.59 (s, 2H), 5.41 (s, 1H), 4.48 (d, *J* = 14.3 Hz, 1H), 4.33 (d, *J* = 19.3 Hz, 1H), 2.33 (s, 4H), 1.15 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.6, 150.6, 147.6, 146.5, 141.3, 140.2, 139.9, 138.0, 132.7, 132.6, 132.0, 131.6, 129.6, 129.3, 129.0, 128.1, 128.0, 127.8, 127.3, 127.0, 126.6, 126.5, 126.3, 126.2, 124.4, 122.0, 119.1, 83.4, 79.6, 73.8, 53.4, 35.2, 30.3, 21.0.

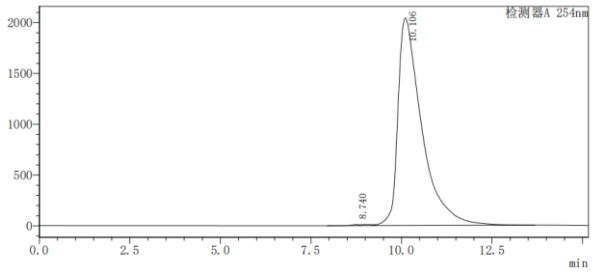
HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{42}H_{37}KNO_3]^+$ required 642.2411, found642.2405.

$[\alpha]_D^{25}$ = -38.33 (c = 0.05, CH_2Cl_2).

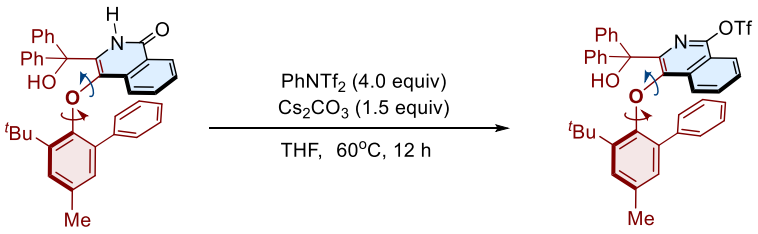
HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 95/5, 0.8 mL/min, λ = 254 nm, t (minor) = 4.186 min, t (major) = 4.630 min.



Peak	RetTime	Area	Height	Area%
1	10.136	5373182	120467	49.657
2	11.250	7055726	245705	50.343



Peak	RetTime	Area	Height	Area%
1	8.740	421116	13537	2.213
2	10.106	93670719	2042385	97.787

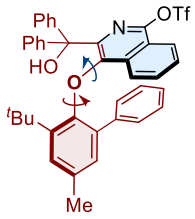


3a, 96% ee

9, 92% yield, 96% ee

An oven-dried Schleck tube was charged with **3a** (0.1 mmol, 57.0 mg, 1.0 eq), Cs_2CO_3 (0.15 mmol, 48.9 mg) $PhNTf_2$ (0.4 mmol, 142.8 mg) and THF (2 mL). The resulted mixture was stirred at 60 ºC for 12 h. After the reaction was completed, the solvent was removed under reduced pressure. Then the residue was purified by silica gel column chromatography (petroleum ether/ dichloromethane = 2/1) to afford the product **9** as a white solid (64.2 mg, 92% yield, 96% ee).

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1-yl trifluoromethanesulfonate (9)



(PE:DCM = 2:1) White solid. (64.2 mg, 92% yield, 96% ee). mp: 150–151 ºC.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.85 (d, J = 8.4 Hz, 1H), 7.55 (t, J = 7.6 Hz, 1H), 7.40 (t, J = 7.9 Hz, 1H), 7.34 – 7.28 (m, 4H), 7.28 – 7.20 (m, 6H), 7.15 – 7.08 (m, 2H), 6.91 (t, J = 7.4 Hz, 1H), 6.89 – 6.77 (m, 2H), 6.67 (d, J = 75.8 Hz, 3H), 5.13 (s, 1H), 2.36 (s, 3H), 1.12 (s, 9H).

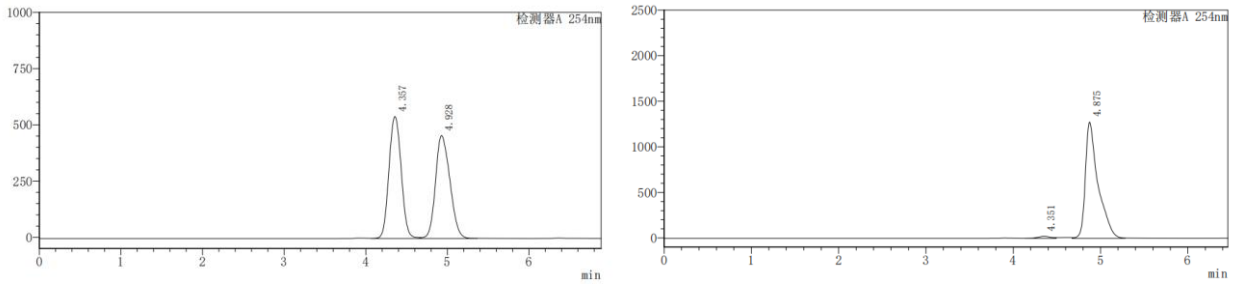
^{13}C NMR (151 MHz, $CDCl_3$) δ 150.4, 146.9, 146.4, 145.3, 144.3, 142.0, 140.2, 137.3, 133.9, 133.0, 132.1, 131.9, 130.1, 129.5, 128.9, 128.4 (2C), 128.1, 127.8, 127.7, 127.3, 127.1 (2C), 127.0, 123.4, 122.7, 120.6, 119.5, 117.3, 83.5, 35.1, 30.3, 21.1.

^{19}F NMR (565 MHz, $CDCl_3$) δ -72.97.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{40}H_{35}F_3NO_5S]^+$ required 698.2188, found 698.2178.

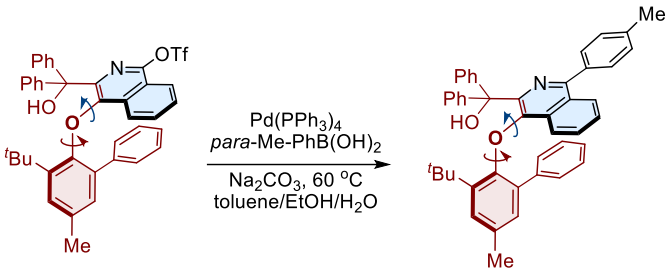
$[\alpha]_D^{25}$ = +14.00 (c = 0.5, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 95/5, 0.6 mL/min, λ = 254 nm, t (minor) = 4.351 min, t (major) = 4.875 min.



Peak	RetTime	Area	Height	Area%
1	4.357	5681156	542941	50.217
2	4.928	5632006	457536	49.783

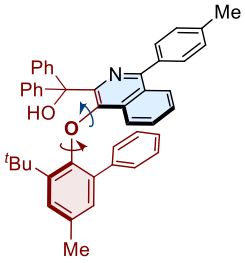
Peak	RetTime	Area	Height	Area%
1	4.351	252342	23169	1.915
2	4.875	12926459	1277841	98.085



9, 96% ee **10**, 88%, 96% ee

An oven-dried Schleck tube was charged with **9** (0.1 mmol, 70.0 mg, 1.0 eq), *p*-tolylboronic acid (0.2 mmol, 27.2 mg), $Pd(PPh_3)_4$ (4 mol%, 4.6 mg), Na_2CO_3 (0.2 mmol, 21.2 mg) and toluene:EtOH:H_2O (2 mL, 10:1:1). Then, the reaction mixture was stirred at 60 °C for 12 h. After the completion of the reaction as indicated by TLC, water was added to quench the reaction. The solvent was evaporated under vacuum and the residue was purified by flash column chromatography (petroleum ether/ dichloromethane = 1/1) to afford the product **10** as a white solid (56.3 mg, 88% yield, 96% ee).

(S_a)-(4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1-(p-tolyl)isoquinolin-3-yl)diphenylmethanol (10)



(PE:DCM = 1:1) White solid. (56.3 mg, 88% yield, 96% ee). mp: 172–173 °C.

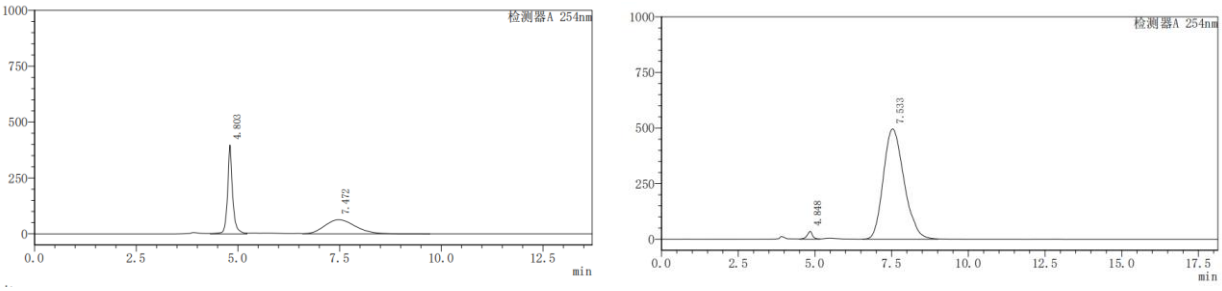
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.77 (t, *J* = 8.3 Hz, 4H), 7.38 – 7.30 (m, 3H), 7.30 – 7.13 (m, 14H), 6.53 (t, *J* = 7.5 Hz, 1H), 6.39 (s, 1H), 6.29 (t, *J* = 7.6 Hz, 2H), 5.26 (s, 1H), 2.39 (s, 3H), 2.20 (s, 3H), 1.15 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 151.2, 150.8, 145.9, 144.9, 144.5, 139.6, 139.2, 138.7, 138.5, 136.1, 132.2, 132.1, 131.8, 130.1, 130.0, 129.7, 129.1, 128.9, 128.4, 128.3 (2C), 128.2, 128.0, 127.6, 127.4, 127.3, 126.3, 126.2, 125.4, 122.4, 80.4, 35.4, 30.3, 21.5, 21.0.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{46}H_{41}NNaO_2]^+$ required 662.3035, found 662.3033.

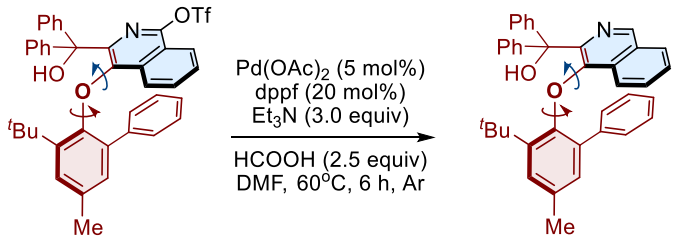
$[\alpha]_D^{25}$ = +23.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 95/5, 0.8 mL/min, λ = 254 nm, t (minor) = 4.848 min, t (major) = 7.533 min.



Peak	RetTime	Area	Height	Area%
1	4.803	3456521	398745	50.743
2	7.472	3355328	63371	49.257

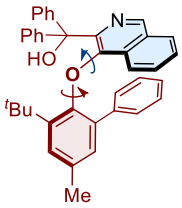
Peak	RetTime	Area	Height	Area%
1	4.848	443101	35634	1.867
2	7.533	23292470	496241	98.133



9, 96% ee **11**, 78%, 96% ee

An oven-dried Schleck tube was charged with **9** (0.1 mmol, 70.0 mg, 1.0 eq) $Pd(OAc)_2$ (5 mol%, 1.1 mg), dppf (10 mol%, 5.5 mg), HCOOH (0.25 mmol, 2.5 equiv), Et_3N (0.3 mmol, 3 equiv) and DMF (2 mL). The resulted mixture was stirred at 60 °C for 3 h. After the completion of the reaction as indicated by TLC, water was added to quench the reaction. The product was extracted by ethyl acetate, washed with brine, dried over anhydrous Na_2SO_4, evaporated the solvent under vacuum, and purified by silica gel column chromatography (petroleum ether/ dichloromethane = 1/1) to afford the product **11** as a white solid (42.9 mg, 78% yield, 96% ee).

(S_a)-(4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)isoquinolin-3-yl)diphenylmethanol (11)



(PE:DCM = 1:1) White solid. (42.9 mg, 78% yield, 96% ee). mp: 145–146 °C.

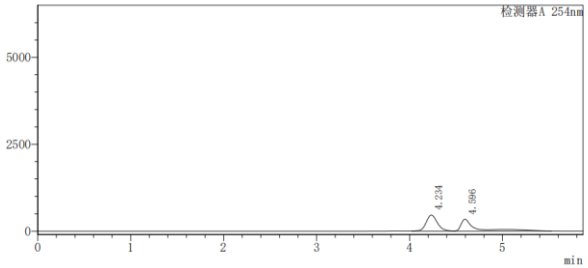
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.52 (s, 1H), 7.71 (d, J = 8.2 Hz, 1H), 7.44 – 7.36 (m, 3H), 7.28 (dd, J = 21.3, 9.2 Hz, 8H), 7.20 (t, J = 9.6 Hz, 3H), 6.78 (t, J = 7.3 Hz, 1H), 6.72 – 6.54 (m, 3H), 6.31 (s, 1H), 6.16 (s, 2H), 2.33 (s, 3H), 1.13 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 150.6, 146.8, 146.4, 145.8, 144.2, 143.6, 140.1, 138.0, 133.2, 133.1, 131.9, 129.6, 129.1, 128.9, 128.8, 128.7 (2C), 128.2, 127.8 (2C), 127.7, 127.4, 127.1, 126.7, 126.5, 126.4, 122.2, 82.8, 35.2, 30.3, 21.1.

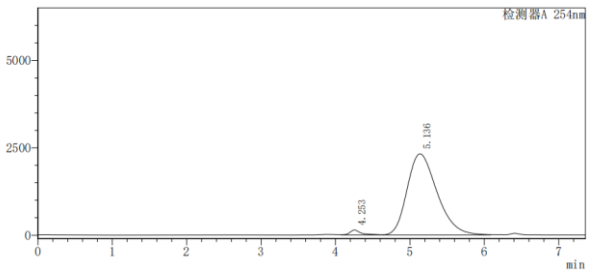
HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{39}H_{36}NO_2]^+$ required 550.2746, found 550.2747.

$[\alpha]_D^{25}$ = -37.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 0.8 mL/min, λ = 254 nm, t (minor) = 4.253 min, t (major) = 5.136 min.



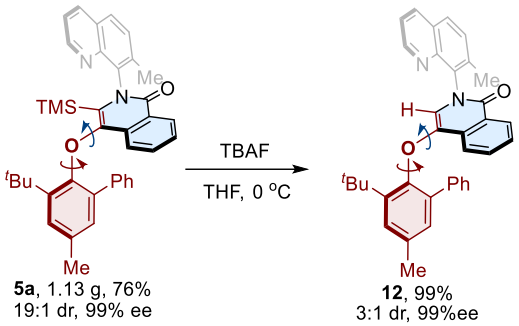
Peak	RetTime	Area	Height	Area%
1	4.234	1541482	51782	51.891



Peak	RetTime	Area	Height	Area%
1	4.253	1604148	142890	2.102

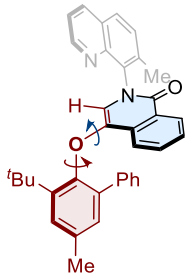
2	4.596	1429152	51939	48.109

2	5.136	65177543	2324317	97.898



An oven-dried Schleck tube was charged with **5a** (0.1 mmol, 59.6 mg, 1.0 eq), TBAF (0.2 mmol, 52.3 mg, 2 eq), and THF (3 mL) under Ar.The resulted mixture was stirred at 0 °C for 15 min. After the completion of the reaction as indicated by TLC, water was added to quench the reaction. The product was extracted by ethyl acetate, washed with brine, dried over anhydrous Na_2SO_4, evaporated the solvent under vacuum, and purified by silica gel column chromatography (PE/ EA = 2/1) to afford the product **12** as a white solid (52.4 mg, 99% yield, 3:1 dr, 99% ee).

(S_{aC-O},S_{aC-N})-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)isoquinolin-1(2H)-one (12)



(PE:EA = 2:1) White solid. (52.4 mg, 99% yield, 3:1 dr, 99% ee). mp: 143–144 °C.

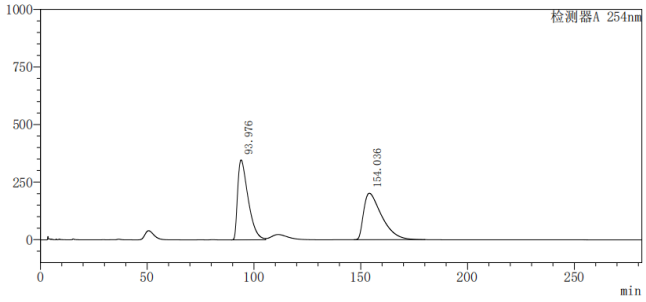
^{1}H NMR (600 MHz, CDCl3) δ 8.68 – 8.60 (m, 1H), 8.35 (d, *J* = 8.1 Hz, 1H), 8.17 (d, *J* = 8.3 Hz, 1H), 8.07 (d, *J* = 8.0 Hz, 1H), 7.80 – 7.74 (m, 2H), 7.55 – 7.50 (m, 1H), 7.46 (d, *J* = 8.5 Hz, 1H), 7.40 (d, *J* = 7.7 Hz, 2H), 7.16 – 7.12 (m, 2H), 7.07 (t, *J* = 7.3 Hz, 2H), 7.01 (d, *J* = 7.4 Hz, 1H), 6.98 (s, 1H), 5.92 (s, 1H), 2.30 (s, 3H), 2.16 (s, 3H), 1.46 (s, 9H).

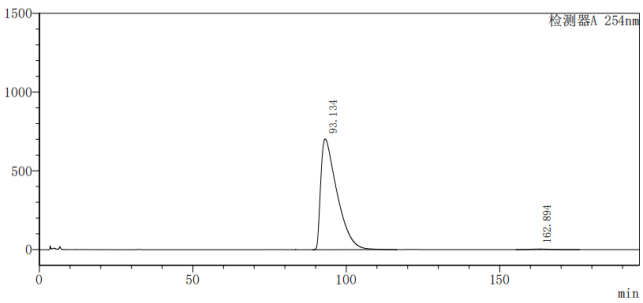
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.8, 150.8, 148.4, 143.6, 138.7, 138.0, 137.8, 135.6, 135.4, 134.4, 132.7, 132.0, 130.3, 129.5, 129.2, 128.8, 128.4, 128.2, 128.0, 127.8, 127.7, 127.6, 127.2, 127.0, 125.9, 121.3, 120.9, 117.7, 35.4, 31.2, 21.3, 18.4.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{36}H_{33}N_2O_2]^+$ required 525.6720, found 525.6712.

$[\alpha]_D^{25}$ = -73.33 (c = 0.05, CH_2Cl_2).

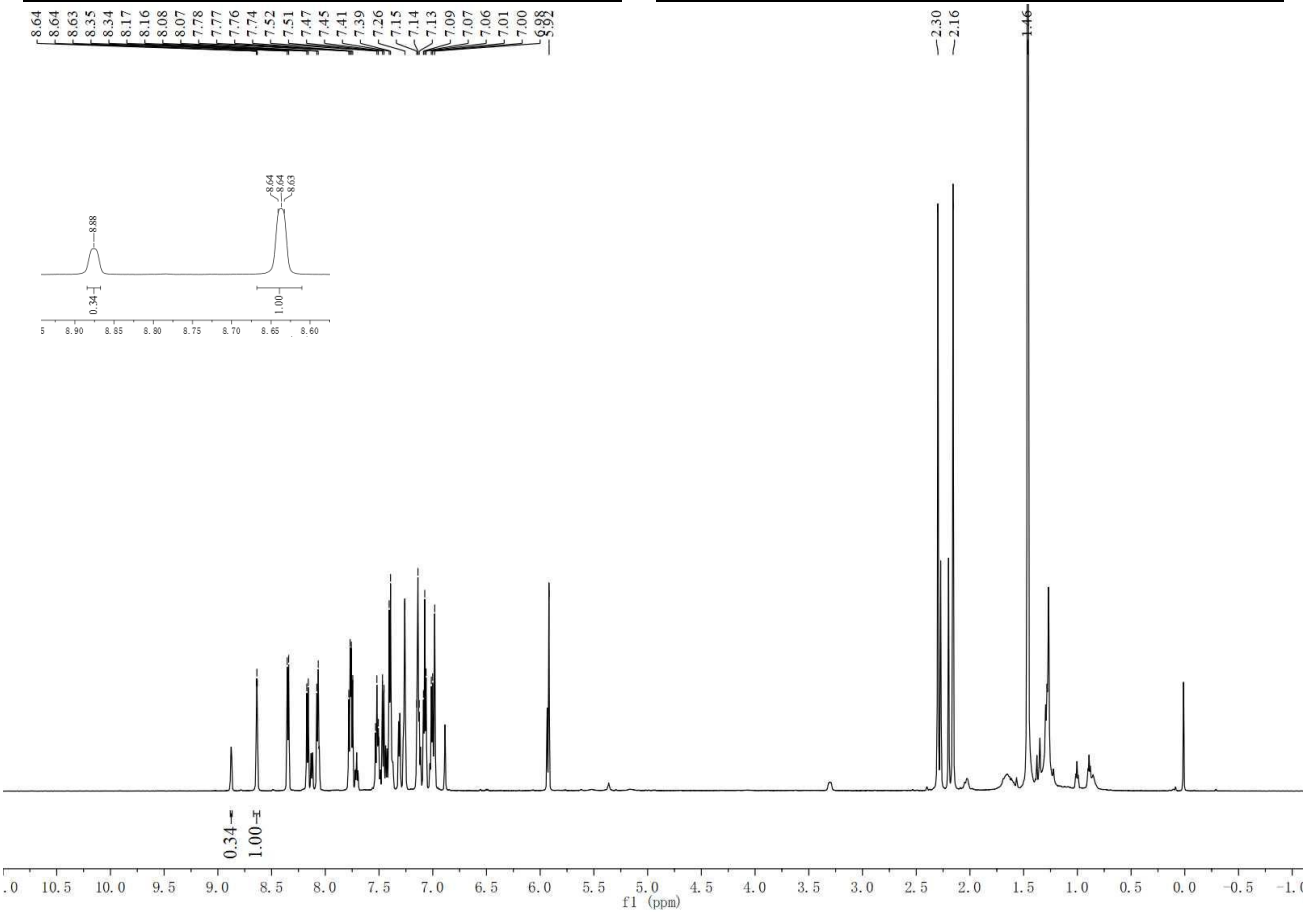
HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 90/10, 1.0 mL/min, λ = 254 nm, t (minor) = 162.894 min, t (major) = 93.134 min.The dr value was determined by **^{1}HNMR**.





Peak	RetTime	Area	Height	Area%
1	93.976	118748463	347586	50.062
2	154.036	118456383	202100	49.938

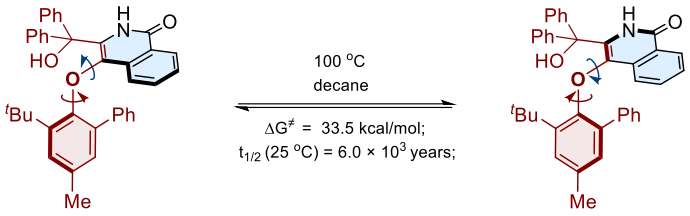
Peak	RetTime	Area	Height	Area%
1	93.134	260078450	703743	99.334
2	162.894	1744313	3503	0.666



7. Study on product stabilities

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 $k_B = 1.38066 \times 10^{-23}$ $J \cdot K^{-1}$.[7,8,9,10]

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



A solution of (S_a)-**3a** (10.0 mg, 96% ee) in decane (1 mL) was heated at the specific temperatures (**Table S16**). The de value was determined by chiral HPLC analysis at different intervals. The recovery of compounds **3a** was 90%.

Table S16. Thermal racemization of product **3a** at 100 °C

Time (h)	0	1	2	4	6	8	10	12
ee (%)	96.508	96.498	96.314	96.086	95.890	95.612	95.236	94.960

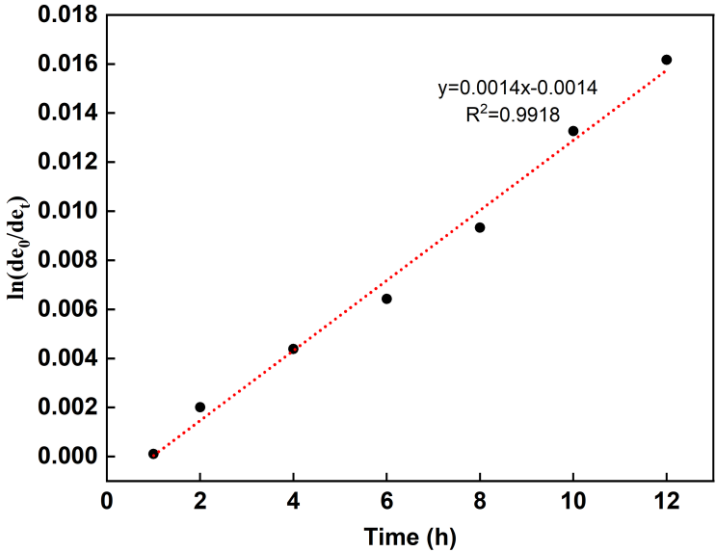


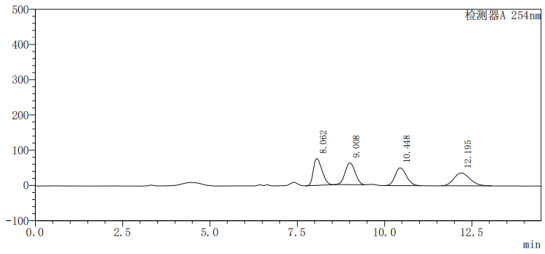
Figure S1. The plot of $\ln(de_0/de_t)$ vs time of **3a** at 100 °C

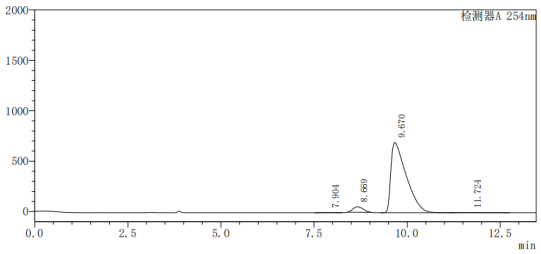
$k_{racemization}$ (100 °C) = 0.0014 h^{-1} = 3.89×10^{-7} s^{-1}; $k_{enantiomerization}$ (100 °C) = = 7.8×10^{-7} s^{-1}; $\Delta G^{\neq}_{enantiomerization}$ = 140.03 kJ/mol = 33.5 kcal/mol; $t_{1/2}$ (25 °C) = 6.0×10^3 years.

$$k_{\text{racemization}} = \ln(\frac{de0}{det})/t$$

de (%) = ([major diastereomer] - [minor diastereomer]) / ([major diastereomer] + [minor diastereomer]) × 100% = (% major diastereomer - % minor diastereomer)

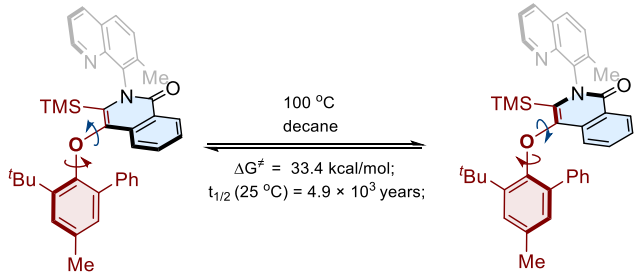
Based on increasing retention time, the four peaks were designated as Peak (8.062min) to Peak (12.195 min). According to the liquid chromatography and single-crystal data of product **5a**, the configuration of Peak (10.448 min) was determined as ($S_{a,C\text{-}O}$, $S_{a,C\text{-}N}$), and its enantiomer, Peak (12.195 min), as ($R_{a,C\text{-}O}$, $R_{a,C\text{-}N}$). Combined with previous studies on the Co/Salox-catalyzed C-N axially chiral system[10] (ee > 99%), the configuration of Peak (8.062min) was assigned as ($S_{a,C\text{-}O}$, $R_{a,C\text{-}N}$); therefore, the configuration of Peak (9.008 min) is ($R_{a,C\text{-}O}$, $S_{a,C\text{-}N}$). Consequently, the de value for the C-O axis is given by % area [Peak (10.448 min) + Peak (8.062min)] − % area [Peak (12.195 min) + Peak (9.008 min)], and the de value for the C-N axis is given by % area [Peak (10.448 min) + Peak (9.008 min)] − % area [Peak (8.062min) + Peak (12.195 min)].





Peak	RetTime	Area	Height	Area%
1	8.062	1311582	76253	27.067
2	9.008	1241730	62093	25.626
3	10.448	1132267	50457	23.367
4	12.195	1160039	36429	23.940

Peak	RetTime	Area	Height	Area
1	7.904	25664	2403	0.121
2	8.669	1030602	53640	4.869
3	9.670	20022539	696924	94.591
4	11.724	87512	2293	0.413



($S_{a,C\text{-}O}$, $S_{a,C\text{-}N}$)-5a ($R_{a,C\text{-}O}$, $S_{a,C\text{-}N}$)-5a

A solution of ($S_{a,C\text{-}O}$, $S_{a,C\text{-}N}$)-**5a** (10.0 mg, 99% ee) in decane (3 mL) was heated at the specific temperatures (**Table S17**). The de value was determined by chiral HPLC analysis at different intervals. The recovery of compounds **5a** was 91%.

Table S17. Thermal racemization of product 5**a** at 100 °C

Time (h)	0	1	2	4	6	8	10	12
de (%)	98.702	98.386	98.14	97.992	97.548	97.252	97.087	96.665

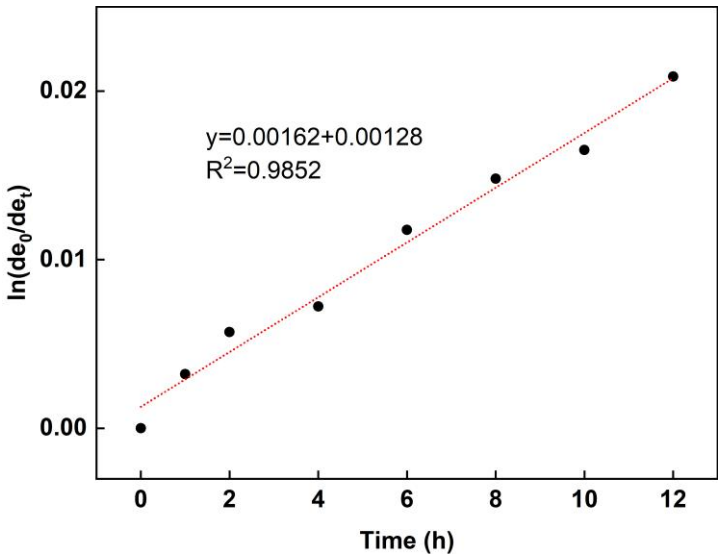
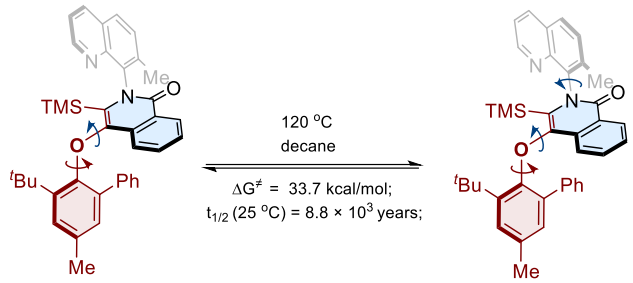


Figure S2. The plot of $\ln(de_0/de_t)$ vs time of **5a** at 100 °C

$k_{racemization}$ (100 °C) = 0.0162 h^{-1} = 4.5 × 10^{-6} s^{-1}; $k_{enantiomerization}$ (100 °C) = 2.25 × 10^{-7} s^{-1}; $\Delta G^{\neq}_{enantiomerization}$ = 147.1 kJ/mol = 33.4 kcal/mol; $t_{1/2}$ (25 °C) = 4.9 × 10^3 years.



($S_{a,C-O}$, $S_{a,C-N}$)-**5a** ($S_{a,C-O}$, $R_{a,C-N}$)-**5a**

A solution of ($S_{a,C-O}$, $S_{a,C-N}$)-**5a** (10.0 mg, 99% ee) in decane (3 mL) was heated at the specific temperatures (**Table S18**). The de value was determined by chiral HPLC analysis at different intervals. The recovery of compounds **5a** was 89%.

Table S18. Thermal racemization of product **5a** at 120 °C

Time (h)	0	1	2	4	6	8	10	12
de (%)	98.074	96.608	96.446	94.839	91.433	89.242	87.842	86.686

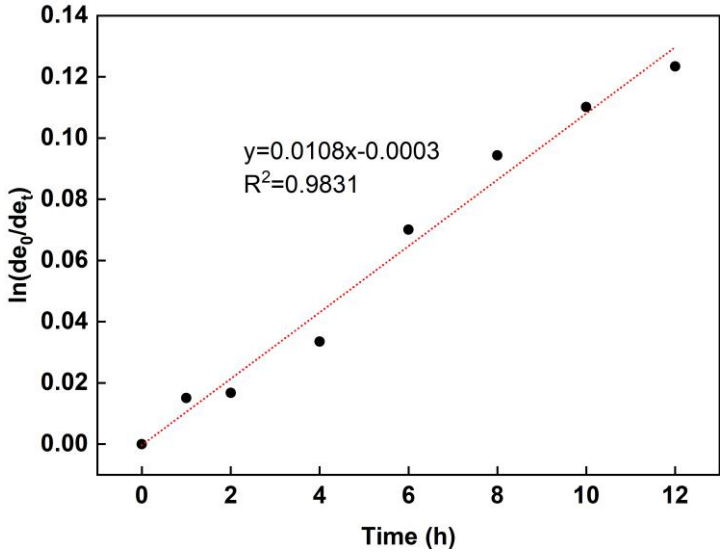


Figure S3. The plot of ln(de_0/de_t) vs time of **5a** at 120 °C

$k_{racemization}$ (120 °C) = 0.0108 h^{-1} = 3.0 × 10^{-6} s^{-1}; $k_{enantiomerization}$ (120 °C) = 1.5.0 × 10^{-6} s^{-1}; $\Delta G^{\neq}_{enantiomerization}$ = 140.9 kJ/mol = 33.7 kcal/mol; $t_{1/2}$ (25 °C) = 8.8 × 10^3 years。

Determination of the rotational barrier of 3zm by variable-temperature NMR

Rotation around the stereogenic axis was induced thermally by heating the compounds, and the evolution of the diastereomeric ratio was monitored over time. The rate constant (k) was determined using the method of initial rates according to the following equation[11]:

$$k = \ln \frac{(Ct(3a) - Ce(3a))/ (C0\ (3a) - Ce(3a))}{t}$$

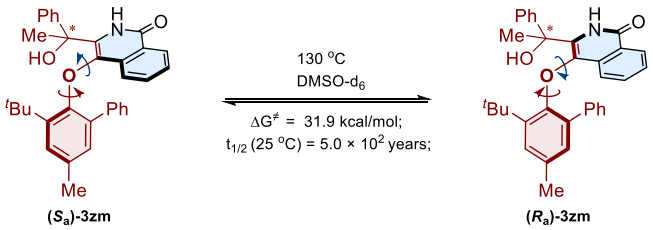
Where C represents the percentage of the major diastereomer determined from the ^{1}H NMR spectrum. C_0, C_t, and C_e correspond to the percentages of the major diastereomer at the initial time (t = 0), at time t, and at equilibrium, respectively. C_e was obtained by heating the NMR tube for an extended period until the diastereomeric ratio became constant. The diastereomerization constant (kdiastereomerization) and the enantiomerization rate constant (kenantiomerization) were derived from the extrapolated kinetic data as shown in the corresponding graph. The Eyring equation was then applied to calculate the rotational energy barrier:

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

$$k_{diastereomerization} = 2k_{enantiomerization}$$

Where: R = 8.31451 J·K^{-1}·mol^{-1}; h = 6.62608·10^{-34} J·s (Planck constant); k_B =1.38066·10^{-23} J·K^{-1} (Boltzmann constant) and k is the previously calculated kinetic constant.

Protocol: Compound 3zl (10.3 mg) was dissolved in dmso-d_6 (0.6 mL) in an NMR tube and placed in an oil bath preheated to 130 °C. The sample was periodically removed, cooled to room temperature, and analyzed by ^{1}H NMR spectroscopy to determine the percentage of the major diastereomer as a function of time (**Table S19 and Figure S4-S5**). Complete diastereomerization was achieved after heating for 5 h at 130 °C, affording a diastereomeric ratio of 1.14: 1 (C_e = 53.48%).



A solution of (S_a)-**3zm** (10.0 mg, 8.33:1 dr) in dmso-d_6 (0.6 mL) was heated at the specific temperatures (**Table S19**). The dr value was determined by ^{1}H NMR analysis at different intervals.

Table S19. The variation of product **3zm** at 130 °C in diastereomeric ratio over time

time(min)	dr	% of Major diastereomer (C_t)	$\ln((C_t-C_e)/(C_0-C_e))$
0	8.33:1	89.2857142857142	0
30	5.6:1	84.7457627118644	-0.135567
90	3.33:1	76.9230769230769	-0.423472
120	2.77:1	73.5294117647058	-0.580134
150	2.66:1	72.7106369249631	-0.621505
180	2.22:1	69.1012608405297	-0.829328
210	1.91:1	0.66555183946488	-1.007194

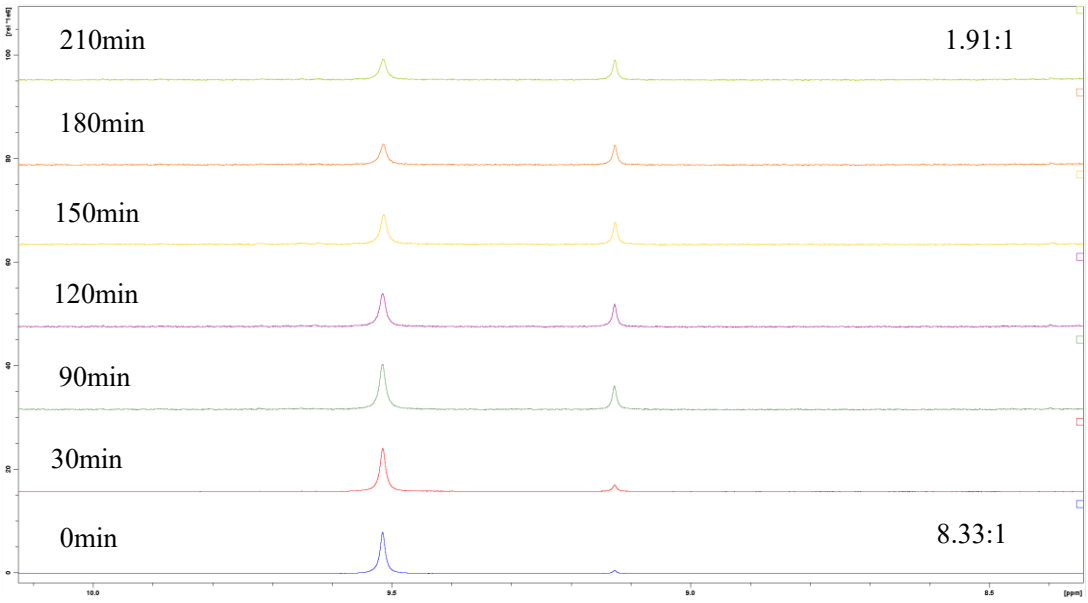


Figure S4. Stacked ^{1}H NMR spectra of **3zm** (alcohol region)

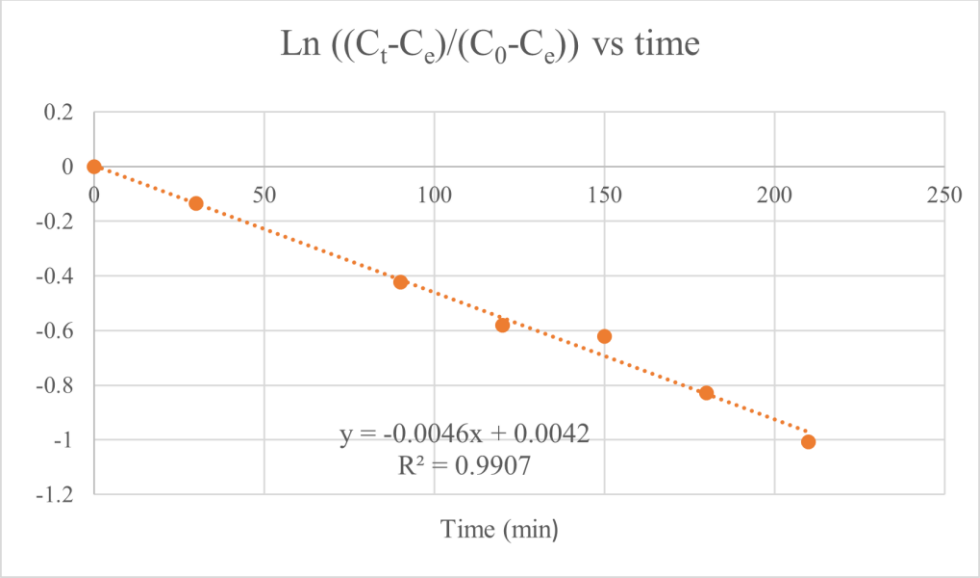
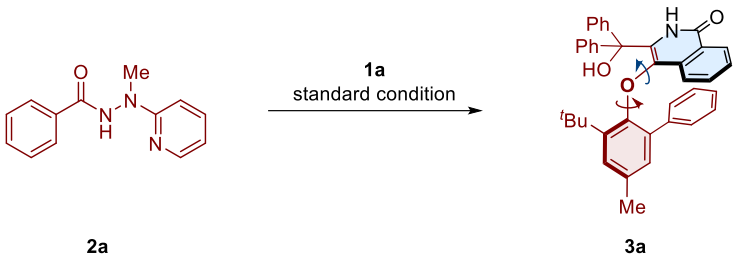


Figure S5. Ln $((C_t-C_e)/(C_0-C_e))$ vs time of **3zm** at 130 °C

$k_{diastereomerization}$ = 0.046 min^{-1}= 7.66 × 10^{-5} s^{-1}; $k_{enantiomerization}$ = 0.023 min^{-1}= 3.38 × 10^{-5} s^{-1} ΔG≠ =133.8 kJ.mol-1= 31.9 kcal/mol; half-life of diastereomerization: t ½ *at* 130 °C = 0.1 days =2.5 h; t ½ *at* 25 °C = 500 years

8. The non-linear effect studies



2a **3a**

Table S20. The nonlinear effect study between the ee of **L8** and the ee of **3a**

ee of **L8**	0	20	40	60	80	100
ee of **5a**	0	23	41	63	81	96

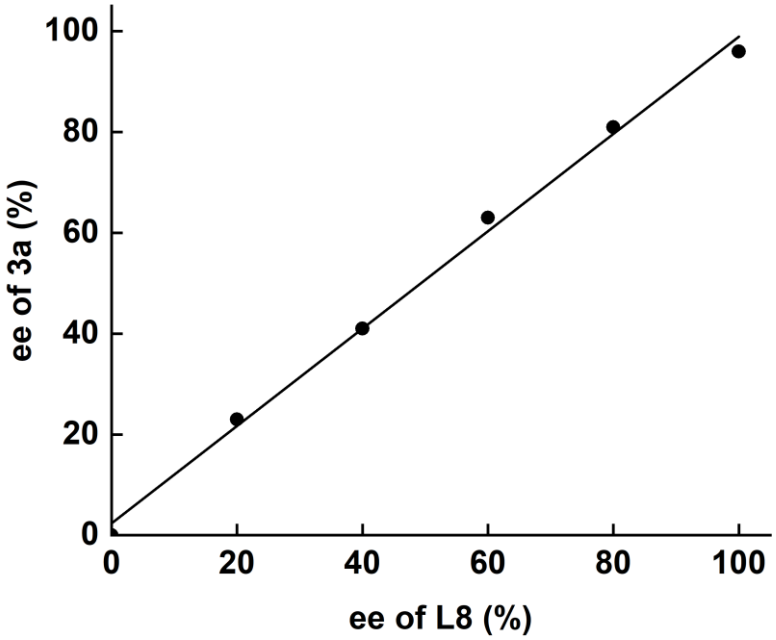


Figure S6. The nonlinear effect study between the ee of **L8** and the ee of **3a**

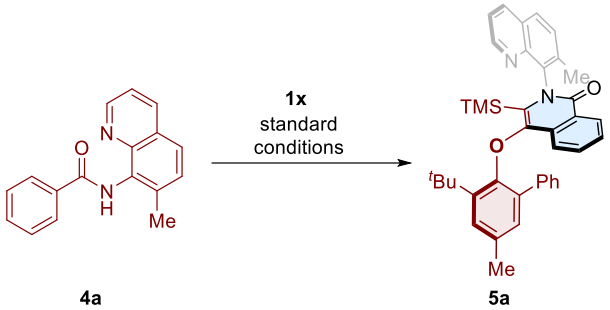


Table S21. The nonlinear effect study between the ee of **L8** and the ee of **5a**

ee of **L8**	0	20	40	60	80	100
de of **5a**	0	41	57	80	89	99

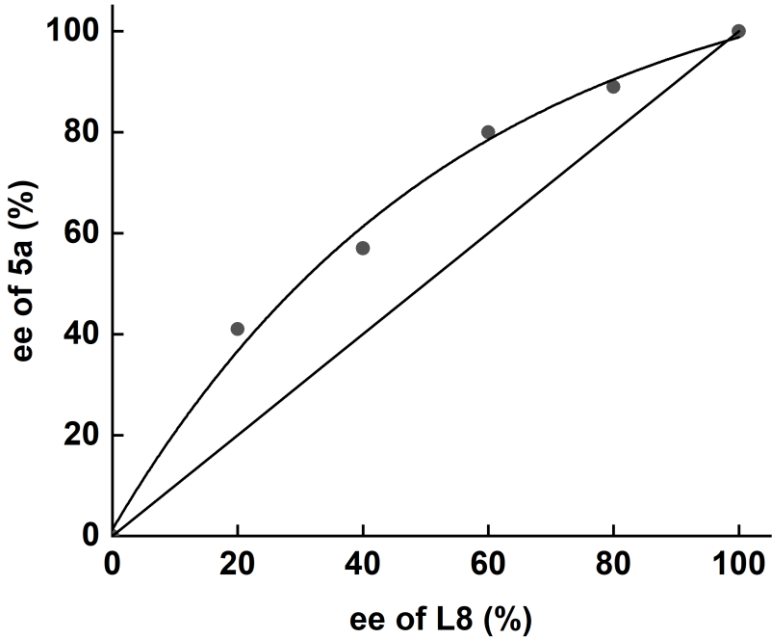


Figure S7. The nonlinear effect study between the ee of **L8** and the ee of **5a**

9. X-ray crystal structure of 3za and 5a.

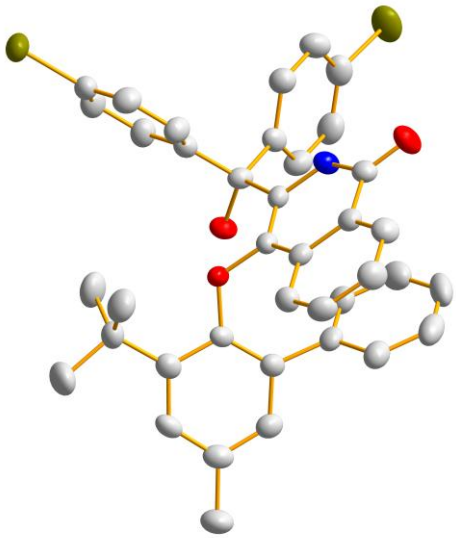


Figure S8. X-ray molecular structure of **3za** (CCDC 2516693).

Crystal data and structure refinement for 3za

Identification code	**3za**
Empirical formula	$C_{40}H_{35}Br_2Cl_2NO_3$
Formula weight	808.41
Temperature/K	100.00(10)
Crystal system	orthorhombic
Space group	$P2_12_12_1$
a/Å	9.10830(10)
b/Å	12.79910(10)
c/Å	30.4943(3)
α/°	90
β/°	90
γ/°	90
Volume/Å^3	3554.97(6)
Z	4
ρ_{calc}g/cm^3	1.510
μ /mm^{-1}	4.589
F(000)	1640.0
Crystal size/mm^3	0.125 × 0.082 × 0.054
Radiation	Cu Kα (λ = 1.54184)

2Θ range for data collection/°	5.796 to 153.74
Index ranges	-11 ⩽ h ⩽ 10, -12 ⩽ k ⩽ 16, -38 ⩽ l ⩽ 36
Reflections collected	21865
Independent reflections	7226 [R_{int} = 0.0276, R_{sigma} = 0.0263]
Data/restraints/parameters	7226/1/448
Goodness-of-fit on F^2	1.057
Final R indexes [I>=2σ (I)]	R_1 = 0.0270, wR_2 = 0.0710
Final R indexes [all data]	R_1 = 0.0281, wR_2 = 0.0718
Largest diff. peak/hole / e $Å^{-3}$	0.49/-0.70
Flack parameter	-0.029(6)

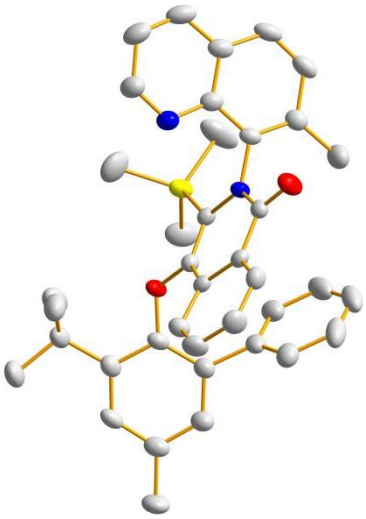


Figure S9. X-ray molecular structure of **5a** (CCDC 2504636).

Crystal data and structure refinement for 5a

Identification code	**5a**
Empirical formula	C40H42Cl2N2O2Si
Formula weight	681.74
Temperature/K	100.00(10)
Crystal system	orthorhombic
Space group	P212121
a/Å	11.91760(10)
b/Å	13.74860(10)
c/Å	22.4163(2)
α/°	90
β/°	90
γ/°	90
Volume/Å^3	3672.92(5)
Z	4
ρ_{calc}g/cm^3	1.233
μ/mm^{-1}	2.181
F(000)	1440
Crystal size/mm^3	0.153 × 0.122 × 0.121
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	7.544 to 148.006

Index ranges	$-14 \le h \le 14$, $-14 \le k \le 17$, $-27 \le l \le 27$
Reflections collected	19214
Independent reflections	7228 [Rint = 0.0233, Rsigma = 0.0216]
Data/restraints/parameters	7228/1/442
Goodness-of-fit on F^2	1.039
Final R indexes [I>=2σ (I)]	R1 = 0.0361, wR2 = 0.0973
Final R indexes [all data]	R1 = 0.0368, wR2 = 0.0978
Largest diff. peak/hole / e $Å^{-3}$	0.44/-0.52
Flack parameter	0.014(7)

Method for crystal growth：

The 10 mg of pure compound **3za** and **5a** was dissolved in 1 mL DCM in a little sample bottle. And 2 mL *n*-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 DCM and ethyl acetate mixture of **3za** (CCDC 2516693) and **5a** (CCDC 2504636) were slowly evaporated at ambient temperature over a period of three days, 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 Cu-Kα radiation (λ =1.54184 Å) for compound **3za** and **5a**. 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.

10. Optical properties.

10.1 The optical properties were characterized by UV-Vis absorption and photoluminescence spectroscopy

Table S21. UV-Vis absorption data, fluorescence spectra data and quantum yields of **3a**-**3q**.

Compounds	λ_{abs} (nm)[a]	λ_{ex} (nm)[b]	λ_{em} (nm)[c]	QY (%)[d]
3a	284	255	410	2.27
3b	285	255	407	--[e]
3c	286	255	409	--[e]
3d	286	263	373	--[e]
3e	285	263	373	--[e]
3f	288	255	406	--[e]
3g	287	255	408	--[e]
3h	279	269	444	--[e]
3i	321	255	402	--[e]
3j	288	255	415	4.16
3k	281	262	371	3.43
3l	257	255	421	--[e]
3m	288	310	421	--[e]
3n	287	301	409	--[e]
3o	286	261	372	--[e]
3p	286	292	445	--[e]
3q	329	325	518	2.50

[a]UV-Vis absorption data, [b]excitation wavelength (concentration: 1×10^{-5} mol/L, in CH_2Cl_2), [c]maximum emission wavelength (concentration: 1×10^{-5} mol/L, in CH_2Cl_2), [d]Quantum yield (concentration: 1×10^{-5} mol/L, in CH_2Cl_2). [e]The QY was not measured.

Table S22. UV-Vis absorption data, fluorescence spectra data and quantum yields of **3r-3zn.**

Compounds	λ_{abs} (nm)[a]	λ_{ex} (nm)[b]	λ_{em} (nm)[c]	QY (%)[d]
3r	276	255	407	--[e]
3s	273	255	409	--[e]
3t	275	255	411	--[e]
3u	274	257	408	--[e]
3v	274	261	412	--[e]
3w	276	255	408	--[e]
3x	274	306	409	--[e]
3y	274	305	407	--[e]
3z	276	255	406	--[e]
3za	275	308	409	--[e]
3zb	316	308	409	--[e]
3zc	261	257	412	--[e]
3zd	275	255	409	--[e]
3ze	274	241	405	--[e]
3zf	277	306	408	--[e]
3zh	284	263	373	--[e]
3zi	286	298	414	--[e]
3zj	286	296	414	--[e]
3zk	286	255	409	--[e]
3zm	285	304	412	--[e]
3zn	286	255	410	--[e]

[a]UV-Vis absorption data, [b]excitation wavelength (concentration: 1×10^{-5} mol/L, in CH_2Cl_2), [c]maximum emission wavelength (concentration: 1×10^{-5} mol/L, in CH_2Cl_2), [d]Quantum yield (concentration: 1×10^{-5} mol/L, in CH_2Cl_2). [e]The QY was not measured.

Table S23. UV-Vis absorption data, fluorescence spectra data and quantum yields of **5a**-**5zd.**

Compounds	λ_{abs} (nm)[a]	λ_{ex} (nm)[b]	λ_{em} (nm)[c]	QY (%)[d]
5a	321	260	446	0.2
5b	321	260	436	--[e]
5c	320	290	444	--[e]
5d	321	295	447	--[e]
5g	321	285	500	--[e]
5h	281	330	466	--[e]
5h	321	285	496	--[e]
5i	321	295	460	0.71
5j	321	295	501	4.56
5k	321	340	466	--[e]
5m	286	335	426	6.88
5n	321	255	445	--[e]
5q	321	285	482	--[e]
5r	321	290	398	--[e]
5s	321	350	462	--[e]
5t	321	350	444	--[e]
5u	321	285	432	--[e]
5v	284	375	540	--[e]
5w	321	260	502	--[e]
5x	321	270	415	--[e]
5zc	309	265	467	--[e]
5zd	294	275	414	--[e]

[a]UV-Vis absorption data, [b]excitation wavelength (concentration: 1×10^{-4} mol/L, in CH_2Cl_2), [c]maximum emission wavelength (concentration: 1×10^{-4} mol/L, in CH_2Cl_2), [d]Quantum yield (concentration: 1×10^{-4} mol/L, in CH_2Cl_2). [e]The QY was not measured.

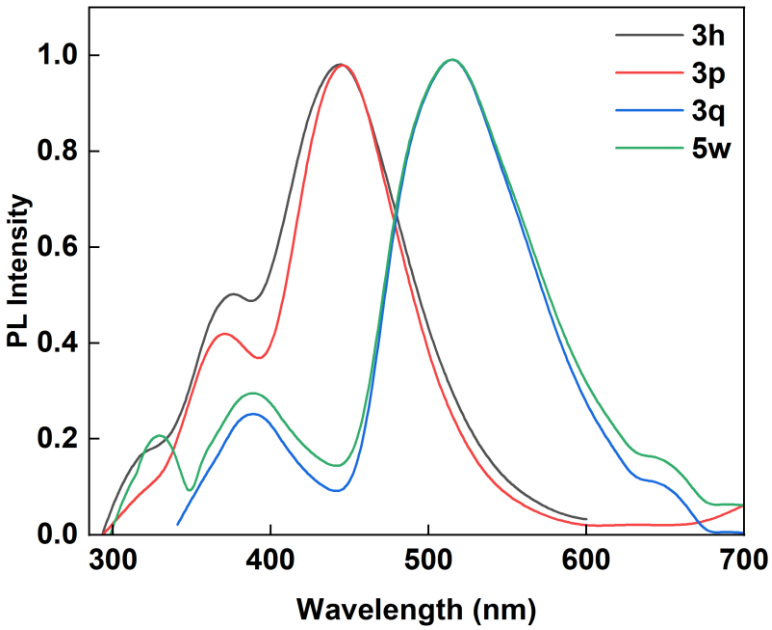
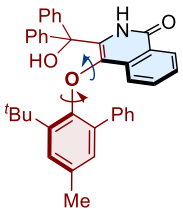


Figure S10. The Fluorescence emission spectrum of 3h, 3p, 3q and 5w

11. Characterization data and HPLC chromatograms

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3a)



(PE:EA = 4:1) White solid. (50.4 mg, 89% yield, 96% ee). mp: 125–126 ºC.

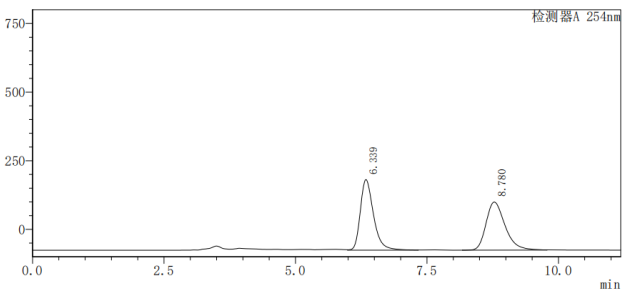
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.21 – 8.15 (m, 1H), 7.42 – 7.34 (m, 5H), 7.32 – 7.26 (m, 6H), 7.26 – 7.22 (m, 3H), 7.07 (dd, J = 6.9, 3.0 Hz, 1H), 7.03 (t, J = 7.3 Hz, 1H), 6.92 (s, 2H), 6.69 (s, 2H), 4.96 (s, 1H), 2.31 (s, 3H), 1.09 (s, 9H).

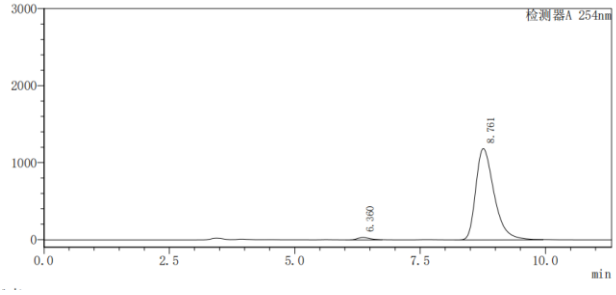
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.4, 149.9, 145.7, 141.5, 139.5, 138.0, 133.9, 133.4, 132.5, 132.4, 132.2, 131.7, 130.1, 129.9, 129.1, 129.0, 128.7, 128.3, 128.2, 128.1, 127.5, 126.8, 126.6, 126.5, 125.2, 122.1, 81.2, 35.0, 30.1, 20.9.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{39}H_{35}NNaO_3]^+$ required 588.2515, found 588.2511.

$[\alpha]_D^{25}$ = +84.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 6.360 min, t (major) = 8.761 min.

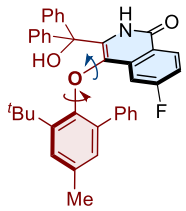




Peak	Ret. Time	Area	Height	Area%
1	6.339	4498838	257041	50.526
2	8.780	4405196	175220	49.474

Peak	Ret. Time	Area	Height	Area%
1	6.360	576664	32628	1.874
2	8.761	30195835	1186981	98.126

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-6-fluoro-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3b)



(PE:EA = 4:1) White solid. (40.3 mg, 69% yield, 96% ee). mp: 123–124 °C.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.18 (t, J = 7.4 Hz, 1H), 7.41 (d, J = 7.0 Hz, 3H), 7.33 – 7.20 (m, 9H), 7.07 (dt, J = 14.5, 8.4 Hz, 2H), 6.96 (s, 3H), 6.72 (s, 1H), 6.67 (d, J = 11.0 Hz, 1H), 4.85 (s, 1H), 2.33 (s, 3H), 1.08 (s, 9H).

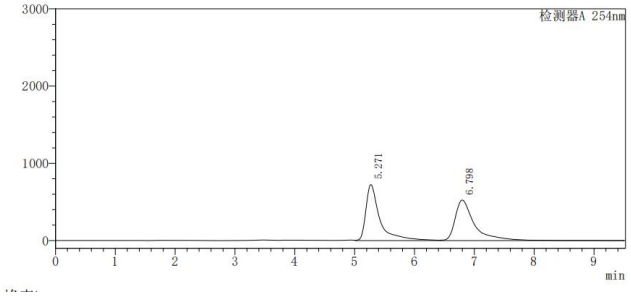
^{13}C NMR (151 MHz, $CDCl_3$) δ 165.6 (d, $^1J_{C\text{-}F}$ = 252.1 Hz), 158.8, 149.3, 145.5, 141.3, 139.6, 138.0, 135.7 (d, $^3J_{C\text{-}F}$ = 10.4 Hz), 133.4 (d, $^4J_{C\text{-}F}$ = 3.2 Hz), 133.0, 132.3 (d, $^3J_{C\text{-}F}$ = 7.2 Hz), 131.6, 131.5, 131.4, 130.2, 129.3, 129.1, 128.8, 128.4, 127.4, 127.0, 126.9, 121.9, 115.4 (d, $^2J_{C\text{-}F}$ = 23.5 Hz), 108.1 (d, $^2J_{C\text{-}F}$ = 25.4 Hz), 81.3, 35.1, 30.2, 21.0.

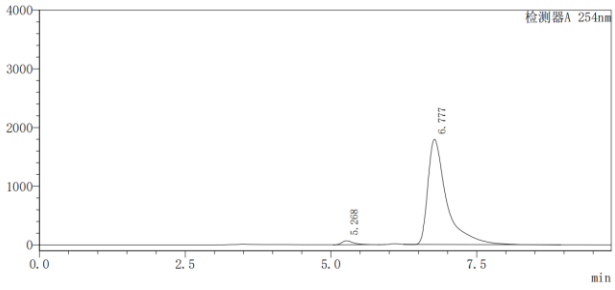
^{19}F NMR (565 MHz, $CDCl_3$) δ -104.72.

HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{39}H_{34}FKNO_3]^+$ required 622.2160, found 622.2151.

$[\alpha]_D^{25}$ = -22.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.268 min, t (major) = 6.777 min.

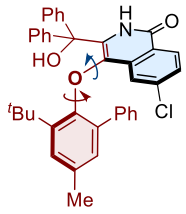




Peak	Ret. Time	Area	Height	Area%
1	5.271	11758245	724220	50.128
2	6.798	11698298	525099	49.872

Peak	Ret. Time	Area	Height	Area%
1	5.268	921377	67615	2.240
2	6.777	40215660	1791565	97.760

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-6-chloro-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3c)



(PE:EA = 4:1) White solid. (43.2 mg, 72% yield, 96% ee). mp: 117–118 °C.

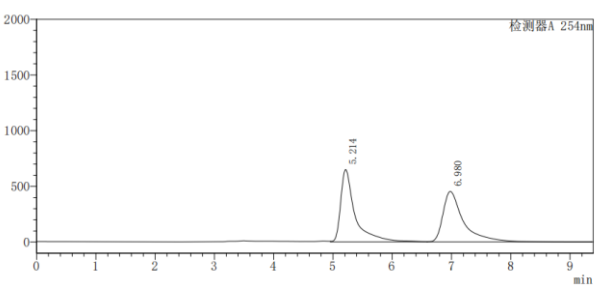
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.09 (d, *J* = 8.6 Hz, 1H), 7.41 (d, *J* = 6.9 Hz, 3H), 7.31 (d, *J* = 7.6 Hz, 4H), 7.29 – 7.24 (m, 4H), 7.22 (d, *J* = 7.2 Hz, 2H), 7.05 (t, *J* = 7.3 Hz, 1H), 7.01 (s, 1H), 6.96 (s, 2H), 6.73 (s, 2H), 4.85 (s, 1H), 2.34 (s, 3H), 1.08 (s, 9H).

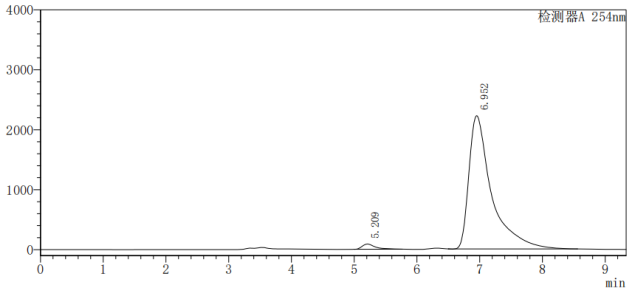
^{13}C NMR (151 MHz, $CDCl_3$) δ 158.9, 149.5, 145.5, 141.3, 139.6, 138.6, 138.0, 134.6, 133.1, 133.0, 132.3 (2C), 131.5, 130.3, 130.0, 129.3, 129.1, 128.8, 128.4, 128.3, 127.4, 127.1, 127.0, 126.7, 123.6, 122.0, 81.3, 35.1, 30.2, 21.0.

HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{39}H_{34}ClKNO_3]^+$ required 638.1864, found 638.1854.

$[\alpha]_D^{25}$ = -51.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.209 min, t (major) = 6.952 min.

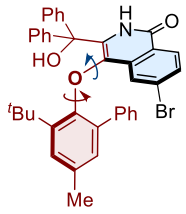




Peak	Ret. Time	Area	Height	Area%
1	5.214	11069934	649554	50.286
2	6.980	10944073	452947	49.714

Peak	Ret. Time	Area	Height	Area%
1	5.209	1256332	87382	2.199
2	6.952	55865539	2222876	97.801

(S_a)-6-bromo-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3d)



(PE:EA = 4:1) White solid. (59.3 mg, 92% yield, 96% ee). mp: 118–119 °C.

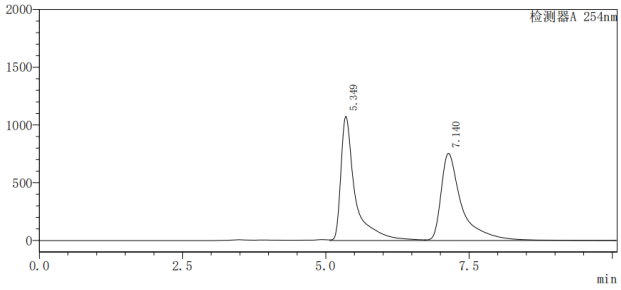
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.5 Hz, 1H), 7.47 (d, *J* = 8.6 Hz, 1H), 7.40 (d, *J* = 6.6 Hz, 3H), 7.30 (d, *J* = 7.2 Hz, 4H), 7.26 (d, *J* = 7.7 Hz, 3H), 7.22 (d, *J* = 7.2 Hz, 2H), 7.18 (s, 1H), 7.05 (t, *J* = 7.4 Hz, 1H), 6.96 (s, 2H), 6.74 (s, 2H), 4.86 (s, 1H), 2.34 (s, 3H), 1.08 (s, 9H).

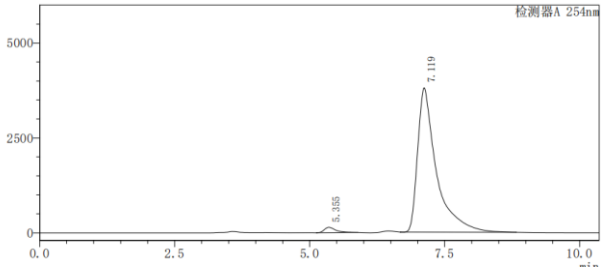
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.0, 149.5, 145.5, 141.3, 139.6, 138.0, 134.7, 133.1, 132.9, 132.4, 132.2, 131.4, 130.3, 129.9, 129.8, 129.3, 129.1, 128.7, 128.4, 128.3, 127.4, 127.1, 127.0, 126.7, 125.2, 123.9, 81.3, 35.1, 30.1, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{39}H_{35}BrNO_3]^+$ required 644.1800, found 644.1802.

$[\alpha]_D^{25}$ = -77.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.355 min, t (major) = 7.119 min.

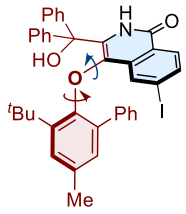




Peak	Ret. Time	Area	Height	Area%
1	5.349	18802881	1075669	49.807
2	7.140	18948747	755178	50.193

Peak	Ret. Time	Area	Height	Area%
1	5.355	2038306	140829	2.168
2	7.119	91967063	3804672	97.832

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)-6-iodoisoquinolin-1(2H)-one (3e)



(PE:EA = 4:1) White solid. (60.2 mg, 87% yield, 97% ee). mp: 136–138 °C.

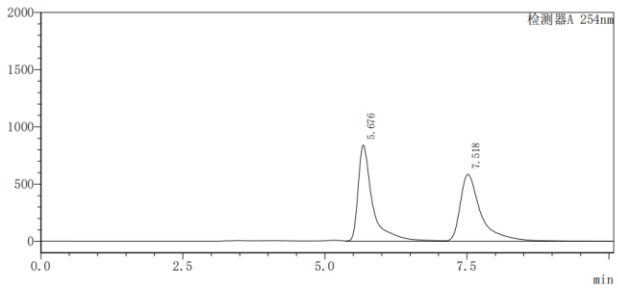
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.84 (d, *J* = 8.4 Hz, 1H), 7.66 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.42 – 7.37 (m, 4H), 7.35 – 7.27 (m, 4H), 7.27 – 7.24 (m, 3H), 7.24 – 7.20 (m, 2H), 7.06 (t, *J* = 7.3 Hz, 1H), 6.97 (s, 2H), 6.74 (s, 2H), 4.88 (s, 1H), 2.35 (s, 3H), 1.08 (s, 9H).

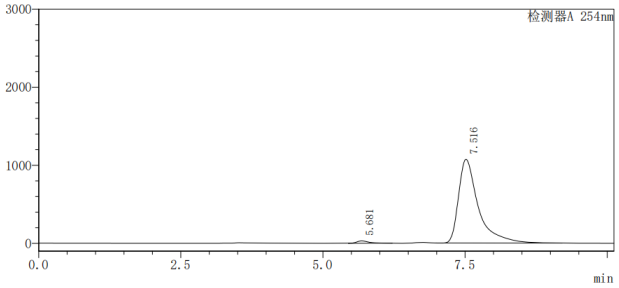
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.1, 149.6, 145.5, 141.3, 139.7, 137.9, 135.4, 134.4, 133.2, 132.7, 132.5, 132.1, 131.7, 131.2, 130.3, 129.6, 129.3, 129.1, 128.8, 128.4, 128.2, 127.4, 127.0, 126.8, 124.3, 99.6, 81.3, 35.1, 30.1, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{39}H_{35}INO_3]^+$ required 692.1662, found 692.1654.

$[\alpha]_D^{25}$ = -57.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.681 min, t (major) = 7.516 min.

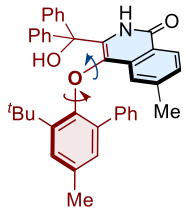




Peak	Ret. Time	Area	Height	Area%
1	5.676	14978713	841146	50.299
2	7.518	14800371	585281	49.701

Peak	Ret. Time	Area	Height	Area%
1	5.681	440806	29768	1.669
2	7.516	25966813	1072073	98.331

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)-6-methylisoquinolin-1(2H)-one (3f)



(PE:EA = 4:1) White solid. (55.1 mg, 95% yield, 97% ee). mp: 121–122 °C.

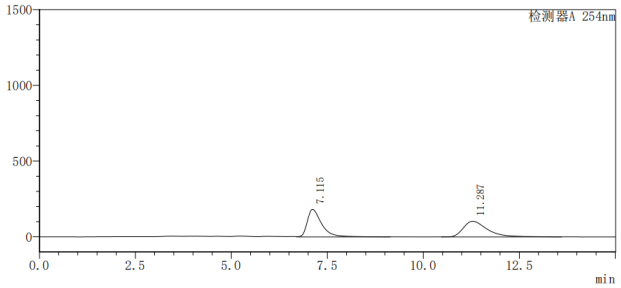
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.07 (d, *J* = 8.2 Hz, 1H), 7.39 (d, *J* = 6.7 Hz, 3H), 7.32 – 7.15 (m, 10H), 7.05 (t, *J* = 7.5 Hz, 1H), 6.95 (s, 2H), 6.82 (s, 1H), 6.69 (s, 2H), 4.94 (d, *J* = 1.4 Hz, 1H), 2.33 (s, 3H), 2.20 (s, 3H), 1.09 (s, 9H).

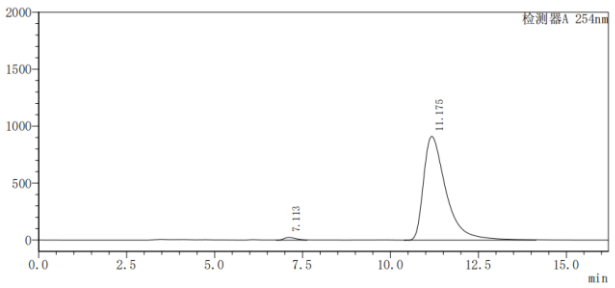
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.5, 150.0, 145.9, 142.2, 141.6, 139.7, 138.1, 133.9, 133.5, 132.7, 132.6, 132.1, 130.2, 130.1, 129.2, 129.0, 128.8, 128.3 (2C), 128.2, 128.1, 128.0, 127.5, 126.9, 126.6, 123.1, 122.2, 81.4, 35.1, 30.2, 22.2, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{40}H_{38}NO_3]^+$ required 580.2852, found 580.2848.

$[\alpha]_D^{25}$ = -28.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 7.113 min, t (major) = 11.175 min.

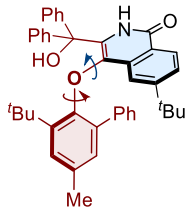




Peak	Ret. Time	Area	Height	Area%
1	7.115	4797297	182793	51.027
2	11.287	4604176	104043	48.973

Peak	Ret. Time	Area	Height	Area%
1	7.113	604083	24295	1.468
2	11.175	40548068	911026	98.532

(S_a)-6-(tert-butyl)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3g)



(PE:EA = 4:1) White solid. (50.4 mg, 87% yield, 99% ee). mp: 118–119 °C.

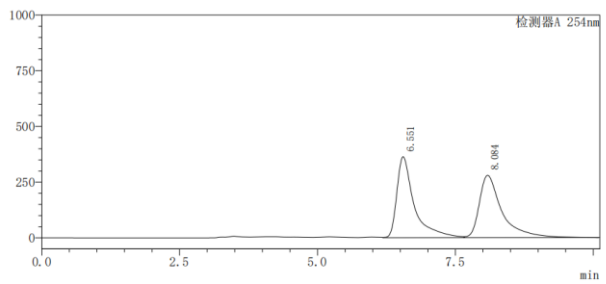
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.11 (d, *J* = 8.5 Hz, 1H), 7.44 (d, *J* = 8.5 Hz, 1H), 7.39 (t, *J* = 7.0 Hz, 3H), 7.30 (d, *J* = 6.0 Hz, 3H), 7.25 (d, *J* = 5.6 Hz, 5H), 7.19 (s, 1H), 7.06 (d, *J* = 11.1 Hz, 2H), 6.97 (s, 2H), 6.71 (s, 2H), 5.05 (s, 1H), 2.31 (s, 3H), 1.09 (s, 9H), 1.08 (s, 9H).

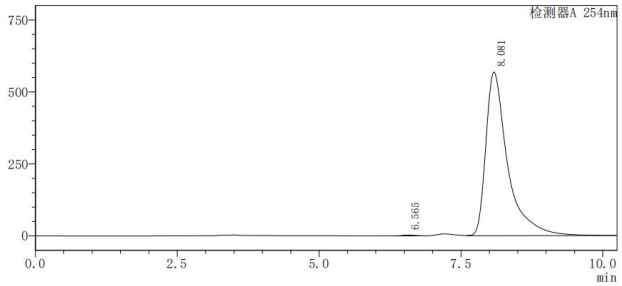
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.4, 155.0, 150.1, 146.0, 141.6, 139.9, 137.9, 134.2, 133.0, 132.9, 132.8, 132.0, 130.1, 129.7, 129.2, 129.0, 128.9, 128.3, 128.2, 128.1, 127.5, 127.0, 126.7, 124.5, 123.0, 119.2, 81.4, 35.3, 35.1, 30.9, 30.2, 20.9.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{43}H_{44}NO_3]^+$ required 622.3321, found 622.3319.

$[\alpha]_D^{25}$ = -30.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 6.565 min, t (major) = 8.081 min.

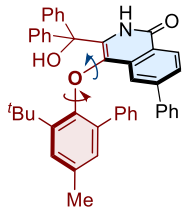




Peak	Ret. Time	Area	Height	Area%
1	6.551	7971529	364122	49.976
2	8.084	7979234	280288	50.024

Peak	Ret. Time	Area	Height	Area%
1	6.565	46149	2893	0.302
2	8.081	15211221	568388	99.698

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)-6-phenylisoquinolin-1(2H)-one (3h)



(PE:EA = 3:1) White solid. (59.7 mg, 93% yield, 96% ee). mp: 133–135 °C.

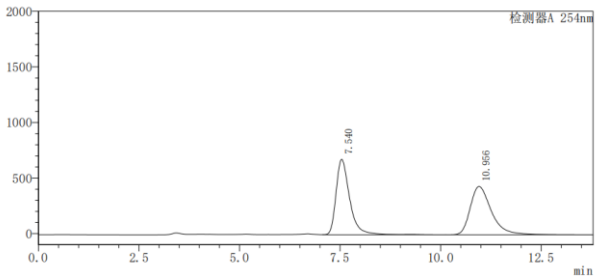
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.24 (d, *J* = 8.3 Hz, 1H), 7.64 (dd, *J* = 8.4, 1.7 Hz, 1H), 7.43 – 7.38 (m, 3H), 7.37 – 7.32 (m, 3H), 7.32 – 7.25 (m, 10H), 7.21 – 7.16 (m, 2H), 7.08 (tt, *J* = 7.4, 1.3 Hz, 1H), 6.99 (s, 2H), 6.79 (d, *J* = 2.2 Hz, 2H), 5.05 (s, 1H), 2.39 (s, 3H), 1.06 (s, 9H).

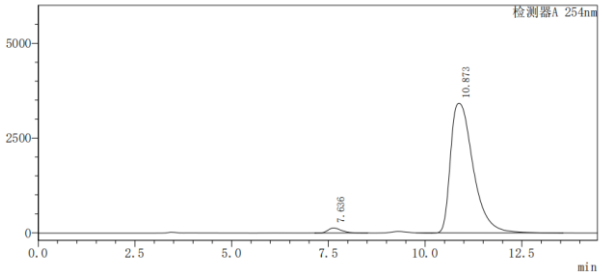
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.3, 150.2, 145.8, 143.8, 141.5, 140.2, 139.7, 137.9, 134.1, 133.3, 133.1, 133.0, 132.0, 130.2, 130.1, 129.2, 129.0, 128.9 (2C), 128.8, 128.3, 128.2, 128.1, 127.5, 127.2, 127.0, 126.8, 125.4, 124.1, 120.5, 81.3, 35.1, 30.1, 20.9.

HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{45}H_{39}KNO_3]^+$ required 680.2567, found 680.2565.

$[\alpha]_D^{25}$ = -35.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 7.636 min, t (major) = 10.873 min.

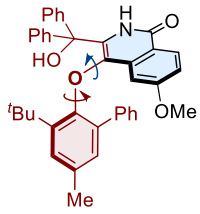




Peak	Ret. Time	Area	Height	Area%
1	7.540	15974506	678291	50.262
2	10.956	15807670	434301	49.738

Peak	Ret. Time	Area	Height	Area%
1	7.636	3224910	134138	2.271
2	10.873	138799516	3421034	97.729

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)-6-methoxyisoquinolin-1(2H)-one (3i)



(PE:EA = 4:1) White solid. (53.1 mg, 89% yield, 99% ee). mp: 114–115 °C.

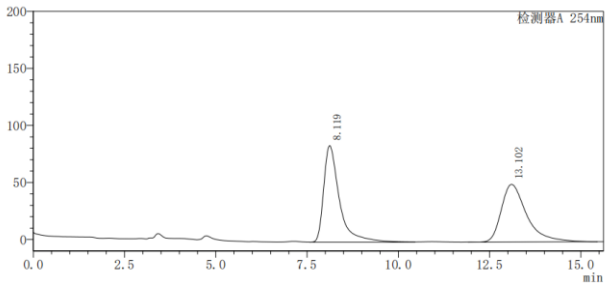
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.09 (d, *J* = 8.9 Hz, 1H), 7.38 (t, *J* = 4.7 Hz, 3H), 7.30 (d, *J* = 6.7 Hz, 3H), 7.24 (d, *J* = 8.5 Hz, 5H), 7.13 (s, 1H), 7.09 (t, *J* = 7.2 Hz, 1H), 7.00 (s, 2H), 6.94 (d, *J* = 8.7 Hz, 1H), 6.73 (s, 2H), 6.41 (s, 1H), 4.95 (s, 1H), 3.38 (s, 3H), 2.31 (s, 3H), 1.09 (s, 9H).

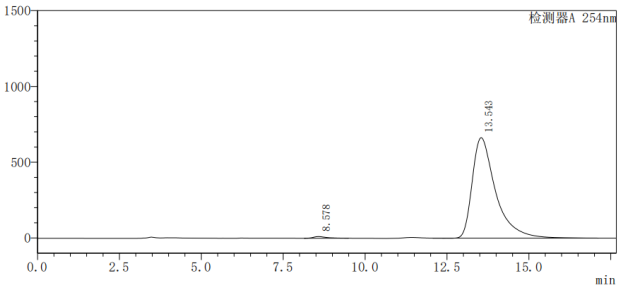
^{13}C NMR (151 MHz, $CDCl_3$) δ 162.2, 159.2, 149.9, 145.9, 141.4, 139.8, 137.9, 135.1, 133.8, 133.2, 132.8, 132.0, 130.8, 130.2, 129.2, 129.0, 128.8, 128.3, 128.2, 127.9, 127.4, 127.1, 126.8, 119.1, 116.8, 103.4, 81.3, 55.0, 35.1, 30.3, 20.9.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{40}H_{37}NNaO_4]^+$ required 618.2620, found 618.2580.

$[\alpha]_D^{25}$ = -2.67 (c = 0.5, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 8.578 min, t (major) = 13.543 min.

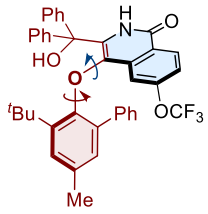




Peak	Ret. Time	Area	Height	Area%
1	8.119	2554769	84744	51.062
2	13.102	2448467	50611	48.938

Peak	Ret. Time	Area	Height	Area%
1	8.578	311808	11055	0.938
2	13.543	32938300	662872	99.062

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)-6-(trifluoromethoxy)isoquinolin-1(2H)-one (3j)



(PE:EA = 4:1) White solid. (56.7 mg, 88% yield, 96% ee). mp: 115–116 °C.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.20 (d, *J* = 8.7 Hz, 1H), 7.42 (d, *J* = 7.5 Hz, 3H), 7.35 – 7.20 (m, 10H), 7.16 (d, *J* = 8.8 Hz, 1H), 7.09 – 7.04 (m, 1H), 6.97 (s, 2H), 6.86 (s, 1H), 6.73 (d, *J* = 2.4 Hz, 1H), 4.89 (s, 1H), 2.33 (s, 3H), 1.06 (s, 9H).

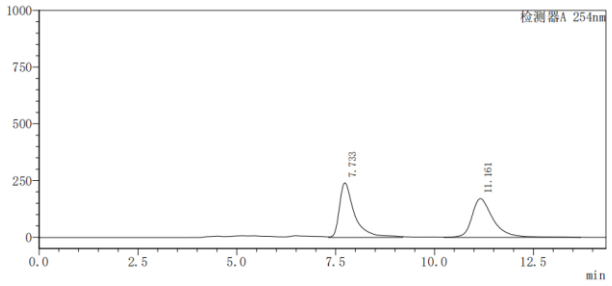
^{13}C NMR (151 MHz, $CDCl_3$) δ 158.6, 151.8, 149.3, 145.5, 141.3, 139.7, 137.9, 134.9, 133.40, 133.4 (2C), 132.3, 131.7, 130.9, 130.2, 129.4, 129.2, 128.8, 128.5, 128.4, 127.4, 127.1, 126.8, 123.4, 121.1, 119.4, 112.7, 81.4, 35.1, 30.1, 29.8, 20.9.

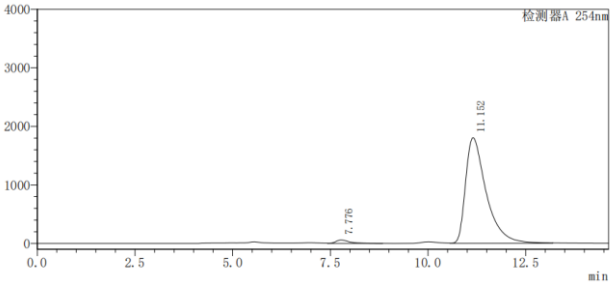
^{19}F NMR (565 MHz, $CDCl_3$) δ -57.69.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{40}H_{35}F_3NO_4]^+$ required 650.2518, found 650.2526.

$[\alpha]_D^{25}$ = -4.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 90/10, 1.0 mL/min, λ = 254 nm, t (minor) = 7.776 min, t (major) = 11.152 min.

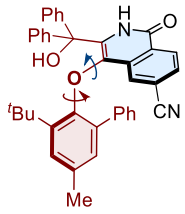




Peak	Ret. Time	Area	Height	Area%
1	7.733	6398240	240860	49.916
2	11.161	6419731	170806	50.084

Peak	Ret. Time	Area	Height	Area%
1	7.776	1518630	59489	2.227
2	11.152	66678981	1806209	97.773

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)-1-oxo-1,2-dihydroisoquinoline-6-carbonitrile (3k)



(PE:EA = 3:1) Yellow solid. (47.9 mg, 81% yield, 94% ee). mp: 124–125 °C.

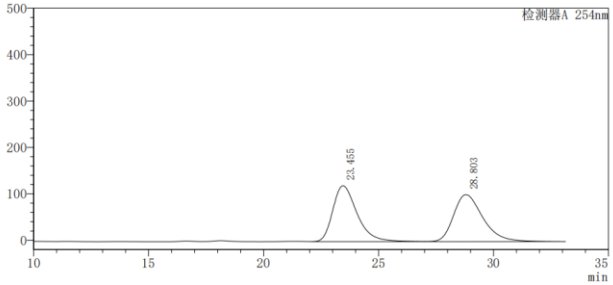
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.23 (d, *J* = 8.3 Hz, 1H), 7.56 (d, *J* = 8.3 Hz, 1H), 7.42 (d, *J* = 6.5 Hz, 4H), 7.35 (s, 1H), 7.34 – 7.26 (m, 6H), 7.22 (d, *J* = 7.3 Hz, 2H), 7.03 (t, *J* = 7.3 Hz, 1H), 6.92 (s, 2H), 6.71 (s, 2H), 4.78 (s, 1H), 2.34 (s, 3H), 1.09 (s, 9H).

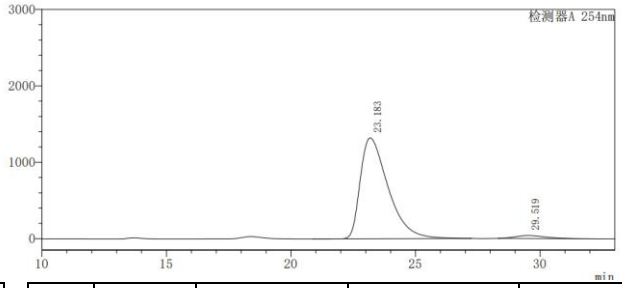
^{13}C NMR (151 MHz, $CDCl_3$) δ 158.3, 149.4, 145.1, 141.0, 139.5, 137.9, 133.6, 133.5, 132.8, 132.4, 132.2, 131.8, 130.2, 129.5, 129.4, 129.2, 128.8, 128.6, 128.5, 128.4, 127.5, 127.3, 127.0, 126.8, 126.7, 118.0, 115.4, 81.3, 35.1, 30.1, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{40}H_{35}N_2O_3]^+$ required 591.2648, found 591.2643.

$[\alpha]_D^{25}$ = -26.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 90/10, 0.8 mL/min, λ = 254 nm, t (minor) = 29.519 min, t (major) = 23.183 min.

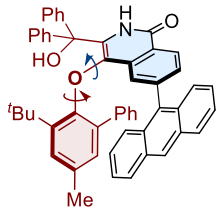




Peak	Ret. Time	Area	Height	Area%
1	23.455	8880204	120546	49.726
2	28.803	8978016	101276	50.274

Peak	Ret. Time	Area	Height	Area%
1	23.183	102436673	1318541	96.901
2	29.519	3275968	38528	3.099

(S_a)-6-(anthracen-9-yl)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3l)



(PE:EA = 2:1) White solid. (40.1 mg, 54% yield, 65% ee). mp: 172–173 °C.

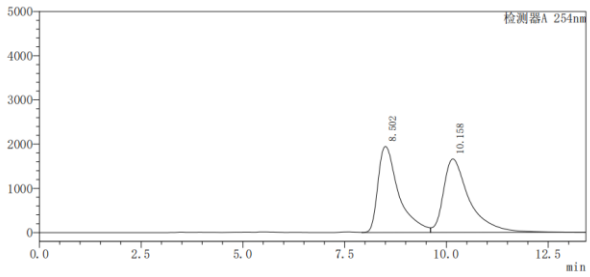
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (d, *J* = 12.5 Hz, 2H), 8.06 – 7.92 (m, 2H), 7.58 (d, *J* = 8.8 Hz, 1H), 7.47 – 7.37 (m, 9H), 7.32 (s, 5H), 7.27 (q, *J* = 8.1 Hz, 3H), 7.23 – 7.18 (m, 1H), 7.16 (d, *J* = 6.8 Hz, 2H), 7.10 (s, 1H), 7.02 (s, 1H), 6.70 (s, 1H), 6.58 (s, 1H), 4.91 (s, 1H), 1.83 (s, 3H), 0.84 (s, 9H).

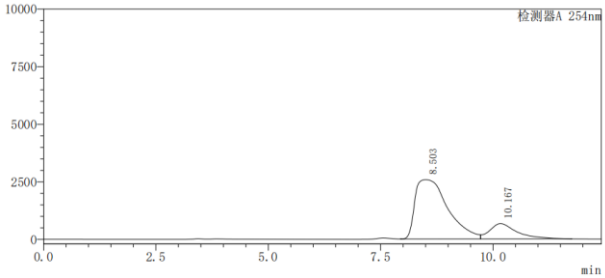
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.5, 149.9, 145.8, 142.8, 141.5, 139.5, 138.2, 135.5, 134.2, 133.5, 132.7, 132.2, 132.1, 131.3, 131.2, 130.8, 130.6, 130.5, 129.7, 129.6, 129.2, 129.1, 128.9, 128.6, 128.4, 128.3, 127.9, 127.4, 127.3, 127.1, 127.0, 126.3, 126.2, 125.7, 125.6, 125.3, 125.2, 125.1, 124.6, 81.5, 34.8, 29.9, 20.5.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{53}H_{44}NO_3]^+$ required 742.3321, found 742.3315

$[\alpha]_D^{25}$ = -14.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 10.167 min, t (major) = 8.503 min.

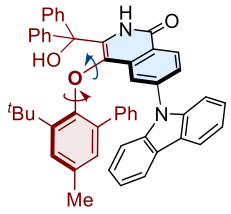




Peak	Ret. Time	Area	Height	Area%
1	8.502	69141485	1945892	48.343
2	10.158	73880330	1660927	51.657

Peak	Ret. Time	Area	Height	Area%
1	8.503	130718382	2576678	82.374
2	10.167	127969992	664297	17.626

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-6-(9H-carbazol-9-yl)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3m)



(PE:EA = 2:1) Yellow solid. (62.2 mg, 85% yield, 85% ee). mp: 168–170 °C.

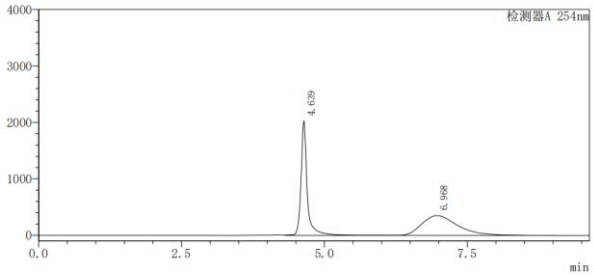
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.36 (d, *J* = 8.5 Hz, 1H), 8.14 – 8.07 (m, 2H), 7.65 (d, *J* = 8.3 Hz, 1H), 7.55 (s, 1H), 7.46 (dd, *J* = 11.1, 6.9 Hz, 3H), 7.37 (s, 3H), 7.34 – 7.29 (m, 9H), 7.20 (d, *J* = 7.5 Hz, 2H), 7.12 (d, *J* = 10.1 Hz, 2H), 7.01 (s, 3H), 6.82 (s, 1H), 4.81 (s, 1H), 2.33 (s, 3H), 1.00 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.1, 149.7, 145.6, 141.6, 141.4, 140.1, 139.5, 138.3, 135.2, 133.7, 132.8, 132.5, 131.7, 131.5, 130.3, 129.4, 129.2, 128.8, 128.7, 128.5, 127.5, 126.9, 126.6, 126.3, 124.7, 124.2, 120.8, 120.4, 118.8, 110.0, 81.3, 35.1, 30.1, 21.1.

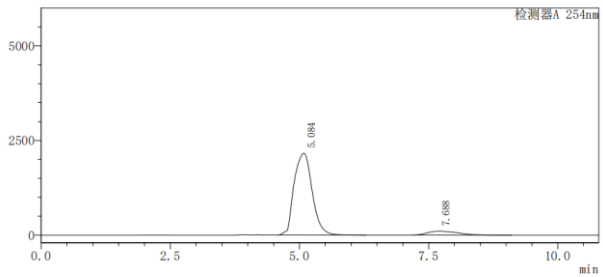
HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{51}H_{42}KN_2O_3]^+$ required 769.2833, found 769.2824.

$[\alpha]_D^{25}$ = +36.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 7.688 min, t (major) = 5.084 min.

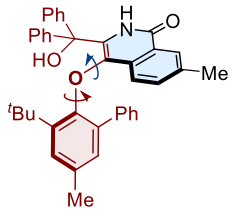


Peak	Ret. Time	Area	Height	Area%
1	4.639	15365351	2025507	50.088
2	6.968	15311411	350682	49.912



Peak	Ret. Time	Area	Height	Area%
1	5.084	52727058	2162021	92.553
2	7.688	4242431	105133	7.447

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)-7-methylisoquinolin-1(2H)-one (3n)



(PE:EA = 4:1) White solid. (49.3 mg, 85% yield, 96% ee). mp: 118–120 °C.

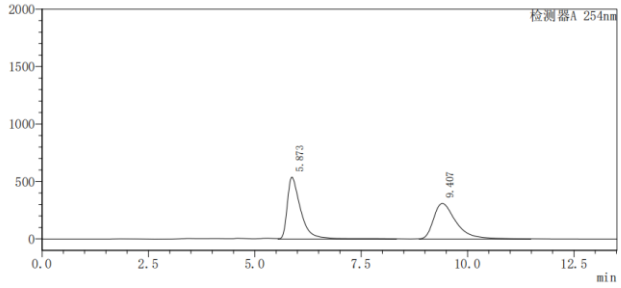
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.01 (s, 1H), 7.39 (d, J = 7.3 Hz, 3H), 7.31 – 7.19 (m, 10H), 7.06 (t, J = 7.3 Hz, 1H), 6.95 (t, J = 7.9 Hz, 3H), 6.70 (s, 2H), 4.94 (s, 1H), 2.42 (s, 3H), 2.32 (s, 3H), 1.07 (s, 9H).

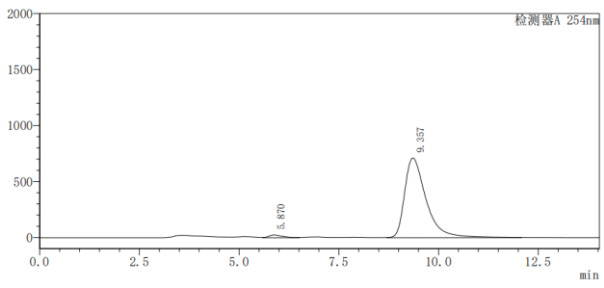
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.5, 150.0, 146.0, 141.6, 139.7, 138.0, 137.0, 134.3, 133.3, 132.7, 132.6, 132.1, 131.1, 130.2, 129.2, 129.0, 128.9, 128.8, 128.3, 128.2, 128.1, 127.9, 127.5, 126.9, 126.6, 125.3, 122.2, 81.3, 35.1, 30.2, 21.3, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{40}H_{38}NO_3]^+$ required 580.2852, found 580.2849.

$[\alpha]_D^{25}$ = -20.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.870 min, t (major) = 9.357 min.

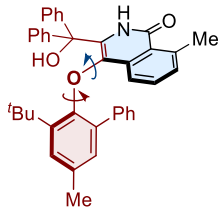




Peak	Ret. Time	Area	Height	Area%
1	5.873	12053137	539999	50.996
2	9.407	11582321	310636	49.004

Peak	Ret. Time	Area	Height	Area%
1	5.870	582557	24949	2.135
2	9.357	26706259	712500	97.865

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)-8-methylisoquinolin-1(2H)-one (3o)



(PE:EA = 4:1) White solid. (20.3 mg,35% yield, 95% ee). mp: 121–122 °C.

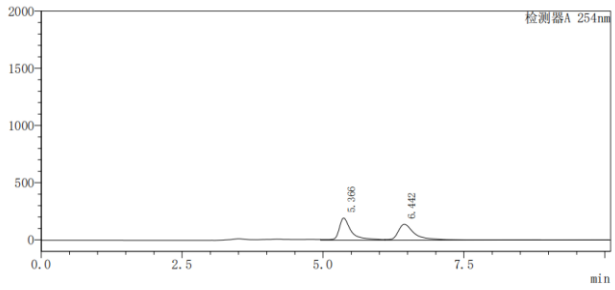
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.40 (t, *J* = 8.8 Hz, 3H), 7.33 – 7.18 (m, 10H), 7.12 (d, *J* = 7.3 Hz, 1H), 7.09 (s, 1H), 7.01 (d, *J* = 8.0 Hz, 2H), 6.88 (s, 2H), 6.66 (s, 1H), 4.82 (s, 1H), 2.74 (s, 3H), 2.30 (s, 3H), 1.10 (s, 9H).

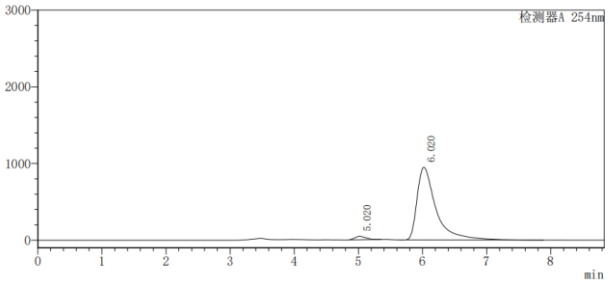
^{13}C NMR (151 MHz, $CDCl_3$) δ 160.6, 149.9, 145.8, 142.6, 141.6, 139.2, 138.2, 135.1, 133.7, 132.4, 132.0, 131.8, 131.0, 130.1, 129.7, 129.1, 129.0, 128.7, 128.4, 128.3, 128.2, 127.6, 126.5, 126.2, 123.4, 120.1, 81.1, 35.2, 30.2, 23.6, 20.9.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{40}H_{38}NO_3]^+$ required 580.2852, found 580.2849.

$[\alpha]_D^{25}$ = -2.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.020 min, t (major) = 6.020 min.

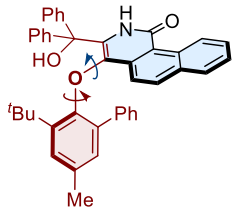




Peak	Ret. Time	Area	Height	Area%
1	5.366	2980705	194067	49.519
2	6.442	3038663	138737	50.481

Peak	Ret. Time	Area	Height	Area%
1	5.020	517785	43770	2.603
2	6.020	19370528	946801	97.397

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)benzo[h]isoquinolin-1(2H)-one (3p)



(PE:EA = 1:1) Yellow solid. (11.1 mg, 18% yield, 95% ee). mp: 130–131 °C.

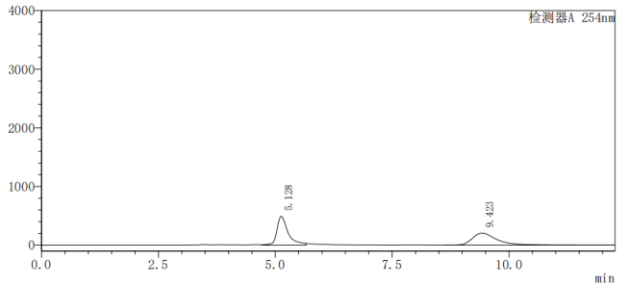
^{1}H NMR (600 MHz, $CDCl_3$) δ 9.94 (d, J = 8.7 Hz, 1H), 7.80 (dd, J = 18.5, 8.5 Hz, 2H), 7.69 (t, J = 7.8 Hz, 1H), 7.59 (t, J = 7.4 Hz, 2H), 7.44 (t, J = 8.2 Hz, 3H), 7.35 (d, J = 7.4 Hz, 2H), 7.32 – 7.25 (m, 6H), 7.21 (d, J = 7.5 Hz, 2H), 6.93 (t, J = 7.4 Hz, 1H), 6.67 (s, 3H), 4.83 (s, 1H), 2.32 (s, 3H), 1.14 (s, 9H).

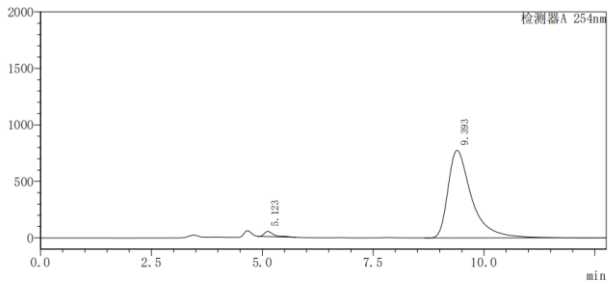
^{13}C NMR (151 MHz, $CDCl_3$) δ 160.0, 150.3, 145.7, 141.4, 139.2, 138.1, 135.2, 134.3, 133.2, 132.6, 132.3, 132.0, 131.9, 131.8, 131.7, 129.3, 129.2, 128.8, 128.6, 128.4, 128.3, 128.0, 127.6, 127.4, 126.9, 126.7, 126.4, 119.4, 118.8, 81.3, 35.2, 30.2, 21.0.

HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{43}H_{37}KNO_3]^+$ required 654.2411, found 654.2421.

$[\alpha]_D^{25}$ = -30.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.123 min, t (major) = 9.393 min.

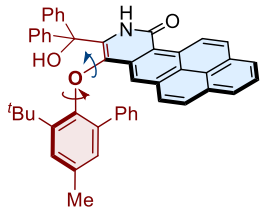




Peak	Ret. Time	Area	Height	Area%
1	5.128	8206904	491950	51.774
2	9.423	7644497	206201	48.226

Peak	Ret. Time	Area	Height	Area%
1	5.123	685230	45853	2.324
2	9.393	28799276	775248	97.676

(S_a)-7-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-8-(hydroxydiphenylmethyl)phenaleno[1,9-gh]isoquinolin-10(9H)-one (3q)



(PE:EA = 3:1) Yellow solid. (49.0 mg, 71% yield, 94% ee). mp: 179–180 °C.

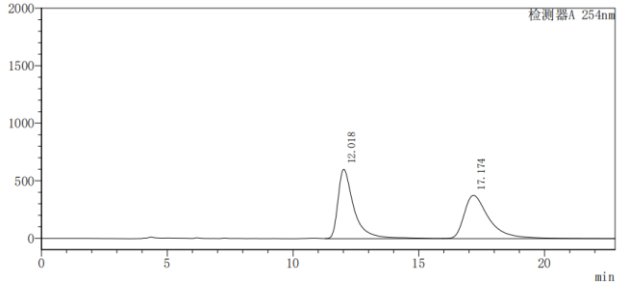
^{1}H NMR (600 MHz, $CDCl_3$) δ 10.33 (d, *J* = 9.5 Hz, 1H), 8.34 (d, *J* = 9.5 Hz, 1H), 8.30 (d, *J* = 7.7 Hz, 1H), 8.16 (d, *J* = 7.4 Hz, 1H), 8.04 – 8.01 (m, 2H), 7.95 (s, 1H), 7.62 (d, *J* = 9.0 Hz, 1H), 7.55 (s, 1H), 7.48 – 7.44 (m, 3H), 7.41 (d, *J* = 7.3 Hz, 2H), 7.32 – 7.17 (m, 7H), 6.90 (t, *J* = 7.4 Hz, 1H), 6.60 (s, 3H), 4.97 (s, 1H), 2.33 (s, 3H), 1.25 (s, 9H).

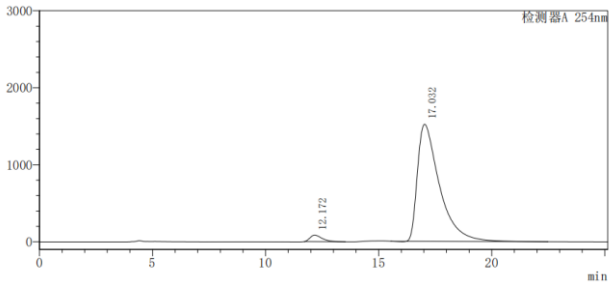
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.0, 150.3, 145.9, 141.6, 139.3, 138.2, 133.9, 133.8, 132.8, 132.5, 132.2, 132.1, 132.0, 131.0, 130.7, 130.6, 130.5, 129.7, 129.3, 128.8, 128.4, 128.3, 128.2, 127.7, 127.6, 126.7, 126.5, 126.4, 126.3, 126.2, 123.8, 123.2, 118.3, 116.3, 81.3, 35.3, 30.4, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{49}H_{40}NO_3]^+$ required 690.3008, found 690.3009.

$[\alpha]_D^{25}$ = -150 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 90/10, 0.8 mL/min, λ = 254 nm, t (minor) = 12.172 min, t (major) = 17.032 min.

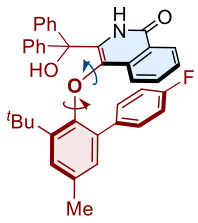




Peak	Ret. Time	Area	Height	Area%
1	12.018	26579820	602962	50.627
2	17.174	25921933	376385	49.373

Peak	Ret. Time	Area	Height	Area%
1	12.172	3207087	85867	3.013
2	17.032	103235342	1520813	96.987

(S_a)-4-((3-(tert-butyl)-4'-fluoro-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3r)



(PE:EA = 4:1) White solid. (54.9 mg, 94% yield, 96% ee). mp: 119–120 °C.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.23 (d, J = 7.5 Hz, 1H), 7.43 – 7.36 (m, 5H), 7.31 (t, J = 6.9 Hz, 4H), 7.24 (s, 5H), 7.05 (d, J = 8.4 Hz, 1H), 6.64 (s, 4H), 4.86 (s, 1H), 2.32 (s, 3H), 1.07 (s, 9H).

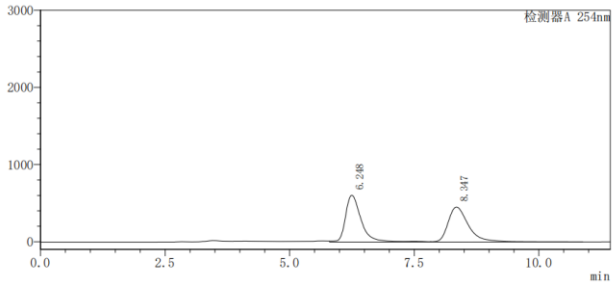
^{13}C NMR (151 MHz, $CDCl_3$) δ 162.6 (d, $^1J_{C\text{-}F}$ = 247.5 Hz), 159.5, 150.0, 145.6, 141.4, 139.8, 134.0, 133.9, 133.8, 133.3, 132.8, 132.3, 131.9, 131.5, 130.1, 129.4, 129.2, 128.7, 128.5, 128.4 (2C), 128.3, 127.5, 126.8, 125.4, 122.1, 113.6 (d, $^2J_{C\text{-}F}$ = 21.4 Hz), 81.4, 35.1, 30.2, 21.0.

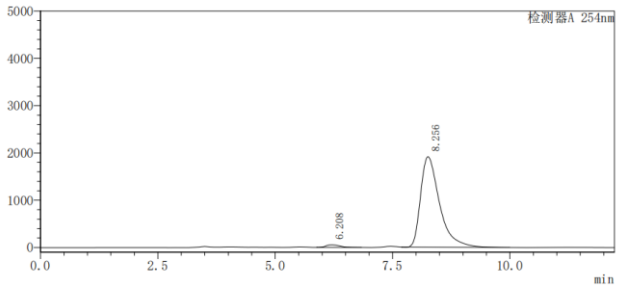
^{19}F NMR (565 MHz, $CDCl_3$) δ -114.74.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{39}H_{35}FNO_3]^+$ required 584.2601, found 584.2588.

$[\alpha]_D^{25}$ = -11.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 6.208 min, t (major) = 8.256 min.

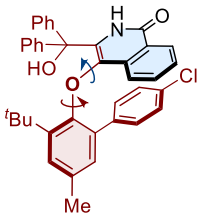




Peak	Ret. Time	Area	Height	Area%
1	6.248	13191548	606033	50.847
2	8.347	12752214	452214	49.153

Peak	Ret. Time	Area	Height	Area%
1	6.208	1072957	55968	1.963
2	8.256	53578317	1910857	98.037

(S_a)-4-((3-(tert-butyl)-4'-chloro-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3s)



(PE:EA = 4:1) White solid. (53.4 mg, 89% yield, 97% ee). mp: 118–120 °C.

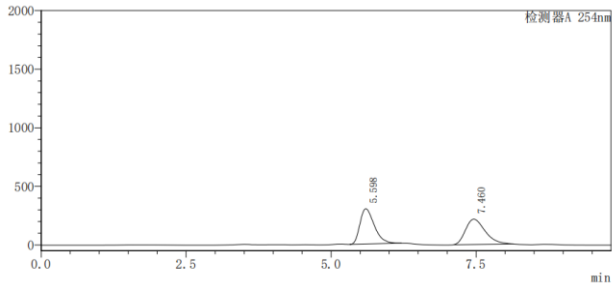
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.26 (d, *J* = 7.7 Hz, 1H), 7.41 (h, *J* = 7.3 Hz, 6H), 7.30 (d, *J* = 7.2 Hz, 3H), 7.24 (d, *J* = 7.6 Hz, 3H), 7.21 (d, *J* = 6.4 Hz, 2H), 7.03 (d, *J* = 7.6 Hz, 1H), 6.94 (s, 2H), 6.64 (s, 2H), 4.79 (s, 1H), 2.32 (s, 3H), 1.07 (s, 9H).

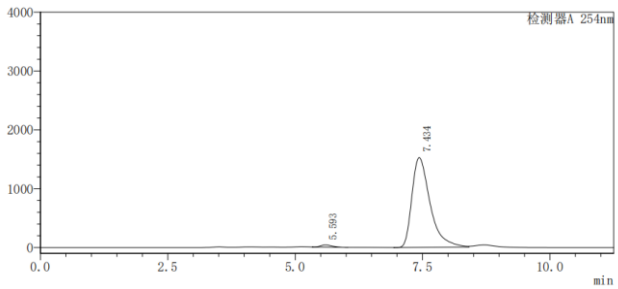
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.4, 150.0, 145.5, 141.4, 139.9, 136.5, 133.9, 133.3, 133.2, 132.8, 132.0, 131.9, 131.5, 131.4, 130.3, 129.4, 129.2, 128.7, 128.6, 128.5, 128.4, 128.3, 127.5, 126.9, 126.8, 125.4, 122.2, 81.4, 35.1, 30.2, 21.0.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{39}H_{34}ClNNaO_3]^+$ required 622.2125, found 622.2123.

$[\alpha]_D^{25}$ = -4.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.593 min, t (major) = 7.434 min.

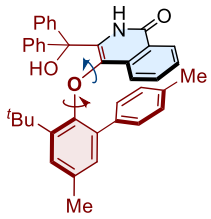




Peak	Ret. Time	Area	Height	Area%
1	5.598	5175380	300782	50.460
2	7.460	5080937	216108	49.540

Peak	Ret. Time	Area	Height	Area%
1	5.593	577567	37290	1.500
2	7.434	37935022	1526483	98.500

(S_a)-4-((3-(tert-butyl)-4',5-dimethyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3t)



(PE:EA = 4:1) White solid. (52.2 mg, 90% yield, 97% ee). mp: 119–120 °C.

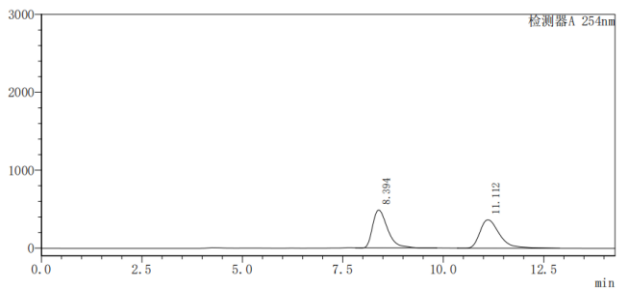
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.21 (d, *J* = 7.7 Hz, 1H), 7.42 – 7.34 (m, 5H), 7.32 – 7.27 (m, 3H), 7.26 – 7.19 (m, 6H), 7.05 (d, *J* = 9.1 Hz, 1H), 6.77 (s, 2H), 6.69 (s, 2H), 4.92 (s, 1H), 2.32 (s, 3H), 2.22 (s, 3H), 1.07 (s, 9H).

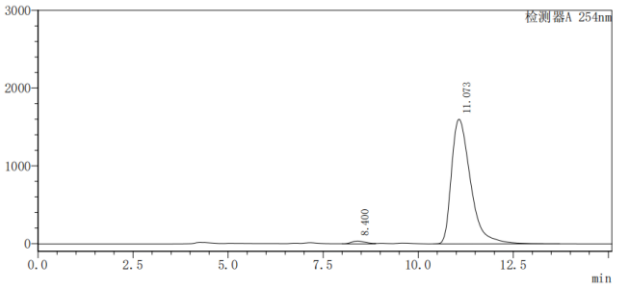
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.6, 150.1, 145.8, 141.6, 139.6, 136.6, 135.0, 134.1, 133.4, 132.7, 132.6, 132.2, 131.7, 130.1, 129.9, 129.3, 129.0, 128.9, 128.4, 128.3, 128.2, 128.1, 127.5, 127.3, 126.7, 125.4, 122.3, 81.4, 35.1, 30.2, 21.2, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{40}H_{38}NO_3]^+$ required 580.2852, found 580.2845.

$[\alpha]_D^{25}$ = -6.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 8.400 min, t (major) = 11.073 min.

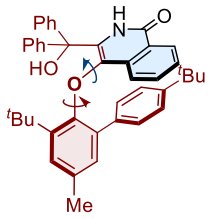




Peak	Ret. Time	Area	Height	Area%
1	8.394	12418908	485993	50.276
2	11.112	12282541	365555	49.724

Peak	Ret. Time	Area	Height	Area%
1	8.400	932933	36146	1.643
2	11.073	55845687	1602864	98.357

(S_a)-4-((3,4'-di-tert-butyl-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3u)



(PE:EA = 4:1) White solid. (52.9 mg,85% yield, 94% ee). mp: 113–114 °C.

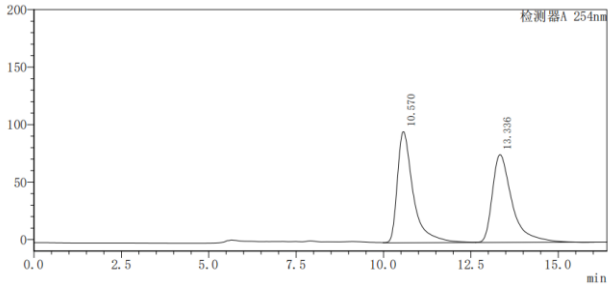
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.19 (d, *J* = 7.2 Hz, 1H), 7.40 (d, *J* = 6.7 Hz, 3H), 7.36 (q, *J* = 7.0 Hz, 2H), 7.28 (q, *J* = 6.6 Hz, 5H), 7.22 (d, *J* = 5.8 Hz, 3H), 7.13 (s, 1H), 7.04 (d, *J* = 8.0 Hz, 1H), 6.98 (s, 2H), 6.72 (s, 2H), 4.94 (s, 1H), 2.32 (s, 3H), 1.21 (s, 9H), 1.08 (s, 9H).

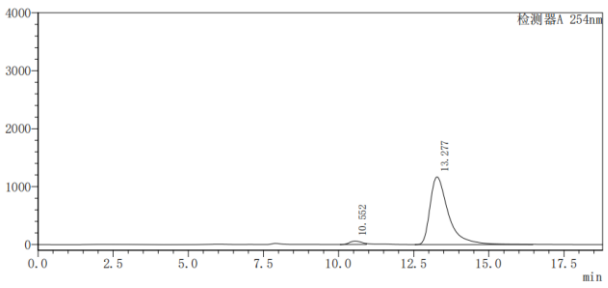
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.3, 150.1, 149.8, 145.8, 141.6, 139.5, 134.9, 133.9, 133.5, 132.6, 132.5, 132.1, 131.6, 130.0, 129.9, 129.3, 129.0, 128.3, 128.2, 128.1, 128.0, 127.9, 127.5, 126.6, 125.4, 123.4, 122.2, 81.4, 35.0, 34.4, 31.3, 30.2, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{43}H_{44}NO_3]^+$ required 622.3321, found 622.3324.

$[\alpha]_D^{25}$ = +3.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 95/5, 0.6 mL/min, λ = 254 nm, t (minor) = 10.552 min, t (major) = 13.277 min.

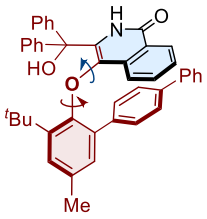




Peak	Ret. Time	Area	Height	Area%
1	10.570	3050868	96655	50.430
2	13.336	2998829	76445	49.570

Peak	Ret. Time	Area	Height	Area%
1	10.552	1684001	59912	3.268
2	13.277	49851998	1168738	96.732

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1':4',1''-terphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3v)



(PE:EA = 4:1) White solid. (61.7 mg, 96% yield, 97% ee). mp: 123–124 °C.

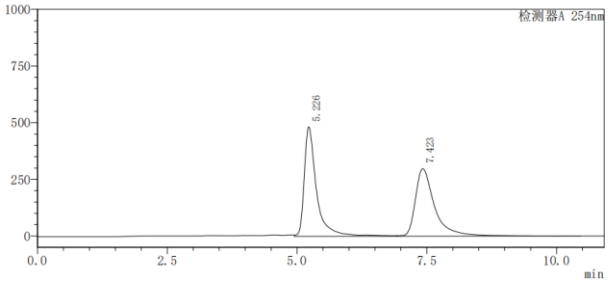
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.23 (d, *J* = 6.8 Hz, 1H), 7.45 (d, *J* = 7.6 Hz, 2H), 7.43 – 7.37 (m, 4H), 7.35 – 7.27 (m, 7H), 7.24 (d, *J* = 7.2 Hz, 4H), 7.20 (d, *J* = 8.3 Hz, 4H), 7.07 (d, *J* = 7.5 Hz, 1H), 6.74 (s, 2H), 4.87 (s, 1H), 2.34 (s, 3H), 1.09 (s, 9H).

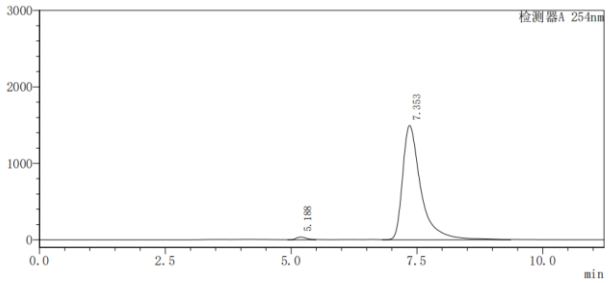
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.4, 150.2, 145.6, 141.5, 141.0, 140.2, 139.8, 137.0, 134.1, 133.5, 132.8, 132.4, 132.0, 131.8, 130.6, 130.2, 129.3, 129.0, 128.9, 128.8, 128.4, 128.3, 128.3, 127.5, 127.4, 127.3, 126.7, 125.5, 125.4, 122.3, 81.5, 35.1, 30.2, 21.0.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{45}H_{39}NNaO_3]^+$ required 664.2828, found 664.2830.

$[\alpha]_D^{25}$ = -12.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.188 min, t (major) = 7.353 min.

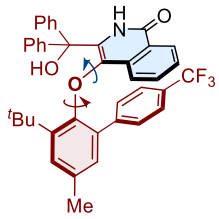




Peak	Ret. Time	Area	Height	Area%
1	5.226	8025325	483783	51.019
2	7.423	7704598	297979	48.981

Peak	Ret. Time	Area	Height	Area%
1	5.188	550019	36170	1.425
2	7.353	38037698	1495107	98.575

(S_a)-4-((3-(tert-butyl)-5-methyl-4'-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3w)



(PE:EA = 4:1) White solid. (58.4 mg, 92% yield, 95% ee). mp: 124–125 °C.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.24 (d, J = 7.4 Hz, 1H), 7.43 – 7.38 (m, 5H), 7.36 – 7.19 (m, 9H), 7.16 (d, J = 6.5 Hz, 2H), 7.03 (d, J = 7.4 Hz, 1H), 6.64 (s, 2H), 4.73 (s, 1H), 2.34 (s, 3H), 1.08 (s, 9H).

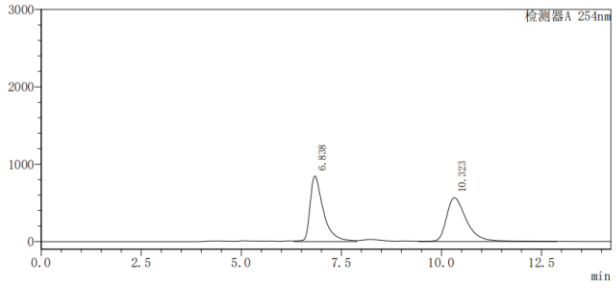
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.2, 150.0, 145.4, 142.0, 141.2, 140.0, 133.8, 133.3, 133.0, 131.9, 131.7, 131.3, 130.7, 130.5, 129.5, 129.3, 129.1, 129.0, 128.9, 128.7, 128.4, 127.4, 126.9, 125.4, 125.0 (d, $^1J_{C\text{-}F}$ = 272.1 Hz), 123.4, 123.4, 122.1, 81.4, 35.2, 30.1, 21.0.

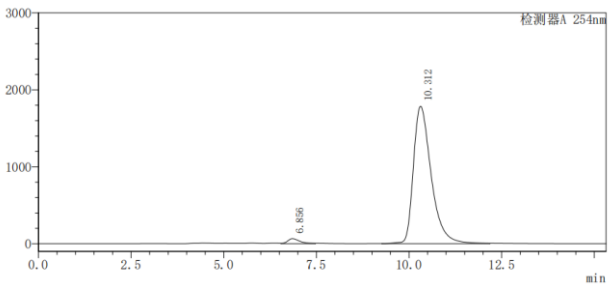
^{19}F NMR (565 MHz, $CDCl_3$) δ -62.66.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{40}H_{34}F_3NNaO_3]^+$ required 656.2388, found 656.2379.

$[\alpha]_D^{25}$ = -11.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 90/10, 0.8 mL/min, λ = 254 nm, t (minor) = 6.856 min, t (major) = 10.312 min.

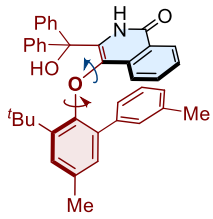




Peak	Ret. Time	Area	Height	Area%
1	6.838	19673504	848193	49.917
2	10.323	19739114	565337	50.083

Peak	Ret. Time	Area	Height	Area%
1	6.856	1539983	66315	2.497
2	10.312	60145345	1786370	97.503

(S_a)-4-((3-(tert-butyl)-3',5-dimethyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3x)



(PE:EA = 4:1) White solid. (46.4 mg, 80% yield, 96% ee). mp: 120–121 °C.

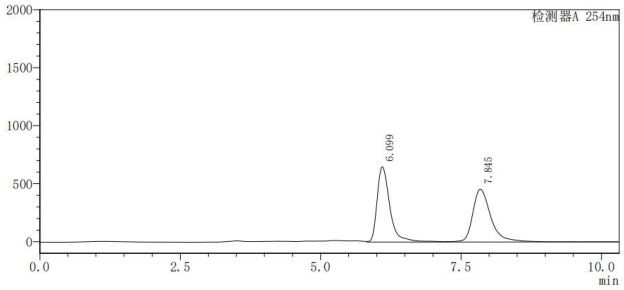
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.24 (d, *J* = 9.3 Hz, 1H), 7.39 (dd, *J* = 7.7, 4.6 Hz, 6H), 7.31 – 7.21 (m, 9H), 7.10 (d, *J* = 9.4 Hz, 1H), 6.87 (d, *J* = 7.4 Hz, 2H), 6.67 (s, 1H), 4.86 (s, 1H), 2.31 (s, 3H), 1.99 (s, 3H), 1.08 (s, 9H).

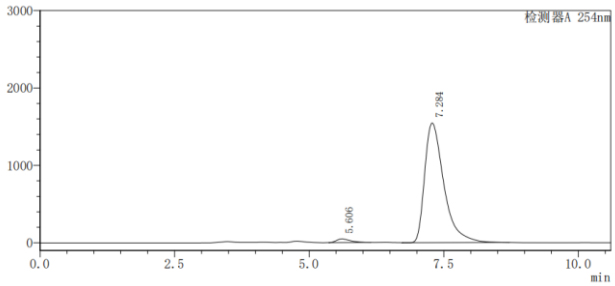
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.5, 150.1, 145.9, 141.5, 139.5, 138.0, 136.3, 134.1, 133.7, 132.6, 132.5, 132.2, 131.8, 130.8, 130.1, 129.2, 129.0, 128.9, 128.3, 128.2, 128.1, 127.6, 127.4, 126.6, 126.5, 125.4, 122.2, 81.2, 35.1, 30.2, 21.3, 20.9.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{40}H_{38}NO_3]^+$ required 580.2852, found 580.2842.

$[\alpha]_D^{25}$ = -7.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.606 min, t (major) = 7.248 min.

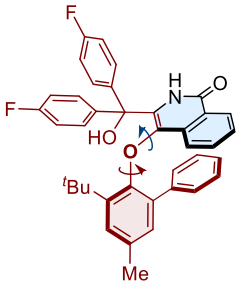




Peak	Ret. Time	Area	Height	Area%
1	6.099	10263987	649033	50.217
2	7.845	10175362	455416	49.783

Peak	Ret. Time	Area	Height	Area%
1	5.606	872131	47836	2.224
2	7.284	38337518	1545964	97.776

(S_a)-3-(bis(4-fluorophenyl)(hydroxy)methyl)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)isoquinolin-1(2H)-one (3y)



(PE:EA = 4:1) White solid. (55.4 mg, 92% yield, 97% ee). mp: 130–131 ºC.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.19 (d, *J* = 7.5 Hz, 1H), 7.46 – 7.36 (m, 2H), 7.32 – 7.14 (m, 6H), 7.10 (t, *J* = 8.6 Hz, 2H), 7.08 – 7.03 (m, 2H), 6.99 (t, *J* = 8.7 Hz, 2H), 6.94 (s, 2H), 6.69 (s, 2H), 5.01 (s, 1H), 2.33 (s, 3H), 1.12 (s, 9H).

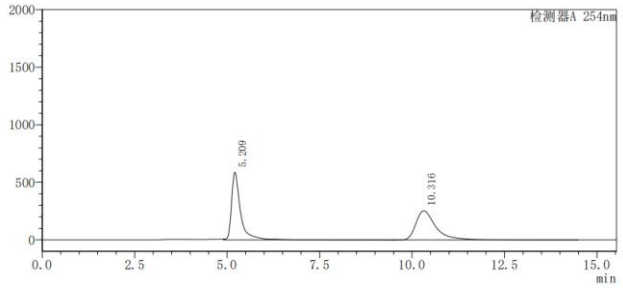
^{13}C NMR (151 MHz, $CDCl_3$) δ 164.0 (d, $^1J_{C\text{-}F}$ = 250.0 Hz), 162.0 (d, $^1J_{C\text{-}F}$ = 247.8 Hz), 159.5, 149.8, 141.6, 141.5, 139.5, 138.0, 137.3, 137.2, 134.1, 133.3, 132.9, 132.6, 132.3, 131.9, 130.8 (d, $^3J_{C\text{-}F}$ = 8.3 Hz), 130.2, 129.3, 129.2, 128.4 (d, $^3J_{C\text{-}F}$ = 12.9 Hz), 127.0, 126.6, 125.4, 122.2, 116.2 (d, $^2J_{C\text{-}F}$ = 22.0 Hz), 115.2 (d, $^2J_{C\text{-}F}$ = 21.6 Hz), 80.6, 35.1, 30.2, 21.0.

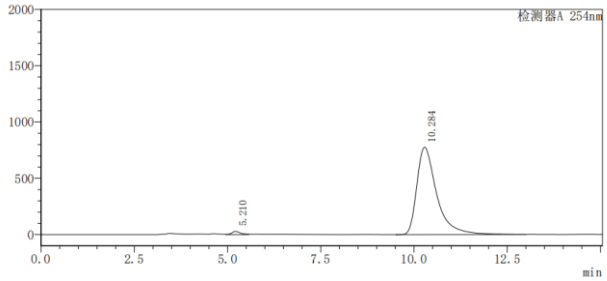
^{19}F NMR (565 MHz, $CDCl_3$) δ -112.06, -113.81.

HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{39}H_{33}F_2KNO_3]^+$ required 640.2066, found 640.2063.

$[\alpha]_D^{25}$ = -21.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.210 min, t (major) = 10.284 min.

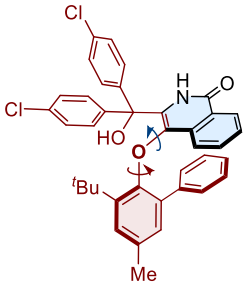




Peak	Ret. Time	Area	Height	Area%
1	5.209	10085247	587630	51.460
2	10.316	9512808	253727	48.540

Peak	Ret. Time	Area	Height	Area%
1	5.210	505693	29439	1.721
2	10.284	28877447	776440	98.279

(S_a)-3-(bis(4-chlorophenyl)(hydroxy)methyl)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)isoquinolin-1(2H)-one (3z)



(PE:EA = 4:1) White solid. (60.2 mg, 95% yield, 97% ee). mp: 135–136 ºC.

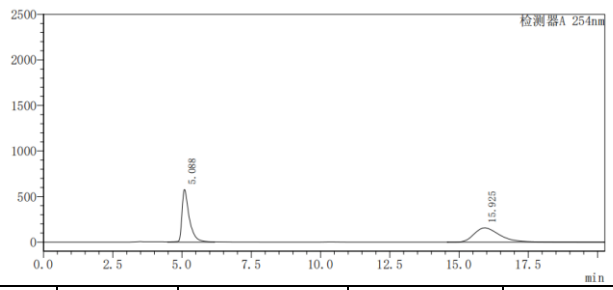
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.19 (d, *J* = 6.5 Hz, 1H), 7.40 (t, *J* = 9.0 Hz, 4H), 7.30 – 7.24 (m, 3H), 7.17 (t, *J* = 9.3 Hz, 5H), 7.07 – 7.03 (m, 2H), 6.92 (s, 2H), 6.68 (s, 2H), 5.01 (s, 1H), 2.33 (s, 3H), 1.11 (s, 9H).

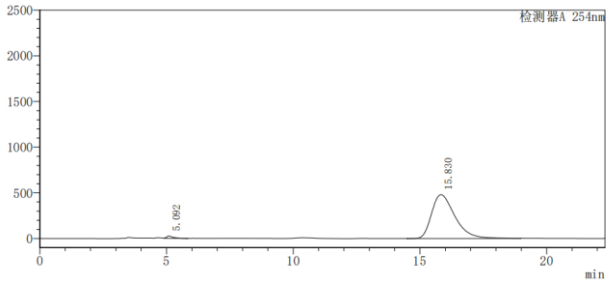
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.5, 149.8, 144.1, 139.7, 139.5, 137.9, 135.7, 134.5, 134.2, 133.2, 133.0, 132.6, 132.3, 132.0, 130.2, 129.4, 128.9, 128.8, 128.6, 128.4, 128.3, 127.1, 127.0, 126.6, 125.4, 122.3, 80.6, 35.1, 30.2, 21.0.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{39}H_{33}Cl_2NNaO_3]^+$ required 656.1735, found 656.1729.

$[\alpha]_D^{25}$ = -3.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.092 min, t (major) = 15.830 min.

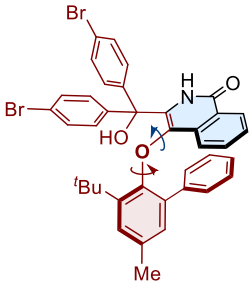




Peak	Ret. Time	Area	Height	Area%
1	5.088	10658167	577841	51.322
2	15.925	10108881	156655	48.678

Peak	Ret. Time	Area	Height	Area%
1	5.092	484922	26844	1.544
2	15.830	30917096	480150	98.456

(S_a)-3-(bis(4-bromophenyl)(hydroxy)methyl)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)isoquinolin-1(2H)-one (3za)



(PE:EA = 4:1) White solid. (70.2 mg, 97% yield, 97% ee). mp: 175–176 °C.

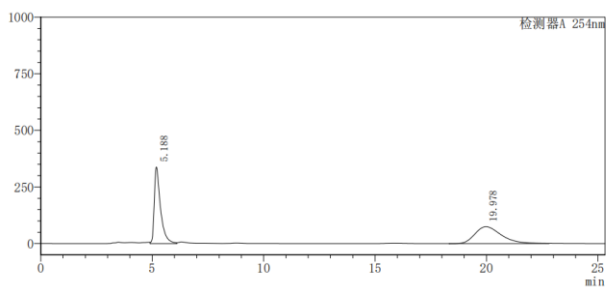
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.20 (d, *J* = 7.3 Hz, 1H), 7.55 (d, *J* = 8.3 Hz, 2H), 7.46 – 7.37 (m, 4H), 7.26 (s, 1H), 7.10 (dd, *J* = 11.8, 8.5 Hz, 5H), 7.07 – 7.03 (m, 2H), 6.93 (s, 2H), 6.69 (s, 2H), 4.99 (s, 1H), 2.33 (s, 3H), 1.11 (s, 9H).

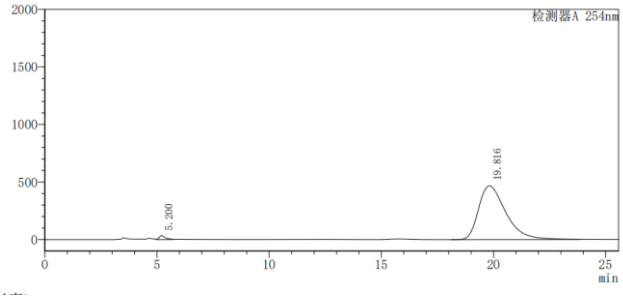
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.5, 149.8, 144.6, 140.1, 139.6, 137.9, 134.2, 133.2, 133.0, 132.6, 132.4, 132.3, 132.0, 131.6, 130.5, 130.2, 129.2, 128.7, 128.5, 128.4, 127.1, 127.0, 126.7, 125.4, 124.0, 122.7, 122.3, 80.7, 35.2, 30.3, 21.0.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{39}H_{33}Br_2NNaO_3]^+$ required 744.0704, found 744.0708.

$[\alpha]_D^{25}$ = -16.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 5.200 min, t (major) = 19.816 min.

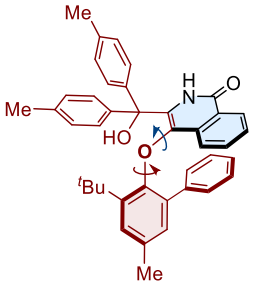




Peak	Ret. Time	Area	Height	Area%
1	5.188	6608384	338241	51.397
2	19.978	6249225	74789	48.603

Peak	Ret. Time	Area	Height	Area%
1	5.200	658537	33998	1.640
2	19.816	39502872	467078	98.360

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydi-p-tolylmethyl)isoquinolin-1(2H)-one (3zb)



(PE:EA = 4:1) White solid. (57.1 mg, 93% yield, 96% ee). mp: 127–128 ºC.

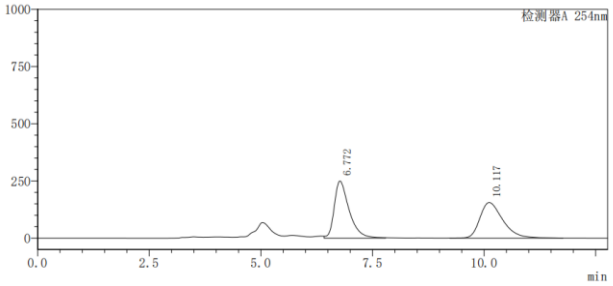
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.17 (d, *J* = 6.0 Hz, 1H), 7.37 (d, *J* = 4.1 Hz, 2H), 7.29 (d, *J* = 9.9 Hz, 1H), 7.24 (d, *J* = 7.5 Hz, 1H), 7.20 (d, *J* = 7.8 Hz, 2H), 7.16 (d, *J* = 7.8 Hz, 2H), 7.08 (s, 5H), 7.01 (t, *J* = 7.6 Hz, 1H), 6.91 (s, 2H), 6.68 (s, 2H), 4.80 (s, 1H), 2.38 (s, 3H), 2.34 (s, 3H), 2.32 (s, 3H), 1.10 (s, 9H).

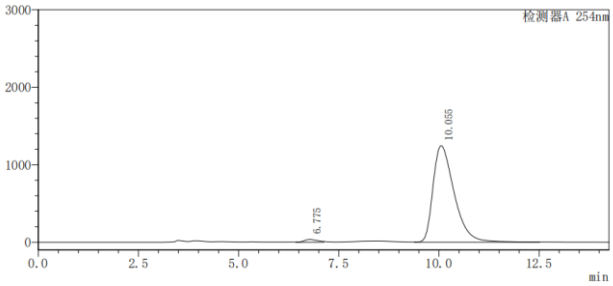
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.5, 150.0, 143.0, 139.5, 139.0, 138.7, 138.1, 137.9, 133.9, 133.5, 132.4, 132.3, 131.7, 130.4, 130.2, 129.8, 128.9, 128.7, 128.3, 128.2, 127.4, 126.8, 126.6, 126.5, 125.3, 122.1, 81.2, 35.1, 30.3, 21.2, 21.1, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{41}H_{40}NO_3]^+$ required 594.3008, found 594.3010.

$[\alpha]_D^{25}$ = -4.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 95/5, 0.6 mL/min, λ = 254 nm, t (minor) = 6.775 min, t (major) = 10.055 min.

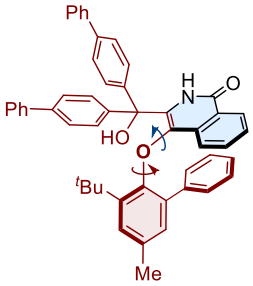




Peak	Ret. Time	Area	Height	Area%
1	6.772	5800688	249843	50.976
2	10.117	5578603	155745	49.024

Peak	Ret. Time	Area	Height	Area%
1	6.775	828523	36873	1.776
2	10.055	45811923	1245061	98.224

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(di([1,1'-biphenyl]-4-yl)(hydroxy)methyl)isoquinolin-1(2H)-one (3zc)



(PE:EA = 2:1) White solid. (66.1 mg, 92% yield, 96% ee). mp: 166–165 ºC.

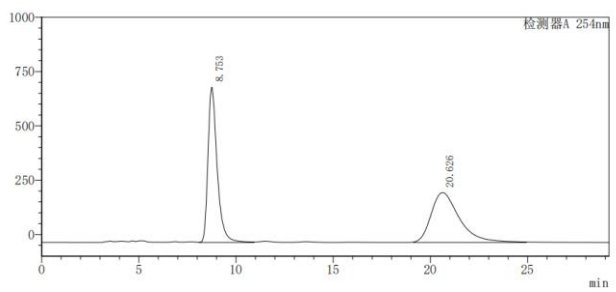
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.22 (d, *J* = 8.9 Hz, 1H), 7.68 – 7.58 (m, 6H), 7.55 (d, *J* = 8.1 Hz, 2H), 7.45 (q, *J* = 8.0 Hz, 4H), 7.43 – 7.28 (m, 9H), 7.24 (d, *J* = 7.3 Hz, 1H), 7.13 – 7.04 (m, 2H), 6.97 (s, 2H), 6.70 (s, 2H), 4.96 (s, 1H), 2.33 (s, 3H), 1.10 (s, 9H).

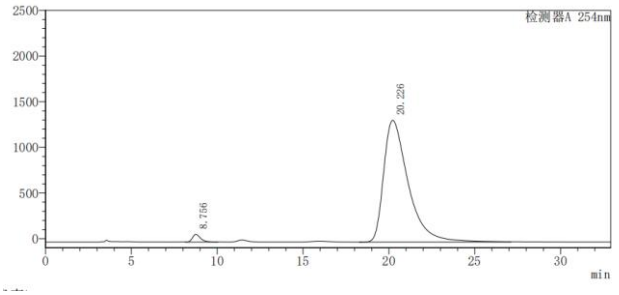
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.6, 150.0, 144.8, 142.2, 141.2, 140.8, 140.4, 140.3, 139.6, 138.0, 134.1, 133.5, 132.7, 132.6, 132.3, 131.8, 130.3, 130.0, 129.3, 129.0, 128.8, 128.4, 128.3, 128.0, 127.9, 127.8, 127.6, 127.4, 127.2, 127.1, 126.9, 126.8, 126.6, 125.4, 122.2, 81.1, 35.1, 30.3, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{51}H_{44}NO_3]^+$ required 718.3381, found 718.3384.

$[\alpha]_D^{25}$ = +31.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 8.756 min, t (major) = 20.226 min.

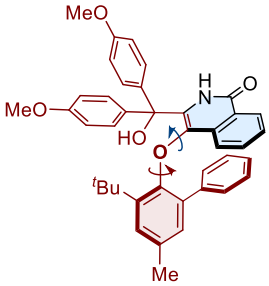




Peak	Ret. Time	Area	Height	Area%
1	8.753	23563138	714481	50.274
2	20.626	23306316	229948	49.726

Peak	Ret. Time	Area	Height	Area%
1	8.756	2795234	83978	2.060
2	20.226	132872415	1333160	97.940

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxybis(4-methoxyphenyl)methyl)isoquinolin-1(2H)-one (3zd)



(PE:EA = 4:1) White solid. (52.0 mg, 83% yield, 96% ee). mp: 124–125 °C.

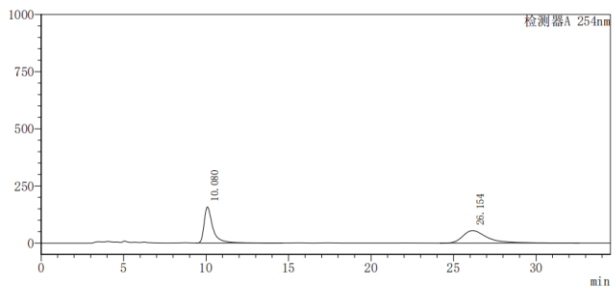
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.18 (d, *J* = 6.3 Hz, 1H), 7.37 (d, *J* = 6.1 Hz, 2H), 7.28 (s, 1H), 7.25 (d, *J* = 5.7 Hz, 1H), 7.18 (d, *J* = 8.4 Hz, 2H), 7.12 (d, *J* = 8.4 Hz, 2H), 7.07 (d, *J* = 6.0 Hz, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.92 (d, *J* = 8.7 Hz, 4H), 6.81 (d, *J* = 8.8 Hz, 2H), 6.69 (s, 2H), 4.82 (s, 1H), 3.84 (s, 3H), 3.80 (s, 3H), 2.32 (s, 3H), 1.13 (s, 9H).

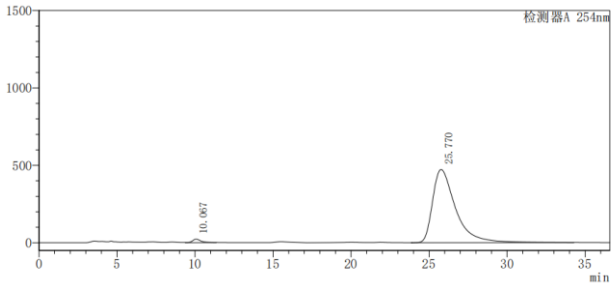
^{13}C NMR (151 MHz, $CDCl_3$) δ 160.1, 159.6, 159.5, 149.9, 139.5, 138.2, 138.1, 133.8, 133.5, 132.5, 132.4, 132.2, 131.7, 130.5, 130.2, 130.1, 128.7, 128.3, 128.2, 126.8, 126.6, 126.5, 125.2, 122.1, 114.4, 113.6, 80.9, 55.5, 55.4, 35.1, 30.2, 21.0.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{41}H_{39}NNaO_5]^+$ required 648.2726, found 648.2736.

$[\alpha]_D^{25}$ = +8.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 10.067 min, t (major) = 25.770 min.

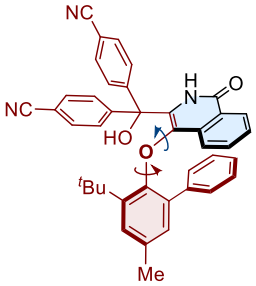




Peak	Ret. Time	Area	Height	Area%
1	10.080	5747166	157522	50.967
2	26.154	5528976	54257	49.033

Peak	Ret. Time	Area	Height	Area%
1	10.067	916603	22931	1.841
2	25.770	48881574	472787	98.159

(S_a)-4,4'-((4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-1-oxo-1,2-dihydroisoquinolin-3-yl)(hydroxy)methylene)dibenzonitrile (3ze)



(PE:EA = 2:1) White solid. (54.8 mg, 89% yield, 97% ee). mp: 171–173 ºC.

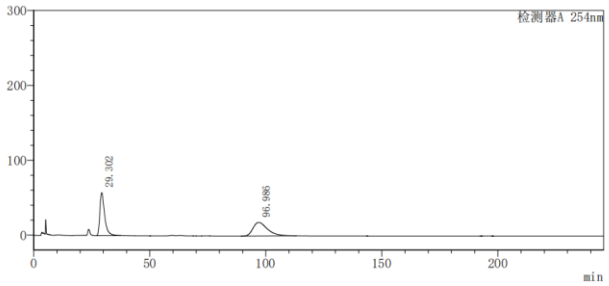
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.17 (d, *J* = 7.6 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 2H), 7.64 (d, *J* = 8.5 Hz, 2H), 7.43 (dd, *J* = 18.7, 8.1 Hz, 4H), 7.35 (d, *J* = 8.4 Hz, 2H), 7.26 (s, 1H), 7.05 (d, *J* = 7.5 Hz, 3H), 6.92 (s, 2H), 6.68 (d, *J* = 2.2 Hz, 2H), 5.35 (s, 1H), 2.33 (s, 3H), 1.08 (s, 9H).

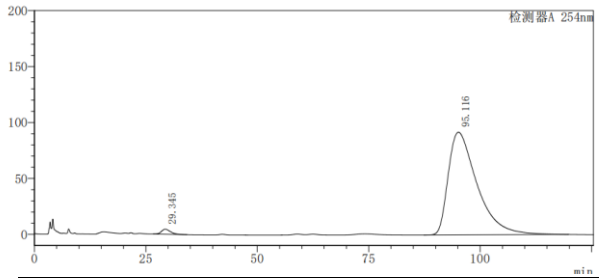
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.4, 150.0, 149.6, 145.4, 139.4, 137.8, 134.5, 133.4, 133.0, 132.9, 132.6, 132.5, 132.3, 132.2, 130.0, 129.5, 128.5, 128.4, 128.3, 127.5, 127.1, 127.0, 126.8, 125.5, 122.4, 118.3, 117.9, 113.8, 112.9, 80.4, 35.1, 30.2, 21.0.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{41}H_{33}N_3NaO_3]^+$ required 638.2420, found 638.2430.

$[\alpha]_D^{25}$ = -28.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 29.345 min, t (major) = 95.116 min.

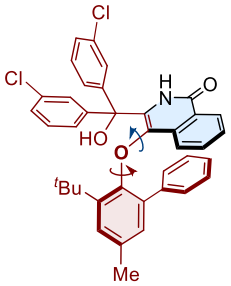




Peak	Ret. Time	Area	Height	Area%
1	29.302	8225356	57486	50.819
2	96.986	7960340	18226	49.181

Peak	Ret. Time	Area	Height	Area%
1	29.345	642743	4487	1.532
2	95.116	41312631	91731	98.468

(S_a)-3-(bis(3-chlorophenyl)(hydroxy)methyl)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)isoquinolin-1(2H)-one (3zf)



(PE:EA = 4:1) White solid. (57.8 mg, 91% yield, 96% ee). mp: 148–149 °C.

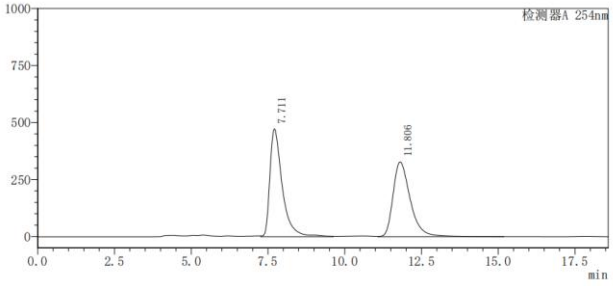
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.22 (d, *J* = 7.5 Hz, 1H), 7.46 (s, 1H), 7.43 – 7.39 (m, 3H), 7.31 (q, *J* = 7.4 Hz, 3H), 7.24 (d, *J* = 9.6 Hz, 3H), 7.07 (dd, *J* = 12.7, 7.8 Hz, 3H), 6.93 (d, *J* = 7.9 Hz, 3H), 6.69 (s, 2H), 5.09 (s, 1H), 2.33 (s, 3H), 1.12 (s, 9H).

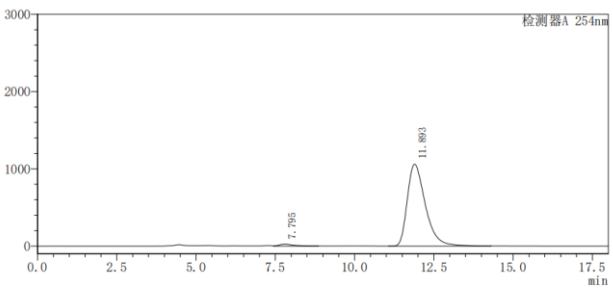
^{13}C NMR (151 MHz, $CDCl_3$) δ 159.5, 149.8, 147.3, 143.0, 139.6, 137.8, 135.7, 134.9, 134.2, 133.2, 133.0, 132.7, 132.2, 132.0, 130.2, 130.1, 129.8, 129.7, 129.1, 128.8, 128.5, 128.4, 128.3, 127.7, 127.2, 127.1, 127.0, 126.8, 125.7, 125.5, 122.3, 80.6, 35.2, 30.1, 21.0.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{39}H_{33}Cl_2NNaO_3]^+$ required 656.1735, found 656.1738.

$[\alpha]_D^{25}$ = -4.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 90/10, 0.8 mL/min, λ = 254 nm, t (minor) = 7.795 min, t (major) = 11.893 min.

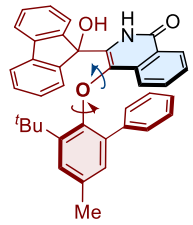




Peak	Ret. Time	Area	Height	Area%
1	7.711	13282207	472823	51.045
2	11.806	12738524	327970	48.955

Peak	Ret. Time	Area	Height	Area%
1	7.795	925874	25610	2.145
2	11.893	42243592	1060975	97.855

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(9-hydroxy-9H-fluoren-9-yl)isoquinolin-1(2H)-one (3zg)



(PE:EA = 2:1) White solid. (31.0 mg, 55% yield, 85% ee). mp: 124–125 °C.

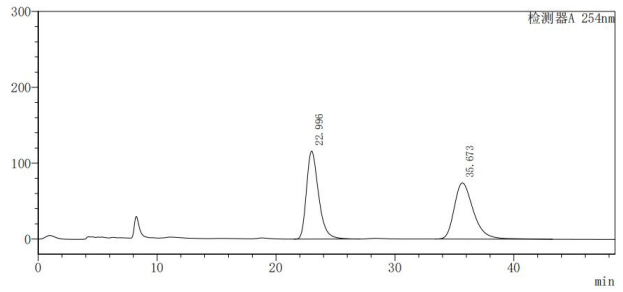
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.13 (d, *J* = 7.9 Hz, 1H), 7.81 (d, *J* = 7.6 Hz, 1H), 7.68 (d, *J* = 7.6 Hz, 1H), 7.60 (d, *J* = 7.5 Hz, 2H), 7.50 (t, *J* = 7.5 Hz, 1H), 7.41 (dd, *J* = 16.7, 8.2 Hz, 2H), 7.37 – 7.32 (m, 3H), 7.25 (d, *J* = 11.1 Hz, 3H), 7.18 – 7.16 (m, 2H), 7.12 – 7.03 (m, 2H), 6.67 (s, 2H), 5.24 (s, 1H), 2.36 (s, 3H), 1.67 (s, 9H).

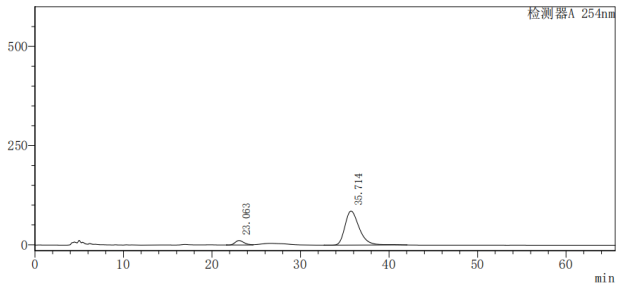
^{13}C NMR (151 MHz, $CDCl_3$) δ 160.0, 150.3, 148.6, 144.3, 141.5, 139.1, 138.9, 134.5, 134.0, 132.9, 132.7, 131.8, 131.1, 130.0, 129.2, 128.6, 128.5, 128.4, 128.3, 127.0, 126.7, 125.6, 126.4, 124.8, 122.1, 121.0, 120.7, 84.1, 35.8, 30.9, 21.0.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{39}H_{34}NO_3]^+$ required 564.2539, found 564.2530.

$[\alpha]_D^{25}$ = -8.00 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 90/10, 0.8 mL/min, λ = 254 nm, t (minor) = 23.063 min, t (major) = 35.714 min.

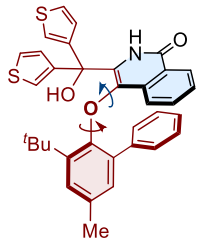




Peak	Ret. Time	Area	Height	Area%
1	22.996	8221178	116001	49.862
2	35.673	8266602	74039	50.138

Peak	Ret. Time	Area	Height	Area%
1	23.063	800316	11266	7.686
2	35.714	9611683	85760	92.314

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydi(thiophen-3-yl)methyl)isoquinolin-1(2H)-one (3zh)



(PE:EA = 4:1) White solid. (46.8 mg, 81% yield, 80% ee). mp: 123–124 °C.

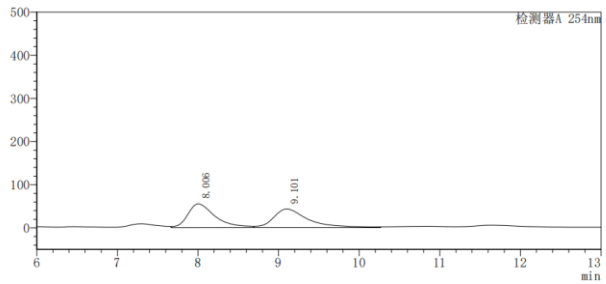
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.97 (s, 1H), 8.25 (d, *J* = 7.4 Hz, 1H), 7.37 (p, *J* = 6.9 Hz, 2H), 7.26 (d, *J* = 8.3 Hz, 2H), 7.18 (d, *J* = 5.1 Hz, 1H), 7.06 (dd, *J* = 16.5, 3.8 Hz, 3H), 7.00 (t, *J* = 5.0 Hz, 1H), 6.96 – 6.86 (m, 4H), 6.63 (s, 1H), 6.50 (s, 2H), 5.54 (s, 1H), 2.33 (s, 3H), 1.53 (s, 9H).

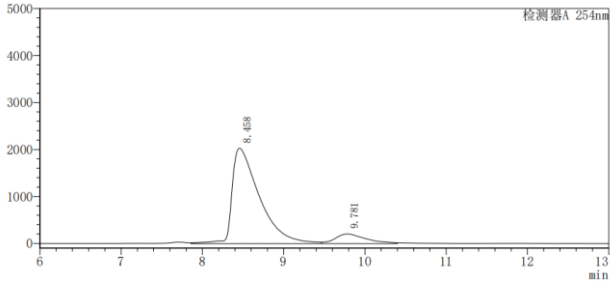
^{13}C NMR (151 MHz, $CDCl_3$) δ 152.2, 151.5, 150.0, 139.5, 139.3 (2C), 132.9, 132.5, 131.8, 131.6, 131.0, 129.0, 128.9, 128.4, 128.1, 127.2 (2C), 127.1, 126.7, 125.1, 124.8, 124.4, 123.5, 122.6, 65.2, 35.7, 31.2, 21.1.

HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{35}H_{31}KNO_3S_2]^+$ required 616.1382, found 616.1391.

$[\alpha]_D^{25}$ = -31.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IB, Hexanes/IPA = 90/10, 1.0 mL/min, λ = 254 nm, t (minor) = 9.781 min, t (major) = 8.458 min.

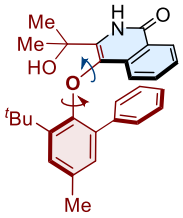




Peak	Ret. Time	Area	Height	Area%
1	8.006	1309897	55157	50.021
2	9.101	1308785	43284	49.979

Peak	Ret. Time	Area	Height	Area%
1	8.458	47663675	2029429	89.843
2	9.781	5388583	203797	10.157

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(2-hydroxypropan-2-yl)isoquinolin-1(2H)-one (3zi)



(PE:EA = 4:1) White solid. (42.0 mg, 95% yield, 77% ee). mp: 128–129 °C.

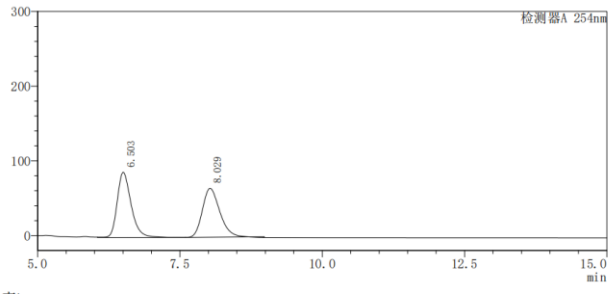
^{1}H NMR (600 MHz, $CDCl_3$) δ 9.28 (s, 1H), 8.22 (d, *J* = 6.6 Hz, 1H), 7.40 – 7.34 (m, 2H), 7.32 (s, 1H), 7.15 (d, *J* = 8.0 Hz, 1H), 6.99 (t, *J* = 7.4 Hz, 1H), 6.90 – 6.71 (m, 2H), 6.61 (s, 1H), 6.53 (d, *J* = 67.7 Hz, 2H), 4.08 (s, 1H), 2.32 (s, 3H), 1.88 (s, 3H), 1.63 (s, 3H), 1.59 (s, 9H).

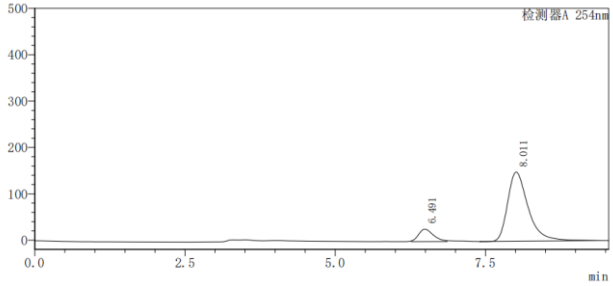
^{13}C NMR (151 MHz, $CDCl_3$) δ 151.0, 139.0, 138.9, 134.7, 132.9, 132.3, 132.2, 131.9, 131.6, 131.5, 131.4, 129.8, 128.2, 128.0, 126.9, 126.7, 126.5, 126.4, 126.1, 126.0, 122.4, 71.2, 35.8, 30.9, 30.8, 28.6, 20.9.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{29}H_{32}NO_3]^+$ required 442.2382, found 442.2377.

$[\alpha]_D^{25}$ = -8.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 6.491 min, t (major) = 8.011 min.

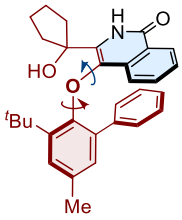




Peak	Ret. Time	Area	Height	Area%
1	6.503	1484318	87290	51.860
2	8.029	1377848	65431	48.140

Peak	Ret. Time	Area	Height	Area%
1	6.491	458374	26891	11.463
2	8.011	3540364	149078	88.537

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(1-hydroxycyclopentyl)isoquinolin-1(2H)-one (3zj)



(PE:EA = 2:1) White solid. (35.1 mg, 75% yield, 72% ee). mp: 187–188 °C.

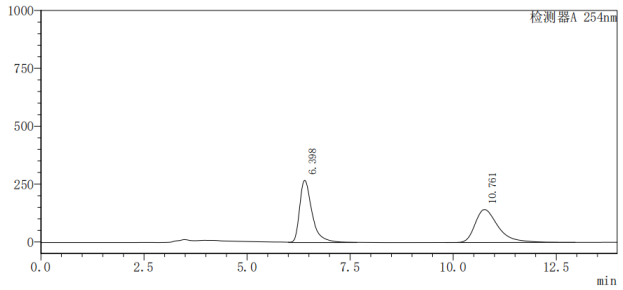
^{1}H NMR (600 MHz, $CDCl_3$) δ 9.41 (s, 1H), 8.21 (d, *J* = 7.5 Hz, 1H), 7.37 (t, *J* = 6.8 Hz, 2H), 7.31 (s, 1H), 7.15 (d, *J* = 7.9 Hz, 1H), 6.99 (t, *J* = 7.3 Hz, 1H), 6.84 (s, 2H), 6.59 (d, *J* = 20.4 Hz, 3H), 4.11 (s, 1H), 2.89 – 2.79 (m, 1H), 2.32 (s, 3H), 2.27 – 2.17 (m, 1H), 2.11 – 1.96 (m, 3H), 1.94 – 1.80 (m, 3H), 1.56 (s, 9H).

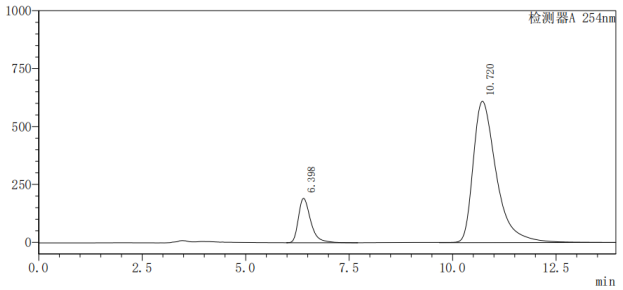
^{13}C NMR (151 MHz, $CDCl_3$) δ 150.9, 138.9, 138.8, 134.7, 132.3, 132.2, 131.6 (2C), 131.4, 131.2, 129.7, 128.2, 127.9, 126.8, 126.4, 126.0, 122.3, 81.3, 41.9, 37.9, 35.7, 30.7, 23.7, 22.7, 20.9.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{31}H_{34}NO_3]^+$ required 468.2539, found 468.2529.

$[\alpha]_D^{25}$ = -5.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 6.398 min, t (major) = 10.720 min.

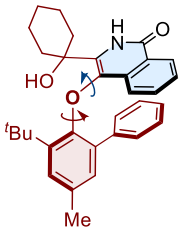




Peak	Ret. Time	Area	Height	Area%
1	6.398	5643198	268854	50.520
2	10.761	5526979	142314	49.480

Peak	Ret. Time	Area	Height	Area%
1	6.398	3957824	191878	14.252
2	10.720	23812976	609950	85.748

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(1-hydroxycyclohexyl)isoquinolin-1(2H)-one (3zk)



(PE:EA = 2:1) White solid. (35.2 mg, 73% yield, 81% ee). mp: 193–194 °C.

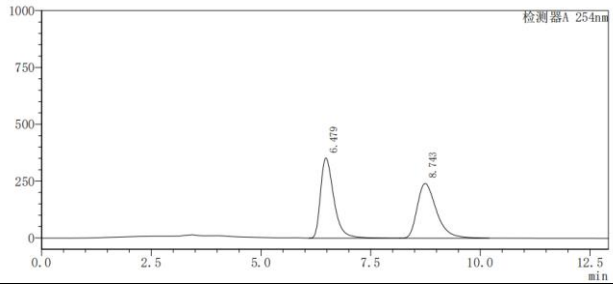
^{1}H NMR (600 MHz, $CDCl_3$) δ 9.40 (s, 1H), 8.21 (s, 1H), 7.39 – 7.31 (m, 3H), 7.17 – 7.12 (m, 1H), 6.98 (t, *J* = 7.4 Hz, 1H), 6.82 (s, 2H), 6.61 (s, 3H), 3.73 (s, 1H), 2.63 – 2.58 (m, 1H), 2.32 (s, 3H), 2.20 – 2.11 (m, 1H), 1.93 (d, *J* = 12.8 Hz, 1H), 1.83 – 1.69 (m, 4H), 1.63 (s, 3H), 1.62 (s, 9H).

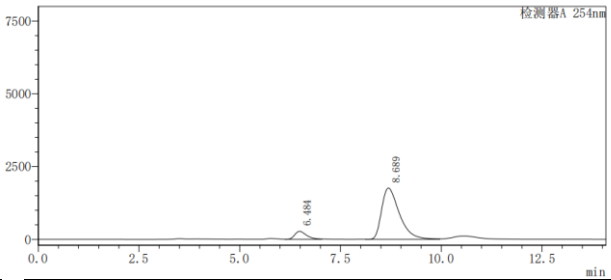
^{13}C NMR (151 MHz, $CDCl_3$) δ 151.0, 139.0, 138.8, 134.8, 133.5, 132.4, 131.9, 131.6, 131.5, 131.1, 129.9, 128.2, 128.0, 126.8, 126.4, 125.9, 122.4, 73.1, 36.4, 35.8, 34.1, 31.0, 24.5, 21.8, 21.6, 20.9.

HRMS (ESI): m/z $[M+Na]^+$calcd for $[C_{32}H_{35}NNaO_3]^+$ required 504.2515, found 504.2509.

$[\alpha]_D^{25}$ = -4.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 6.484 min, t (major) = 8.689 min.

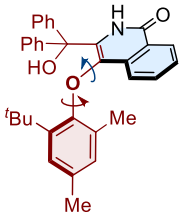




Peak	Ret. Time	Area	Height	Area%
1	6.479	7443266	352736	50.253
2	8.743	7368270	241062	49.747

Peak	Ret. Time	Area	Height	Area%
1	6.484	5820531	278505	9.674
2	8.689	54347056	1763336	90.326

(S_a)-4-(2-(tert-butyl)-4,6-dimethylphenoxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3zl)



(PE:EA = 4:1) White solid. (45.4 mg, 90% yield, 27% ee). mp: 117–118 °C.

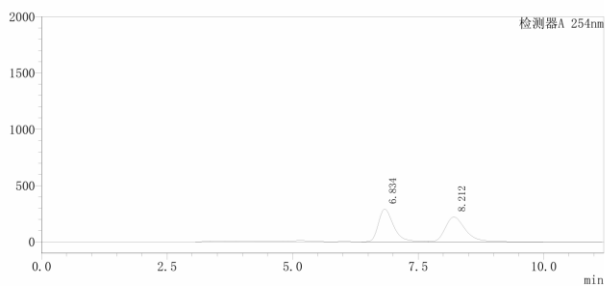
^{1}H NMR (600 MHz, DMSO) δ 10.02 (s, 1H), 8.28 (d, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 7.8 Hz, 2H), 7.45 – 7.32 (m, 7H), 7.29 (s, 1H), 7.19 (t, *J* = 7.3 Hz, 1H), 7.13 (t, *J* = 7.6 Hz, 2H), 6.99 – 6.90 (m, 2H), 6.31 (s, 1H), 2.12 (s, 3H), 1.01 (s, 9H), 0.38 (s, 3H).

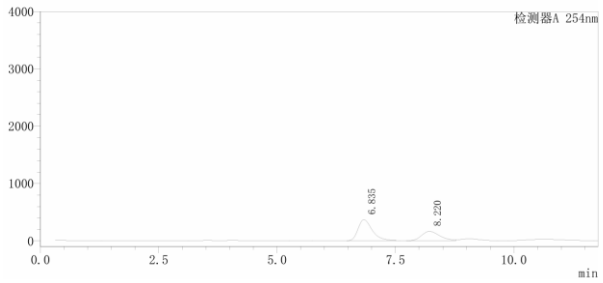
^{13}C NMR (151 MHz, DMSO) δ 158.9, 150.8, 142.7, 141.8, 137.8, 133.2, 131.5, 131.0, 130.9, 130.8, 129.0, 128.4, 128.2, 127.9, 127.6, 127.2, 127.1, 126.5, 126.4, 125.9, 124.8, 120.8, 77.4, 34.5, 29.6, 20.3, 15.9.

HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{34}H_{33}KNO_3]^+$ required 542.2098, found 542.2090.

$[\alpha]_D^{25}$ = -31.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 8.220 min, t (major) = 6.835 min.

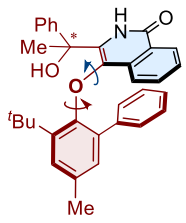




Peak	Ret. Time	Area	Height	Area%
1	6.834	6129910	291023	49.770
2	8.212	6186537	220891	50.230

Peak	Ret. Time	Area	Height	Area%
1	6.835	7692724	369173	63.704
2	8.220	4382941	163621	36.296

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(1-hydroxy-1-phenylethyl)isoquinolin-1(2H)-one (3zm)



(PE:EA = 4:1) White solid. (43.9 mg, 87% yield, 83% ee, 8:1 dr). mp: 121–122 ºC.

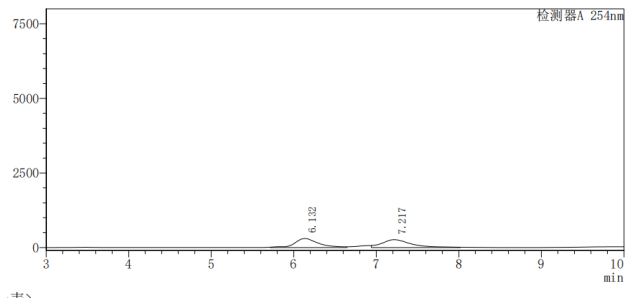
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.78 (s, 1H), 8.19 – 8.15 (m, 1H), 7.35 (dd, *J* = 8.6, 5.6 Hz, 4H), 7.28 – 7.21 (m, 4H), 7.12 – 6.96 (m, 2H), 6.86 (s, 2H), 6.62 (s, 3H), 4.62 (s, 1H), 2.31 (s, 3H), 1.91 (s, 3H), 1.27 (s, 9H).

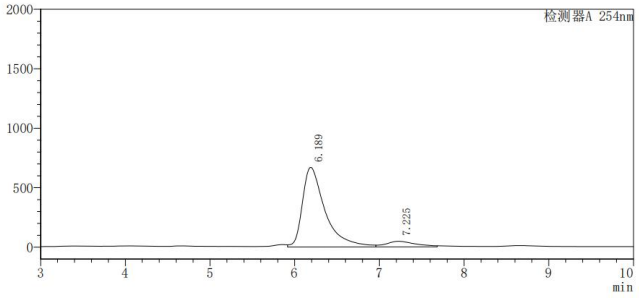
^{13}C NMR (151 MHz, $CDCl_3$) δ 160.5, 150.1, 146.7, 139.3, 138.2, 133.7, 133.4, 132.3 (2C), 132.2, 131.7, 131.0, 130.0, 128.5, 128.2, 128.1, 127.9, 126.7, 126.5, 126.4, 125.4, 125.0, 122.1, 75.7, 35.3, 30.6, 28.6, 20.9.

HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{34}H_{33}KNO_3]^+$ required 542.2098, found 542.2088.

$[\alpha]_D^{25}$ = -3.33 (c = 0.05, CH_2Cl_2).

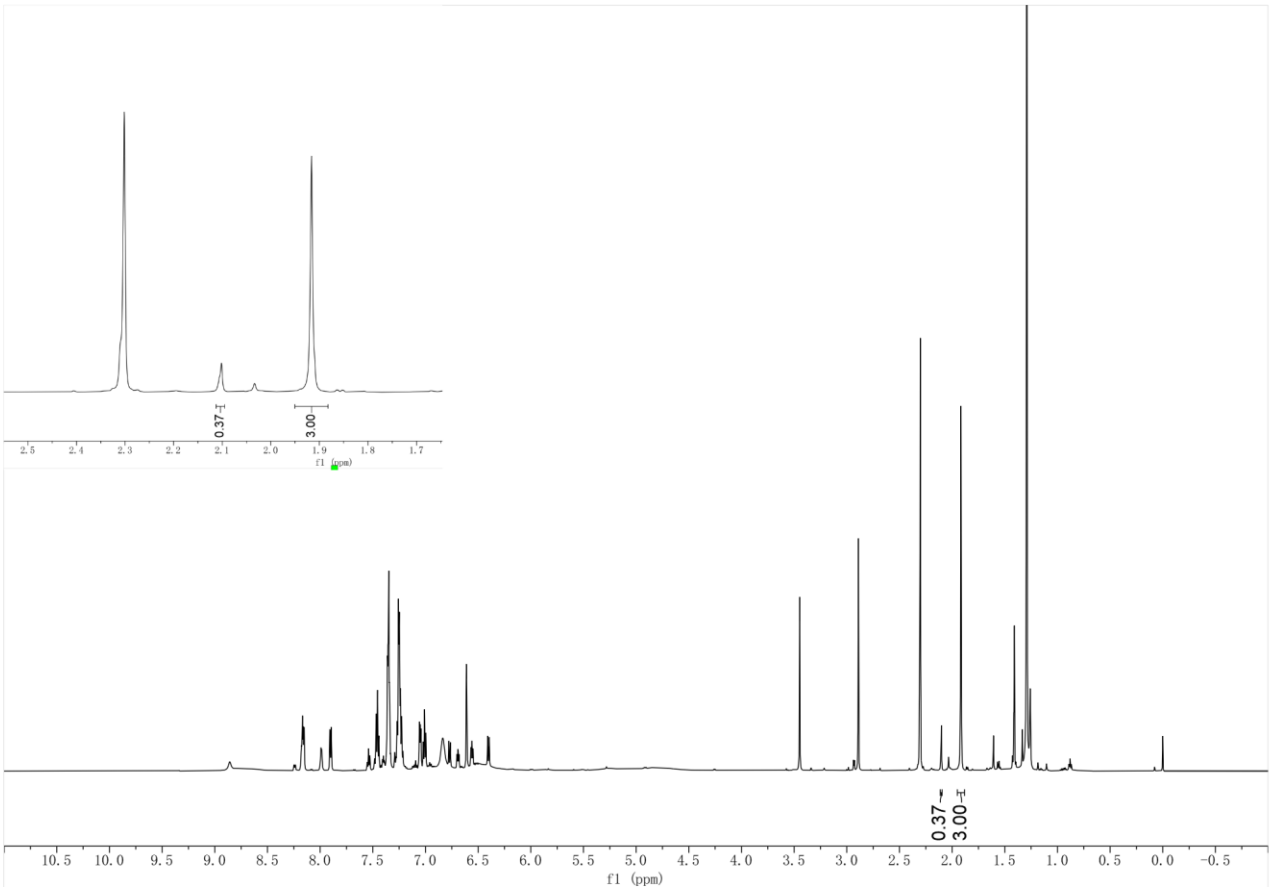
HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 7.225 min, t (major) = 6.189 min. The dr value was determined by **^{1}HNMR**



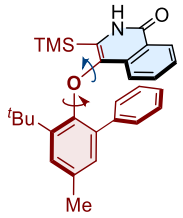


Peak	Ret. Time	Area	Height	Area%
1	6.132	6125473	304803	48.378
2	7.217	6536318	264251	51.622

Peak	Ret. Time	Area	Height	Area%
1	6.189	12436623	669676	91.466
2	7.225	1160410	47373	8.534


0.37
3.00
f1 (ppm)

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(trimethylsilyl)isoquinolin-1(2H)-one (3zn)



(PE:EA = 4:1) White solid. (16.0 mg, 35% yield, 82% ee). mp: 124–125 °C.

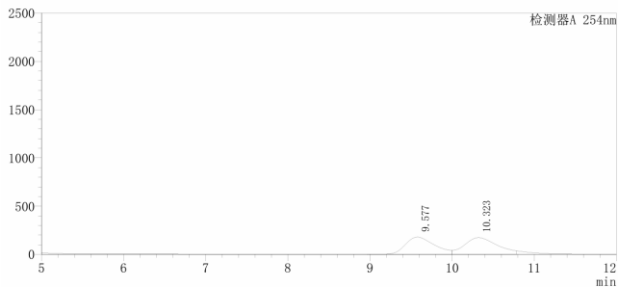
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.22 (d, *J* = 7.4 Hz, 1H), 7.65 (s, 1H), 7.40 – 7.36 (m, 2H), 7.31 (s, 1H), 7.07 (d, *J* = 7.7 Hz, 1H), 7.01 (t, *J* = 7.5 Hz, 1H), 6.84 (t, *J* = 7.5 Hz, 2H), 6.62 (s, 1H), 6.46 (s, 2H), 2.33 (s, 3H), 1.58 (s, 9H), 0.39 (s, 9H).

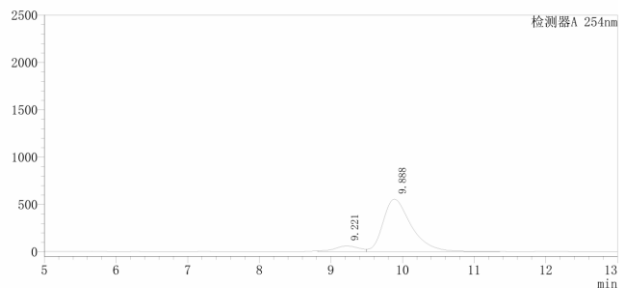
^{13}C NMR (151 MHz, $CDCl_3$) δ 151.4, 142.8, 139.1, 139.0, 133.3, 132.2, 131.9, 131.6, 131.5, 129.9, 129.3, 128.2, 128.1, 126.8, 126.7, 126.4, 122.2, 35.8, 30.9, 21.0, -0.88.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{29}H_{34}NO_2Si]^+$ required 456.2359, found 456.2358.

$[\alpha]_D^{25}$ = -3.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 90/10, 1.0 mL/min, λ = 254 nm, t (minor) = 9.221 min, t (major) = 9.888 min.

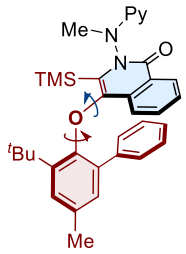




Peak	Ret. Time	Area	Height	Area%
1	9.577	4455184	179548	47.874
2	10.323	4850793	174495	52.126

Peak	Ret. Time	Area	Height	Area%
1	9.221	1497977	61444	8.881
2	9.888	15369711	555374	91.119

(S_a)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(methyl(pyridin-2-yl)amino)-3-(trimethylsilyl)isoquinolin-1(2H)-one (3zn')



(PE:EA = 4:1) White solid. (11.8 mg, 21% yield, single diastereomer, 99% ee). mp: 134–135 ºC.

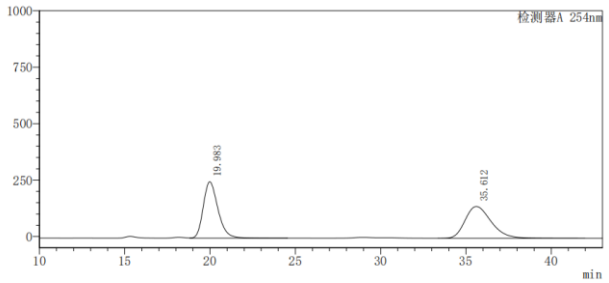
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.31 – 8.20 (m, 2H), 7.42 (p, *J* = 7.1 Hz, 2H), 7.36 (d, *J* = 9.6 Hz, 2H), 7.31 (d, *J* = 8.0 Hz, 1H), 7.09 (t, *J* = 7.5 Hz, 1H), 6.96 (t, *J* = 8.0 Hz, 2H), 6.71 (t, *J* = 6.1 Hz, 1H), 6.55 (d, *J* = 49.7 Hz, 3H), 6.11 (s, 1H), 3.28 (s, 3H), 2.33 (s, 3H), 1.61 (s, 9H), 0.29 (s, 9H).

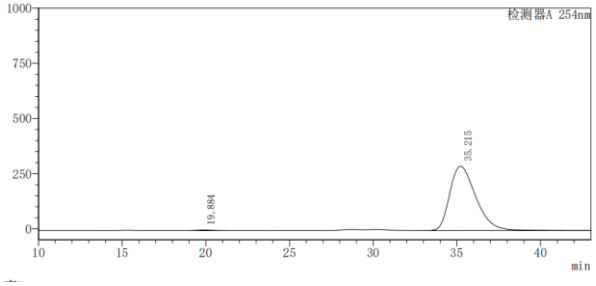
^{13}C NMR (151 MHz, $CDCl_3$) δ 160.1, 159.9, 151.5, 148.0, 142.8, 139.9, 138.6, 137.6, 137.5, 133.3, 132.4, 132.0, 131.6, 131.5, 130.1, 128.8, 128.6, 127.1, 127.0, 126.8, 126.7, 122.9, 115.5, 107.7, 39.4, 36.0, 31.4, 20.9, 2.7.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{35}H_{40}N_3O_2Si]^+$ required 562.2890, found 562.2893.

$[\alpha]_D^{25}$ = -4.67 (c = 0.5, CH_2Cl_2).

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm, t (minor) = 19.884 min, t (major) = 35.215 min.

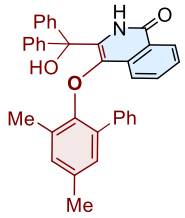




Peak	Ret. Time	Area	Height	Area%
1	19.983	15010191	249849	50.033
2	35.612	14990145	141100	49.967

Peak	Ret. Time	Area	Height	Area%
1	19.884	185393	3175	0.582
2	35.215	31674649	291207	99.418

4-((3,5-dimethyl-[1,1'-biphenyl]-2-yl)oxy)-3-(hydroxydiphenylmethyl)isoquinolin-1(2H)-one (3zo)



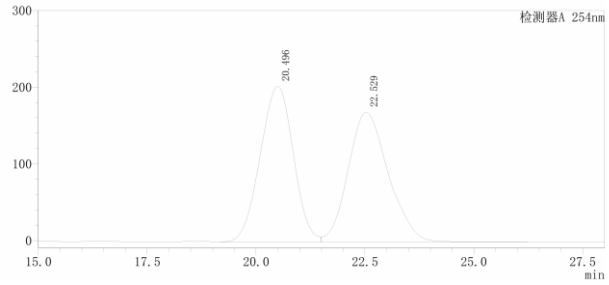
(PE:EA = 4:1) White solid. (43.4 mg, 83% yield, racemic). mp: 124–125 °C.

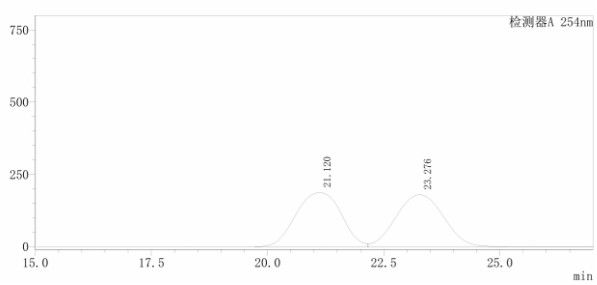
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (s, 1H), 8.25 (d, *J* = 7.0 Hz, 1H), 7.43 – 7.37 (m, 2H), 7.33 – 7.22 (m, 11H), 7.10 (t, *J* = 7.4 Hz, 1H), 7.00 (t, *J* = 7.6 Hz, 2H), 6.89 (d, *J* = 7.4 Hz, 2H), 6.83 (s, 1H), 6.74 (s, 1H), 4.32 (s, 1H), 2.26 (s, 3H), 1.58 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 160.3, 149.1, 142.9, 142.7, 138.3, 134.1, 133.6, 132.5, 132.3, 131.9, 130.7, 130.2, 129.5, 128.7, 128.6, 128.5, 128.4, 128.3, 128.1, 127.7, 127.4, 127.0, 126.9, 126.7, 125.3, 121.3, 80.4, 20.5, 17.5.

HRMS (ESI): m/z $[M+K]^+$calcd for $[C_{36}H_{29}NNaO_3]^+$ required 546.2045, found 5546.2052.

HPLC Condition: The enantiomeric excess was determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 96/4, 0.8 mL/min, λ = 254 nm, t (minor) = 21.120 min, t (major) = 23.276 min.

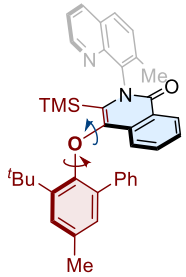




Peak	Ret. Time	Area	Height	Area%
1	20.496	10762675	203081	49.714
2	22.529	10886563	169197	50.286

Peak	Ret. Time	Area	Height	Area%
1	21.120	12865327	188497	49.736
2	23.276	13001751	180999	50.264

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5a)



(PE:EA = 2:1) White solid. (51.3 mg, 86% yield, 19:1 dr, 99% ee). mp: 166–167 ºC.

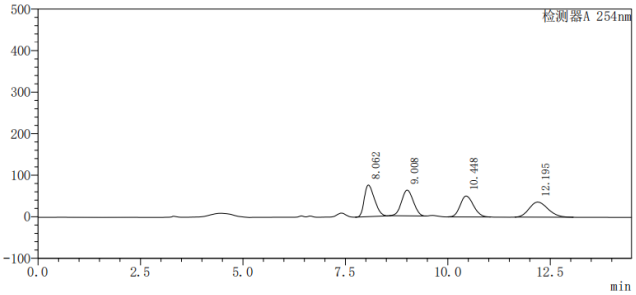
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.37 – 8.30 (m, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.76 (d, *J* = 8.5 Hz, 1H), 7.43 (ddd, *J* = 9.2, 7.1, 3.4 Hz, 3H), 7.36 (d, *J* = 2.4 Hz, 1H), 7.29 – 7.26 (m, 1H), 7.26 – 7.22 (m, 1H), 7.08 (tt, *J* = 7.4, 1.3 Hz, 1H), 6.96 (d, *J* = 8.7 Hz, 2H), 6.56 (d, *J* = 2.3 Hz, 3H), 2.34 (s, 3H), 2.05 (s, 3H), 1.63 (s, 9H), -0.29 (s, 9H).

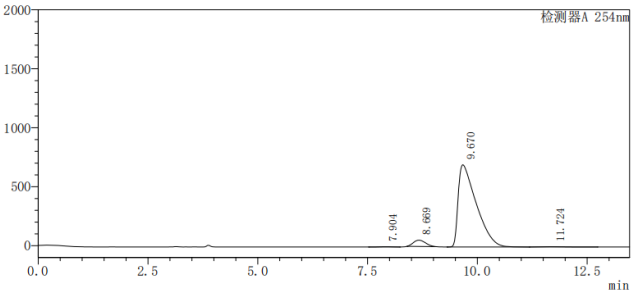
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.4, 151.2, 150.7, 145.4, 143.6, 139.9, 139.8, 139.2, 137.2, 135.7, 134.5, 133.1, 132.9, 132.5, 131.5, 131.0, 130.0, 129.4, 129.0, 128.4, 128.2, 127.7, 126.9, 126.8, 126.8, 126.5, 122.6, 120.9, 35.9, 31.0, 20.9, 19.7, 1.9.

HRMS (ESI): m/z $[M+H]^+$calcd for $[C_{39}H_{41}N_2O_2Si]^+$ required 597.2937, found 597.2966.

$[\alpha]_D^{20}$ = -36.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm, t (minor) = 11.724 min, t (major) = 9.670 min.

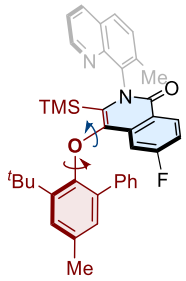




Peak	Ret. Time	Area	Height	Area%
1	8.062	1311582	76253	27.067
2	9.008	1241730	62093	25.626
3	10.448	1132267	50457	23.367
4	12.195	1160039	36429	23.940

Peak	Ret. Time	Area	Height	Area%
1	7.904	25664	2403	0.121
2	8.669	1030602	53640	4.869
3	9.670	20022539	696924	94.591
4	11.724	87512	2293	0.413

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-6-fluoro-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5b)



(PE:EA = 2:1) White solid. (56.5 mg, 92% yield, 15:1 dr, 99% ee). mp: 116–117 °C.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.34 (dd, *J* = 8.8, 6.0 Hz, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.44 (d, *J* = 8.4 Hz, 1H), 7.37 (d, *J* = 2.4 Hz, 1H), 7.28 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.15 – 7.09 (m, 2H), 7.00 (t, *J* = 7.6 Hz, 2H), 6.85 (dd, *J* = 11.4, 2.5 Hz, 1H), 6.82 – 6.21 (m, 3H), 2.35 (s, 3H), 2.06 (s, 3H), 1.63 (s, 9H), -0.28 (s, 9H).

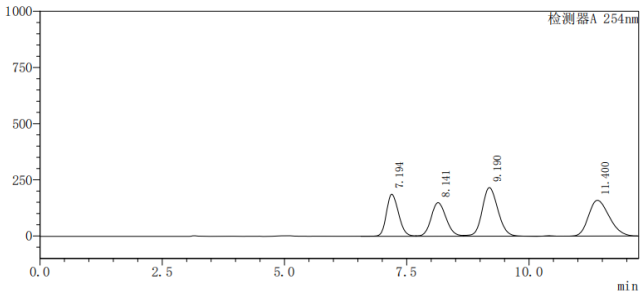
^{13}C NMR (151 MHz, $CDCl_3$) δ 165.2 (d, $^1J_{C-F}$ = 250.4 Hz), 160.8, 150.8, 150.6, 145.3, 142.8 (d, $^4J_{C-F}$ = 3.2 Hz), 139.8 (2C), 139.2, 136.9, 136.4, 135.7, 135.2(d, $^3J_{C-F}$ = 10.1 Hz), 132.9, 132.4, 132.1 (d, $^3J_{C-F}$ = 10.0 Hz), 132.0, 130.0, 129.3, 128.6, 128.3, 127.7, 127.0, 126.9, 123.0, 121.0, 115.4 (d, $^2J_{C-F}$= 23.4 Hz), 108.3 (d, $^2J_{C-F}$= 25.3 Hz), 35.9, 31.0, 20.9, 19.6, 1.9.

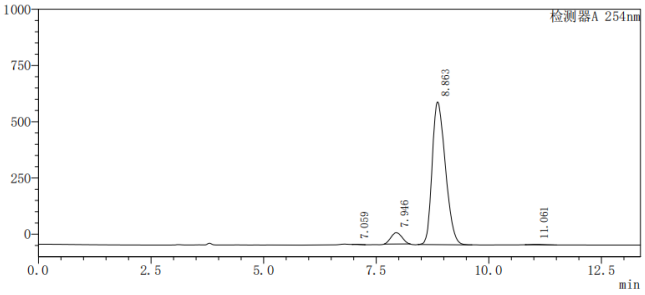
^{19}F NMR (565 MHz, $CDCl_3$) δ -106.3, -106.8.

HRMS (ESI): m/z $[M+K]^+$ calcd for $[C_{39}H_{39}FKN_2O_2Si]^+$ required 653.2402, found 653.2387.

$[\alpha]_D^{20}$ = +86.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm, t (minor) = 11.061 min, t (major) = 8.863 min.

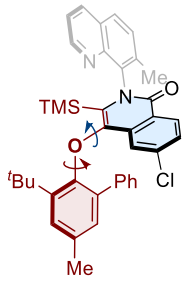




Peak	Ret. Time	Area	Height	Area%
1	7.194	3232728	187306	20.276
2	8.141	3189038	149623	20.002
3	9.190	4851429	216516	30.428
4	11.400	4670512	159490	29.294

Peak	Ret. Time	Area	Height	Area%
1	7.059	9097	950	0.065
2	7.946	859944	50379	6.179
3	8.863	13008161	634070	93.465
4	11.061	40465	1971	0.291

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-6-chloro-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5c)



(PE:EA = 2:1) White solid. (57.4 mg, 91% yield, 12:1 dr, 99% ee). mp: 121–123 °C.

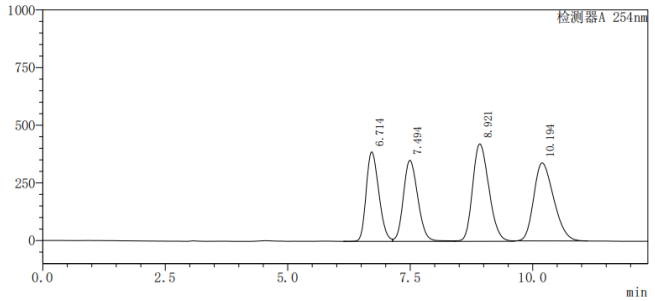
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.68 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.23 (d, *J* = 8.5 Hz, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.44 (d, *J* = 8.5 Hz, 1H), 7.39 – 7.34 (m, 2H), 7.28 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.17 (d, *J* = 1.9 Hz, 1H), 7.10 (tt, *J* = 7.4, 1.3 Hz, 1H), 7.04 – 6.95 (m, 2H), 6.60 (dd, *J* = 2.4, 0.7 Hz, 3H), 2.35 (s, 3H), 2.05 (s, 3H), 1.62 (s, 9H), -0.30 (s, 9H).

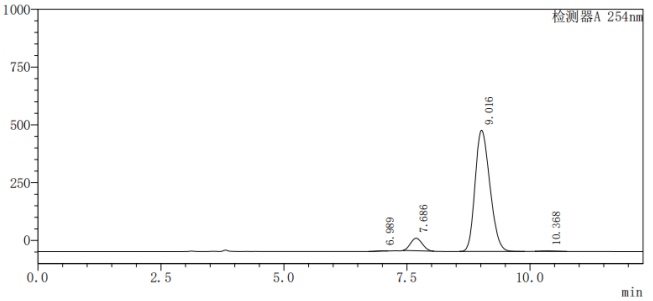
^{13}C NMR (151 MHz, $CDCl_3$) δ 160.9, 150.8 (2C), 145.2, 142.5, 139.8 (2C), 139.3, 137.7, 136.9, 136.5, 135.8, 134.1, 132.9, 132.4, 132.1, 130.7, 130.1, 129.4, 128.5, 128.3, 127.7, 127.2, 127.0, 126.9, 124.7, 122.4, 121.0, 35.9, 31.0, 20.9, 19.6, 1.9.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{39}H_{39}ClN_2NaO_2Si]^+$ required 653.2367, found 653.2388.

$[\alpha]_D^{20}$ = -213.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 10.368 min, t (major) = 9.016 min.

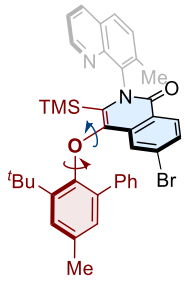




Peak	Ret. Time	Area	Height	Area%
1	6.714	6953506	387878	20.752
2	7.494	7209642	351532	21.517
3	8.921	9773228	422796	29.168
4	10.194	9570740	338536	28.563

Peak	Ret. Time	Area	Height	Area%
1	6.989	14394	1281	0.121
2	7.686	893352	53966	7.485
3	9.016	10982393	524637	92.022
4	10.368	44331	2204	0.371

($S_{a,C-O}$,$S_{a,C-N}$)-6-bromo-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5d)



(PE:EA = 2:1) White solid. (57.8 mg, 86% yield, 13:1 dr, 99% ee). mp: 140–141 °C.

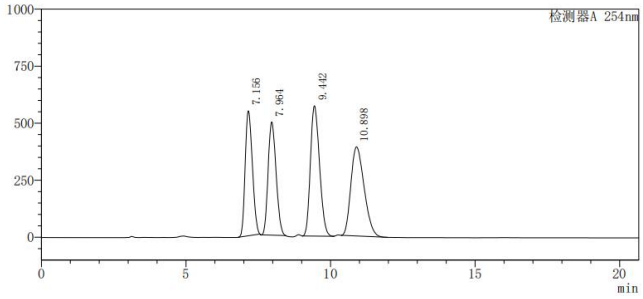
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.68 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.15 (d, *J* = 8.5 Hz, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.51 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.44 (d, *J* = 8.5 Hz, 1H), 7.38 (d, *J* = 2.3 Hz, 1H), 7.34 (d, *J* = 1.8 Hz, 1H), 7.28 (dd, *J* = 8.2, 4.1 Hz, 1H), 7.10 (tt, *J* = 7.5, 1.3 Hz, 1H), 6.99 (t, *J* = 7.6 Hz, 2H), 6.61 (d, *J* = 2.3 Hz, 3H), 2.36 (s, 3H), 2.05 (s, 3H), 1.62 (s, 9H), -0.30 (s, 9H).

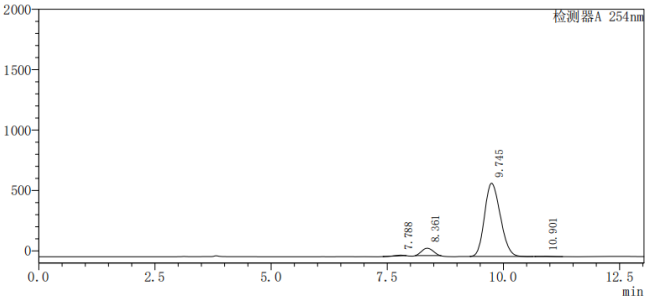
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.0, 150.8, 145.2, 142.4, 139.8, 139.8, 139.4, 136.9, 136.4, 135.8, 134.2, 132.9, 132.5, 132.2, 130.7, 130.1, 129.9, 129.4, 128.5, 128.3, 127.7, 127.0 (2C), 126.3, 125.6, 125.0, 121.0, 35.9, 31.0, 20.9, 19.6, 1.9.

HRMS (ESI): m/z $[M+K]^+$ calcd for $[C_{39}H_{39}BrKN_2O_2Si]^+$ required 713.1601, found 713.1577.

$[\alpha]_D^{20}$ = -176.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 10.901 min, t (major) = 9.745 min.

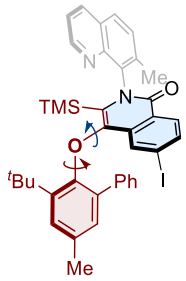




Peak	Ret. Time	Area	Height	Area%
1	7.156	9467564	547749	21.502
2	7.964	9540158	496597	21.667
3	9.442	12542487	571223	28.486
4	10.898	12480575	391021	28.345

Peak	Ret. Time	Area	Height	Area%
1	7.788	68616	5042	0.444
2	8.361	1037184	61322	6.709
3	9.745	14313688	606043	92.583
4	10.901	40903	2098	0.265

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-6-iodo-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5e)



(PE:EA = 2:1) White solid. (62.2 mg, 86% yield, 13:1 dr, 98% ee). mp: 177–178 °C.

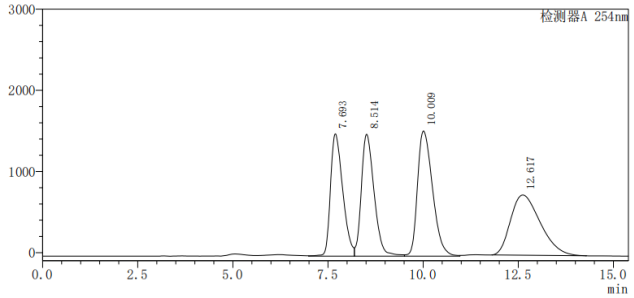
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.70 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.54 (d, *J* = 1.7 Hz, 1H), 7.44 (d, *J* = 8.5 Hz, 1H), 7.39 (d, *J* = 2.4 Hz, 1H), 7.28 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.10 (t, *J* = 7.4 Hz, 1H), 7.00 (t, *J* = 7.6 Hz, 2H), 6.73 – 6.30 (m, 3H), 2.37 (s, 3H), 2.04 (s, 3H), 1.63 (s, 9H), -0.29 (s, 9H).

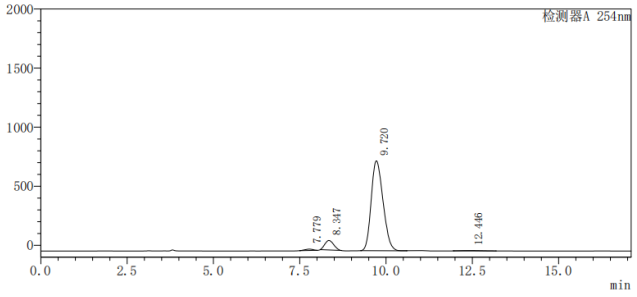
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.1, 150.9, 150.8, 145.2, 142.3, 139.8 (2C), 139.5, 136.9, 136.1, 135.8, 135.4, 134.1, 132.8, 132.6, 132.3, 132.1, 130.4, 130.1, 129.4, 128.4, 128.3, 127.7, 127.0 (2C), 125.4, 121.0, 98.8, 35.9, 31.0, 20.9, 19.6, 1.9.

HRMS (ESI): m/z $[M+K]^+$ calcd for $[C_{39}H_{39}IKN_2O_2Si]^+$ required 761.1463, found 761.1433.

$[\alpha]_D^{20}$ = -210 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 10.368 min, t (major) = 9.016 min.

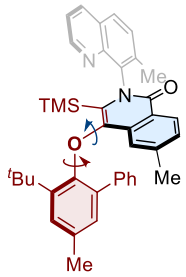




Peak	Ret. Time	Area	Height	Area%
1	7.693	33829474	1507260	22.307
2	8.514	35076100	1501774	23.129
3	10.009	42422276	1542729	27.973
4	12.617	40328575	742165	26.592

Peak	Ret. Time	Area	Height	Area%
1	7.779	183041	11283	0.922
2	8.347	1426992	80316	7.188
3	9.720	18124644	760346	91.300
4	12.446	116993	3078	0.589

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-6-methyl-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5f)



(PE:EA = 2:1) White solid. (51.9 mg, 85% yield, 12:1 dr, 96% ee). mp: 208–209 ºC.

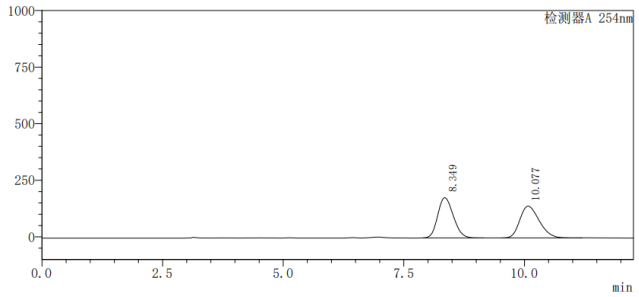
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.70 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.54 (d, *J* = 1.7 Hz, 1H), 7.44 (d, *J* = 8.5 Hz, 1H), 7.39 (d, *J* = 2.4 Hz, 1H), 7.28 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.10 (t, *J* = 7.4 Hz, 1H), 7.00 (t, *J* = 7.6 Hz, 2H), 6.73 – 6.30 (m, 3H), 2.37 (s, 3H), 2.04 (s, 3H), 1.63 (s, 9H), -0.29 (s, 9H).

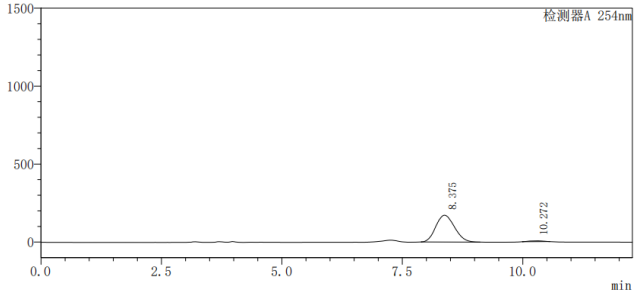
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.4, 151.3, 150.7, 145.4, 143.6, 141.2, 139.9 (2C), 139.3, 137.2, 135.7, 134.4, 133.1, 132.7, 132.6, 131.5, 130.0, 129.3, 128.9, 128.3, 128.1 (2C), 127.7, 126.9, 126.8, 124.3, 122.7, 120.9, 35.9, 31.0, 22.2, 20.9, 19.6, 1.9.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{40}H_{42}N_2NaO_2Si]^+$ required 633.2913, found 633.3004.

$[\alpha]_D^{20}$ = -100 (c = 0.05, CH_2Cl_2).

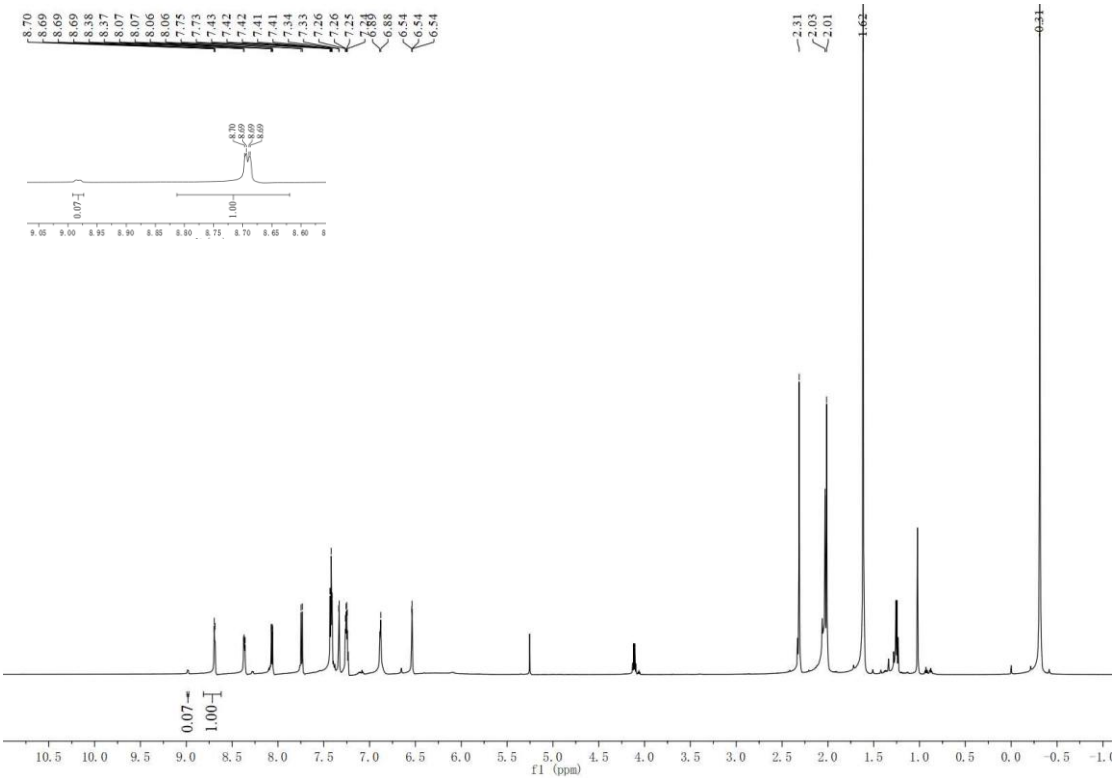
HPLC Condition: The enantiomeric excess value was determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 10.272 min, t (major) = 8.375 min.The dr value was determined by **^{1}HNMR**.



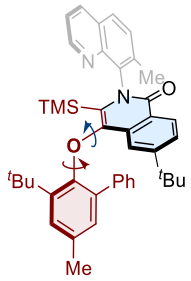


Peak	Ret. Time	Area	Height	Area%
1	8.349	3980590	177945	50.471
2	10.077	3906348	140320	49.529

Peak	Ret. Time	Area	Height	Area%
1	8.375	4638272	171846	97.711
2	10.272	108676	5372	2.289


8.70
8.69
8.69
8.69
8.38
8.37
8.07
8.07
8.06
8.06
7.75
7.73
7.43
7.42
7.42
7.41
7.41
7.34
7.33
7.26
7.25
7.24
6.89
6.88
6.54
6.54
6.54
2.31
2.03
2.01
1.62
0.31
0.07
1.00
f1 (ppm)

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-6-(tert-butyl)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5g)



(PE:EA = 2:1) White solid. (53.5 mg, 86% yield, 16:1 dr, 99% ee). mp: 176–177 °C.

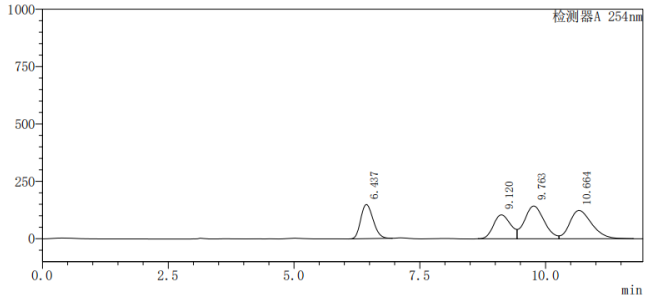
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.24 (d, *J* = 8.4 Hz, 1H), 8.08 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.47 (dd, *J* = 8.4, 1.8 Hz, 1H), 7.43 (d, *J* = 8.4 Hz, 1H), 7.37 (d, *J* = 2.3 Hz, 1H), 7.29 – 7.26 (m, 1H), 7.23 (s, 1H), 7.07 (t, *J* = 7.4 Hz, 1H), 6.95 (s, 2H), 6.57 (d, *J* = 2.3 Hz, 3H), 2.31 (s, 3H), 2.02 (s, 3H), 1.64 (s, 9H), 1.12 (s, 9H), -0.29 (s, 9H).

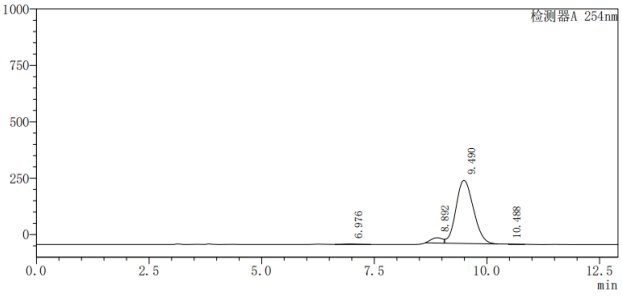
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.2, 154.0, 151.4, 150.7, 145.6, 144.0, 139.8 (2C), 139.5, 137.3, 135.7, 133.9, 133.0, 132.7, 132.4, 131.7, 129.9, 129.4, 128.8, 128.2, 128.1, 127.7, 126.9, 126.8, 124.6, 124.3, 120.9, 119.6, 35.9, 35.3, 31.0 (2C), 20.8, 19.6, 2.0.

HRMS (ESI): m/z $[M+K]^+$ calcd for $[C_{43}H_{48}KN_2O_2Si]^+$ required 691.3122, found 691.3070.

$[\alpha]_D^{20}$ = -31.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 10.488 min, t (major) = 9.490 min.

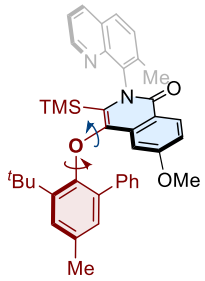




Peak	Ret. Time	Area	Height	Area%
1	6.437	2551027	148796	20.191
2	9.120	2481812	104334	19.643
3	9.763	3851308	142680	30.482
4	10.664	3750355	123502	29.683

Peak	Ret. Time	Area	Height	Area%
1	6.976	46993	2080	0.585
2	8.892	420634	23318	5.233
3	9.490	7546641	280009	93.887
4	10.488	23765	2125	0.296

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-6-methoxy-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5h)



(PE:EA = 2:1) White solid. (57.0 mg, 91% yield, 16:1 dr, 99% ee). mp: 143–144 °C.

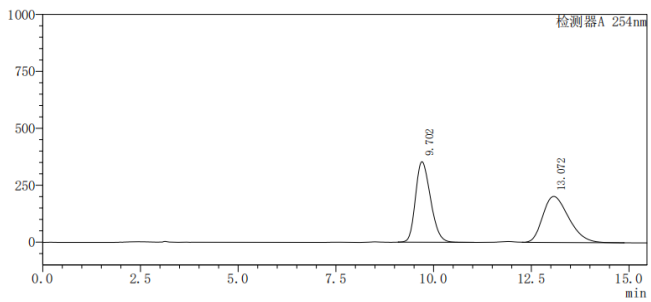
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.24 (dd, *J* = 8.8, 1.5 Hz, 1H), 8.08 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.75 (d, *J* = 8.5 Hz, 1H), 7.43 (d, *J* = 8.4 Hz, 1H), 7.39 – 7.32 (m, 1H), 7.26 (dd, *J* = 8.1, 4.2 Hz, 1H), 7.11 (tq, *J* = 7.4, 1.3 Hz, 1H), 7.05 – 6.97 (m, 3H), 6.61 (ddd, *J* = 11.9, 2.5, 1.3 Hz, 4H), 3.44 (d, *J* = 0.9 Hz, 3H), 2.33 (s, 3H), 2.03 (s, 3H), 1.65 (s, 9H), -0.28 (s, 9H).

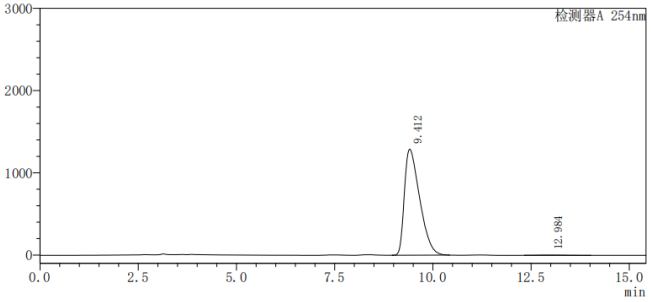
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.5, 161.1, 151.2, 150.7, 145.5, 143.3, 139.8, 139.7, 139.4, 137.2, 135.7, 135.2, 134.5, 133.2, 132.8, 131.6, 130.8, 129.9, 129.3, 128.1, 128.0, 127.7, 127.0, 126.9, 120.8, 120.4, 117.1, 103.6, 55.0, 35.9, 31.1, 20.8, 19.6, 1.9.

HRMS (ESI): m/z $[M+NH_4]^+$ calcd for $[C_{40}H_{46}N_3O_3Si]^+$ required 644.3308, found 644.3295.

$[\alpha]_D^{20}$ = -216.67 (c = 0.05, CH_2Cl_2).

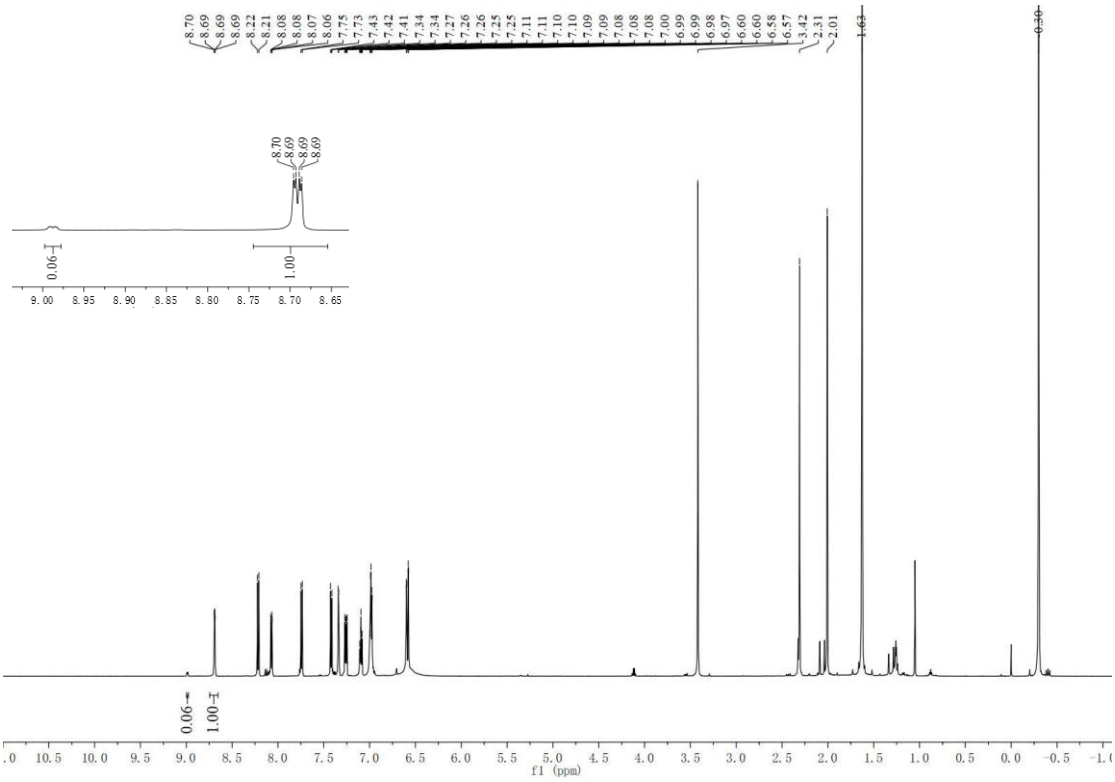
HPLC Condition: The enantiomeric excess value was determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 12.984 min, t (major) = 9.412 min.The dr value was determined by **^{1}HNMR**.



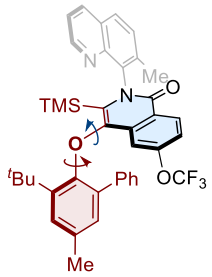


Peak	Ret. Time	Area	Height	Area%
1	9.702	9428918	353581	50.268
2	13.072	9328455	202182	49.732

Peak	Ret. Time	Area	Height	Area%
1	9.412	34814914	1289486	99.547
2	12.984	158430	3630	0.453


8.70
8.69
8.69
8.69
8.22
8.21
8.08
8.08
8.07
8.06
7.75
7.73
7.43
7.42
7.41
7.34
7.34
7.27
7.26
7.26
7.25
7.25
7.11
7.11
7.10
7.10
7.09
7.09
7.08
7.08
7.08
7.00
6.99
6.99
6.98
6.97
6.60
6.60
6.58
6.57
3.42
2.31
2.01
1.63
0.30
0.06
1.00
f1 (ppm)

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-6-(trifluoromethoxy)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5i)



(PE:EA = 2:1) White solid. (61.3 mg, 90% yield, 17:1 dr, 99% ee). mp: 183–184 °C.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.73 (dd, *J* = 4.3, 1.8 Hz, 1H), 8.36 (d, *J* = 8.8 Hz, 1H), 8.10 (dd, *J* = 8.3, 1.9 Hz, 1H), 7.79 (d, *J* = 8.4 Hz, 1H), 7.49 – 7.43 (m, 1H), 7.39 (d, *J* = 2.6 Hz, 1H), 7.30 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.22 (dd, *J* = 8.8, 2.5 Hz, 1H), 7.14 – 7.09 (m, 1H), 7.06 (s, 1H), 7.00 (t, *J* = 7.7 Hz, 2H), 6.62 (d, *J* = 2.6 Hz, 3H), 2.35 (s, 3H), 2.06 (s, 3H), 1.63 (s, 9H), -0.26 (s, 9H).

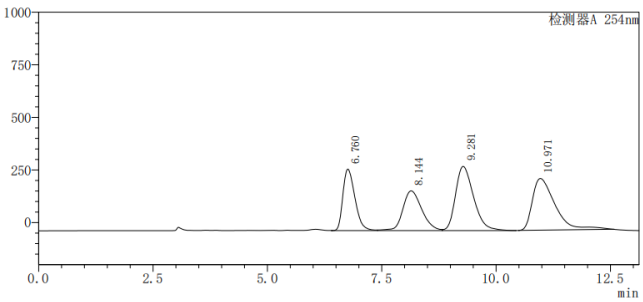
^{19}F NMR (377 MHz, $CDCl_3$) δ -57.6, -57.6.

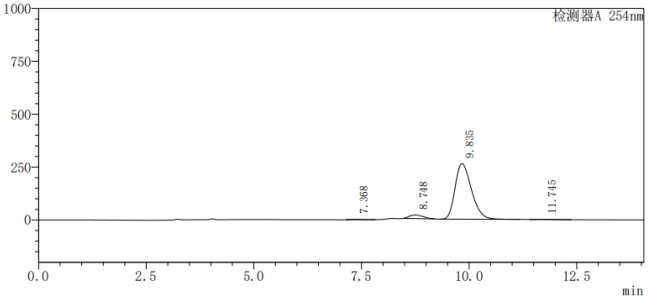
^{13}C NMR (101 MHz, $CDCl_3$) δ 160.6, 151.2, 150.8, 150.5, 145.2, 142.8, 139.7, 139.3, 136.8, 136.6, 135.8, 134.3, 132.8, 132.4, 132.3, 131.6, 129.9, 129.4, 128.5, 128.4, 127.7, 127.0 (2C), 124.5, 121.6, 121.0(q, $^1J_{C\text{-}F}$ = 258.9 Hz), 119.5, 113.0 (2C), 35.8, 30.8, 20.8, 19.6, 1.8.

HRMS (ESI): m/z $[M+NH_4]^+$ calcd for $[C_{40}H_{43}F_3N_3O_3Si]^+$ required 698.3026, found 698.3075.

$[\alpha]_D^{20}$ = -176.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 11.745 min, t (major) = 9.835 min.

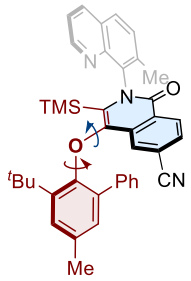




Peak	Ret. Time	Area	Height	Area%
1	6.760	5366271	292497	19.424
2	8.144	5434581	189117	19.671
3	9.281	8381895	305127	30.340
4	10.971	8444152	246083	30.565

Peak	Ret. Time	Area	Height	Area%
1	7.368	37115	2475	0.501
2	8.748	379569	17111	5.126
3	9.835	6953068	263284	93.891
4	11.745	35691	1348	0.482

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-1-oxo-3-(trimethylsilyl)-1,2-dihydroisoquinoline-6-carbonitrile (5j)



(PE:EA = 2:1) White solid. (59.6 mg, 96% yield, 13:1 dr, 99% ee). mp: 129–130 °C.

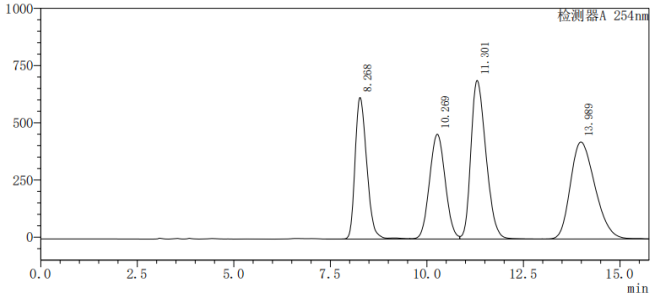
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.67 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.38 (d, *J* = 8.2 Hz, 1H), 8.10 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.79 (d, *J* = 8.4 Hz, 1H), 7.60 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.52 (d, *J* = 1.4 Hz, 1H), 7.46 (d, *J* = 8.5 Hz, 1H), 7.39 (d, *J* = 2.3 Hz, 1H), 7.30 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.10 (tt, *J* = 6.3, 1.1 Hz, 1H), 7.03 – 6.95 (m, 2H), 6.81 – 6.39 (m, 3H), 2.36 (s, 3H), 2.08 (s, 3H), 1.63 (s, 9H), -0.28 (s, 9H).

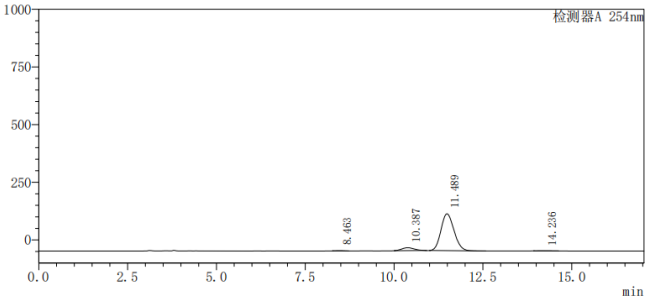
^{13}C NMR (151 MHz, $CDCl_3$) δ 160.3, 150.9, 150.7, 145.0, 142.2, 139.7 (2C), 139.3, 137.7, 136.6, 135.8, 133.1, 133.0, 132.6, 132.1, 130.1, 130.0, 129.4, 128.9, 128.6, 128.5, 128.4, 127.7 (2C), 127.1, 127.0, 121.1, 118.5, 114.5, 35.9, 30.9, 20.9, 19.6, 1.8.

HRMS (ESI): m/z $[M+K]^+$ calcd for $[C_{40}H_{39}KN_3O_2Si]^+$ required 660.2449, found 660.2392.

$[\alpha]_D^{20}$ = -260 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 14.236 min, t (major) = 11.489 min.

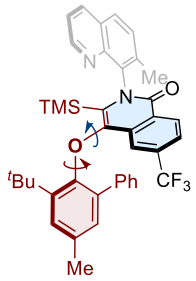




Peak	Ret. Time	Area	Height	Area%
1	8.268	13019296	618766	20.503
2	10.269	12944943	458072	20.386
3	11.301	18784586	693069	29.582
4	13.989	18750329	423808	29.528

Peak	Ret. Time	Area	Height	Area%
1	8.463	14638	981	0.334
2	10.387	304696	12579	6.943
3	11.489	4055304	159813	92.409
4	14.236	13788	543	0.314

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-6-(trifluoromethyl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5k)



(PE:EA = 2:1) White solid. (59.8 mg, 90% yield, 16:1 dr, 99% ee). mp: 193–194 °C.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.41 (d, *J* = 8.3 Hz, 1H), 8.10 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.79 (d, *J* = 8.4 Hz, 1H), 7.61 (dd, *J* = 8.4, 1.8 Hz, 1H), 7.49 – 7.45 (m, 2H), 7.39 (d, *J* = 2.6 Hz, 1H), 7.29 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.10 (ddd, *J* = 7.4, 6.2, 1.3 Hz, 1H), 6.99 (t, *J* = 7.6 Hz, 2H), 6.59 (d, *J* = 2.7 Hz, 3H), 2.34 (s, 3H), 2.07 (s, 3H), 1.63 (s, 9H), -0.26 (s, 9H).

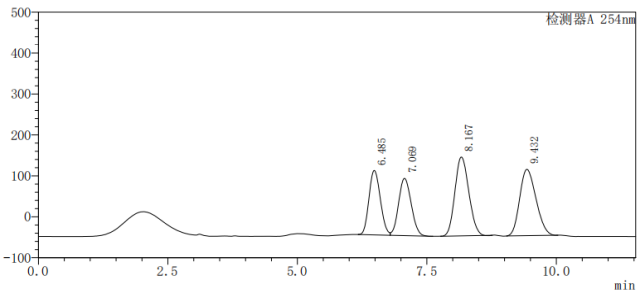
^{13}C NMR (151 MHz, $CDCl_3$) δ 160.6, 150.9, 150.9, 145.2, 143.2, 139.7, 139.5, 136.8, 136.4, 135.8, 132.7, 132.6 (2C), 132.4, 130.0, 129.9, 129.4, 128.5, 128.4, 128.3, 127.7, 127.2, 127.1, 127.0, 124.8(q, $^1J_{C\text{-}F}$= 273.0 Hz), 122.5, 121.1, 120.5, 35.9, 30.9, 20.8, 19.6, 1.8.

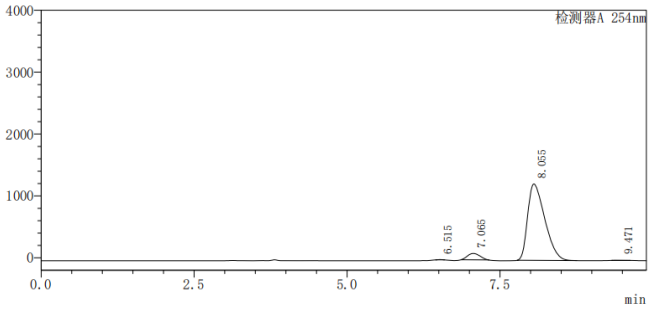
^{19}F NMR (565 MHz, $CDCl_3$) δ -63.43.

HRMS (ESI): m/z $[M+NH_4]^+$ calcd for $[C_{40}H_{43}F_3N_3O_2Si]^+$ required 682.3077, found 682.3008.

$[\alpha]_D^{20}$ = -283.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 9.471 min, t (major) = 8.055 min.

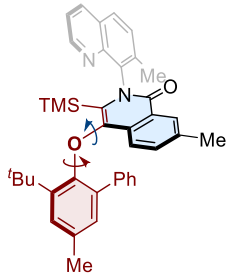




Peak	Ret. Time	Area	Height	Area%
1	6.485	2400297	157539	20.165
2	7.069	2365052	139911	19.869
3	8.167	3598828	192878	30.234
4	9.432	3539229	162115	29.733

Peak	Ret. Time	Area	Height	Area%
1	6.515	16855	3233	0.066
2	7.065	1457288	103519	5.706
3	8.055	24033334	1233983	94.103
4	9.471	31953	2870	0.125

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-7-methyl-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5l)



(PE:EA = 2:1) White solid. (40.9 mg, 67% yield, 16:1 dr, 99% ee). mp: 140–141 °C.

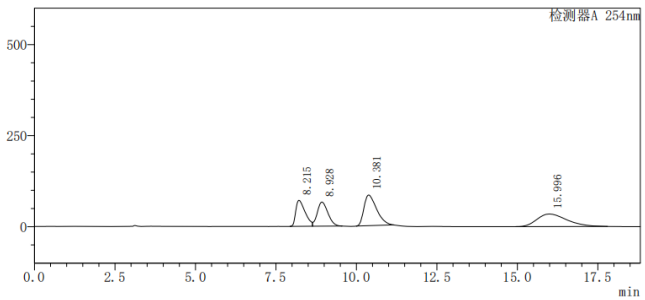
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.68 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.15 (t, *J* = 1.4 Hz, 1H), 8.08 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.43 (d, *J* = 8.5 Hz, 1H), 7.35 (d, *J* = 2.4 Hz, 1H), 7.28 – 7.26 (m, 1H), 7.26 – 7.24 (m, 1H), 7.12 (d, *J* = 8.5 Hz, 1H), 7.10 – 7.07 (m, 1H), 6.97 (t, *J* = 7.3 Hz, 2H), 6.56 (d, *J* = 2.3 Hz, 3H), 2.43 (s, 3H), 2.34 (s, 3H), 2.02 (s, 3H), 1.62 (s, 9H), -0.31 (s, 9H).

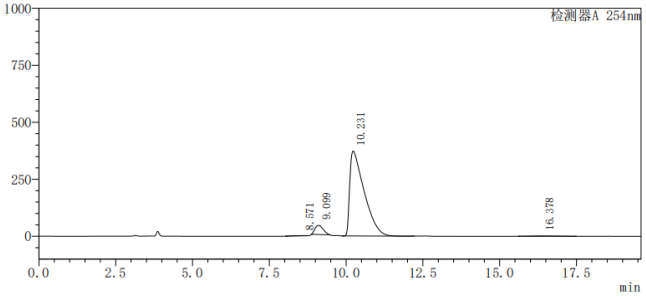
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.4, 151.3, 150.7, 145.4, 144.0, 139.9, 139.8, 139.3, 137.3, 136.9, 135.7, 133.1, 132.8, 132.7, 132.5, 131.5, 130.9, 130.1, 129.4, 128.6, 128.3, 128.1, 127.7, 126.9, 126.8, 126.5, 122.6, 120.8, 35.9, 31.0, 21.5, 20.9, 19.6, 2.0.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{40}H_{43}N_2O_2Si]^+$ required 611.3094, found 611.3089.

$[\alpha]_D^{20}$ = -206.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 16.378 min, t (major) = 10.231 min.

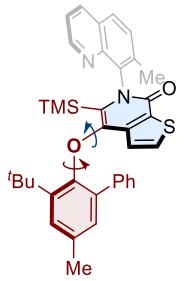




Peak	Ret. Time	Area	Height	Area%
1	8.215	1440107	71480	19.231
2	8.928	1517422	66103	20.263
3	10.381	2304552	84198	30.774
4	15.996	2226446	34520	29.731

Peak	Ret. Time	Area	Height	Area%
1	8.571	27303	1065	0.209
2	9.099	749450	40228	5.742
3	10.231	12198314	372731	93.466
4	16.378	75942	1346	0.582

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-6-(7-methylquinolin-8-yl)-5-(trimethylsilyl)thieno[2,3-c]pyridin-7(6H)-one (5m)



(PE:EA = 2:1) White solid. (34.3 mg, 57% yield, 13:1 dr, 99% ee). mp: 191–192 °C.

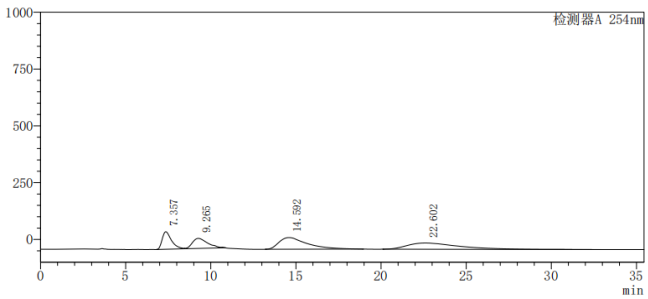
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.73 (dd, *J* = 4.3, 1.8 Hz, 1H), 8.10 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.77 (d, *J* = 8.3 Hz, 1H), 7.40 (dd, *J* = 21.7, 6.8 Hz, 2H), 7.34 (s, 1H), 7.30 (dd, *J* = 8.2, 4.1 Hz, 1H), 7.15 – 7.10 (m, 1H), 7.06 (t, *J* = 7.5 Hz, 2H), 6.74 (t, *J* = 5.2 Hz, 3H), 6.05 (d, *J* = 5.3 Hz, 1H), 2.39 (s, 3H), 1.92 (s, 3H), 1.55 (s, 9H), -0.30 (s, 9H).

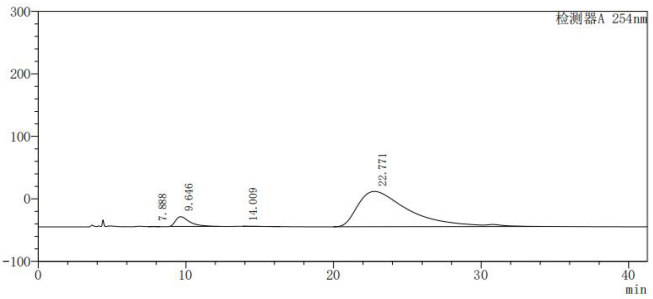
^{13}C NMR (151 MHz, $CDCl_3$) δ 157.7, 150.8, 150.4, 145.6, 144.7, 141.7, 139.6, 139.4, 139.3, 136.9, 135.8, 135.2, 133.1 (2C), 132.9, 132.1, 131.6, 129.9, 129.4, 128.4, 127.9, 127.6, 127.2 (2C), 123.6, 121.0, 35.7, 31.0, 21.1, 19.2, 1.9.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{37}H_{39}N_2O_2SSi]^+$ required 603.2502, found 603.2494.

$[\alpha]_D^{20}$ = -213.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel IH-3, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 14.009 min, t (major) = 22.771 min.

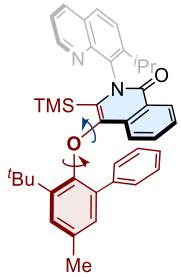




Peak	Ret. Time	Area	Height	Area%
1	7.357	2938092	77141	16.729
2	9.265	2748265	44231	15.648
3	14.592	5937951	51248	33.810
4	22.602	5938368	27540	33.812

Peak	Ret. Time	Area	Height	Area%
1	7.888	9105	422	0.062
2	9.646	1019173	15454	6.947
3	14.009	7527	32	0.051
4	22.771	13634486	56401	92.939

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-isopropylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5n)



(PE:EA = 4:1) White solid. (52.5 mg, 84% yield, 9:1 dr, 99% ee). mp: 127–128 ºC.

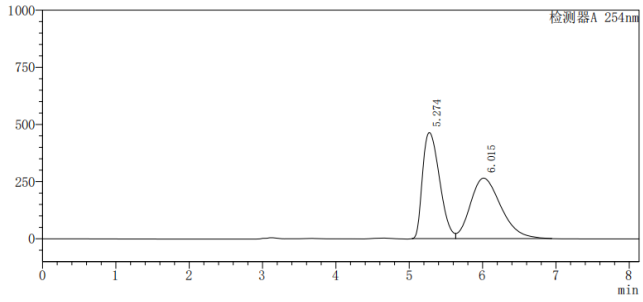
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.66 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.35 – 8.29 (m, 1H), 8.07 (dd, *J* = 8.1, 1.7 Hz, 1H), 7.84 (d, *J* = 8.7 Hz, 1H), 7.58 (d, *J* = 8.7 Hz, 1H), 7.44 – 7.39 (m, 2H), 7.35 (d, *J* = 2.3 Hz, 1H), 7.29 – 7.26 (m, 1H), 7.22 – 7.17 (m, 1H), 7.17 – 7.10 (m, 1H), 7.00 (s, 2H), 6.54 (d, *J* = 2.3 Hz, 2H), 2.49 (p, *J* = 6.8 Hz, 1H), 2.33 (s, 3H), 1.63 (s, 9H), 1.34 (d, *J* = 6.6 Hz, 3H), 1.26 (d, *J* = 6.7 Hz, 3H), -0.30 (s, 9H).

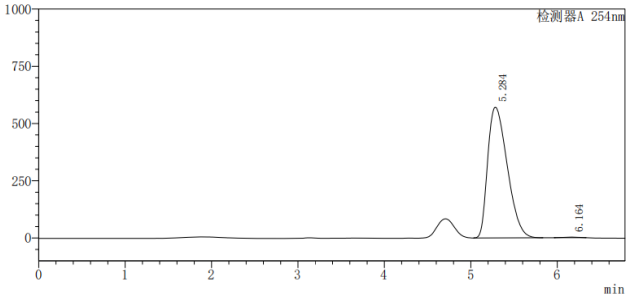
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.9, 151.4, 150.6, 148.5, 145.5, 143.4, 140.1, 139.3, 135.9, 135.6, 135.3, 133.2, 132.9, 132.7, 131.5, 130.9, 130.0, 129.1, 128.7, 128.3, 127.6, 126.7, 126.6 (2C), 126.4, 125.3, 122.4, 121.0, 35.9, 30.9, 28.3, 24.1, 23.5, 20.9, 2.1.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{41}H_{44}N_2NaO_2Si]^+$ required 647.3070, found 647.3008.

$[\alpha]_D^{20}$ = +180 (c = 0.2, CH_2Cl_2).

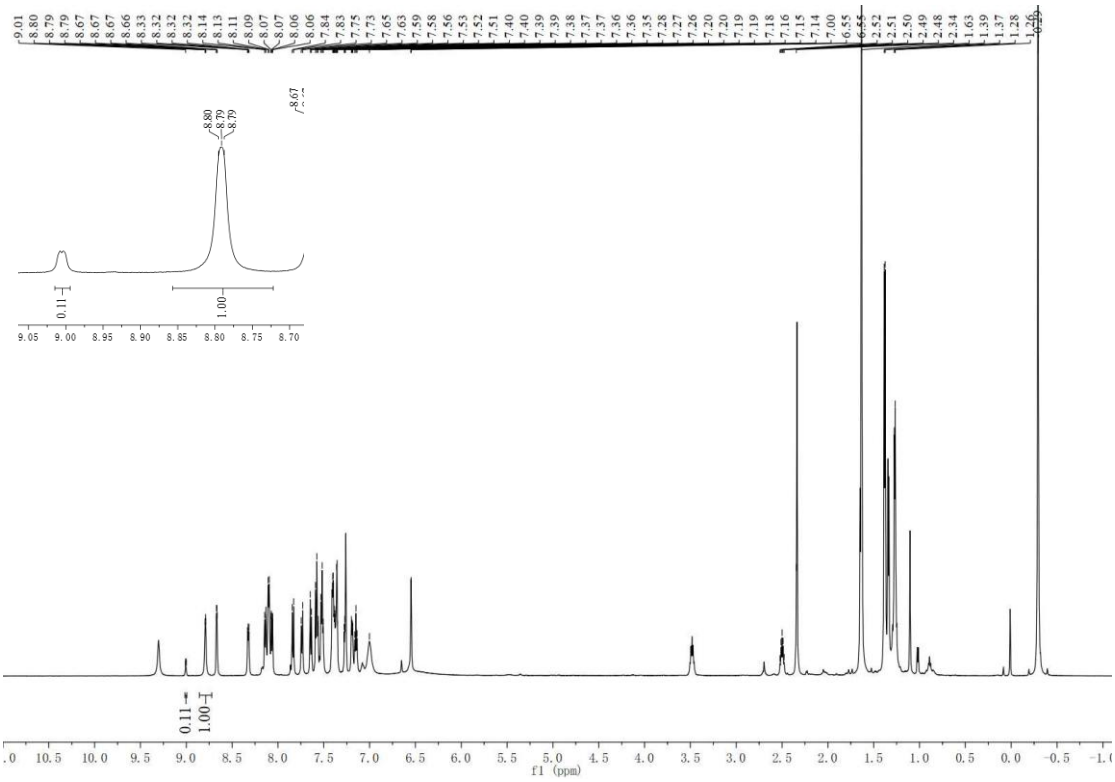
HPLC Condition: The enantiomeric excess value was determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm, t (minor) = 6.164 min, t (major) = 5.284 min.The dr value was determined by **^{1}HNMR**.



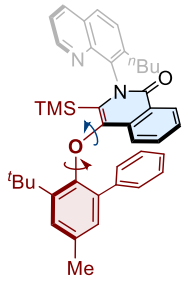


Peak	Ret. Time	Area	Height	Area%
1	5.274	7487138	464081	49.489
2	6.015	7641844	264619	50.511

Peak	Ret. Time	Area	Height	Area%
1	5.284	8984665	571287	99.681
2	6.164	28796	2280	0.319


f1 (ppm)

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-butylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5o)



(PE:EA = 4:1) White solid. (59.5 mg, 93% yield, 11:1 dr, 99% ee). mp: 156–157 ºC.

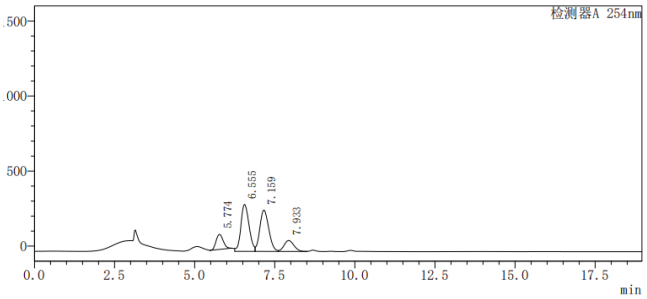
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.73 – 8.59 (m, 1H), 8.34 – 8.27 (m, 1H), 8.07 (d, *J* = 8.2 Hz, 1H), 7.80 (d, *J* = 8.6 Hz, 1H), 7.58 – 7.52 (m, 2H), 7.43 – 7.39 (m, 2H), 7.36 (d, *J* = 1.9 Hz, 1H), 7.22 (dd, *J* = 5.6, 3.7 Hz, 1H), 7.12 (t, *J* = 7.6 Hz, 1H), 6.96 (t, *J* = 7.6 Hz, 2H), 6.58 (s, 3H), 2.47 (ddd, *J* = 15.2, 8.3, 6.4 Hz, 1H), 2.39 (q, *J* = 7.1 Hz, 1H), 2.34 (s, 3H), 1.90 – 1.78 (m, 2H), 1.62 (s, 9H), 1.56 (q, *J* = 7.9, 7.2 Hz, 2H), 1.08 (t, *J* = 7.3 Hz, 3H), -0.32 (s, 9H).

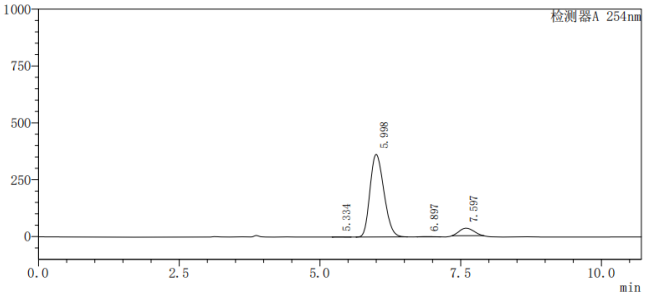
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.7, 151.2, 150.6, 145.4, 143.6, 143.4, 139.9, 139.2, 137.0, 135.5, 134.9, 133.1, 132.8, 132.5, 131.5, 130.9, 130.1, 129.0, 128.8, 128.4, 128.1, 128.0, 127.2, 126.7 (2C), 126.6, 122.5, 120.9, 35.9, 31.0, 30.9 (2C), 23.1, 20.9, 14.6, 2.1.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{42}H_{46}N_2NaO_2Si]^+$ required 661.3226, found 661.3262.

$[\alpha]_D^{20}$ = -206.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm, t (minor) = 6.897 min, t (major) = 5.998 min.

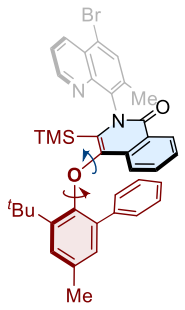




Peak	Ret. Time	Area	Height	Area%
1	5.774	1529944	99503	10.932
2	6.555	5561315	313136	39.736
3	7.159	5345968	275125	38.198
4	7.933	1558281	73114	11.134

Peak	Ret. Time	Area	Height	Area%
1	5.334	2507	230	0.037
2	5.998	6253377	362986	91.308
3	6.897	19892	1473	0.290
4	7.597	572891	32943	8.365

($S_{a,C-O}$,$S_{a,C-N}$)-2-(5-bromo-7-methylquinolin-8-yl)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5p)



(PE:EA = 2:1) White solid. (50 mg, 74% yield, 57:1 dr, 95% ee). mp: 129–130 °C.

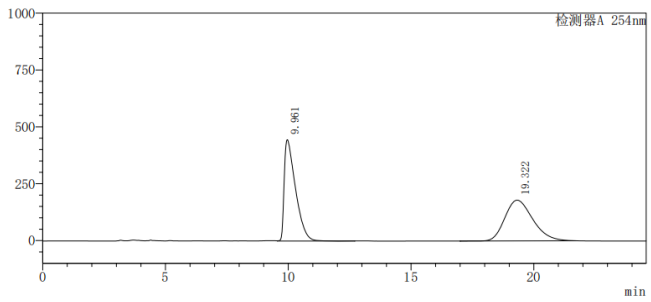
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.45 (dd, *J* = 8.5, 1.6 Hz, 1H), 8.38 – 8.20 (m, 1H), 7.76 (s, 1H), 7.47 – 7.40 (m, 2H), 7.38 (dd, *J* = 8.5, 4.2 Hz, 1H), 7.35 (d, *J* = 2.4 Hz, 1H), 7.26 – 7.22 (m, 1H), 7.09 – 7.05 (m, 1H), 6.95 (s, 2H), 6.55 (d, *J* = 2.3 Hz, 3H), 2.33 (s, 3H), 2.03 (s, 3H), 1.62 (s, 9H), -0.27 (s, 9H).

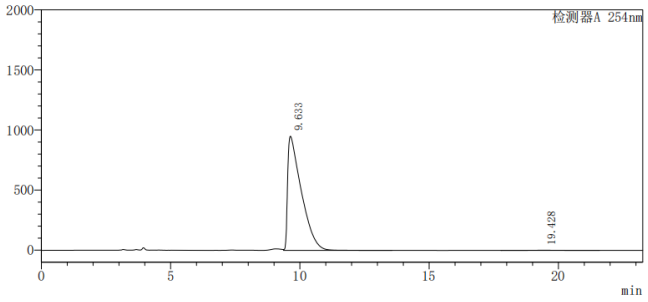
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.4, 151.4, 151.2, 146.0, 143.7, 140.7, 139.9, 139.2, 137.2, 135.5, 134.2, 133.1, 132.9, 132.8, 132.5, 131.6, 131.2, 130.0, 129.0, 128.4, 127.0 (2C), 126.9, 126.8, 126.4, 122.6, 122.0, 121.9, 35.9, 31.0, 20.9, 19.4, 2.1.

HRMS (ESI): m/z $[M+NH_4]^+$ calcd for $[C_{39}H_{43}BrN_3O_2Si]^+$ required 692.2308, found 692.2369.

$[\alpha]_D^{20}$ = -250 (c = 0.01, CH_2Cl_2).

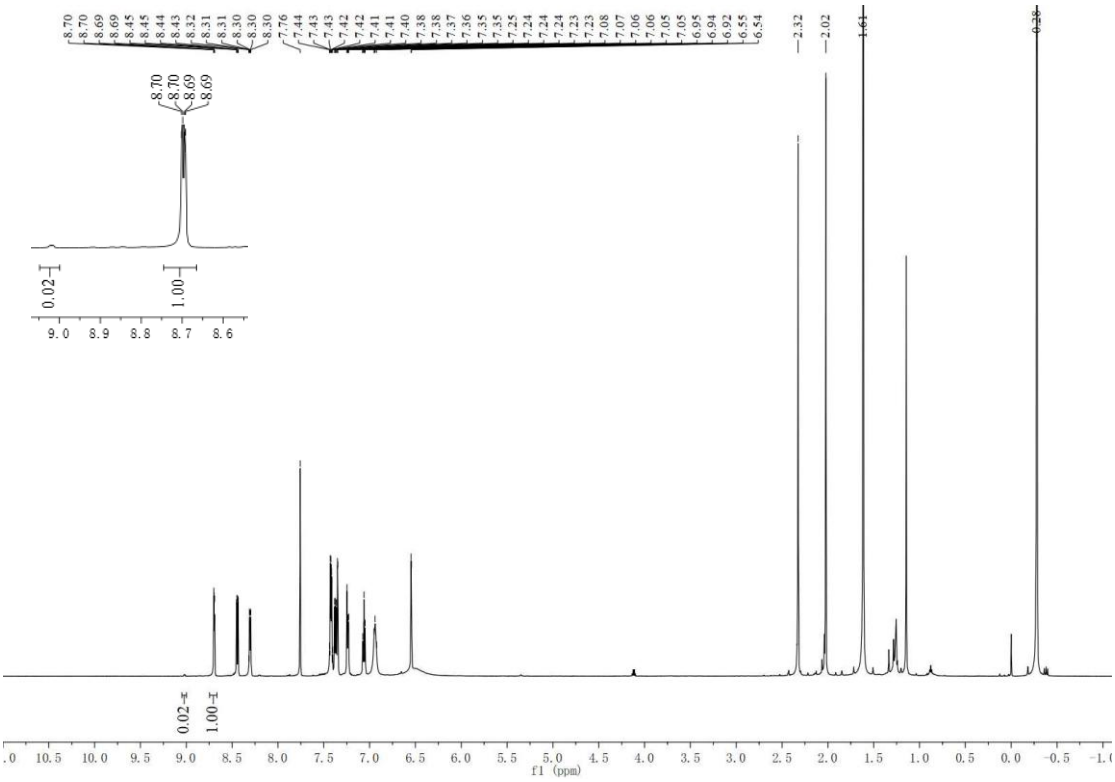
HPLC Condition: The enantiomeric excess value was determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm, t (minor) = 19.428 min, t (major) = 9.633 min.The dr value was determined by **^{1}HNMR**.



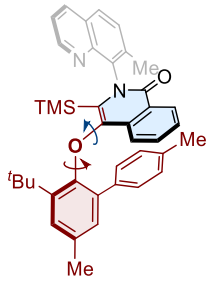


Peak	Ret. Time	Area	Height	Area%
1	9.961	14220690	445550	50.114
2	19.322	14155740	179277	49.886

Peak	Ret. Time	Area	Height	Area%
1	9.633	34957689	950260	99.640
2	19.428	126315	1479	0.360


8.70
8.70
8.69
8.69
8.45
8.45
8.44
8.43
8.32
8.31
8.30
8.30
8.30
7.76
7.44
7.43
7.43
7.42
7.42
7.41
7.41
7.40
7.38
7.38
7.37
7.36
7.35
7.35
7.25
7.24
7.24
7.24
7.23
7.23
7.08
7.07
7.06
7.06
7.05
7.05
6.95
6.94
6.92
6.55
6.54
2.32
2.02
1.61
0.28
0.02
1.00
9.0 8.9 8.8 8.7 8.6
f1 (ppm)

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-4',5-dimethyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5q)



(PE:EA = 2:1) White solid. (56.5 mg, 93% yield, 21:1 dr, 99% ee). mp: 238–239 °C.

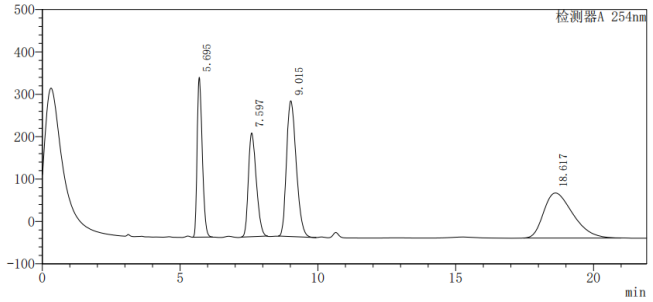
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.39 – 8.32 (m, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.48 – 7.39 (m, 3H), 7.35 (d, *J* = 5.8 Hz, 1H), 7.28 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.23 (ddd, *J* = 6.9, 3.5, 1.7 Hz, 1H), 6.90 – 6.70 (m, 2H), 6.68 – 5.99 (m, 3H), 2.33 (s, 3H), 2.25 (s, 3H), 2.06 (s, 3H), 1.63 (s, 9H), -0.29 (s, 9H).

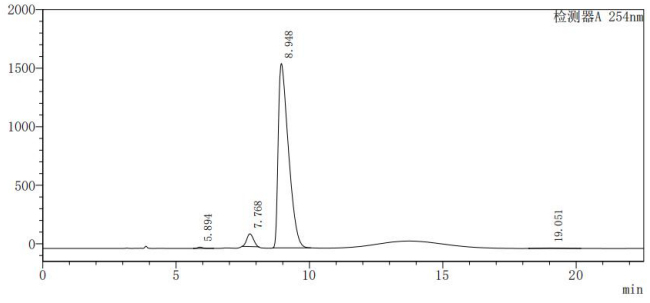
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.4, 151.4, 150.8, 145.4, 143.7, 139.5, 139.2, 137.2, 137.1, 136.3, 135.7, 134.2, 133.1 (2C), 132.6, 131.5, 131.0, 129.9, 129.3, 129.0, 128.2 (2C), 127.7, 127.6, 126.8, 126.5, 122.6, 120.9, 35.9, 31.0, 21.2, 20.9, 18.8, 2.0.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{40}H_{43}N_2O_2Si]^+$ required 611.3094, found 611.3085.

$[\alpha]_D^{20}$ = -130.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 19.051 min, t (major) = 8.948 min.

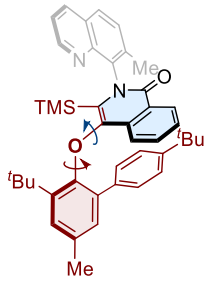




Peak	Ret. Time	Area	Height	Area%
1	5.695	4894614	377145	19.216
2	7.597	4894731	244714	19.216
3	9.015	7873786	320195	30.912
4	18.617	7808844	106184	30.657

Peak	Ret. Time	Area	Height	Area%
1	5.894	139111	10984	0.315
2	7.768	1892762	108570	4.287
3	8.948	41933028	1574623	94.976
4	19.051	186390	3085	0.422

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-((3,4'-di-tert-butyl-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5r)



(PE:EA = 2:1) White solid. (53.5 mg, 82% yield, 25:1 dr, 98% ee). mp: 140–141 °C.

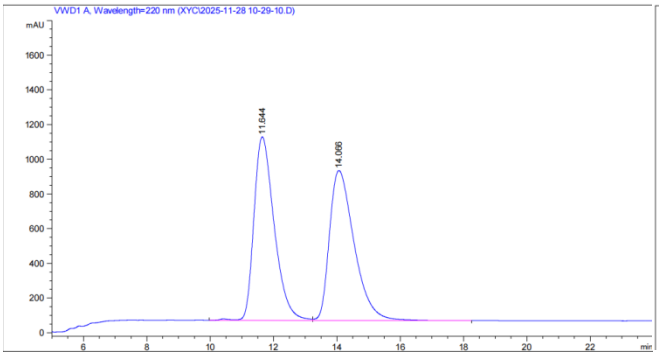
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.71 (dd, *J* = 4.3, 1.8 Hz, 1H), 8.33 – 8.25 (m, 1H), 8.09 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.45 (d, *J* = 8.4 Hz, 1H), 7.40 (dd, *J* = 6.0, 3.6 Hz, 2H), 7.34 (d, *J* = 2.6 Hz, 1H), 7.30 – 7.26 (m, 2H), 6.96 (d, *J* = 8.8 Hz, 2H), 6.53 (d, *J* = 2.6 Hz, 3H), 2.32 (s, 3H), 2.14 (s, 3H), 1.62 (s, 9H), 1.26 (s, 9H), -0.29 (s, 9H).

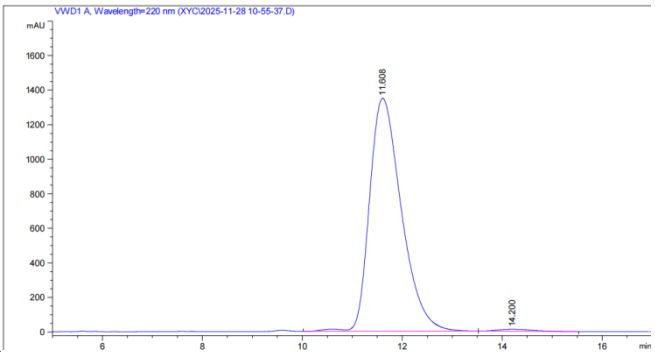
^{13}C NMR (101 MHz, $CDCl_3$) δ 161.3, 151.0, 150.8, 149.0, 145.3, 143.6, 139.5, 139.1, 137.2, 137.1, 135.7, 134.0, 133.7, 133.0, 132.3, 131.3, 131.0, 129.7, 129.3, 129.0, 128.2, 128.1, 127.7, 126.7, 126.5, 123.6, 122.6, 120.9, 35.9, 34.4, 31.5, 31.1, 20.9, 19.9, 2.0.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{43}H_{49}N_2O_2Si]^+$ required 653.3563, found 653.3661.

$[\alpha]_D^{20}$ = -233.33 (c = 0.05, CH_2Cl_2).

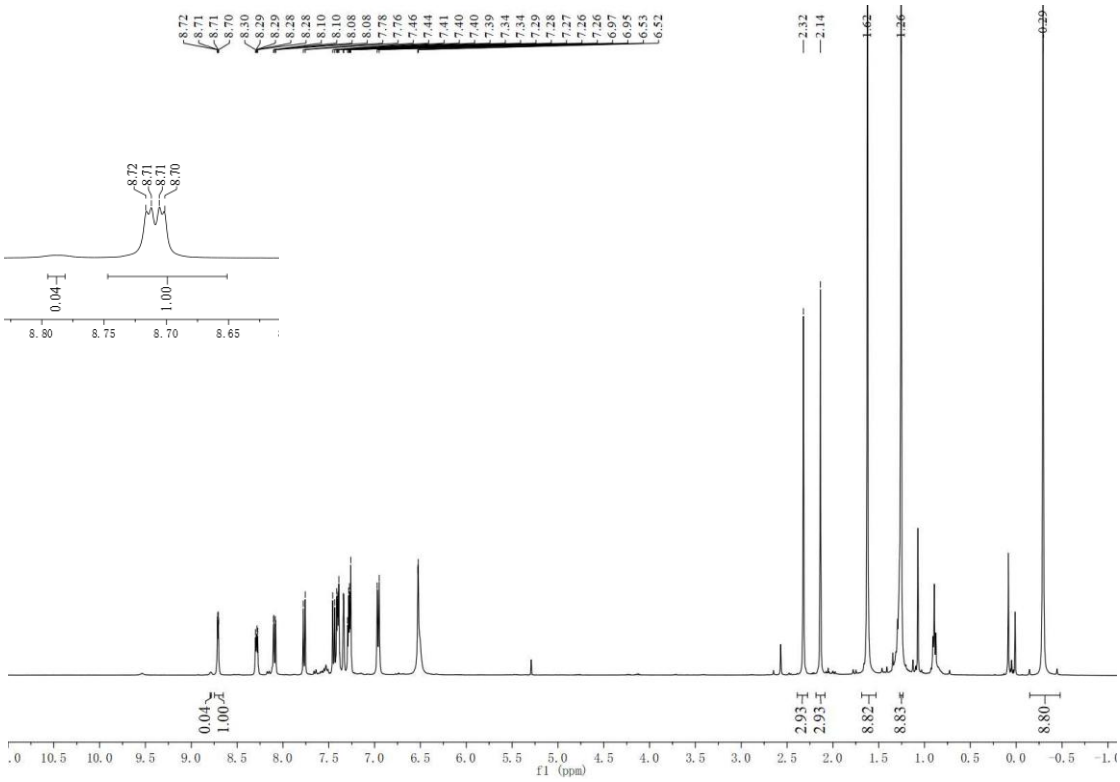
HPLC Condition: The enantiomeric excess value was determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 14.200 min, t (major) = 11.608 min.The dr value was determined by **^{1}HNMR**.



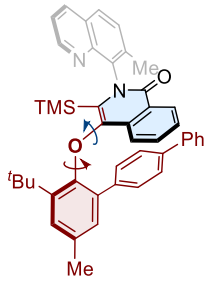


Peak	RetTime	Area	Height	Area%
1	11.644	46967	1057	50.1224
2	14.066	46738	864	49.8776

Peak	RetTime	Area	Height	Area%
1	11.608	59604	1348	99.0914
2	14.200	546	12	0.9086


8.72
8.71
8.71
8.70
8.30
8.29
8.29
8.28
8.28
8.10
8.10
8.08
8.08
7.78
7.76
7.46
7.44
7.41
7.40
7.40
7.39
7.34
7.34
7.29
7.28
7.27
7.26
7.26
6.97
6.95
6.53
6.52
2.32
2.14
1.62
1.26
0.29
0.04
1.00
2.93
2.93
8.82
8.83
8.80
f1 (ppm)

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1':4',1''-terphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5s)



(PE:EA = 2:1) White solid. (62.6 mg, 93% yield, 73:1 dr, 99% ee). mp: 170–171 °C.

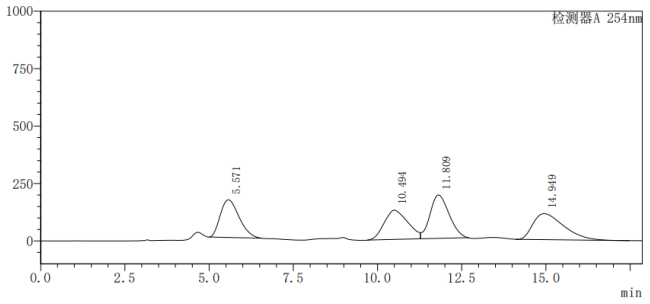
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.67 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.46 – 8.35 (m, 1H), 8.04 (dd, *J* = 8.1, 1.7 Hz, 1H), 7.69 (d, *J* = 8.4 Hz, 1H), 7.59 – 7.53 (m, 2H), 7.46 – 7.39 (m, 4H), 7.36 (d, *J* = 2.4 Hz, 1H), 7.34 – 7.28 (m, 2H), 7.26 – 7.22 (m, 3H), 6.56 (d, *J* = 2.3 Hz, 3H), 2.33 (s, 3H), 1.78 (s, 3H), 1.63 (s, 9H), -0.30 (s, 9H).

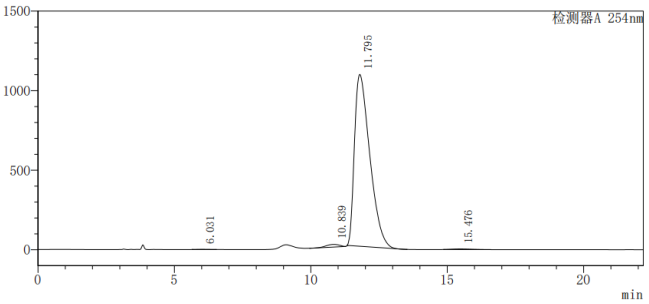
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.3, 151.3, 150.7, 145.4, 143.6, 140.3, 139.6, 139.3 (3C), 137.1, 135.7, 134.5, 133.1, 133.0, 132.2, 131.6, 131.0, 130.5, 129.3, 129.1, 128.8, 128.5, 128.1, 127.6, 127.4, 127.0, 126.9, 126.6, 125.3, 122.6, 120.9, 35.9, 31.0, 20.9, 19.0, 1.9.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{45}H_{45}N_2O_2Si]^+$ required 673.3250, found 673.3226.

$[\alpha]_D^{20}$ = -90.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 15.476 min, t (major) = 11.795 min.

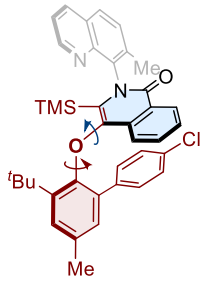




Peak	Ret. Time	Area	Height	Area%
1	5.571	6358407	164021	23.333
2	10.494	6195748	127384	22.736
3	11.809	7449291	188816	27.336
4	14.949	7247303	113203	26.595

Peak	Ret. Time	Area	Height	Area%
1	6.031	29150	1083	0.068
2	10.839	553101	16692	1.289
3	11.795	42137821	1079618	98.185
4	15.476	196903	3864	0.459

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-((3-(tert-butyl)-4'-chloro-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5t)



(PE:EA = 2:1) White solid. (52.4 mg, 83% yield, 174:1 dr, 99% ee). mp: 275–277 ºC.

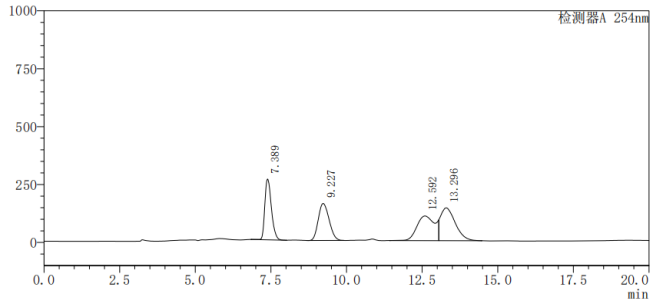
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.42 – 8.34 (m, 1H), 8.10 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.78 (d, *J* = 8.5 Hz, 1H), 7.47 (d, *J* = 8.5 Hz, 1H), 7.45 – 7.39 (m, 2H), 7.37 (d, *J* = 2.4 Hz, 1H), 7.28 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.21 – 7.16 (m, 1H), 7.08 – 6.83 (m, 2H), 6.50 (dd, *J* = 2.3, 1.0 Hz, 3H), 2.33 (s, 3H), 2.12 (s, 3H), 1.62 (s, 9H), -0.30 (s, 9H).

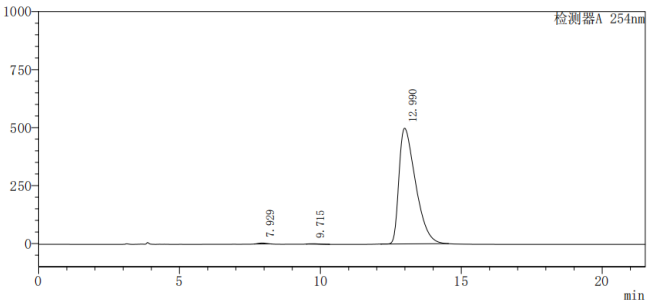
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.3, 151.3, 150.7, 145.4, 143.5, 139.7, 139.4, 138.5, 137.1, 135.8, 134.6, 133.2, 133.0, 132.7, 131.8, 131.3, 131.1, 129.5, 129.2, 128.8, 128.2, 127.7, 127.1, 127.0, 126.5, 122.5, 120.9, 35.9, 31.0, 20.9, 18.9, 1.9.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{39}H_{39}ClN_2NaO_2Si]^+$ required 653.2367, found 653.2378.

$[\alpha]_D^{20}$ = -91.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 14.413 min, t (major) = 12.990 min.

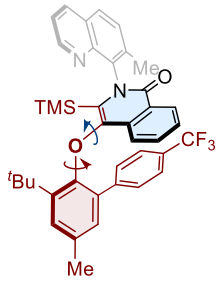




Peak	Ret. Time	Area	Height	Area%
1	7.389	3920003	262267	22.949
2	9.227	3979363	159899	23.297
3	12.592	4418821	106432	25.869
4	13.296	4763138	141674	27.885

Peak	Ret. Time	Area	Height	Area%
1	7.929	77821	3885	0.374
2	9.715	39410	1700	0.190
3	12.990	20625339	500290	99.177
4	14.413	53971	3780	0.260

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-((3-(tert-butyl)-5-methyl-4'-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5u)



(PE:EA = 2:1) White solid. (55.2 mg, 83% yield, 282:1 dr, 99% ee). mp: 270–271 ºC.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.39 – 8.32 (m, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.48 – 7.39 (m, 3H), 7.35 (d, *J* = 5.8 Hz, 1H), 7.28 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.23 (ddd, *J* = 6.9, 3.5, 1.7 Hz, 1H), 6.90 – 6.70 (m, 2H), 6.68 – 5.99 (m, 3H), 2.33 (s, 3H), 2.25 (s, 3H), 2.06 (s, 3H), 1.63 (s, 9H), -0.29 (s, 9H).

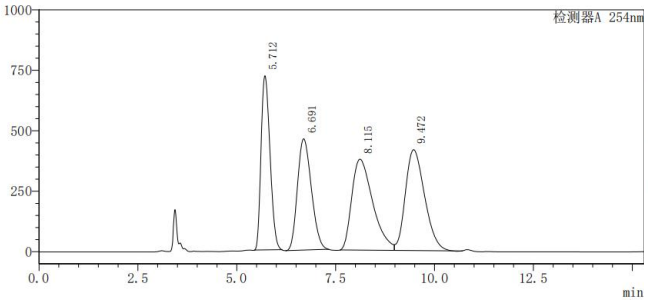
^{19}F NMR (565 MHz, Chloroform-*d*) δ -62.13.

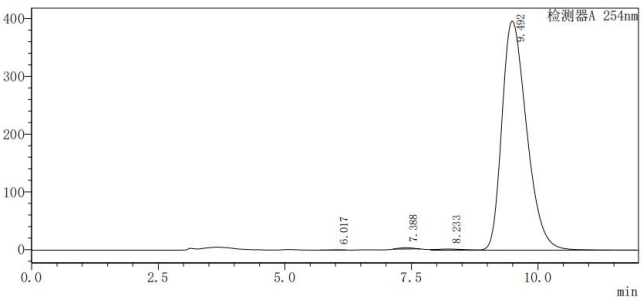
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.2, 151.0, 150.8, 145.4, 144.2, 143.3, 139.6 (2C), 137.0, 135.8, 134.9, 132.8, 132.6, 131.9, 131.2, 131.1, 130.3, 129.4, 129.3, 129.2, 129.0 (d, $^2J_{C\text{-}F}$ = 32.5 Hz), 128.3, 127.7, 127.0, 126.5, 125.1 (q, $^1J_{C\text{-}F}$= 272.1 Hz), 123.8, 122.5, 121.5, 36.0, 31.0, 20.9, 18.8, 1.9.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{40}H_{40}F_3N_2O_2Si]^+$ required 665.2811, found 665.2803.

$[\alpha]_D^{20}$ = -91.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 8.233 min, t (major) = 9.492 min.

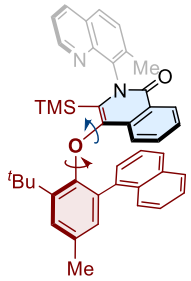




Peak	Ret. Time	Area	Height	Area%
1	5.712	11354968	719867	22.453
2	6.691	11261731	460179	22.268
3	8.115	13852062	375812	27.390
4	9.472	14103904	416987	27.888

Peak	Ret. Time	Area	Height	Area%
1	6.017	5450	416	0.040
2	7.388	42515	2237	0.313
3	8.233	70826	1958	0.522
4	9.492	13460679	396854	99.125

($S_{a,C-O}$,$S_{a,C-N}$)-4-(2-(tert-butyl)-4-methyl-6-(naphthalen-1-yl)phenoxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5v)



(PE:EA = 2:1) White solid. (58.2 mg, 90% yield, 46:1 dr, 96% ee). mp: 152–153 ºC.

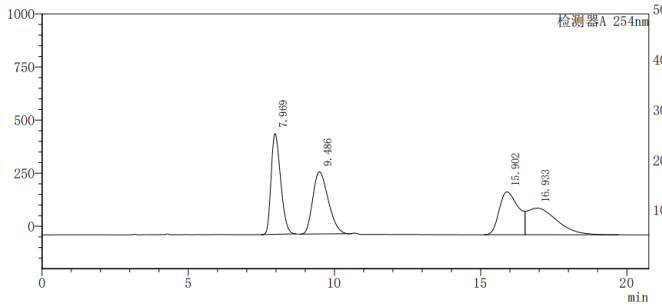
^{1}H NMR (600 MHz, DMSO-d_6) δ 8.66 (d, J = 5.2 Hz, 1H), 8.31 (d, J = 8.3 Hz, 1H), 8.09 (d, J = 7.8 Hz, 1H), 7.87 (d, J = 8.5 Hz, 1H), 7.81 (d, J = 8.0 Hz, 1H), 7.61 (d, J = 8.0 Hz, 1H), 7.59 – 7.37 (m, 7H), 7.35 (d, J = 8.6 Hz, 1H), 7.28 (d, J = 8.4 Hz, 1H), 6.58 (s, 3H), 2.30 (s, 3H), 1.60 (s, 9H), 1.01 (s, 3H), -0.38 (s, 9H).

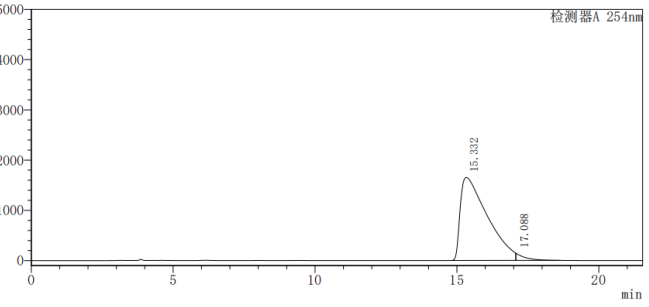
^{13}C NMR (151 MHz, DMSO-d_6) δ 159.5, 150.6, 150.3, 144.4, 142.2, 139.0, 138.2, 136.6, 136.1, 135.9, 134.0, 132.5, 132.3, 131.8, 131.5, 131.2, 131.1, 128.8, 128.3, 128.0 (2C), 127.9, 127.5, 127.4, 127.1, 127.0 (2C), 126.1, 125.9, 125.8 (2C), 121.7, 120.9, 35.3, 30.4, 20.2, 16.7, 1.3.

HRMS (ESI): m/z [M+H]$^+$ calcd for [$C_{43}H_{43}N_2O_2Si$]$^+$ required 647.3094, found 647.3056.

$[\alpha]_D^{20}$ = -10 (c = 0.05, CH_2Cl_2).

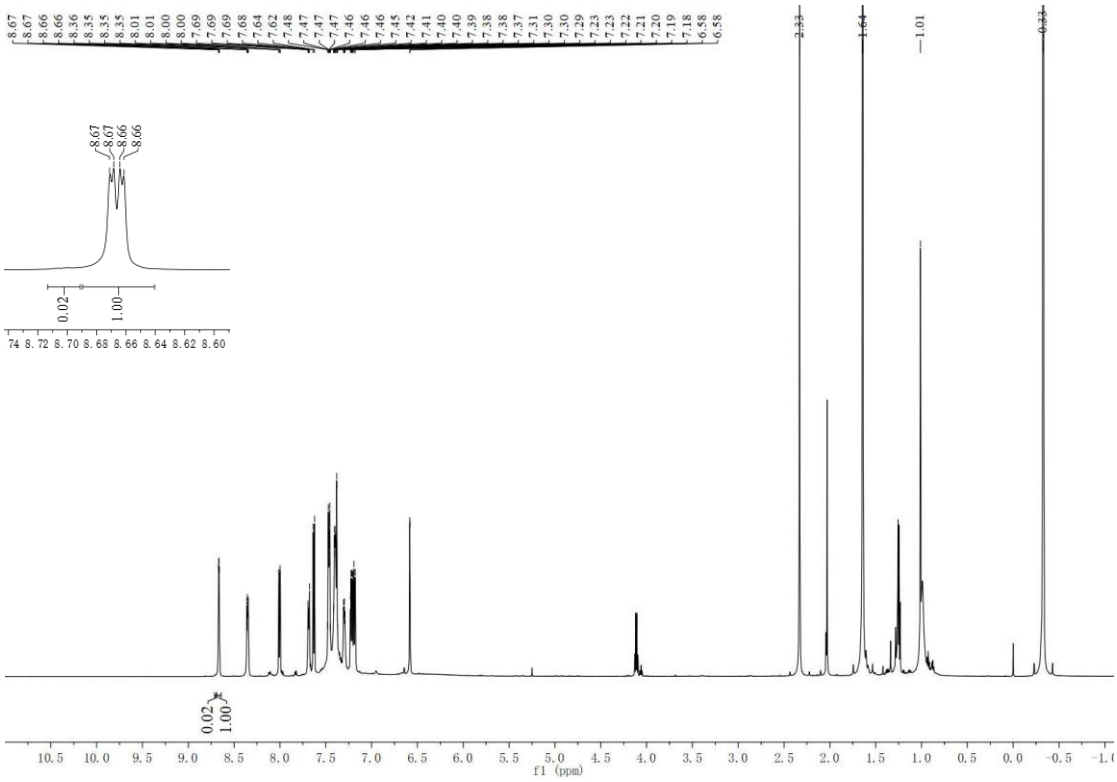
HPLC Condition: The enantiomeric excess value was determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 17.088 min, t (major) = 15.332 min.The dr value was determined by **^{1}HNMR**.



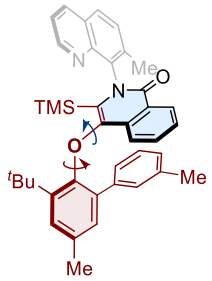


Peak	Ret. Time	Area	Height	Area%
1	15.902	9300173	201852	23.447
2	16.933	8864659	125215	22.349

Peak	Ret. Time	Area	Height	Area%
1	15.332	109463867	1652116	97.360
2	17.088	2967632	140804	2.640


8.67
8.67
8.66
8.66
8.36
8.35
8.35
8.01
8.01
8.00
8.00
7.69
7.69
7.68
7.64
7.62
7.48
7.47
7.47
7.47
7.46
7.46
7.46
7.45
7.42
7.41
7.40
7.40
7.39
7.38
7.37
7.31
7.30
7.30
7.29
7.23
7.23
7.22
7.21
7.20
7.19
7.18
6.58
6.58
2.33
1.64
1.01
0.33
0.02
1.00
f1 (ppm)

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-3',5-dimethyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5w)



(PE:EA = 2:1) White solid. (59.2 mg, 97% yield, 15:1 dr, 99% ee). mp: 143–144 °C.

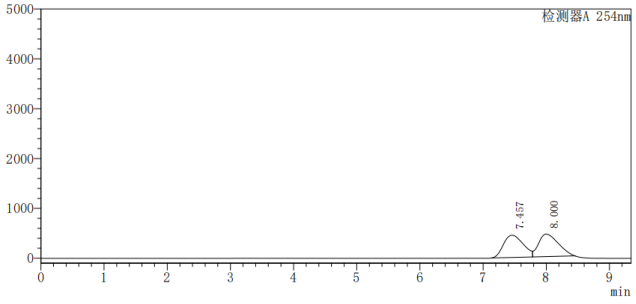
^{1}H NMR (600 MHz, DMSO-d_6) δ 8.69 (d, J = 4.2 Hz, 1H), 8.37 (dd, J = 8.3, 1.4 Hz, 1H), 8.20 – 8.13 (m, 1H), 7.97 (d, J = 8.4 Hz, 1H), 7.57 – 7.49 (m, 3H), 7.44 (ddd, J = 6.3, 4.2, 2.2 Hz, 1H), 7.36 (s, 1H), 7.22 (d, J = 8.3 Hz, 1H), 7.09 – 6.79 (m, 2H), 6.49 (s, 1H), 2.27 (s, 3H), 1.96 (s, 6H), 1.57 (s, 9H), -0.37 (s, 9H).

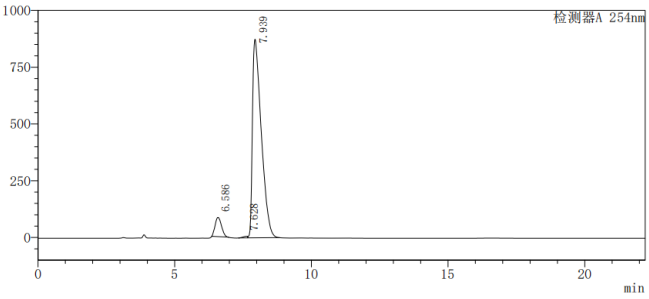
^{13}C NMR (151 MHz, DMSO) δ 159.8, 150.4, 150.4, 144.5, 142.2, 139.4, 138.9, 138.1, 136.3, 135.9, 135.2, 134.1, 132.5, 132.2, 131.7, 131.0, 130.1, 129.0, 128.1, 128.0, 127.9, 127.3, 127.1, 126.8, 126.5, 126.3, 125.9, 121.7, 121.0, 35.3, 30.4, 20.7, 20.2, 18.4, 1.3.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{40}H_{43}N_2O_2Si]^+$ required 611.3094, found 611.3089.

$[\alpha]_D^{20}$ = -98 (c = 0.05, CH_2Cl_2).

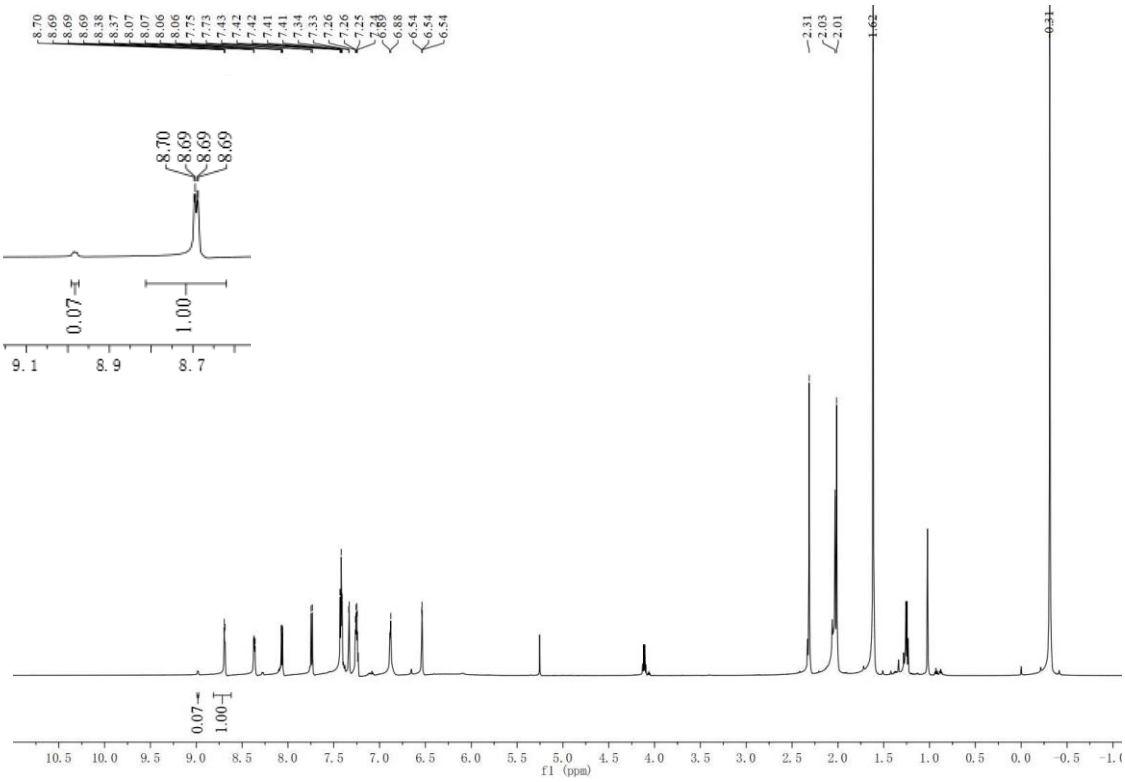
HPLC Condition: The enantiomeric excess value was determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 7.628 min, t (major) = 7.939 min.



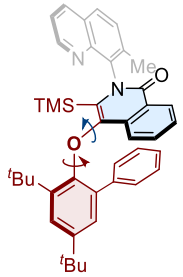


Peak	Ret. Time	Area	Height	Area%
1	7.457	9724702	446895	49.862
2	8.000	9778419	452216	50.138

Peak	Ret. Time	Area	Height	Area%
1	7.628	85780	7210	0.434
2	7.939	19646097	874526	99.566


8.70
8.69
8.69
8.69
8.38
8.37
8.07
8.07
8.06
8.06
7.75
7.73
7.43
7.42
7.42
7.41
7.41
7.34
7.33
7.26
7.26
7.25
7.24
6.89
6.88
6.54
6.54
6.54
2.31
2.03
2.01
1.62
0.31
8.70
8.69
8.69
8.69
0.07
1.00
9.1
8.9
8.7
0.07
1.00
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3,5-di-tert-butyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5x)



(PE:EA = 2:1) White solid. (58.8 mg, 92% yield, 19:1 dr, 99% ee). mp: 133–134 °C.

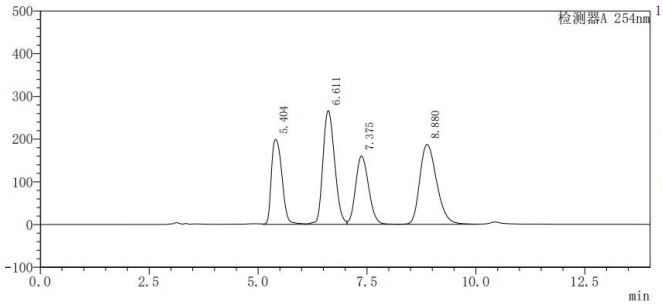
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 (dd, *J* = 4.1, 1.7 Hz, 1H), 8.33 (tq, *J* = 5.7, 1.7 Hz, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 8.5 Hz, 1H), 7.57 (dt, *J* = 5.2, 2.5 Hz, 1H), 7.46 – 7.40 (m, 2H), 7.37 (tdd, *J* = 7.4, 3.0, 1.5 Hz, 1H), 7.27 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.15 – 7.08 (m, 2H), 6.98 (d, *J* = 9.2 Hz, 2H), 6.85 – 6.22 (m, 3H), 2.06 (s, 3H), 1.65 (s, 9H), 1.34 (s, 9H), -0.28 (s, 9H).

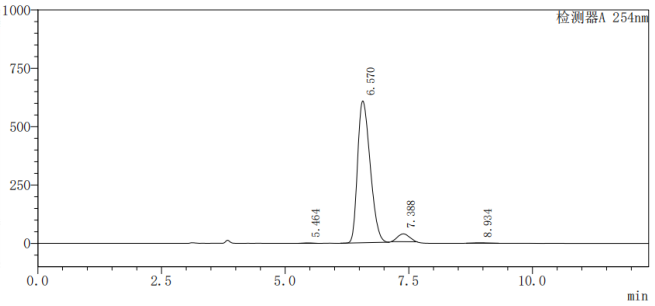
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.4, 151.1, 150.7, 145.4, 144.9, 143.8, 140.4, 139.8, 138.6, 137.2, 135.7, 134.3, 133.1, 132.2, 130.9, 130.2, 129.4, 129.2, 128.9, 128.2, 127.7, 126.9, 126.8 (2C), 126.5, 124.5, 122.6, 120.9, 36.2, 34.6, 31.7, 31.1, 19.7, 2.0.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $[C_{42}H_{46}N_2NaO_2Si]^+$ required 661.3226, found 661.3232.

$[\alpha]_D^{20}$ = -70 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 8.934 min, t (major) = 6.570 min.

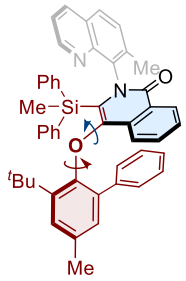




Peak	Ret. Time	Area	Height	Area%
1	5.404	3353266	198830	20.031
2	6.611	4999476	266602	29.865
3	7.375	3327794	160225	19.879
4	8.880	5059677	187128	30.225

Peak	Ret. Time	Area	Height	Area%
1	5.464	21423	1697	0.189
2	6.570	10744560	607415	94.569
3	7.388	554758	33568	4.883
4	8.934	40844	2046	0.359

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(dimethyl(phenyl)silyl)-2-(7-methylquinolin-8-yl)isoquinolin-1(2H)-one (5y)



(PE:EA = 2:1) White solid. (63.9 mg, 97% yield, 22:1 dr, 99% ee). mp: 141–142 °C.

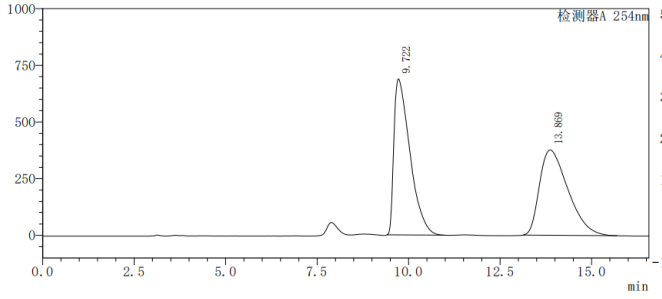
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.44 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.42 – 8.35 (m, 1H), 7.92 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.52 (d, *J* = 8.4 Hz, 1H), 7.46 (pd, *J* = 7.1, 1.6 Hz, 2H), 7.30 (dd, *J* = 7.5, 1.9 Hz, 2H), 7.15 – 7.11 (m, 3H), 7.11 – 7.07 (m, 3H), 7.04 (t, *J* = 7.4 Hz, 4H), 6.56 (d, *J* = 2.3 Hz, 3H), 2.32 (s, 3H), 1.98 (s, 3H), 1.35 (s, 9H), 0.50 (s, 3H), -0.45 (s, 3H).

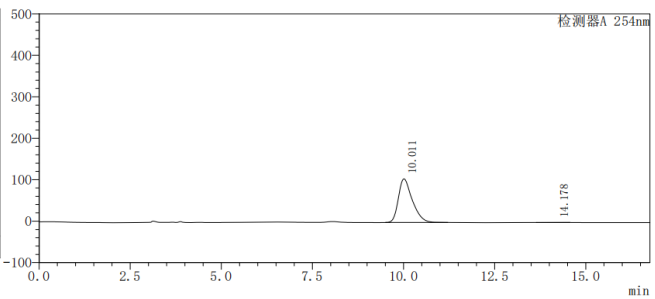
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.5, 151.3, 150.5, 145.0, 144.8, 140.0, 139.8, 139.4, 138.1, 136.6, 135.2, 134.1, 133.0, 132.9, 132.5, 132.1, 131.6, 131.1, 130.1, 129.1, 129.0, 128.5, 128.4, 128.3, 127.5, 127.2, 127.1, 127.0, 126.9 (2C), 122.9, 120.8, 35.6, 30.7, 20.9, 19.8, 2.0, 1.1.

HRMS (ESI): m/z $[M+NH_4]^+$ calcd for $[C_{44}H_{46}N_3O_2Si]^+$ required 676.3359, found 676.3334.

$[\alpha]_D^{20}$ = -95 (c = 0.05, CH_2Cl_2).

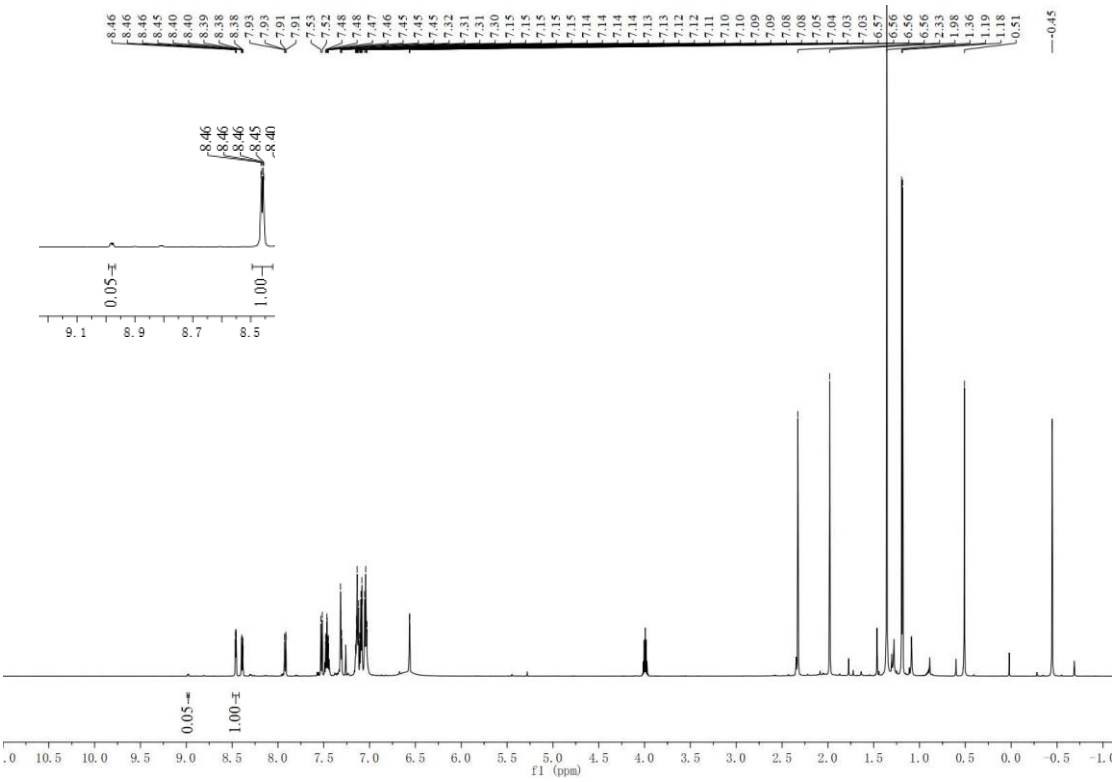
HPLC Condition: The enantiomeric excess value was determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 14.178 min, t (major) = 10.011 min.The dr value was determined by **^{1}HNMR**.



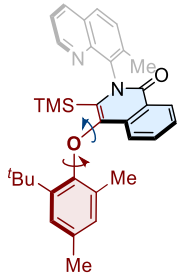


Peak	Ret. Time	Area	Height	Area%
1	9.722	21023927	688155	50.771
2	13.869	20385338	376013	49.229

Peak	Ret. Time	Area	Height	Area%
1	10.011	2799210	105384	99.626
2	14.178	10494	325	0.374


f1 (ppm)

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-4-(2-(tert-butyl)-4,6-dimethylphenoxy)-2-(7-methylquinolin-8-yl)-3-(trimethylsilyl)isoquinolin-1(2H)-one (5z)



(PE:EA = 2:1) White solid. (46.5 mg, 87% yield, 6:1 dr, 99% ee). mp: 210–211 ºC.

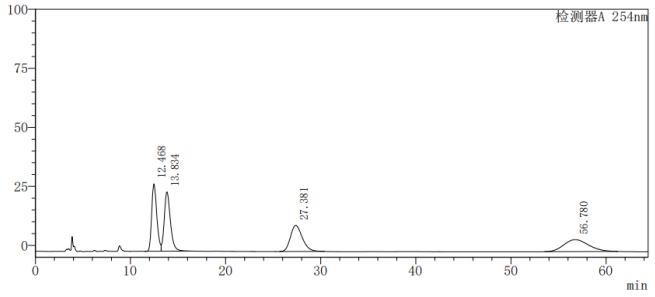
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.94 – 8.77 (m, 1H), 8.44 (d, *J* = 7.9 Hz, 1H), 8.16 (d, *J* = 8.3 Hz, 1H), 7.84 (d, *J* = 8.3 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.41 (t, *J* = 7.5 Hz, 1H), 7.38 – 7.33 (m, 2H), 7.24 (d, *J* = 3.3 Hz, 1H), 7.16 (d, *J* = 2.0 Hz, 1H), 6.64 (s, 1H), 2.39 (s, 3H), 2.29 (s, 3H), 1.99 (s, 3H), 1.54 (s, 9H), -0.27 (s, 9H).

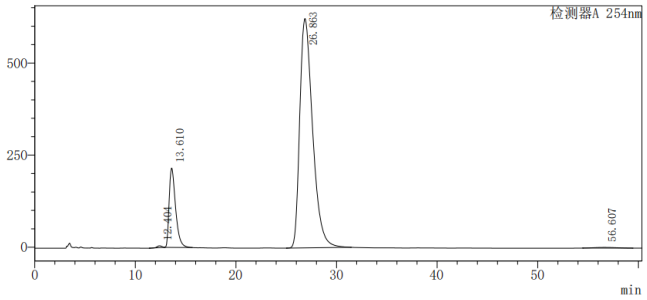
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.6, 152.5, 151.1, 145.8, 144.9, 139.1, 138.4, 137.7, 136.0, 134.6, 133.0, 132.3, 132.2, 131.5, 129.6, 129.1, 128.4, 127.9, 127.4, 127.0, 126.8, 126.4, 121.7, 121.1, 35.7, 31.0, 21.0, 20.1, 18.5, 1.2.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{34}H_{39}N_2O_2Si]^+$ required 535.2781, found 535.2767.

$[\alpha]_D^{20}$ = -89 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel IC, Hexanes/IPA = 80/20, 1.0 mL/min, λ = 254 nm. t (minor) = 56.607 min, t (major) = 26.863 min.

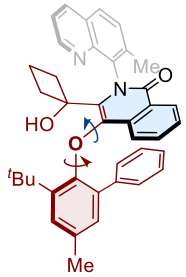




Peak	Ret. Time	Area	Height	Area%
1	12.468	1075231	28555	26.340
2	13.834	1129148	25118	27.661
3	27.381	961606	11041	23.557
4	56.780	916107	5058	22.442

Peak	Ret. Time	Area	Height	Area%
1	12.404	145009	4784	0.222
2	13.610	9551305	215438	14.650
3	26.863	55217133	622553	84.691
4	56.607	285038	1833	0.437

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(1-hydroxycyclobutyl)-2-(7-methylquinolin-8-yl)isoquinolin-1(2H)-one (5za)



(PE:EA = 2:1) White solid. (54.1 mg, 91% yield, >20:1 dr, 99% ee). mp: 128–129 ºC.

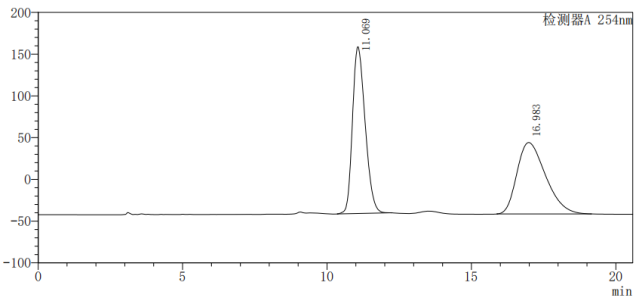
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.96 (d, *J* = 4.4 Hz, 1H), 8.19 (dd, *J* = 13.4, 7.8 Hz, 2H), 7.78 (d, *J* = 8.4 Hz, 1H), 7.46 – 7.40 (m, 2H), 7.38 – 7.31 (m, 3H), 7.24 (d, *J* = 5.0 Hz, 1H), 7.20 (d, *J* = 8.1 Hz, 1H), 7.10 (t, *J* = 7.5 Hz, 2H), 6.63 (d, *J* = 2.2 Hz, 3H), 4.31 (s, 1H), 2.83 (d, *J* = 10.0 Hz, 1H), 2.33 (s, 3H), 2.07 (s, 3H), 2.05 – 1.99 (m, 1H), 1.96 (d, *J* = 12.0 Hz, 1H), 1.83 – 1.76 (m, 1H), 1.47 (t, *J* = 9.5 Hz, 1H), 0.59 (d, *J* = 6.2 Hz, 1H).

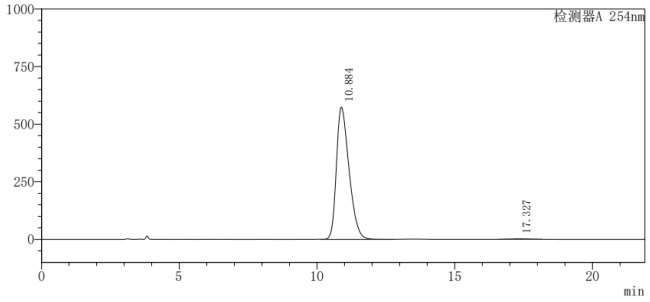
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.2, 151.3, 150.7, 146.0, 139.3, 139.2, 138.3, 136.7, 136.1, 134.7, 133.5, 132.9, 132.3, 132.2, 131.9, 130.9, 130.1, 129.8, 128.6, 128.4, 128.2, 127.7, 127.1, 126.8, 126.6, 126.2, 123.4, 121.2, 38.9, 38.3, 35.9, 31.5, 21.0, 18.7, 17.7.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{40}H_{39}N_2O_3]^+$ required 595.2961, found 595.2944.

$[\alpha]_D^{20}$ = -116.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 17.327 min, t (major) = 10.884 min.

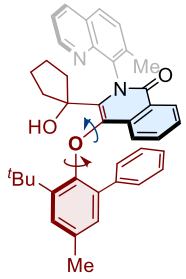




Peak	Ret. Time	Area	Height	Area%
1	11.069	6101320	199836	50.876
2	16.983	5891267	85588	49.124

Peak	Ret. Time	Area	Height	Area%
1	10.884	18283174	573954	99.558
2	17.327	81191	573954	0.442

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(1-hydroxycyclopentyl)-2-(7-methylquinolin-8-yl)isoquinolin-1(2H)-one (5zb)



(PE:EA = 2:1) White solid. (43.2 mg, 71% yield, >20:1 dr, 99% ee). mp: 103–104 ºC. mp: 103–104 ºC.

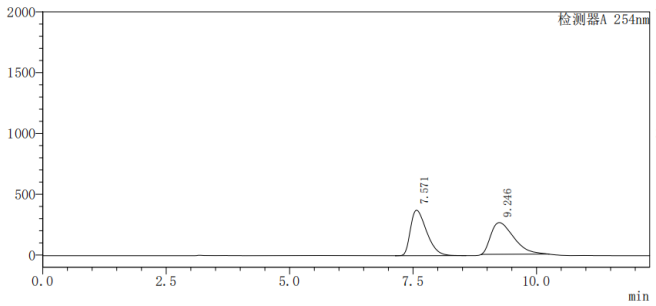
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.99 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.19 (dd, *J* = 8.3, 1.7 Hz, 1H), 8.15 – 7.98 (m, 1H), 7.78 (d, *J* = 8.3 Hz, 1H), 7.50 – 7.34 (m, 6H), 7.23 (tt, *J* = 7.5, 1.3 Hz, 1H), 7.08 (s, 2H), 6.63 (dd, *J* = 2.3, 0.9 Hz, 3H), 4.17 (s, 1H), 2.75 – 2.59 (m, 1H), 2.33 (s, 3H), 2.14 (ddd, *J* = 12.7, 4.9, 2.5 Hz, 1H), 1.97 (s, 3H), 1.73 – 1.69 (m, 1H), 1.65 (s, 9H), 1.59 – 1.56 (m, 2H), 1.46 – 1.35 (m, 2H), 1.13 – 1.05 (m, 1H).

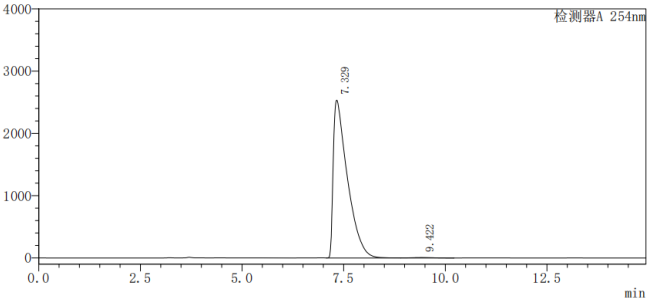
^{13}C NMR (151 MHz, $CDCl_3$) δ 162.3, 150.7, 150.6, 146.8, 139.1, 138.6, 138.5, 138.1, 136.7, 134.8, 134.7, 133.1, 132.8, 131.9, 131.2 (2C), 129.9, 128.6, 128.4, 128.2, 127.4, 127.0, 126.9, 126.6, 126.3, 123.8, 121.0, 82.7, 41.6, 40.3, 36.0, 31.6, 23.0, 22.1, 20.9, 18.4, 14.2.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{41}H_{41}N_2O_3]^+$ required 609.3117, found 609.3044.

$[\alpha]_D^{20}$ = -156.67 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 8.233 min, t (major) = 9.492 min.

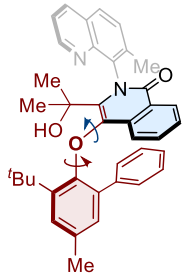




Peak	Ret. Time	Area	Height	Area%
1	7.571	8496101	373738	50.288
2	9.246	8398626	260574	49.712

Peak	Ret. Time	Area	Height	Area%
1	7.329	61676844	2535837	99.504
2	9.422	307418	7918	0.496

($S_{a,C-O}$,$S_{a,C-N}$)-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-3-(2-hydroxypropan-2-yl)-2-(7-methylquinolin-8-yl)isoquinolin-1(2H)-one (5zc)



(PE:EA = 2:1) White solid. (47.2 mg, 81% yield, >20:1 dr, 95% ee). mp: 112–113 ºC.

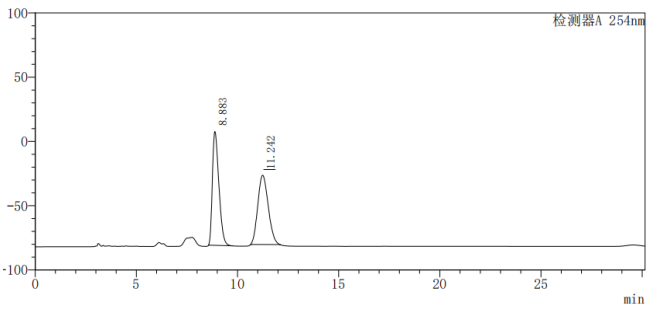
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.39 – 8.32 (m, 1H), 8.09 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.48 – 7.39 (m, 3H), 7.35 (d, *J* = 5.8 Hz, 1H), 7.28 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.23 (ddd, *J* = 6.9, 3.5, 1.7 Hz, 1H), 6.90 – 6.70 (m, 2H), 6.68 – 5.99 (m, 3H), 2.33 (s, 3H), 2.25 (s, 3H), 2.06 (s, 3H), 1.63 (s, 9H), -0.29 (s, 9H).

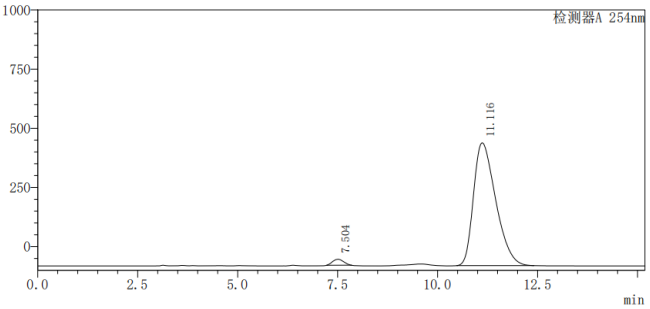
^{13}C NMR (151 MHz, $CDCl_3$) δ 161.7, 150.4, 150.3, 147.6, 138.7, 138.4, 138.2, 137.2, 135.9, 135.9, 133.8, 133.0 (2C), 131.7, 131.4, 131.2, 129.8, 129.5, 128.4, 128.3, 127.8, 126.9, 126.6, 126.5, 126.4, 125.4, 122.6, 120.7, 73.4, 35.7, 32.6, 31.1, 29.5, 20.7, 18.4.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{39}H_{39}N_2O_3]^+$ required 583.2961, found 583.2961.

$[\alpha]_D^{20}$ = -113.33 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 7.504 min, t (major) = 11.116 min.

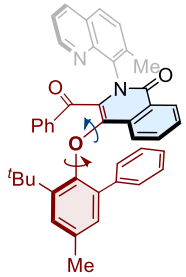




Peak	Ret. Time	Area	Height	Area%
1	8.883	1978556	88604	50.629
2	11.242	1929429	53930	49.371

Peak	Ret. Time	Area	Height	Area%
1	7.504	476310	25317	2.326
2	11.116	19998600	518781	97.674

($S_{a,C\text{-}O}$,$S_{a,C\text{-}N}$)-3-benzoyl-4-((3-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)oxy)-2-(7-methylquinolin-8-yl)isoquinolin-1(2H)-one (5zd)



(PE:EA = 2:1) White solid. (51.2 mg, 82% yield, 14:1 dr, 99% ee). mp: 172–173 ºC.

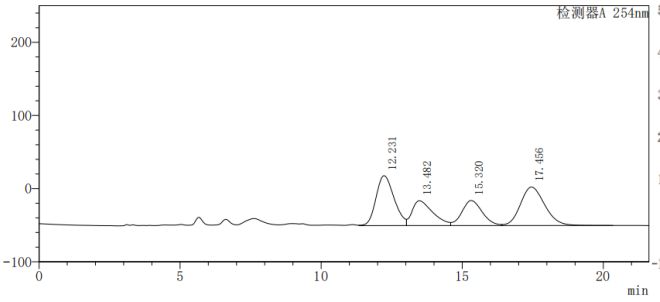
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.59 (dd, *J* = 4.3, 1.4 Hz, 1H), 8.37 (d, *J* = 7.8 Hz, 1H), 7.99 – 7.79 (m, 3H), 7.59 (d, *J* = 8.4 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.45 – 7.41 (m, 2H), 7.28 (d, *J* = 7.4 Hz, 1H), 7.17 – 7.11 (m, 6H), 7.09 – 7.03 (m, 2H), 6.72 (d, *J* = 8.5 Hz, 2H), 6.65 (d, *J* = 2.1 Hz, 1H), 2.41 (s, 3H), 2.31 (s, 3H), 1.08 (s, 9H).

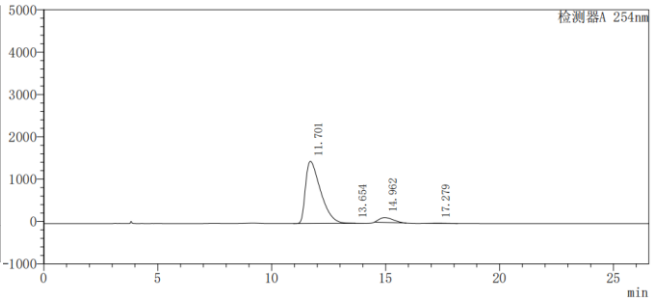
^{13}C NMR (151 MHz, $CDCl_3$) δ 189.7, 160.5, 150.7, 150.3, 144.1, 141.3, 139.8, 138.5, 136.6, 135.6, 134.7, 133.4 (2C), 133.3, 132.5, 132.0, 131.7, 131.5, 129.6, 129.4, 129.3, 129.2, 128.5, 127.8, 127.7, 127.6 (2C), 127.4, 127.2, 126.9, 126.4, 122.9, 120.6, 35.2, 30.0, 21.0, 20.2.

HRMS (ESI): m/z $[M+NH_4]^+$ calcd for $[C_{43}H_{40}N_3O_3]^+$ required 646.3070, found 646.3033.

$[\alpha]_D^{20}$ = -95 (c = 0.05, CH_2Cl_2).

HPLC Condition: The enantiomeric excess and dr value were determined by HPLC analysis on a Daicel Chiralcel AD-H, Hexanes/IPA = 94/6, 1.0 mL/min, λ = 254 nm. t (minor) = 17.279 min, t (major) = 11.701 min.

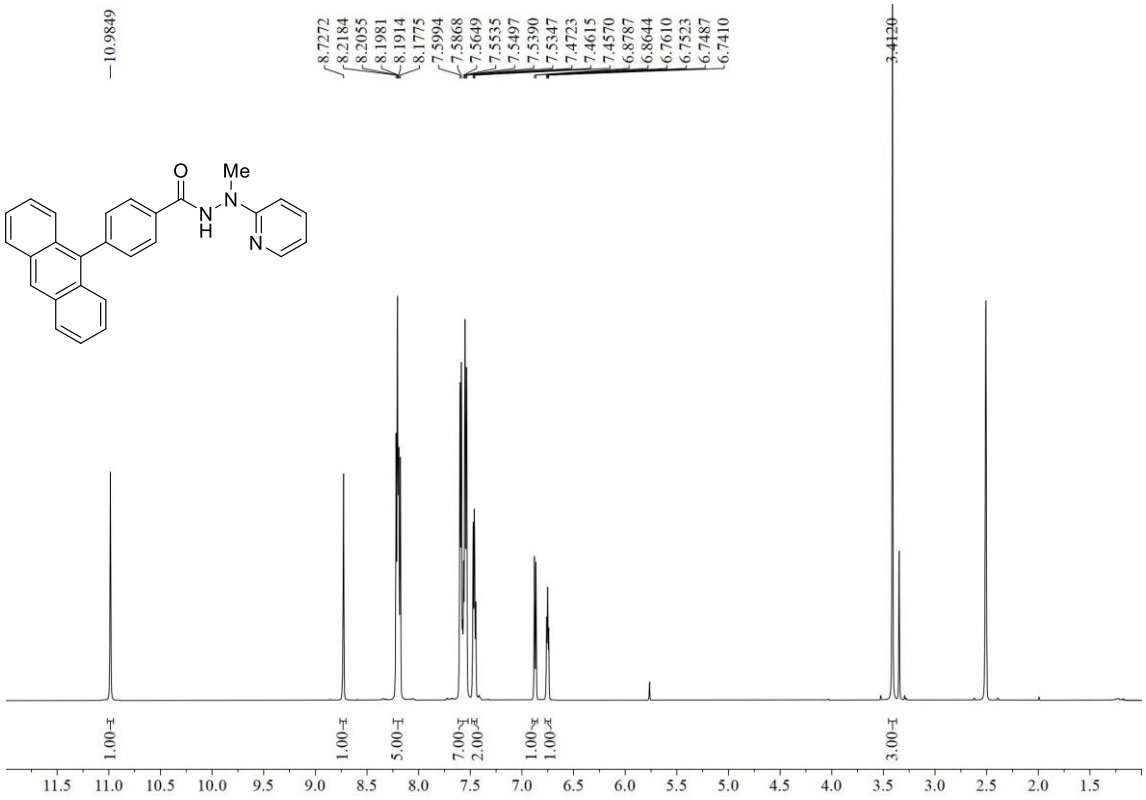




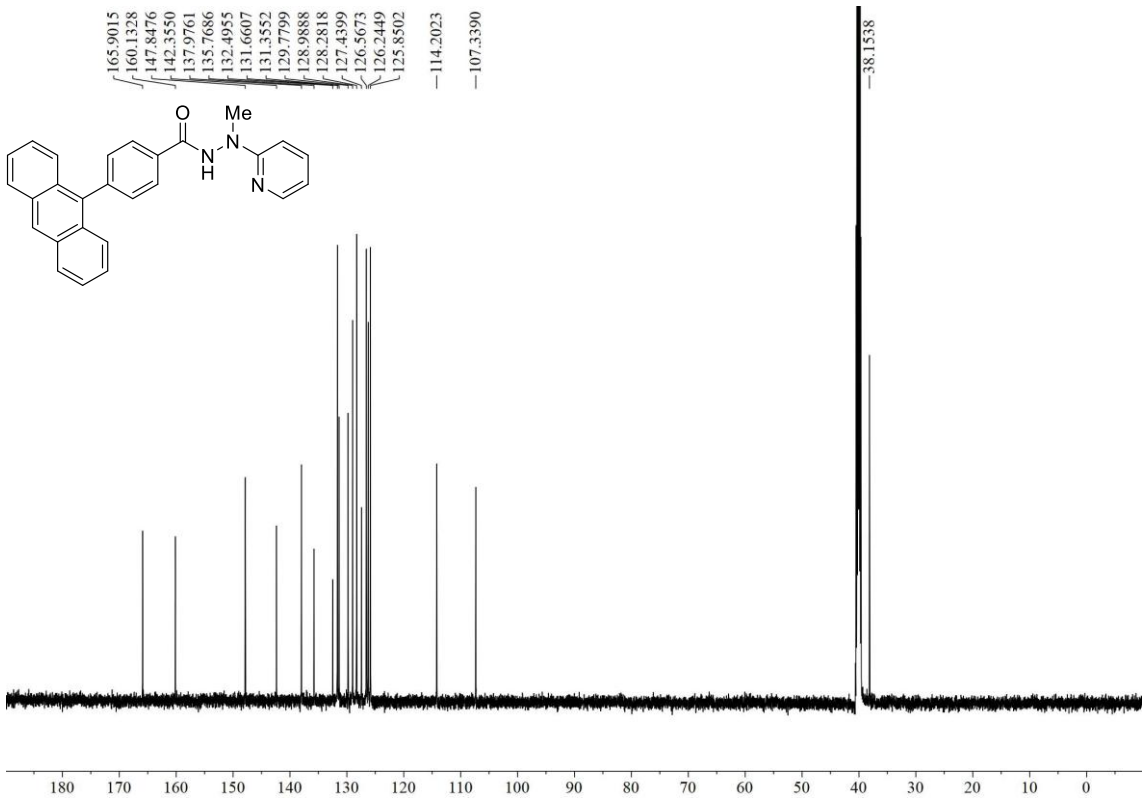
Peak	Ret. Time	Area	Height	Area%
1	12.231	3036522	68299	31.547
2	13.482	1730465	34022	17.978
3	15.320	1708689	34246	17.752
4	17.456	3149602	52562	32.722

Peak	Ret. Time	Area	Height	Area%
1	11.701	67551640	1468965	92.848
2	13.654	48181	3875	0.066
3	14.962	4878686	114270	6.706
4	17.279	276491	5981	0.380

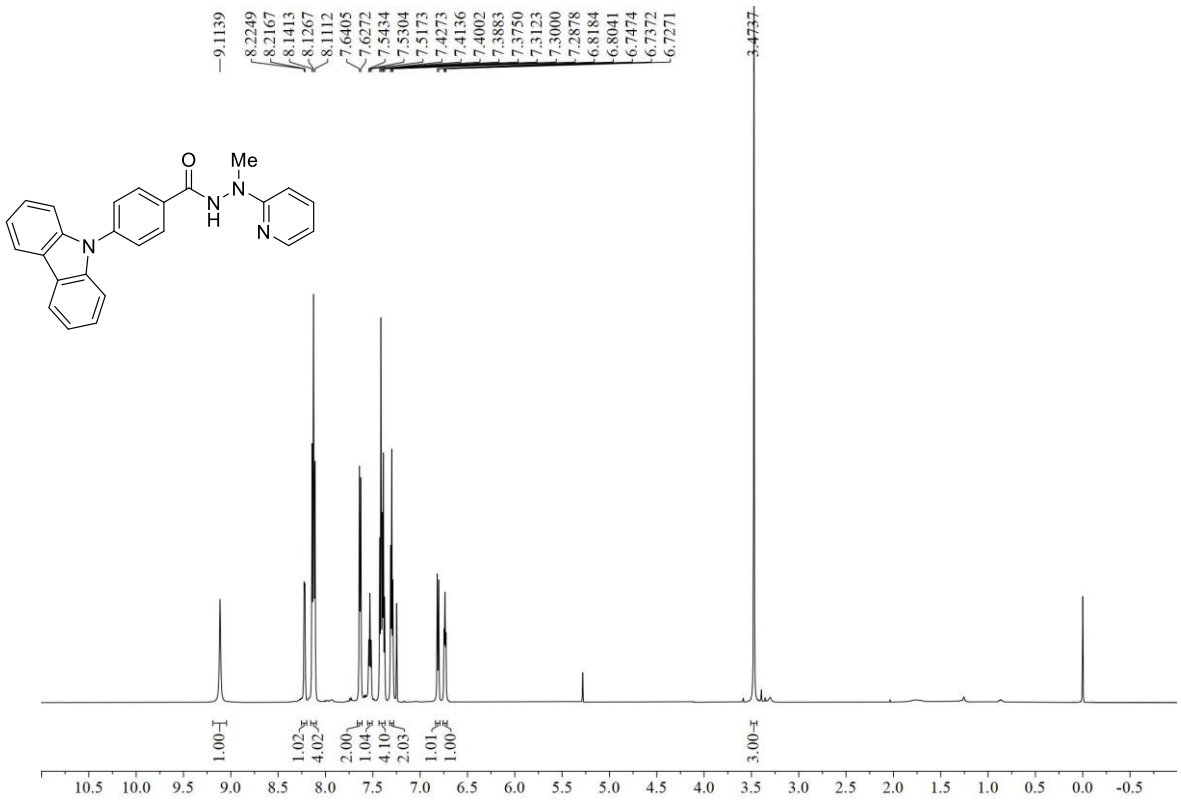
12. NMR spectra for new compounds



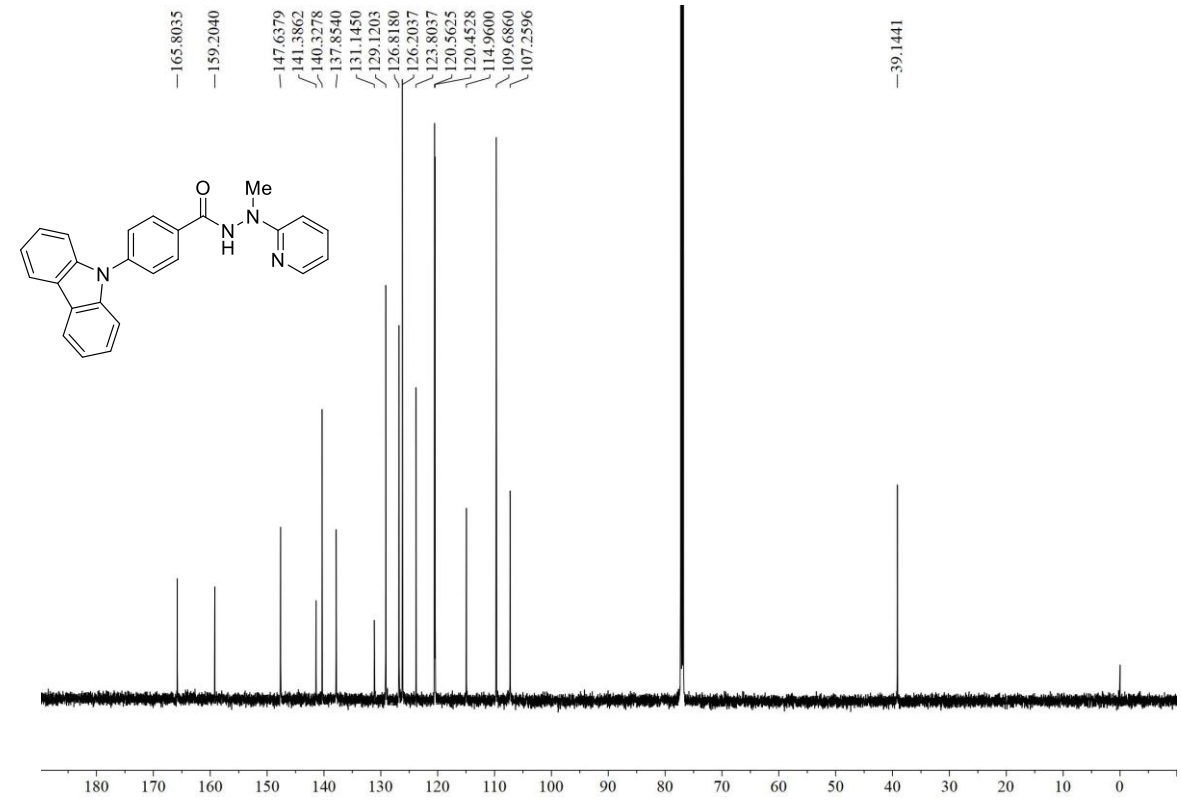
^{1}H NMR spectrum of 2l (600 MHz, $CDCl_3$)



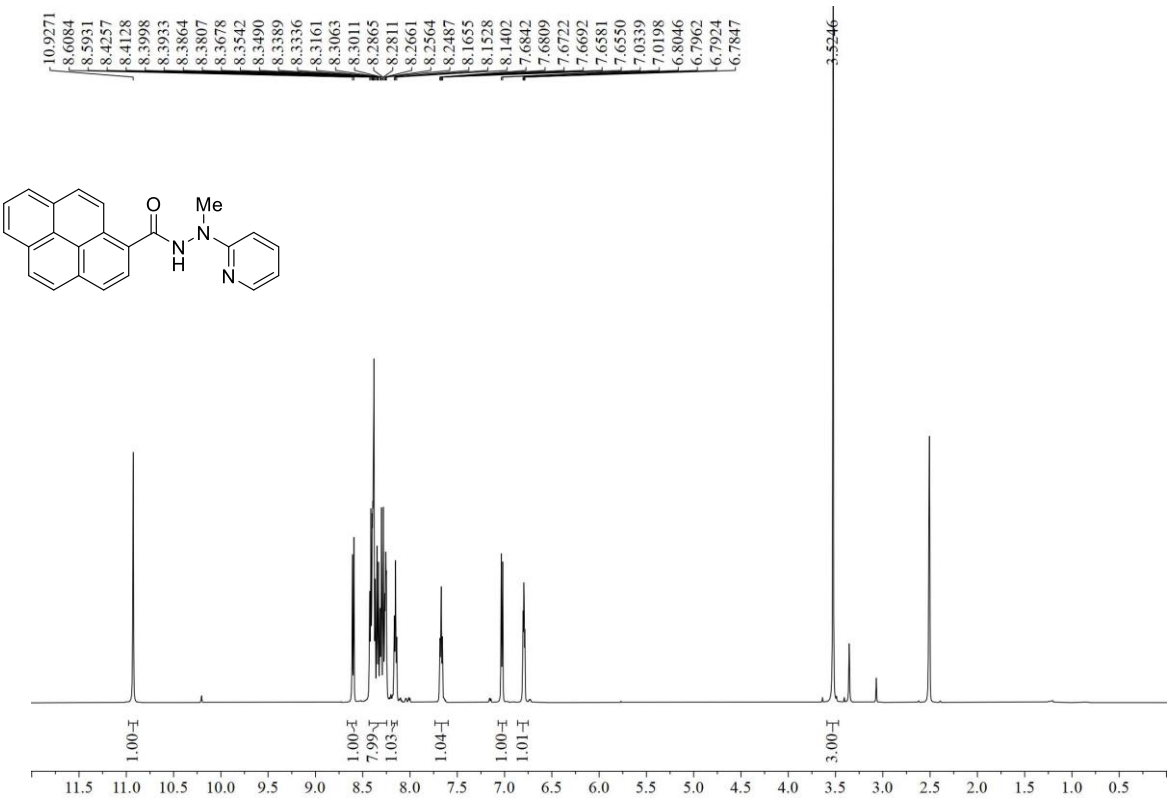
^{13}C NMR spectrum of 2l (151 MHz, $CDCl_3$)



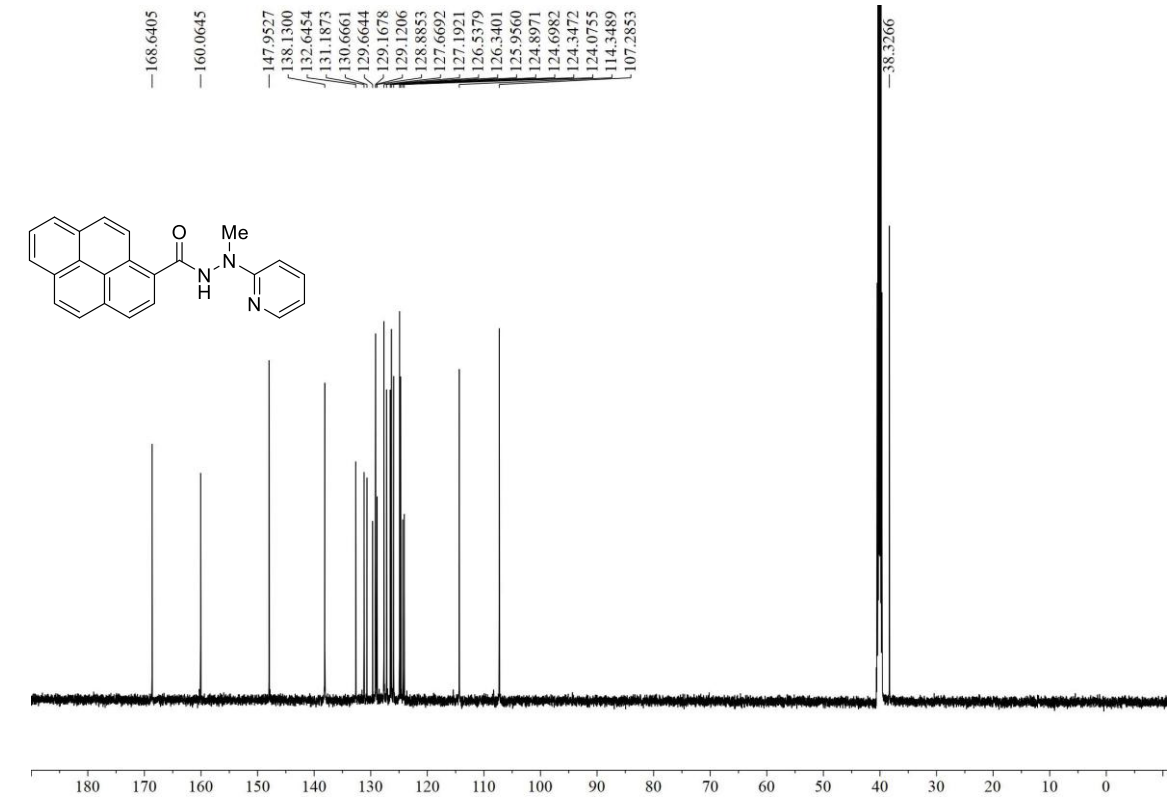
^{1}H NMR spectrum of 2m (600 MHz, $CDCl_3$)



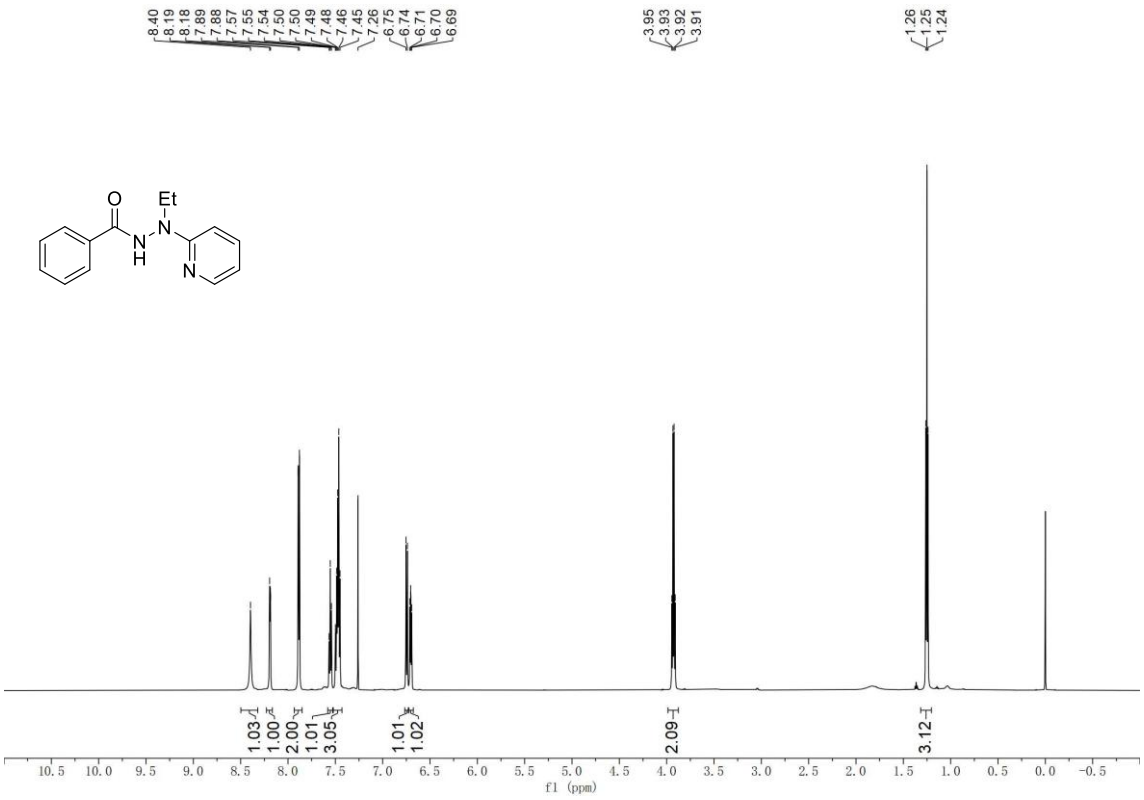
^{13}C NMR spectrum of 2m (151 MHz, $CDCl_3$)



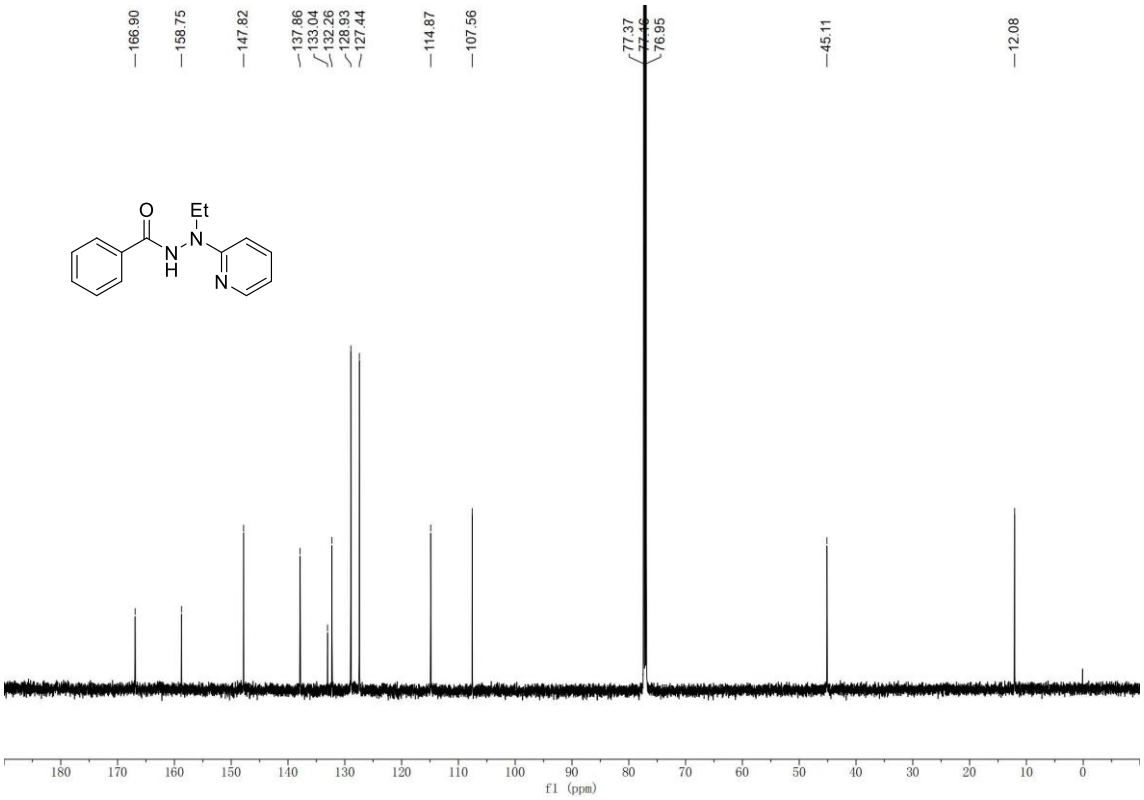
^{1}H NMR spectrum of 2q (600 MHz, DMSO-d_6)



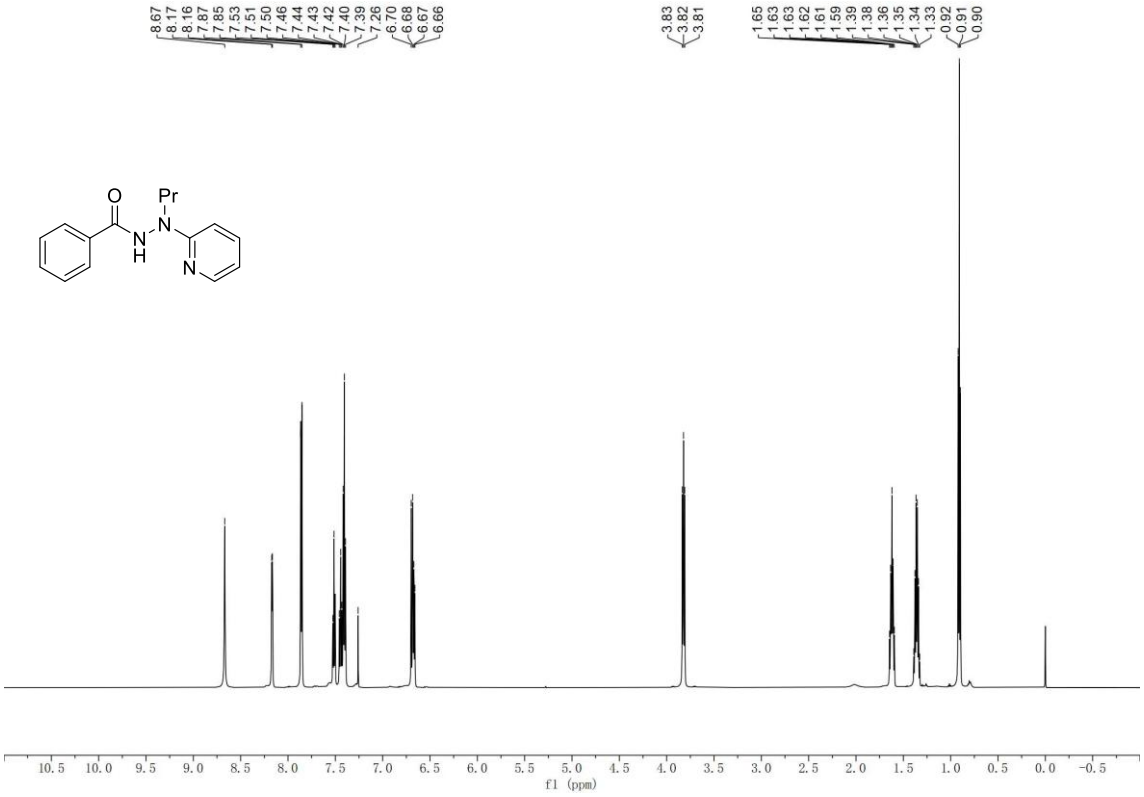
^{13}C NMR spectrum of 2q (151 MHz, DMSO-d_6)



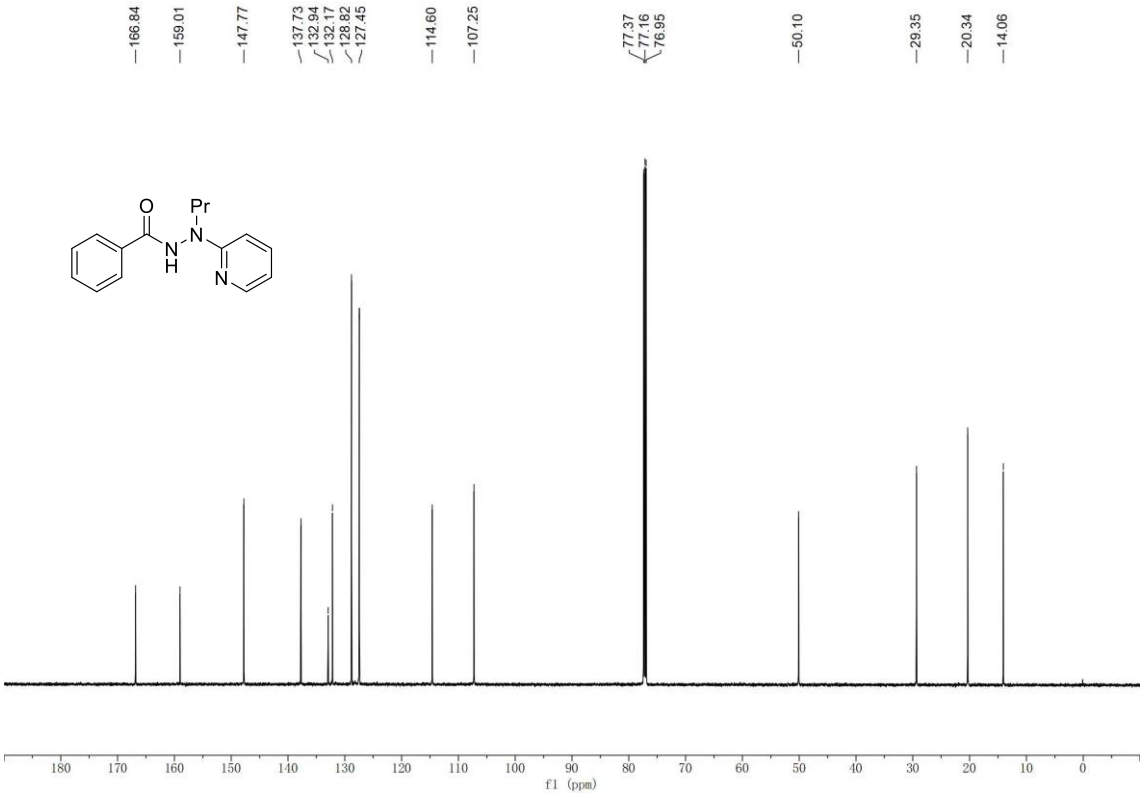
^{1}H NMR spectrum of 2r (600 MHz, $CDCl_3$)



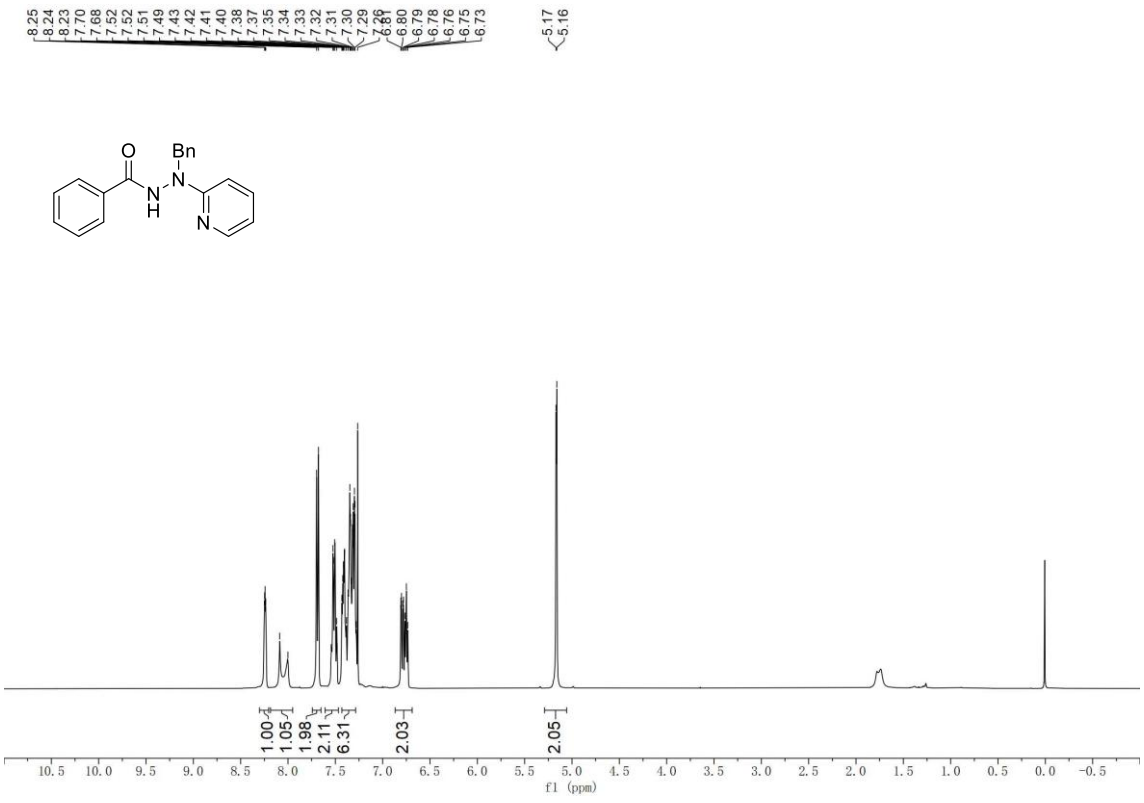
^{13}C NMR spectrum of 2r (151 MHz, $CDCl_3$)



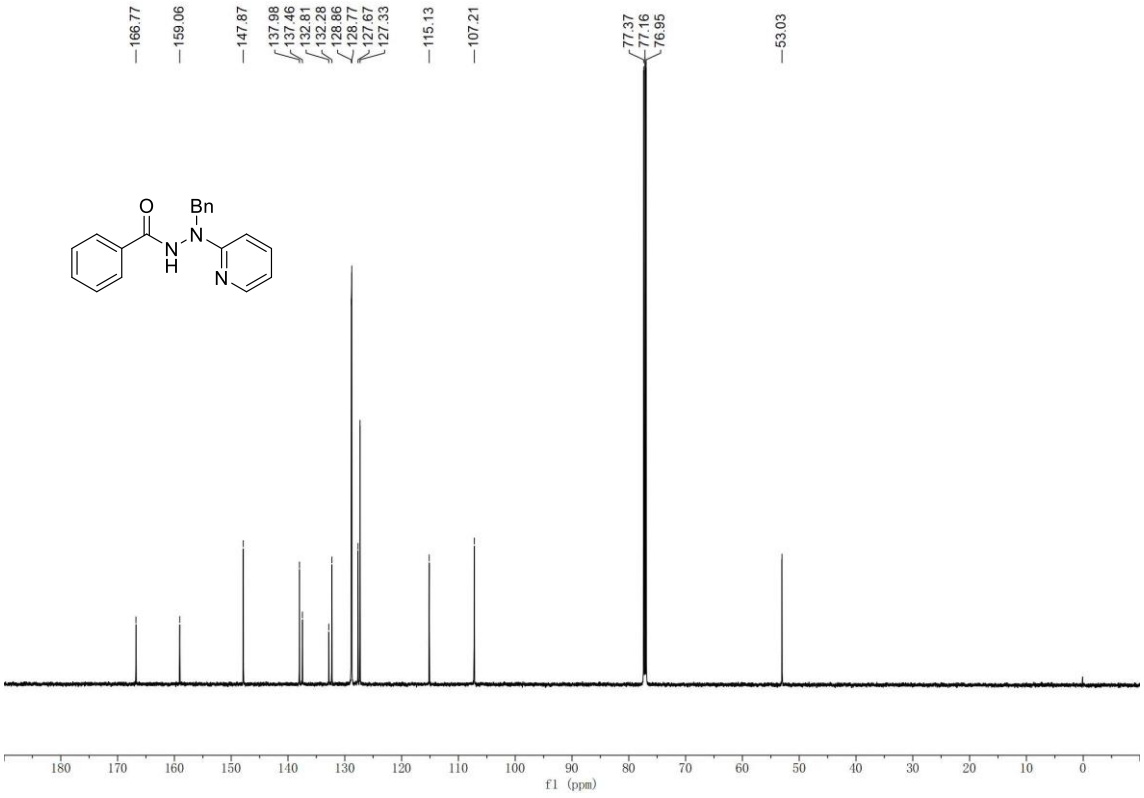
^{1}H NMR spectrum of 2s (600 MHz, $CDCl_3$)



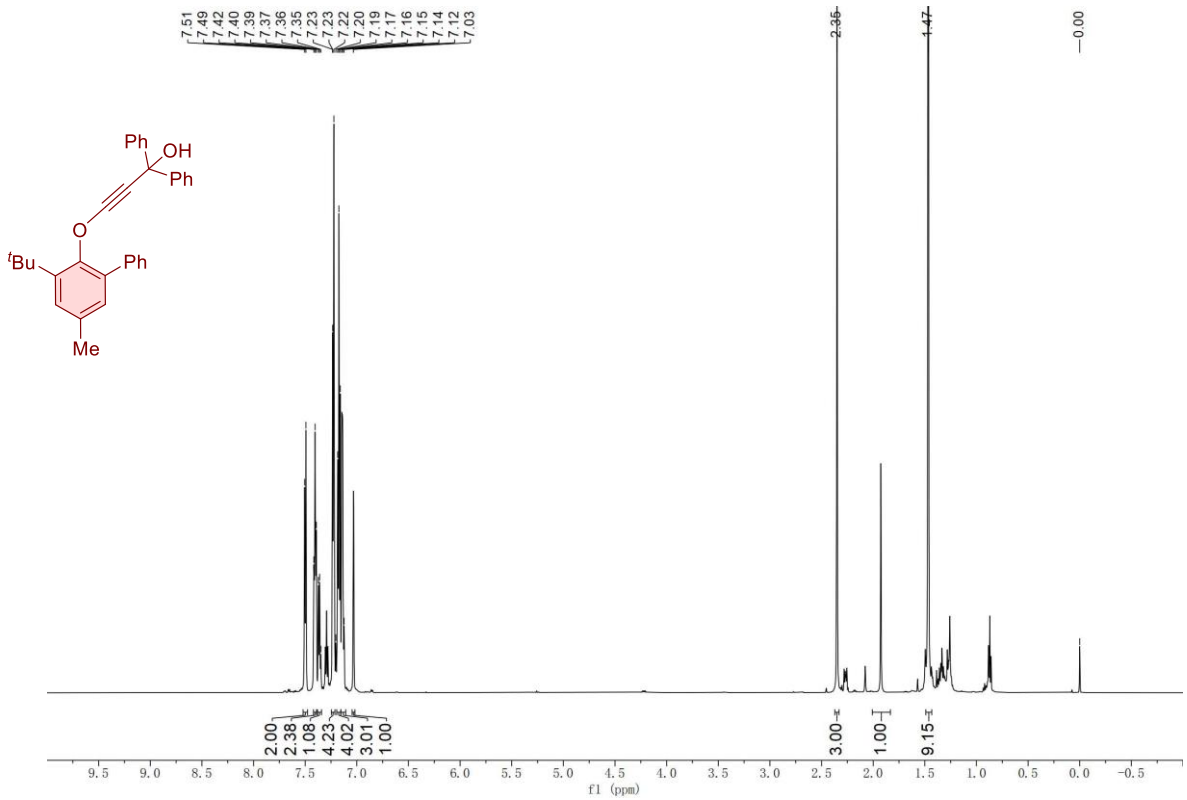
^{13}C NMR spectrum of 2s (151 MHz, $CDCl_3$)



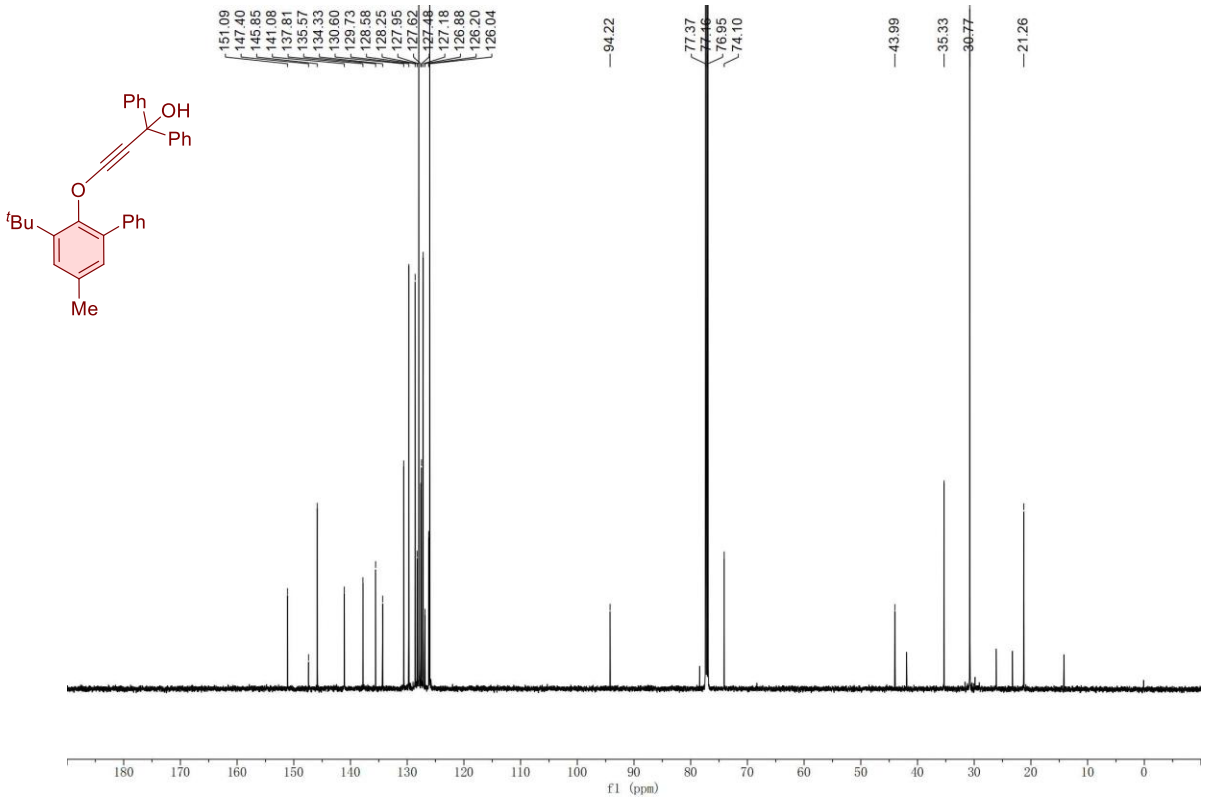
^{1}H NMR spectrum of 2t (600 MHz, $CDCl_3$)



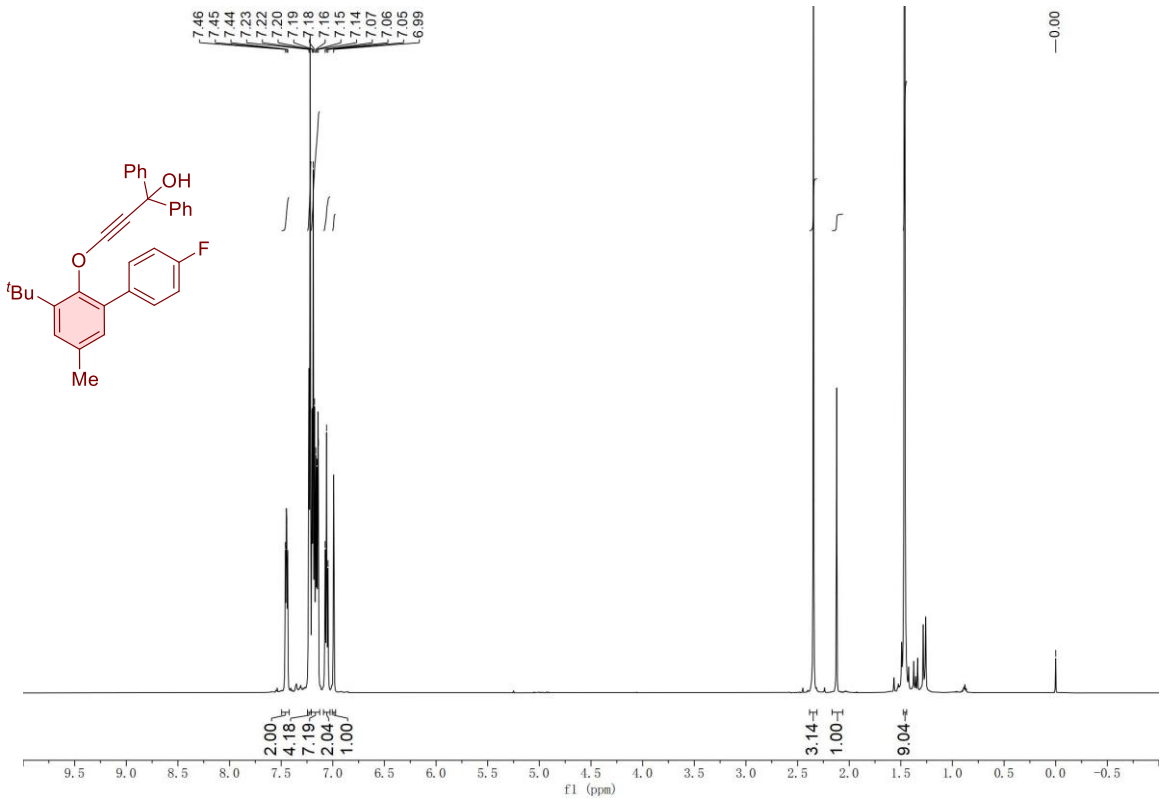
^{13}C NMR spectrum of 2t (151 MHz, $CDCl_3$)



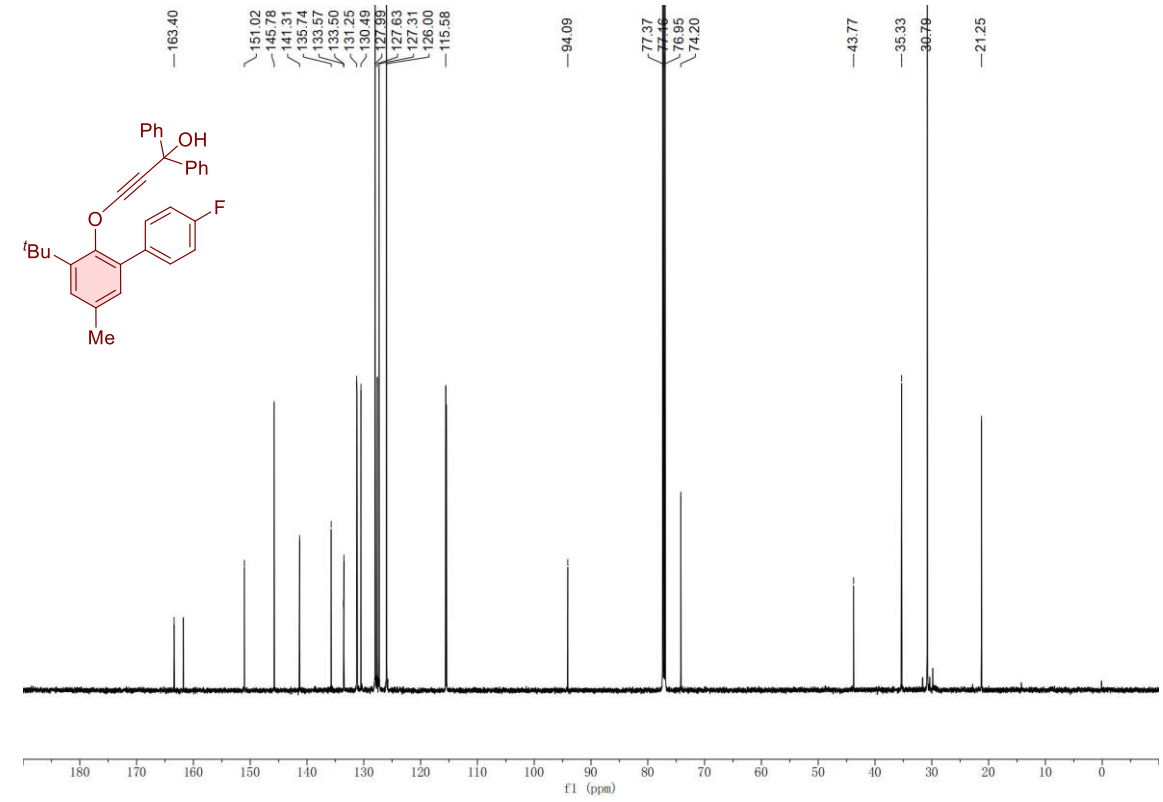
^{1}H NMR spectrum of 1a (600 MHz, $CDCl_3$)



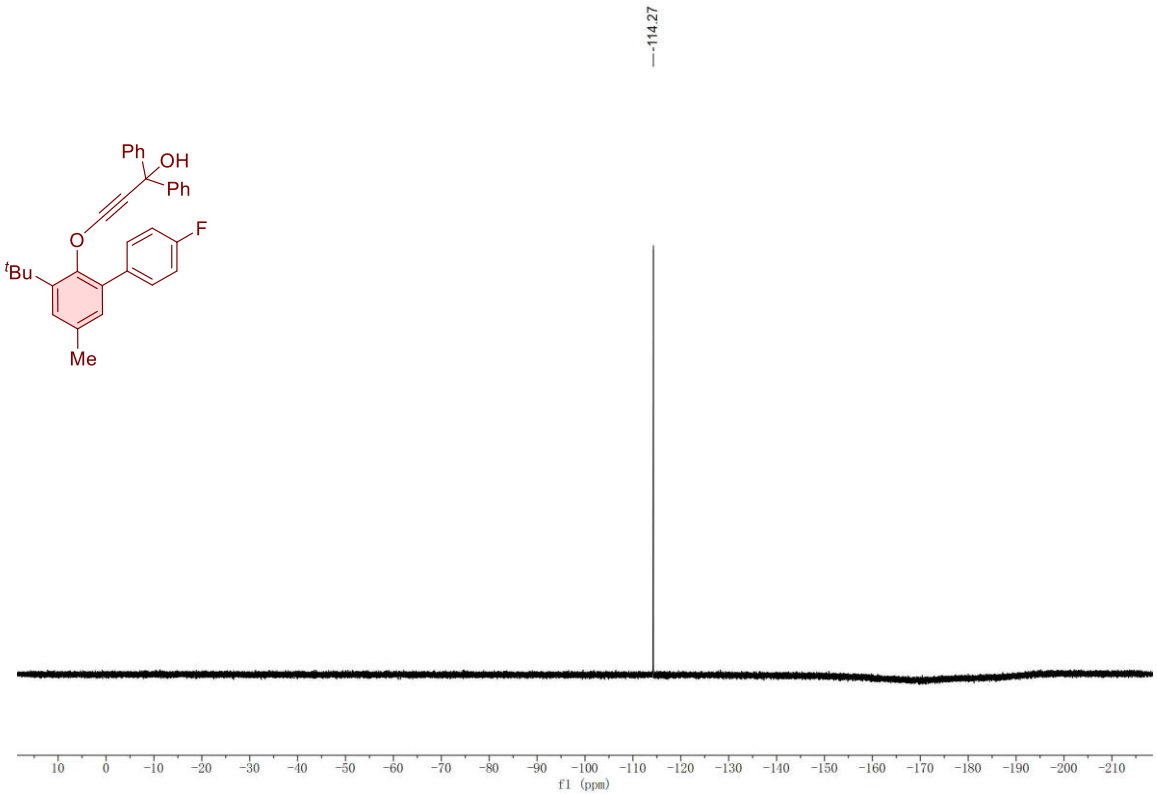
^{13}C NMR spectrum of 1a (151 MHz, $CDCl_3$)



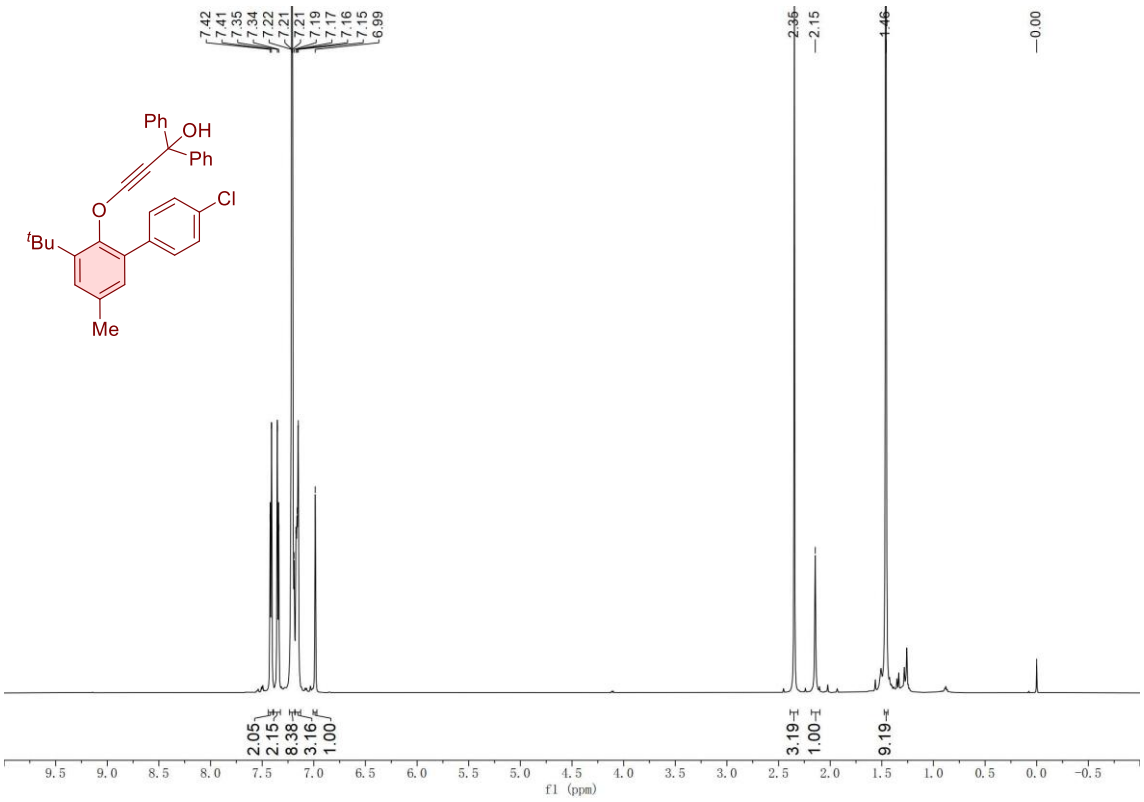
^{1}H NMR spectrum of 1b (600 MHz, $CDCl_3$)



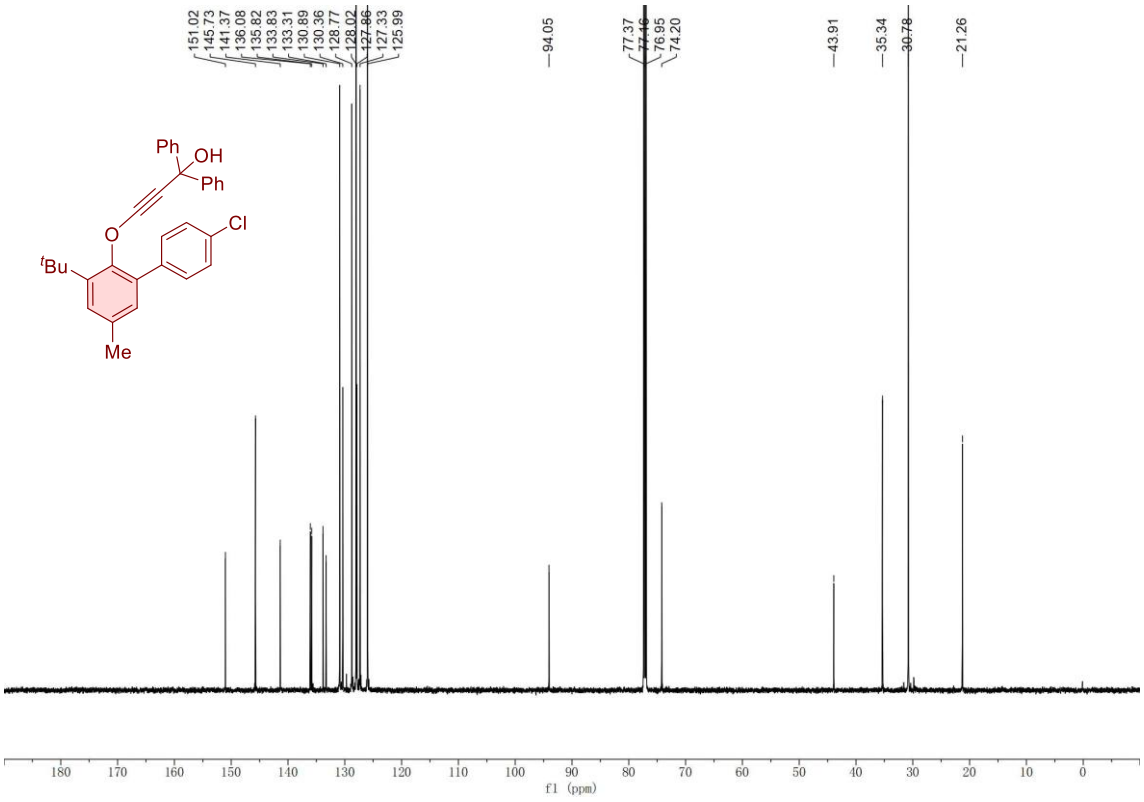
^{13}C NMR spectrum of 1b (151 MHz, $CDCl_3$)



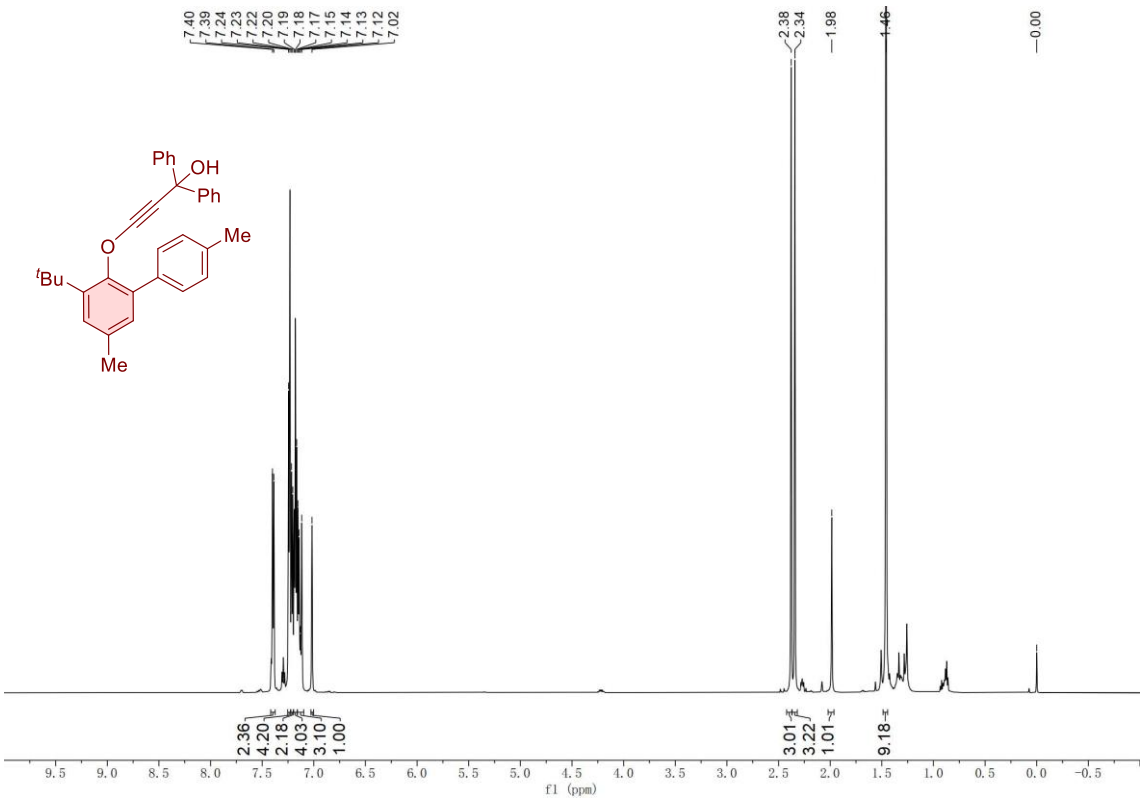
^{19}F NMR spectrum of 1b (565 MHz, $CDCl_3$)



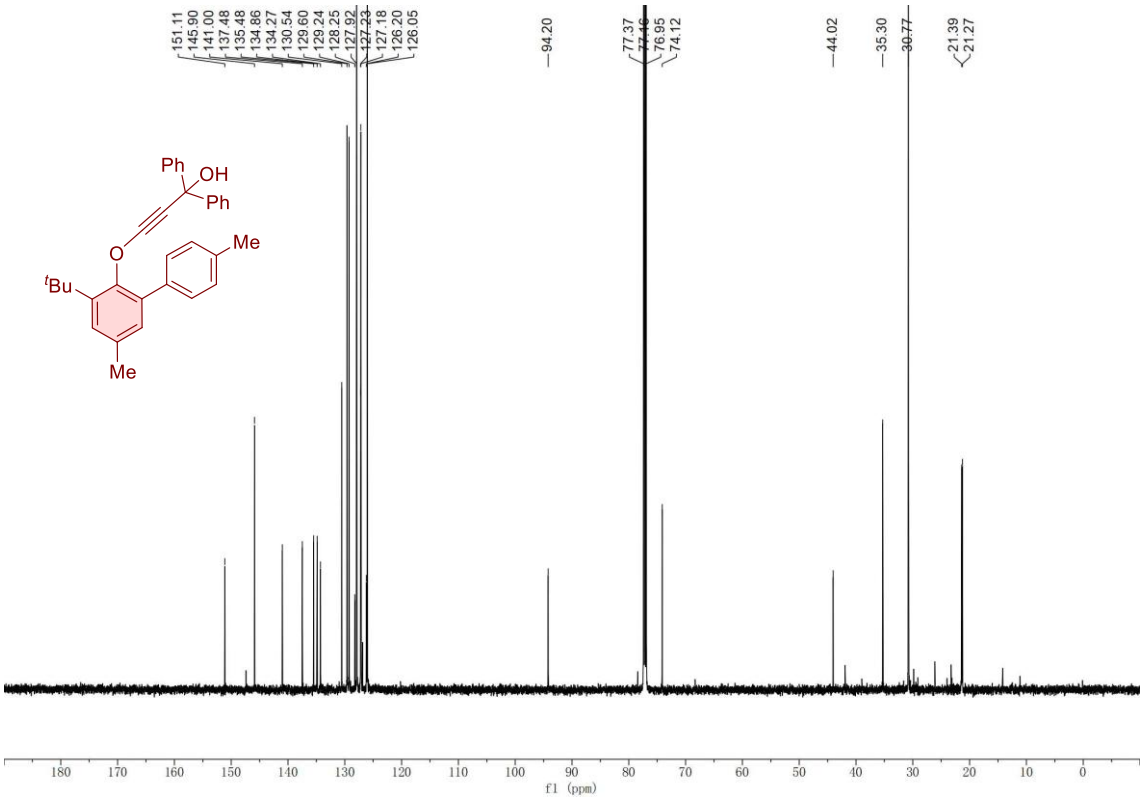
^{1}H NMR spectrum of 1c (600 MHz, $CDCl_3$)



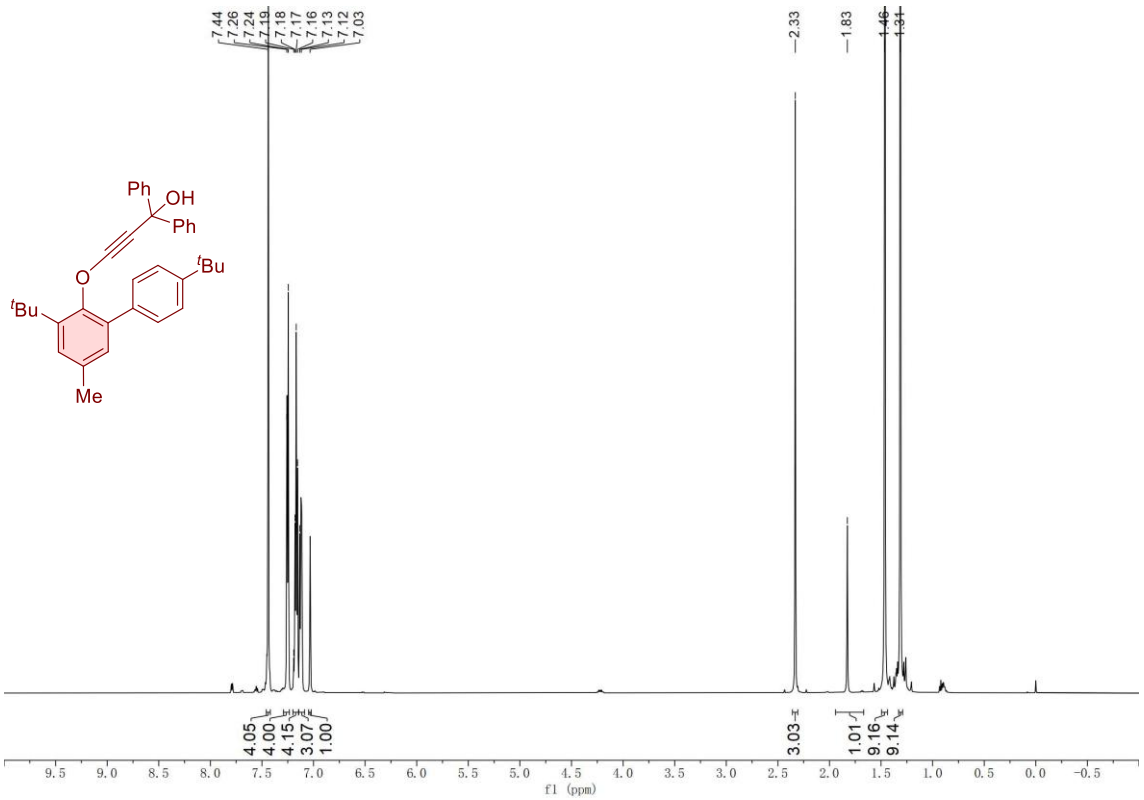
^{13}C NMR spectrum of 1c (151 MHz, $CDCl_3$)



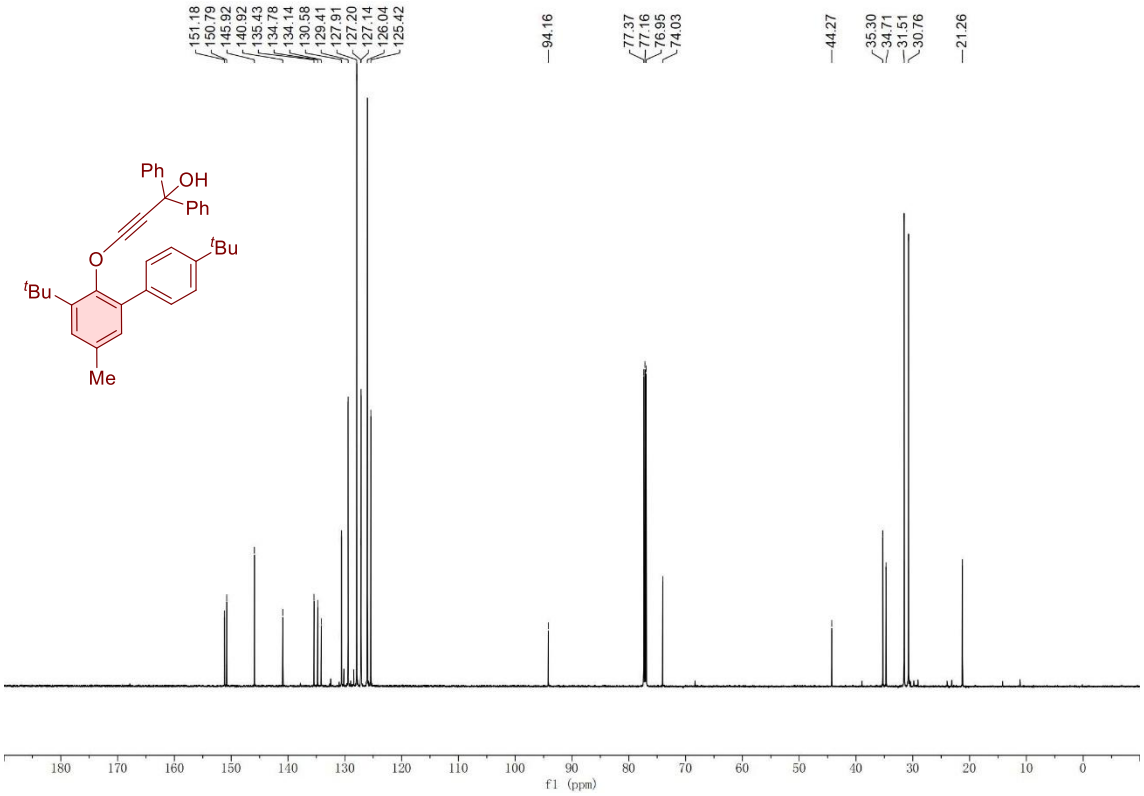
^{1}H NMR spectrum of 1d (600 MHz, $CDCl_3$)



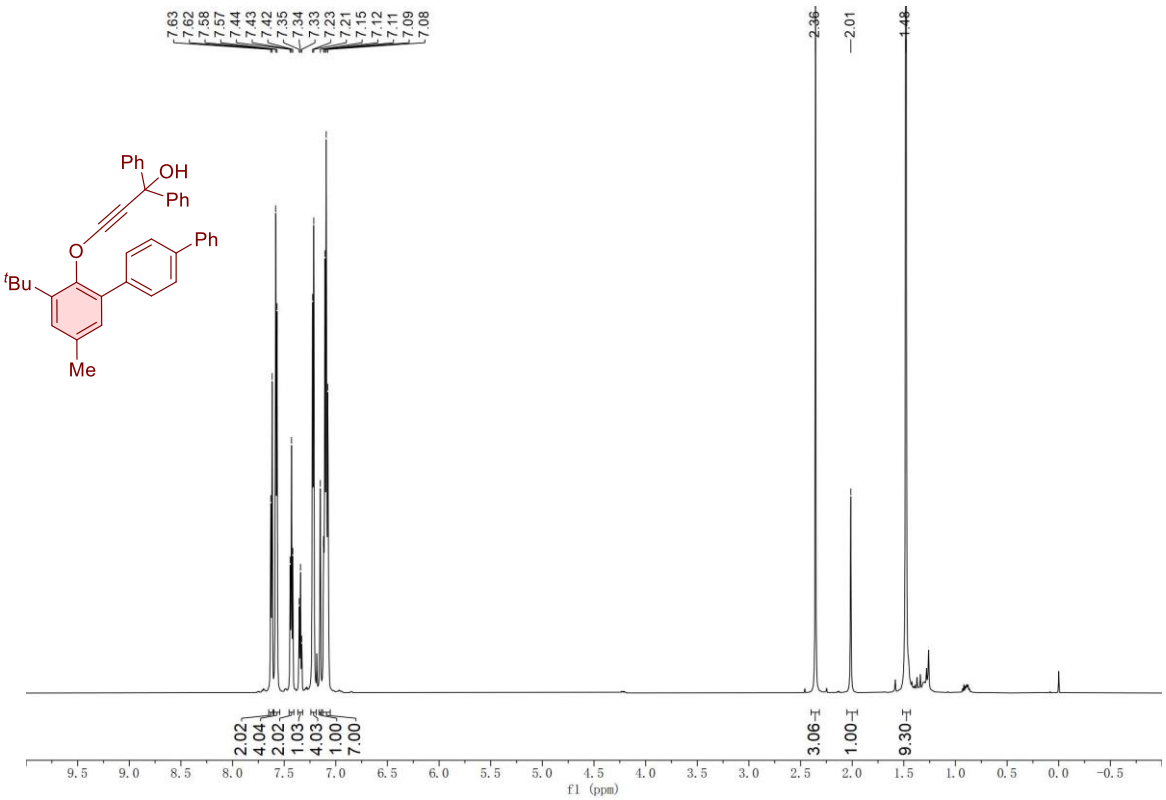
^{13}C NMR spectrum of 1d (151 MHz, $CDCl_3$)



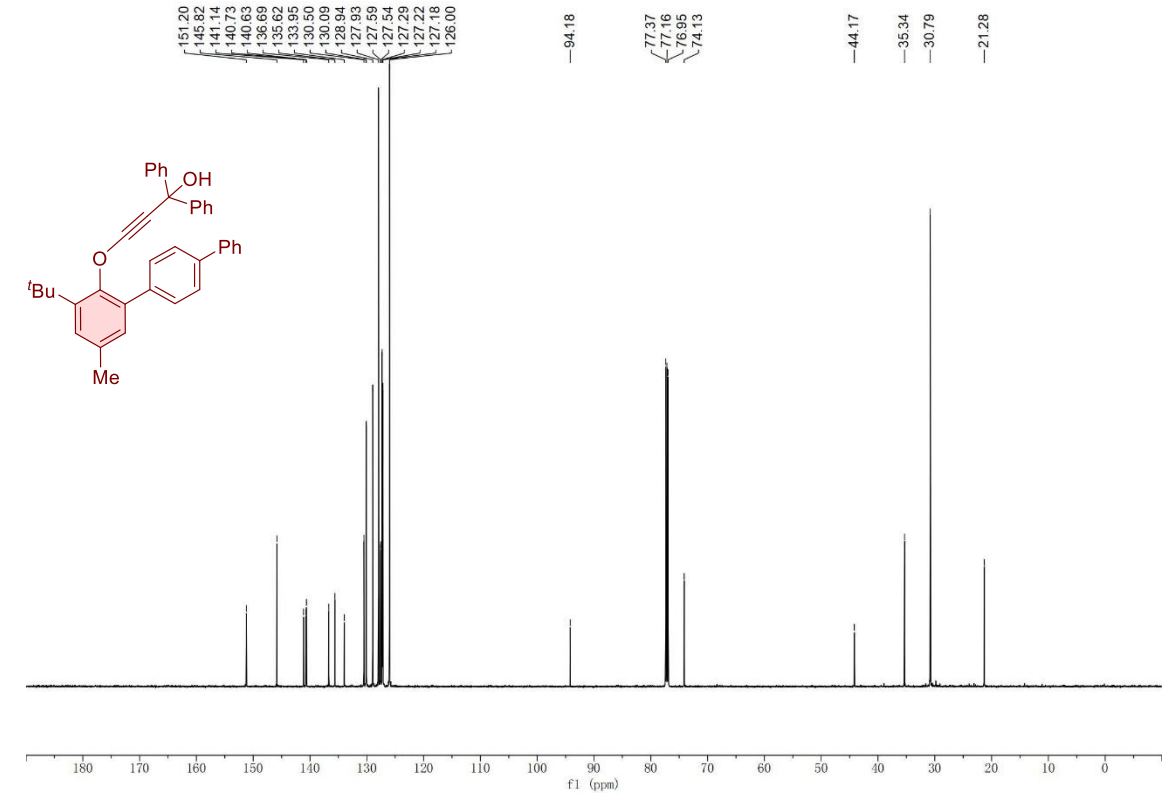
^{1}H NMR spectrum of 1e (600 MHz, $CDCl_3$)



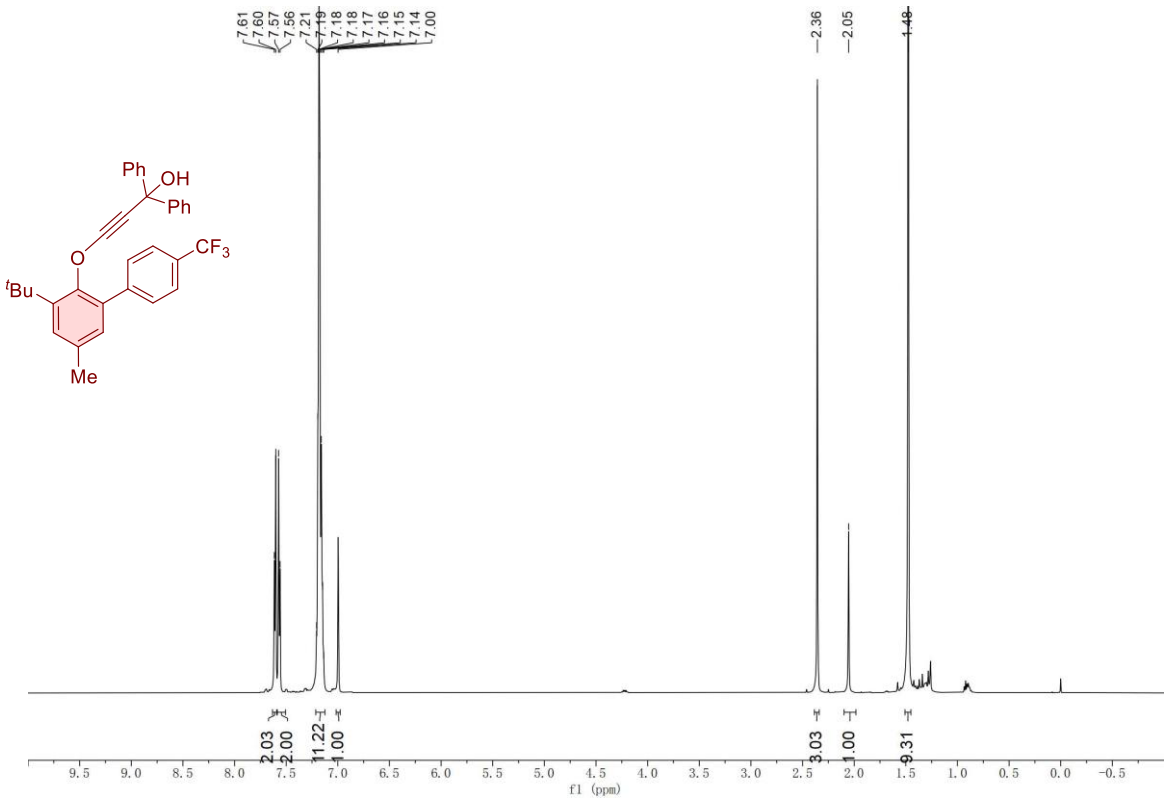
^{13}C NMR spectrum of 1e (151 MHz, $CDCl_3$)



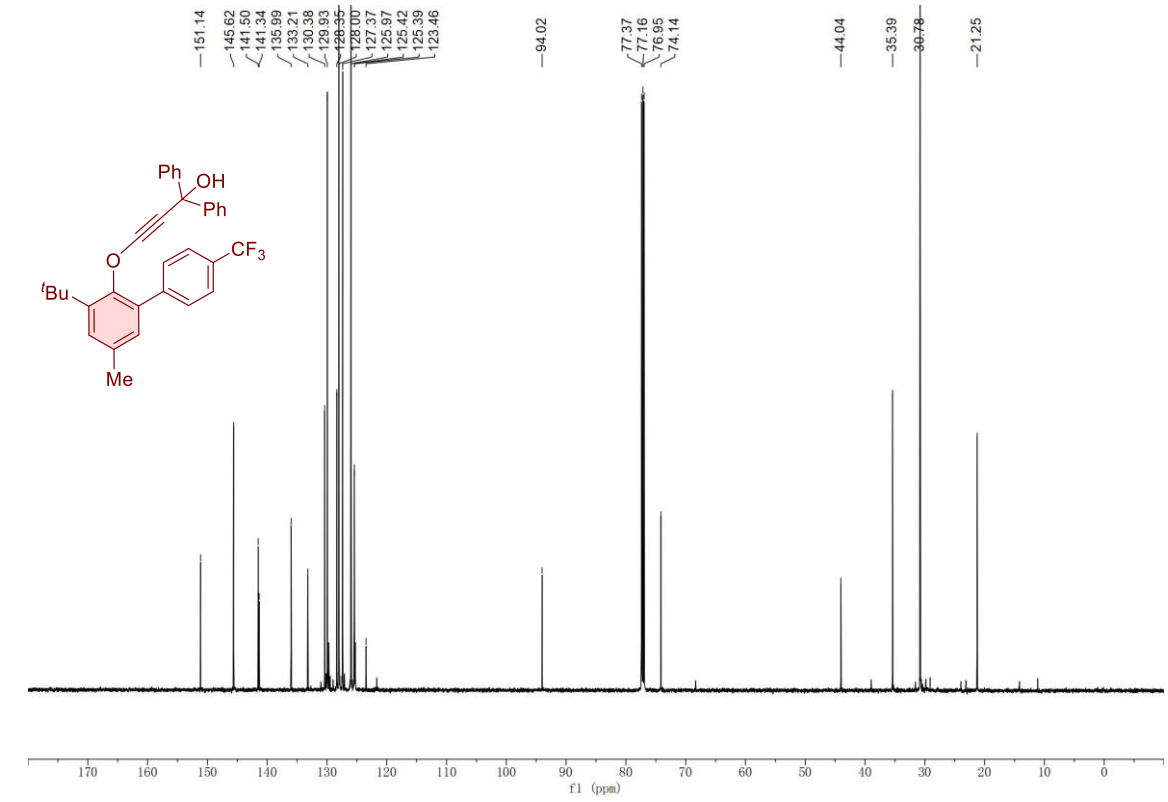
^{1}H NMR spectrum of 1f (600 MHz, $CDCl_3$)



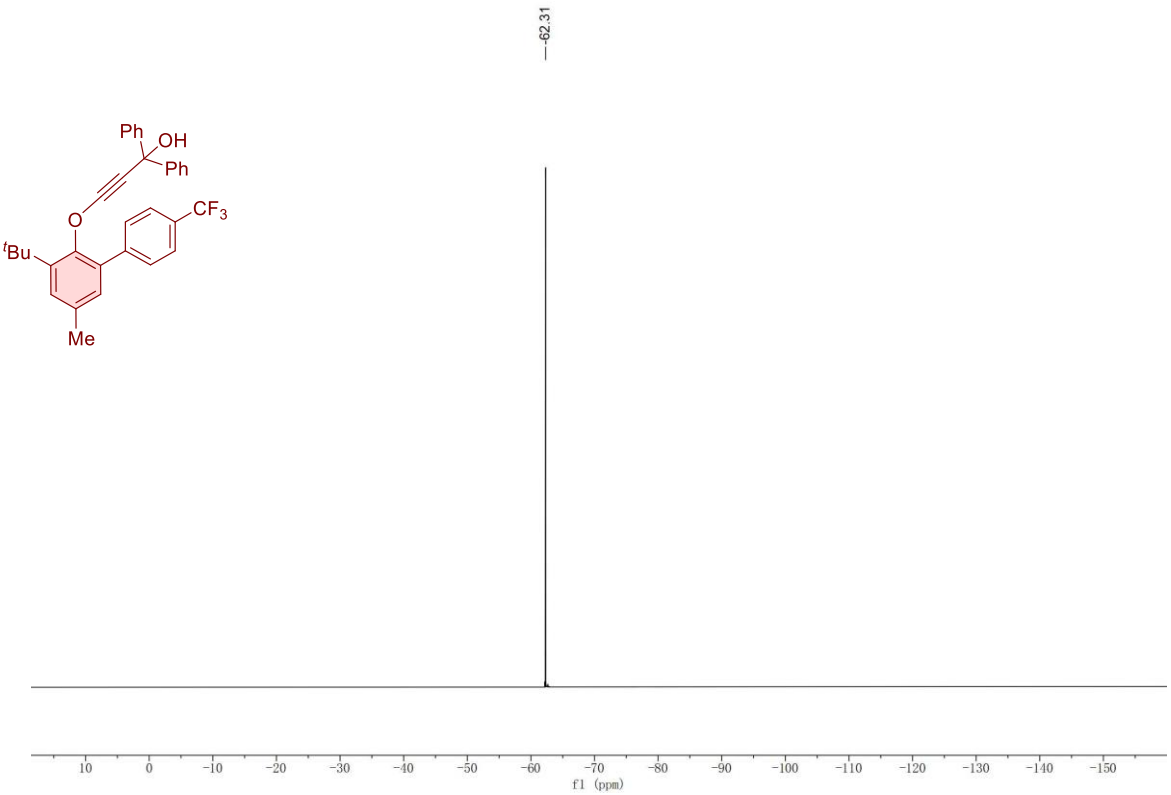
^{13}C NMR spectrum of 1f (151 MHz, $CDCl_3$)



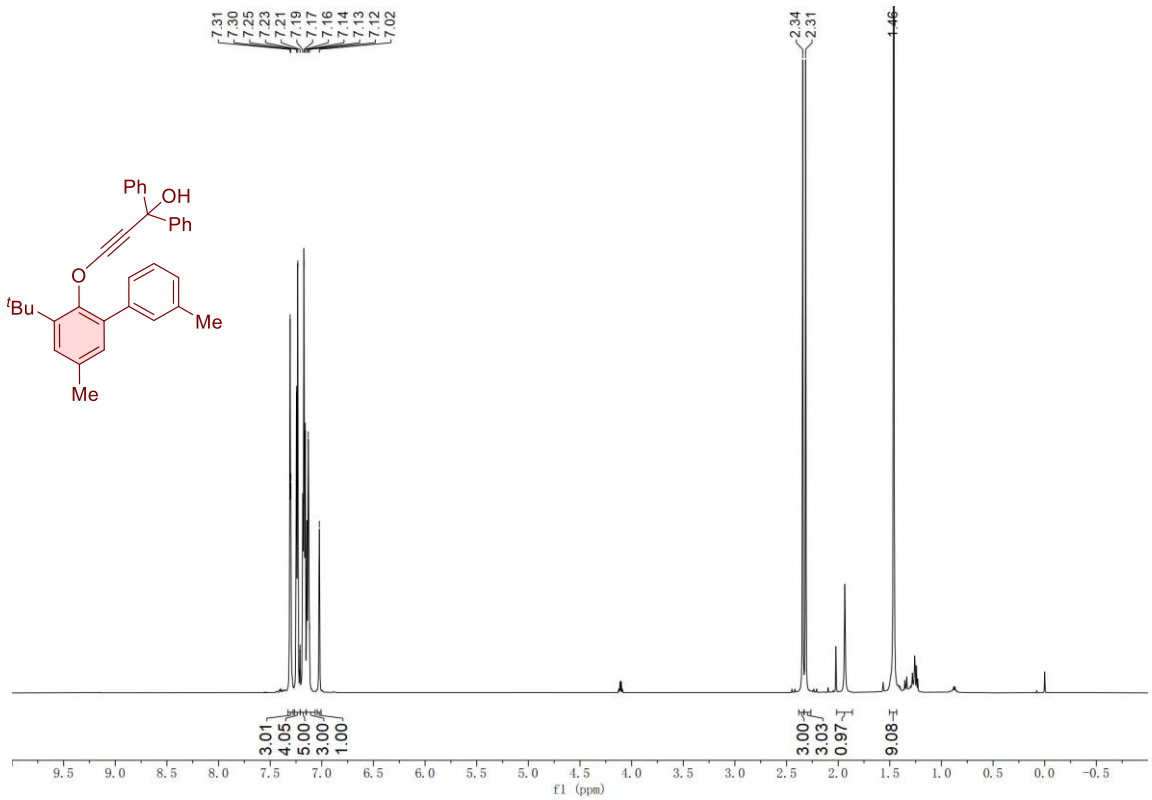
^{1}H NMR spectrum of 1g (600 MHz, $CDCl_3$)



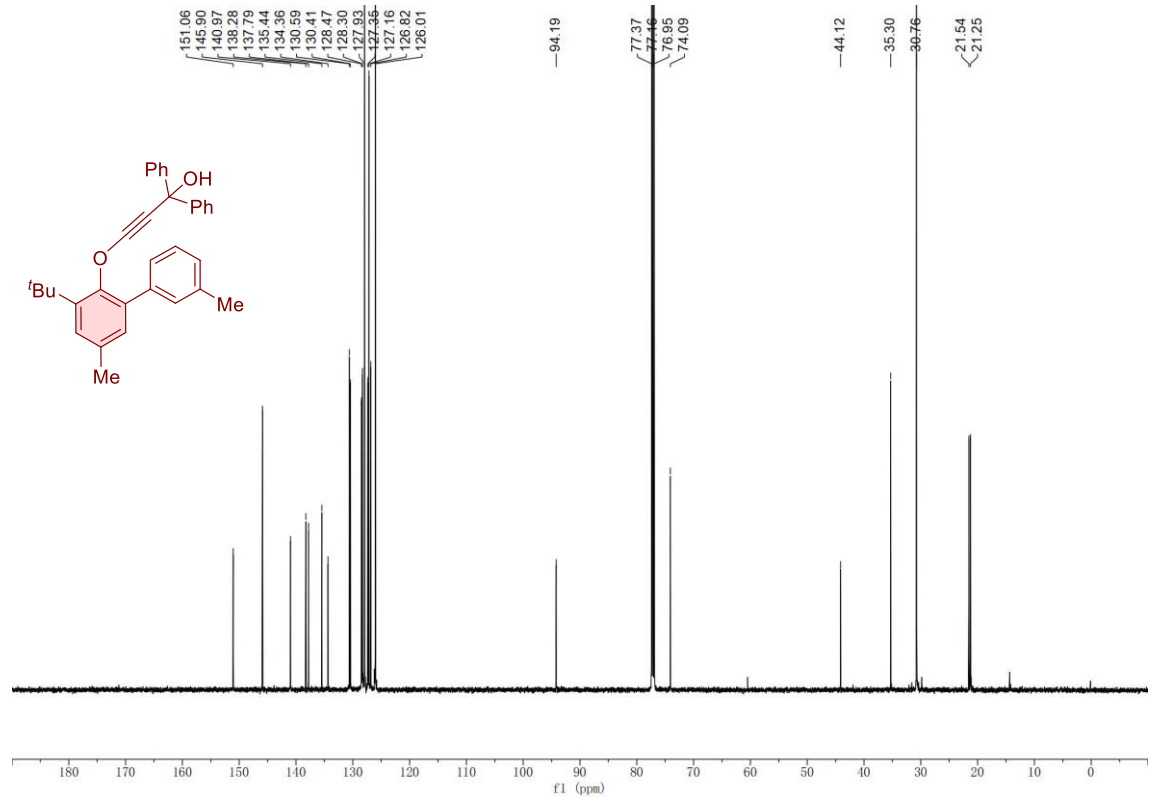
^{13}C NMR spectrum of 1g (151 MHz, $CDCl_3$)



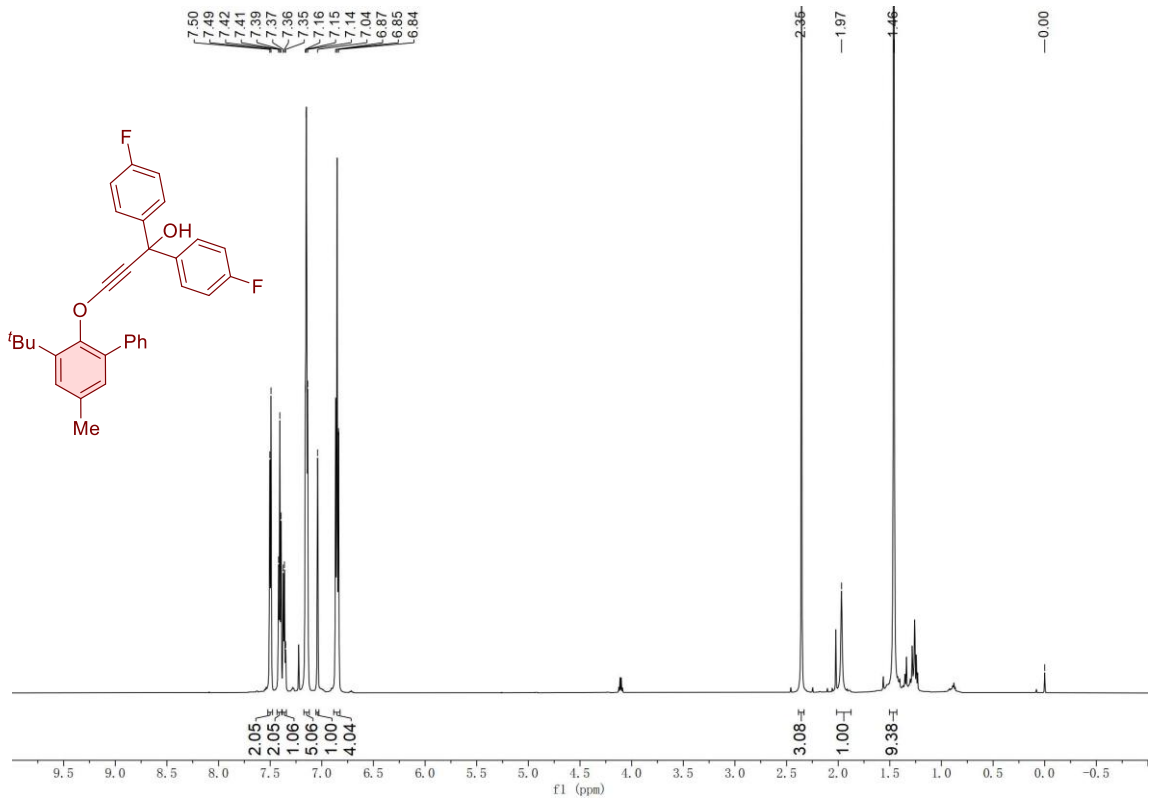
^{19}F NMR spectrum of 1g (565 MHz, $CDCl_3$)



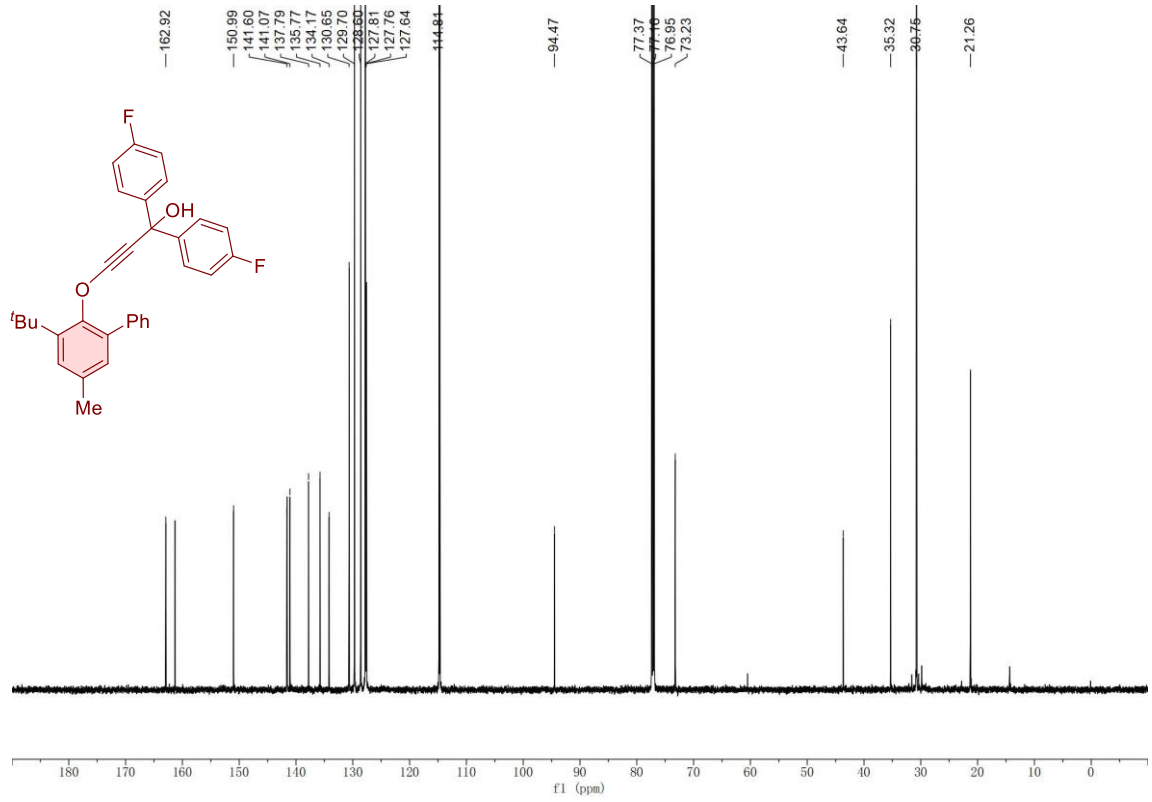
^{1}H NMR spectrum of 1h (600 MHz, $CDCl_3$)



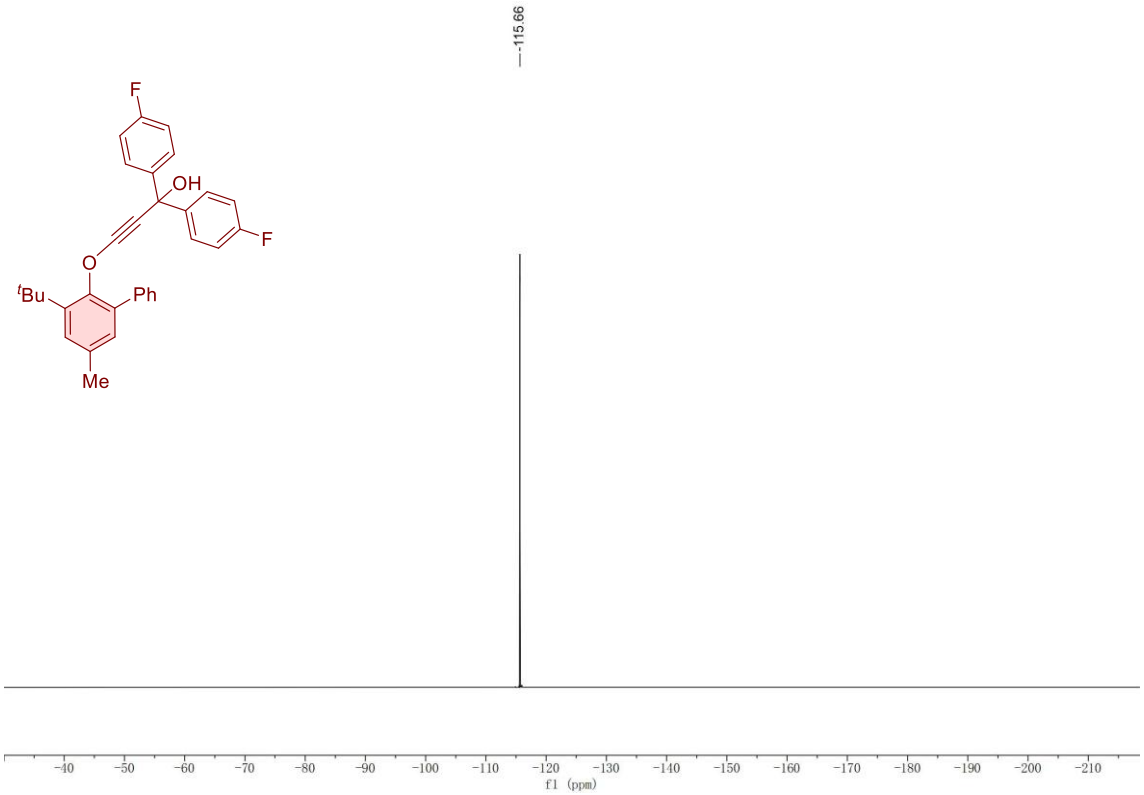
^{13}C NMR spectrum of 1h (151 MHz, $CDCl_3$)



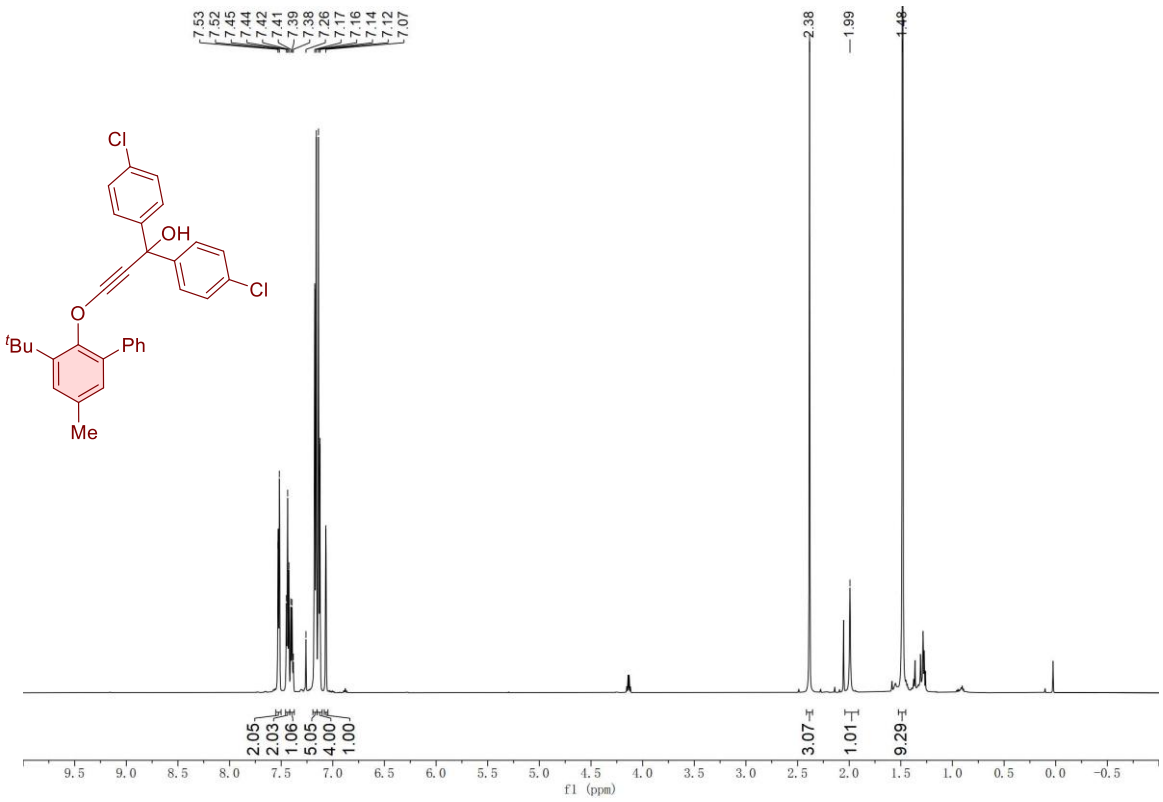
^{1}H NMR spectrum of 1i (600 MHz, $CDCl_3$)



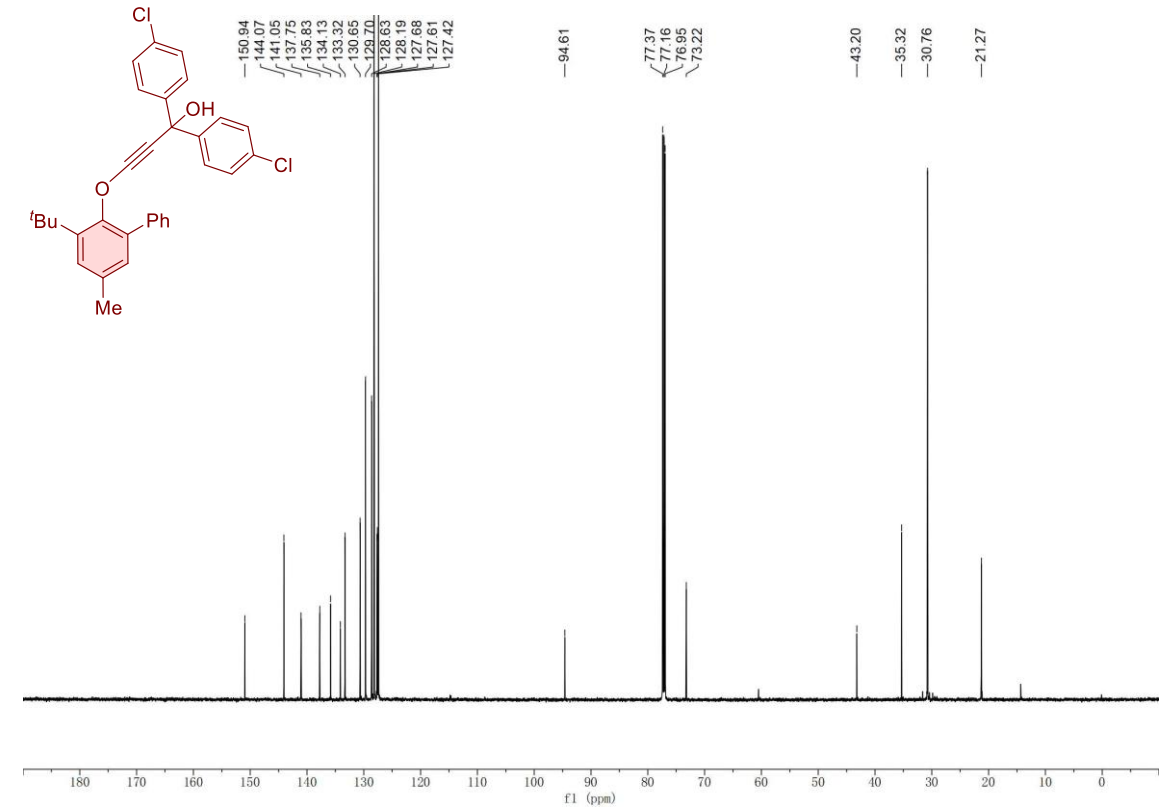
^{13}C NMR spectrum of 1i (151 MHz, $CDCl_3$)



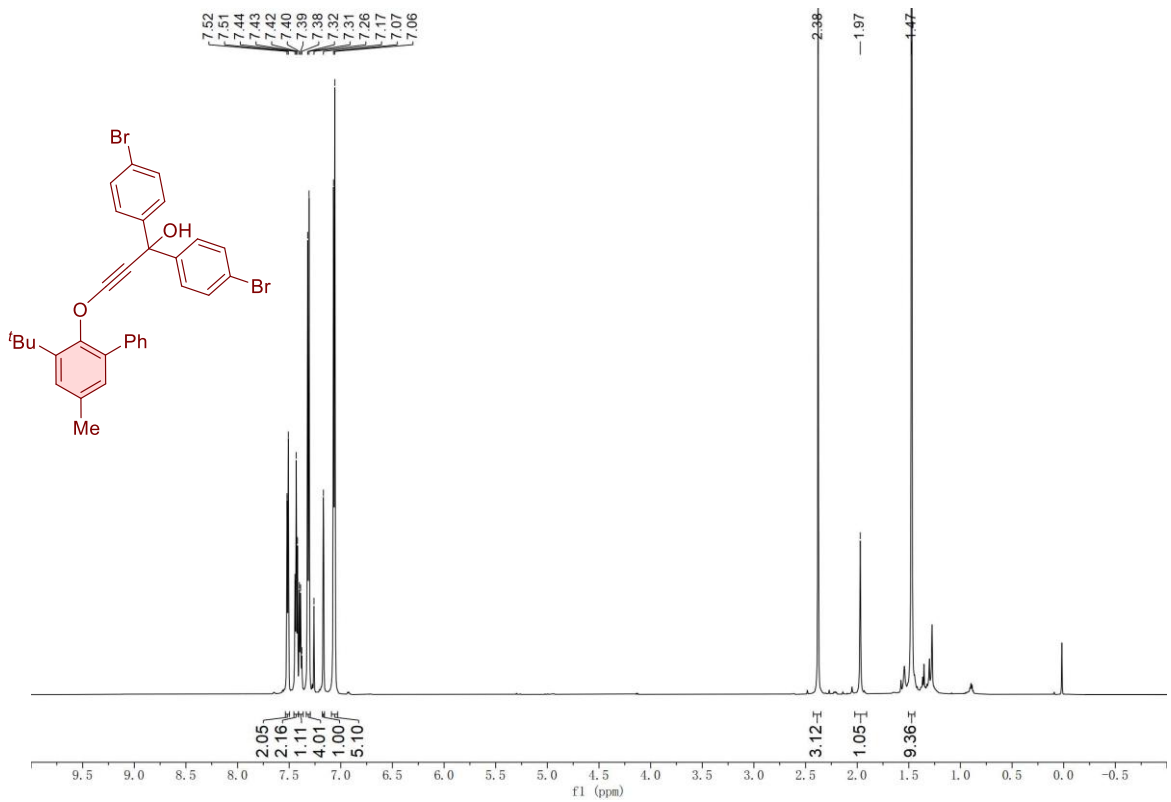
^{19}F NMR spectrum of 1i (565 MHz, $CDCl_3$)



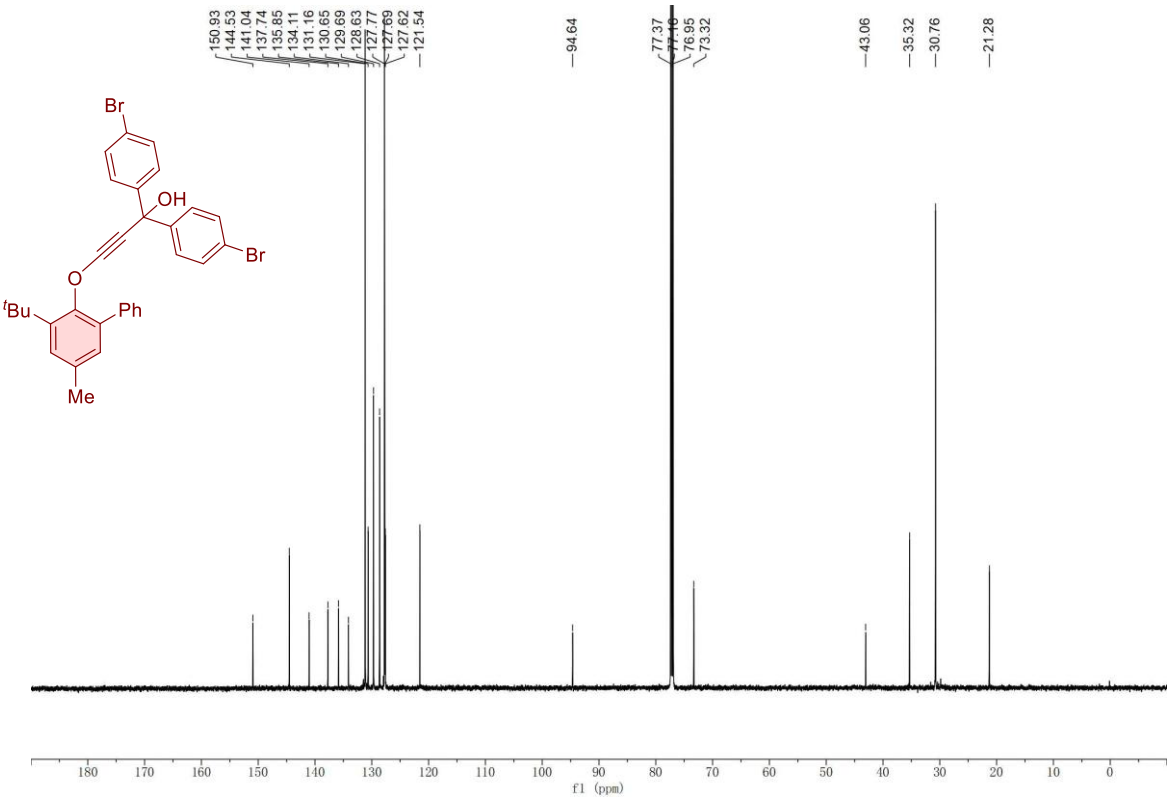
^{1}H NMR spectrum of 1j (600 MHz, $CDCl_3$)



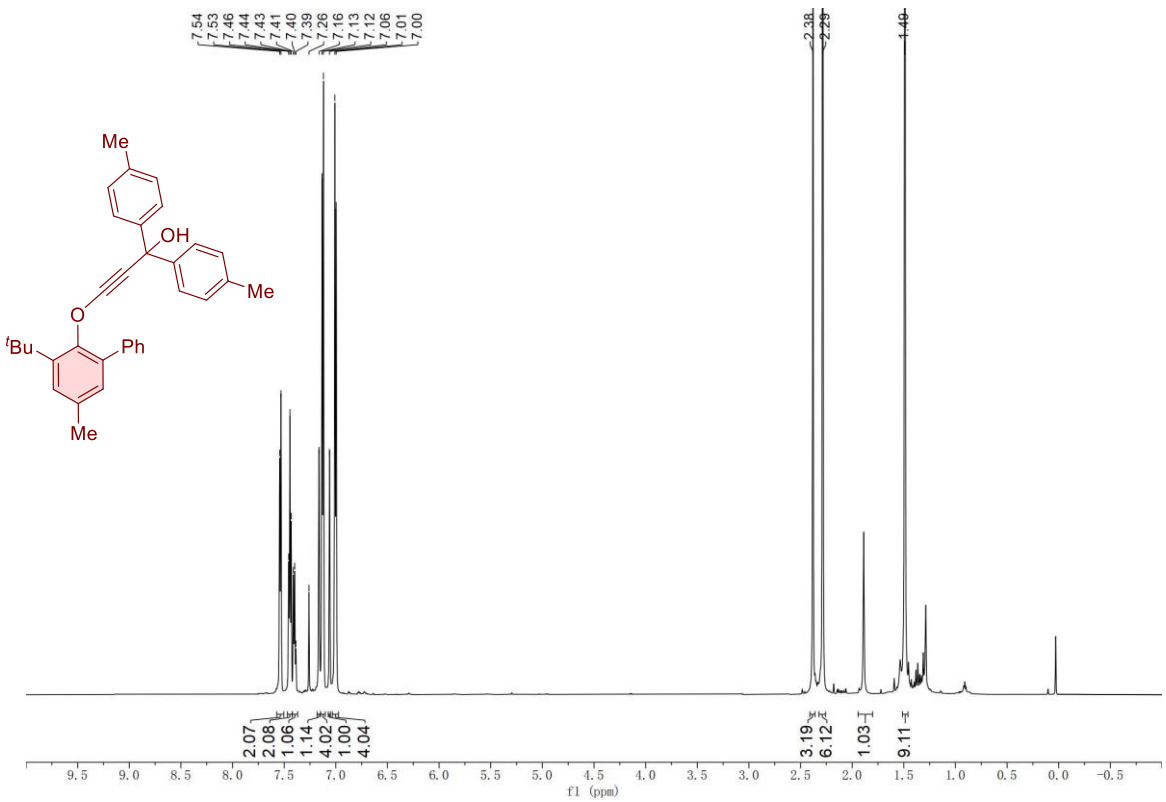
^{13}C NMR spectrum of 1j (151 MHz, $CDCl_3$)



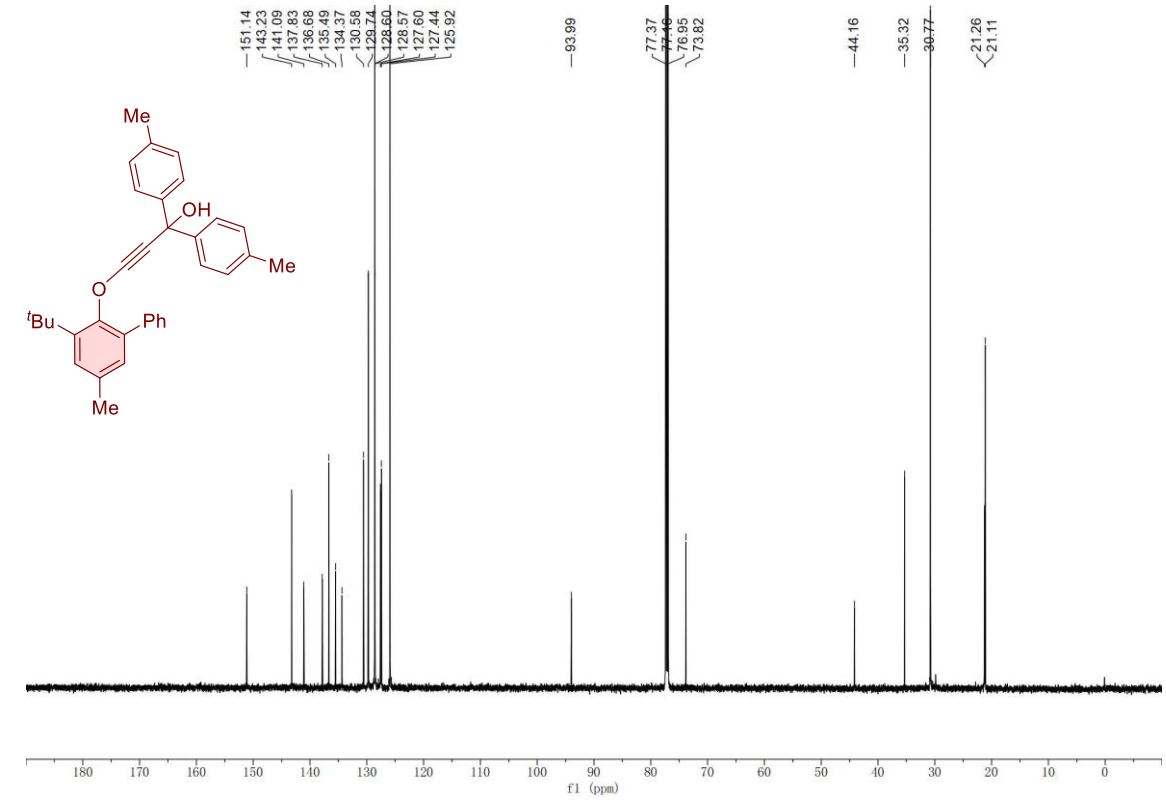
^{1}H NMR spectrum of 1k (600 MHz, $CDCl_3$)



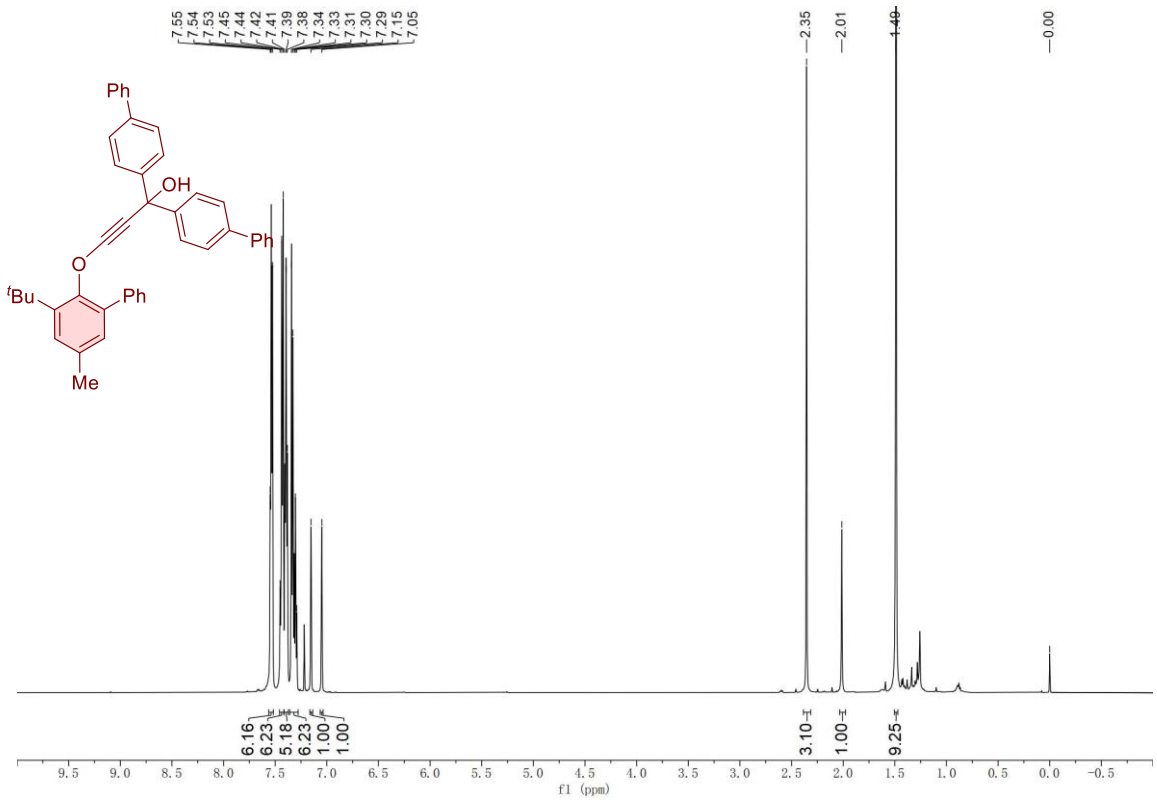
^{13}C NMR spectrum of 1k (151 MHz, $CDCl_3$)



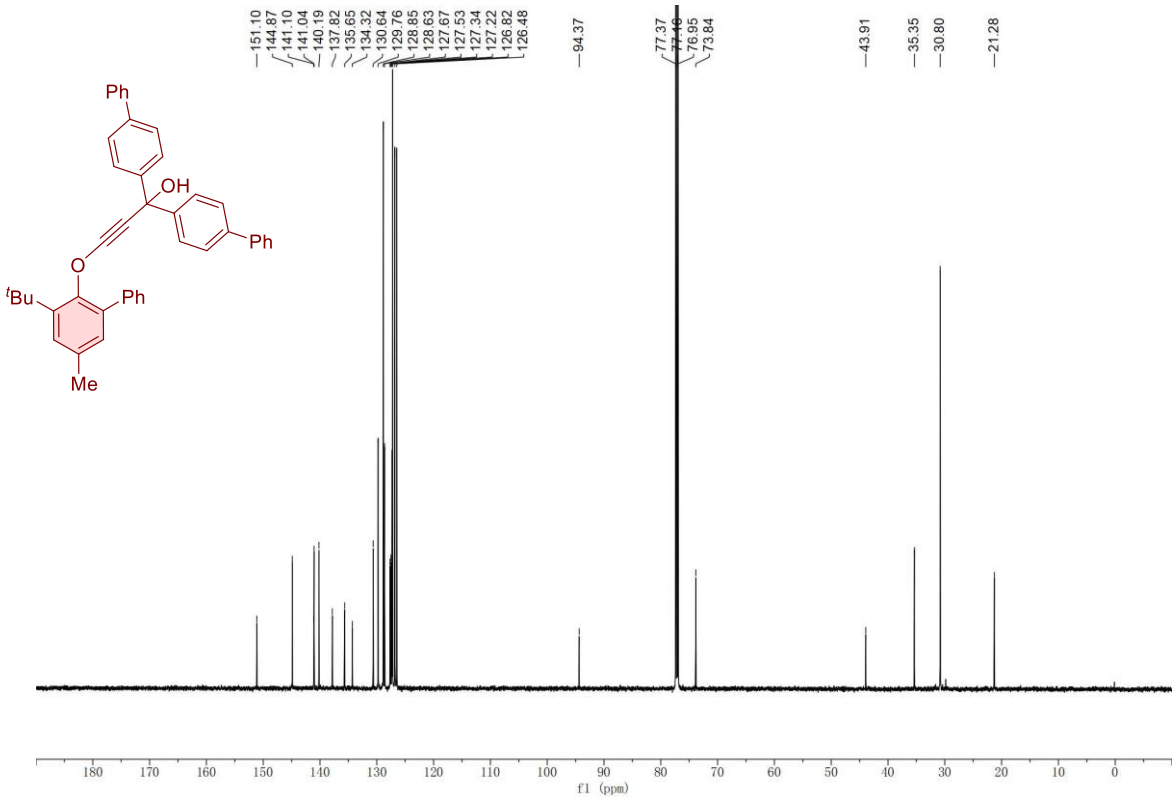
^{1}H NMR spectrum of 1l (600 MHz, $CDCl_3$)



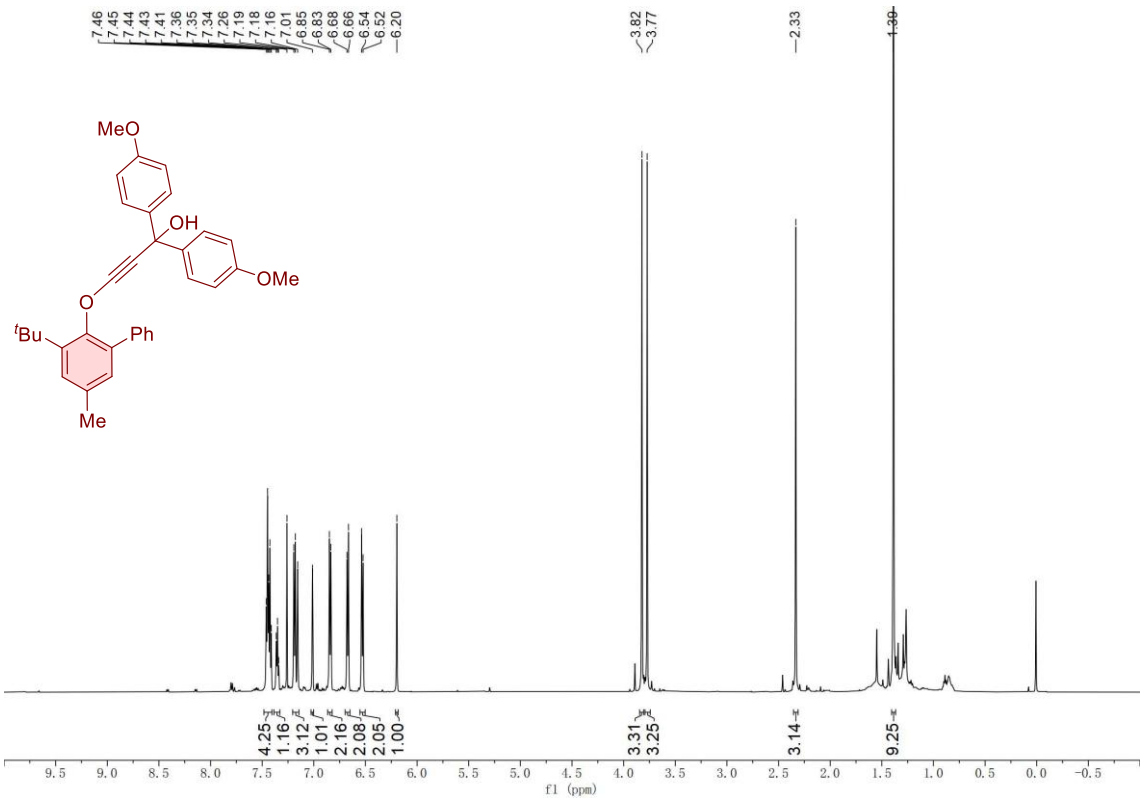
^{13}C NMR spectrum of 1l (151 MHz, $CDCl_3$)



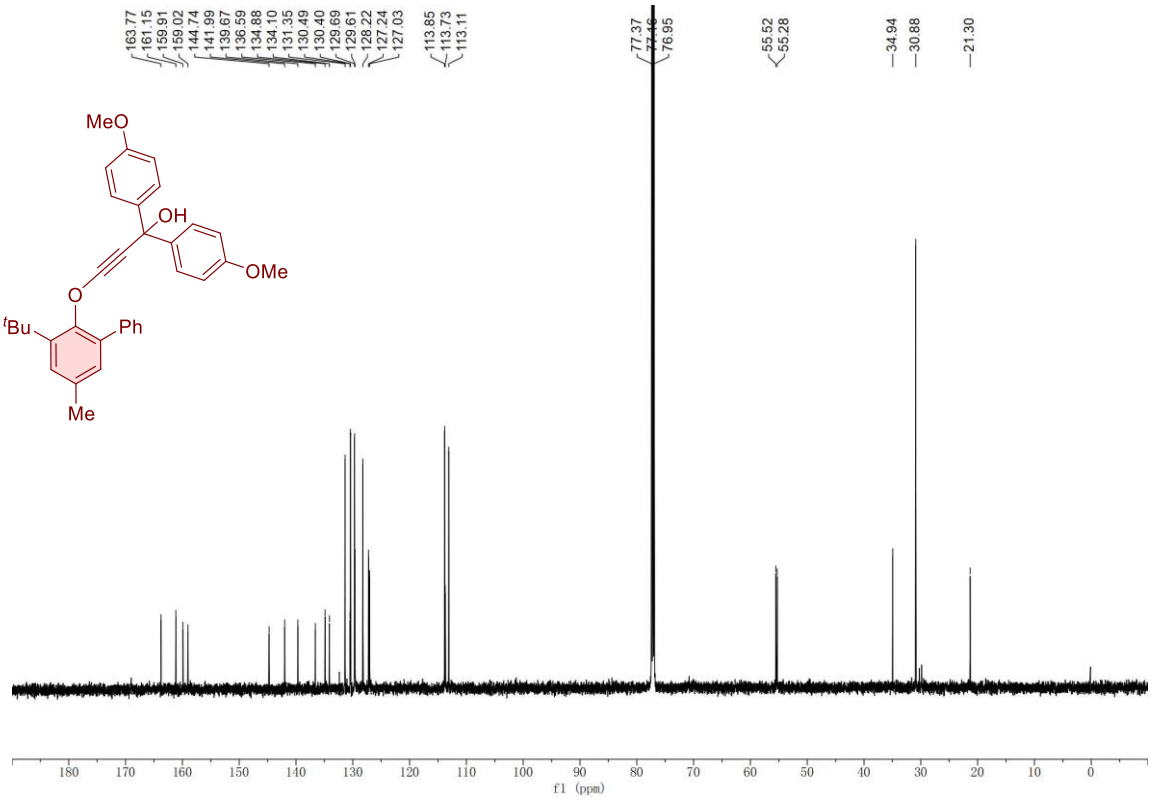
^{1}H NMR spectrum of 1m (600 MHz, $CDCl_3$)



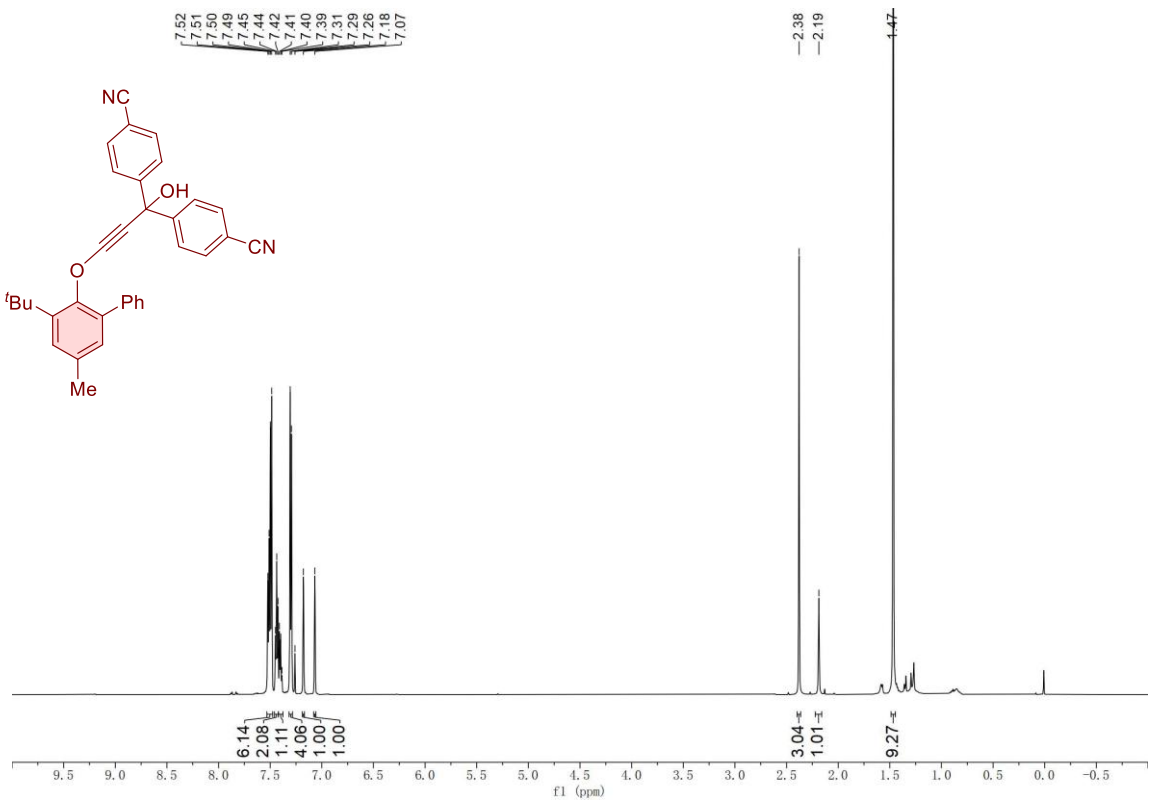
^{13}C NMR spectrum of 1m (151 MHz, $CDCl_3$)



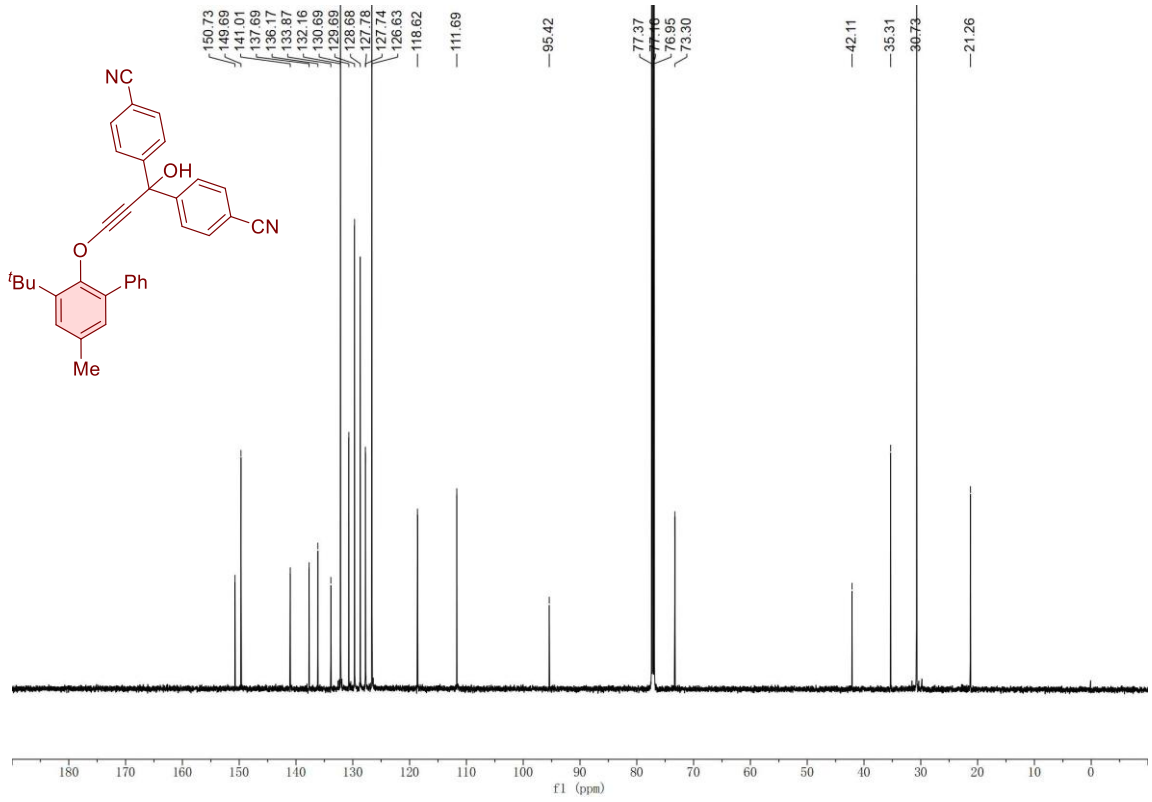
^{1}H NMR spectrum of 1n (600 MHz, $CDCl_3$)



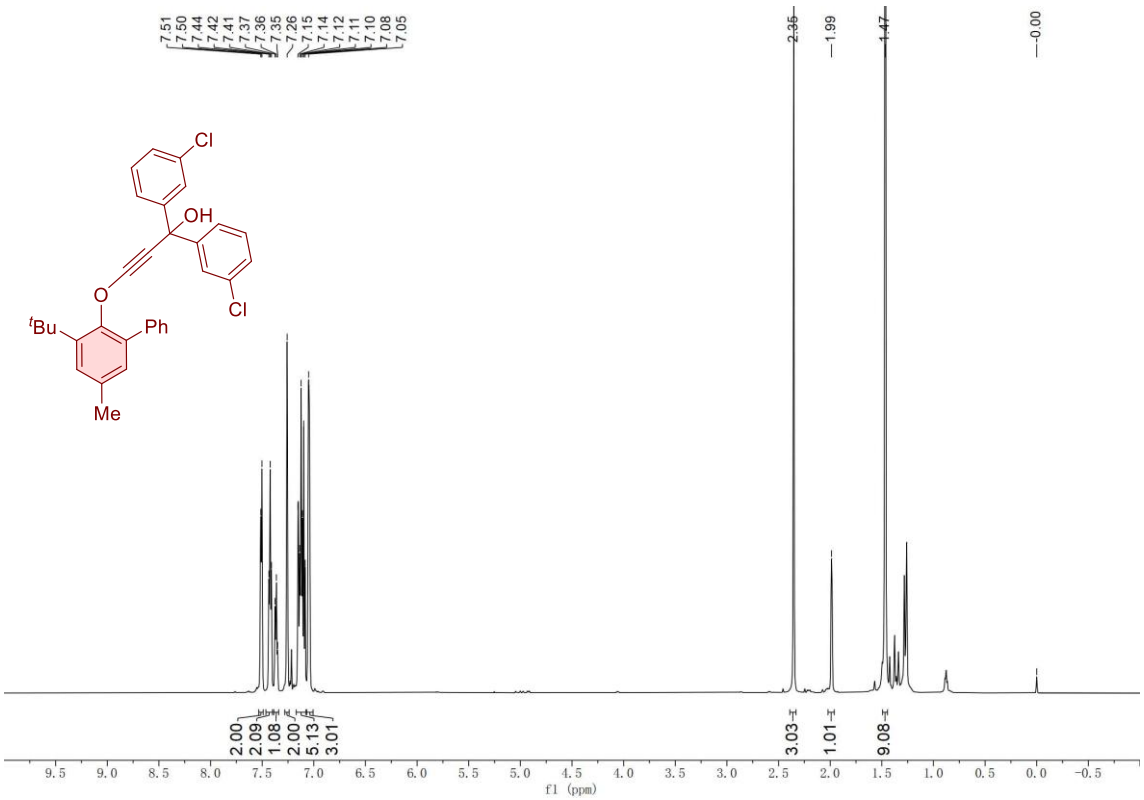
^{13}C NMR spectrum of 1n (151 MHz, $CDCl_3$)



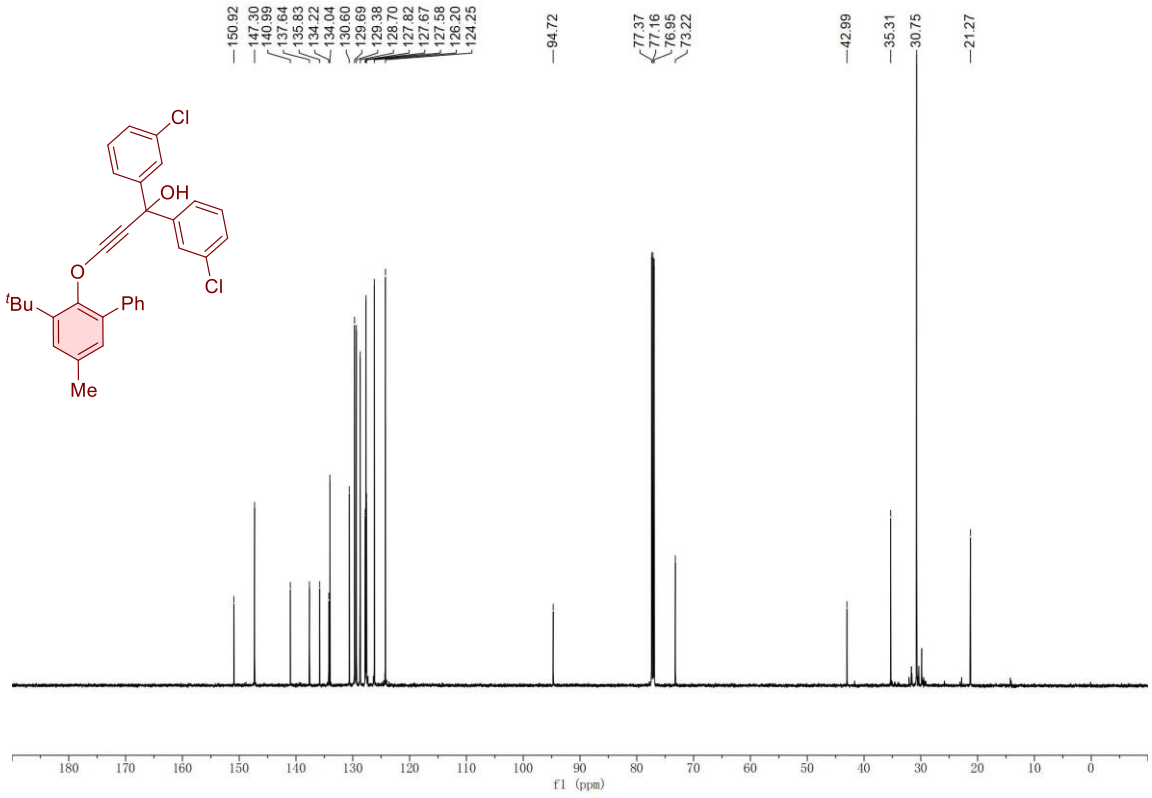
^{1}H NMR spectrum of 1o (600 MHz, $CDCl_3$)



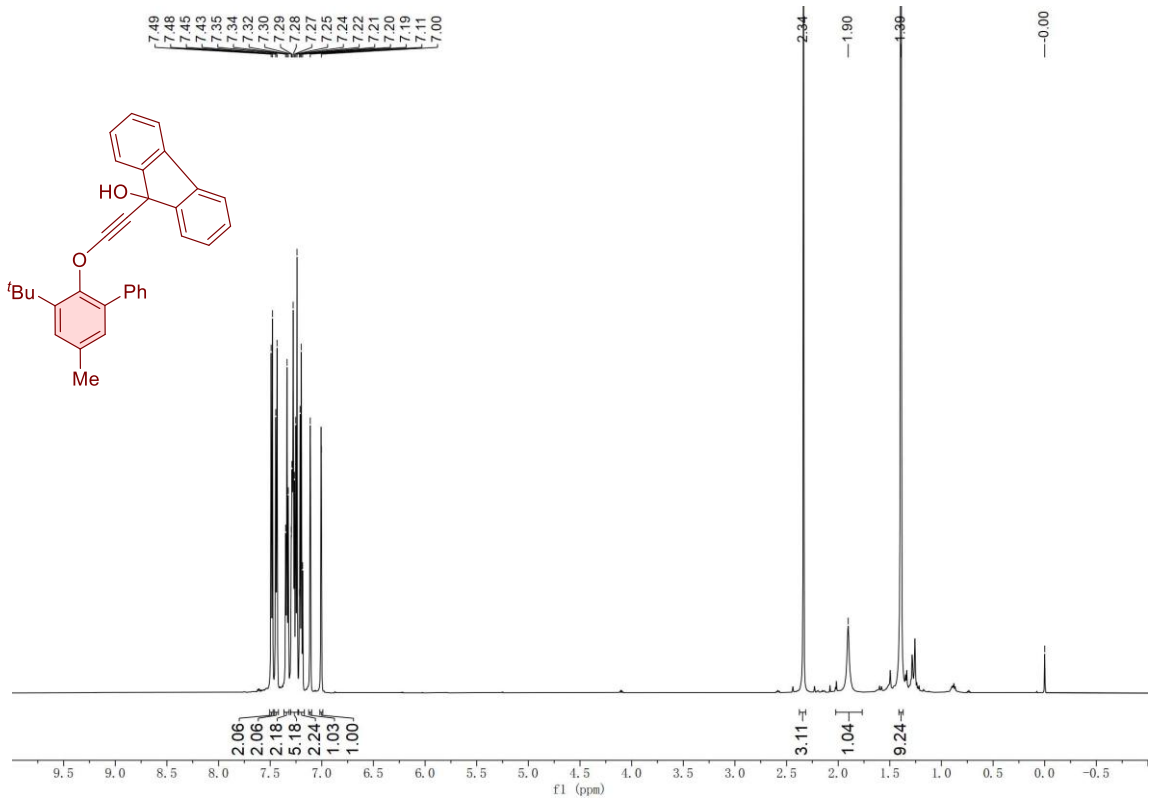
^{13}C NMR spectrum of 1o (151 MHz, $CDCl_3$)



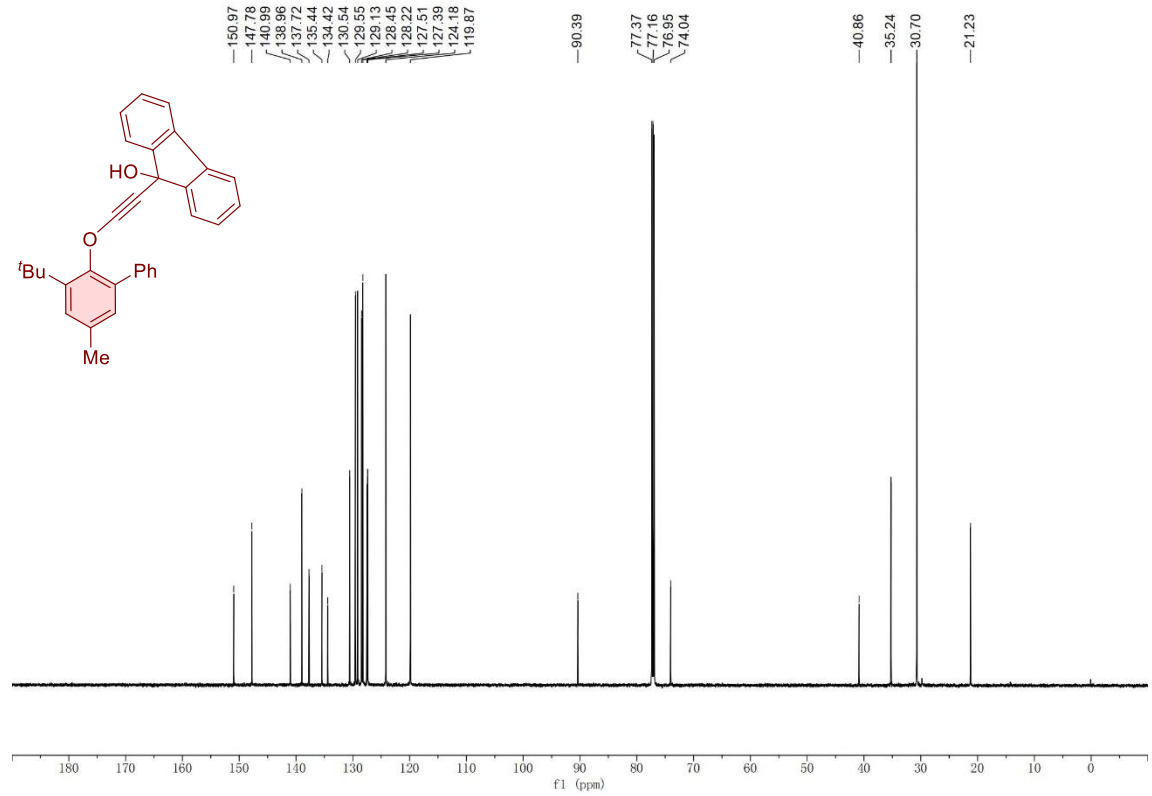
^{1}H NMR spectrum of 1p (600 MHz, $CDCl_3$)



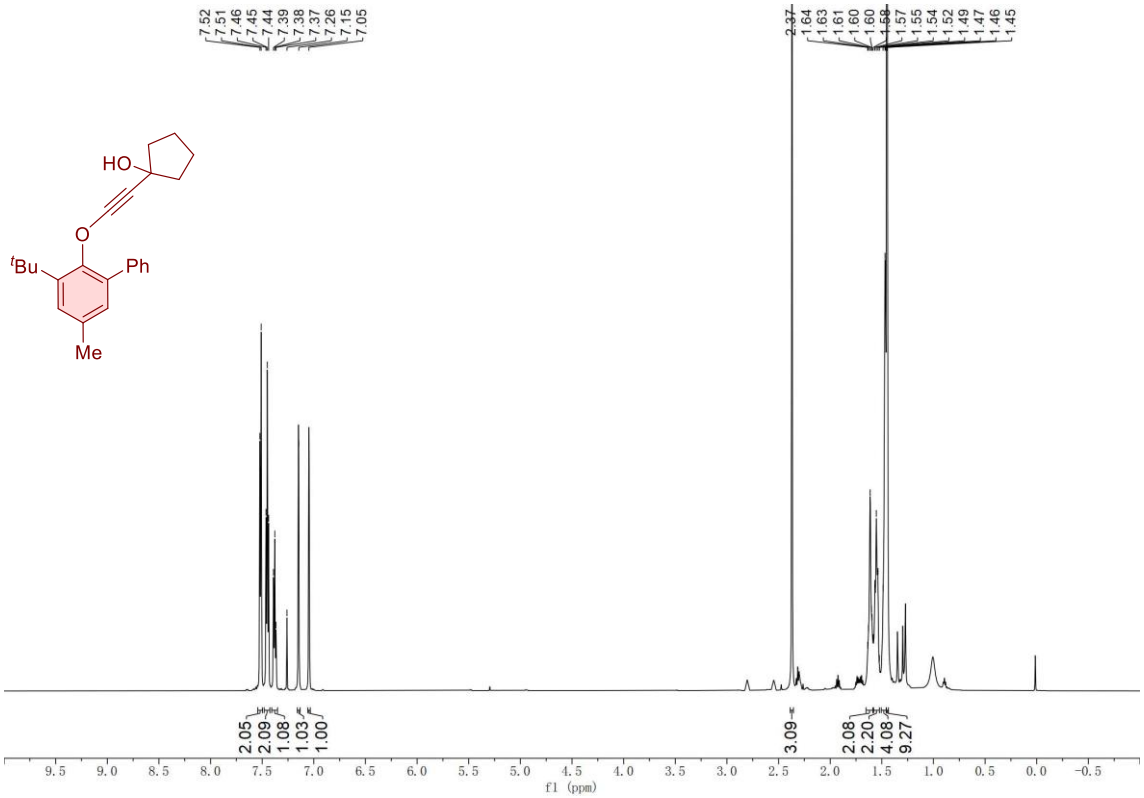
^{13}C NMR spectrum of 1p (151 MHz, $CDCl_3$)



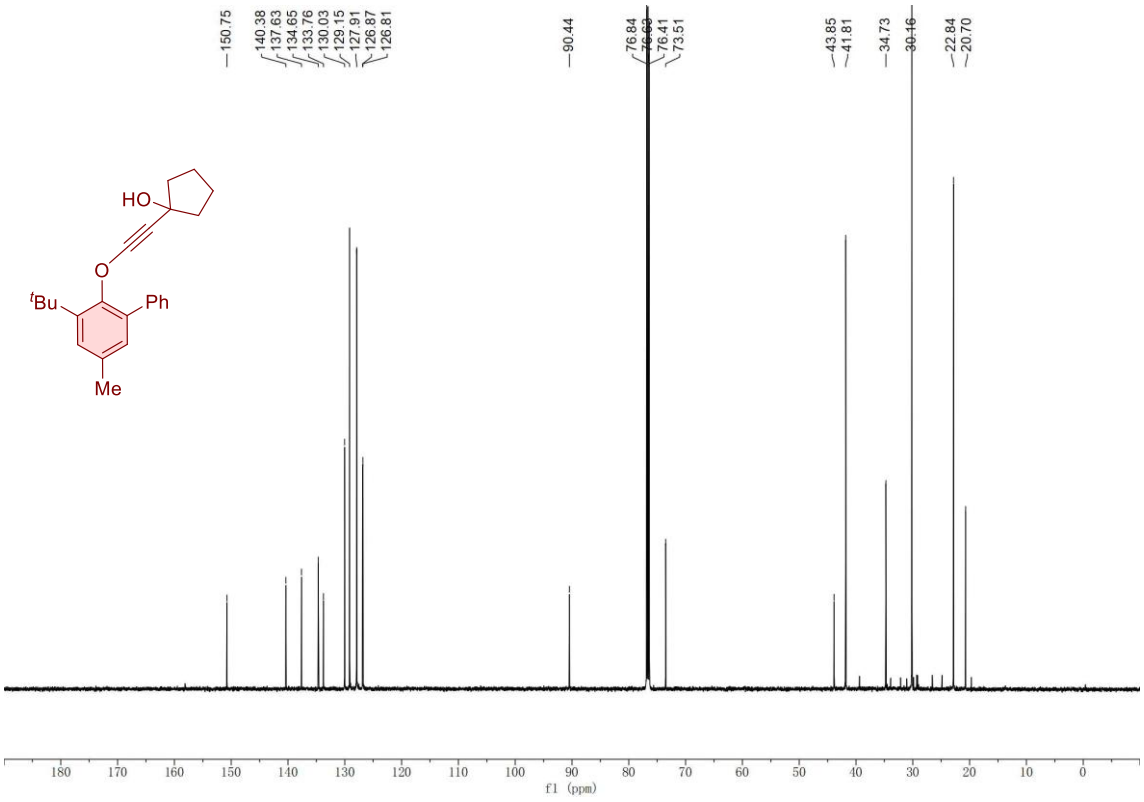
^{1}H NMR spectrum of 1q (600 MHz, $CDCl_3$)



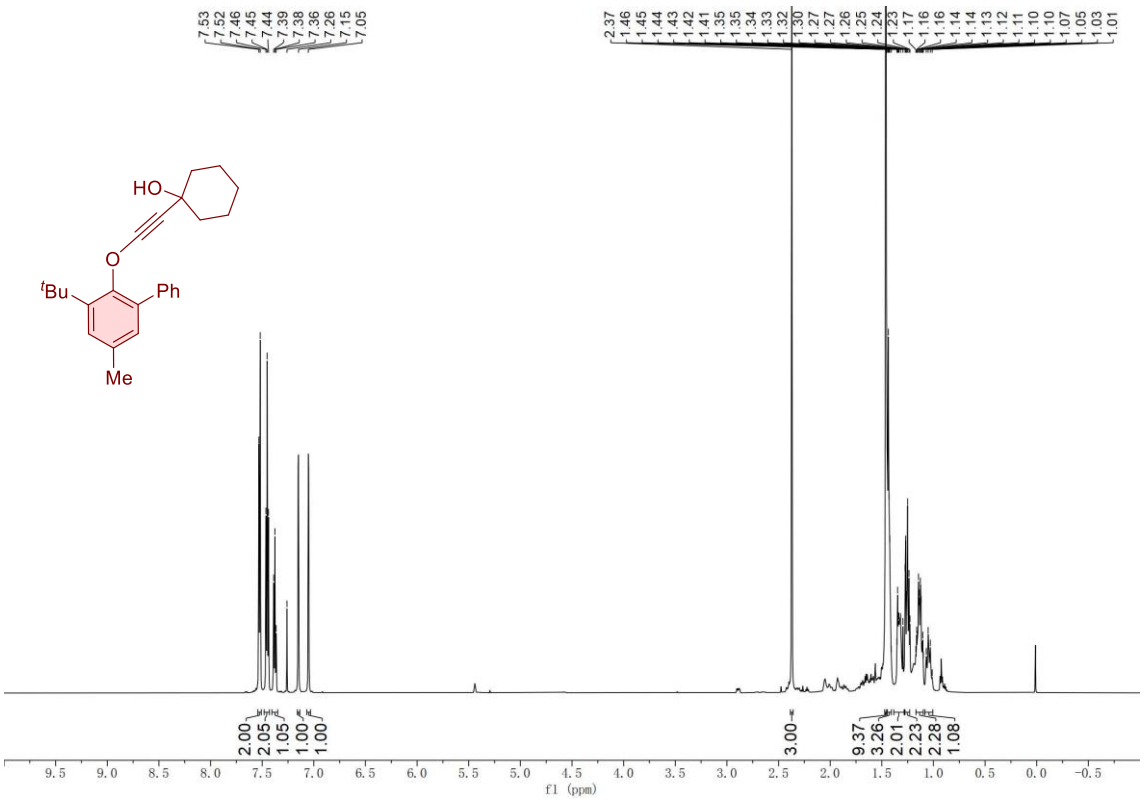
^{13}C NMR spectrum of 1q (151 MHz, $CDCl_3$)



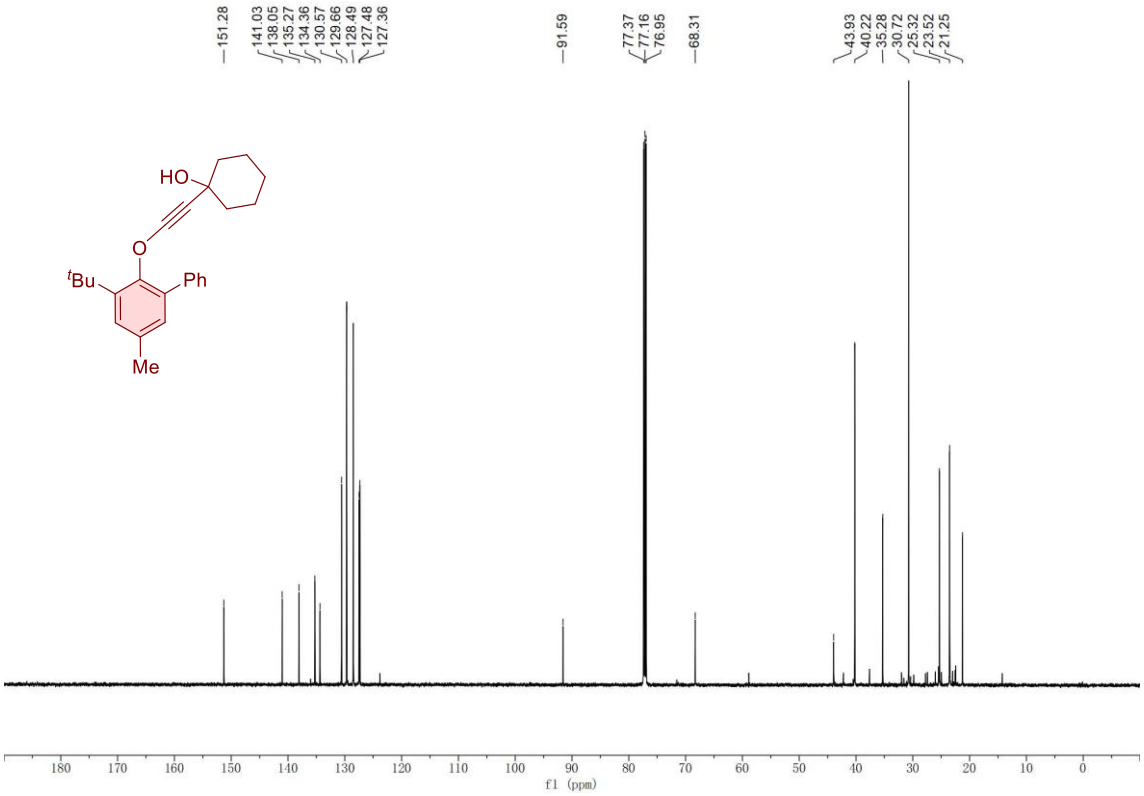
^{1}H NMR spectrum of 1t (600 MHz, $CDCl_3$)



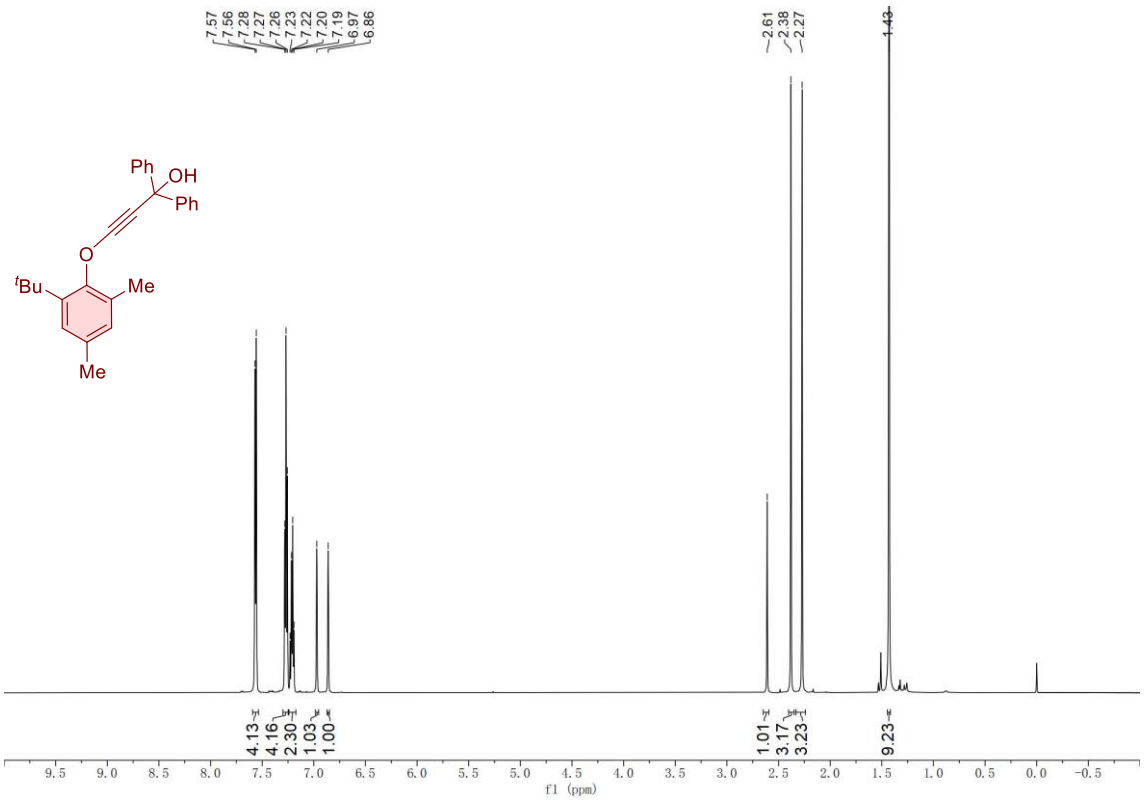
^{13}C NMR spectrum of 1t (151 MHz, $CDCl_3$)



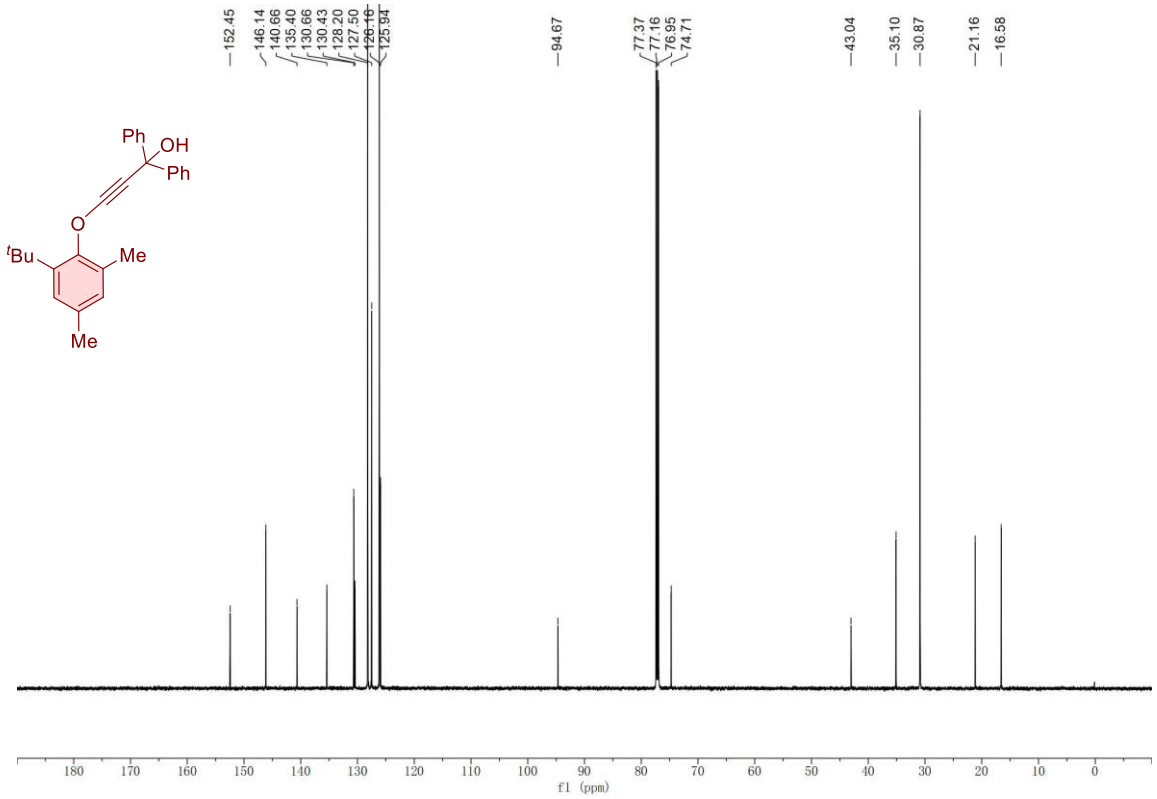
^{1}H NMR spectrum of 1u (600 MHz, $CDCl_3$)



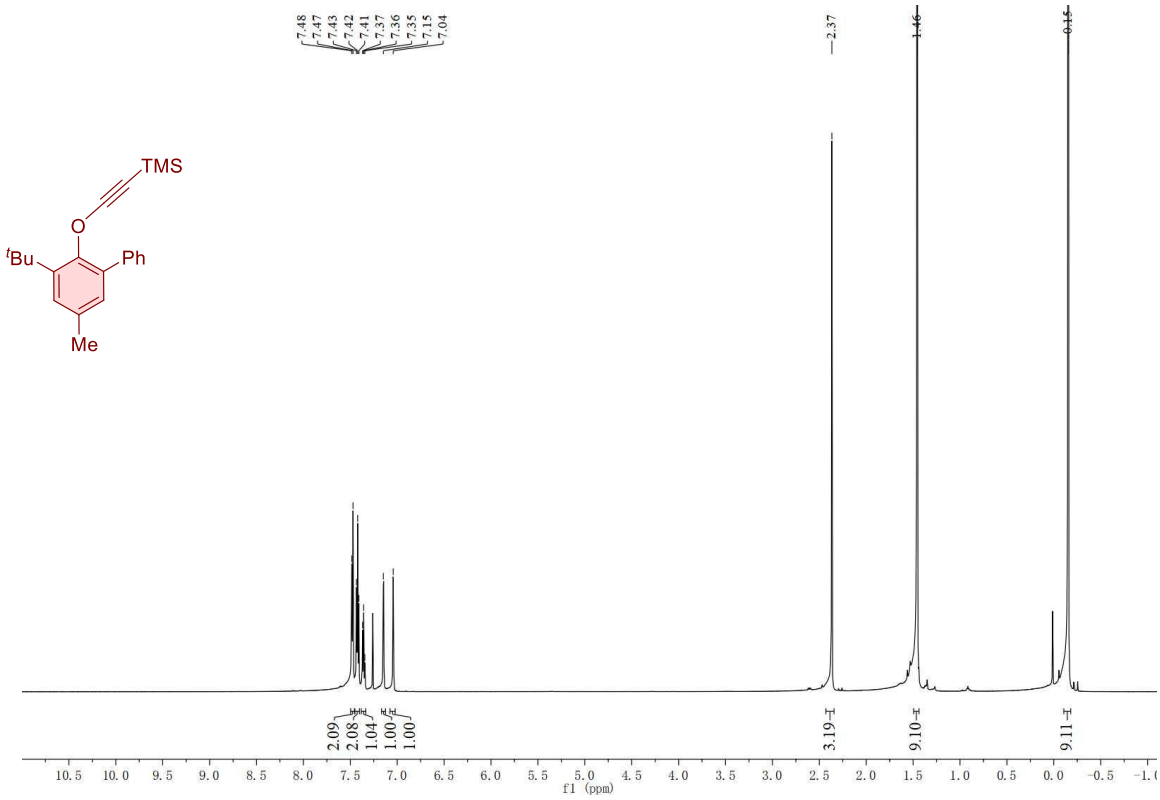
^{13}C NMR spectrum of 1u (151 MHz, $CDCl_3$)



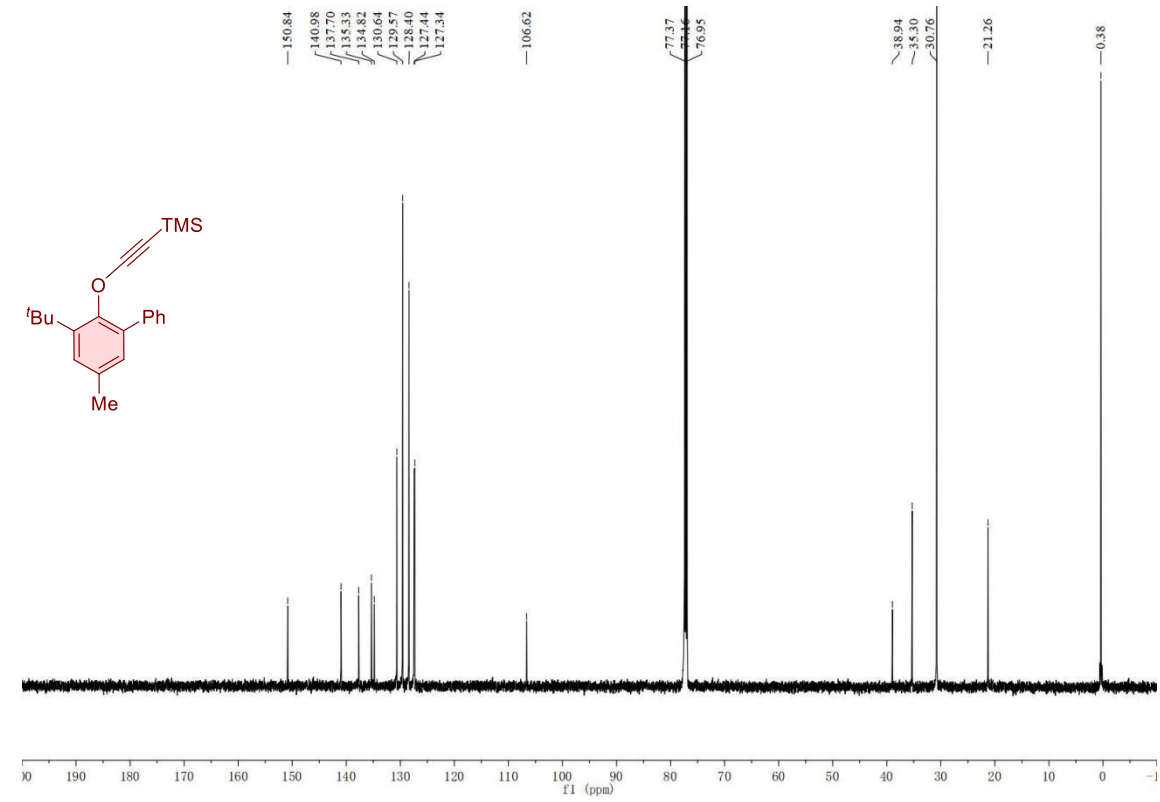
^{1}H NMR spectrum of 1v (600 MHz, $CDCl_3$)



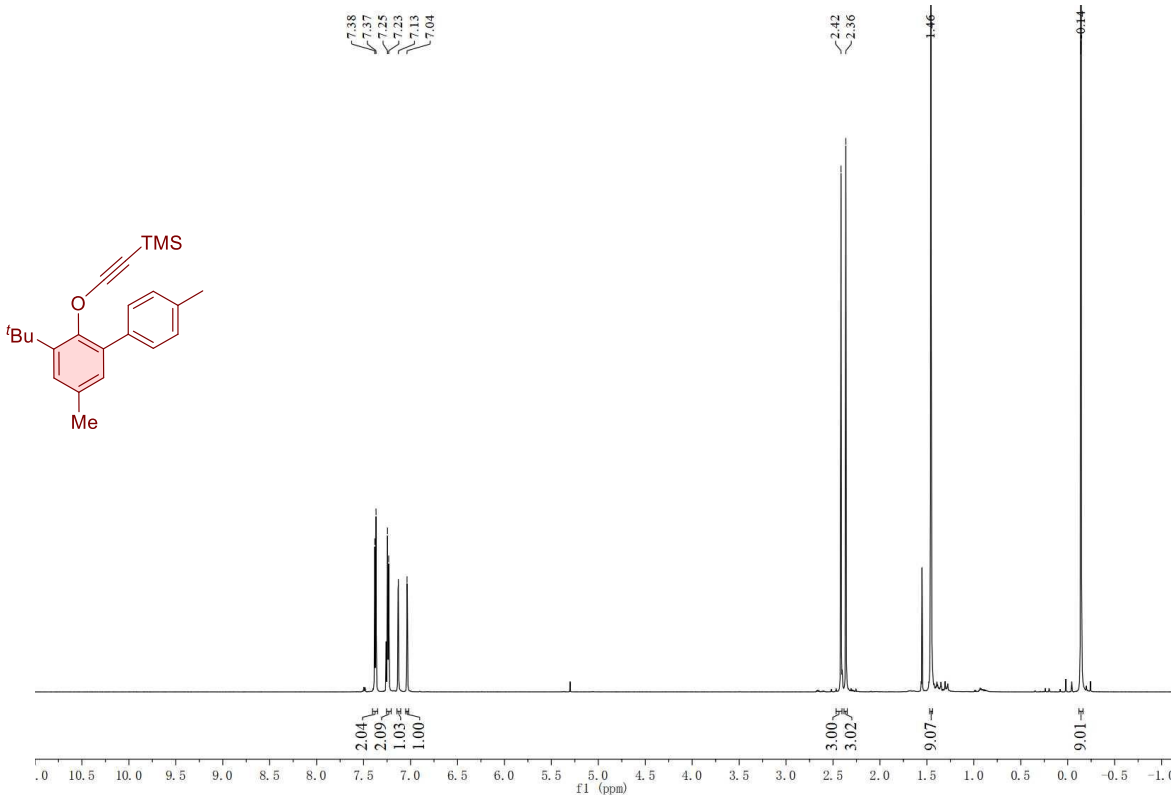
^{13}C NMR spectrum of 1v (151 MHz, $CDCl_3$)



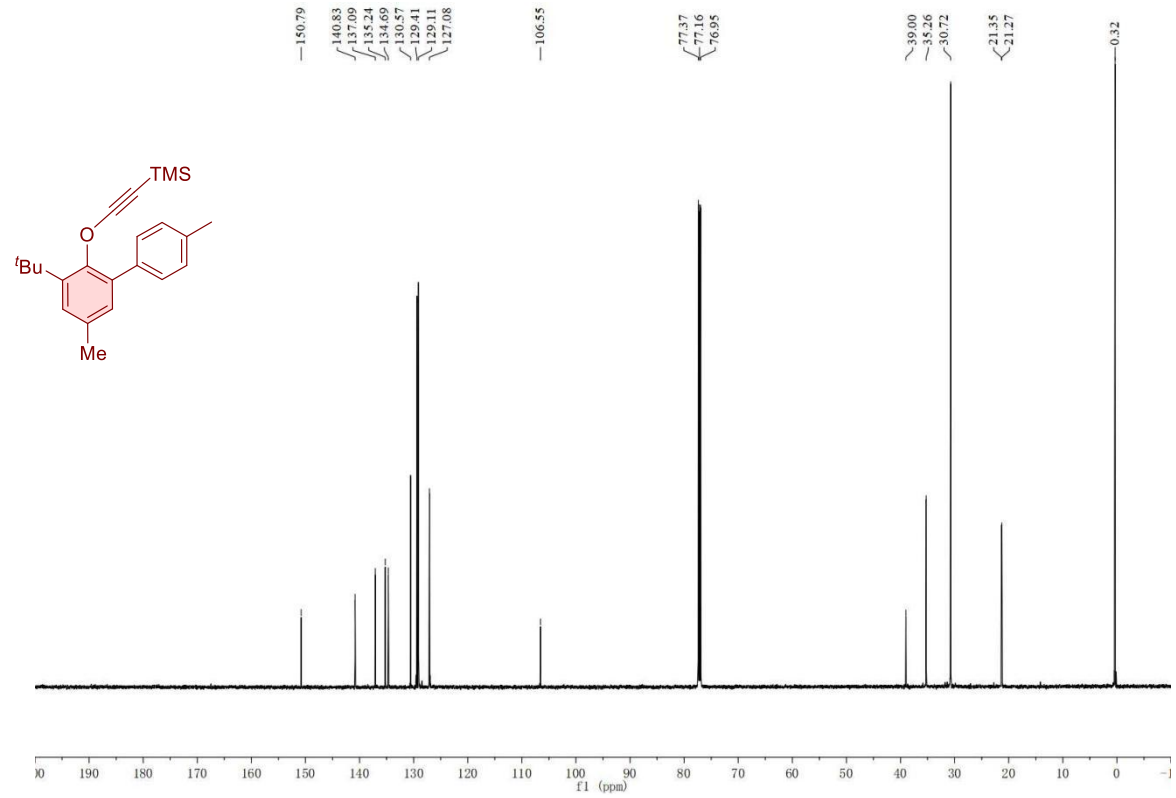
^{1}H NMR spectrum of 1x (600 MHz, $CDCl_3$)



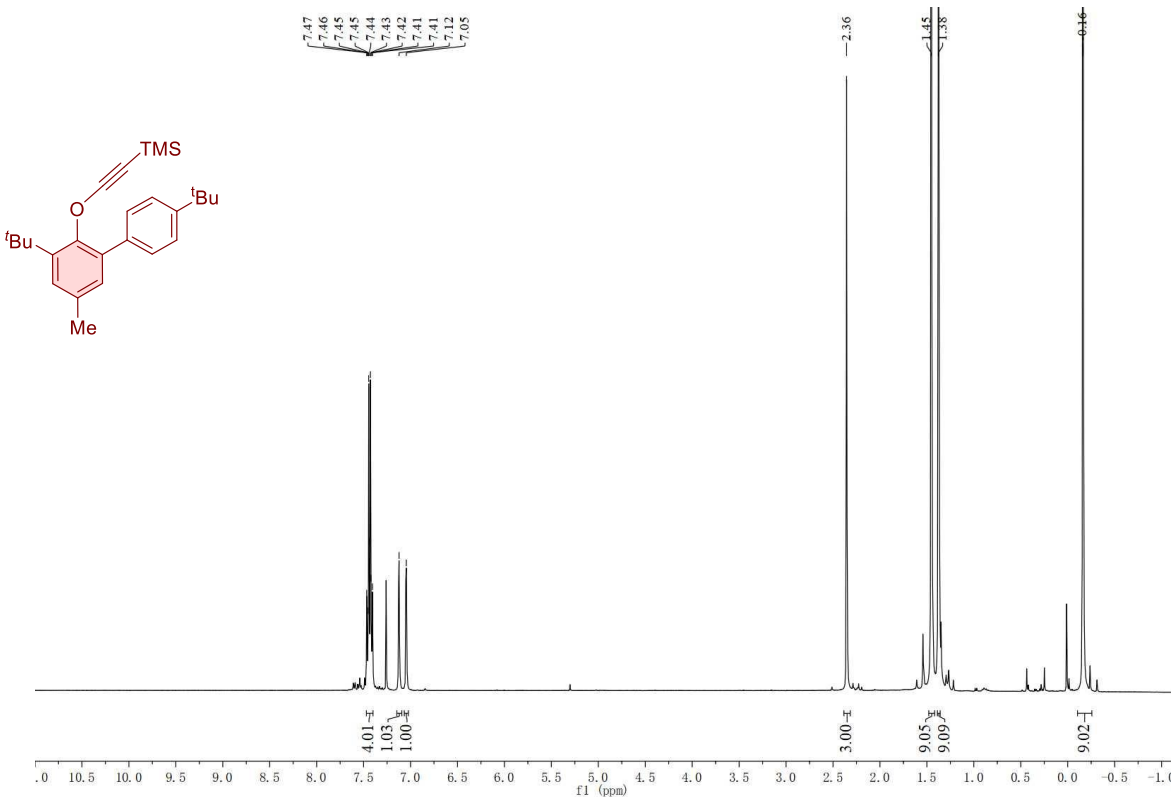
^{13}C NMR spectrum of 1x (151 MHz, $CDCl_3$)



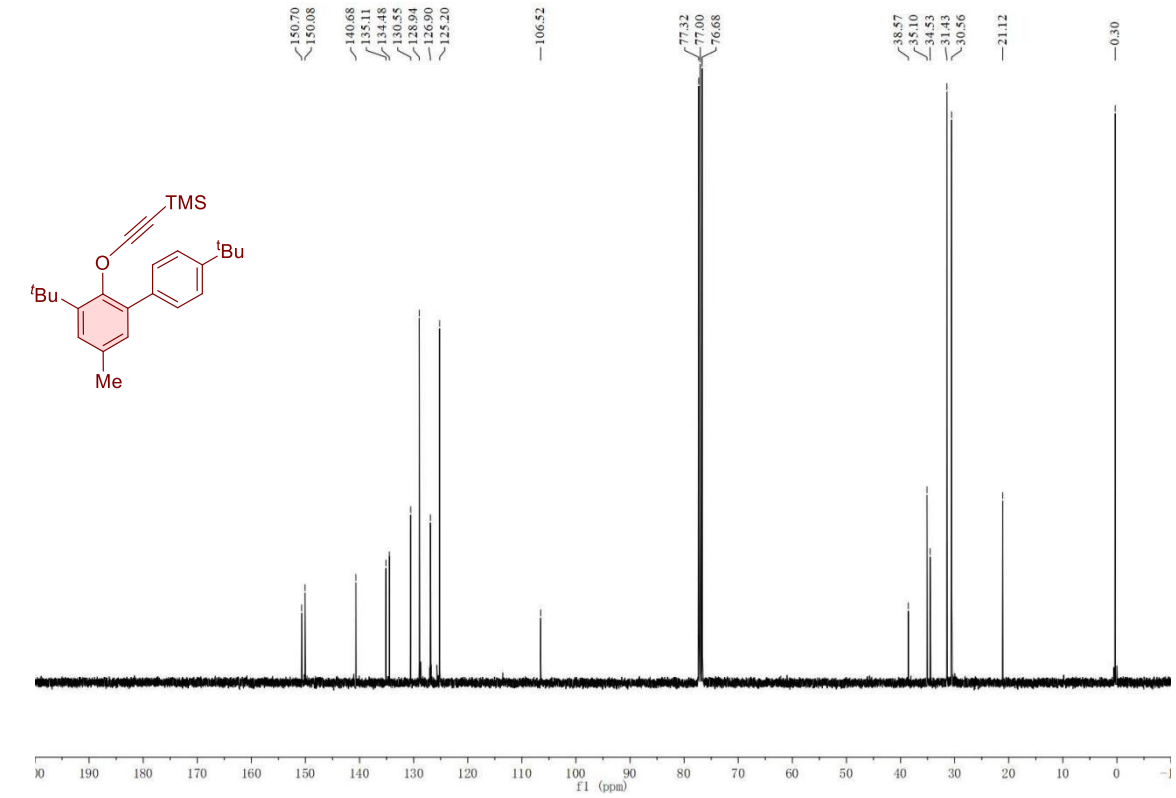
^{1}H NMR spectrum of 1y (600 MHz, $CDCl_3$)



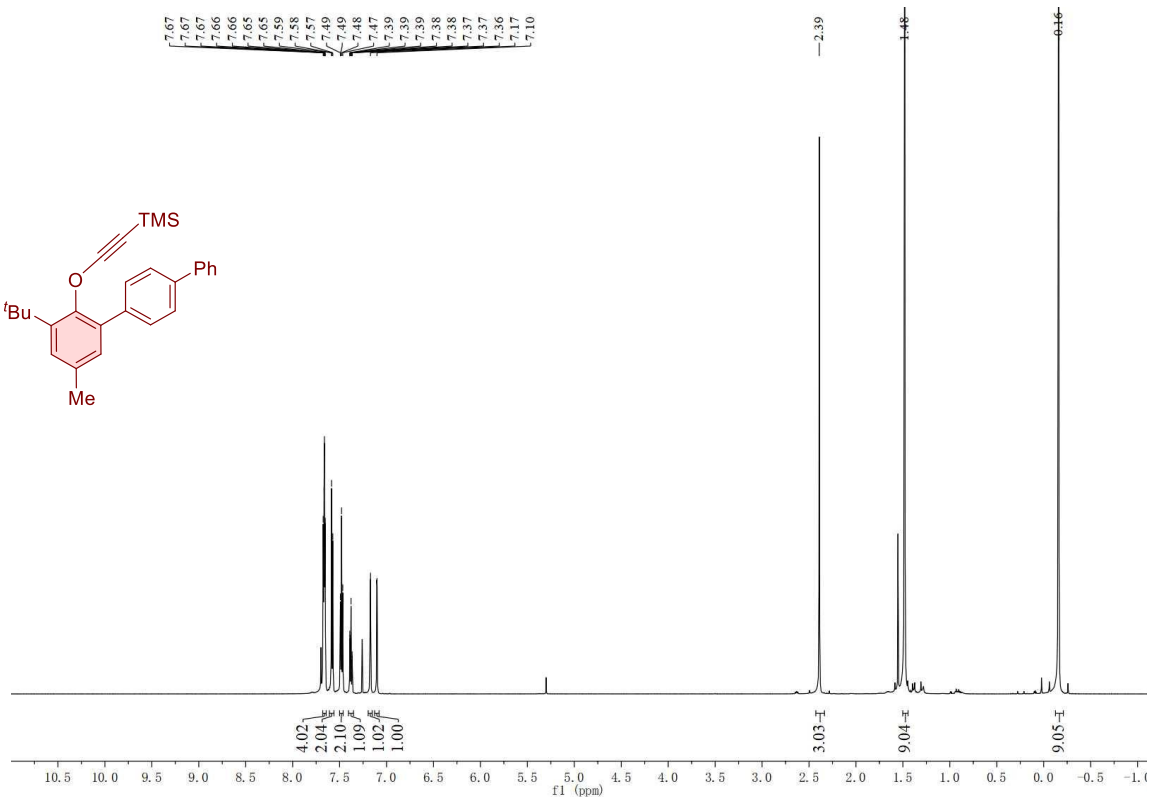
^{13}C NMR spectrum of 1y (151 MHz, $CDCl_3$)



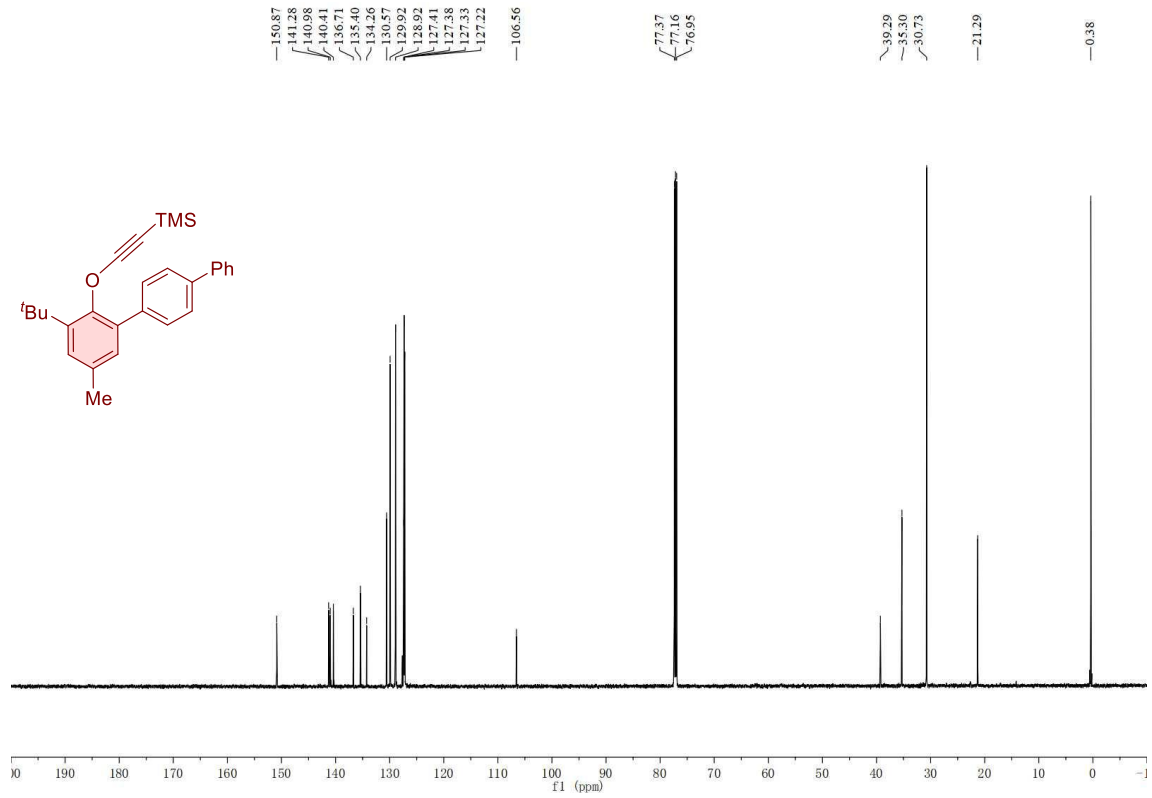
^{1}H NMR spectrum of 1z (600 MHz, $CDCl_3$)



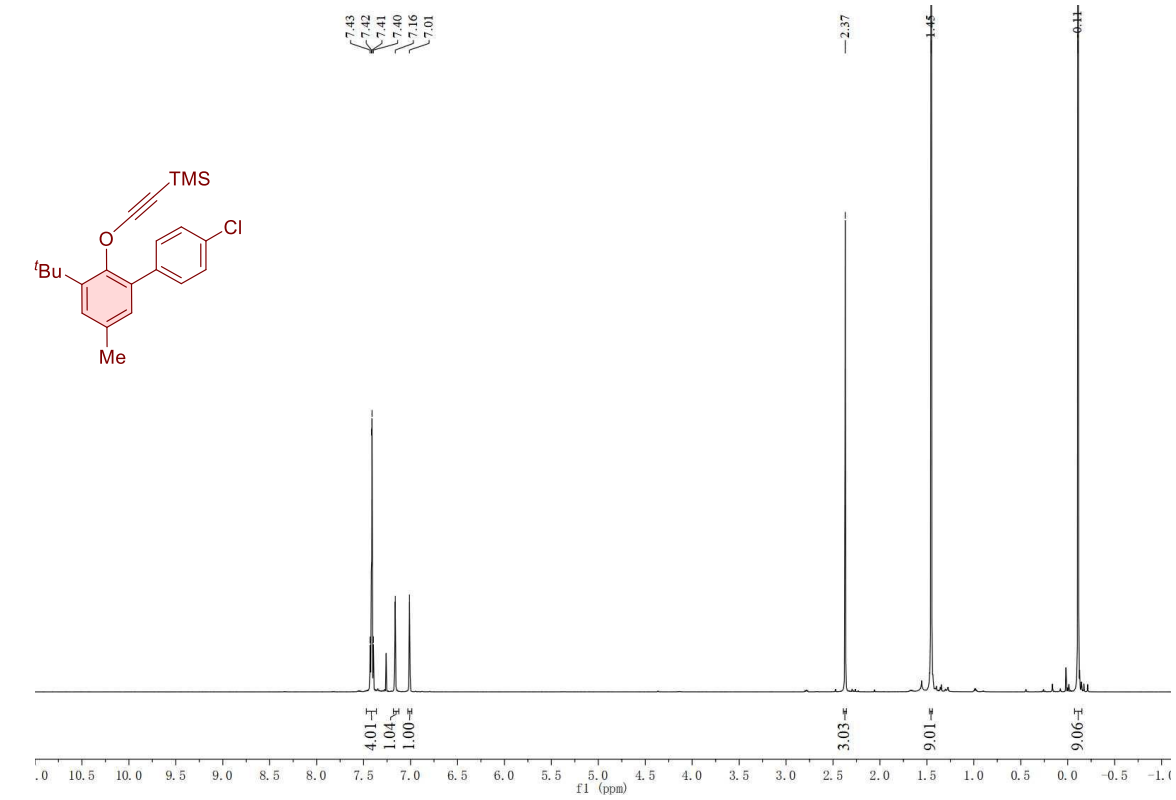
^{13}C NMR spectrum of 1z (151 MHz, $CDCl_3$)



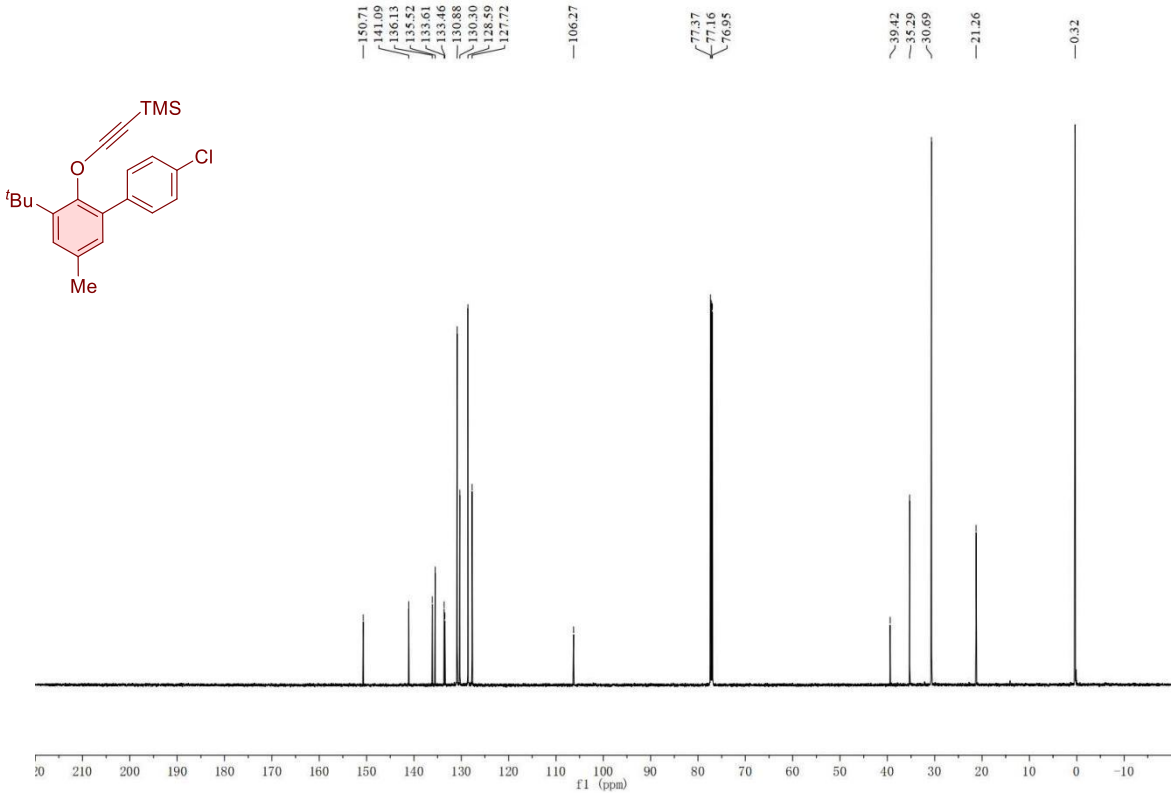
^{1}H NMR spectrum of 1za (600 MHz, $CDCl_3$)



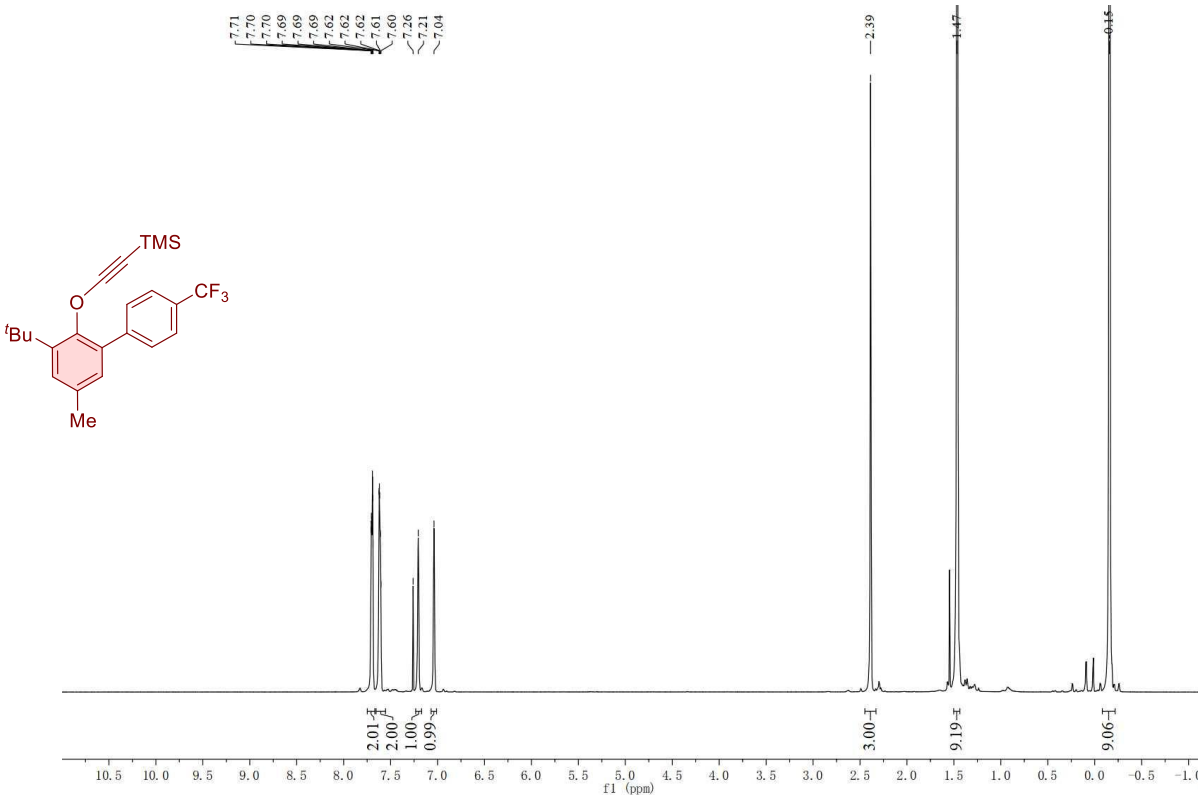
^{13}C NMR spectrum of 1za (151 MHz, $CDCl_3$)



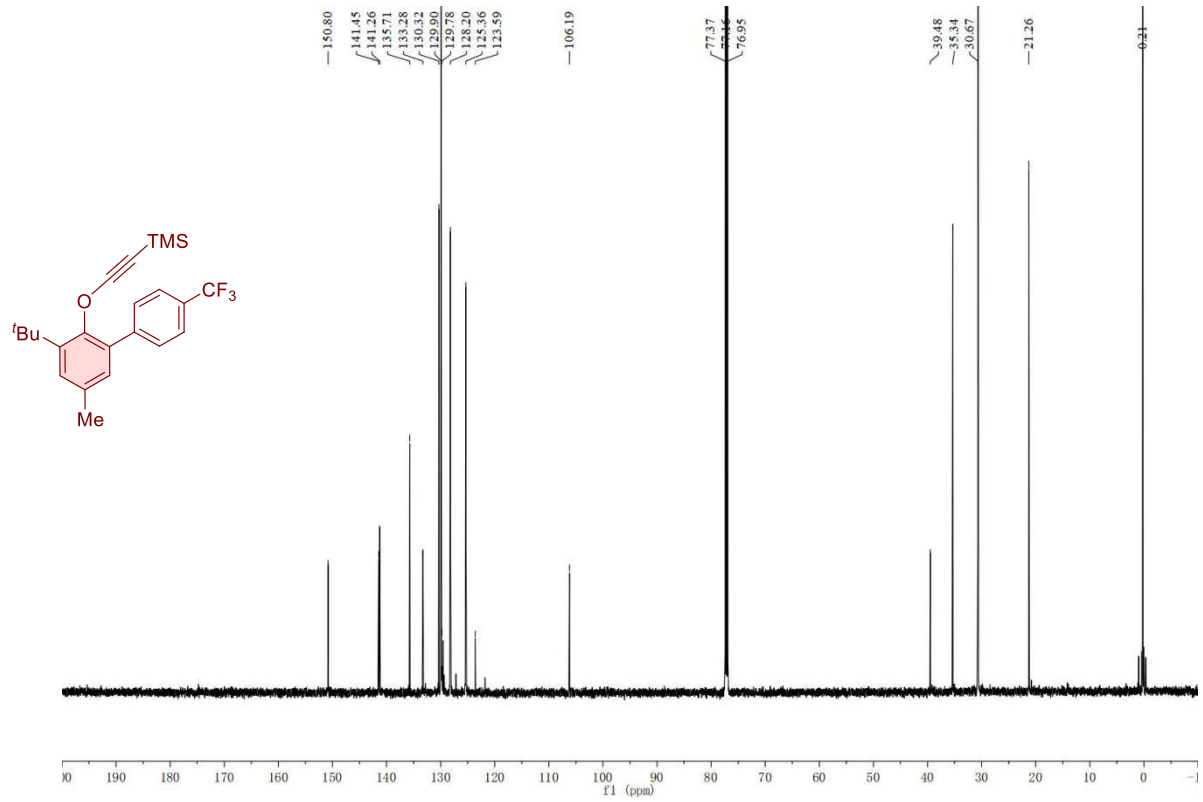
^{1}H NMR spectrum of 1zb (600 MHz, $CDCl_3$)



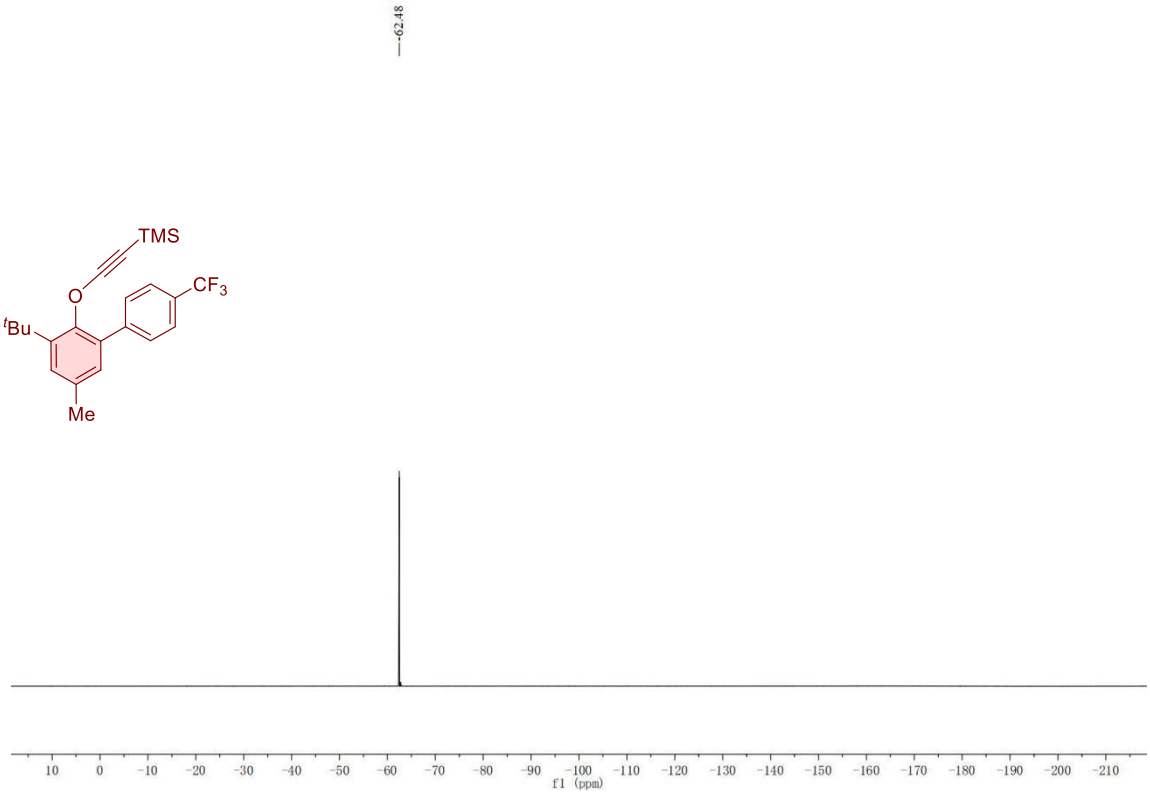
^{13}C NMR spectrum of 1zb (151 MHz, $CDCl_3$)



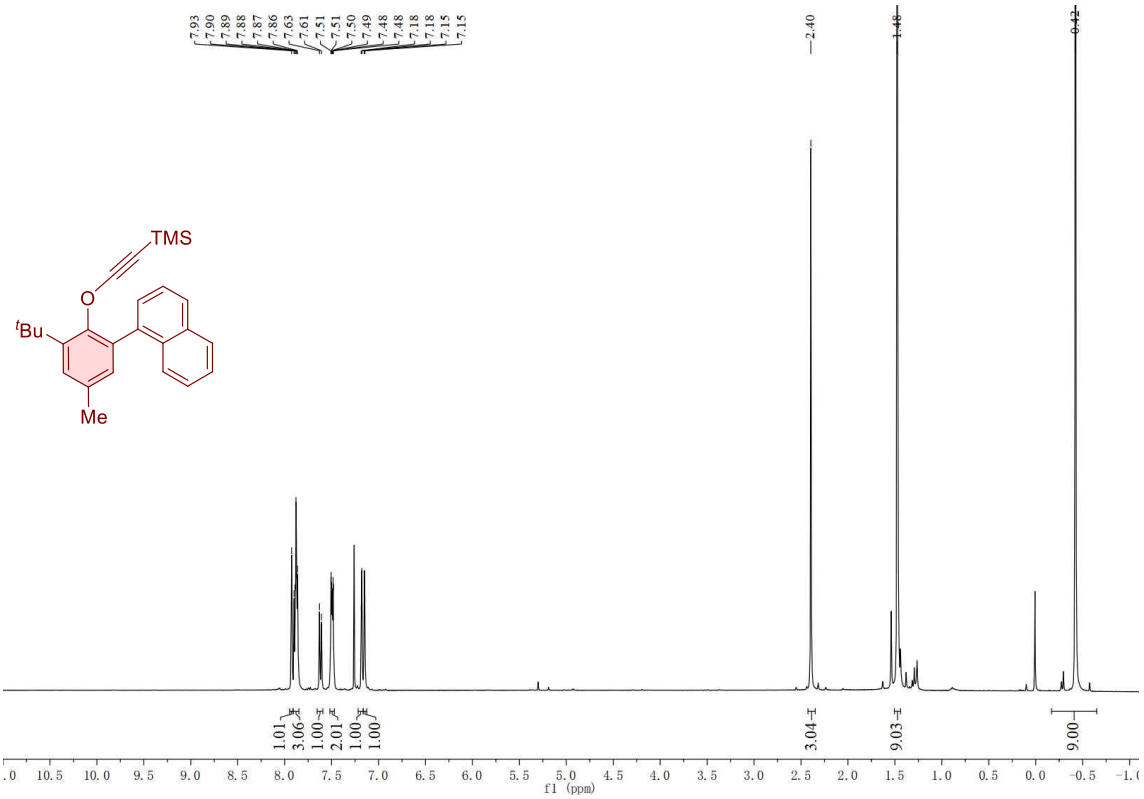
^{1}H NMR spectrum of 1zc (600 MHz, $CDCl_3$)



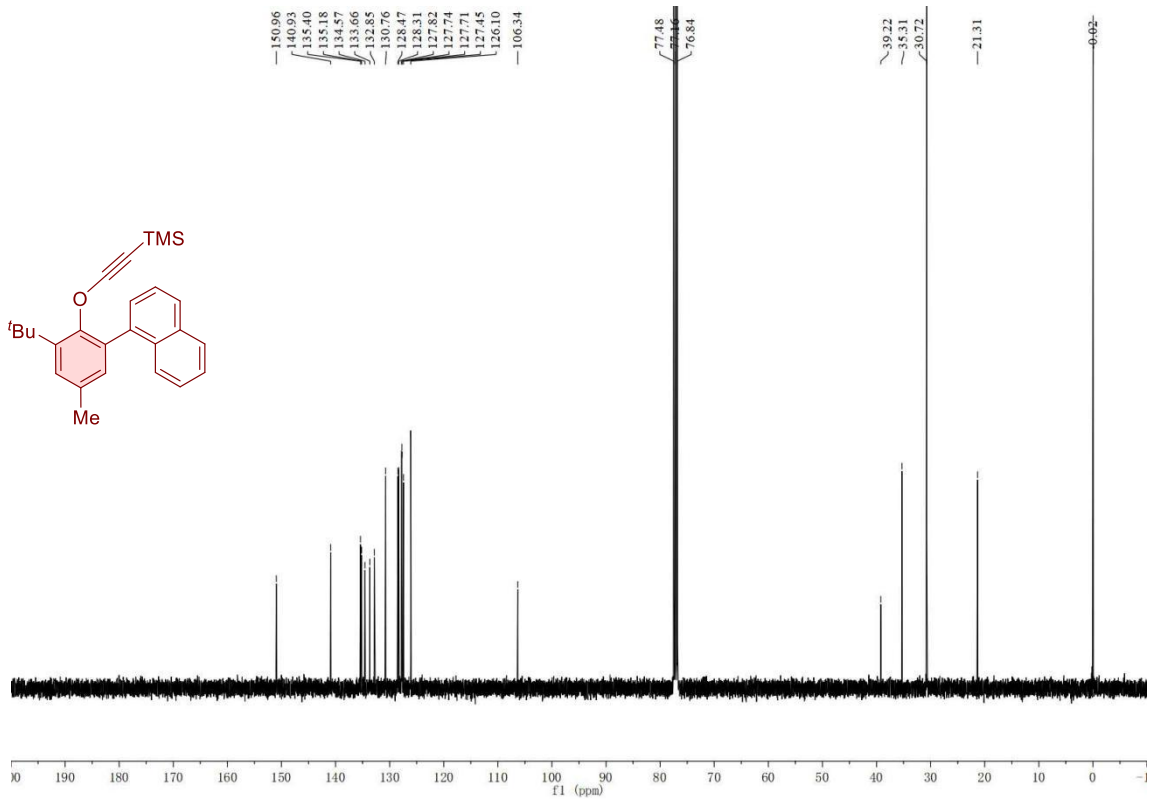
^{13}C NMR spectrum of 1zc (151 MHz, $CDCl_3$)



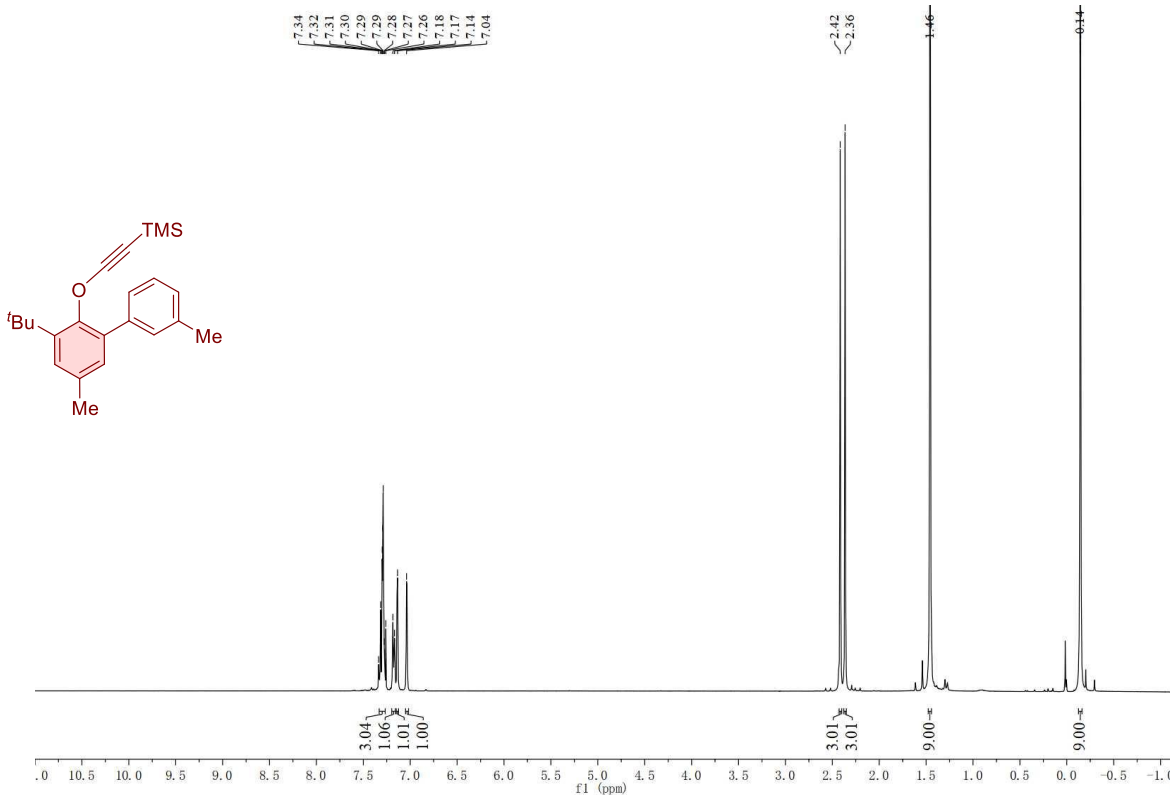
^{19}F NMR spectrum of 1zc (565 MHz, $CDCl_3$)



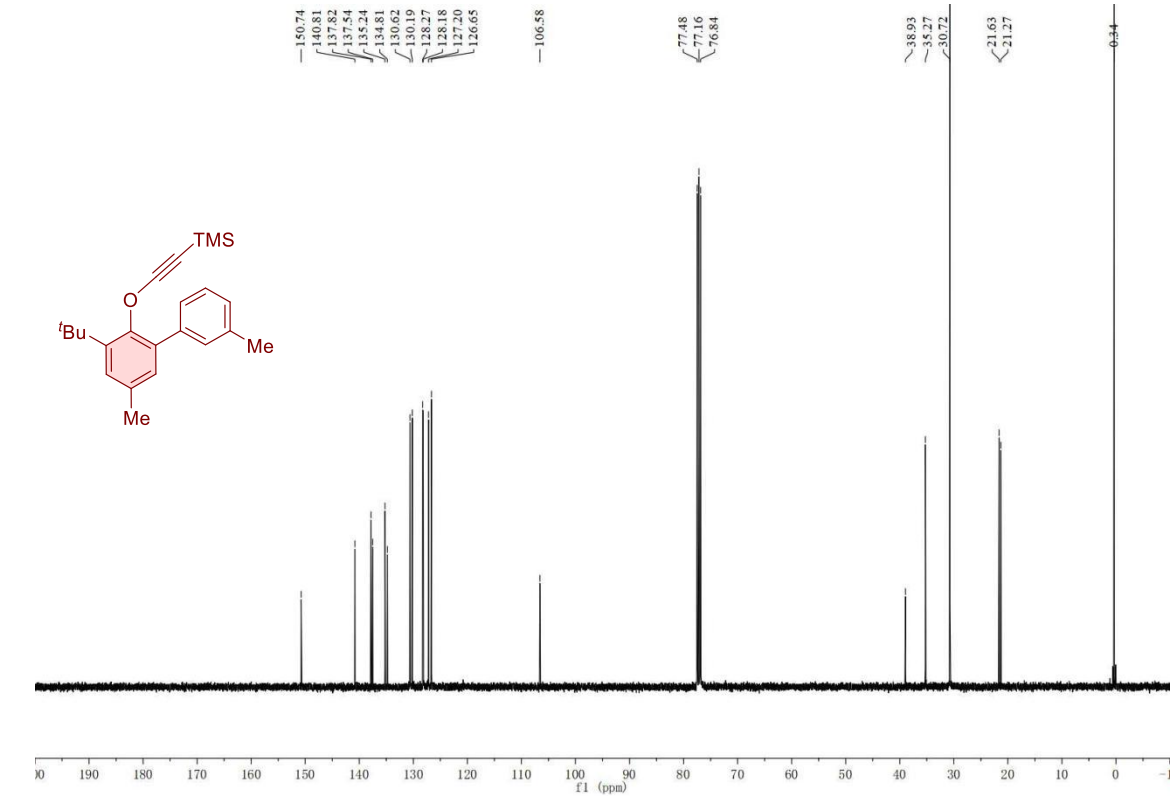
^{1}H NMR spectrum of 1zd (400 MHz, $CDCl_3$)



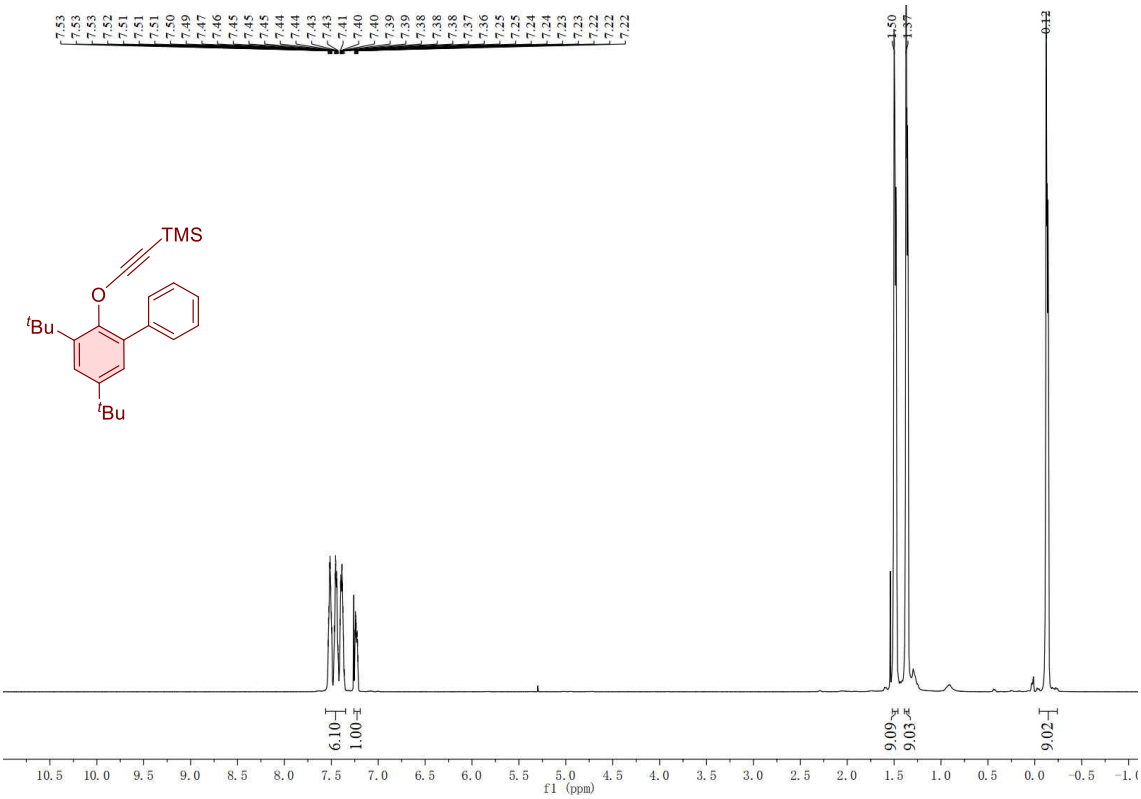
^{13}C NMR spectrum of 1zd (101 MHz, $CDCl_3$)



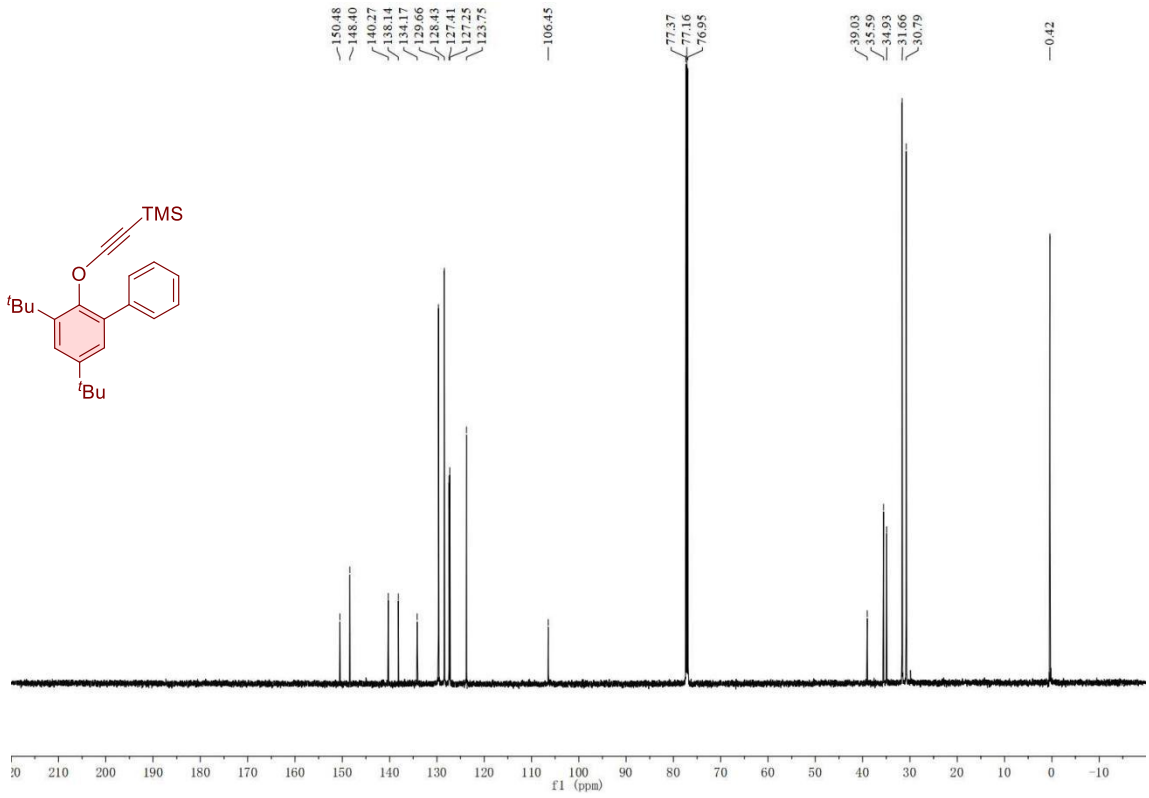
^{1}H NMR spectrum of 1ze (400 MHz, $CDCl_3$)



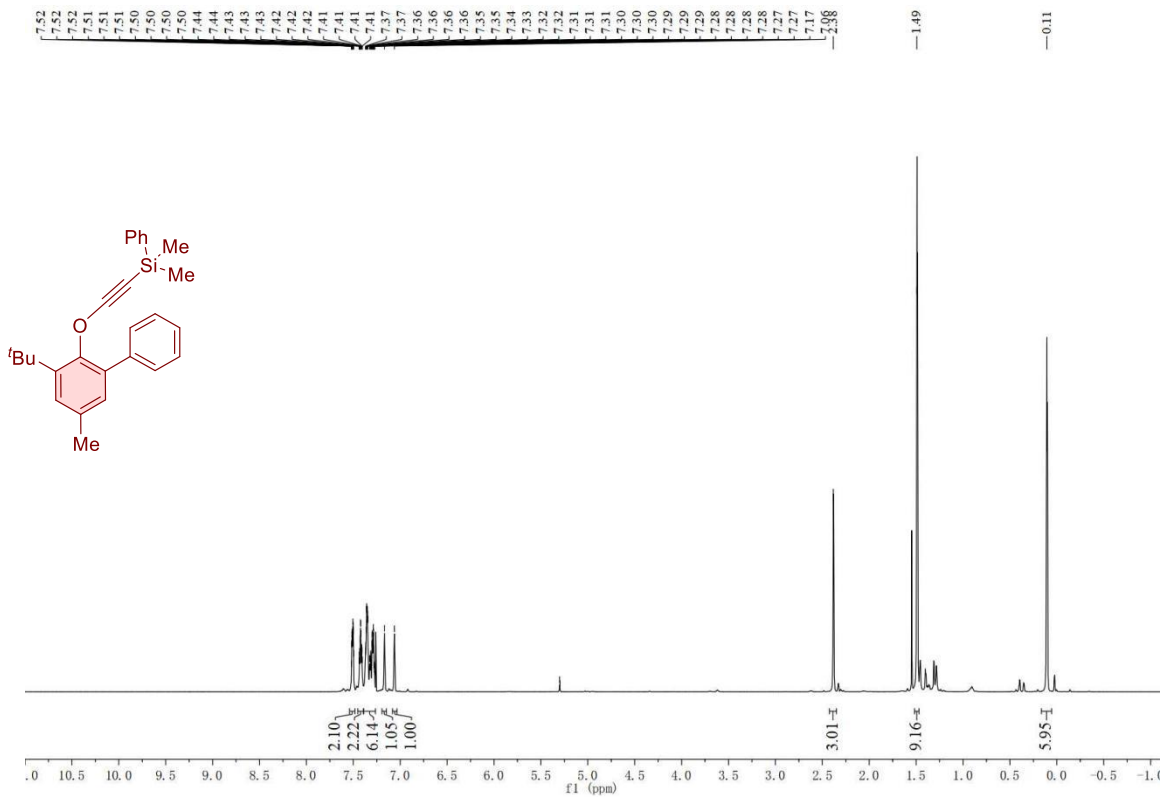
^{13}C NMR spectrum of 1ze (101 MHz, $CDCl_3$)



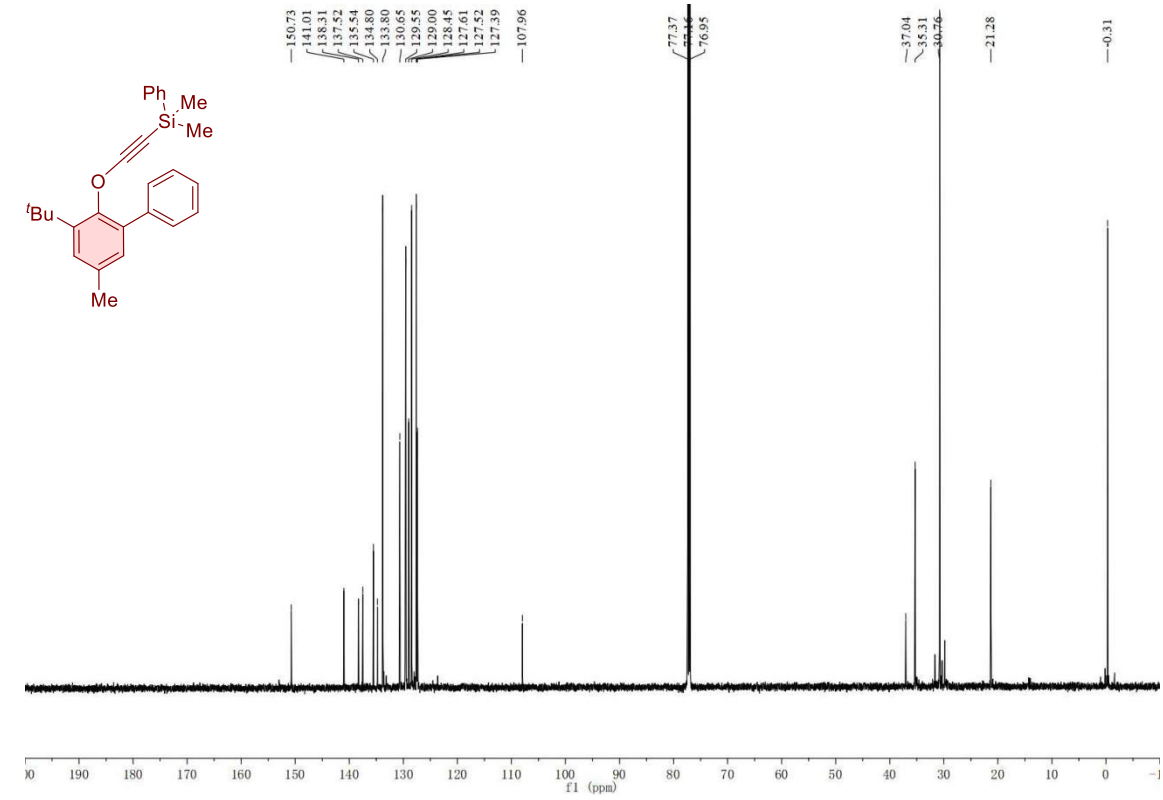
^{1}H NMR spectrum of 1zf (600 MHz, $CDCl_3$)



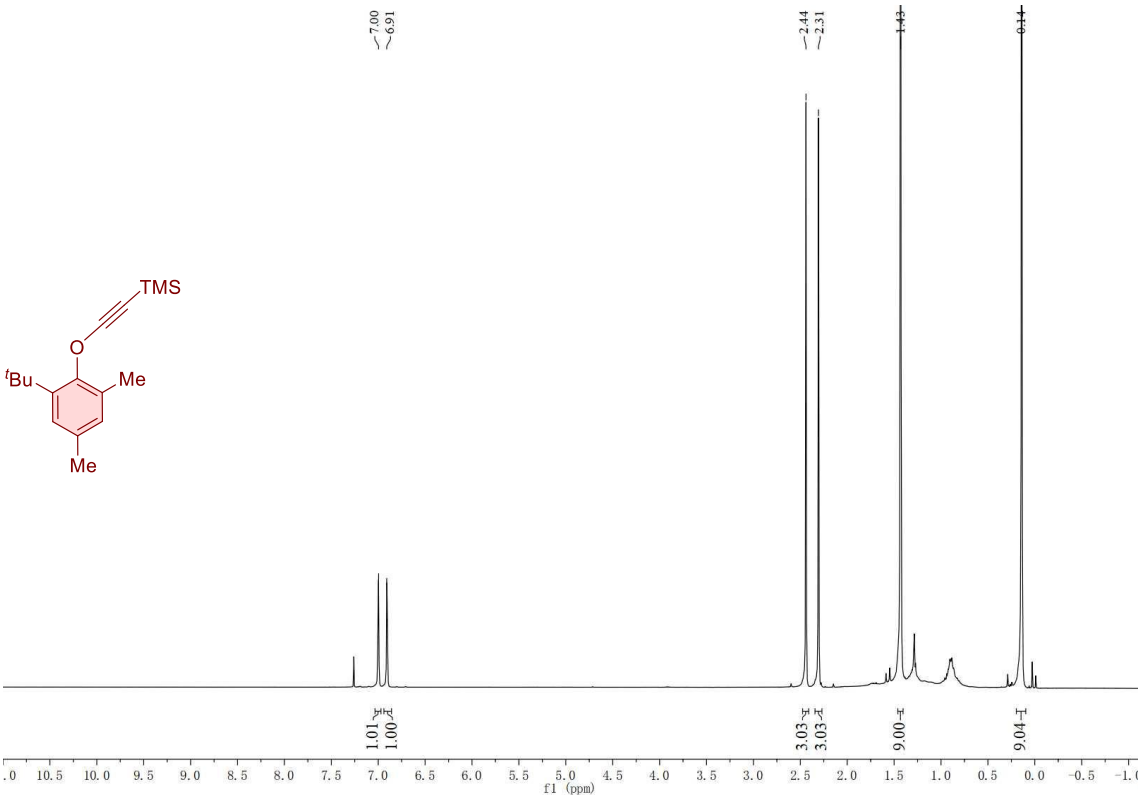
^{13}C NMR spectrum of 1zf (151 MHz, $CDCl_3$)



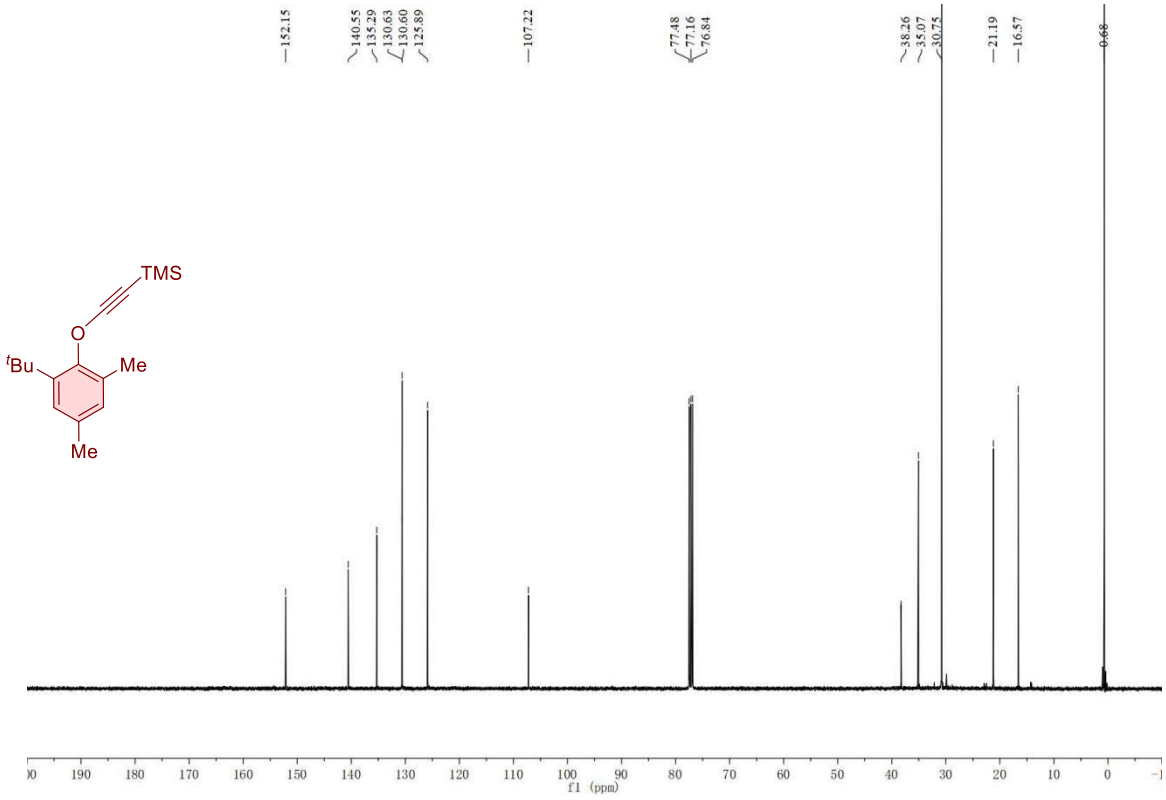
^{1}H NMR spectrum of 1zg (600 MHz, $CDCl_3$)



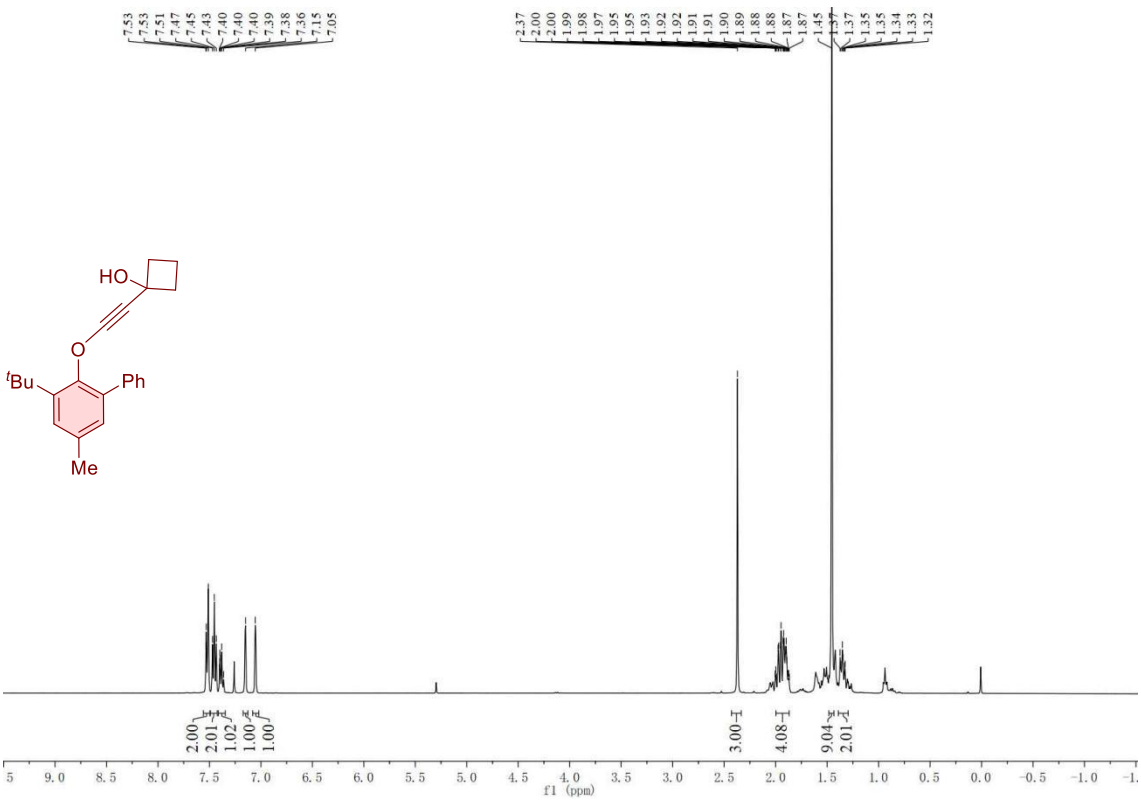
^{13}C NMR spectrum of 1zg (151 MHz, $CDCl_3$)



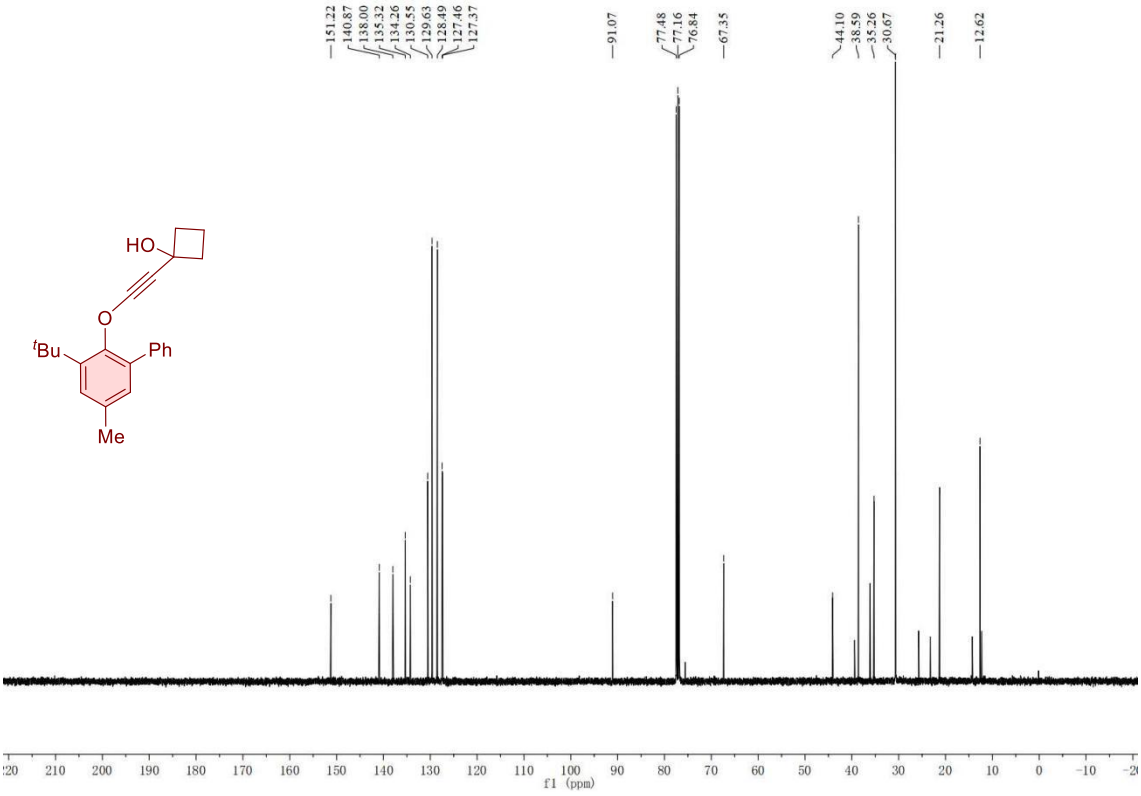
^{1}H NMR spectrum of 1zh (400 MHz, $CDCl_3$)



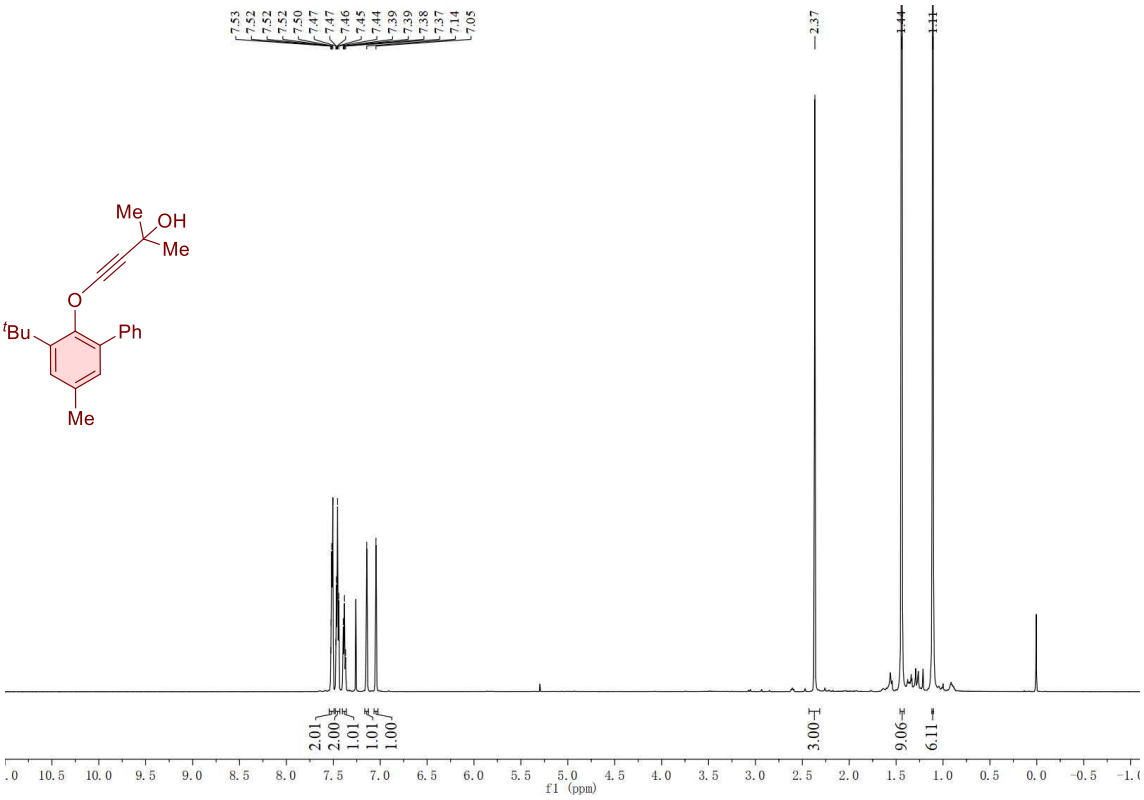
^{13}C NMR spectrum of 1zh (101 MHz, $CDCl_3$)



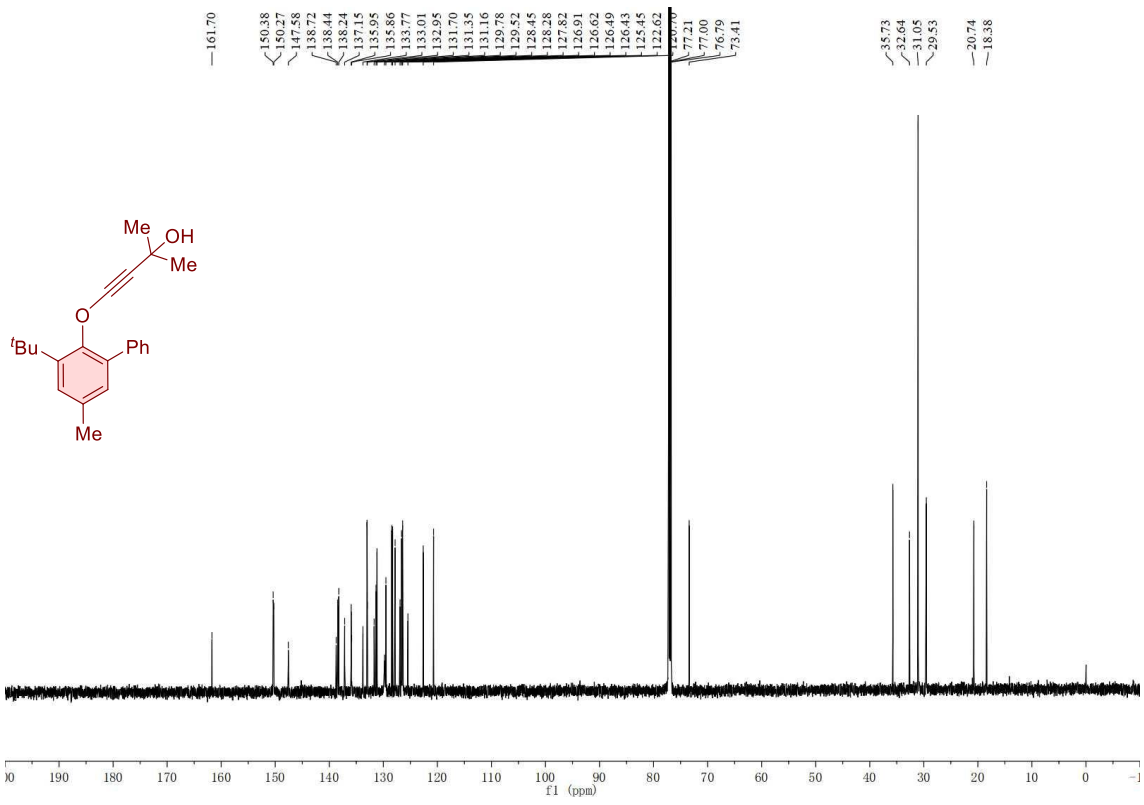
^{1}H NMR spectrum of 1zi (400 MHz, $CDCl_3$)



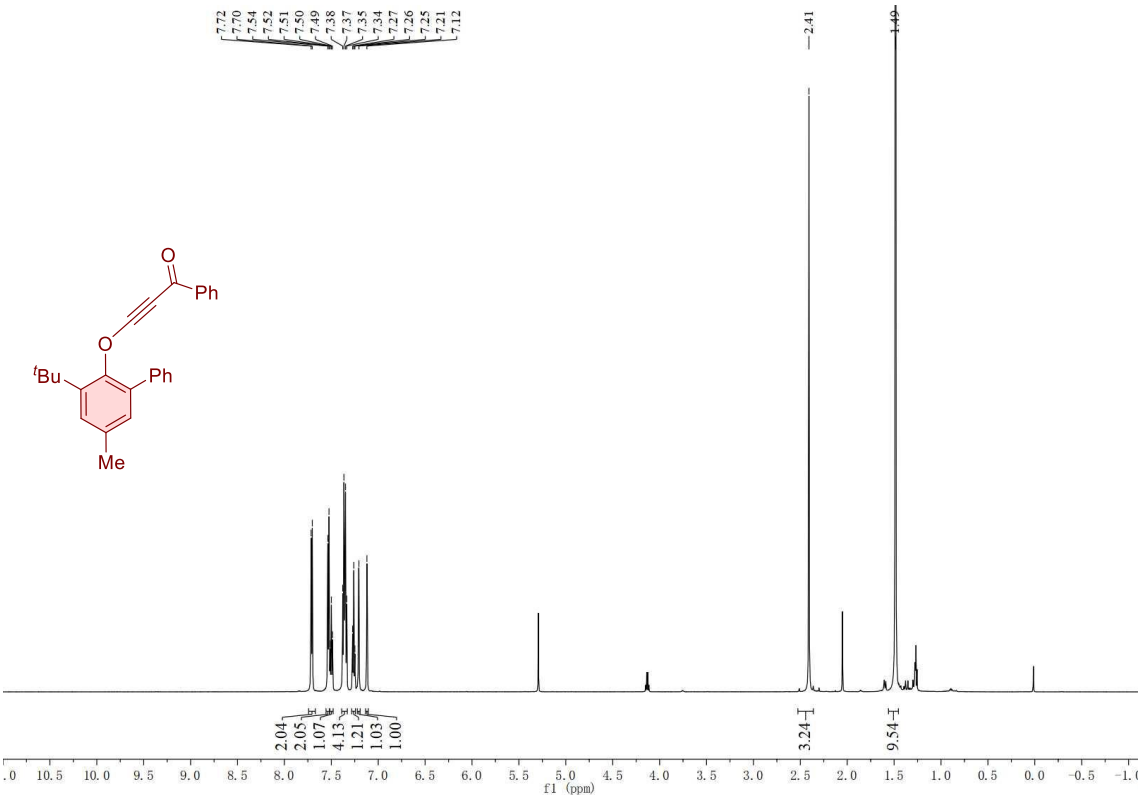
^{13}C NMR spectrum of 1zi (101 MHz, $CDCl_3$)



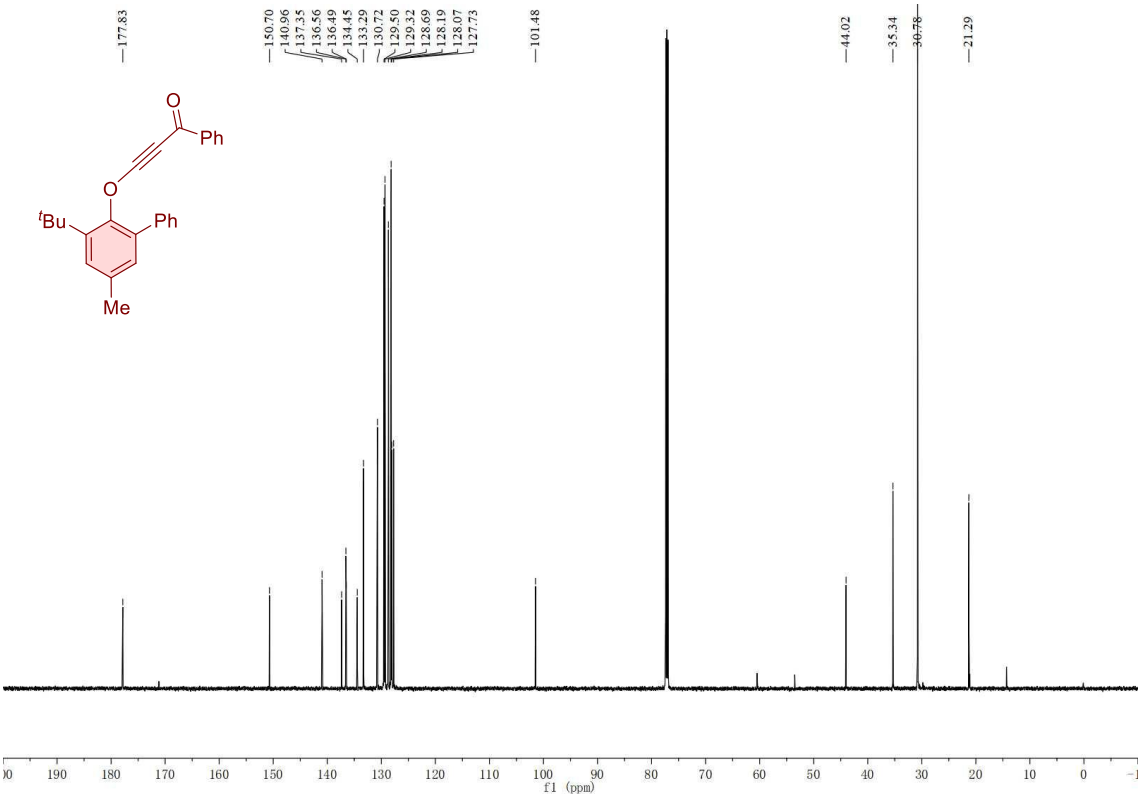
^{1}H NMR spectrum of 1zj (600 MHz, $CDCl_3$)



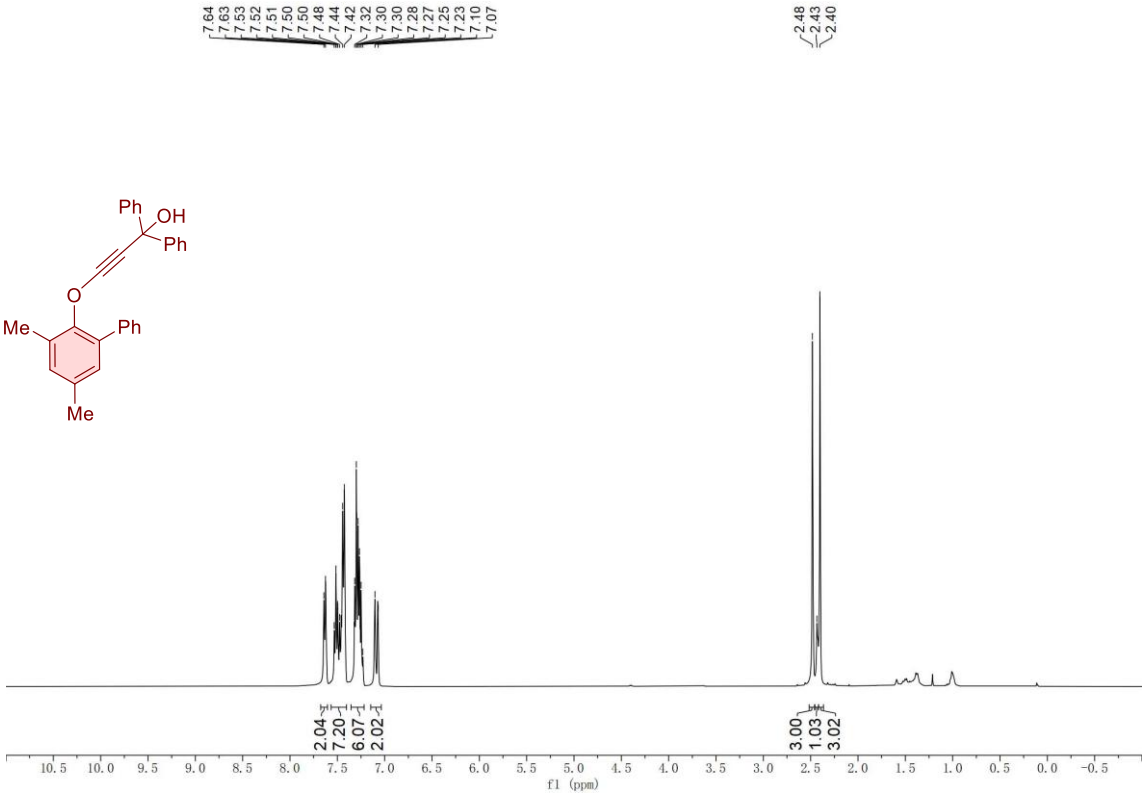
^{13}C NMR spectrum of 1zj (151 MHz, $CDCl_3$)



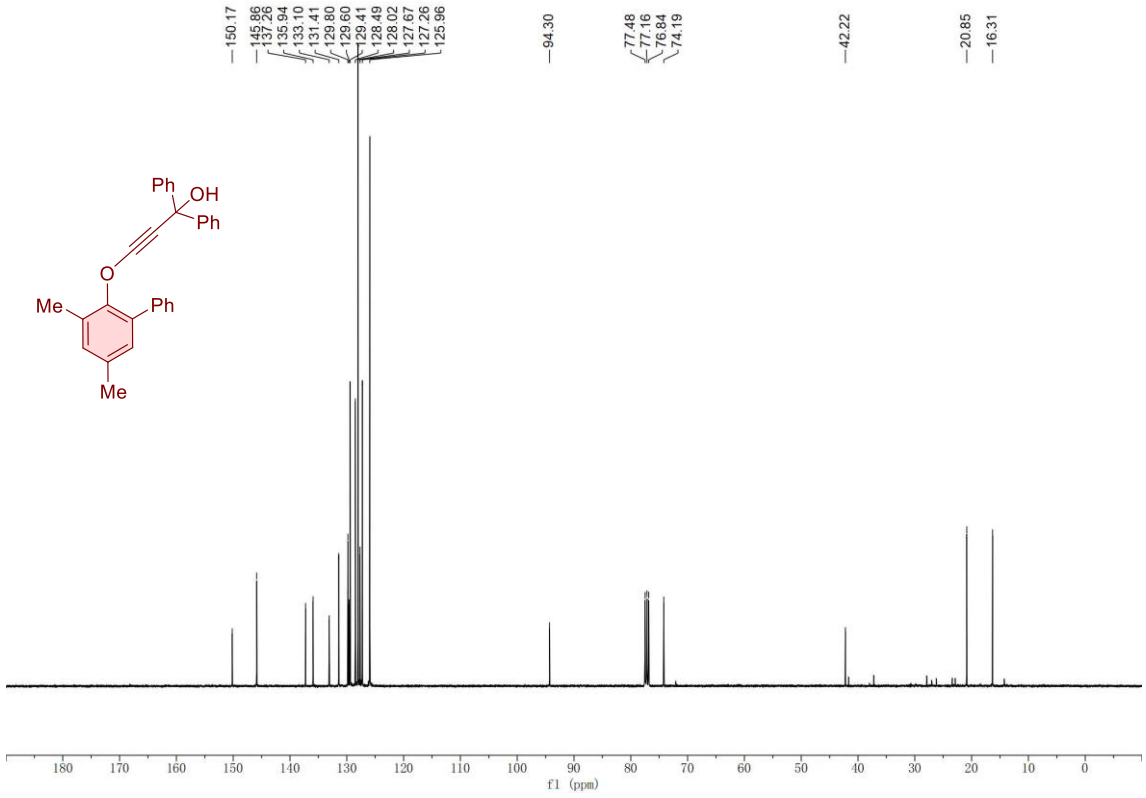
^{1}H NMR spectrum of 1zk (600 MHz, $CDCl_3$)



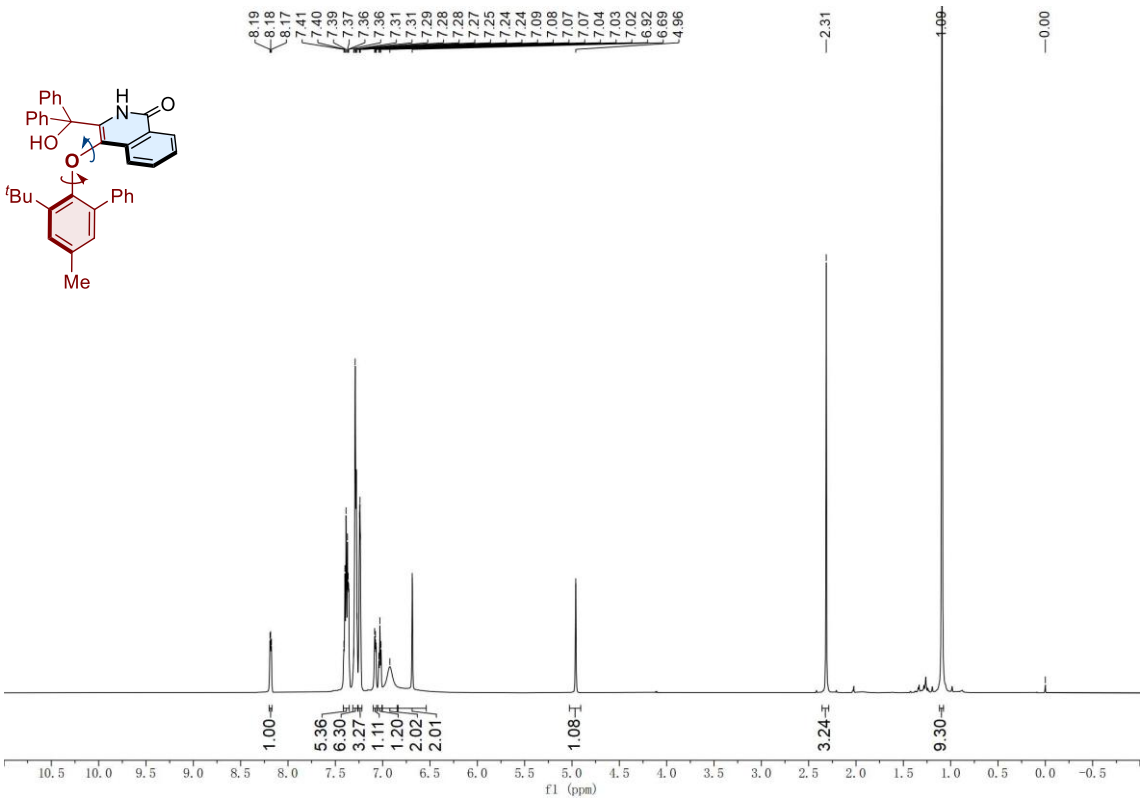
^{13}C NMR spectrum of 1zk (151 MHz, $CDCl_3$)



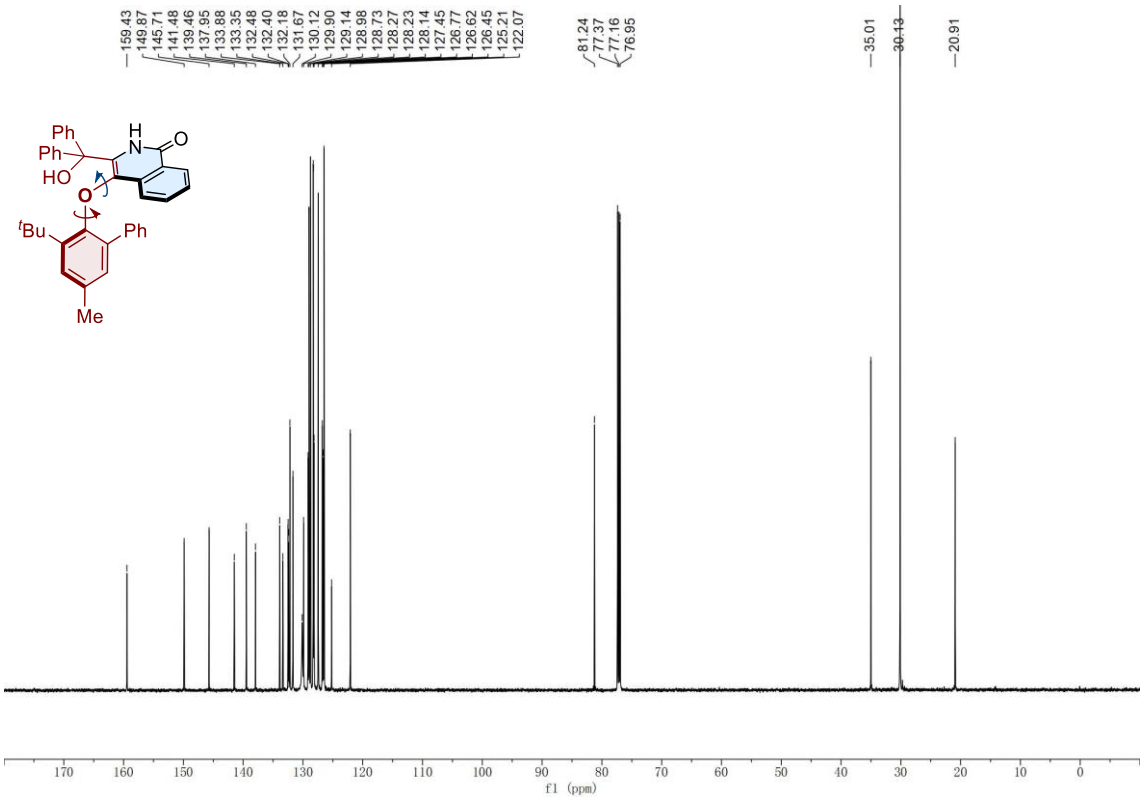
^{1}H NMR spectrum of 1zo (600 MHz, $CDCl_3$)



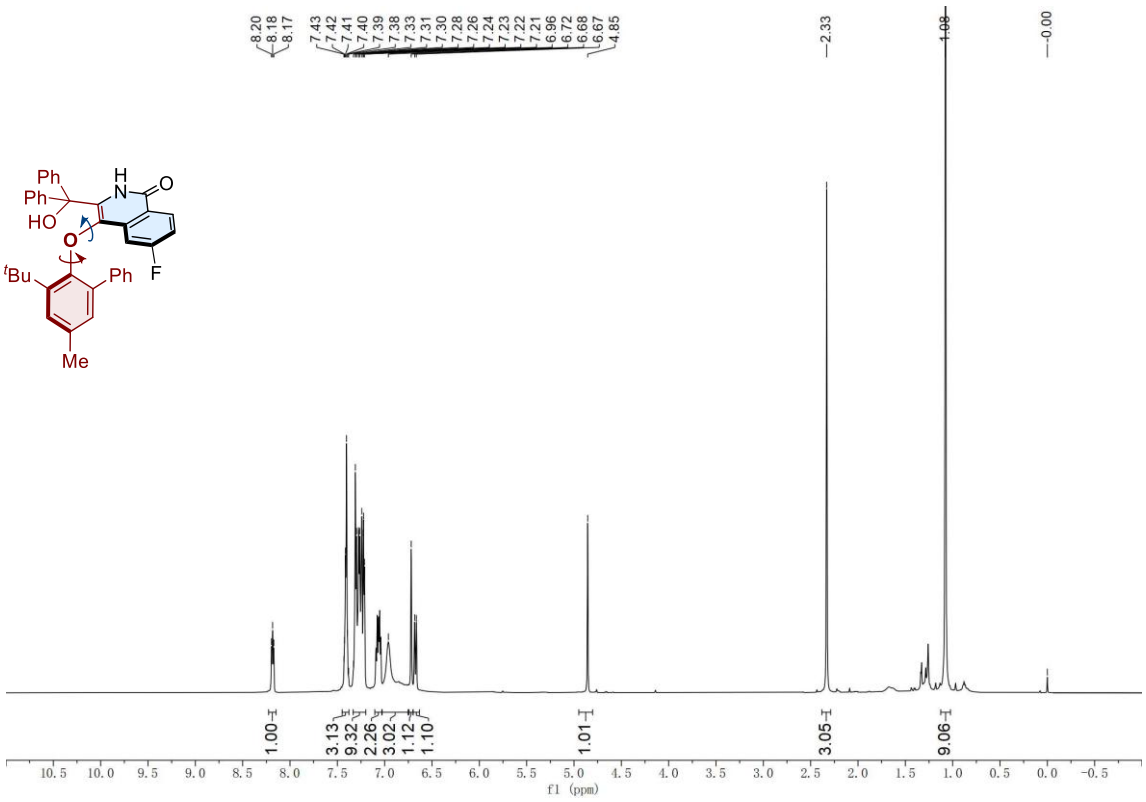
^{13}C NMR spectrum of 1zo (151 MHz, $CDCl_3$)



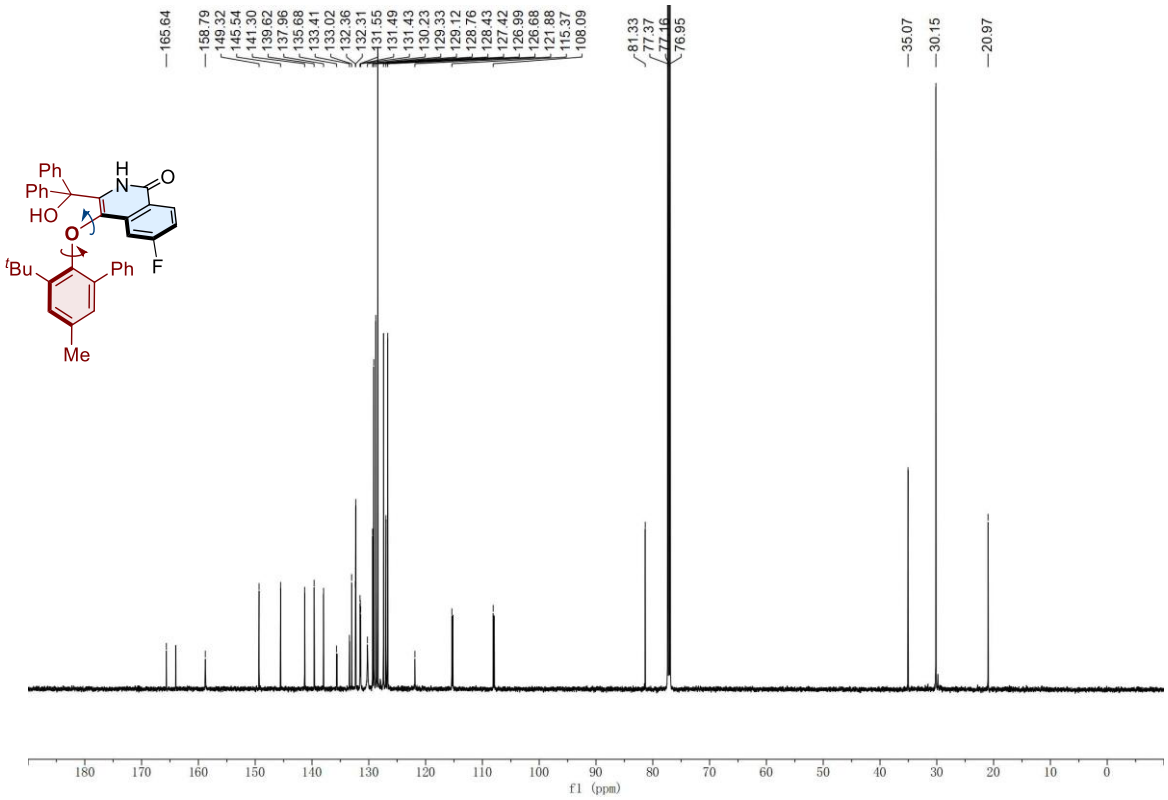
^{1}H NMR spectrum of 3a (600 MHz, $CDCl_3$)



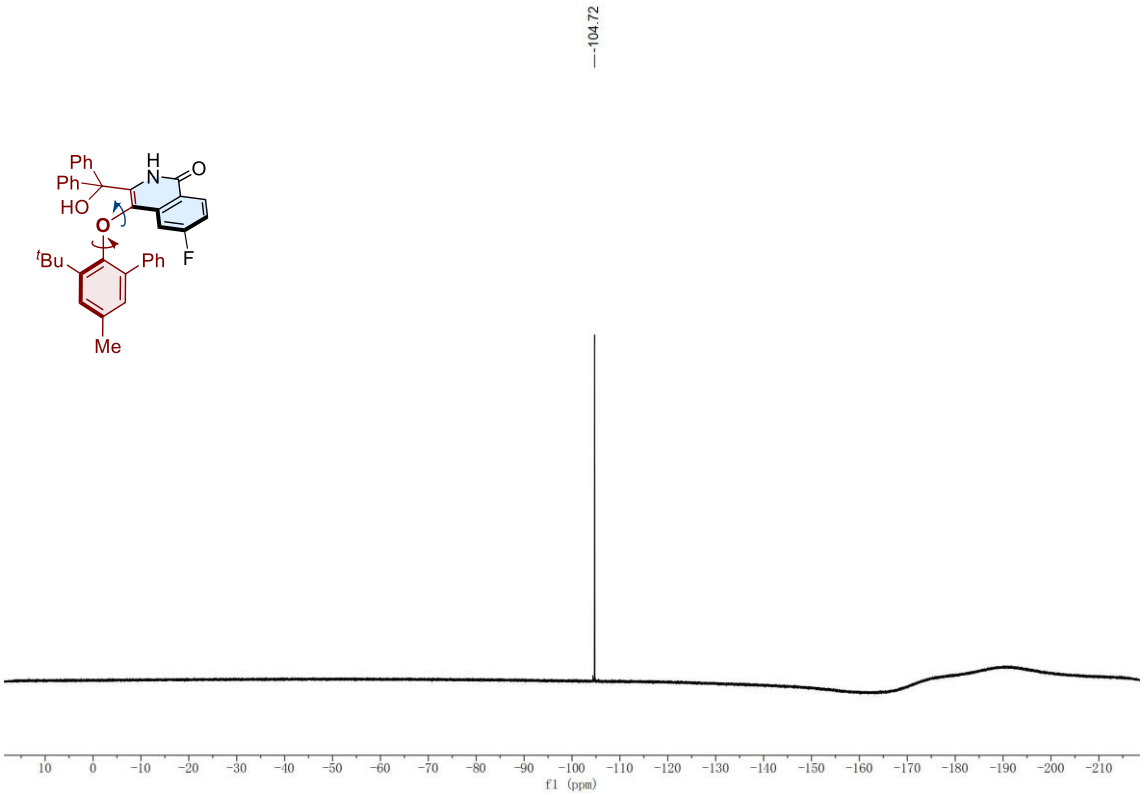
^{13}C NMR spectrum of 3a (151 MHz, $CDCl_3$)



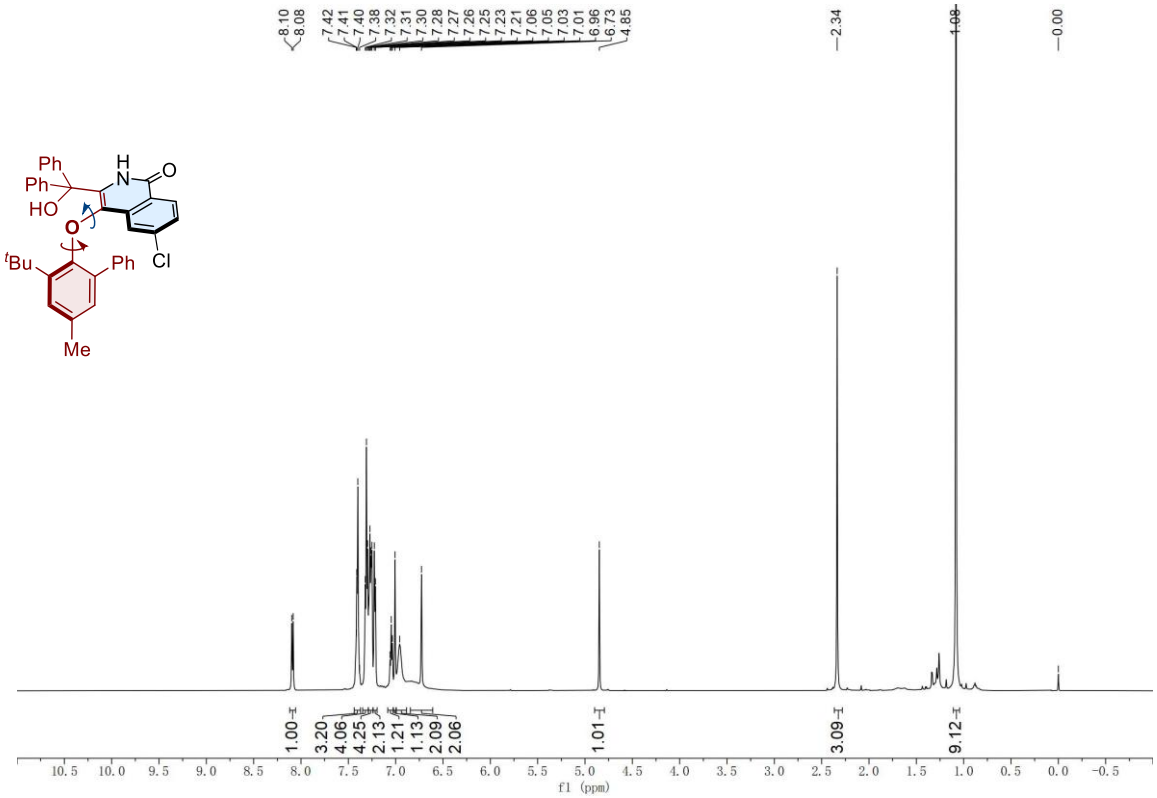
^{1}H NMR spectrum of 3b (600 MHz, $CDCl_3$)



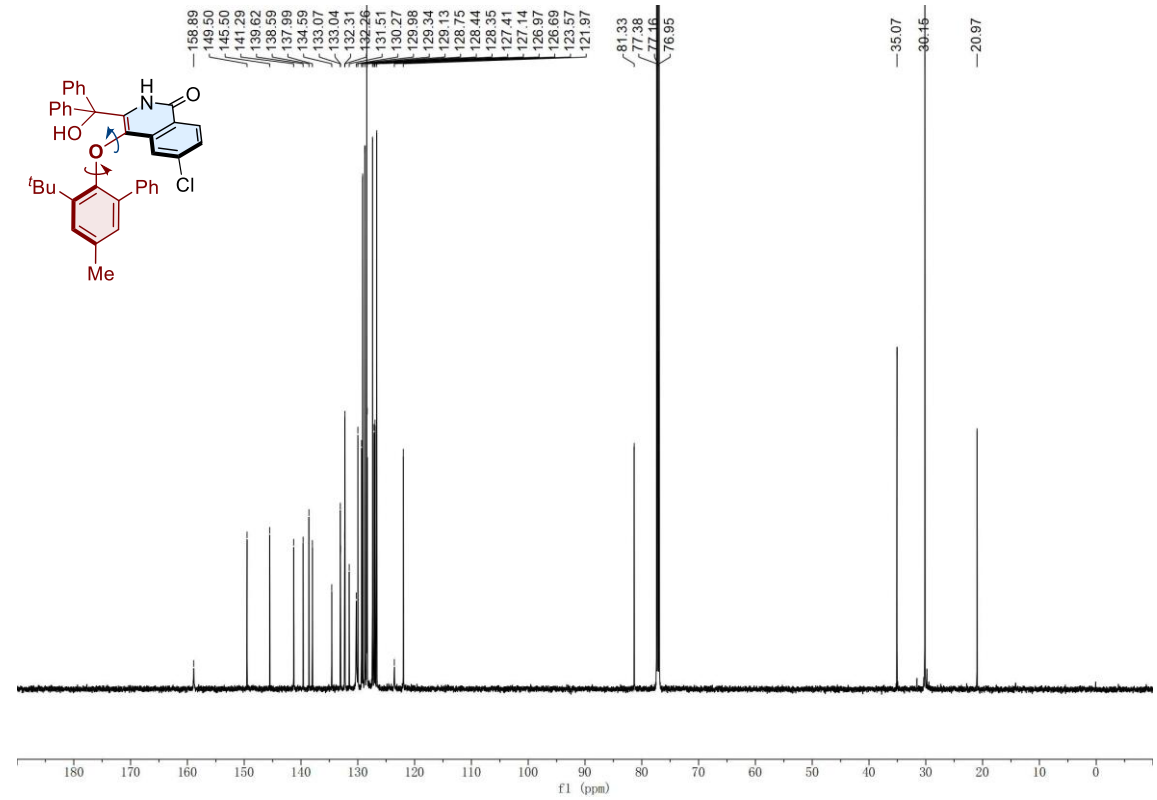
^{13}C NMR spectrum of 3b (151 MHz, $CDCl_3$)



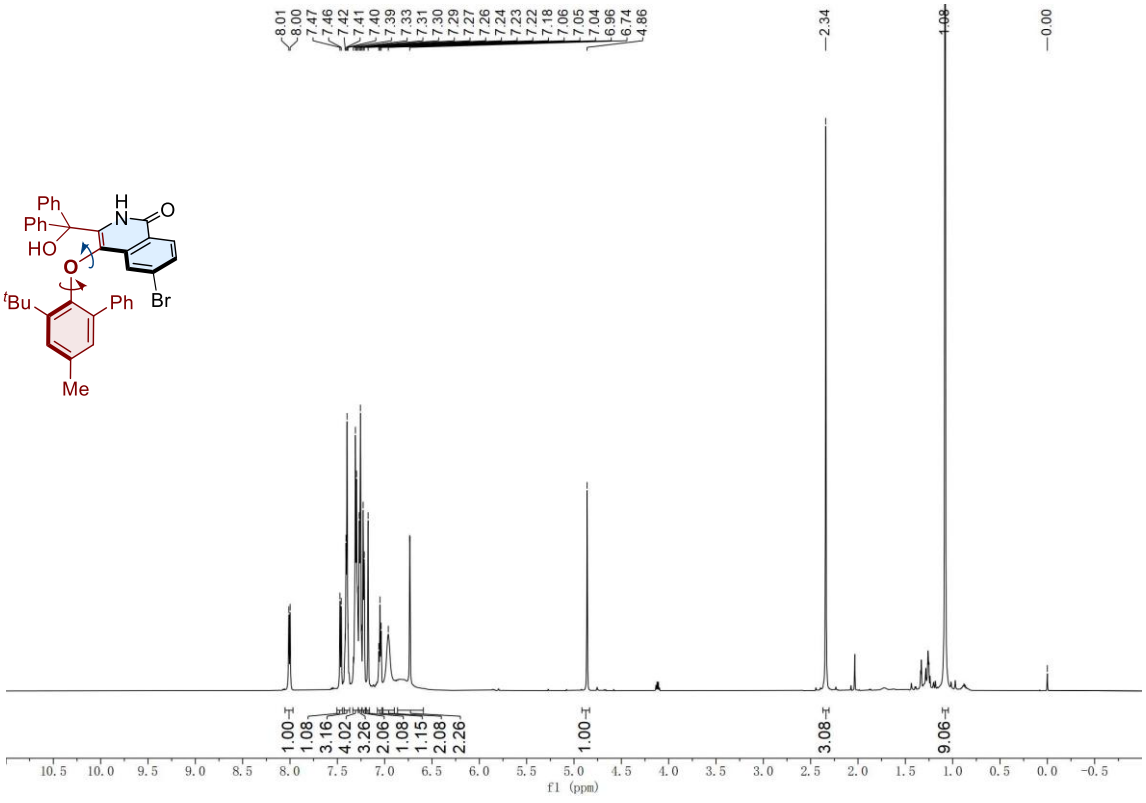
^{19}F NMR spectrum of 3b (565 MHz, $CDCl_3$)



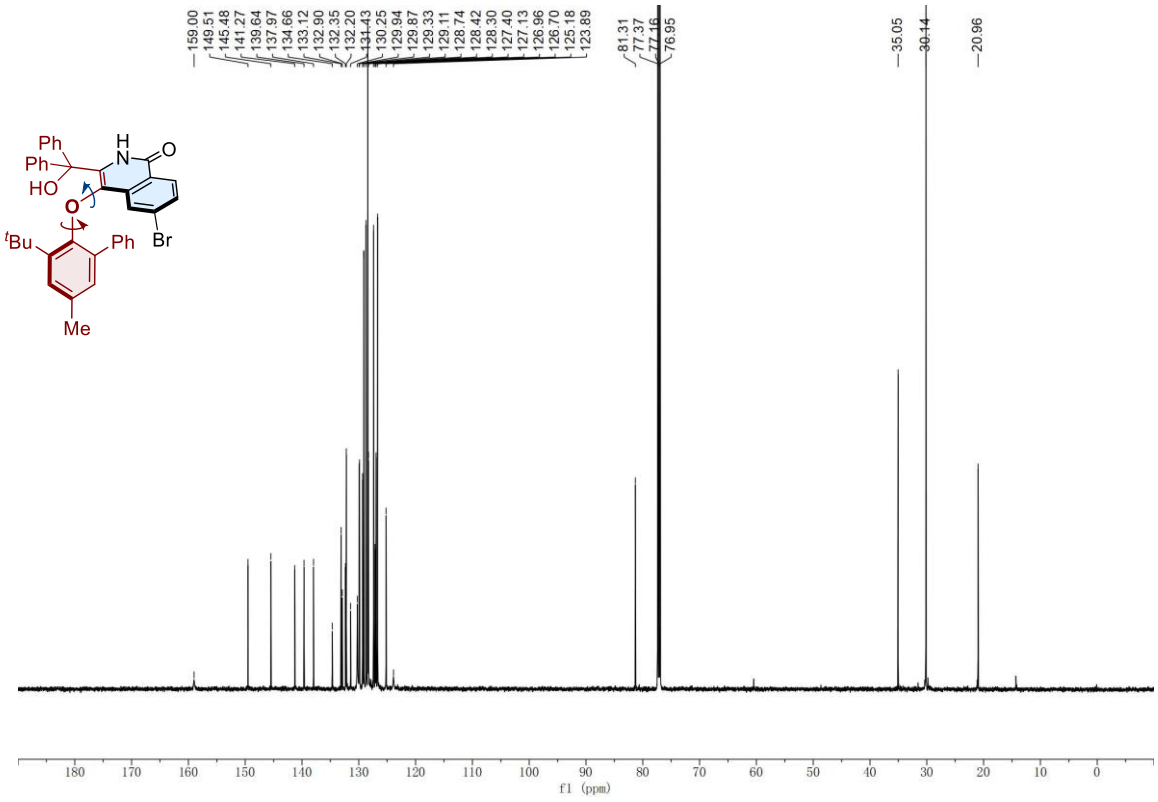
^{1}H NMR spectrum of 3c (600 MHz, $CDCl_3$)



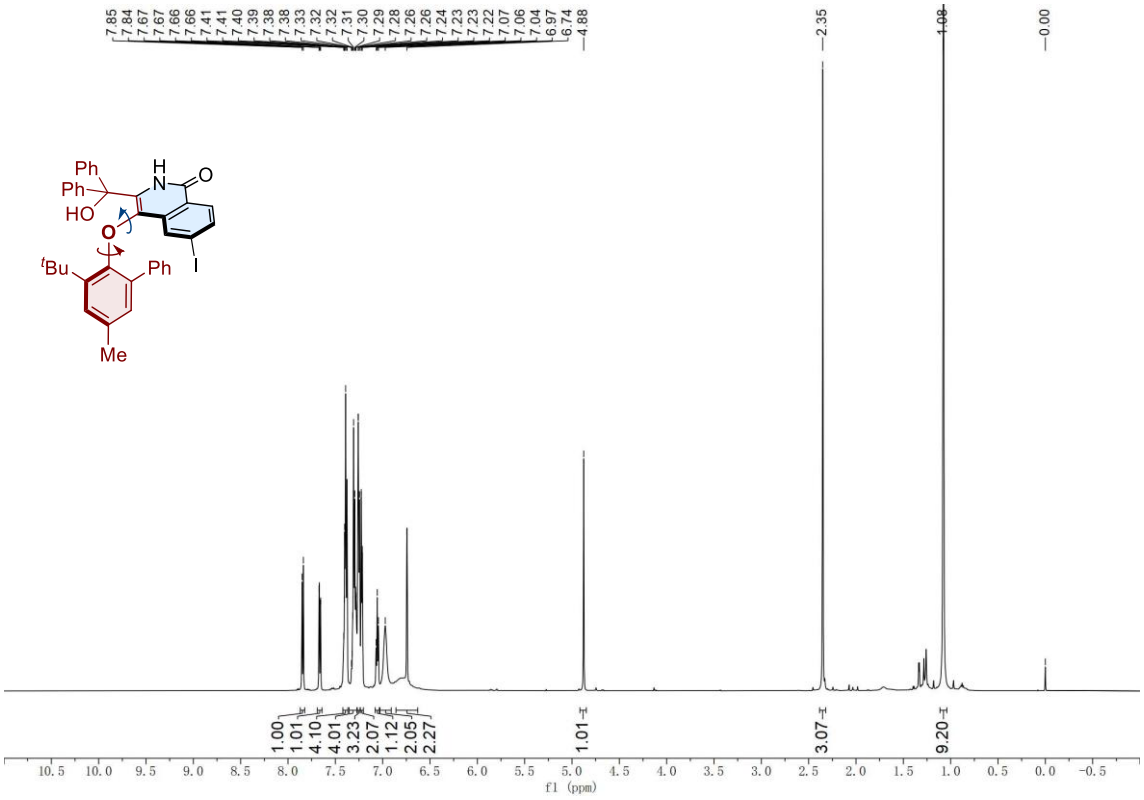
^{13}C NMR spectrum of 3c (151 MHz, $CDCl_3$)



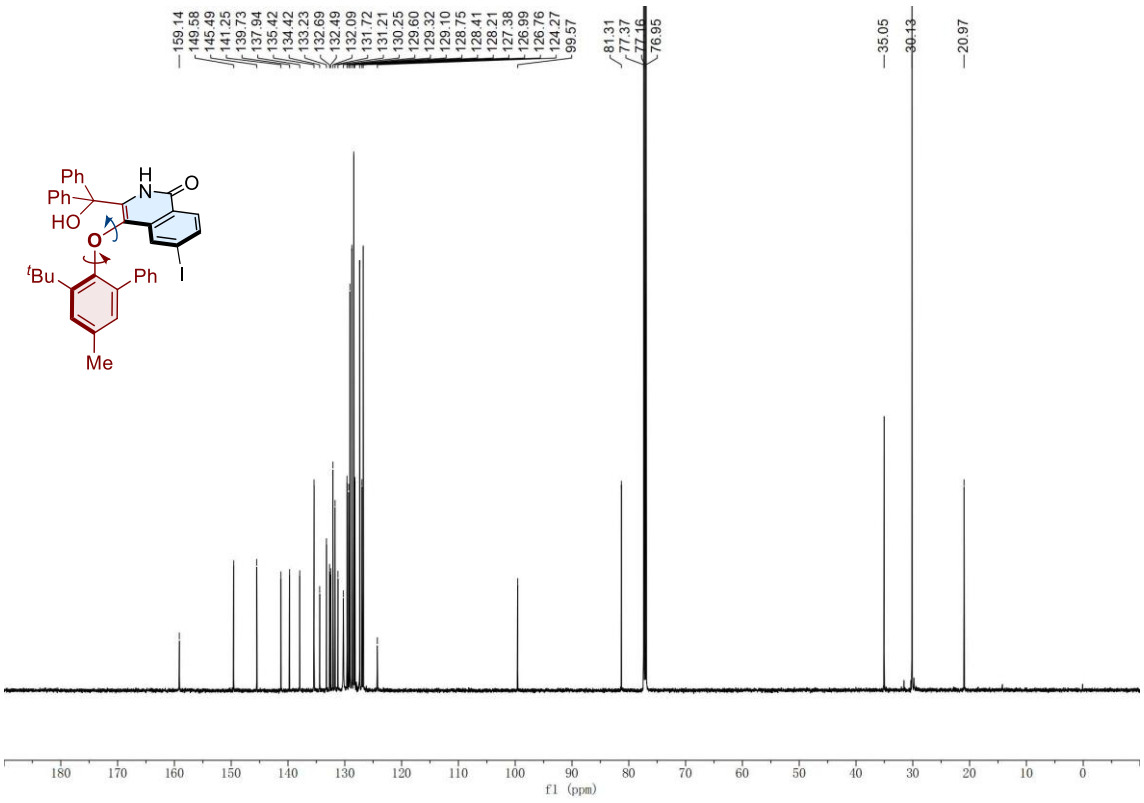
^{1}H NMR spectrum of 3d (600 MHz, $CDCl_3$)



^{13}C NMR spectrum of 3d (151 MHz, $CDCl_3$)



^{1}H NMR spectrum of 3e (600 MHz, $CDCl_3$)



^{13}C NMR spectrum of 3e (151 MHz, $CDCl_3$)

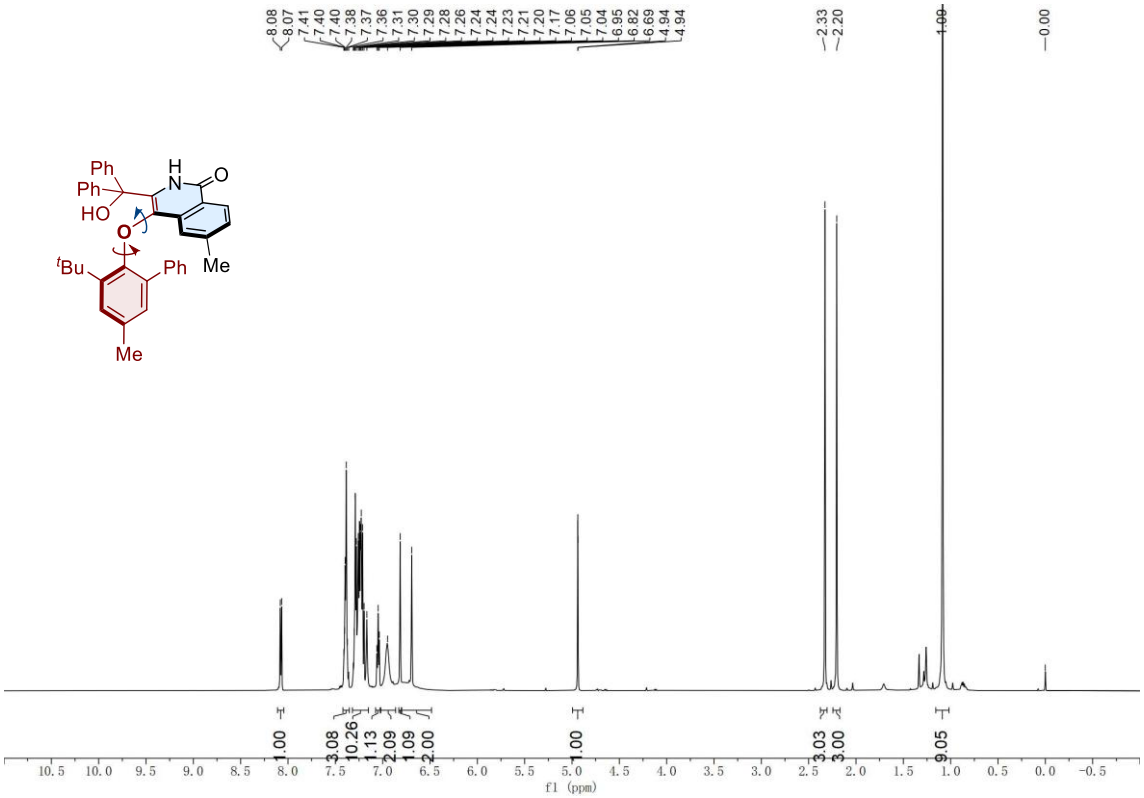
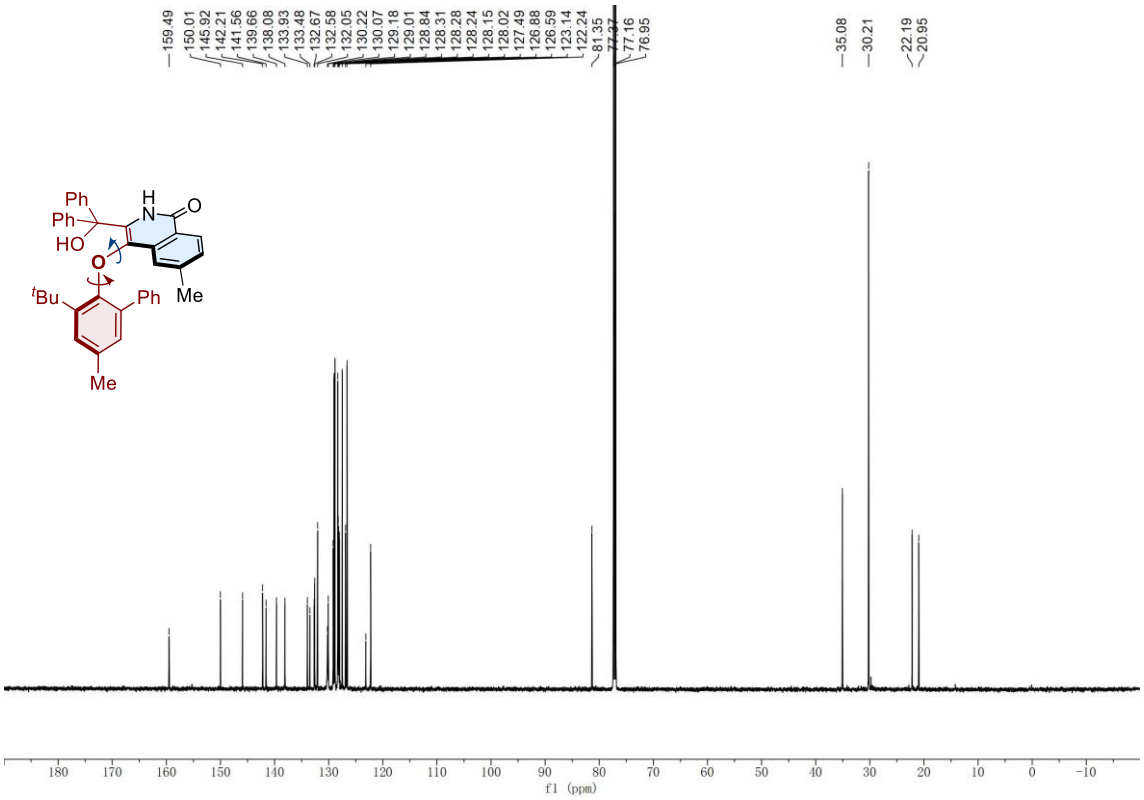
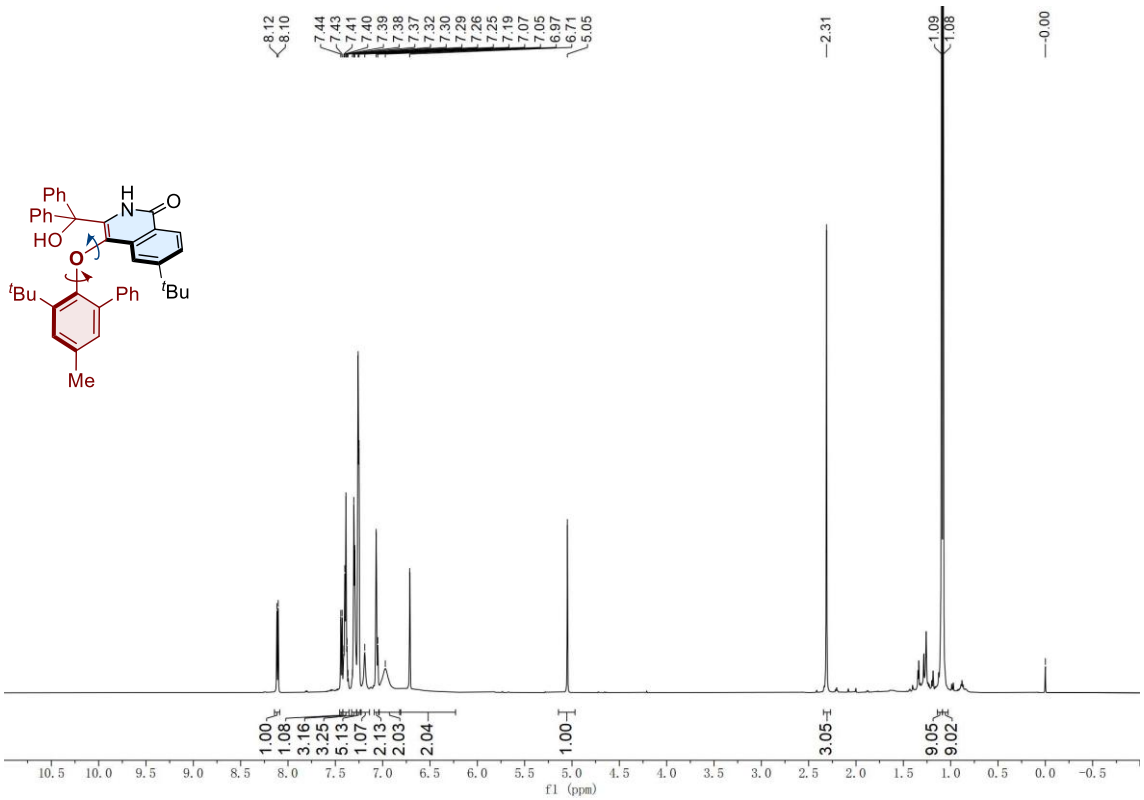


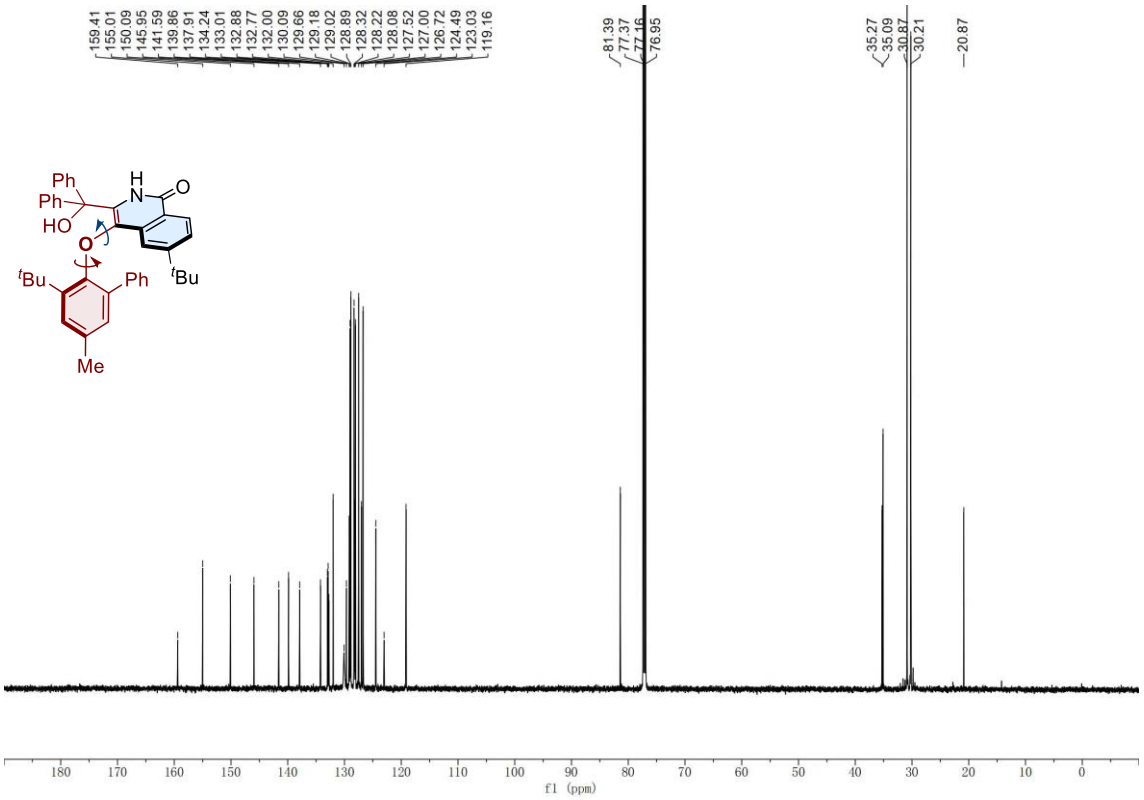
Figure S97. ^{1}H NMR spectrum of 3f (600 MHz, $CDCl_3$)



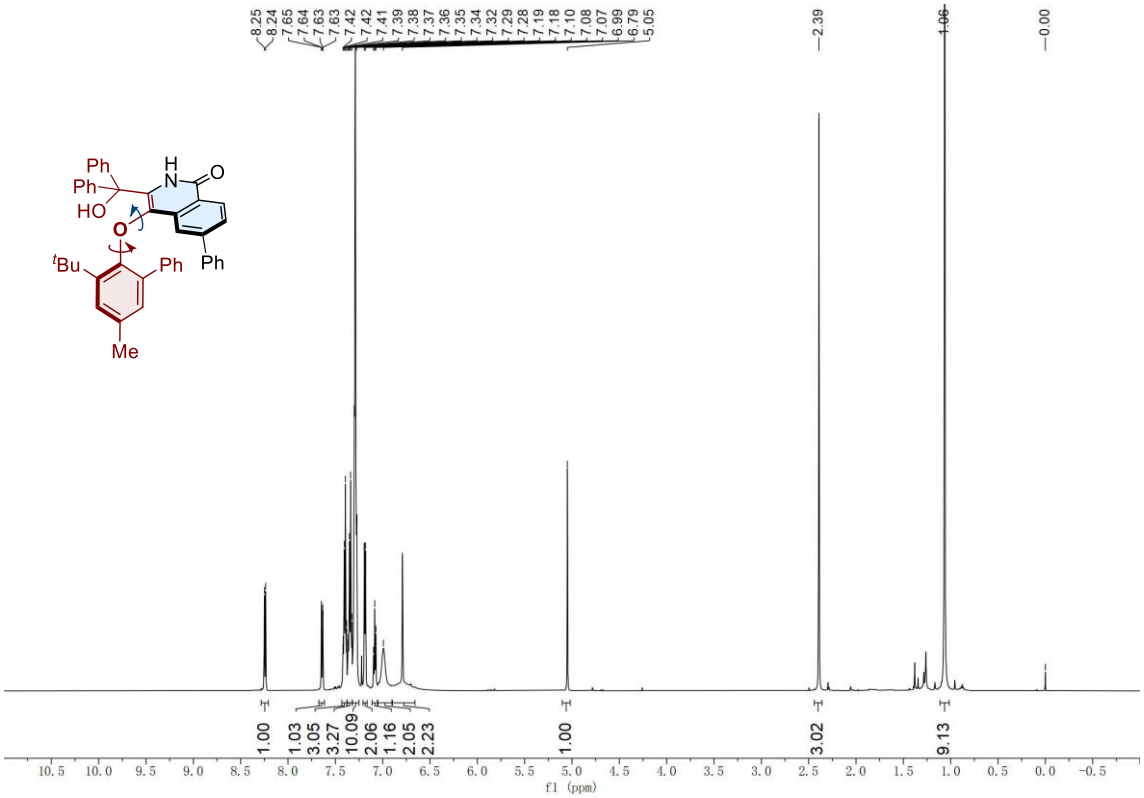
^{13}C NMR spectrum of 3f (151 MHz, $CDCl_3$)



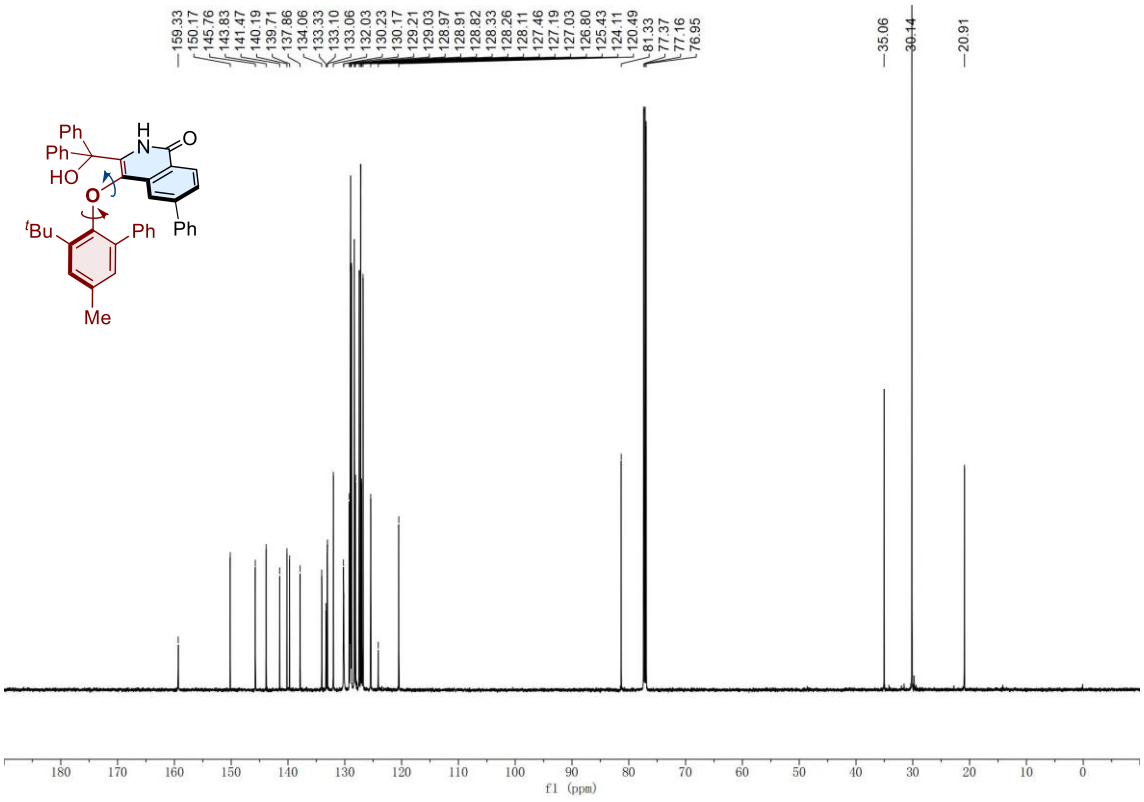
^{1}H NMR spectrum of 3g (600 MHz, $CDCl_3$)



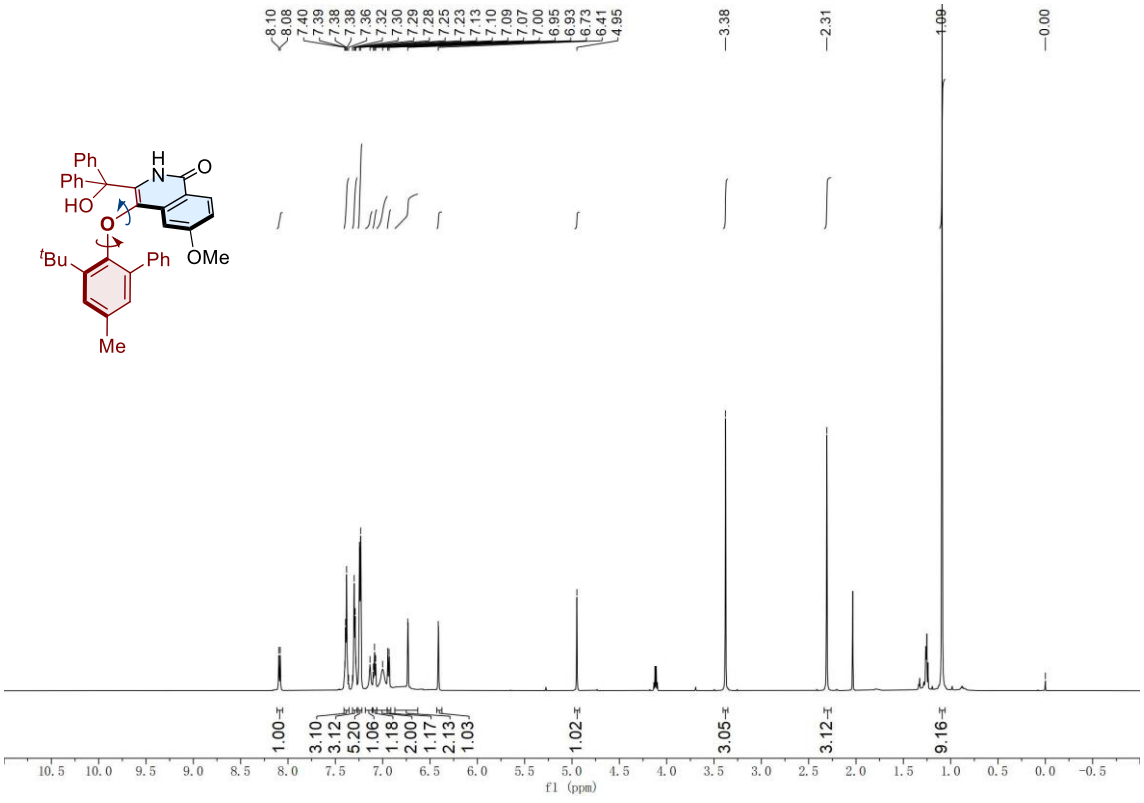
^{13}C NMR spectrum of 3g (151 MHz, $CDCl_3$)



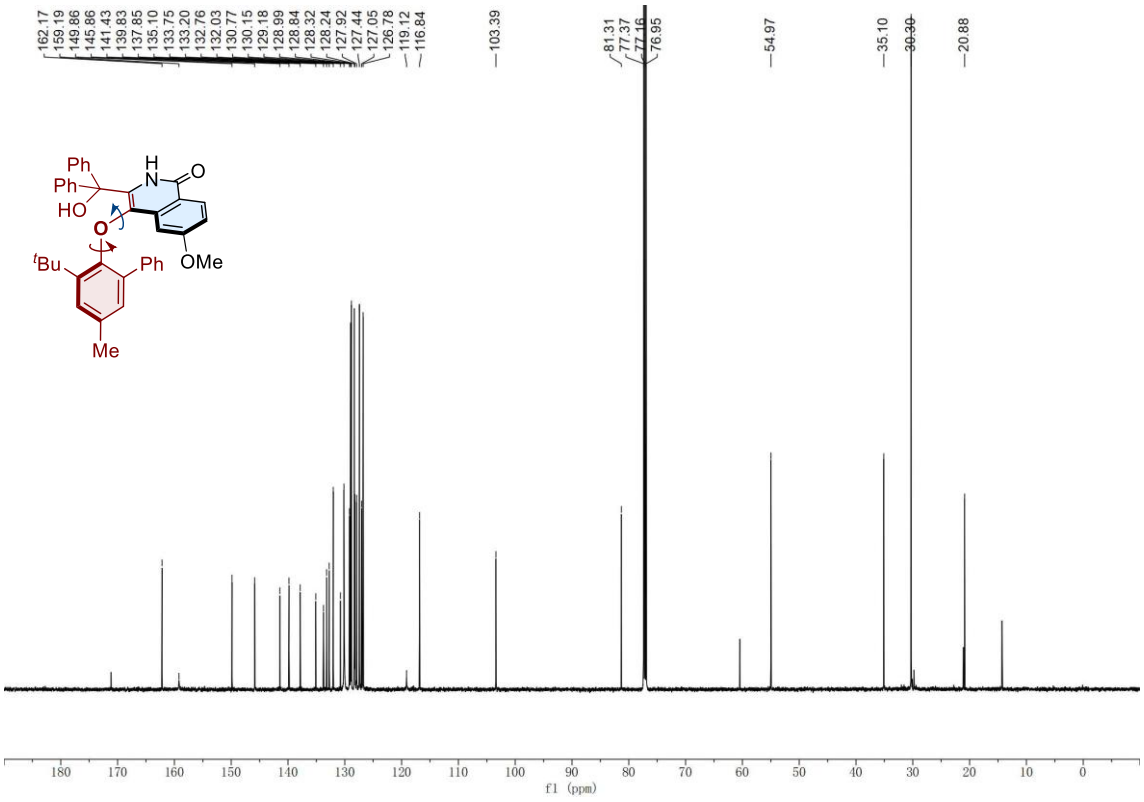
^{1}H NMR spectrum of 3h (600 MHz, $CDCl_3$)



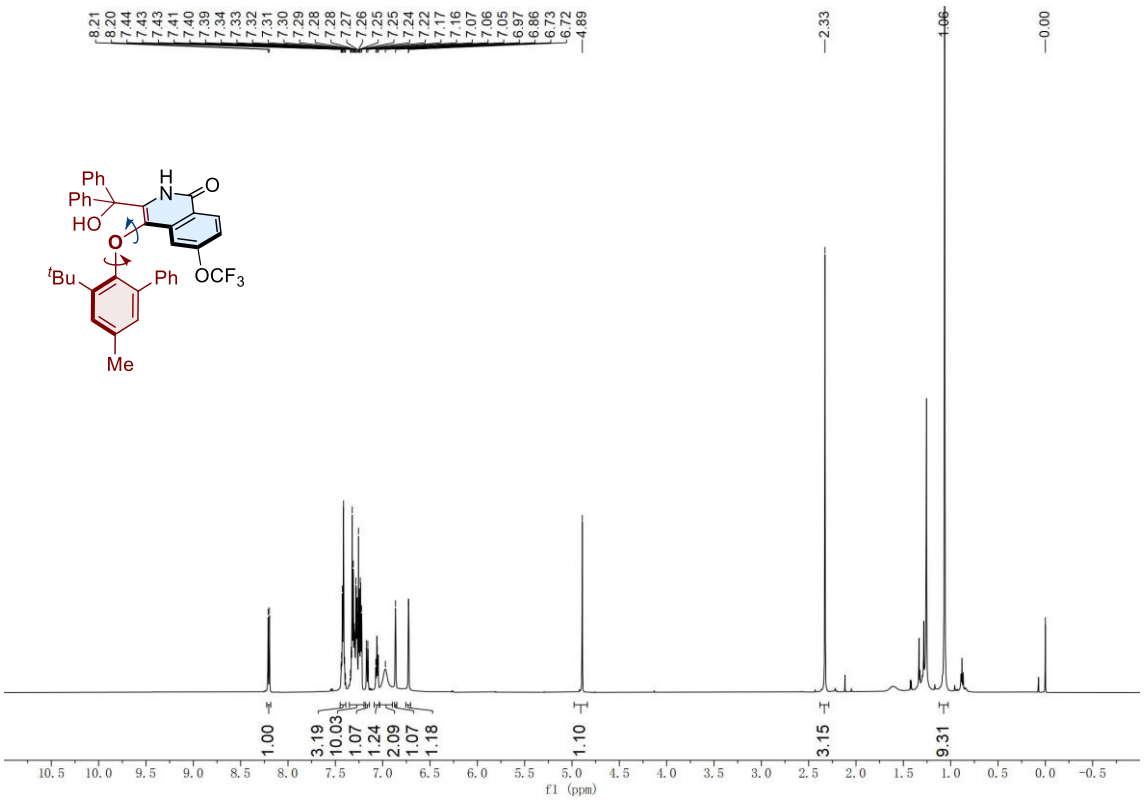
^{13}C NMR spectrum of 3h (151 MHz, $CDCl_3$)



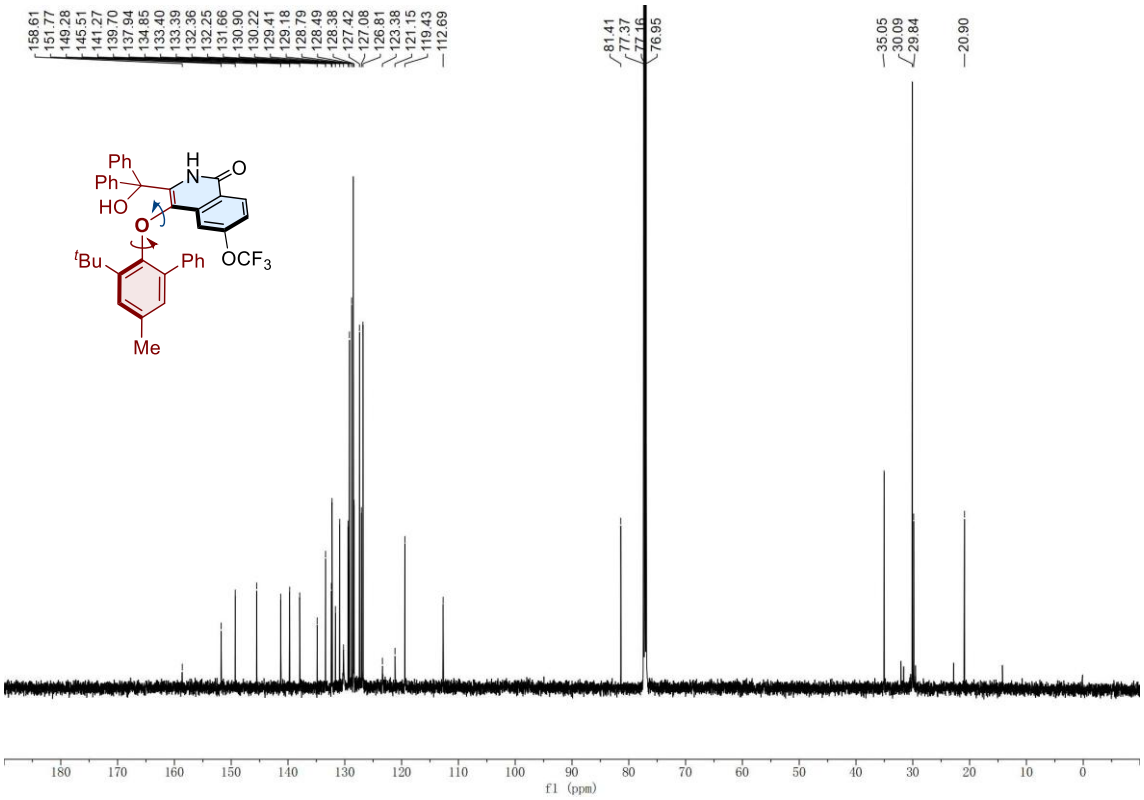
^{1}H NMR spectrum of 3i (600 MHz, $CDCl_3$)



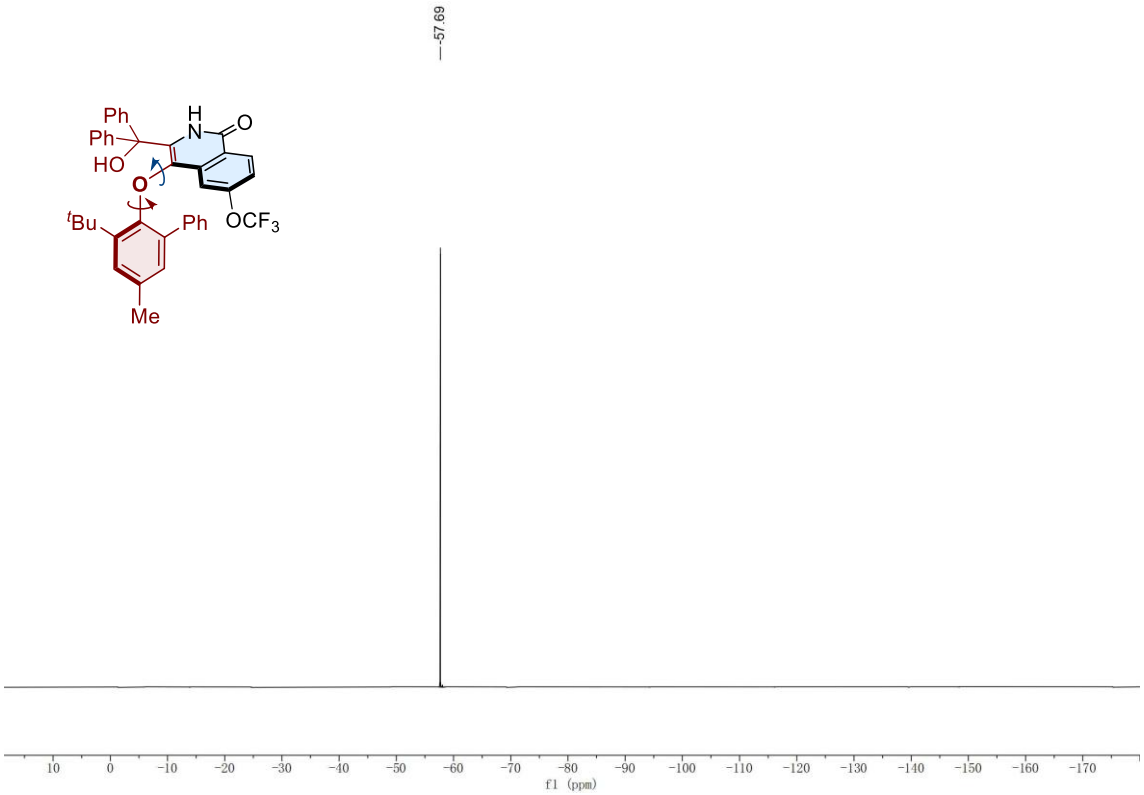
^{13}C NMR spectrum of 3i (151 MHz, $CDCl_3$)



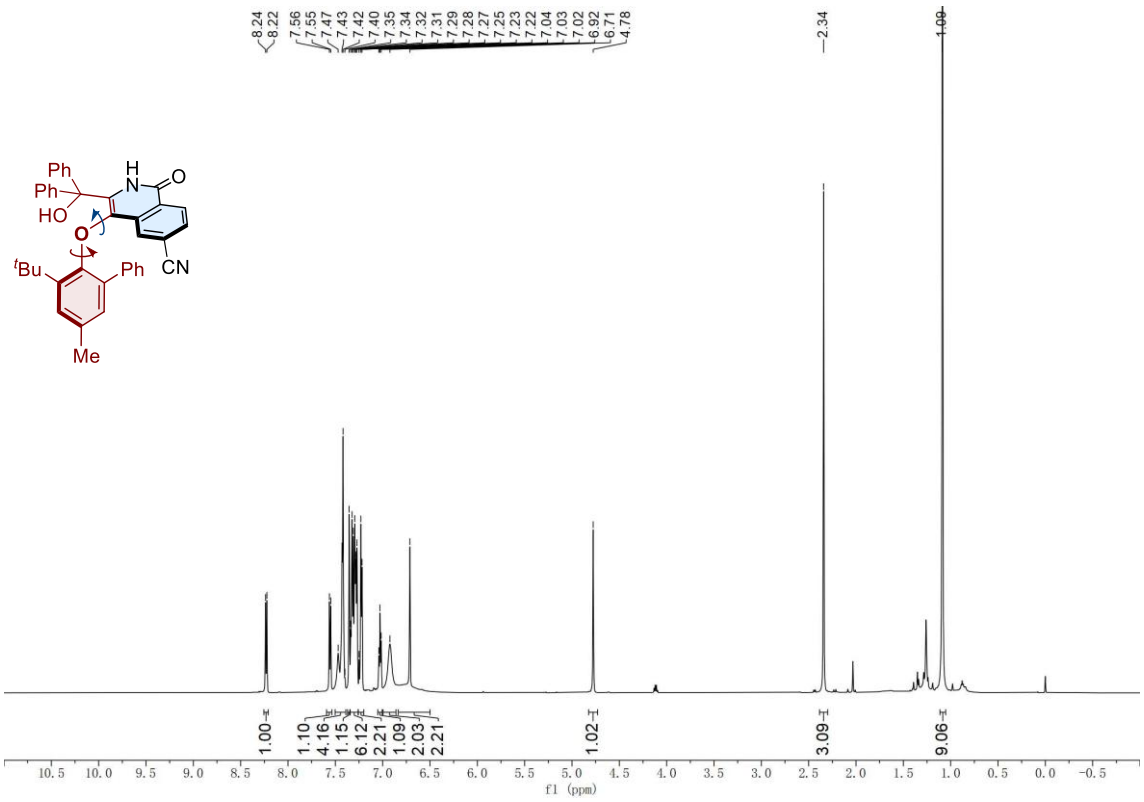
^{1}H NMR spectrum of 3j (600 MHz, $CDCl_3$)



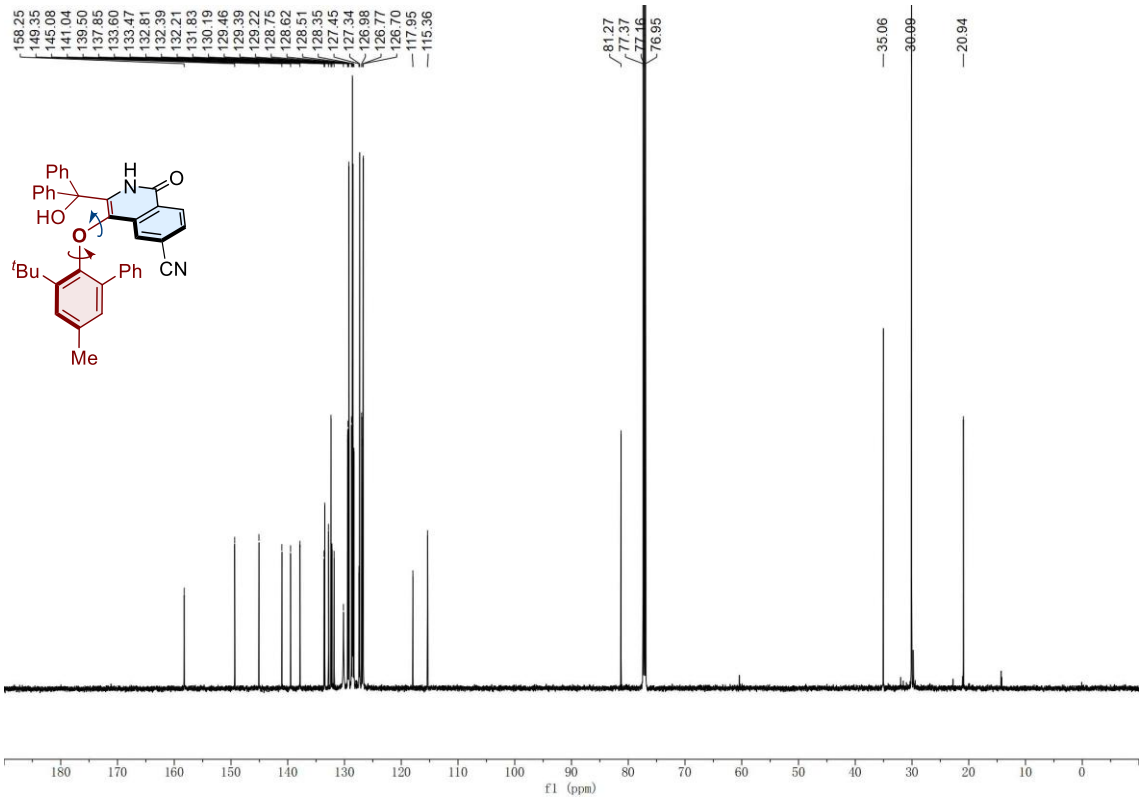
^{13}C NMR spectrum of 3j (151 MHz, $CDCl_3$)



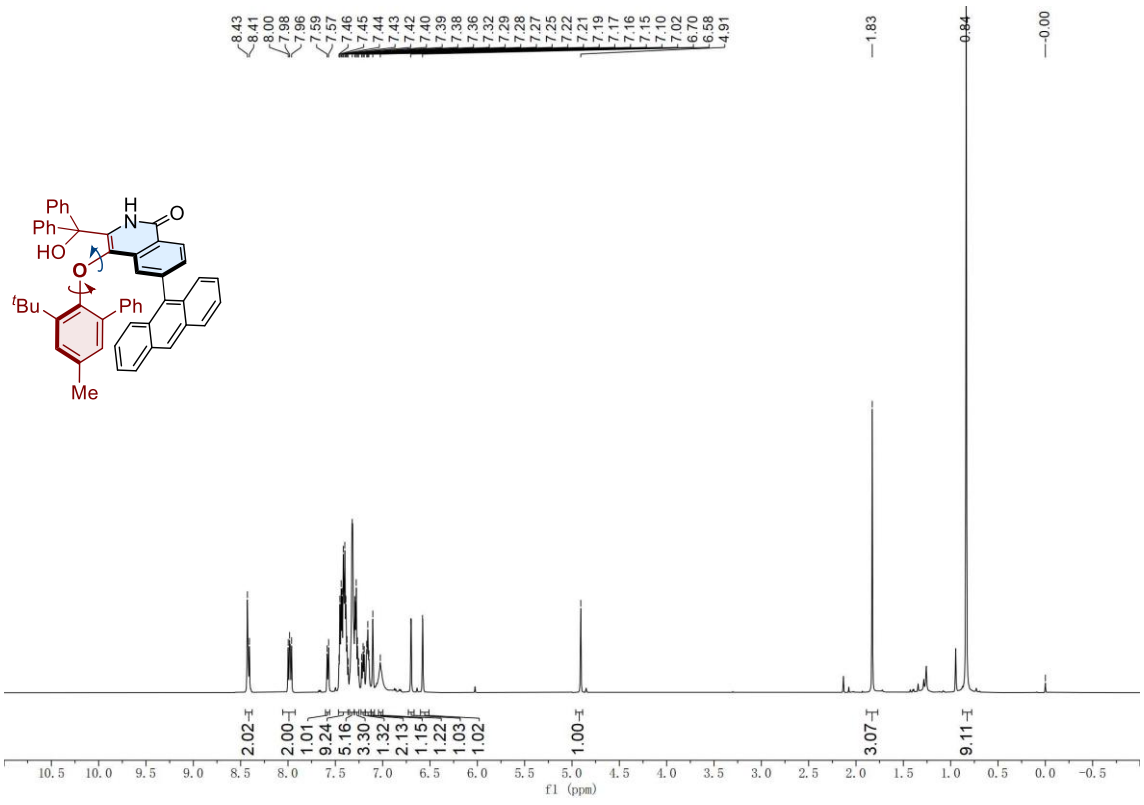
^{19}F NMR spectrum of 3j (565 MHz, $CDCl_3$)



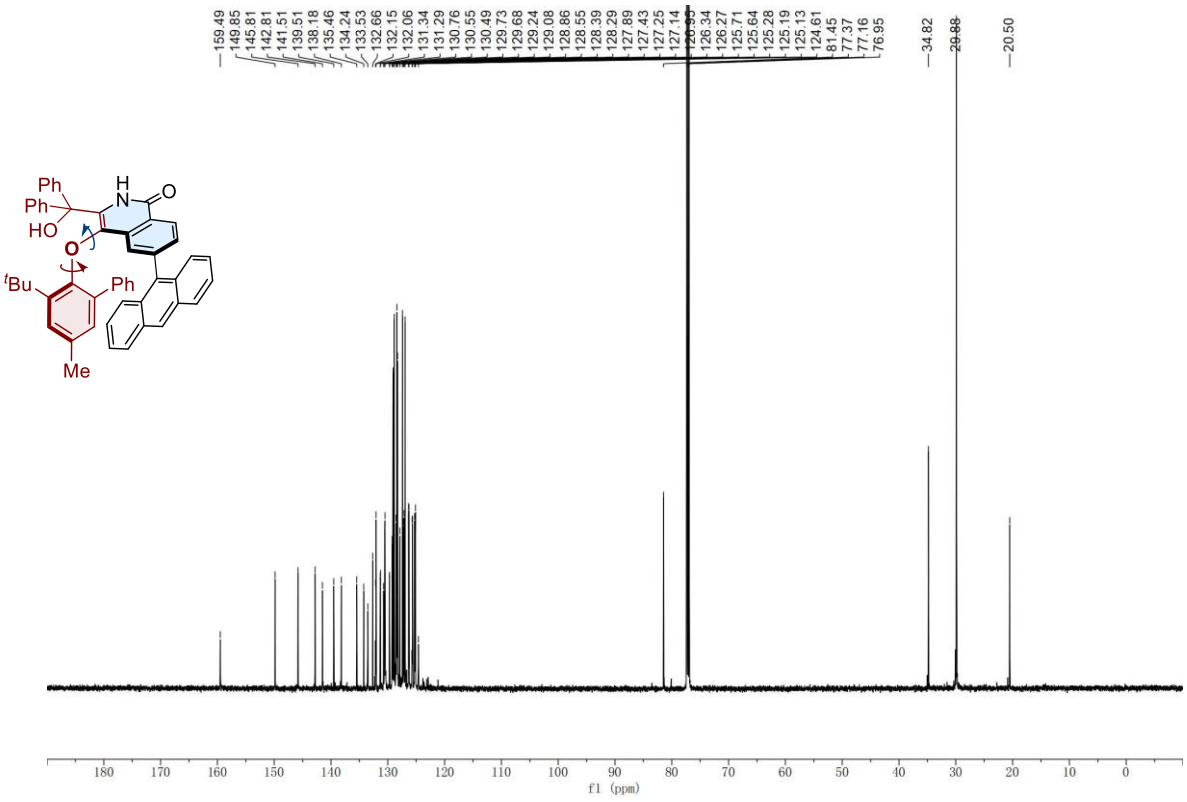
^{1}H NMR spectrum of 3k (600 MHz, $CDCl_3$)



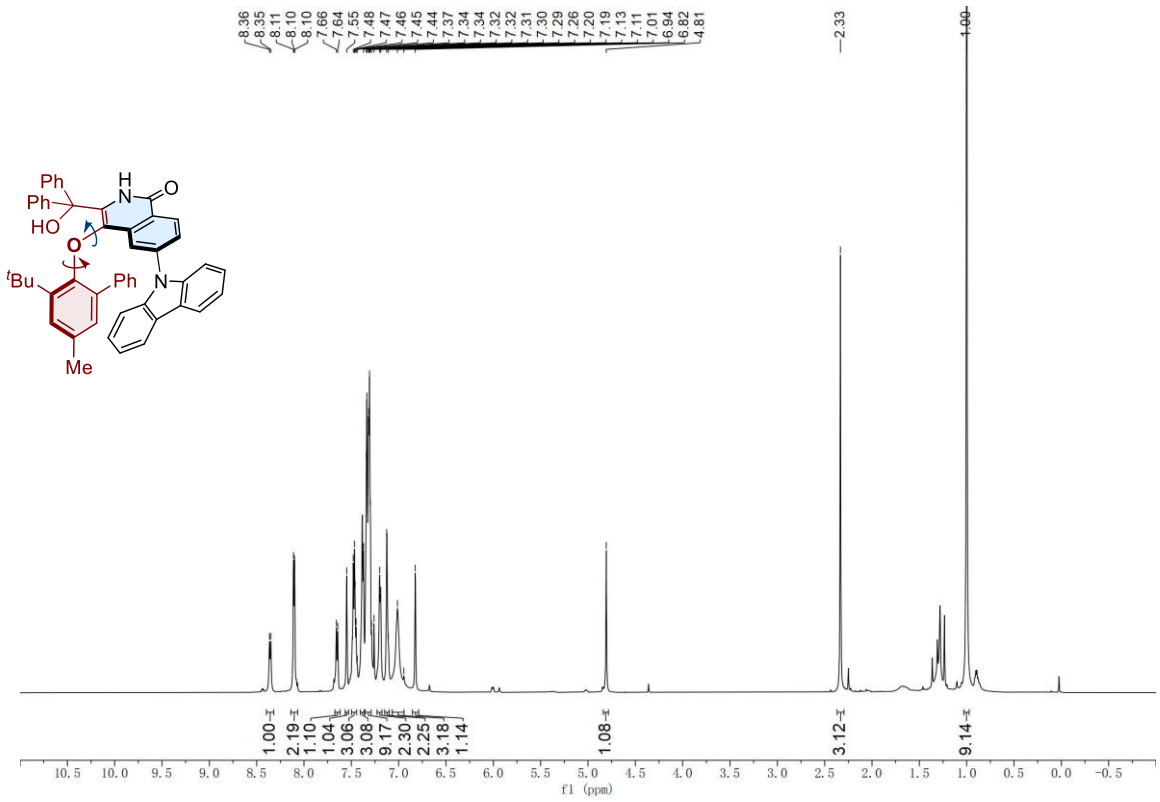
^{13}C NMR spectrum of 3k (151 MHz, $CDCl_3$)



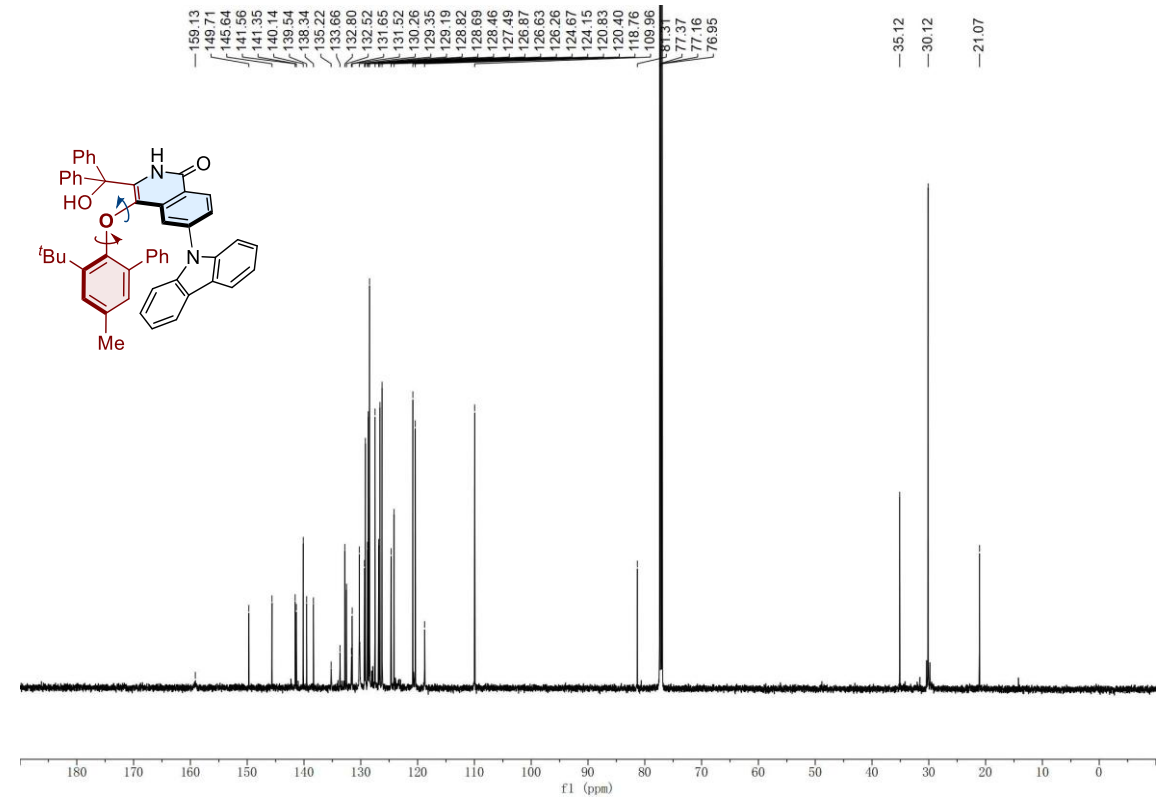
^{1}H NMR spectrum of 3l (600 MHz, $CDCl_3$)



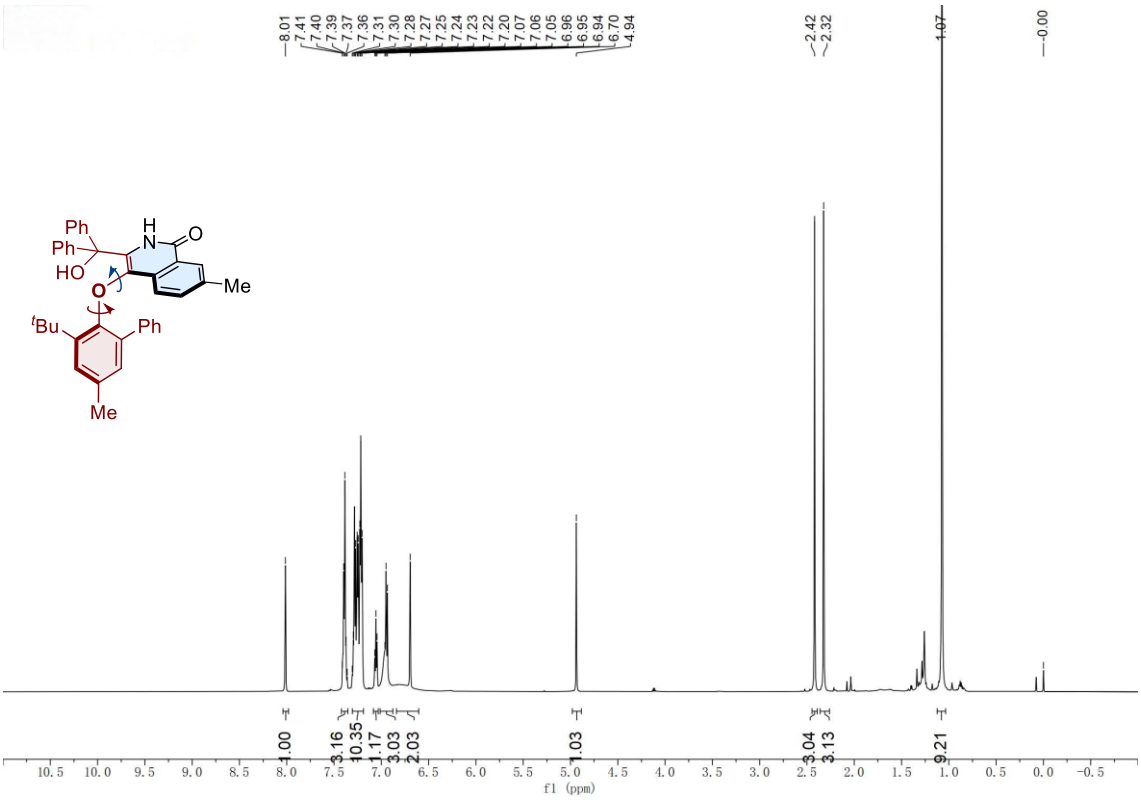
^{13}C NMR spectrum of 3l (151 MHz, $CDCl_3$)



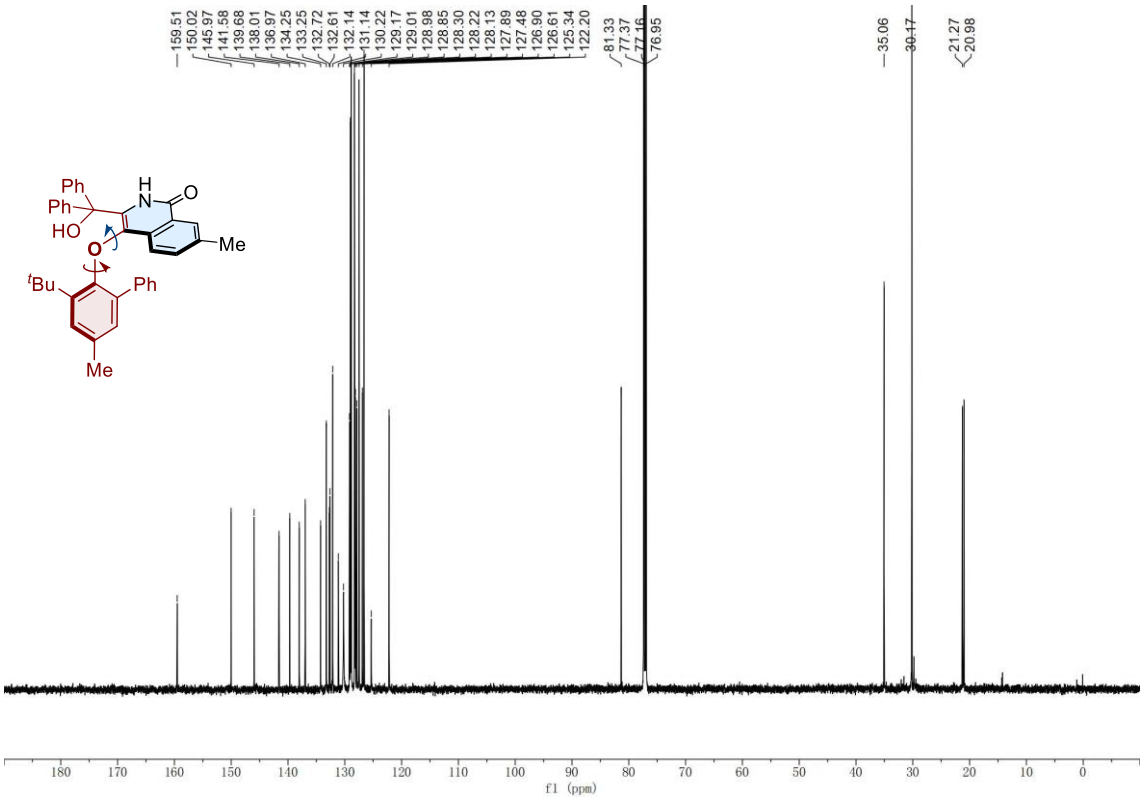
^{1}H NMR spectrum of 3m (600 MHz, $CDCl_3$)



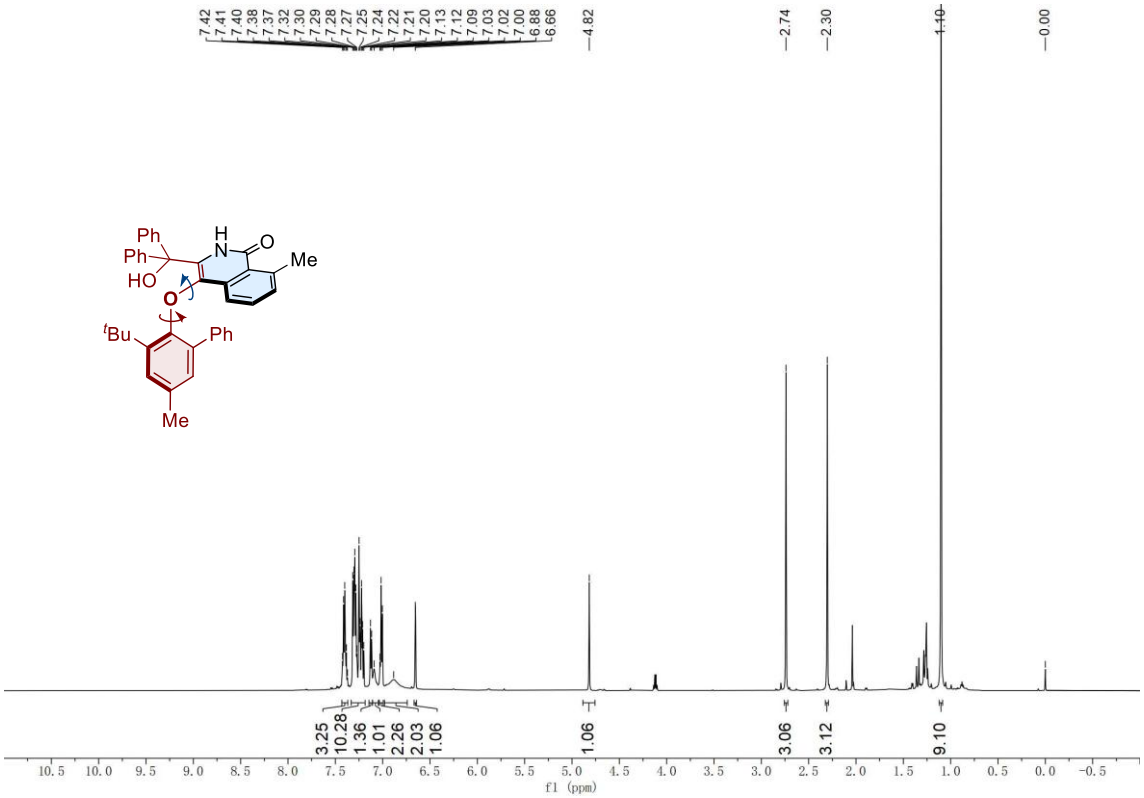
^{13}C NMR spectrum of 3m (151 MHz, $CDCl_3$)



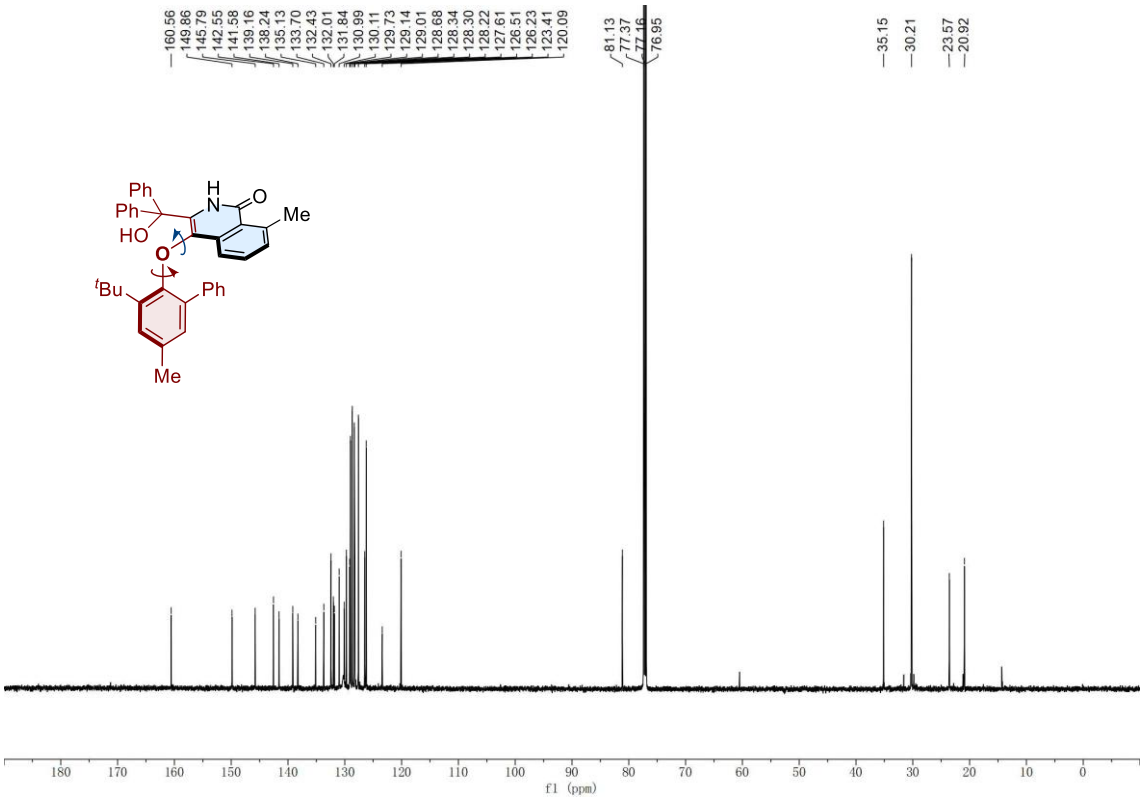
^{1}H NMR spectrum of 3n (600 MHz, $CDCl_3$)



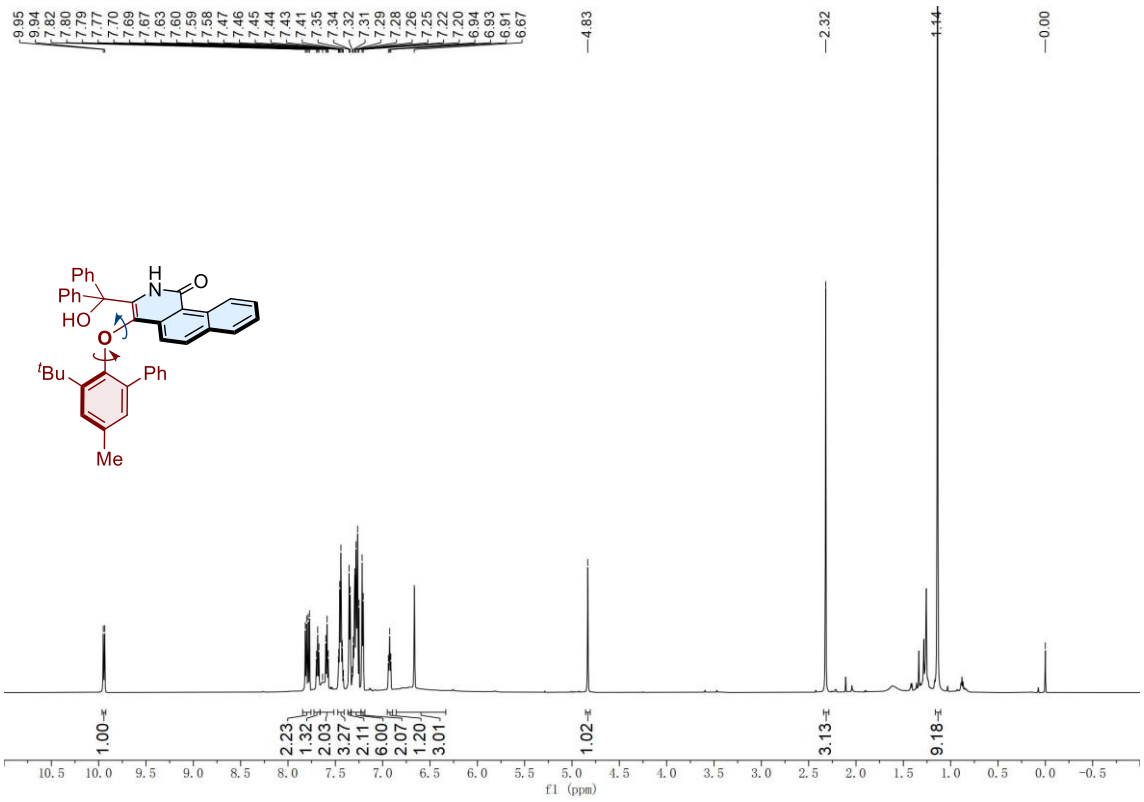
^{13}C NMR spectrum of 3n (151 MHz, $CDCl_3$)



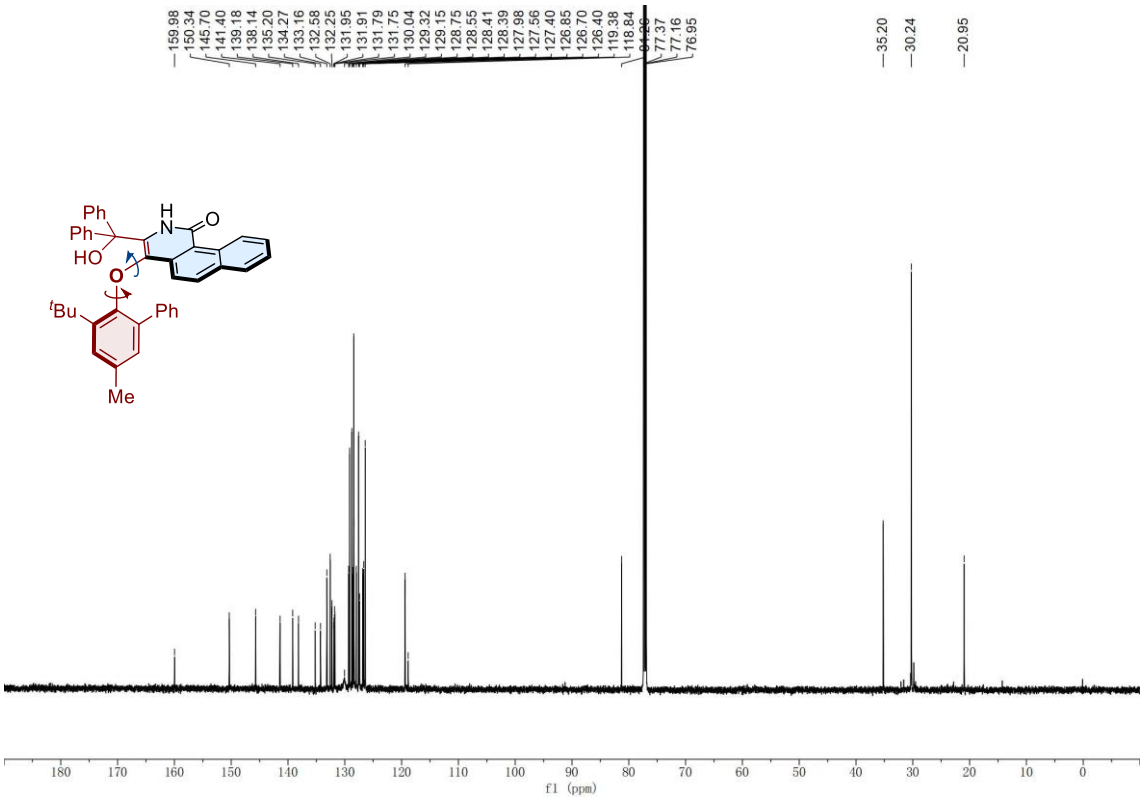
^{1}H NMR spectrum of 3o (600 MHz, $CDCl_3$)



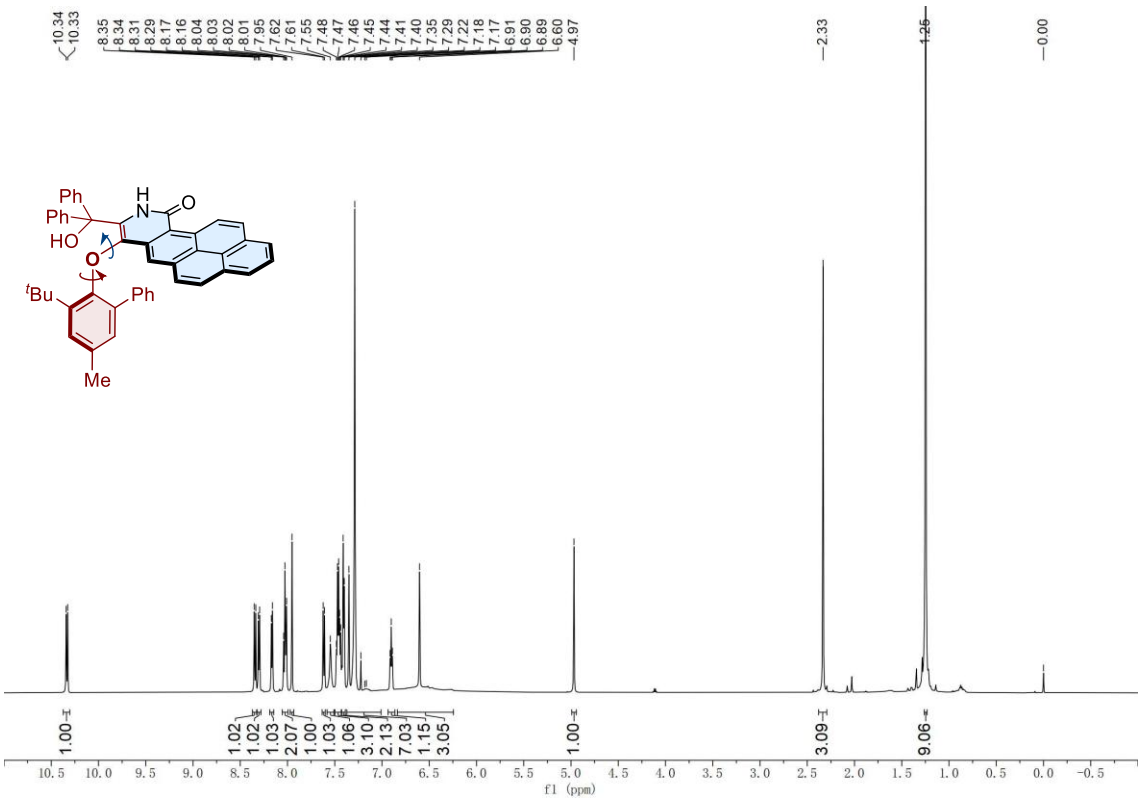
^{13}C NMR spectrum of 3o (151 MHz, $CDCl_3$)



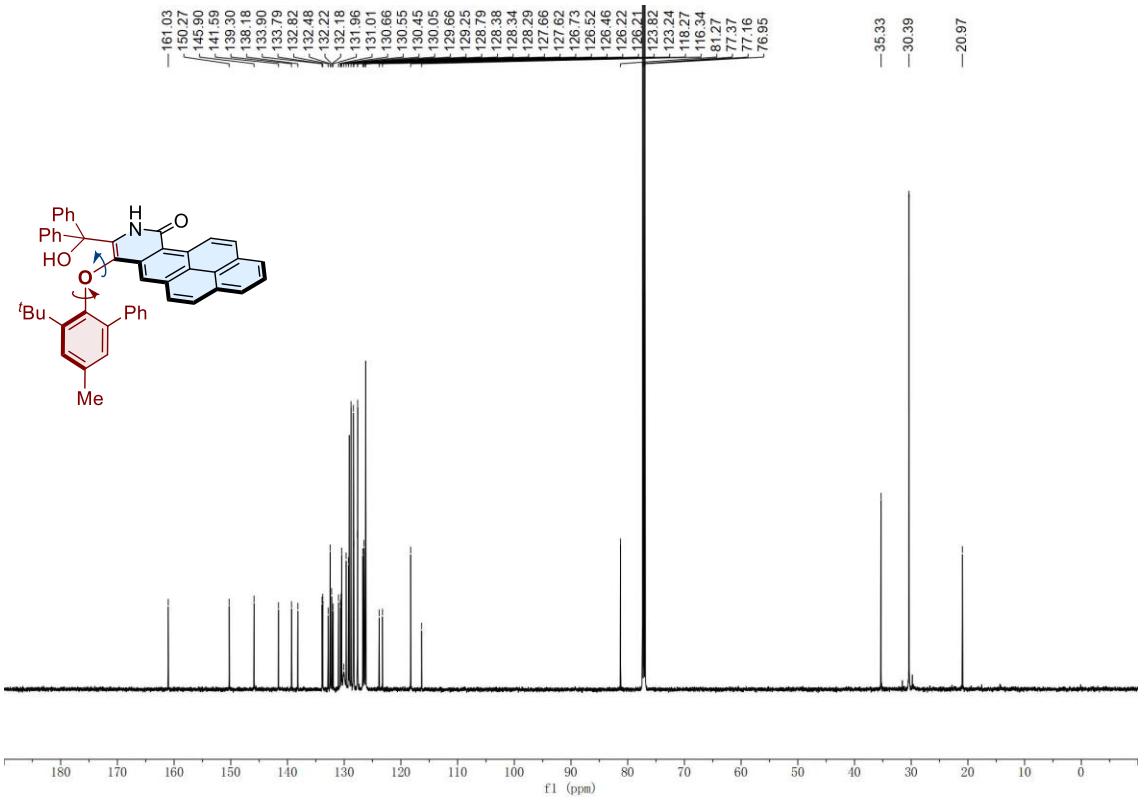
^{1}H NMR spectrum of 3p (600 MHz, $CDCl_3$)



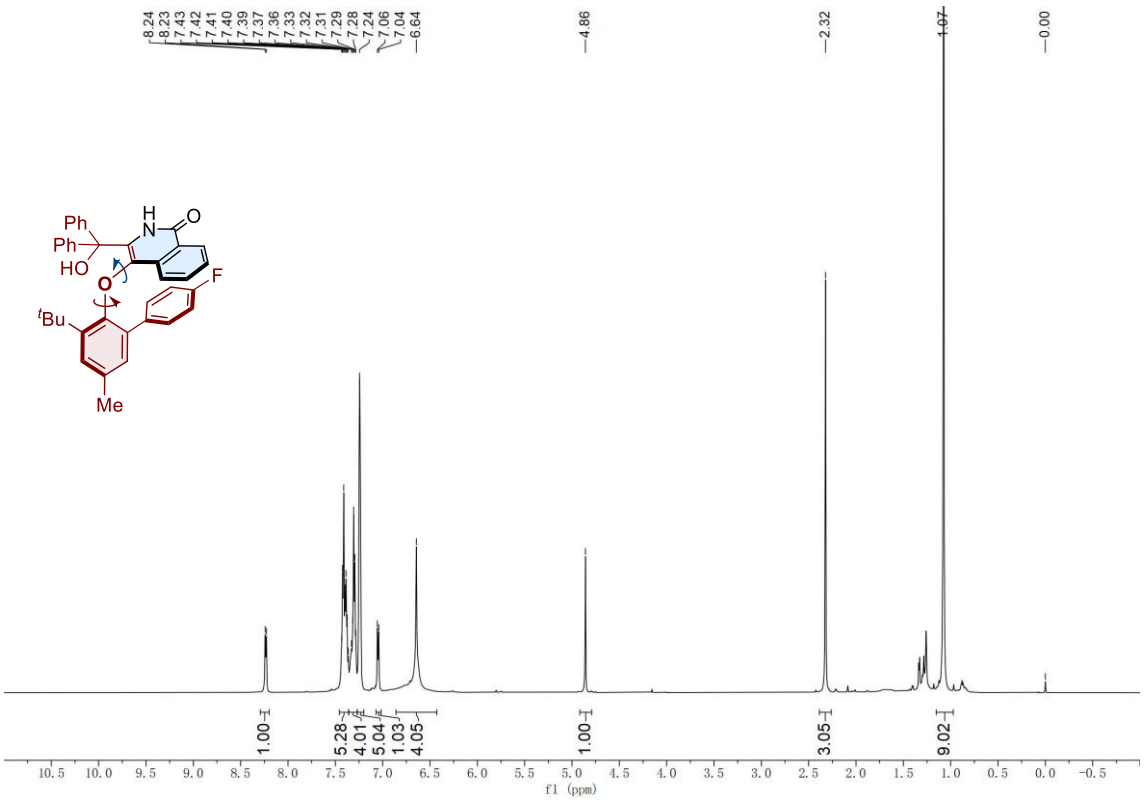
^{13}C NMR spectrum of 3p (151 MHz, $CDCl_3$)



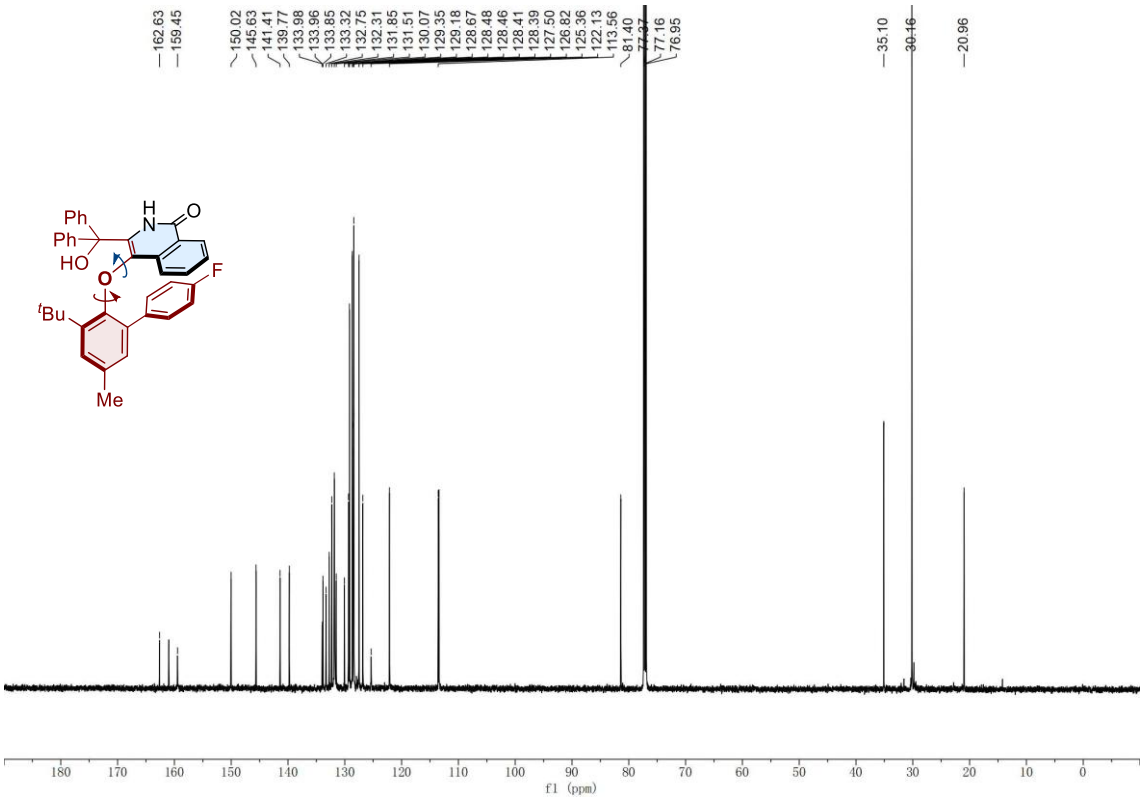
^{1}H NMR spectrum of 3q (600 MHz, $CDCl_3$)



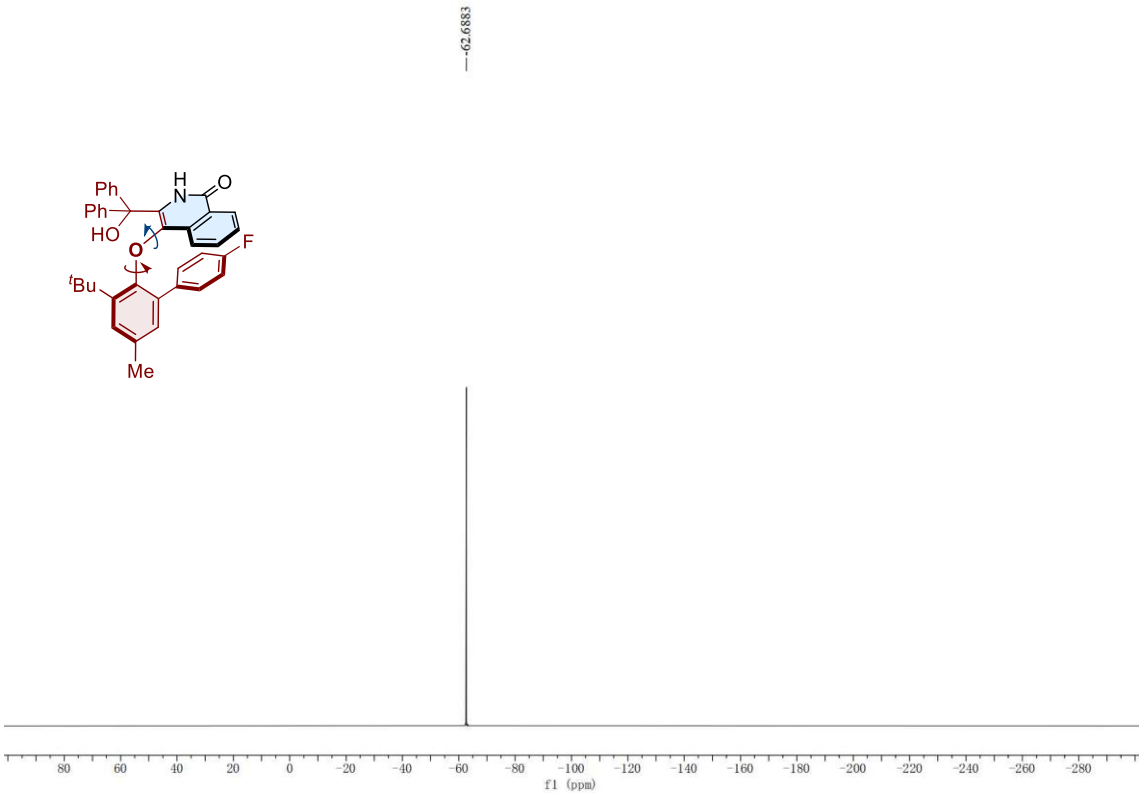
^{13}C NMR spectrum of 3q (151 MHz, $CDCl_3$)



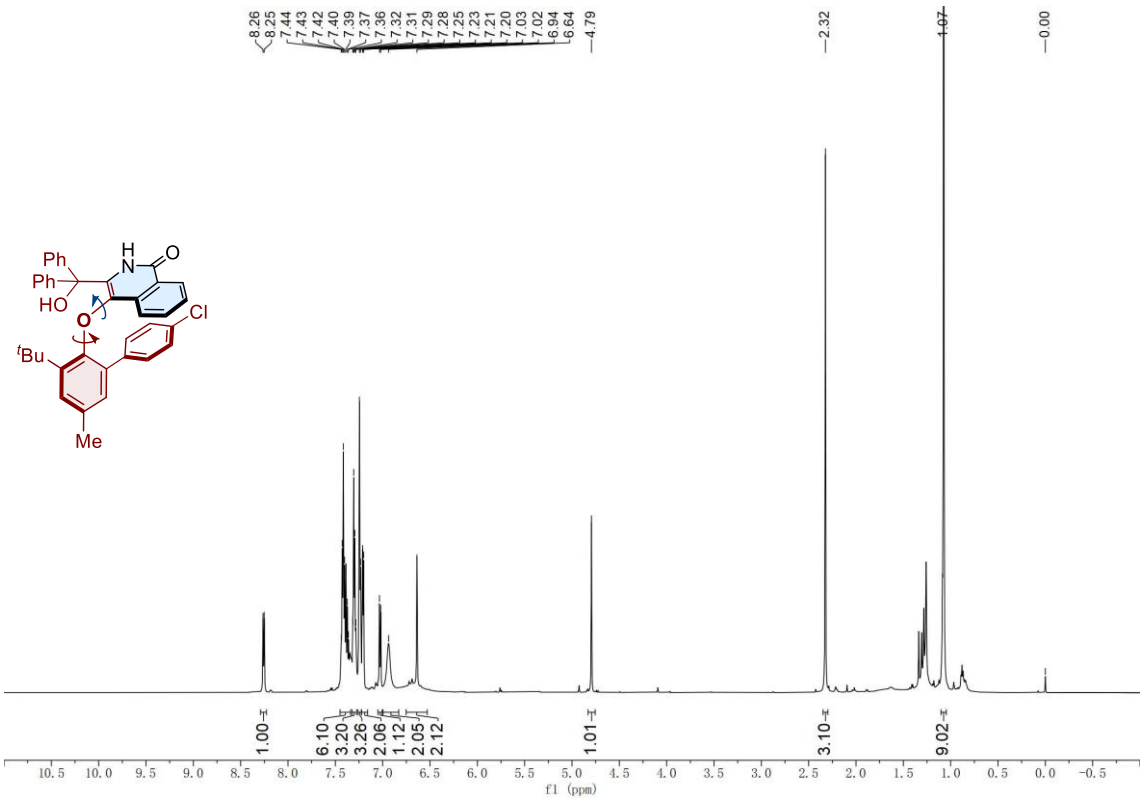
^{1}H NMR spectrum of 3r (600 MHz, $CDCl_3$)



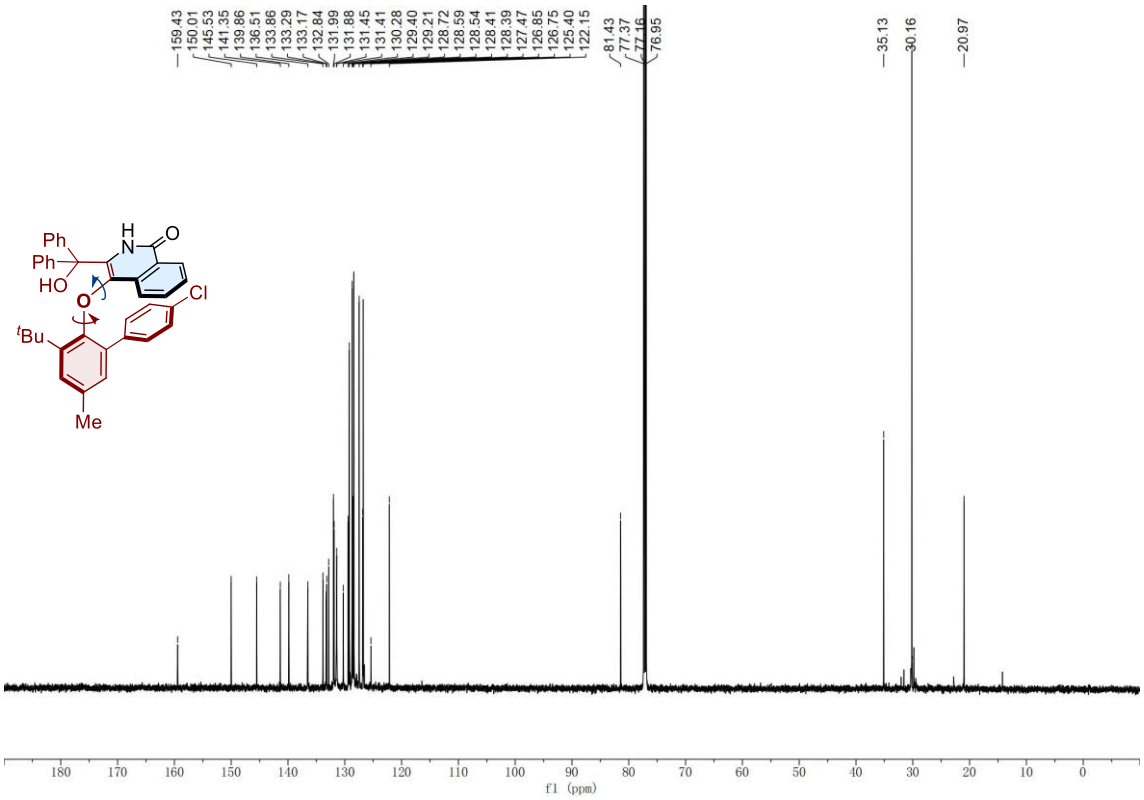
^{13}C NMR spectrum of 3r (151 MHz, $CDCl_3$)



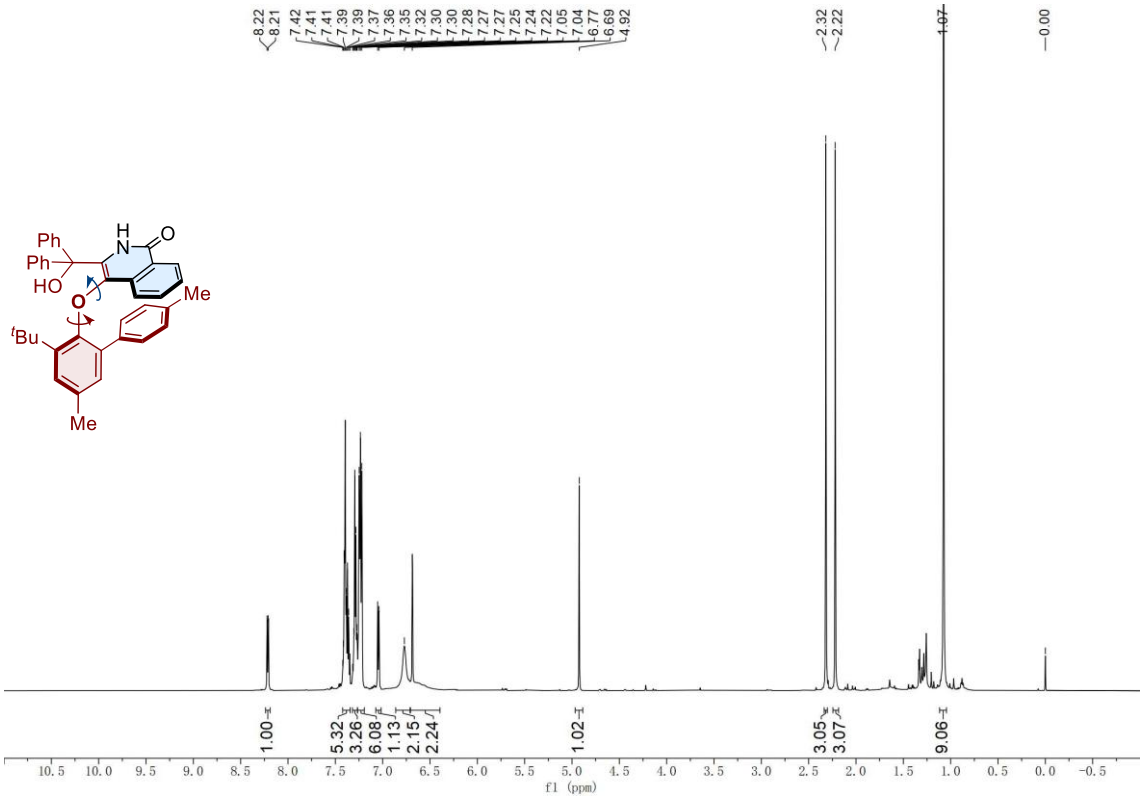
^{19}F NMR spectrum of 3r (565 MHz, $CDCl_3$)



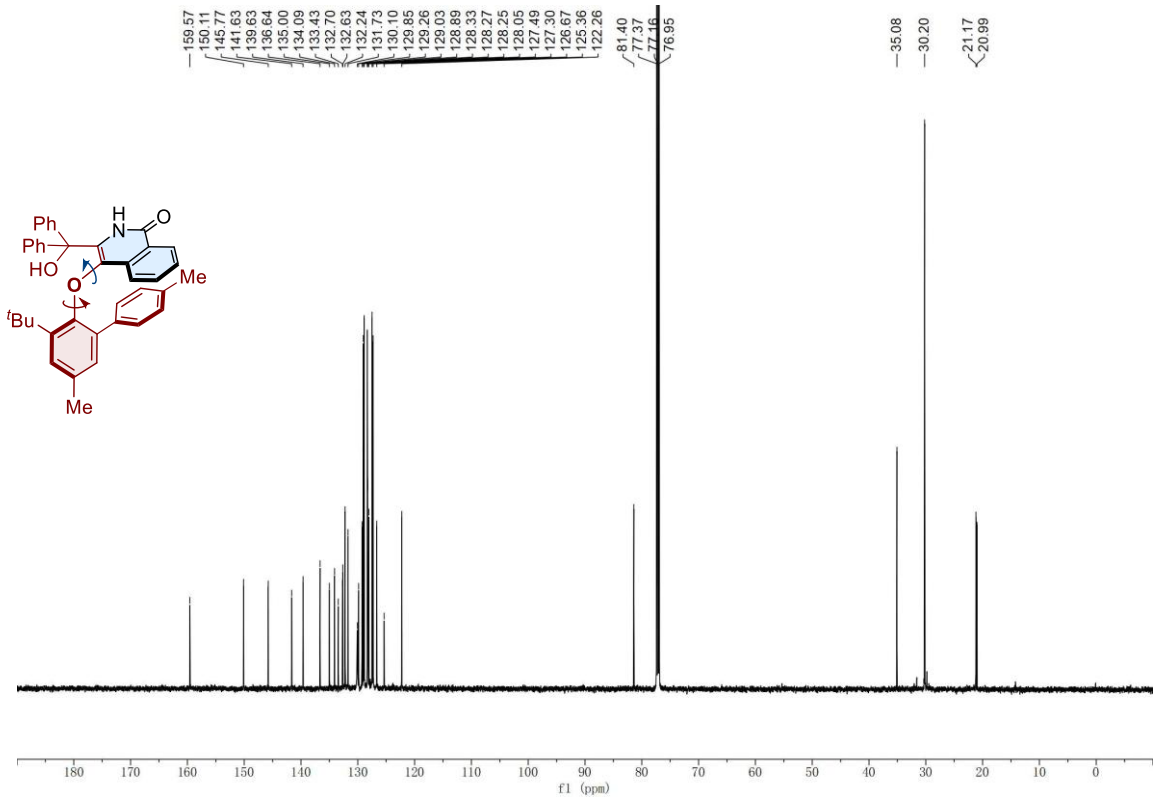
^{1}H NMR spectrum of 3s (600 MHz, $CDCl_3$)



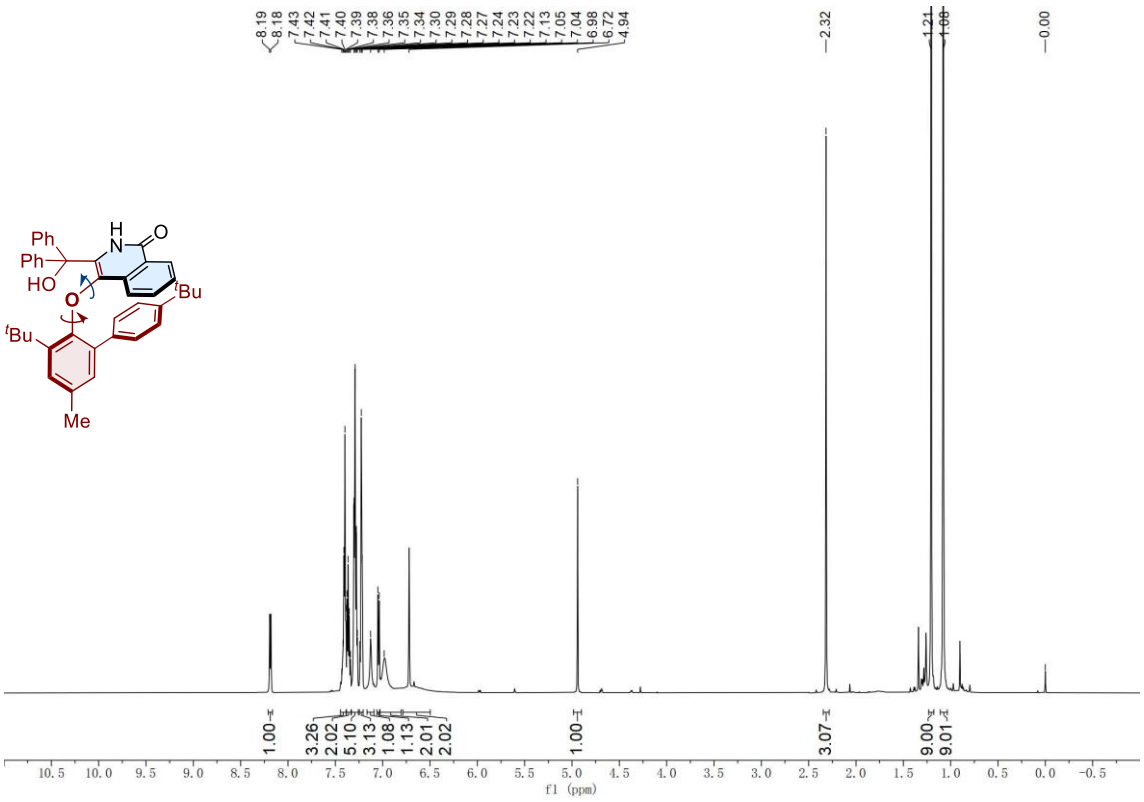
^{13}C NMR spectrum of 3s (151 MHz, $CDCl_3$)



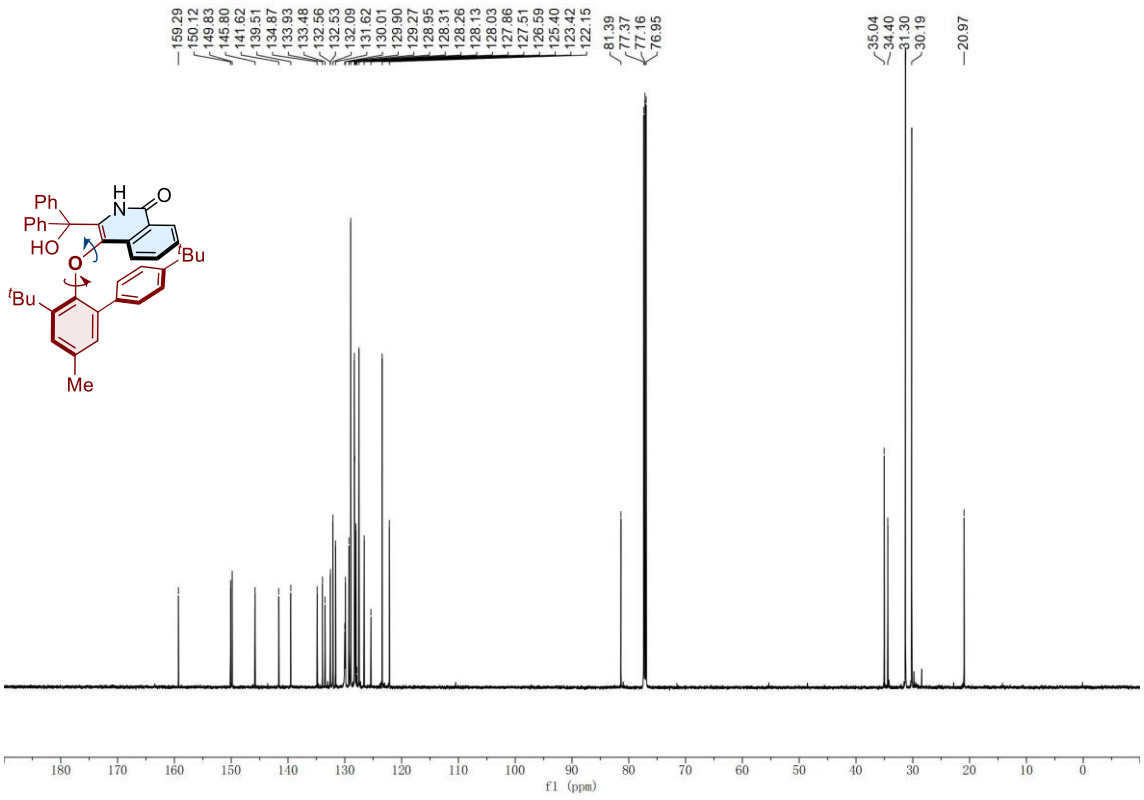
^{1}H NMR spectrum of 3t (600 MHz, $CDCl_3$)



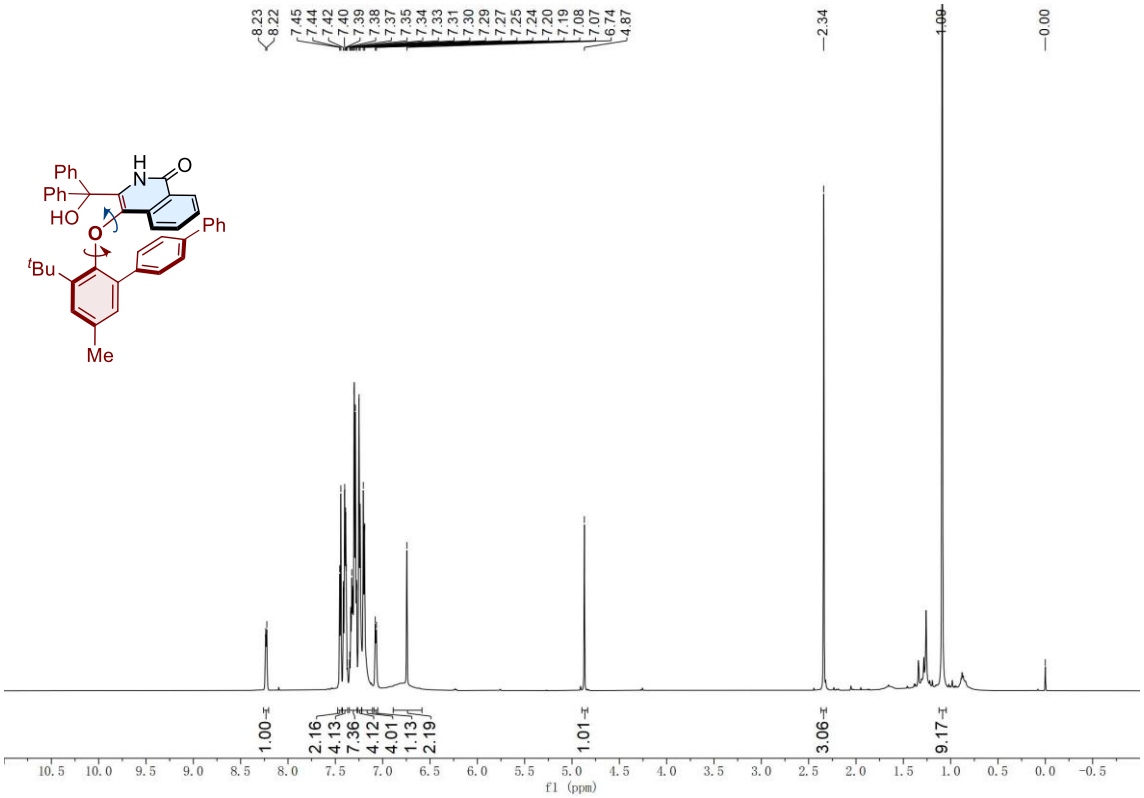
^{13}C NMR spectrum of 3t (151 MHz, $CDCl_3$)



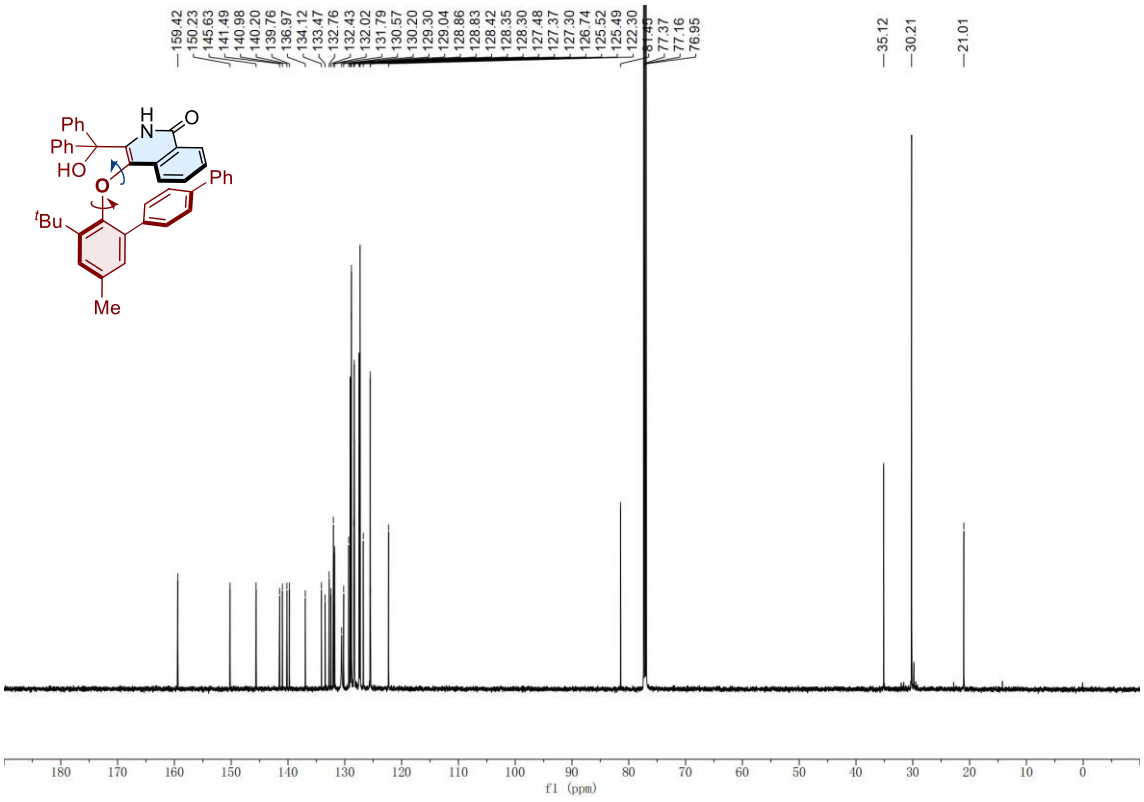
^{1}H NMR spectrum of 3u (600 MHz, $CDCl_3$)



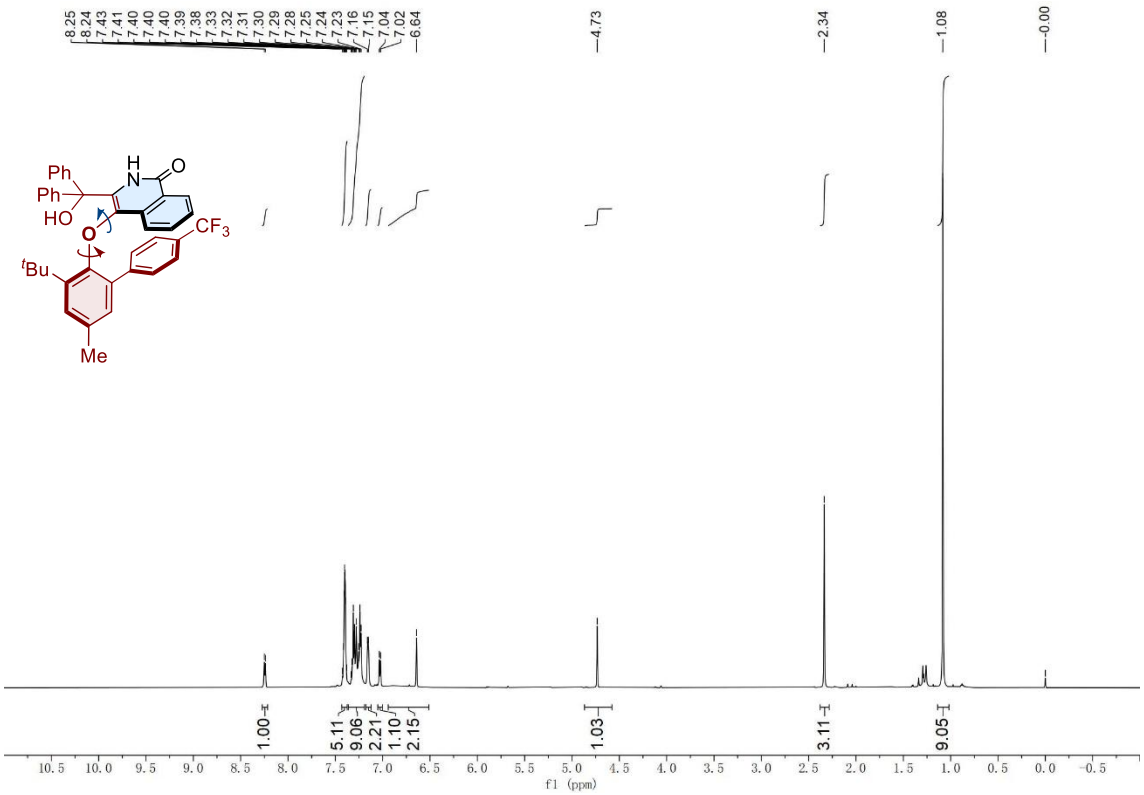
^{13}C NMR spectrum of 3u (151 MHz, $CDCl_3$)



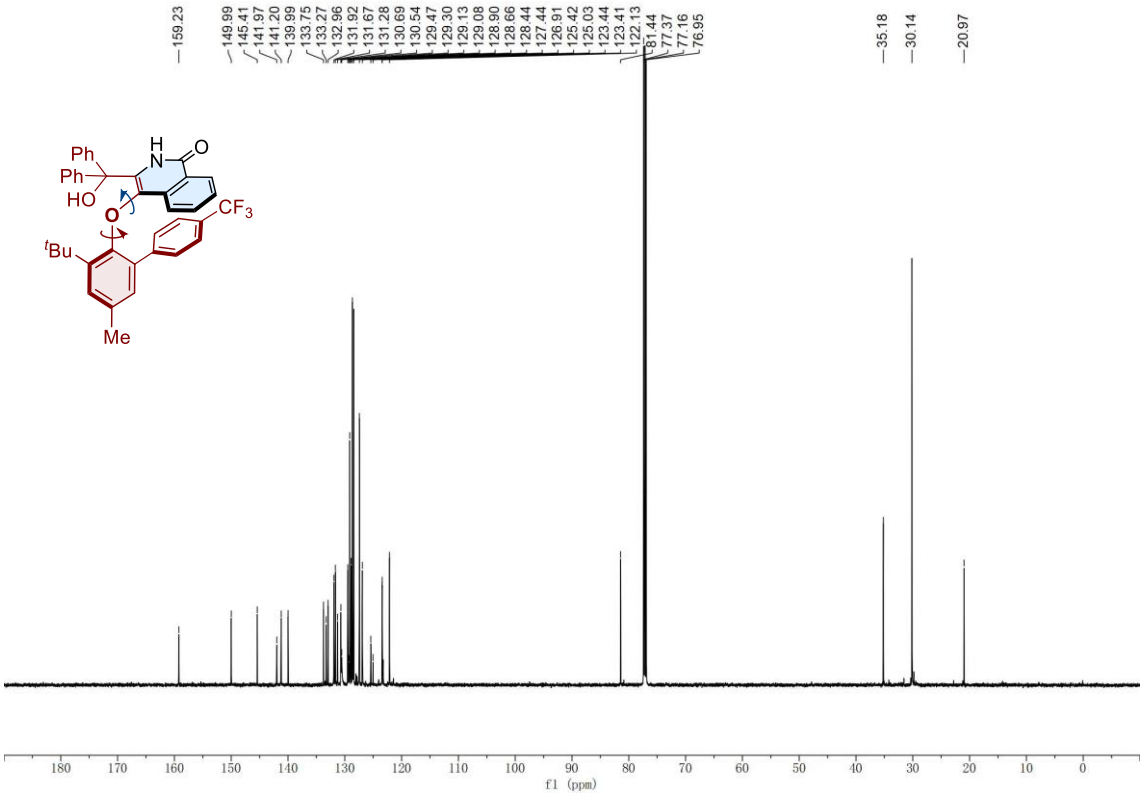
^{1}H NMR spectrum of 3v (600 MHz, $CDCl_3$)



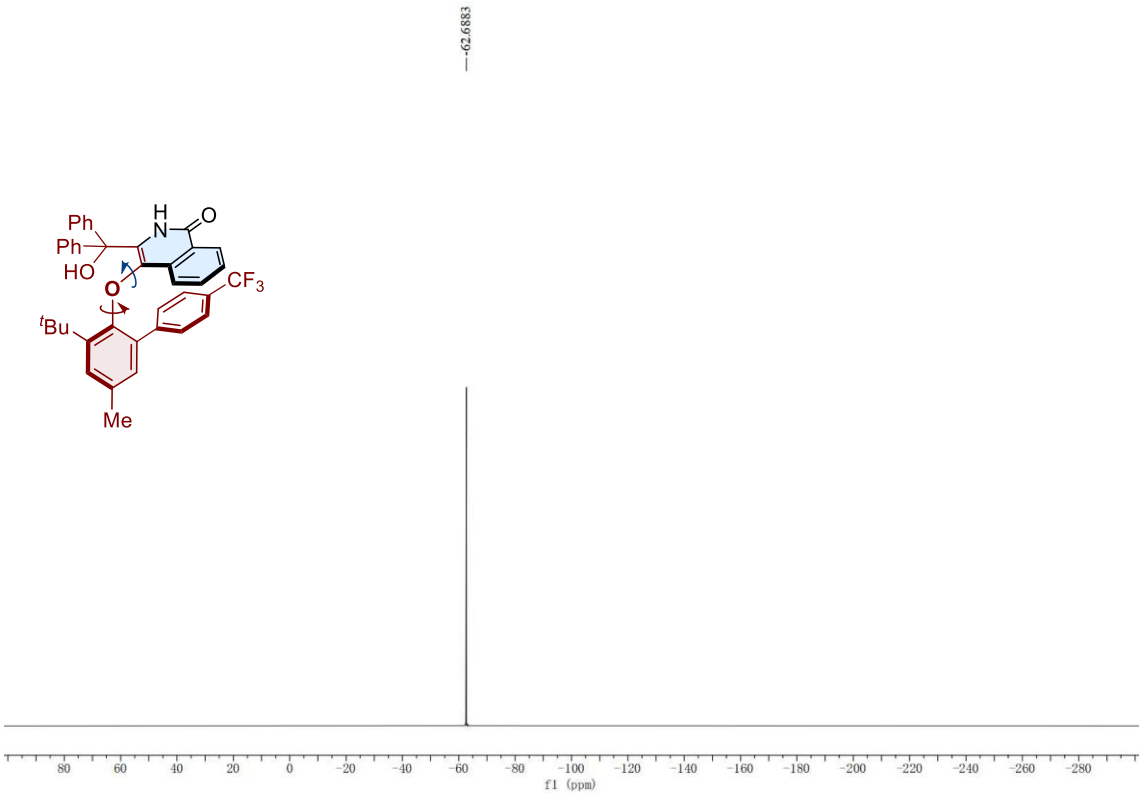
^{13}C NMR spectrum of 3v (151 MHz, $CDCl_3$)



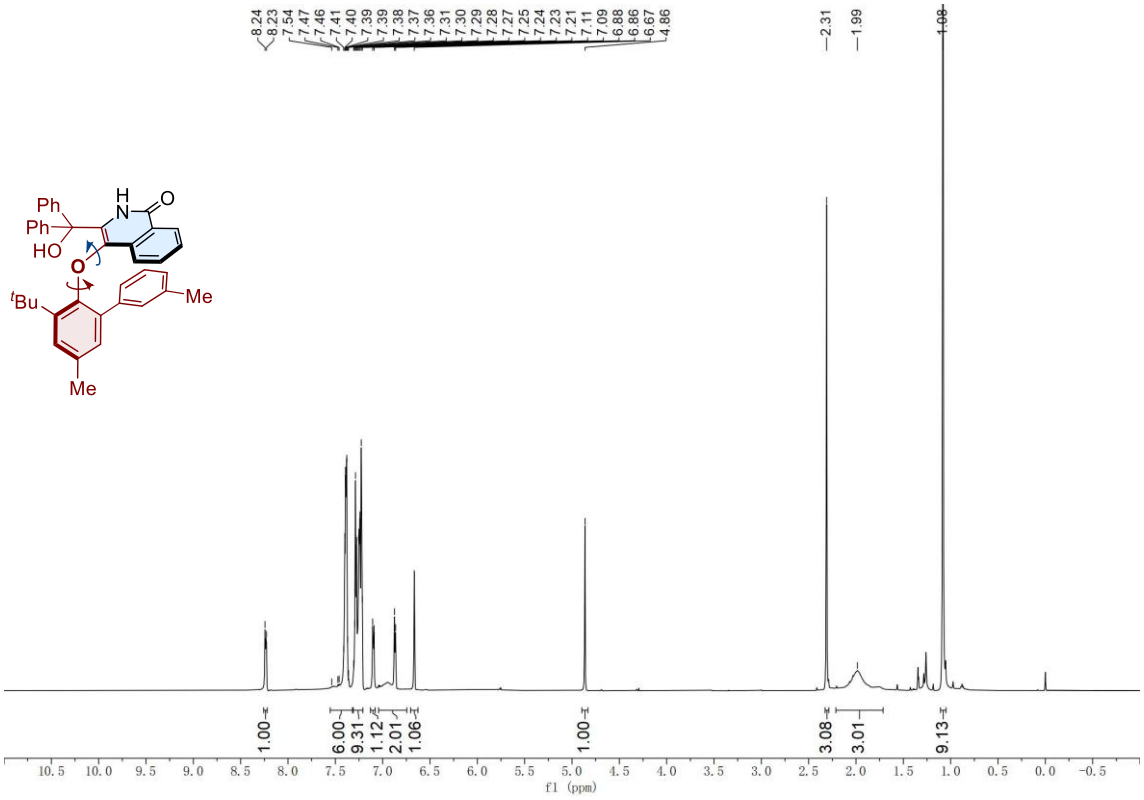
^{1}H NMR spectrum of 3w (600 MHz, $CDCl_3$)



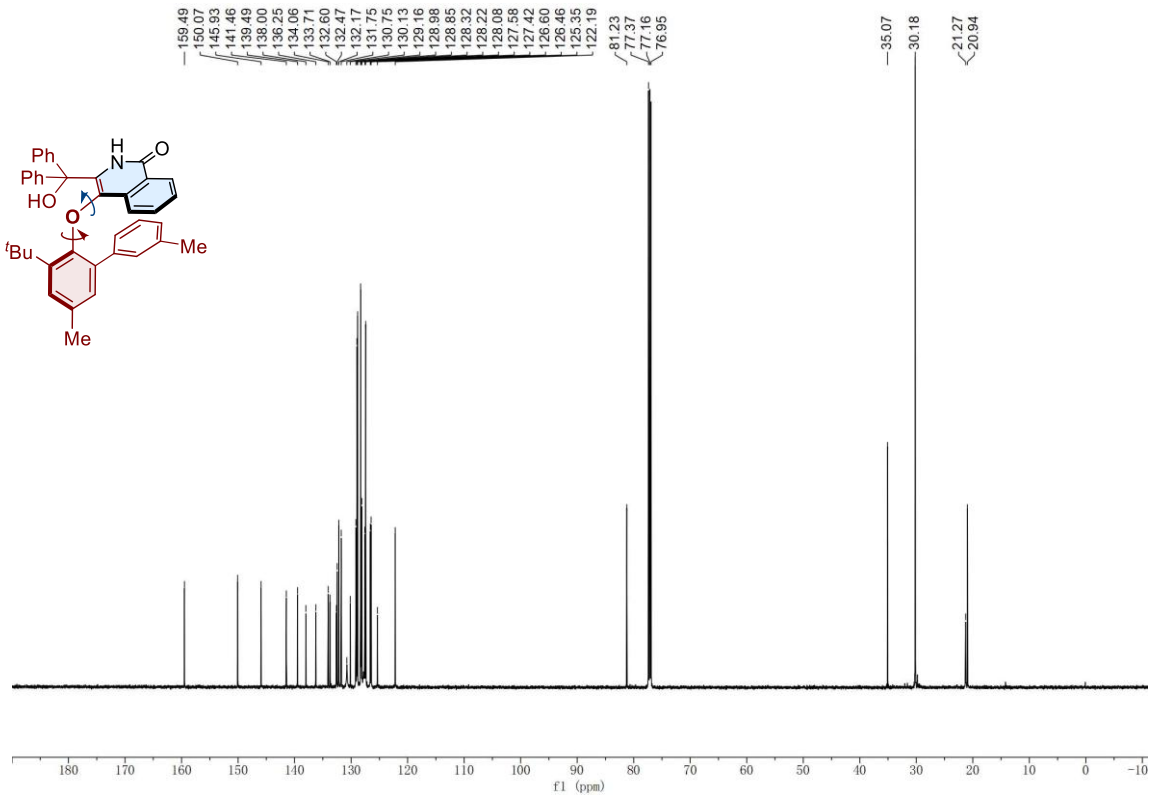
^{13}C NMR spectrum of 3w (151 MHz, $CDCl_3$)



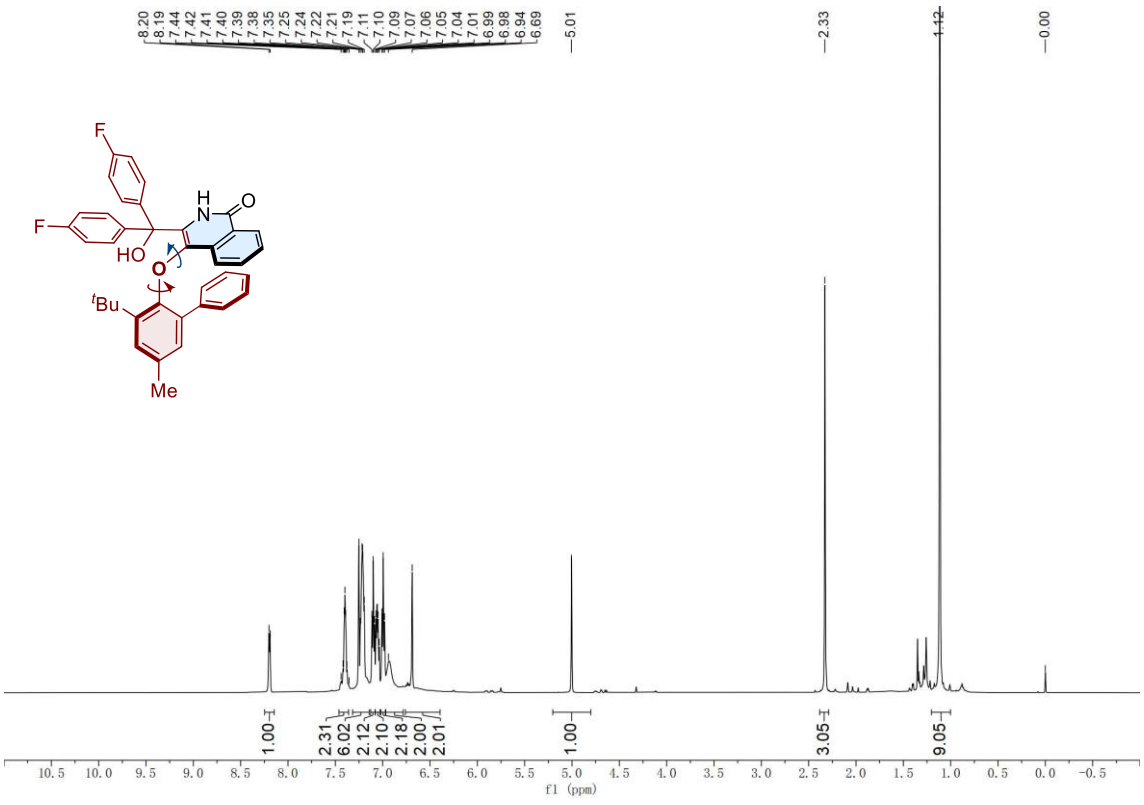
^{19}F NMR spectrum of 3w (565 MHz, $CDCl_3$)



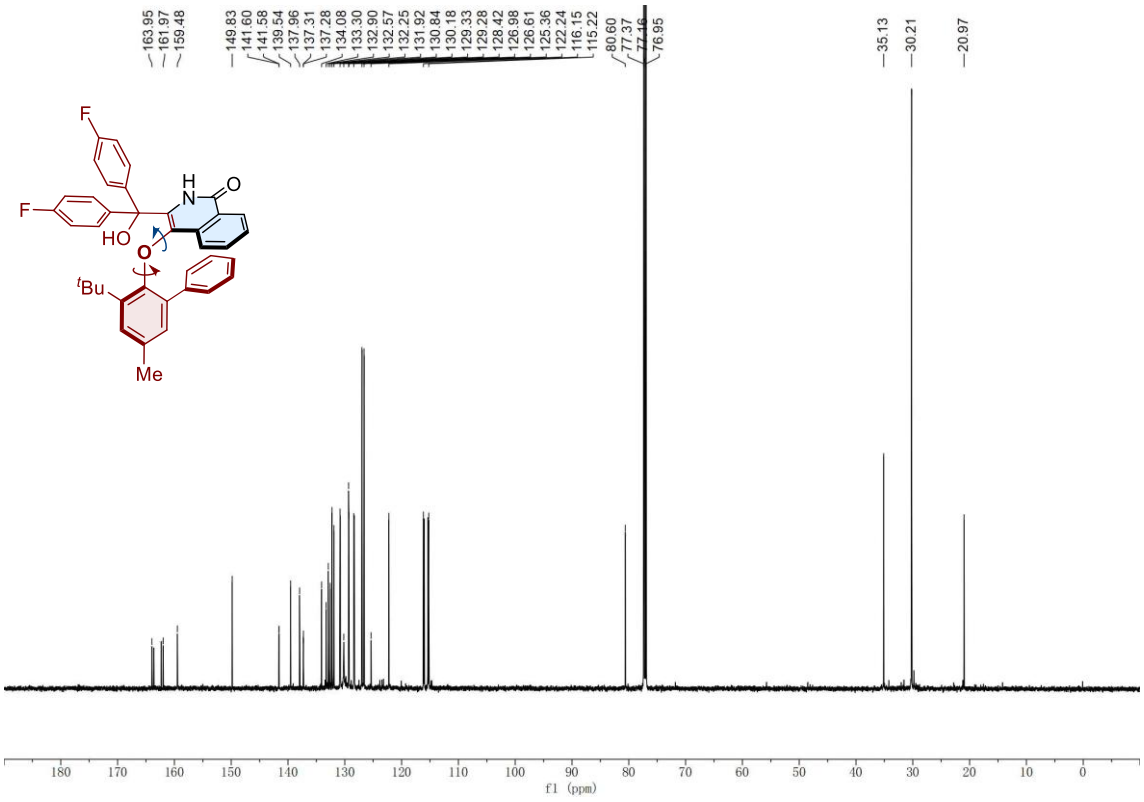
^{1}H NMR spectrum of 3x (600 MHz, $CDCl_3$)



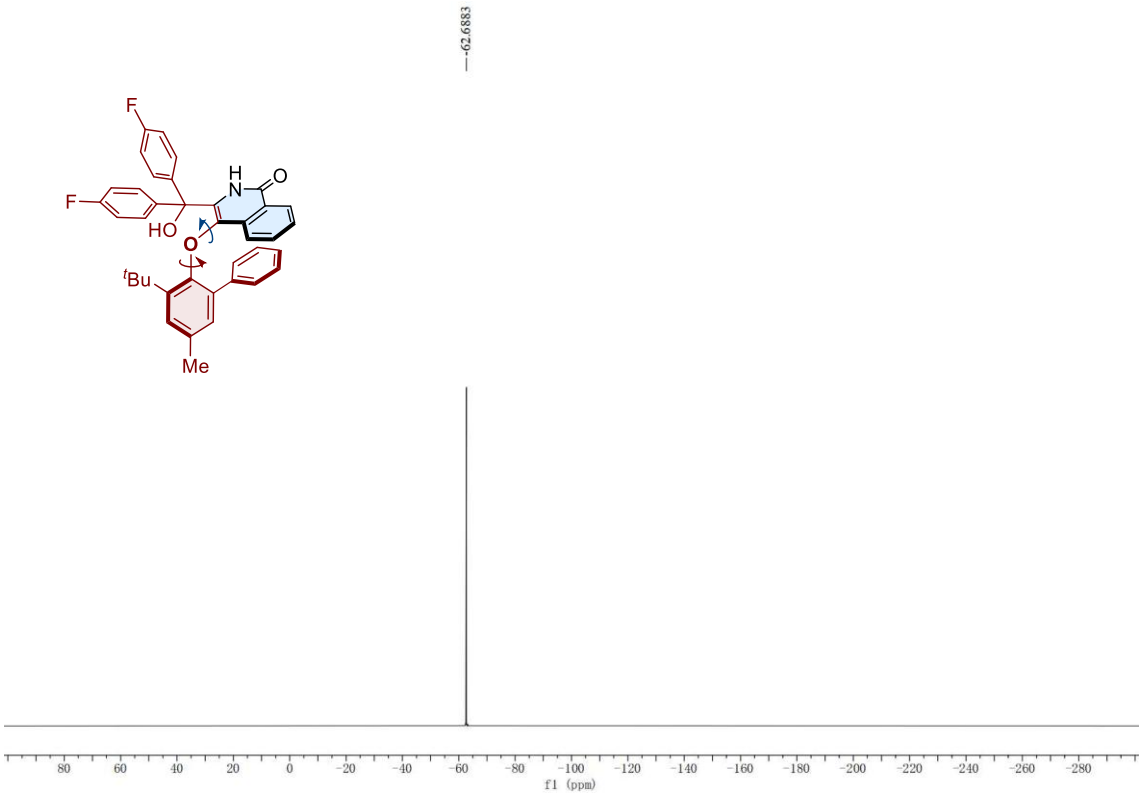
^{13}C NMR spectrum of 3x (151 MHz, $CDCl_3$)



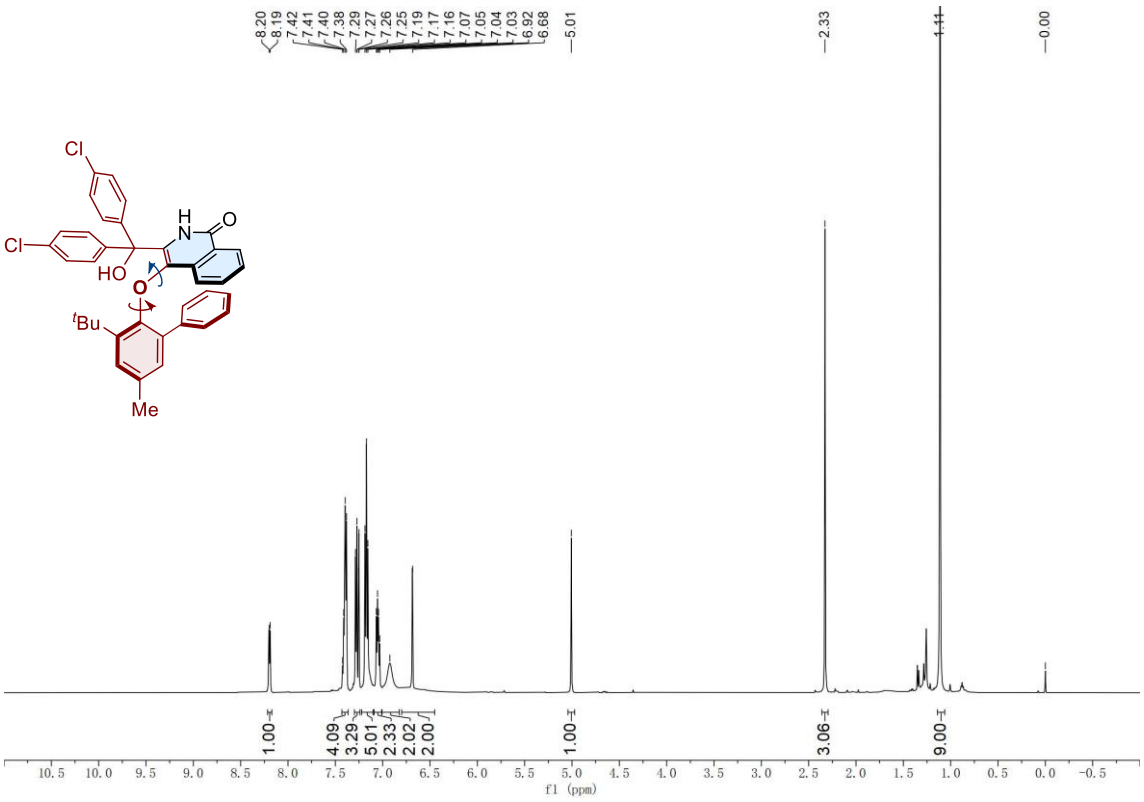
^{1}H NMR spectrum of 3y (600 MHz, $CDCl_3$)



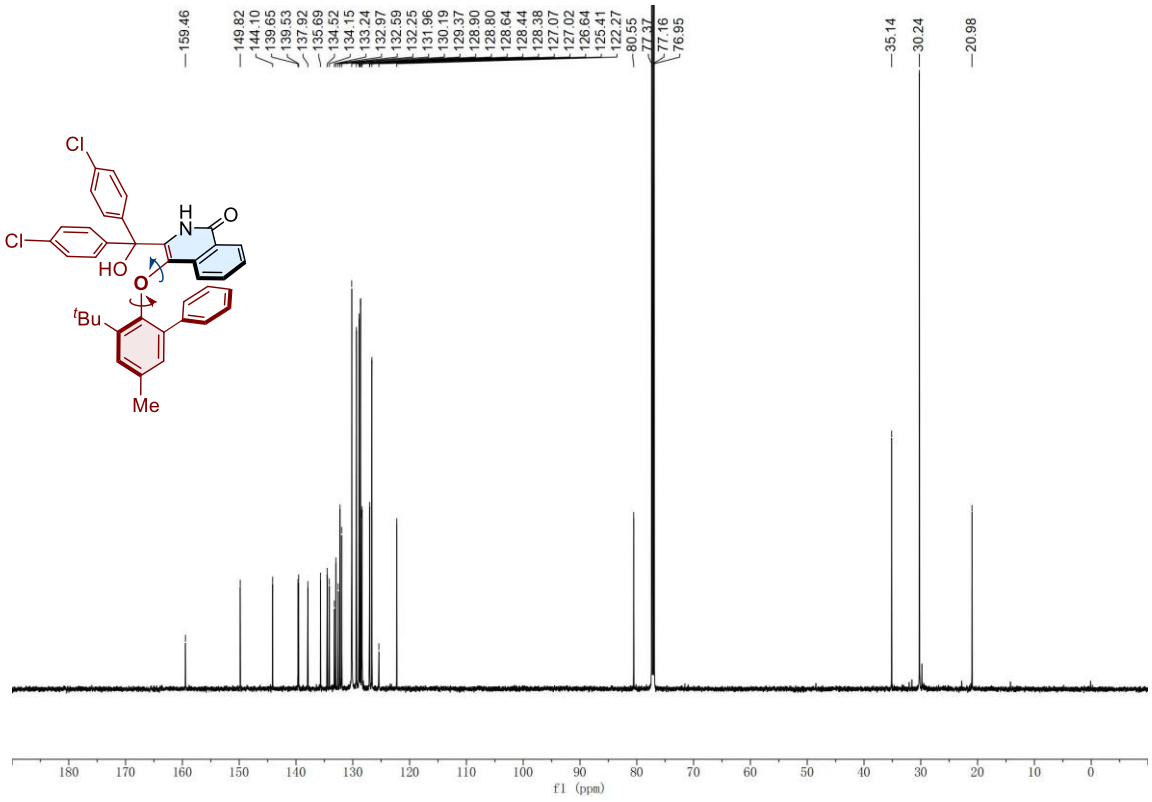
^{13}C NMR spectrum of 3y (151 MHz, $CDCl_3$)



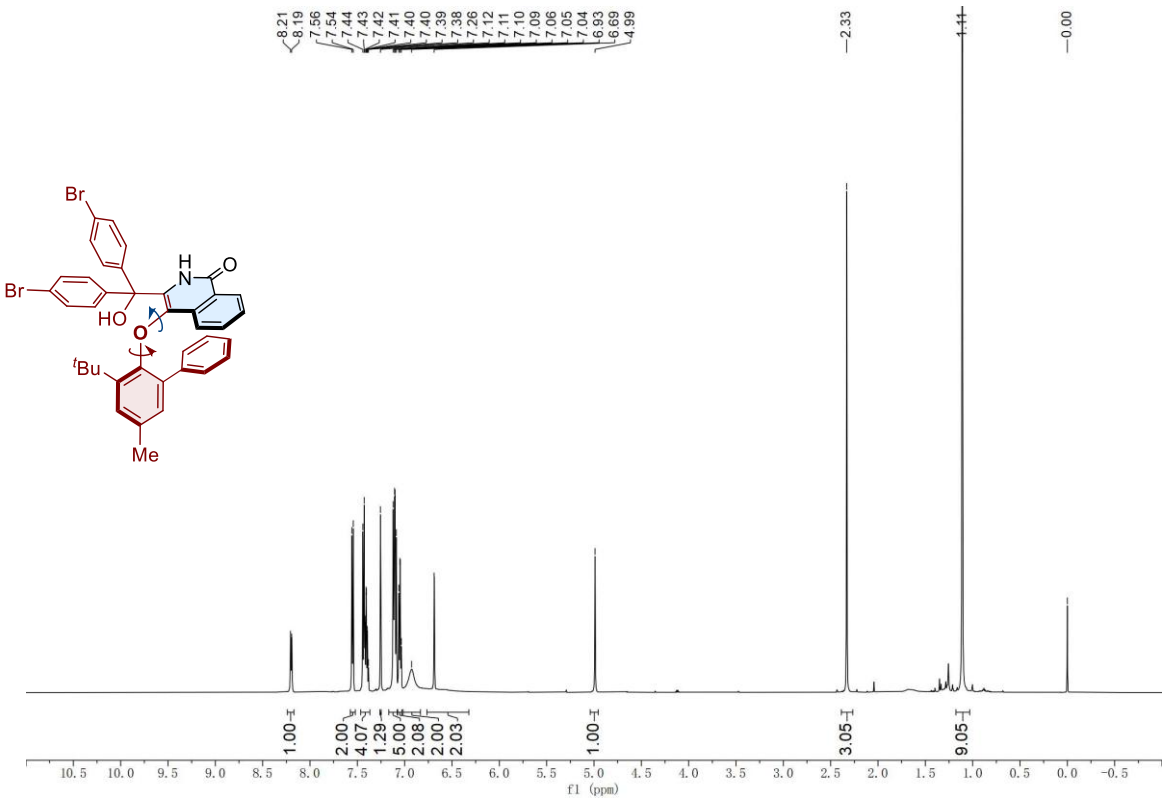
^{19}F NMR spectrum of 3y (565 MHz, $CDCl_3$)



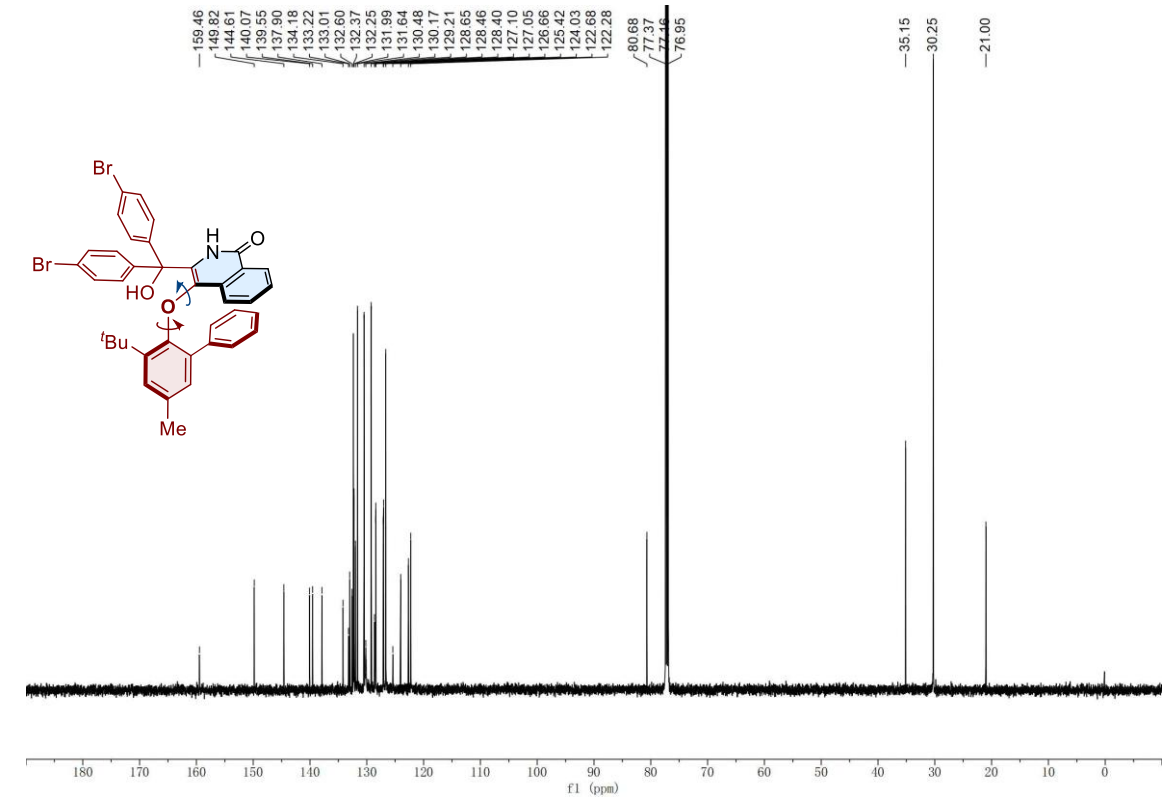
^{1}H NMR spectrum of 3z (600 MHz, $CDCl_3$)



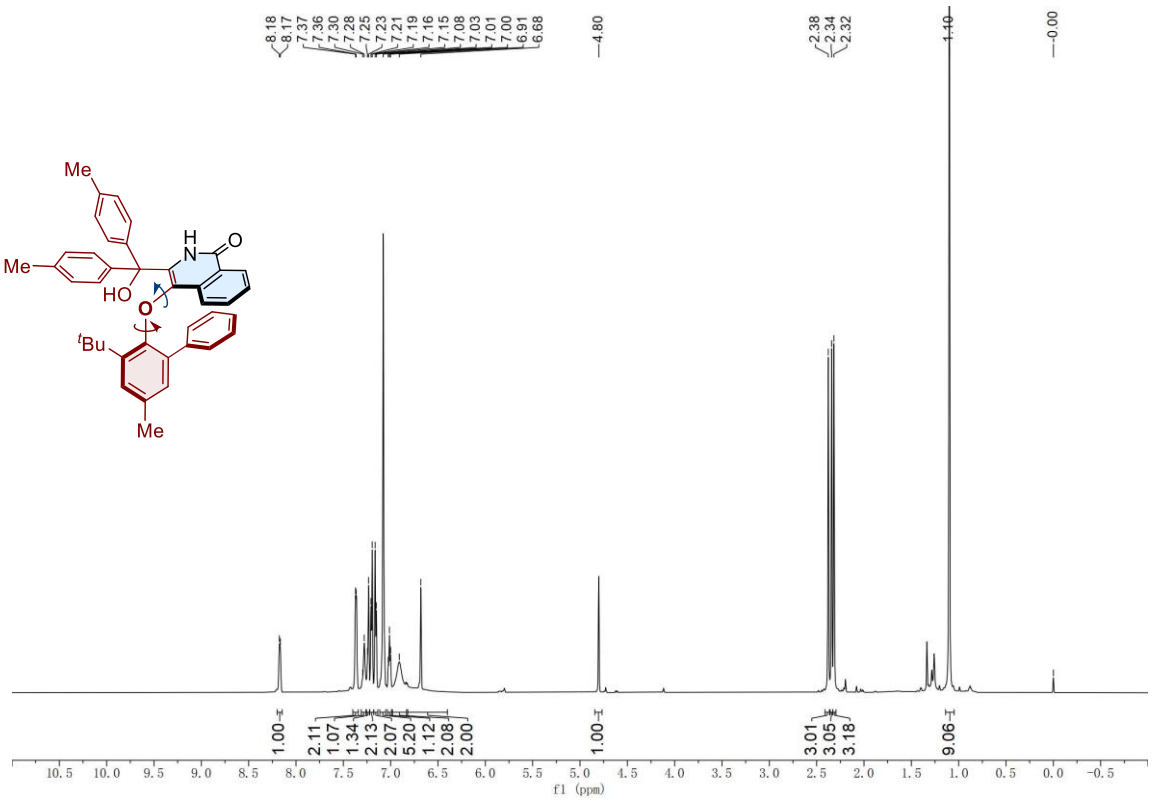
^{13}C NMR spectrum of 3z (151 MHz, $CDCl_3$)



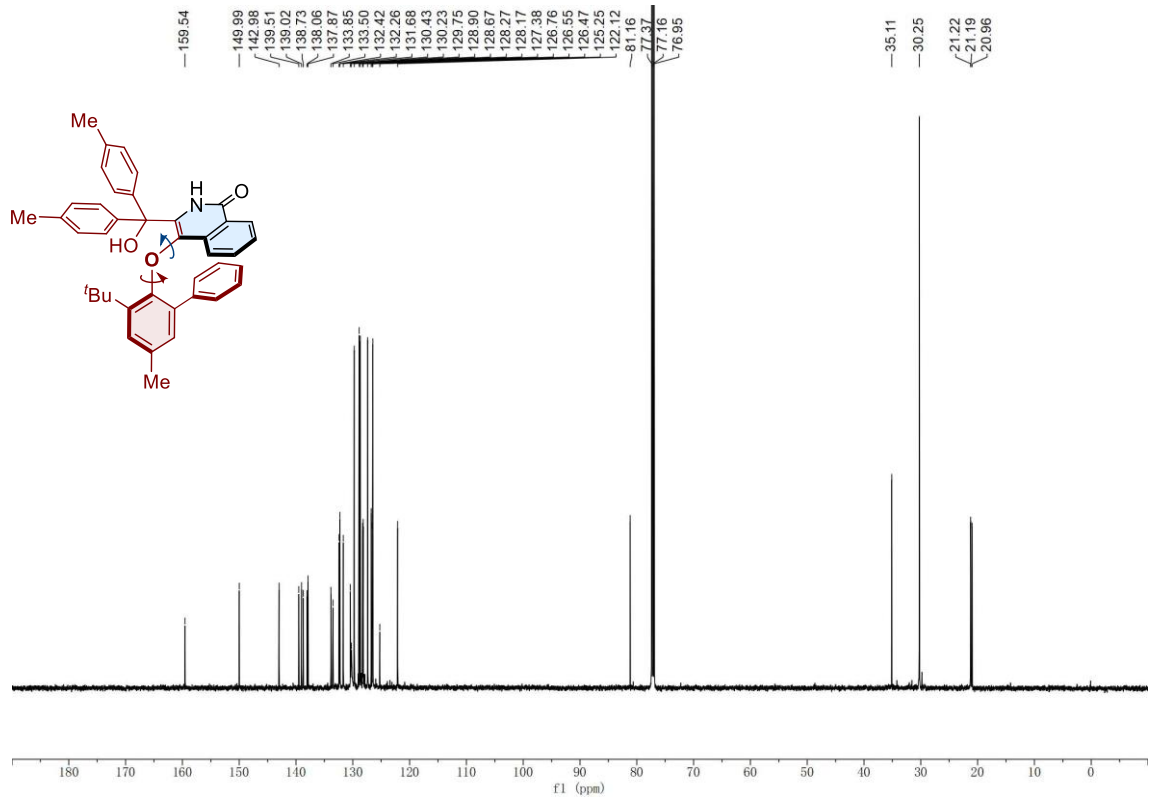
^{1}H NMR spectrum of 3za (600 MHz, $CDCl_3$)



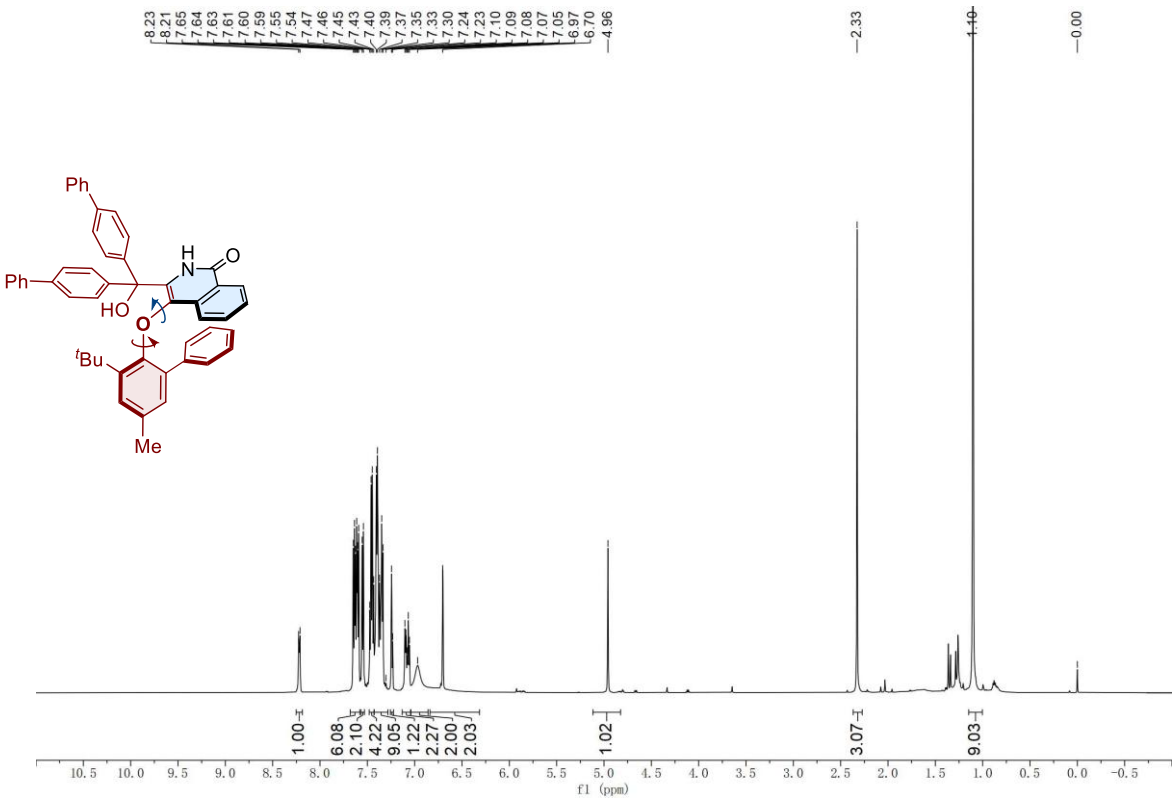
^{13}C NMR spectrum of 3za (151 MHz, $CDCl_3$)



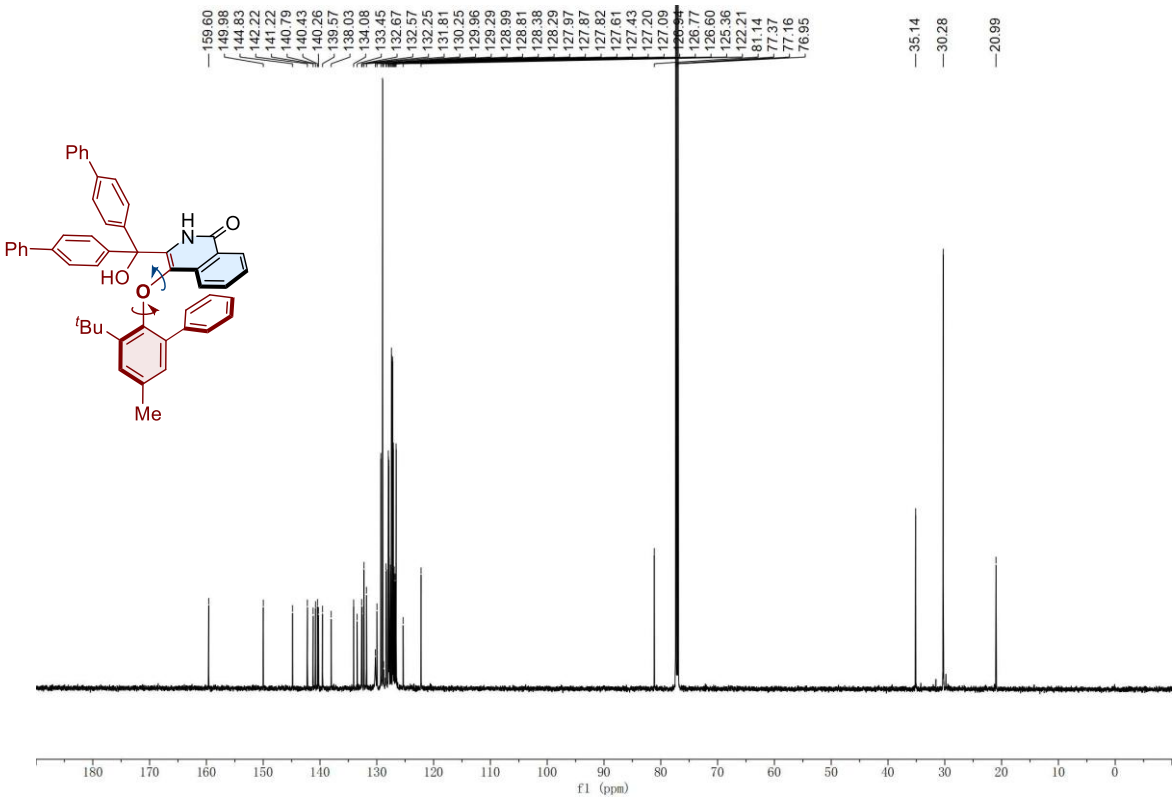
^{1}H NMR spectrum of 3zb (600 MHz, $CDCl_3$)



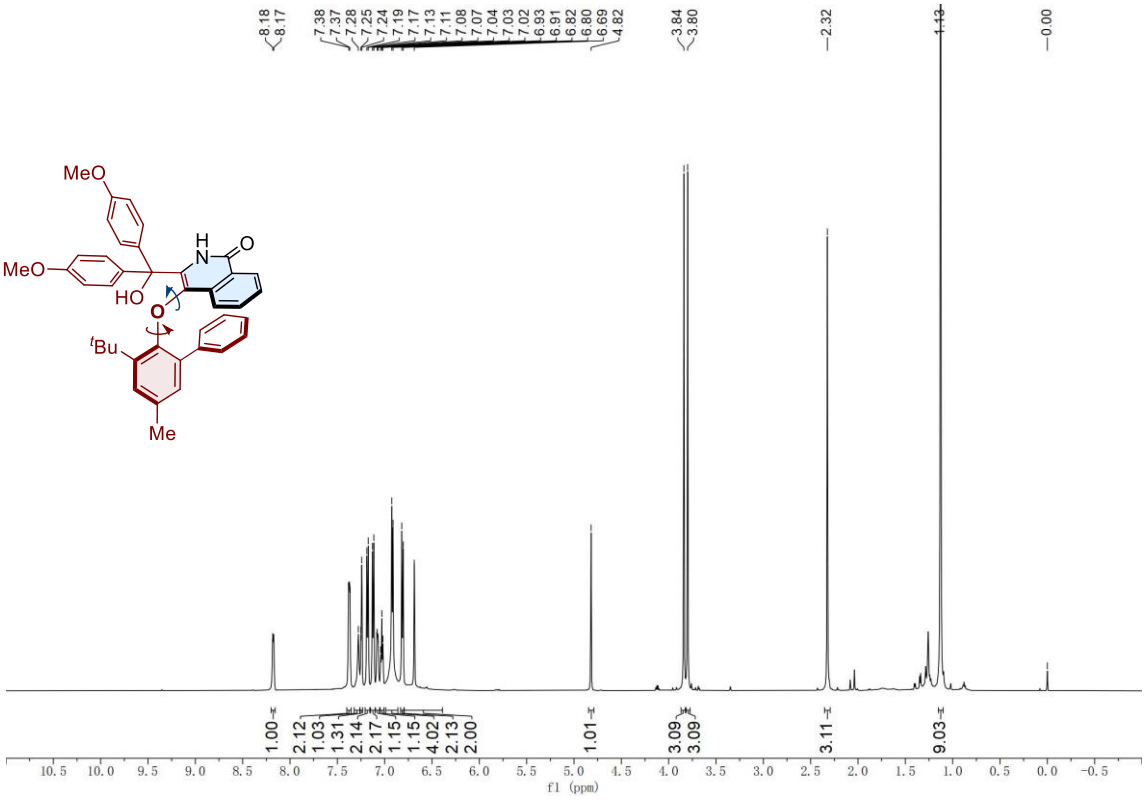
^{13}C NMR spectrum of 3zb (151 MHz, $CDCl_3$)



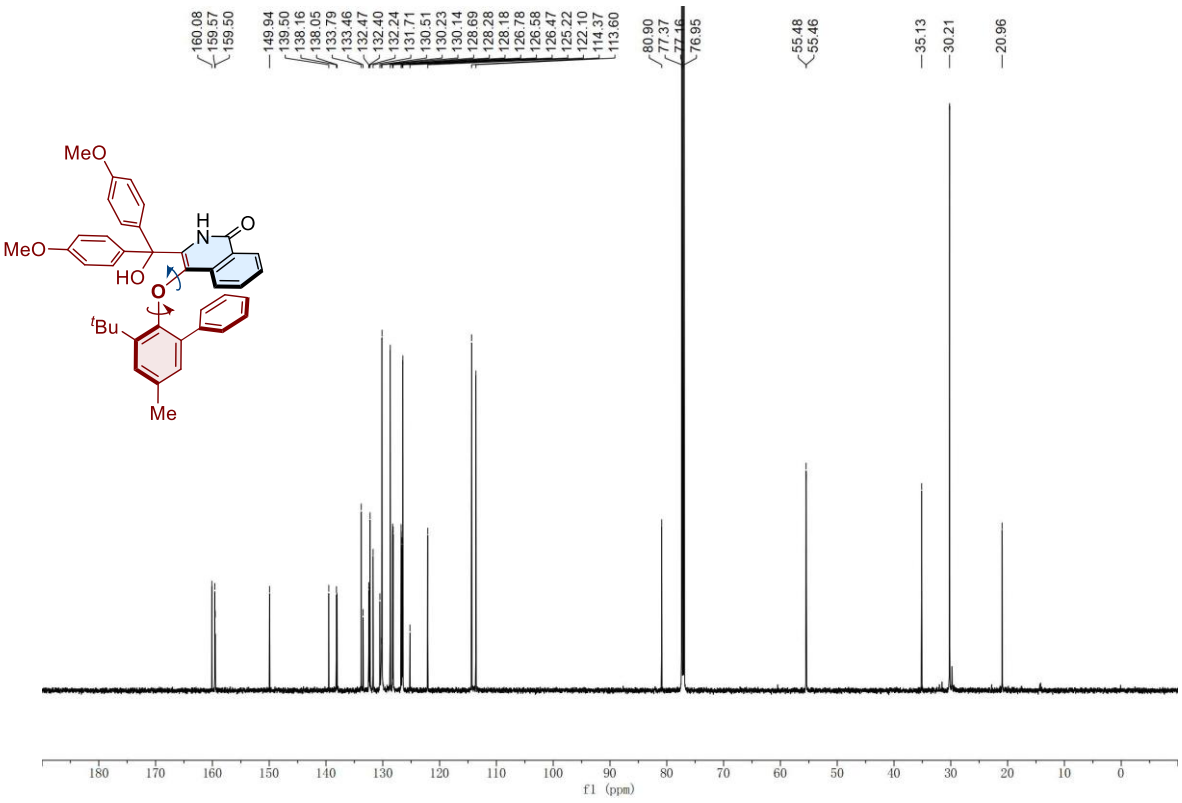
^{1}H NMR spectrum of 3zc (600 MHz, $CDCl_3$)



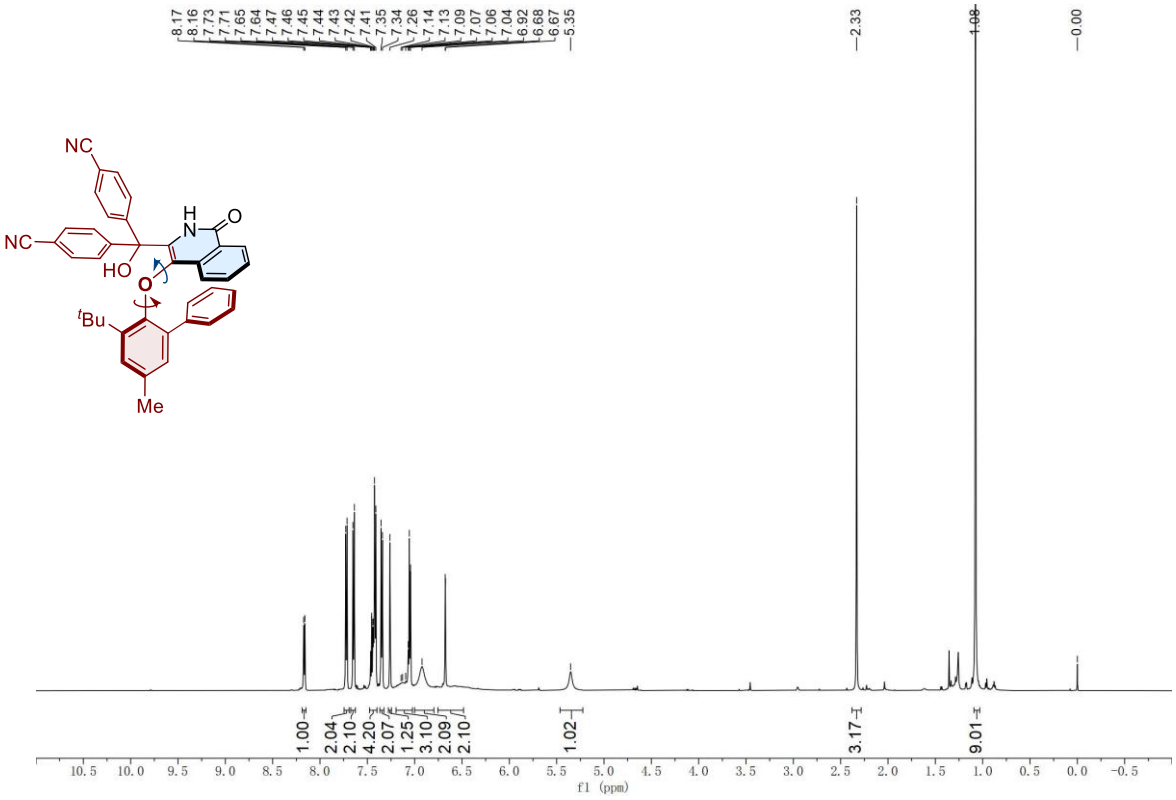
^{13}C NMR spectrum of 3zc (151 MHz, $CDCl_3$)



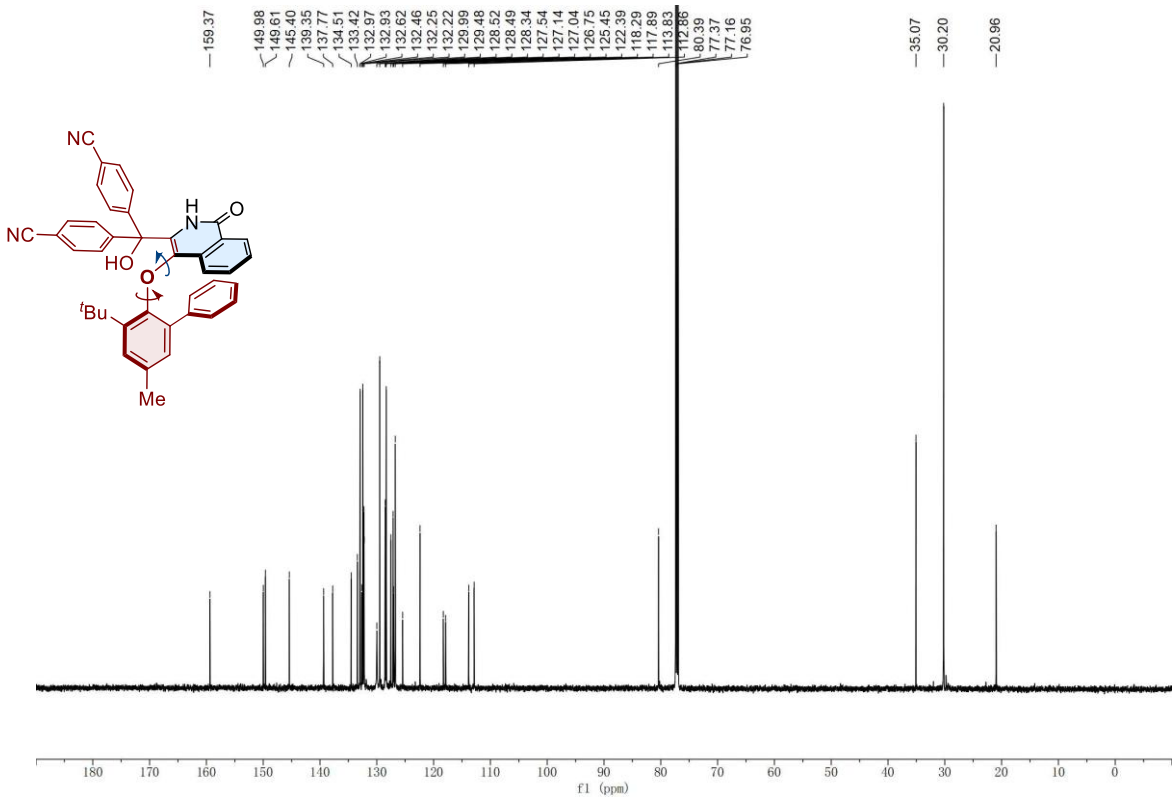
^{1}H NMR spectrum of 3zd (600 MHz, $CDCl_3$)



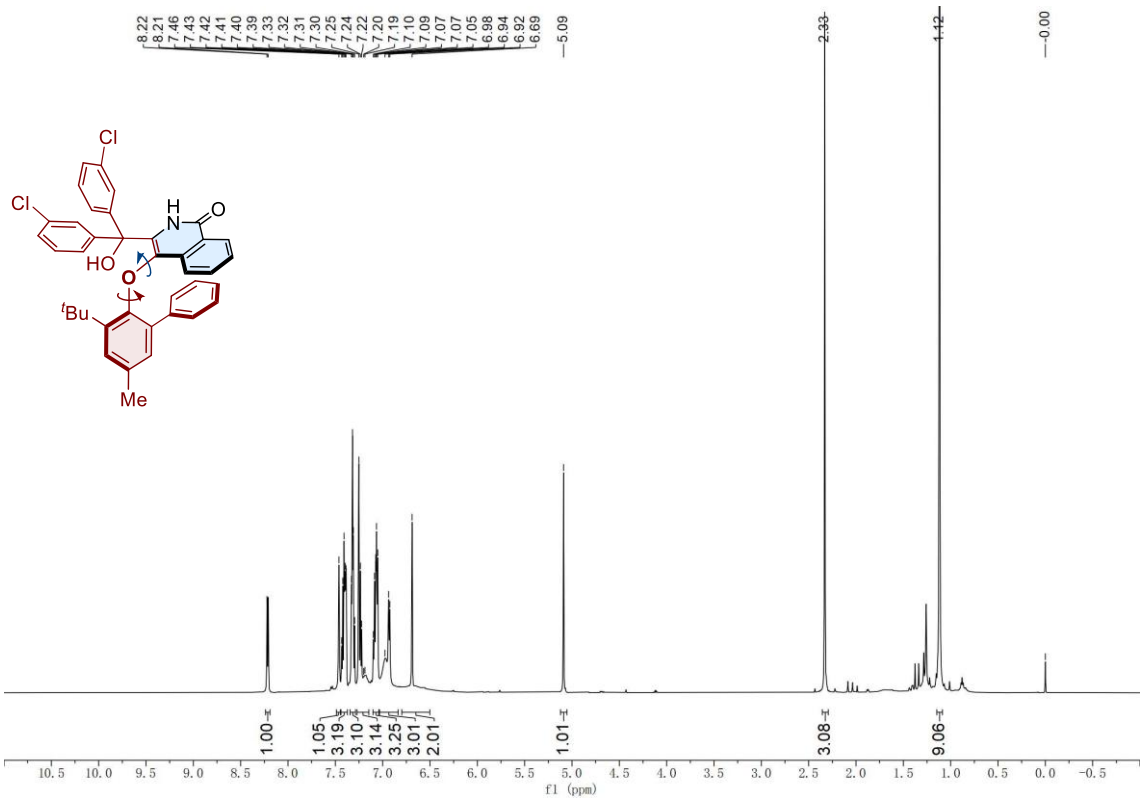
^{13}C NMR spectrum of 3zd (151 MHz, $CDCl_3$)



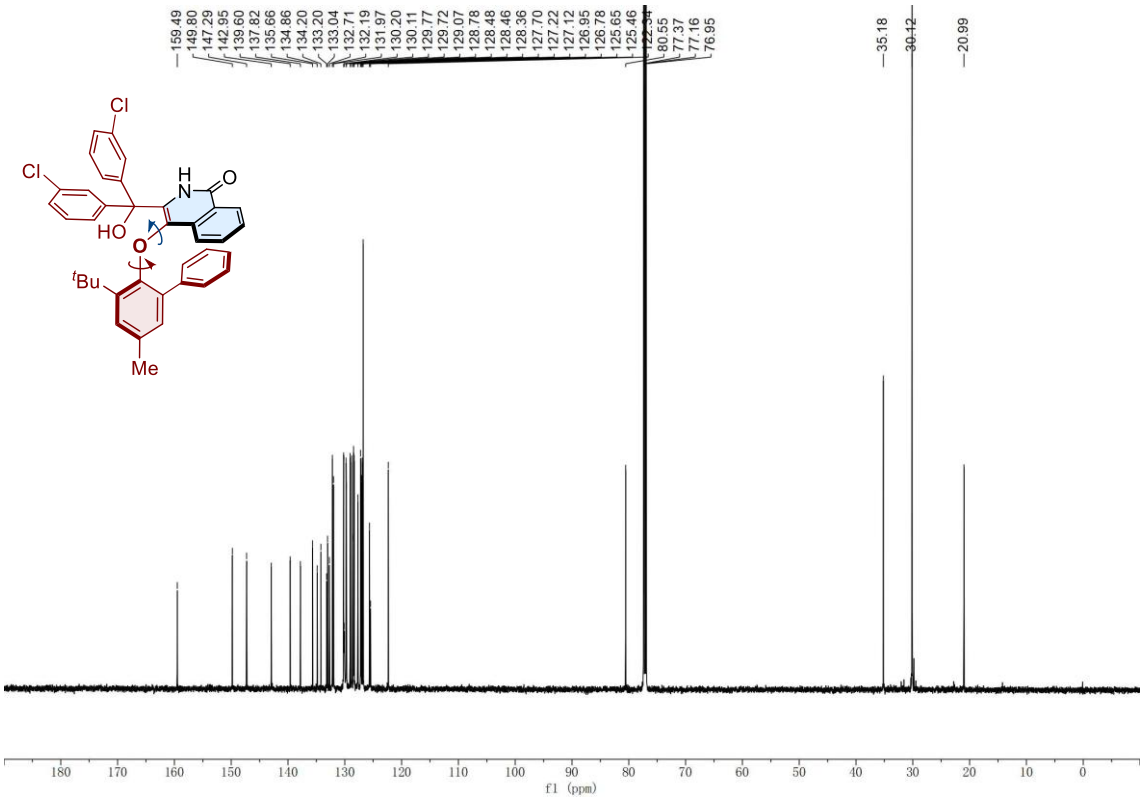
^{1}H NMR spectrum of 3ze (600 MHz, $CDCl_3$)



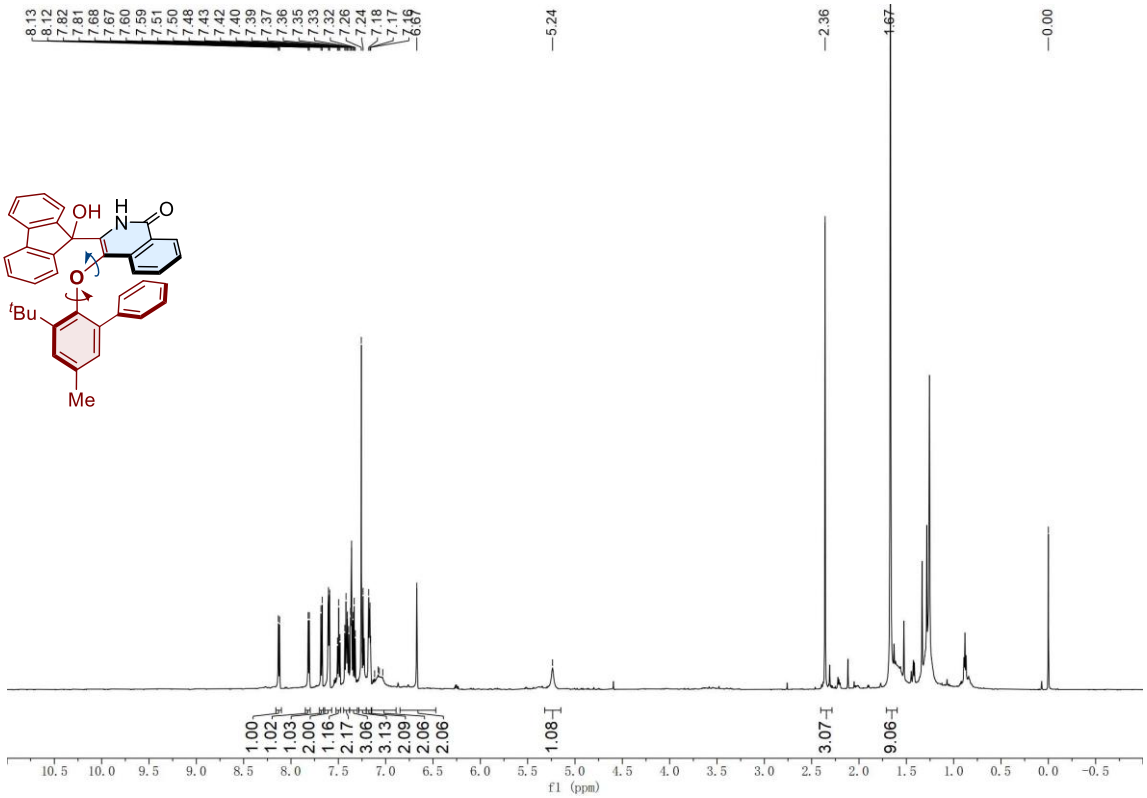
^{13}C NMR spectrum of 3ze (151 MHz, $CDCl_3$)



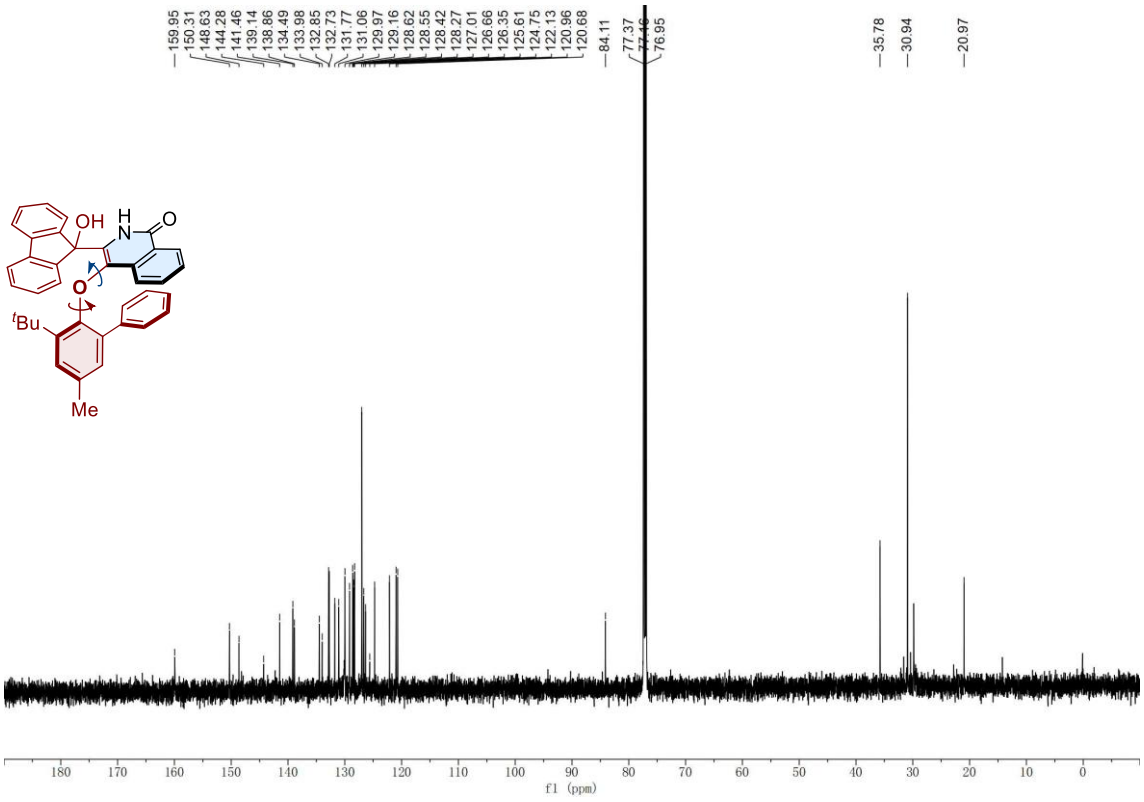
^{1}H NMR spectrum of 3zf (600 MHz, $CDCl_3$)



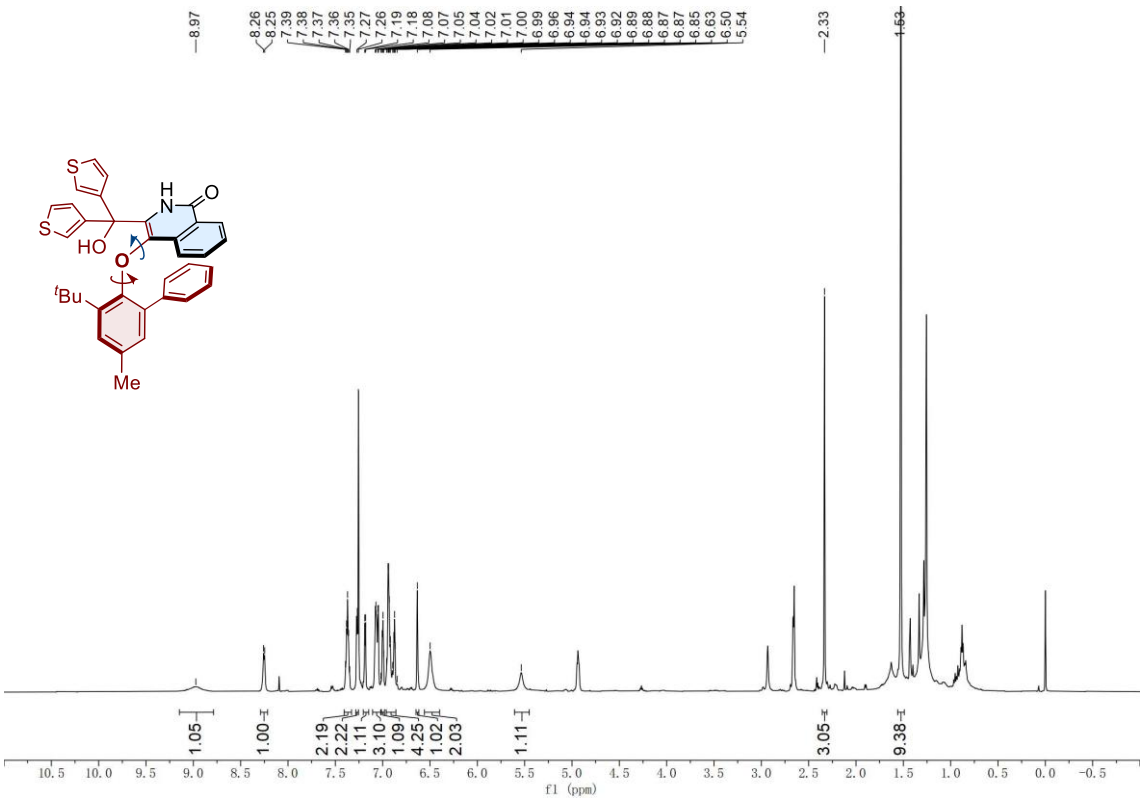
^{13}C NMR spectrum of 3zf (151 MHz, $CDCl_3$)



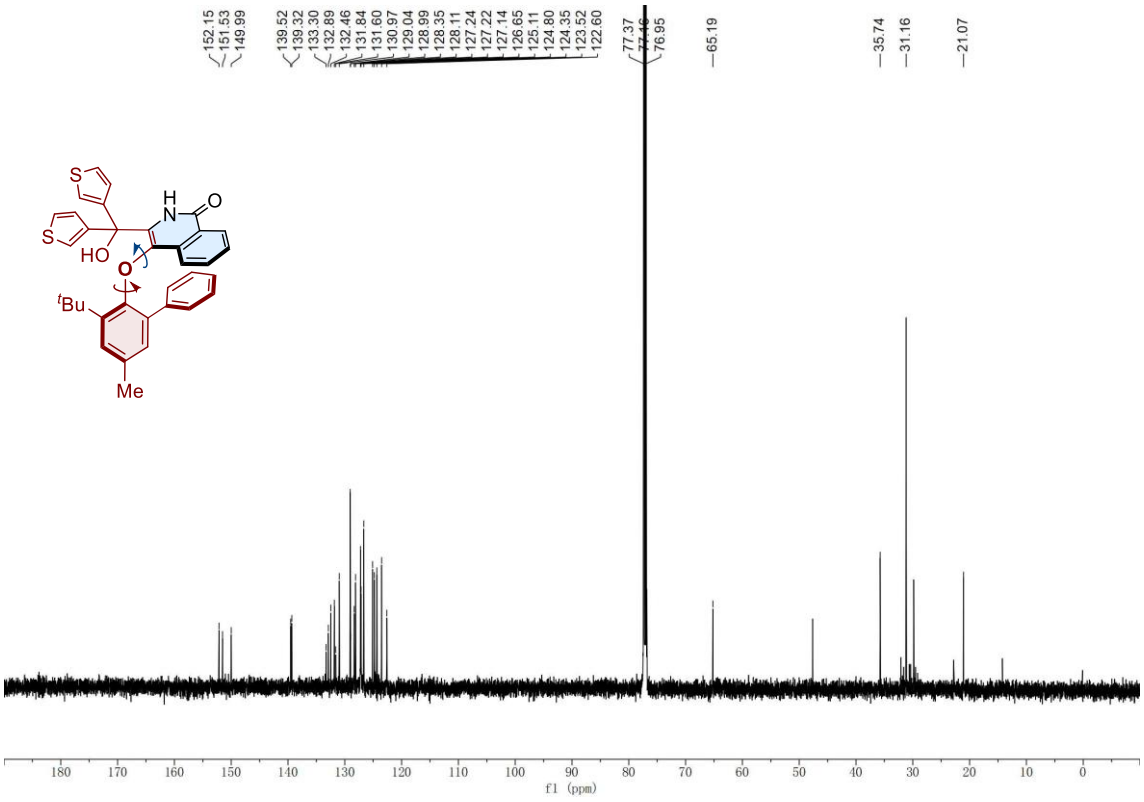
^{1}H NMR spectrum of 3zg (600 MHz, $CDCl_3$)



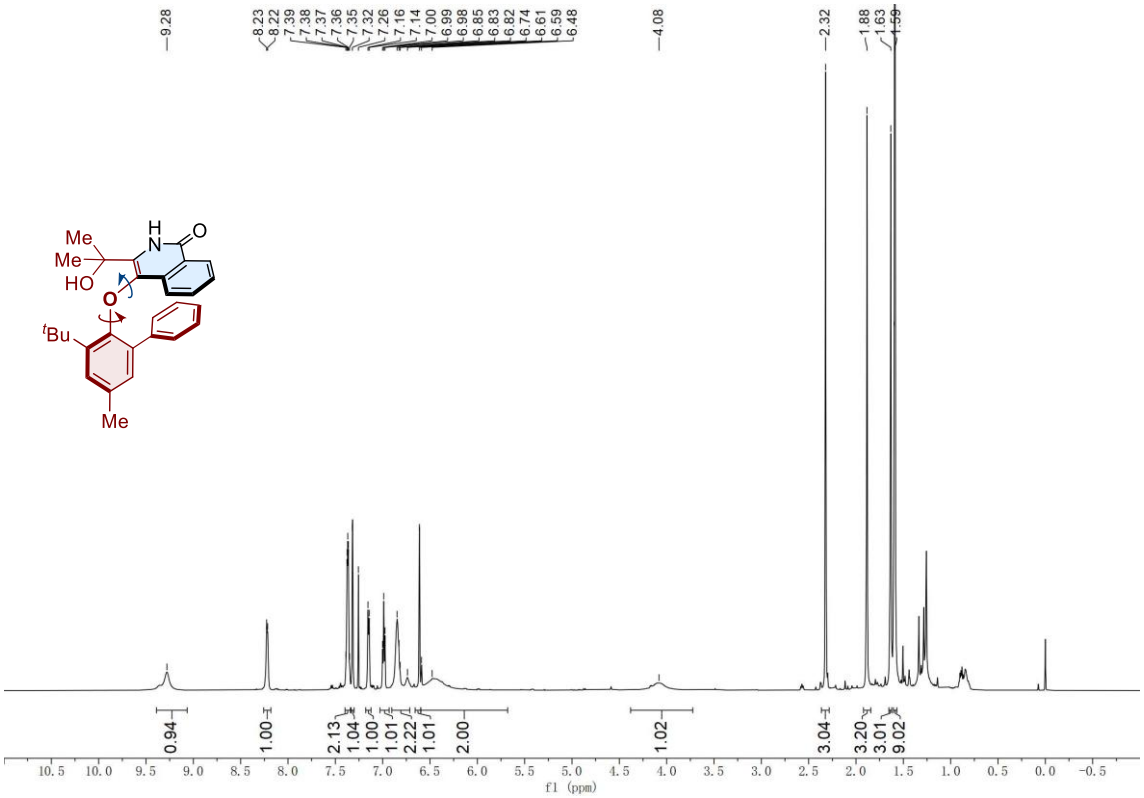
^{13}C NMR spectrum of 3zg (151 MHz, $CDCl_3$)



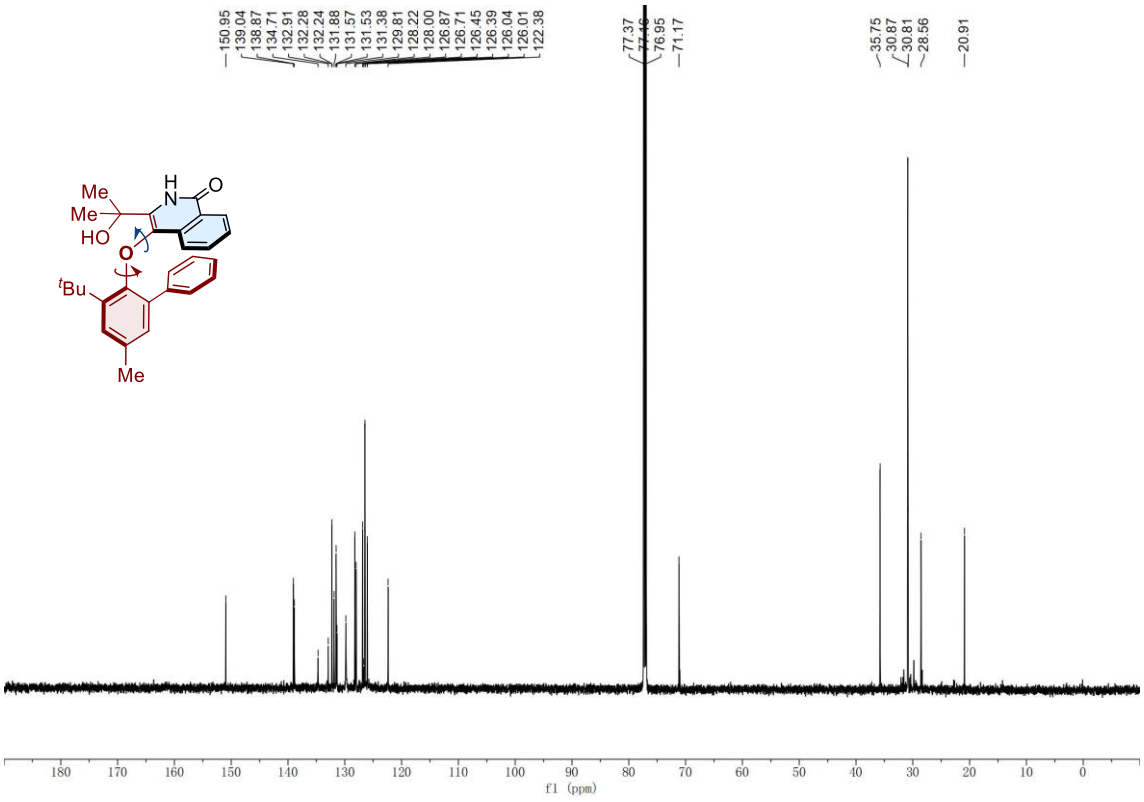
^{1}H NMR spectrum of 3zh (600 MHz, $CDCl_3$)



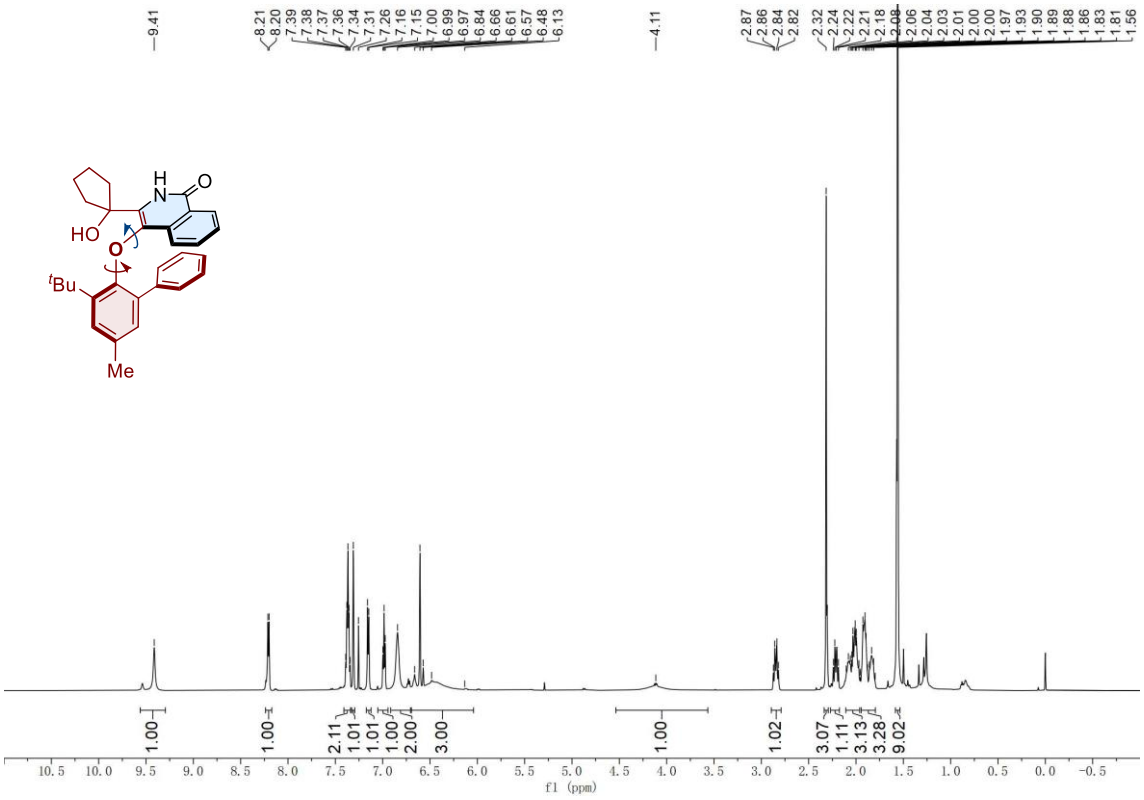
^{13}C NMR spectrum of 3zh (151 MHz, $CDCl_3$)



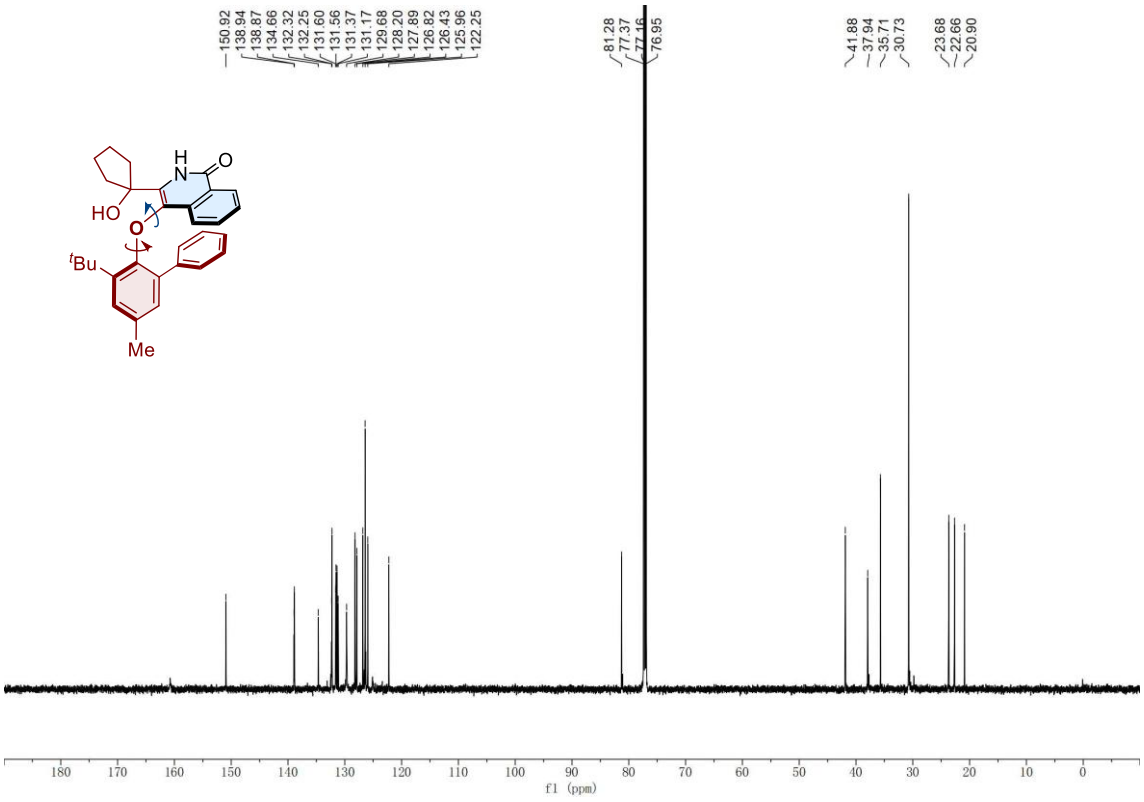
^{1}H NMR spectrum of 3zi (600 MHz, $CDCl_3$)



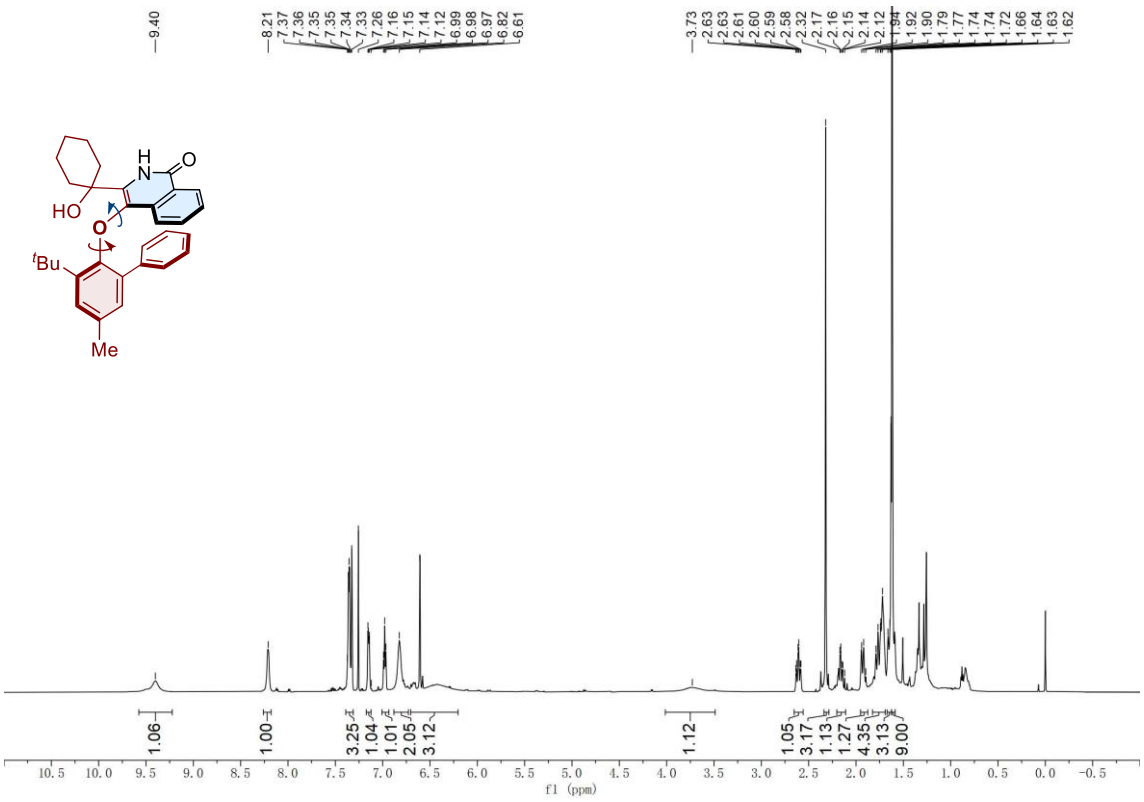
^{13}C NMR spectrum of 3zi (151 MHz, $CDCl_3$)



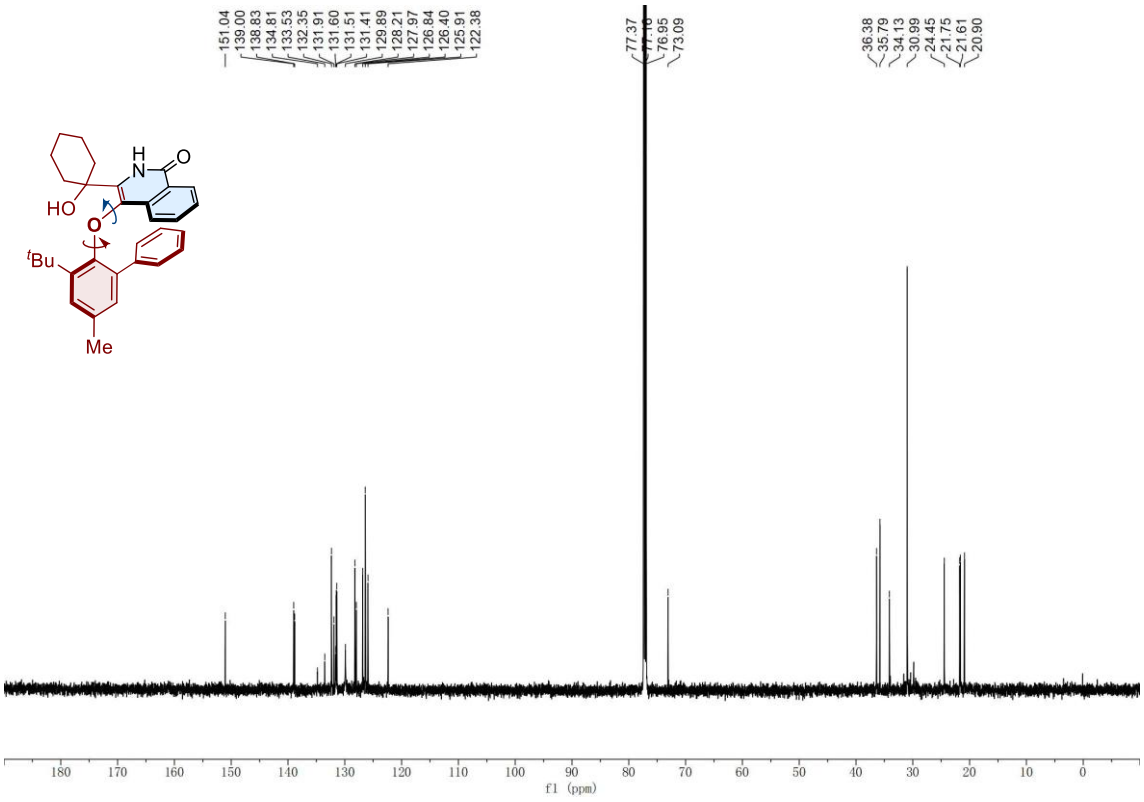
^{1}H NMR spectrum of 3zj (600 MHz, $CDCl_3$)



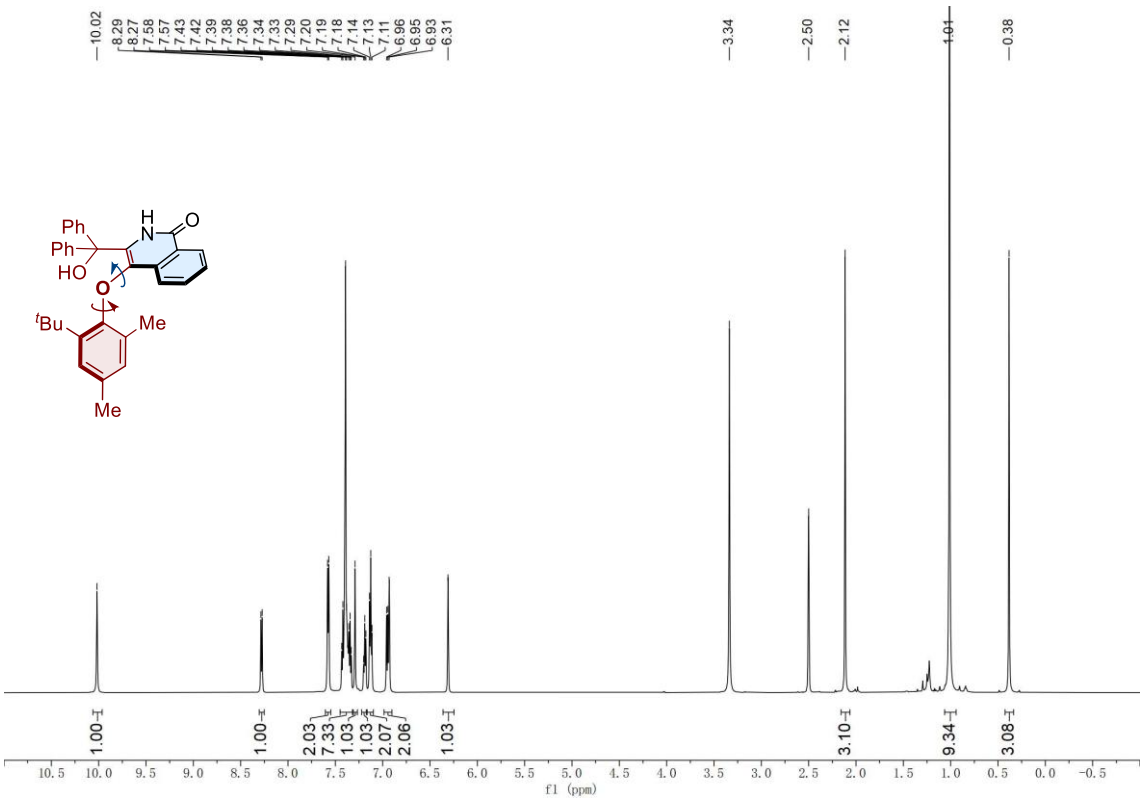
^{13}C NMR spectrum of 3zj (151 MHz, $CDCl_3$)



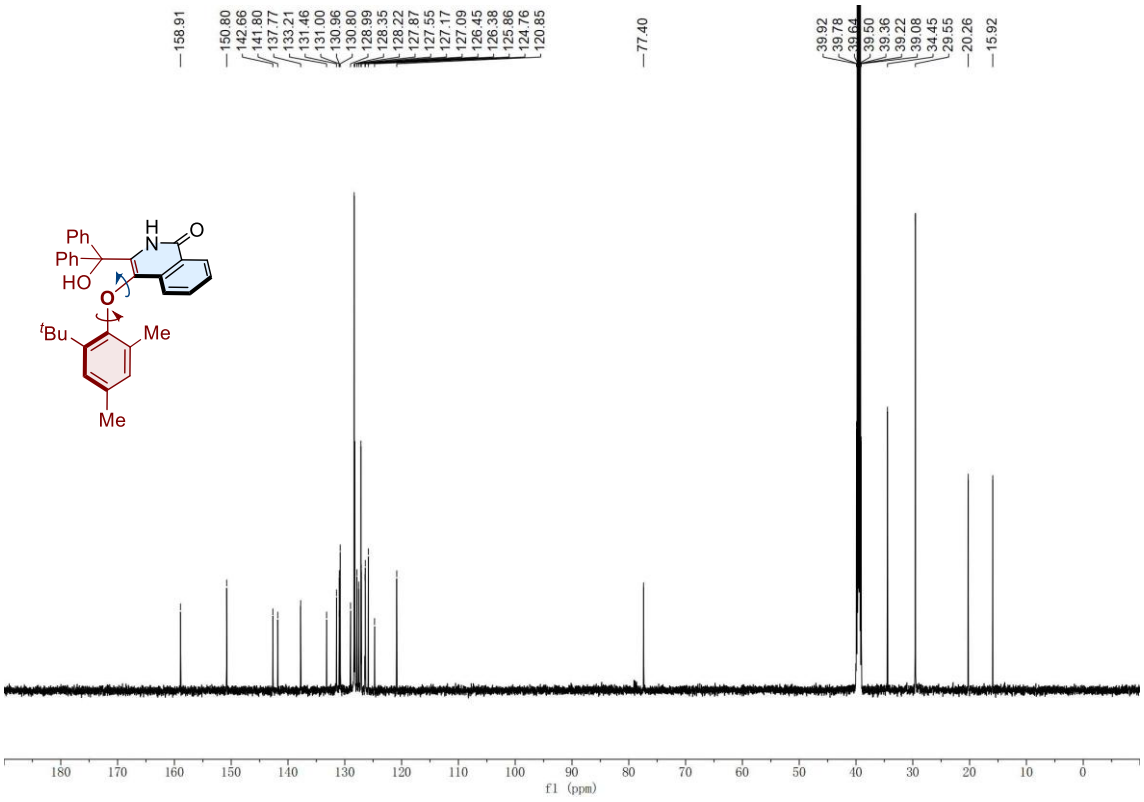
^{1}H NMR spectrum of 3zk (600 MHz, $CDCl_3$)



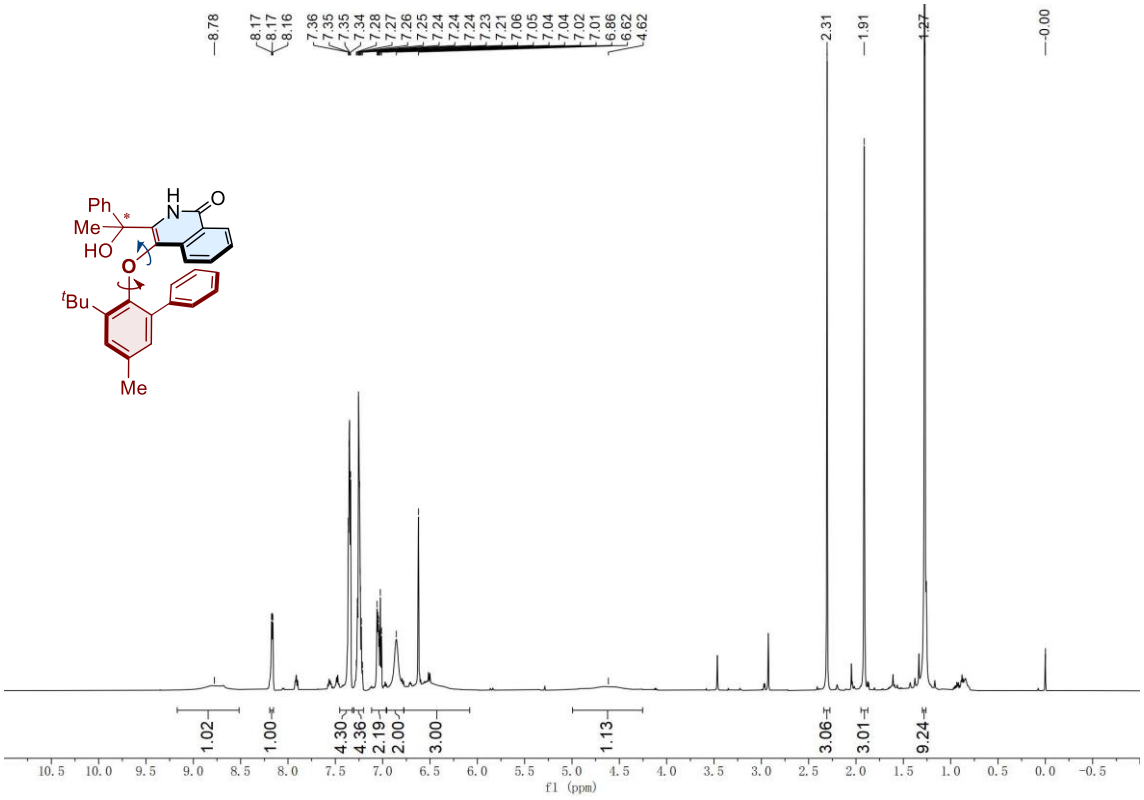
^{13}C NMR spectrum of 3zk (151 MHz, $CDCl_3$)



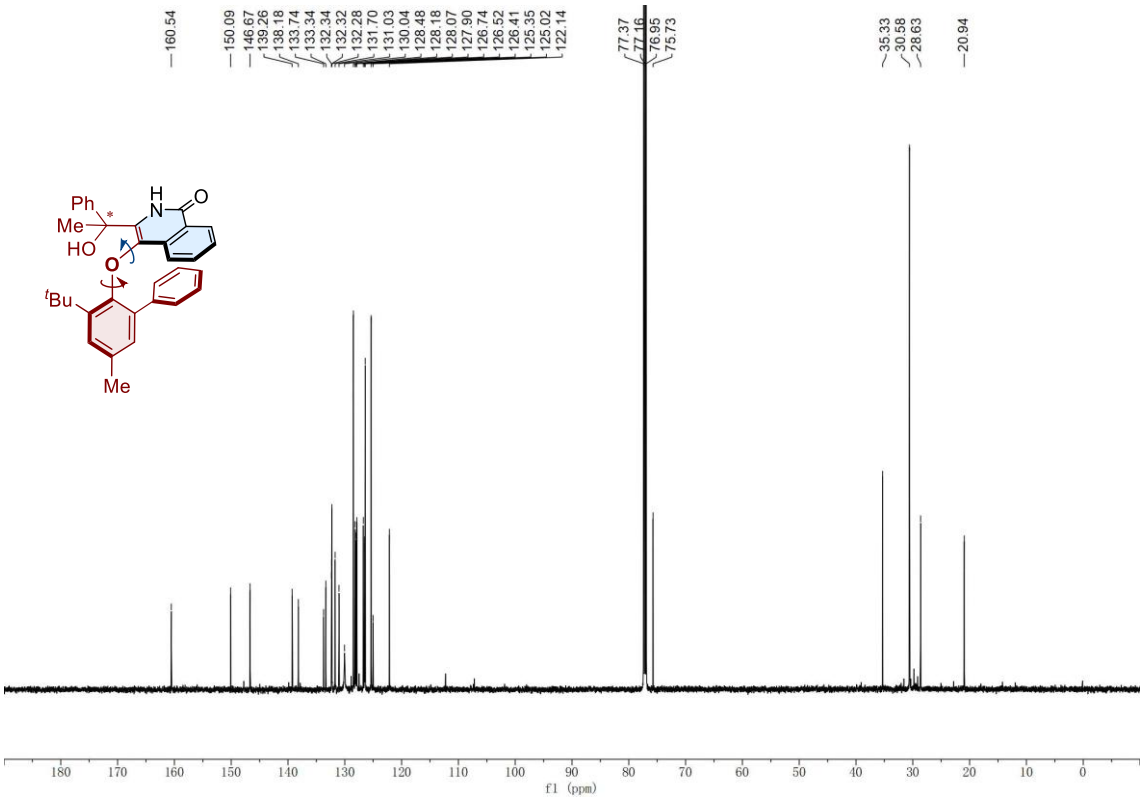
^{1}H NMR spectrum of 3zl (600 MHz, $CDCl_3$)



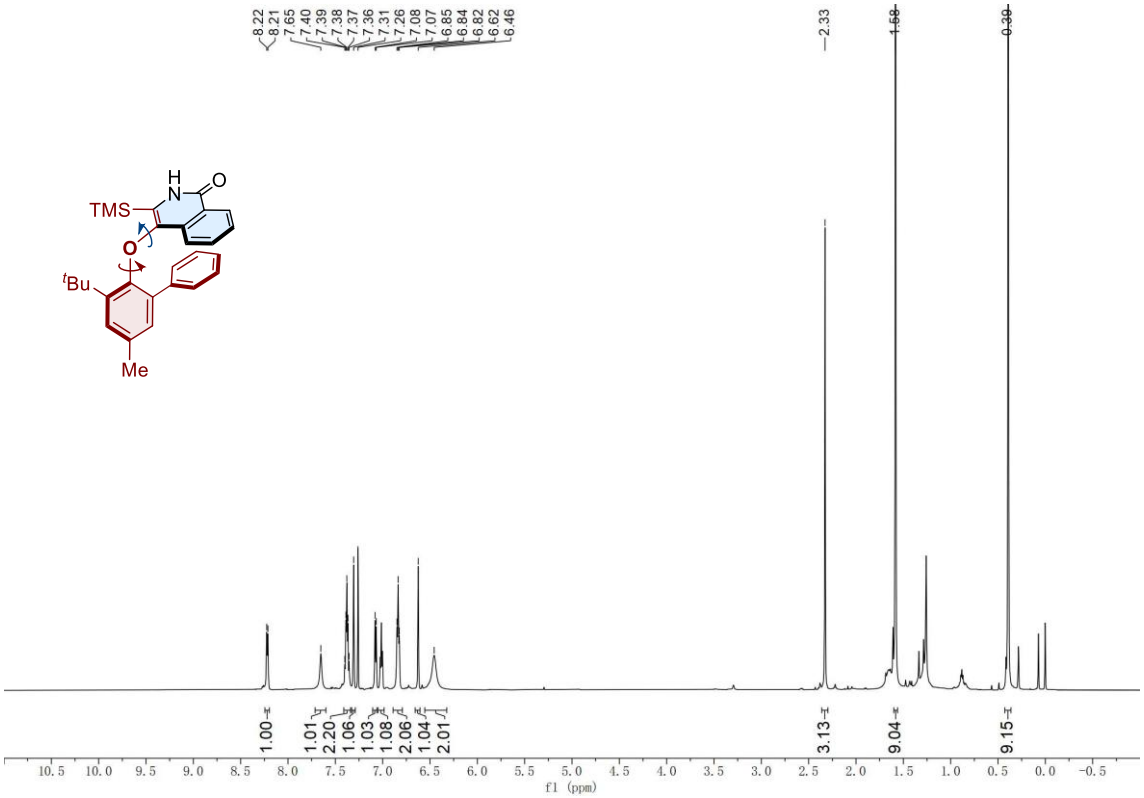
^{13}C NMR spectrum of 3zl (151 MHz, $CDCl_3$)



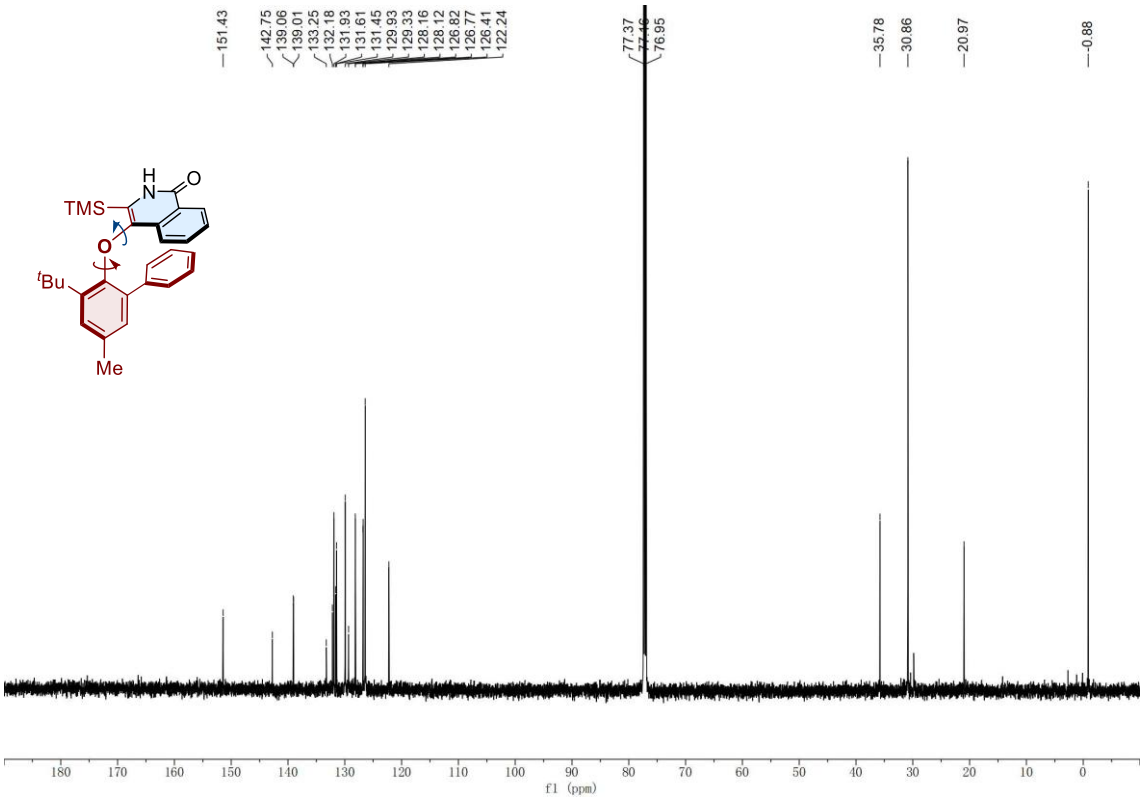
^{1}H NMR spectrum of 3zm (600 MHz, $CDCl_3$)



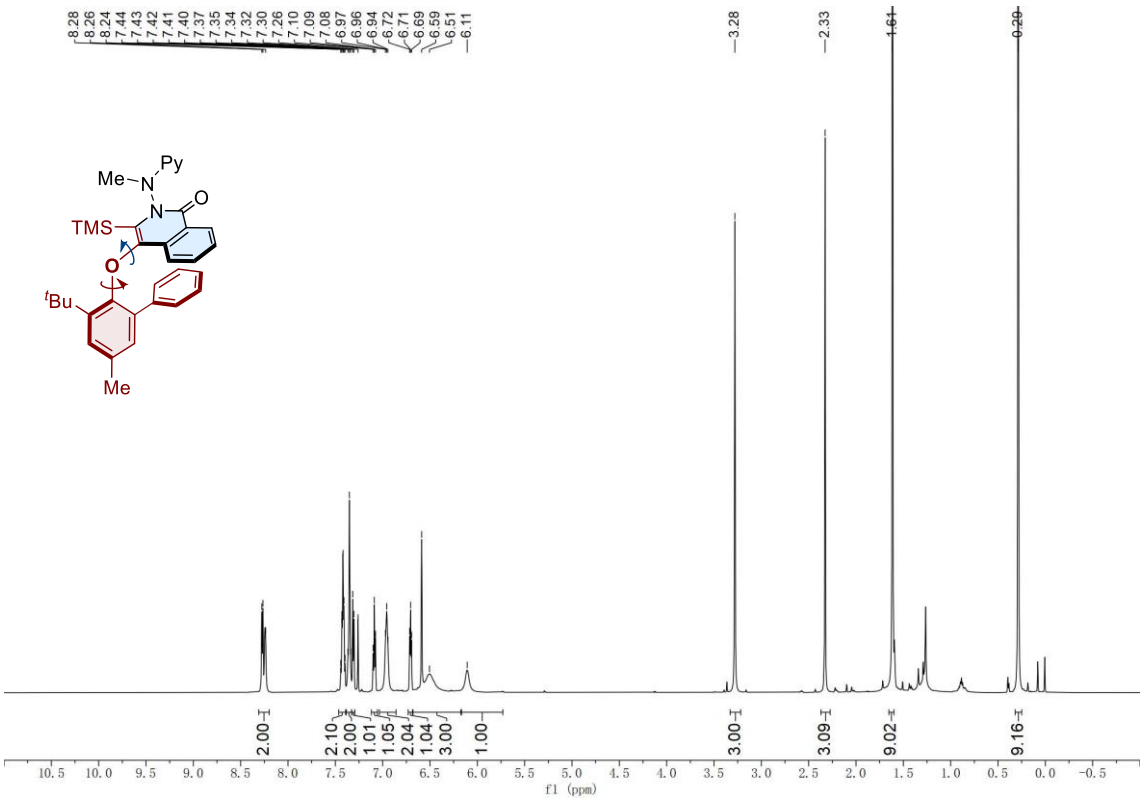
^{13}C NMR spectrum of 3zm (151 MHz, $CDCl_3$)



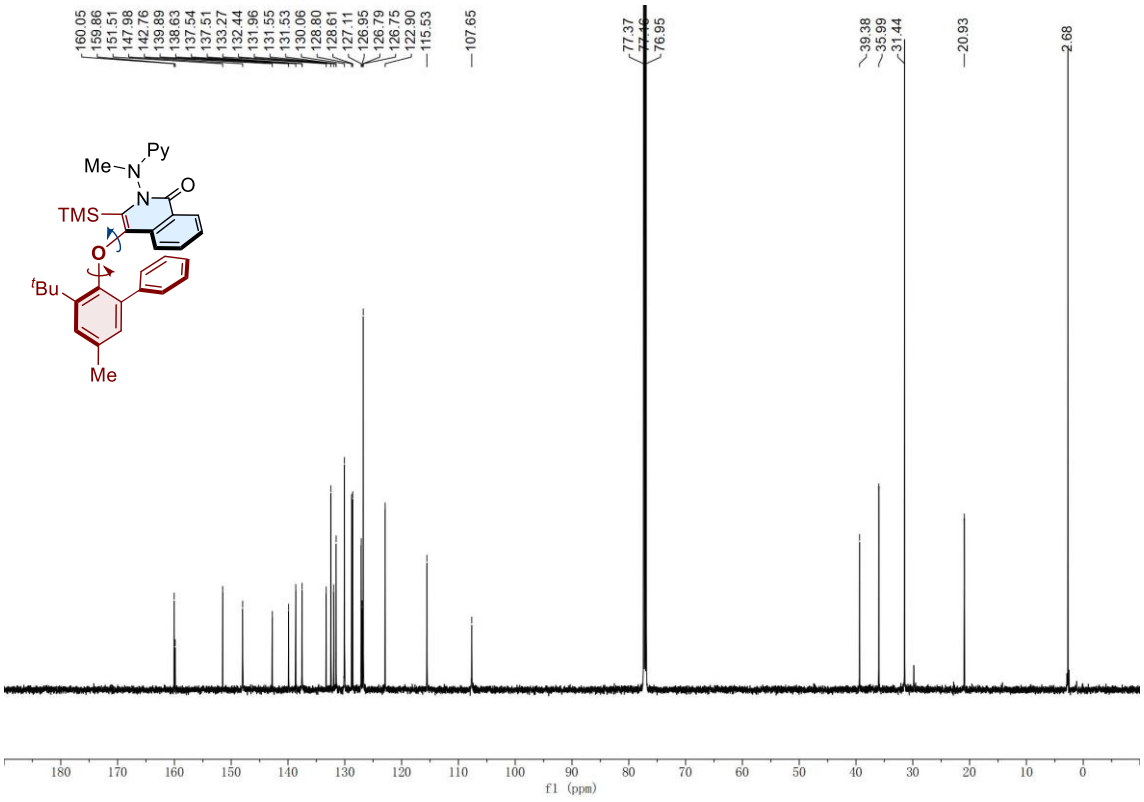
^{1}H NMR spectrum of 3zn (600 MHz, $CDCl_3$)



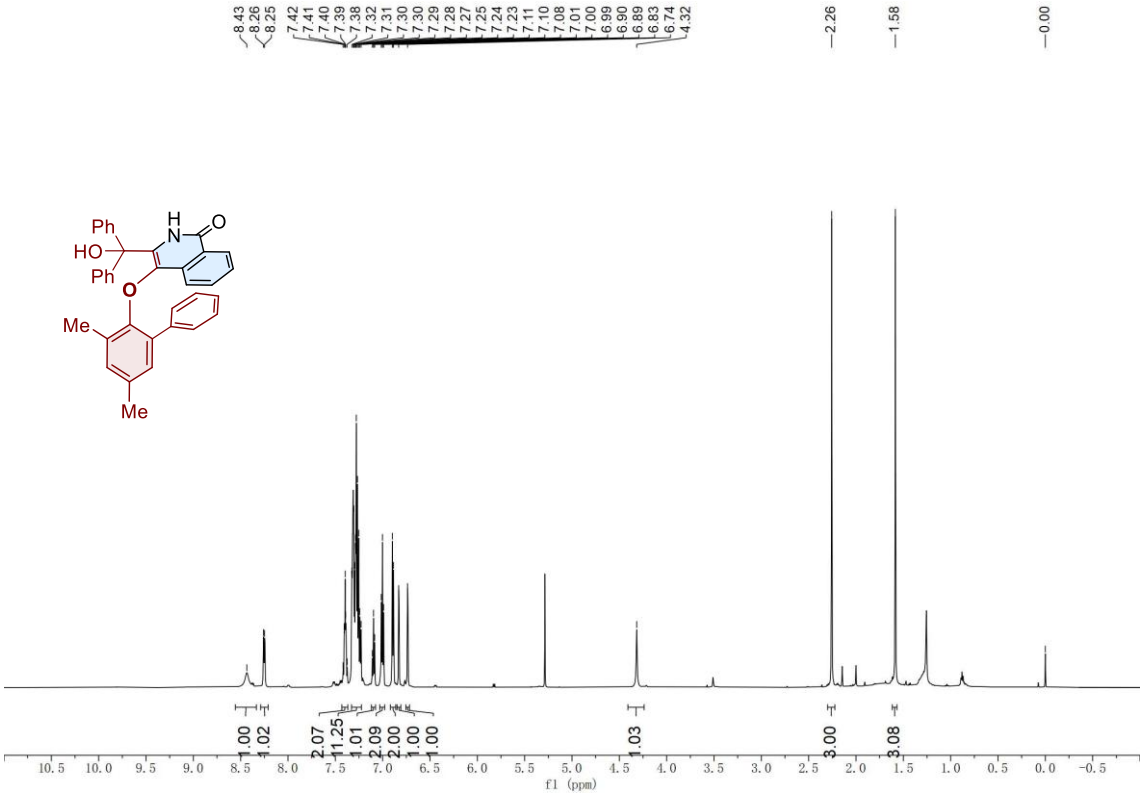
^{13}C NMR spectrum of 3zn (151 MHz, $CDCl_3$)



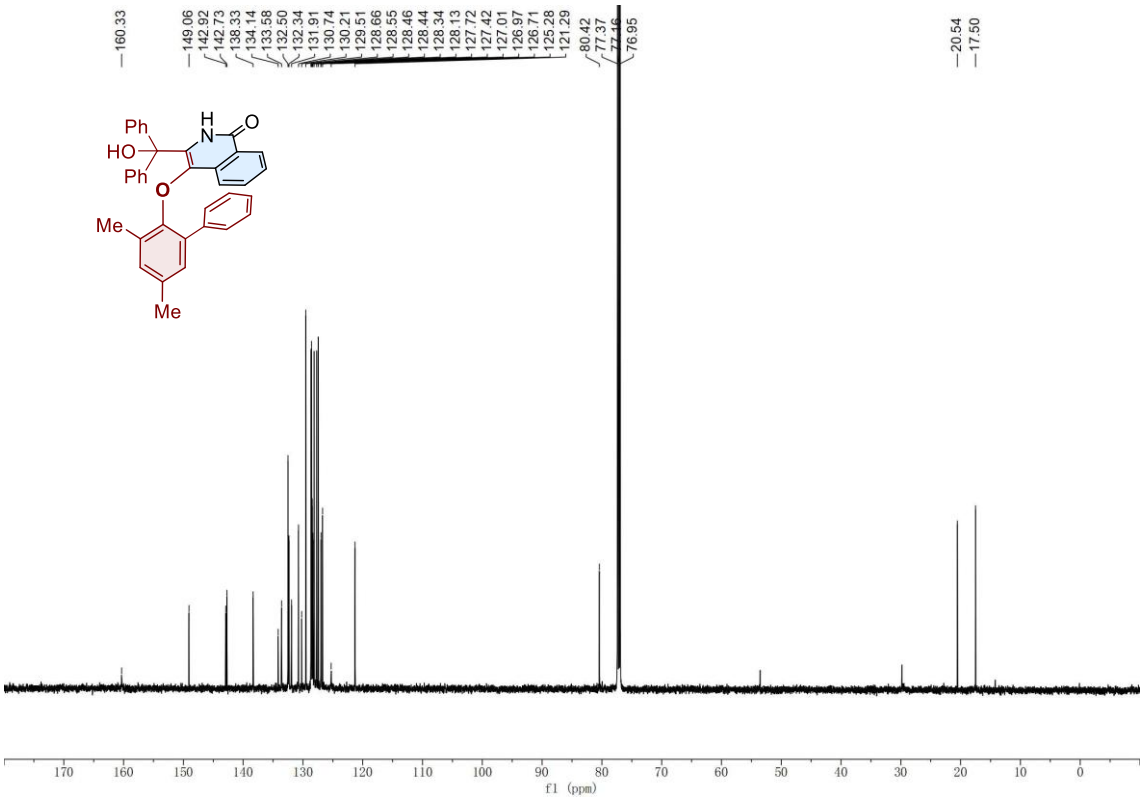
^{1}H NMR spectrum of 3zn' (600 MHz, $CDCl_3$)



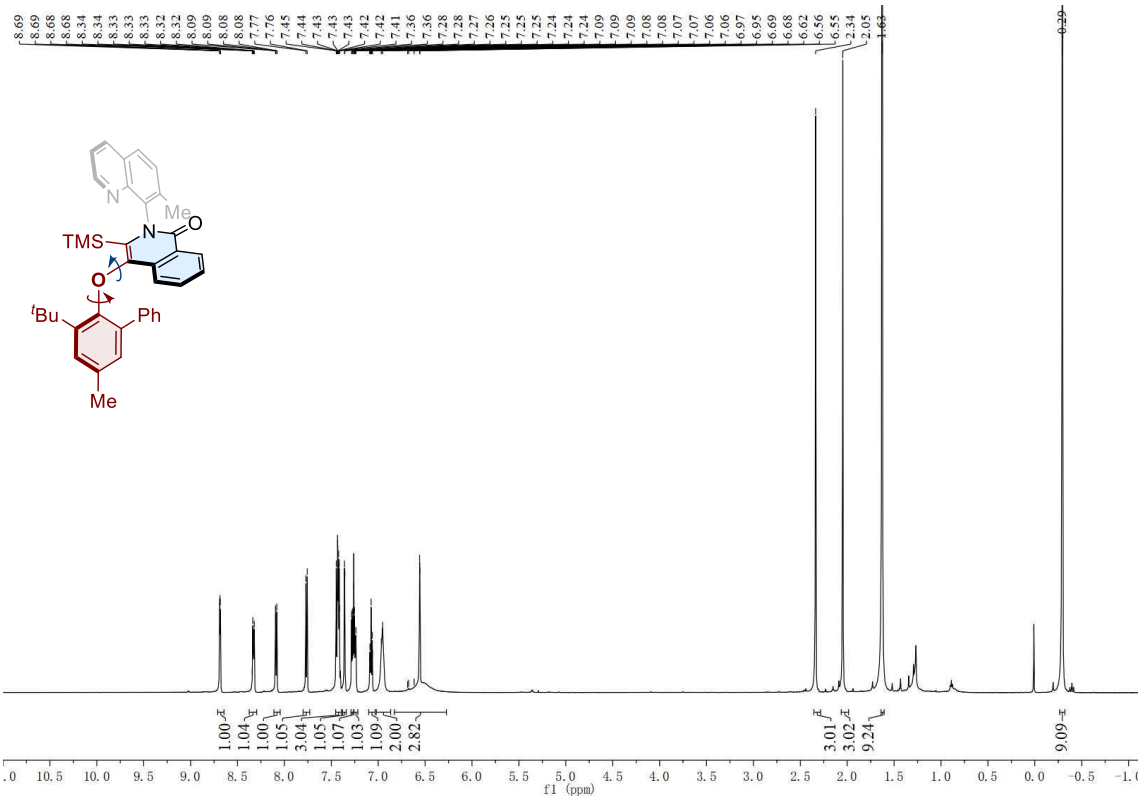
^{13}C NMR spectrum of 3zn' (151 MHz, $CDCl_3$)



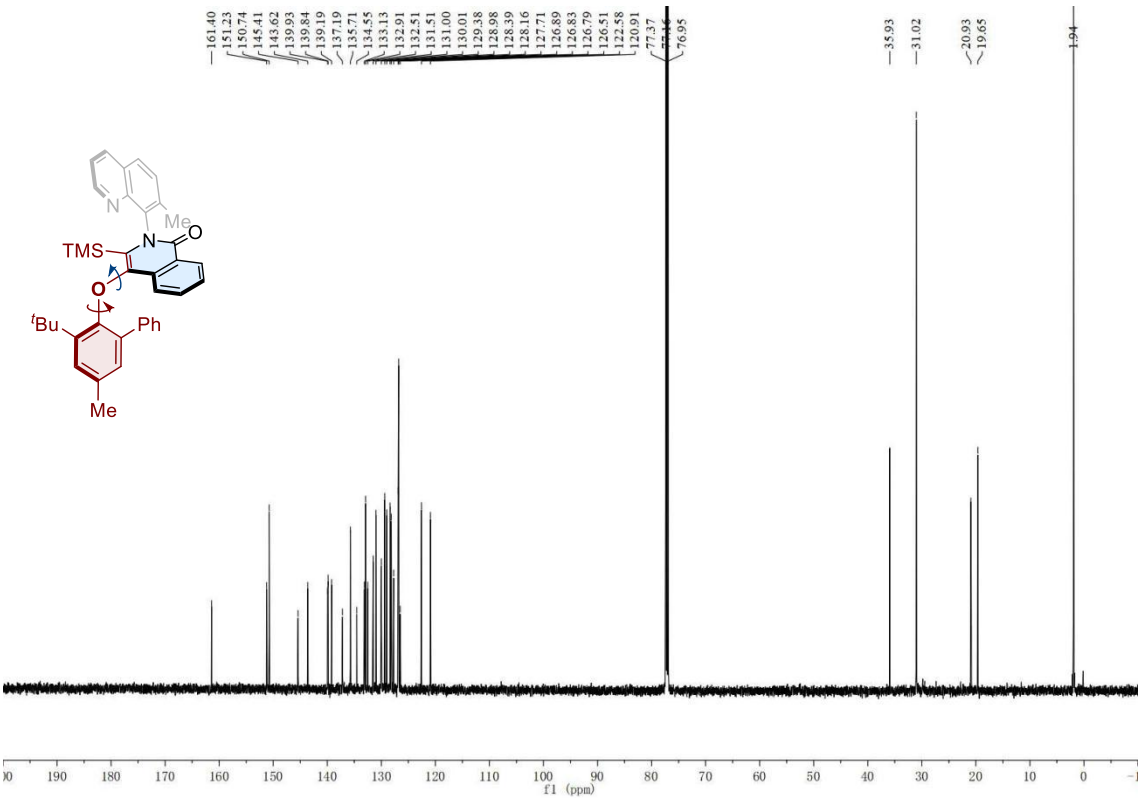
^{1}H NMR spectrum of 3zo (600 MHz, $CDCl_3$)



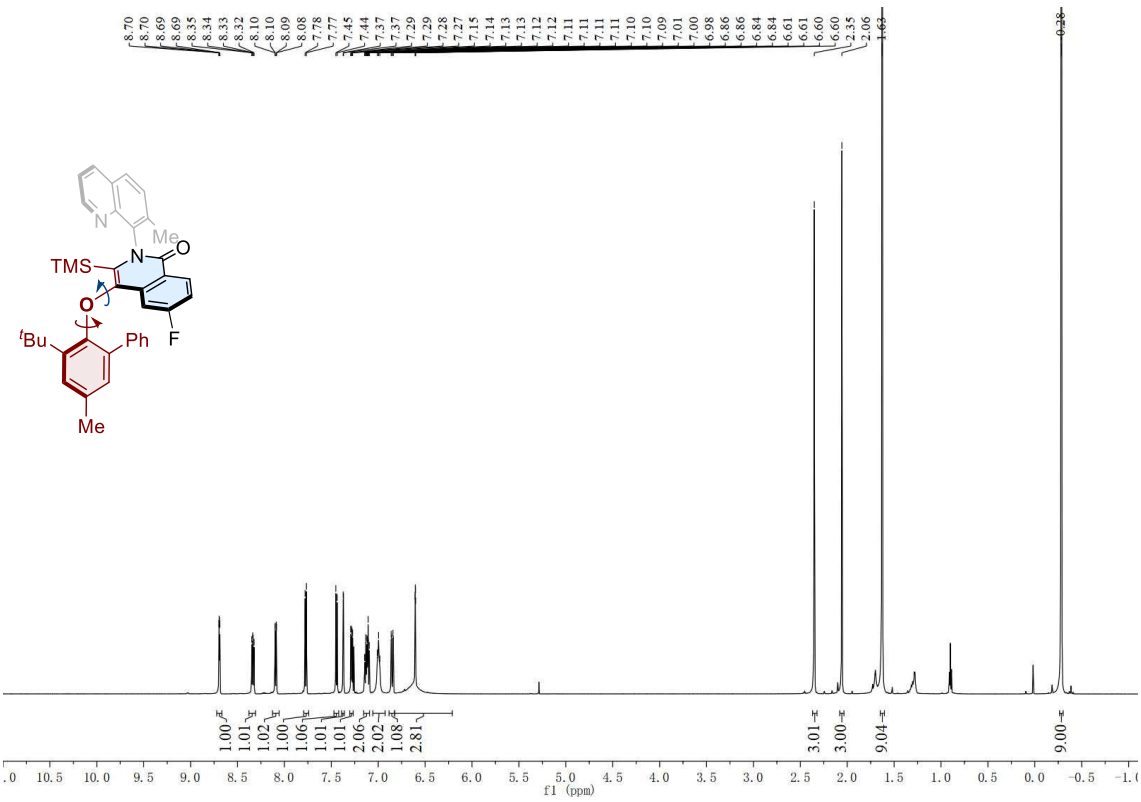
^{13}C NMR spectrum of 3zo (151 MHz, $CDCl_3$)



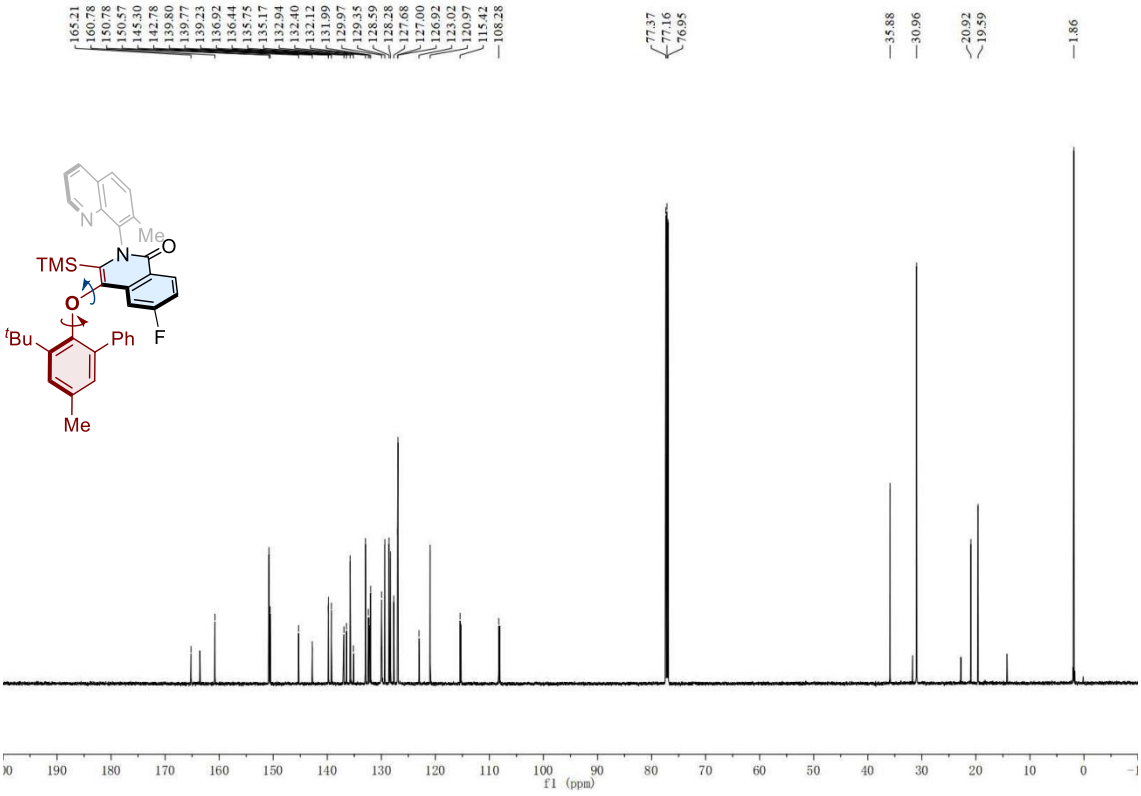
^{1}H NMR spectrum of 5a (600 MHz, $CDCl_3$)



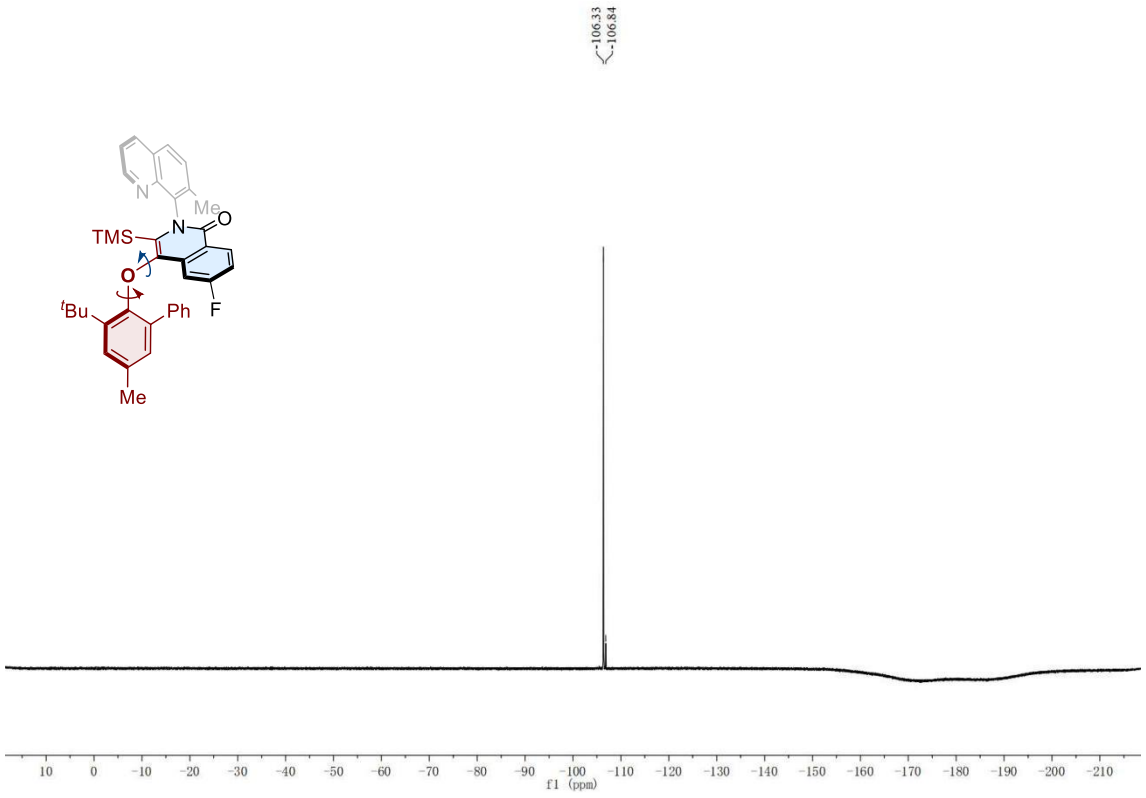
^{13}C NMR spectrum of 5a (151 MHz, $CDCl_3$)



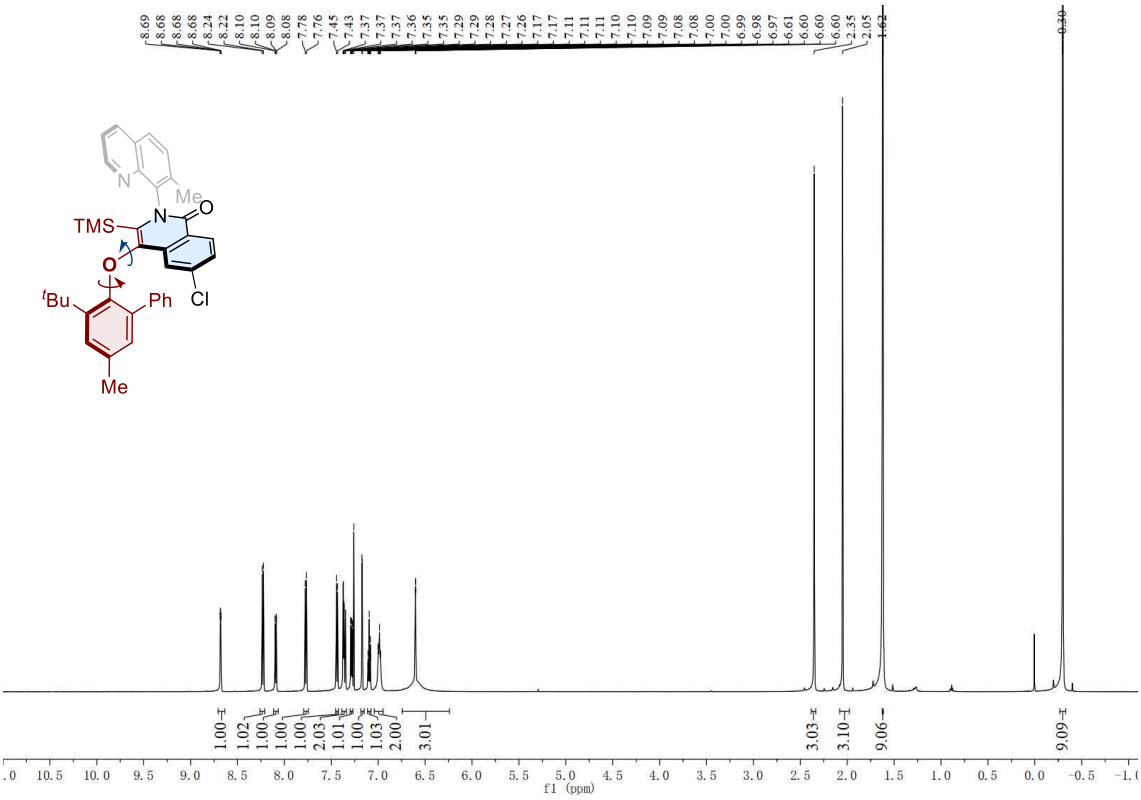
^{1}H NMR spectrum of 5b (600 MHz, $CDCl_3$)



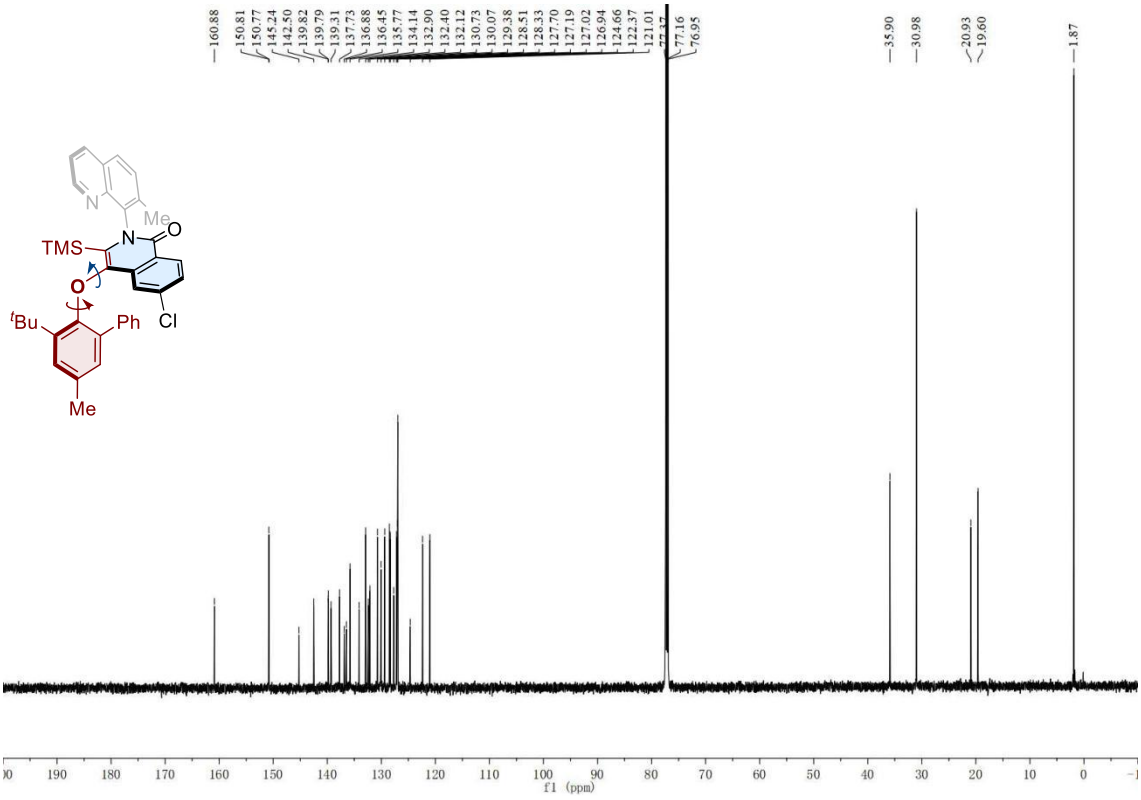
^{13}C NMR spectrum of 5b (151 MHz, $CDCl_3$)



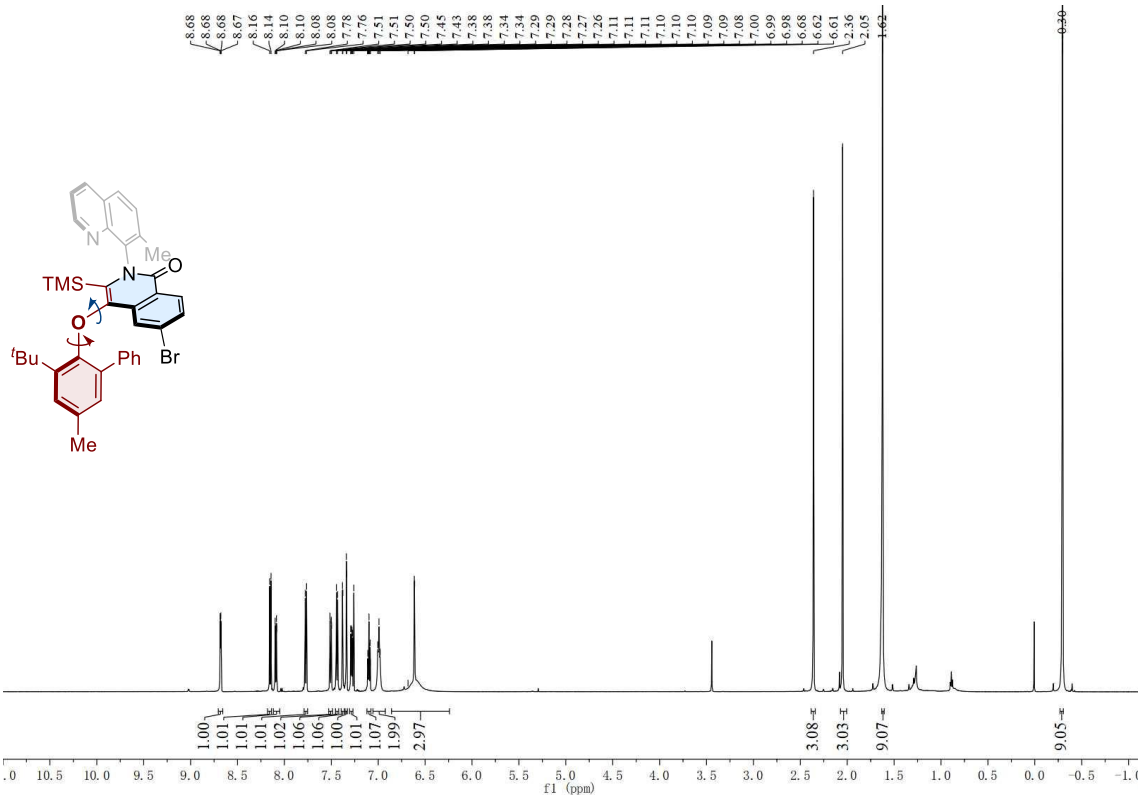
^{19}F NMR spectrum of 5b (565 MHz, $CDCl_3$)



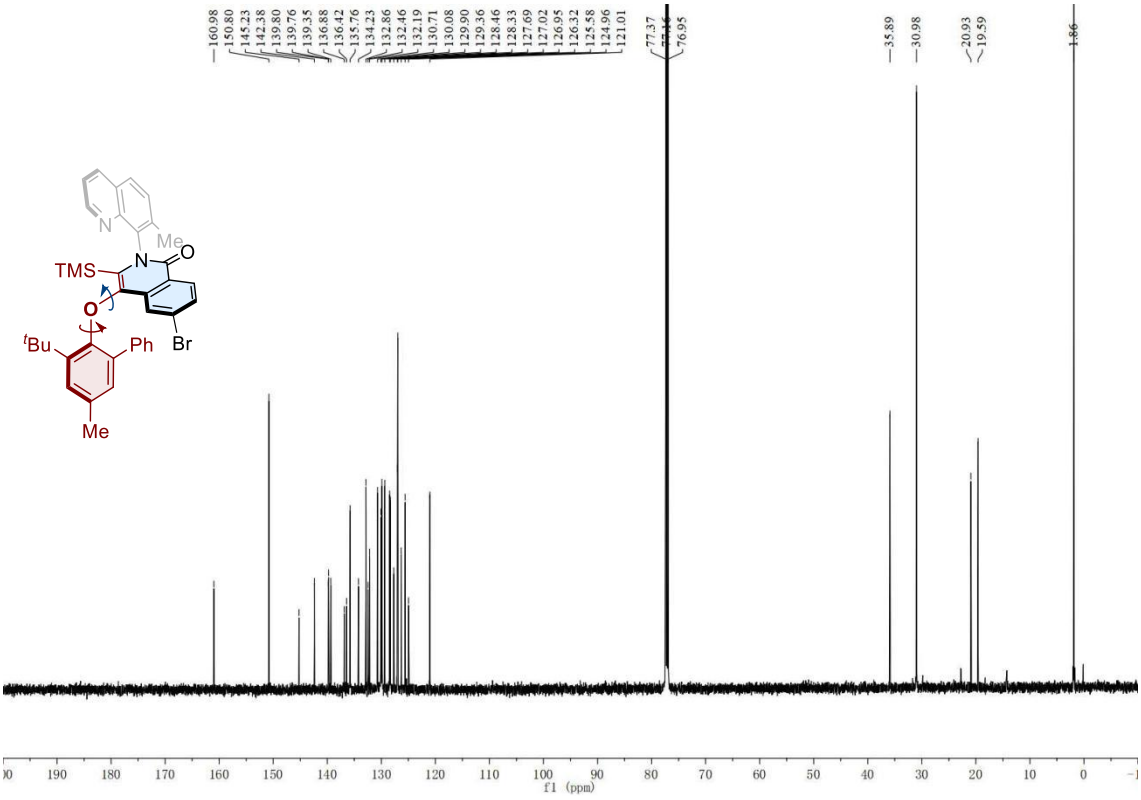
^{1}H NMR spectrum of 5c (600 MHz, $CDCl_3$)



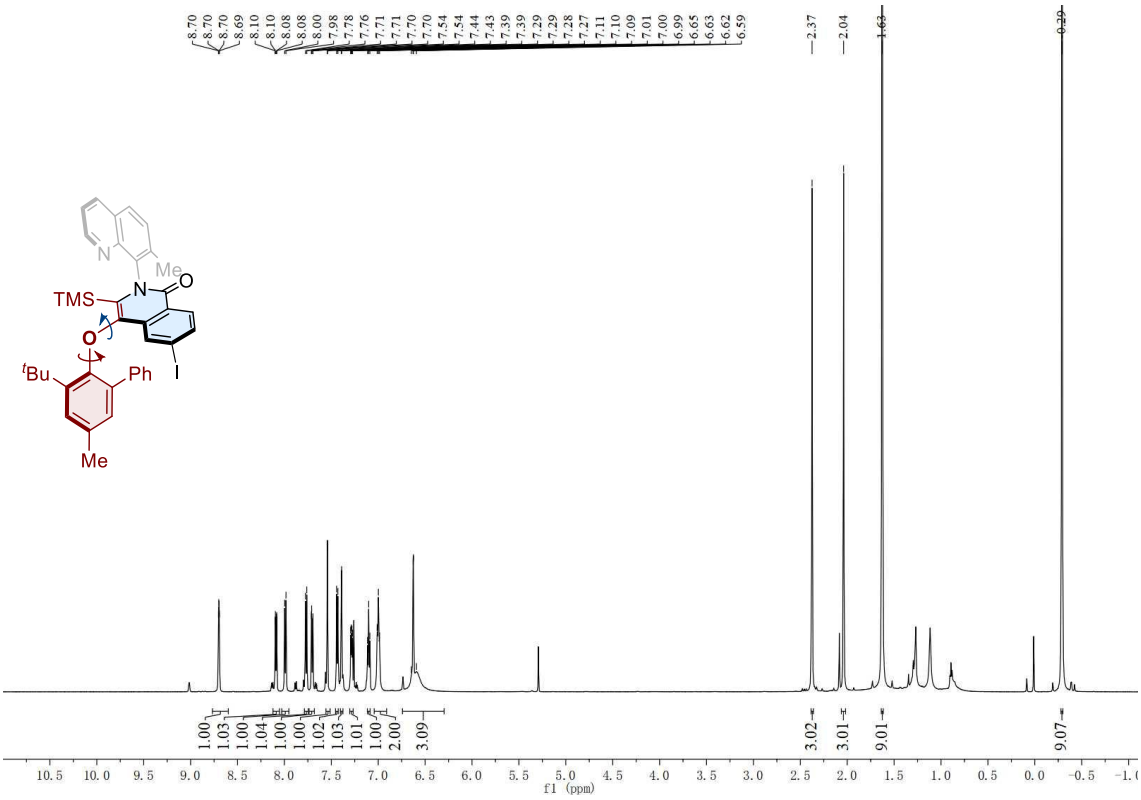
^{13}C NMR spectrum of 5c (151 MHz, $CDCl_3$)



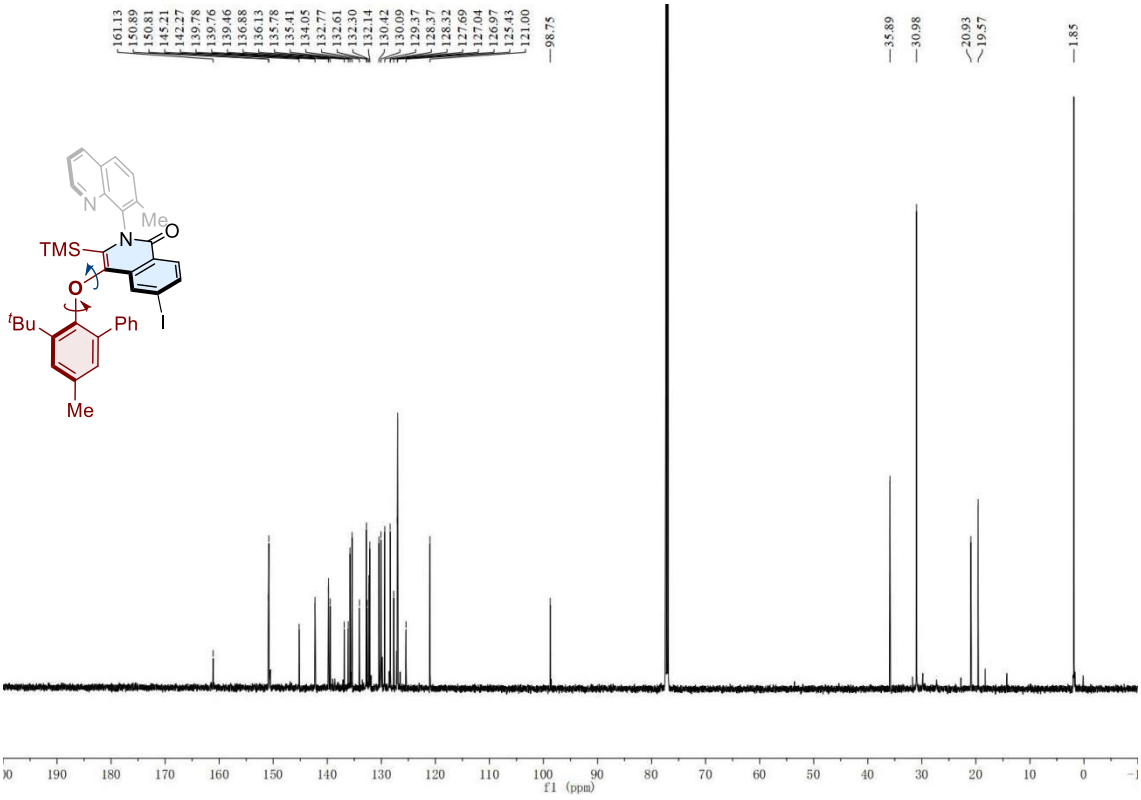
^{1}H NMR spectrum of 5d (600 MHz, $CDCl_3$)



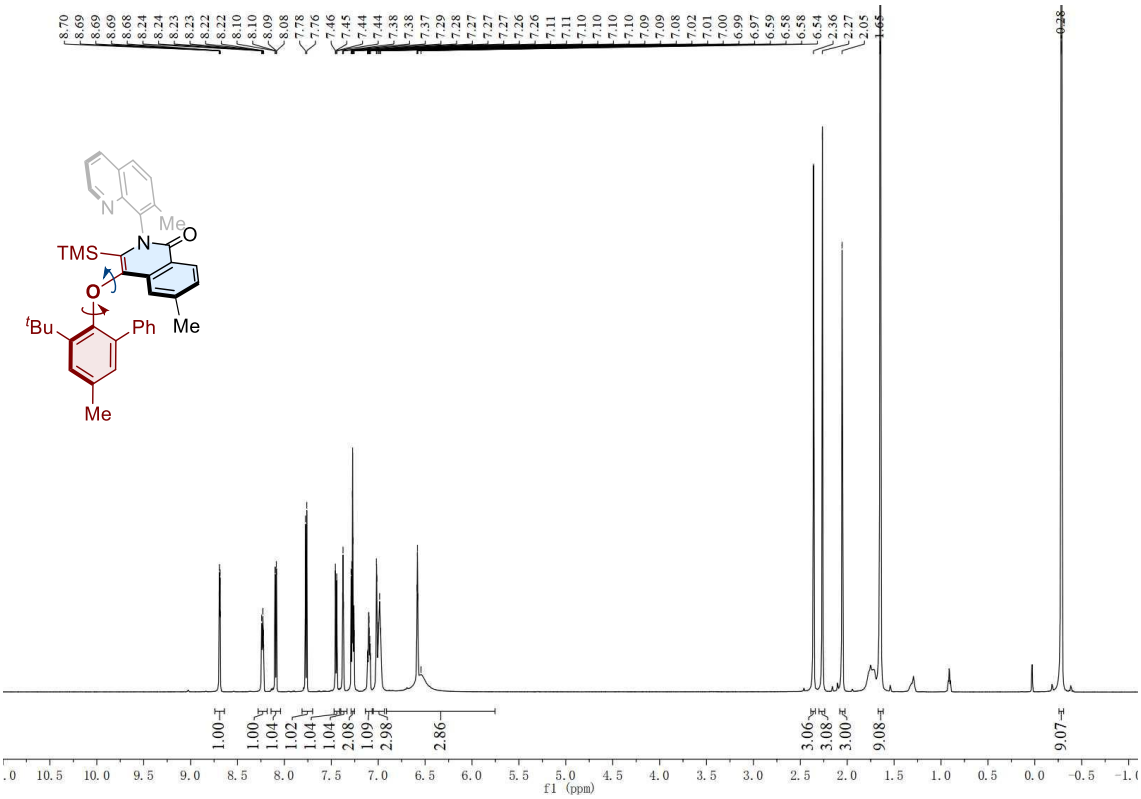
^{13}C NMR spectrum of 5d (151 MHz, $CDCl_3$)



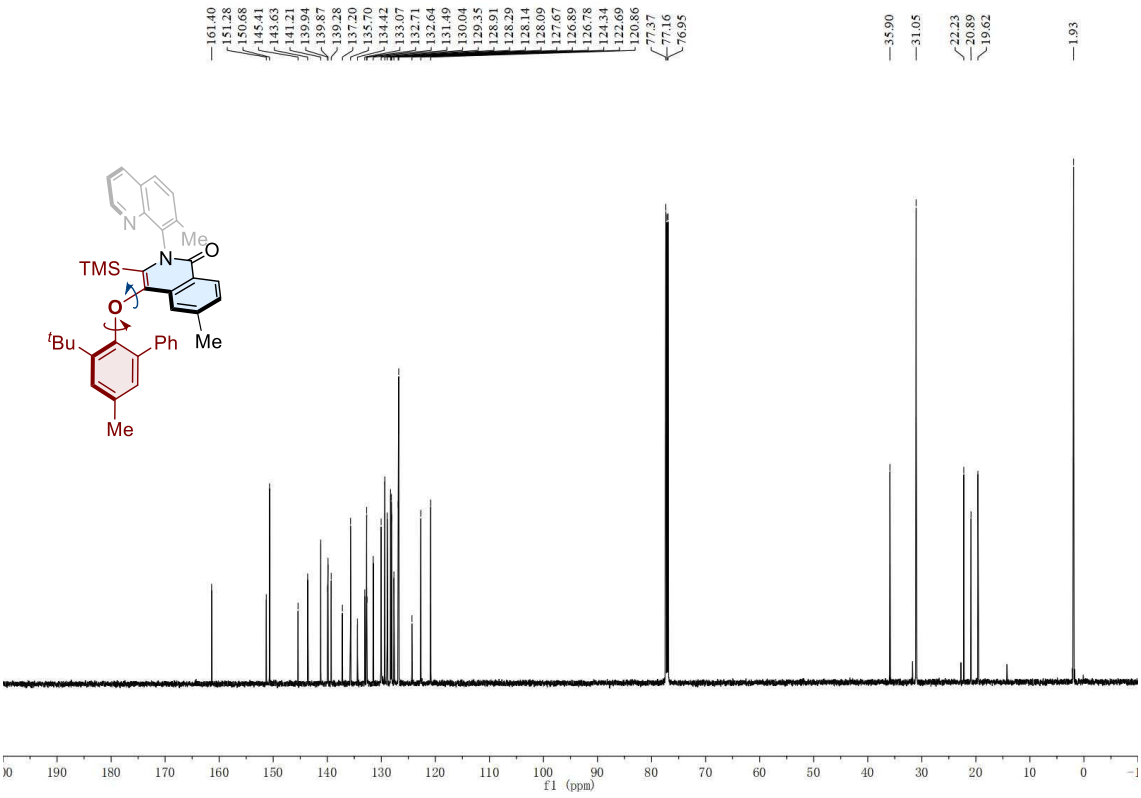
^{1}H NMR spectrum of 5e (600 MHz, $CDCl_3$)



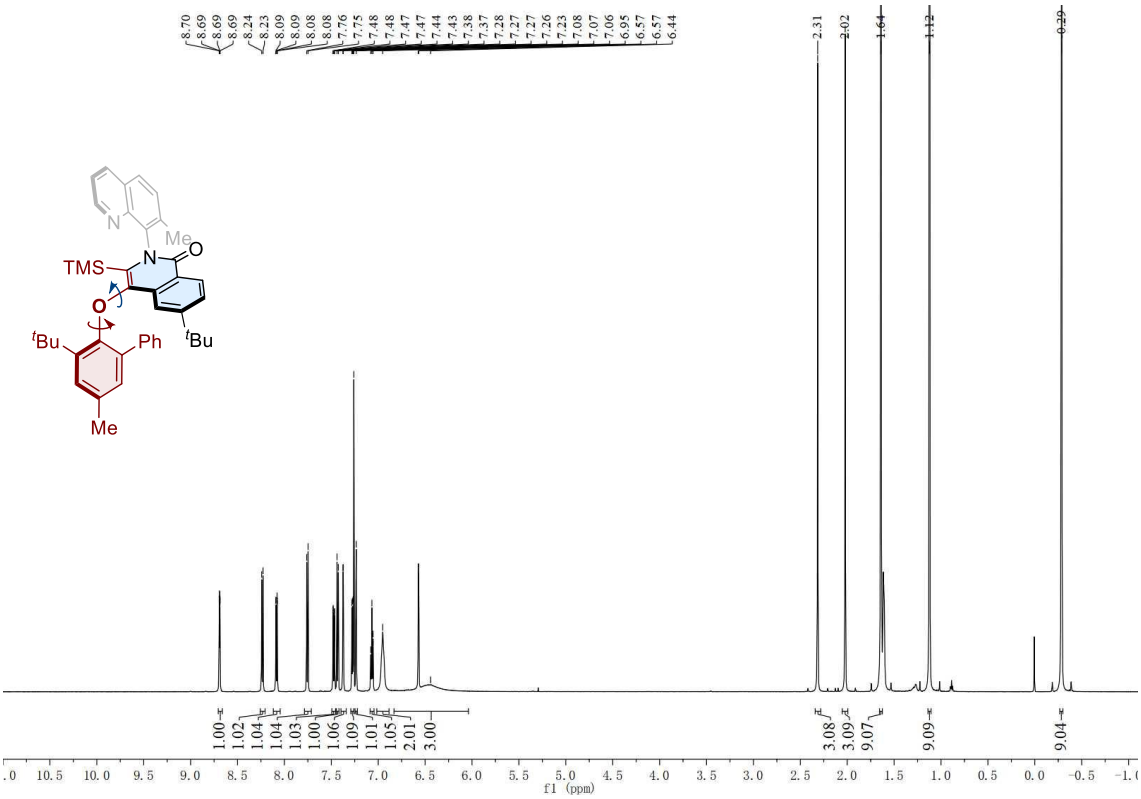
^{13}C NMR spectrum of 5e (151 MHz, $CDCl_3$)



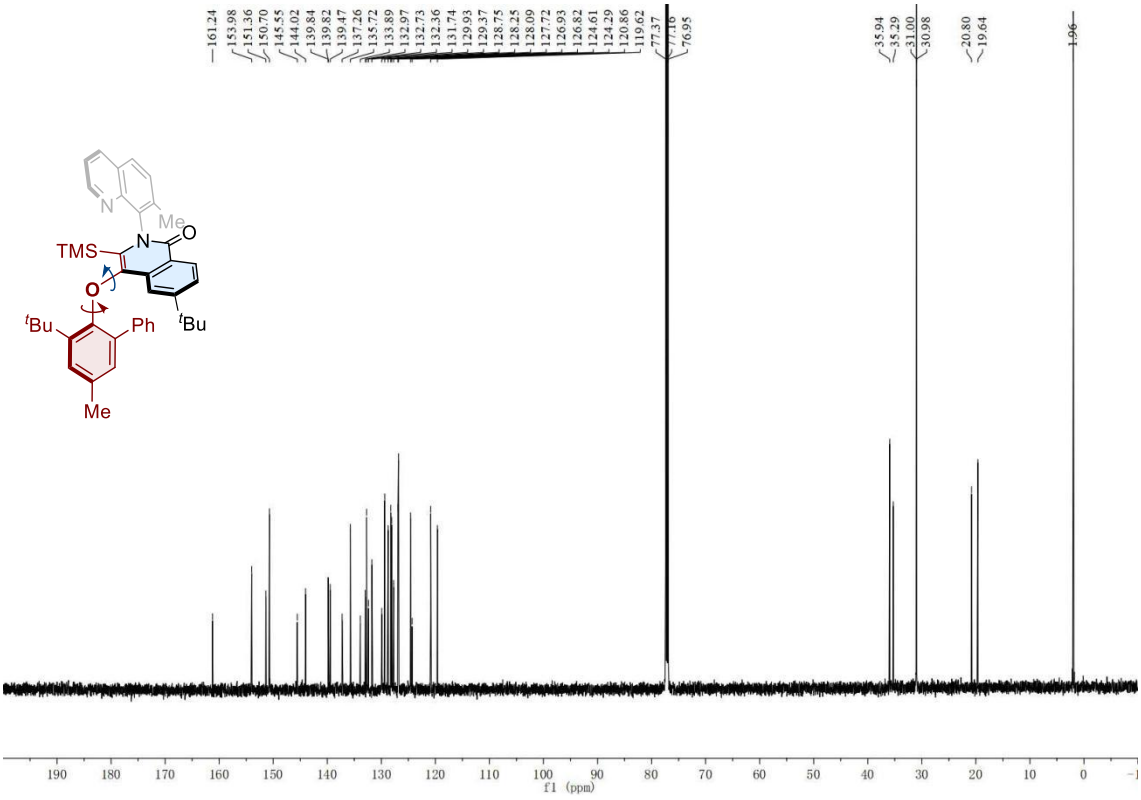
^{1}H NMR spectrum of 5f (600 MHz, $CDCl_3$)



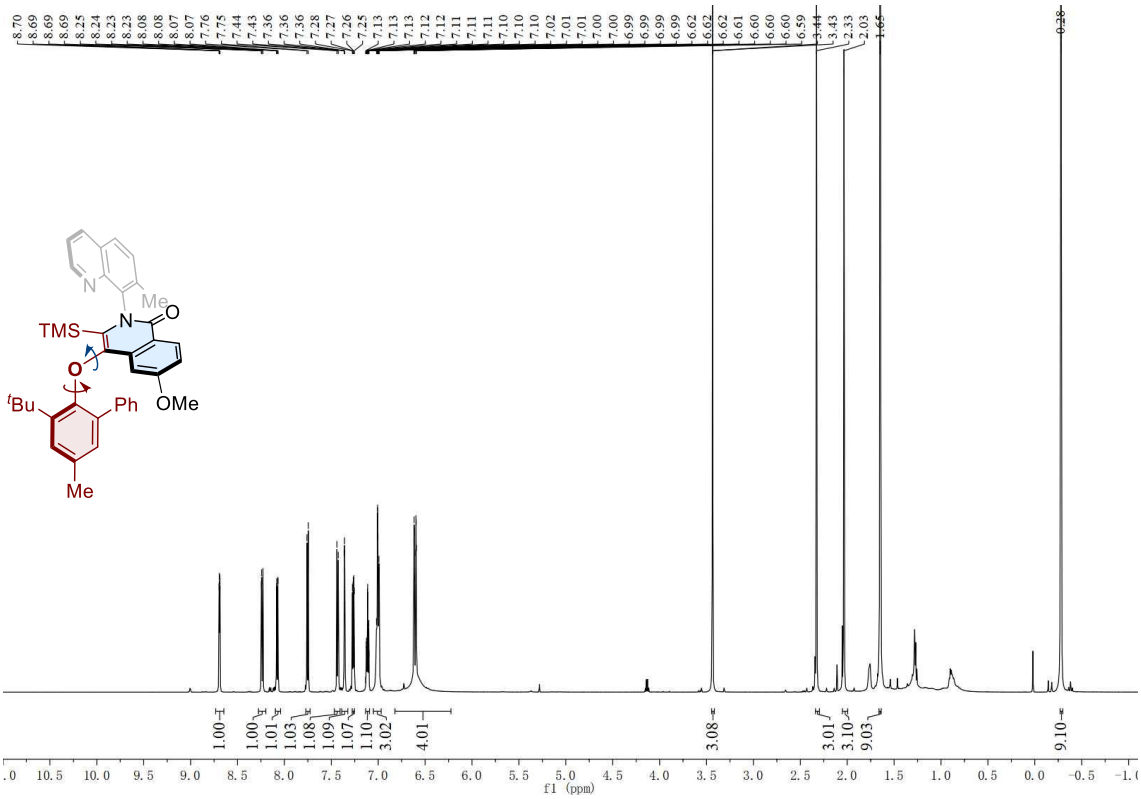
^{13}C NMR spectrum of 5f (151 MHz, $CDCl_3$)



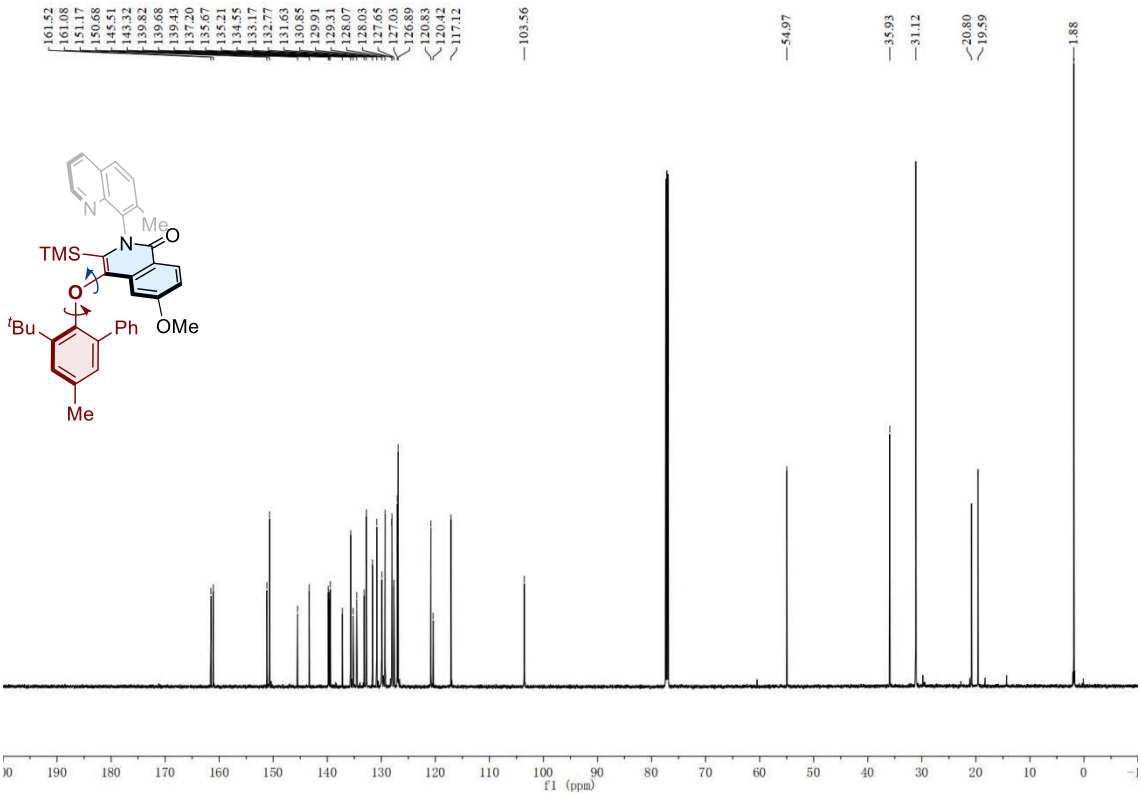
^{1}H NMR spectrum of 5g (600 MHz, $CDCl_3$)



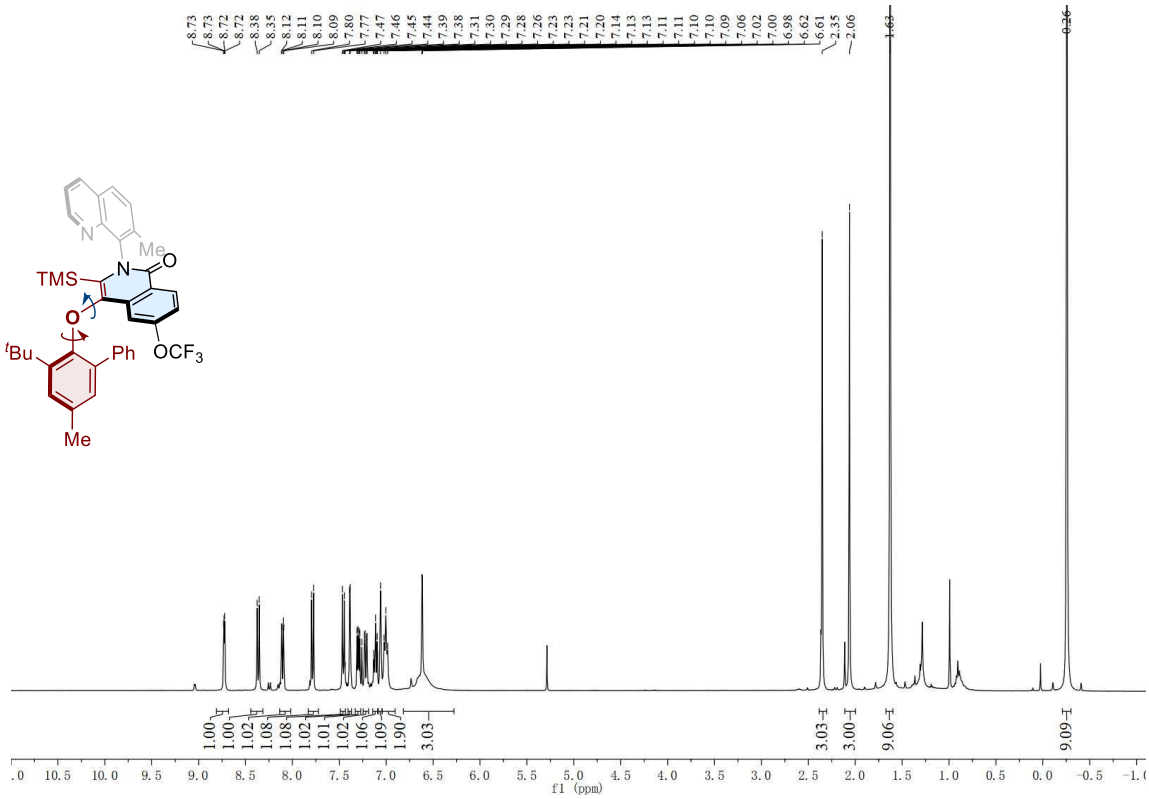
^{13}C NMR spectrum of 5g (151 MHz, $CDCl_3$)



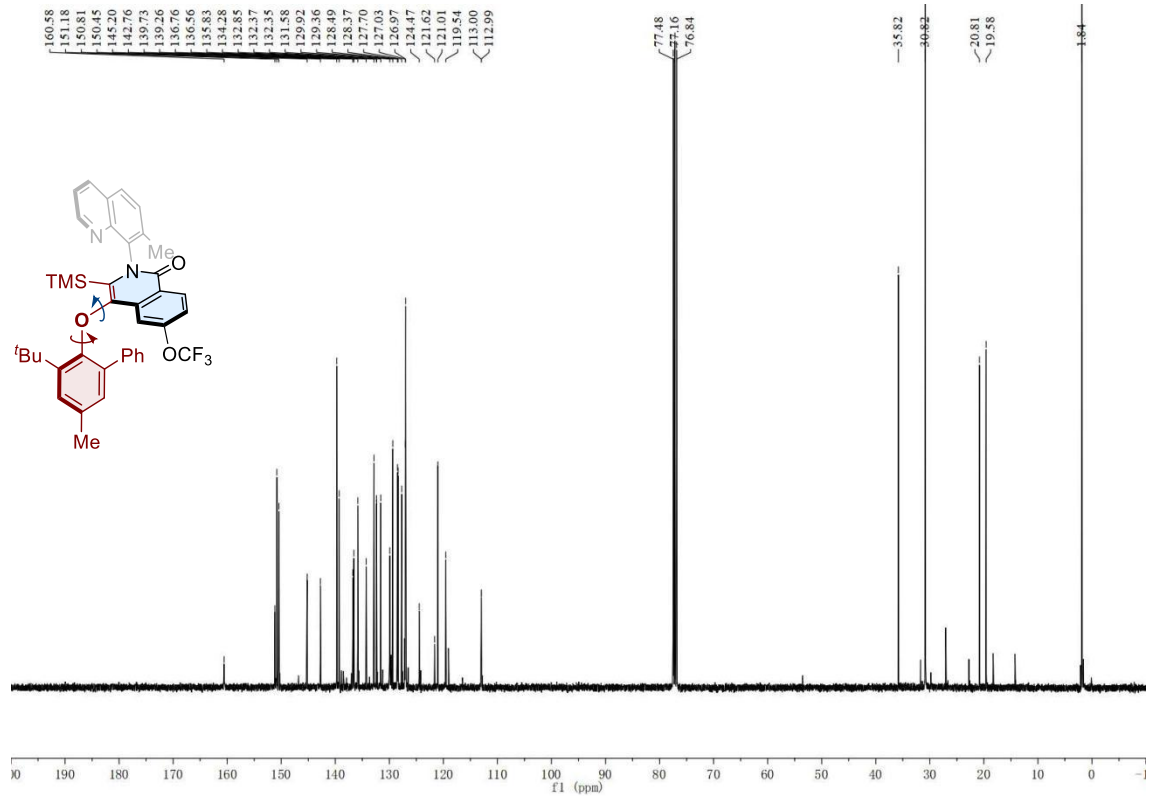
^{1}H NMR spectrum of 5h (600 MHz, $CDCl_3$)



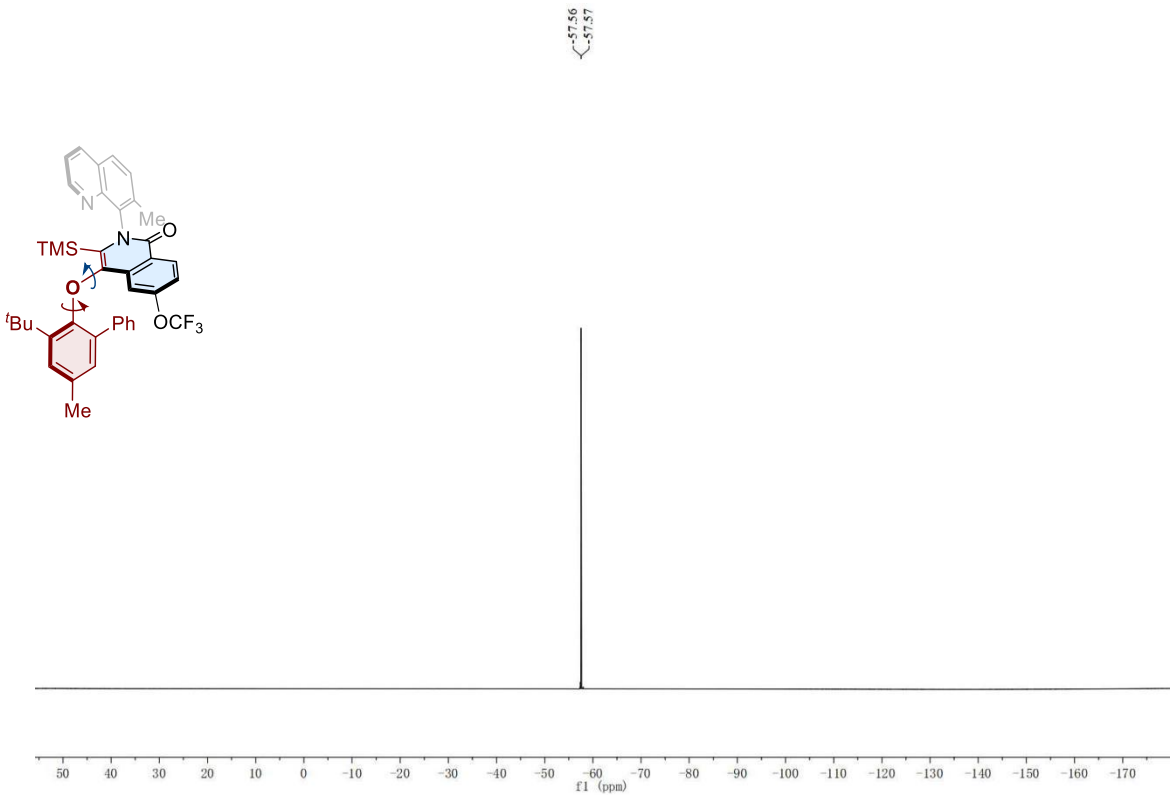
^{13}C NMR spectrum of 5h (151 MHz, $CDCl_3$)



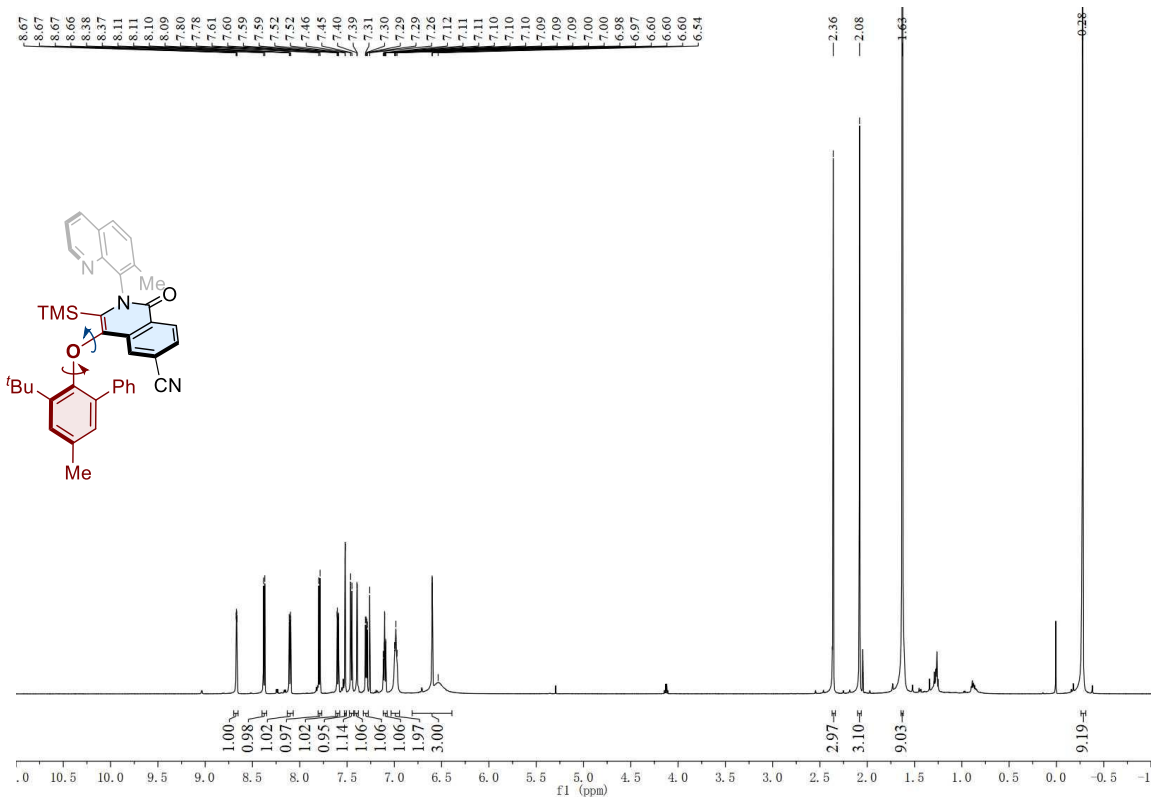
^{1}H NMR spectrum of 5i (400 MHz, $CDCl_3$)



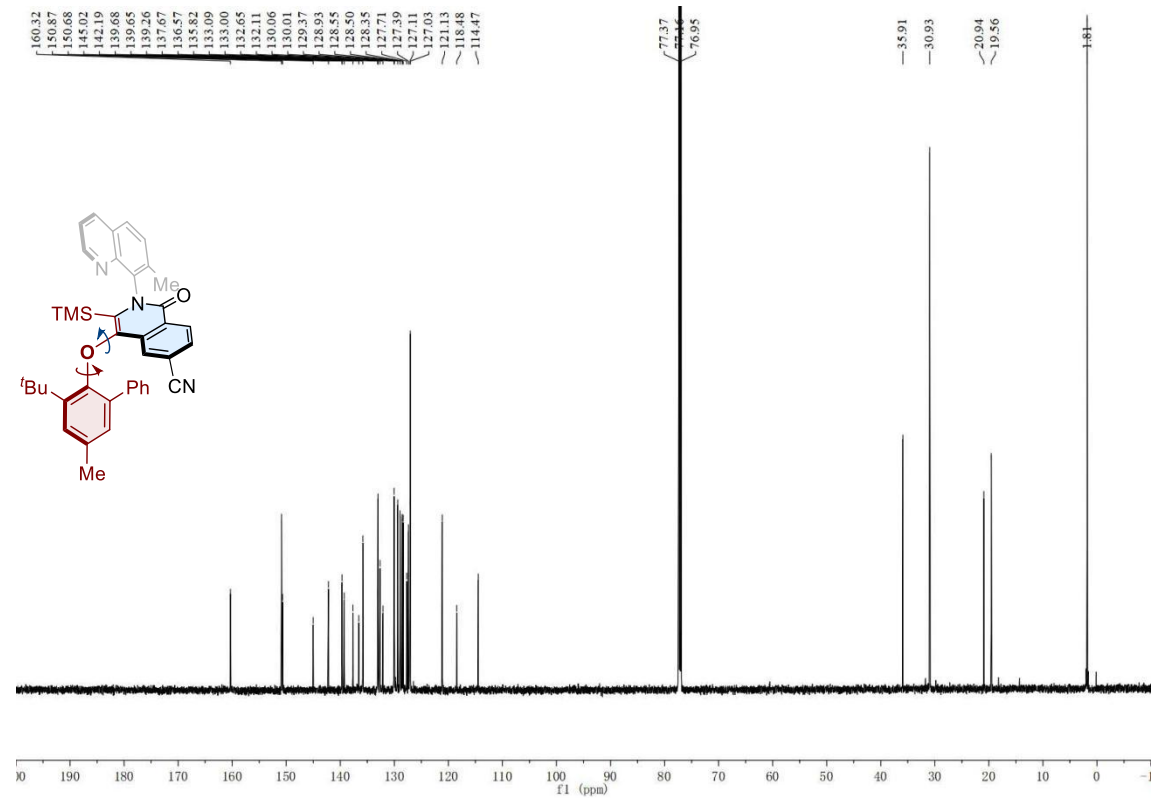
^{13}C NMR spectrum of 5i (101 MHz, $CDCl_3$)



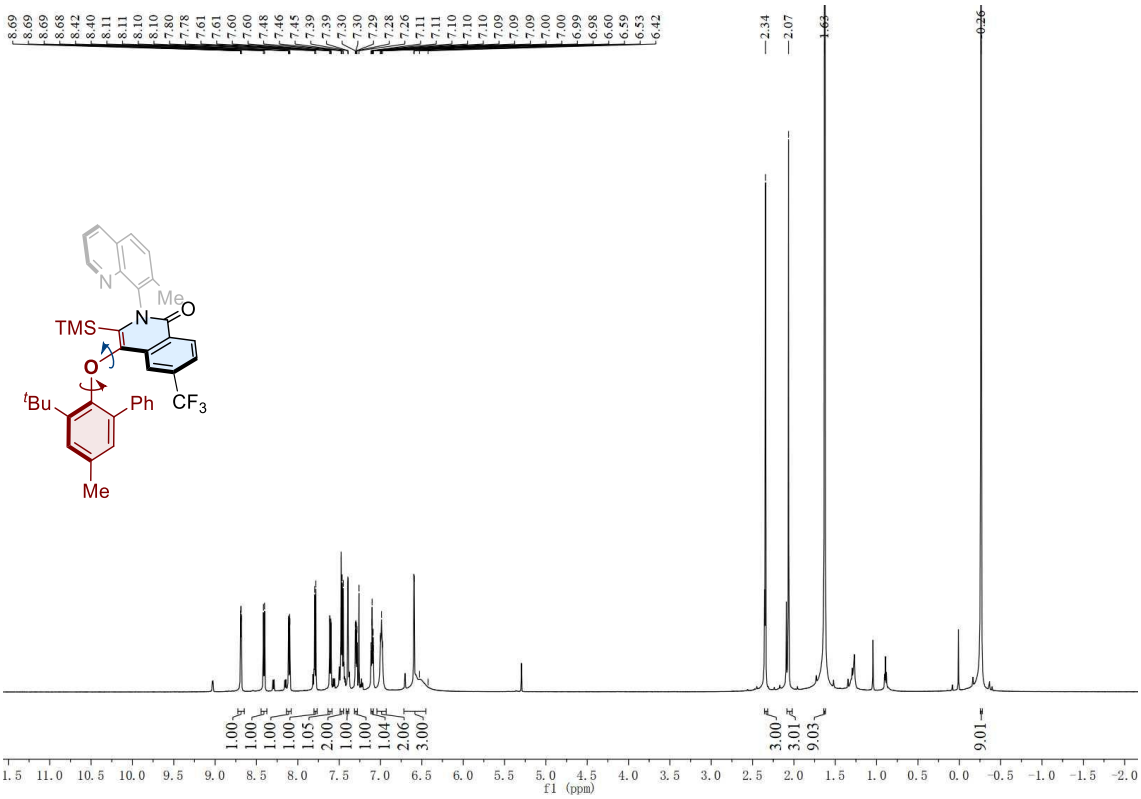
^{19}F NMR spectrum of 5i (377 MHz, $CDCl_3$)



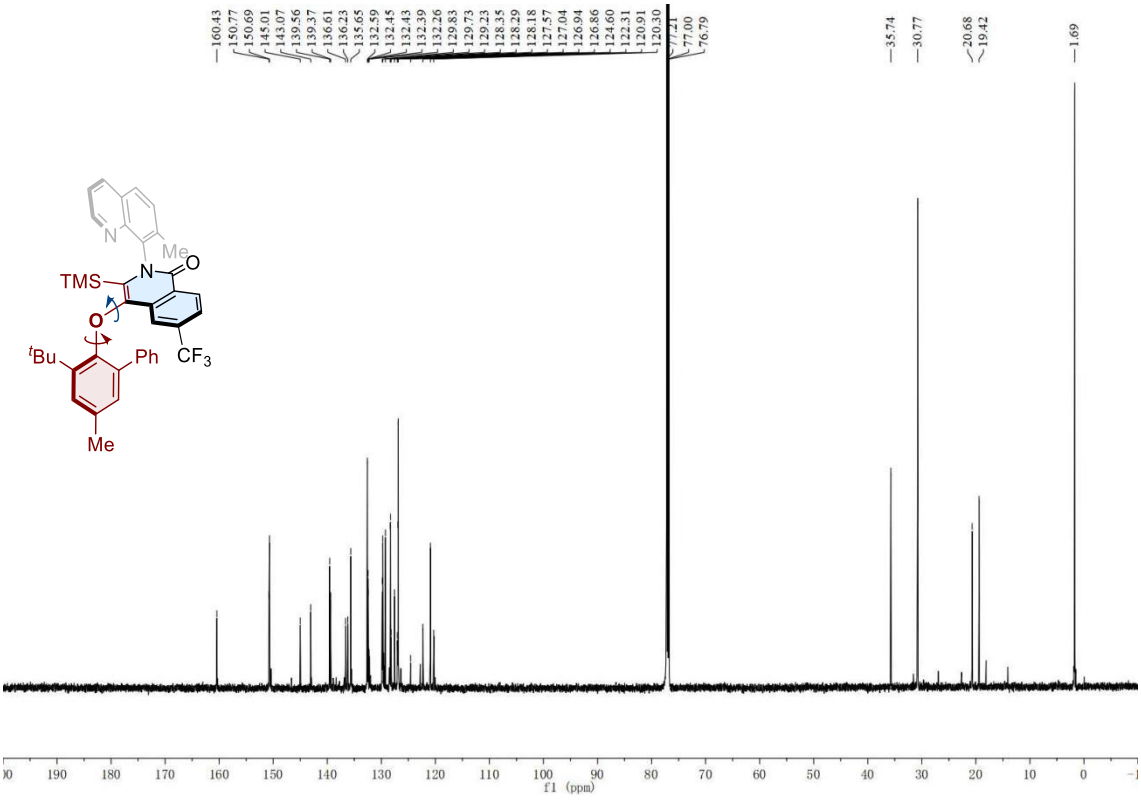
^{1}H NMR spectrum of 5j (600 MHz, $CDCl_3$)



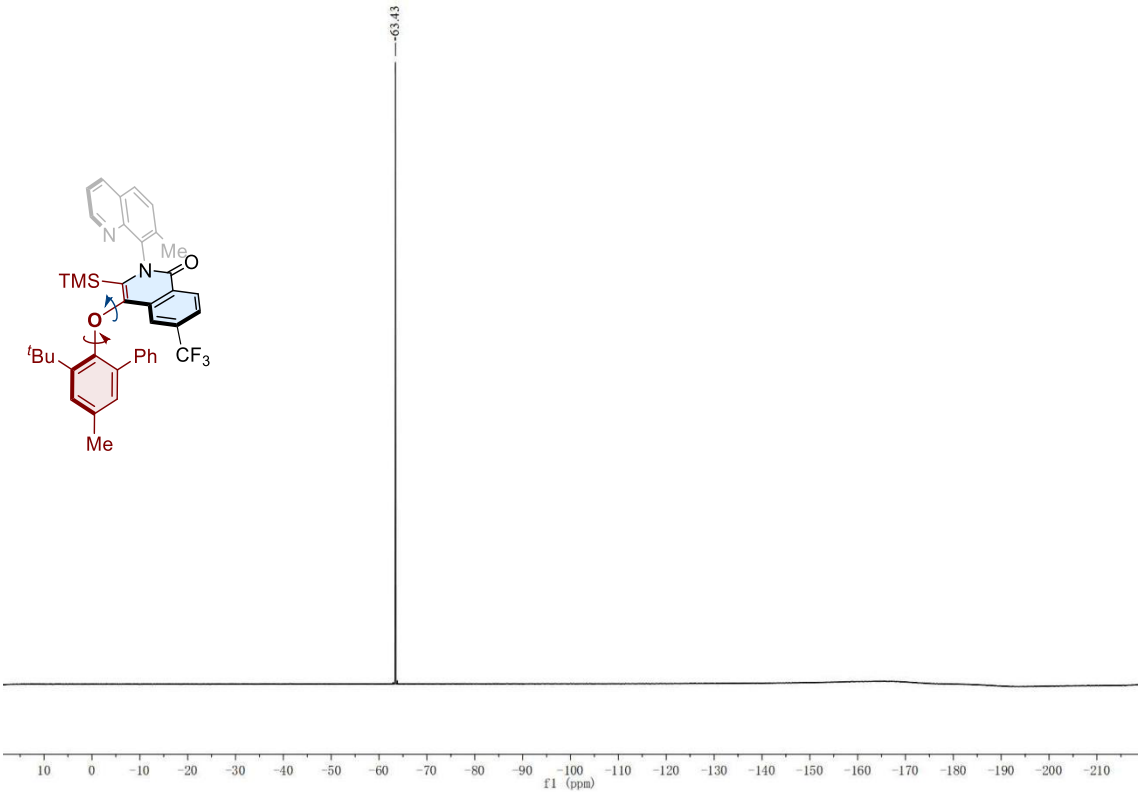
^{13}C NMR spectrum of 5j (151 MHz, $CDCl_3$)



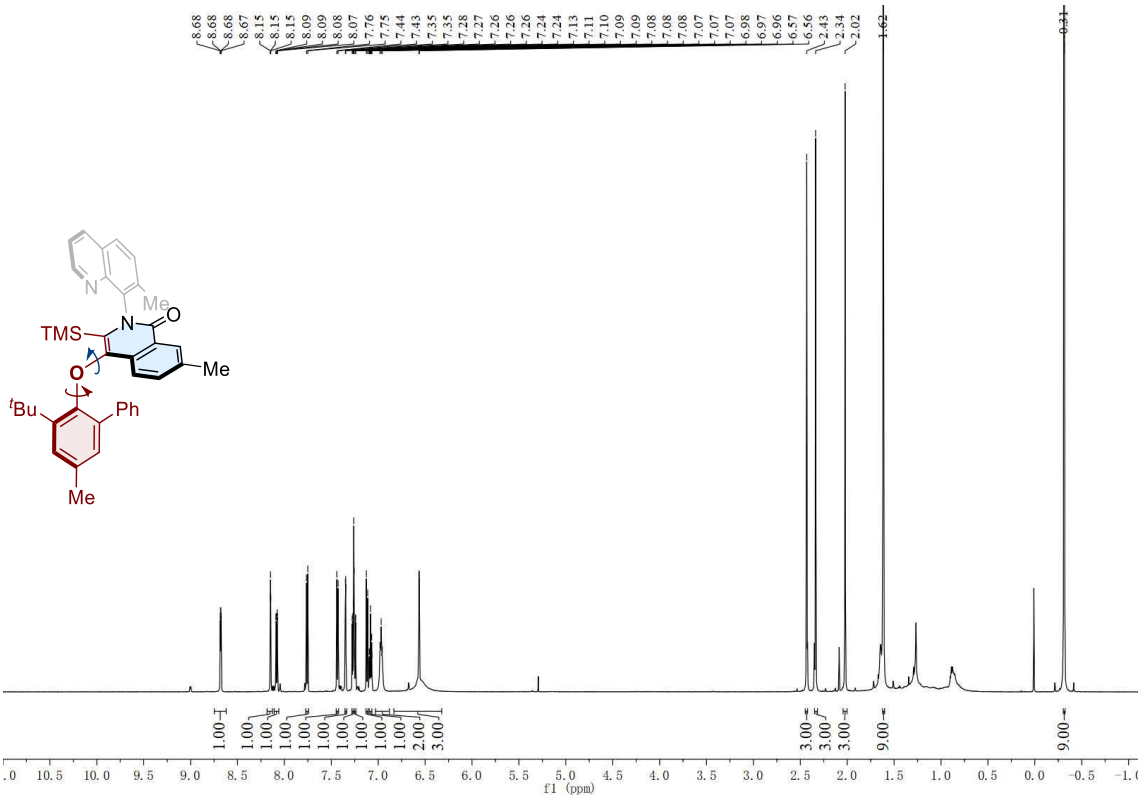
^{1}H NMR spectrum of 5k (600 MHz, $CDCl_3$)



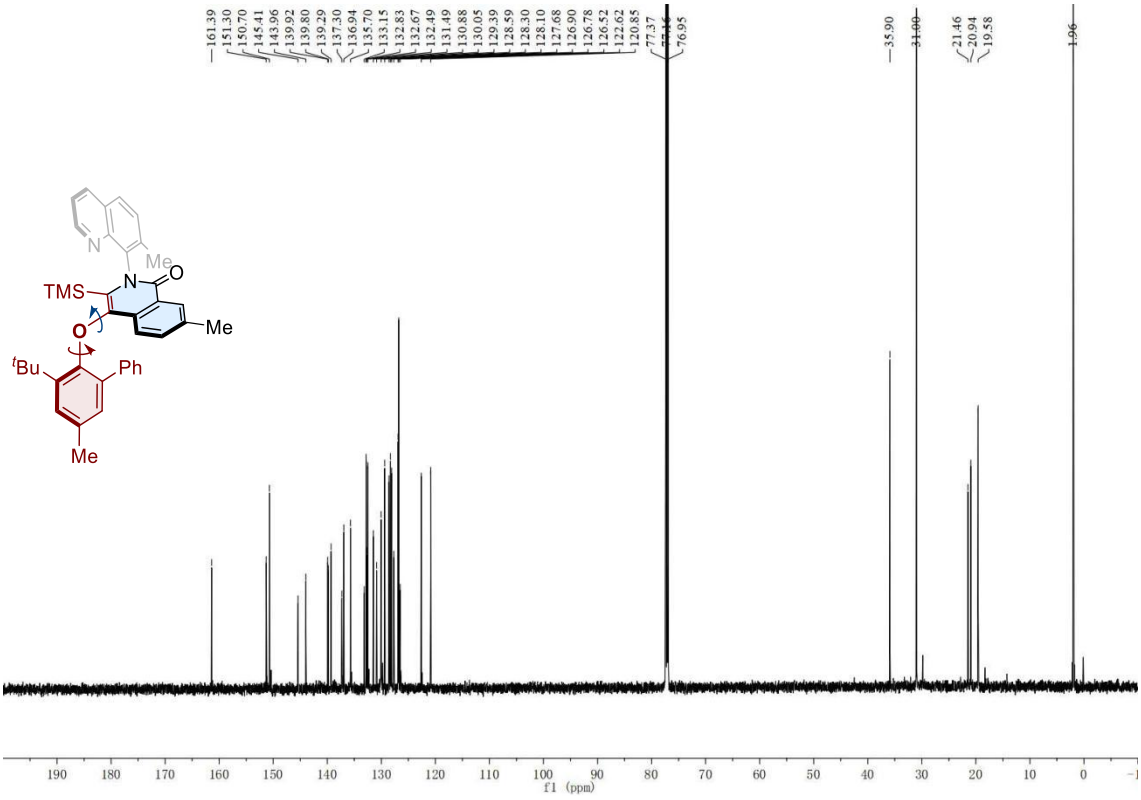
^{13}C NMR spectrum of 5k (151 MHz, $CDCl_3$)



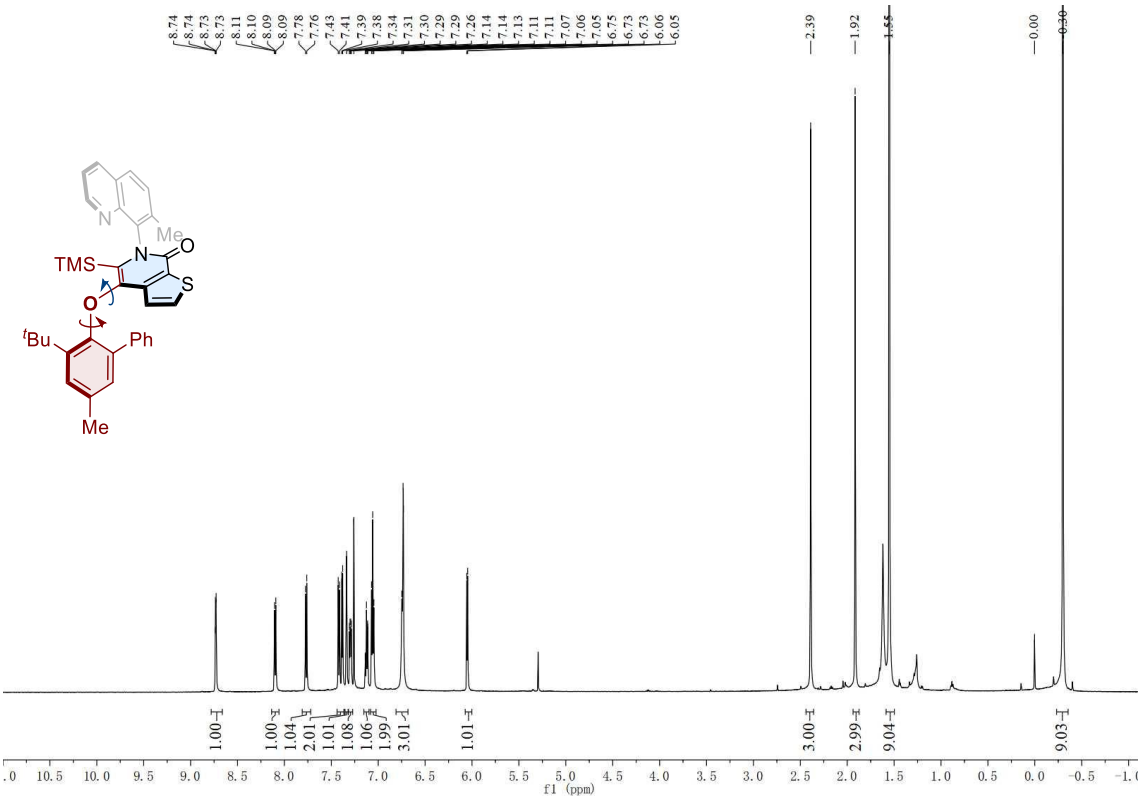
^{19}F NMR spectrum of 5k (565 MHz, $CDCl_3$)



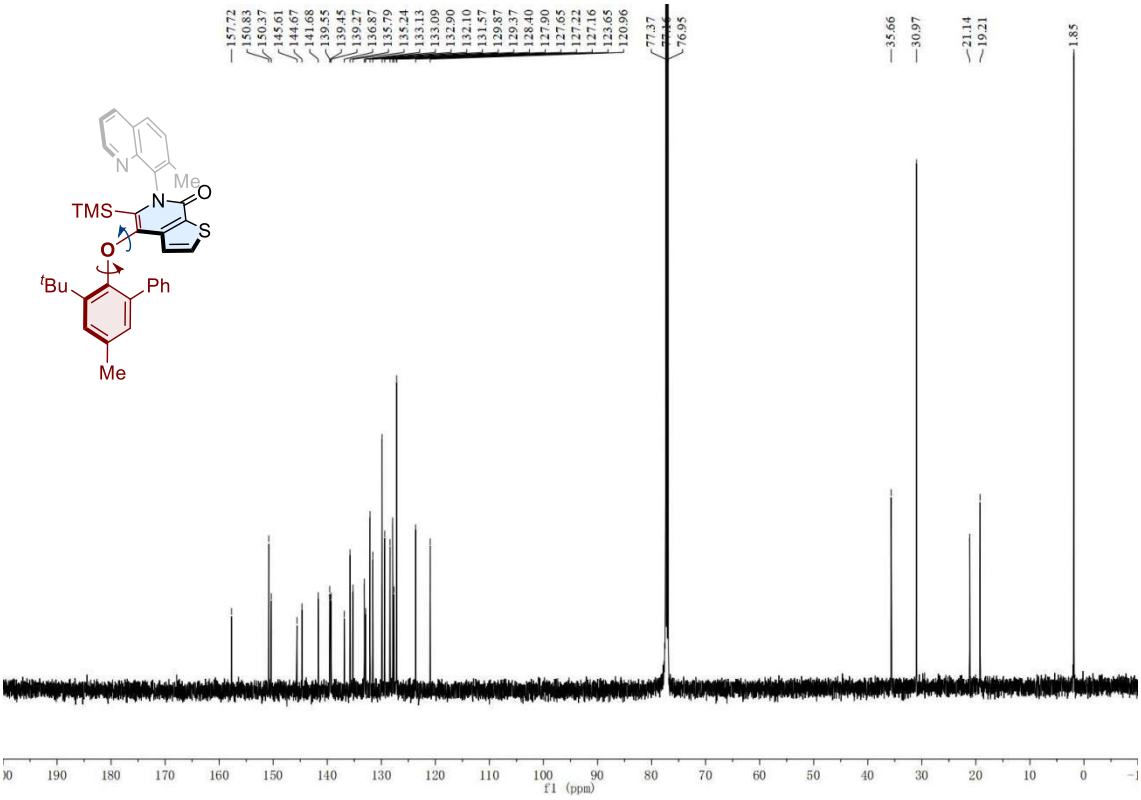
^{1}H NMR spectrum of 5l (600 MHz, $CDCl_3$)



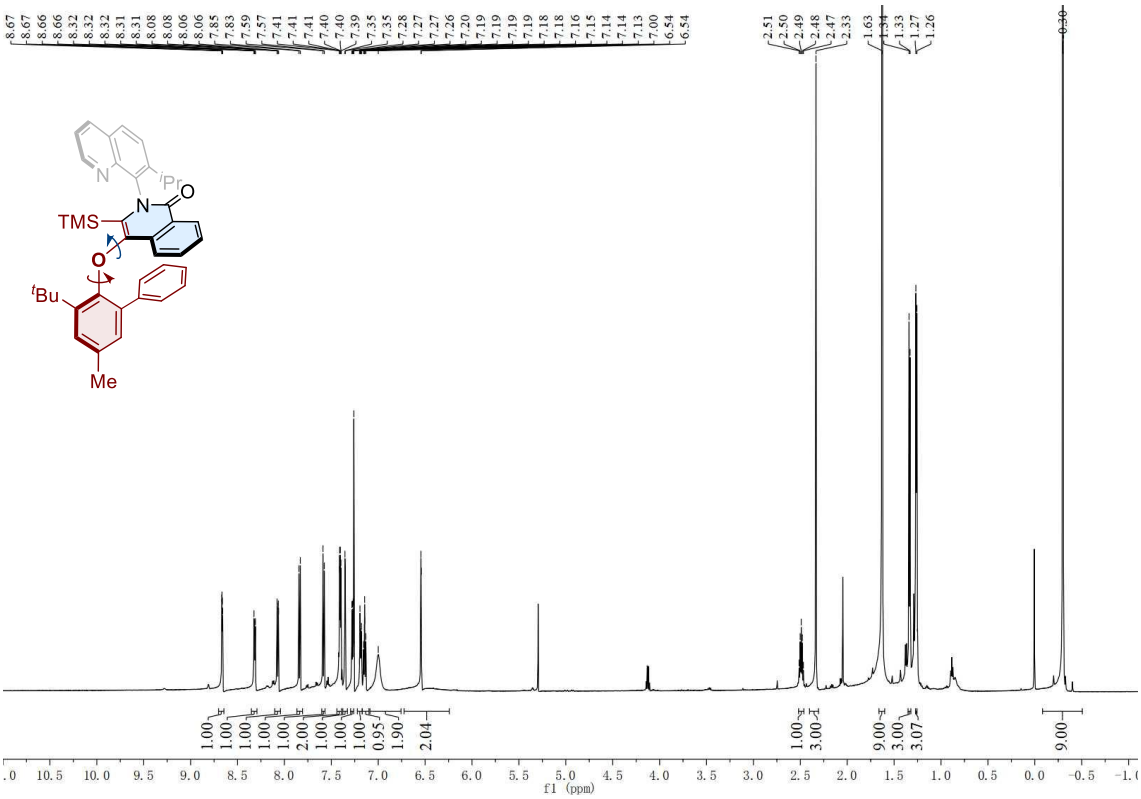
^{13}C NMR spectrum of 5l (151 MHz, $CDCl_3$)



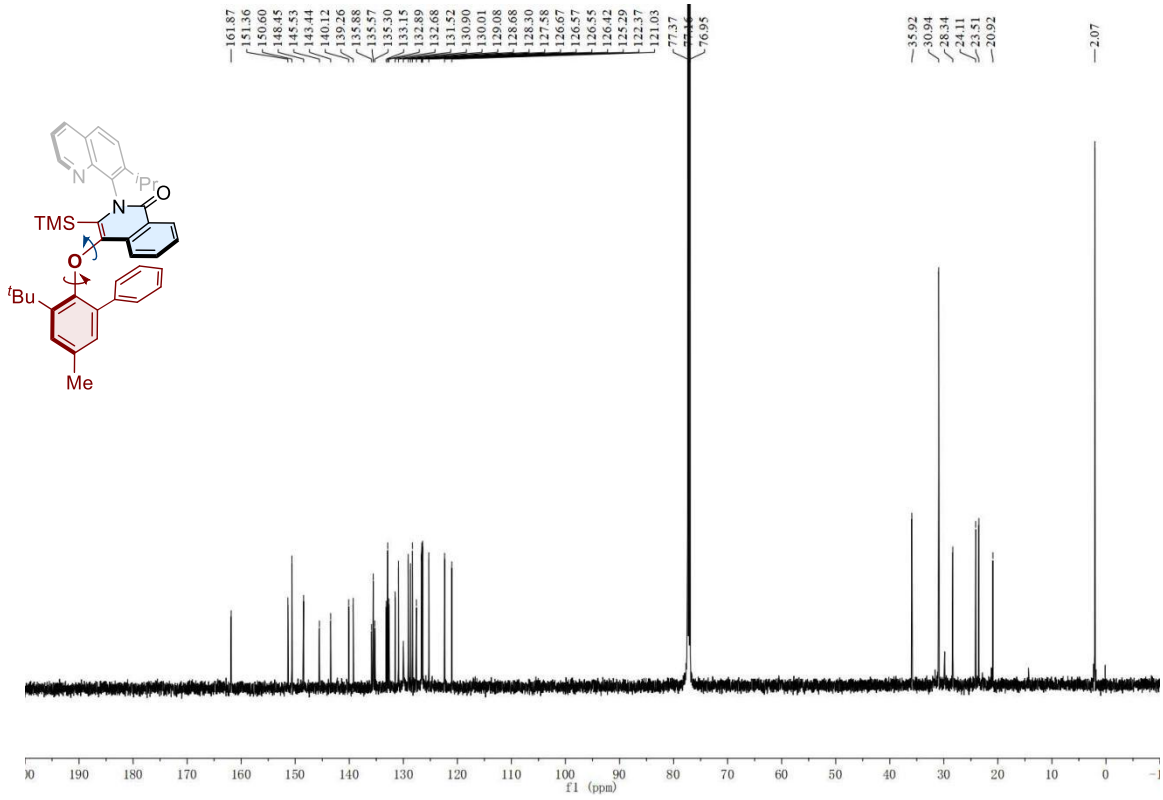
^{1}H NMR spectrum of 5m (600 MHz, $CDCl_3$)



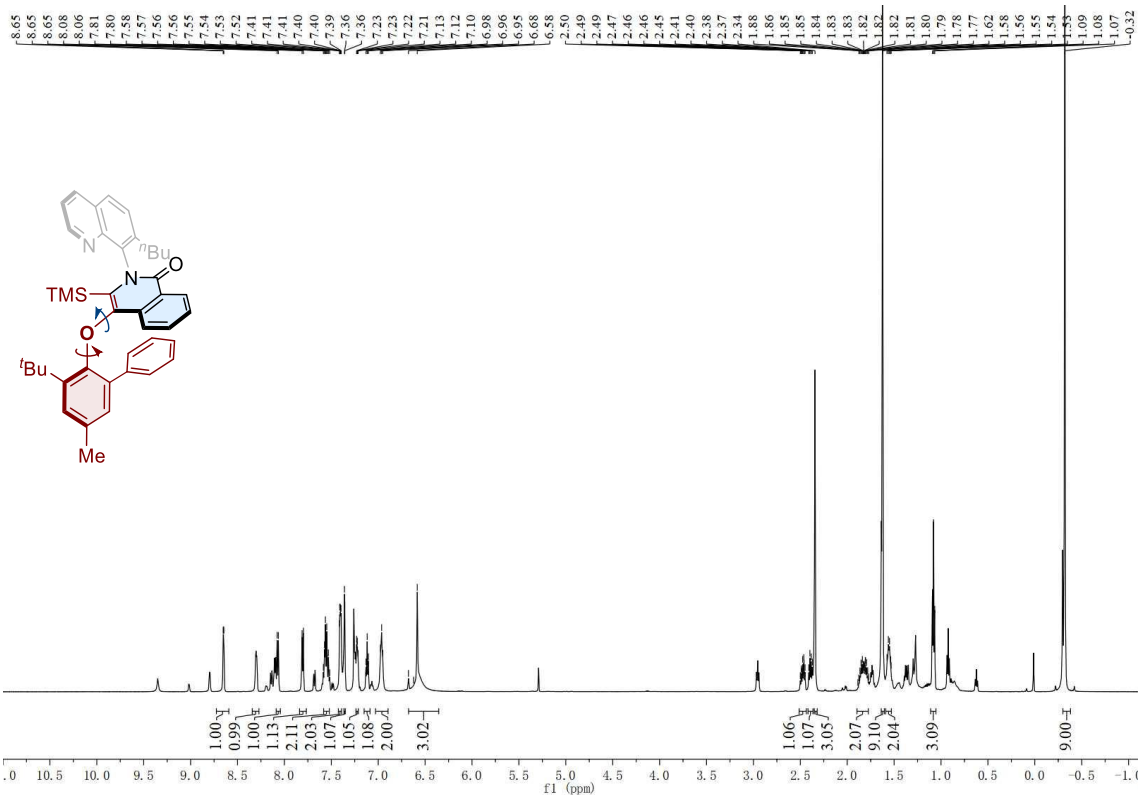
^{13}C NMR spectrum of 5m (151 MHz, $CDCl_3$)



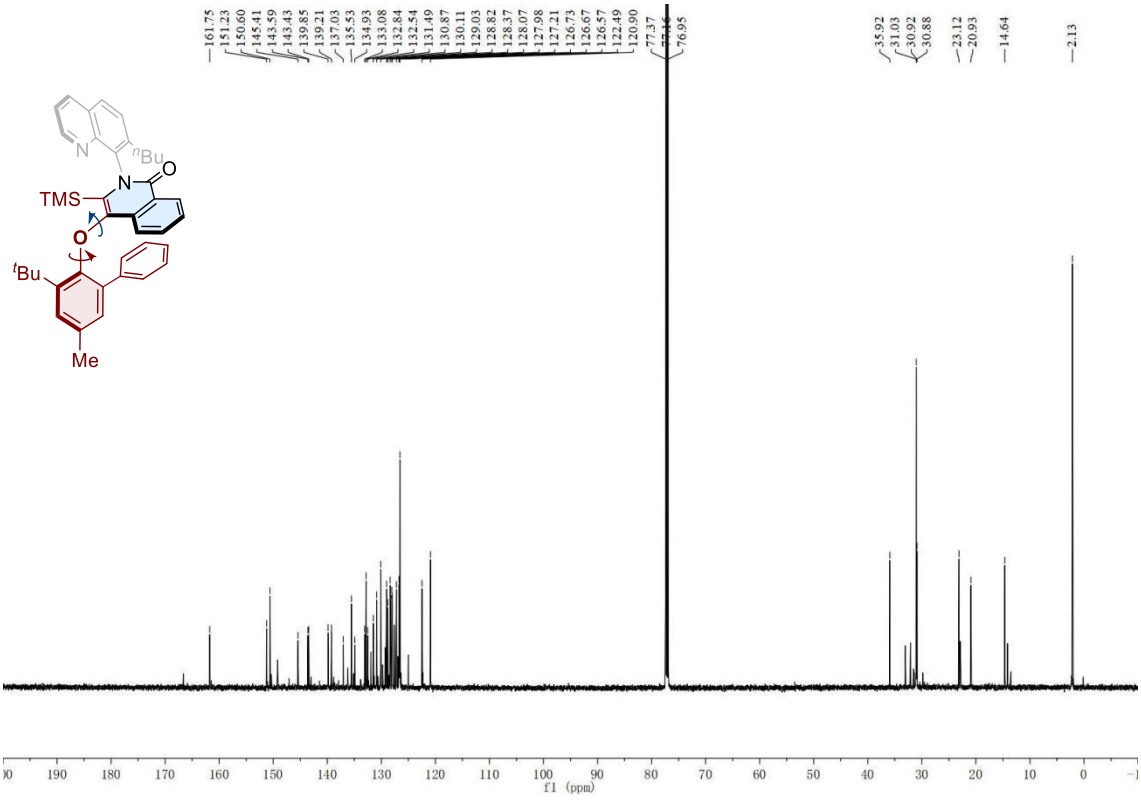
^{1}H NMR spectrum of 5n (600 MHz, $CDCl_3$)



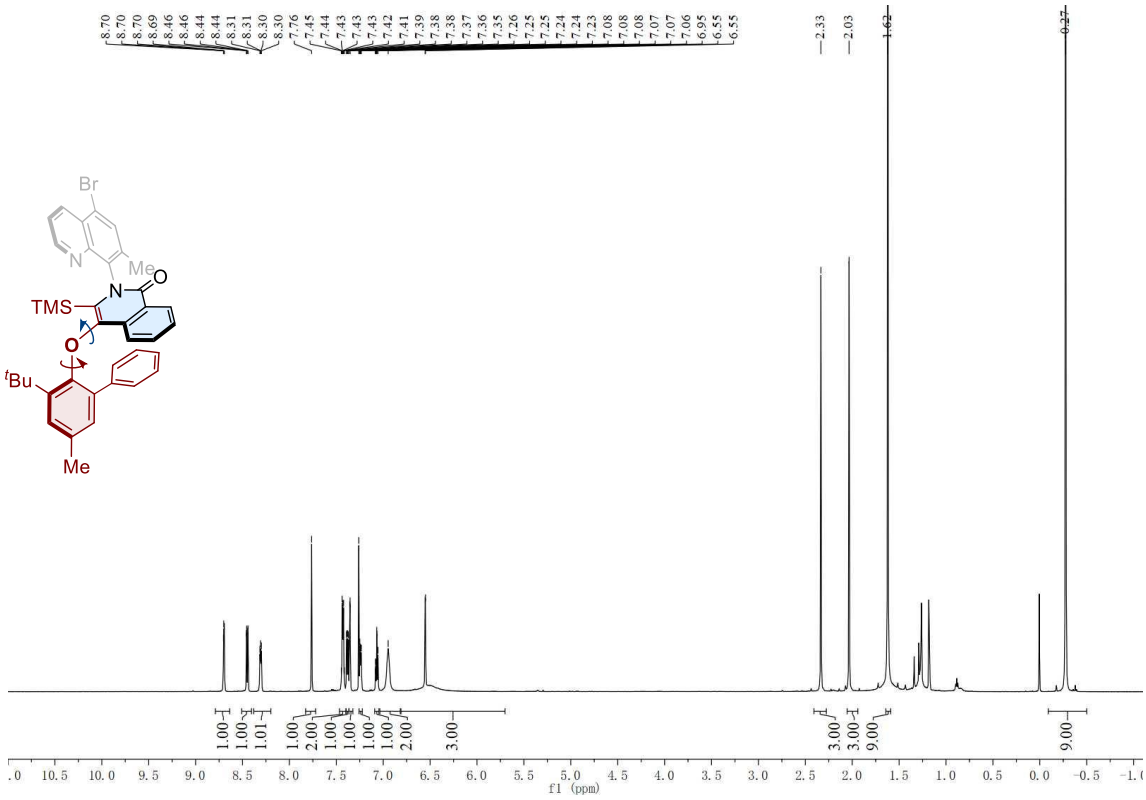
^{13}C NMR spectrum of 5n (151 MHz, $CDCl_3$)



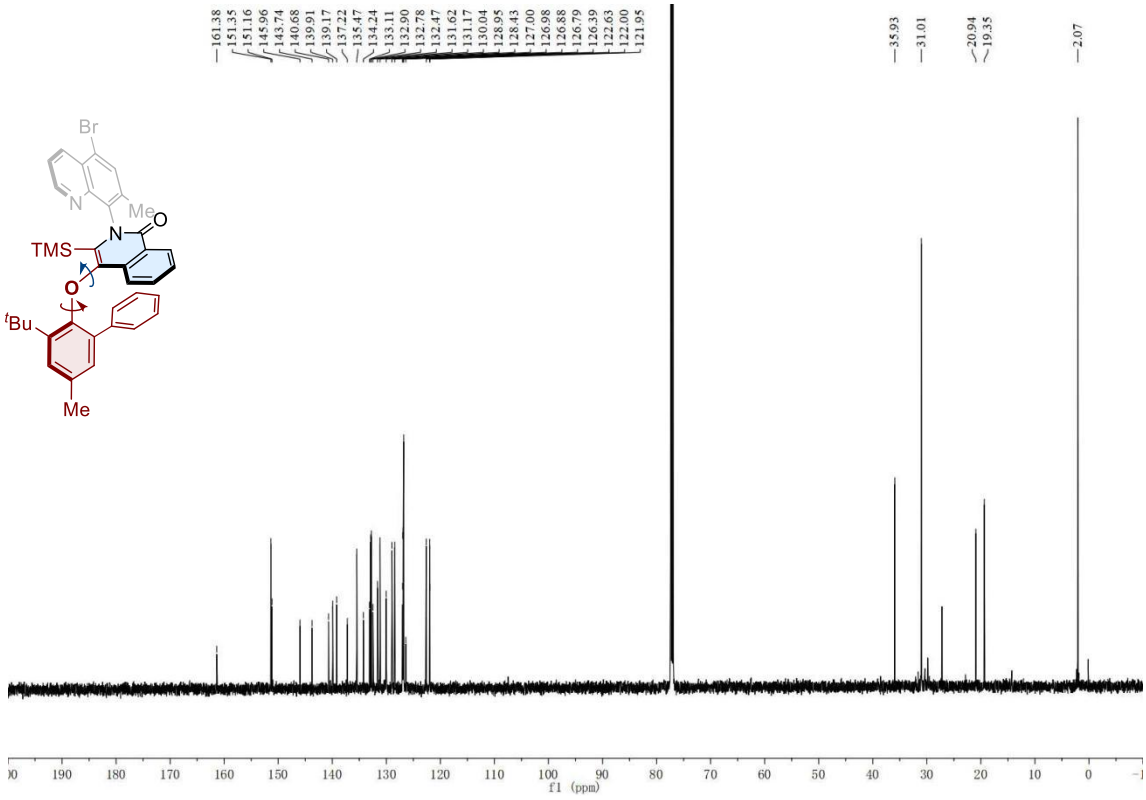
^{1}H NMR spectrum of 5o (600 MHz, $CDCl_3$)



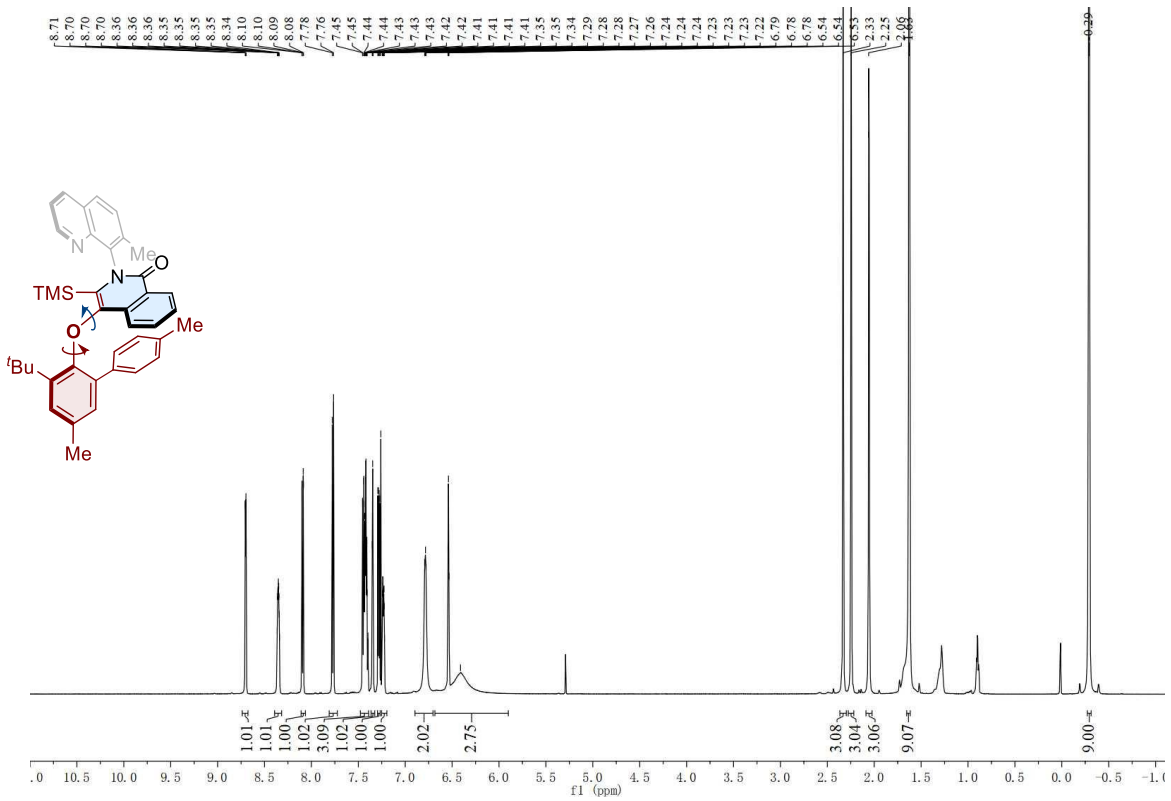
^{13}C NMR spectrum of 5o (151 MHz, $CDCl_3$)



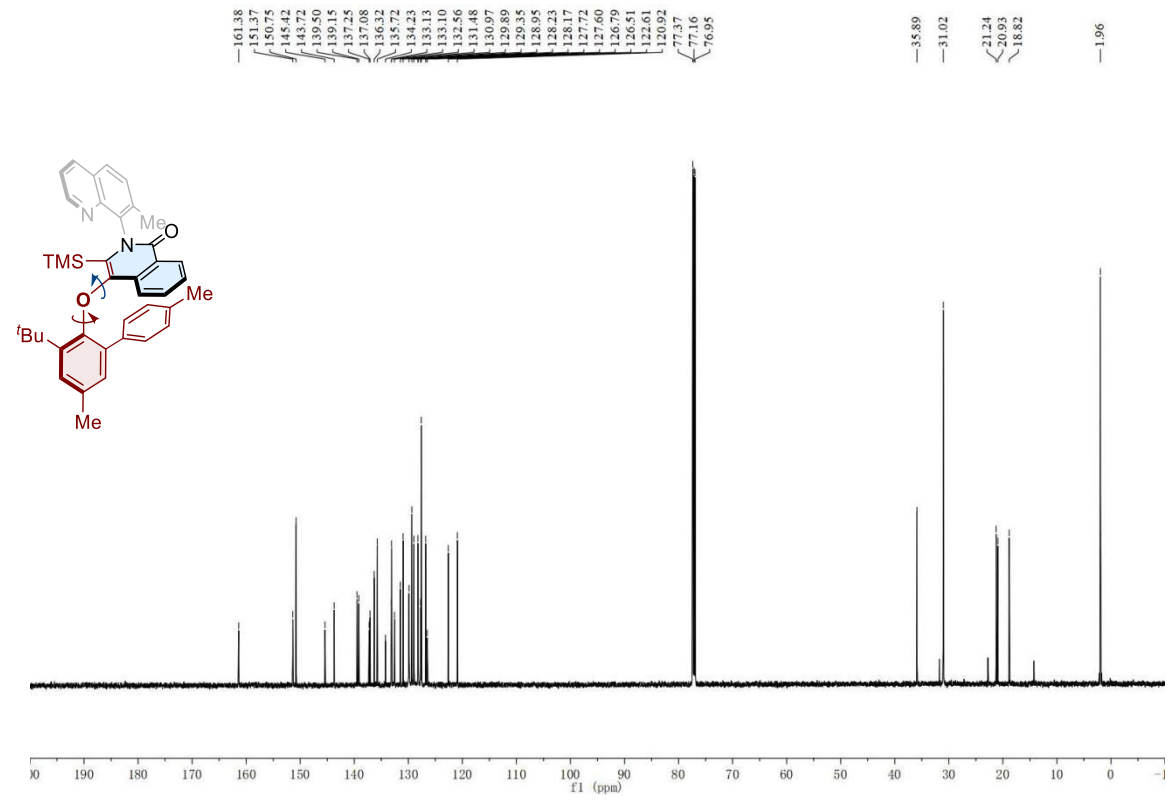
^{1}H NMR spectrum of 5p (600 MHz, $CDCl_3$)



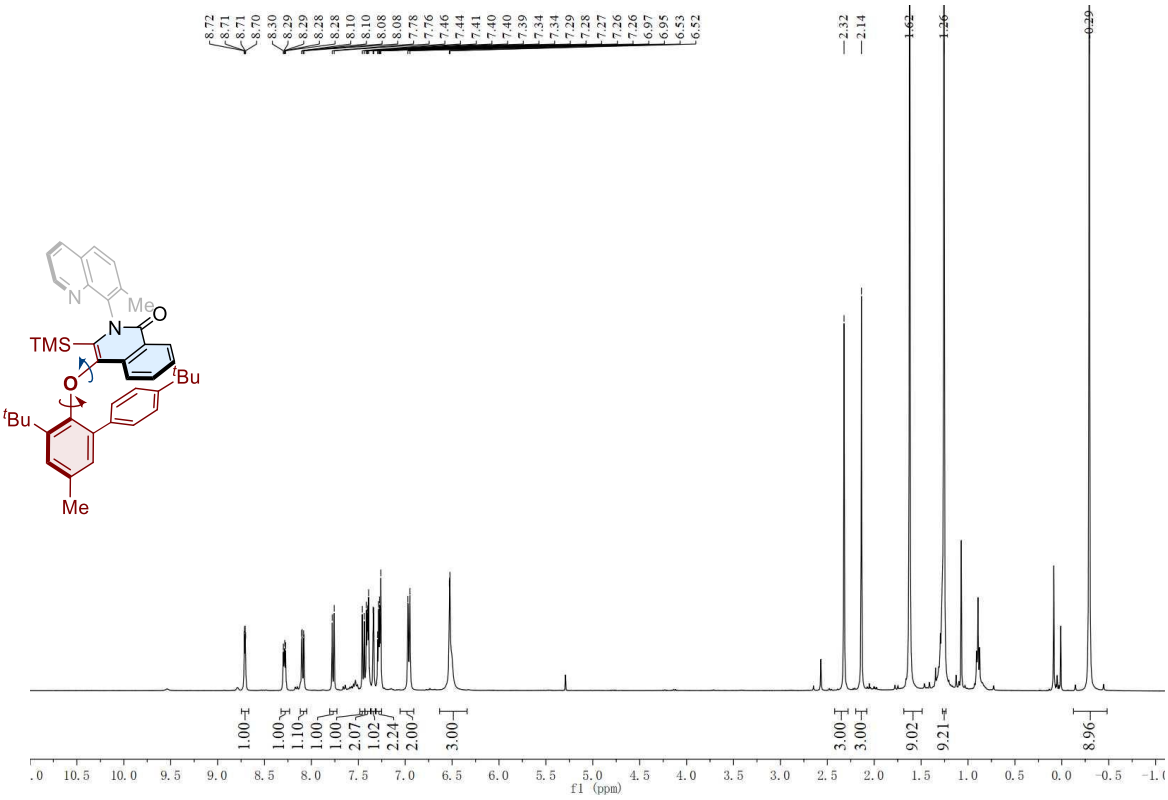
^{13}C NMR spectrum of 5p (151 MHz, $CDCl_3$)



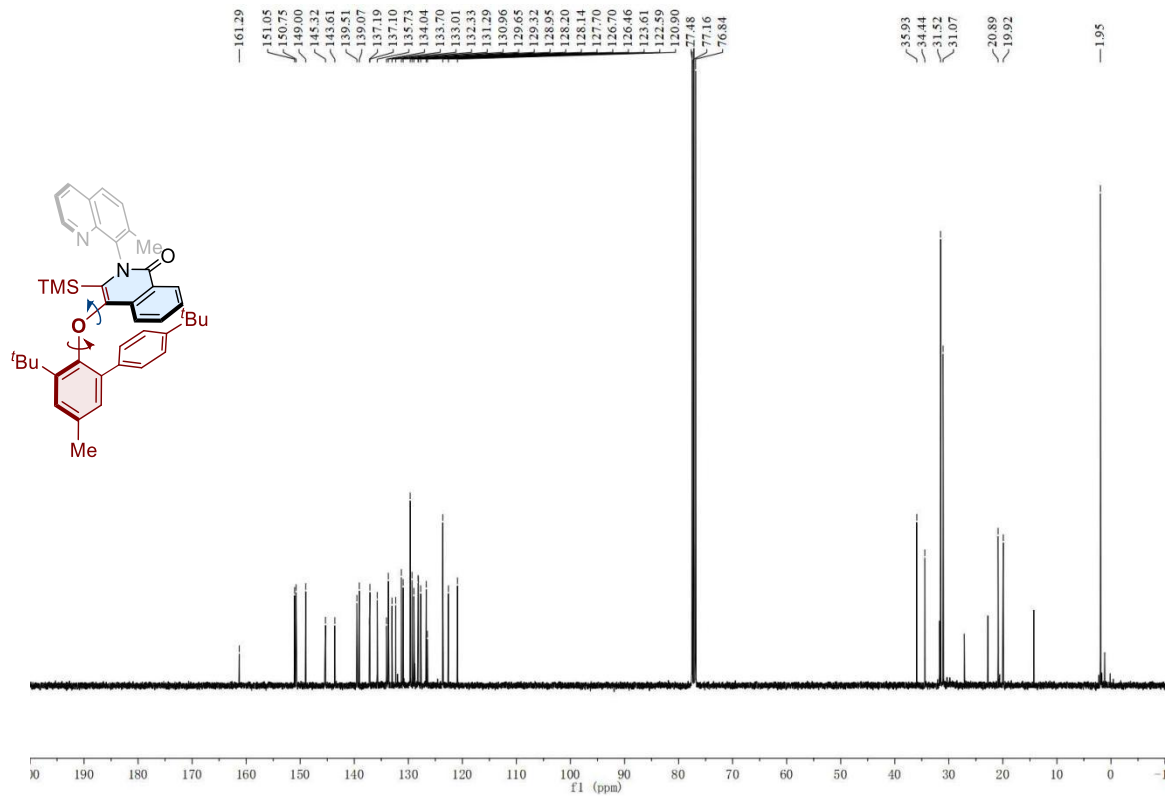
^{1}H NMR spectrum of 5q (600 MHz, $CDCl_3$)



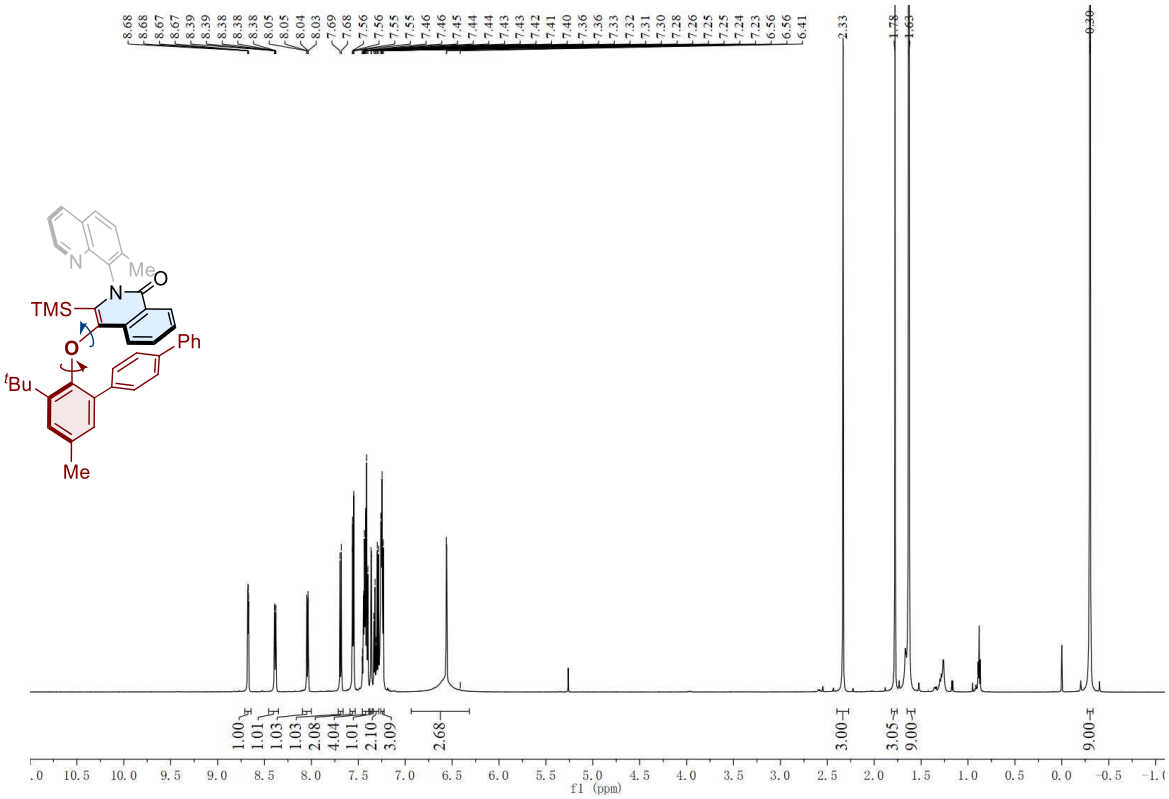
^{13}C NMR spectrum of 5q (151 MHz, $CDCl_3$)



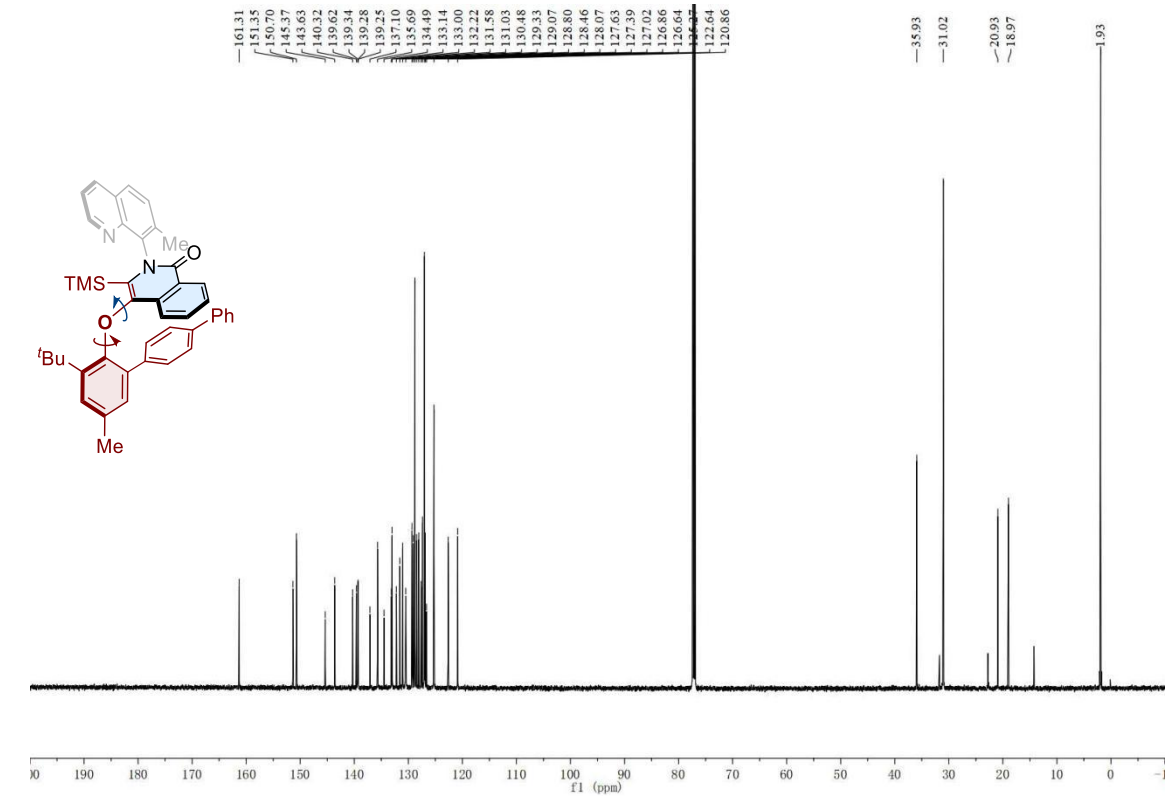
^{1}H NMR spectrum of 5r (600 MHz, $CDCl_3$)



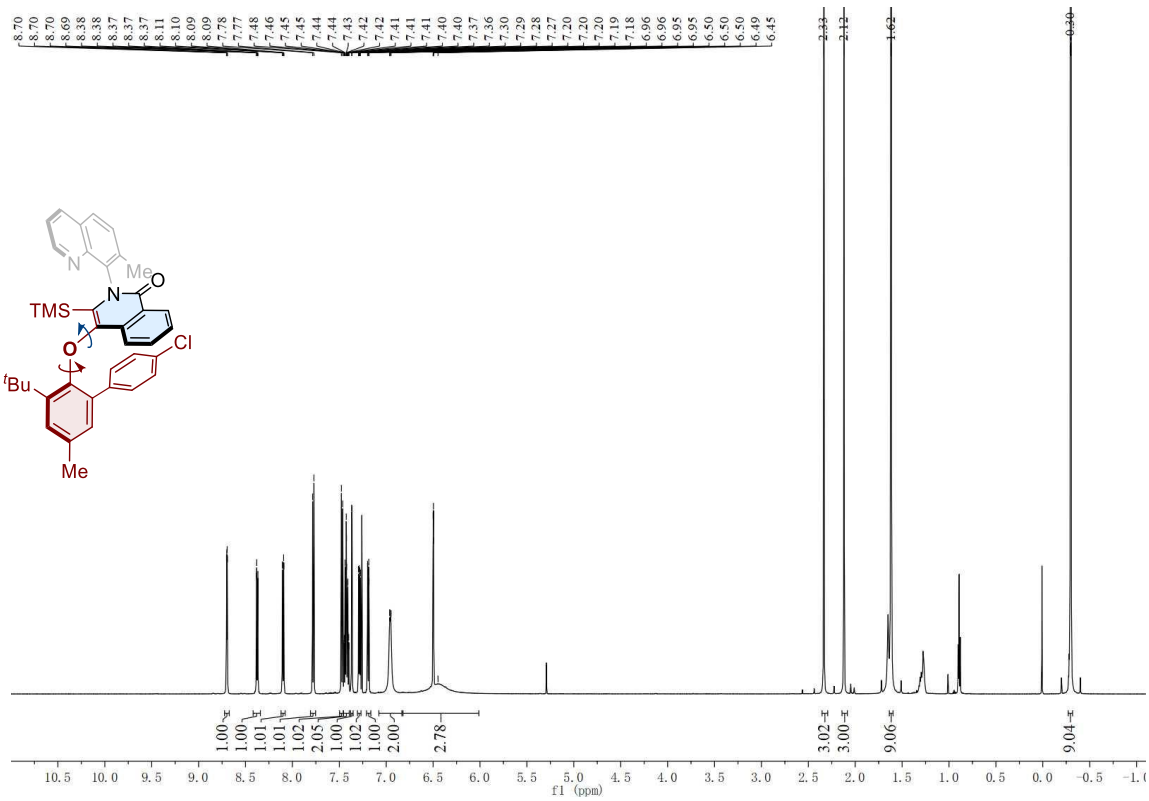
^{13}C NMR spectrum of 5r (151 MHz, $CDCl_3$)



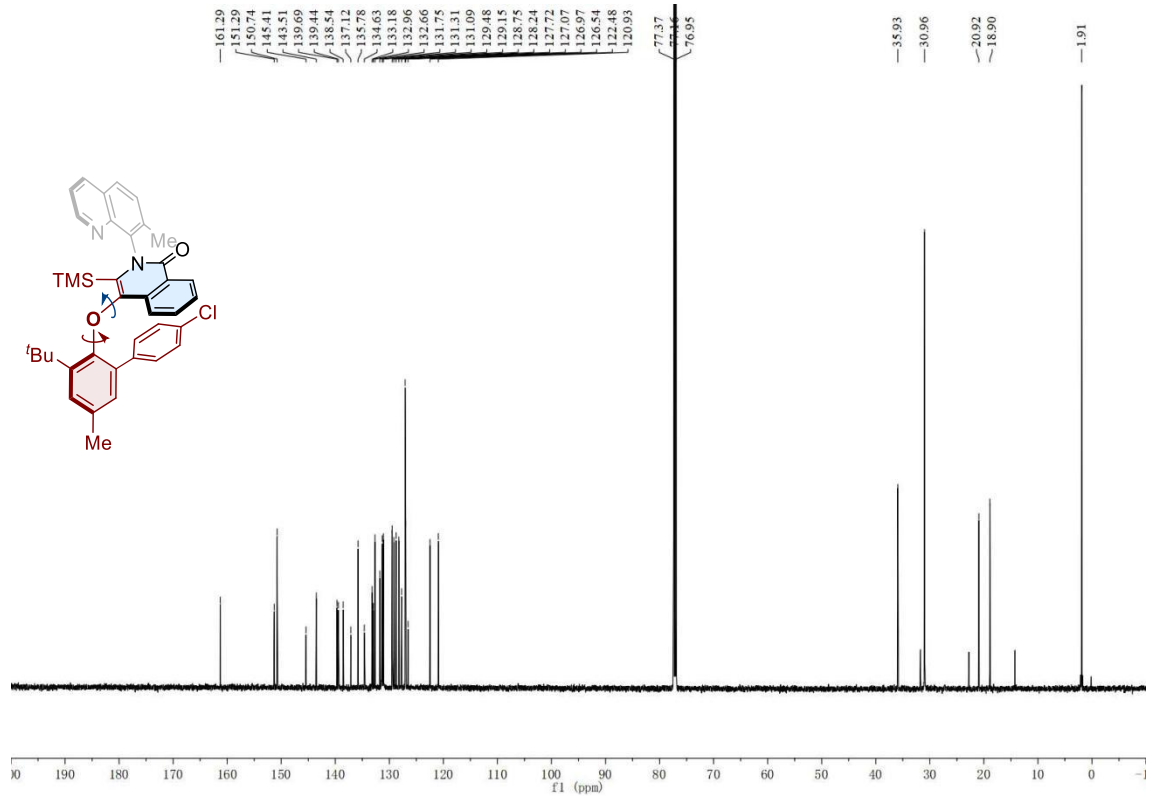
^{1}H NMR spectrum of 5s (600 MHz, $CDCl_3$)



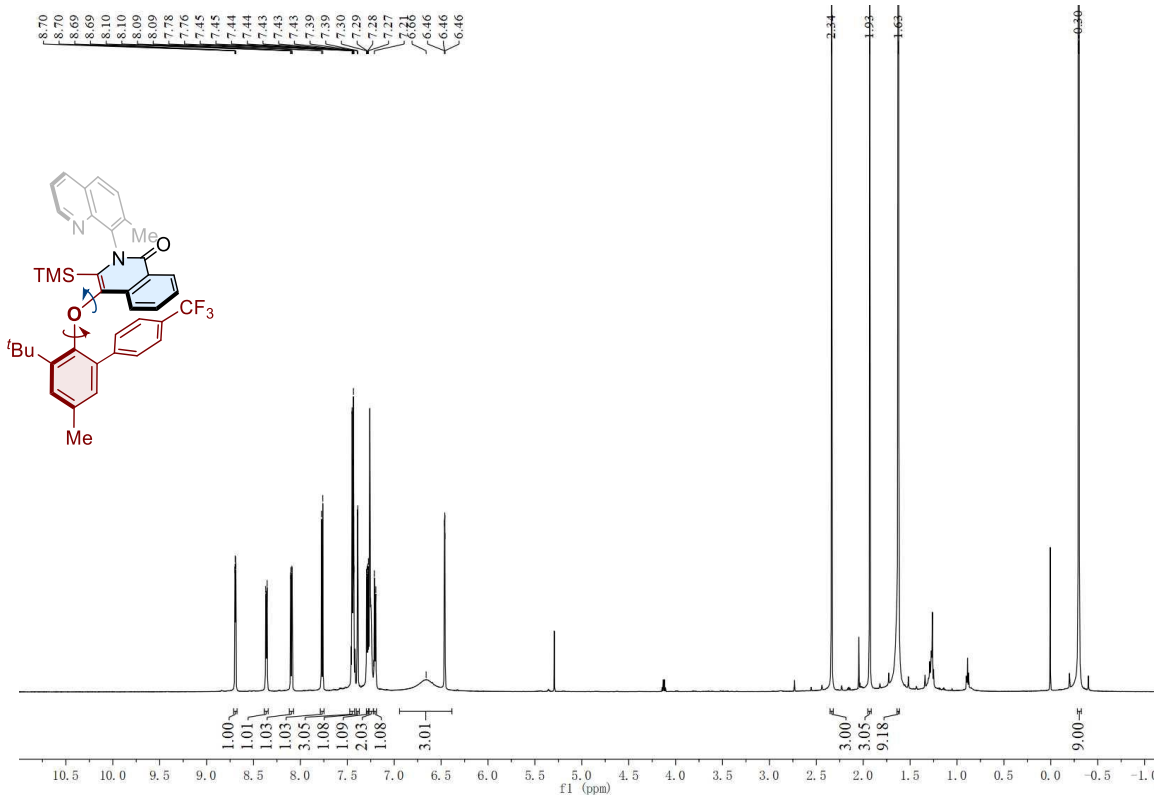
^{13}C NMR spectrum of 5s (151 MHz, $CDCl_3$)



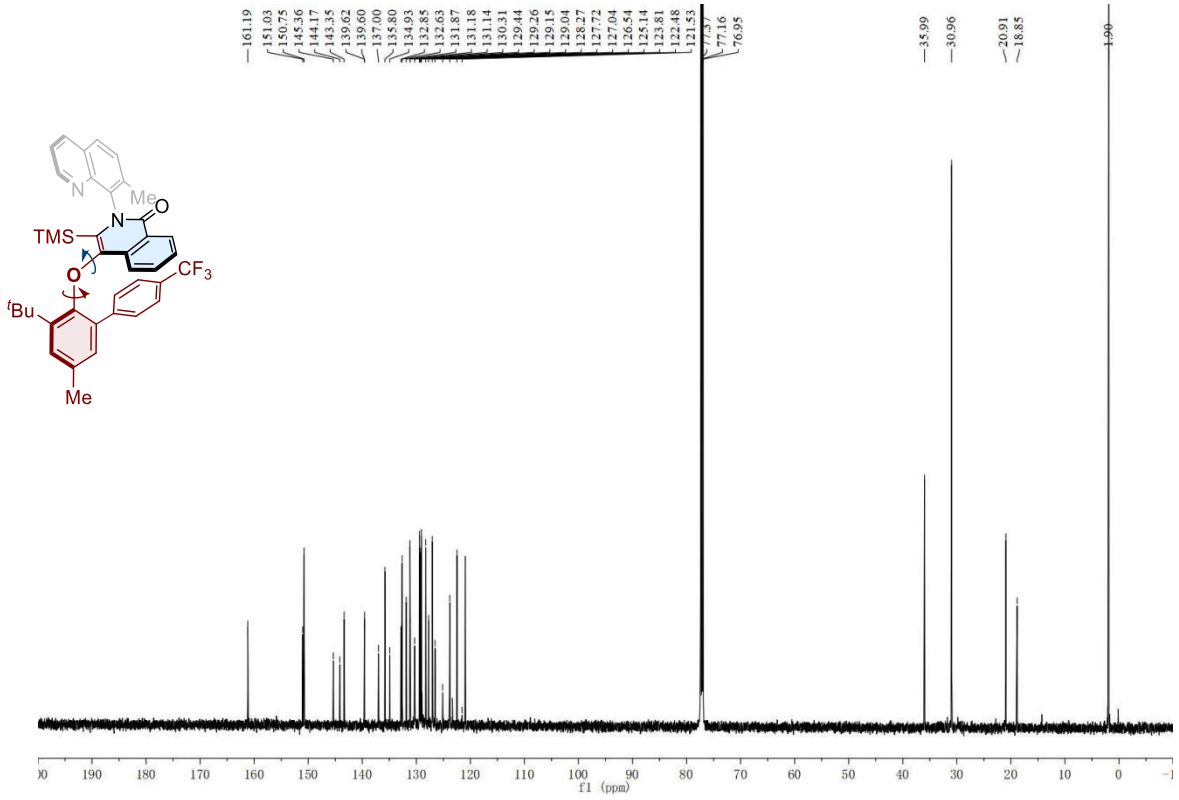
^{1}H NMR spectrum of 5t (600 MHz, $CDCl_3$)



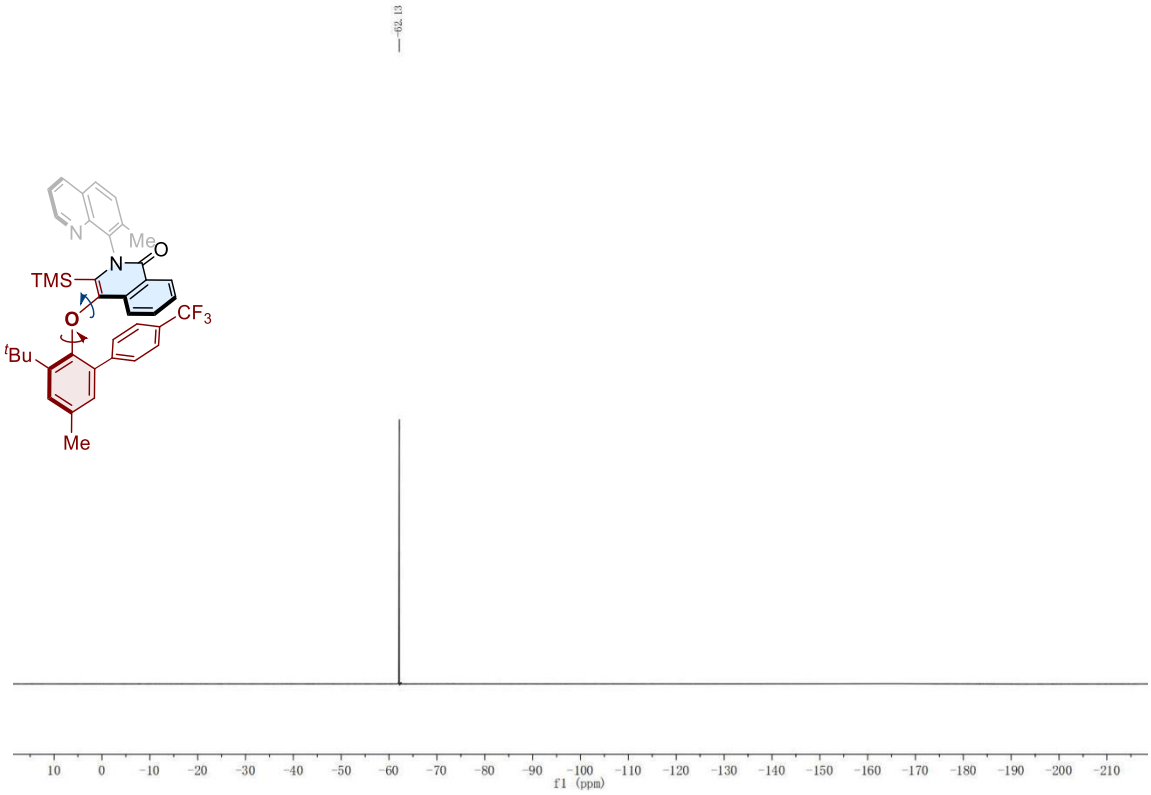
^{13}C NMR spectrum of 5t (151 MHz, $CDCl_3$)



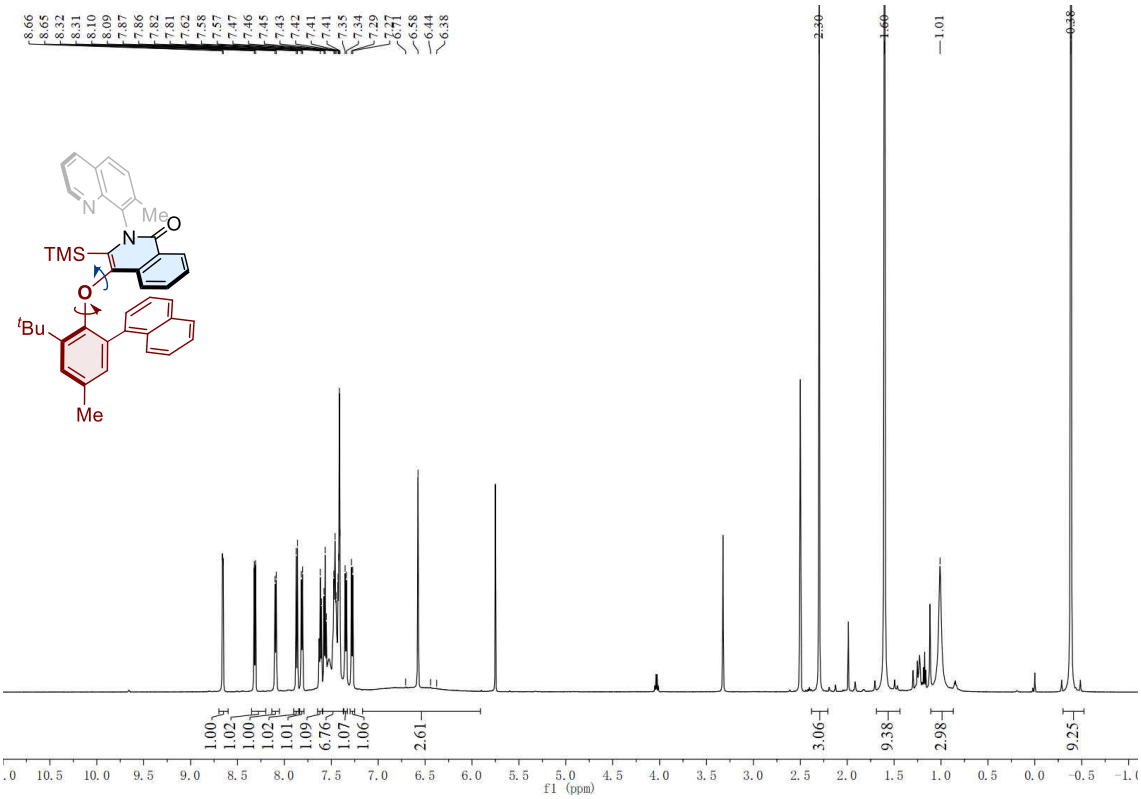
^{1}H NMR spectrum of 5u (600 MHz, $CDCl_3$)



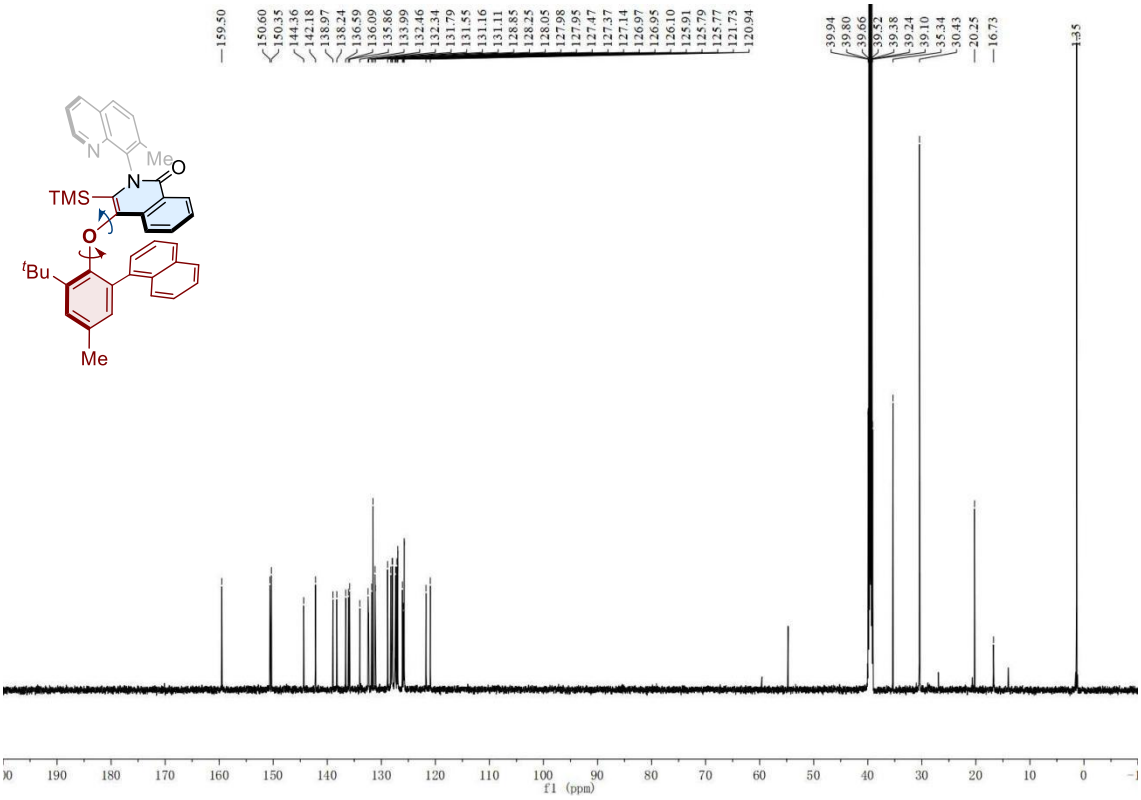
^{13}C NMR spectrum of 5u (151 MHz, $CDCl_3$)



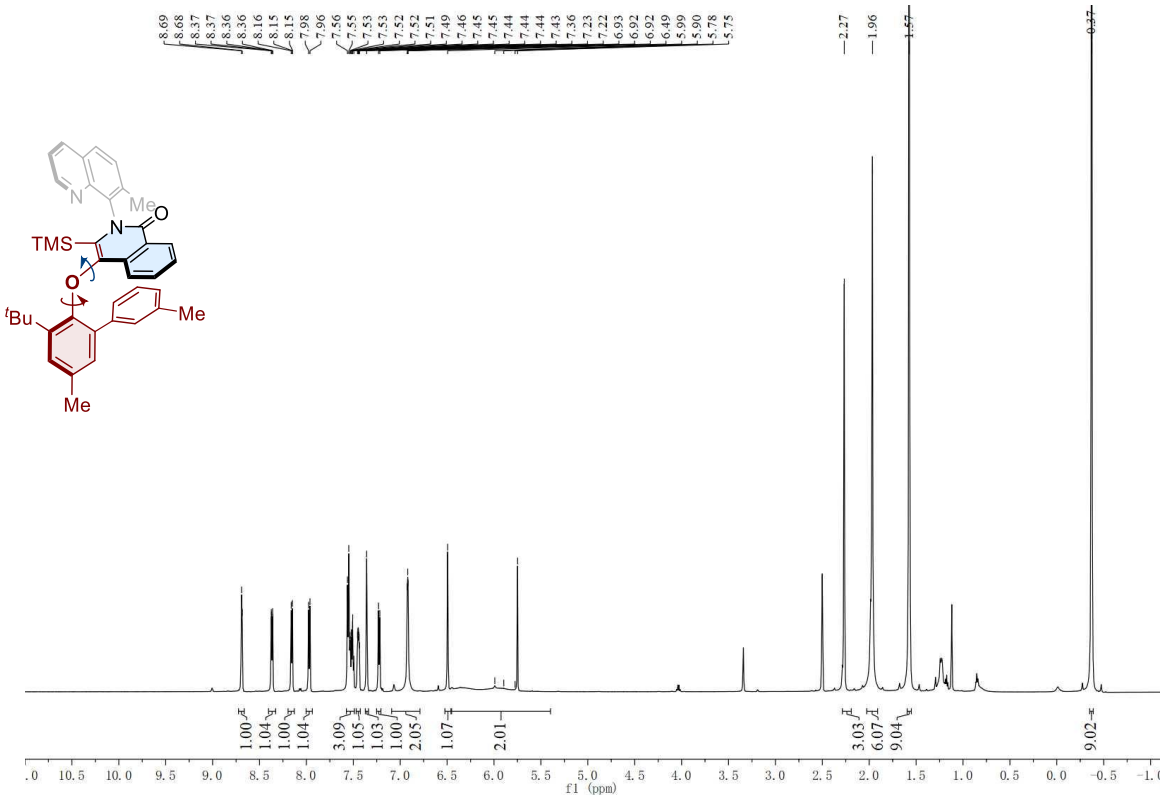
^{19}F NMR spectrum of 5u (565 MHz, $CDCl_3$)



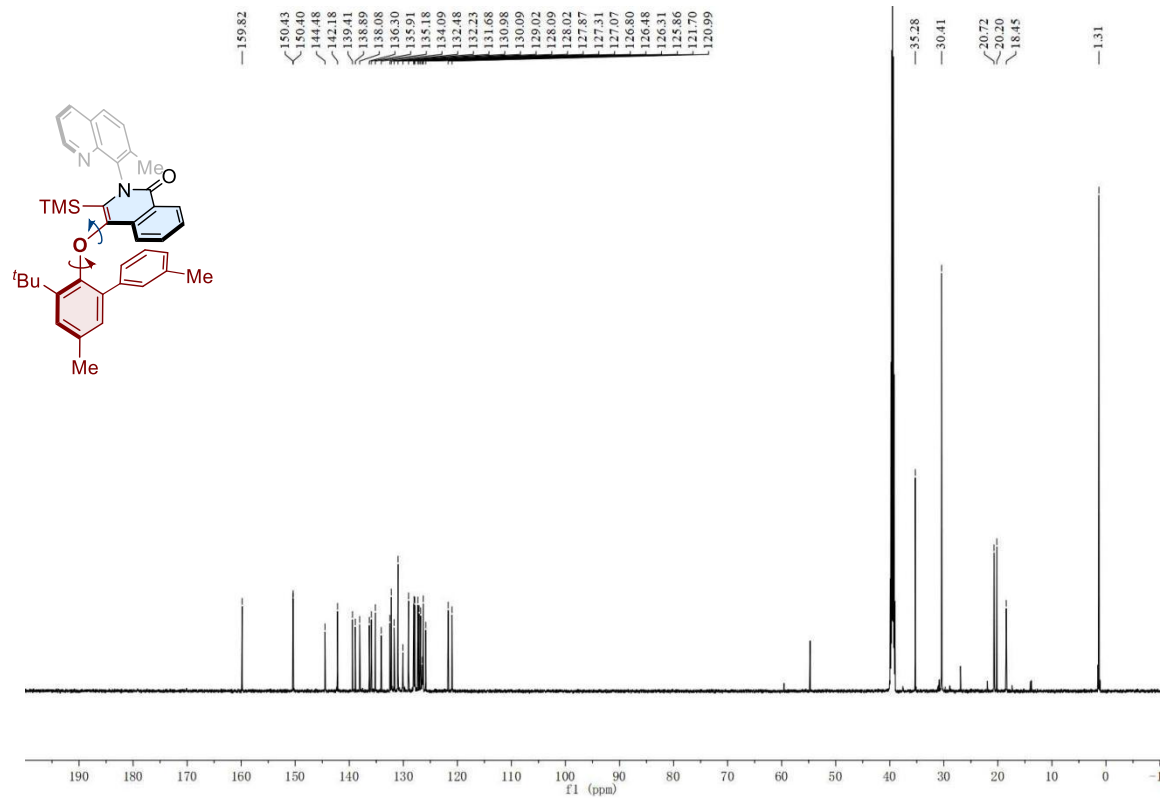
^{1}H NMR spectrum of 5v (600 MHz, $CDCl_3$)



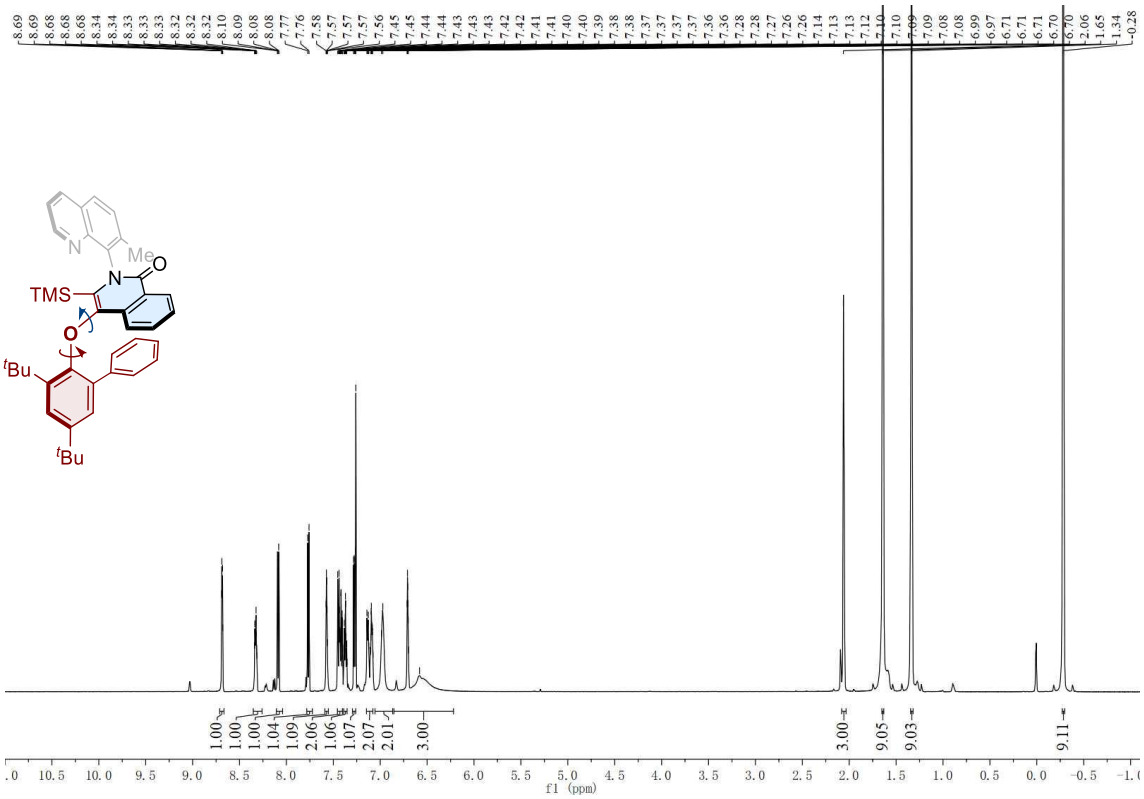
^{13}C NMR spectrum of 5v (151 MHz, $CDCl_3$)



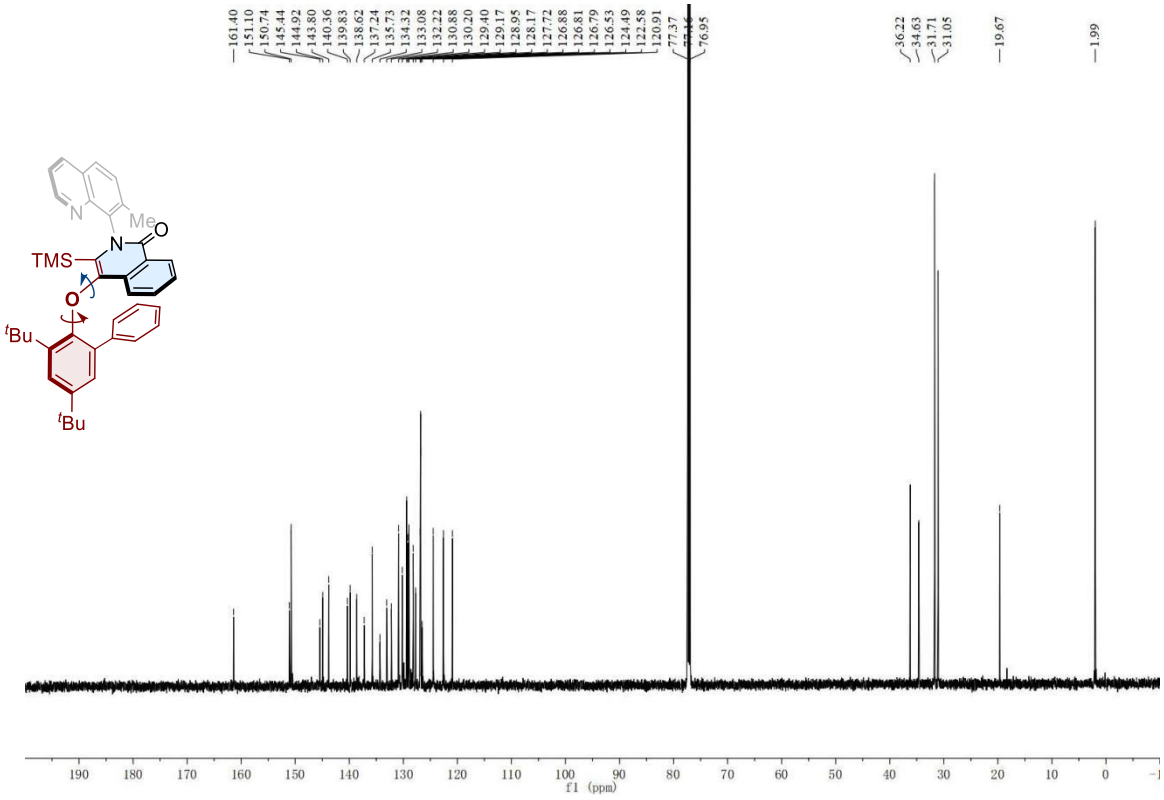
^{1}H NMR spectrum of 5w (600 MHz, $CDCl_3$)



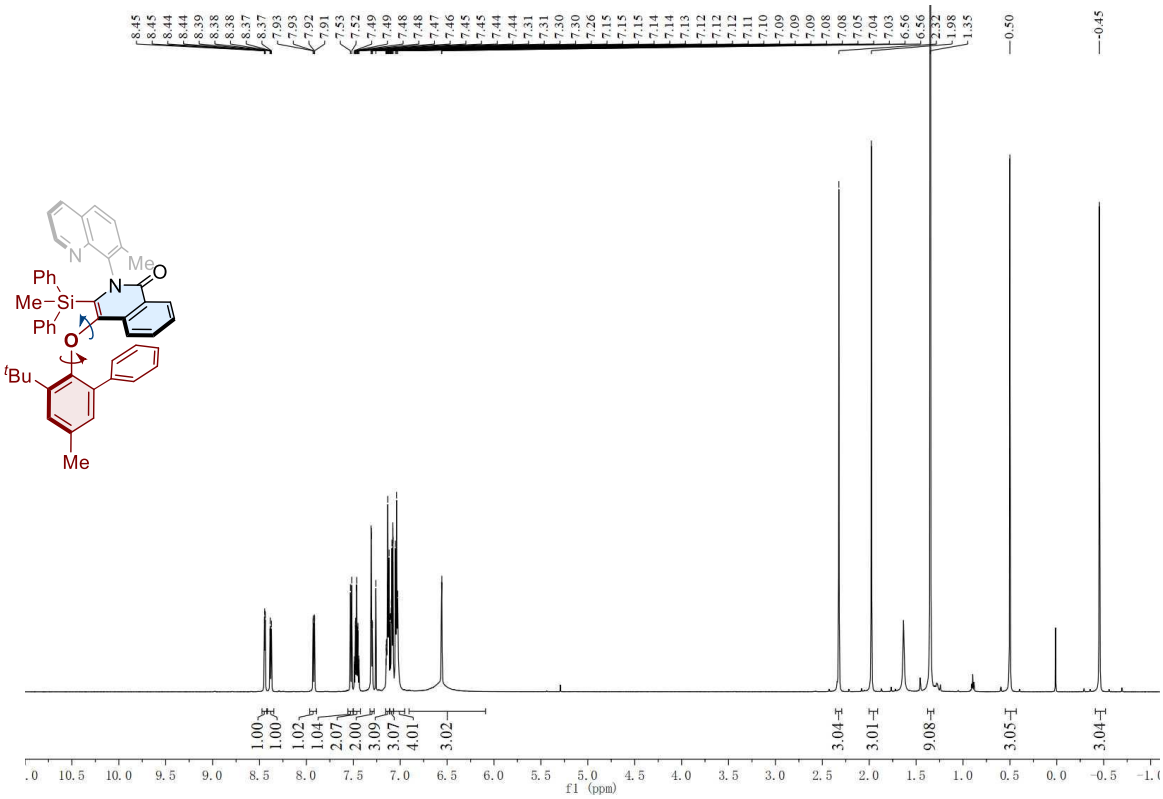
^{13}C NMR spectrum of 5w (151 MHz, $CDCl_3$)



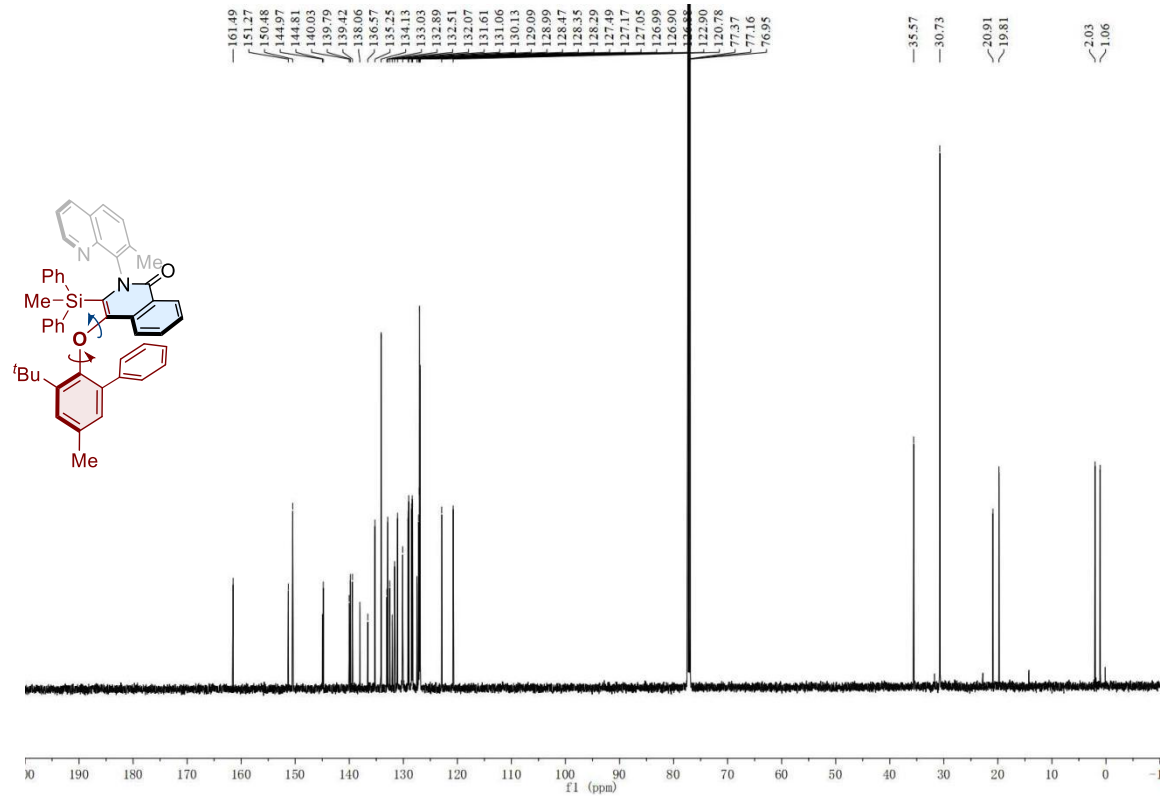
^{1}H NMR spectrum of 5x (600 MHz, $CDCl_3$)



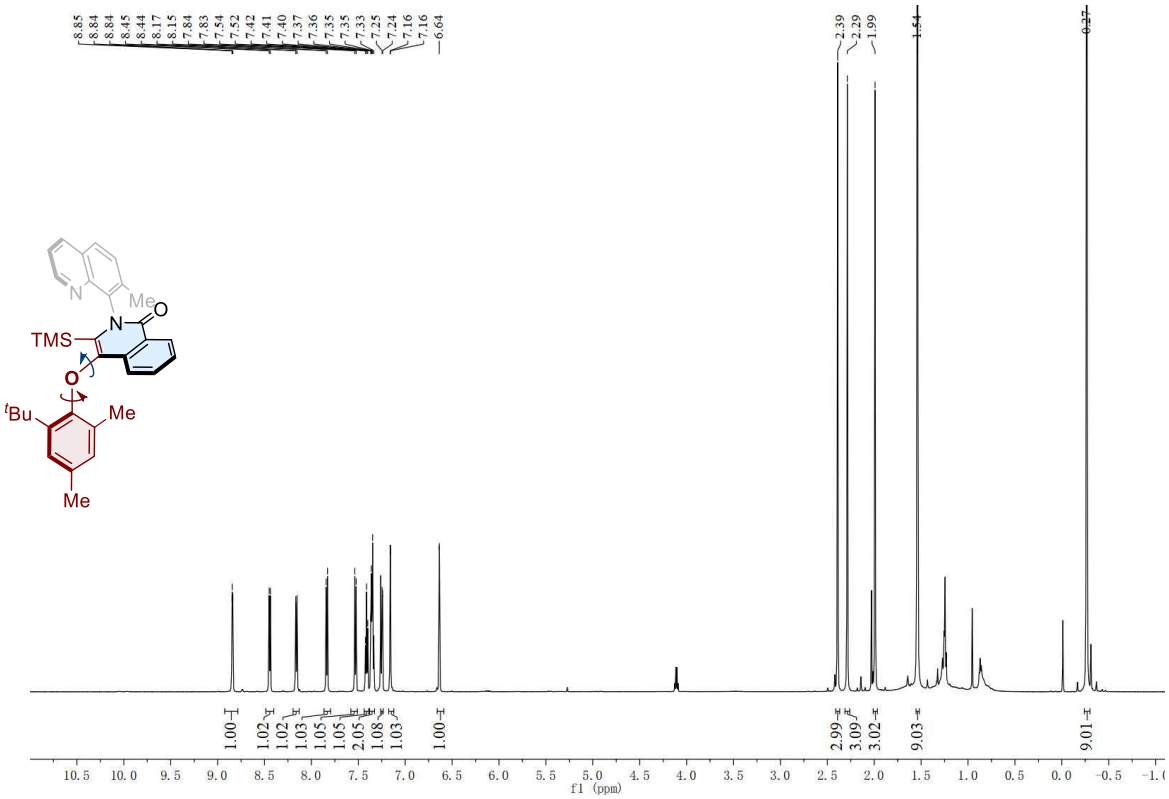
^{13}C NMR spectrum of 5x (151 MHz, $CDCl_3$)



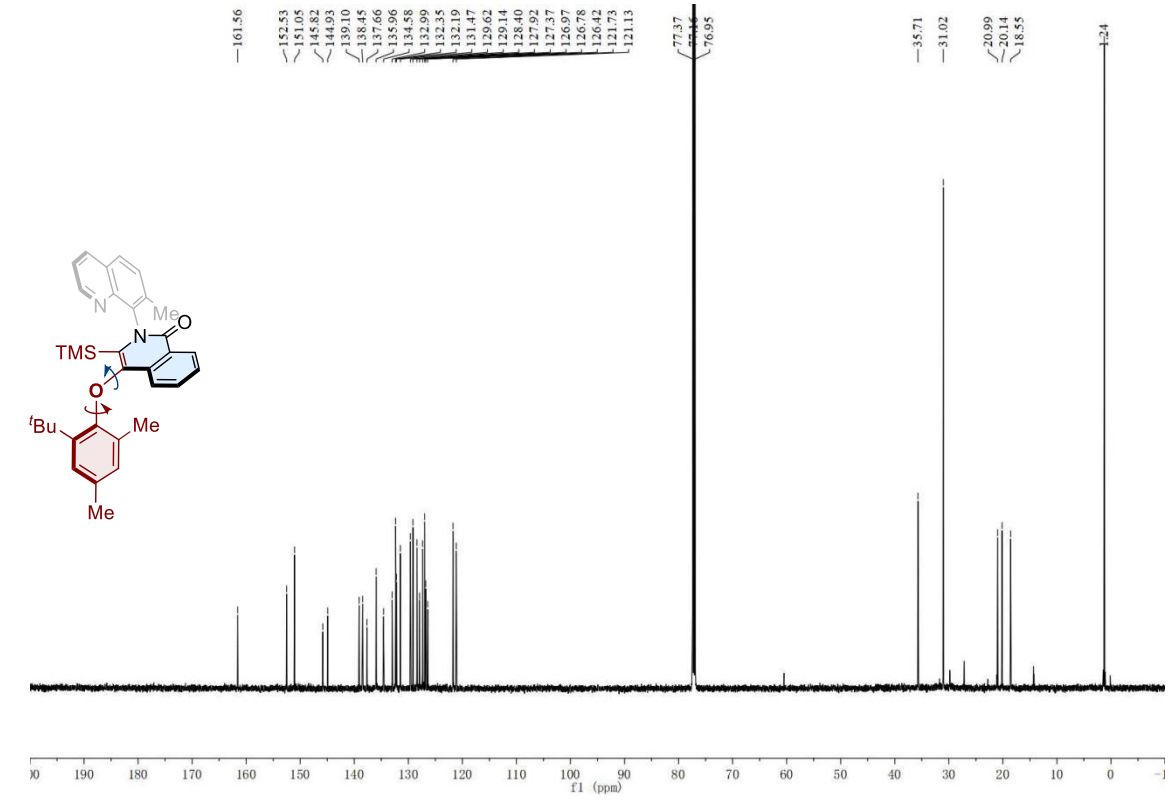
^{1}H NMR spectrum of 5y (600 MHz, $CDCl_3$)



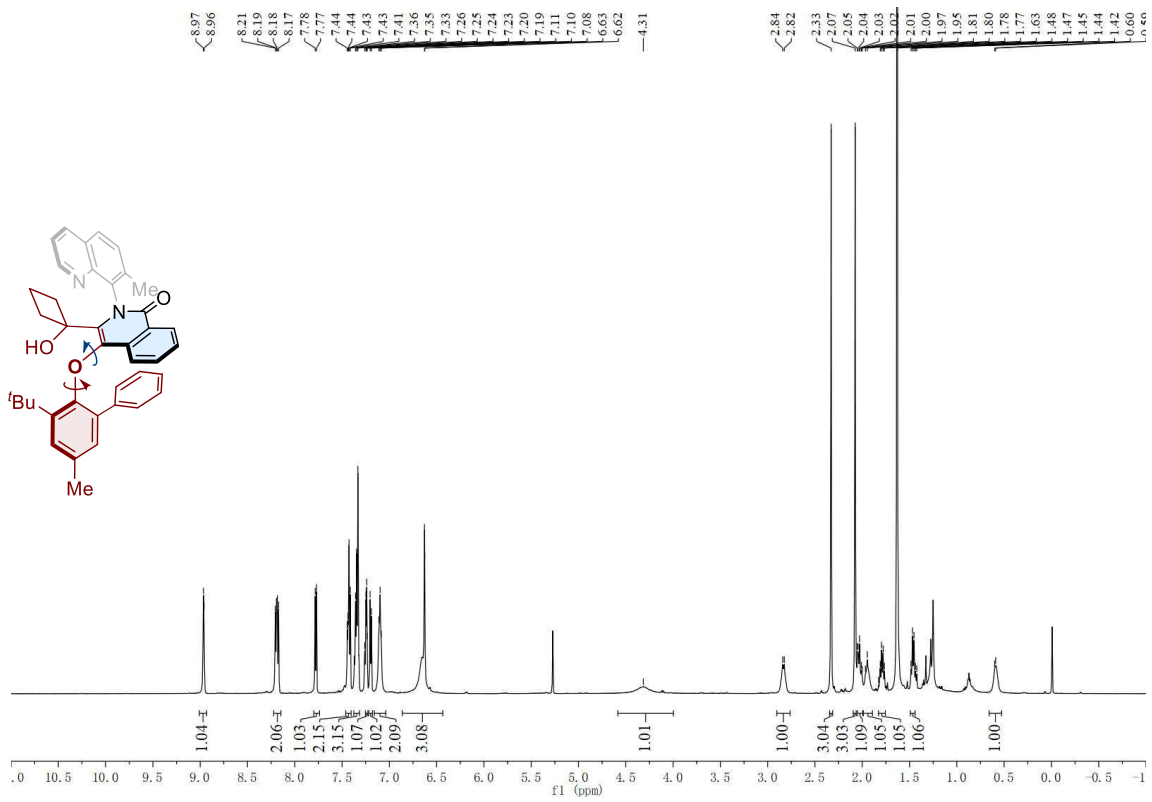
^{13}C NMR spectrum of 5y (151 MHz, $CDCl_3$)



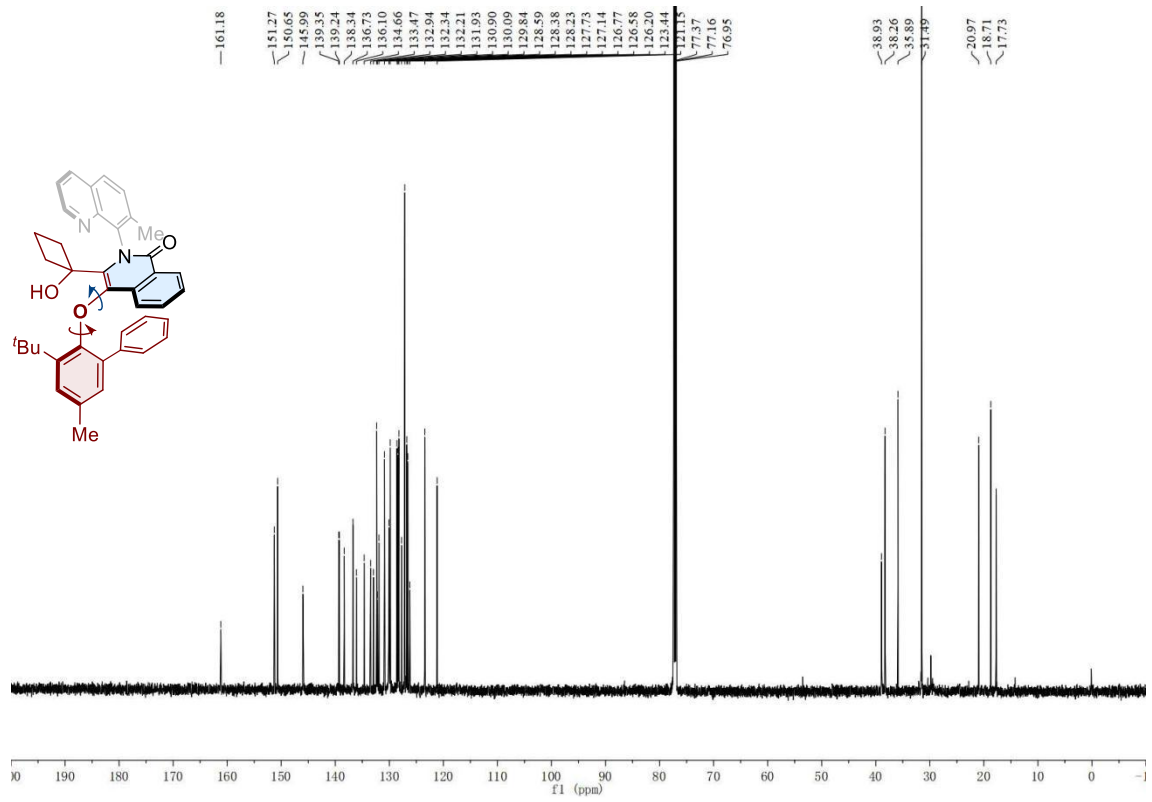
^{1}H NMR spectrum of 5z (600 MHz, $CDCl_3$)



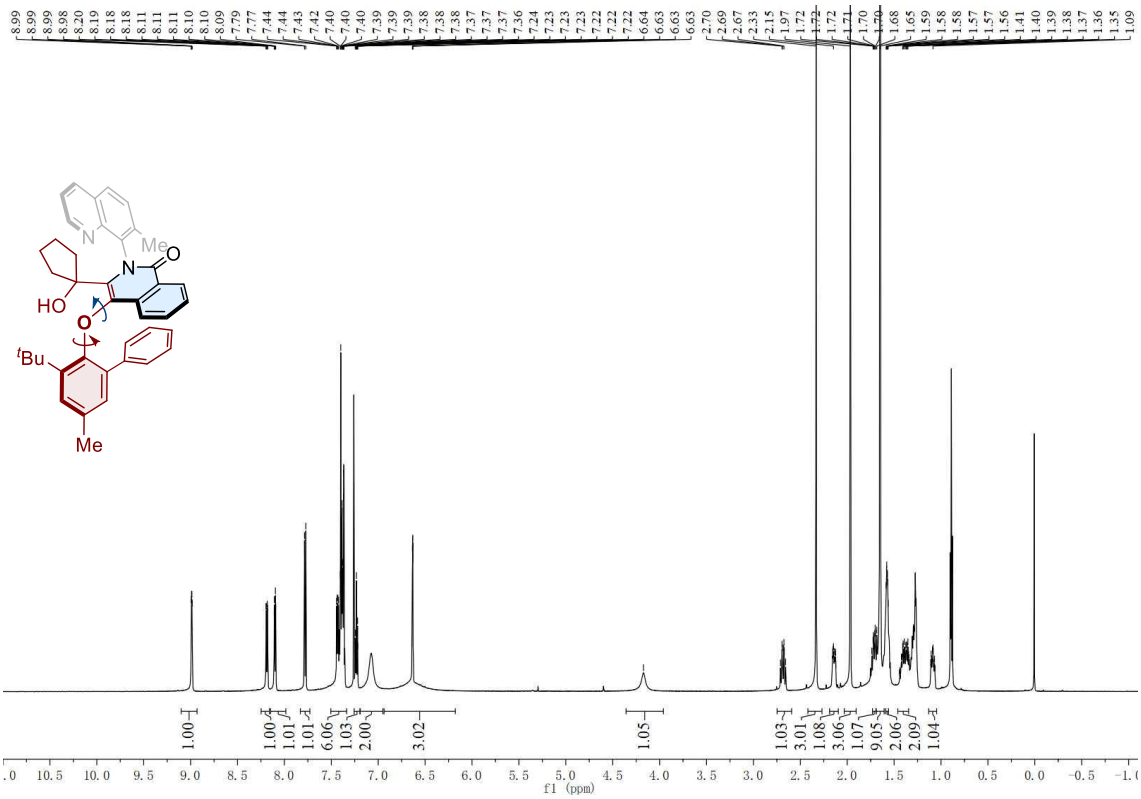
^{13}C NMR spectrum of 5z (151 MHz, $CDCl_3$)



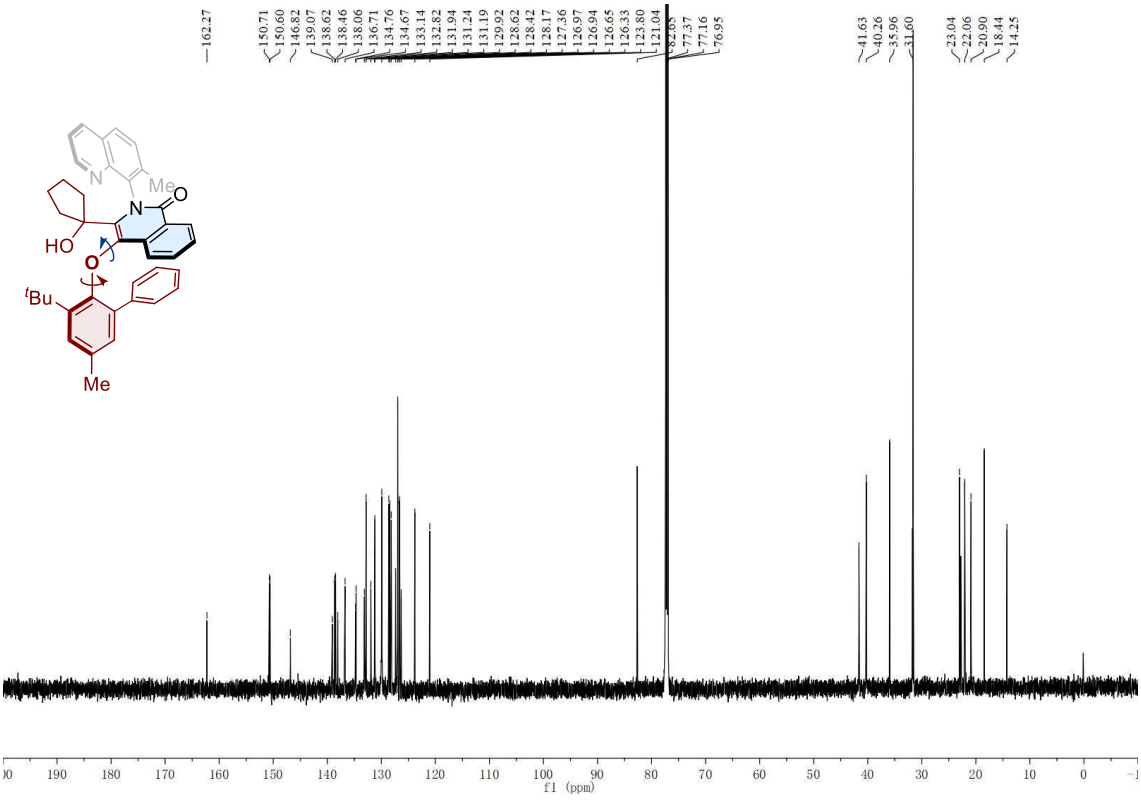
^{1}H NMR spectrum of 5za (600 MHz, $CDCl_3$)



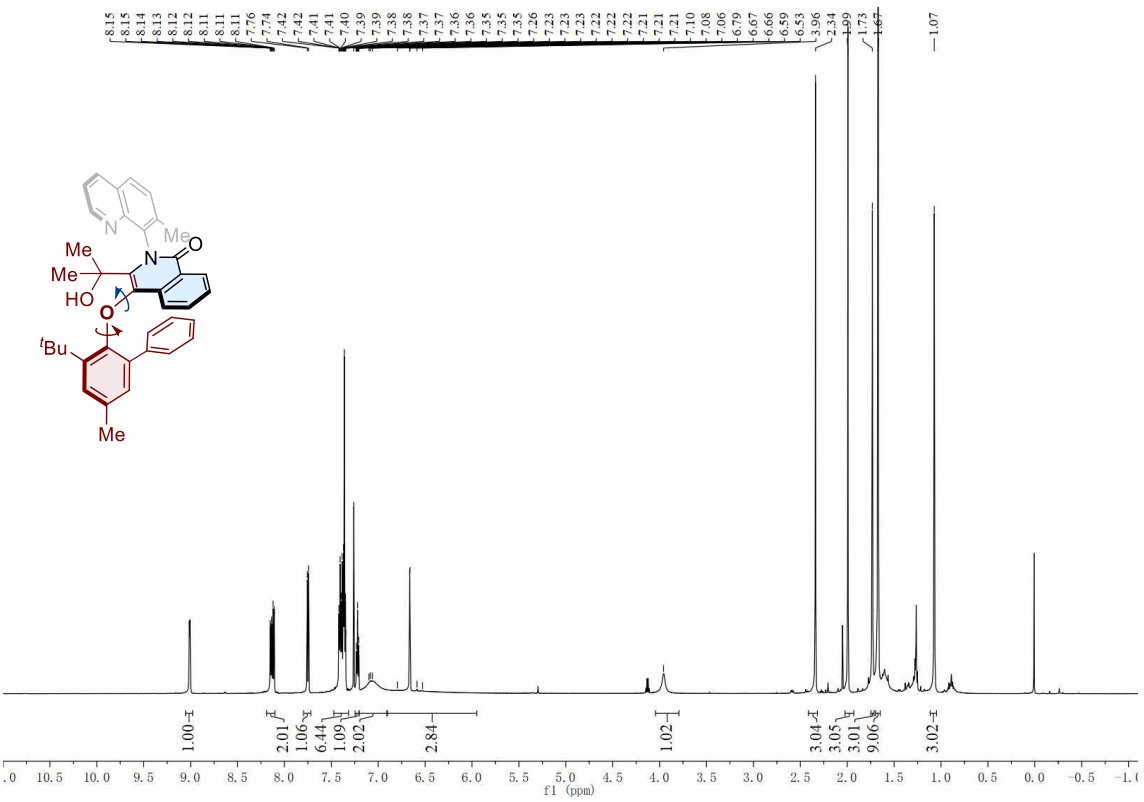
^{13}C NMR spectrum of 5za (151 MHz, $CDCl_3$)



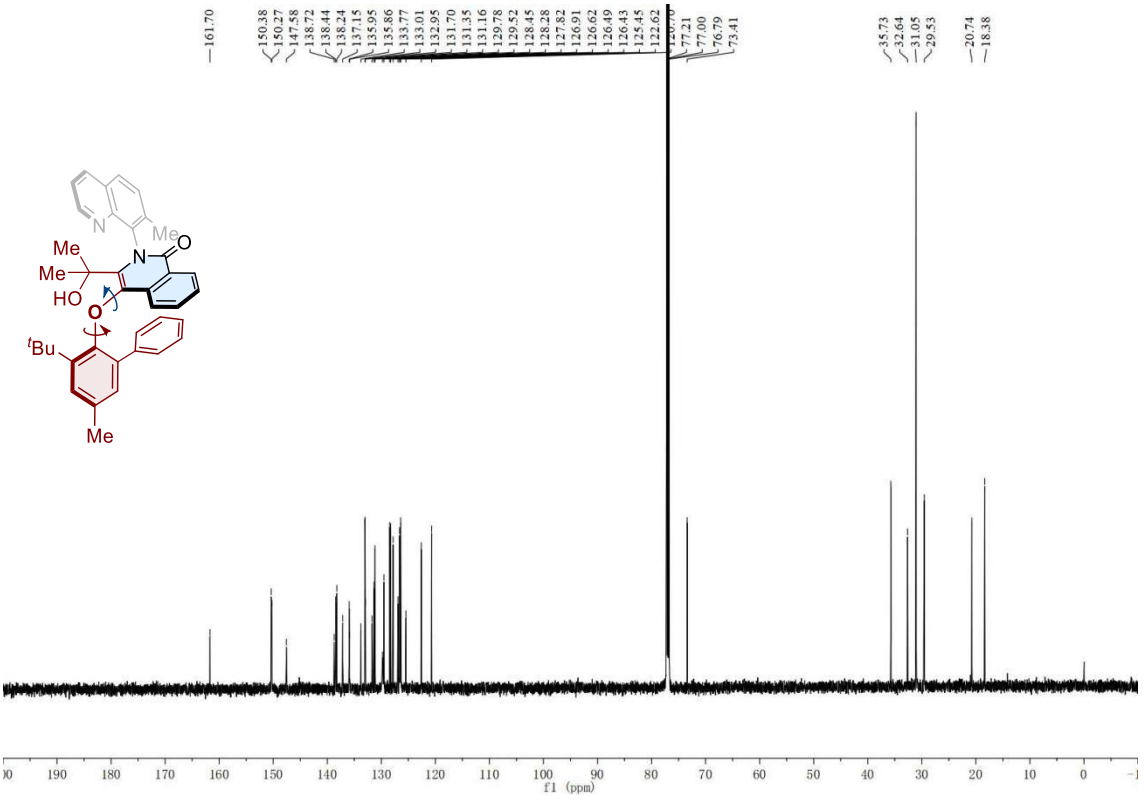
^{1}H NMR spectrum of 5zb (600 MHz, $CDCl_3$)



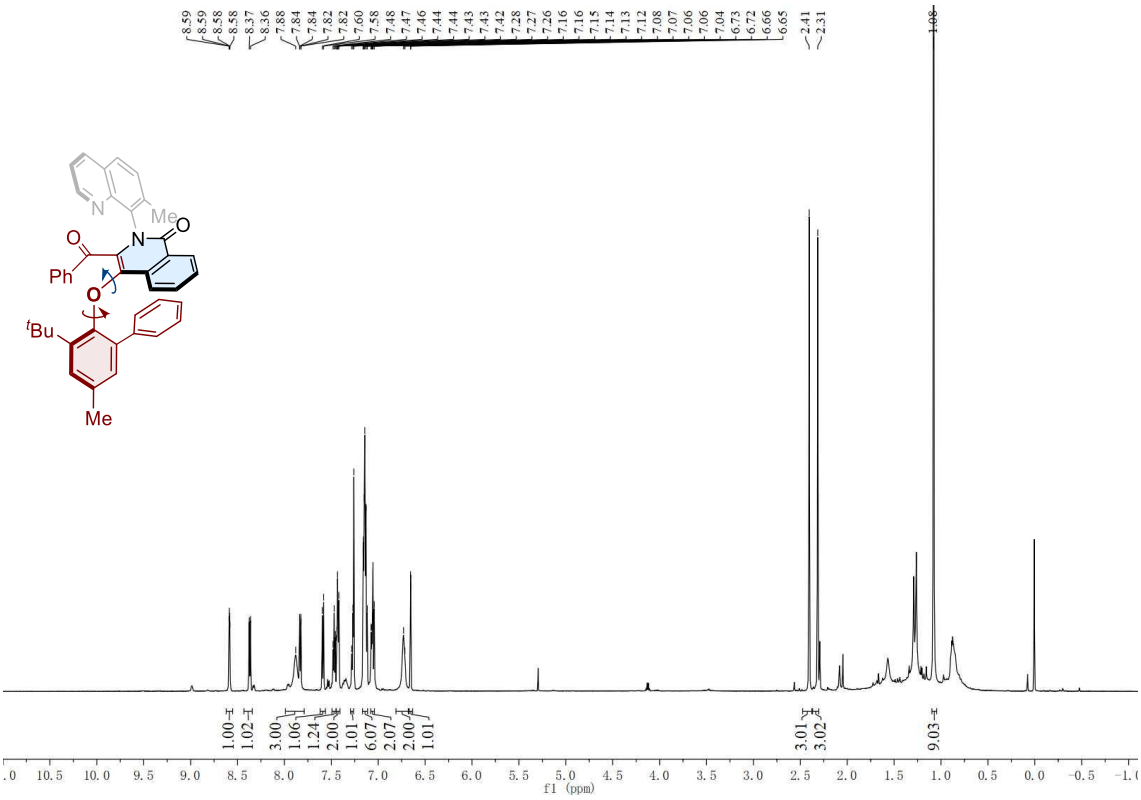
^{13}C NMR spectrum of 5zb (151 MHz, $CDCl_3$)



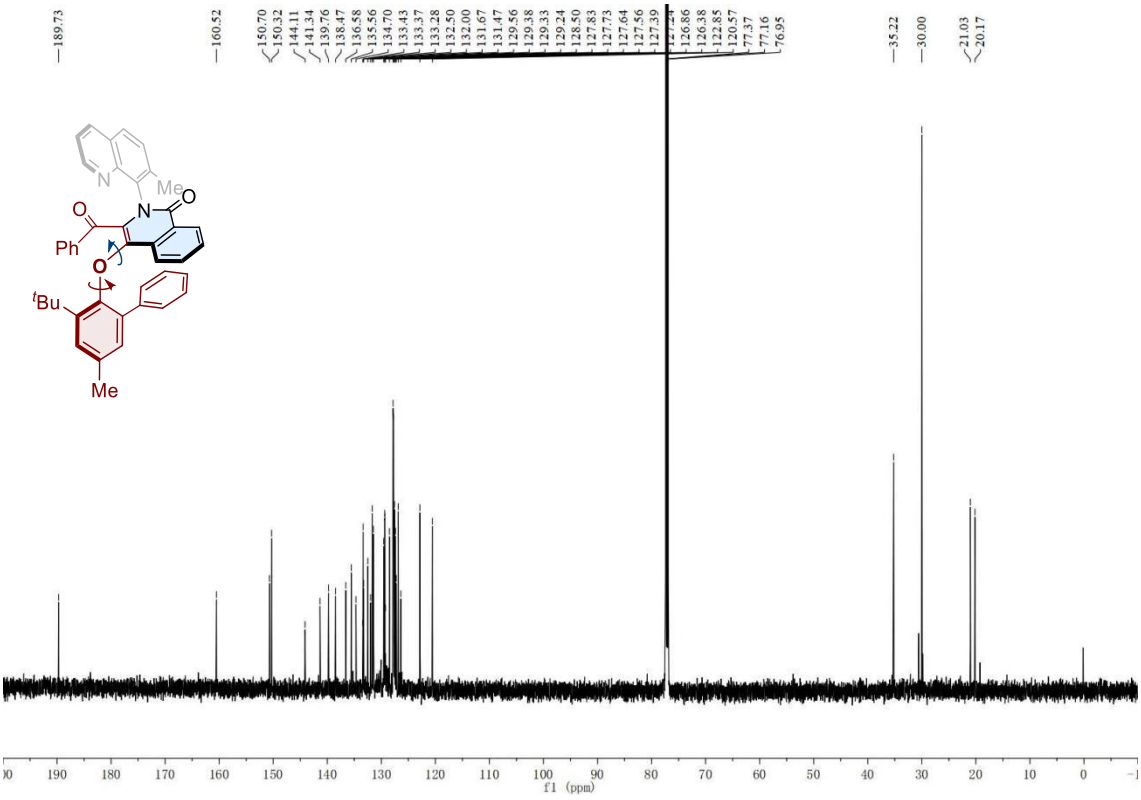
^{1}H NMR spectrum of 5zc (600 MHz, $CDCl_3$)



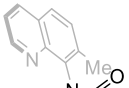
^{13}C NMR spectrum of 5zc (151 MHz, $CDCl_3$)

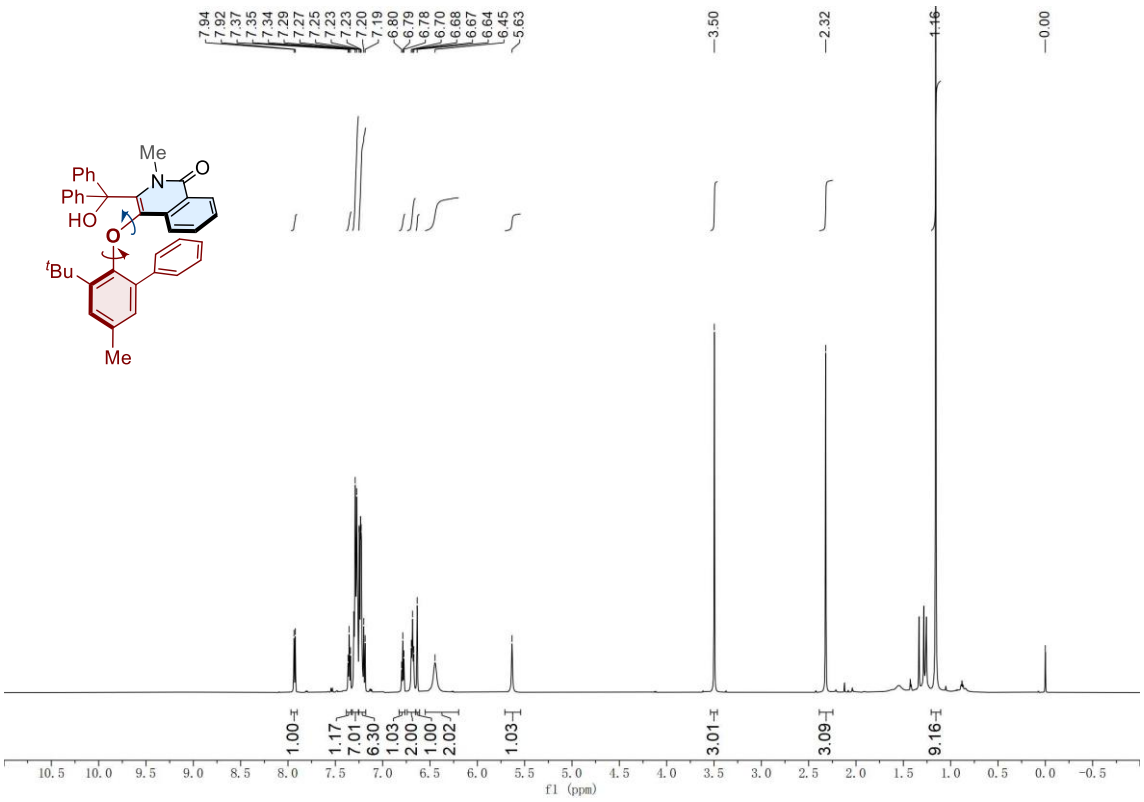


^{1}H NMR spectrum of 5zd (600 MHz, $CDCl_3$)

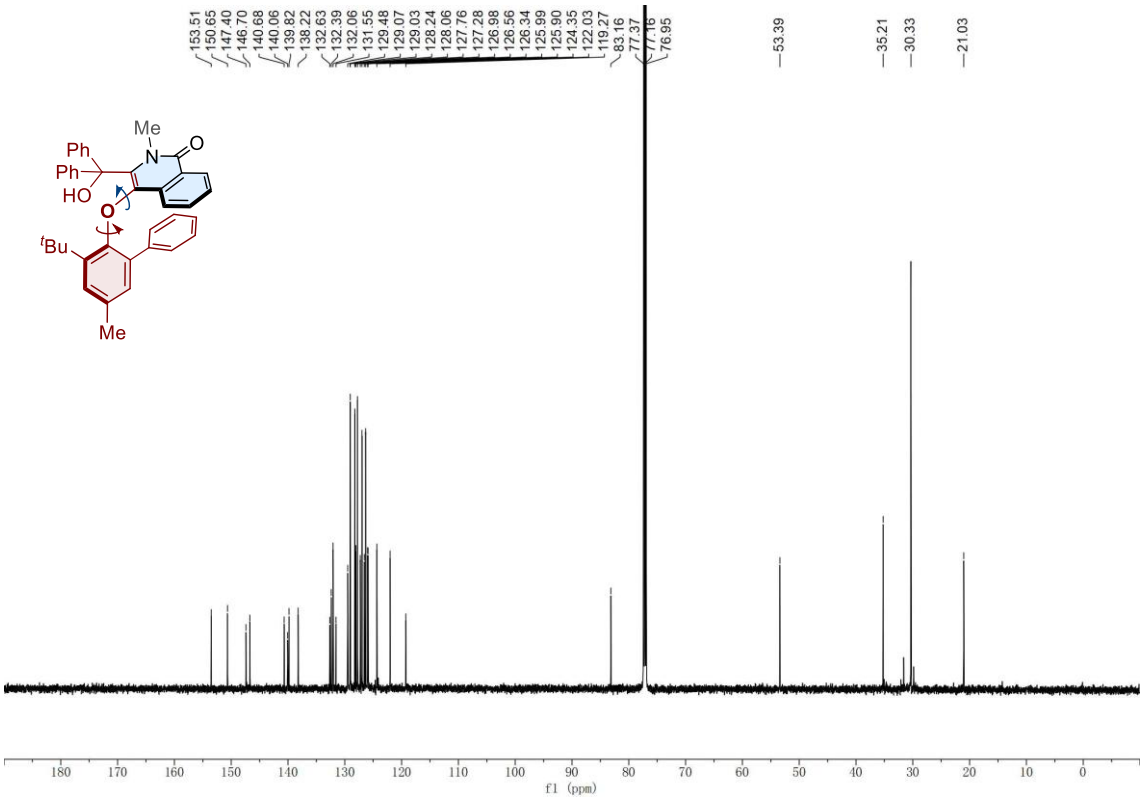


^{13}C NMR spectrum of 5zd (151 MHz, $CDCl_3$)

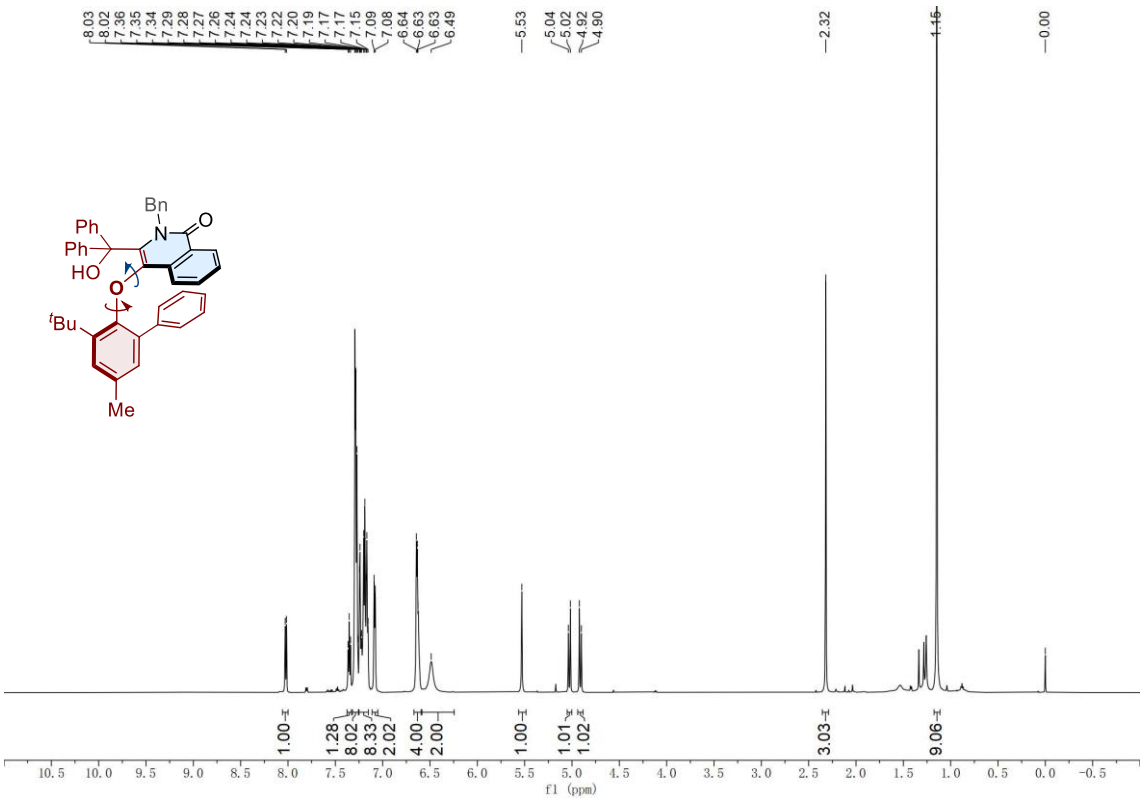




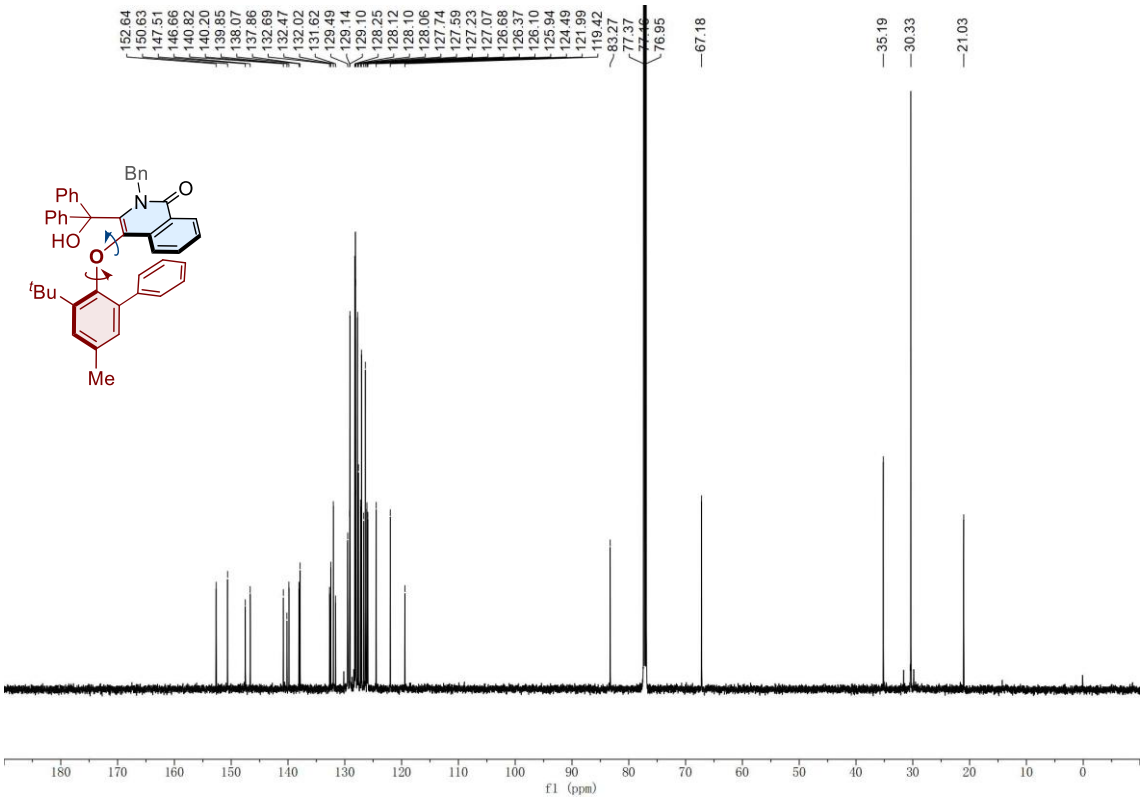
^{1}H NMR spectrum of 6 (600 MHz, $CDCl_3$)



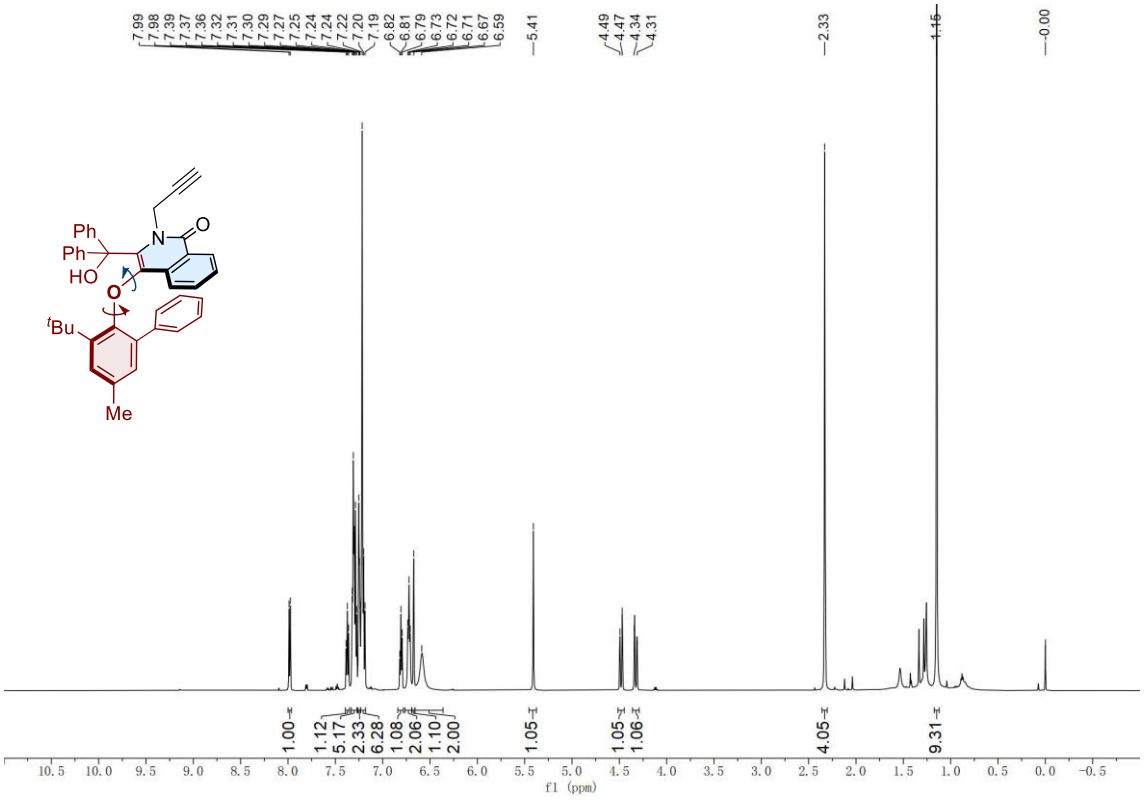
^{13}C NMR spectrum of 6 (151 MHz, $CDCl_3$)



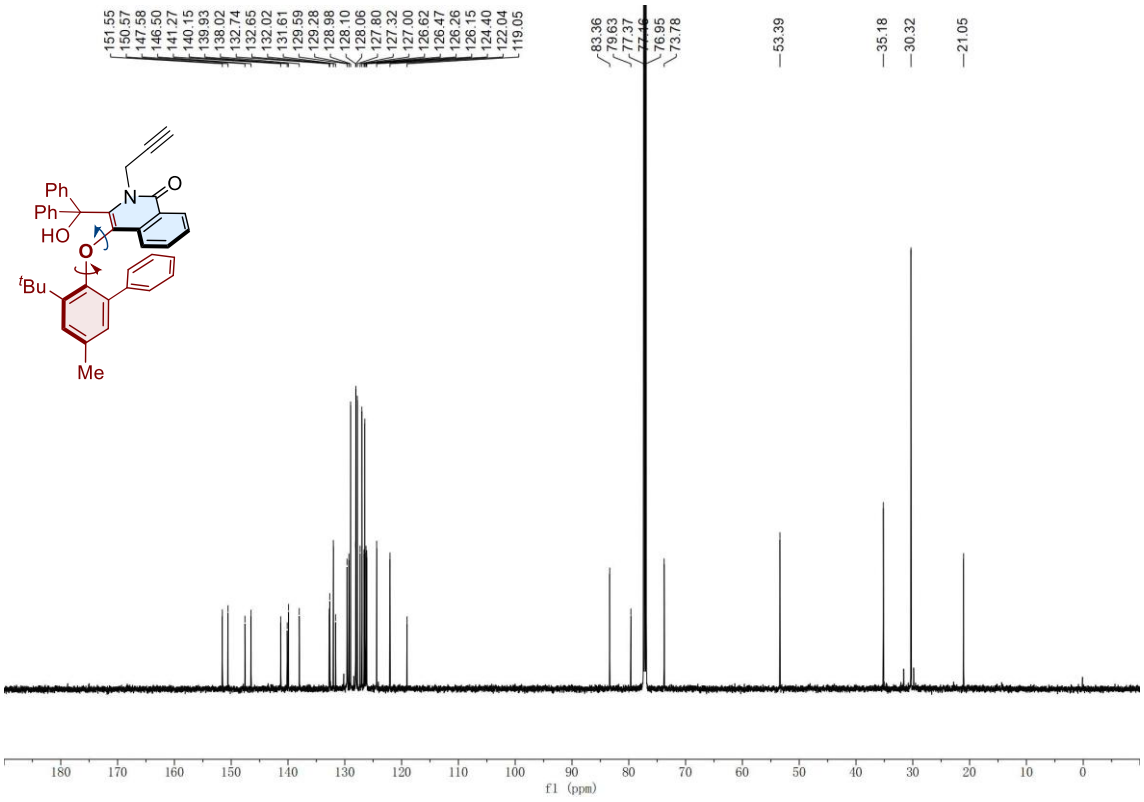
^{1}H NMR spectrum of 7 (600 MHz, $CDCl_3$)



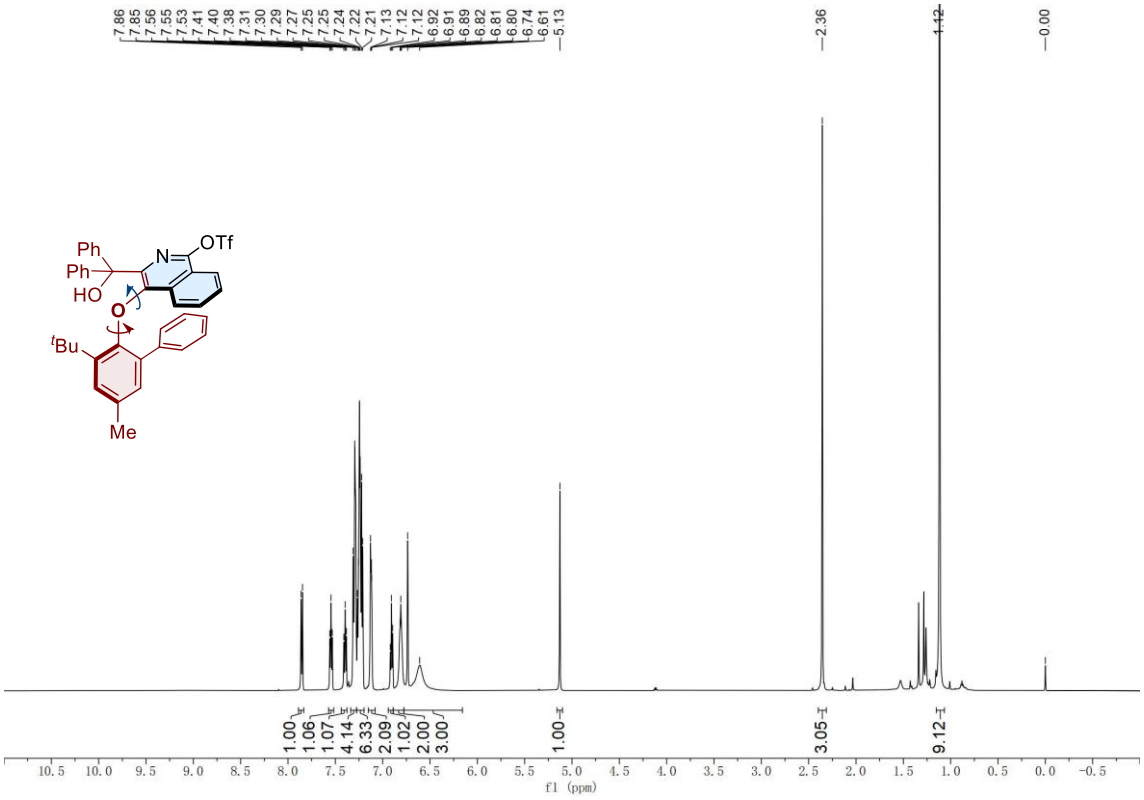
F^{13}C NMR spectrum of 7 (151 MHz, $CDCl_3$)



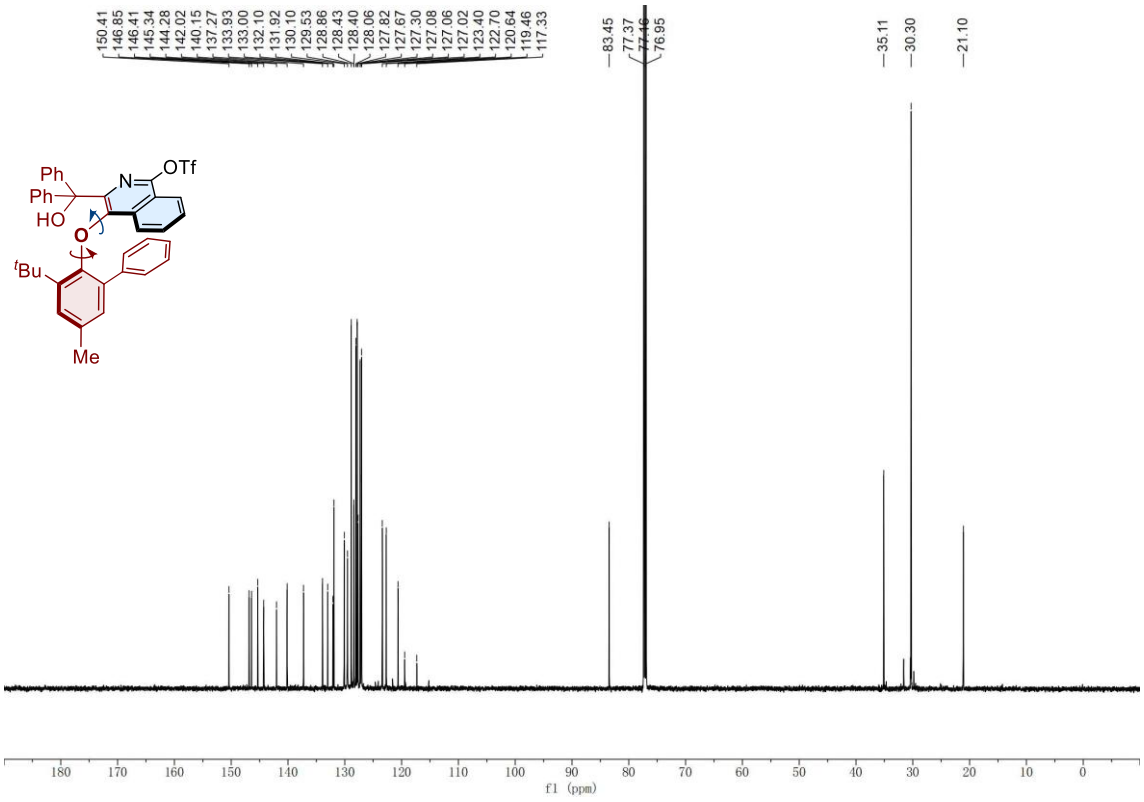
^{1}H NMR spectrum of 8 (600 MHz, $CDCl_3$)



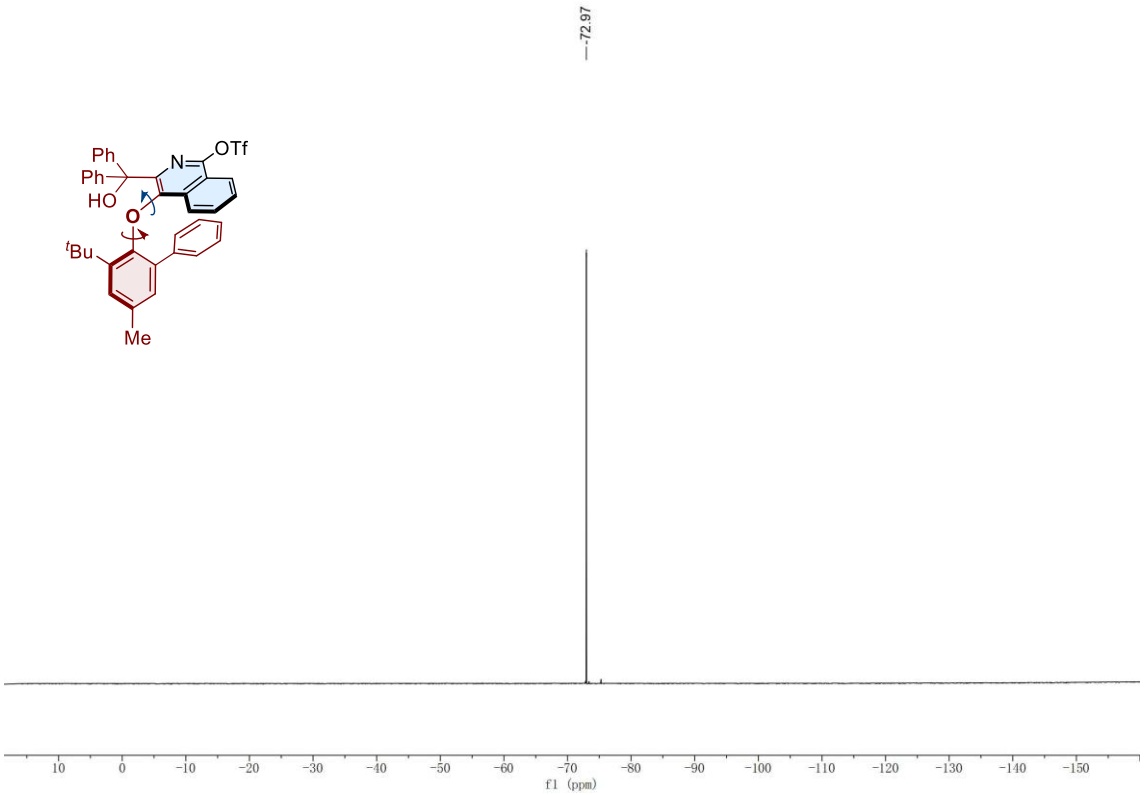
^{13}C NMR spectrum of 8 (151 MHz, $CDCl_3$)



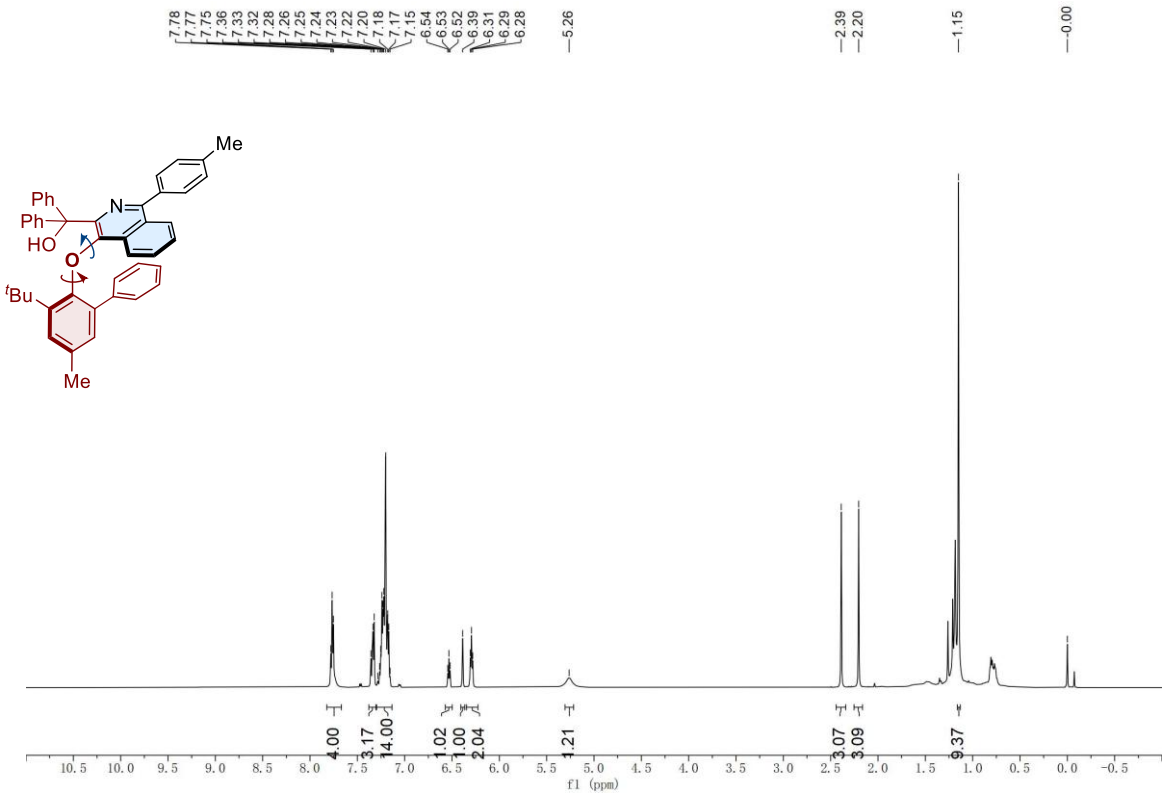
^{1}H NMR spectrum of 9 (600 MHz, $CDCl_3$)



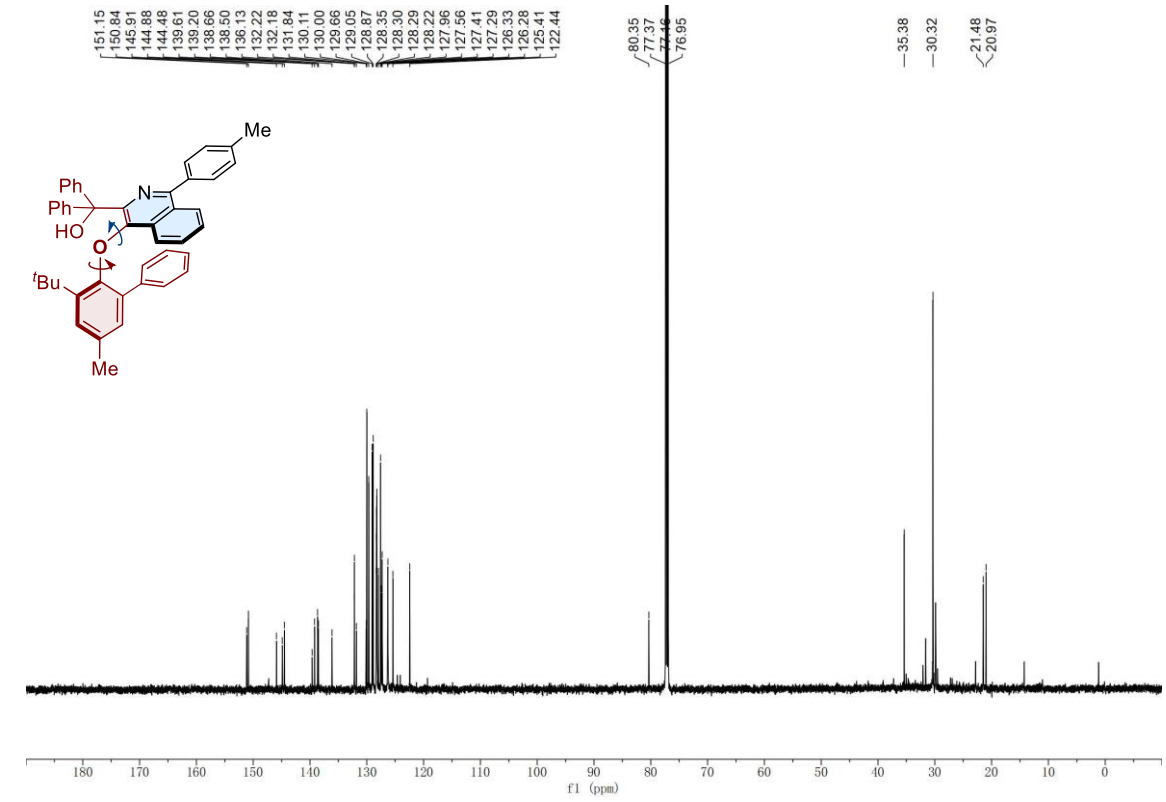
^{13}C NMR spectrum of 9 (151 MHz, $CDCl_3$)



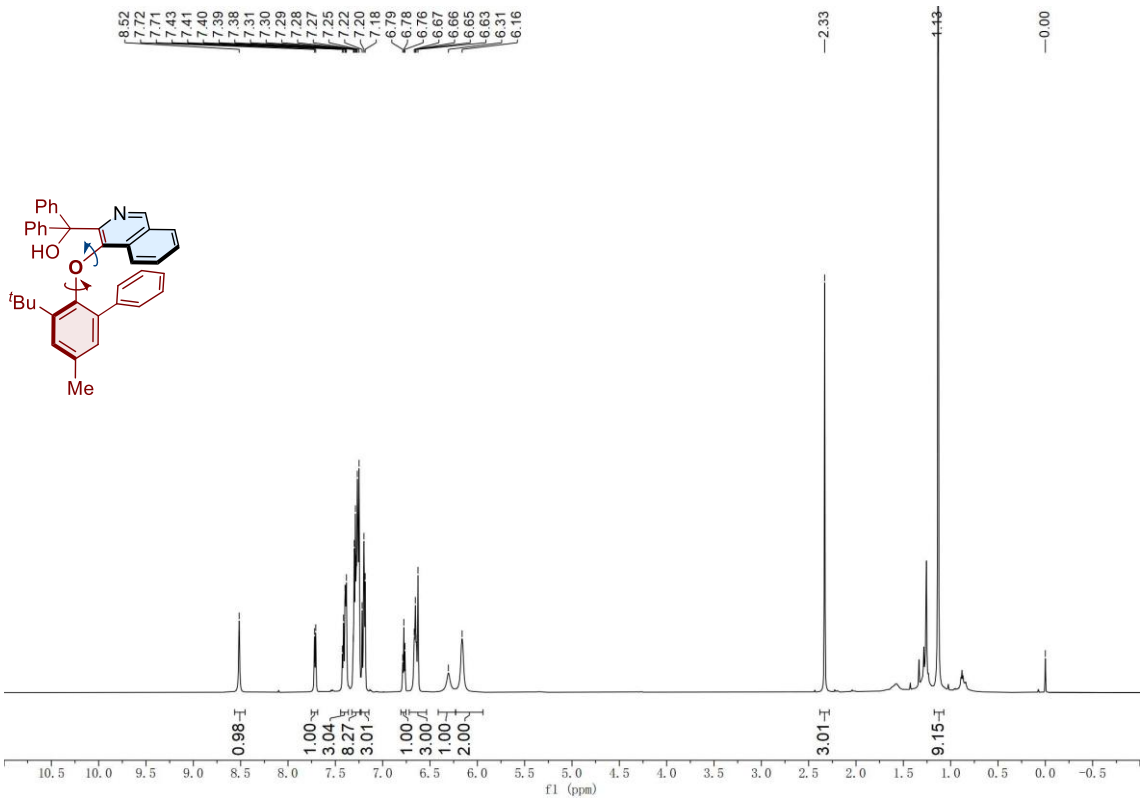
^{19}F NMR spectrum of 9 (565 MHz, $CDCl_3$)



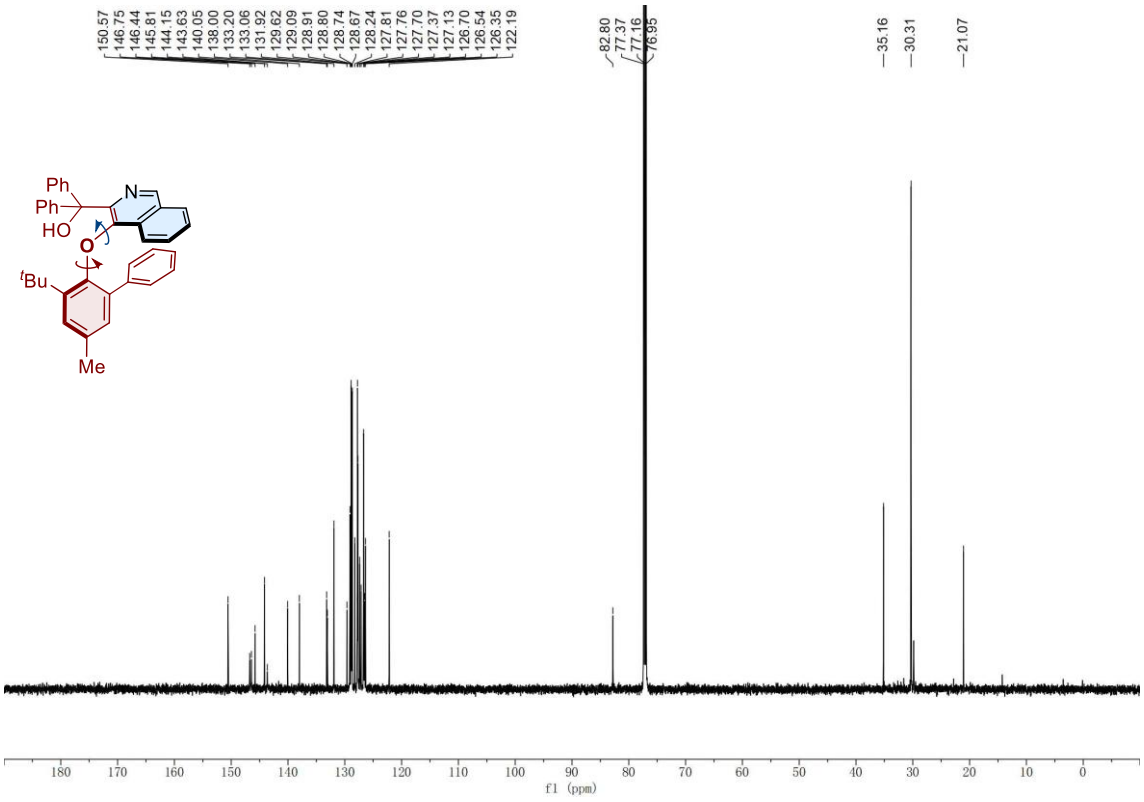
^{1}H NMR spectrum of 10 (600 MHz, $CDCl_3$)



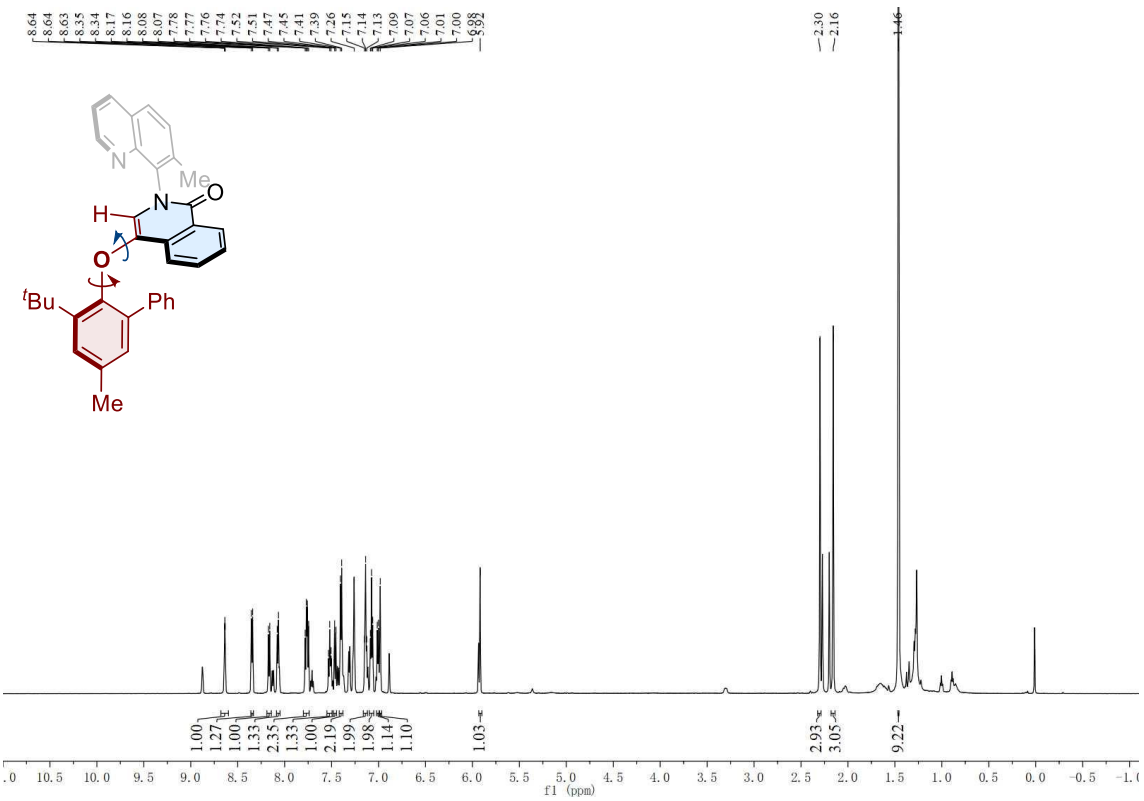
^{13}C NMR spectrum of 10 (151 MHz, $CDCl_3$)



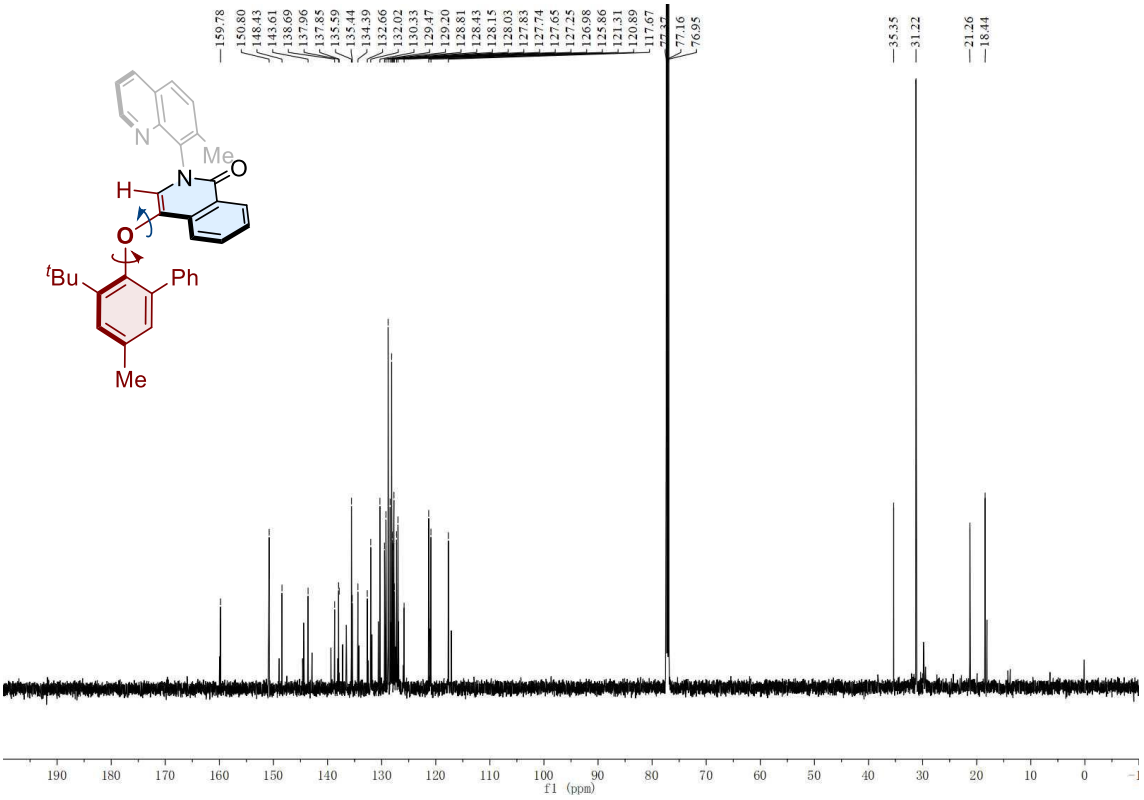
^{1}H NMR spectrum of 11 (600 MHz, $CDCl_3$)



^{13}C NMR spectrum of 11 (151 MHz, $CDCl_3$)



^{1}H NMR spectrum of 12 (600 MHz, $CDCl_3$)



^{13}C NMR spectrum of 12 (151 MHz, $CDCl_3$)

13. Supplementary references

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