Supplementary Information

Electrochemically driven oxidative C−H/N−H cross-coupling reactions of cyclic sulfamidate imines with primary anilines and secondary amines

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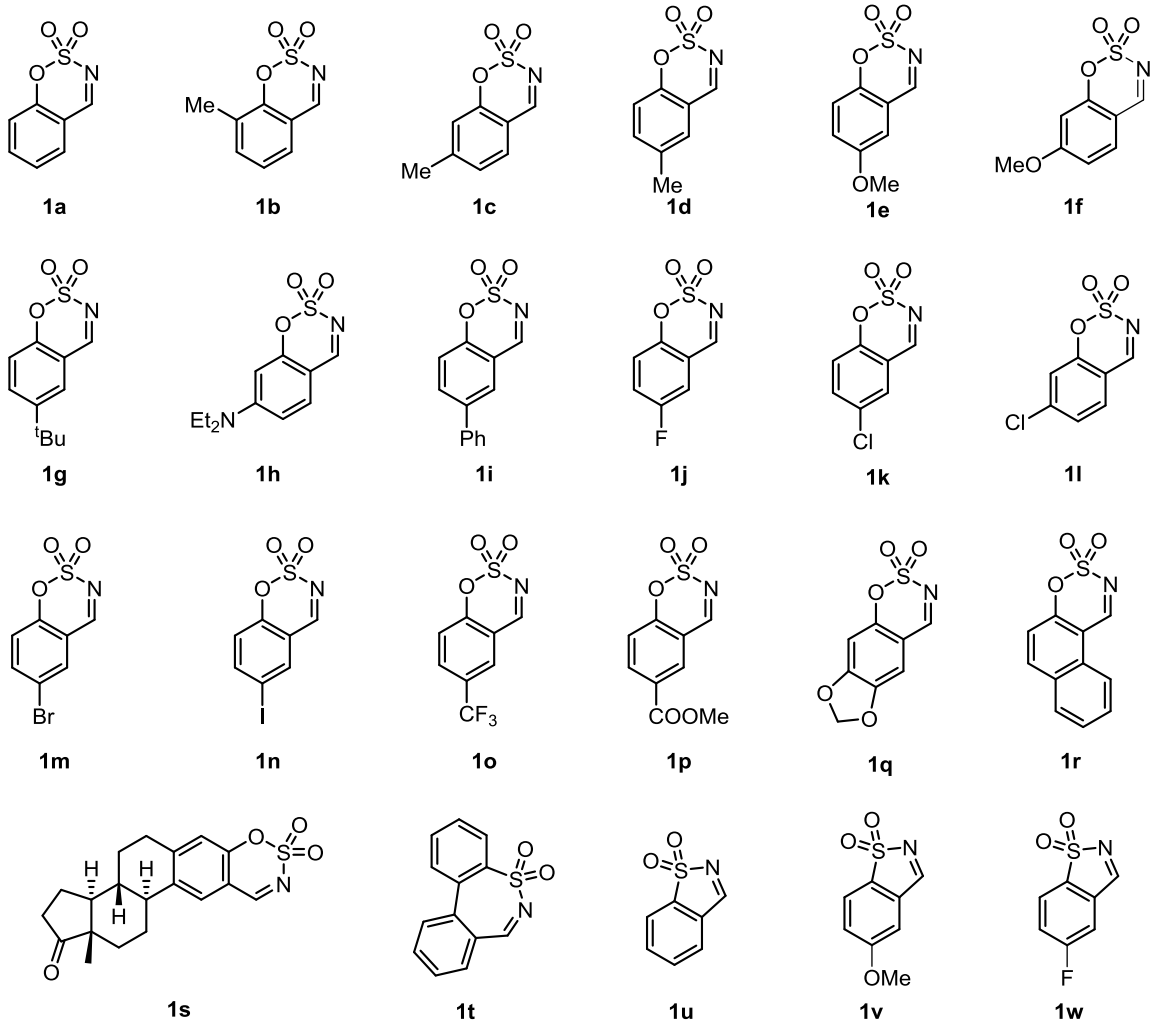
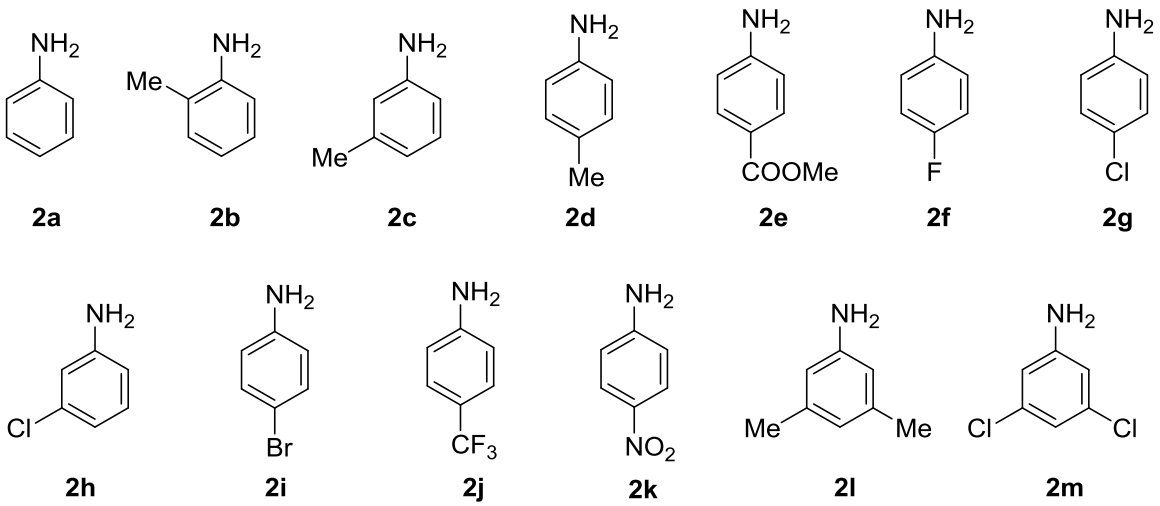
1 General Information

All commercial reagents were purchased from TCI, Sigma-Aldrich, laajoo and Adamas-beta of the highest purity grade and used without further purification. The conversion of starting materials was monitored by thin layer chromatography using silica gel plates, and components were visualized by observation under UV light (254 and 365 nm). ^{1}H NMR spectra was recorded at 400 MHz or 600 MHz. The ^{13}C NMR spectra were recorded at 100 or 150 MHz. The ^{19}F NMR spectra were recorded at 376 MHz or 565 MHz. Chemical shifts were expressed in parts per million (δ) downfield from the internal standard tetramethylsilane (TMS), and were reported as s (singlet), d (doublet), t (triplet), dd (doublets of doublet), td (triplet of doublets), and m (multiplet). The residual solvent signals were used as references and the chemical shifts were converted to the TMS scale : $CDCl_3$ (δ H = 7.26 ppm, δ C = 77.16 ppm), CD_2Cl_2 (δ H = 5.32 ppm, δ C = 53.84 ppm), CD_3OD (δ H = 3.31 ppm, δ C = 49.00 ppm), Acetone-d_6 (δ H = 2.05 ppm, δ C = 206.26, 29.84 ppm), CD_3CN (δ H = 1.94 ppm, δ C = 1.32 ppm), DMSO-d_6 (δ H = 2.50 ppm, δ C = 39.52 ppm). The coupling constants J were given in Hz. High resolution mass spectra (HRMS) were obtained via ESI mode by using an Agilent Q-TOF 6540 mass spectrometer. Unless otherwise noted, all other compounds have been reported in the literature or are commercially available.

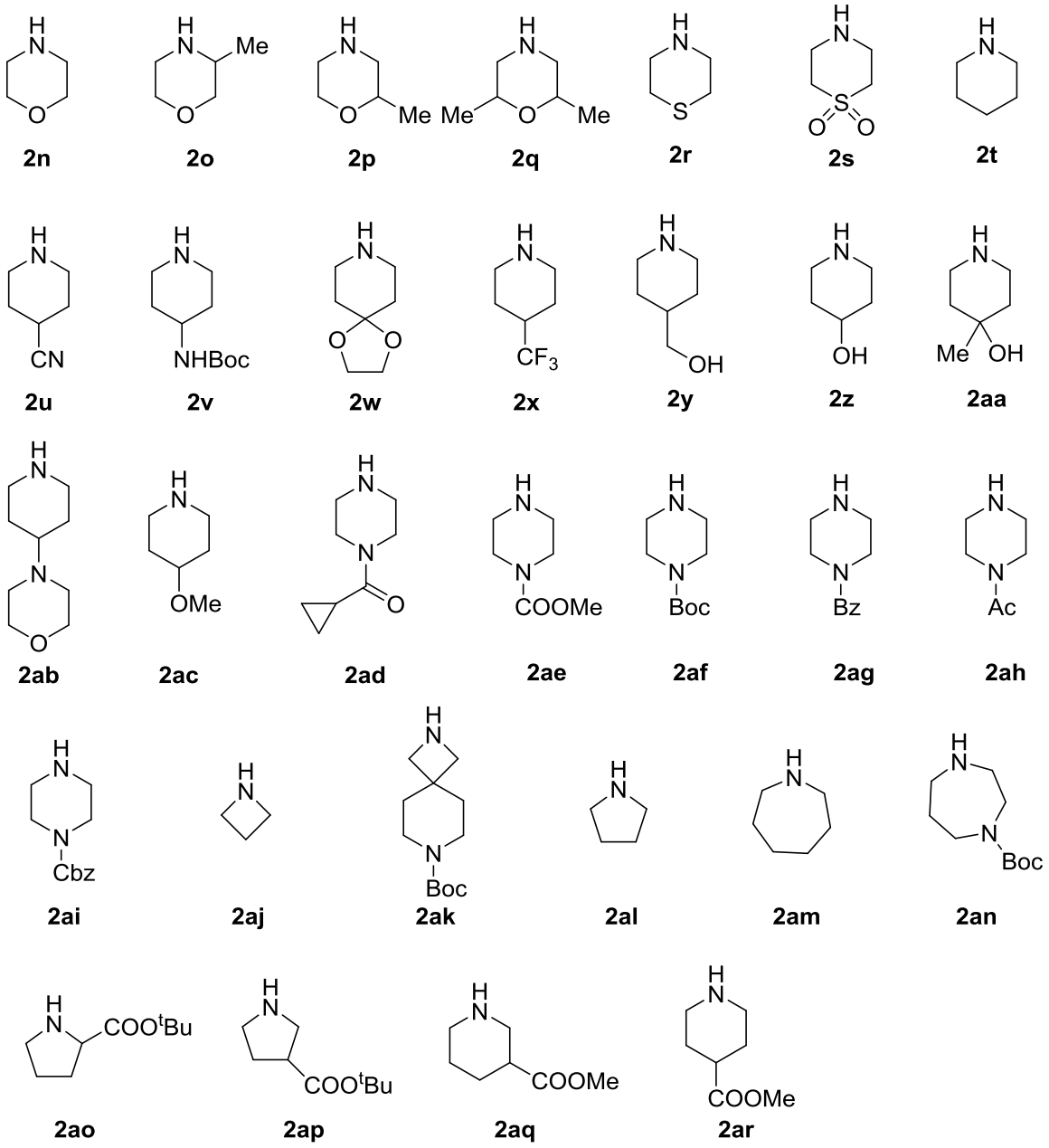
2 Structures of Starting Materials



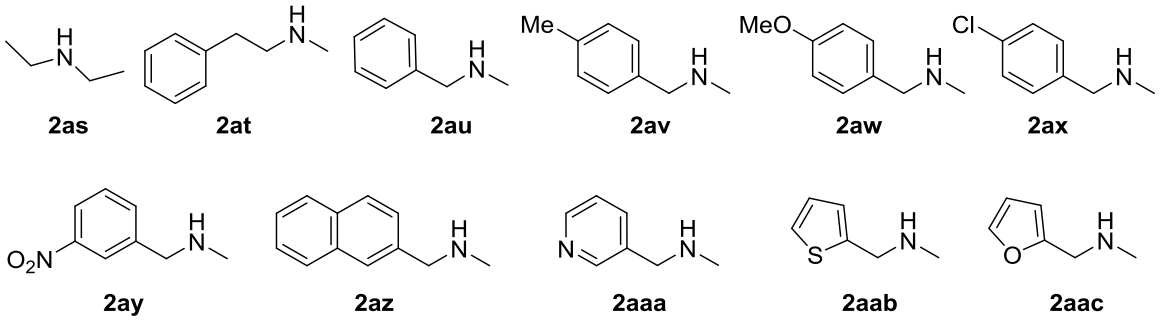
Scheme S1 Cyclic aldimines used in the manuscript



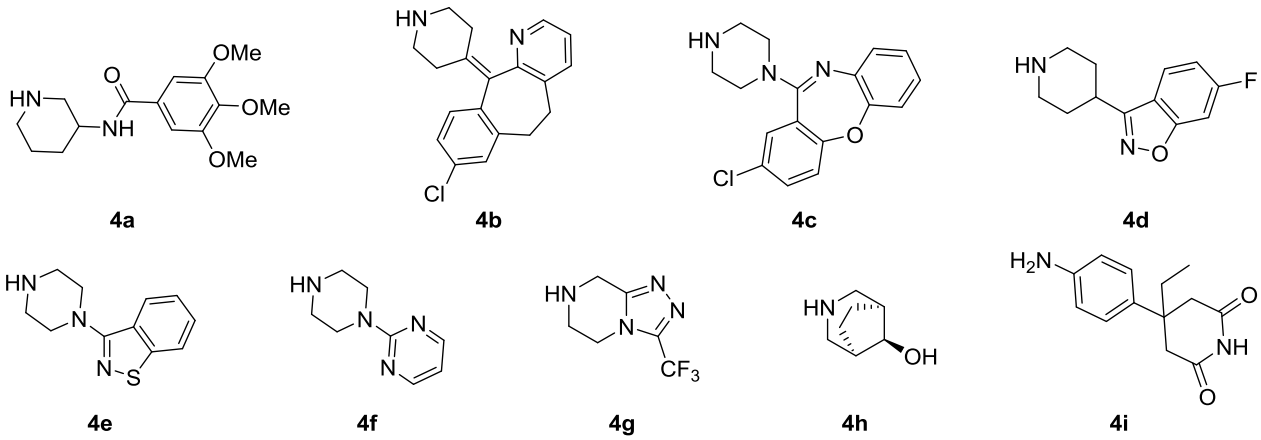
Scheme S2 Primary anilines used in the manuscript



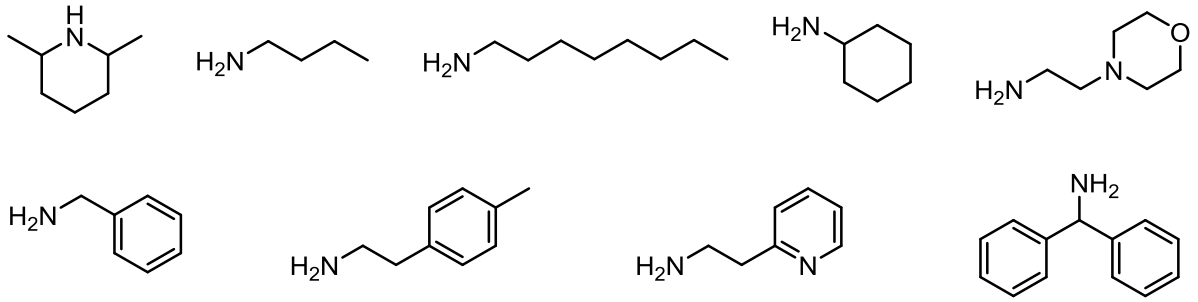
Scheme S3 Cyclic dialkyl amines used in the manuscript



Scheme S4 Acyclic dialkyl amines used in the manuscript



Scheme S5 Natural products and pharmaceuticals amines used in the manuscript



Scheme S6 Unsuccessful substrates

3 Graphical Supporting Information for Electrochemical Amination

Figure S1 (*Left*): All reagents for this reaction. **(*Right*):** General equipment for electrolysis.

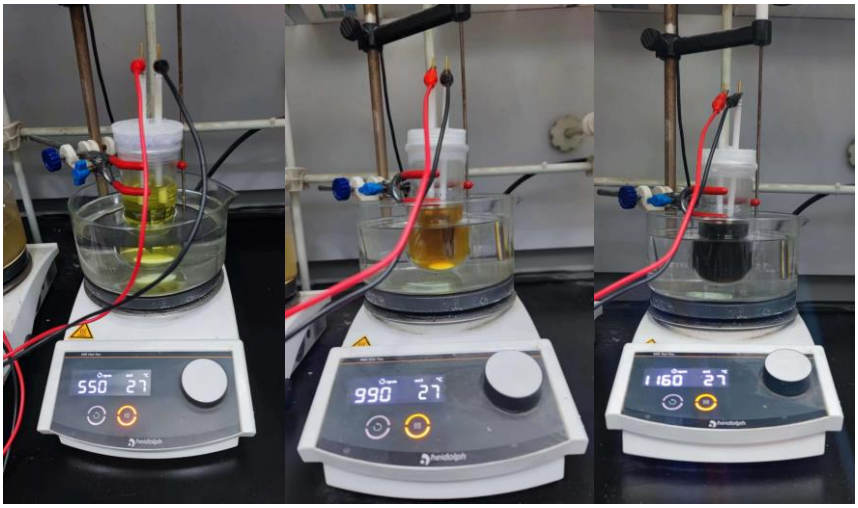
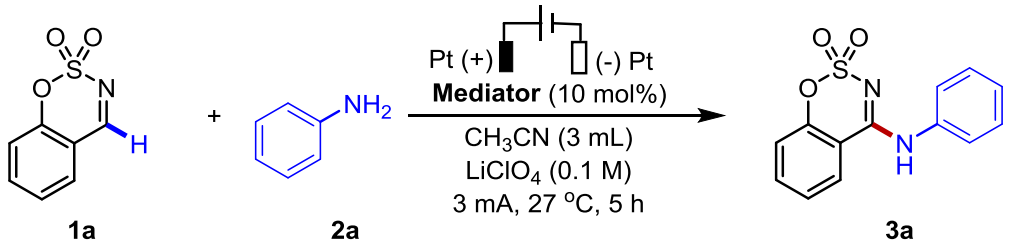
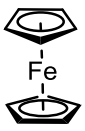
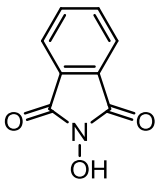
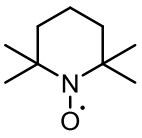


Figure S2 (Left and Center): The reaction mixture was subjected to constant current electrolysis (I = 40 mA). **(Right):** The reaction mixture after 14 h of electrolysis.

4 Initial Experimental Finding

Table S1 Initial Experimental Finding[a]



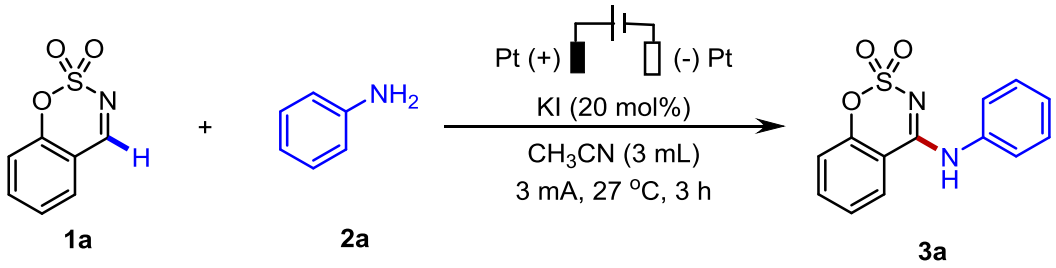
Mediator	none				LiCl	KBr	*n*-Bu_4NI
Yield[b]	nr[c]	nr[c]	nr[c]	19%	nr[c]	nr[c]	20%

[a]Standard conditions: substrate **1a** (0.2 mmol), **2a** (0.24 mmol, 1.2 equiv.), Mediator (10 mol%), $LiClO_4$ (0.3 mmol, 0.1 M) in CH_3CN (3 mL), two platinum electrodes (each 15 × 10 × 0.2 mm^3), undivided cell, 27 ℃, 3 mA, 5 h. [b]Yield determined by ^1H NMR analysis with CH_2Br_2 as an internal standard. [c]nr: no reaction.

5 Optimization of the Reaction Conditions

5.1 Optimization of the Reaction Conditions for Primary Anilines[a]

Table S2 Optimization of the Reaction Conditions for Primary Anilines



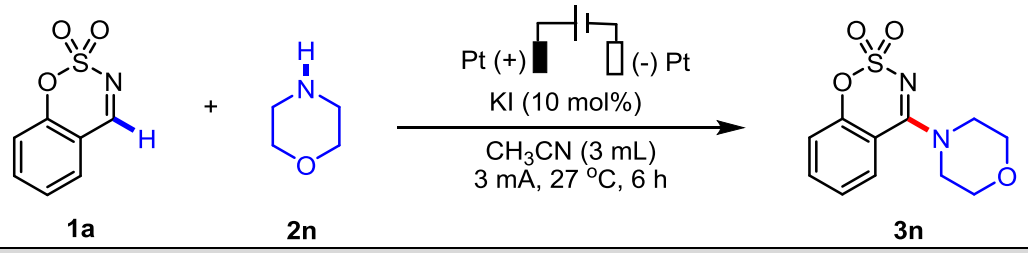
Entry	Variation from standard conditions above[a]	Yield (%)[b]
1	None	78
2	Add $LiClO_4$ as electrolyte	27
3	Add $^{n}Bu_4NPF_6$ as electrolyte	30
4	Without KI	nr[c]
5	10 mol% KI	35
6	30 mol% KI	73
7	40 mol% KI	75
8	50 mol% KI	74
9	LiCl	nr[c]
10	KBr	nr[c]
11	NaI	63
12	HI	trace
13	$^{n}Bu_4NI$	40
14	MeOH	trace

15	TFE	nr[c]
16	DMF	nr[c]
17	1 equiv. **2a**	53
18	1.2 equiv. **2a**	58
19	2.0 equiv. **2a**	78
20	2.5 equiv. **2a**	78
21	3.0 equiv. **2a**	79
22	4.0 equiv. **2a**	77
23	5.0 equiv. **2a**	78
24	2 mA, 4 h	71
25	4 mA, 2 h	63
26	5 mA, 1.7 h	58
27	Graphite rod (6 mm diam.) as the anode	45
28	Graphite felt as the anode	55
29	Reticulated vitreous carbon as the anode	50
30	Ni foam (1×1 cm^2) as the cathode	54
31	Fe sheet (1×1 cm^2) as the cathode	50
32	Under N_2 atmosphere	75
33	No electric current	nr[c]

[a]Standard conditions: substrate **1a** (0.2 mmol), **2a** (0.3 mmol, 1.5 equiv.), KI (20 mol%) in CH_3CN (3 mL), two platinum electrodes (each 15 × 10 × 0.2 mm^3), undivided cell, 27 ℃, 3 mA(j_{anode} = 1.0 mA cm^{-2}), 3 h. [b]Isolated yield. [c]nr: no reaction.

5.2 Optimization of the Reaction Conditions for Dialkyl Amines[a]

Table S3 Optimization of the Reaction Conditions for Dialkyl Amines



1a 2n 3n

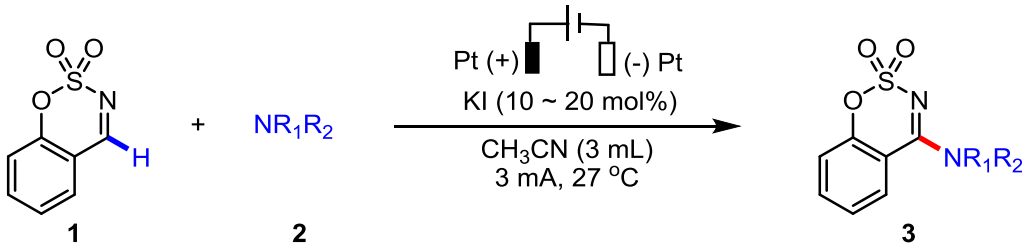
Entry	Variation from standard conditions above[a]	Yield (%)[b]
1	None	99 (96)[c]
2	Add $^{n}Bu_4NPF_6$ as electrolyte	73
3	5 mol% KI	78
4	20 mol% KI	98
5	Without KI	nr[d]
6	LiCl	nr[d]
7	KBr	nr[d]
8	NaI	94
9	NH_4I	90
10	HI	92
11	$^{n}Bu_4NI$	97
12	MeOH	35
13	TFE	nr[d]
14	DMF	36
15	2 mA, 8 h	93
16	4 mA, 5 h	95

17	5 mA, 4 h	94
18	Graphite rod (6 mm diam.) as the anode	88
19	Graphite felt as the anode	92
20	Reticulated vitreous carbon as the anode	95
21	Ni foam (1×1 cm^2) as the cathode	38
22	Fe sheet (1×1 cm^2) as the cathode	90
23	Under N_2 atmosphere	95
24	No electric current	nr[d]

[a]Standard conditions: substrate **1a** (0.2 mmol), **2a** (0.24 mmol, 1.2 equiv.), KI (10 mol%) in CH_3CN (3 mL), two platinum electrodes (each 15 × 10 × 0.2 mm^3), undivided cell, 27 ℃, 3 mA, 6 h. [b]Yield determined by ^1H NMR analysis with CH_2Br_2 as an internal standard. [c]Isolated yield in parentheses. [d]nr: no reaction.

6 General Procedure for Electrochemical Amination

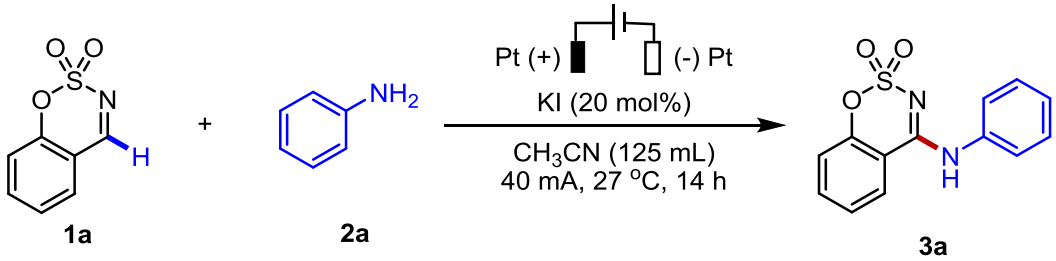
6.1 General Procedure



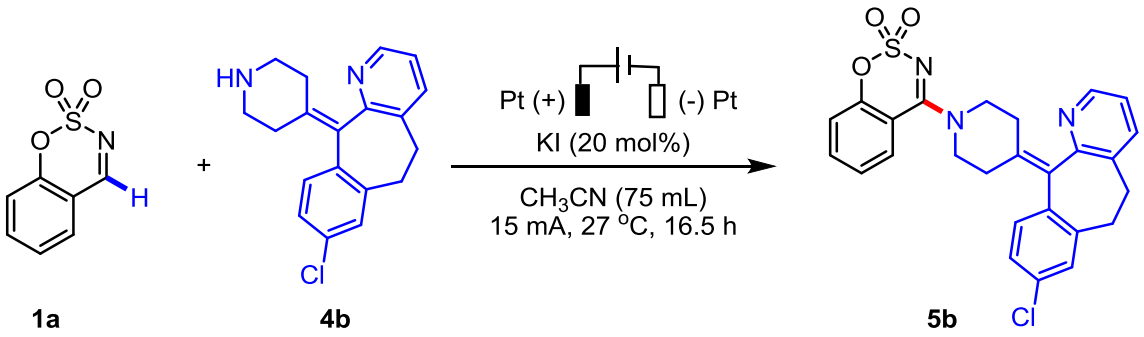
The electrocatalysis was carried out in an undivided cell equipped with two platinum electrode (15 × 10 × 0.2 mm^3). The substrates **1** (0.2 mmol), substrates **2** (1.2 ~ 1.5 equiv.) and KI (10 ~ 20 mol%) were dissolved in the solvent CH_3CN (3 mL).

The electrolysis was carried out at 27 ℃ (oil bath temperature) using a constant current of 3.0 mA until complete consumption of the substrate (monitored by TLC or ^{1}H NMR analysis). After the reaction, the solvent was removed under reduced pressure. The resulting residue was chromatographed through silica gel eluting with PE/EA or DCM/MeOH to afford the corresponding product.

6.2 General Procedure for Gram-Scale Experiments



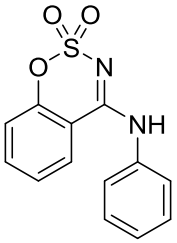
The gram scale reaction was conducted in a 150 mL beaker-type cell equipped with two platinum electrodes (4.0 × 4.0 cm^2). The substrates **1a** (1.82 g, 10.0 mmol), **2a** (1.37 ml, 15.0 mmol, 1.5 equiv.) and KI (332.0 mg, 2.0 mmol, 0.2 equiv.) were dissolved in the solvent CH_3CN (125 mL). The electrolysis was carried out at 27 ℃ (oil bath temperature) using a constant current of 40 mA for 14 hours. After the reaction, the solvent was removed under reduced pressure. The residue was poured into a saturated aqueous solution of $Na_2S_2O_3$ and the product was then extracted with AcOEt (3 × 120 mL), dried over Na_2SO_4, and concentrated in vacuo. The resulting residue was chromatographed through silica gel eluting with PE/EA (3/1) to afford the corresponding product **3a** as white solid with 66% yield (1.81 g).



The gram scale reaction was conducted in a 100 mL beaker-type cell equipped with two platinum electrodes (4.0 × 4.0 cm^2). The substrates **1a** (0.92 g, 5.0 mmol), **4b** (1.86 g, 6.0 mmol, 1.2 equiv.) and KI (166.0 mg, 1.0 mmol, 0.2 equiv.) were dissolved in the solvent CH_3CN (75 mL). The electrolysis was carried out at 27 ℃ (oil bath temperature) using a constant current of 15 mA for 16.5 hours. After the reaction, the solvent was removed under reduced pressure. The residue was poured into a saturated aqueous solution of $Na_2S_2O_3$ and the product was then extracted with AcOEt (3 × 60 mL), dried over Na_2SO_4, and concentrated in vacuo. The resulting residue was chromatographed through silica gel eluting with PE/EA (1/1) to afford the corresponding product **5b** as yellow solid with 75% yield (1.85 g).

7 Characterization Data for Products

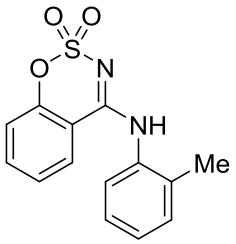
4-(Phenylamino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3a)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and aniline **2a** (27.9 mg, 0.3 mmol). After 3 h, purification by

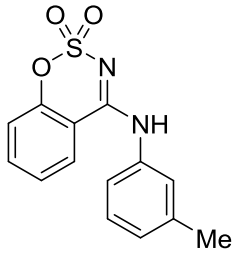
column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3a** (42.7 mg, 78%) as a white solid. **M. p**.: 246.1 – 249.2 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 10.91 (s, 1 H), 8.33 (d, *J* = 8.0 Hz, 1 H), 7.85 (t, *J* = 8.4 Hz, 1 H), 7.65 (d, *J* = 7.6 Hz, 2 H), 7.56 (t, *J* = 7.6 Hz, 1 H), 7.50 (t, *J* = 7.6 Hz, 3 H), 7.33 (t, *J* = 7.6 Hz, 1 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 158.8, 153.4, 136.8, 136.8, 129.4, 127.5, 127.0, 126.0, 124.7, 119.6, 113.1. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{10}N_2NaO_3S$ $[M+Na]^+$ 297.0304, found 297.0303.

4-(*o*-Tolylamino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3b)



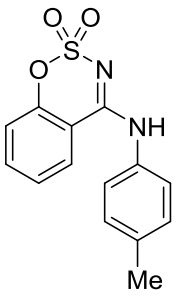
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and o-toluidine **2b** (32.1 mg, 0.3 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3b** (42.3 mg, 73%) as a white solid. **M. p**.: 79.9 – 83.1 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 10.92 (s, 1 H), 8.33 (d, *J* = 8.4 Hz, 1 H), 7.86 (t, *J* = 7.8 Hz, 1 H), 7.56 (t, *J* = 7.8 Hz, 1 H), 7.50 (t, *J* = 7.8 Hz, 1 H), 7.39 (t, *J* = 4.2 Hz, 1 H), 7.36 – 7.31 (m, 3H), 2.25 (s, 3 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 159.9, 153.6, 136.8, 135.2, 135.0, 131.3, 128.6, 127.9, 127.2, 127.2, 126.1, 119.7, 112.6, 18.0. **HRMS** (ESI-TOF) m/z Calcd for $C_{14}H_{12}N_2NaO_3S$ $[M+Na]^+$ 311.0461, found 311.0458.

4-(*m*-Tolylamino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3c)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and m-toluidine **2c** (32.1 mg, 0.3 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3c** (43.2 mg, 75%) as a white solid. **M. p**.: 108.2 – 111.3 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 10.84 (s, 1 H), 8.32 (d, *J* = 7.8 Hz, 1 H), 7.84 (t, *J* = 7.8 Hz, 1 H), 7.55 (t, *J* = 7.8 Hz, 1 H), 7.50 – 7.42 (m, 3 H), 7.37 (t, *J* = 7.8 Hz, 1 H), 7.14 (d, *J* = 7.8 Hz, 1 H), 2.37 (s, 3 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 158.8, 153.4, 138.8, 136.7, 136.7, 129.3, 127.7, 127.5, 126.0, 125.0, 121.8, 119.6, 113.1, 21.5. **HRMS** (ESI-TOF) m/z Calcd for $C_{14}H_{12}N_2NaO_3S$ $[M+Na]^+$ 311.0461, found 311.0463.

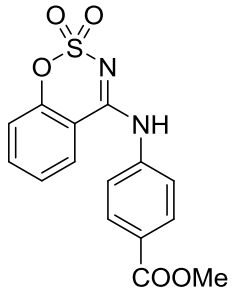
4-(*p*-Tolylamino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3d)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and p-toluidine **2d** (32.1 mg, 0.3 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3d** (37.5 mg, 65%)

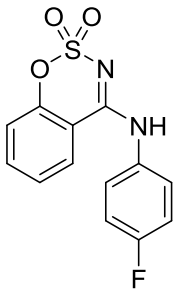
as a white solid. **M. p**.: 158.3 – 116.5 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 10.85 (s, 1 H), 8.32 (dd, *J* = 7.8, 1.2 Hz, 1 H), 7.96 – 7.82 (m, 1 H), 7.57 – 7.51 (m, 3 H), 7.48 (dd, *J* = 8.4, 4.8 Hz, 1 H), 7.30 (d, *J* = 7.8 Hz, 2 H), 2.35 (s, 3 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 158.7, 153.4, 136.7, 136.5, 134.2, 129.8, 127.4, 126.0, 124.6, 119.7, 113.1, 21.1. **HRMS** (ESI-TOF) m/z Calcd for $C_{14}H_{12}N_2NaO_3S$ $[M+Na]^+$ 311.0461, found 311.0465.

Methyl 4-((2,2-dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)amino)benzoate (3e)



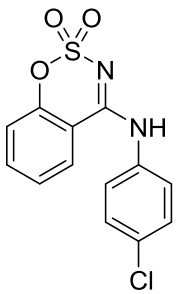
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and methyl 4-aminobenzoate **2e** (45.3 mg, 0.3 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3e** (30.1 mg, 45%) as a white solid. **M. p**.: 178.5 – 181.3 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 11.05 (s, 1 H), 8.34 (dd, *J* = 7.8, 1.2 Hz, 1 H), 8.08 (dd, *J* = 6.6, 1.8 Hz, 2 H), 7.89 – 7.85 (m, 3 H), 7.58 (td, *J* = 7.8, 1.2 Hz, 1 H), 7.52 (dd, *J* = 8.4, 1.2 Hz, 1 H), 3.88 (s, 3 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 166.1, 159.0, 153.4, 141.4, 137.1, 130.6, 127.8, 127.4, 126.1, 123.9, 119.7, 113.1, 52.7. **HRMS** (ESI-TOF) m/z Calcd for $C_{15}H_{13}N_2O_5S$ $[M+H]^+$ 333.0540, found 333.0539.

4-((4-Fluorophenyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3f)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 4-fluoroaniline **2f** (33.3 mg, 0.3 mmol). After 5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3f** (32.3 mg, 55%) as a white solid. **M. p.**: 234.2 – 237.5 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 10.96 (s, 1 H), 8.31 (dd, *J* = 7.8, 1.2 Hz, 1 H), 7.88 – 7.83 (m, 1 H), 7.70 – 7.65 (m, 2 H), 7.56 (td, *J* = 7.8, 1.2 Hz, 1 H), 7.50 (dd, *J* = 7.8, 1.2 Hz, 1 H), 7.34 – 7.32 (m, 2 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 160.6 (d, $^{1}J_{C\text{-}F}$ = 241.5 Hz), 159.0, 153.4, 136.8, 133.1 (d, $^{4}J_{C\text{-}F}$ = 3.0 Hz), 127.5, 126.9, 126.9, 126.1, 119.7, 116.3, 116.2, 113.0. **^{19}F NMR (565 MHz, DMSO-*d*$_6$):** -115.08. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_9FN_2NaO_3S$ $[M+Na]^+$ 315.0210, found 315.0214.

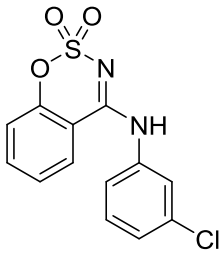
4-((4-Chlorophenyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3g)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a**

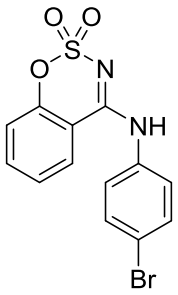
(36.6 mg, 0.2 mmol) and 4-chloroaniline **2g** (38.3 mg, 0.3 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3g** (36.7 mg, 59%) as a white solid. **M. p**.: 225.4 – 228.8 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 10.95 (s, 1 H), 8.31 (dd, *J* = 8.4, 1.8 Hz, 1 H), 7.88 -7.83 (m, 1 H), 7.71 (dd, *J* = 6.6, 1.8 Hz, 2 H), 7.59 – 7.54 (m, 3 H), 7.50 (dd, *J* = 8.4, 1.2 Hz, 1 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 158.9, 153.4, 136.9, 135.9, 131.0, 129.4, 127.6, 126.2, 126.1, 119.7, 113.0. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_9ClNaN_2O_3S$ $[M+Na]^+$ 330.9915, found 330.9916.

4-((3-Chlorophenyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3h)



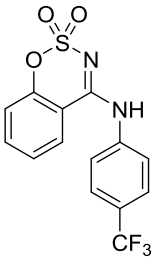
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 3-chloroaniline **2h** (38.3 mg, 0.3 mmol). After 5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3h** (40.8 mg, 66%) as a yellow solid. **M. p**.: 224.7 – 227.3 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 10.95 (s, 1 H), 8.31 (dd, *J* = 8.4, 1.6 Hz, 1 H), 7.89 – 7.83 (m, 1 H), 7.79 (t, *J* = 2.0 Hz, 1 H), 7.70 – 7.65 (m, 1 H), 7.60 – 7.48 (m, 3 H), 7.40 – 7.37 (m, 1 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 159.0, 153.4, 138.4, 137.0, 133.5, 131.1, 127.6, 126.8, 126.1, 124.1, 123.1, 119.7, 113.0. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_9ClNaN_2O_3S$ $[M+Na]^+$ 330.9915, found 330.9917.

4-((4-Bromophenyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3i)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 4-bromoaniline **2i** (51.6 mg, 0.3 mmol). After 5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3i** (36.8 mg, 52%) as a white solid. **M. p**.: 208.5 – 210.7 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 10.94 (s, 1 H), 8.31 (dd, *J* = 7.8, 1.2 Hz, 1 H), 7.90 – 7.83 (m, 1 H), 7.72 – 7.62 (m, 4 H), 7.56 (td, *J* = 7.8, 1.2 Hz, 1 H), 7.50 (dd, *J* = 8.4, 1.2 Hz, 1 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 158.9, 153.4, 136.9, 136.3, 132.3, 127.6, 126.5, 126.1, 119.7, 119.3, 113.0. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_9BrNaN_2O_3S$ $[M+Na]^+$ 374.9409, found 374.9409.

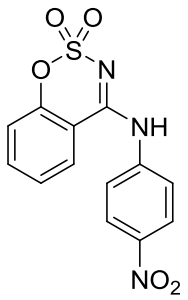
4-((4-(Trifluoromethyl)phenyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2- dioxide (3j)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 4-(trifluoromethyl)aniline **2j** (48.3 mg, 0.3 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3j**

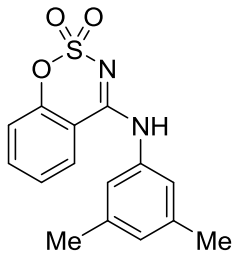
(37.8 mg, 55%) as a white solid. **M. p**.: 223.4 – 226.3 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 11.09 (s, 1 H), 8.34 (dd, *J* = 7.8, 1.2 Hz, 1 H), 7.96 – 7.85 (m, 5 H), 7.61 – 7.57 (m, 1 H), 7.52 (dd, *J* = 8.4, 1.2 Hz, 1 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 159.2, 153.4, 140.7, 137.1, 127.8, 126.6 (q, $^{3}J_{C\text{-}F}$ = 3.0 Hz), 126.2, 124.6, 119.7, 113.0. **^{19}F NMR (565 MHz, DMSO-*d*$_6$):** -60.75. **HRMS** (ESI-TOF) m/z Calcd for $C_{14}H_{10}F_3N_2O_3S$ $[M+Na]^+$ 343.0359, found 343.0359.

4-((4-Nitrophenyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3k)



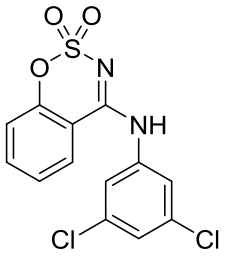
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 4-nitroaniline **2k** (41.4 mg, 0.3 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3k** (29.2 mg, 46%) as a white solid. **M. p**.: 173.7 – 176.4 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 11.19 (s, 1 H), 8.40 – 8.36 (m, 2 H), 8.35 (dd, *J* = 7.8, 1.2 Hz, 1 H), 8.04 – 8.00 (m, 2 H), 7.91 – 7.87 (m, 1 H), 7.60 (td, *J* = 7.8, 1.2 Hz, 1 H), 7.54 (dd, *J* = 7.8, 1.2 Hz, 1 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 159.2, 153.4, 144.9, 143.2, 137.3, 128.0, 126.2, 125.1, 124.2, 119.7, 113.0. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_9N_3NaO_5S$ $[M+Na]^+$ 342.0155, found 342.0155.

4-((3,5-Dimethylphenyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3l)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 3,5-dimethylaniline **2l** (36.4 mg, 0.3 mmol). After 5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3l** (36.3 mg, 60%) as a yellow solid. **M. p**.: 221.3 – 224.5 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 10.78 (s, 1 H), 8.30 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.87 – 7.81 (m, 1 H), 7.54 (td, *J* = 8.0, 1.2 Hz, 1 H), 7.48 (dd, *J* = 8.4, 1.2 Hz, 1 H), 7.25 (s, 2 H), 6.97 (s, 1 H), 2.33 (s, 6 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 158.8, 153.4, 138.6, 136.7, 136.6, 128.6, 127.4, 126.0, 122.4, 119.7, 113.1, 21.4. **HRMS** (ESI-TOF) m/z Calcd for $C_{15}H_{14}N_2NaO_3S$ $[M+Na]^+$ 325.0617, found 325.0617.

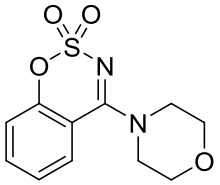
4-((3,5-Dichlorophenyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3m)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 3,5-dichloroaniline **2m** (48.6 mg, 0.3 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3m**

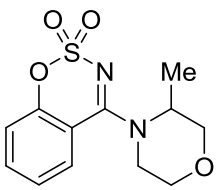
(33.6 mg, 49%) as a yellow solid. **M. p**.: 221.7 – 224.3 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 10.98 (s, 1 H), 8.28 (dd, *J* = 8.0, 1.2 Hz, 1 H), 7.90 – 7.85 (m, 1 H), 7.81 (d, *J* = 1.6 Hz, 2 H), 7.61 – 7.56 (m, 2 H), 7.52 (dd, *J* = 8.4, 1.2 Hz, 1 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 159.2, 153.4, 139.4, 137.2, 134.5, 127.6, 126.2, 122.8, 119.7, 112.9. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_8Cl_2N_2NaO_3S$ $[M+Na]^+$ 364.9525, found 364.9525.

4-Morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3n)



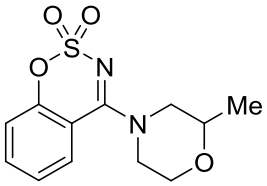
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3n** (51.7 mg, 96%) as a white solid. **^{1}H NMR (400 MHz, CDCl$_3$)**: δ 7.69 – 7.64 (m, 1 H), 7.58 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.40 – 7.32 (m, 2 H), 3.93 – 3.82 (m, 8 H). **^{13}C NMR (100 MHz, CDCl$_3$):** δ 163.1, 154.5, 135.4, 128.1, 125.0, 120.7, 112.9, 66.4, 49.2. The spectral data are in accordance with those reported in the literature[1].

4-(3-Methylmorpholino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3o)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 3-methylmorpholine **2o** (24.3 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3o** (30.9 mg, 55%) as a brown solid. **M. p**.: 165.2 – 168.1 °C. **^{1}H NMR (600 MHz, $CDCl_3$)**: δ 7.64 (t, *J* = 7.8 Hz, 1 H), 7.54 (d, *J* = 7.8 Hz, 1 H), 7.38 – 7.31 (m, 2 H), 4.90 – 4.01 (m, 2 H), 3.98 (d, *J* = 10.8 Hz, 1 H), 3.75 (s, 2 H), 3.65 (s, 2 H), 1.55 (s, 3 H). **^{13}C NMR (150 MHz, $CDCl_3$):** δ 154.5, 135.3, 127.8, 125.0, 120.8, 113.2, 70.7, 66.8, 15.4. **HRMS** (ESI-TOF) m/z Calcd for $C_{12}H_{14}N_2NaO_4S$ $[M+Na]^+$ 305.0566, found 305.0565.

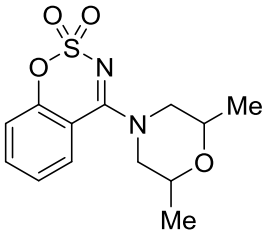
4-(2-Methylmorpholino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3p)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 2-methylmorpholine **2p** (24.3 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3p** (54.1 mg, 96%) as a yellow solid. **M. p**.: 208.5 – 211.3 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.68 – 7.61 (m, 1 H), 7.56 (dd, *J* = 8.0, 1.2 Hz, 1 H), 7.38 – 7.30 (m, 2 H), 4.27 (s, 2 H), 3.98 (dd, *J* = 12.0, 2.4 Hz, 1 H), 3.76 – 3.65 (m, 2 H), 3.43 (d, *J* = 10.4 Hz, 1 H), 3.05 (t, *J* = 10.0 Hz, 1 H), 1.24 (d, *J* = 6.4 Hz, 3 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 162.9, 154.5, 135.4, 128.2, 125.0, 120.7, 113.0, 71.8, 66.1, 54.4, 18.6. **HRMS** (ESI-TOF) m/z Calcd for $C_{12}H_{14}N_2NaO_4S$ $[M+Na]^+$ 305.0566, found

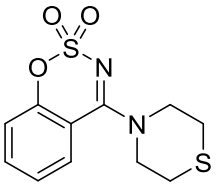
305.0566.

4-(2,6-Dimethylmorpholino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3q)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 2,6-dimethylmorpholine **2q** (27.6 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3q** (56.3 mg, 95%) as a yellow solid. **M. p**.: 256.9 – 259.8 °C. **^{1}H NMR (600 MHz, CD_2Cl_2)**: δ 7.70 – 7.63 (m, 1 H), 7.59 (dd, *J* = 8.0, 1.2 Hz, 1 H), 7.40 – 7.31 (m, 2 H), 4.25 (s, 2 H), 3.73 (t, *J* = 8.0 Hz, 2 H), 2.97 (s, 2 H), 1.21 (s, 3 H), 1.20 (s, 3 H). **^{13}C NMR (150 MHz, CD_2Cl_2):** δ 162.6, 154.4, 135.3, 128.4, 125.0, 120.3, 113.2, 71.5, 19.4. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{16}N_2NaO_4S$ $[M+Na]^+$ 319.0723, found 319.0724.

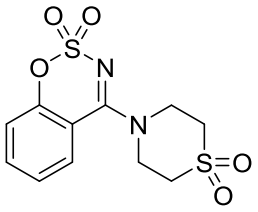
4-Thiomorpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3r)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and thiomorpholine **2r** (24.8 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3r**

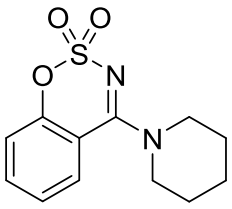
(49.0 mg, 86%) as a yellow solid. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.70 – 7.61 (m, 1 H), 7.53 (dd, *J* = 7.6, 1.6 Hz, 1 H), 7.39 – 7.31 (m, 2 H), 4.09 (t, *J* = 4.8 Hz, 4 H), 2.83 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 163.8, 154.5, 135.4, 128.0, 125.0, 120.8, 113.1, 51.5, 27.4. The spectral data are in accordance with those reported in the literature[1].

4-(1,1-Dioxidothiomorpholino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3s)



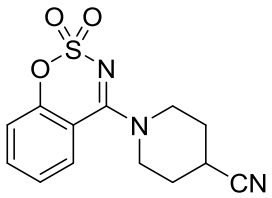
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and thiomorpholine 1,1-dioxide **2s** (32.4 mg, 0.24 mmol). After 8 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3s** (34.9 mg, 55%) as a yellow solid. **^{1}H NMR (400 MHz, DMSO-d_6)**: δ 7.87 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.81 (td, *J* = 8.0, 1.6 Hz, 1 H), 7.53 (dd, *J* = 8.4, 1.2 Hz, 1 H), 7.49 (td, *J* = 8.0, 1.2 Hz, 1 H), 4.19 – 4.12 (m, 4 H), 3.48 (t, *J* = 5.2 Hz, 4 H). **^{13}C NMR (100 MHz, DMSO-d_6):** δ 163.9, 153.9, 136.5, 129.7, 126.0, 120.4, 113.2, 50.84. The spectral data are in accordance with those reported in the literature[1].

4-(Piperidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3t)



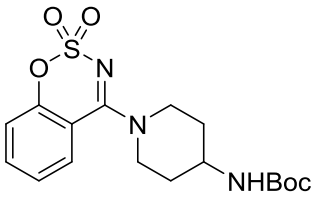
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and piperidine **2t** (20.4 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3t** (39.8 mg, 75%) as a brown solid. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.66 – 7.60 (m, 1 H), 7.57 (dd, *J* = 8.0, 1.2 Hz, 1 H), 7.37 – 7.30 (m, 2 H), 3.80 (d, *J* = 4.8 Hz, 4 H), 1.79 (s, 6 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 162.8, 154.4, 135.0, 128.3, 124.7, 120.6, 113.5, 50.1, 25.9, 24.2. The spectral data are in accordance with those reported in the literature[1].

1-(2,2-Dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)piperidine-4-carbonitrile (3u)



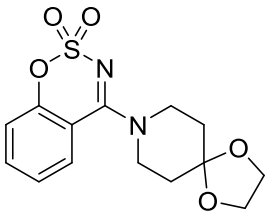
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and piperidine-4-carbonitrile **2u** (26.4 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3u** (53.3 mg, 92%) as a white solid. **^{1}H NMR (400 MHz, CD_2Cl_2)**: δ 7.66 (td, *J* = 8.4, 1.6 Hz, 1 H), 7.55 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.39 – 7.32 (m, 2 H), 4.00 – 3.92 (m, 2 H), 3.82 – 3.72 (m, 2 H), 3.06 – 2.99 (m, 1 H), 2.15 – 1.96 (m, 4 H). **^{13}C NMR (100 MHz, CD_2Cl_2):** δ 163.6, 154.3, 135.5, 128.2, 125.1, 120.4, 120.3, 113.0, 47.0, 28.4, 26.1. The spectral data are in accordance with those reported in the literature[1].

***tert*-Butyl(1-(2,2-dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)piperidin-4-yl) carbamate (3v)**



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and tert-butyl piperidin-4-ylcarbamate **2v** (48.1 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3v** (73.0 mg, 95%) as a white solid. **M. p**.: 185.6 – 188.7 °C. **^{1}H NMR (400 MHz, CDCl$_3$)**: δ 7.66 – 7.61 (m, 1 H), 7.55 (dd, *J* = 8.4, 1.6 Hz, 1 H), 7.35 – 7.30 (m, 2 H), 4.62 (d, *J* = 7.6 Hz, 1 H), 4.33 (d, *J* = 12.8 Hz, 2 H), 3.80 (t, *J* = 6.4 Hz, 1 H), 3.31 (t, *J* = 12.0 Hz, 2 H), 2.16 – 2.07 (m, 2 H), 1.64 – 1.51 (m, 2 H), 1.45 (s, 9 H). **^{13}C NMR (100 MHz, CDCl$_3$):** δ 163.2, 155.1, 154.4, 135.3, 128.3, 124.9, 120.6, 113.2, 79.9, 47.4, 32.2, 28.4. **HRMS** (ESI-TOF) m/z Calcd for $C_{17}H_{23}N_3NaO_5S$ $[M+Na]^+$ 404.1251, found 404.1245.

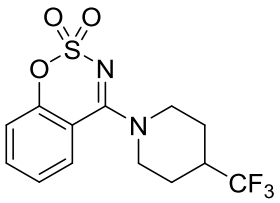
4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3w)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 1,4-dioxa-8-azaspiro[4.5]decane **2w** (34.4 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3w** (62.1 mg, 96%) as a white solid. **M. p**.: 187.9 – 190.5 °C. **^{1}H NMR (400**

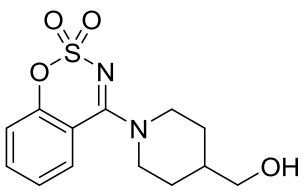
MHz, $CDCl_3$): δ 7.67 – 7.60 (m, 1 H), 7.55 (dd, *J* = 8.0, 1.2 Hz, 1 H), 7.37 – 7.29 (m, 2 H), 4.02 (s, 4 H), 3.91 (t, *J* = 5.6 Hz, 4 H), 1.88 (t, *J* = 5.6 Hz, 4 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 163.0, 154.5, 135.2, 128.2, 124.8, 120.6, 113.3, 106.2, 64.7, 47.0, 35.0. **HRMS** (ESI-TOF) m/z Calcd for $C_{14}H_{16}N_2NaO_5S$ $[M+Na]^+$ 347.0672, found 347.0671.

4-(4-(Trifluoromethyl)piperidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2- dioxide (3x)



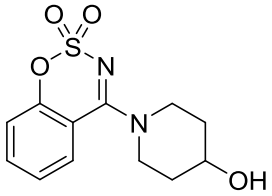
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 4-(trifluoromethyl)piperidine **2x** (36.8 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3x** (62.1 mg, 93%) as a white solid. **^{1}H NMR (600 MHz, $CDCl_3$)**: δ 7.84 (dd, *J* = 7.8, 1.8 Hz, 1 H), 7.78 – 7.74 (m, 1 H), 7.49 – 7.42 (m, 2 H), 4.35 (s, 2 H), 3.32 (s, 2 H), 2.94 – 2.74 (m, 1 H), 1.97 (dd, *J* = 12.6, 3.0 Hz, 2 H), 1.70 (s, 2 H). **^{13}C NMR (150 MHz, $CDCl_3$):** δ167.6, 158.6, 140.8, 134.5, 132.7 (q, J_{C-F} = 276.0 Hz), 130.6, 125.1, 118.3, 49.9, 43.5 (q, J_{C-F} = 27.0 Hz), 29.1. **^{19}F NMR (565 MHz, $CDCl_3$):** -67.61. The spectral data are in accordance with those reported in the literature[1].

4-(4-(Hydroxymethyl)piperidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2- dioxide (3y)



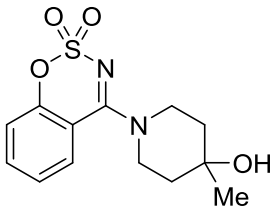
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and piperidin-4-ylmethanol **2y** (27.6 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3y** (34.1 mg, 58%) as a white solid. **M. p**.: 114.3 – 117.5 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.66 – 7.60 (m, 1 H), 7.57 (dd, *J* = 8.4, 1.6 Hz, 1 H), 7.35 – 7.29 (m, 2 H), 4.45 (d, *J* = 13.6 Hz, 2 H), 3.57 (d, *J* = 5.6 Hz, 2 H), 3.19 (s, 2 H), 1.97 – 1.77 (m, 4 H), 1.52 – 1.39 (m, 2 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 162.9, 154.4, 135.1, 128.4, 124.9, 120.5, 113.4, 66.7, 49.6, 38.3, 28.6. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{16}N_2NaO_4S$ $[M+Na]^+$ 319.0723, found 319.0725.

4-(4-Hydroxypiperidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3z)



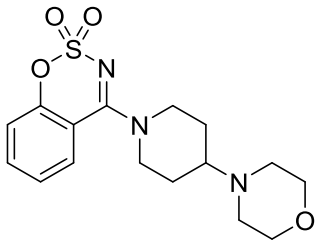
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and piperidin-4-ol **2z** (24.3 mg, 0.24 mmol). After 9 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3z** (32.8 mg, 58%) as a yellow solid. **M. p**.: 117.6 – 120.3 °C. **^{1}H NMR (600 MHz, DMSO-d_6)**: δ 7.82 (dd, *J* = 7.8, 1.8 Hz, 1 H), 7.79 – 7.74 (m, 1 H), 7.49 – 7.43 (m, 2 H), 4.93 (d, *J* = 3.6 Hz, 1 H), 4.03 – 3.95 (m, 2 H), 3.91 – 3.85 (m, 1 H), 3.62 (s, 2 H), 1.95 – 1.88 (m, 2 H), 1.62 – 1.54 (m, 2 H). **^{13}C NMR (150 MHz, DMSO-d_6):** δ 162.1, 153.8, 135.9, 129.6, 125.8, 120.4, 113.7, 64.8, 48.5, 44.4, 34.1, 29.6. **HRMS** (ESI-TOF) m/z Calcd for $C_{12}H_{14}N_2NaO_4S$ $[M+Na]^+$ 305.0566, found 305.0562.

4-(4-Hydroxy-4-methylpiperidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2- dioxide (3aa)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 4-methylpiperidin-4-ol **2aa** (27.6 mg, 0.24 mmol). After 8 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3aa** (58.4 mg, 99%) as a white solid. **M. p.**: 153.4 – 156.7 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 7.84 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.78 – 7.73 (m, 1 H), 7.50 – 7.41 (m, 2 H), 4.62 (s, 1 H), 4.20 – 3.41 (m, 4 H), 1.17 – 1.54 (m, 4 H), 1.20 (s, 3 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 161.8, 153.9, 135.8, 129.6, 125.7, 120.4, 113.7, 66.3, 47.9, 43.5, 30.2. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{16}N_2NaO_4S$ $[M+Na]^+$ 319.0723, found 319.0719.

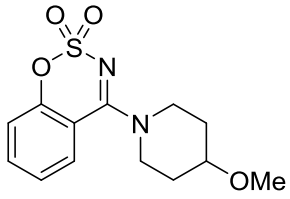
4-(4-Morpholinopiperidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3ab)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 4-(piperidin-4-yl)morpholine **2ab** (40.9 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ab** (49.2 mg, 70%) as a yellow solid. **M. p.**: 154.2 – 157.5 °C. **^{1}H NMR (400**

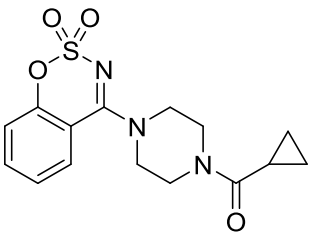
MHz, $CDCl_3$): δ 7.66 – 7.60 (m, 1 H), 7.55 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.36 – 7.29 (m, 2 H), 4.41 (d, *J* = 12.4 Hz, 2 H), 3.73 (t, *J* = 4.8 Hz, 4 H), 3.24 (t, *J* = 12.0 Hz, 2 H), 2.59 (t, *J* = 4.8 Hz, 5 H), 2.02 (d, *J* = 12.4 Hz, 2 H), 1.77 – 1.63 (m, 2 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 162.9, 154.4, 135.2, 128.3, 124.8, 120.6, 113.3, 67.1, 61.1, 49.8, 48.1, 28.2. **HRMS** (ESI-TOF) m/z Calcd for $C_{16}H_{22}N_3O_4S$ $[M+H]^+$ 352.1326, found 352.1327.

4-(4-Methoxypiperidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3ac)



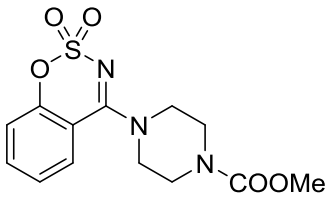
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 4-methoxypiperidine **2ac** (27.6 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ac** (32.4 mg, 55%) as a yellow solid. **M. p**.: 157.3 – 160.7 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.65 – 7.59 (m, 1 H), 7.54 (dd, *J* = 8.0, 1.2 Hz, 1 H), 7.35 – 7.28 (m, 2 H), 3.95 – 3.86 (m, 2 H), 3.81 – 3.72 (m, 2 H), 3.62 – 3.55 (m, 1 H), 3.39 (s, 3 H), 2.03 – 1.91 (m, 2 H), 1.90 – 1.79 (m, 2 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 162.9, 154.5, 135.1, 128.2, 124.8, 120.6, 113.4, 73.9, 56.0, 45.1, 30.3. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{16}N_2NaO_4S$ $[M+Na]^+$ 319.0723, found 319.0720.

Cyclopropyl(4-(2,2-dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)piperazin-1-yl)methanone (3ad)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and cyclopropyl(piperazin-1-yl)methanone **2ad** (37.0 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ad** (62.8 mg, 94%) as a white solid. **M. p**.: 143.6 – 146.5 °C. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.67 (t, *J* = 7.8 Hz, 1 H), 7.60 (d, *J* = 8.4 Hz, 1 H), 7.39 – 7.34 (m, 2 H), 4.00 – 3.72 (m, 8 H), 1.80 – 1.71 (m, 1 H), 1.04 – 1.00 (m, 2 H), 0.87 – 0.82 (m, 2 H). **^{13}C NMR (150 MHz, CDCl$_3$):** δ 172.6, 163.5, 154.4, 135.6, 128.2, 125.1, 120.6, 112.9, 44.4, 41.5, 11.0, 7.94. **HRMS** (ESI-TOF) m/z Calcd for $C_{15}H_{17}N_3NaO_4S$ $[M+Na]^+$ 358.0832, found 358.0828.

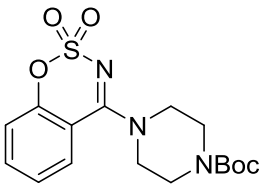
Methyl4-(2,2-dioxidobenzo[*e*][1,2,3]oxathiazi-4-yl)piperazine-1-carboxylate (3ae)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and methyl piperazine-1-carboxylate **2ae** (34.6 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ae** (61.9 mg, 95%) as a white solid. **M. p**.: 215.9 – 218.4 °C. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.69 – 7.64 (m, 1 H), 7.57 (dd, *J* = 7.8, 1.2 Hz, 1 H), 7.37 – 7.33 (m, 2 H), 3.83 (t, *J* = 4.8 Hz, 4 H), 3.75 (s, 3 H), 3.66 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (150**

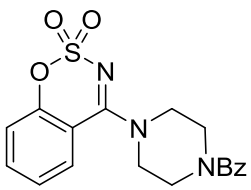
MHz, $CDCl_3$): δ 163.5, 155.6, 154.4, 135.5, 128.2, 125.1, 120.6, 112.9, 53.1, 48.6, 43.2. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{15}N_3NaO_5S$ $[M+Na]^+$ 348.0625, found 348.0625.

***tert*-Butyl 4-(2,2-dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl) piperazine-1-carboxylate (3af)**



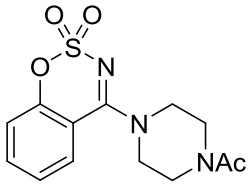
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and tert-butyl piperazine-1-carboxylate **2af** (44.7 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3af** (69.3 mg, 94%) as a pink solid. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.69 – 7.61 (m, 1 H), 7.58 (dd, *J* = 8.4, 1.6 Hz, 1 H), 7.37 – 7.31 (m, 2 H), 3.82 (s, 4 H), 3.60 (s, 4 H), 1.49 (s, 9 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 163.4, 154.4, 135.5, 128.3, 125.1, 120.5, 113.0, 80.8, 77.3, 48.6, 43.0, 28.3. The spectral data are in accordance with those reported in the literature[1].

(4-(2,2-Dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)piperazin-1-yl)(phenyl)methanone (3ag)



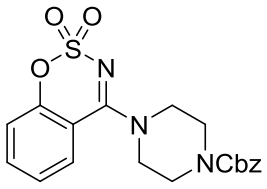
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and phenyl(piperazin-1-yl)methanone **2ag** (45.7 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ag** (70.6 mg, 95%) as a white solid. **^{1}H NMR (600 MHz, $CDCl_3$)**: δ 7.69 – 7.64 (m, 1 H), 7.56 (d, *J* = 7.8 Hz, 1 H), 7.49 – 7.40 (m, 5 H), 7.38 – 7.32 (m, 2 H), 4.08 – 3.51 (m, 8 H). **^{13}C NMR (150 MHz, $CDCl_3$):** δ 170.7, 163.7, 154.5, 135.7, 134.6, 130.5, 128.8, 128.1, 127.2, 125.1, 120.7, 112.8, 48.3, 42.0. The spectral data are in accordance with those reported in the literature[1].

1-(4-(2,2-Dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)piperazin-1-yl)ethan-1-one (3ah)



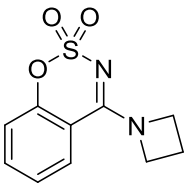
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 1-(piperazin-1-yl)ethan-1-one **2ah** (30.8 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ah** (58.5 mg, 95%) as a white solid. **^{1}H NMR (600 MHz, $CDCl_3$)**: δ 7.69 – 7.65 (m, 1 H), 7.58 (dd, *J* = 8.4, 1.2 Hz, 1 H), 7.38 – 7.34 (m, 2 H), 3.89 (t, *J* = 4.8 Hz, 2 H), 3.84 (t, *J* = 4.8 Hz, 2 H), 3.77 (t, *J* = 4.8 Hz, 2 H), 3.68 (t, *J* = 4.8 Hz, 2 H), 2.15 (s, 2 H). **^{13}C NMR (150 MHz, $CDCl_3$):** δ 169.4, 163.5, 154.4, 135.6, 128.2, 125.1, 120.6, 112.9, 45.2, 40.9, 21.3. The spectral data are in accordance with those reported in the literature[1].

Benzyl 4-(2,2-dioxidobenzo [*e*] [1,2,3] oxathiazin-4-yl) piperazine -1- carboxylate (3ai)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and benzyl piperazine-1-carboxylate **2ai** (52.9 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ai** (76.5 mg, 95%) as a white solid. **M. p**.: 163.0 – 166.0 °C. **^{1}H NMR (400 MHz, CDCl$_3$)**: δ 7.67 – 7.61 (m, 1 H),7.55 (dd, *J* = 8.4, 1.6 Hz, 1 H), 7.41 – 7.29 (m, 7 H), 5.17 (s, 2 H), 3.82 (s, 4 H), 3.71 – 3.63 (m, 4 H). **^{13}C NMR (100 MHz, CDCl$_3$):** δ 163.5, 155.0, 154.4, 136.2, 135.6, 128.7, 128.4, 128.2, 128.1, 125.1, 120.6, 112.9, 67.7, 48.4, 43.3. **HRMS** (ESI-TOF) m/z Calcd for $C_{19}H_{19}N_3NaO_5S$ $[M+Na]^+$ 424.0938, found 424.0942.

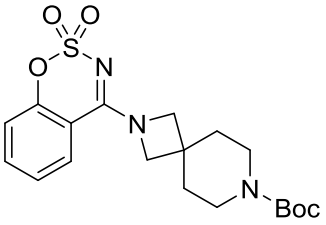
4-(Azetidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3aj)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and azetidine **2aj** (13.70 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3aj** (24.7 mg, 52%) as a yellow solid. **M. p**.: 214.6 – 217.5 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ

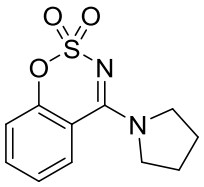
7.83 (dd, *J* = 8.4, 1.6 Hz, 1 H), 7.76 (td, *J* = 8.0, 1.6 Hz, 1 H), 7.45 – 7.39 (m, 2 H), 4.79 (t, *J* = 7.6 Hz, 2 H), 4.28 (t, *J* = 7.6 Hz, 2 H), 2.45 – 2.35 (m, 2 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 159.5, 153.4, 136.0, 128.1, 125.8, 120.0, 112.6, 56.6, 52.5, 16.5. **HRMS** (ESI-TOF) m/z Calcd for $C_{10}H_{10}N_2NaO_3S$ $[M+Na]^+$ 261.0304, found 261.0304.

***tert*-Butyl-2-(2,2-dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)-2,7-diazaspiro[3.5] nonane -7-carboxylate (3ak)**



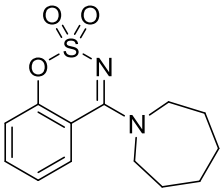
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and tert-butyl 2,7-diazaspiro[3.5]nonane-7-carboxylate **2ak** (54.3 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ak** (75.1 mg, 92%) as a white solid. **M. p**.: 191.8 – 194.6 °C. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.64 – 7.60 (m, 1 H), 7.59 (dd, *J* = 7.8, 1.8 Hz, 1 H), 7.31 – 7.25 (m, 2 H), 4.38 (s, 2 H), 4.09 (s, 2 H), 3.50 – 3.41 (m, 2 H), 3.38 – 3.30 (m, 2 H), 1.94 – 1.74 (m, 4 H), 1.46 (s, 9 H). **^{13}C NMR (100 MHz, CDCl$_3$):** δ 160.4, 154.6, 154.0, 135.4, 126.7, 124.9, 119.9, 112.3, 80.0, 65.4, 61.3, 60.1, 40.6, 35.3, 34.9, 28.4. **HRMS** (ESI-TOF) m/z Calcd for $C_{19}H_{25}N_3NaO_5S$ $[M+Na]^+$ 430.1407, found 430.1406.

4-(Pyrrolidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3al)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and pyrrolidine **2al** (17.1 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3al** (30.3 mg, 60%) as a yellow solid. **M. p**.: 193.8 – 195.9 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 8.06 (d, *J* = 7.8 Hz, 1 H), 7.78 – 7.72 (m, 1 H), 7.47 – 7.41 (m, 2 H), 3.95 (t, *J* = 6.0 Hz, 2 H), 3.63 (t, *J* = 6.6 Hz, 2 H), 1.99 – 1.91 (m, 4 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 159.1, 153.3, 135.5, 129.3, 125.5, 119.8, 115.0, 52.6, 50.8, 26.8, 23.9. **HRMS** (ESI-TOF) m/z Calcd for $C_{11}H_{12}N_2NaO_3S$ $[M+Na]^+$ 275.0461, found 275.0462.

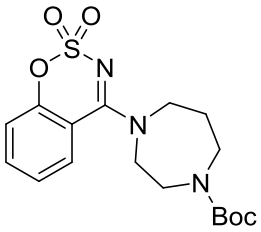
4-(Azepan-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3am)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and azepane **2am** (23.8 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3am** (13.3 mg, 24%) as a white solid. **M. p**.: 142.8 – 145.6 °C. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.65 (d, *J* = 8.4 Hz, 1 H), 7.61 (t, *J* = 8.4 Hz, 1 H), 7.34 – 7.28 (m, 2 H), 3.83 (t, *J* = 5.4 Hz, 4 H), 1.94 (t, *J* = 5.4 Hz, 4 H), 1.68 (s, 4 H). **^{13}C NMR (150 MHz, CDCl$_3$):** δ 162.1,

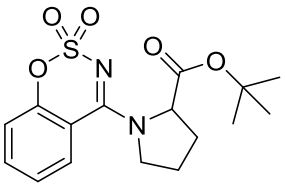
154.1, 134.6, 128.2, 124.5, 120.5, 114.4, 52.2, 50.5, 29.7, 27.9, 26.6, 26.0. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{16}N_2NaO_3S$ $[M+Na]^+$ 303.0774, found 303.0776.

***tert*-Butyl 4-(2,2-dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)-1,4-diazepane -1- carboxy late (3an)**



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and tert-butyl 1,4-diazepane-1-carboxylate **2an** (48.1 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3an** (67.2 mg, 88%) as a white solid. **M. p**.: 168.8 – 172.4 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.69 – 7.59 (m, 2 H), 7.32 (t, *J* = 7.6 Hz, 2 H), 4.02 – 3.91 (m, 2 H), 3.86 (t, *J* = 5.6 Hz, 2 H), 3.66 (t, *J* = 5.6 Hz, 2 H), 3.55 (s, 1 H), 3.47 (t, *J* = 6.0 Hz, 1 H), 2.12 – 2.07 (m, 2 H), 1.43 (s, 9 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 163.0, 155.0, 154.0, 135.0, 128.2, 124.8, 120.5, 113.8, 80.5, 51.6, 47.3, 28.3. **HRMS** (ESI-TOF) m/z Calcd for $C_{17}H_{23}N_3NaO_5S$ $[M+Na]^+$ 404.1251, found 404.1256.

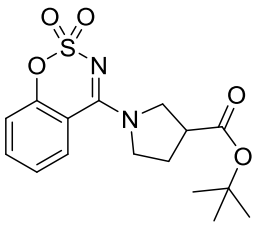
***tert*-Butyl (2,2-dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)prolinate (3ao)**



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a**

(36.6 mg, 0.2 mmol) and tert-butyl prolinate **2ao** (41.1 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ao** (56.2 mg, 80%) as a yellow solid. **M. p**.: 67.3 – 70.1 °C. **^{1}H NMR (600 MHz, $CDCl_3$)**: δ 7.84 (d, *J* = 7.8 Hz, 1 H), 7.61 (t, *J* = 7.8 Hz, 1 H), 7.34 – 7.28 (m, 2 H), 4.69 (t, *J* = 7.2 Hz, 1 H), 4.06 – 3.96 (m, 2 H), 2.42 – 2.34 (m, 1 H), 2.23 – 2.15 (m, 1 H), 2.10 – 1.96 (m, 2 H), 1.49 (s, 9 H). **^{13}C NMR (150 MHz, $CDCl_3$):** δ 169.8, 159.9, 154.1, 135.0, 127.9, 124.7, 120.0, 114.3, 82.3, 63.3, 52.7, 28.8, 27.9, 25.9. **HRMS** (ESI-TOF) m/z Calcd for $C_{16}H_{20}N_2NaO_5S$ $[M+Na]^+$ 375.0985, found 375.0985.

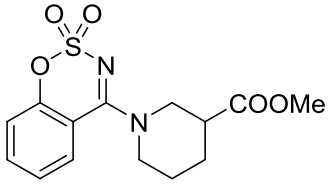
***tert*-Butyl 1-(2,2-dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)pyrrolidine-3- carboxylate (3ap)**



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and tert-butyl pyrrolidine-3-carboxylate **2ap** (41.1 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ap** (68.2 mg, 97%) as a white solid. **M. p**.: 148.2 – 151.6 °C. **^{1}H NMR (600 MHz, $CDCl_3$)**: δ 7.76 (dd, *J* = 8.4, 4.2 Hz, 1 H), 7.62 (t, *J* = 7.8 Hz, 1 H), 7.35 – 7.28 (m, 2 H), 4.18 – 3.78 (m, 4 H), 3.21 – 3.05 (m, 1 H), 2.37 – 2.19 (m, 2 H), 1.47 (d, *J* = 27.6 Hz, 9 H). **^{13}C NMR (150 MHz, $CDCl_3$):** δ 171.4, 153.9, 134.9, 127.9, 124.6, 120.1, 114.5, 82.2, 53.6, 52.3, 51.4, 49.5, 45.2, 42.2, 28.0, 27.6. **HRMS** (ESI-TOF)

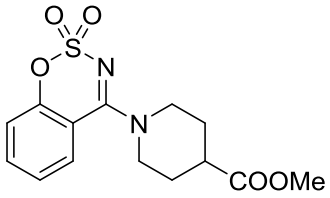
m/z Calcd for $C_{16}H_{20}N_2NaO_5S$ $[M+Na]^+$ 375.0985, found 375.0985.

Methyl 1-(2,2-dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)piperidine-3- carboxylate (3aq)



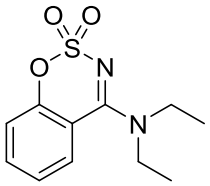
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and methyl piperidine-3-carboxylate **2aq** (34.4 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3aq** (59.7 mg, 92%) as a pink solid. **M. p.**: 174.6 – 177.4 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.66 – 7.61 (m, 1 H), 7.58 (dd, *J* = 8.4, 1.6 Hz, 1 H), 7.36 – 7.30 (m, 2 H), 4.39 (d, *J* = 12.0 Hz, 1 H), 4.17 – 4.10 (m, 1 H), 3.70 (s, 3 H), 3.59 – 3.47 (m, 1 H), 3.44 – 3.35 (m, 1 H), 2.79 – 2.70 (m, 1 H), 2.24 – 2.13 (m, 1 H), 1.97 – 1.80 (m, 2 H), 1.79 – 1.70 (m, 1 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 172.7, 163.5, 154.4, 135.2, 128.2, 124.9, 120.6, 113.3, 52.2, 50.0, 41.0, 27.0, 24.3. **HRMS** (ESI-TOF) m/z Calcd for $C_{14}H_{16}N_2NaO_5S$ $[M+Na]^+$ 347.0672, found 347.0668.

Methyl-1-(2,2-dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)piperidine-4-carboxylate (3ar)



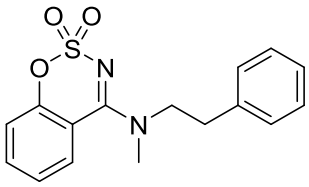
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and methyl piperidine-4-carboxylate **2ar** (34.4 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ar** (60.4 mg, 93%) as a yellow solid. **M. p**.: 163.5 – 166.7 °C. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.66 – 7.62 (m, 1 H), 7.55 (d, *J* = 7.8 Hz, 1 H), 7.35 – 7.31 (m, 2 H), 4.27 (d, *J* = 13.2 Hz, 2 H), 3.73 (s, 3 H), 3.39 (t, *J* = 11.4 Hz, 2 H), 2.77 – 2.69 (m, 1 H), 2.13 – 2.07 (m, 2 H), 1.97 – 1.89 (m, 2 H). **^{13}C NMR (150 MHz, CDCl$_3$):** δ 174.0, 163.2, 154.4, 135.3, 128.2, 124.9, 120.6, 113.2, 52.1, 48.2, 40.2, 27.9. **HRMS** (ESI-TOF) m/z Calcd for $C_{14}H_{16}N_2NaO_5S$ $[M+Na]^+$ 347.0672, found 347.0671.

4-(Diethylamino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3as)



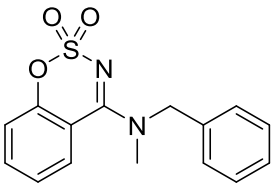
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and diethylamine **2as** (17.6 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3as** (17.1 mg, 33%) as a brown solid. **M. p**.: 143.6 – 146.8 °C. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.63 – 7.58 (m, 2 H), 7.34 – 7.30 (m, 2 H), 3.68 (q, *J* = 7.2 Hz, 4 H), 1.40 (t, *J* = 6.6 Hz, 6 H). **^{13}C NMR (150 MHz, CDCl$_3$):** δ 162.0, 154.1, 134.8, 127.4, 124.7, 120.6, 114.1, 45.4, 13.0. **HRMS** (ESI-TOF) m/z Calcd for $C_{11}H_{14}N_2NaO_3S$ $[M+Na]^+$ 277.0617, found 277.0616.

4-(Methyl(phenethyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3at)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and N-methyl-2-phenylethan-1-amine **2at** (32.5 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3at** (47.2 mg, 75%) as a white solid. **M. p**.: 55.4 – 58.7 °C. **^{1}H NMR (400 MHz, CDCl$_3$)**: δ 7.60 – 7.54 (m, 1 H), 7.51 (dd, *J* = 8.4, 1.6 Hz, 1 H), 7.33 – 7.14 (m, 7 H), 3.89 (t, *J* = 7.2 Hz, 2 H), 3.22 (s, 3 H), 3.05 (t, *J* = 7.2 Hz, 2 H). **^{13}C NMR (100 MHz, CDCl$_3$):** δ 154.1, 134.9, 128.9, 128.8, 128.3, 127.0, 124.6, 120.4, 113.8, 54.3, 32.8, 29.7. **HRMS** (ESI-TOF) m/z Calcd for $C_{16}H_{16}N_2NaO_3S$ $[M+Na]^+$ 339.0774, found 339.0768.

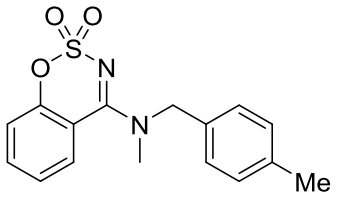
4-(Benzyl(methyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3au)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and N-methyl-1-phenylmethanamine **2au** (29.1 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3au** (55.1 mg, 91%) as a white solid. **M. p**.: 127.8 – 130.3 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 8.07 (s, 1 H), 7.76 (t, *J* = 8.0 Hz, 1 H), 7.54 – 7.26 (m, 7 H), 4.92

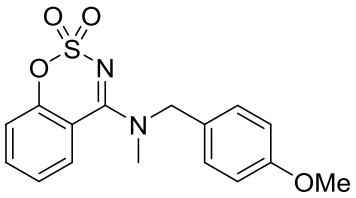
(s, 2 H), 3.38 (s, 3 H). **^{13}C NMR (100 MHz, DMSO-d_6):** δ 162.7, 153.7, 136.0, 130.1, 129.3, 128.3, 127.1, 125.7, 120.3, 114.0, 57.8, 54.5. **HRMS** (ESI-TOF) m/z Calcd for $C_{15}H_{14}N_2NaO_3S$ $[M+Na]^+$ 325.0617, found 325.0613.

4-(Methyl(4-methylbenzyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3av)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and N-methyl-1-(p-tolyl)methanamine **2av** (20.9 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3av** (58.1 mg, 92%) as a white solid. **M. p**.: 117.4 – 120.5 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.61 (t, *J* = 8.0 Hz, 2 H), 7.35 (d, *J* = 8.0 Hz, 1 H), 7.28 – 7.16 (m, 5 H), 4.84 (s, 2 H), 3.20 (s, 3 H), 2.39 (s, 3 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 154.2, 138.3, 135.1, 131.7, 130.0, 127.9, 124.8, 120.5, 113.6, 38.9, 29.7, 21.2. **HRMS** (ESI-TOF) m/z Calcd for $C_{16}H_{16}N_2NaO_3S$ $[M+Na]^+$ 339.0774, found 339.0770.

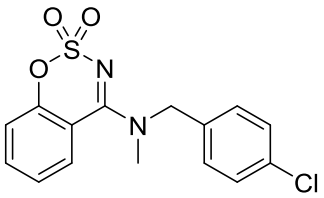
4-((4-Methoxybenzyl)(methyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3aw)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 1-(4-methoxyphenyl)-N-methylmethanamine **2aw** (36.3 mg,

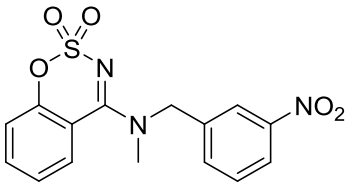
0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3aw** (59.9 mg, 90%) as a white solid. **M. p**.: 143.7 – 146.3 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.64 – 7.59 (m, 2 H), 7.33 (dd, *J* = 8.4, 1.2 Hz, 1 H), 7.29 – 7.20 (m, 3 H), 6.95 (d, *J* = 8.0 Hz, 2 H), 4.82 (s, 2 H), 3.83 (s, 3 H), 3.19 (s, 3 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 159.7, 154.2, 135.1, 128.0, 126.6, 124.8, 120.5, 114.7, 113.7, 55.4, 38.7. **HRMS** (ESI-TOF) m/z Calcd for $C_{16}H_{16}N_2NaO_4S$ $[M+Na]^+$ 355.0723, found 355.0719.

4-((4-Chlorobenzyl)(methyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3ax)



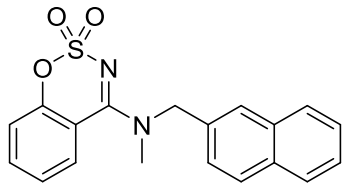
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 1-(4-chlorophenyl)-N-methylmethanamine **2ax** (37.4 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ax** (61.8 mg, 92%) as a white solid. **M. p**.: 193.1 – 195.8 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.67 – 7.53 (m, 2 H), 7.44 – 7.20 (m, 6 H), 4.85 (s, 2 H), 3.22 (s, 3 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 154.3, 135.3, 134.4, 133.3, 129.5, 124.9, 120.6, 113.5, 45.8, 29.3. **HRMS** (ESI-TOF) m/z Calcd for $C_{15}H_{13}ClN_2NaO_3S$ $[M+Na]^+$ 359.0228, found 359.0226.

4-(Methyl(3-nitrobenzyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3ay)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and N-methyl-1-(3-nitrophenyl)methanamine **2ay** (39.9 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3ay** (52.7 mg, 76%) as a yellow solid. **M. p**.: 168.2 – 171.8 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 8.32 – 8.10 (m, 3 H), 7.87 (d, *J* = 8.0 Hz, 1 H), 7.82 – 7.70 (m, 2 H), 7.51 (dd, *J* = 8.0, 1.2 Hz, 1 H), 7.45 (s, 1 H), 5.06 (s, 2 H), 3.36 (s, 3 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 153.7, 148.6, 138.3, 136.1, 134.9, 130.8, 130.1, 125.7, 123.1, 120.3, 114.0, 54.1, 41.4. **HRMS** (ESI-TOF) m/z Calcd for $C_{15}H_{13}N_3NaO_5S$ $[M+Na]^+$ 370.0468, found 370.0465.

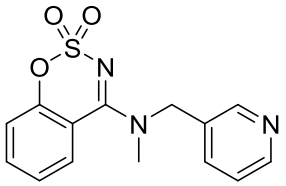
4-(Methyl(naphthalen-1-ylmethyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3az)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and N-methyl-1-(naphthalen-1-yl)methanamine **2az** (41.1 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3az** (38.6 mg, 55%) as a yellow solid. **M. p**.: 213.8 – 216.9 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 8.15 – 7.95 (m, 3 H), 7.90 – 7.11 (m, 8 H), 5.43 (s, 2

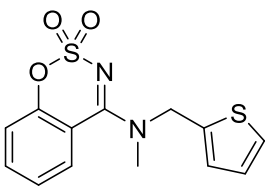
H), 3.41 (s, 3 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 153.7, 136.1, 134.0, 129.3, 128.8, 127.2, 126.7, 126.2, 125.8, 123.2, 120.4, 114.0, 56.4, 52.8. **HRMS** (ESI-TOF) m/z Calcd for $C_{19}H_{16}N_2NaO_3S$ $[M+Na]^+$ 375.0774, found 375.0770.

4-(Methyl(pyridin-3-ylmethyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2- dioxide (3aaa)



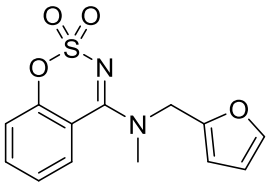
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and N-methyl-1-(pyridin-3-yl)methanamine **2aaa** (29.3 mg, 0.24 mmol). After 3.5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3aaa** (52.2 mg, 86%) as a white solid. **M. p**.: 169.3 – 172.6 °C. **^{1}H NMR (400 MHz, CDCl$_3$)**: δ 8.62 (t, *J* = 2.4 Hz, 2 H), 7.79 (d, *J* = 8.0 Hz, 1 H), 7.68 – 7.61 (m, 2 H), 7.40 – 7.27 (m, 3 H), 4.91 (s, 2 H), 3.27 (s, 3 H). **^{13}C NMR (100 MHz, CDCl$_3$):** δ 163.6, 154.3, 149.9, 149.4, 135.4, 130.7, 128.1, 124.9, 124.1, 120.5, 113.4, 39.4, 29.3. **HRMS** (ESI-TOF) m/z Calcd for $C_{14}H_{14}N_3O_3S$ $[M+H]^+$ 304.0750, found 304.0749.

4-(Methyl(thiophen-2-ylmethyl)amino)benzo[*e*][1,2,3]oxathiazine-2,2-dioxide (3aab)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and N-methyl-1-(thiophen-2-yl)methanamine **2aab** (30.5 mg, 0.24 mmol). After 3.5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3aab** (49.2 mg, 80%) as a white solid. **M. p**.: 109.2 – 112.5 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.71 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.65 – 7.60 (m, 1 H), 7.35 – 7.25 (m, 3 H), 7.13 (d, *J* = 3.6 Hz, 1 H), 7.02 (dd, *J* = 5.2, 3.6 Hz, 1 H), 4.96 (s, 2 H), 3.28 (s, 3 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 154.3, 135.3, 128.2, 127.0, 126.8, 124.9, 120.4, 113.5, 63.5, 38.9. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{12}N_2NaO_3S_2$ $[M+Na]^+$ 331.0182, found 331.0180.

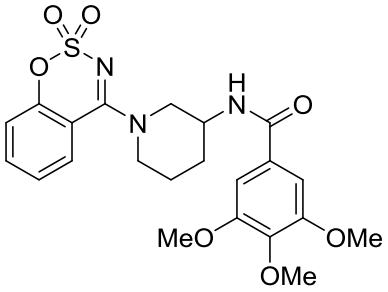
4-((Furan-2-ylmethyl)(methyl)amino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (3aac)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 1-(furan-2-yl)-N-methylmethanamine **2aac** (26.7 mg, 0.24 mmol). After 3.5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **3aac** (33.2 mg, 57%) as a yellow solid. **M. p**.: 124.8 – 127.3 °C. **^{1}H NMR (600 MHz, $CDCl_3$)**: δ 7.85 (s, 1 H), 7.63 (t, *J* = 7.8 Hz, 1 H), 7.46 (s, 1 H), 7.33 (t, *J* = 8.4 Hz, 2 H), 6.48 (s, 1 H), 6.42 (s, 1 H), 4.77 (s, 2 H), 3.24 (s, 3 H). **^{13}C NMR (150 MHz, $CDCl_3$):** δ 163.4, 154.2, 148.3, 143.4, 135.2, 128.5, 124.9, 120.4, 113.6, 110.9, 110.6, 50.3, 38.5. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{12}N_2NaO_4S$ $[M+Na]^+$

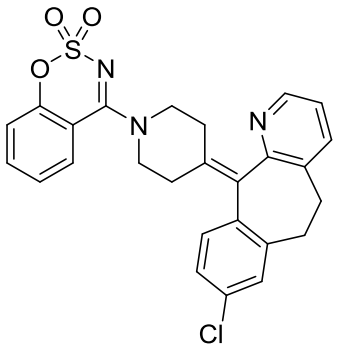
315.0410, found 315.0411.

_N_-(1-(2,2-Dioxidobenzo[_e_][1,2,3]oxathiazin-4-yl)piperidin-3-yl)-3,4,5-trimethoxybenzamide (5a)



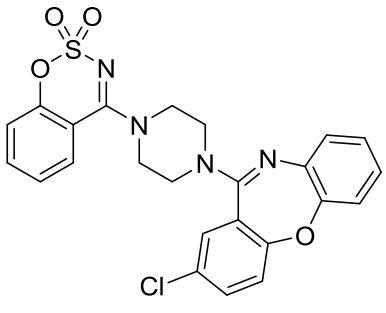
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 3,4,5-trimethoxy-*N*-(piperidin-3-yl)benzamide **4a** (70.6 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **5a** (62.9 mg, 66%) as a yellow solid. **M. p**.: 136.8 – 139.4 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.76 (s, 1 H), 7.62 – 7.55 (m, 1 H), 7.37 (t, *J* = 7.6 Hz, 1 H), 7.22 (d, *J* = 8.4 Hz, 1 H), 6.98 (s, 2 H), 6.69 (s, 1 H), 4.23 (s, 2 H), 4.12 (s, 1 H), 3.86 (s, 6 H), 3.84 (s, 3 H), 3.50 (s, 1 H), 3.36 (s, 1 H), 2.17 – 1.70 (m, 4 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 167.1, 163.7, 154.1, 153.1, 141.1, 135.5, 129.1, 128.8, 125.4, 120.2, 112.9, 104.5, 60.9, 56.3, 47.2, 29.3, 23.2. **HRMS** (ESI-TOF) m/z Calcd for $C_{22}H_{25}N_3NaO_7S$ $[M+Na]^+$ 498.1305, found 498.1304.

4-(4-(8-Chloro-5,6-dihydro-11*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridin-11-ylidene)piperidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (5b)



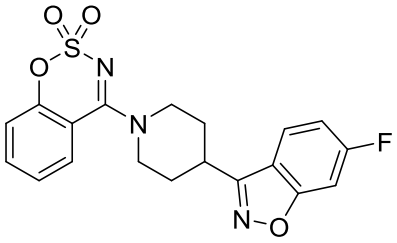
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 8-chloro-11-(piperidin-4-ylidene)-6,11-dihydro-5*H*-benzo [5,6]cyclohepta[1,2-*b*]pyridine **4b** (74.6 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **5b** (80.8 mg, 82%) as a yellow solid. **^{1}H NMR (400 MHz, CDCl$_3$)**: δ 8.40 (d, *J* = 4.0 Hz, 1 H), 7.63 – 7.55 (m, 2 H), 7.47 (d, *J* = 7.6 Hz, 1 H), 7.32 – 7.27 (m, 2 H), 7.21 – 7.10 (m, 4 H), 4.14 – 4.02 (m, 2 H), 3.64 – 3.52 (m, 2 H), 3.44 – 3.29 (m, 2 H), 2.94 – 2.77 (m, 3 H), 2.69 – 2.59 (m, 1 H), 2.55 – 2.46 (m, 2 H). **^{13}C NMR (100 MHz, CDCl$_3$):** δ 162.8, 156.3, 154.3, 146.7, 139.8, 137.9, 137.3, 135.8, 135.2, 134.8, 133.5, 133.3, 130.3, 129.1, 128.3, 126.3, 124.8, 122.6, 120.5, 113.3, 49.1, 31.6, 31.5, 30.2. The spectral data are in accordance with those reported in the literature[1].

4-(4-(2-Chlorodibenzo[*b,f*][1,4]oxazepin-11-yl)piperazin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (5c)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 2-chloro-11-(piperazin-1-yl)dibenzo[*b*,*f*][1,4]oxazepine **4c** (75.3 mg, 0.24 mmol). After 4.5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **5c** (88.9 mg, 90%) as a white solid. **M. p**.: 245.1 – 248.3 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 7.91 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.82 – 7.76 (m, 1 H), 7.64 (dd, *J* = 8.8, 2.4 Hz, 1 H), 7.56 (d, *J* = 2.4 Hz, 1 H), 7.53 – 7.41 (m, 3 H), 7.22 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.15 – 7.09 (m, 2 H), 7.06 – 7.00 (m, 1 H), 4.02 (s, 4 H), 3.76 (s, 4 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 162.5, 159.1, 158.1, 153.9, 151.7, 140.3, 136.0, 133.6, 130.1, 129.8, 129.3, 127.0, 126.4, 125.8, 124.9, 124.7, 123.6, 120.8, 120.3, 113.6, 46.2, 29.2. **HRMS** (ESI-TOF) m/z Calcd for $C_{24}H_{20}ClN_4O_4S$ $[M+H]^+$ 495.0888, found 495.0888.

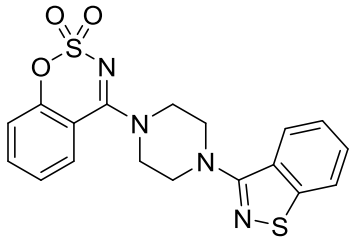
4-(4-(6-Fluorobenzo[*d*]isoxazol-3-yl)piperidin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (5d)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 6-fluoro-3-(piperidin-4-yl)benzo[*d*]isoxazole **4d** (52.9 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **5d** (48.0 mg, 60%) as a white solid. **M. p**.: 165.4 – 168.7 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 8.13 (dd, *J* = 9.0, 5.4 Hz, 1 H), 7.91 (dd, *J* = 7.8, 1.8

Hz, 1 H), 7.80 – 7.76 (m, 1 H), 7.72 (dd, *J* = 9.0, 2.4 Hz, 1 H), 7.51 – 7.46 (m, 2 H), 7.32 (td, *J* = 9.0, 1.8 Hz, 1 H), 4.40 (s, 2 H), 3.70 – 3.63 (m, 2 H), 3.55 (s, 1 H), 2.29 – 2.21 (m, 2 H), 2.10 (s, 2 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 164.2 (d, $^{1}J_{C\text{-}F}$ = 247.0 Hz), 163.6 (d, $^{3}J_{C\text{-}F}$ = 14.0 Hz), 162.6, 161.0, 153.9, 136.0, 129.8, 125.8, 124.4 (d, $^{3}J_{C\text{-}F}$ = 12.0 Hz), 120.4, 117.6, 113.7, 113.1 (d, $^{2}J_{C\text{-}F}$ = 26.0 Hz), 97.9 (d, $^{2}J_{C\text{-}F}$ = 28.0 Hz), 33.2, 29.9. **^{19}F NMR (565 MHz, DMSO-*d*$_6$):** -109.57. **HRMS** (ESI-TOF) m/z Calcd for $C_{19}H_{16}FN_3NaO_4S$ $[M+Na]^+$ 424.0738, found 424.0736.

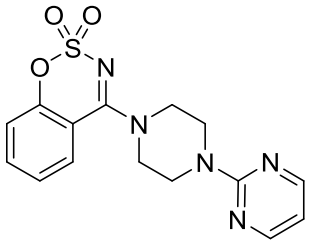
4-(4-(Benzo[*d*]isothiazol-3-yl)piperazin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (5e)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 3-(piperazin-1-yl)benzo[*d*]isothiazole **4e** (52.6 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **5e** (61.0 mg, 76%) as a yellow solid. **M. p**.: 241.6 – 244.7 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 8.15 (d, *J* = 8.4 Hz, 1 H), 8.09 (d, *J* = 8.0 Hz, 1 H), 7.94 (dd, *J* = 7.6, 1.2 Hz, 1 H), 7.82 – 7.77 (m, 1 H), 7.62 – 7.56 (m, 1 H), 7.53 – 7.45 (m, 3 H), 4.07 (s, 4 H), 3.73 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 163.0, 162.6, 153.9, 152.6, 136.1, 129.8, 128.5, 127.6, 125.8, 125.0, 124.6, 121.6, 120.4, 113.6, 49.1, 46.0. **HRMS** (ESI-TOF) m/z Calcd for $C_{18}H_{17}N_4O_3S_2$ $[M+H]^+$ 401.0737,

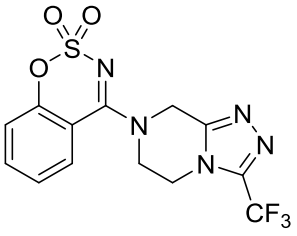
found 401.0731.

4-(4-(Pyrimidin-2-yl)piperazin-1-yl)benzo[*e*][1,2,3]oxathiazine 2,2- dioxide (5f)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 2-(piperazin-1-yl)pyrimidine **4f** (39.4 mg, 0.24 mmol). After 3.5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **5f** (55.3 mg, 80%) as a white solid. **M. p**.: 245.3 – 248.7 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 8.43 (d, *J* = 4.8 Hz, 2 H), 7.92 (dd, *J* = 7.6, 1.2 Hz, 1 H), 7.82 – 7.77 (m, 1 H), 7.53 – 7.46 (m, 2 H), 6.71 (t, *J* = 4.8 Hz, 1 H), 3.95 (s, 8 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 162.5, 161.3, 158.5, 153.8, 136.0, 129.8, 125.8, 120.3, 113.6, 111.1, 43.0, 29.6. **HRMS** (ESI-TOF) m/z Calcd for $C_{15}H_{16}N_5O_3S$ $[M+H]^+$ 346.0968, found 346.0966.

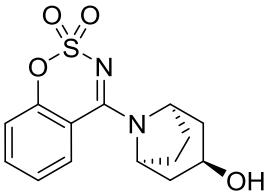
4-(3-(Trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-*a*]pyrazin-7(8*H*)-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (5g)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a**

(36.6 mg, 0.2 mmol) and 3-(trifluoromethyl)-5,6,7,8-tetrahydro-[1,2,4]triazolo[4,3-*a*] pyrazine **4g** (46.1 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **5g** (40.4 mg, 54%) as a white solid. **M. p**.: 269.8 – 272.6 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 7.98 (d, *J* = 8.4 Hz, 1 H), 7.82 (t, *J* = 7.8 Hz, 1 H), 7.54 – 7.49 (m, 2 H), 5.22 (s, 2 H), 4.42 (s, 2 H), 4.26 (t, *J* = 5.4 Hz, 2 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 167.2, 161.5, 152.6, 135.8 (d, $J_{C\text{-}F}$ = 13.5 Hz), 132.1 (d, $J_{C\text{-}F}$ = 466.5 Hz), 126.5, 125.4 (q, $J_{C\text{-}F}$ = 15.0 Hz), 124.0, 123.4 (q, $J_{C\text{-}F}$ = 75.0 Hz), 122.3 (d, $^{1}J_{C\text{-}F}$ = 4.5 Hz), 47.7, 39.1, 5.3. **^{19}F NMR (565 MHz, DMSO-*d*$_6$):** -73.34. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{11}F_3N_5O_3S$ $[M+H]^+$ 374.0529, found 374.0528.

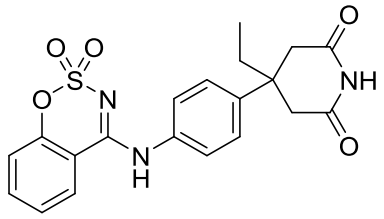
4-((1*R*,3*R*,5*S*)-3-Hydroxy-8-azabicyclo[3.2.1]octan-8-yl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (5h)



The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and (1*R*,3*R*,5*S*)-8-azabicyclo[3.2.1]octan-3-ol **4h** (30.5 mg, 0.24 mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **5h** (54.0 mg, 88%) as a white solid. **M. p**.: 229.4 – 232.6 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 7.85 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.79 – 7.73 (m, 1 H), 7.49 – 7.42 (m, 2 H), 4.83 (d, *J* = 6.8 Hz, 2 H), 4.72 (d, *J* = 7.2 Hz, 1 H), 4.02 (t, *J* = 4.8 Hz, 1 H), 2.38 – 2.27 (m, 2 H), 2.23 – 2.12 (m, 2 H), 2.10 – 2.00 (m, 1 H), 1.89 (t, *J* = 12.4

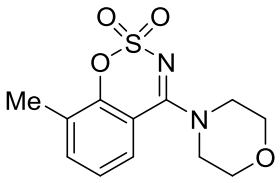
Hz, 3 H). **^{13}C NMR (100 MHz, DMSO-d_6):** δ 157.8, 153.6, 135.8, 128.9, 126.0, 120.2, 114.0, 63.0, 59.1, 55.2, 38.2, 28.4, 25.8. **HRMS** (ESI-TOF) m/z Calcd for $C_{14}H_{16}N_2NaO_4S$ $[M+Na]^+$ 331.0723, found 331.0724.

4-(4-((2,2-Dioxidobenzo[*e*][1,2,3]oxathiazin-4-yl)amino)phenyl)-4-ethylpiperidine-2,6-dione (5i)



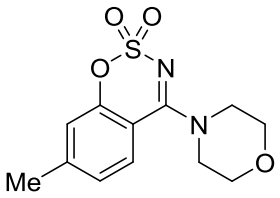
The general procedure was followed using benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (36.6 mg, 0.2 mmol) and 4-(4-aminophenyl)-4-ethylpiperidine-2,6-dione **4i** (55.7 mg, 0.24 mmol). After 4.5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **5i** (45.6 mg, 55%) as a yellow solid. **M. p**.: 155.8 – 159.2 °C. **^{1}H NMR (400 MHz, DMSO-d_6)**: δ 10.93 (s, 1 H), 10.90 (s, 1 H), 8.31 (d, *J* = 7.2 Hz, 1 H), 7.87 – 7.82 (m, 1 H), 7.70 (d, *J* = 8.4 Hz, 2 H), 7.58 – 7.53 (m, 1 H), 7.49 (dd, *J* = 8.4, 1.2 Hz, 1 H), 7.42 (d, *J* = 8.8 Hz, 2 H), 2.55 – 2.33 (m, 2 H), 2.26 – 2.13 (m, 2 H), 1.97 – 1.83 (m, 2 H), 0.80 (t, *J* = 7.2 Hz, 3 H). **^{13}C NMR (100 MHz, DMSO-d_6):** δ 176.1, 173.2, 158.7, 153.4, 138.5, 136.8, 135.8, 127.5, 127.4, 126.0, 124.5, 119.7, 113.1, 50.5, 32.5, 29.6, 26.6, 9.43. **HRMS** (ESI-TOF) m/z Calcd for $C_{20}H_{20}N_3O_5S$ $[M+H]^+$ 414.1118, found 414.1114.

8-Methyl-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6a)



The general procedure was followed using 8-methylbenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1b** (39.4 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6a** (52.0 mg, 92%) as a white solid. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.49 (d, *J* = 7.8 Hz, 1 H), 7.38 (dd, *J* = 7.8, 1.2 Hz, 1 H), 7.22 (t, *J* = 7.8 Hz, 1 H), 3.89 – 3.84 (m, 4 H), 3.83 – 3.79 (m, 4 H), 2.39 (s, 3 H). **^{13}C NMR (150 MHz, CDCl$_3$):** δ 163.7, 152.7, 136.7, 130.4, 125.7, 124.3, 112.6, 66.5, 49.3, 15.4. The spectral data are in accordance with those reported in the literature[1].

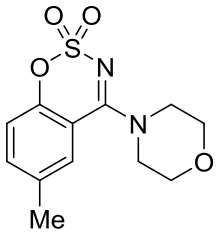
7-Methyl-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6b)



The general procedure was followed using 7-methylbenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1c** (39.4 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6b** (47.8 mg, 85%) as a white solid. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.43 (d, *J* = 7.8 Hz, 1 H), 7.16 (s, 1 H), 7.12 (d, *J* = 7.8 Hz, 1 H), 3.88 – 3.85 (m, 4 H), 3.83 – 3.80 (m, 4 H), 2.46 (s, 3 H). **^{13}C NMR (150 MHz, CDCl$_3$):** δ 163.3, 154.5, 147.4, 127.9, 125.9, 120.8, 110.2, 66.5, 49.0, 21.9. The spectral data are in accordance with those reported

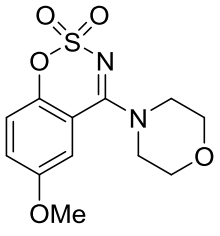
in the literature[1].

6-Methyl-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6c)



The general procedure was followed using 6-methylbenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1d** (39.4 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6c** (54.6 mg, 97%) as a white solid. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.44 (dd, *J* = 8.4, 1.8 Hz, 1 H), 7.31 (d, *J* = 1.8 Hz, 1 H), 7.25 (d, *J* = 8.4 Hz, 1 H), 3.88 (t, *J* = 4.8 Hz, 4 H), 3.82 (t, *J* = 4.8 Hz, 4 H), 2.41 (s, 3 H). **^{13}C NMR (150 MHz, CDCl$_3$):** δ 163.3, 152.4, 136.2, 134.9, 128.0, 120.4, 112.6, 66.5, 49.2, 21.1. The spectral data are in accordance with those reported in the literature[1].

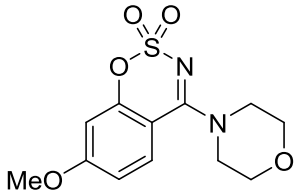
6-Methoxy-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6d)



The general procedure was followed using 6-methoxybenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1e** (42.6 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6d**

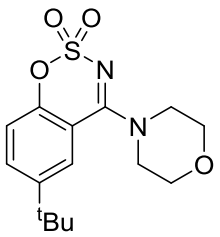
(37.1 mg, 62%) as a white solid. **^{1}H NMR (600 MHz, DMSO-d_6)**: δ 7.45 (d, *J* = 9.0 Hz, 1 H), 7.36 (dd, *J* = 9.0, 3.0 Hz, 1 H), 7.28 (d, *J* = 2.4 Hz, 1 H), 3.91 – 3.86 (m, 4 H), 3.85 (s, 3 H), 3.77 – 3.73 (m, 4 H). **^{13}C NMR (150 MHz, DMSO-d_6):** δ 162.3, 156.2, 147.5, 112.0, 121.5, 113.9, 113.6, 66.1, 56.5. The spectral data are in accordance with those reported in the literature[1].

7-Methoxy-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6e)



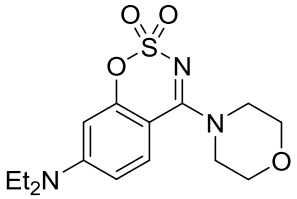
The general procedure was followed using 7-methoxybenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1f** (42.6 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6e** (54.9 mg, 92%) as a yellow solid. **M. p**.: 195.2 – 198.5 °C. **^{1}H NMR (600 MHz, DMSO-d_6)**: δ 7.77 (d, *J* = 9.0 Hz, 1 H), 7.10 (d, *J* = 3.0 Hz, 1 H), 6.98 (dd, *J* = 9.0, 3.0 Hz, 1 H), 3.90 (s, 3 H), 3.80 (t, *J* = 4.2 Hz, 4 H), 3.74 (t, *J* = 4.2 Hz, 4 H). **^{13}C NMR (150 MHz, DMSO-d_6):** δ 165.0, 162.6, 156.1, 131.1, 112.7, 105.7, 104.9, 66.1, 56.9, 40.5. **HRMS** (ESI-TOF) m/z Calcd for $C_{12}H_{14}N_2NaO_5S$ $[M+Na]^+$ 321.0516, found 321.0522.

6-(*tert*-Butyl)-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6f)



The general procedure was followed using 6-(*tert*-butyl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1g** (47.9 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6f** (58.3 mg, 90%) as a yellow solid. **^{1}H NMR (400 MHz, CDCl$_3$)**: δ 7.68 (dd, *J* = 8.4, 2.4 Hz, 1 H), 7.52 (d, *J* = 2.0 Hz, 1 H), 7.27 (d, *J* = 8.8 Hz, 1 H), 3.90 – 3.86 (m, 4 H), 3.84 – 3.80 (m, 4 H), 1.34 (s, 9 H). **^{13}C NMR (100 MHz, CDCl$_3$):** δ 163.6, 152.2, 148.1, 132.9, 124.8, 120.0, 112.0, 66.4, 49.3, 34.8, 31.2. The spectral data are in accordance with those reported in the literature[1].

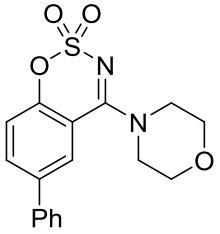
7-(Diethylamino)-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6g)



The general procedure was followed using 7-(diethylamino)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1h** (50.9 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6g** (38.1 mg, 56%) as a yellow solid. **M. p**.: 192.4 – 195.6 °C. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.30 (d, *J* = 9.6 Hz, 1 H), 6.47 (dd, *J* = 9.6, 3.0 Hz, 1 H), 6.41 (d, *J* = 2.4 Hz, 1 H), 3.79 (s, 8 H), 3.42 (q, *J* = 7.2 Hz, 4 H), 1.22 (t, *J* = 7.2 Hz, 6 H). **^{13}C NMR (150 MHz, CDCl$_3$):** δ 164.1, 156.9, 152.7, 129.6, 107.7, 100.4, 99.1, 66.6, 66.0, 49.2, 46.3,

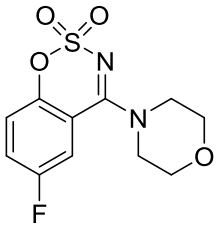
44.9, 12.4. **HRMS** (ESI-TOF) m/z Calcd for $C_{15}H_{21}N_3NaO_4S$ $[M+Na]^+$ 362.1145, found 362.1146.

4-Morpholino-6-phenylbenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6h)



The general procedure was followed using 6-phenylbenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1i** (51.9 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6h** (57.2 mg, 83%) as a white solid. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 8.07 – 8.02 (m, 2 H), 7.77 – 7.72 (m, 2 H), 7.59 (d, *J* = 8.4 Hz, 1 H), 7.53 (t, *J* = 7.2 Hz, 2 H), 7.47 – 7.42 (m, 1 H), 3.93 (s, 4 H), 3.76 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 162.1, 153.2, 138.6, 137.8, 134.2, 129.6, 128.6, 127.5, 121.0, 113.9, 66.1, 51.6. The spectral data are in accordance with those reported in the literature[1].

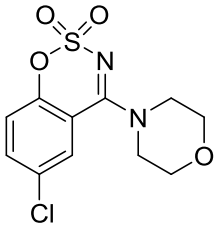
6-Fluoro-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6i)



The general procedure was followed using 6-fluorobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1j** (40.0 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 4

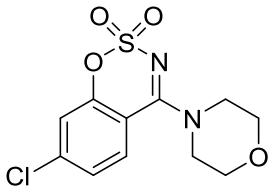
h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6i** (34.3 mg, 60%) as a yellow solid. **M. p**.: 269.8 – 272.6 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 7.74 (dd, *J* = 8.8, 2.8 Hz, 1 H), 7.69 (td, *J* = 8.8, 2.8 Hz, 1 H), 7.59 (dd, *J* = 8.8, 4.8 Hz, 1 H), 3.85 (s, 4 H), 3.74 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 161.3, 158.4 (d, $^{1}J_{C\text{-}F}$ = 242.0 Hz), 150.1, 123.1 (d, $^{2}J_{C\text{-}F}$ = 24.0 Hz), 122.5 (d, $^{3}J_{C\text{-}F}$ = 8.0 Hz), 116.2 (d, $^{2}J_{C\text{-}F}$ = 26.0 Hz), 114.5 (d, $^{3}J_{C\text{-}F}$ = 8.0 Hz), 66.0, 55.4. **^{19}F NMR (376 MHz, DMSO-*d*$_6$):** -114.73. **HRMS** (ESI-TOF) m/z Calcd for $C_{11}H_{12}FN_2O_4S$ $[M+H]^+$ 287.0496, found 287.0494.

6-Chloro-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6j)



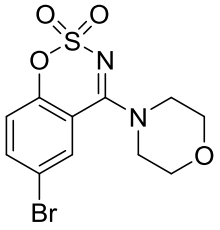
The general procedure was followed using 6-chlorobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1k** (43.5 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 9 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6j** (49.5 mg, 82%) as a white solid. **^{1}H NMR (600 MHz, CDCl$_3$)**: δ 7.92 (d, *J* = 2.4 Hz, 1 H), 7.85 (dd, *J* = 9.0, 2.4 Hz, 1 H), 7.57 (d, *J* = 9.0 Hz, 1 H), 3.85 (s, 4 H), 3.74 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (150 MHz, CDCl$_3$):** δ 165.8, 157.4, 140.5, 134.5, 133.8, 133.7, 127.2, 119.8, 70.8, 33.8. The spectral data are in accordance with those reported in the literature[1].

7-Chloro-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6k)



The general procedure was followed using 7-chlorobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1l** (43.5 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 9 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6k** (30.3 mg, 50%) as a white solid. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 7.87 (d, *J* = 8.4 Hz, 1 H), 7.76 (d, *J* = 2.0 Hz, 1 H), 7.52 (dd, *J* = 8.4, 2.0 Hz, 1 H), 3.85 – 3.79 (m, 4 H), 3.74 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 161.1, 154.1, 139.4, 130.7, 125.5, 120.1, 112.0, 65.6, 29.0. The spectral data are in accordance with those reported in the literature[1].

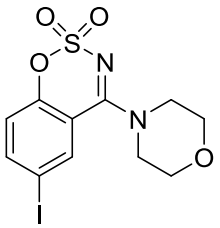
6-Bromo-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6l)



The general procedure was followed using 6-bromobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1m** (52.0 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6l** (59.1 mg, 85%) as a yellow solid. **M. p**.: 243.6 – 246.8 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 8.03 (d, *J* = 1.8 Hz, 1 H), 7.97 (dd, *J* = 8.4, 1.8 Hz, 1 H), 7.50 (d, *J* = 8.4 Hz, 1 H), 3.86 (s, 4 H), 3.74 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ

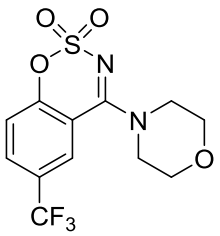
160.9, 153.1, 138.5, 131.7, 122.6, 117.5, 115.4, 66.0, 46.1. **HRMS** (ESI-TOF) m/z Calcd for $C_{11}H_{11}BrN_2NaO_4S$ $[M+Na]^+$ 368.9515, found 368.9514.

6-Iodo-4-morpholinobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6m)



The general procedure was followed using 6-iodobenzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1n** (61.8 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6m** (49.0 mg, 62%) as a yellow solid. **M. p**.: 213.7 – 216.4 °C. **^{1}H NMR (400 MHz, DMSO-*d*$_6$)**: δ 8.12 (d, *J* = 2.0 Hz, 1 H), 8.09 (dd, *J* = 8.4, 2.0 Hz, 1 H), 7.31 (d, *J* = 8.8 Hz, 1 H), 3.84 (t, *J* = 4.8 Hz, 4 H), 3.73 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (100 MHz, DMSO-*d*$_6$):** δ 160.8, 153.7, 144.2, 137.3, 122.5, 115.6, 89.7, 66.0, 47.2. **HRMS** (ESI-TOF) m/z Calcd for $C_{11}H_{12}IN_2O_4S$ $[M+H]^+$ 394.9557, found 394.9557.

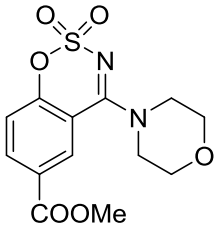
4-Morpholino-6-(trifluoromethyl)benzo[*e*][1,2,3]oxathiazine 2,2-dioxide (6n)



The general procedure was followed using 6-(trifluoromethyl)benzo[*e*][1,2,3] oxathiazine 2,2-dioxide **1o** (50.2 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24

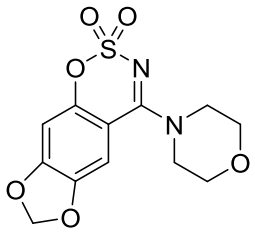
mmol). After 6 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6n** (30.3 mg, 45%) as a yellow solid. **M. p**.: 190.7 – 193.4 °C. **^{1}H NMR (600 MHz, $CDCl_3$)**: δ 7.90 (dd, *J* = 9.0, 2.4 Hz, 1 H), 7.80 (d, *J* = 1.8 Hz, 1 H), 7.50 (d, *J* = 9.0 Hz, 1 H), 3.90 (t, *J* = 4.8 Hz, 4 H), 3.85 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (150 MHz, $CDCl_3$):** δ 161.8, 156.9, 132.1 (q, $^{3}J_{C\text{-}F}$ = 3.0 Hz), 127.5 (q, $^{2}J_{C\text{-}F}$ = 34.5 Hz), 125.5 (q, $^{3}J_{C\text{-}F}$ = 3.0 Hz), 123.8, 121.8, 113.1, 66.4, 29.7. **^{19}F NMR (565 MHz, $CDCl_3$):** -62.41. **HRMS** (ESI-TOF) m/z Calcd for $C_{12}H_{11}F_3N_2NaO_4S$ $[M+Na]^+$ 359.0284, found 359.0284.

Methyl 4-morpholinobenzo[*e*][1,2,3]oxathiazine-6-carboxylate 2,2-dioxide (6o)



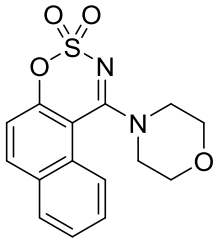
The general procedure was followed using methyl benzo[*e*][1,2,3]oxathiazine -6-carboxylate 2,2-dioxide **1p** (48.2 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 4 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6o** (40.3 mg, 62%) as a white solid. **M. p**.: 231.2 – 234.7 °C. **^{1}H NMR (600 MHz, DMSO-d_6)**: δ 8.34 (d, *J* = 1.8 Hz, 1 H), 8.27 (dd, *J* = 8.4, 1.8 Hz, 1 H), 7.64 (d, *J* = 8.4 Hz, 1 H), 3.91 (s, 3 H), 3.89 (s, 4 H), 3.75 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (150 MHz, DMSO-d_6):** δ 165.1, 161.3, 157.1, 136.1, 130.7, 127.0, 121.1, 113.7, 66.0, 53.2, 46.4. **HRMS** (ESI-TOF) m/z Calcd for $C_{13}H_{14}N_2NaO_6S$ $[M+Na]^+$ 349.0465, found 349.0458.

4-Morpholino-[1,3]dioxolo[4',5':4,5]benzo[1,2-*e*][1,2,3]oxathiazine 2,2 -dioxide (6p)



The general procedure was followed using [1,3]dioxolo[4',5':4,5]benzo[1,2-*e*][1,2,3] oxathiazine 2,2-dioxide **1q** (45.4 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6p** (36.2 mg, 58%) as a white solid. **M. p**.: 199.8 – 202.9 °C. **^{1}H NMR (600 MHz, DMSO-*d*$_6$)**: δ 7.32 (s, 1 H), 7.22 (s, 1 H), 6.24 (s, 2 H), 3.78 (t, *J* = 4.2 Hz, 4 H), 3.72 (t, *J* = 4.2 Hz, 4 H). **^{13}C NMR (150 MHz, DMSO-*d*$_6$):** δ 163.0, 153.3, 151.2, 145.2, 107.3, 105.9, 103.8, 101.7, 66.1, 49.9. **HRMS** (ESI-TOF) m/z Calcd for $C_{12}H_{12}KN_2O_6S$ $[M+K]^+$ 351.0048, found 351.0048.

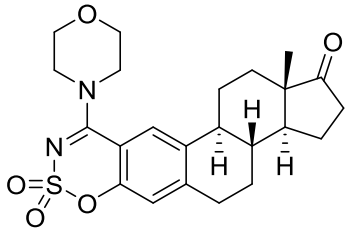
Morpholinonaphtho[1,2-*e*][1,2,3]oxathiazine 3,3-dioxide (6q)



The general procedure was followed using naphtho[1,2-*e*][1,2,3]oxathiazine 3,3-dioxide **1r** (46.6 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6q** (35.1 mg, 55%) as a white solid. **M. p**.: 241.3 – 244.1 °C. **^{1}H NMR (400 MHz,**

DMSO-d_6): δ 8.38 (d, *J* = 8.8 Hz, 1 H), 8.18 (d, *J* = 8.8 Hz, 1 H), 8.12 (d, *J* = 8.0 Hz, 1 H), 7.79 (t, *J* = 8.0 Hz, 1 H), 7.69 – 7.60 (m, 2 H), 4.10 – 3.44 (m, 7 H), 3.11 (s, 1 H). **^{13}C NMR (100 MHz, DMSO-d_6):** δ 162.9, 154.9, 137.6, 131.2, 129.9, 129.8, 128.5, 127.0, 125.1, 118.8, 108.6, 66.2, 65.6, 52.5, 47.0. **HRMS** (ESI-TOF) m/z Calcd for $C_{15}H_{14}N_2NaO_4S$ $[M+Na]^+$ 341.0566, found 341.0565.

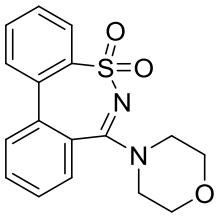
(3a*S*,3b*R*,11b*S*,13a*S*)-13a-Methyl-10-morpholino-2,3,3a,3b,4,5,11b,12,13,13a-decahydro-1*H*-cyclopenta[7,8]phenanthro[3,2-*e*][1,2,3]oxathiazin-1-one 8,8-dioxide (6r)



The general procedure was followed using (3a*S*,3b*R*,11b*S*,13a*S*)-13a-methyl-2,3,3a,3b,4,5,11b,12,13,13a-decahydro-1*H*-cyclopenta[7,8]phenanthro[3,2-*e*][1,2,3]oxathiazin-1-one 8,8-dioxide **1s** (71.9 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 3 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6r** (38.8 mg, 43%) as a white solid. **M. p**.: 225.6 – 228.3 °C. **^{1}H NMR (400 MHz, CDCl$_3$)**: δ 7.42 (s, 1 H), 7.08 (s, 1 H), 3.90 – 3.86 (m, 4 H), 3.84 – 3.80 (m, 4 H), 3.04 – 2.95 (m, 2 H), 2.54 (q, *J* = 8.8 Hz, 1 H), 2.35 – 2.28 (m, 2 H), 2.24 – 2.17 (m, 2 H), 2.14 – 2.09 (m, 1 H), 2.03 – 1.98 (m, 2 H), 1.66 – 1.59 (m, 2 H), 1.55 – 1.50 (m, 2 H), 0.92 (s, 3 H), 0.88 (t, *J* = 7.2 Hz, 1 H). **^{13}C NMR (100 MHz, CDCl$_3$):** δ 163.6, 152.3, 146.2, 137.2, 129.9, 124.9, 120.2, 110.3, 66.5, 50.3, 47.7, 43.8, 37.6,

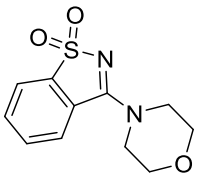
35.8, 31.9, 31.4, 29.8, 29.3, 27.2, 25.8, 22.7, 21.5, 13.8. **HRMS** (ESI-TOF) m/z Calcd for $C_{23}H_{28}N_2NaO_5S$ $[M+Na]^+$ 467.1611, found 467.1611.

7-Morpholinodibenzo[*d*,*f*][1,2]thiazepine 5,5-dioxide (6s)



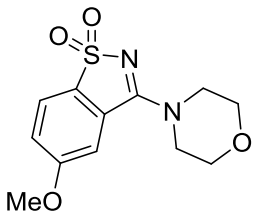
The general procedure was followed using dibenzo[*d*,*f*][1,2]thiazepine 5,5-dioxide **1t** (48.7 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 3.5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6s** (59.9 mg, 91%) as a white solid. **M. p.**: 192.1 – 195.4 °C. **^{1}H NMR (600 MHz, $CDCl_3$)**: δ 8.12 (d, *J* = 7.8 Hz, 1 H), 7.68 – 7.61 (m, 4 H), 7.54 (td, *J* = 7.8, 1.8 Hz, 1 H), 7.49 (td, *J* = 7.8, 1.8 Hz, 1 H), 7.45 (d, *J* = 7.8 Hz, 1 H), 4.00 – 3.94 (m, 1 H), 3.87 – 3.82 (m, 1 H), 3.76 – 3.71 (m, 1 H), 3.71 – 3.66 (m, 2 H), 3.59 – 3.52 (m, 1 H), 3.47 – 3.42 (m, 1 H), 3.25 – 3.20 (m, 1 H). **^{13}C NMR (150 MHz, $CDCl_3$):** δ 165.4, 144.0, 139.6, 135.4, 132.2, 131.7, 131.2, 129.8, 129.6, 129.1, 128.6, 128.0, 126.0, 66.9, 66.3, 50.3, 46.1. **HRMS** (ESI-TOF) m/z Calcd for $C_{17}H_{16}N_2NaO_3S$ $[M+Na]^+$ 351.0774, found 351.0774.

3-Morpholinobenzo[*d*]isothiazole 1,1-dioxide (6t)



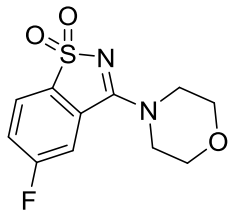
The general procedure was followed using benzo[*d*]isothiazole 1,1-dioxide **1u** (33.4 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 3.5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6t** (40.5 mg, 80%) as a yellow solid. **M. p**.: 228.1 – 231.4 °C. **^{1}H NMR (600 MHz, $CDCl_3$)**: δ 7.97 (d, *J* = 7.8 Hz, 1 H), 7.83 (d, *J* = 8.4 Hz, 1 H), 7.72 (t, *J* = 7.8 Hz, 1 H), 7.66 (td, *J* = 8.4, 1.2 Hz, 1 H), 4.06 (t, *J* = 4.8 Hz, 4 H), 3.89 (t, *J* = 4.8 Hz, 4 H). **^{13}C NMR (150 MHz, $CDCl_3$):** δ 160.6, 145.0, 133.1, 132.7, 127.9, 125.2, 123.0, 66.3, 48.3. **HRMS** (ESI-TOF) m/z Calcd for $C_{11}H_{12}N_2NaO_3S$ $[M+Na]^+$ 275.0461, found 275.0455.

5-Methoxy-3-morpholinobenzo[*d*]isothiazole 1,1-dioxide (6u)



The general procedure was followed using 5-methoxybenzo[*d*]isothiazole 1,1-dioxide **1v** (39.4 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 8 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6u** (35.5 mg, 63%) as a white solid. **M. p**.: 227.5 – 230.7 °C. **^{1}H NMR (400 MHz, DMSO-d_6)**: δ 7.94 (d, *J* = 8.4 Hz, 1 H), 7.58 (d, *J* = 2.0 Hz, 1 H), 7.36 (dd, *J* = 8.4, 2.0 Hz, 1 H), 3.92 (s, 4 H), 3.80 – 3.75 (m, 4 H), 3.34 (s, 3 H). **^{13}C NMR (100 MHz, DMSO-d_6):** δ 163.1, 159.8, 136.3, 129.9, 123.8, 118.0, 113.6, 66.1, 56.9, 48.1. **HRMS** (ESI-TOF) m/z Calcd for $C_{12}H_{14}N_2NaO_4S$ $[M+Na]^+$ 305.0566, found 305.0568.

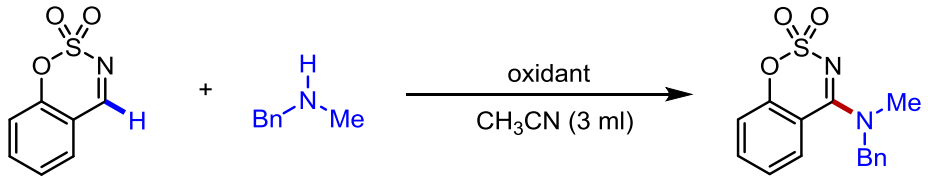
5-Fluoro-3-morpholinobenzo[*d*]isothiazole 1,1-dioxide (6v)



The general procedure was followed using 5-fluorobenzo[*d*]isothiazole 1,1-dioxide **1w** (37.0 mg, 0.2 mmol) and morpholine **2n** (20.9 mg, 0.24 mmol). After 7.5 h, purification by column chromatography on silica gel (PE/EA: 5/1 – 1/1) yielded **6v** (37.8 mg, 70%) as a white solid. **M. p**.: 218.7 – 221.8 °C. **^{1}H NMR (600 MHz, DMSO-d_6)**: δ 8.13 – 8.09 (m, 2 H), 7.71 (td, *J* = 8.4, 1.8 Hz, 1 H), 4.16 – 3.91 (m, 4 H), 3.80 – 3.77 (m, 4 H). **^{13}C NMR (150 MHz, DMSO-d_6):** δ 165.6, 164.0, 158.9, 140.6, 130.4 (d, $^{3}J_{C\text{-}F}$ = 10.5 Hz), 124.5 (d, $^{3}J_{C\text{-}F}$ = 10.5 Hz), 120.8 (d, $^{2}J_{C\text{-}F}$ = 24.0 Hz), 115.3 (d, $^{2}J_{C\text{-}F}$ = 27.0 Hz), 66.0, 48.2. **^{19}F NMR (565 MHz, DMSO-d_6):** -105.77. **HRMS** (ESI-TOF) m/z Calcd for $C_{11}H_{11}FN_2NaO_3S$ $[M+Na]^+$ 293.0367, found 293.0364.

8 Preliminary Mechanistic Studies

8.1 Control Experiments for the Investigation of Traditional Chemical Oxidants

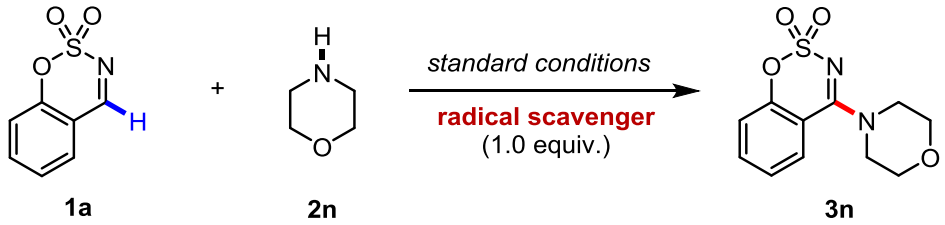


1a **2au** **3au**

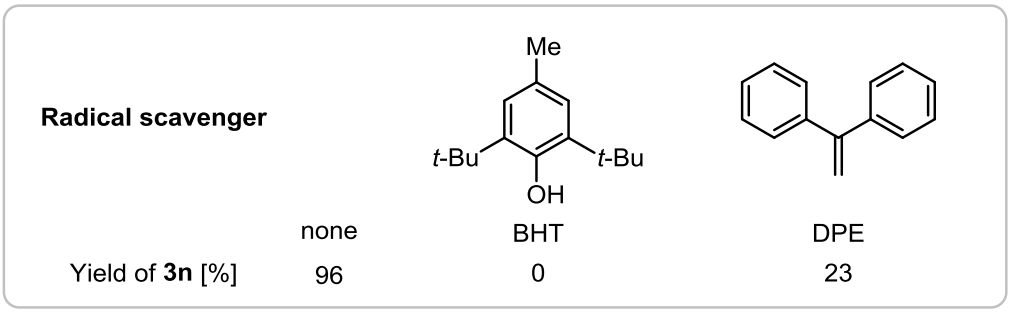
Entry	Conditions	Yield (%)
1	I_2 (2.0 equiv.), 27 °C	nr
2	NIS (2.0 equiv.), 27 °C	14
3	I_2 (50 mol%), TBHP (2.0 equiv.), 60 °C	17
4	DDQ (2.0 equiv.), air, 60 °C	<5
5	$PhI(OAc)_2$ (2.0 equiv.), 27 °C	27
6	CAN (2.0 equiv.), air, 60 °C	nr
7	PCC (2.0 equiv.), air, 60 °C	nr
8	$KMnO_4$ (2.0 equiv.), air, 60 °C	nr

Table S4 Control Experiments for the Investigation of Traditional Chemical Oxidants

8.2 Radical Scavenger Addition Experiments

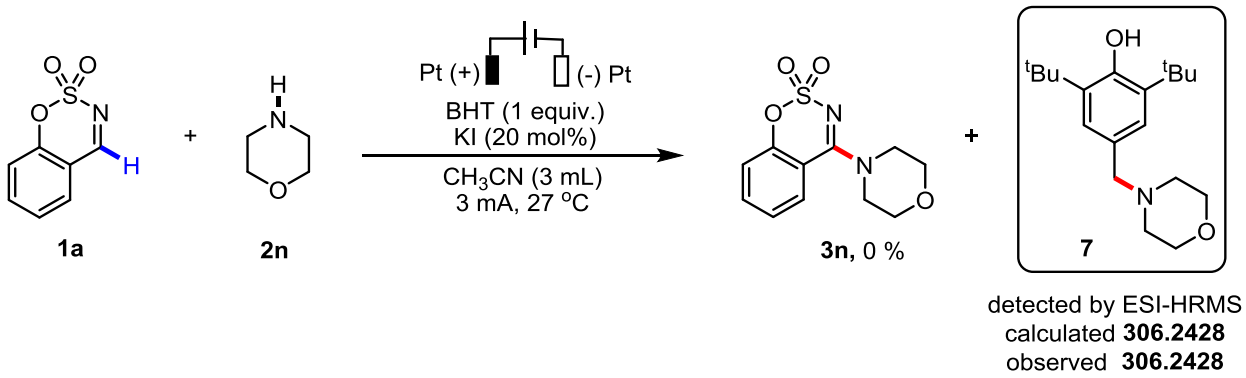


1a **2n** **3n**



Two parallel radical scavenger addition experiments were conducted under standard conditions using **1a** (36.6 mg, 0.2 mmol) and **2n** (20.9 mg, 0.24 mmol, 1.2 equiv.) as substrates with 1 equiv BHT (44.1 mg, 0.2 mmol), and 1,1-diphenylethylene (36.1 mg, 0.2 mmol) respectively. The electrochemical C-H amination was completely inhibited.

8.3 Radical-Trapping Experiment



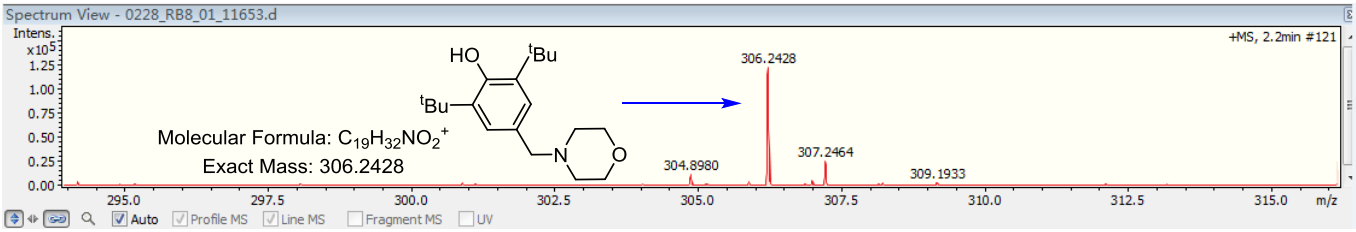
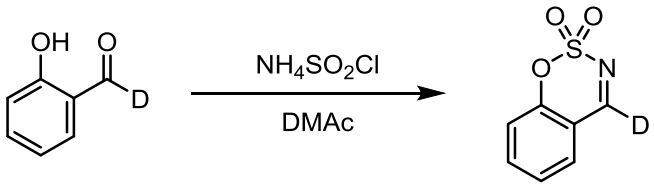


Figure S3 ESI-HMRS of $[M+H]^+$ for **7**

The radical-trapping experiment were conducted under standard conditions using **1a** (36.6 mg, 0.2 mmol) and **2n** (20.9 mg, 0.24 mmol, 1.2 equiv.) as substrates with 1 equiv BHT (44.1 mg, 0.2 mmol). No product **3n** was detected in the reaction, and BHT adduct **7** was detected by ESI-HRMS, indicating that the N-centered radical intermediate was formed during this process.

8.4 Kinetic Isotope Effect Studies

General Procedure for the Preparation of Deuterated Benzo[*e*][1,2,3] oxathiazine 2,2-dioxide $[D]_1$-1a

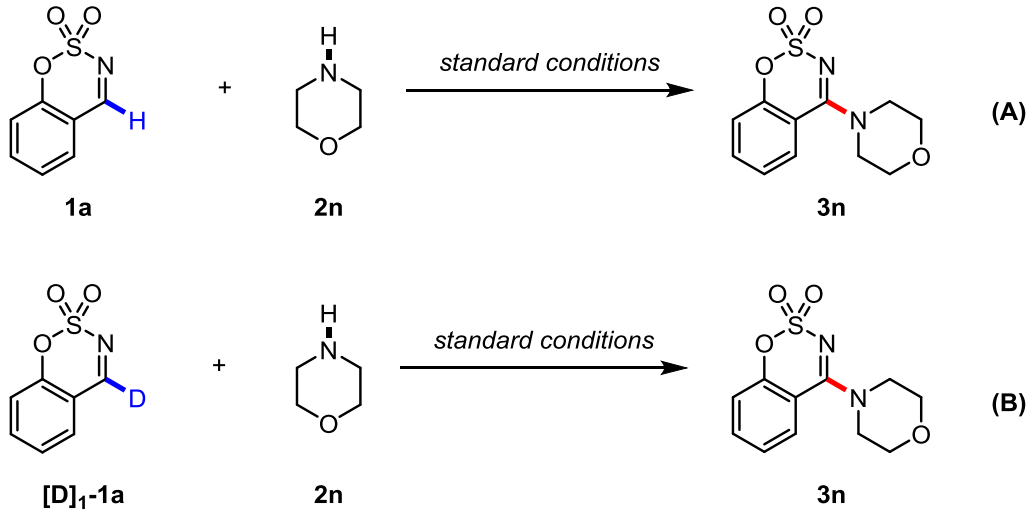


[D]-1a

Following a known literature report, [2] to a solution of deuterated salicylaldehyde (15 mmol) in dimethyl-acetamide (100 mL) at 0 °C was carefully added freshly prepared chlorosulfonamide (40 mmol) in small portions and the resulting solution was stirred for 12 h. The reaction was quenched carefully with ice-cold water (100 mL) and the mixture was transferred to a separating funnel containing dichloromethane (200 mL). The aqueous layer was separated and extracted with dichloromethane (3×50 mL), and the combined organic layers were washed with saturated sodium bicarbonate solution (100 mL), dried over sodium sulfate, filtered through a short pad of silica using dichloro-methane as eluent and concentrated in vacuo. The residue was heated to 180 °C under vacuum to remove volatile impurities to get **$[D]_1$-1a.** The deuterization rate was determined to be 98% by NMR.

White solid. **M. p.**: 67.4 – 69.8 °C. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.80 – 7.74 (m, 1 H), 7.73 (dd, *J* = 8.0, 2.0 Hz, 1 H), 7.44 (td, *J* = 7.6, 0.8 Hz, 1 H), 7.28 (dd, *J* = 8.4, 0.8 Hz, 1 H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 167.7 (t, *J* = 28.0 Hz), 154.2, 137.9, 131.1, 126.4, 118.5, 115.2. **HRMS** (ESI-TOF) m/z Calcd for $C_7H_5DNO_3S$ $[M+H]^+$ 185.0126, found 185.0126.

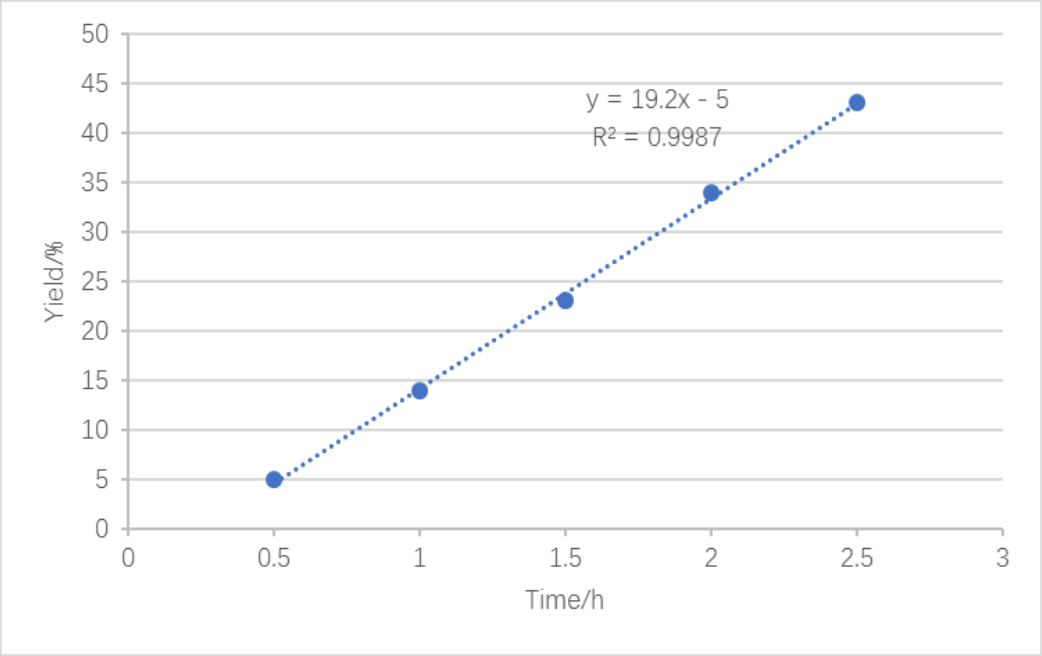
Intermolecular Kinetic Isotope Effect Studies



Reaction (A): according to general procedure, the electrocatalysis was carried out in an undivided cell equipped with two platinum electrode (15 × 10 × 0.2 mm^3). The benzo[*e*][1,2,3]oxathiazine 2,2-dioxide **1a** (0.2 mmol), morpholine **2a** (0.24 mmol, 1.2 equiv.) and KI (6.7 mg, 0.04 mmol, 20 mol%) were dissolved in the solvent CH_3CN (3 mL). The reaction mixture was electrolyzed at 27 °C (oil bath temperature) for and stopped respectively at 0.5 h, 1 h, 1.5 h, 2 h, and 2.5 h. In similar, substrate **[D]$_1$-1a** (36.8 mg, 0.20 mmol) was used instead of **1a** for the reaction (B). The yield of products was determined by ^1H NMR with CH_2Br_2 as internal standard and the reaction rate was obtained by plotting the percentage yield of the product versus time. The kinetic isotope effect (k_H/k_D) was determined to be 1.00.

Table S5 Kinetic Isotope Effect Studies for **1a**

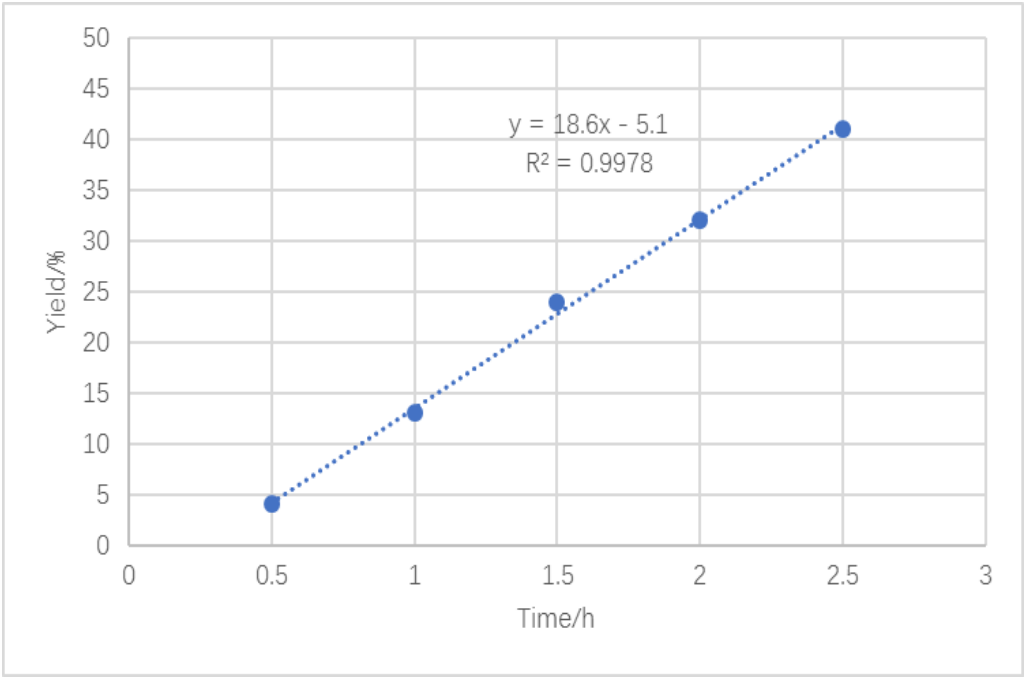
Time/h	0.5	1	1.5	2	2.5
Yield/%	5	14	23	34	43



Figures S4 Plots to Determine the KIE were taken for **1a**.

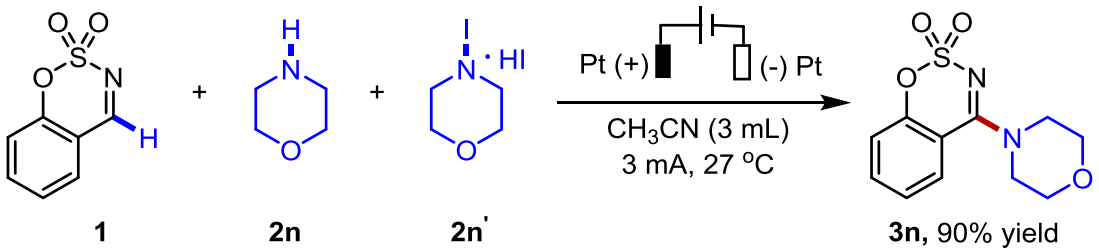
Table S6 Kinetic Isotope Effect Studies for **[D]$_1$-1a**

Time/h	0.5	1	1.5	2	2.5
Yield/%	4	13	24	32	41



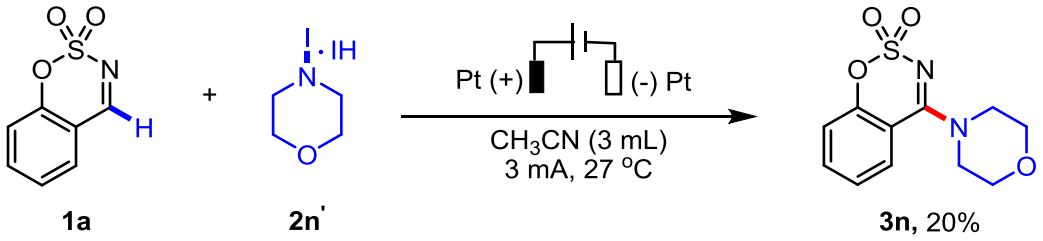
Figures S5 Plots to Determine the KIE were taken for **[D]$_1$-1a**.

8.5 Catalytic Reactivity of N-Iodomorpholine



1 **2n** **2n'** **3n**, 90% yield

The electrocatalysis was carried out in an undivided cell equipped with two platinum electrode (15 × 10 × 0.2 mm^3). The substrates **1a** (36.6 mg, 0.2 mmol), substrates **2n** (20.9 mg, 0.24 mmol, 1.2 equiv.) and N-Iodomorpholine **2n'** (13.64 mg, 0.04 mmol, 20 mol%) were dissolved in the solvent CH_3CN (3 mL). The electrolysis was carried out at 27 ℃ (oil bath temperature) using a constant current of 3.0 mA until complete consumption of the substrate (monitored by TLC). After the reaction, the solvent was removed under reduced pressure and the residue was purified by silica gel column chromatography with PE/EA (1:1) as eluent to yielded **3n** (48.2 mg, 90%).



1a **2n'** **3n**, 20%

The electrocatalysis was carried out in an undivided cell equipped with two platinum electrode (15 × 10 × 0.2 mm^3). The substrates **1a** (36.6 mg, 0.2 mmol) and N-Iodomorpholine **2n'** (81.8 mg, 0.24 mmol, 1.2 equiv.) were dissolved in the solvent CH_3CN (3 mL). The electrolysis was carried out at 27 ℃ (oil bath temperature) using a constant current of 3.0 mA for 24 h. After the reaction, the solvent was removed under reduced pressure and the residue was purified by silica gel column chromatography with PE/EA as eluent to yielded **3n** (10.7 mg, 20%).

9 Cyclic Voltammetry Studies

Cyclic voltammograms were recorded with a CHI660E potentiostat at room temperature in CH_3CN. $^nBu_4NPF_6$ (0.1 M) was used as the supporting electrolyte, and a Pt electrode (area = 0.03 cm^2) was used as the working electrode. The auxiliary electrode was a Pt sheet. All potentials are referenced against the Ag/AgCl redox couple.

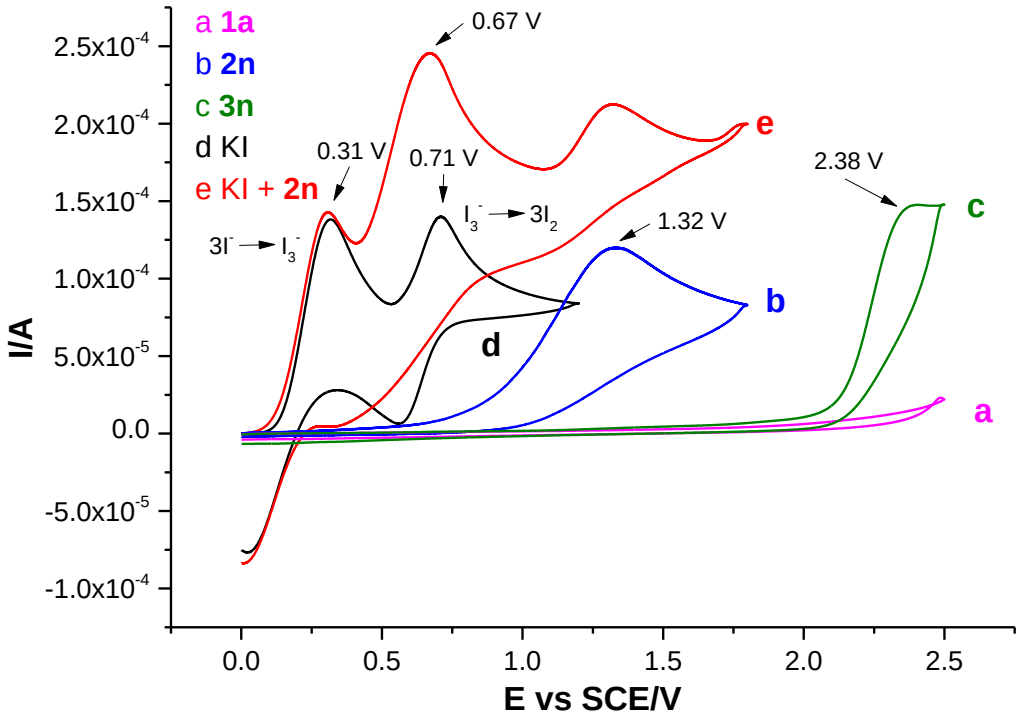


Figure S6 Cyclic voltammograms recorded on a Pt disk working electrode (area = 0.03 cm^2). The scan rate was 100 mV/s. (a) CH_3CN containing 0.1 M $^nBu_4NPF_6$, after the addition of 10 mM **1a**; (b) CH_3CN containing 0.1 M $^nBu_4NPF_6$,, after the addition of 10 mM **2n**; (c) CH_3CN containing 0.1 M $^nBu_4NPF_6$, after the addition of 10 mM **3n**; (d) CH_3CN containing 0.1 M $^nBu_4NPF_6$, after the addition of 10 mM KI; (e) solution d after the addition of 10 mM KI and 10 mM morpholine.

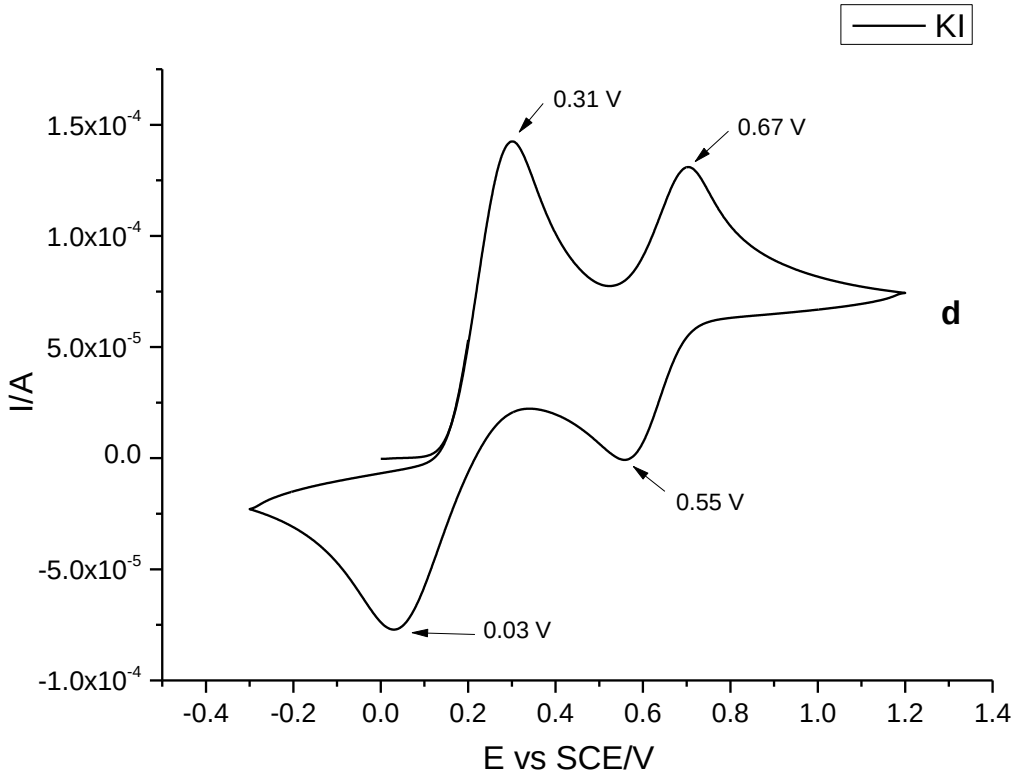


Figure S7. Full potential window CV of KI

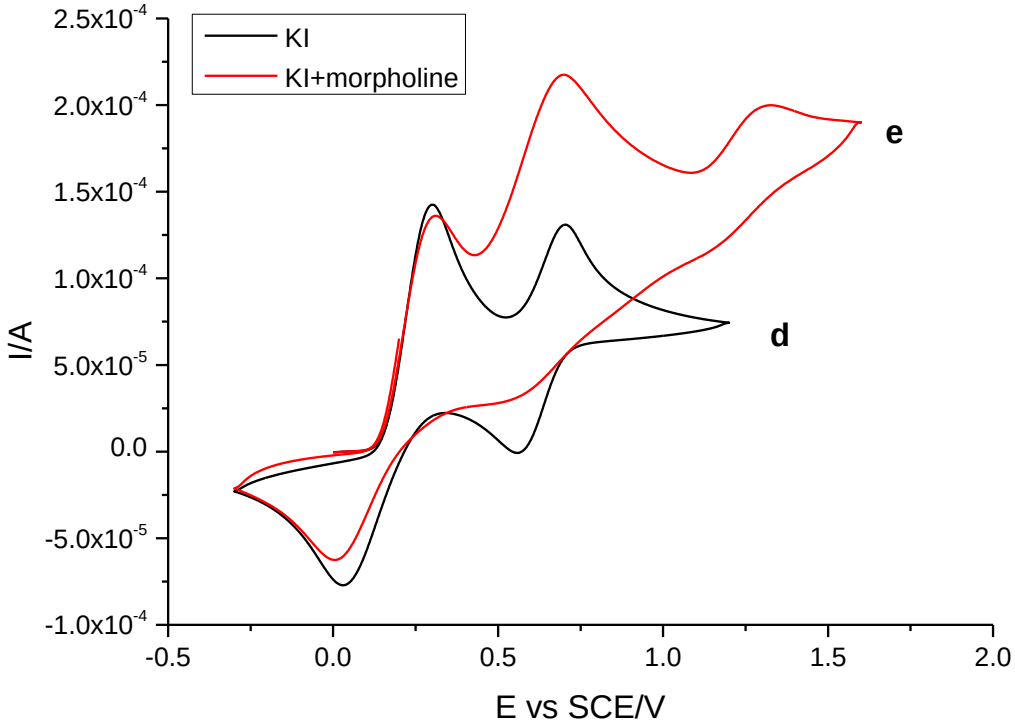


Figure S8. Full potential window CVs. a) KI, b) KI+morpholine

10 X-Ray Crystallographic Data

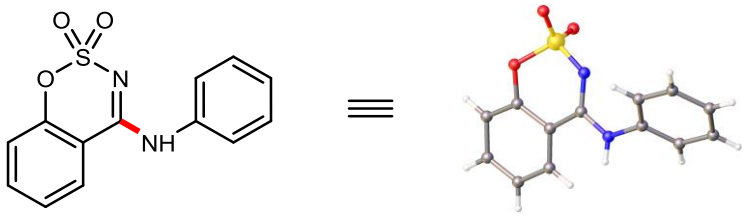
General Procedure for Crystal Preparation:

Compound (around 20 mg) were dissolved in hexane-DCM (1:1, 10 mL). The single crystals were grown by slow evaporation of solvents at room temperature.

The single crystals compound was collected on a Rigaku Oxford Diffraction Supernova Dual Source, Cu at Zero equipped with an AtlasS2 CCD. The data were collected and processed using CrysAlisPro[3]. The structures were solved by direct methods using Olex2 software[4], and the non-hydrogen atoms were located from the trial structure and then refined anisotropically with SHELXL-2018[5] using a full-matrix least squares procedure based on F^2. The weighted R factor, wR and goodness-of-fit S values were obtained based on F^2. The hydrogen atom positions were fixed geometrically at the calculated distances and allowed to ride on their parent atoms. Crystallographic data for the structure reported in this paper have been deposited at the Cambridge Crystallographic Data Center and allocated with the deposition number: CCDC 2191372 for compound **3a**, CCDC 2191371 for compound **3al**, CCDC 2191368 for compound **3as**, CCDC 2191370 for compound **3au**, CCDC 2195094 for compound **6q,** CCDC 2202654 for compound **6t,** basic information pertaining to crystal parameters and structure refinement are summarized in Table S7, S8, S9, S10, S11, S12.

Compound 3a (CCDC 2191372)

Table S7 X-ray structure of **3a** with 50% ellipsoid probability



Empirical formula	$C_{13}H_{10}N_2O_3S$
Formula weight	274.29
Temperature/K	169.99(10)
Crystal system	triclinic
Space group	P-1
a/Å	6.9208(9)
b/Å	7.4115(6)
c/Å	11.9359(12)
α/°	101.965(7)
β/°	90.131(9)
γ/°	92.439(8)
Volume/Å^3	598.35(11)
Z	2
ρ_{calc}g/cm^3	1.522
μ/mm^{-1}	2.475
F(000)	284.0
Crystal size/mm^3	0.15 × 0.1 × 0.08
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	7.572 to 133.188
Index ranges	$-8 \le h \le 8$, $-7 \le k \le 8$, $-13 \le l \le 14$
Reflections collected	3550
Independent reflections	2102 [R_{int} = 0.0401, R_{sigma} = 0.0528]
Data/restraints/parameters	2102/0/197
Goodness-of-fit on F^2	1.205
Final R indexes [I>=2σ (I)]	R_1 = 0.1261, wR_2 = 0.3218
Final R indexes [all data]	R_1 = 0.1294, wR_2 = 0.3232
Largest diff. peak/hole / e Å^{-3}	0.79/-0.76

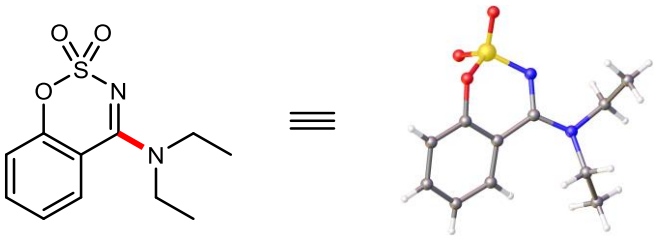
Compound 3al (CCDC 2191371)

Table S8 X-ray structure of **3al** with 50% ellipsoid probability

Empirical formula	$C_{11}H_{12}N_2O_3S$
Formula weight	252.29
Temperature/K	293(2)
Crystal system	triclinic
Space group	P-1
a/Å	7.9773(4)
b/Å	8.6323(4)
c/Å	8.8553(4)
α/°	92.357(4)
β/°	103.812(4)
γ/°	111.690(4)
Volume/Å^3	544.55(5)
Z	2
ρ_{calc}g/cm^3	1.539
μ/mm^{-1}	2.653
F(000)	264.0
Crystal size/mm^3	0.3 × 0.3 × 0.3
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	10.394 to 142.324
Index ranges	$-7 \le h \le 9$, $-10 \le k \le 10$, $-10 \le l \le 10$
Reflections collected	3648
Independent reflections	2064 [R_{int} = 0.0208, R_{sigma} = 0.0254]
Data/restraints/parameters	2064/0/155
Goodness-of-fit on F^2	1.058
Final R indexes [I>=2σ (I)]	R_1 = 0.0421, wR_2 = 0.1115
Final R indexes [all data]	R_1 = 0.0440, wR_2 = 0.1128
Largest diff. peak/hole / e Å^{-3}	0.38/-0.46

Compound 3as (CCDC 2191368)

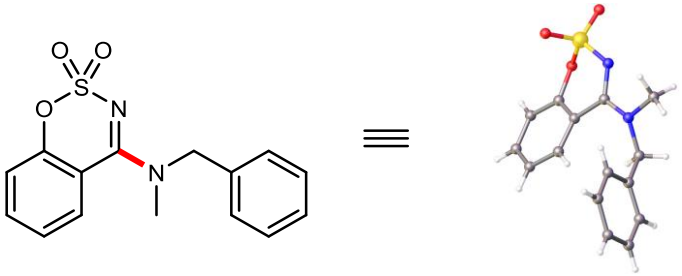
Table S9 X-ray structure of **3as** with 50% ellipsoid probability



Empirical formula	$C_{11}H_{14}N_2O_3S$
Formula weight	254.30
Temperature/K	293(2)
Crystal system	monoclinic
Space group	$P2_1/n$
a/Å	8.9547(2)
b/Å	8.41310(10)
c/Å	16.3860(3)
α/°	90
β/°	99.853(2)
γ/°	90
Volume/Å^3	1216.26(4)
Z	4
ρ_{calc}g/cm^3	1.389
μ/mm^{-1}	2.376
F(000)	536.0
Crystal size/mm^3	0.3 × 0.2 × 0.2
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	10.572 to 142.96
Index ranges	-10 ≤ h ≤ 11, -10 ≤ k ≤ 4, -20 ≤ l ≤ 19
Reflections collected	5020
Independent reflections	2313 [R_{int} = 0.0226, R_{sigma} = 0.0296]
Data/restraints/parameters	2313/0/157
Goodness-of-fit on F^2	1.051
Final R indexes [I>=2σ (I)]	R_1 = 0.0398, wR_2 = 0.1122
Final R indexes [all data]	R_1 = 0.0434, wR_2 = 0.1169
Largest diff. peak/hole / e Å^{-3}	0.28/-0.45

Compound 3au (CCDC 2191370)

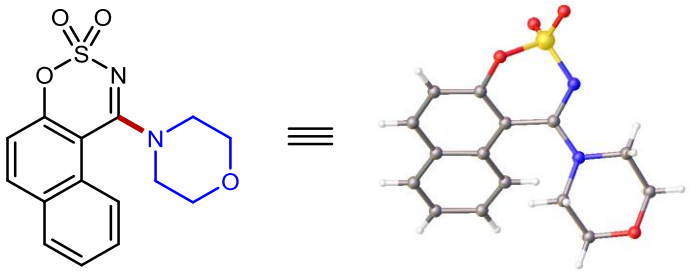
Table S10 X-ray structure of **3au** with 50% ellipsoid probability



Empirical formula	$C_{15}H_{14}N_2O_3S$
Formula weight	302.34
Temperature/K	293(2)
Crystal system	monoclinic
Space group	$P2_1/c$
a/Å	9.8870(2)
b/Å	7.8158(2)
c/Å	18.9459(4)
α/°	90
β/°	101.306(2)
γ/°	90
Volume/Å^3	1435.63(6)
Z	4
ρ_{calc}g/cm^3	1.399
μ/mm^{-1}	2.114
F(000)	632.0
Crystal size/mm^3	0.3 × 0.2 × 0.2
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	9.122 to 142.968
Index ranges	$-11 \le h \le 12$, $-5 \le k \le 9$, $-22 \le l \le 23$
Reflections collected	6295
Independent reflections	2745 [R_{int} = 0.0266, R_{sigma} = 0.0320]
Data/restraints/parameters	2745/0/191
Goodness-of-fit on F^2	1.061
Final R indexes [I>=2σ (I)]	R_1 = 0.0560, wR_2 = 0.1512
Final R indexes [all data]	R_1 = 0.0606, wR_2 = 0.1601
Largest diff. peak/hole / e Å^{-3}	0.29/-0.48

Compound 6q (CCDC 2195094)

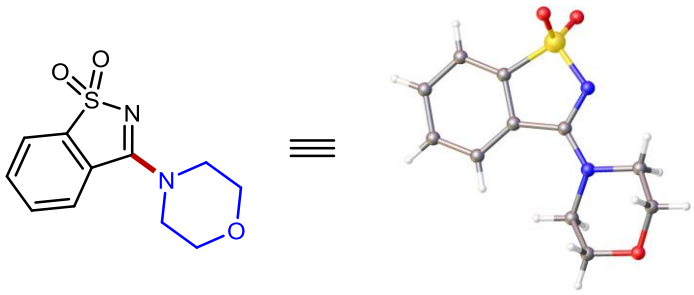
Table S11 X-ray structure of **6q** with 50% ellipsoid probability



Empirical formula	$C_{15}H_{14}N_2O_4S$
Formula weight	318.34
Temperature/K	293(2)
Crystal system	orthorhombic
Space group	$P2_12_12_1$
a/Å	11.27050(10)
b/Å	12.2230(2)
c/Å	20.2303(2)
α/°	90
β/°	90
γ/°	90
Volume/Å^3	2786.91(6)
Z	8
ρ_{calc}g/cm^3	1.517
μ/mm^{-1}	2.265
F(000)	1328.0
Crystal size/mm^3	0.3 × 0.2 × 0.2
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	8.452 to 142.77
Index ranges	-13 ≤ h ≤ 13, -14 ≤ k ≤ 11, -23 ≤ l ≤ 24
Reflections collected	8630
Independent reflections	4686 [R_{int} = 0.0171, R_{sigma} = 0.0270]
Data/restraints/parameters	4686/0/398
Goodness-of-fit on F^2	1.044
Final R indexes [I>=2σ (I)]	R_1 = 0.0322, wR_2 = 0.0879
Final R indexes [all data]	R_1 = 0.0340, wR_2 = 0.0896
Largest diff. peak/hole / e Å^{-3}	0.22/-0.25

Compound 6t (CCDC 2202654)

Table S12 X-ray structure of **6t** with 50% ellipsoid probability



Empirical formula	$C_{11}H_{12}N_2O_3S$
Formula weight	252.29
Temperature/K	295.12(10)
Crystal system	triclinic
Space group	P-1
a/Å	8.0147(2)
b/Å	8.1452(2)
c/Å	9.4761(2)
α/°	94.600(2)
β/°	107.839(2)
γ/°	107.022(2)
Volume/Å^3	553.18(2)
Z	2
ρ_{calc}g/cm^3	1.515
μ/mm^{-1}	2.612
F(000)	264.0
Crystal size/mm^3	0.14 × 0.11 × 0.09
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	9.982 to 150.862
Index ranges	$-9 \le h \le 10$, $-10 \le k \le 7$, $-11 \le l \le 11$
Reflections collected	7331
Independent reflections	2163 [R_{int} = 0.0462, R_{sigma} = 0.0341]
Data/restraints/parameters	2163/2/155
Goodness-of-fit on F^2	1.053
Final R indexes [I>=2σ (I)]	R_1 = 0.0414, wR_2 = 0.1188
Final R indexes [all data]	R_1 = 0.0424, wR_2 = 0.1203
Largest diff. peak/hole / e Å^{-3}	0.37/-0.39

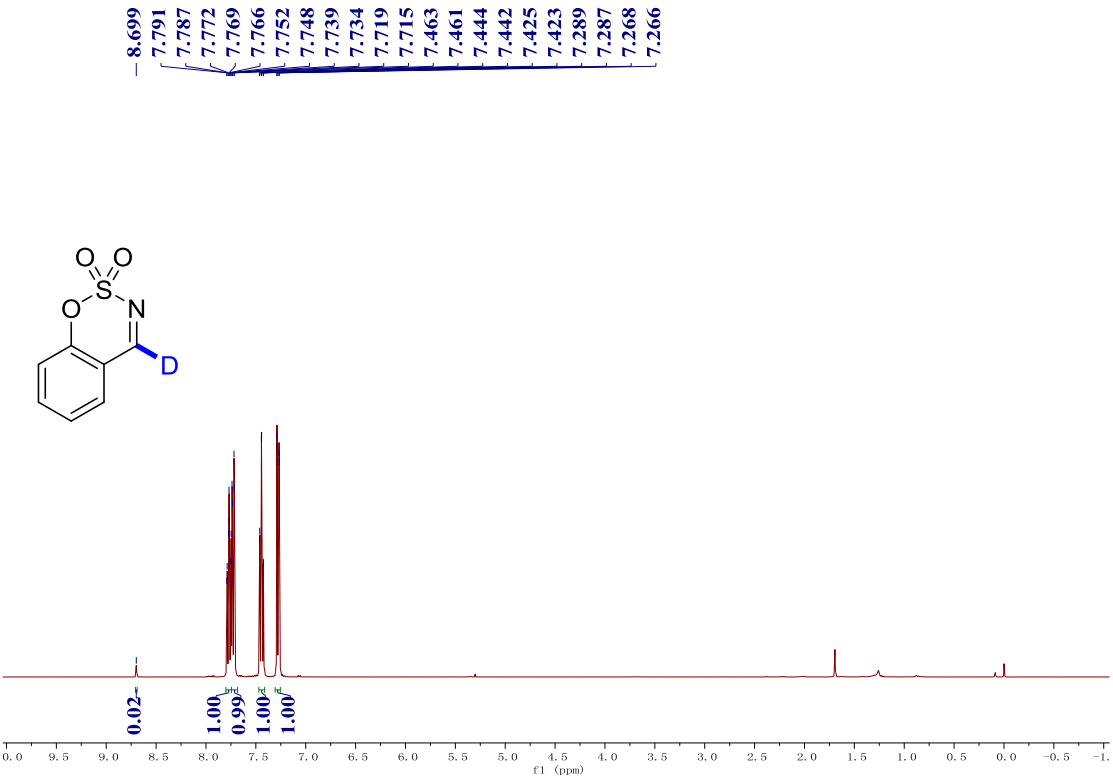
11 References

[1] X. Liu, J. Wang, Z. Wu, F. Li, K. Gao, F. Peng, J. Wang, R. Shen, Y. Zhou and L. Liu, *Org. Biomol. Chem.*, 2021, **19**, 3595−3600.

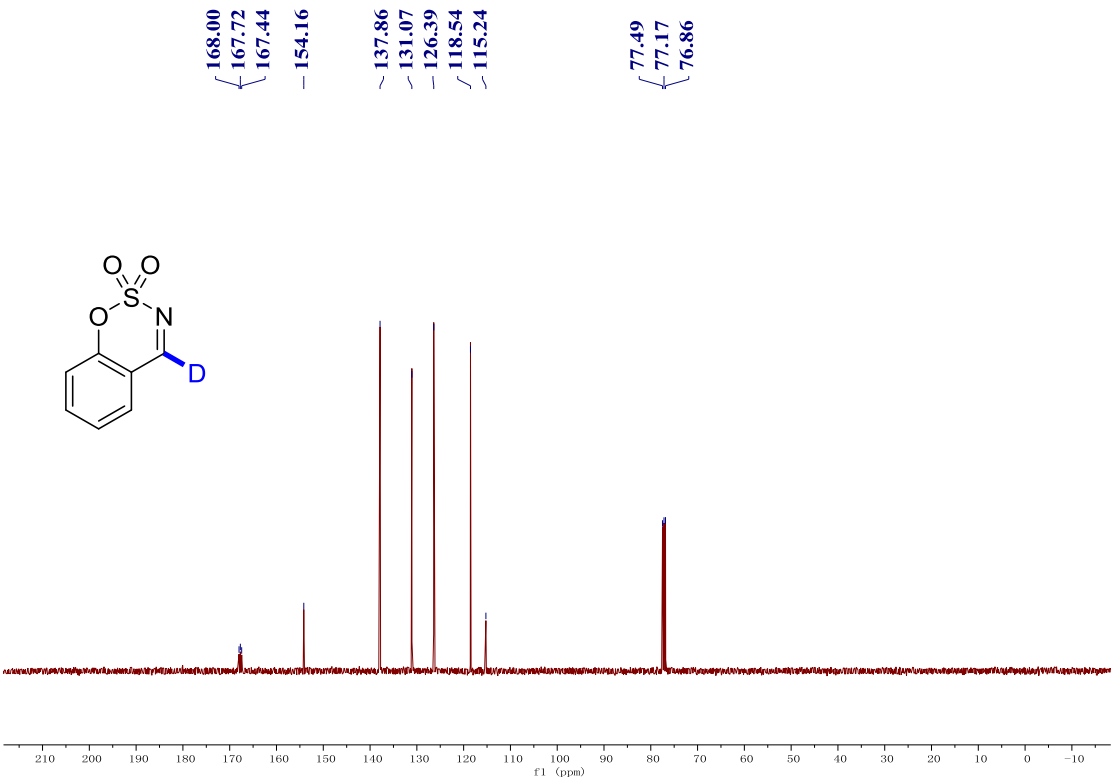
[2] Z. Yan, B. Wu, X. Gao, M.-W. Chen and Y.-G. Zhou, *Org. Lett.*, 2016, **18**, 692−695.

12 NMR Spectra

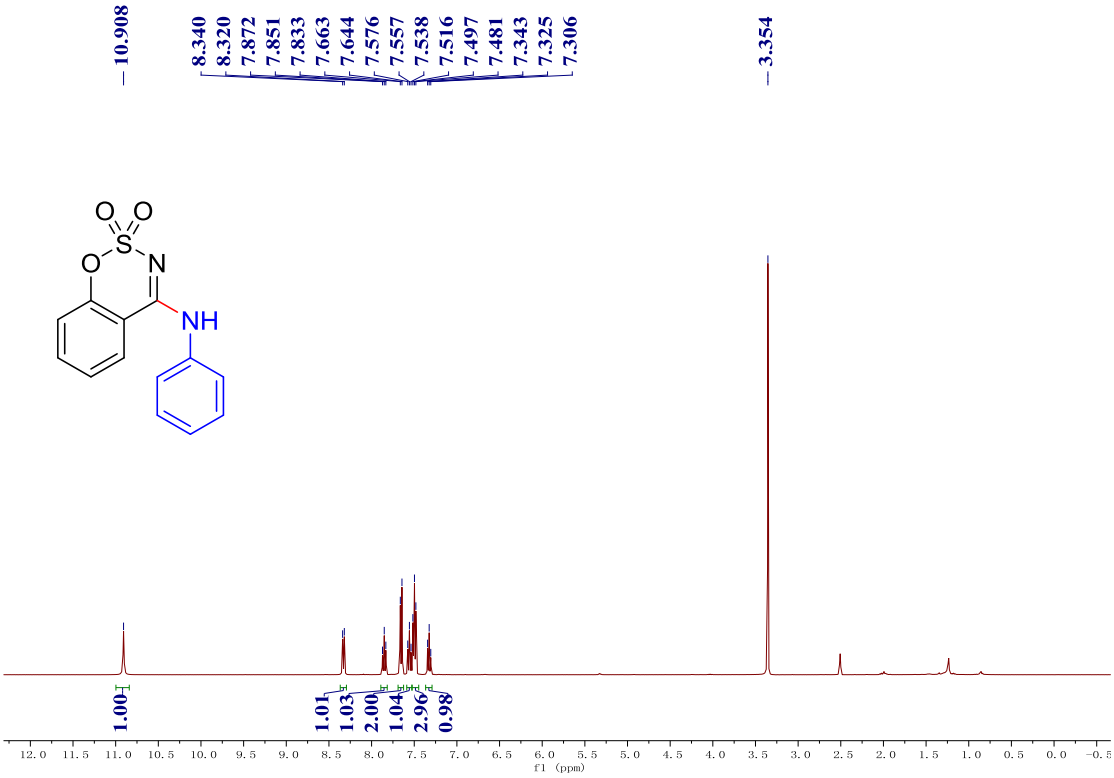
^{1}H NMR Spectrum of [D]$_1$-1a at 25 °C (CDCl$_3$, 400 MHz)



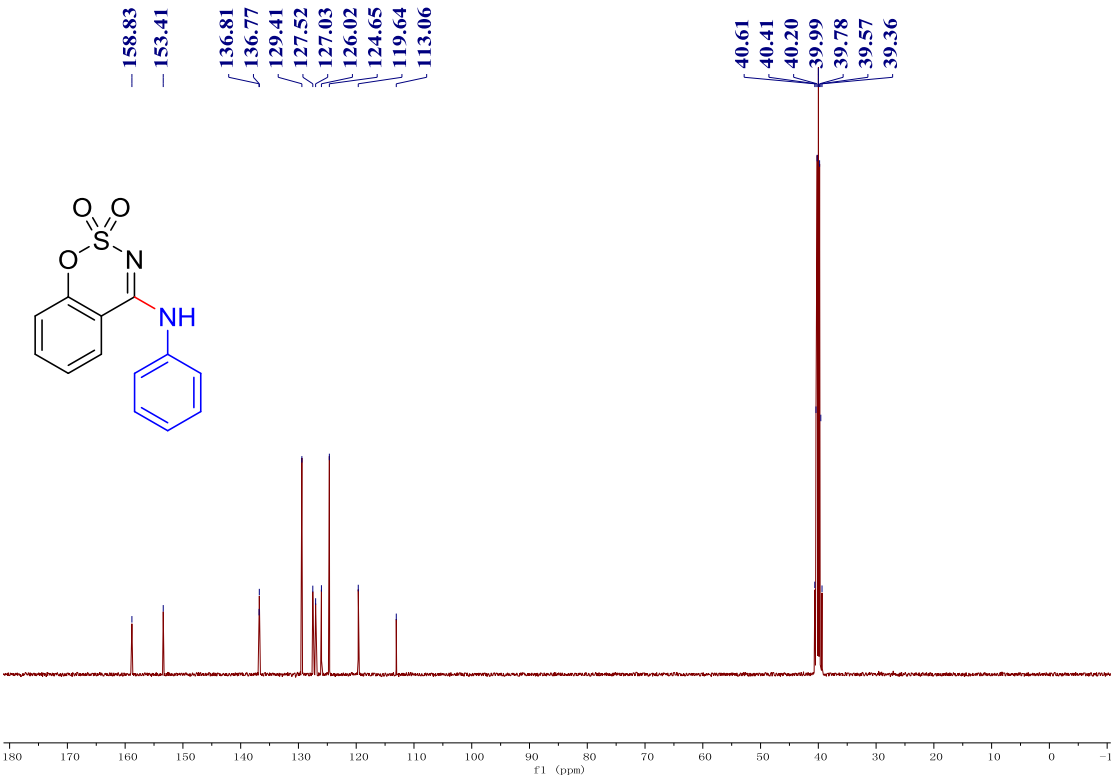
^{13}C NMR Spectrum of [D]$_1$-1a at 25 °C (CDCl$_3$, 100 MHz)



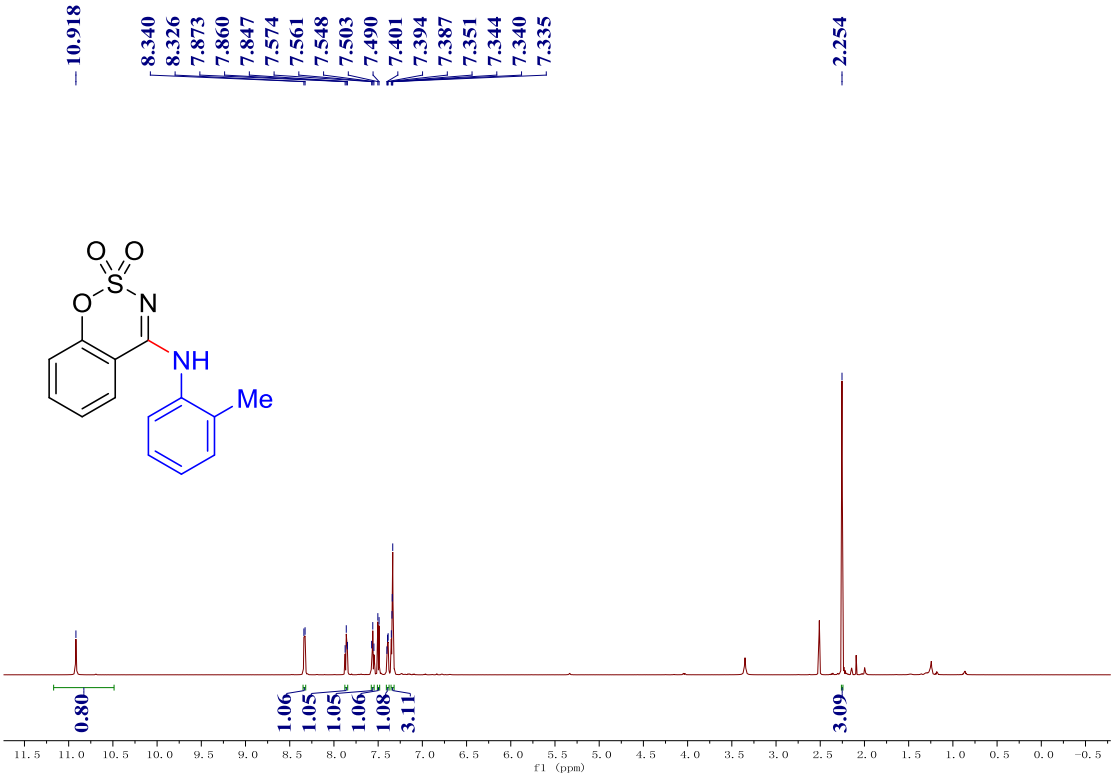
^{1}H NMR Spectrum of 3a at 25 °C (DMSO-d_6, 400 MHz)



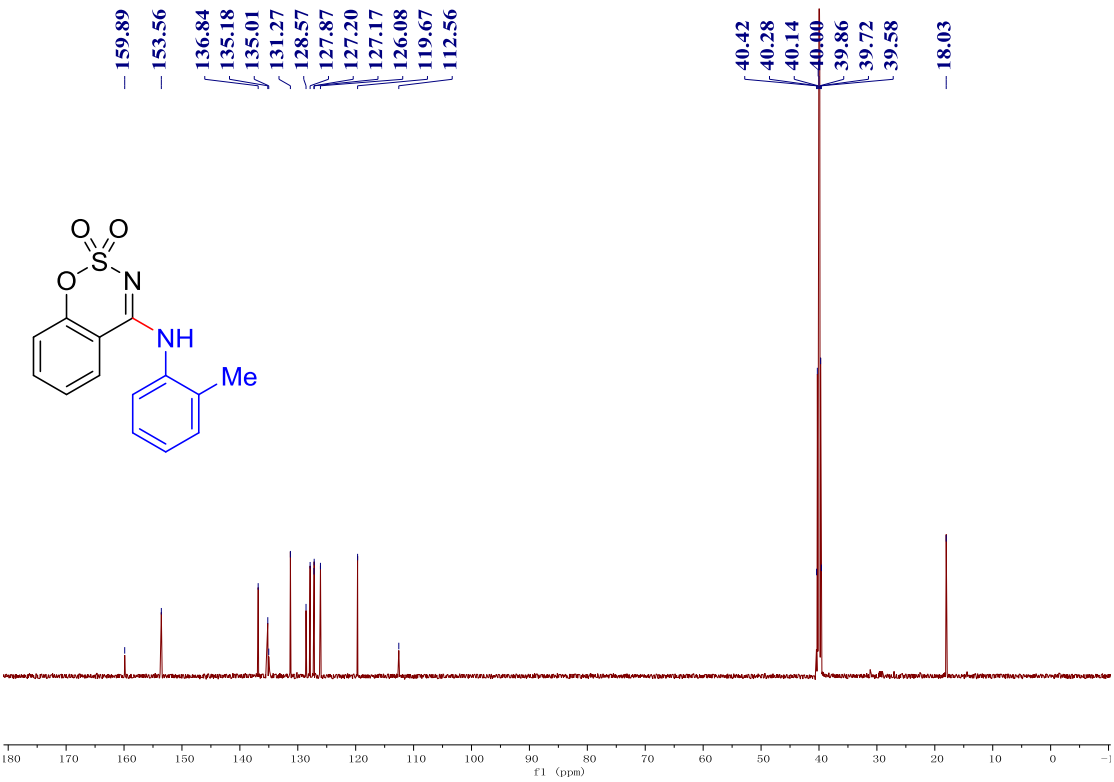
^{13}C NMR Spectrum of 3a at 25 °C (DMSO-d_6, 100 MHz)



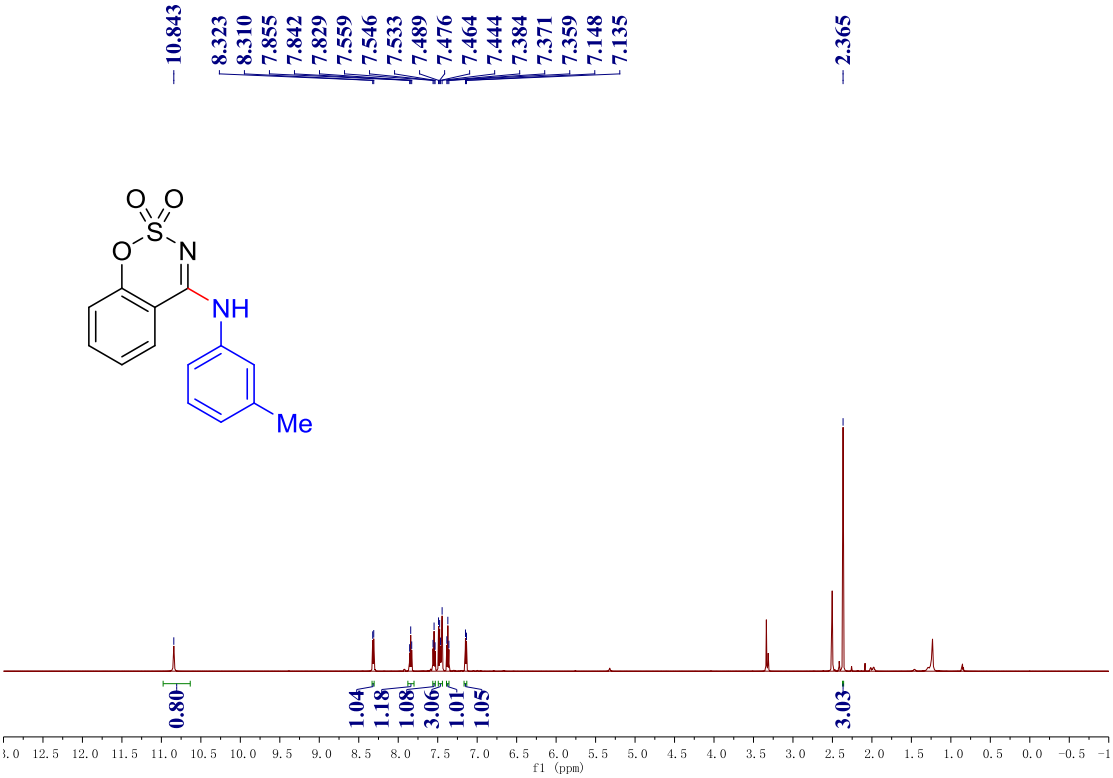
^{1}H NMR Spectrum of 3b at 25 °C (DMSO-d_6, 600 MHz)



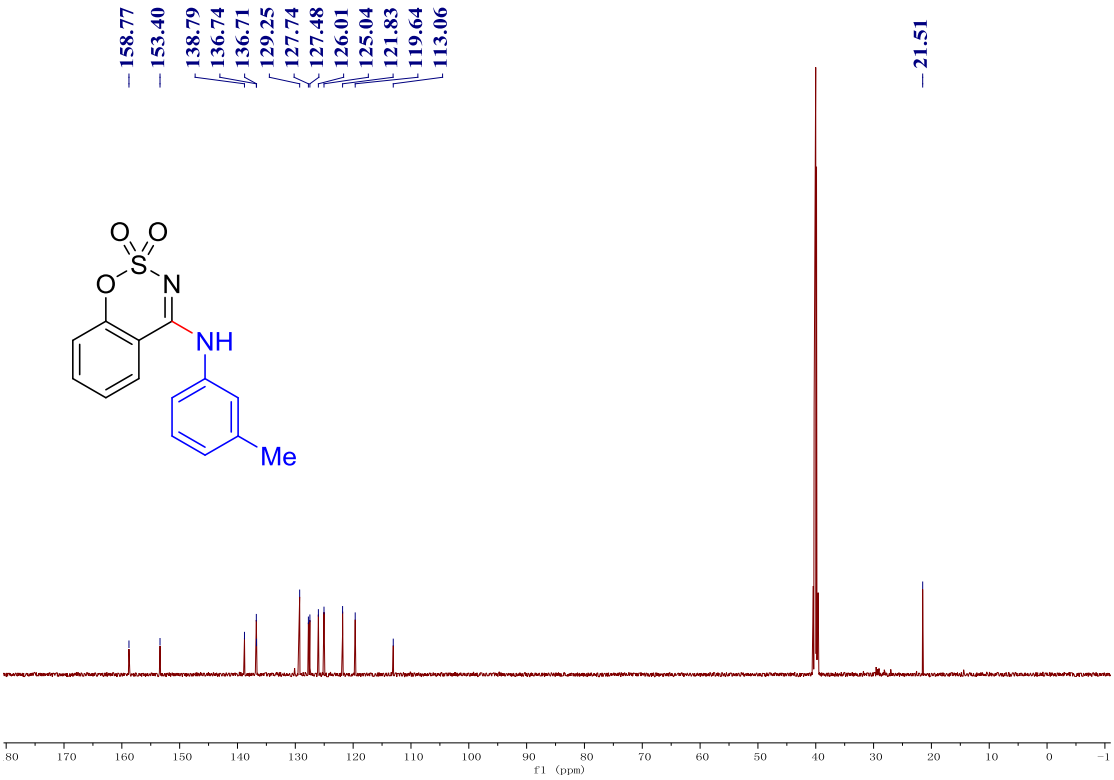
^{13}C NMR Spectrum of 3b at 25 °C (DMSO-d_6, 150 MHz)



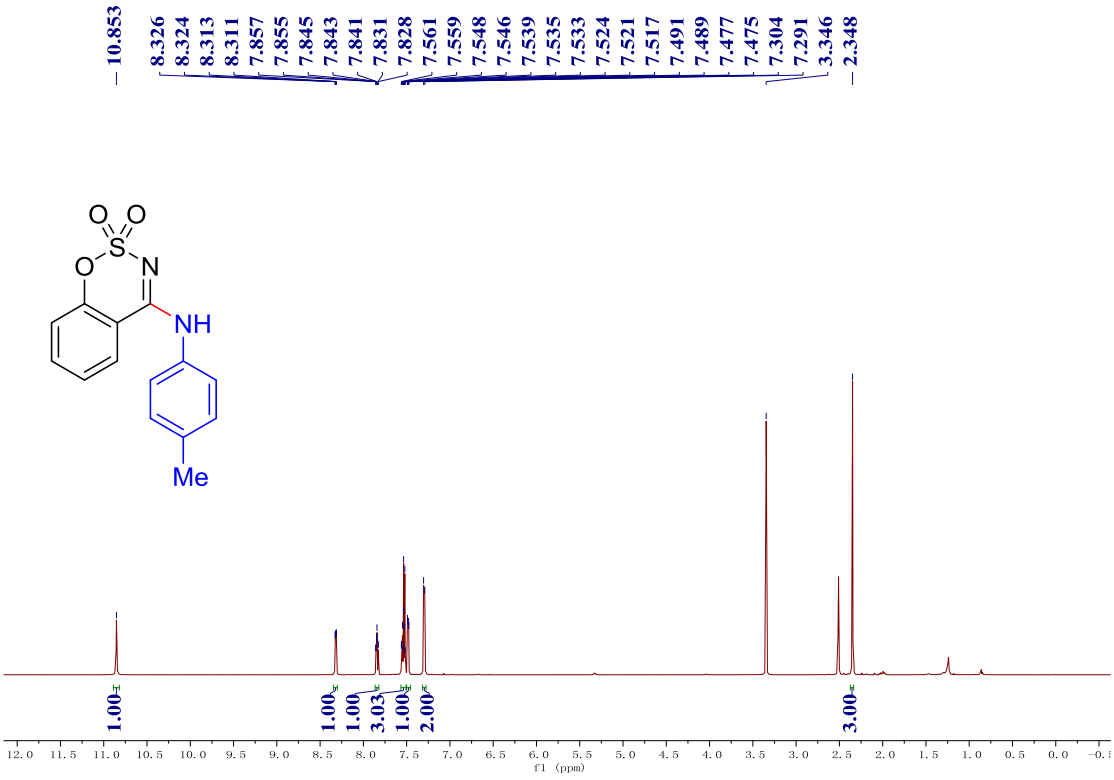
^{1}H NMR Spectrum of 3c at 25 °C (DMSO-d_6, 600 MHz)



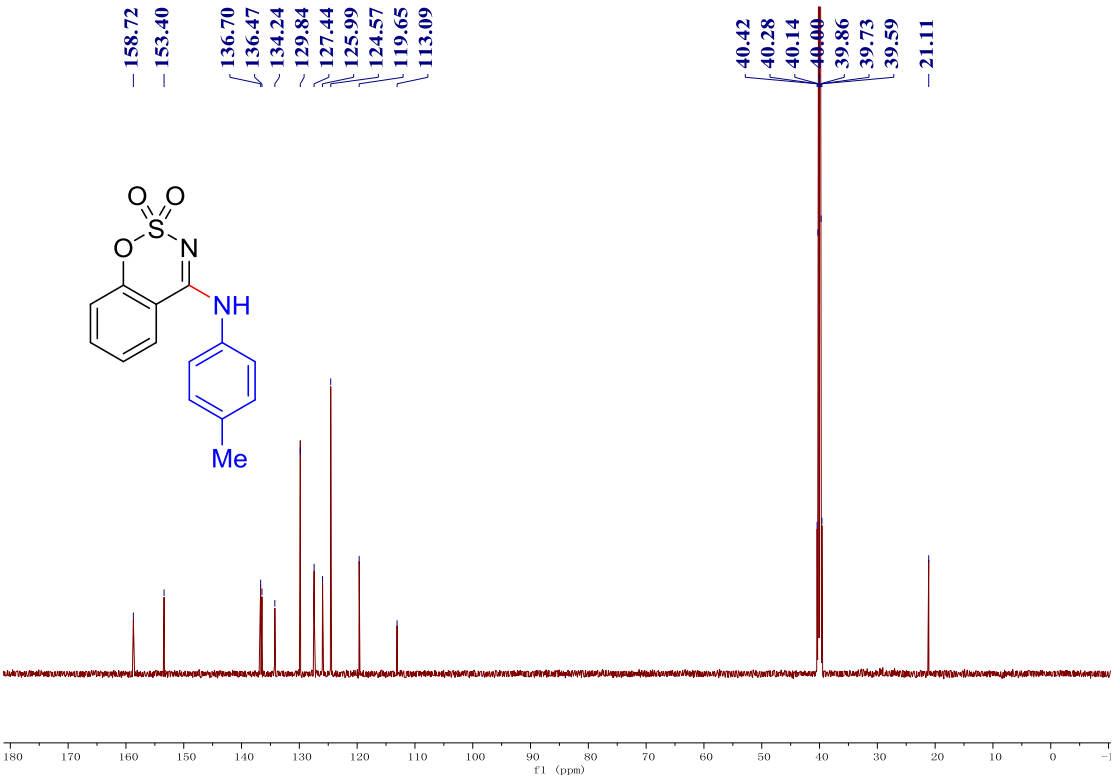
^{13}C NMR Spectrum of 3c at 25 °C (DMSO-d_6, 150 MHz)



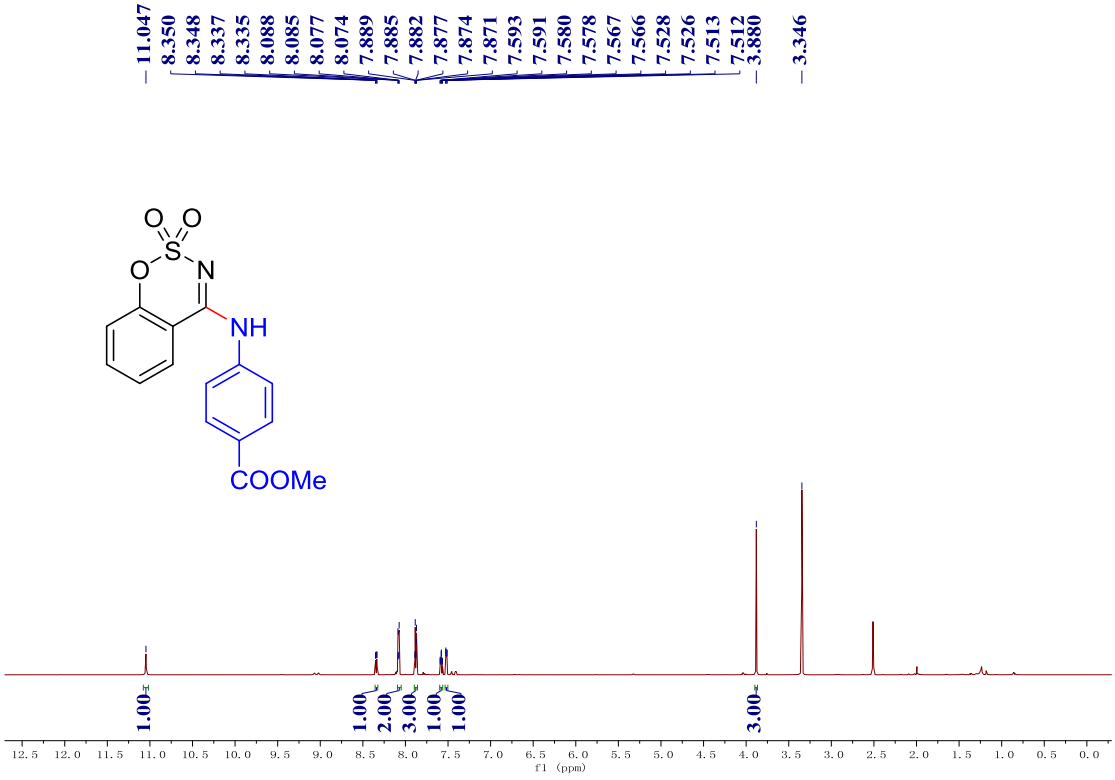
^{1}H NMR Spectrum of 3d at 25 °C (DMSO-d_6, 600 MHz)



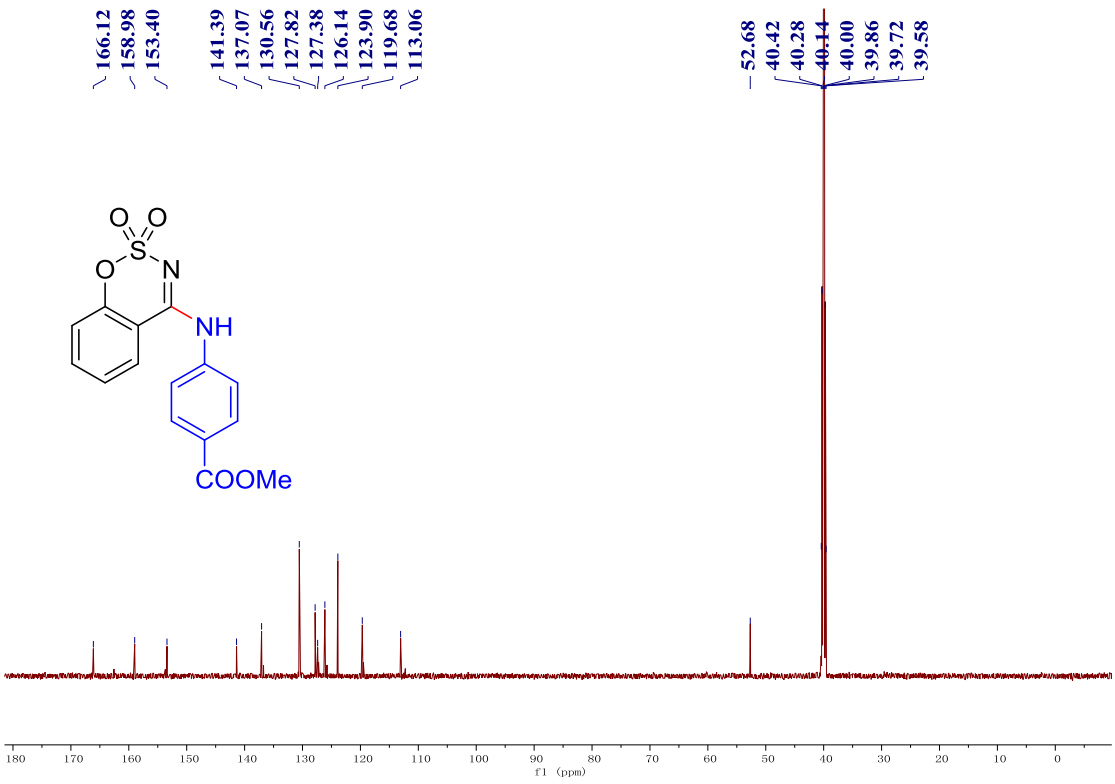
^{13}C NMR Spectrum of 3d at 25 °C (DMSO-d_6, 150 MHz)



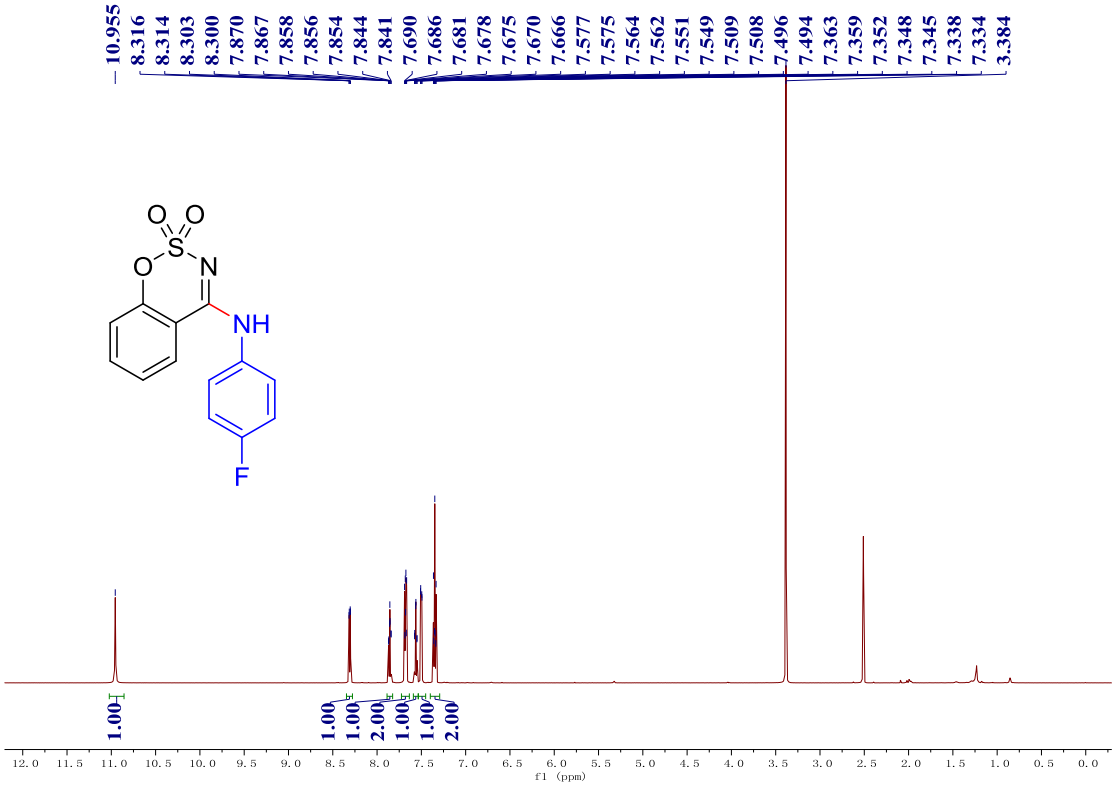
^{1}H NMR Spectrum of 3e at 25 °C (DMSO-d_6, 600 MHz)



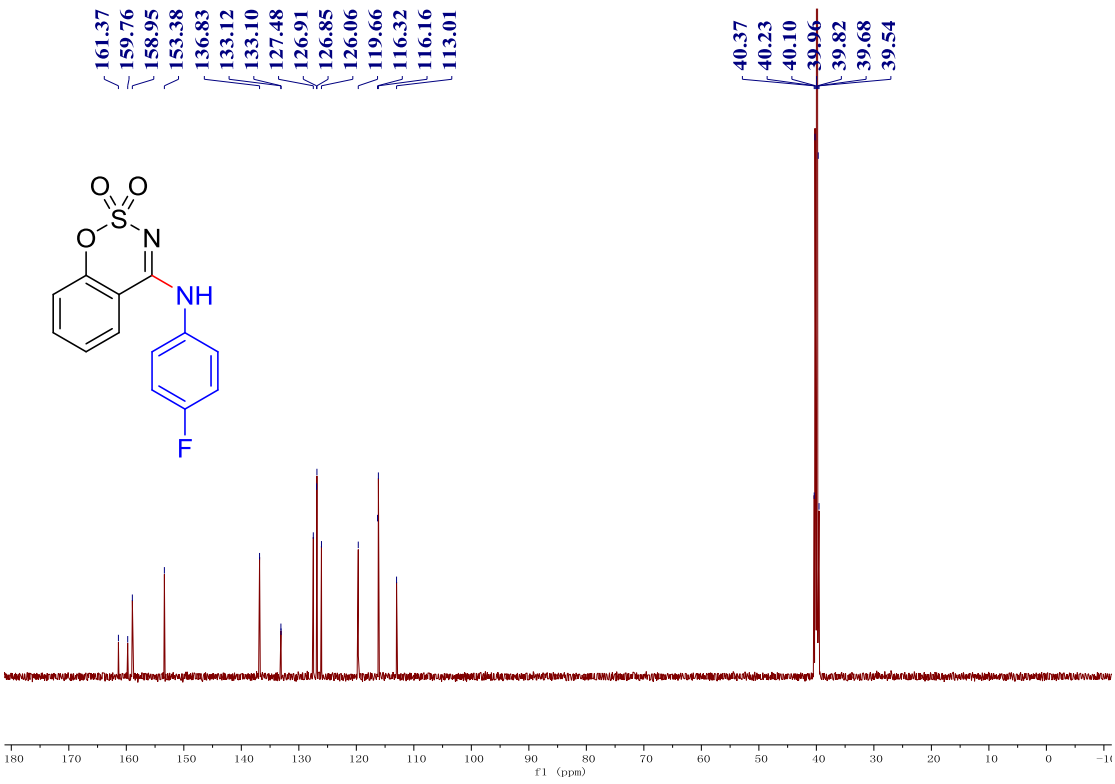
^{13}C NMR Spectrum of 3e at 25 °C (DMSO-d_6, 150 MHz)



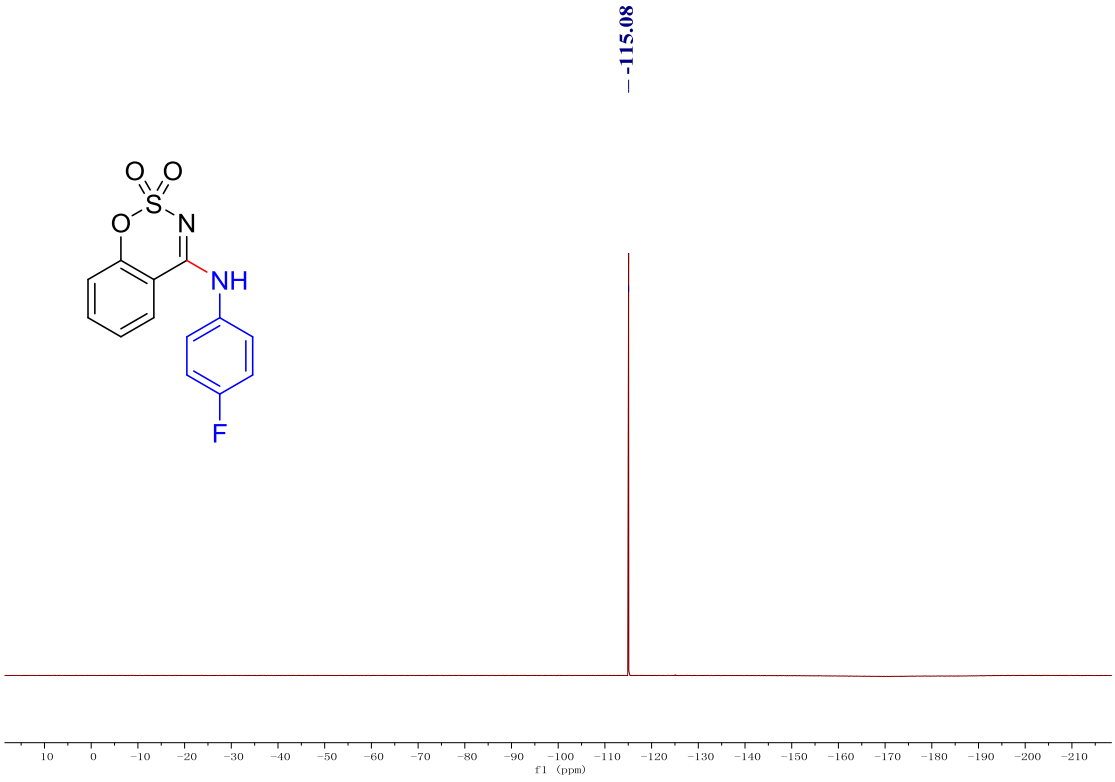
^{1}H NMR Spectrum of 3f at 25 °C (DMSO-d_6, 600 MHz)



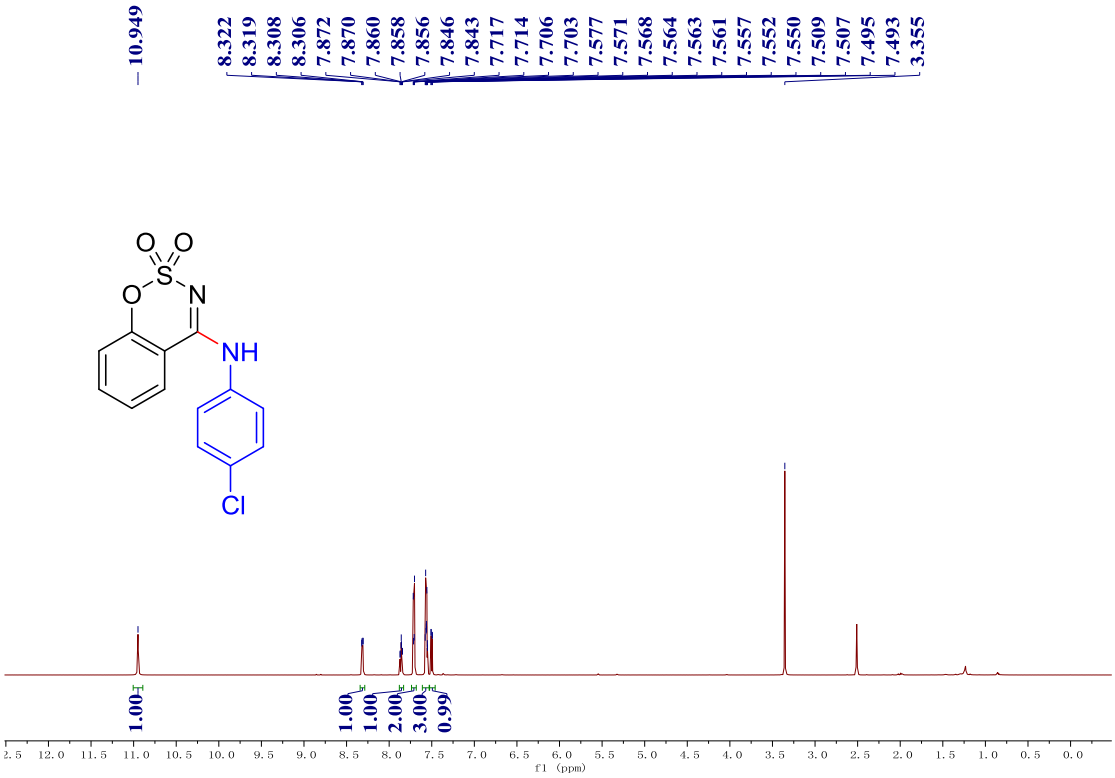
^{13}C NMR Spectrum of 3f at 25 °C (DMSO-d_6, 150 MHz)



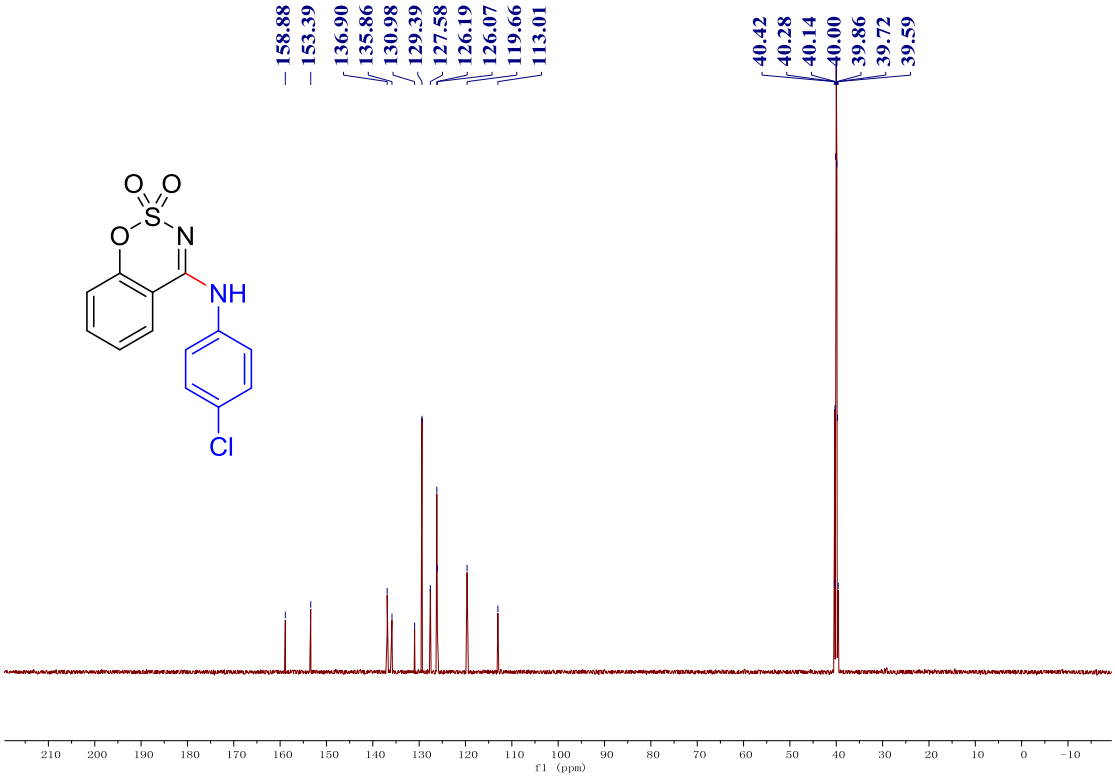
^{19}F NMR Spectrum of 3f at 25 °C (DMSO-d_6, 565 MHz)



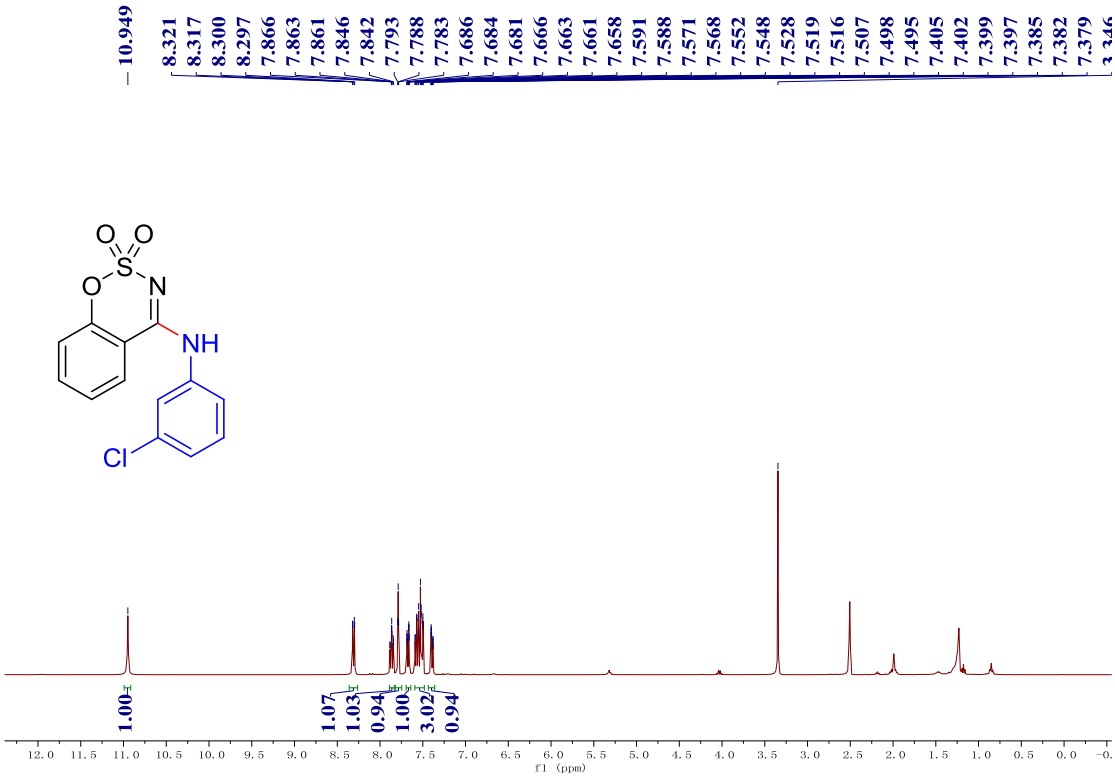
^{1}H NMR Spectrum of 3g at 25 °C (DMSO-d_6, 600 MHz)



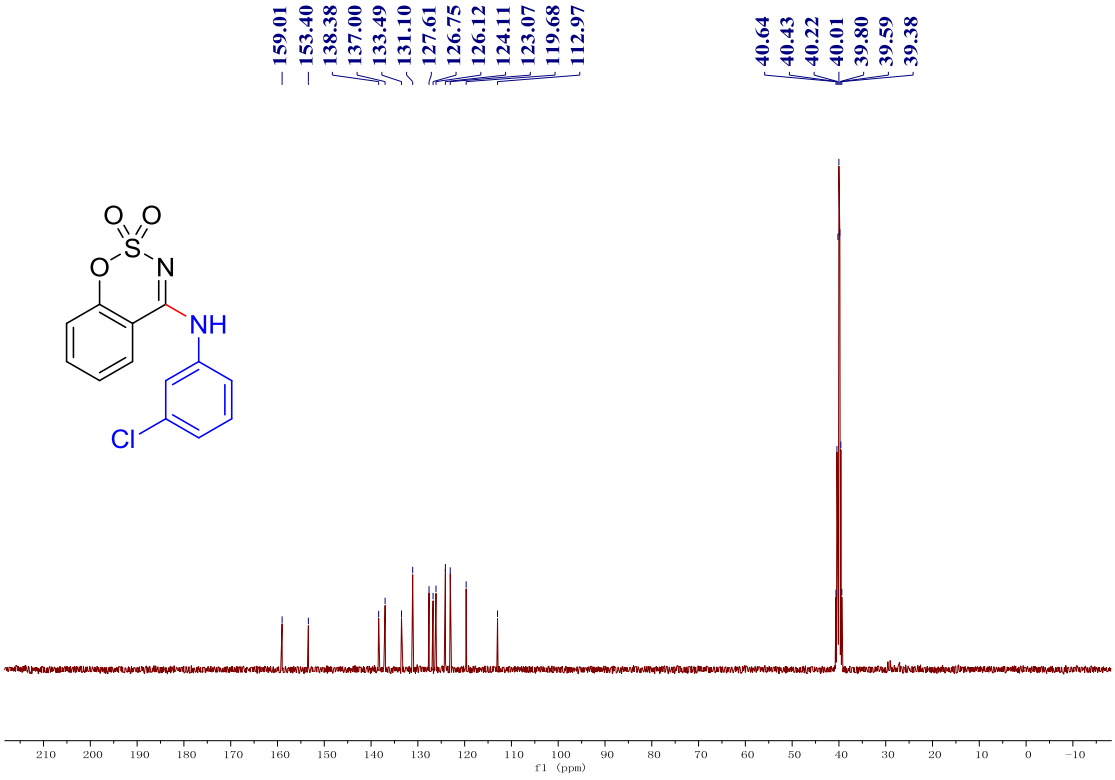
^{13}C NMR Spectrum of 3g at 25 °C (DMSO-d_6, 150 MHz)



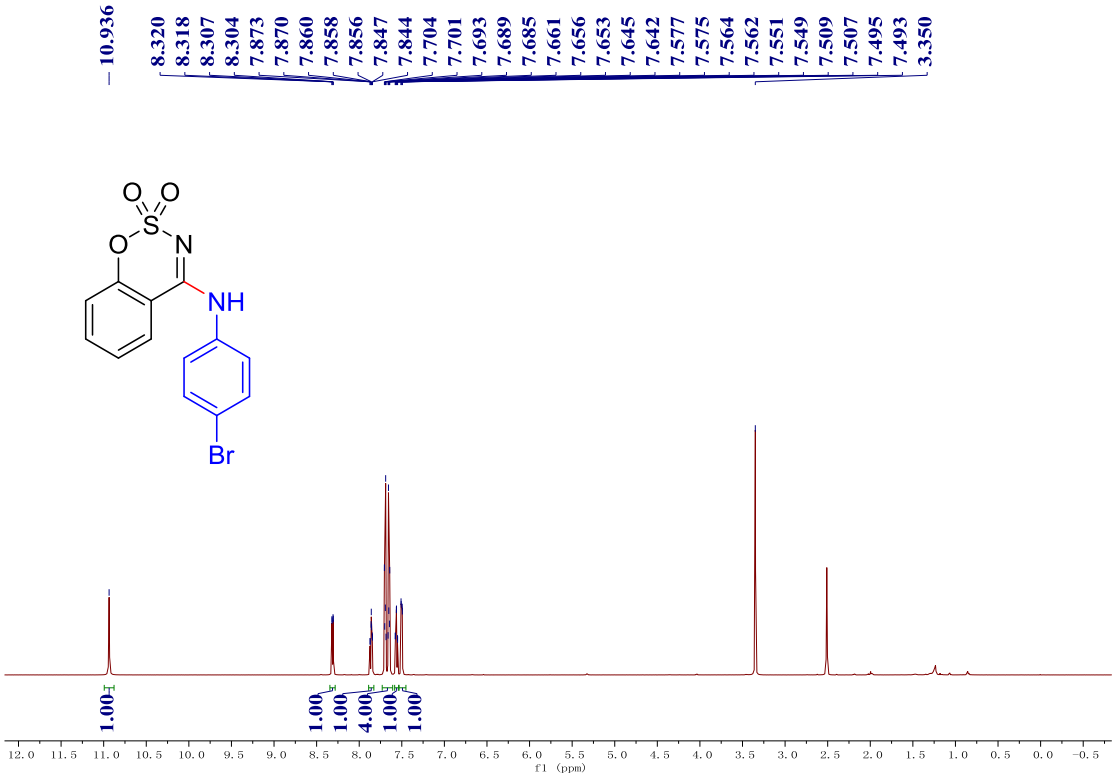
^{1}H NMR Spectrum of 3h at 25 °C (DMSO-d_6, 400 MHz)



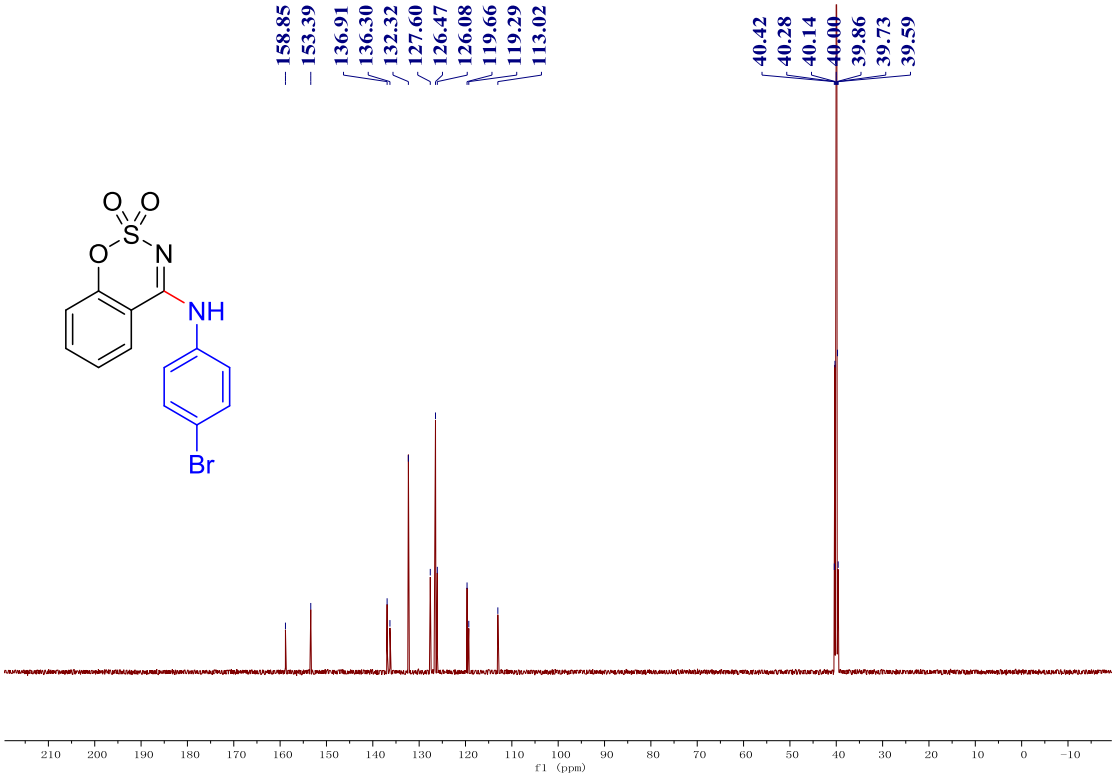
^{13}C NMR Spectrum of 3h at 25 °C (DMSO-d_6, 100 MHz)



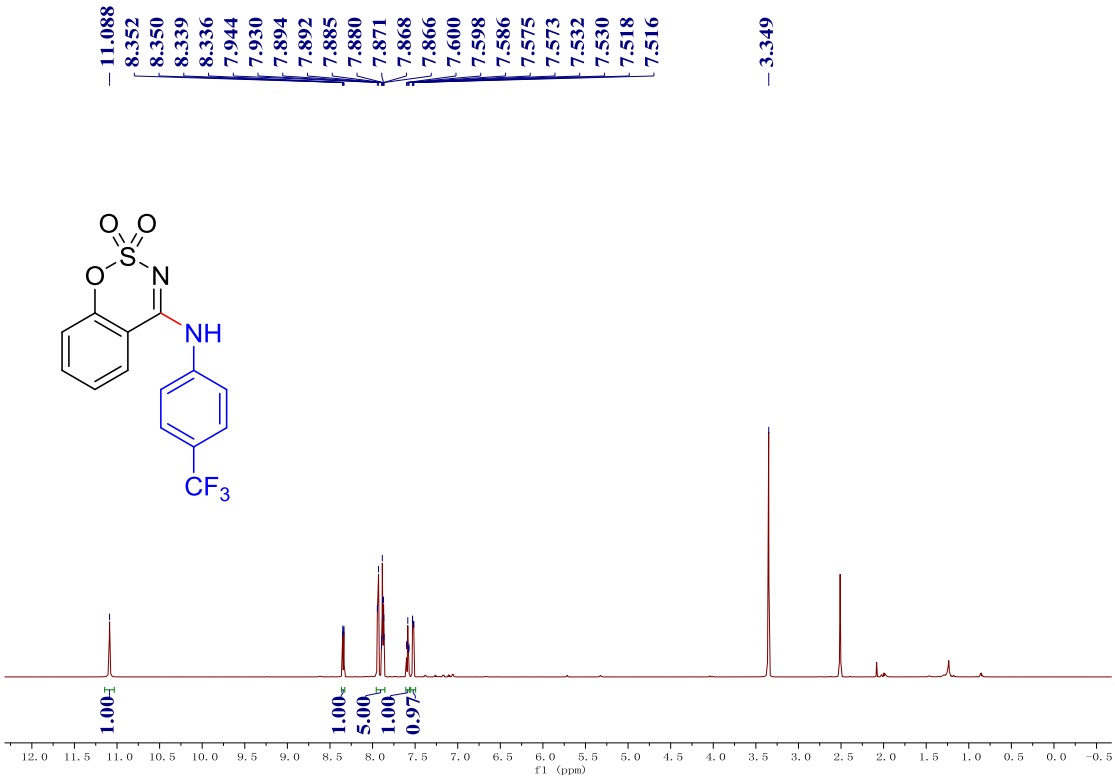
^{1}H NMR Spectrum of 3i at 25 °C (DMSO-d_6, 600 MHz)



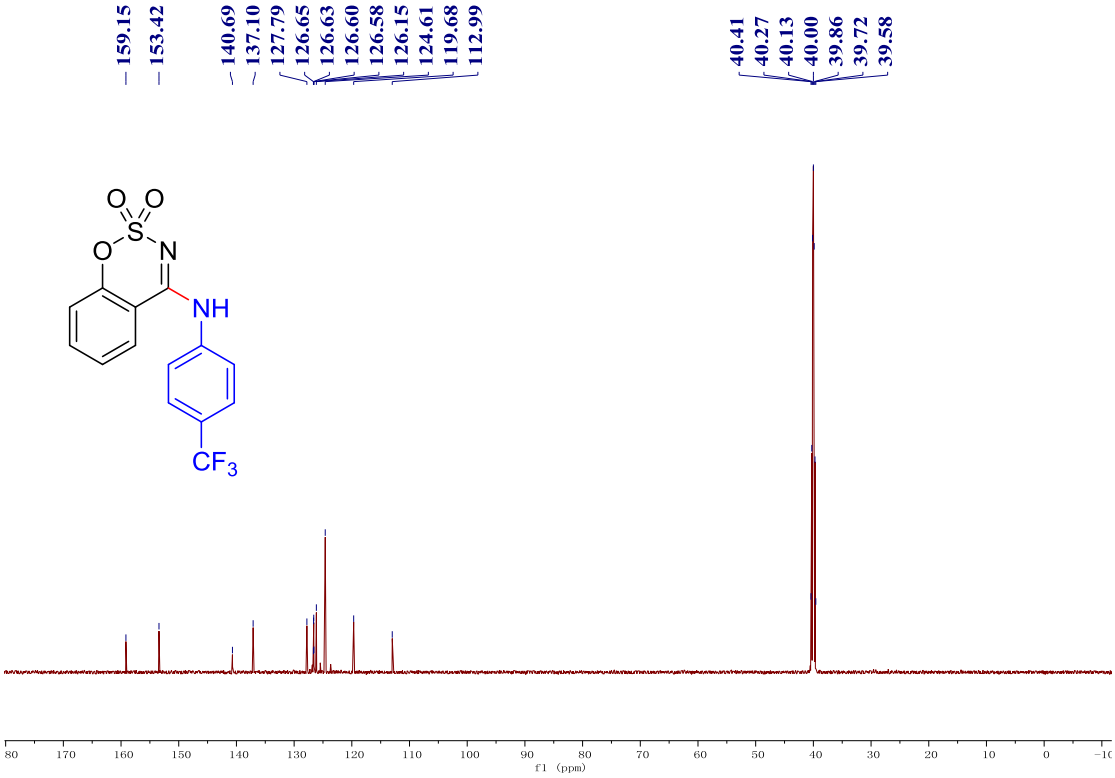
^{13}C NMR Spectrum of 3i at 25 °C (DMSO-d_6, 150 MHz)



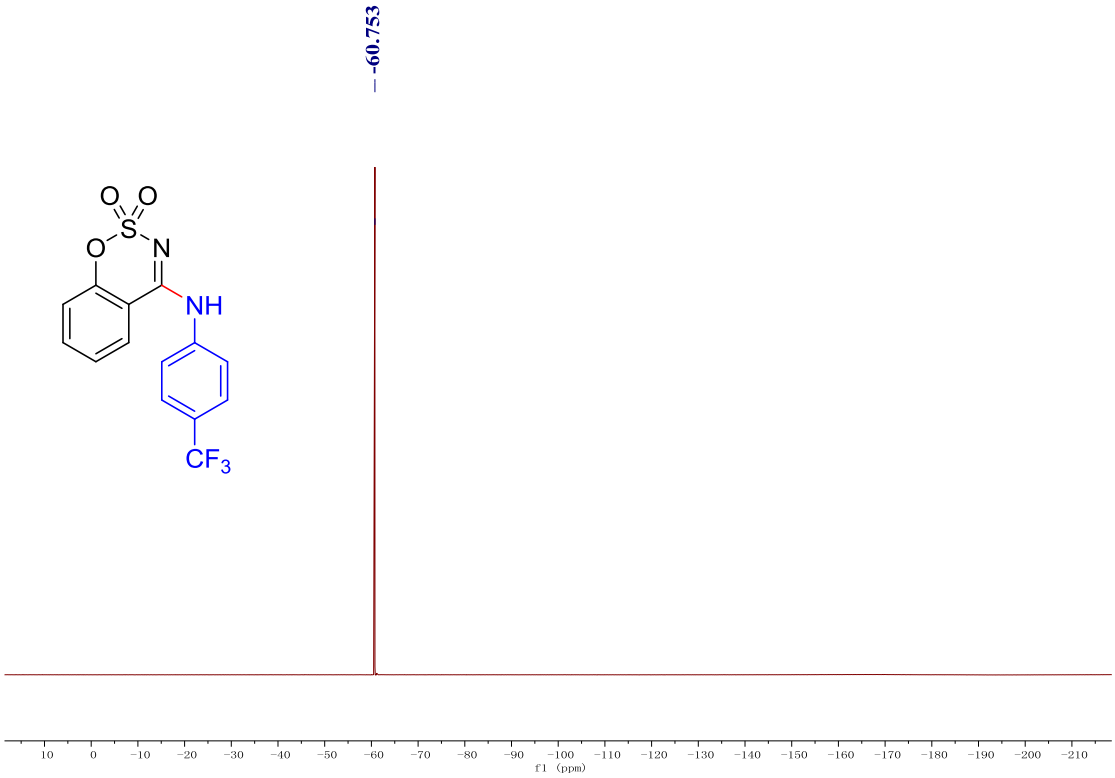
^{1}H NMR Spectrum of 3j at 25 °C (DMSO-d_6, 600 MHz)



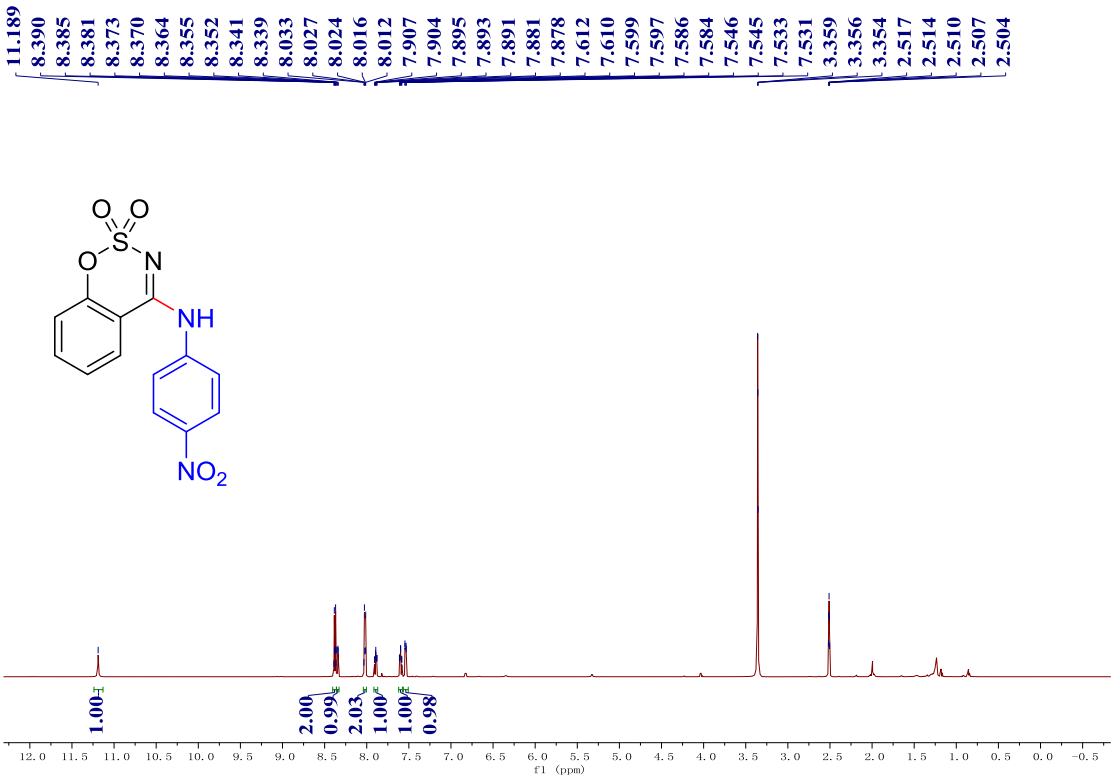
^{13}C NMR Spectrum of 3j at 25 °C (DMSO-d_6, 150 MHz)



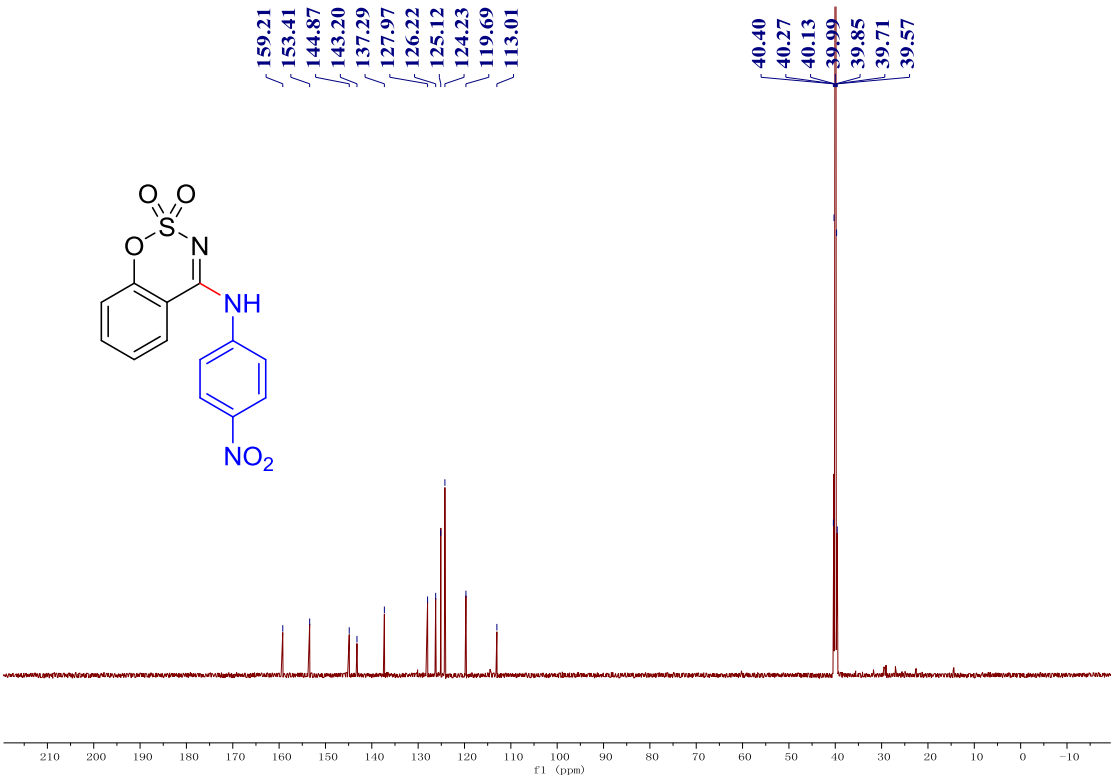
^{19}F NMR Spectrum of 3j at 25 °C (DMSO-d_6, 565 MHz)



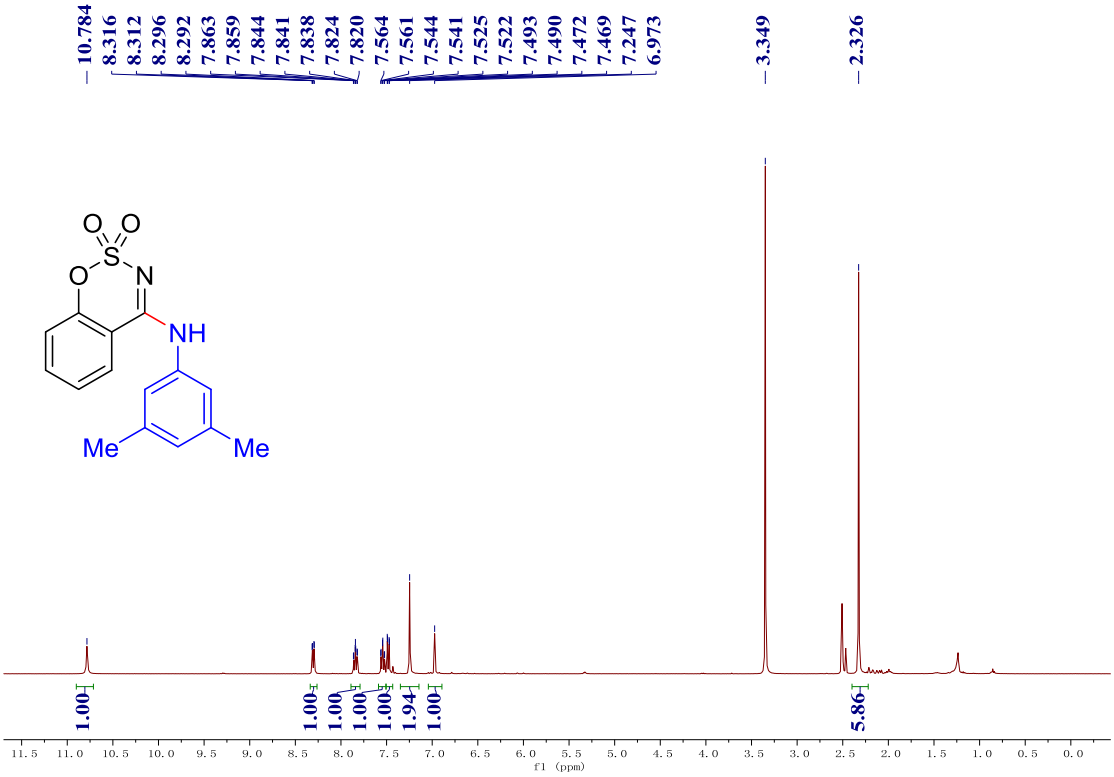
^{1}H NMR Spectrum of 3k at 25 °C (DMSO-d_6, 600 MHz)



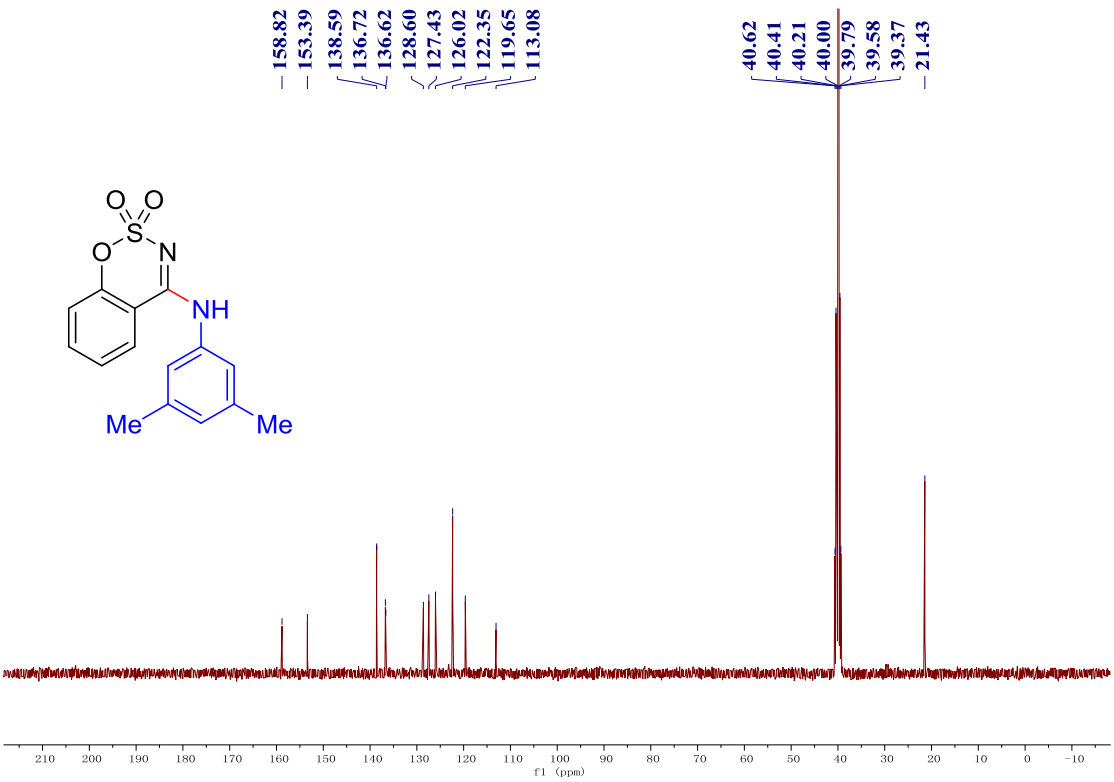
^{13}C NMR Spectrum of 3k at 25 °C (DMSO-d_6, 150 MHz)



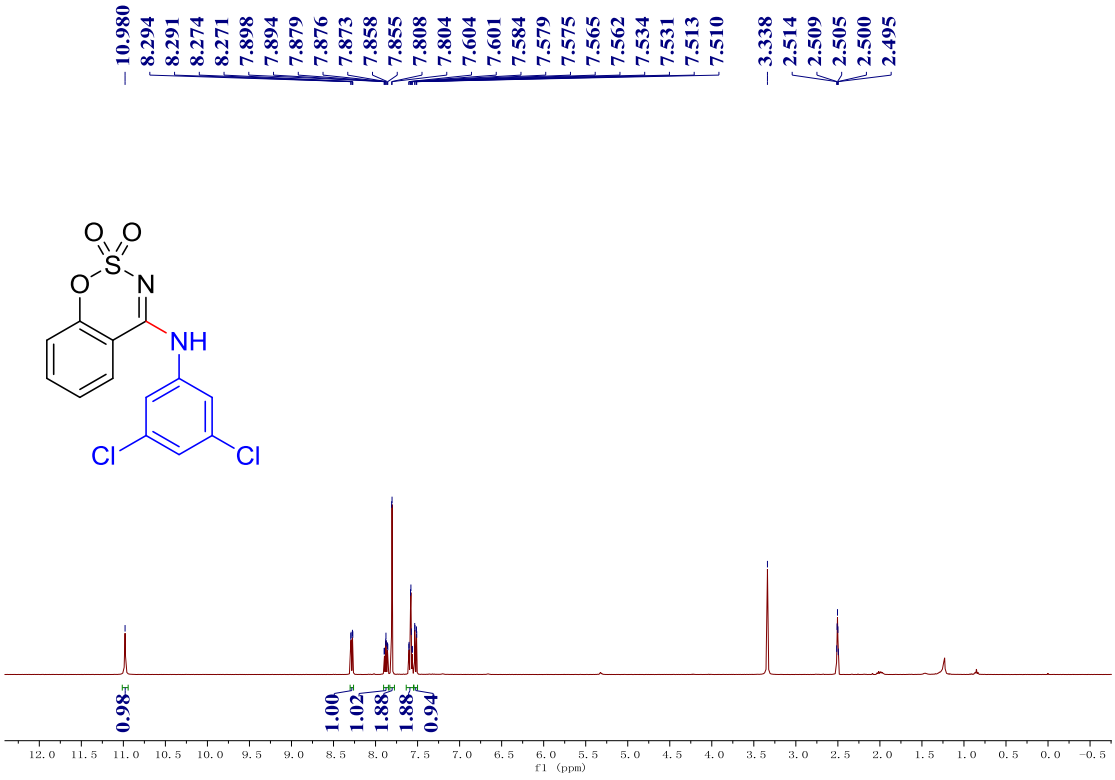
^{1}H NMR Spectrum of 3l at 25 °C (DMSO-d_6, 400 MHz)



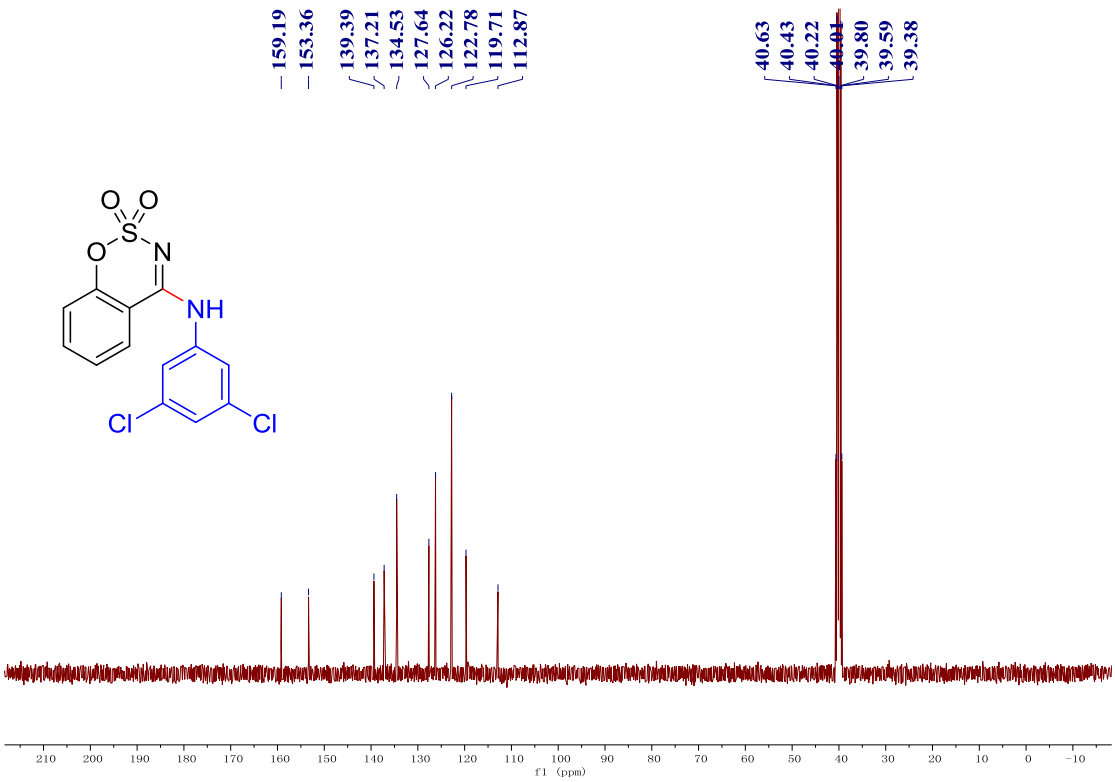
^{13}C NMR Spectrum of 3l at 25 °C (DMSO-d_6, 100 MHz)



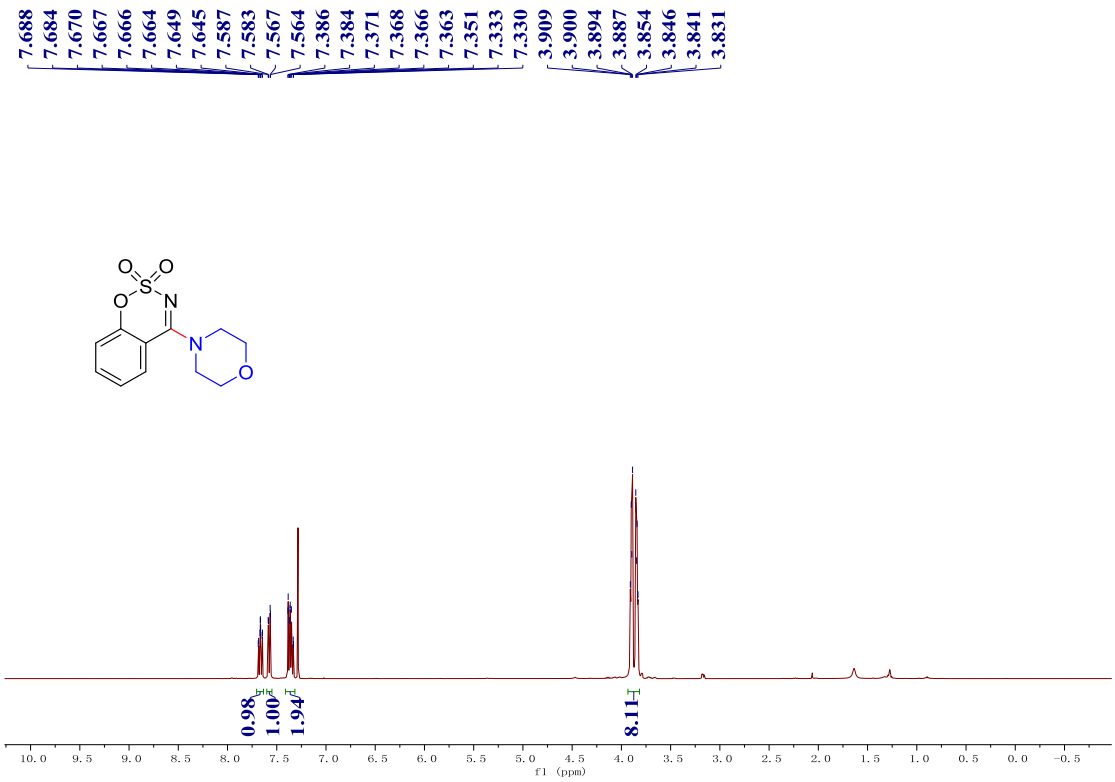
^{1}H NMR Spectrum of 3m at 25 °C (DMSO-d_6, 400 MHz)



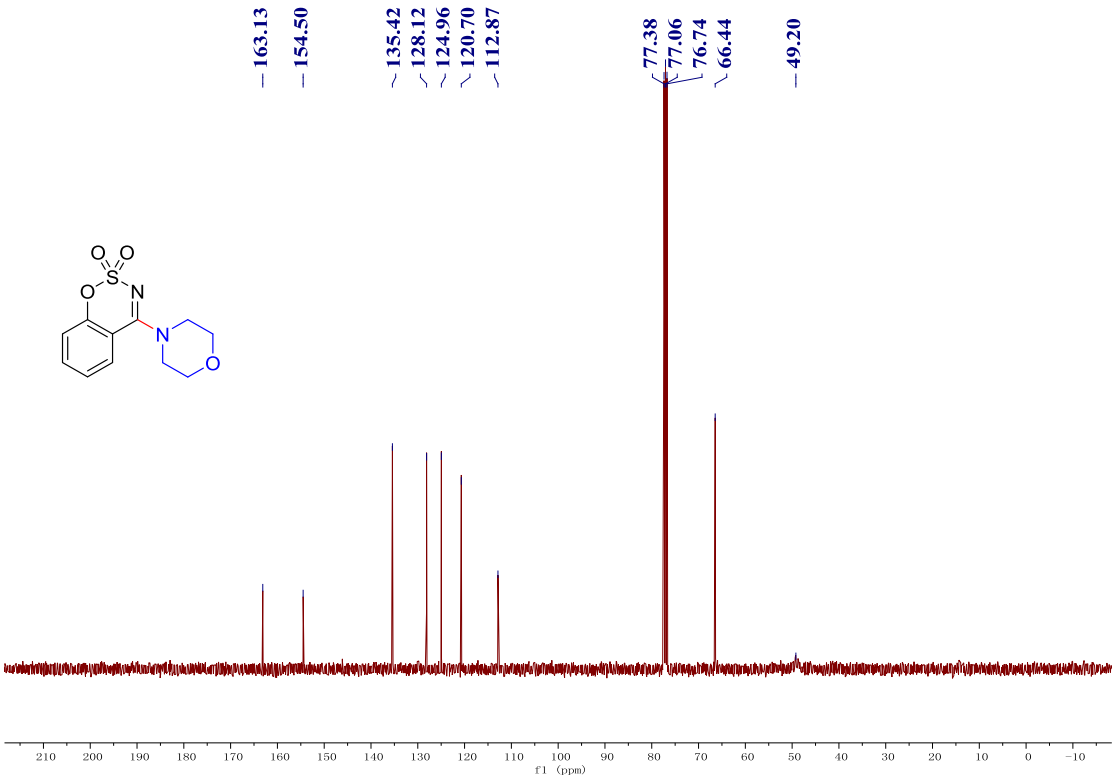
^{13}C NMR Spectrum of 3m at 25 °C (DMSO-d_6, 100 MHz)



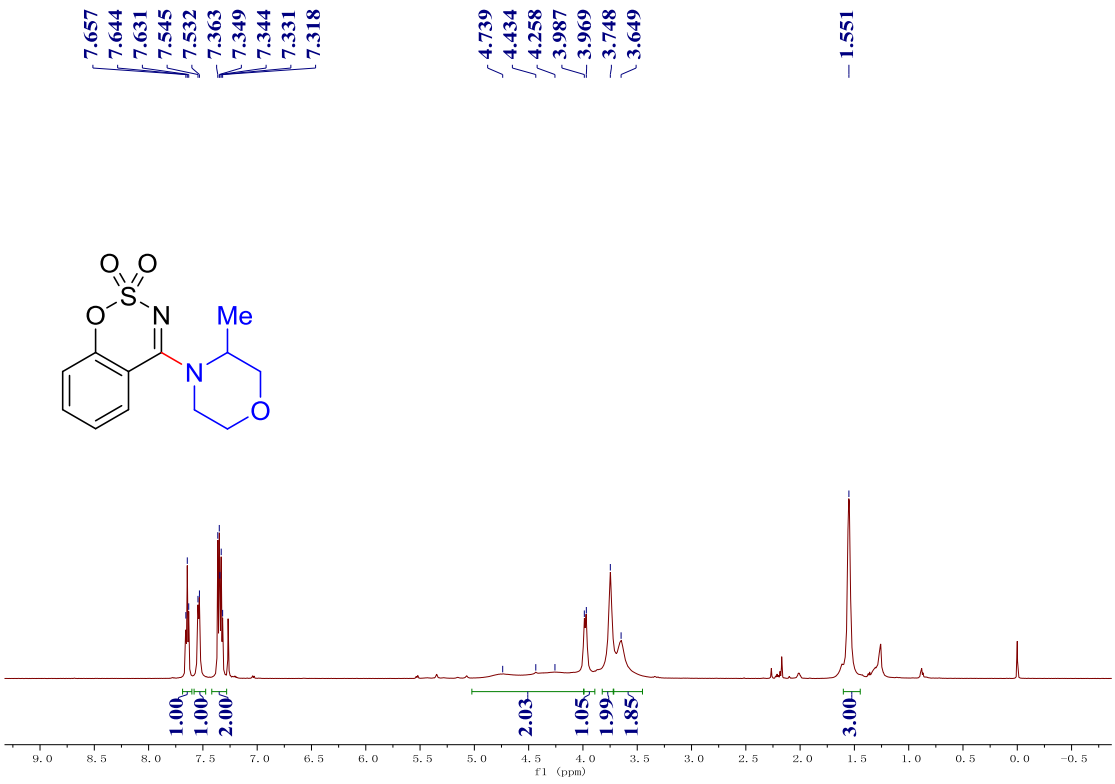
^{1}H NMR Spectrum of 3n at 25 °C ($CDCl_3$, 400 MHz)



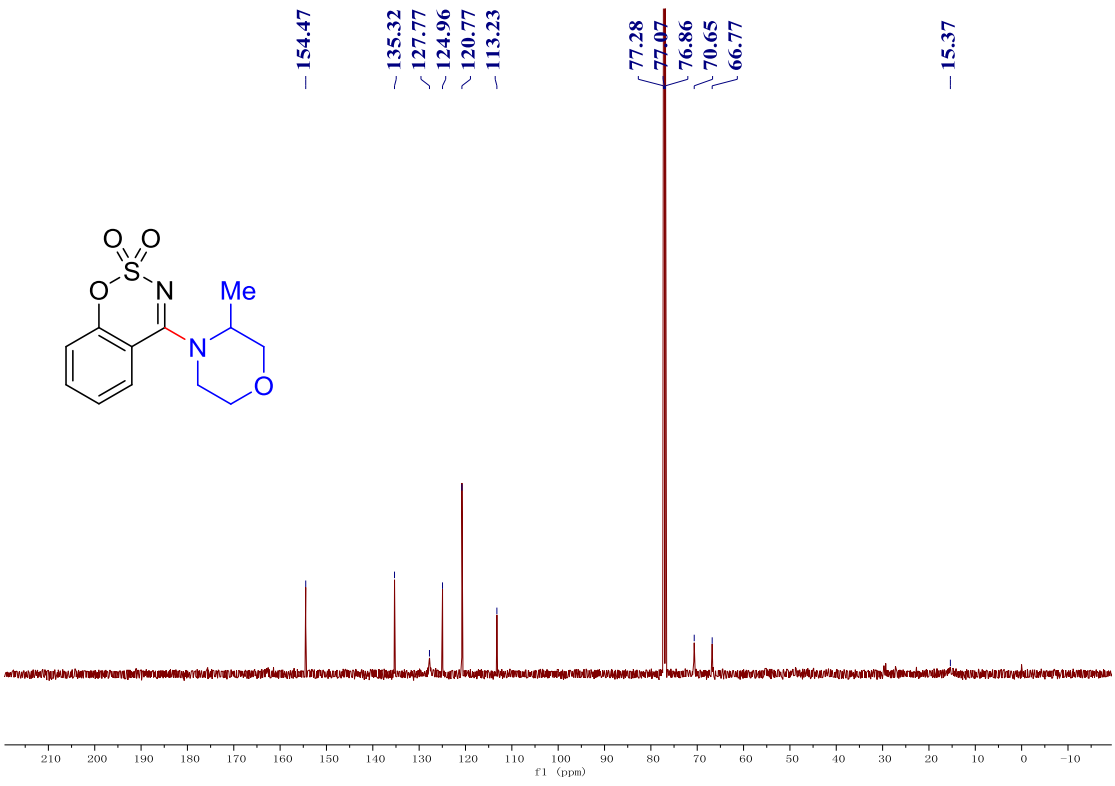
^{13}C NMR Spectrum of 3n at 25 °C ($CDCl_3$, 100 MHz)



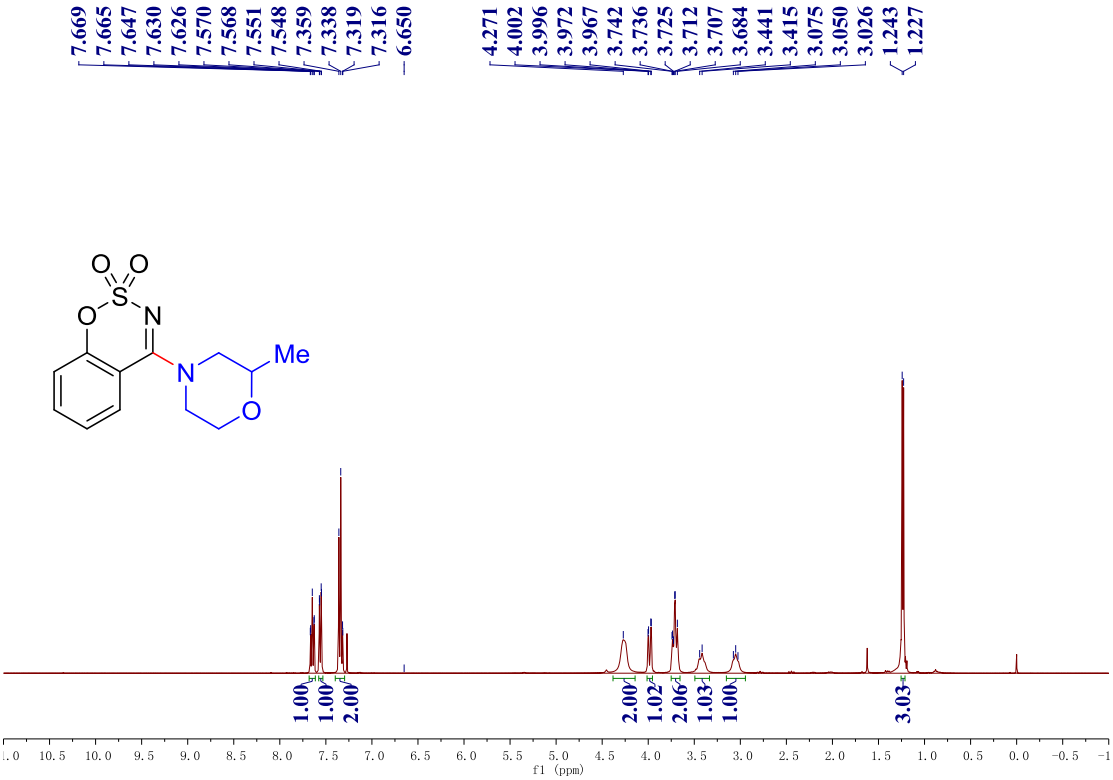
^{1}H NMR Spectrum of 3o at 25 °C ($CDCl_3$, 600 MHz)



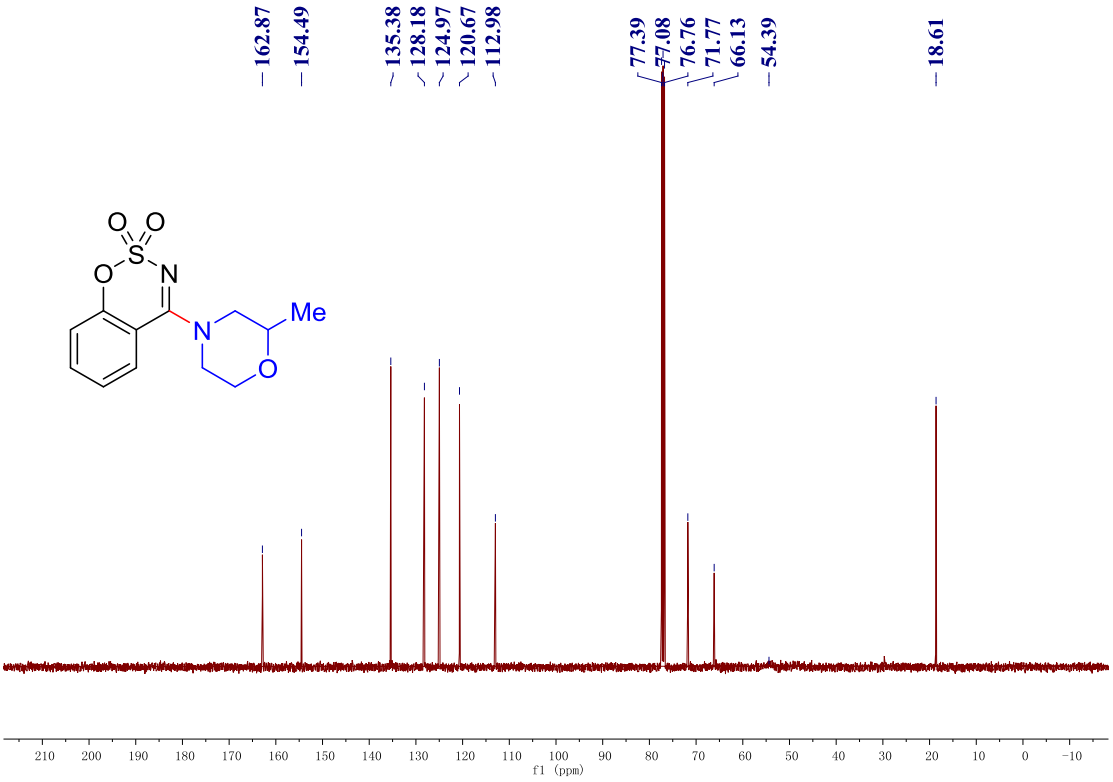
^{13}C NMR Spectrum of 3o at 25 °C ($CDCl_3$, 150 MHz)



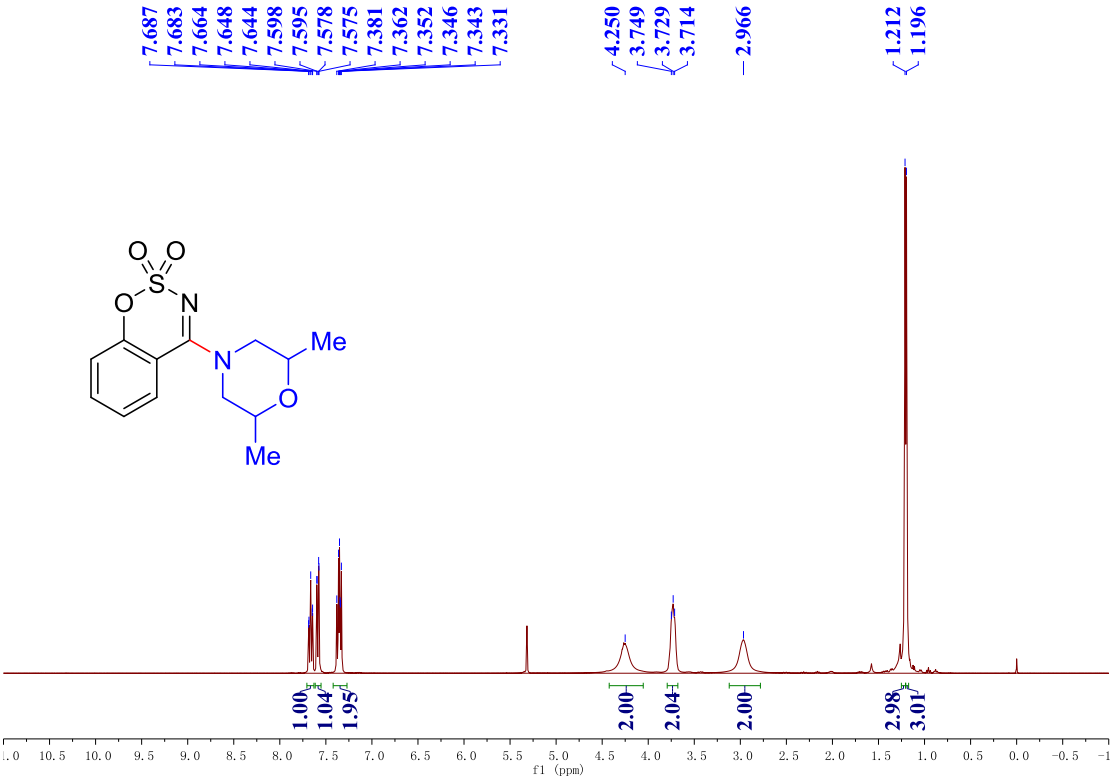
^{1}H NMR Spectrum of 3p at 25 °C ($CDCl_3$, 400 MHz)



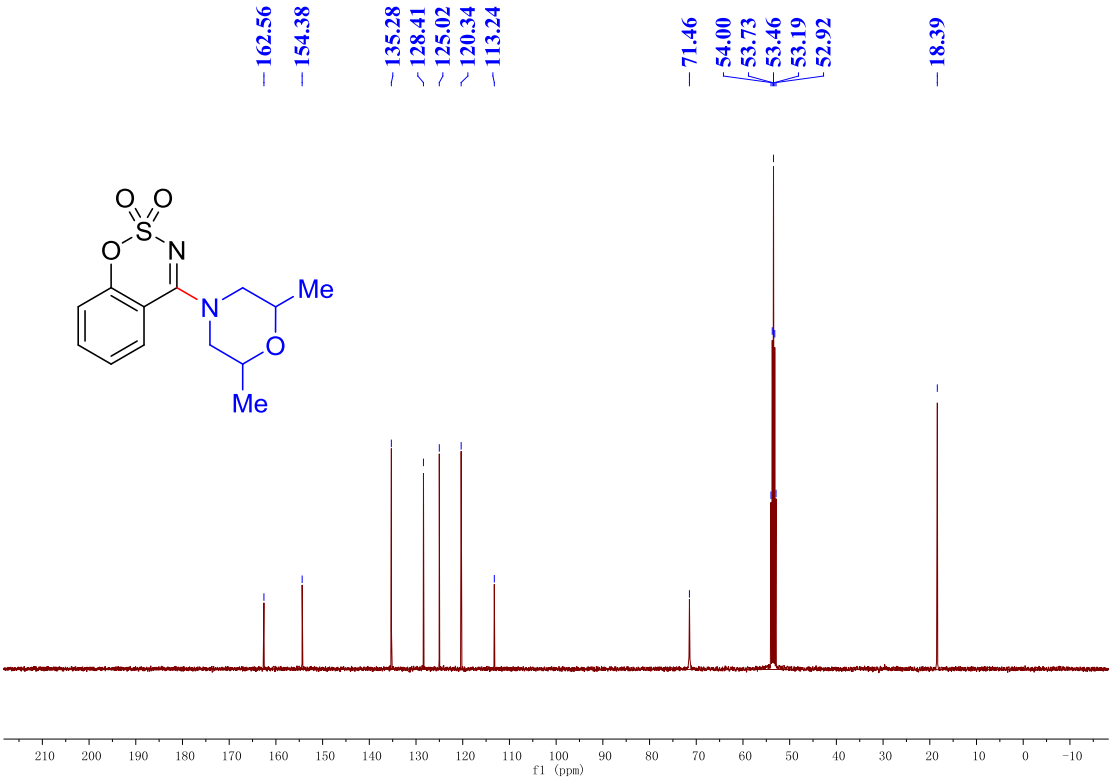
^{13}C NMR Spectrum of 3p at 25 °C ($CDCl_3$, 100 MHz)



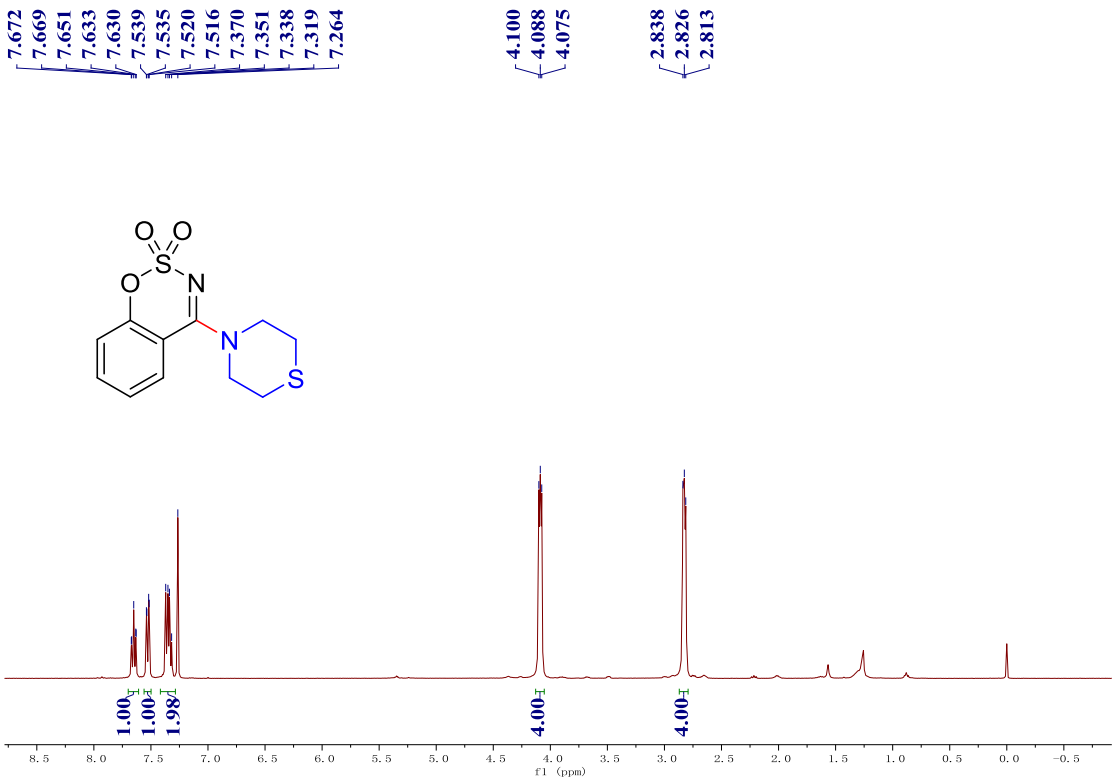
^{1}H NMR Spectrum of 3q at 25 °C (CD_2Cl_2, 600 MHz)



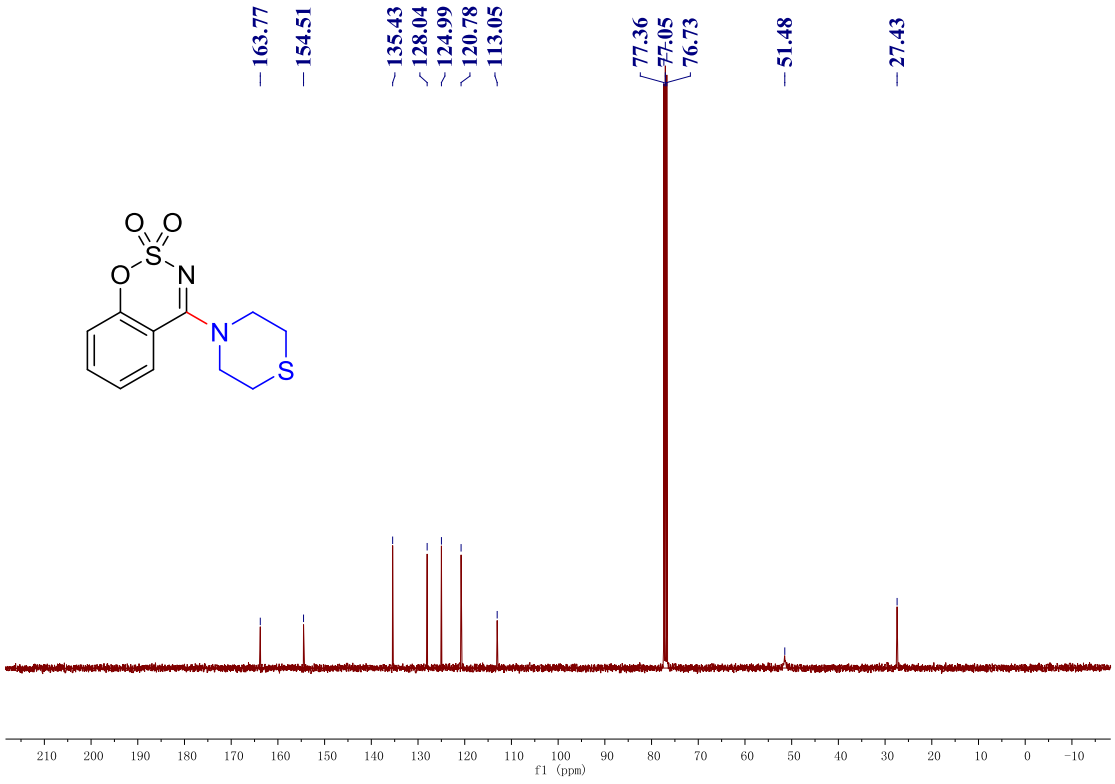
^{13}C NMR Spectrum of 3q at 25 °C (CD_2Cl_2, 150 MHz)



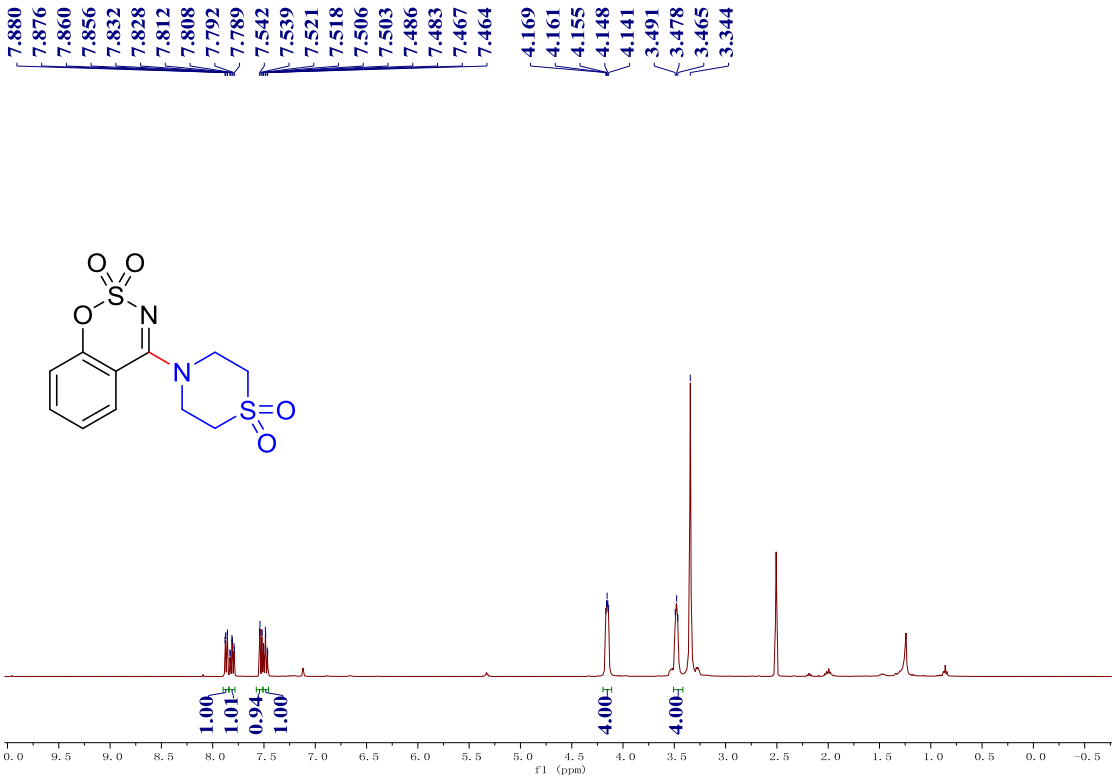
^{1}H NMR Spectrum of 3r at 25 °C ($CDCl_3$, 400 MHz)



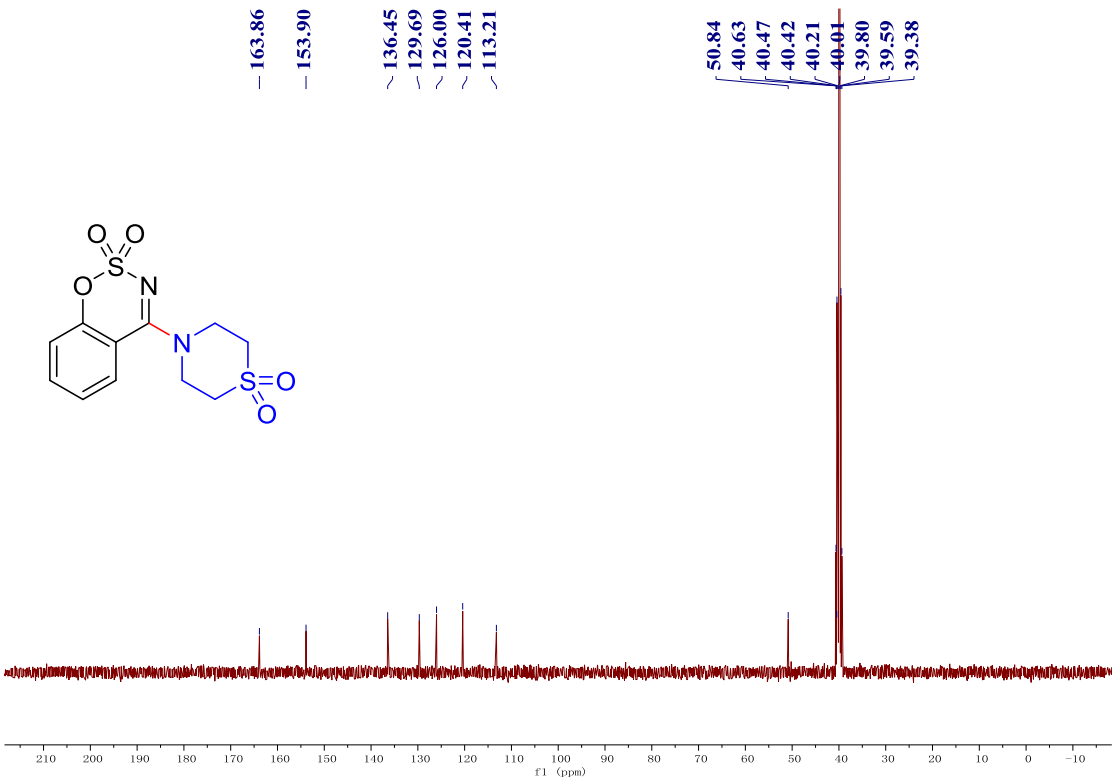
^{13}C NMR Spectrum of 3r at 25 °C ($CDCl_3$, 100 MHz)



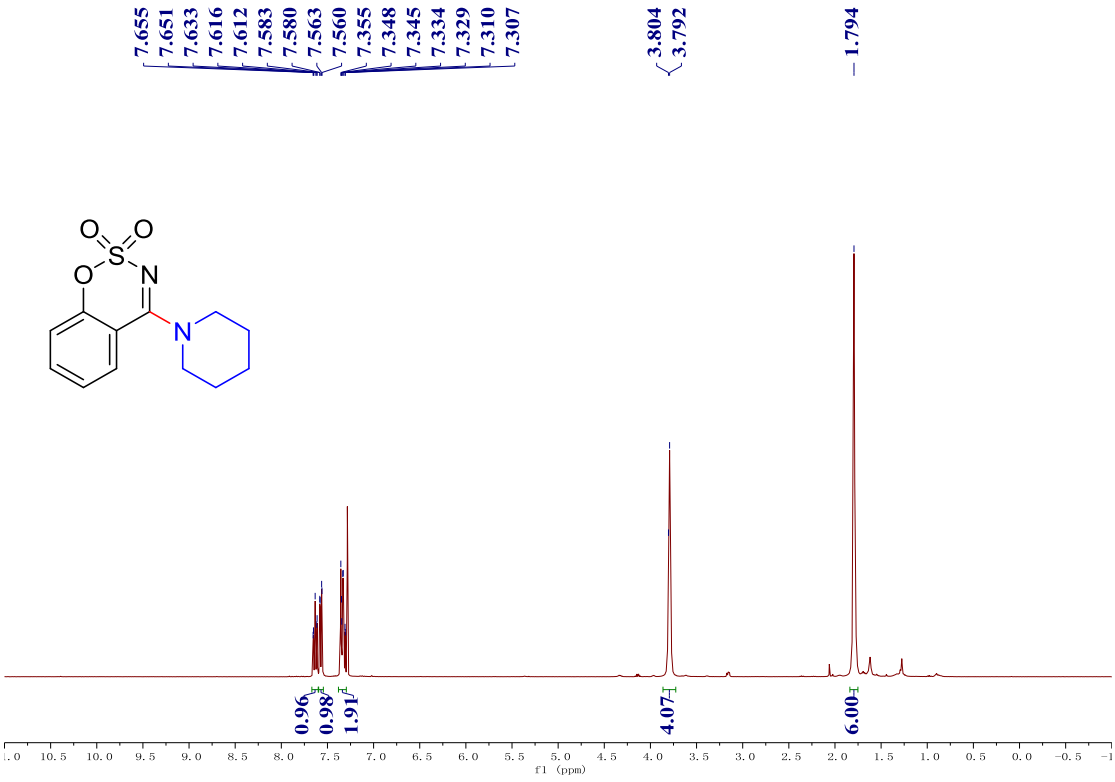
^{1}H NMR Spectrum of 3s at 25 °C (DMSO-d_6, 400 MHz)



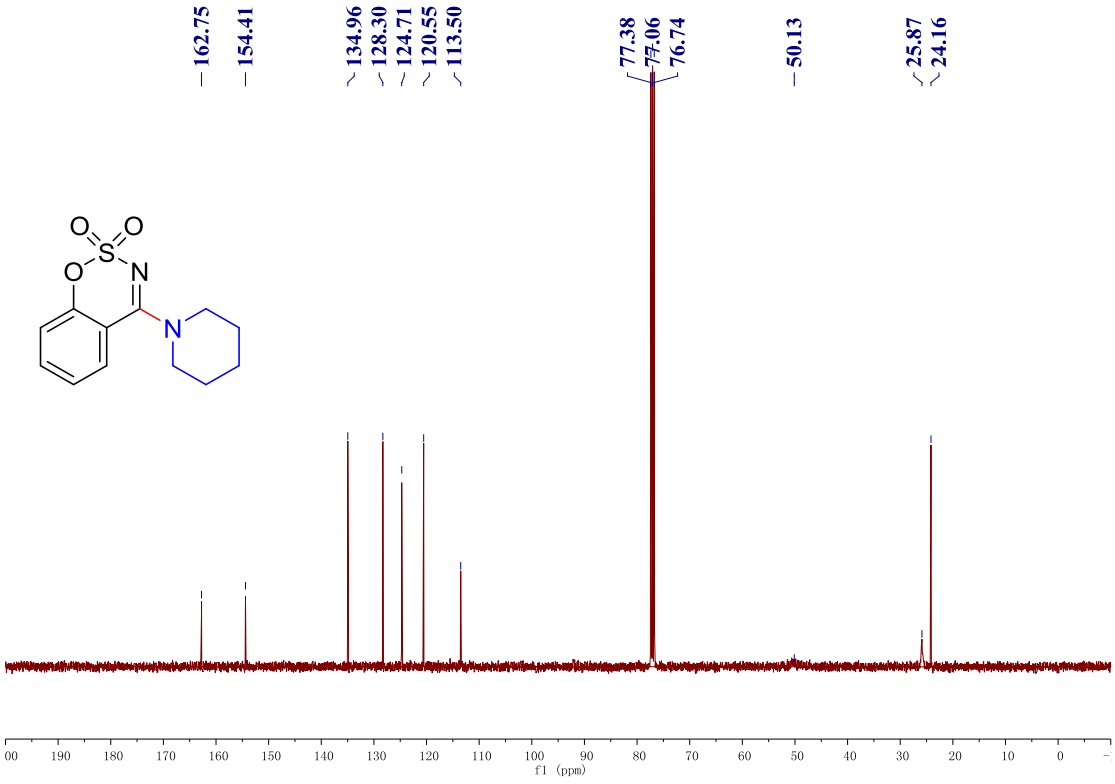
^{13}C NMR Spectrum of 3s at 25 °C (DMSO-d_6, 100 MHz)



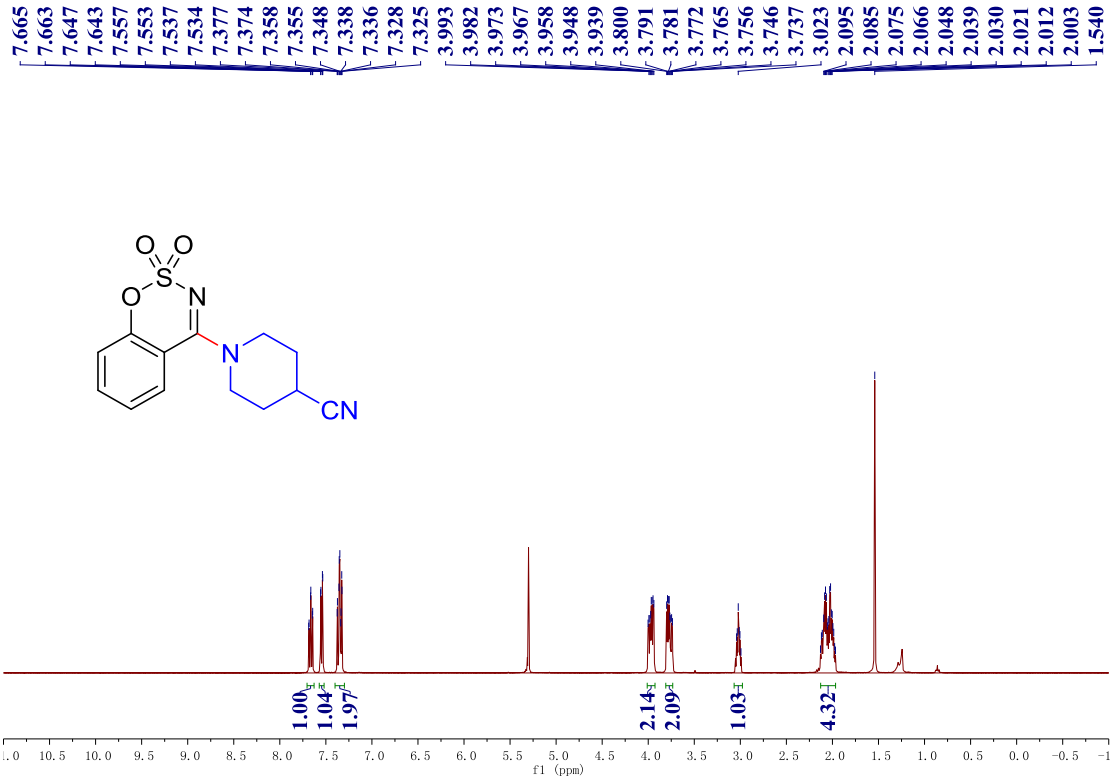
^{1}H NMR Spectrum of 3t at 25 °C ($CDCl_3$, 400 MHz)



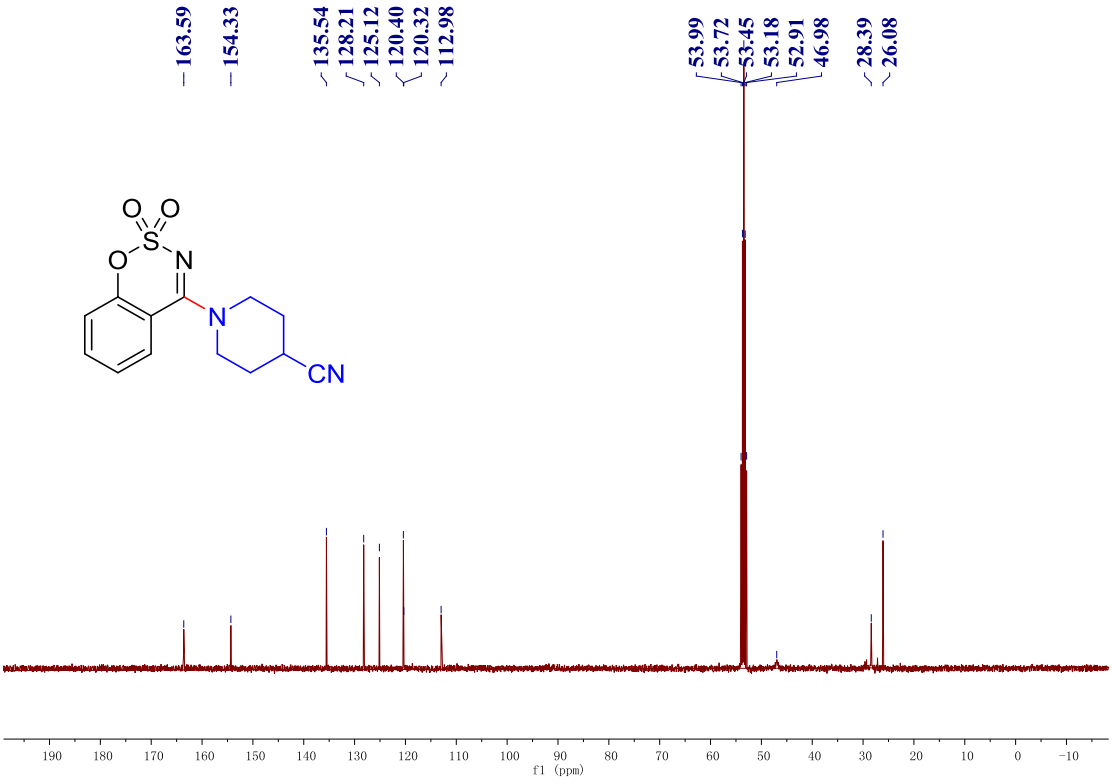
^{13}C NMR Spectrum of 3t at 25 °C ($CDCl_3$, 100 MHz)



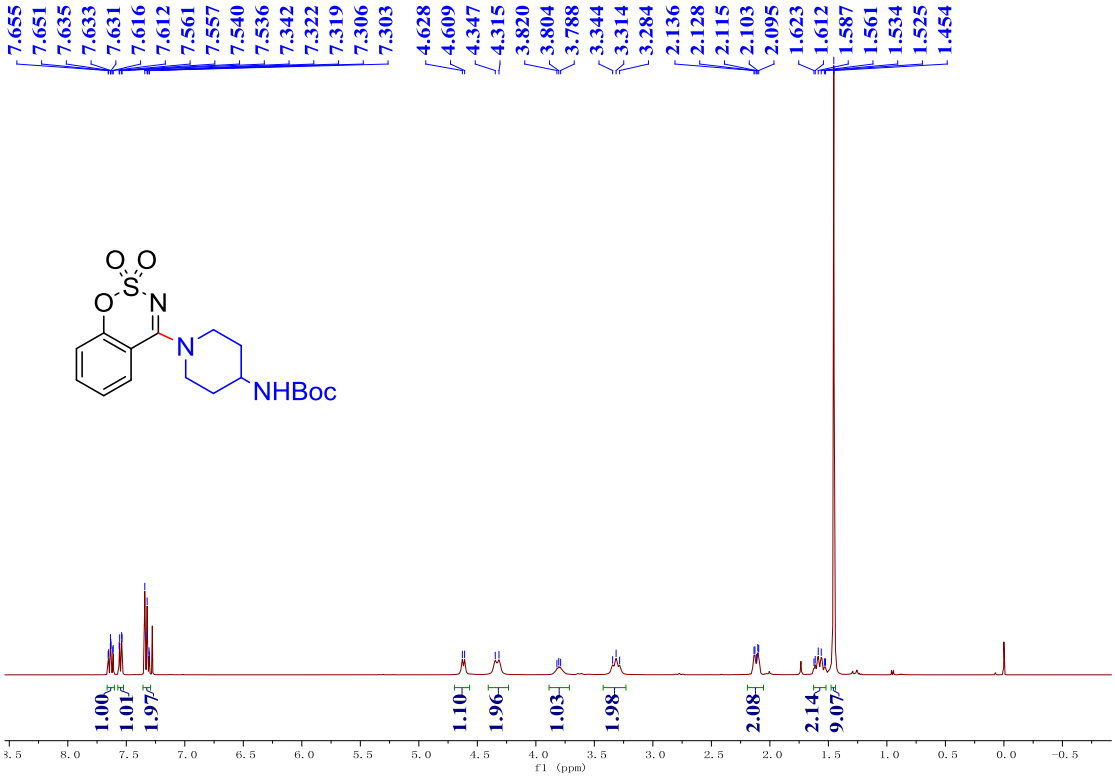
^{1}H NMR Spectrum of 3u at 25 °C (CD_2Cl_2, 400 MHz)



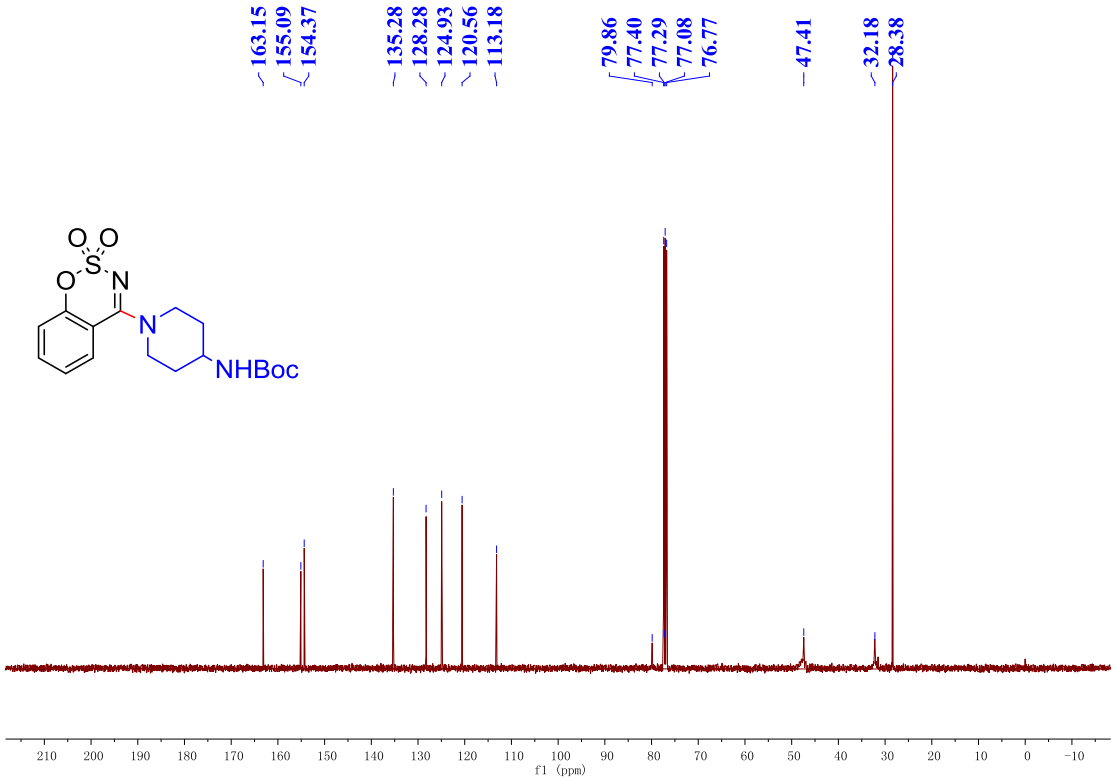
^{13}C NMR Spectrum of 3u at 25 °C (CD_2Cl_2, 100 MHz)



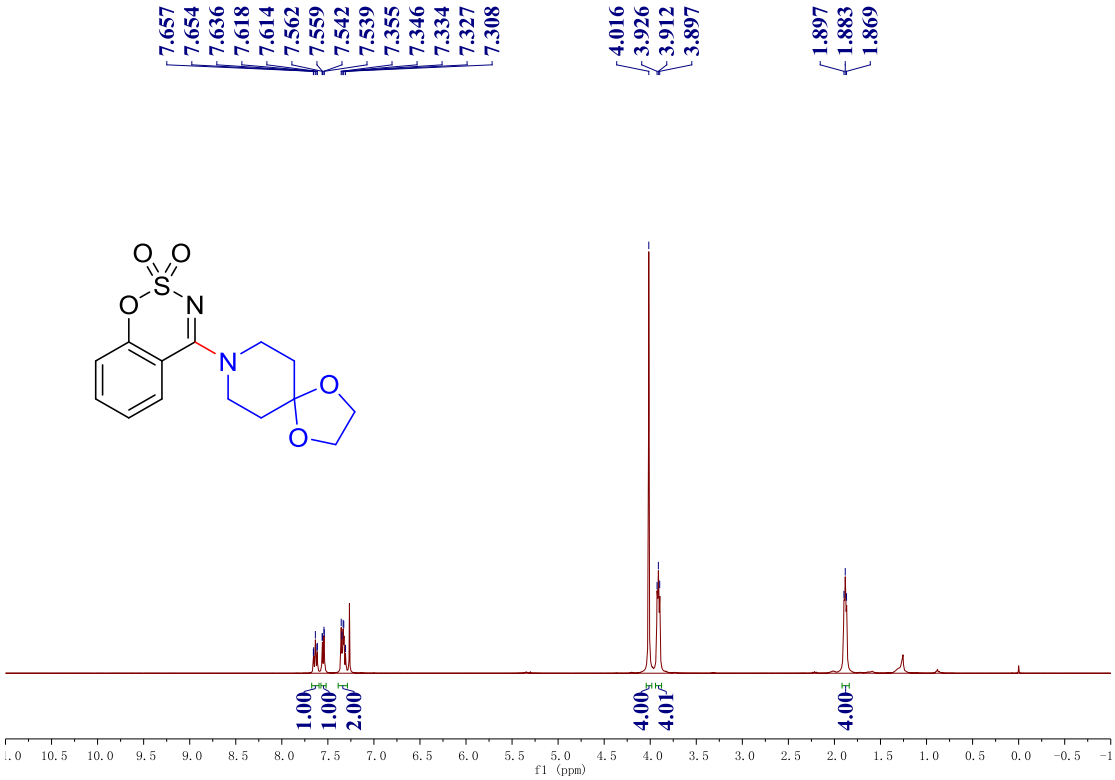
^{1}H NMR Spectrum of 3v at 25 °C ($CDCl_3$, 400 MHz)



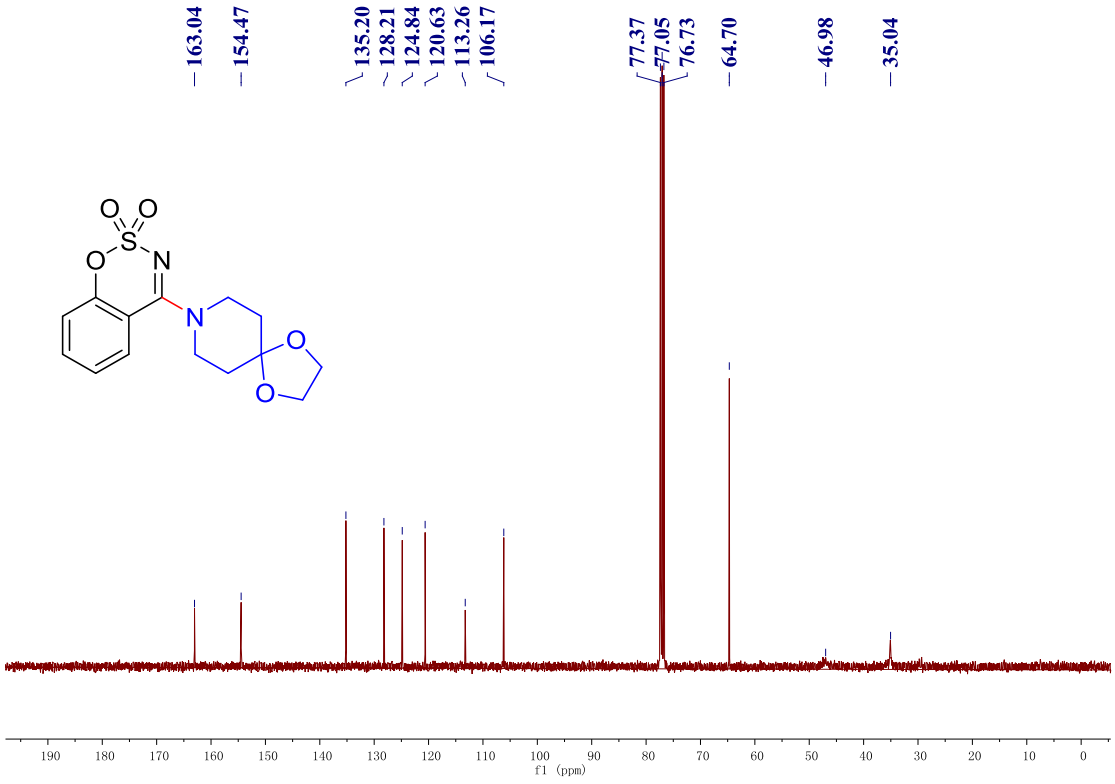
^{13}C NMR Spectrum of 3v at 25 °C ($CDCl_3$, 100 MHz)



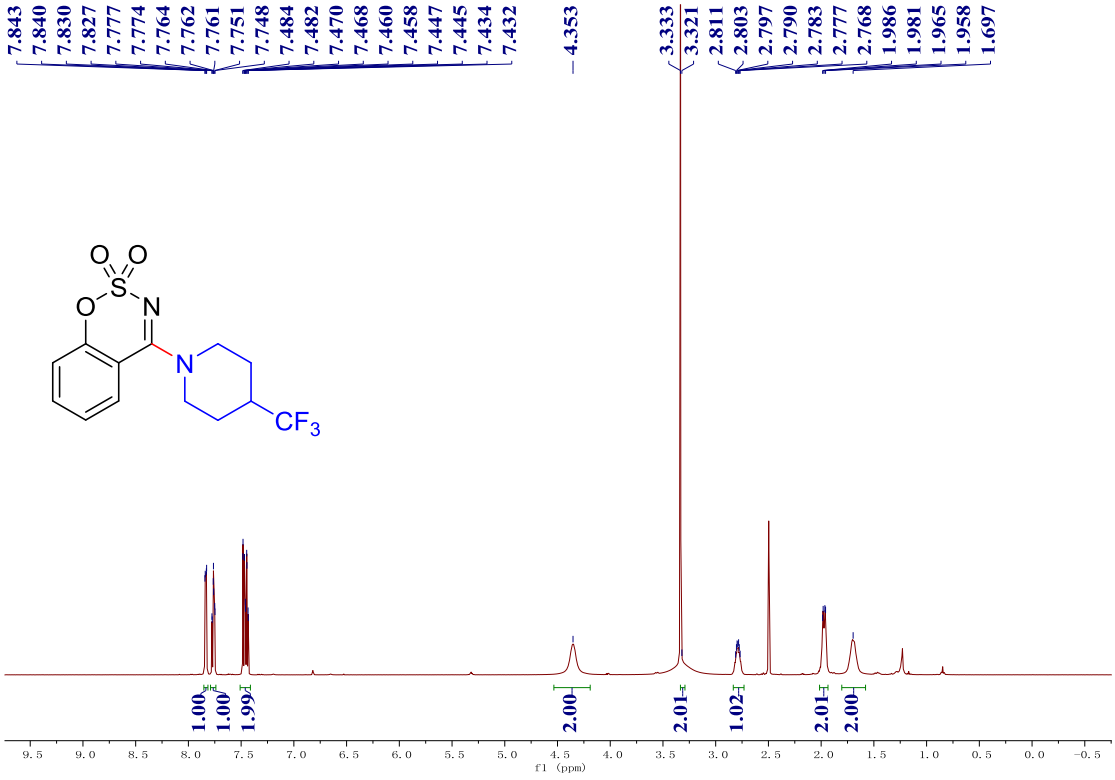
^{1}H NMR Spectrum of 3w at 25 °C ($CDCl_3$, 400 MHz)



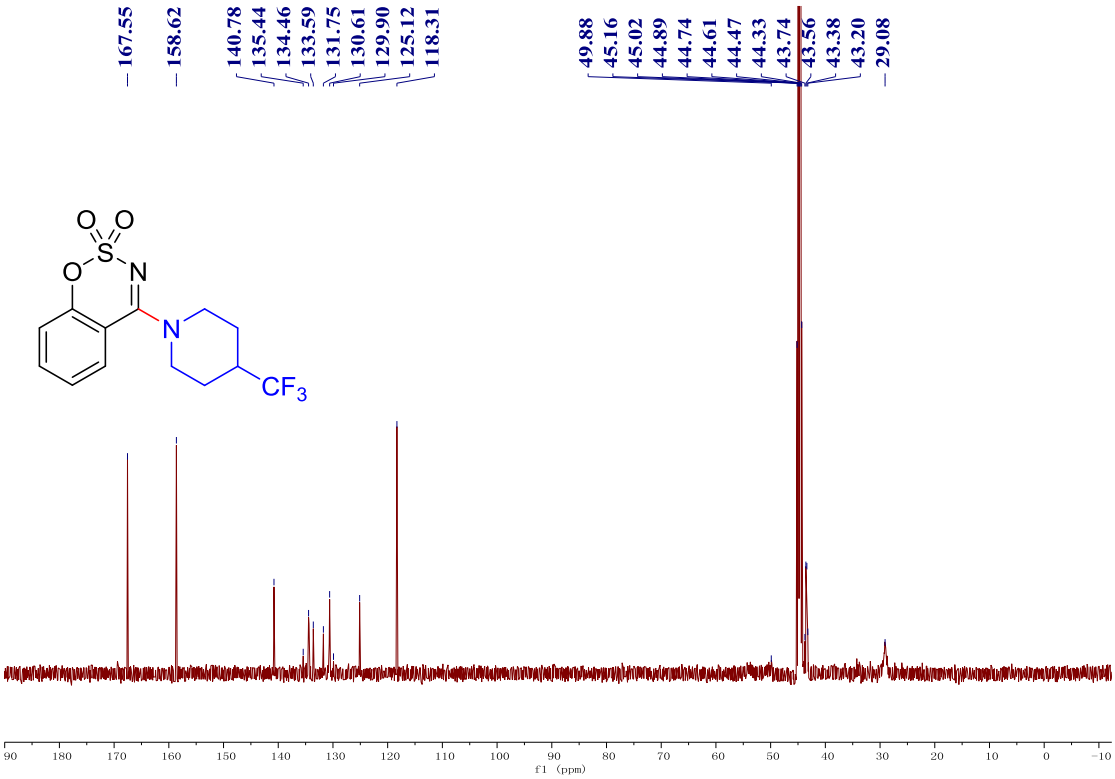
^{13}C NMR Spectrum of 3w at 25 °C ($CDCl_3$, 100 MHz)



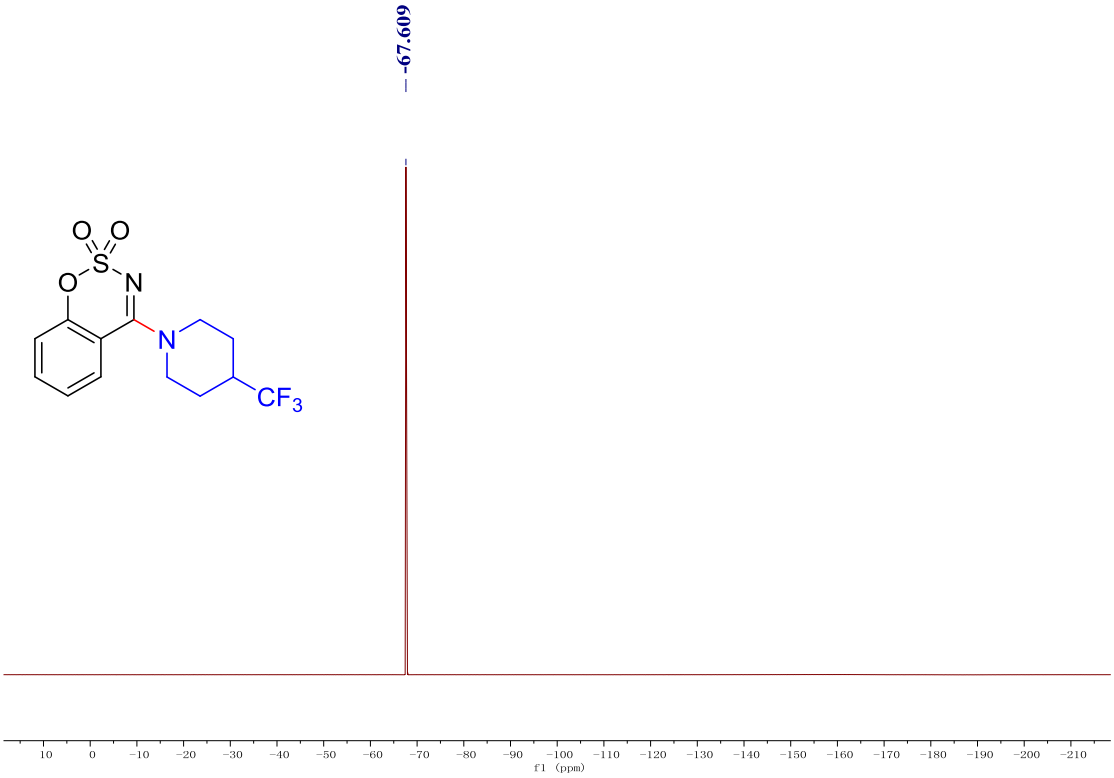
^{1}H NMR Spectrum of 3x at 25 °C ($CDCl_3$, 600 MHz)



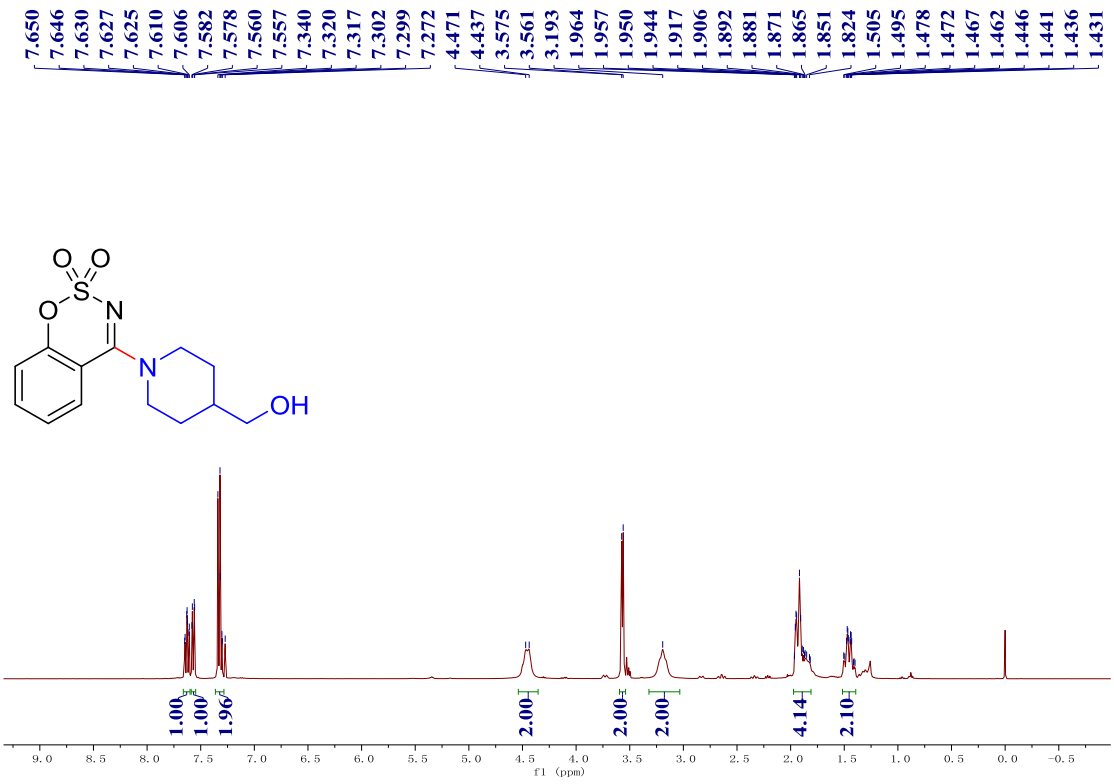
^{13}C NMR Spectrum of 3x at 25 °C ($CDCl_3$, 150 MHz)



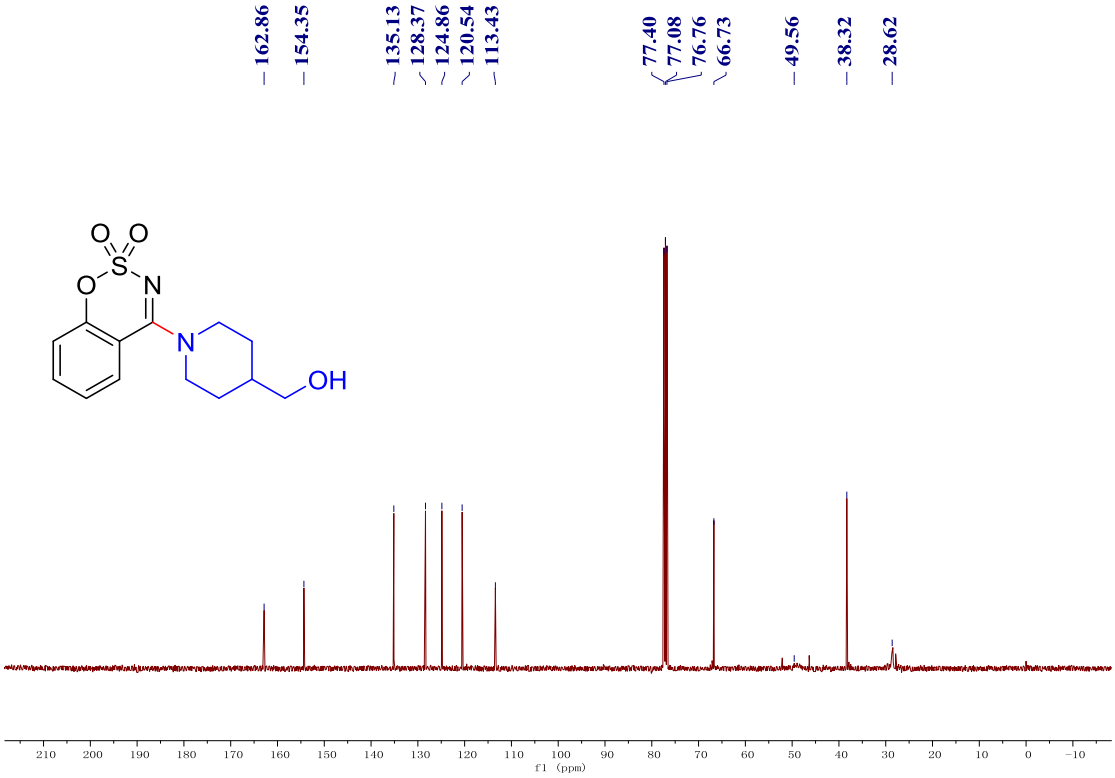
^{19}F NMR Spectrum of 3x at 25 °C ($CDCl_3$, 565 MHz)



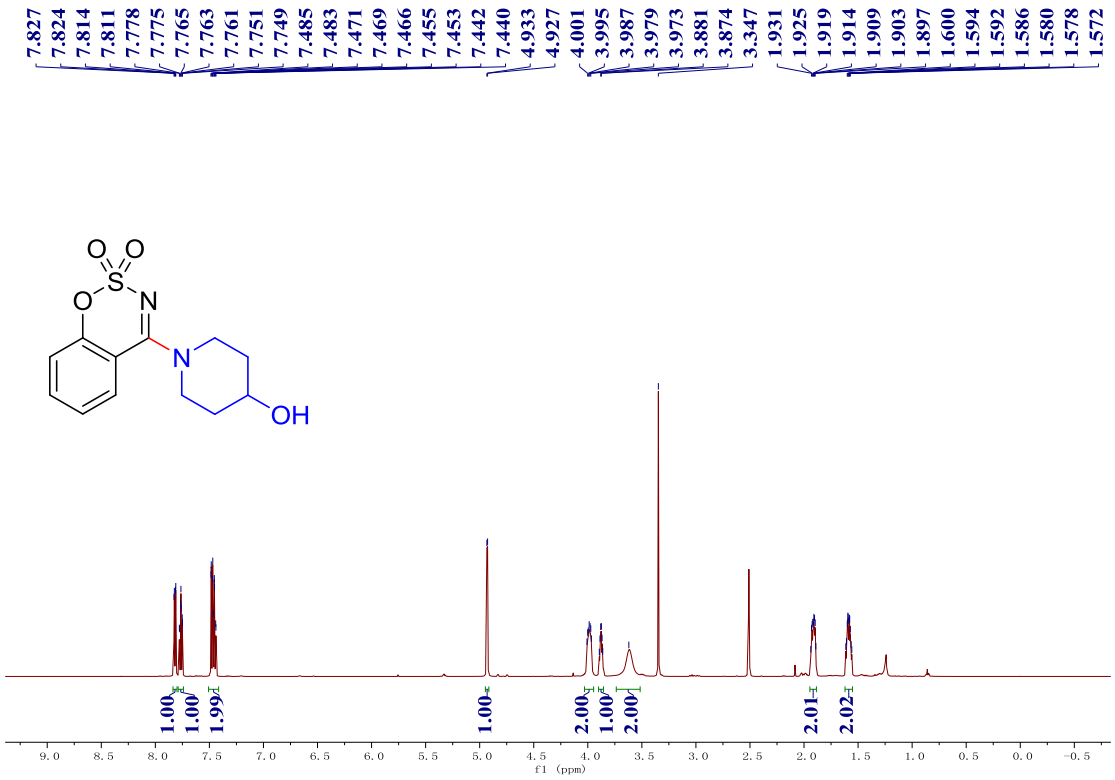
^{1}H NMR Spectrum of 3y at 25 °C ($CDCl_3$, 400 MHz)



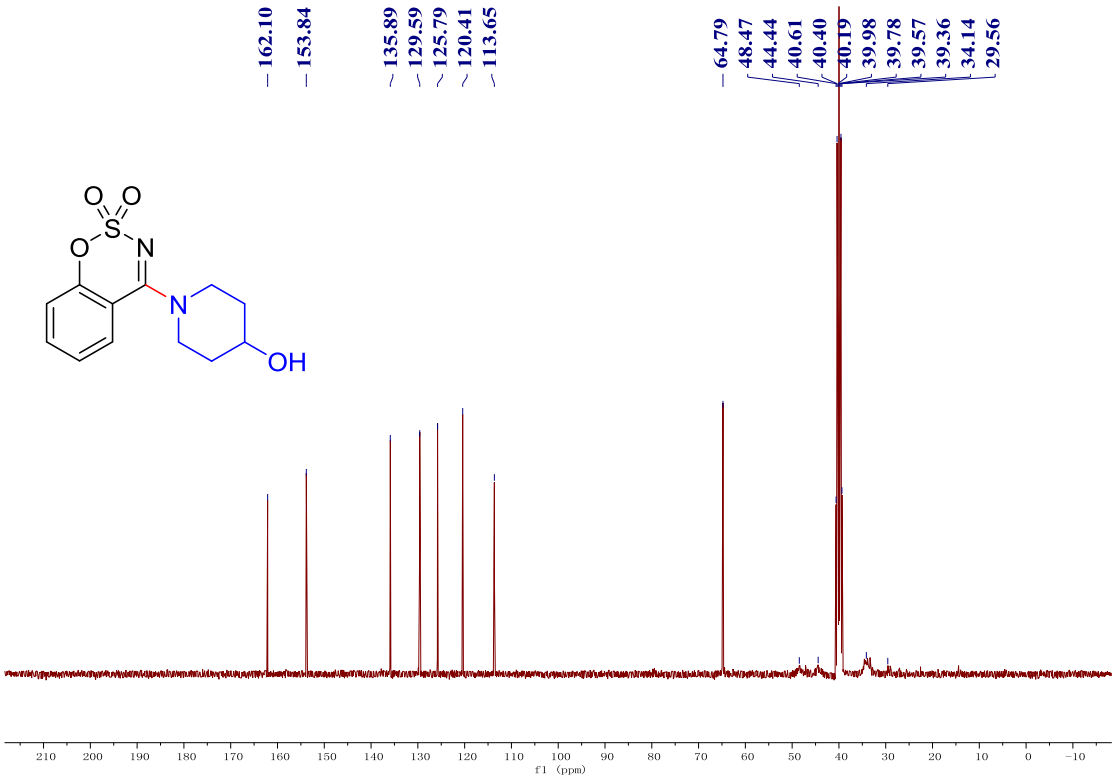
^{13}C NMR Spectrum of 3y at 25 °C ($CDCl_3$, 100 MHz)



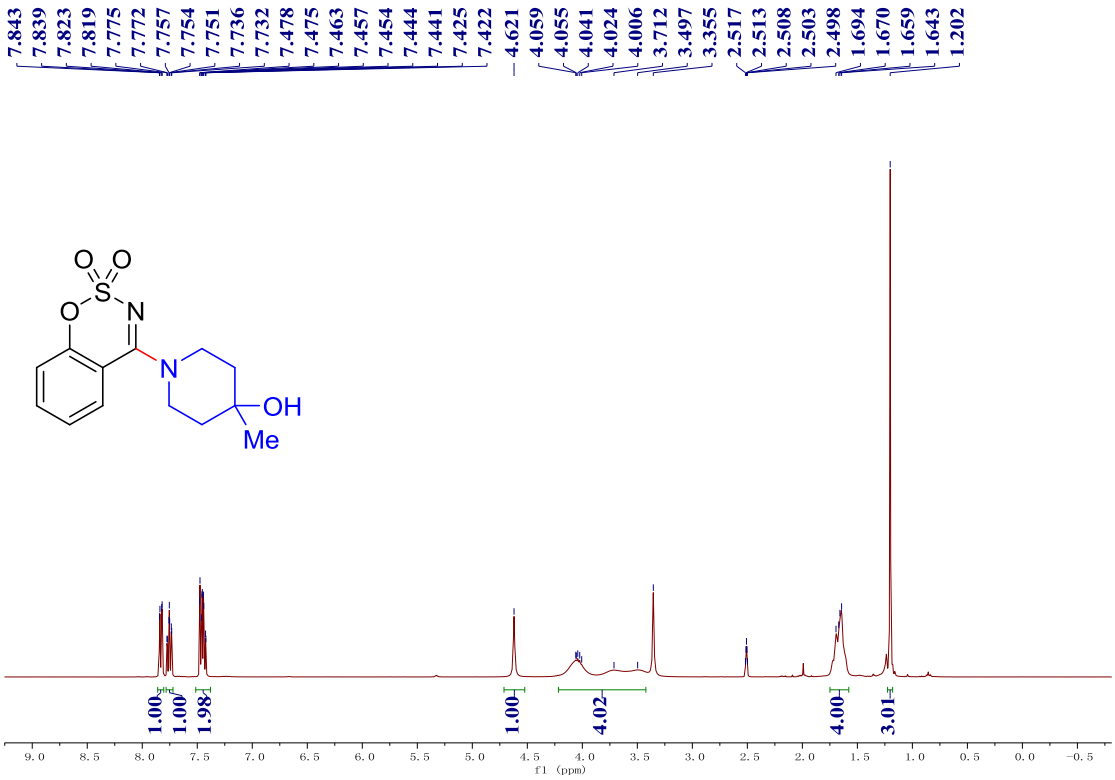
^{1}H NMR Spectrum of 3z at 25 °C (DMSO-d_6, 600 MHz)



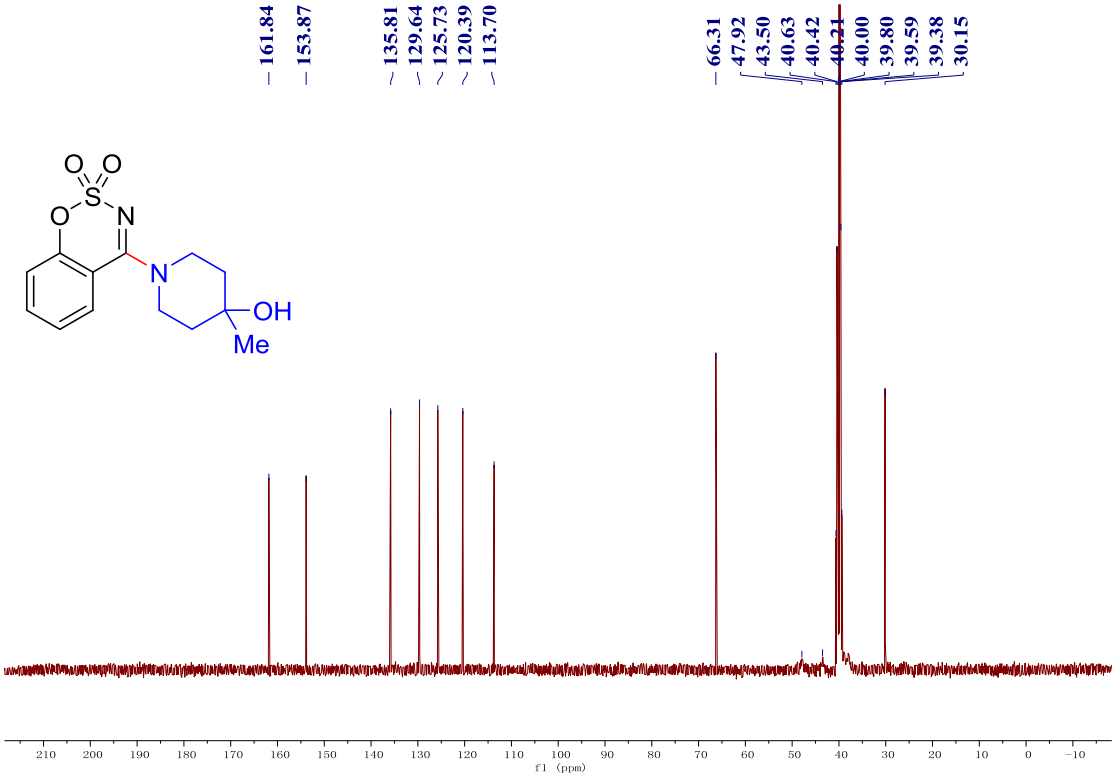
^{13}C NMR Spectrum of 3z at 25 °C (DMSO-d_6, 150 MHz)



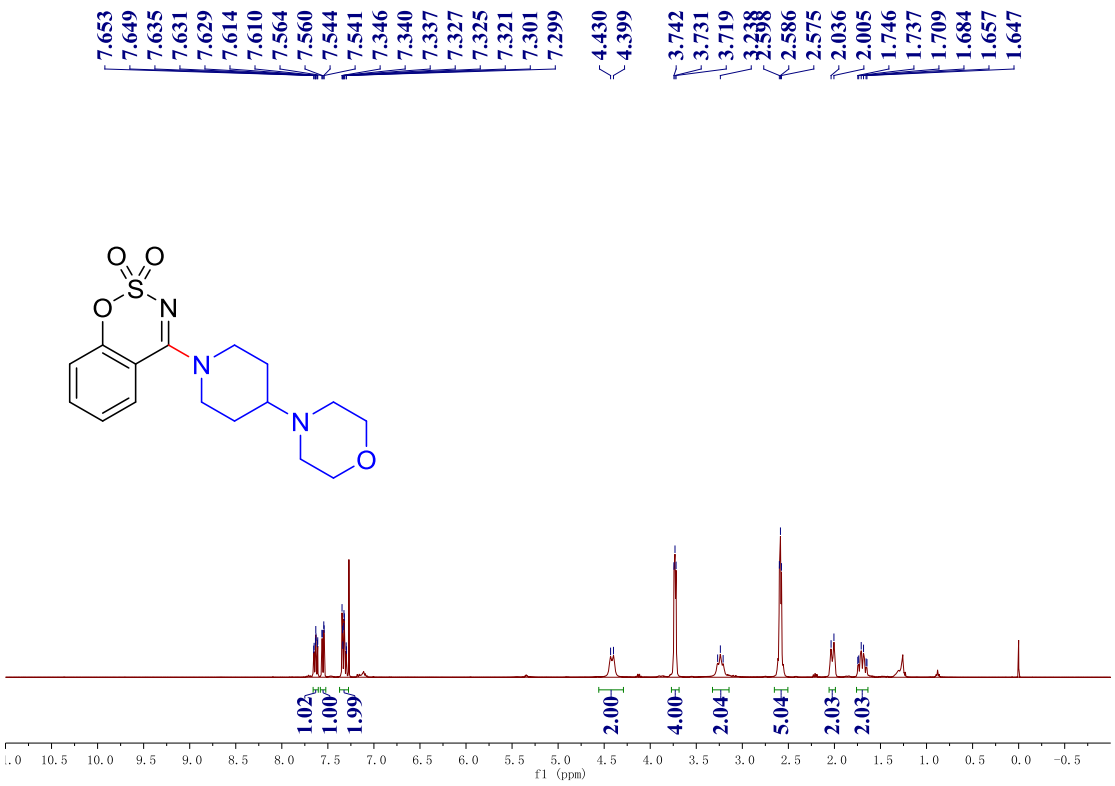
^{1}H NMR Spectrum of 3aa at 25 °C (DMSO-d_6, 400 MHz)



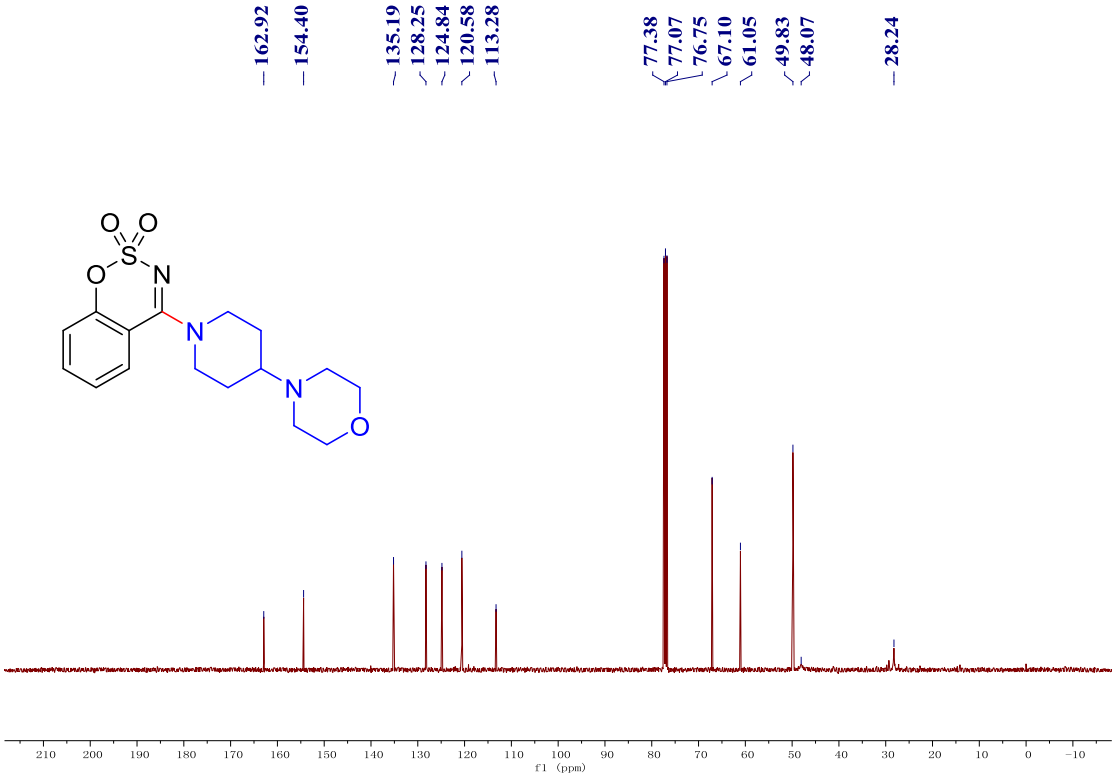
^{13}C NMR Spectrum of 3aa at 25 °C (DMSO-d_6, 100 MHz)



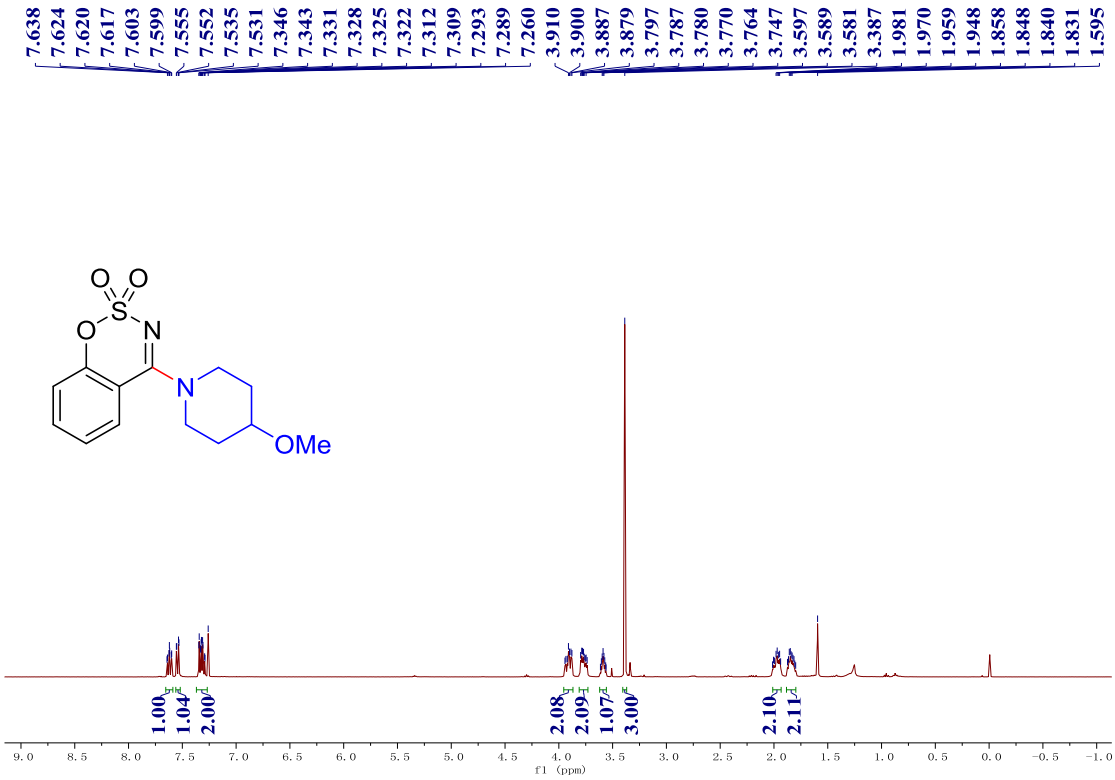
^{1}H NMR Spectrum of 3ab at 25 °C ($CDCl_3$, 400 MHz)



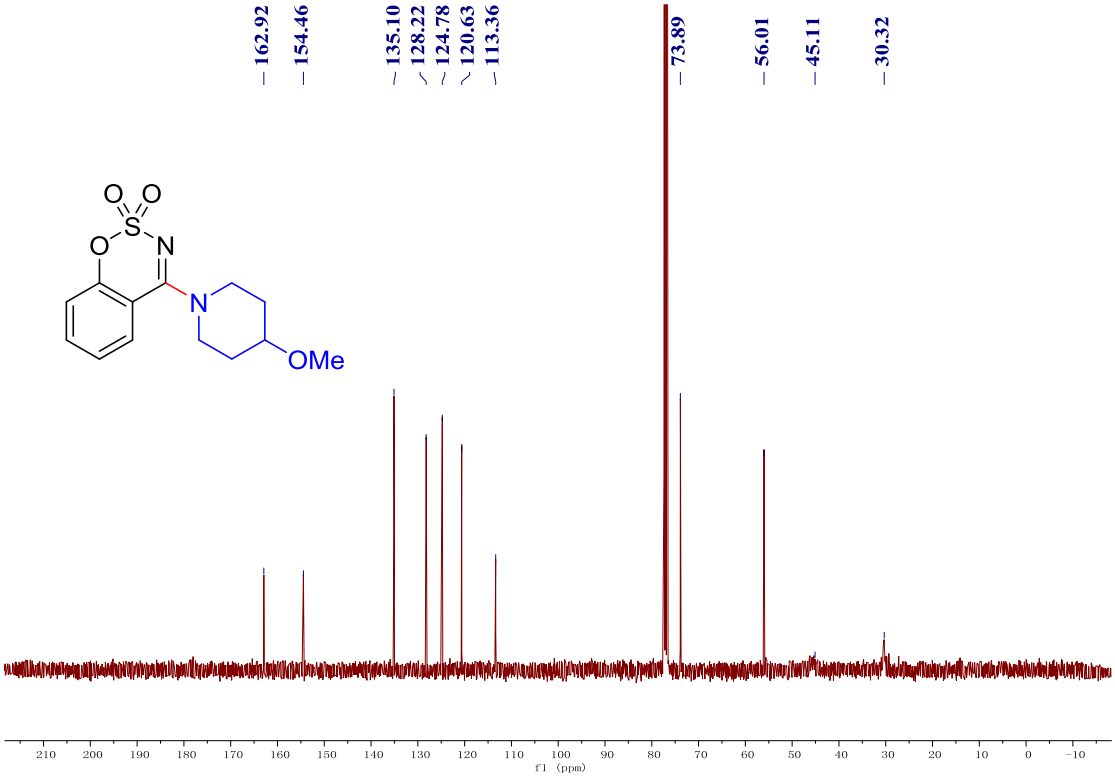
^{13}C NMR Spectrum of 3ab at 25 °C ($CDCl_3$, 100 MHz)



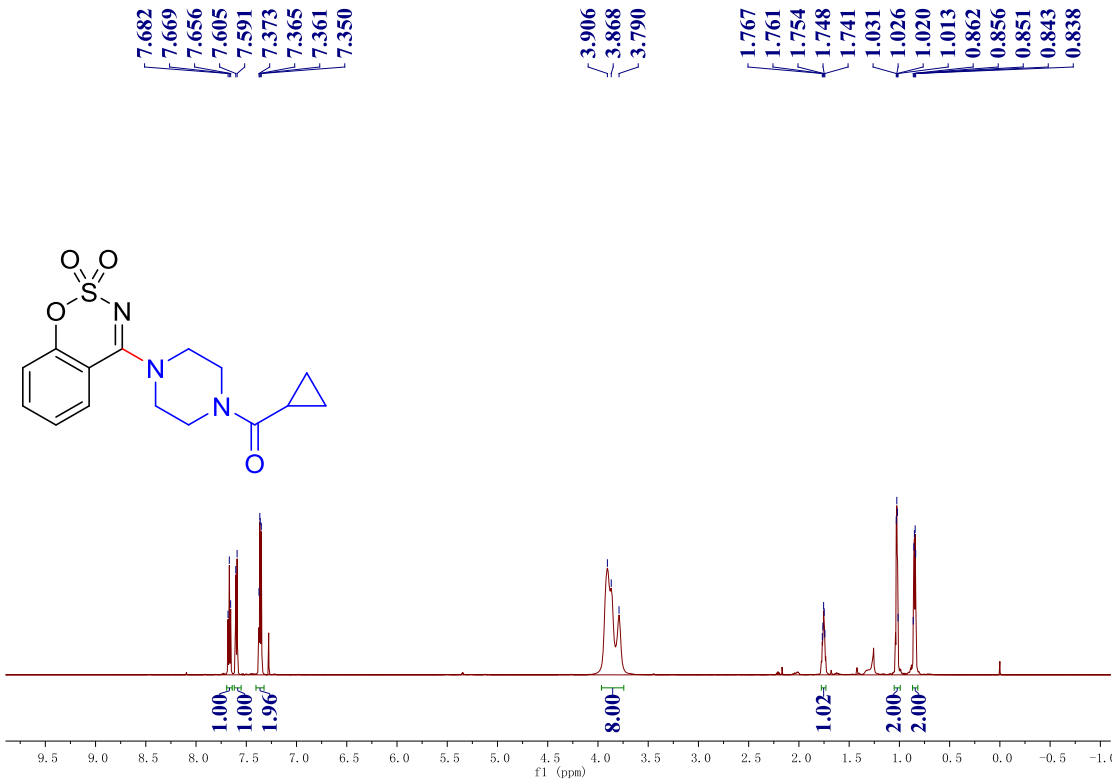
^{1}H NMR Spectrum of 3ac at 25 °C ($CDCl_3$, 400 MHz)



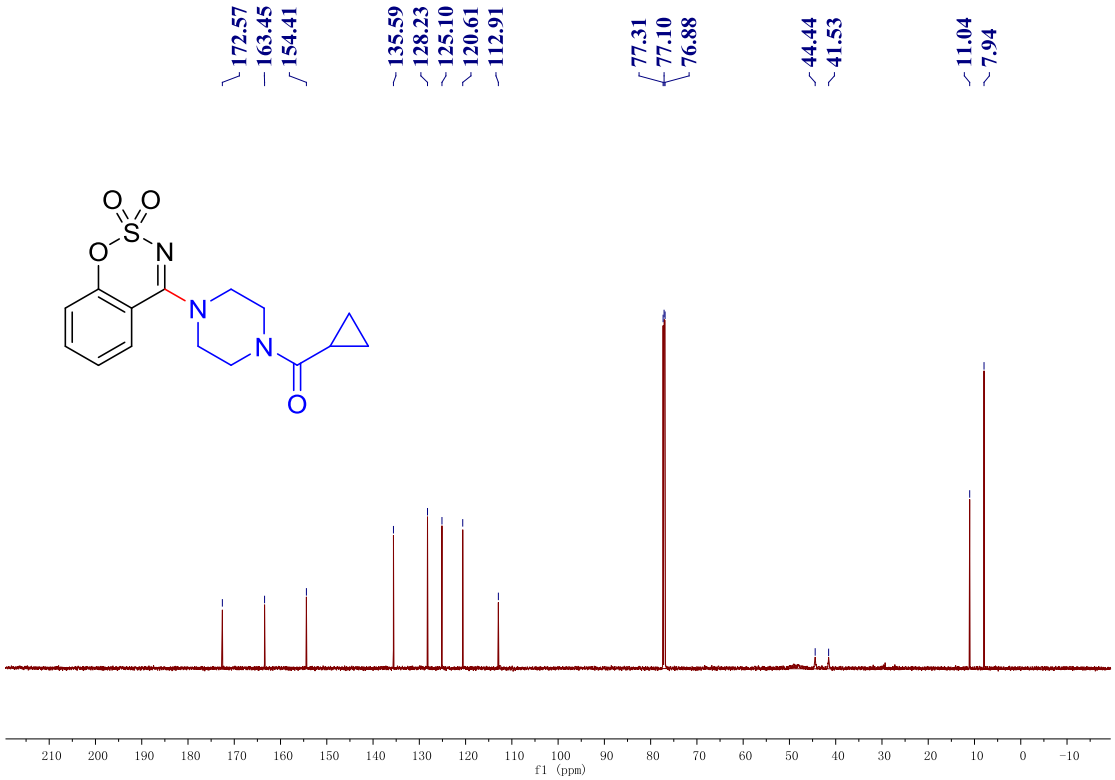
^{13}C NMR Spectrum of 3ac at 25 °C ($CDCl_3$, 100 MHz)



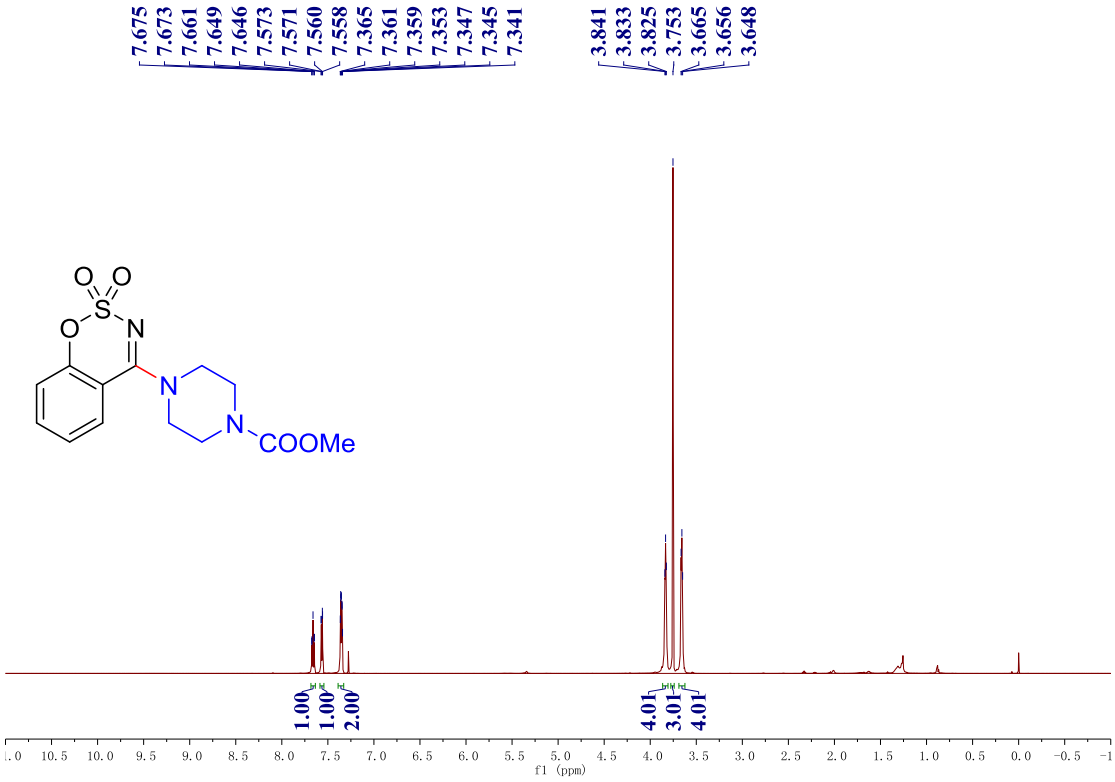
^{1}H NMR Spectrum of 3ad at 25 °C ($CDCl_3$, 600 MHz)



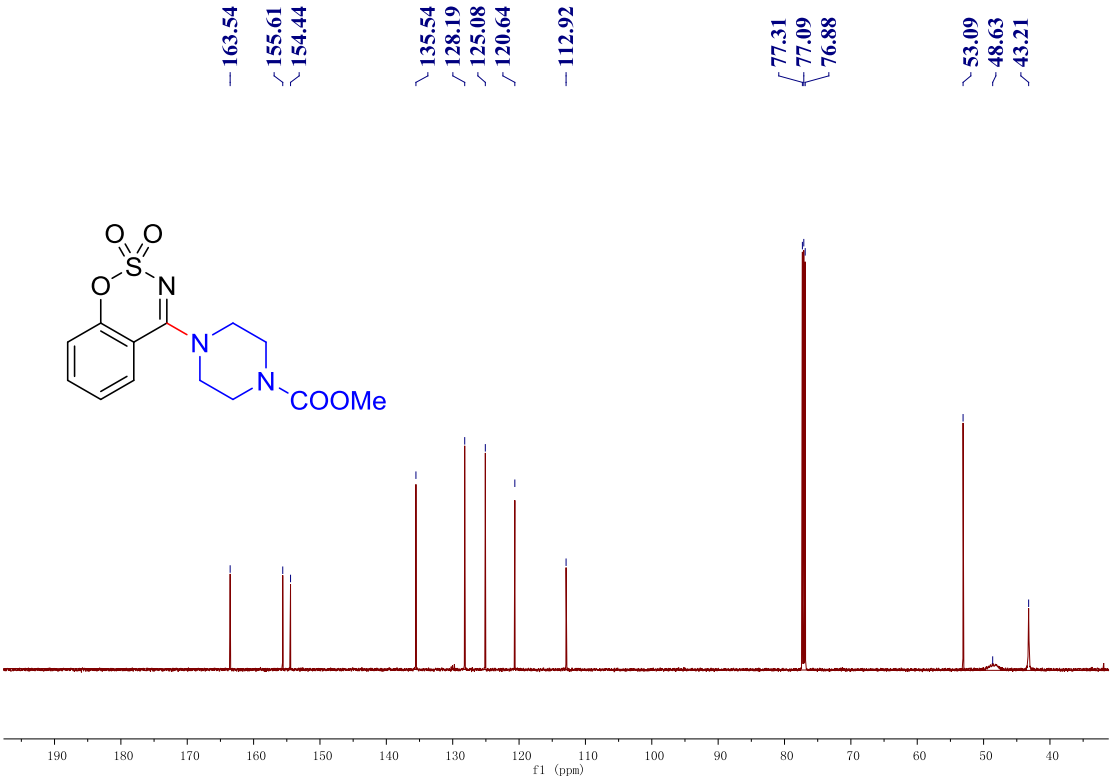
^{13}C NMR Spectrum of 3ad at 25 °C ($CDCl_3$, 150 MHz)



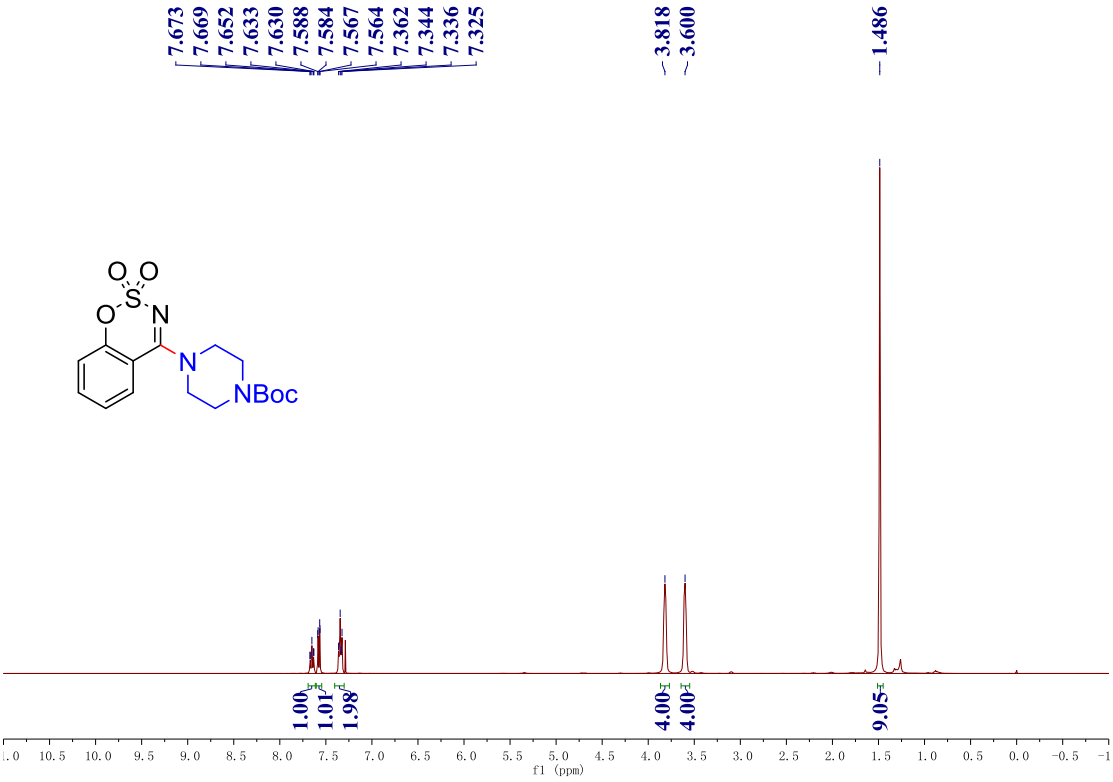
^{1}H NMR Spectrum of 3ae at 25 °C ($CDCl_3$, 600 MHz)



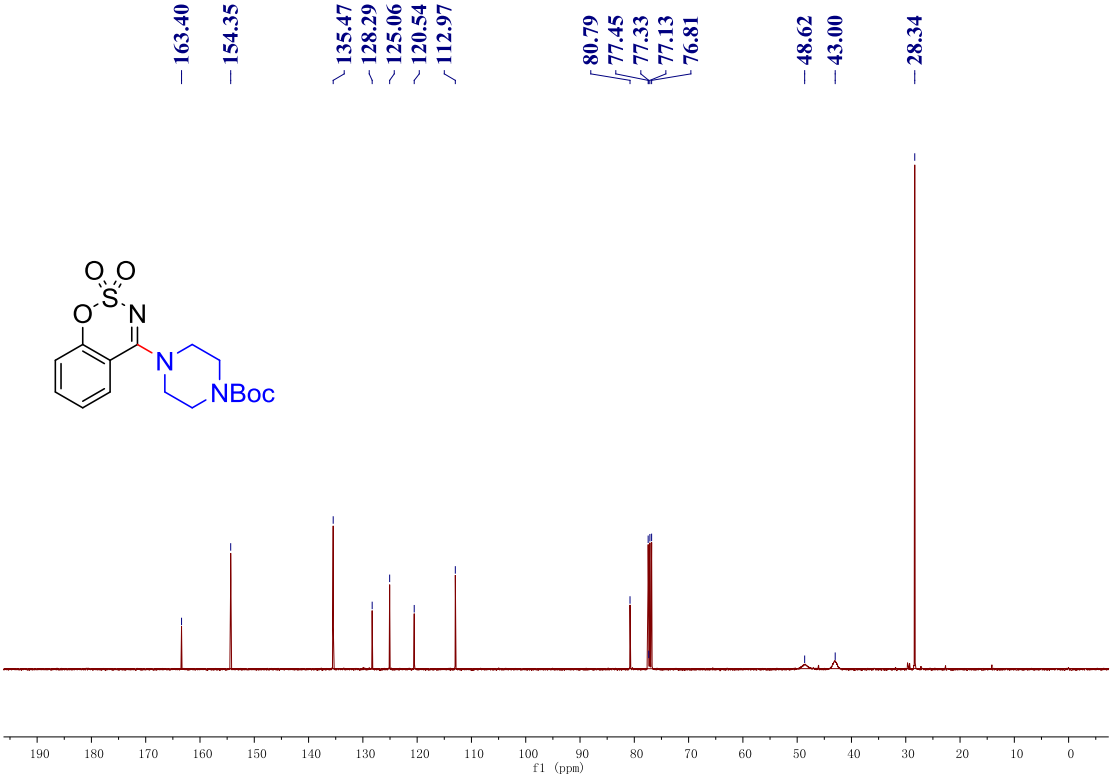
^{13}C NMR Spectrum of 3ae at 25 °C ($CDCl_3$, 150 MHz)



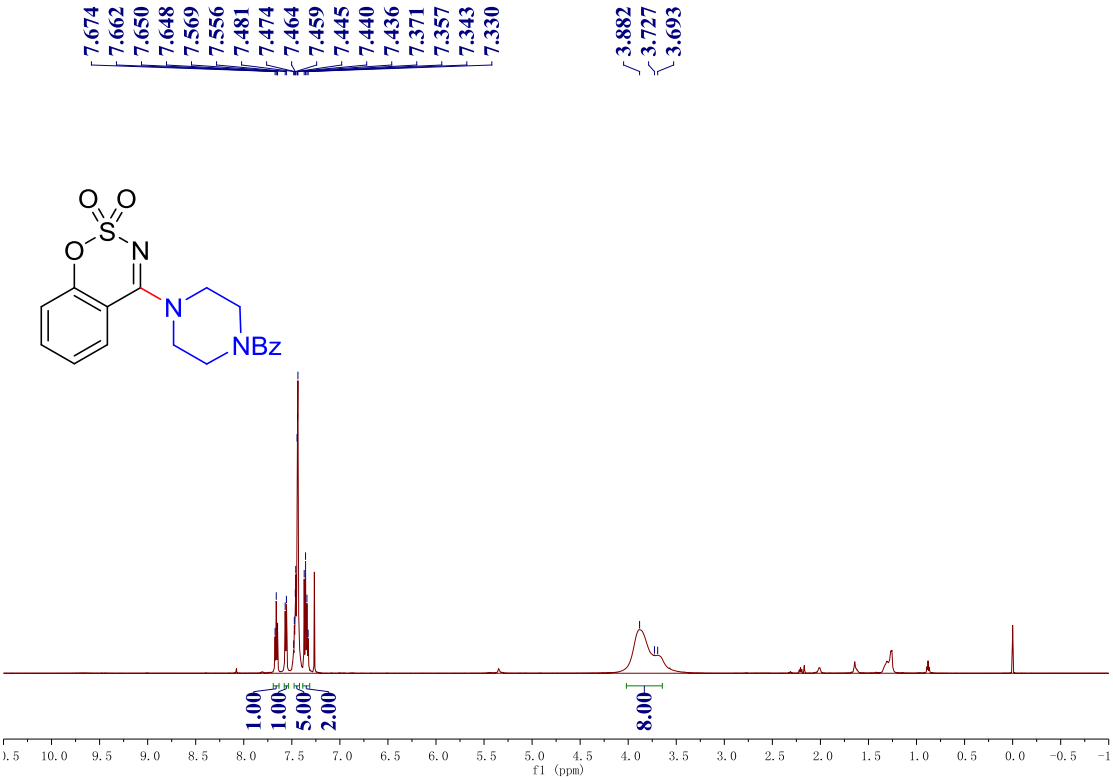
^{1}H NMR Spectrum of 3af at 25 °C ($CDCl_3$, 400 MHz)



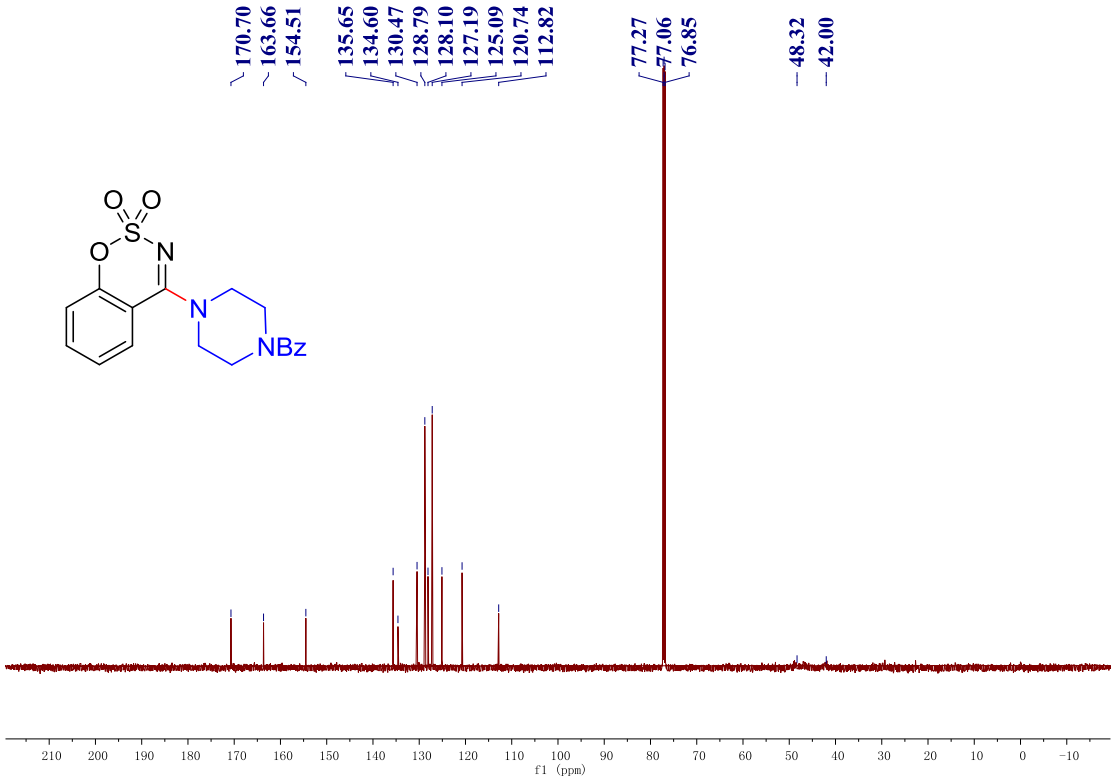
^{13}C NMR Spectrum of 3af at 25 °C ($CDCl_3$, 100 MHz)



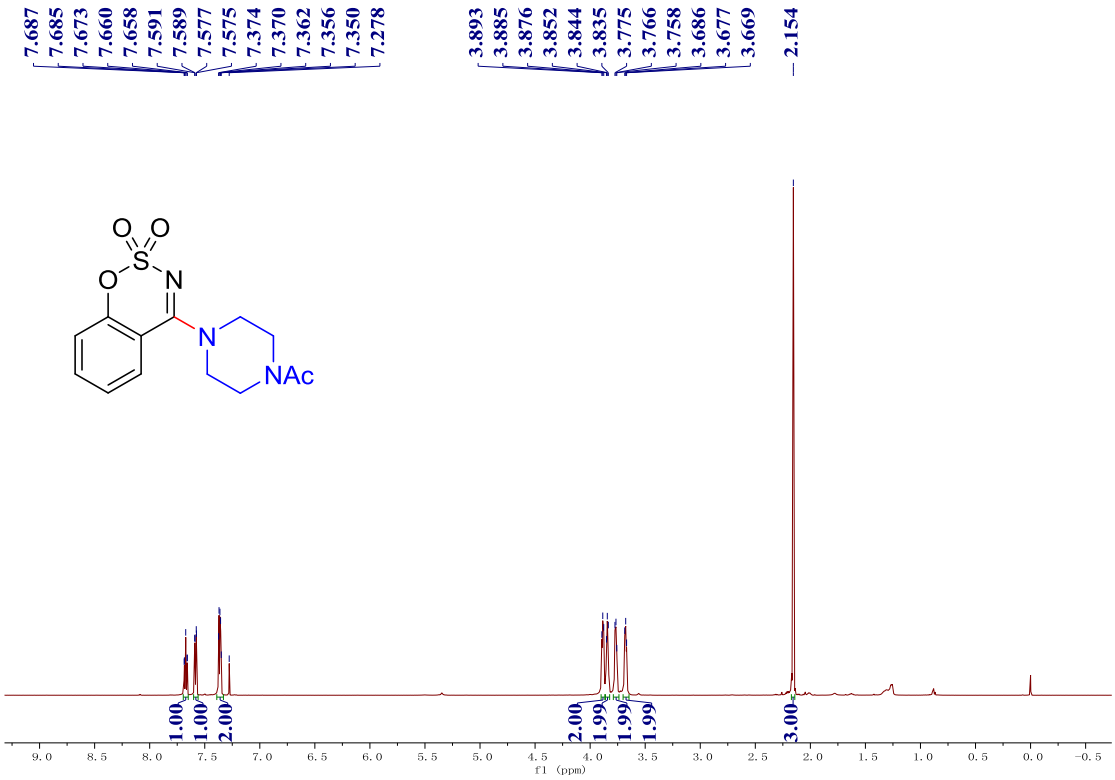
^{1}H NMR Spectrum of 3ag at 25 °C ($CDCl_3$, 600 MHz)



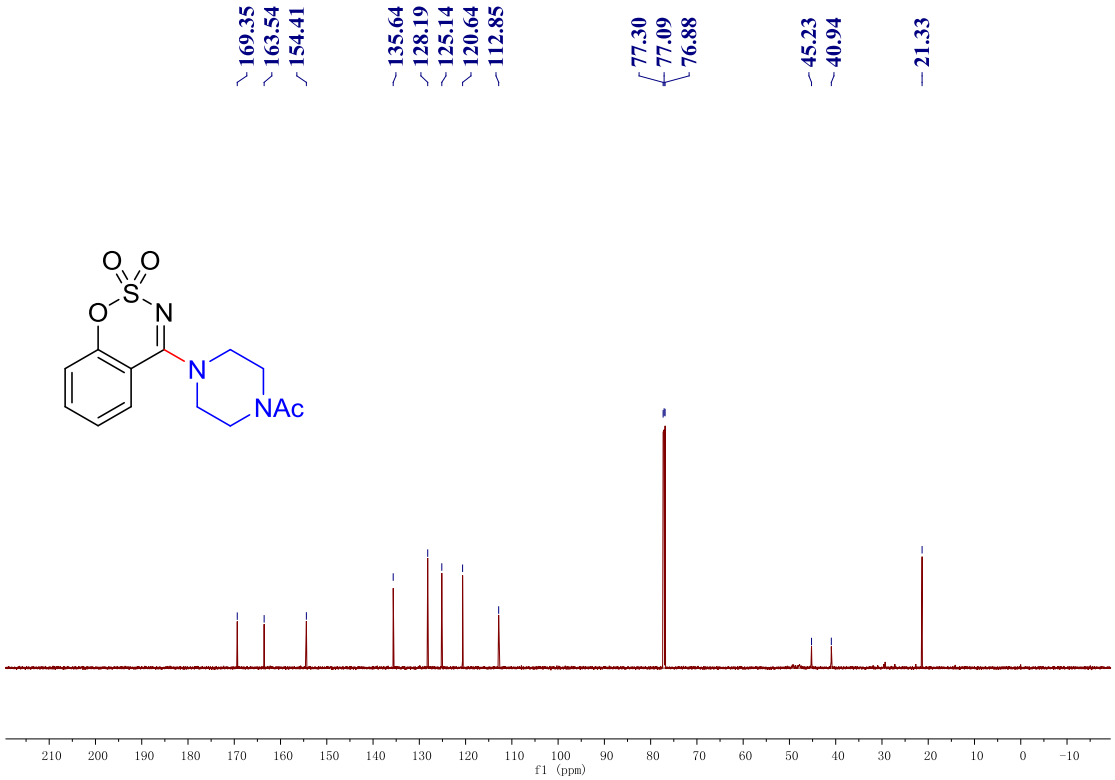
^{13}C NMR Spectrum of 3ag at 25 °C ($CDCl_3$, 150 MHz)



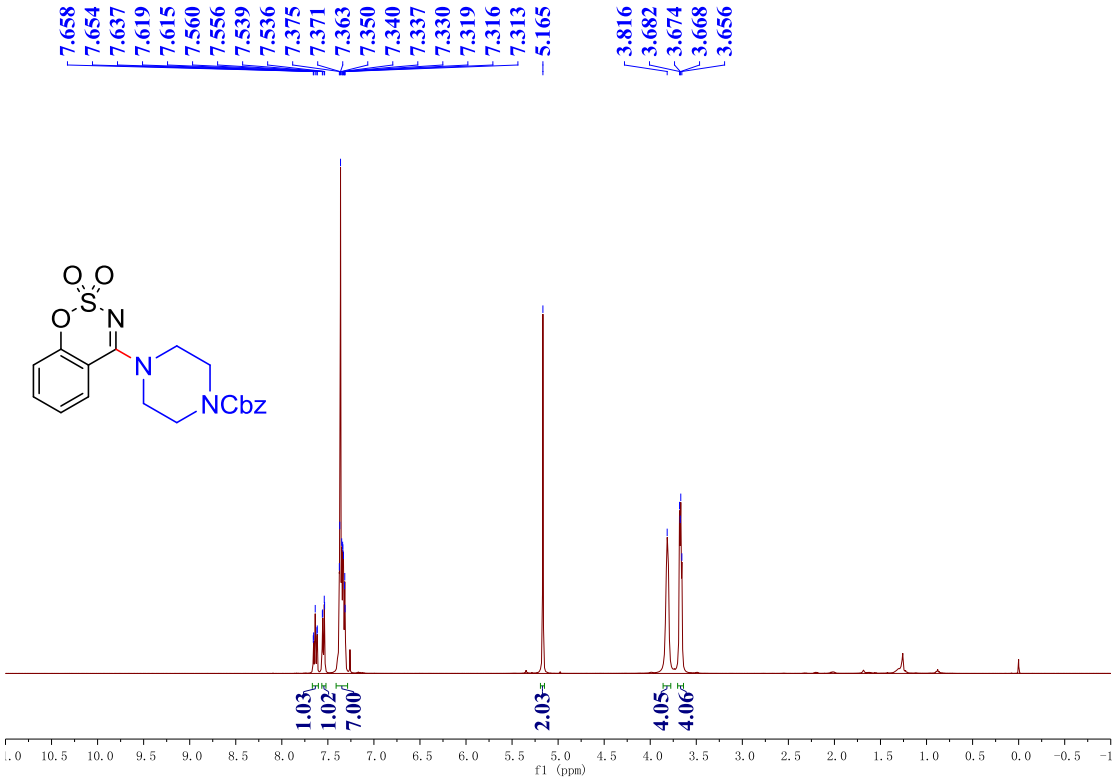
^{1}H NMR Spectrum of 3ah at 25 °C ($CDCl_3$, 600 MHz)



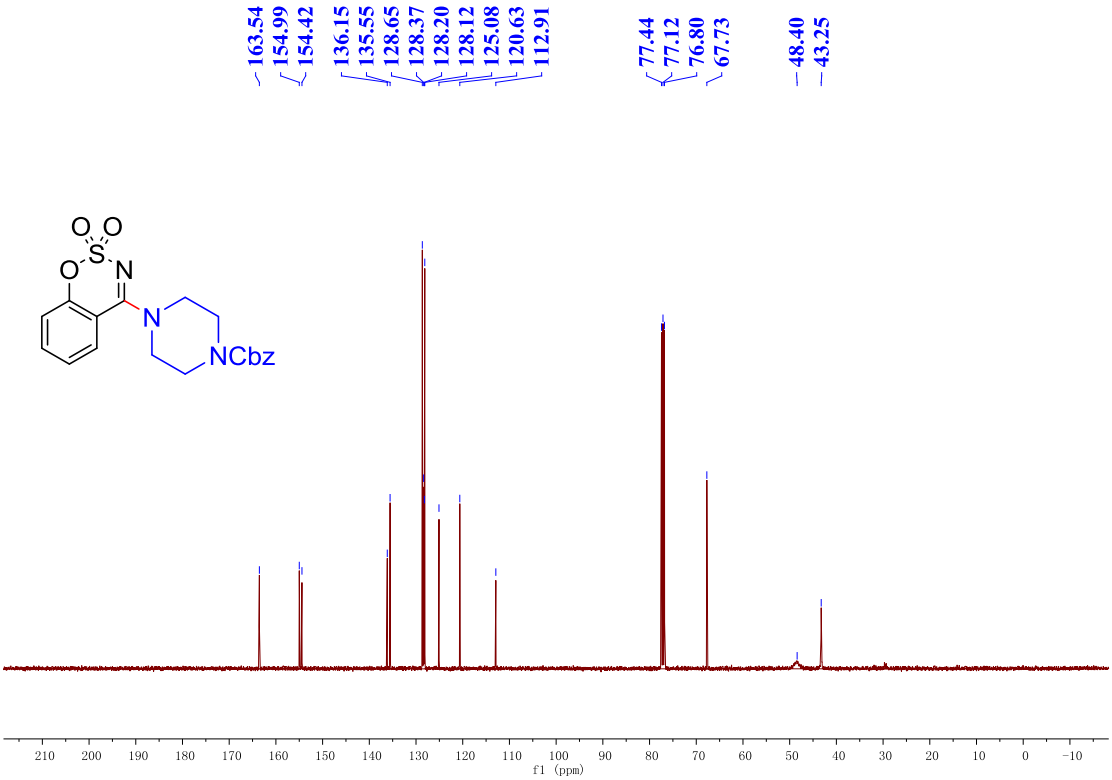
^{13}C NMR Spectrum of 3ah at 25 °C ($CDCl_3$, 150 MHz)



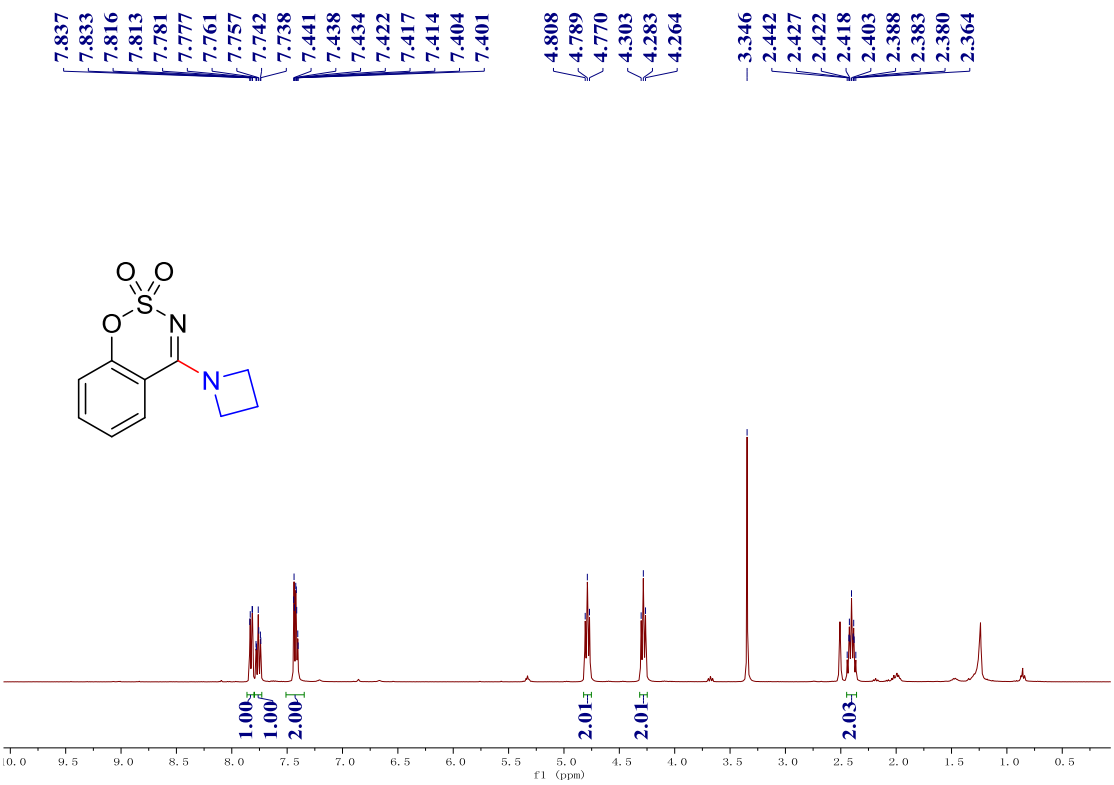
^{1}H NMR Spectrum of 3ai at 25 °C ($CDCl_3$, 400 MHz)



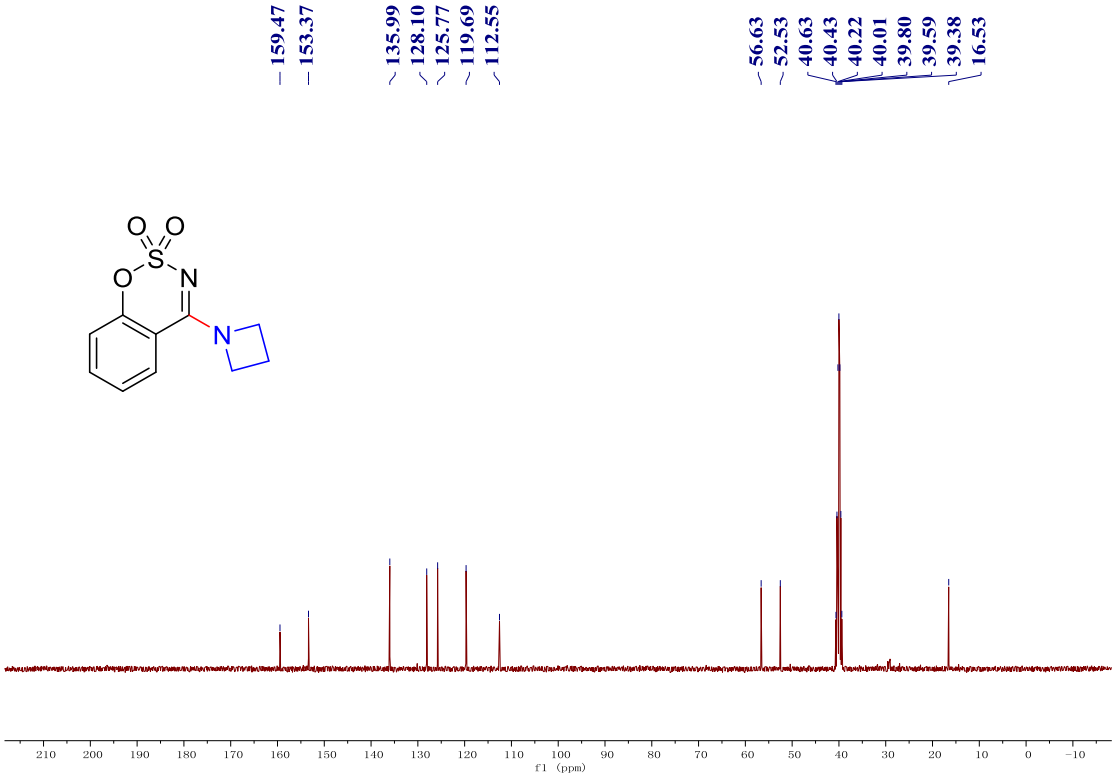
^{13}C NMR Spectrum of 3ai at 25 °C ($CDCl_3$, 100 MHz)



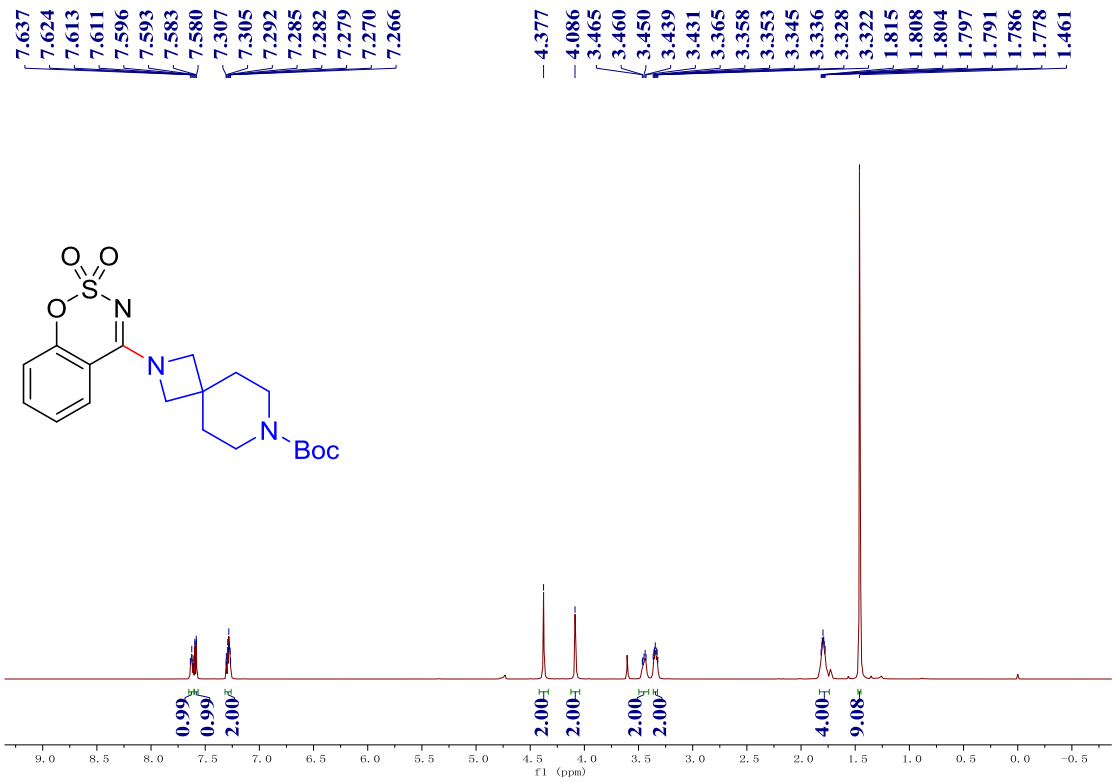
^{1}H NMR Spectrum of 3aj at 25 °C (DMSO-d_6, 400 MHz)



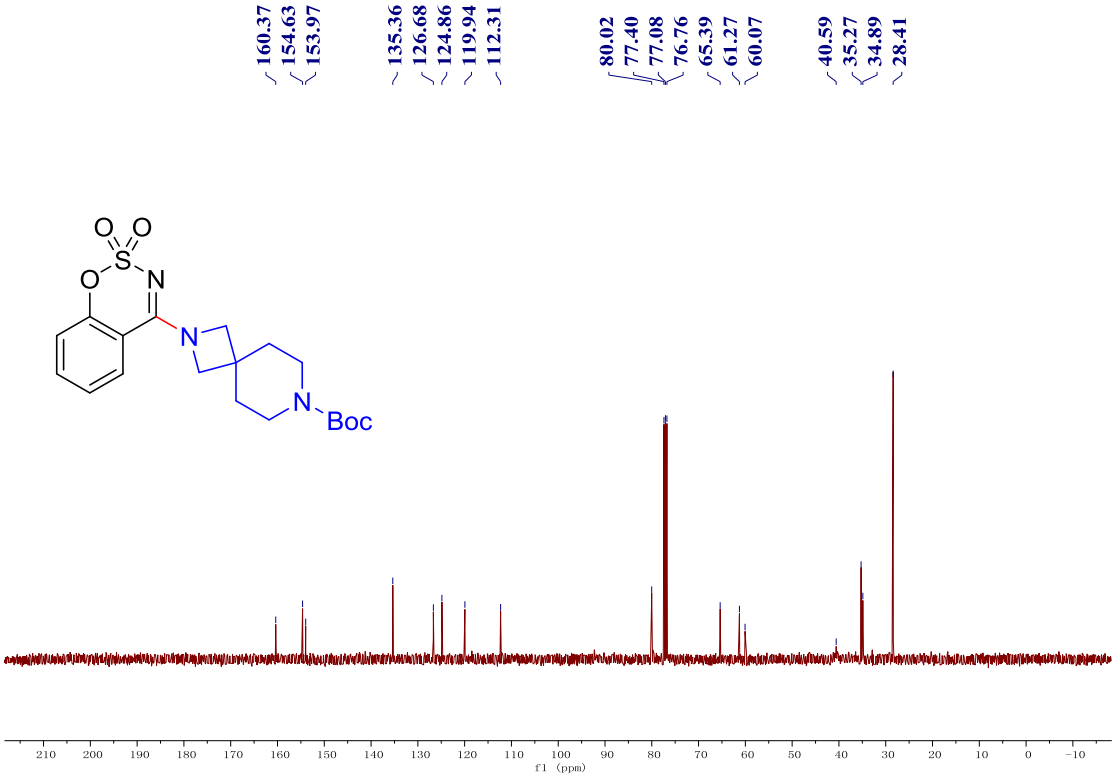
^{13}C NMR Spectrum of 3aj at 25 °C (DMSO-d_6, 100 MHz)



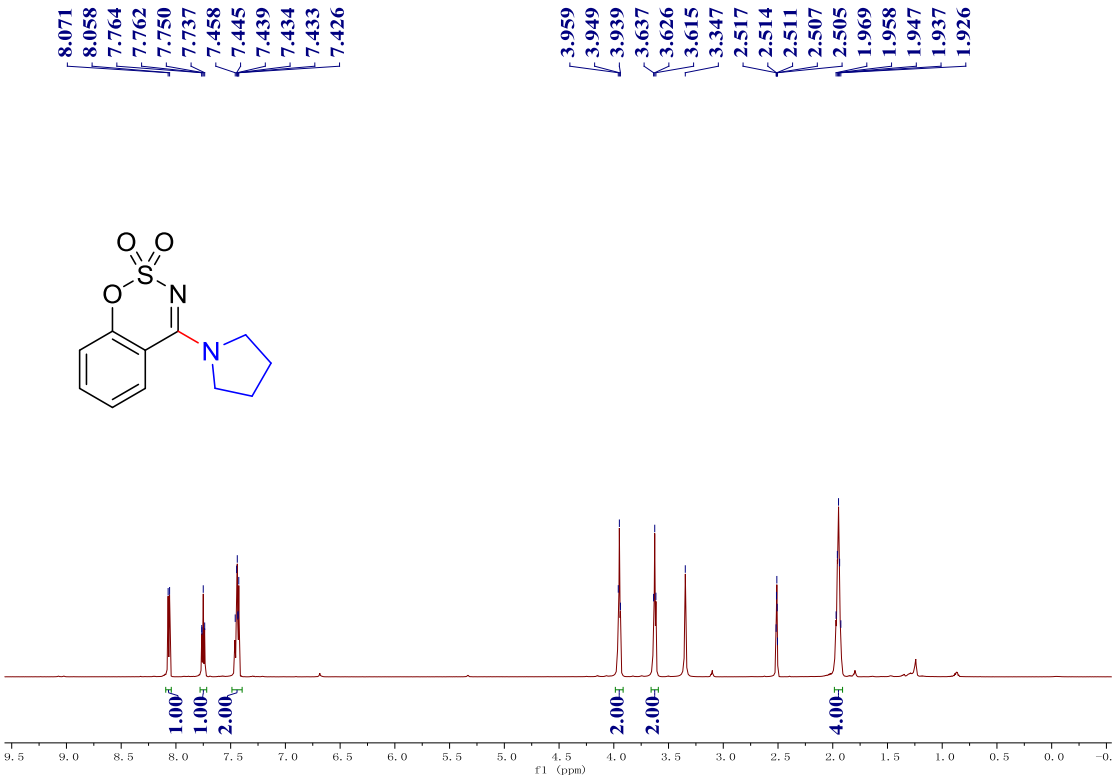
^{1}H NMR Spectrum of 3ak at 25 °C ($CDCl_3$, 600 MHz)



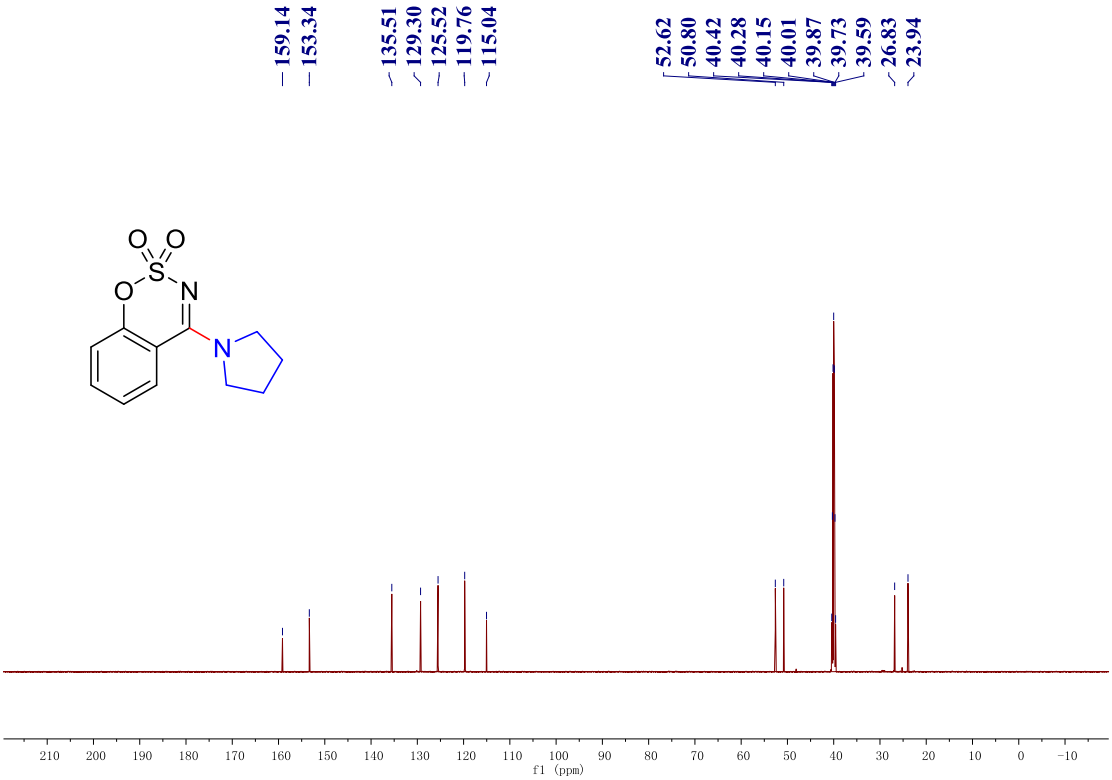
^{13}C NMR Spectrum of 3ak at 25 °C ($CDCl_3$, 100 MHz)



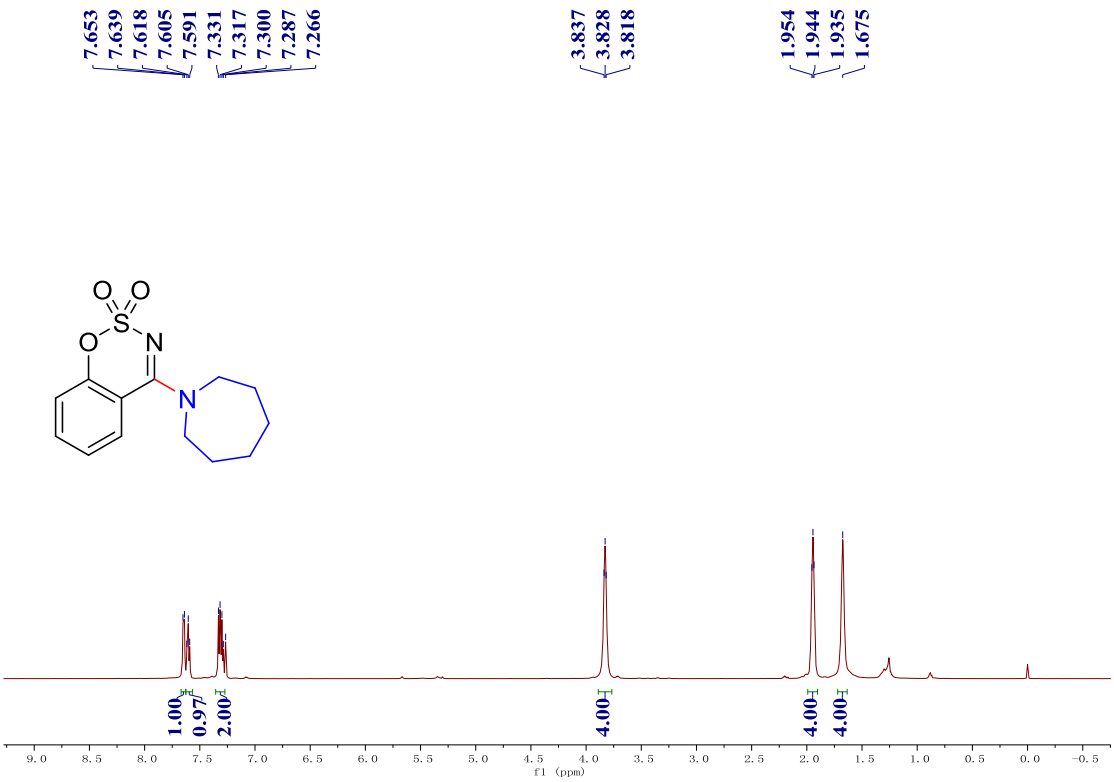
^{1}H NMR Spectrum of 3al at 25 °C (DMSO-d_6, 600 MHz)



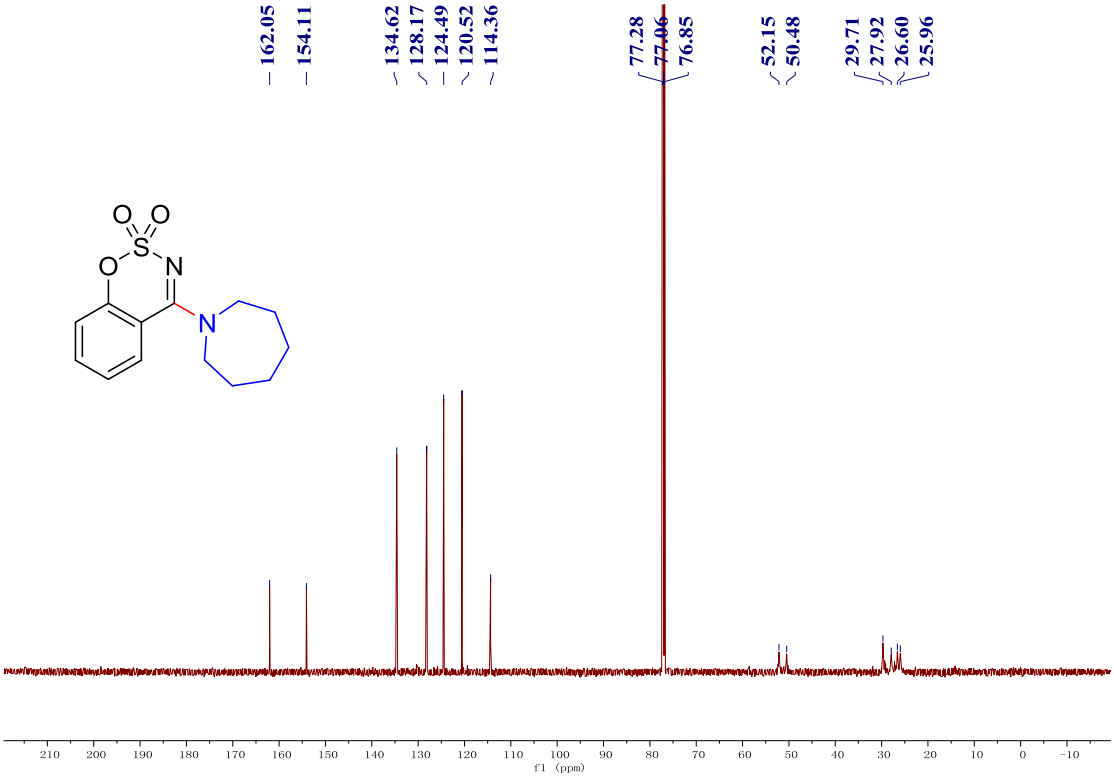
^{13}C NMR Spectrum of 3al at 25 °C (DMSO-d_6, 150 MHz)



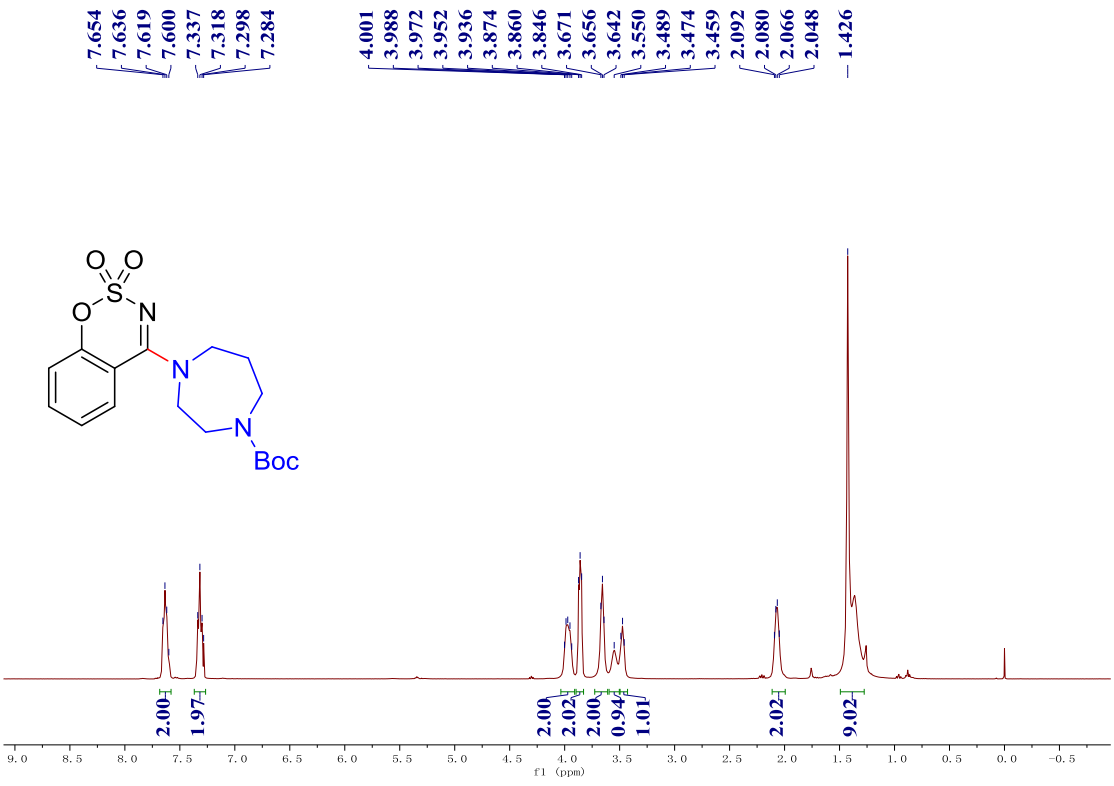
^{1}H NMR Spectrum of 3am at 25 °C ($CDCl_3$, 600 MHz)



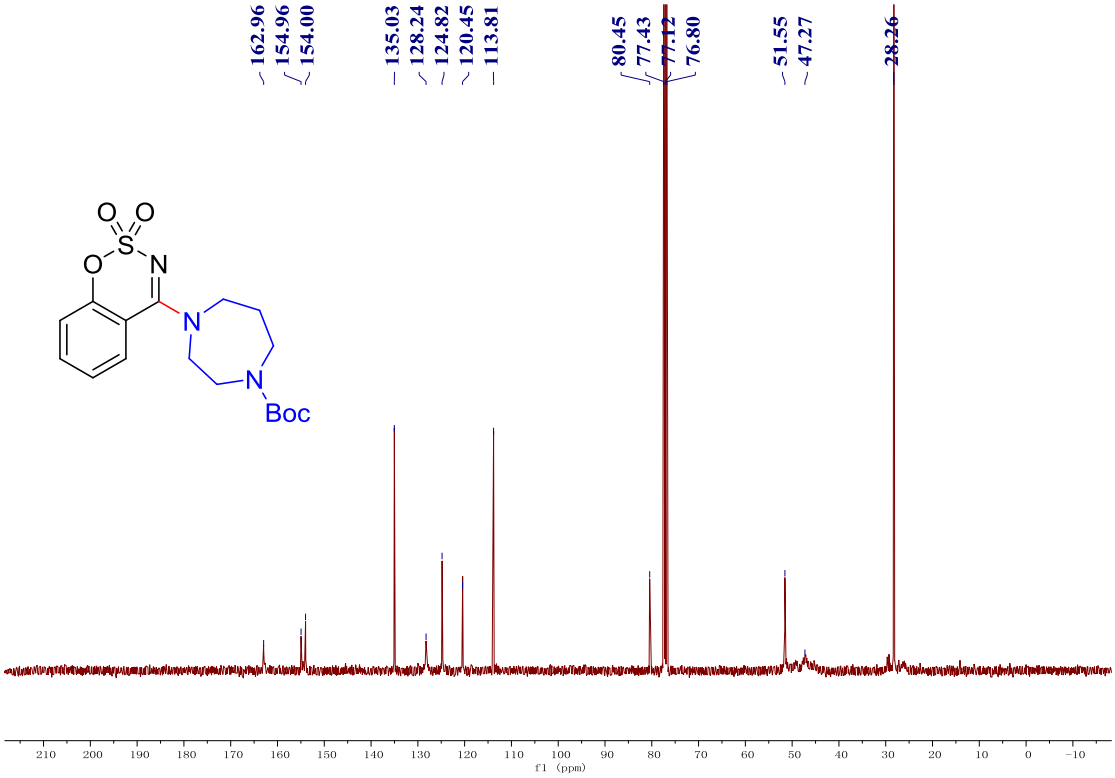
^{13}C NMR Spectrum of 3am at 25 °C ($CDCl_3$, 150 MHz)



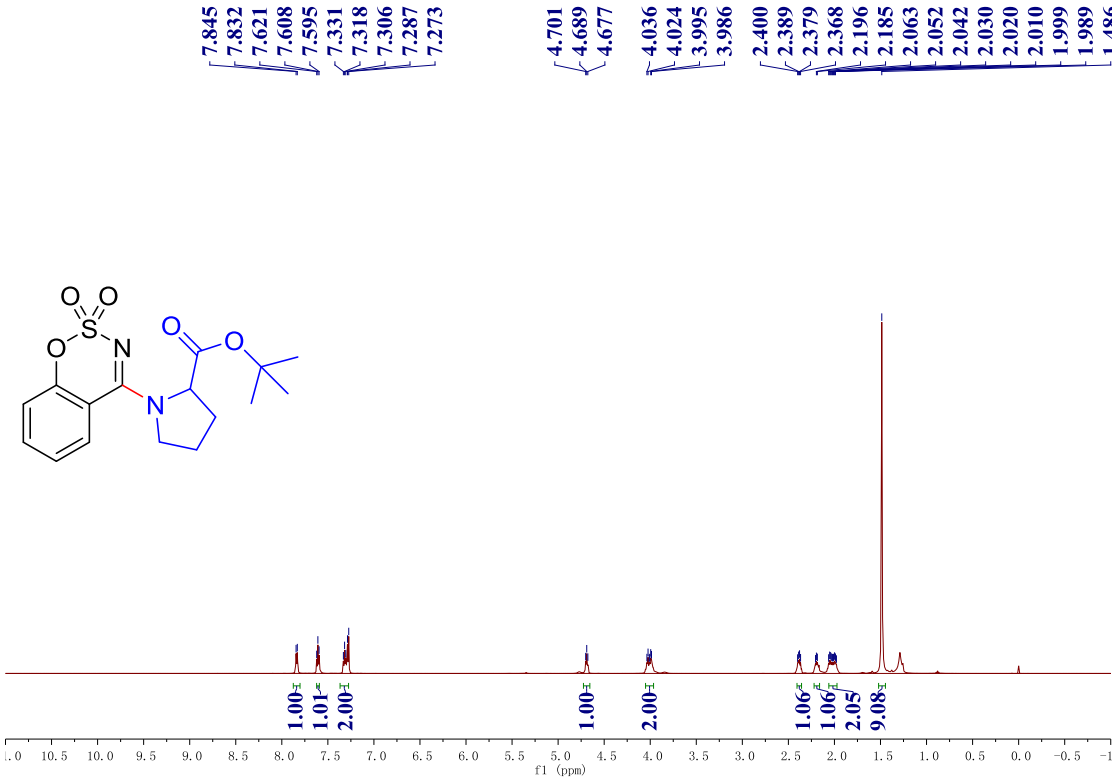
^{1}H NMR Spectrum of 3an at 25 °C ($CDCl_3$, 400 MHz)



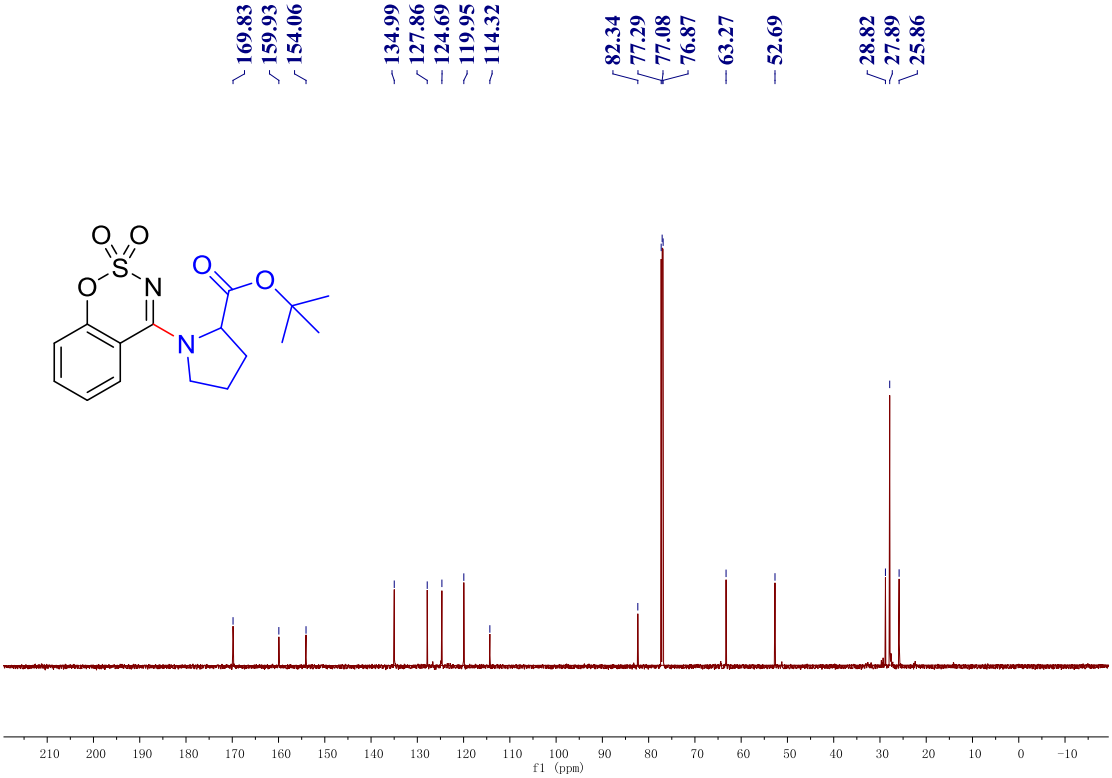
^{13}C NMR Spectrum of 3an at 25 °C ($CDCl_3$, 100 MHz)



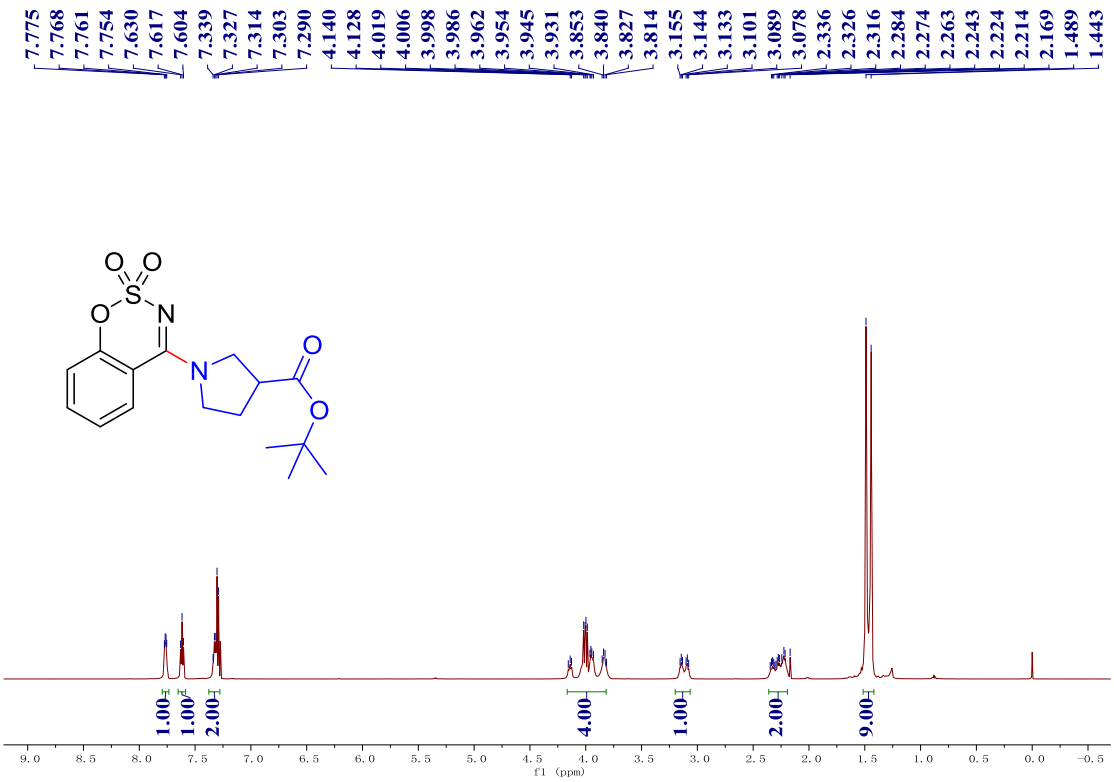
^{1}H NMR Spectrum of 3ao at 25 °C ($CDCl_3$, 600 MHz)



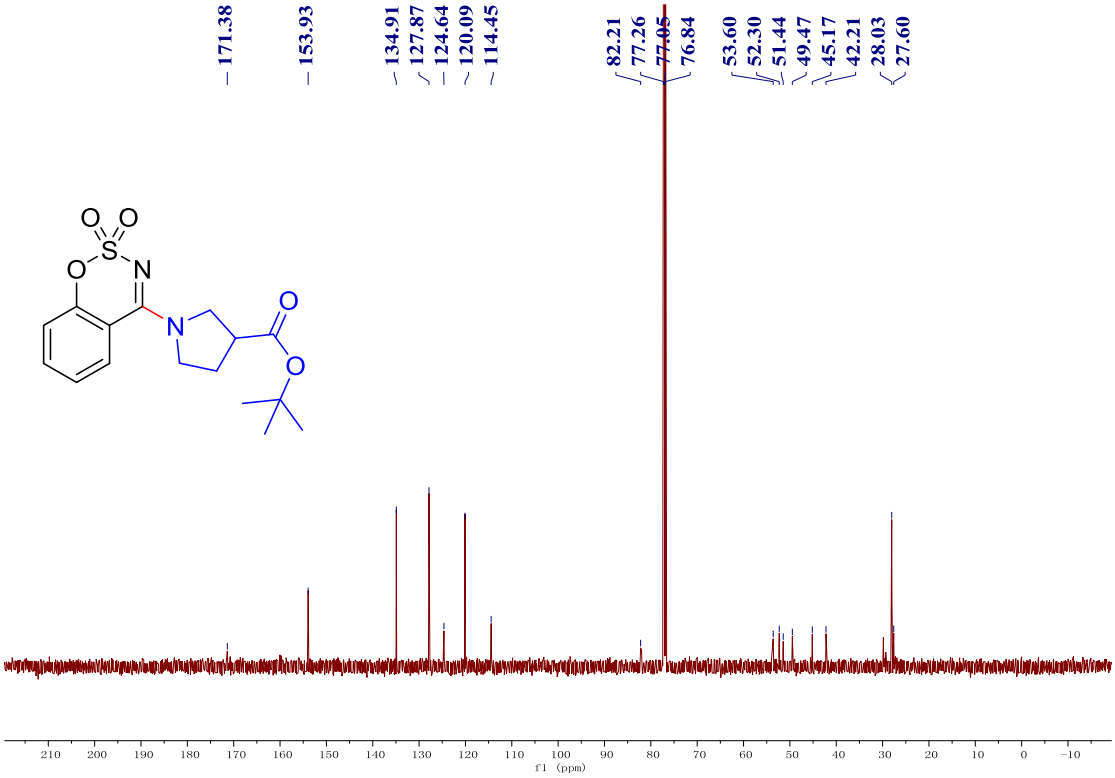
^{13}C NMR Spectrum of 3ao at 25 °C ($CDCl_3$, 150 MHz)



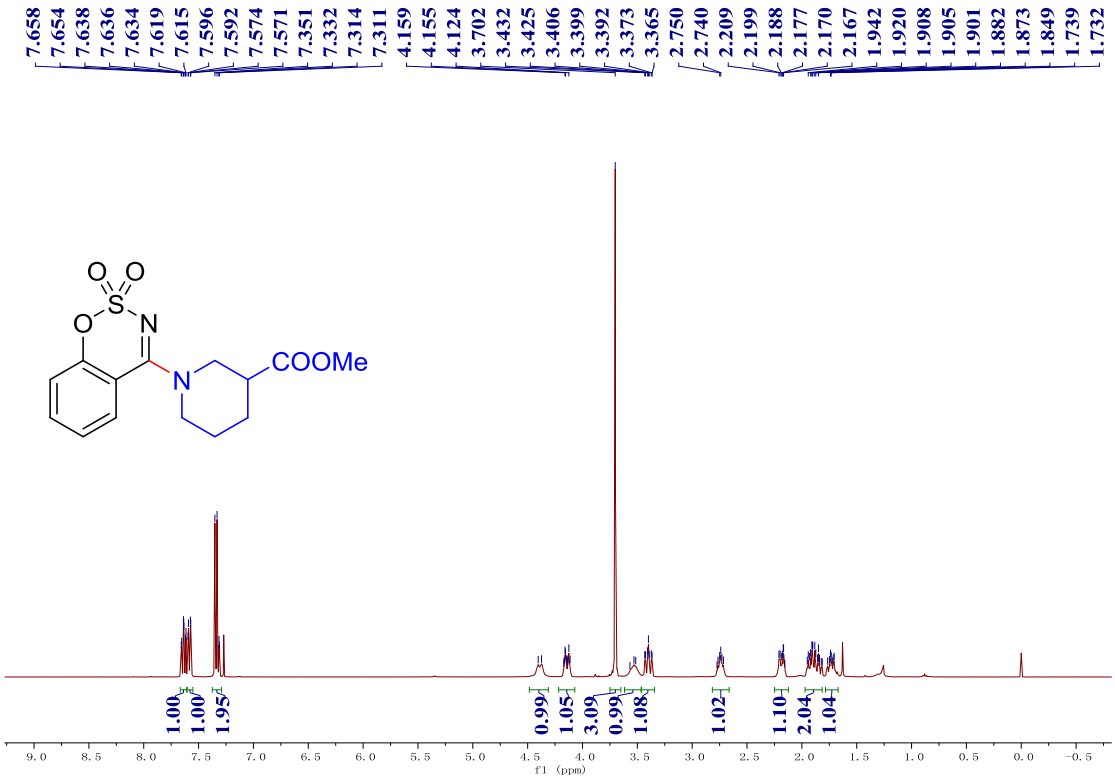
^{1}H NMR Spectrum of 3ap at 25 °C ($CDCl_3$, 600 MHz)



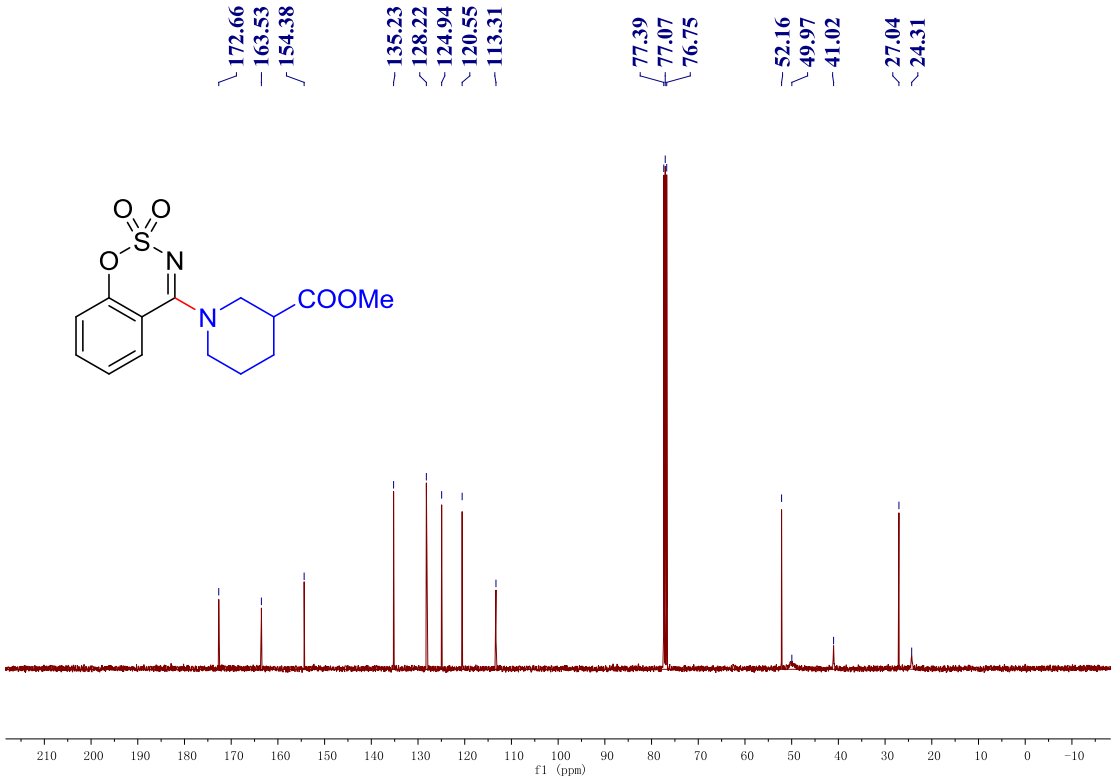
^{13}C NMR Spectrum of 3ap at 25 °C ($CDCl_3$, 150 MHz)



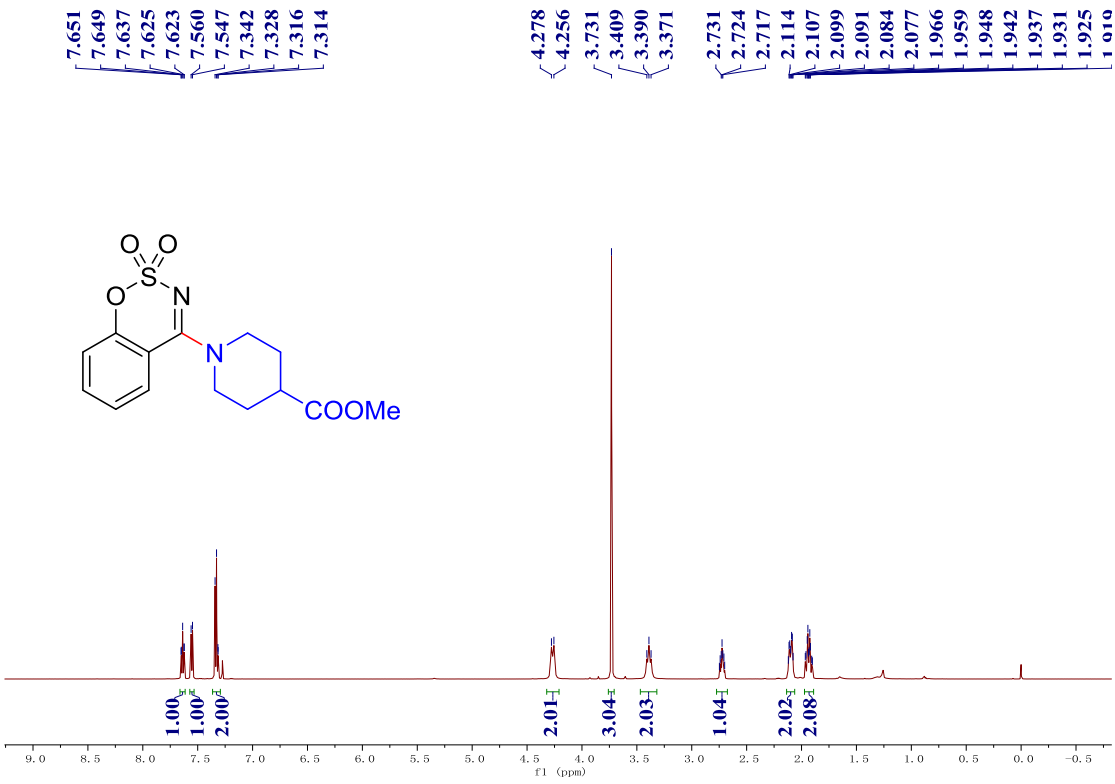
^{1}H NMR Spectrum of 3aq at 25 °C ($CDCl_3$, 400 MHz)



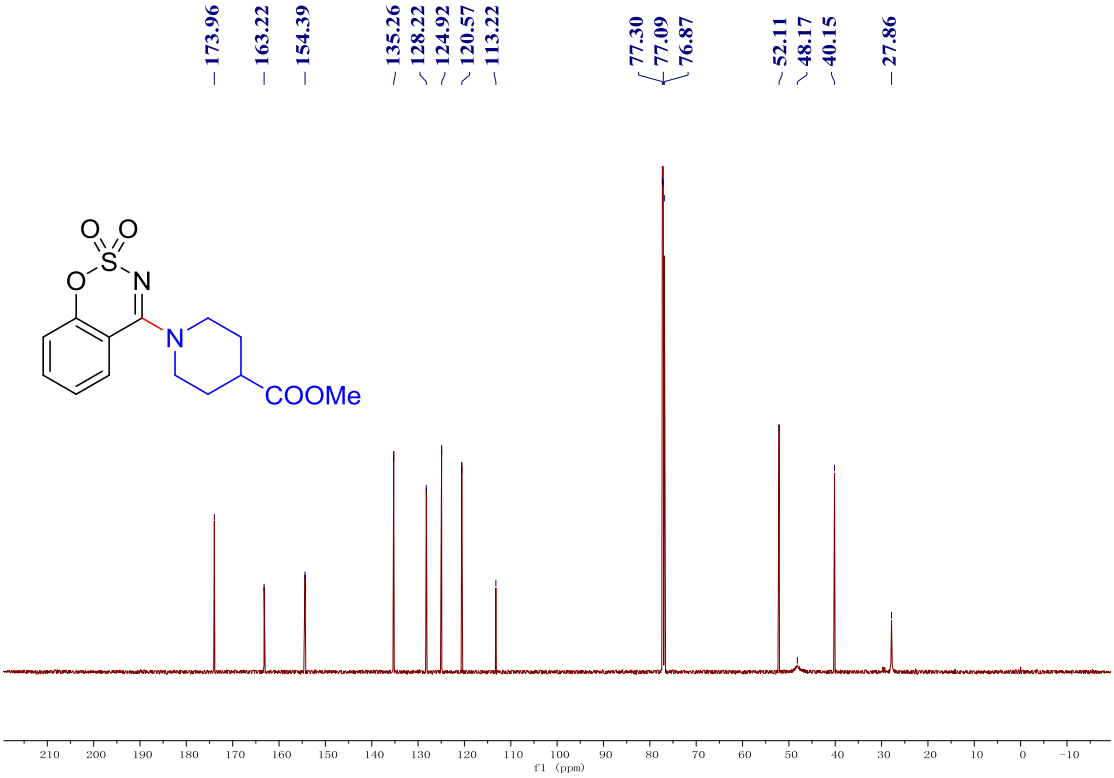
^{13}C NMR Spectrum of 3aq at 25 °C ($CDCl_3$, 100 MHz)



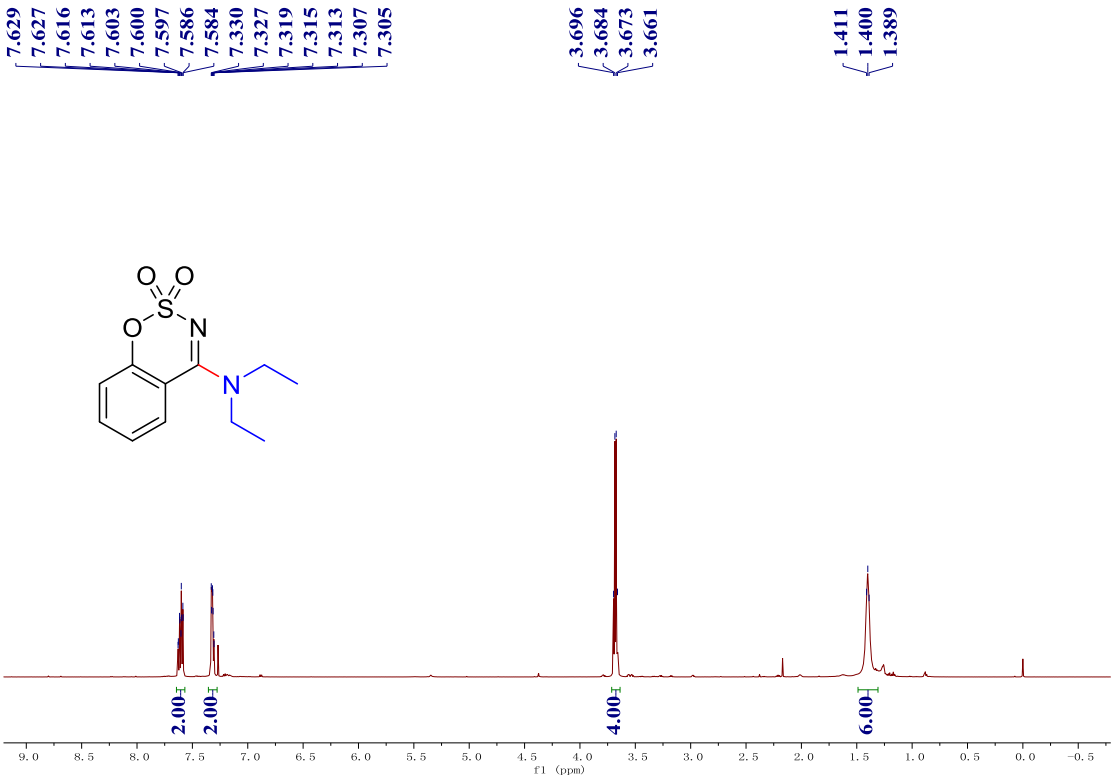
^{1}H NMR Spectrum of 3ar at 25 °C ($CDCl_3$, 600 MHz)



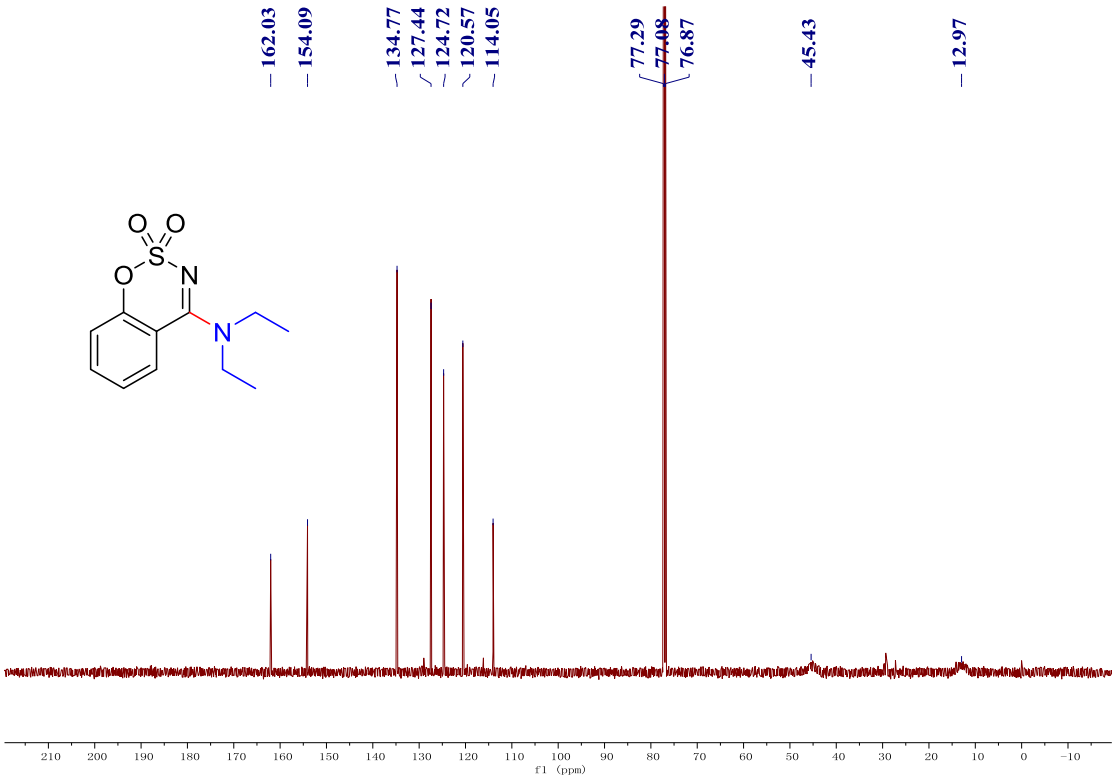
^{13}C NMR Spectrum of 3ar at 25 °C ($CDCl_3$, 150 MHz)



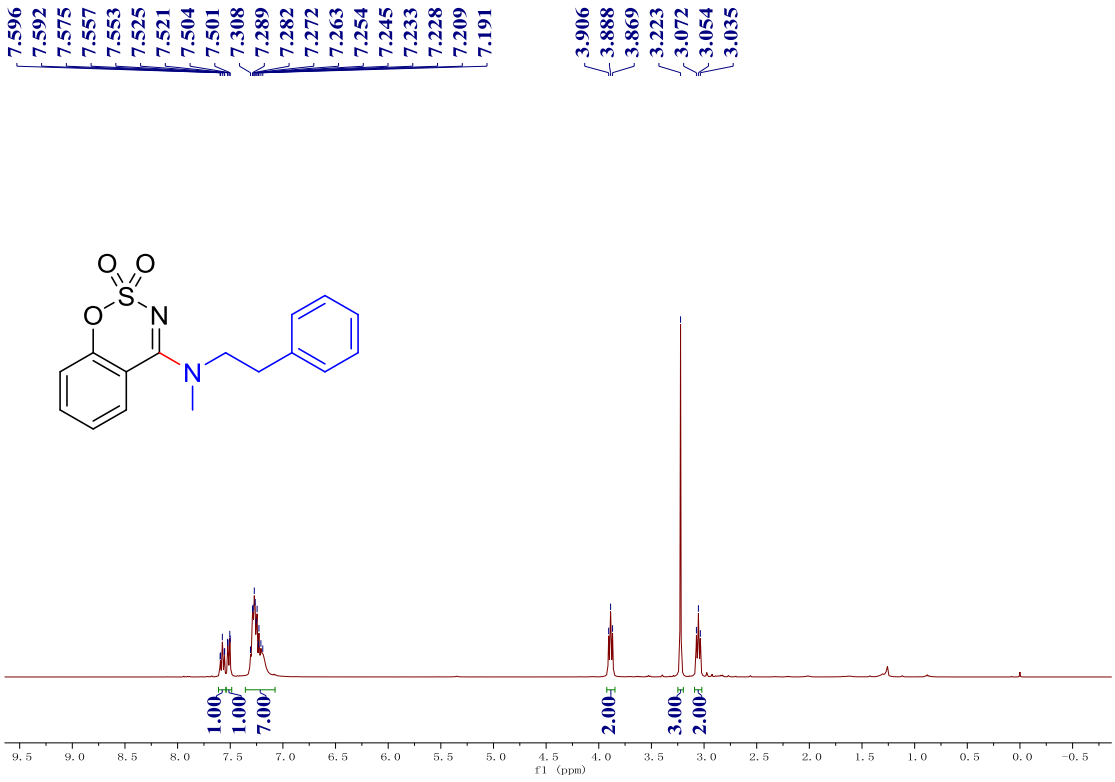
^{1}H NMR Spectrum of 3as at 25 °C ($CDCl_3$, 600 MHz)



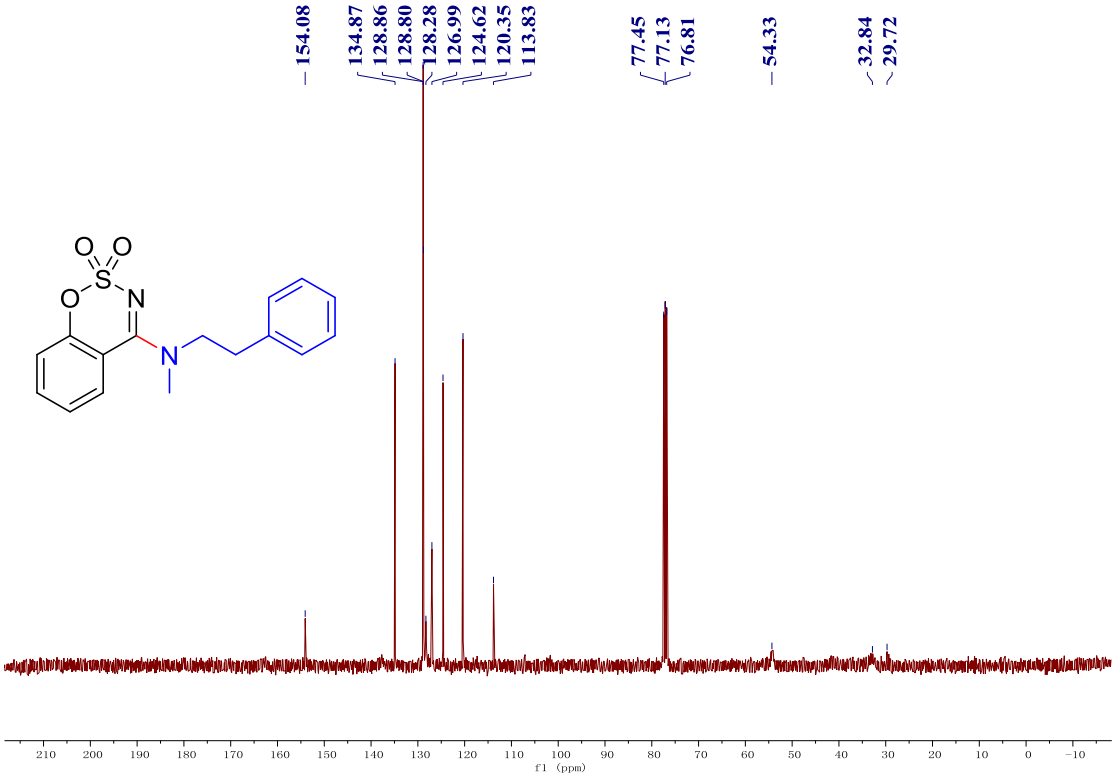
^{13}C NMR Spectrum of 3as at 25 °C ($CDCl_3$, 150 MHz)



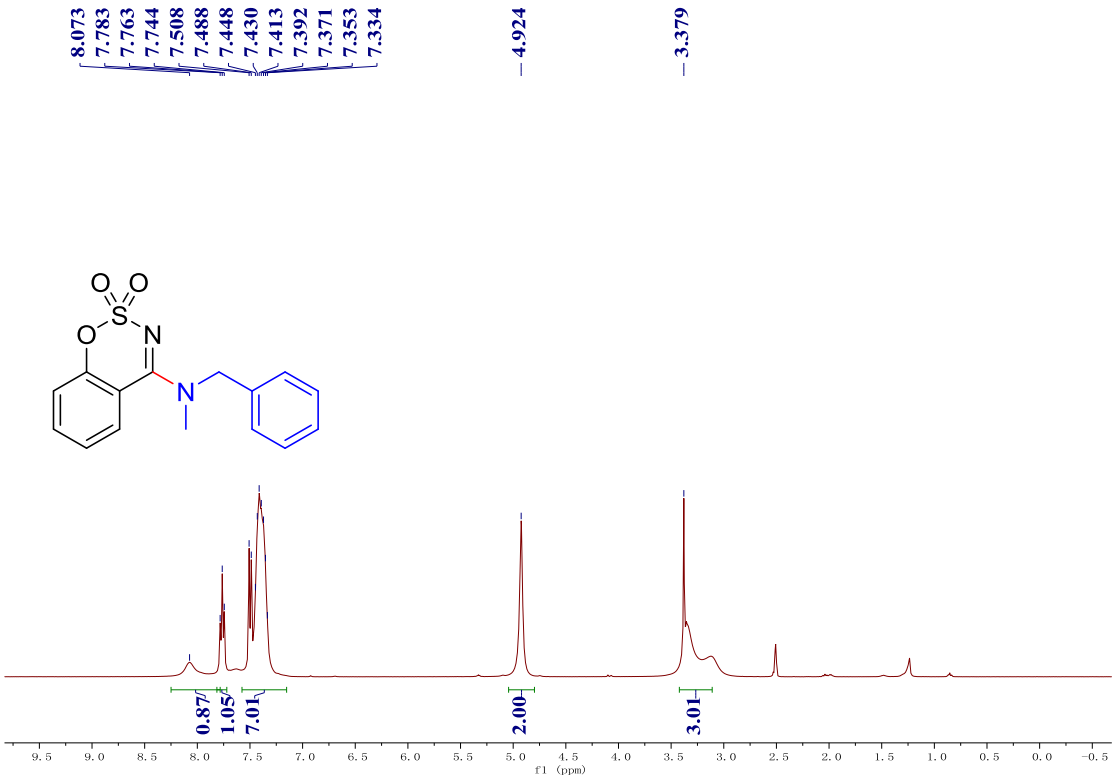
^{1}H NMR Spectrum of 3at at 25 °C ($CDCl_3$, 400 MHz)



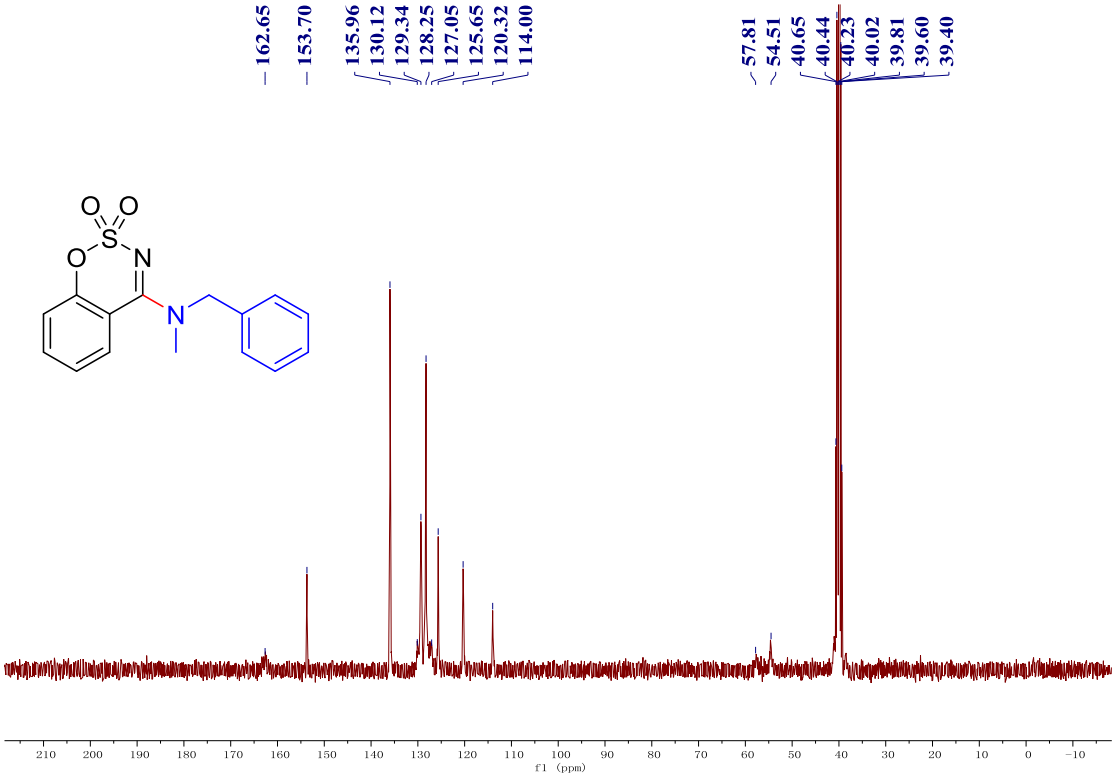
^{13}C NMR Spectrum of 3at at 25 °C ($CDCl_3$, 100 MHz)



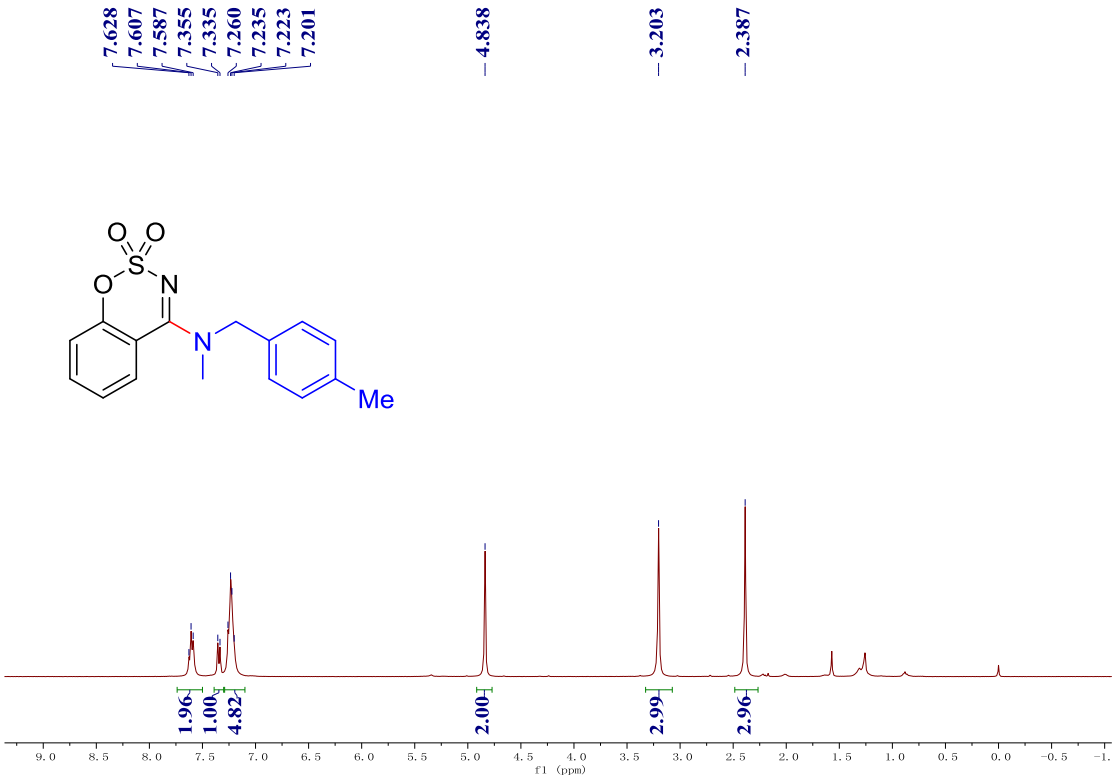
^{1}H NMR Spectrum of 3au at 25 °C (DMSO-d_6, 400 MHz)



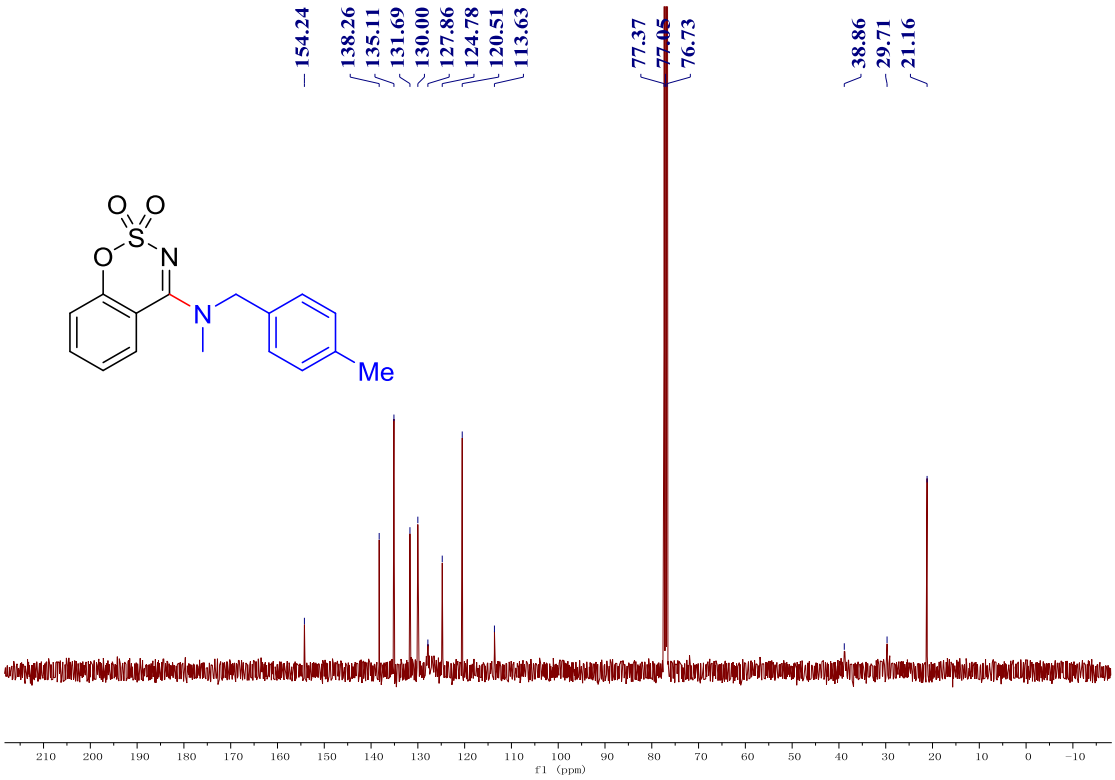
^{13}C NMR Spectrum of 3au at 25 °C (DMSO-d_6, 100 MHz)



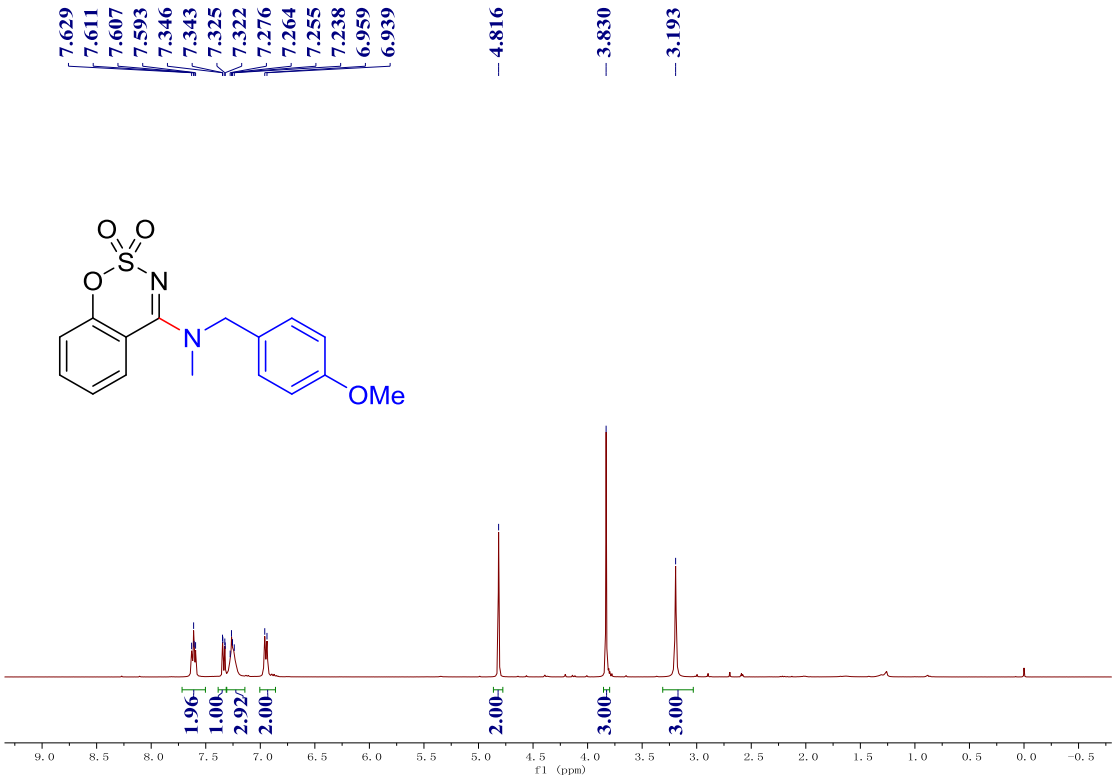
^{1}H NMR Spectrum of 3av at 25 °C ($CDCl_3$, 400 MHz)



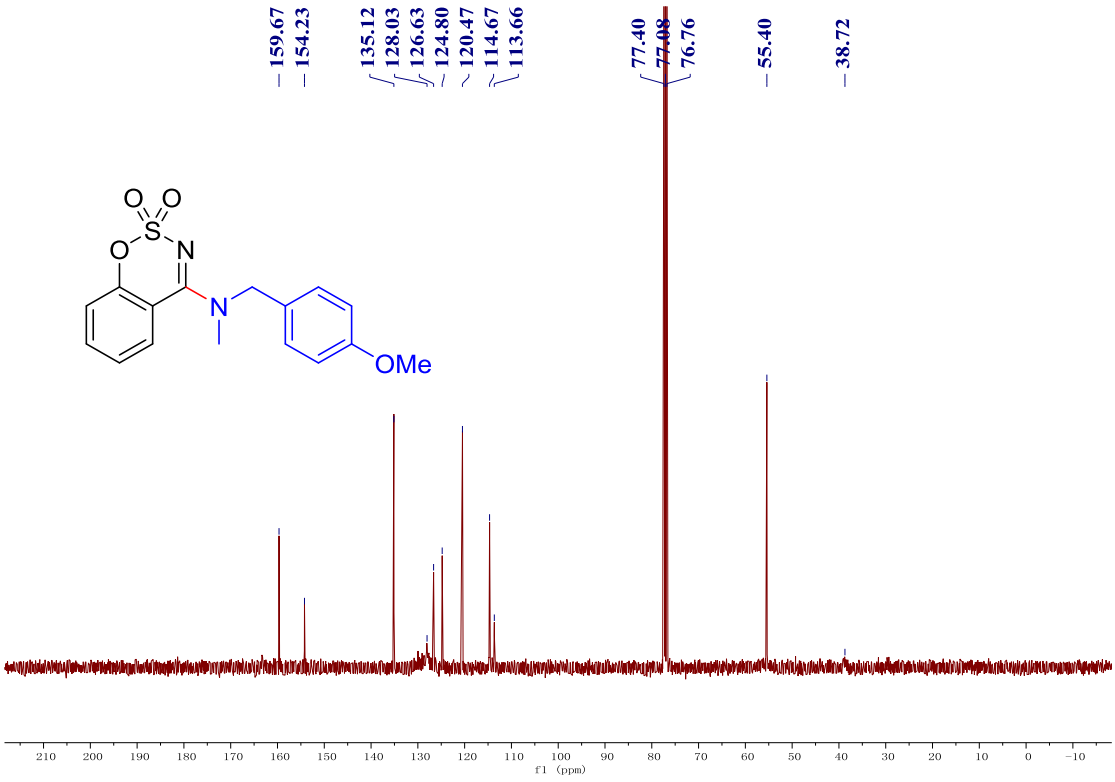
^{13}C NMR Spectrum of 3av at 25 °C ($CDCl_3$, 100 MHz)



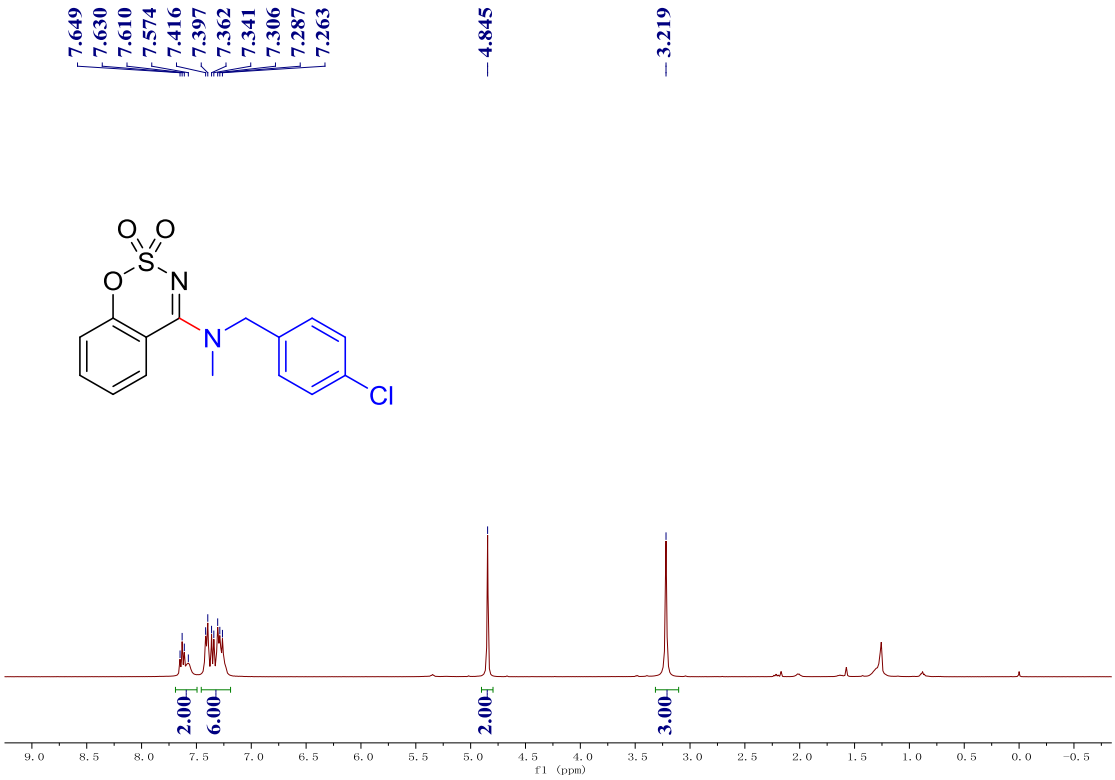
^{1}H NMR Spectrum of 3aw at 25 °C ($CDCl_3$, 400 MHz)



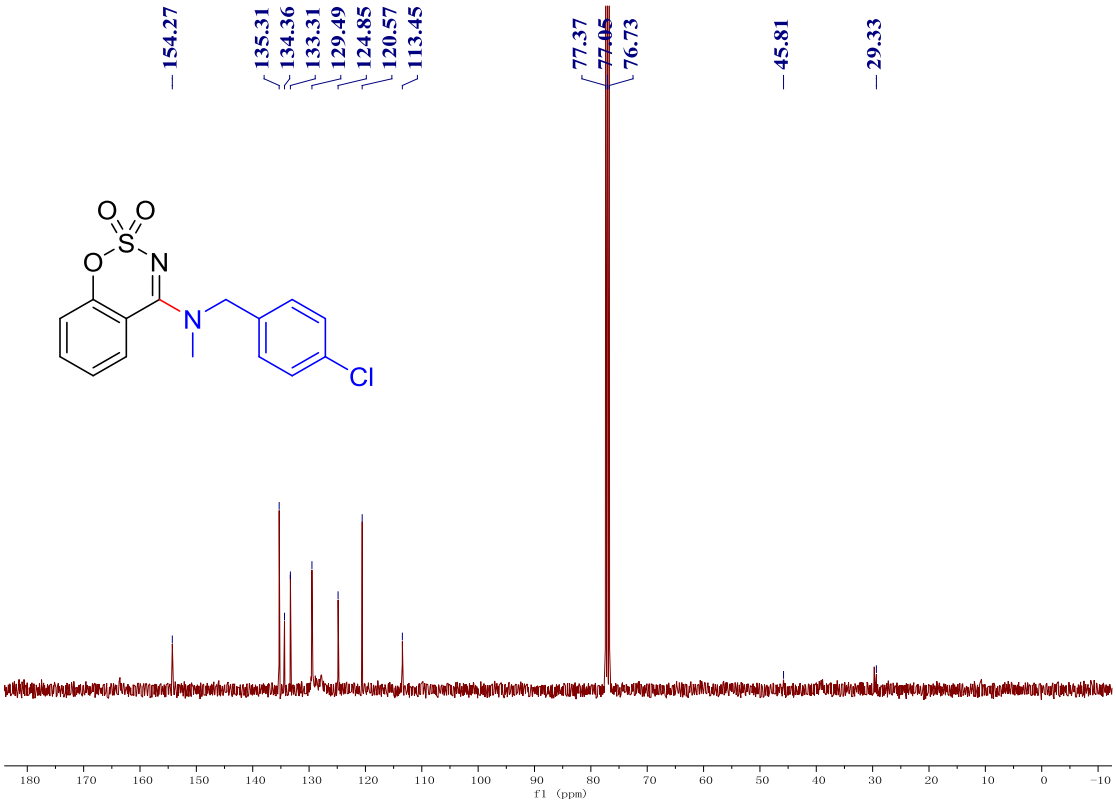
^{13}C NMR Spectrum of 3aw at 25 °C ($CDCl_3$, 100 MHz)



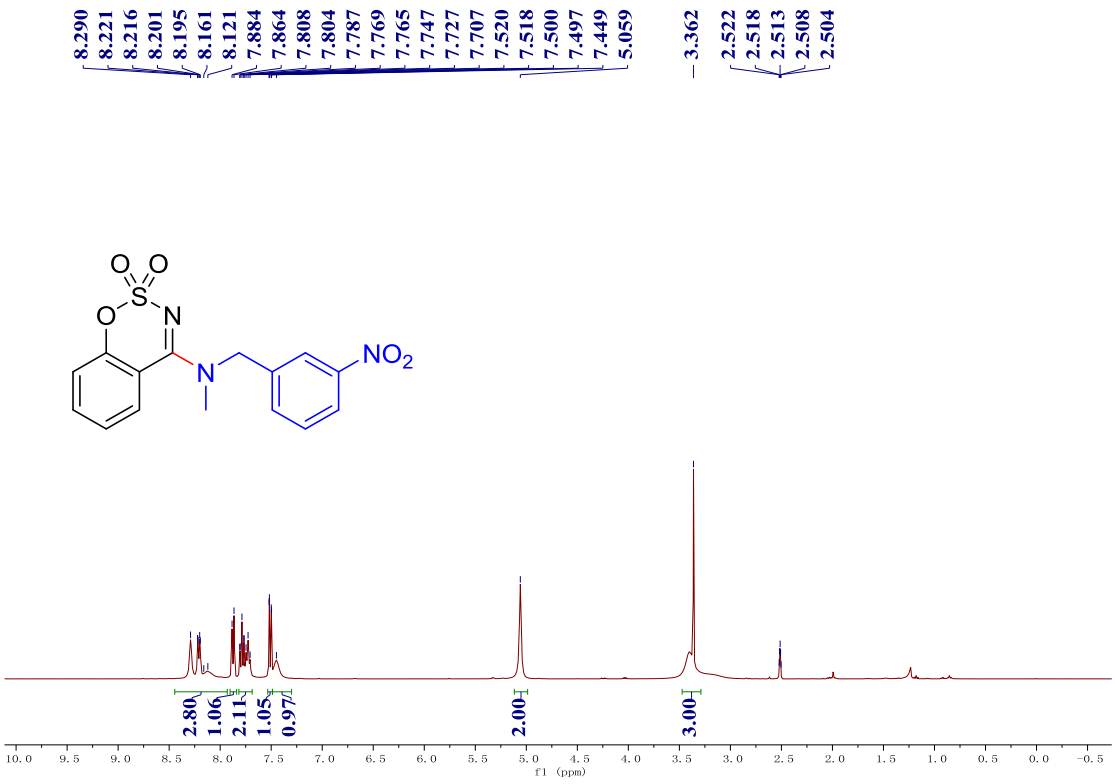
^{1}H NMR Spectrum of 3ax at 25 °C ($CDCl_3$, 400 MHz)



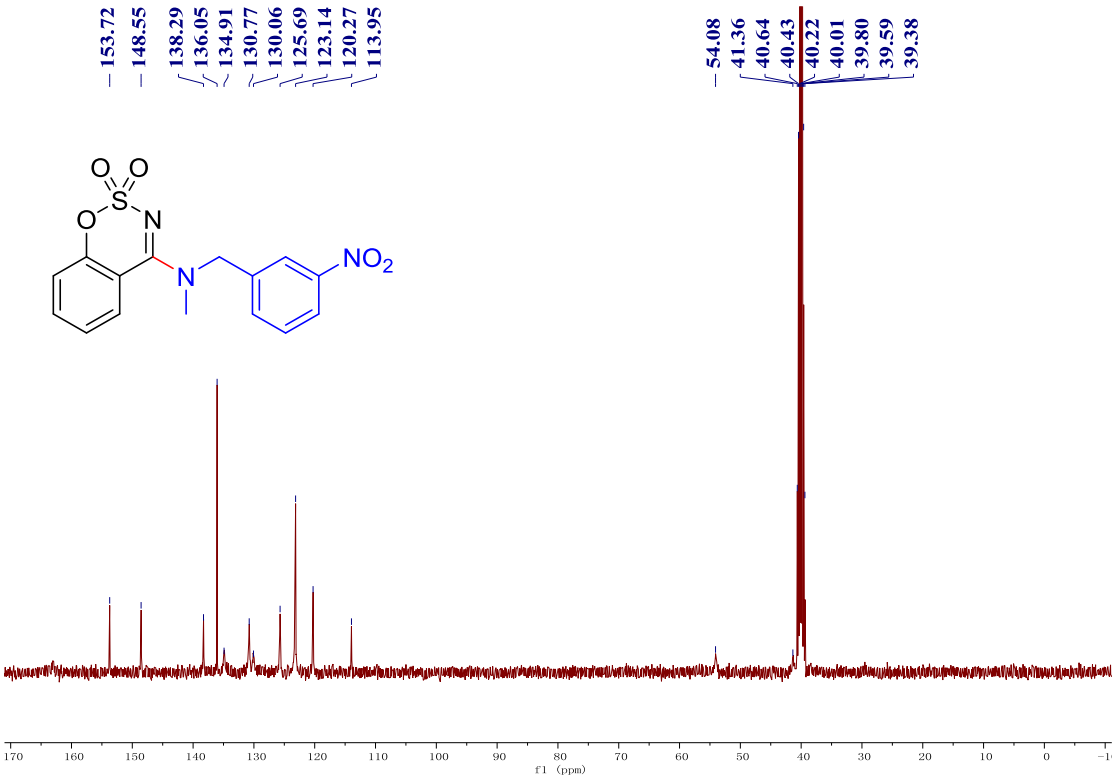
^{13}C NMR Spectrum of 3ax at 25 °C ($CDCl_3$, 100 MHz)



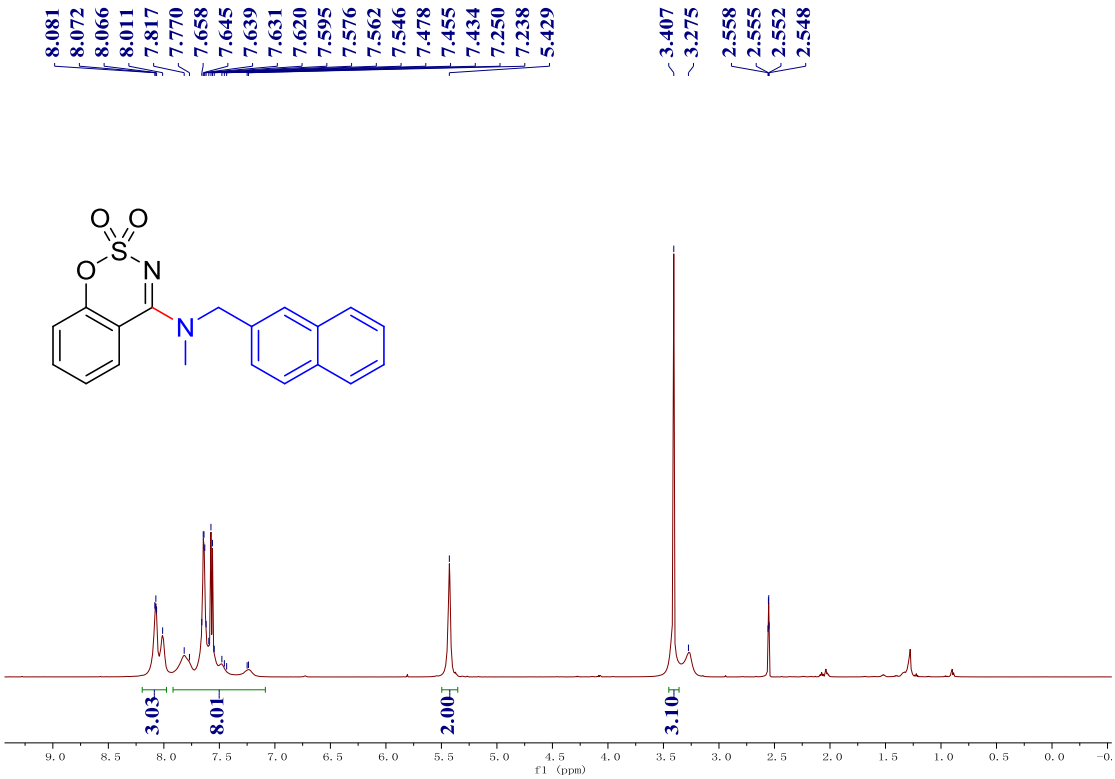
^{1}H NMR Spectrum of 3ay at 25 °C (DMSO-d_6, 400 MHz)



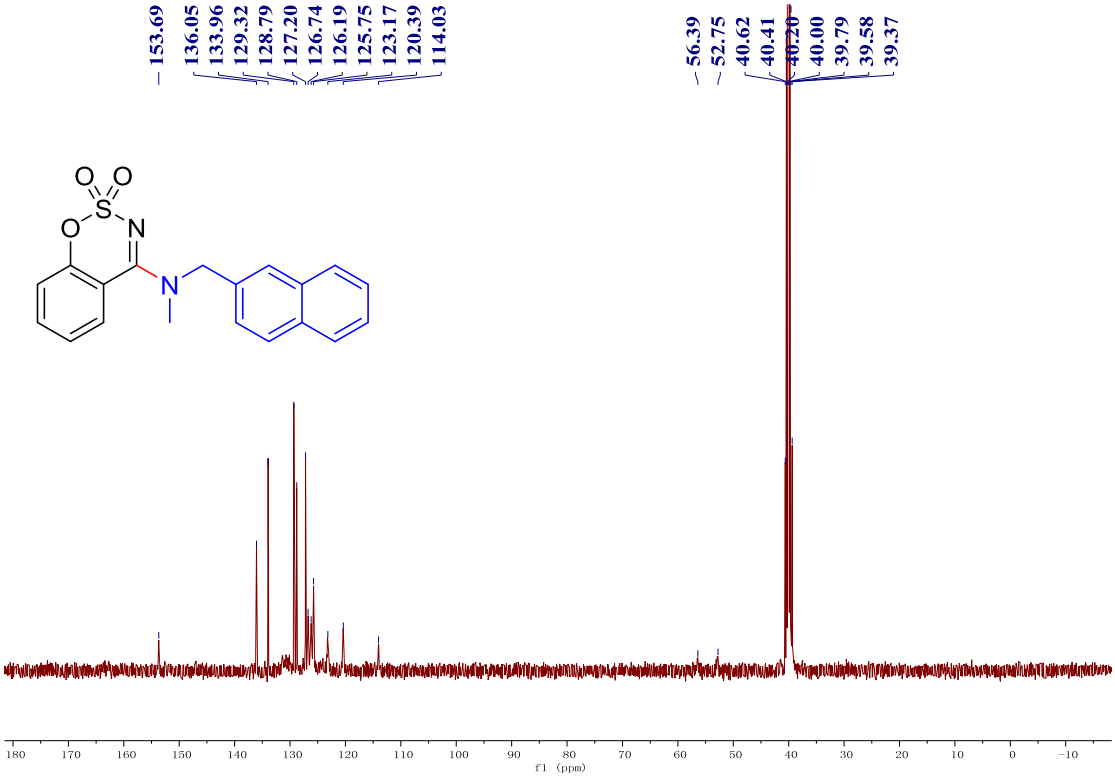
^{13}C NMR Spectrum of 3ay at 25 °C (DMSO-d_6, 100 MHz)



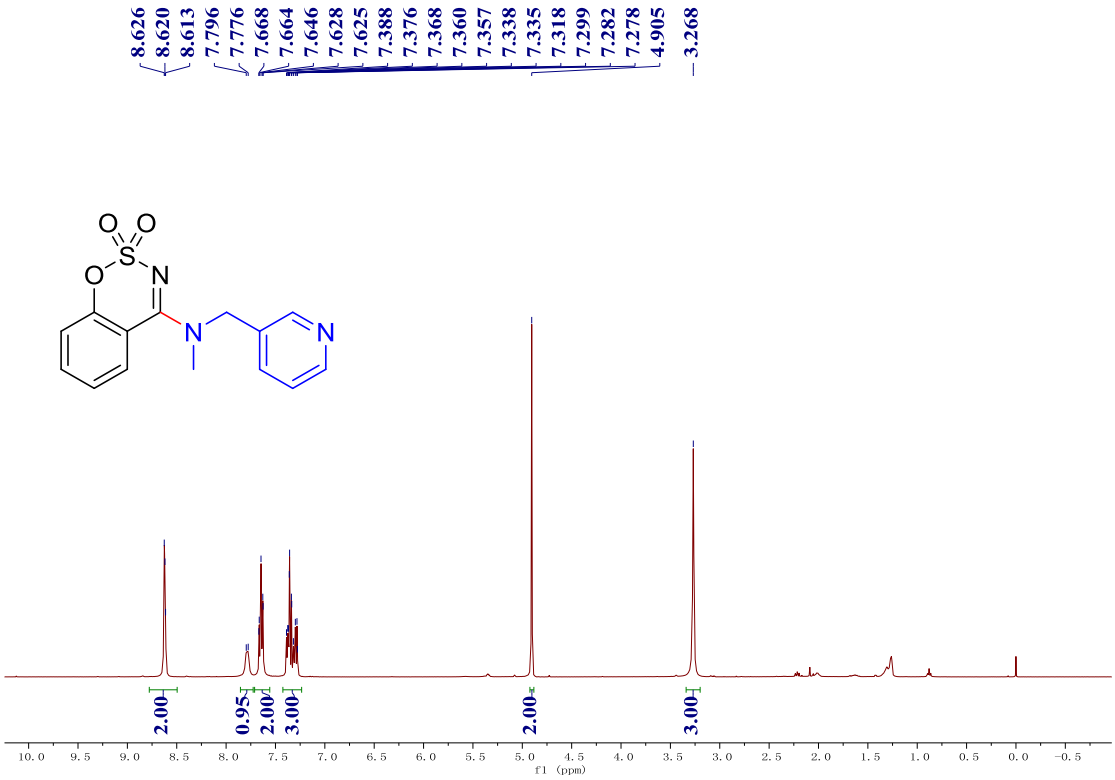
^{1}H NMR Spectrum of 3az at 25 °C (DMSO-d_6, 600 MHz)



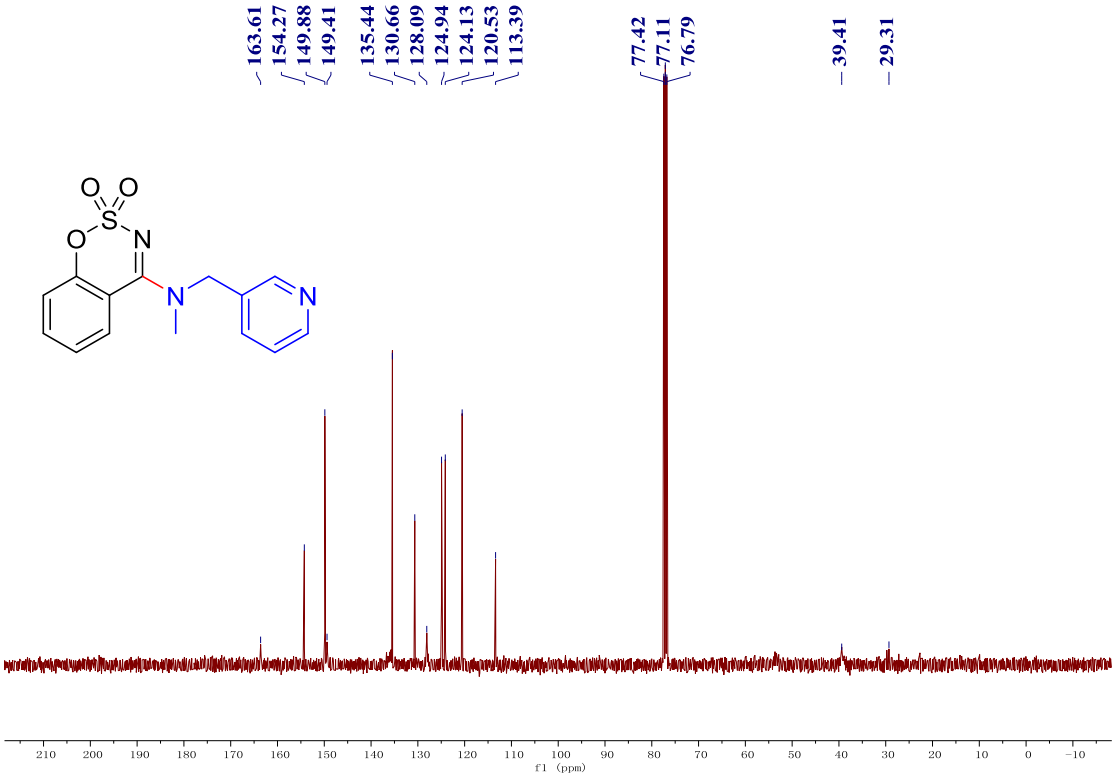
^{13}C NMR Spectrum of 3az at 25 °C (DMSO-d_6, 100 MHz)



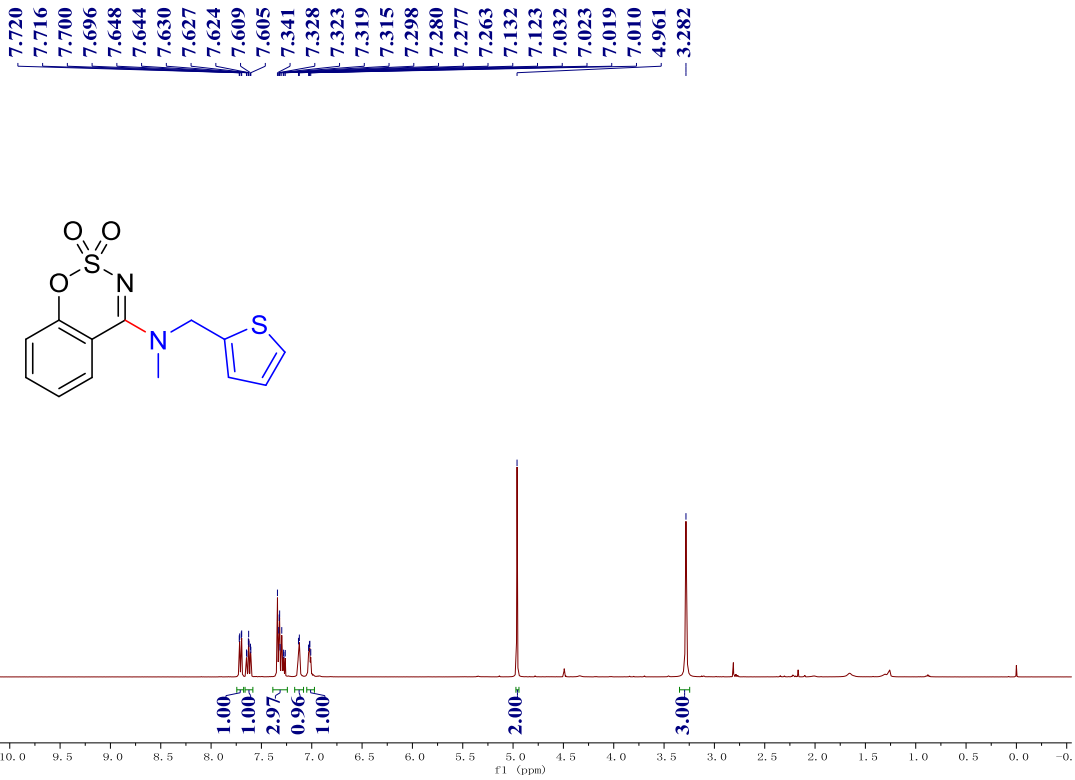
^{1}H NMR Spectrum of 3aaa at 25 °C ($CDCl_3$, 400 MHz)



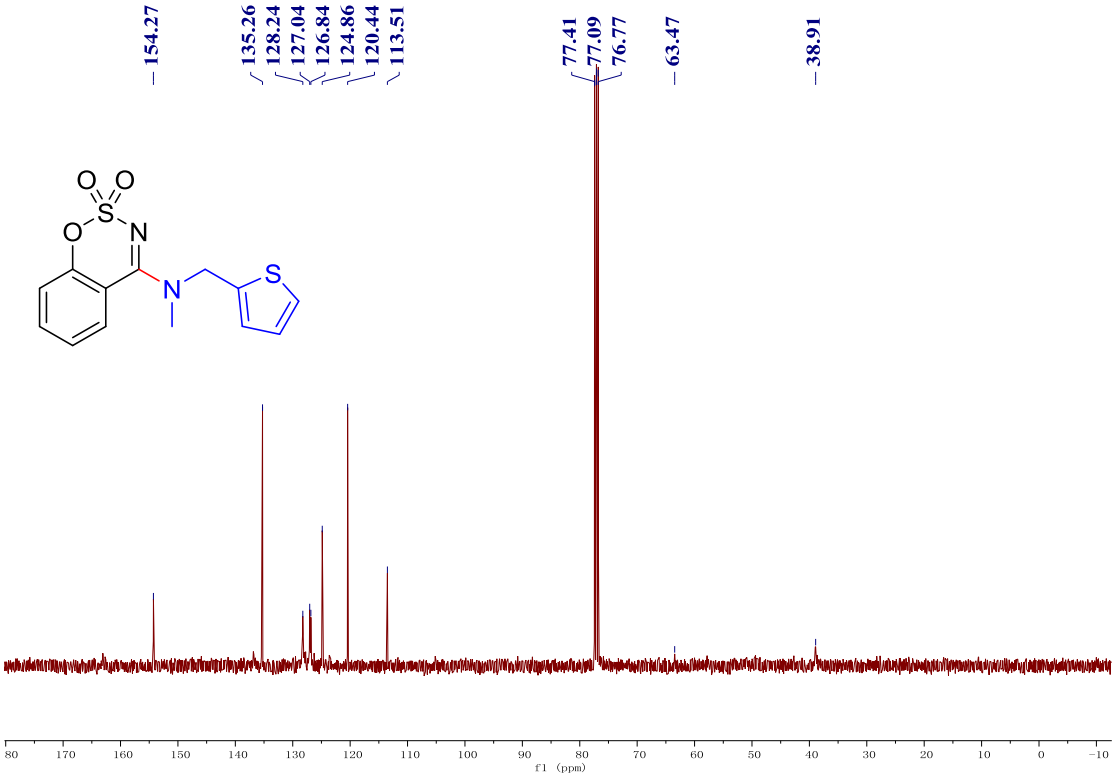
^{13}C NMR Spectrum of 3aaa at 25 °C ($CDCl_3$, 100 MHz)



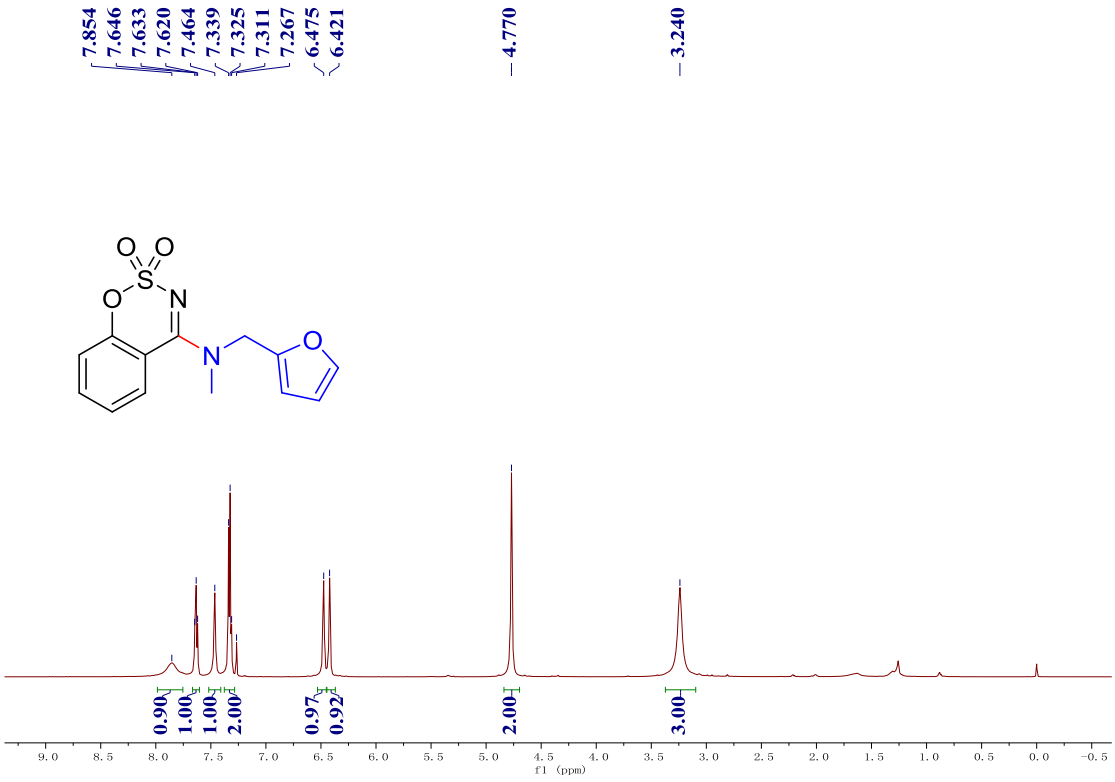
^{1}H NMR Spectrum of 3aab at 25 °C ($CDCl_3$, 400 MHz)



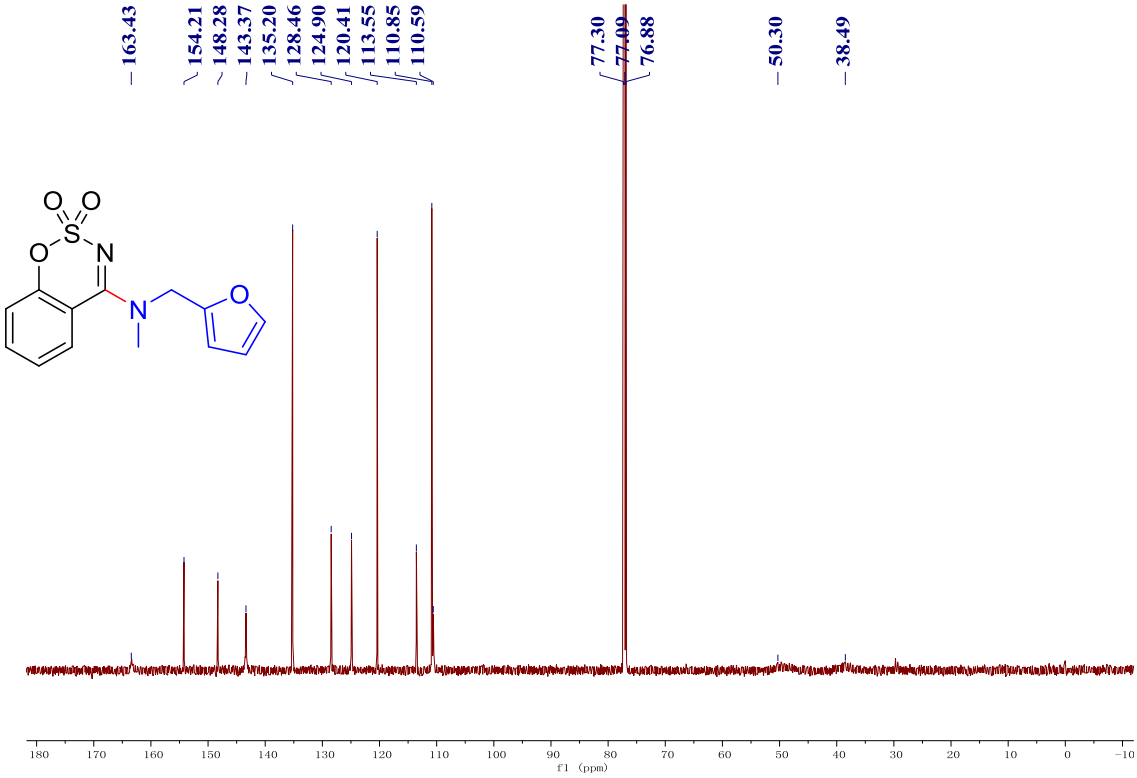
^{13}C NMR Spectrum of 3aab at 25 °C ($CDCl_3$, 100 MHz)



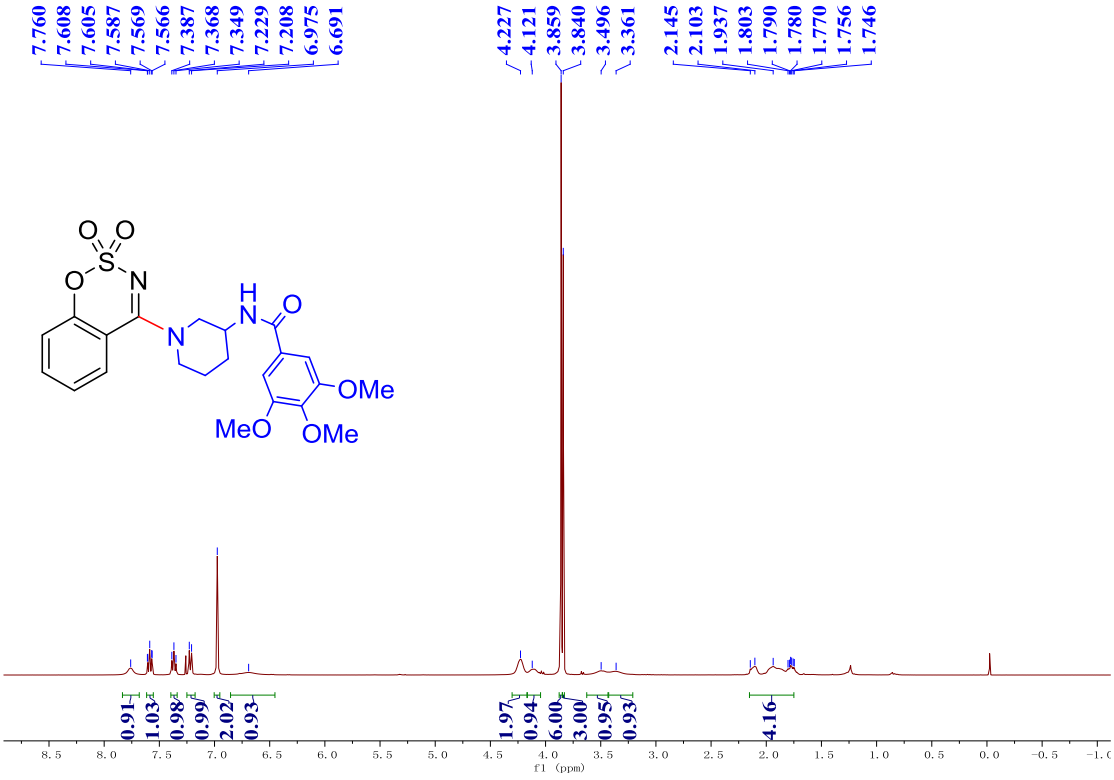
^{1}H NMR Spectrum of 3aac at 25 °C ($CDCl_3$, 600 MHz)



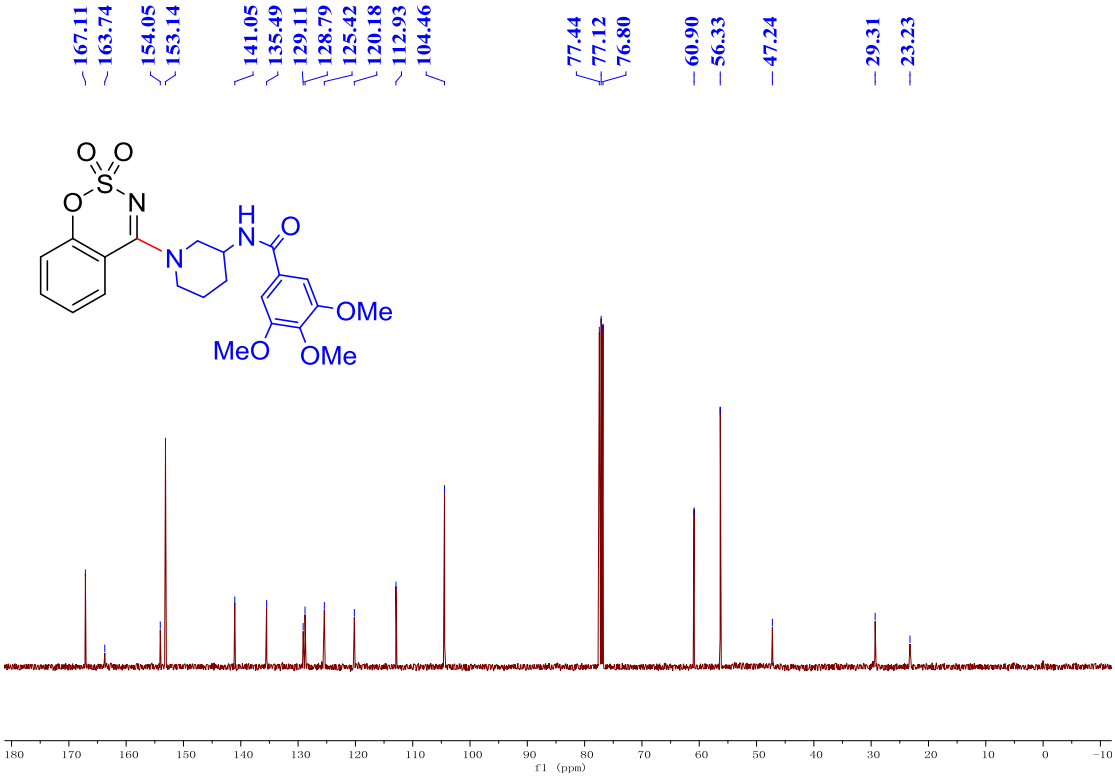
^{13}C NMR Spectrum of 3aac at 25 °C ($CDCl_3$, 150 MHz)



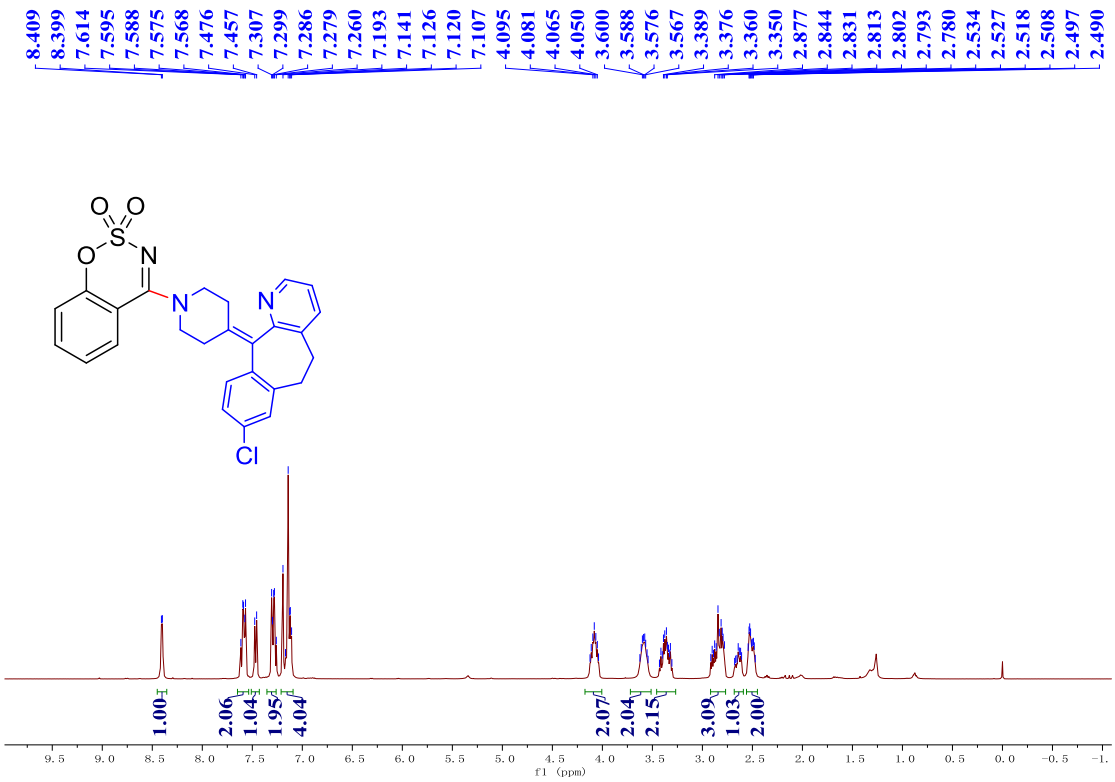
^{1}H NMR Spectrum of 5a at 25 °C ($CDCl_3$, 400 MHz)



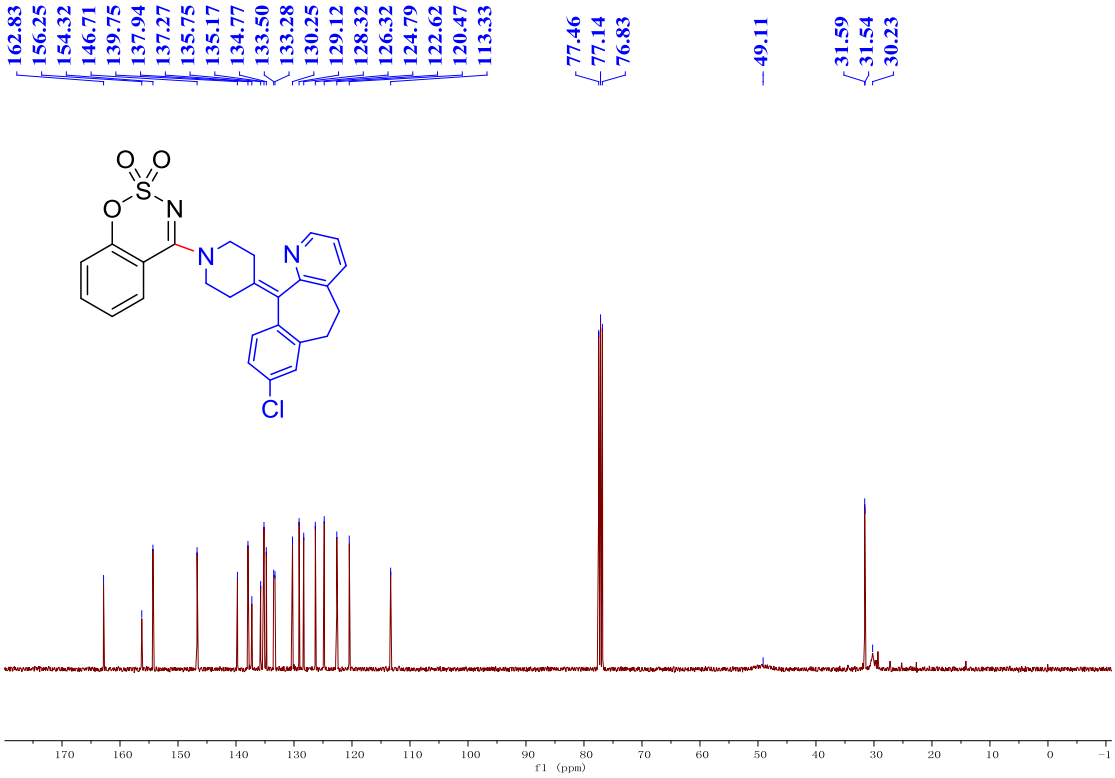
^{13}C NMR Spectrum of 5a at 25 °C ($CDCl_3$, 100 MHz)



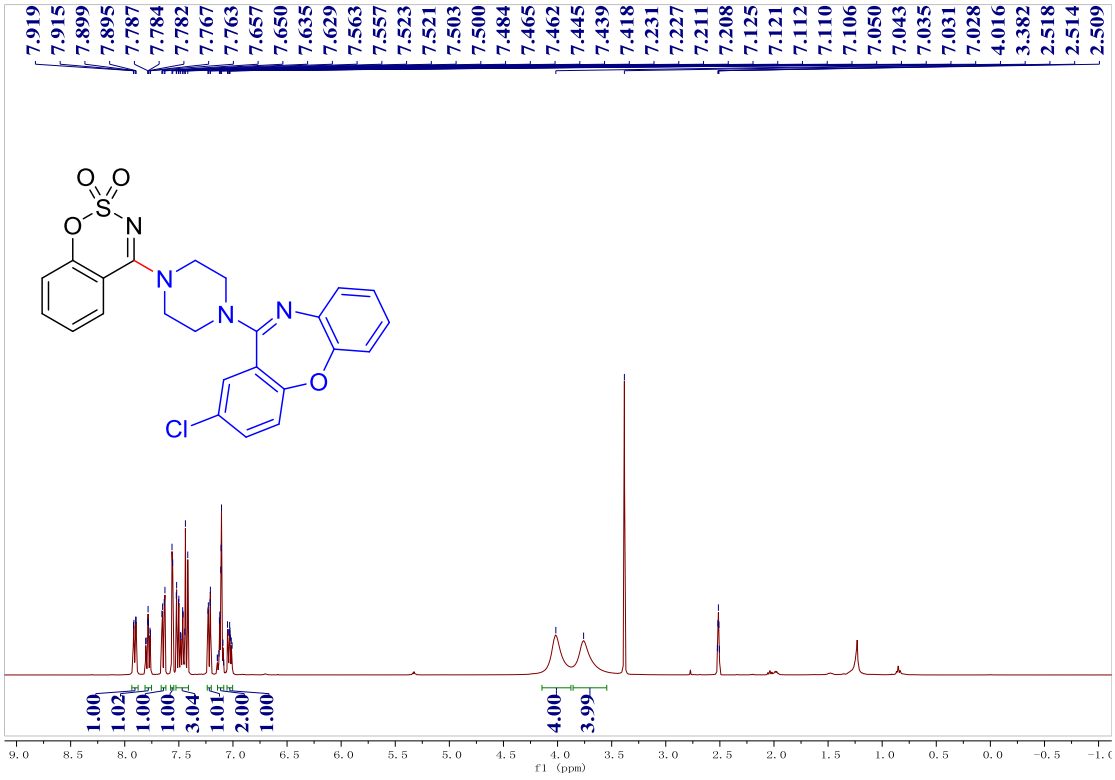
^{1}H NMR Spectrum of 5b at 25 °C ($CDCl_3$, 400 MHz)



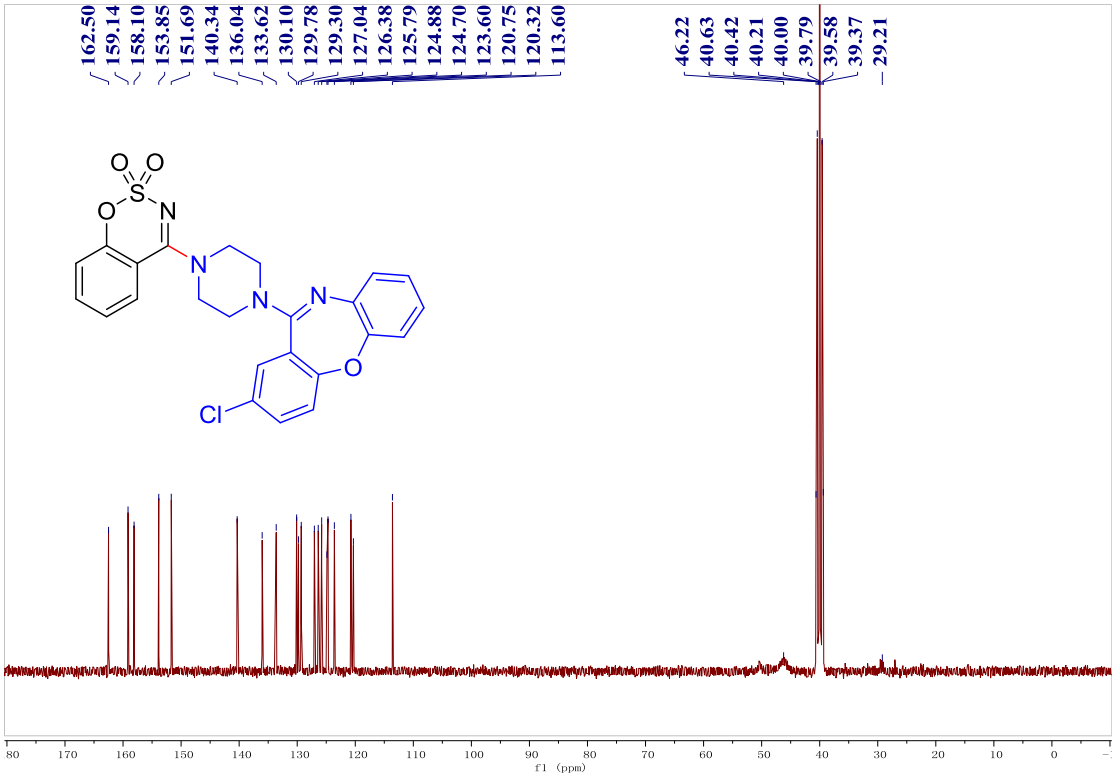
^{13}C NMR Spectrum of 5b at 25 °C ($CDCl_3$, 100 MHz)



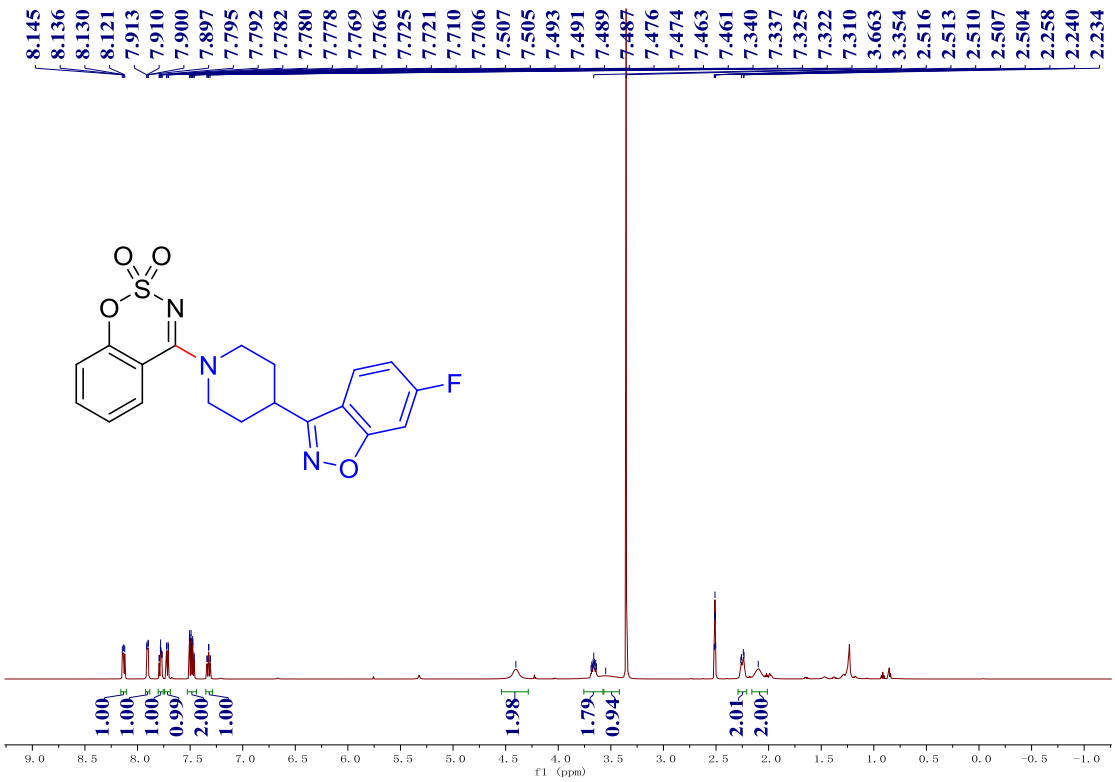
^{1}H NMR Spectrum of 5c at 25 °C (DMSO-d_6, 400 MHz)



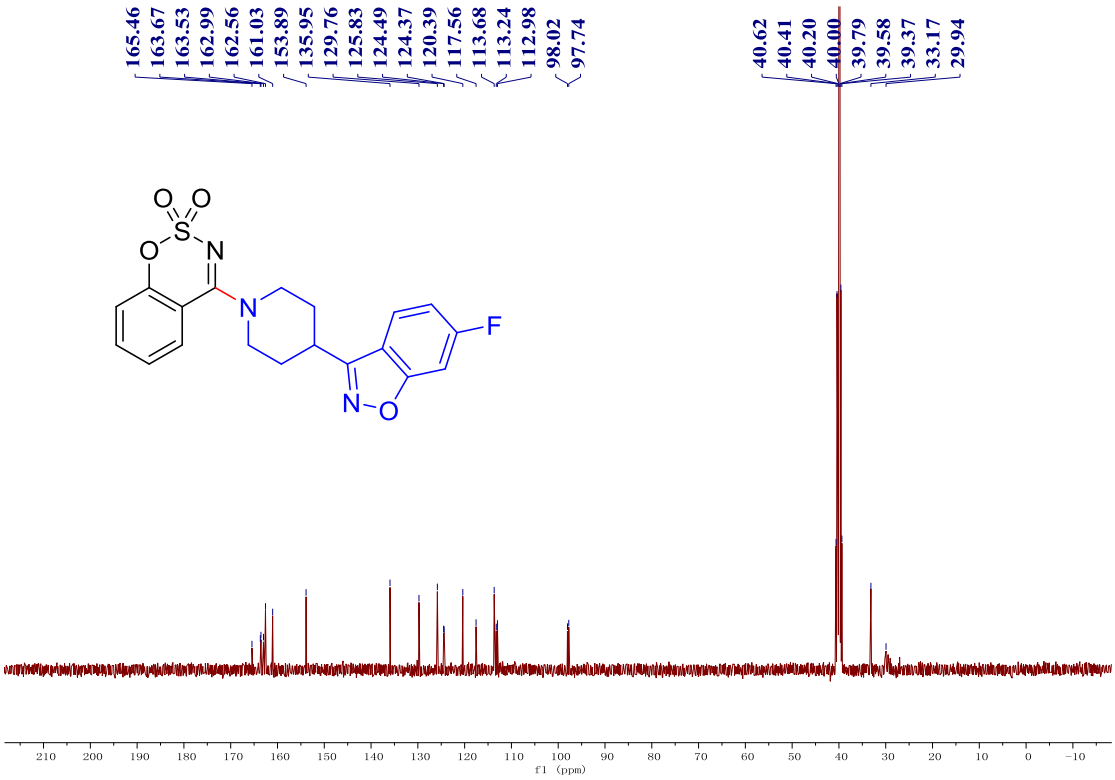
^{13}C NMR Spectrum of 5c at 25 °C (DMSO-d_6, 100 MHz)



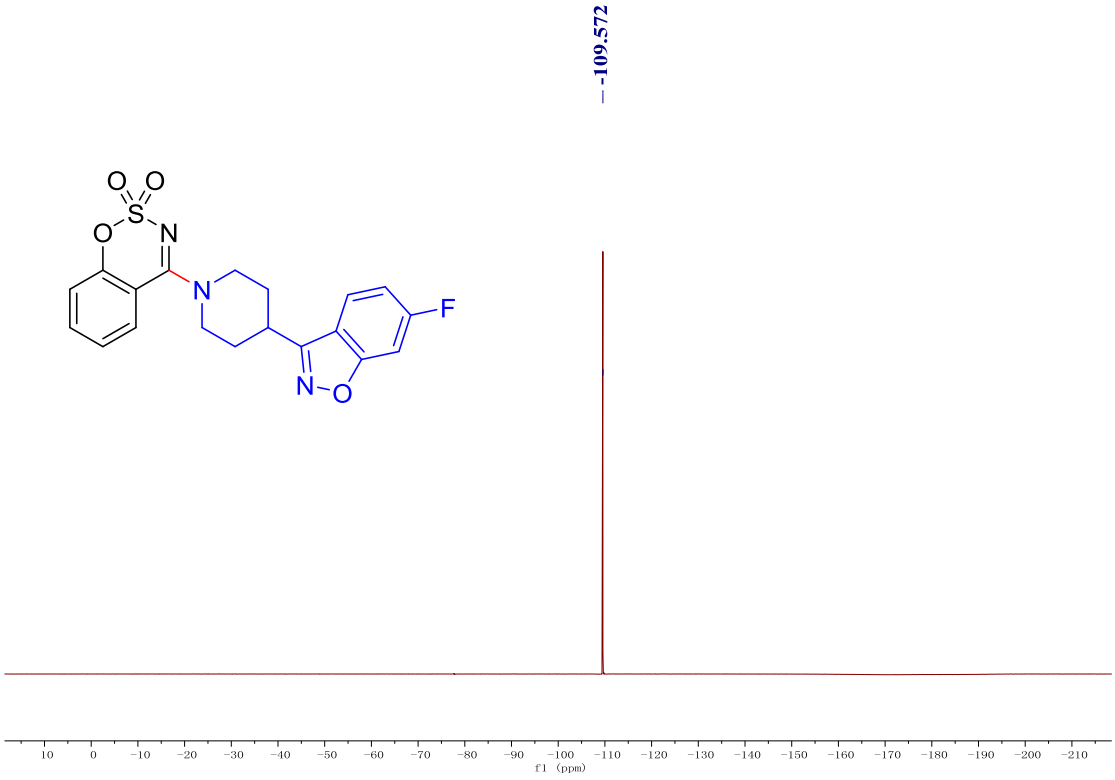
^{1}H NMR Spectrum of 5d at 25 °C (DMSO-d_6, 600 MHz)



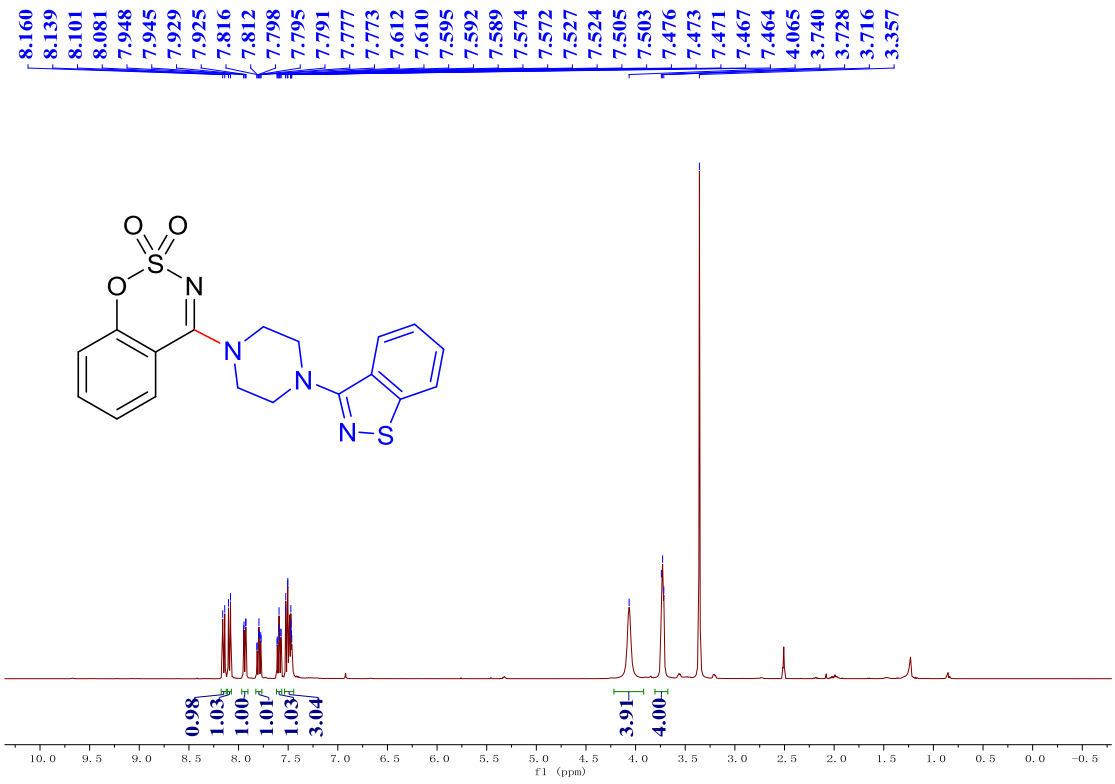
^{13}C NMR Spectrum of 5d at 25 °C (DMSO-d_6, 100 MHz)



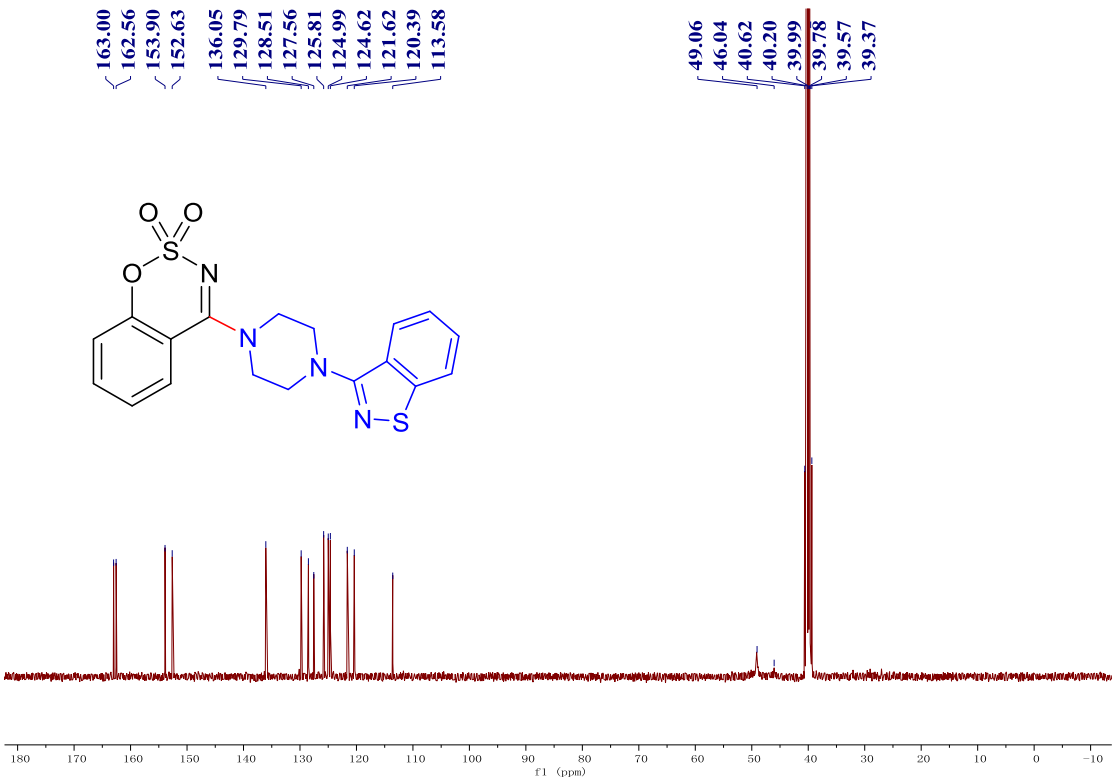
^{19}F NMR Spectrum of 5d at 25 °C (DMSO, 565 MHz)



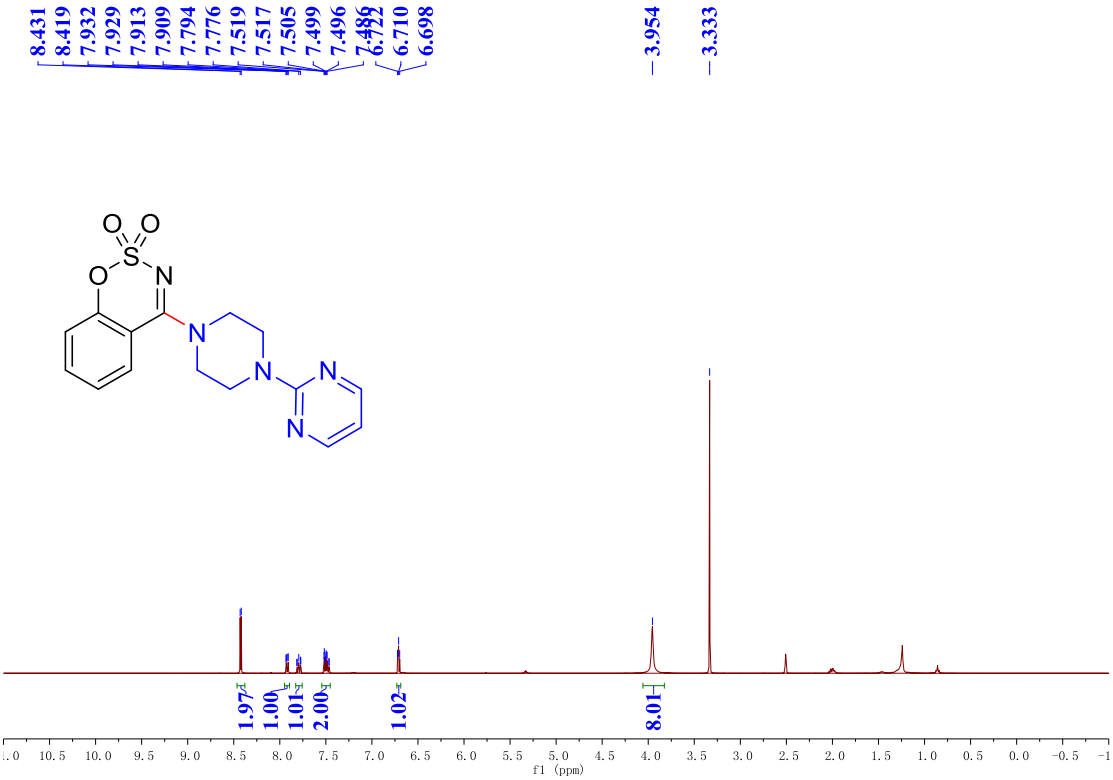
^{1}H NMR Spectrum of 5e at 25 °C (DMSO-d_6, 400 MHz)



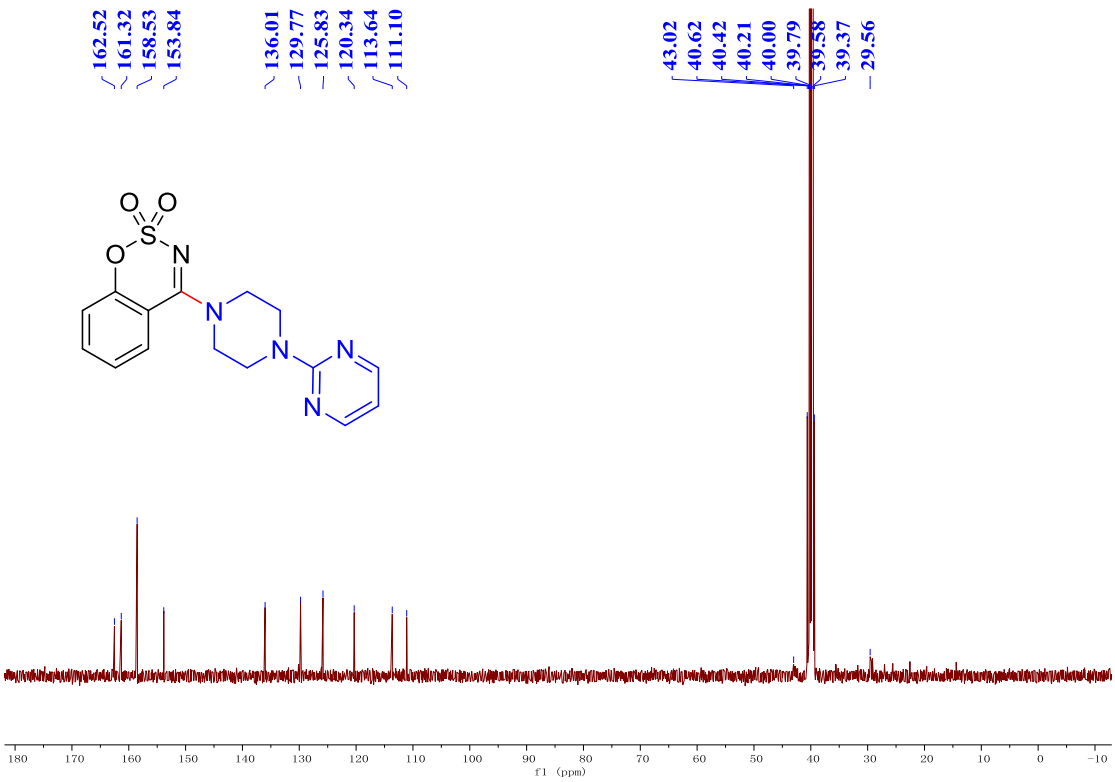
^{13}C NMR Spectrum of 5e at 25 °C (DMSO-d_6, 100 MHz)



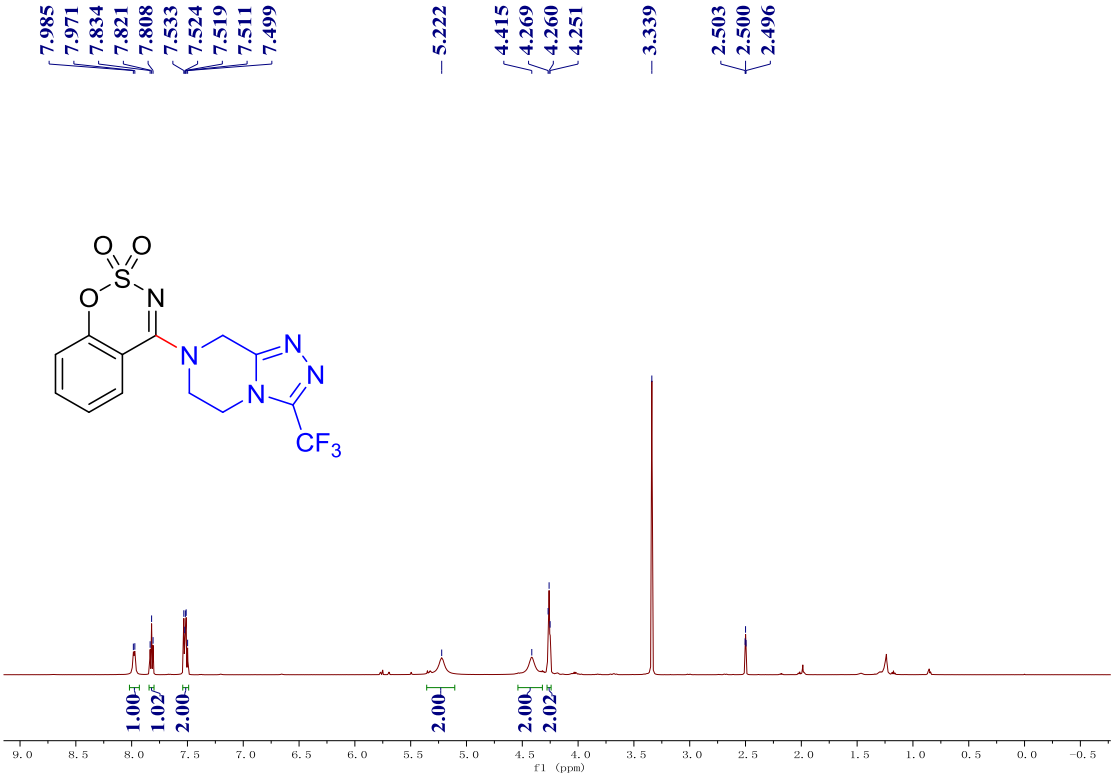
^{1}H NMR Spectrum of 5f at 25 °C (DMSO-d_6, 400 MHz)



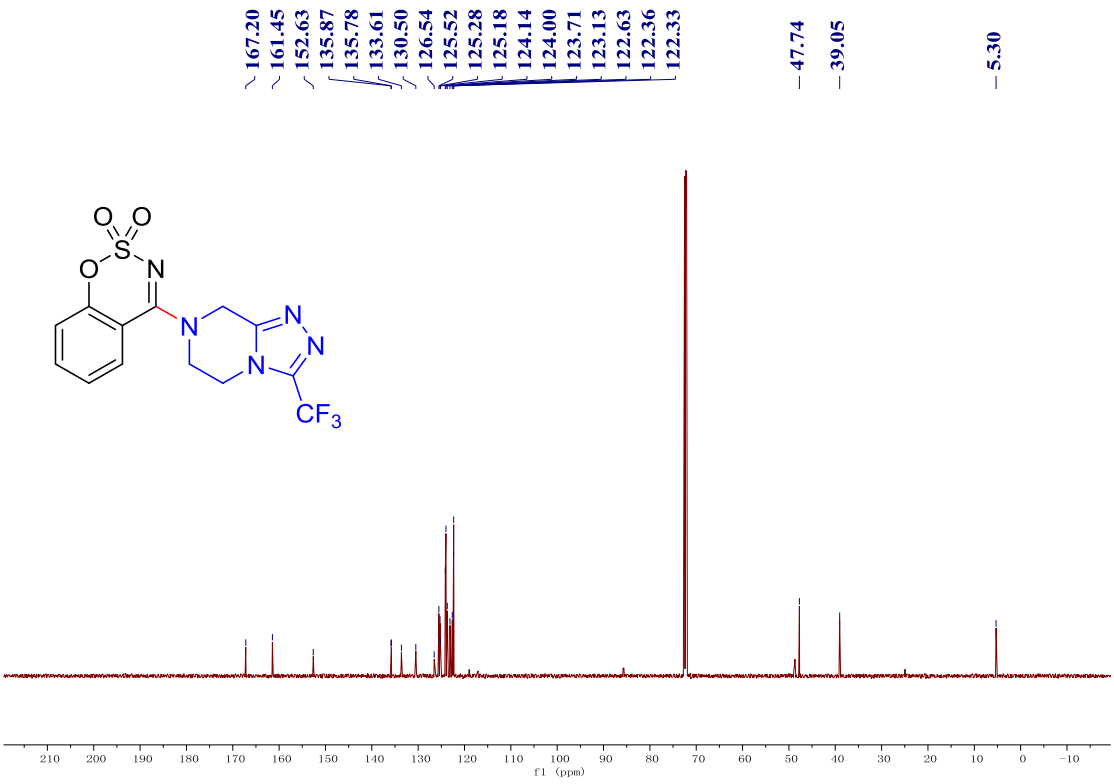
^{13}C NMR Spectrum of 5f at 25 °C (DMSO-d_6, 100 MHz)



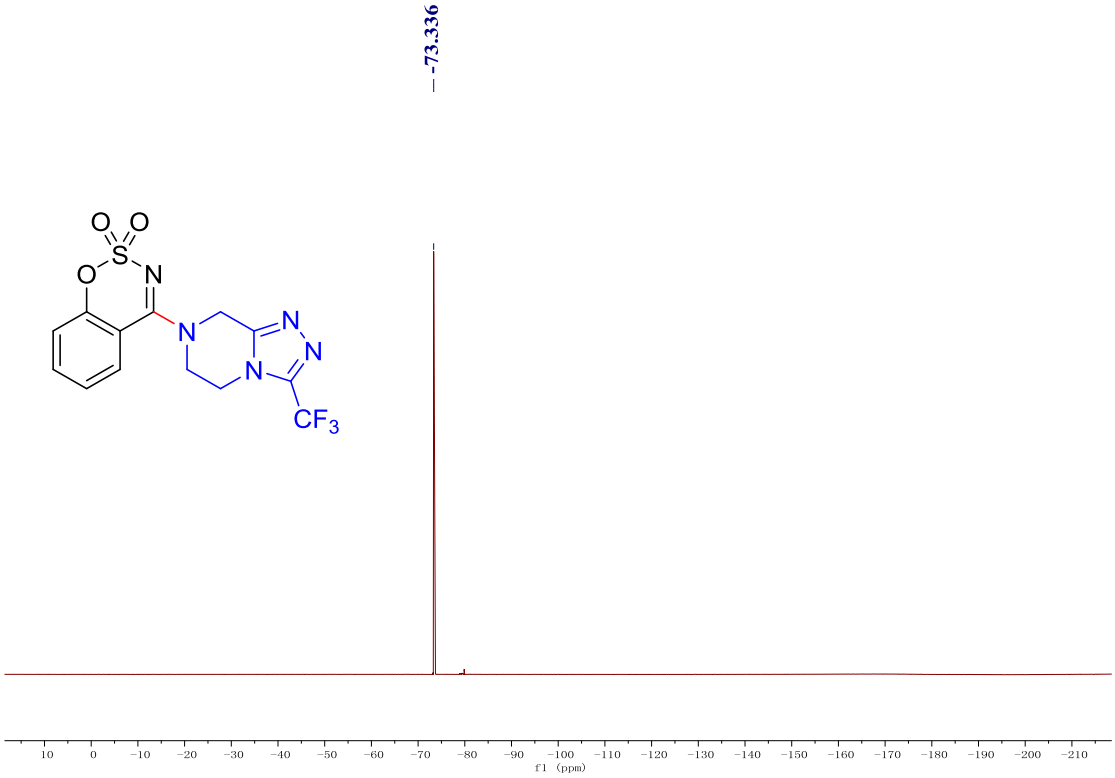
^{1}H NMR Spectrum of 5g at 25 °C (DMSO-d_6, 600 MHz)



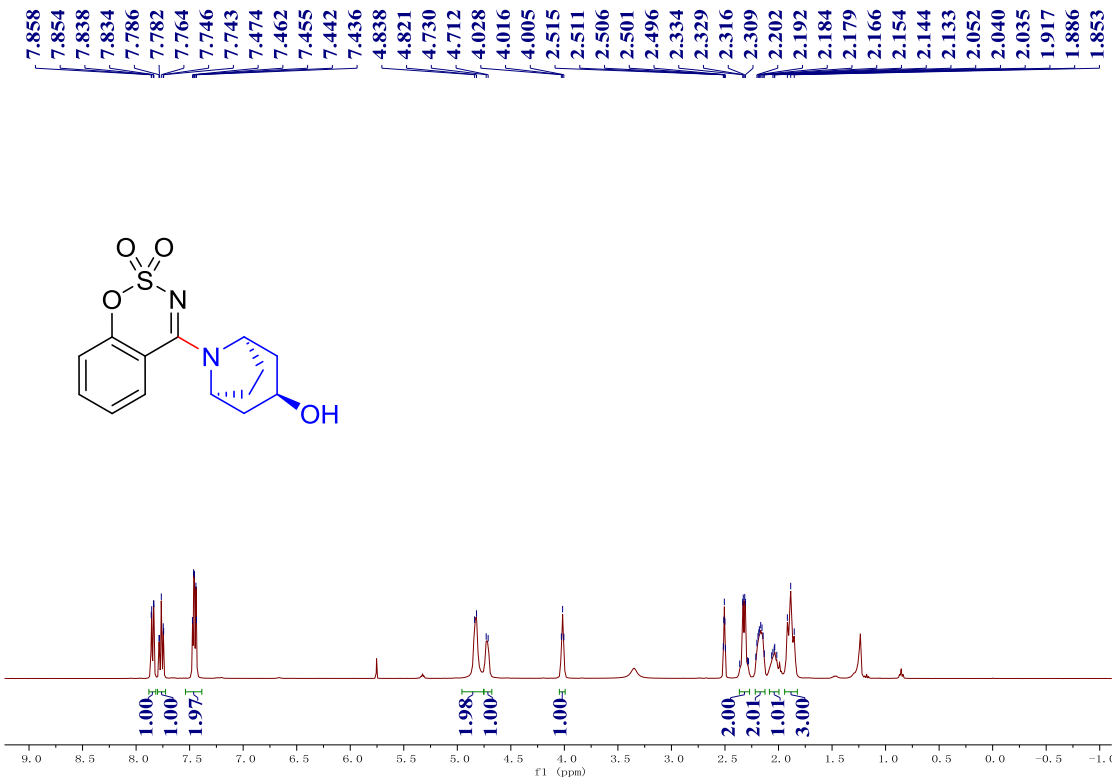
^{13}C NMR Spectrum of 5g at 25 °C (DMSO-d_6, 150 MHz)



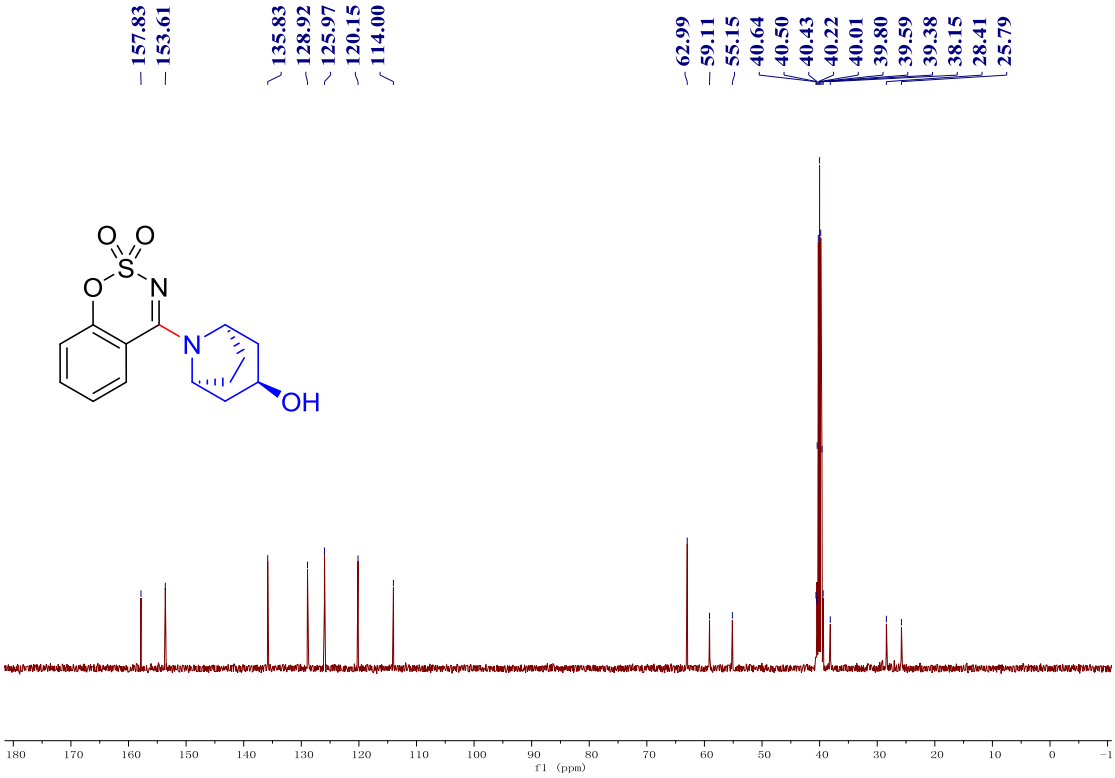
^{19}F NMR Spectrum of 5g at 25 °C (DMSO-d_6, 565 MHz)



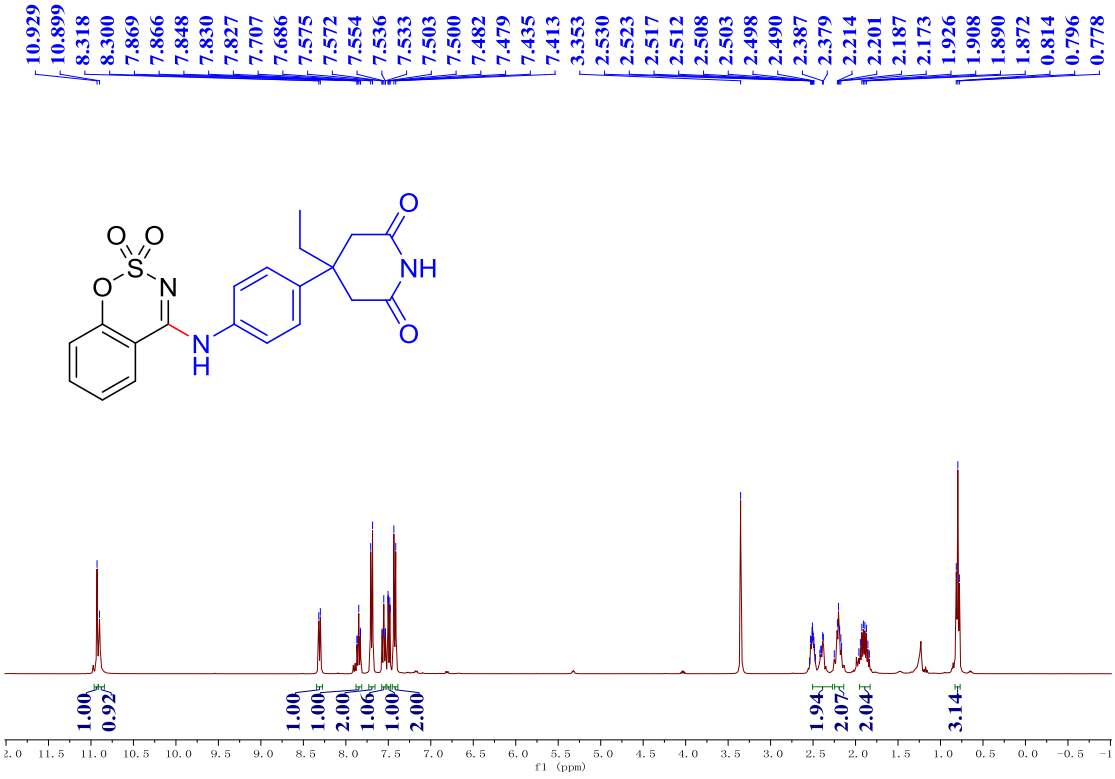
^{1}H NMR Spectrum of 5h at 25 °C (DMSO-d_6, 400 MHz)



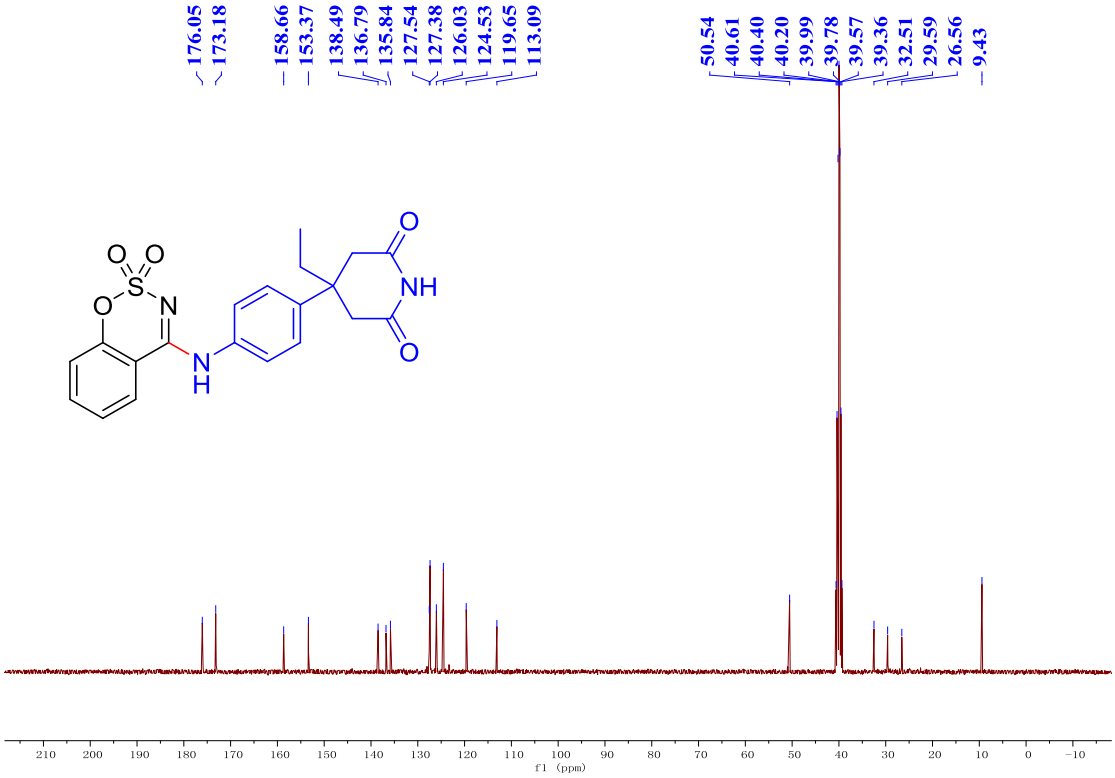
^{13}C NMR Spectrum of 5h at 25 °C (DMSO-d_6, 100 MHz)



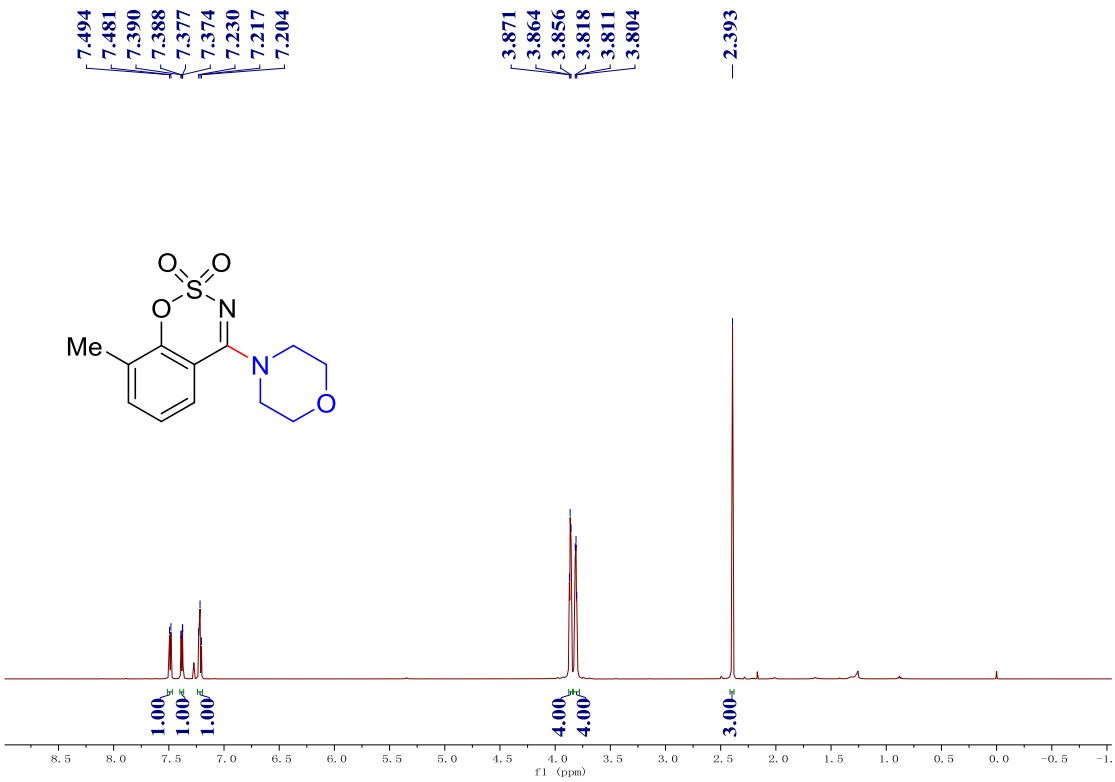
^{1}H NMR Spectrum of 5i at 25 °C (DMSO-d_6, 400 MHz)



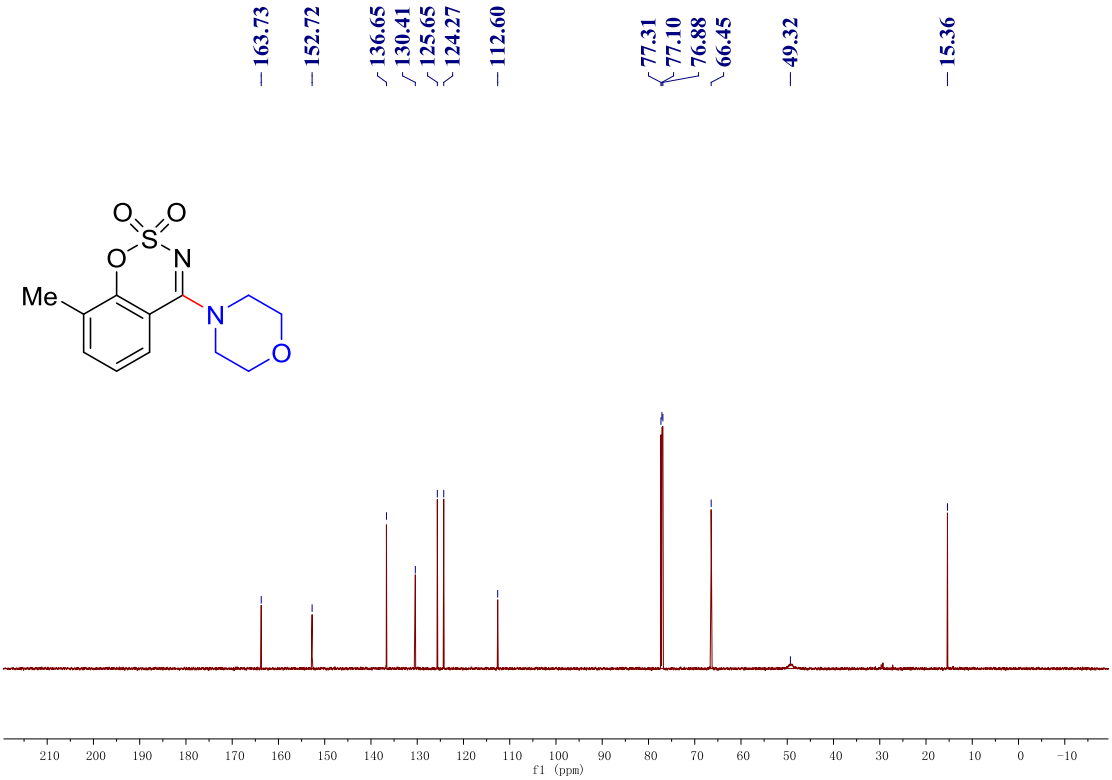
^{13}C NMR Spectrum of 5i at 25 °C (DMSO-d_6, 100 MHz)



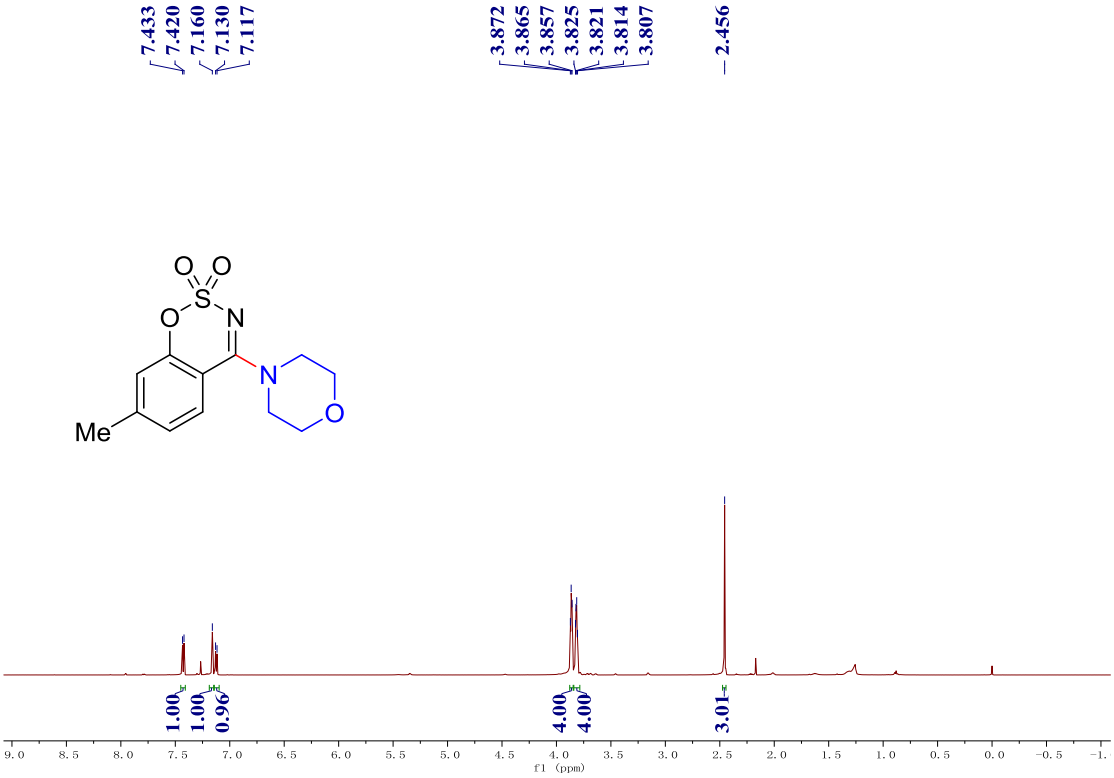
^{1}H NMR Spectrum of 6a at 25 °C ($CDCl_3$, 600 MHz)



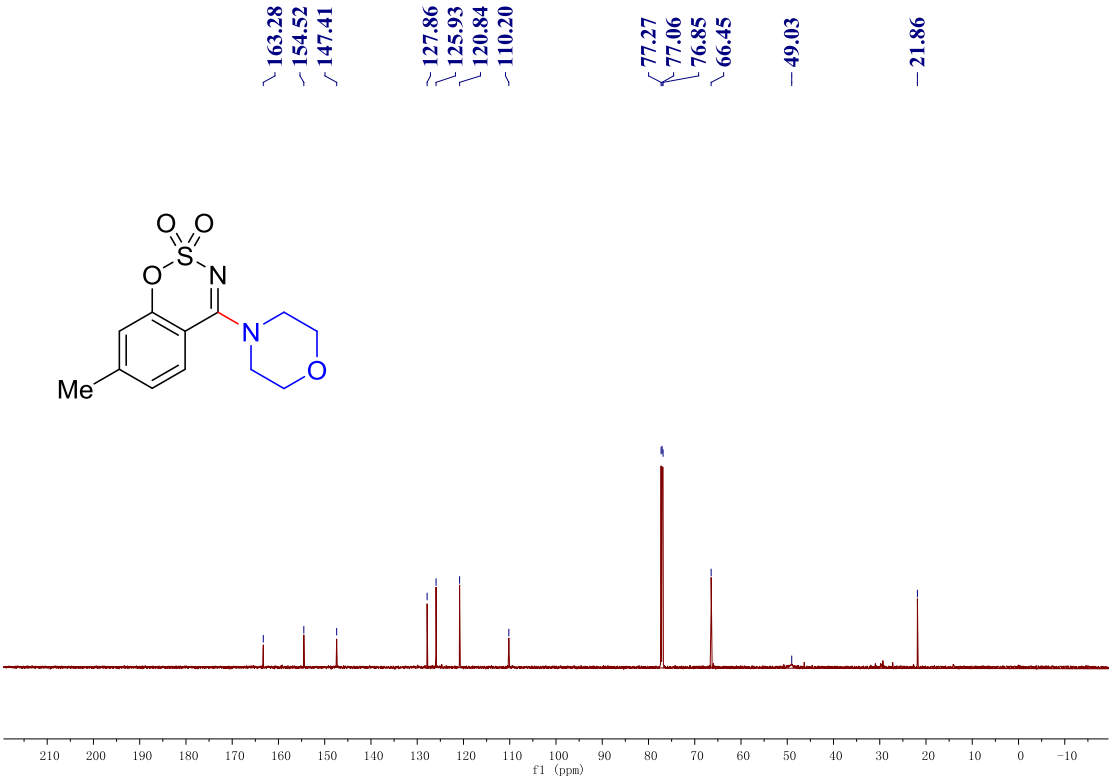
^{13}C NMR Spectrum of 6a at 25 °C ($CDCl_3$, 150 MHz)



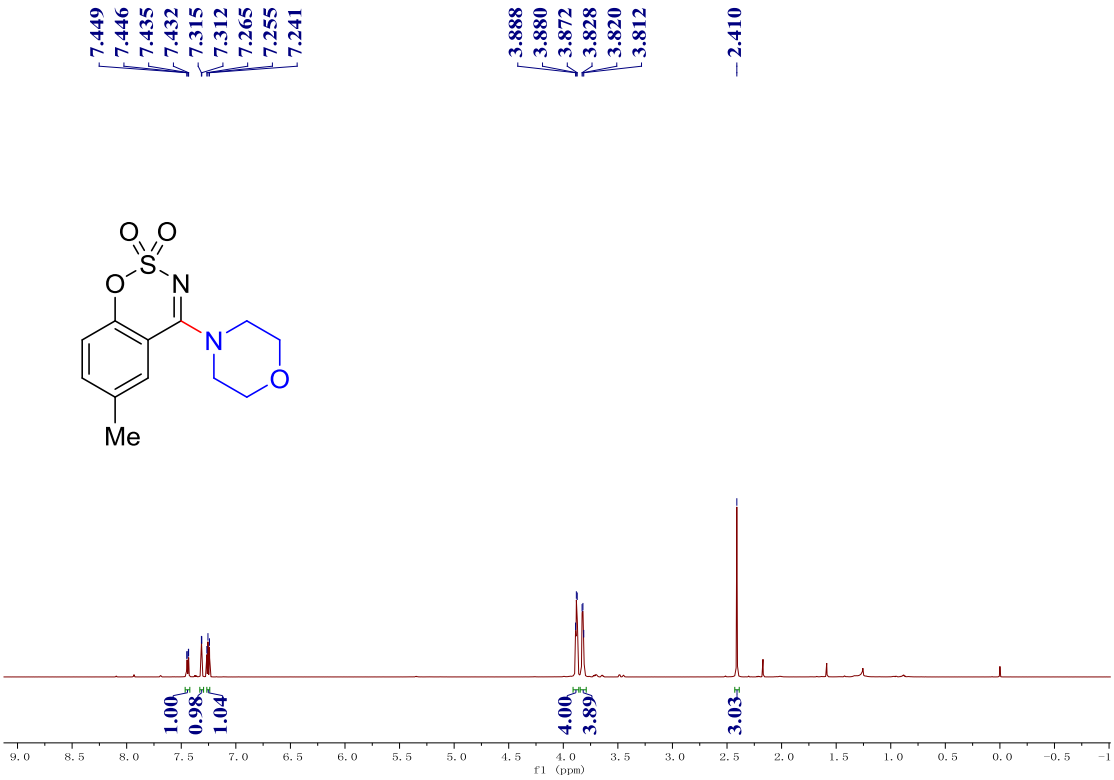
^{1}H NMR Spectrum of 6b at 25 °C ($CDCl_3$, 600 MHz)



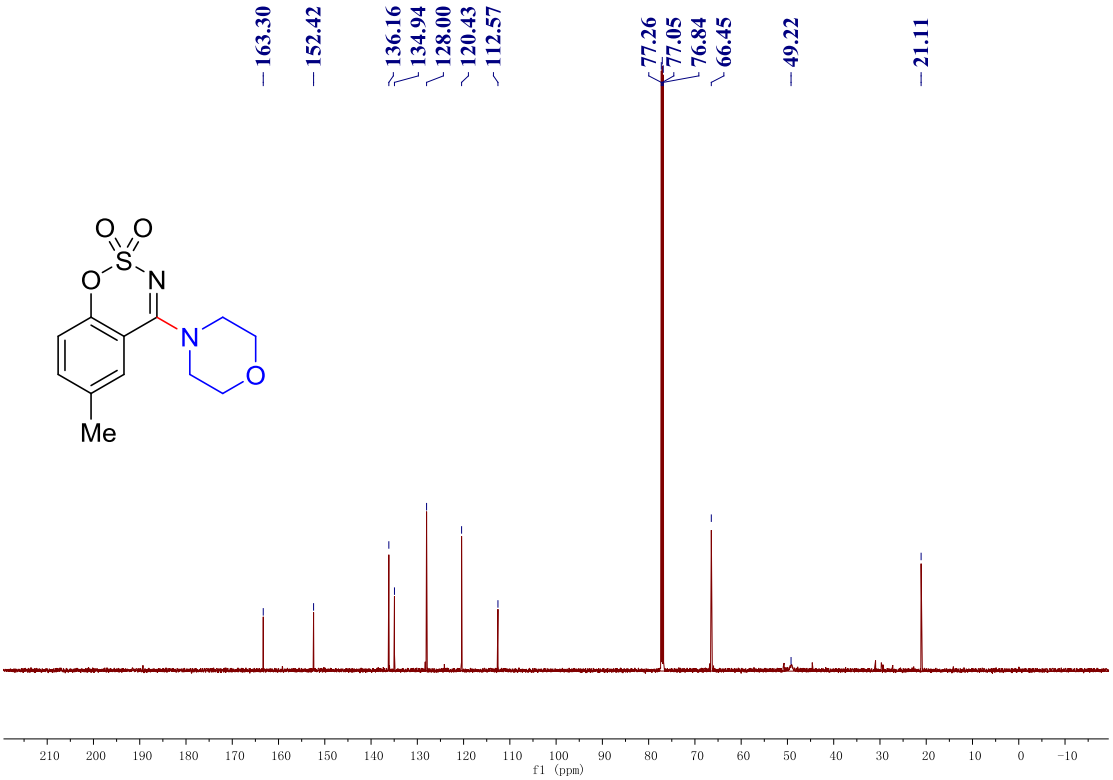
^{13}C NMR Spectrum of 6b at 25 °C ($CDCl_3$, 150 MHz)



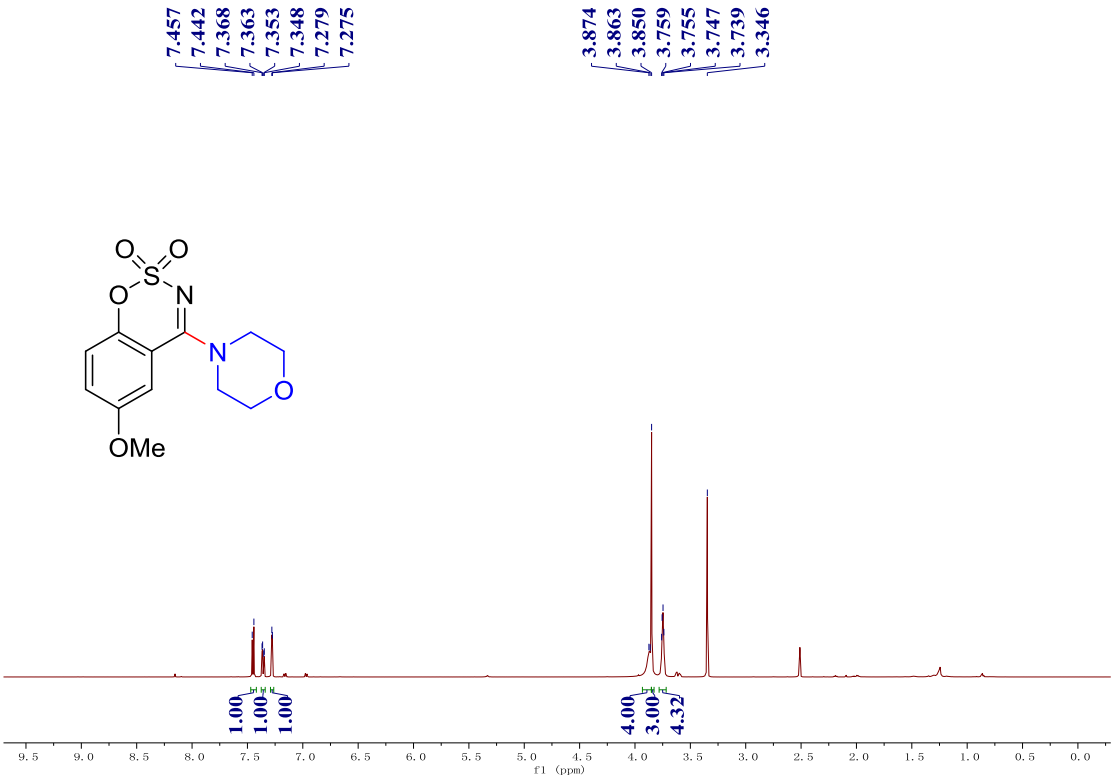
^{1}H NMR Spectrum of 6c at 25 °C ($CDCl_3$, 600 MHz)



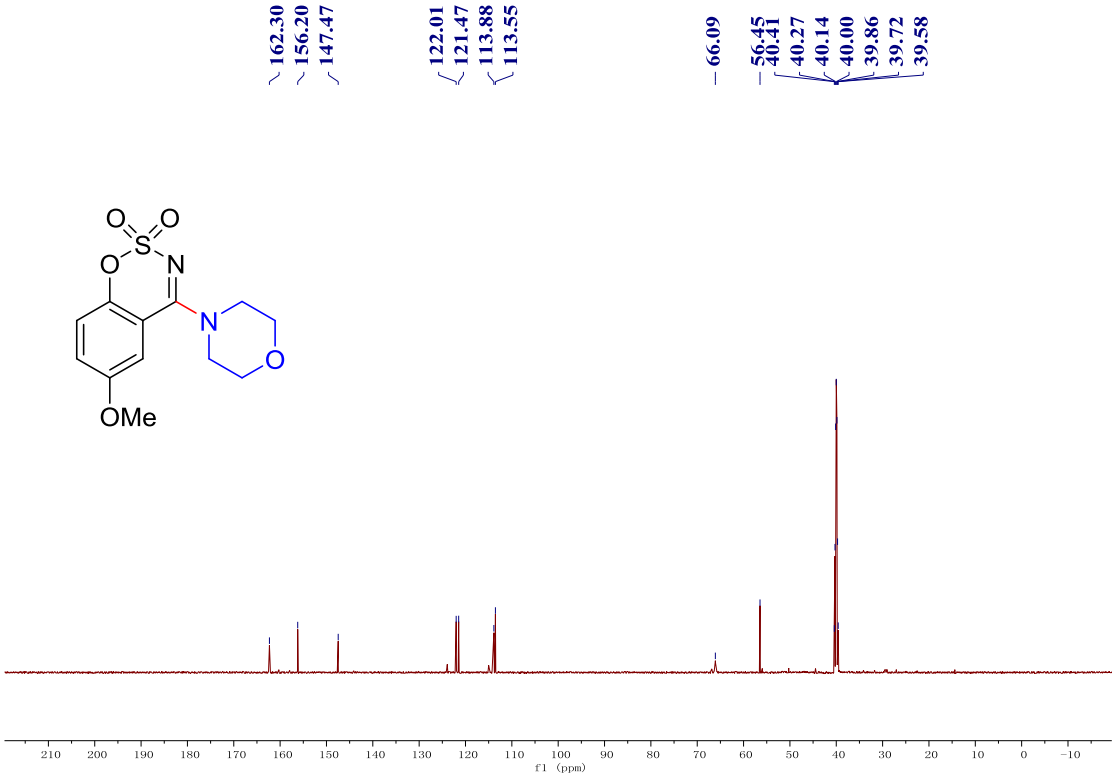
^{13}C NMR Spectrum of 6c at 25 °C ($CDCl_3$, 150 MHz)



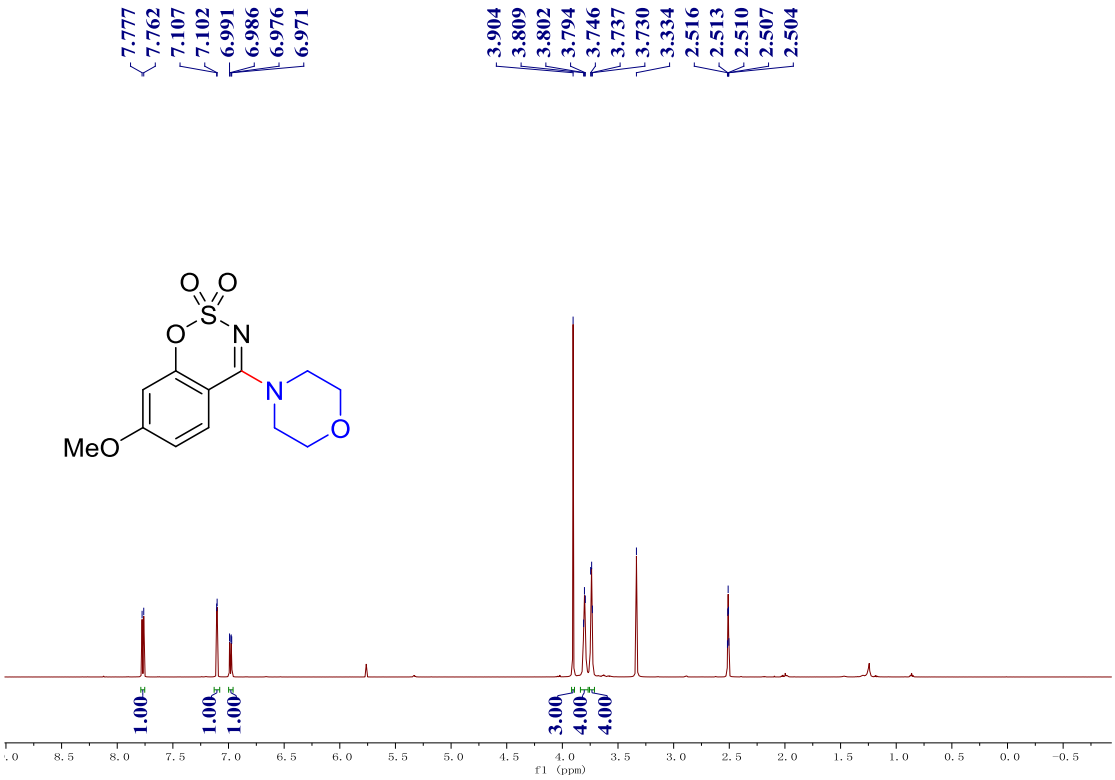
^{1}H NMR Spectrum of 6d at 25 °C (DMSO-d_6, 600 MHz)



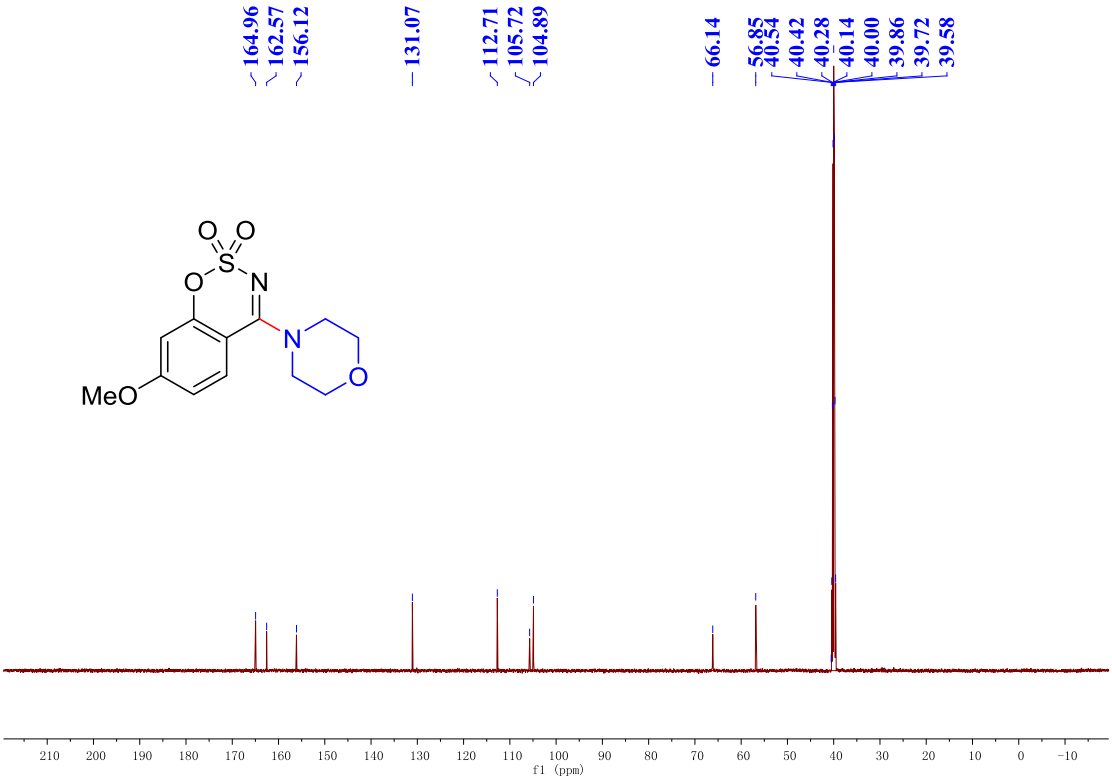
^{13}C NMR Spectrum of 6d at 25 °C (DMSO-d_6, 150 MHz)



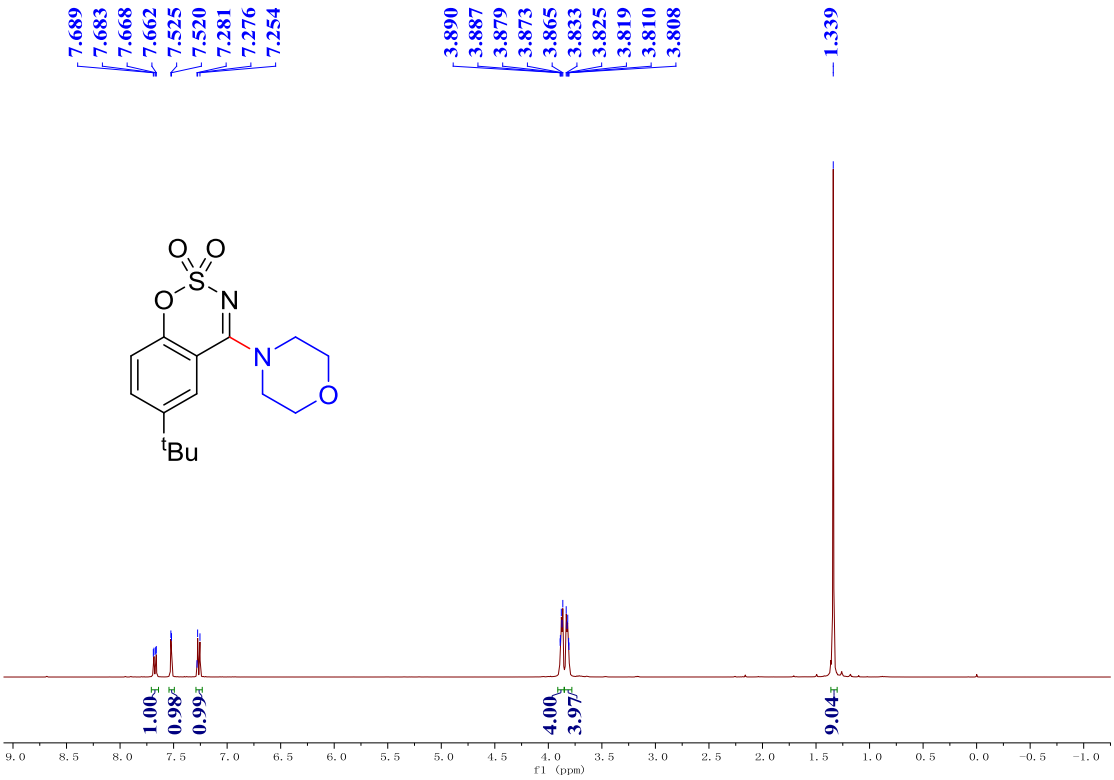
^{1}H NMR Spectrum of 6e at 25 °C (DMSO-d_6, 600 MHz)



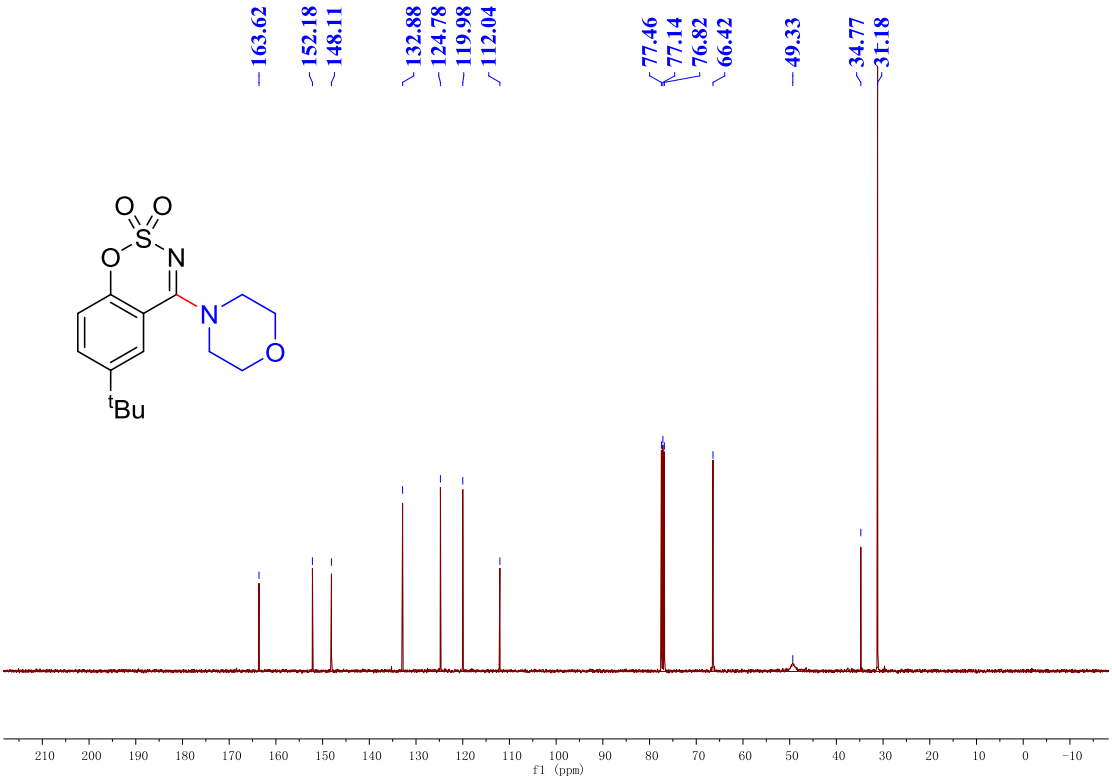
^{13}C NMR Spectrum of 6e at 25 °C (DMSO-d_6, 150 MHz)



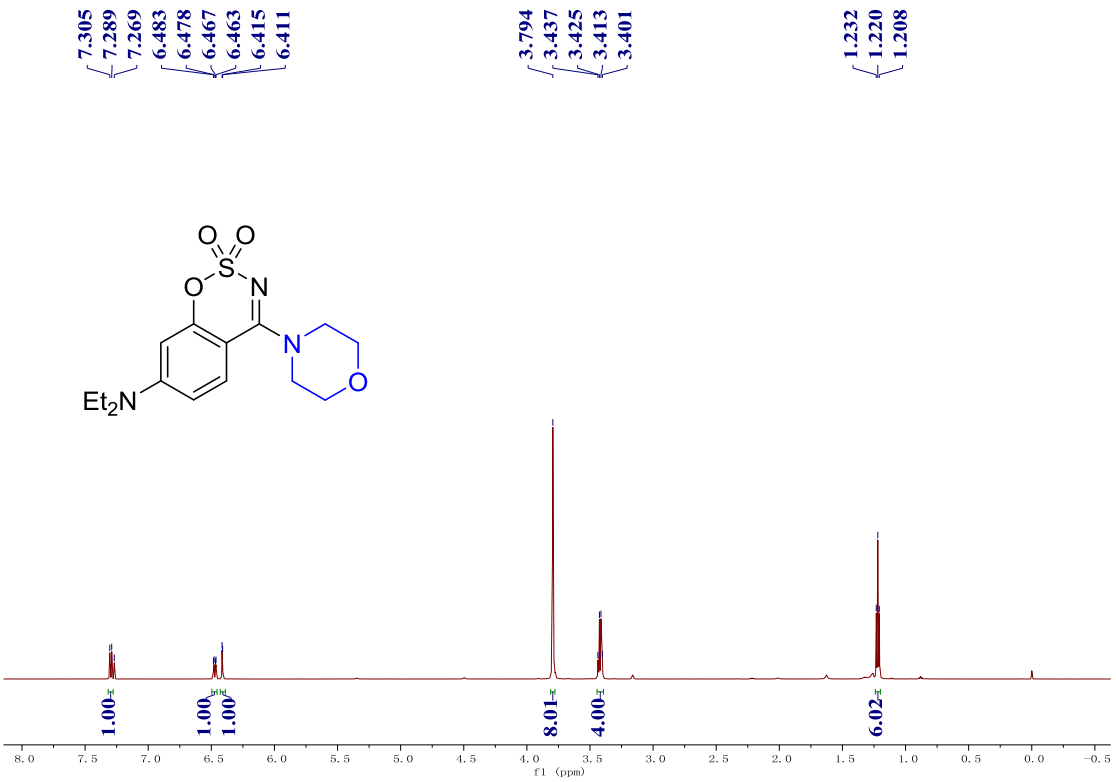
^{1}H NMR Spectrum of 6f at 25 °C ($CDCl_3$, 400 MHz)



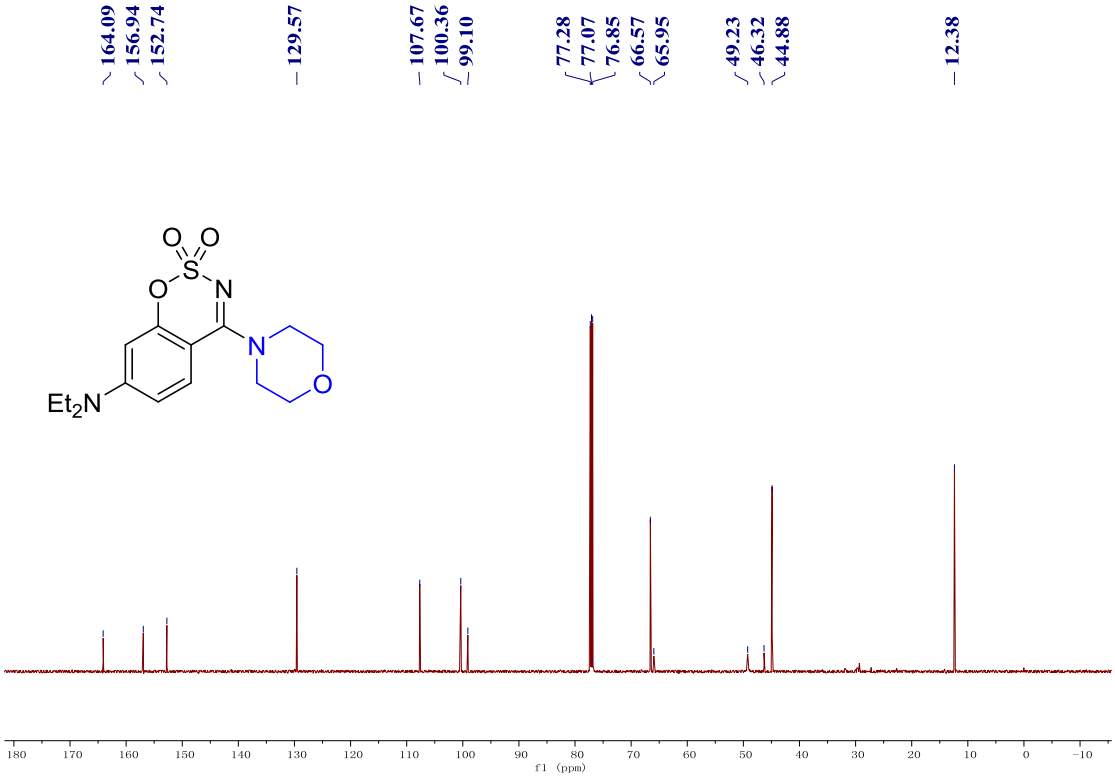
^{13}C NMR Spectrum of 6f at 25 °C ($CDCl_3$, 100 MHz)



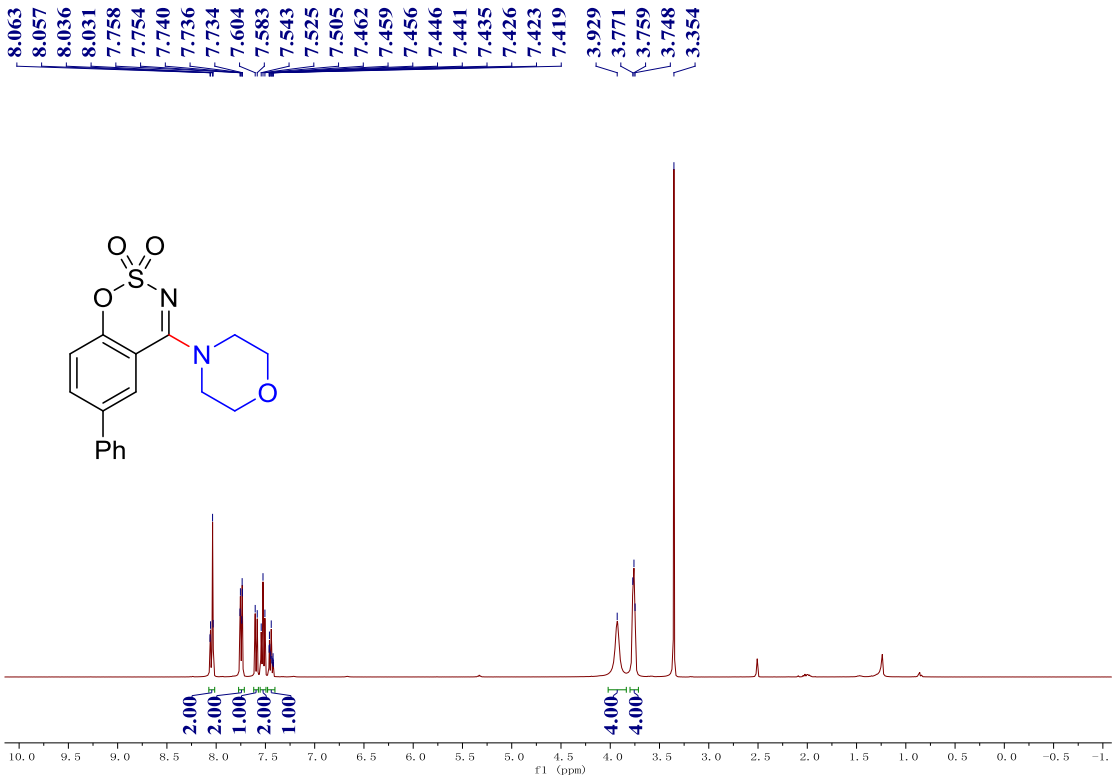
^{1}H NMR Spectrum of 6g at 25 °C ($CDCl_3$, 600 MHz)



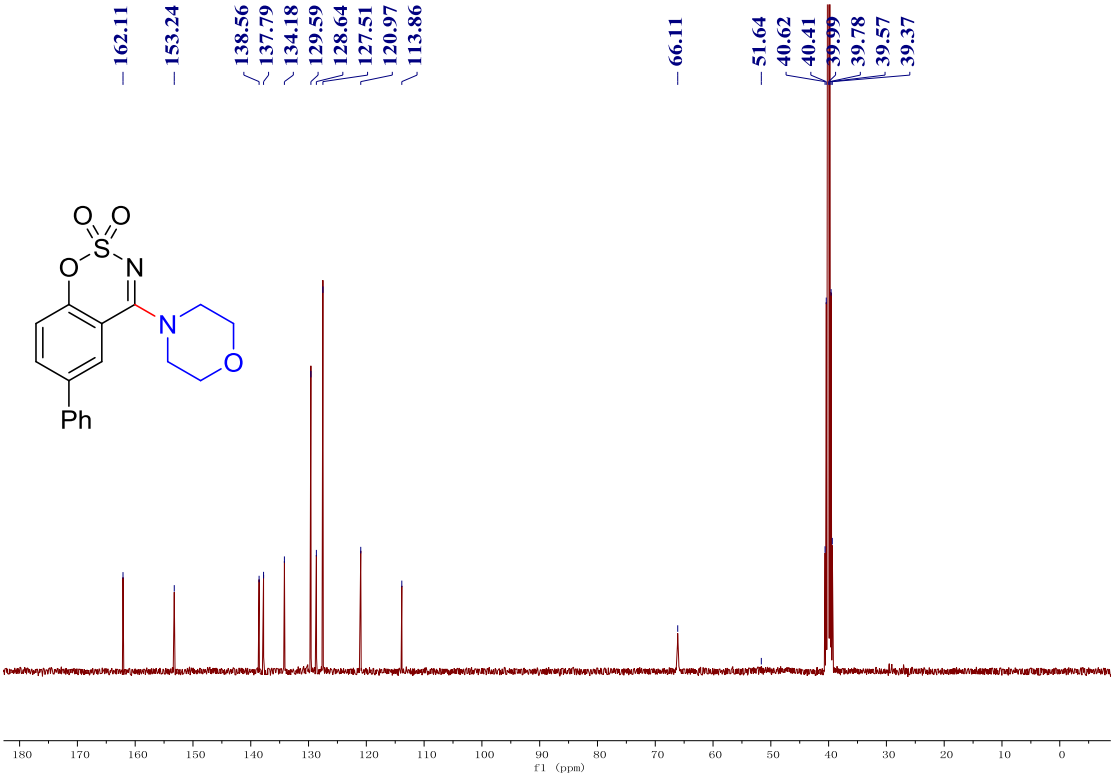
^{13}C NMR Spectrum of 6g at 25 °C ($CDCl_3$, 150 MHz)



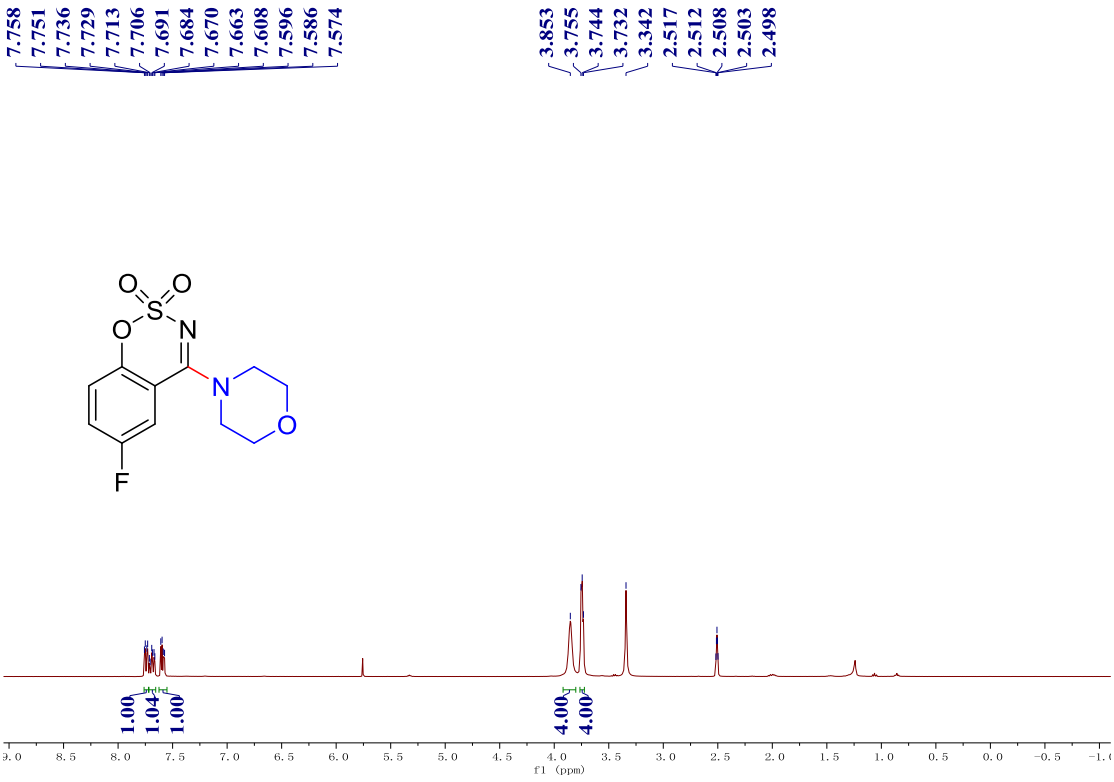
^{1}H NMR Spectrum of 6h at 25 °C (DMSO-d_6, 400 MHz)



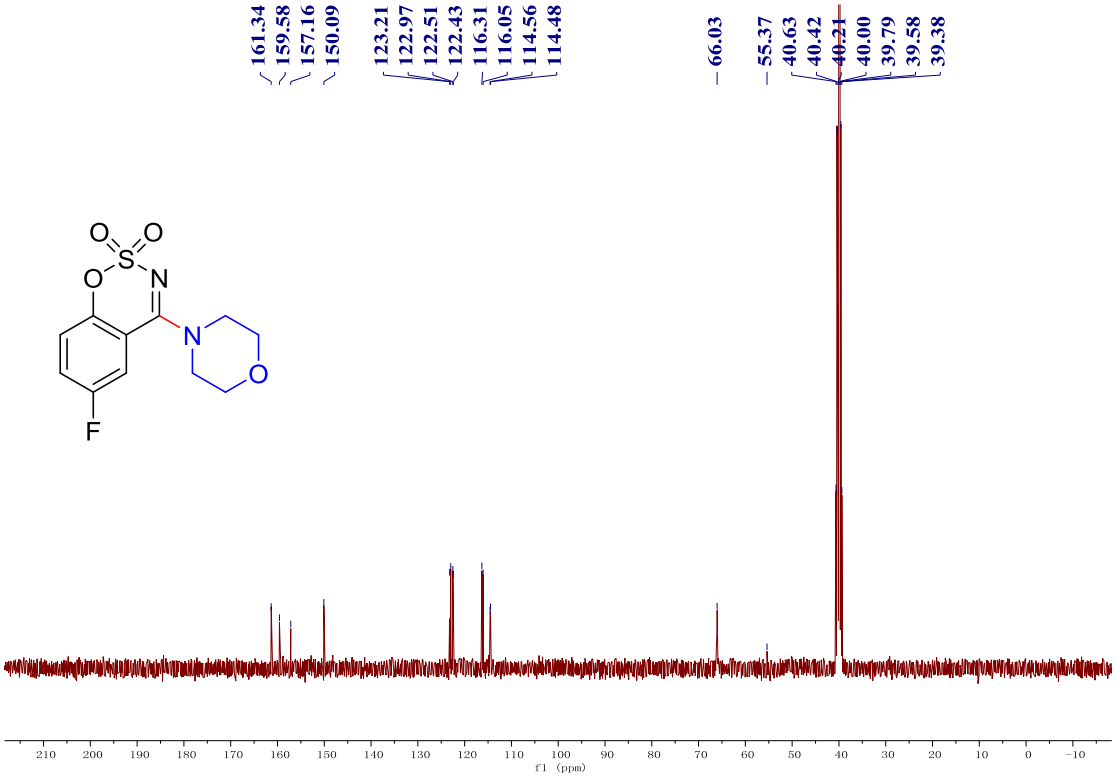
^{13}C NMR Spectrum of 6h at 25 °C (DMSO-d_6, 100 MHz)



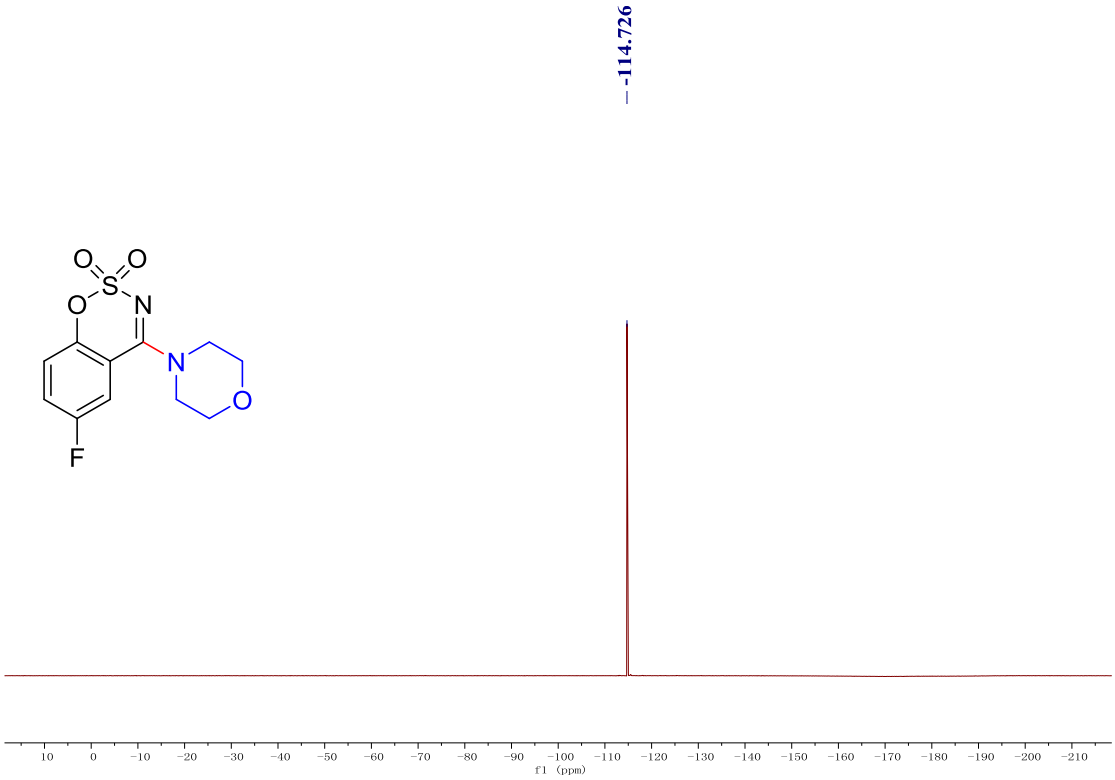
^{1}H NMR Spectrum of 6i at 25 °C (DMSO-d_6, 400 MHz)



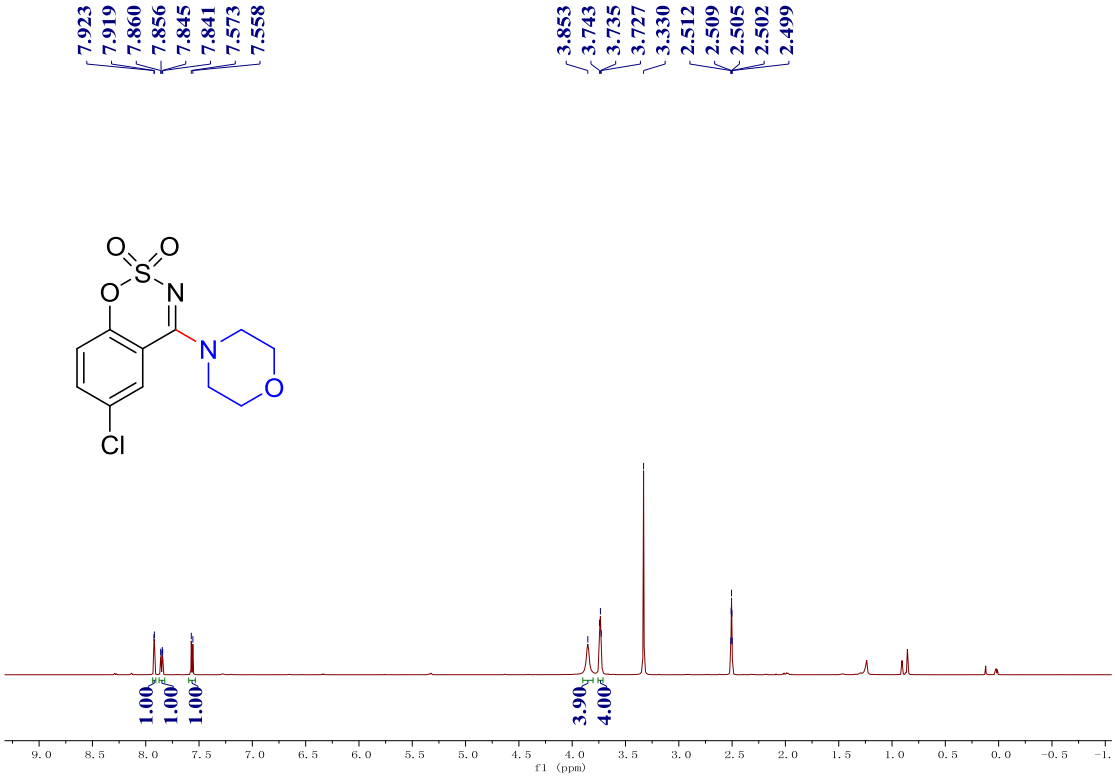
^{13}C NMR Spectrum of 6i at 25 °C (DMSO-d_6, 100 MHz)



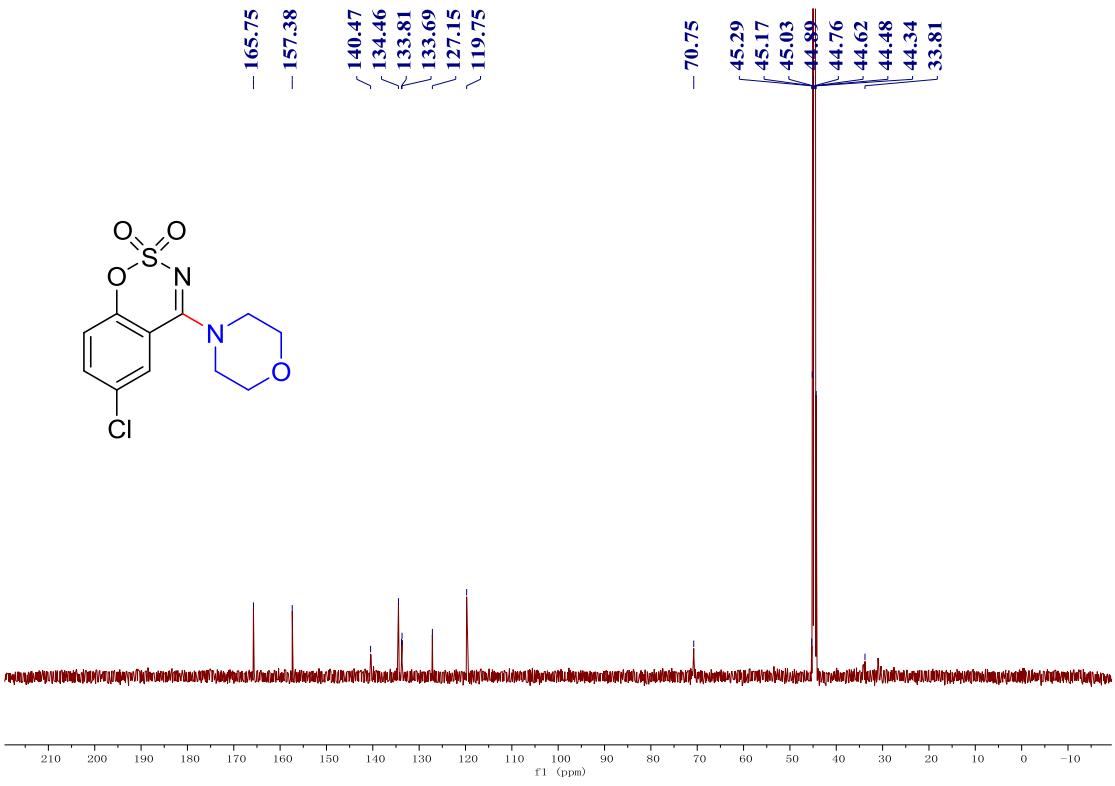
^{19}F NMR Spectrum of 6i at 25 °C (DMSO-d_6, 376 MHz)



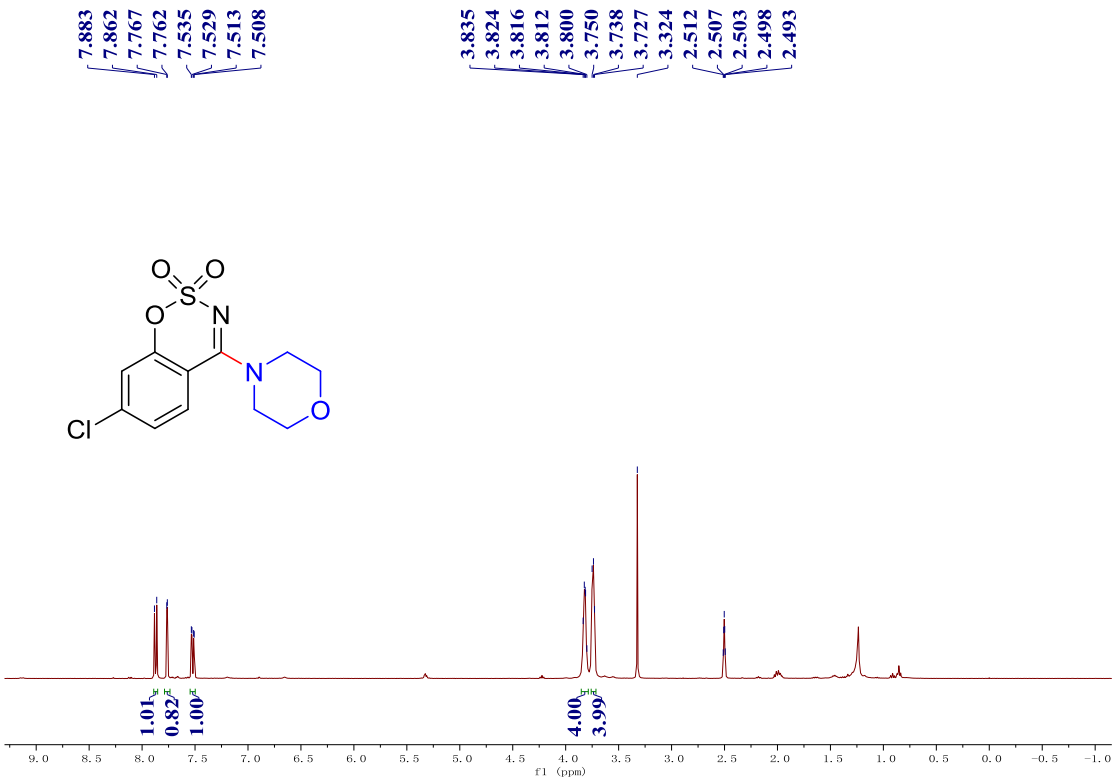
^{1}H NMR Spectrum of 6j at 25 °C ($CDCl_3$, 600 MHz)



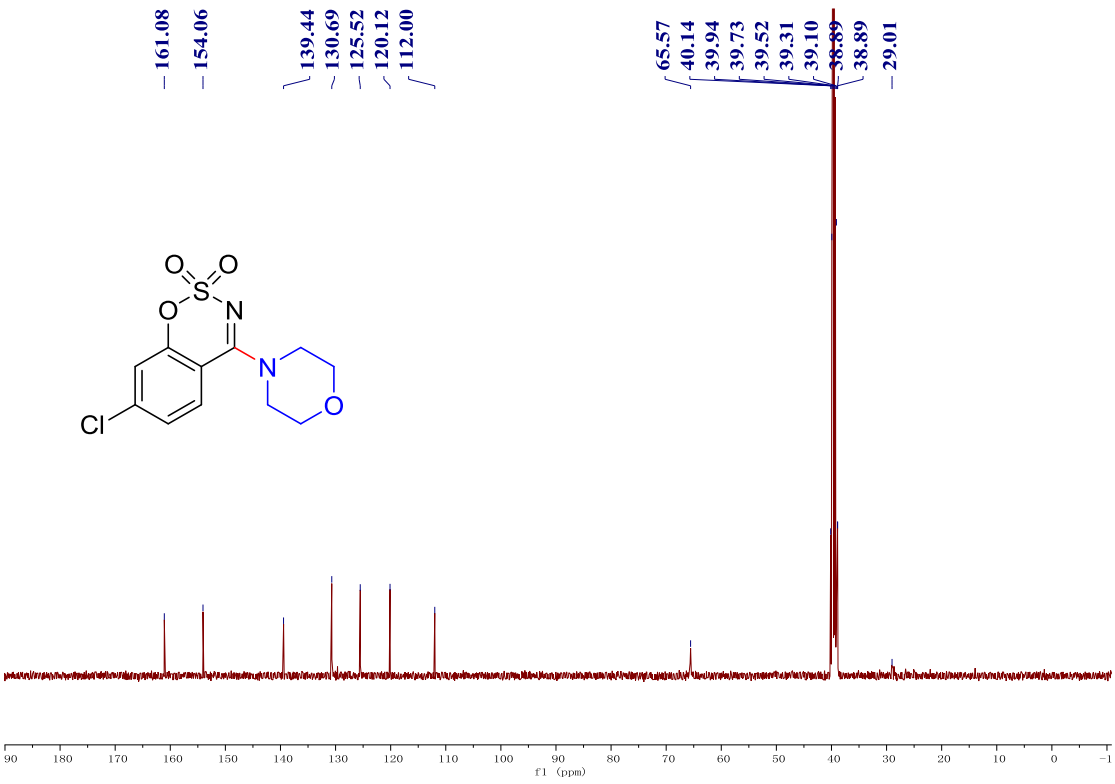
^{13}C NMR Spectrum of 6j at 25 °C ($CDCl_3$, 150 MHz)



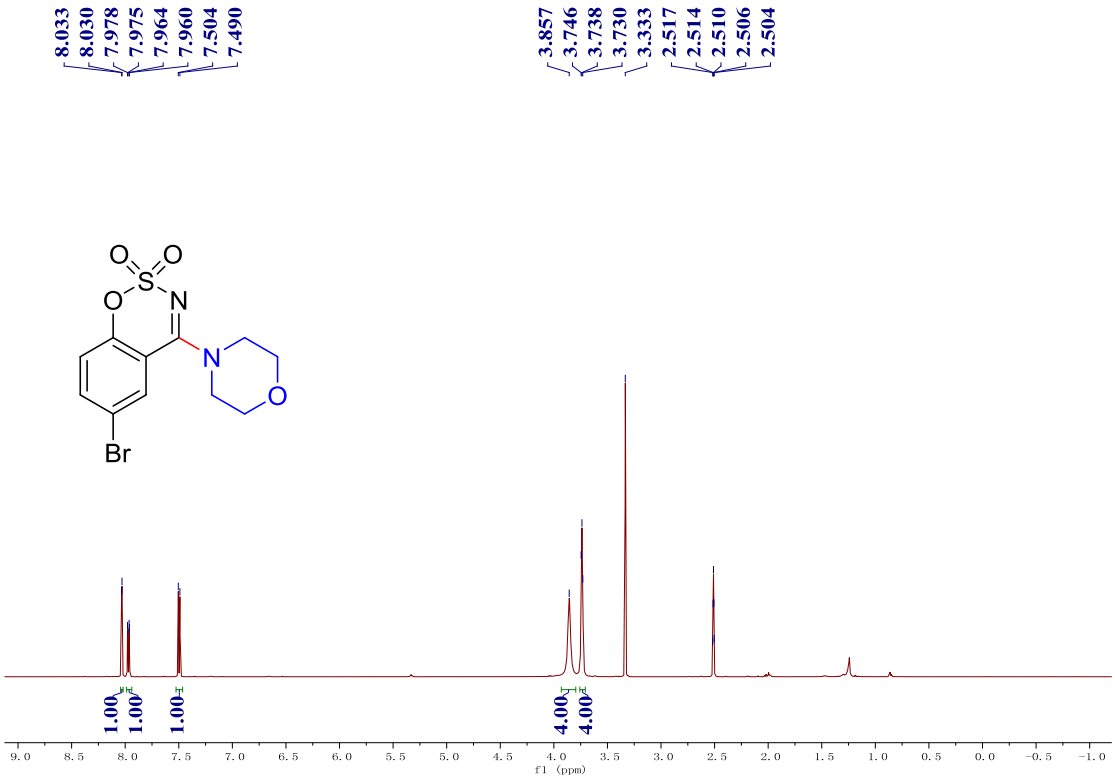
^{1}H NMR Spectrum of 6k at 25 °C (DMSO-d_6, 400 MHz)



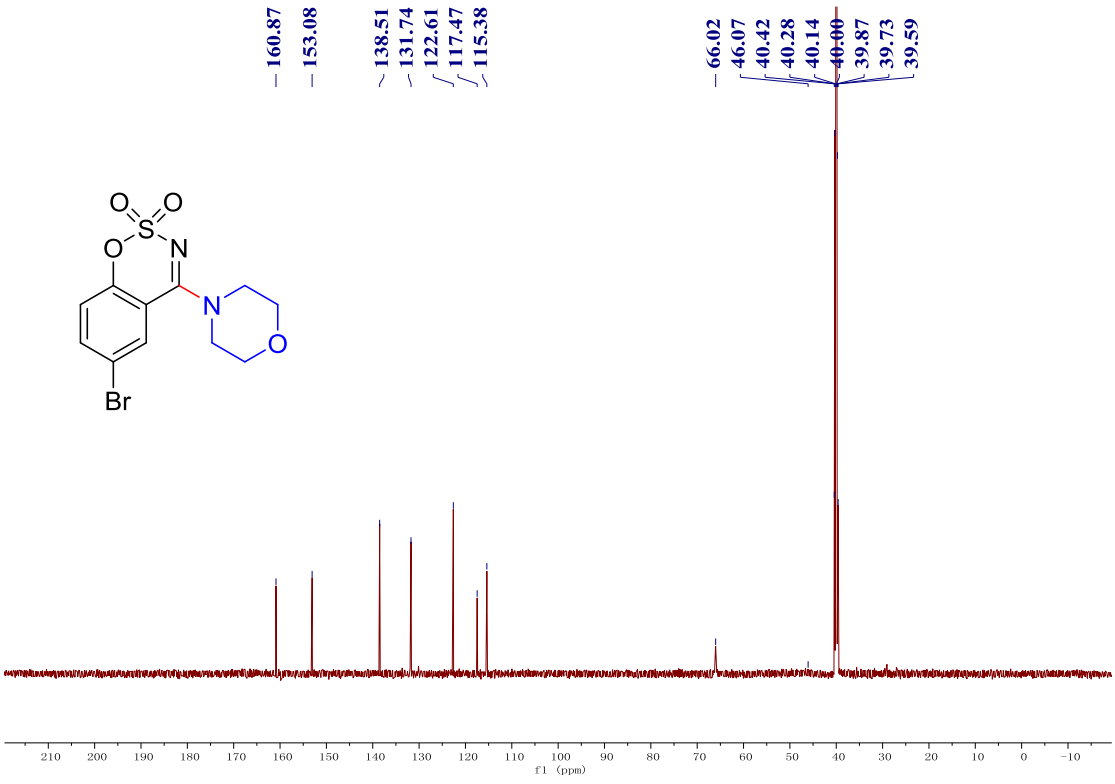
^{13}C NMR Spectrum of 6k at 25 °C (DMSO-d_6, 100 MHz)



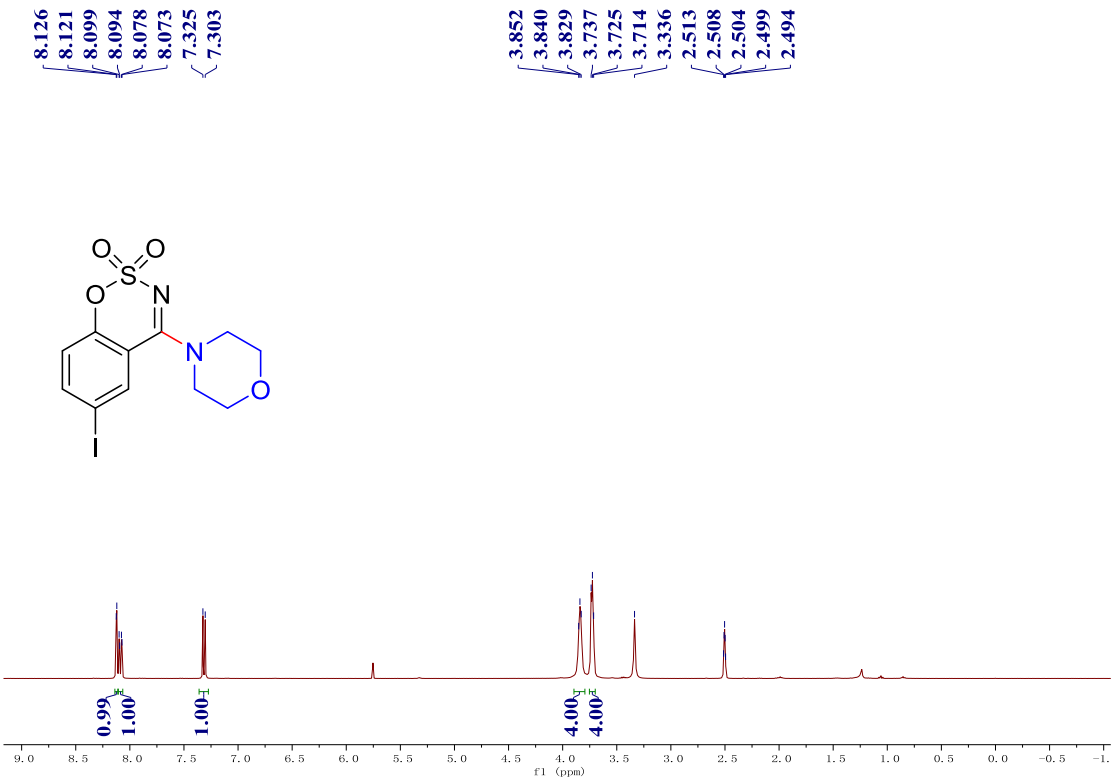
^{1}H NMR Spectrum of 6l at 25 °C (DMSO-d_6, 600 MHz)



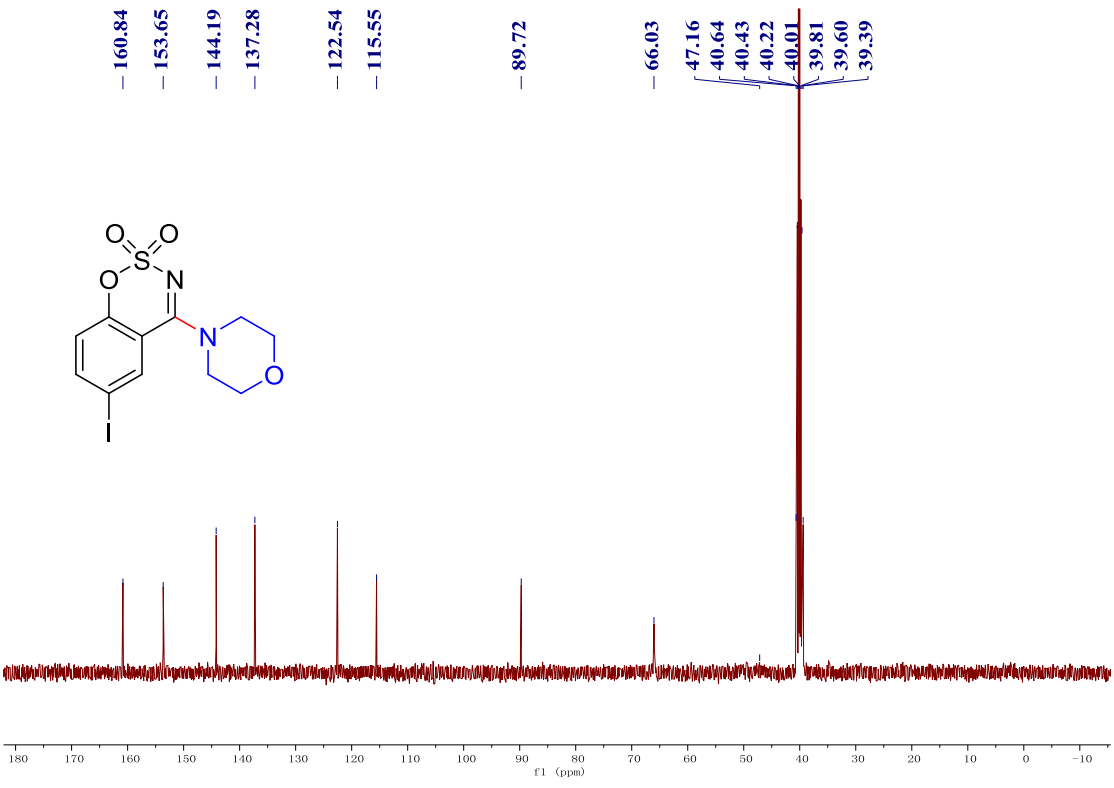
^{13}C NMR Spectrum of 6l at 25 °C (DMSO-d_6, 150 MHz)



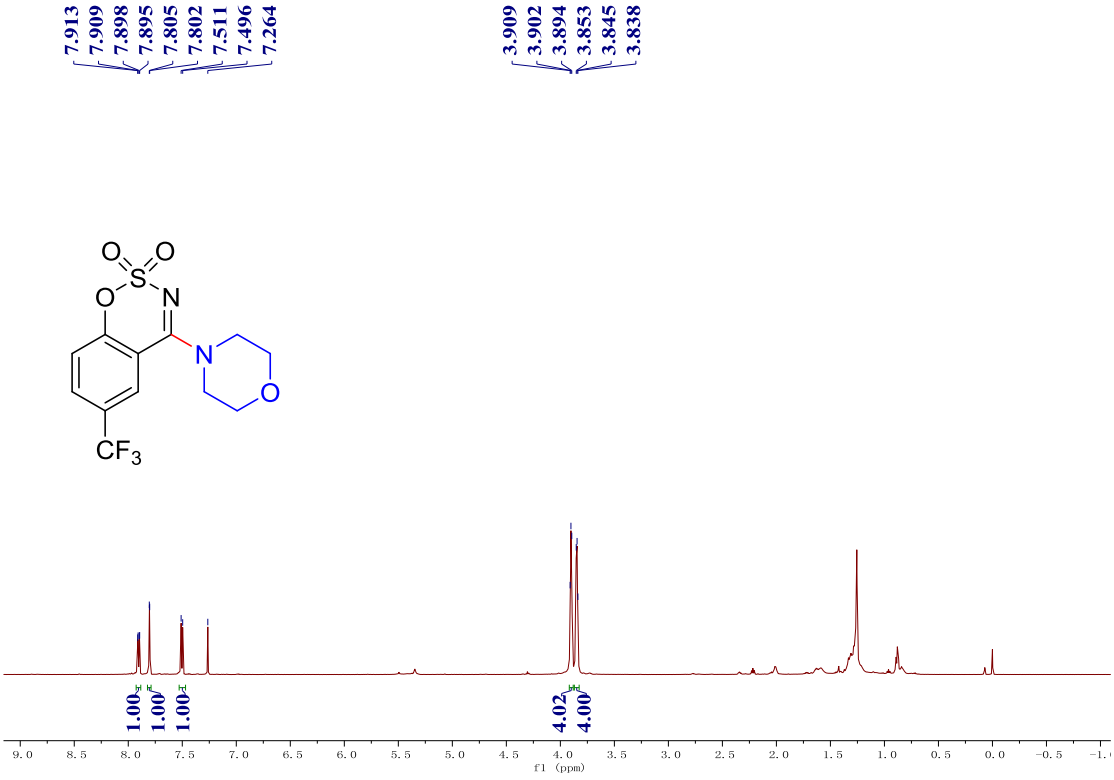
^{1}H NMR Spectrum of 6m at 25 °C (DMSO-d_6, 400 MHz)



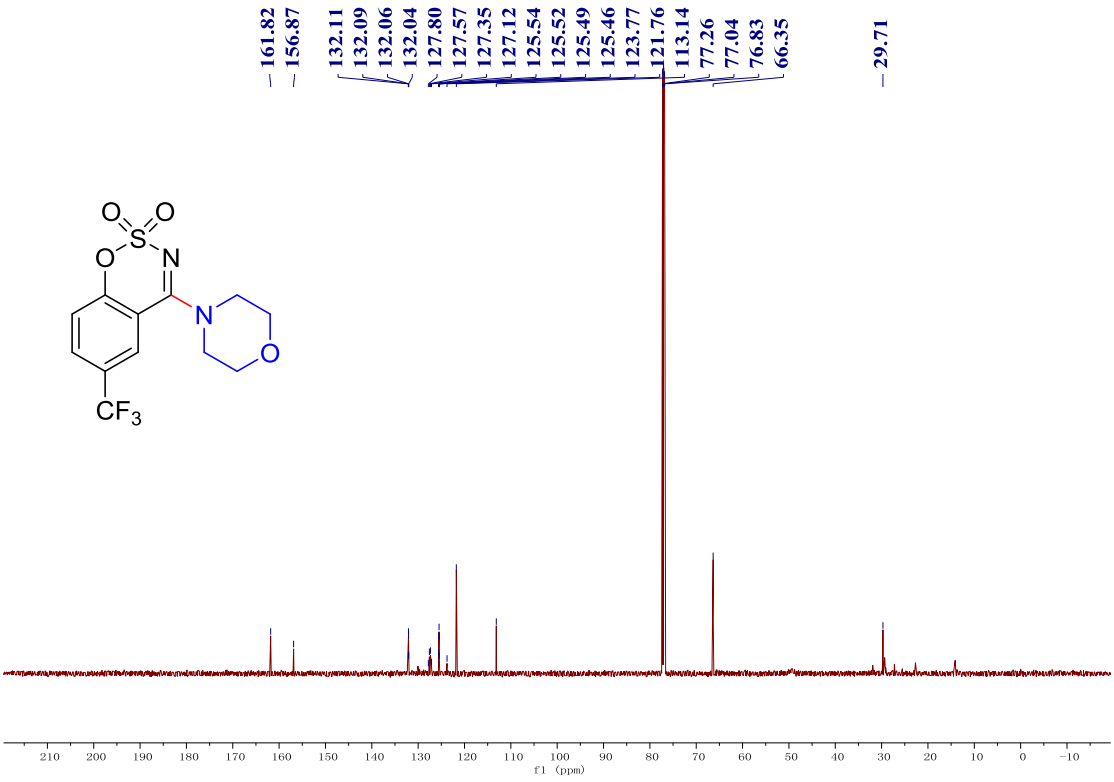
^{13}C NMR Spectrum of 6m at 25 °C (DMSO-d_6, 100 MHz)



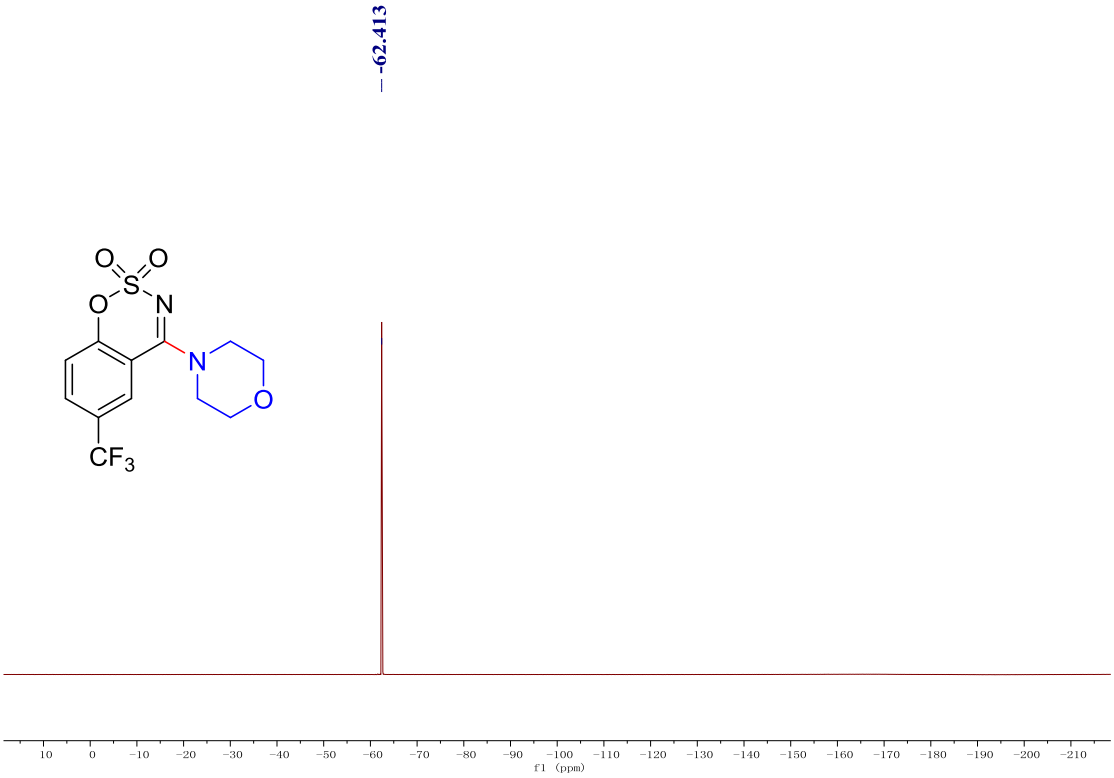
^{1}H NMR Spectrum of 6n at 25 °C ($CDCl_3$, 600 MHz)



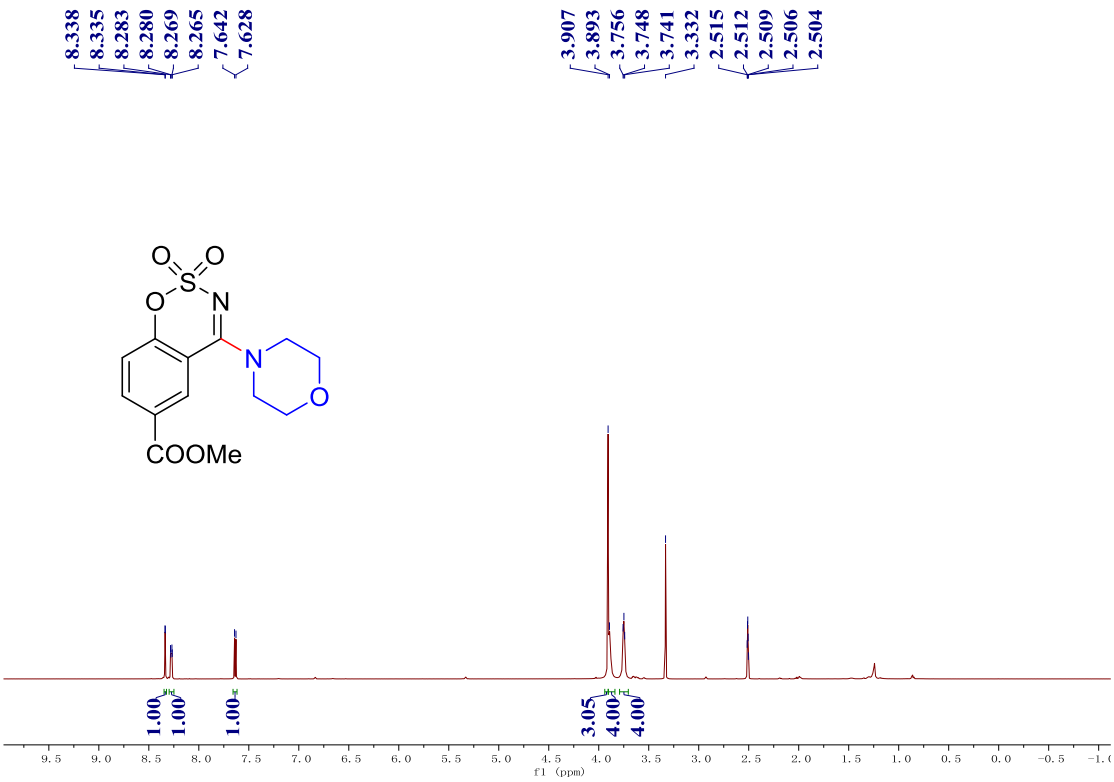
^{13}C NMR Spectrum of 6n at 25 °C ($CDCl_3$, 150 MHz)



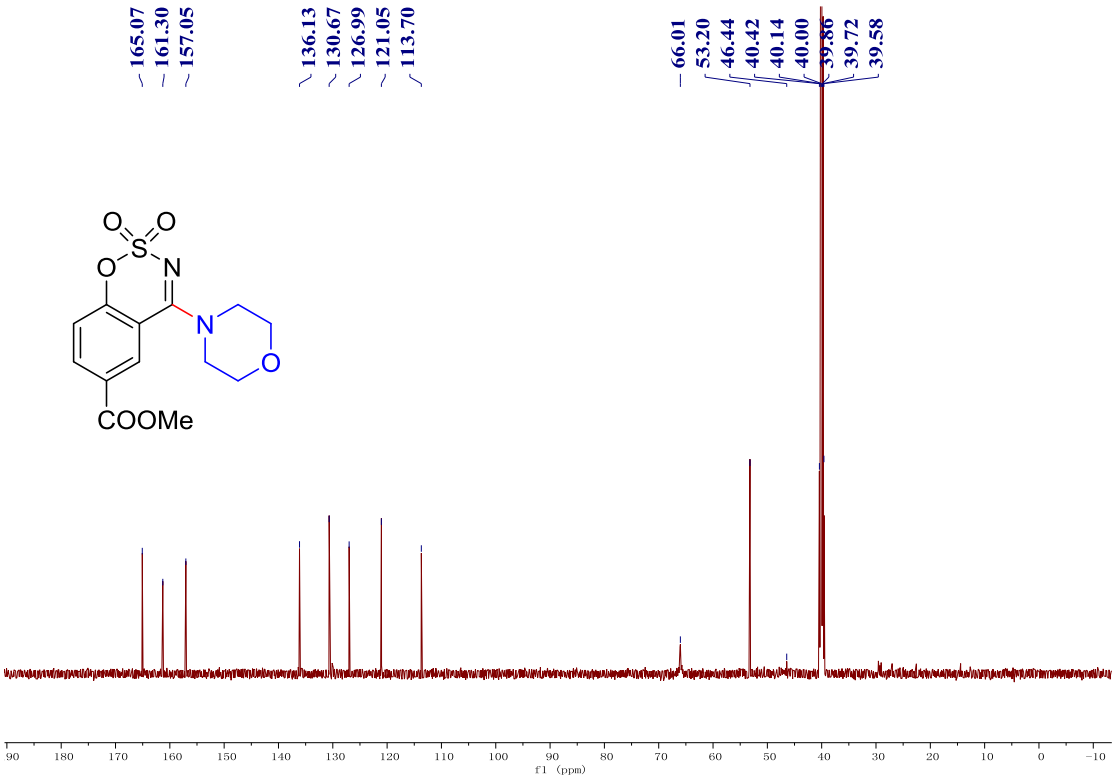
^{19}F NMR Spectrum of 6n at 25 °C ($CDCl_3$, 565 MHz)



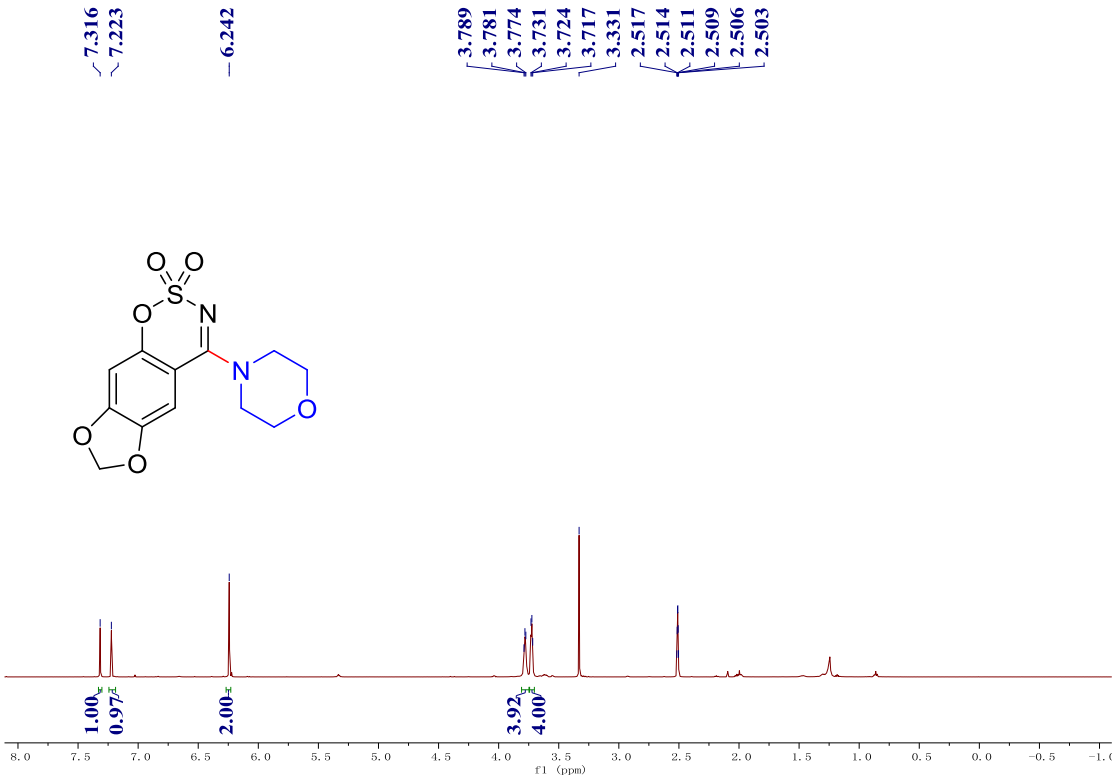
^{1}H NMR Spectrum of 6o at 25 °C (DMSO-d_6, 600 MHz)



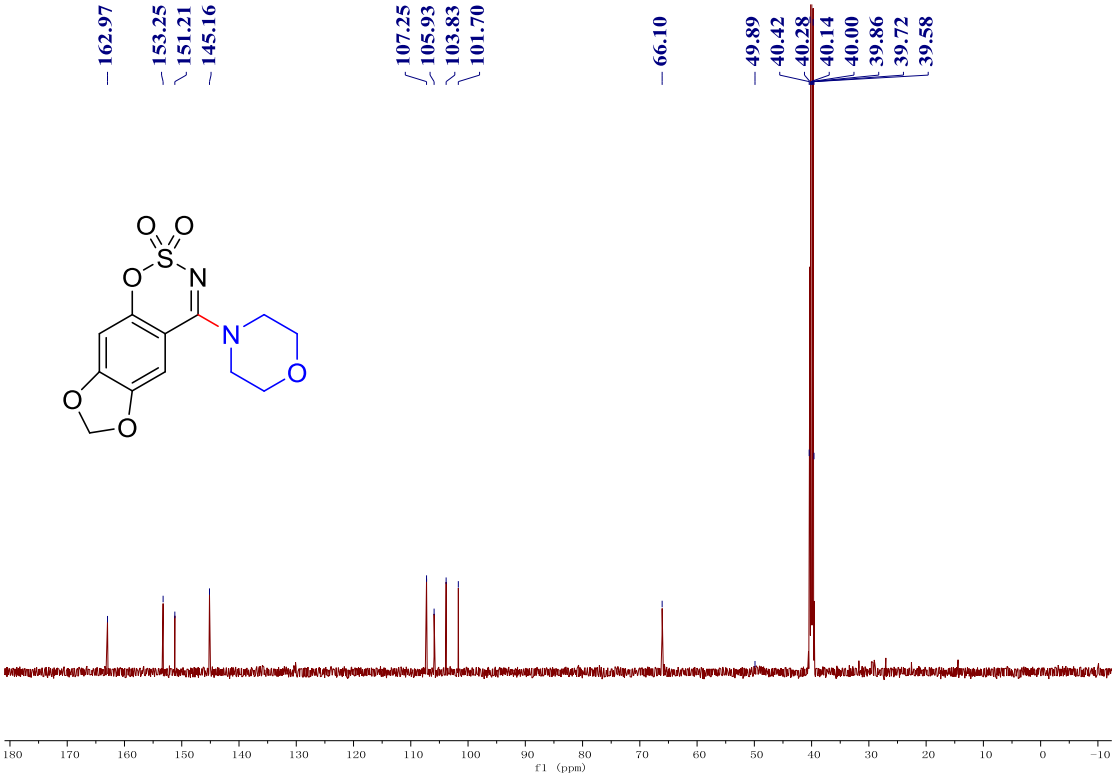
^{13}C NMR Spectrum of 6o at 25 °C (DMSO-d_6, 150 MHz)



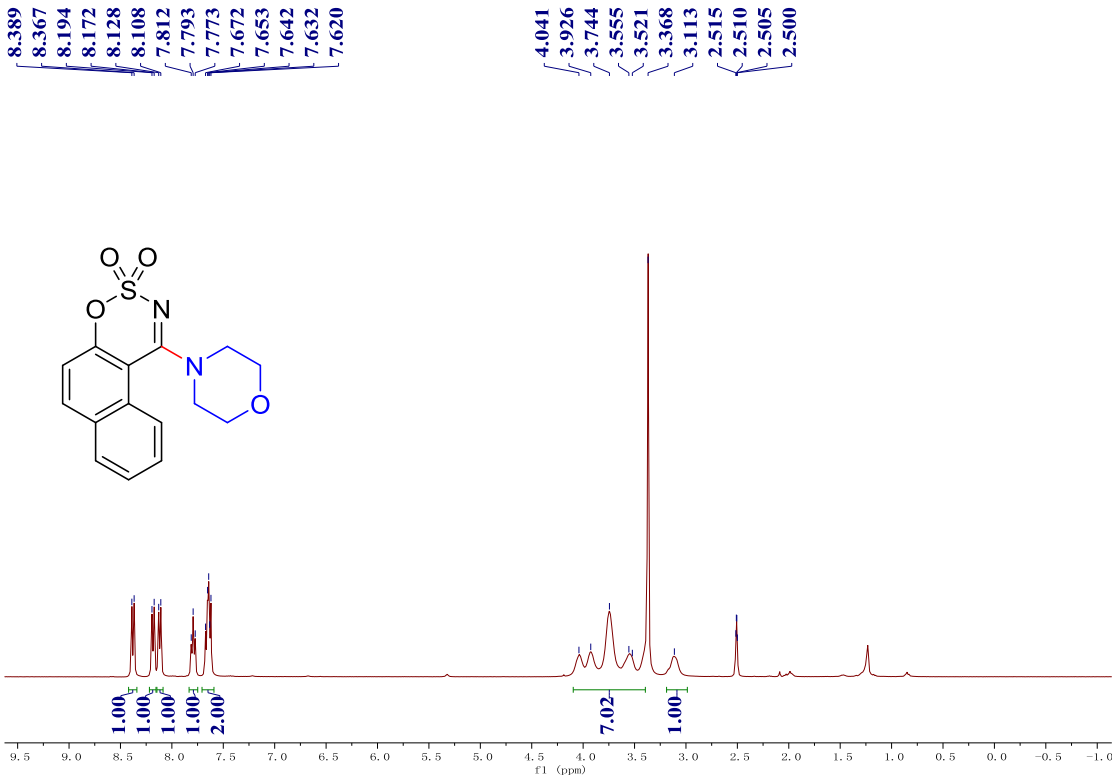
^{1}H NMR Spectrum of 6p at 25 °C (DMSO-d_6, 600 MHz)



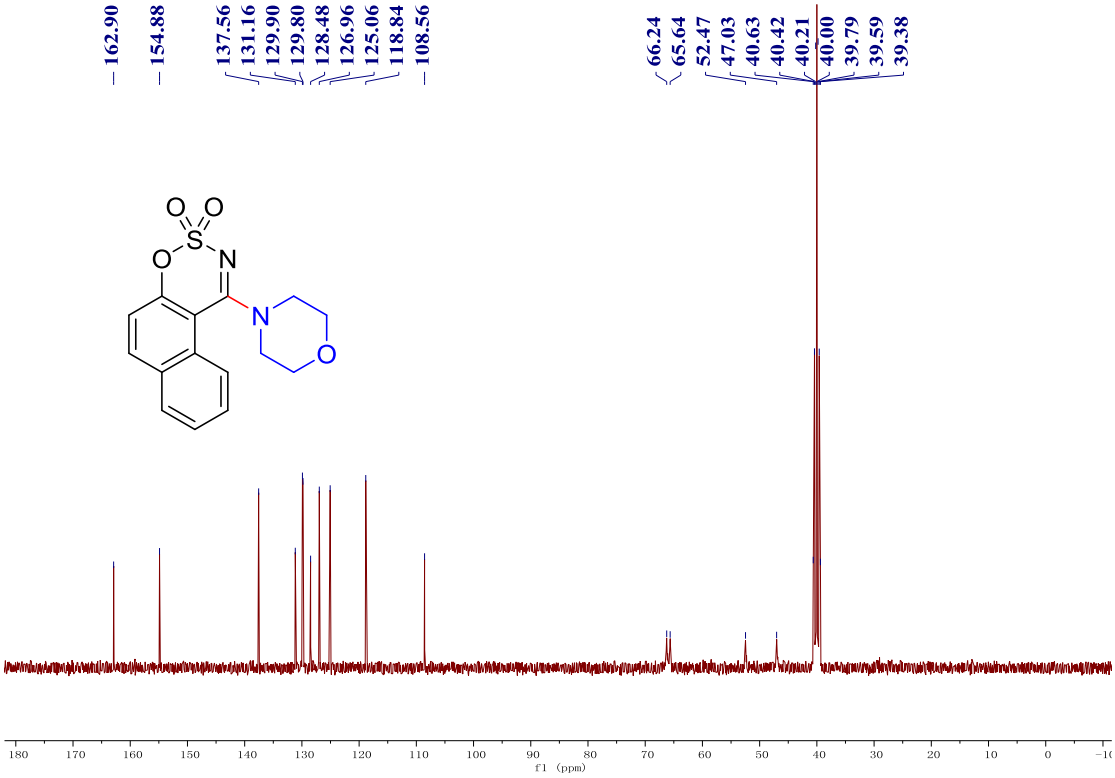
^{13}C NMR Spectrum of 6p at 25 °C (DMSO-d_6, 150 MHz)



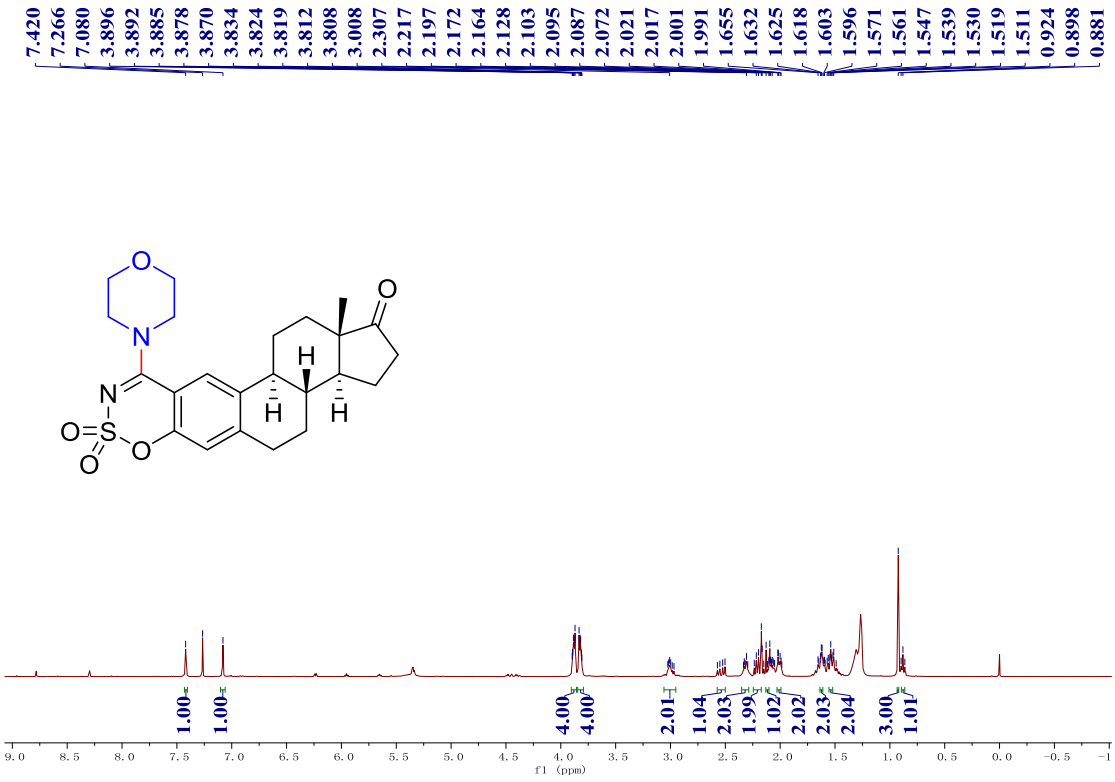
^{1}H NMR Spectrum of 6q at 25 °C (DMSO-d_6, 400 MHz)



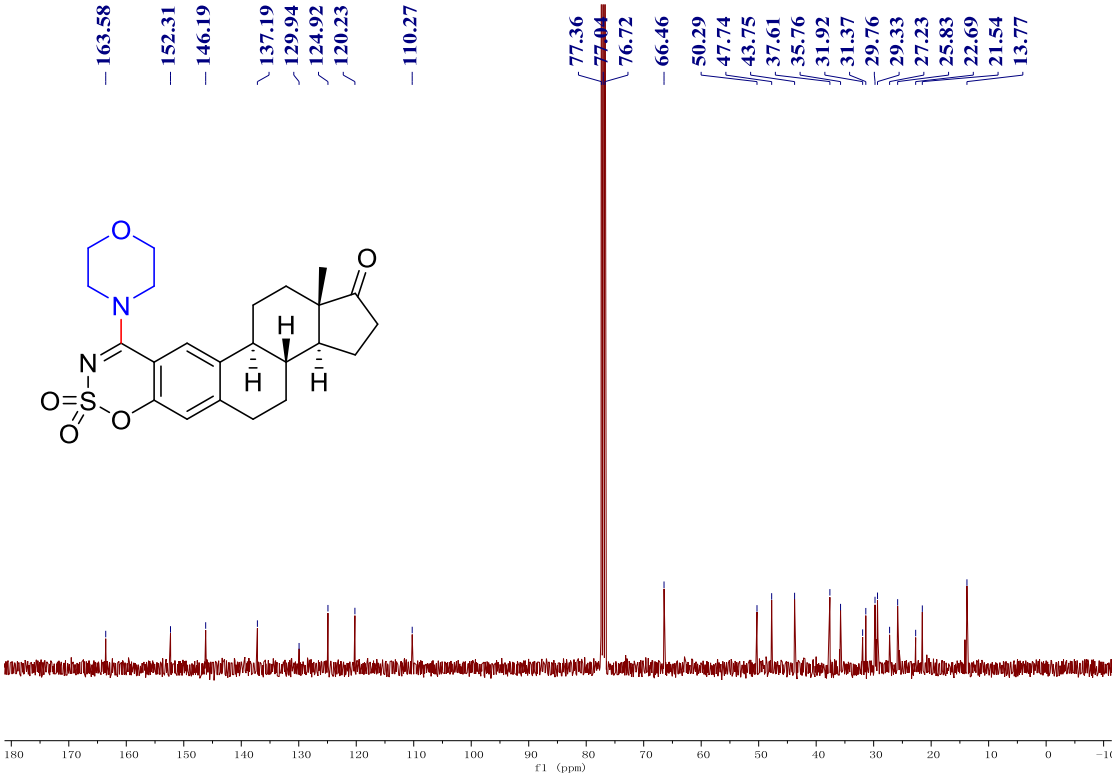
^{13}C NMR Spectrum of 6q at 25 °C (DMSO-d_6, 100 MHz)



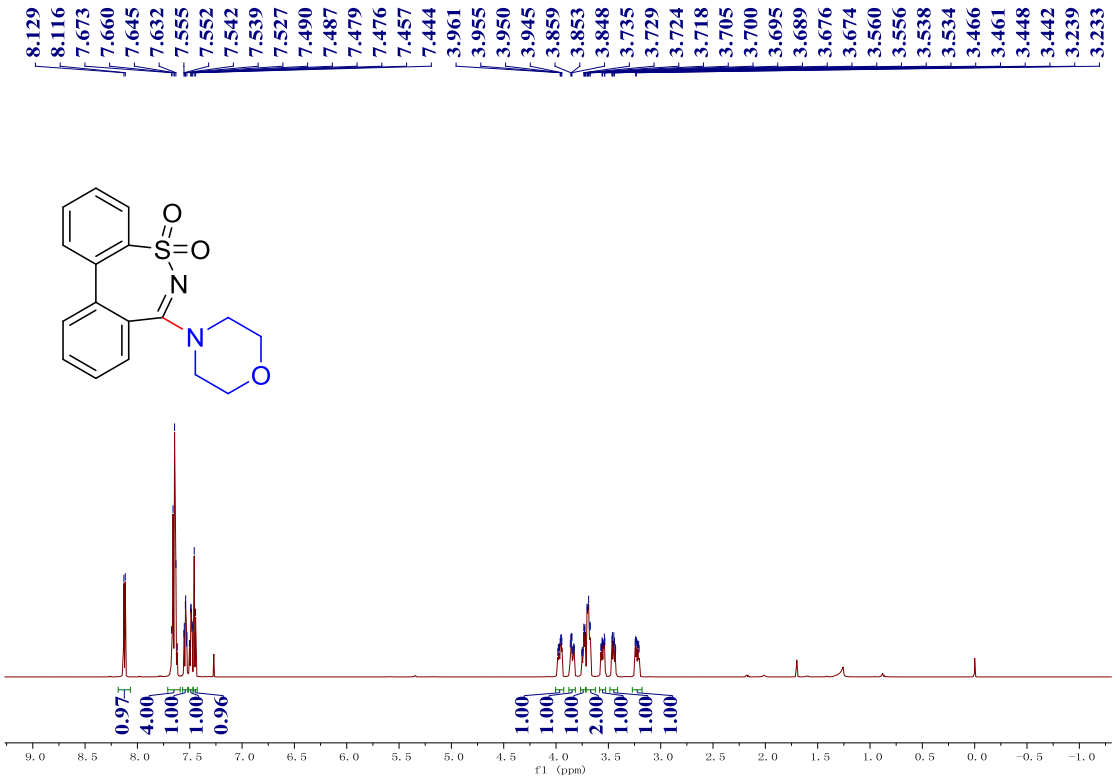
^{1}H NMR Spectrum of 6r at 25 °C ($CDCl_3$, 400 MHz)



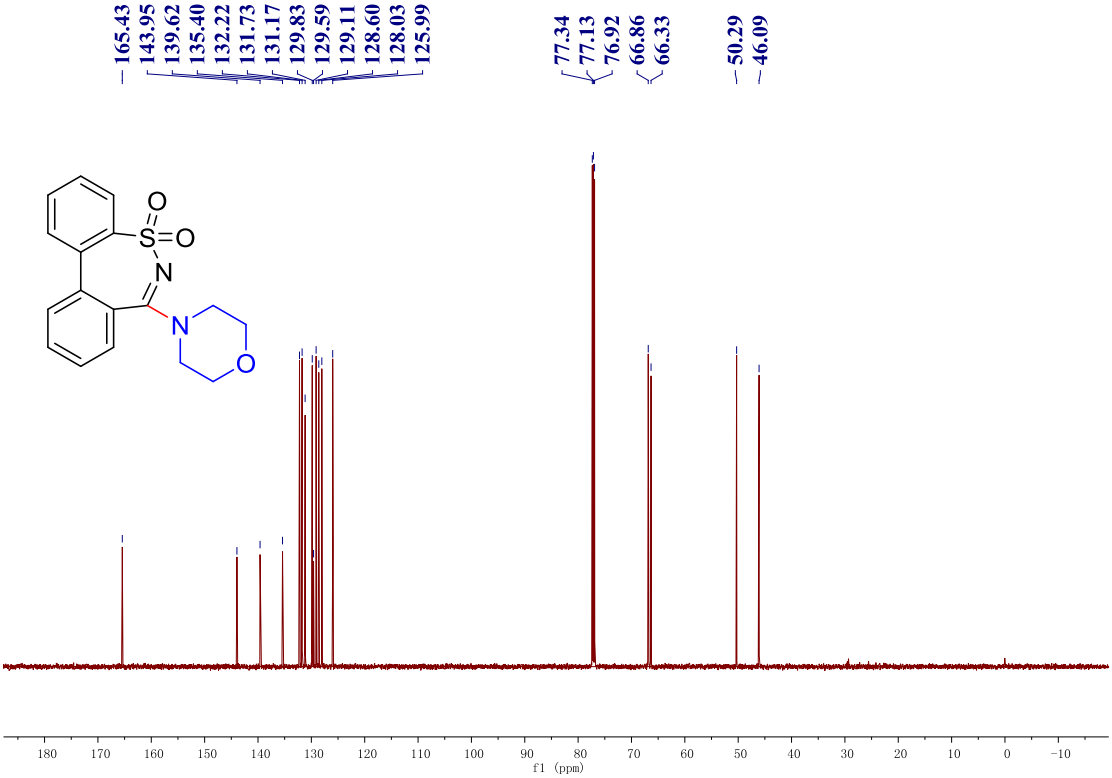
^{13}C NMR Spectrum of 6r at 25 °C ($CDCl_3$, 100 MHz)



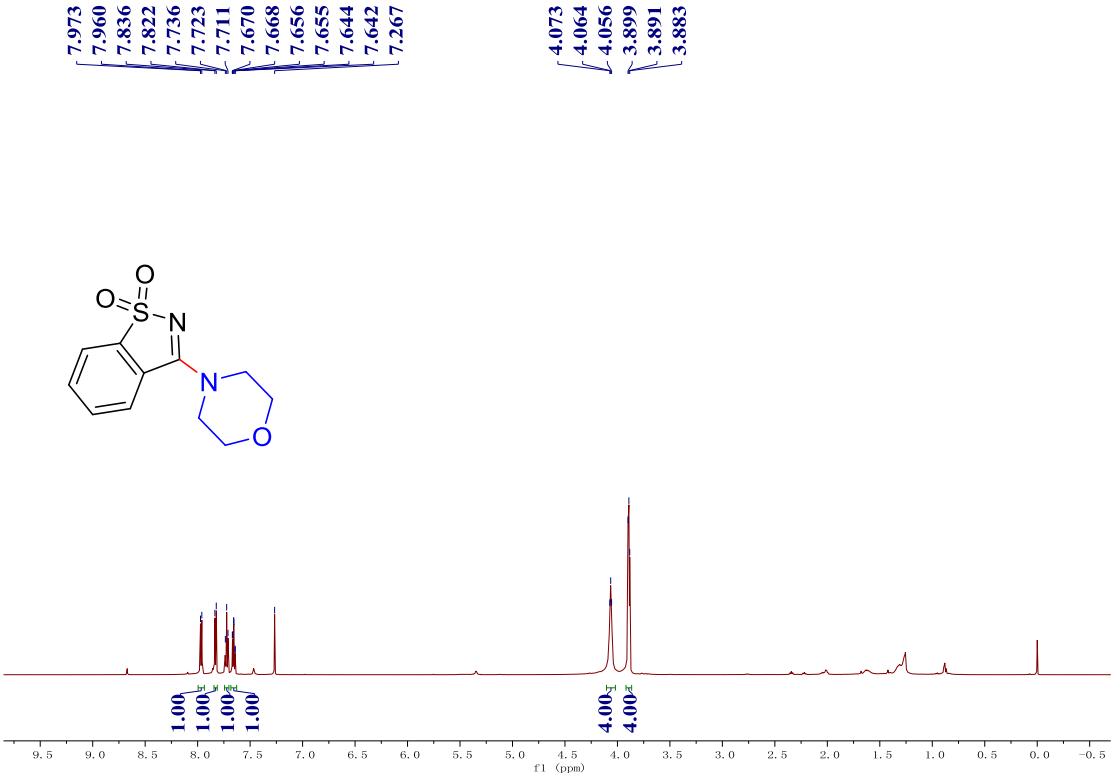
^{1}H NMR Spectrum of 6s at 25 °C ($CDCl_3$, 600 MHz)



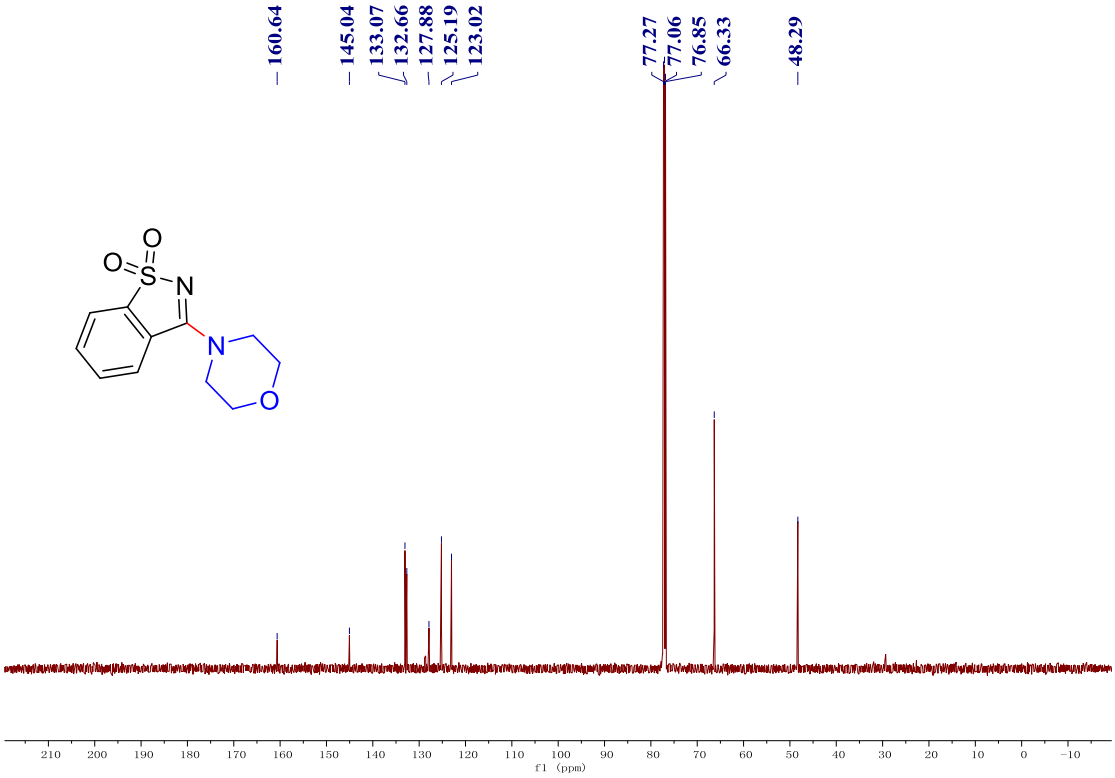
^{13}C NMR Spectrum of 6s at 25 °C ($CDCl_3$, 150 MHz)



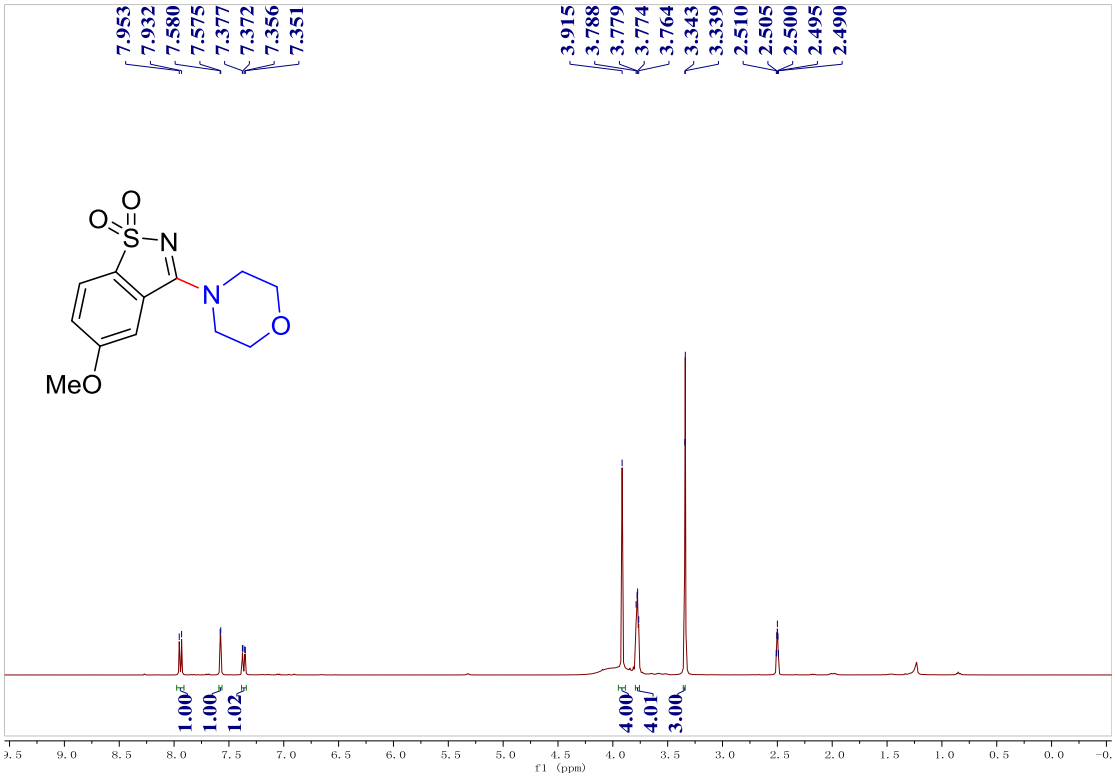
^{1}H NMR Spectrum of 6t at 25 °C ($CDCl_3$, 600 MHz)



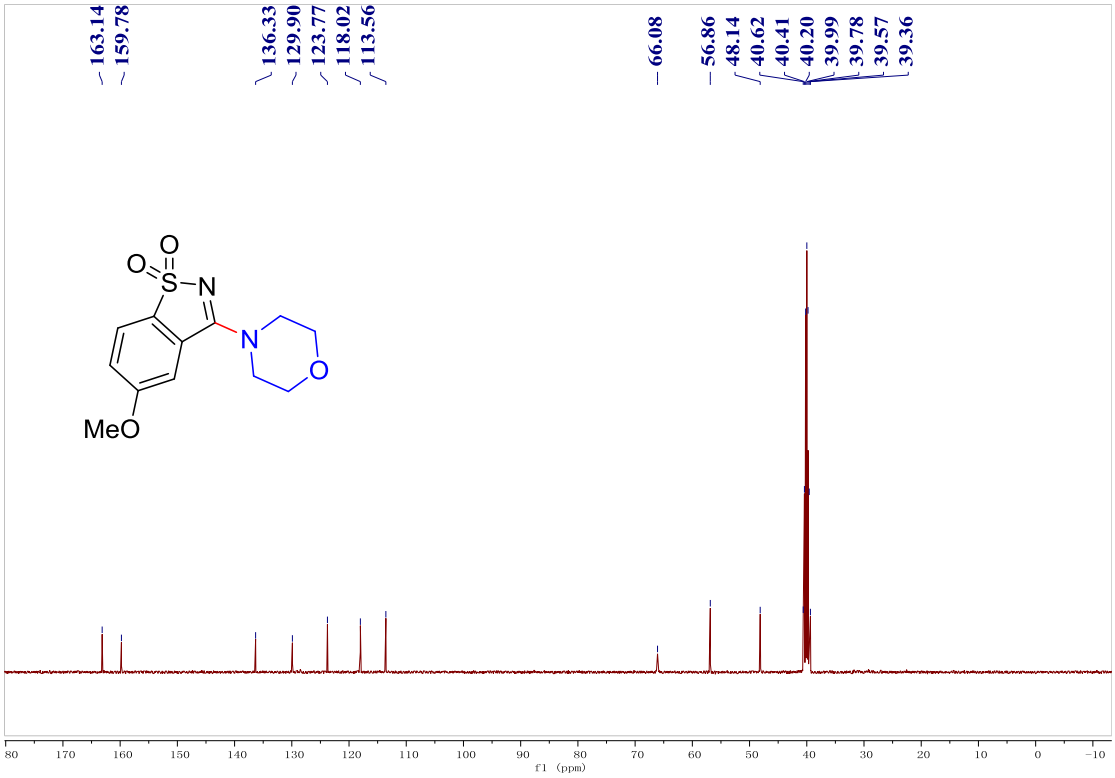
^{13}C NMR Spectrum of 6t at 25 °C ($CDCl_3$, 150 MHz)



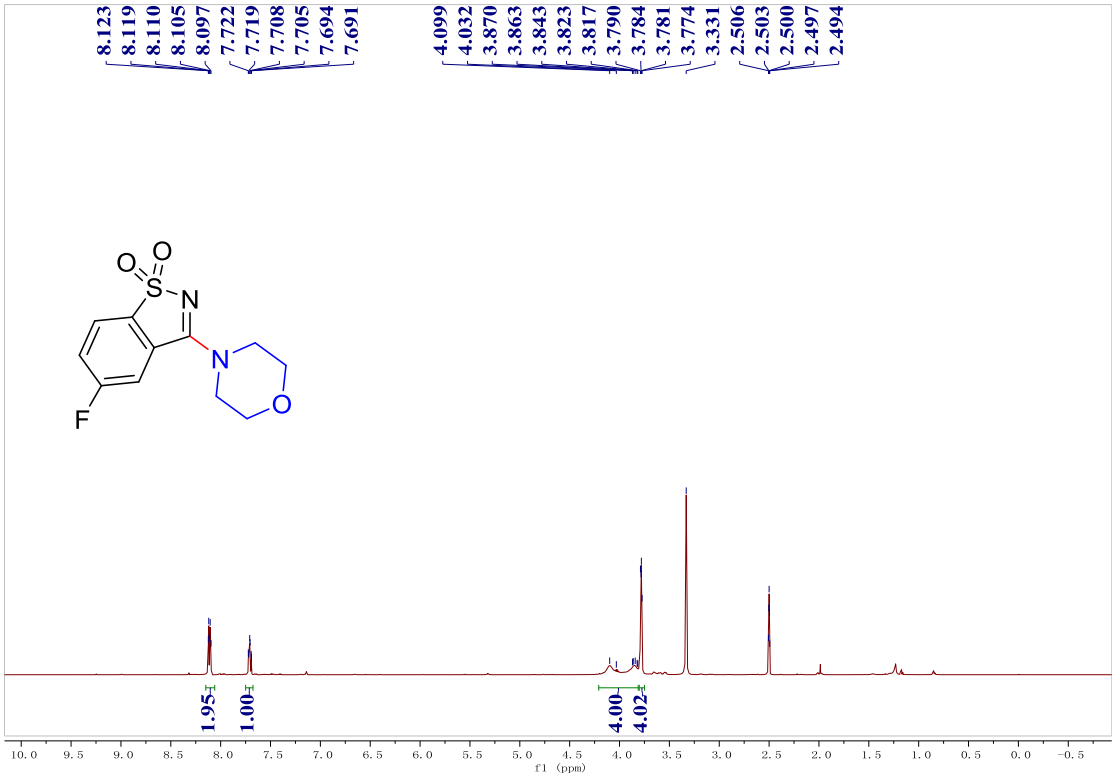
^{1}H NMR Spectrum of 6u at 25 °C (DMSO-d_6, 400 MHz)



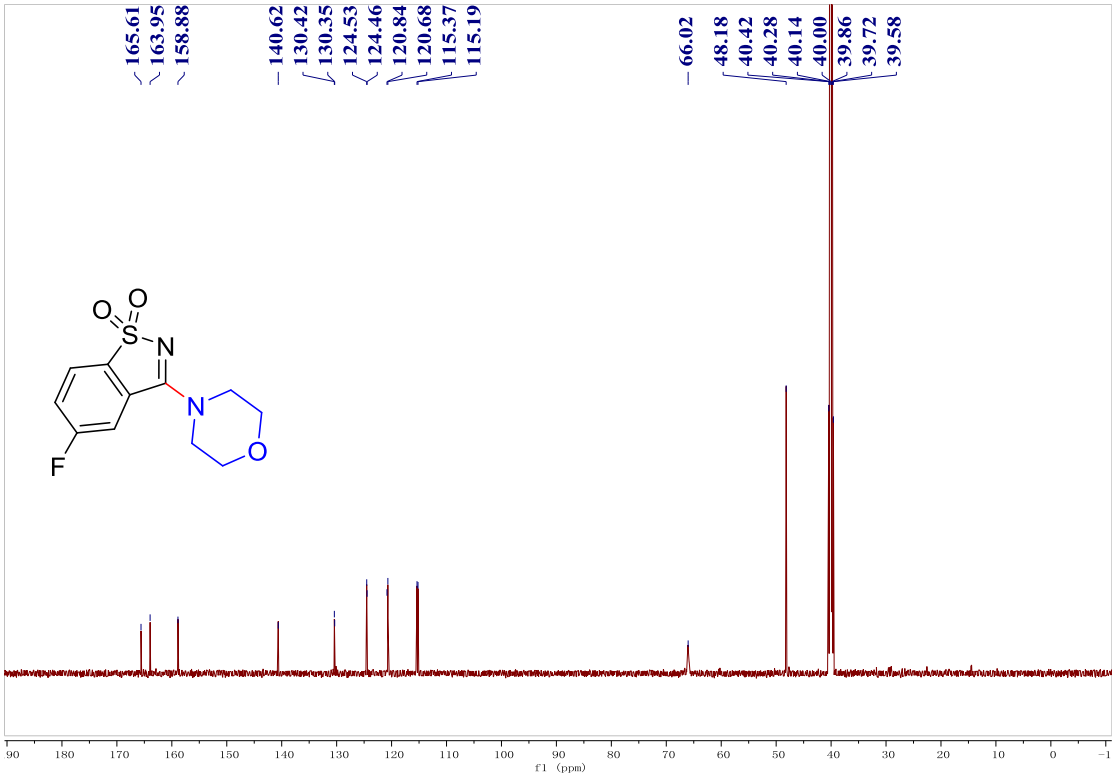
^{13}C NMR Spectrum of 6u at 25 °C (DMSO-d_6, 100 MHz)



^{1}H NMR Spectrum of 6v at 25 °C (DMSO-d_6, 600 MHz)



^{13}C NMR Spectrum of 6v at 25 °C (DMSO-d_6, 150 MHz)



^{19}F NMR Spectrum of 6v at 25 °C (DMSO-d_6, 565 MHz)