Electronic Supplementary Information (ESI)

Electro-catalyzed, Solvent-Controlled Divergent Decarboxylative Annulation and Hydroaminomethylation of Cyclic Aldimines with *N*-Arylglycines

Jie Zhang[a], Xiaolan Li[a,b], Guisheng Chen,[a] Haidong Liu[a]*, Haiqing Luo[a]*

[a] *Department of Chemistry & Chemical Engineering, Gannan Normal University, Ganzhou 341000, China*

[b] *College of Chemistry, Nanchang University, Nanchang, Jiangxi 330031, China*

Email: hdliu@gnnu.edu.cn; luohaiq@sina.com

Table of Contents	**Page No.**

1. General Information

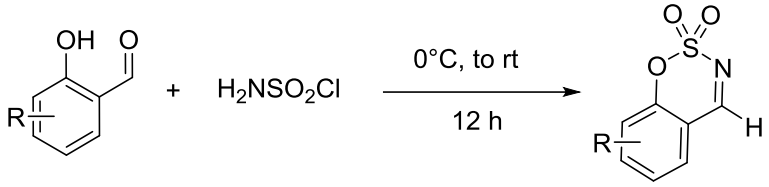
Analytical methods

Proton nuclear magnetic resonance (^{1}H NMR) and carbon nuclear magnetic resonance (^{13}C NMR) spectroscopy were performed on a Bruker Advance 300, 400 and 500 NMR spectrometers. Chemical shifts ^{1}H NMR spectra are reported as in units of parts per million (ppm) downfield from $SiMe_4$ (0.0) and relative to the signal of chloroform-*d* (*J* = 7.264, singlet). Multiplicities were given as: s (singlet); d (doublet); t (triplet); q (quartet); dd (doublet of doublets); ddd (doublet of doublets of doublets); dddd (doublet of doublets of doublets of doublets); dt (doublet of triplets); m (multiplets) and etc. The number of protons (n) for a given resonance is indicated by nH. Coupling constants are reported as a *J* value in Hz. Carbon nuclear magnetic resonance spectra (^{13}C NMR) are reported as d in units of parts per million (ppm) downfield from $SiMe_4$ (0.0) and relative to the signal of chloroform-*d* (*J* = 77.03, triplet). High resolution mass spectral analysis (HRMS) was performed on LCMS Q-TOF (SHIMADZU Corporation) ESI spectrometer. Infrared spectra were recorded on a Nicolet IS50 Fourier transform spectrometer (FT-IR) and are reported in wave numbers (cm^{-1}).

Materials

Unless otherwise noted, all reagents were purchased energy chemistry, Ouhe and Tansoole, and used without further purification. DMF, DMSO, 1, 4-Dioxane and DCE were extra dry bought from energy chemistry.

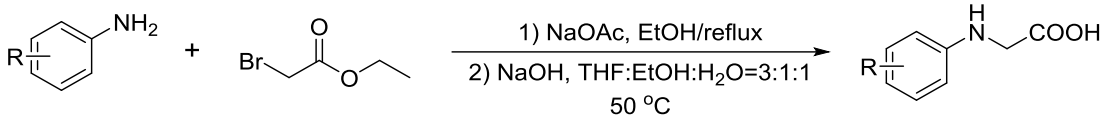
1.1 General procedure for the preparation of benzoxathiazine.



To a solution of salicyclaldehyde (1.00 mmol) in 2.0 mL of DMA at 0 ℃ was quickly transferred solid H_2NSO_2Cl (3.00 mmol, 3.0 equiv). **Caution:** A mild exotherm is generally noted upon combination of these reagents. The mixture was allowed to warm to ambient temperature and was stirred until TLC analysis indicated completion of the reaction (2–12 h). The reaction was quenched with 5 mL of a pH 7 NaH_2PO_4/NaOH aqueous buffer solution and transferred to a separatory funnel with 10 mL of Et_2O. The organic layer was separated, and the aqueous layer was

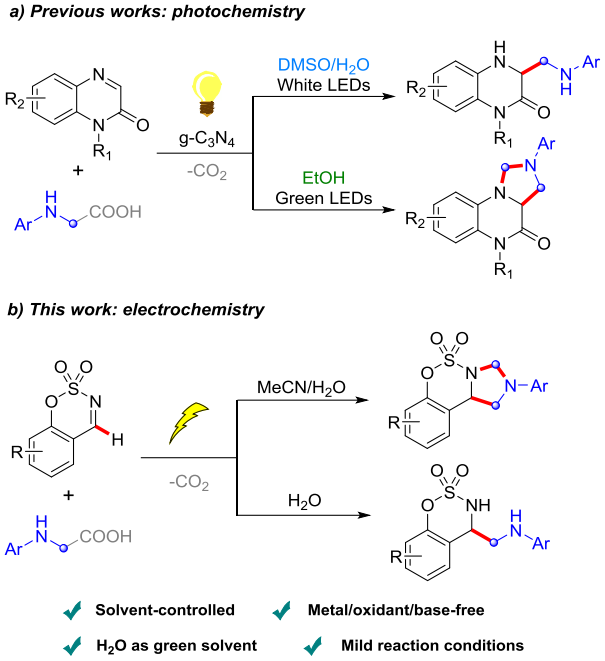
extracted with 2 x 5 mL of Et_2O. The combined organic layers were washed successively with 2 × 3 mL of H_2O and 1 × 5 mL of saturated aqueous NaCl, dried over $MgSO_4$, and concentrated under reduced pressure. Purification by chromatography on silica gel (conditions given below) afforded the desired benzoxathiazine.

1.2 General procedure for the preparation of *N*-arylglycine. [1]



A mixture of substituted aniline (10 mmol), ethyl bromoacetate (1.2 equiv, 12 mmol) and anhydrous sodium acetate (2 equiv, 20 mmol) in 30 mL ethanol was refluxed for about 10 h until the substituted aniline disappeared. After cooling to room temperature, the precipitated salts were removed by filtration. The solvent was removed by rotary evaporation. After obtaining the concentrate, NaOH (3.3 equiv, 33 mmol) and the concentrate (1.0 equiv, 10 mmol) were dissolved in H_2O (10 mL), EtOH (10 mL) and THF (30 mL). The reaction mixture was stirred for 3 h at 50℃. The organic solvent was then removed by rotary evaporation. The residue was extracted with ethyl acetate (3×10 mL). The water layer was acidified with con. HCl until pH = 2-3 and extracted with ethyl acetate (3×20 mL). The combined organic layers were concentrated by rotary evaporation to afford product substituted *N*-arylglycines.

2. Radical switchable annulation and amino-methylation with *N*-substituted glycines



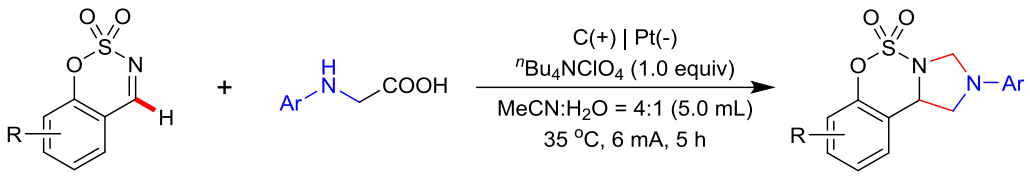
Scheme S1 Radical switchable annulation and amino-methylation with *N*-substituted glycines.

3. Experimental section

3.1 Electrolysis reaction set-ups pictures

Figure S1 0.2 mmol scale electrolysis reaction set-ups: rubber plug, graphite plate (10 mm × 10 mm × 3 mm), platinum plate (10 mm × 10 mm × 0.1 mm) and 10 mL three-necked round bottom flask.

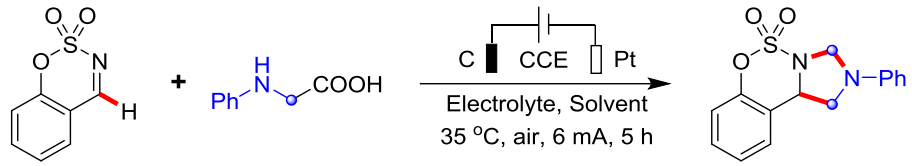
3.2 General procedure for the annulation of *N*-arylglycine with Cyclic Aldimines:



1, 0.2 mmol **2**, 0.6 mmol **3 or 4**

In 10 mL three-necked round bottom flask, a mixture of *N*-sulfonyl ketimines **1** (0.2 mmol, 1.0 equiv), *N*-arylglycines **2** (0.6 mmol, 3.0 equiv) and ^{n}Bu$_4$NClO$_4$ (0.2 mmol, 1.0 equiv) and MeCN (4.0 mL), H$_2$O (1.0 mL) were added. The flask was equipped with graphite plate anode (10 mm × 10 mm × 3 mm) and platinum cathode (10 mm × 10 mm × 0.1 mm). The reaction mixture was stirred and electrolyzed at a constant current of 6 mA under 35 °C for 5 h. After the reaction finished, the mixture was cooled to room temperature, then diluted with 10 mL water and extracted with EtOAc (3*10 mL). The combined organic layers were washed with brine, dried over Na$_2$SO$_4$, evaporated under vacuum. The residue was purified with silica gel chromatography (petroleum ether/ethyl acetate) to provide pure product.

Table S1. Optimization of reaction conditions[a]



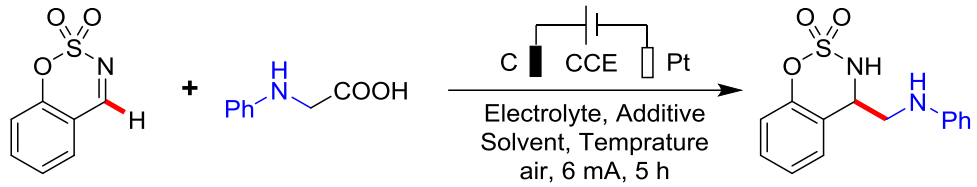
1a **2a** **3a**

Entry	Electrolyte	Solvent	Yield(%)[a)]
1	^{n}Bu$_4$NBF$_4$	MeCN	47
2	^{n}Bu$_4$NPF$_6$	MeCN	66
3	^{n}Bu$_4$NClO$_4$	MeCN	74
4	^{n}Bu$_4$NI	MeCN	25
5	^{n}Bu$_4$NOAc	MeCN	50
6	^{n}Bu$_4$NHSO$_4$	MeCN	Trace
7	^{n}Bu$_4$NClO$_4$	H$_2$O	23
8	^{n}Bu$_4$NClO$_4$	TFE	45
9	^{n}Bu$_4$NClO$_4$	DCE	32
10	^{n}Bu$_4$NClO$_4$	MeCN:H$_2$O = 4:1	91
11[b]	^{n}Bu$_4$NClO$_4$	MeCN:H$_2$O = 4:1	80
11[c]	-	MeCN:H$_2$O = 4:1	N.R.
12[d]	^{n}Bu$_4$NClO$_4$	MeCN:H$_2$O = 4:1	N.R.

[a] Reaction condition: **1a** (0.2 mmol), **2a** (0.6 mmol), electrolyte (0.2 mmol, 1.0 equiv), solvent (5.0 mL), graphite plate (10 mm×10 mm×3 mm) as anode and platinum plate (10 mm×10 mm×0.1 mm)

as cathode electrolyzed under a constant current of 6 mA for 5 h in an undivided cell at 35 °C. Isolated yield. N.R. No reaction. [b] Ni plate as Cathode. [c] Without electrolyte. [d] Without current.

3.3 Table S2. Optimization of reaction conditions[a]



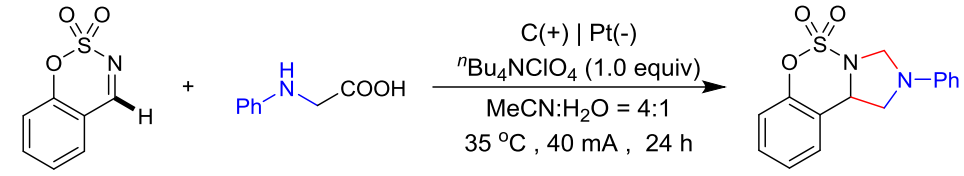
1a **2a** **5a**

Entry	Electrolyte	Solvent	Temperature (°C)	Time (h)	Yield (%)[b]
1	$^{n}Bu_4NClO_4$	H_2O	35	5	31
2	$^{n}Bu_4NClO_4$	TFE	35	5	28
3	$^{n}Bu_4NClO_4$	EtOH	35	5	trace (61)[c]
4	$^{n}Bu_4NClO_4$	H_2O/MeOH = 9:1	35	5	24
5	$^{n}Bu_4NClO_4$	H_2O/HFIP = 9:1	35	5	29
6	$^{n}Bu_4NBF_4$	H_2O	35	5	20
7	$^{n}Bu_4NPF_6$	H_2O	35	5	18
8	$^{n}Bu_4NOAc$	H_2O	35	5	23
9	$^{n}Bu_4NCl$	H_2O	35	5	26
10	$^{n}Bu_4NClO_4$	H_2O	35	12	48
11[d]	$^{n}Bu_4NClO_4$	H_2O	35	12	63
12[d,e]	$^{n}Bu_4NClO_4$	H_2O	35	12	32
13[d,f]	$^{n}Bu_4NClO_4$	H_2O	35	12	52
14[d,g]	$^{n}Bu_4NClO_4$	H_2O	35	12	31
15[d,h]	$^{n}Bu_4NClO_4$	H_2O	35	12	47
16[d,i]	$^{n}Bu_4NClO_4$	H_2O	35	12	58
17[d]	$^{n}Bu_4NClO_4$	H_2O	55	12	62
18[d]	$^{n}Bu_4NClO_4$	H_2O	60	12	71
19[d]	$^{n}Bu_4NClO_4$	H_2O	65	12	67
20[d]	$^{n}Bu_4NClO_4$	H_2O	60	10	61
21[d]	$^{n}Bu_4NClO_4$	H_2O	60	14	66

[a] Reaction condition: **1a** (0.2 mmol), **2a** (0.6 mmol), electrolyte (0.2 mmol, 1.0 equiv), solvent (5.0 mL), graphite plate (10 mm×10 mm×3 mm) as anode and platinum plate (10 mm×10 mm×0.1 mm) as cathode electrolyzed at constant current of 6 mA for 5 h in an undivided cell at 35 °C.
[b] Isolated yields. [c] the yield of **3a**. [d] electrolyte (0.1 mmol, 0.5 equiv). [e] Addition: K_2CO_3 (0.2 mmol). [f] Addition: HOAc (0.2 mmol). [g] Pt plate as anode. [h] Fe plate as Cathode. [i] Ni plate as Cathode.

3.4 Synthetic applications

a) General procedure for the gram-scale synthesis.

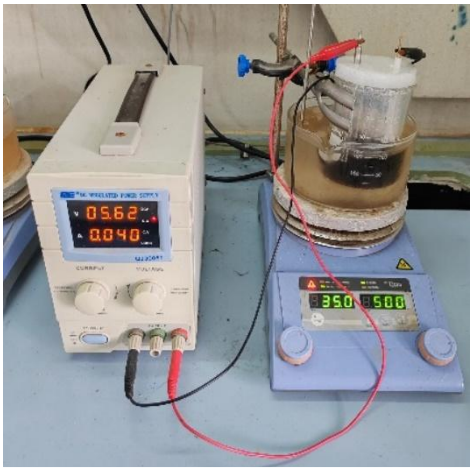


1a
1.01 g, 5.5 mmol

2a
2.49 g, 16.5 mmol

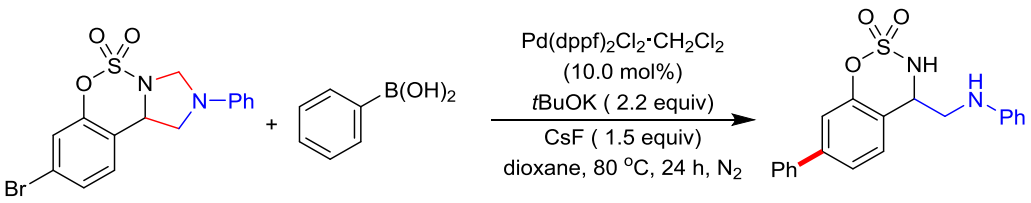
3a
1.18 g, 71% yield

Into a 250 mL beaker, with graphite plate anode (20 mm × 20 mm × 3 mm), platinum plate cathode (20 mm × 20 mm × 0.1 mm), a mixture of *N*-sulfonyl ketimines **1a** (5.5 mmol, 1.0 equiv), *N*-phenylglycines **2a** (16.5 mmol, 3.0 equiv), $^{n}Bu_4NClO_4$ (5.5 mmol, 1.0 equiv) in MeCN (80 mL) and H_2O (20 mL) were stirred with constant current of 40 mA at 35 ℃ for 24 h. After the reaction were completed, the mixture was cooled to room temperature and diluted with water (50.0 mL) and extracted with EtOAc (3*50.0 mL). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4, and concentrated under vacuum. The residue was purified by column chromatography to afford the desired compounds.



Reaction apparatus diagram

b) Suzuki coupling reaction



3l, 0.2 mmol

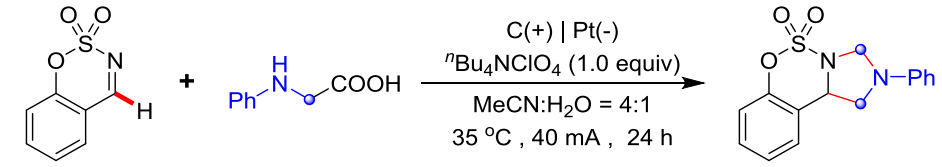
0.4 mmol

6, 87% yield

To an over-dried schlenk tube equipped with a magnetic stir bar, was added 8-bromo-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide **3l** (76.2 mg, 0.2 mmol,

1.0 equiv), phenylboronic acid (48.8 mg, 0.4 mmol, 2.0 equiv), $Pd(dppf)_2Cl_2·CH_2Cl_2$ (16.3 mg, 10 mol%), ^tBuOK (49.4 mg, 0.44 mmol, 2.2 equiv), CsF (45.6 mg, 0.3 mmol, 1.5 equiv) and dioxane (2.0 mL) under N_2. The reaction mixture was allowed to stir in oil bath at 80 ℃ for 24 h. After the reaction were completed, the mixture was cooled to room temperature, diluted with water (10.0 mL) and extracted with EtOAc (3*10.0 mL). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4, concentrated under vacuum. The residue was purified with column chromatography to provide pure product **6**.

a) Gram-scale synthesis.

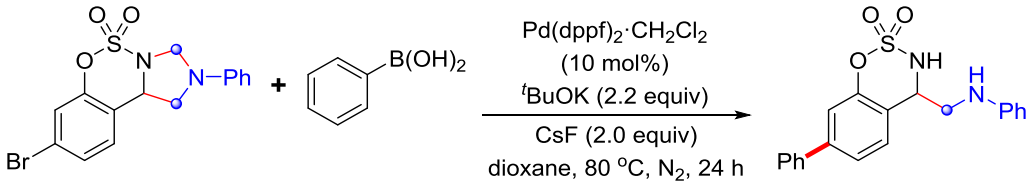


1a 1.01 g, 5.5 mmol

2a 2.49 g, 16.5 mmol

3a 1.18 g, 71% yield

b) Synthetic application.



3l, 0.2 mmol 0.4 mmol **6**, 87% yield

Scheme S2 Gram-scale synthesis and synthetic application.

3.5 X-Ray Crystallographic Data

Synthesis was carried out by reaction of **1a** (0.2 mmol), **2a** (0.6 mmol) and $^nBu_4NClO_4$ (0.1 mmol) and electrolyzed at a constant current of 6 mA under 60 °C for 12 h. Single crystals suitable for X-ray analysis were grown from ethyl acetate solution of **5a** by slow vapor diffusion of petroleum ether.

Single crystal X-ray diffraction data was collected with a Rigaku XtaLAB Synergy (Dualflex, HyPix) diffractometer system equipped with a Cu sealed tube (λ = 1.54184). The structures were solved by direct methods with Olex2[2] program suite. The CCDC number for the compound **5a** is 2335625. In addition, the supplementary crystallographic data for this paper can be obtained free of charge via www.ccdc.cam.ac.uk/conts/retrieving.html.

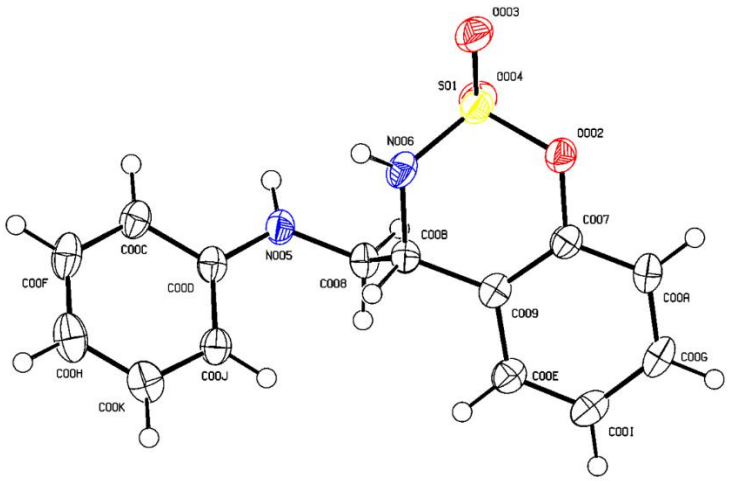


Figure S2 Thermal ellipsoid plot of the crystal structure of **5a**

Identification code	**5a**
Empirical formula	$C_{14}H_{14}N_2O_3S$
Formula weight	290.33
Temperature	100(10)
Wavelength	1.54184 A
Crystal system	orthorhombic
space group	P n a 21
Unit cell dimensions	
a=11.3873(2)	b=7.62710(10) c=15.5891(3)
alpha=90	beta=90 gamma=90
Volume	1353.95(4)
Z, Calculated density	4, 1.424 Mg/m^3
Absorption coefficient	2.214 mm^{-1}
F(000)	608
Crystal size	0.14 ×0.12 ×0.08 mm
Theta range for data collection	5.676 to 75.261 deg
Limiting indices	-14≦h≦14, -9≦k≦8, -17≦l≦19
Reflections collected/unique	13463/2425 [R_{int}=0.0504 R_{sigma}=0.0587]
Data/restraints/parameters	2521/1/181
GOOF	1.060
R indices (all data)	R_1=0.0379, wR_2=0.0895
Final R indices [I > 2sigma (I)]	R_1=0.0349, wR_2=0.0883
Largest diff. peak and hole	0.245/-0.377 $e.A^{-3}$

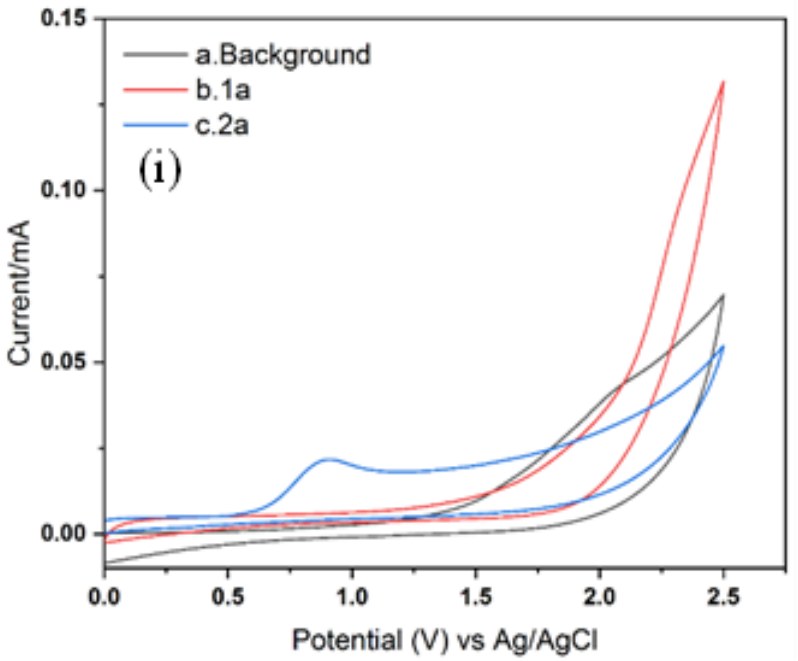
4. Preliminary mechanistic studies

4.1 Procedure for cyclic voltammetry (CV)

The redox property of each compound was measured in solvent containing $^{n}Bu_4NClO_4$ as the supporting electrolyte. Cyclic voltammetry was carried out in conventional three-electrode electrochemical cell with CHI660E electrochemical workstation under air at room temperature. The working electrode was a disc glassy-carbon electrode (d = 3 mm). A platinum plate electrode (10 mm ×10 mm ×0.1 mm) was used as the counter electrode. The reference was an Ag/AgCl electrode submerged in saturated aqueous KCl solution. The working electrode was polished before recording each CV curve. All voltammograms were measured using the following parameters:

Segments	2
Initial Potential	0 V
Vertex Potential	2.50V
Final Potential	0 V
Scan rate (V/s)	0.05

4.2 Cyclic voltammetry (CV) curves of the annulation and the aminomethylation of *N*-phenyl glycine with cyclic aldimines



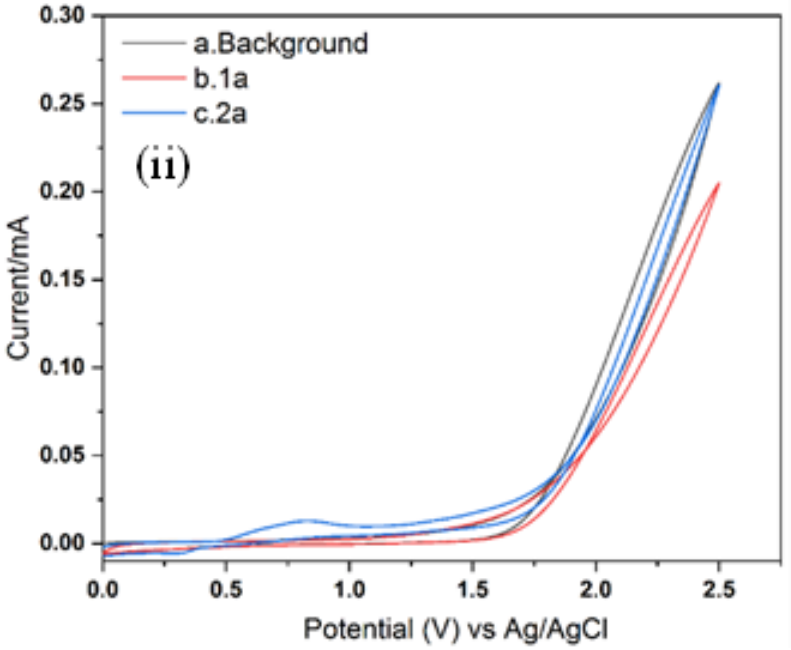
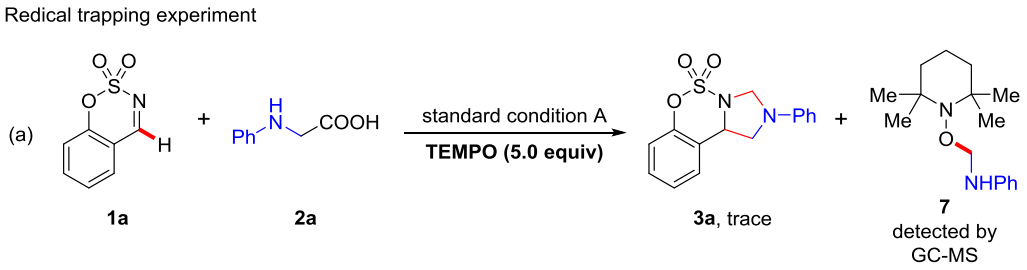


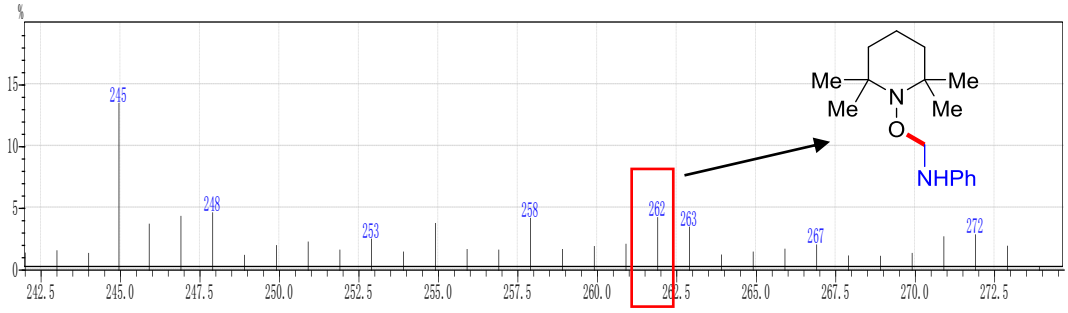
Figure S3 Cyclic voltammetry (CV) curves on a working glassy-carbon electrode under a scan rate of 0.05 V/s for (i): (a) background, (b) cyclic aldimine **1a** (0.1 mmol), (c) *N*-phenyl glycine **2a** (0.1 mmol), in $^{n}Bu_4NClO_4$ (0.1 mmol) solution in MeCN/H_2O (V/V=4:1, 10.0 mL); (ii) (a) background, (b) cyclic aldimine **1a** (0.1 mmol), (c) *N*-phenyl glycine **2a** (0.1 mmol), in $^{n}Bu_4NClO_4$ (0.1 mmol) solution in H_2O (10.0 mL).

4.3 Radical trapping experiments

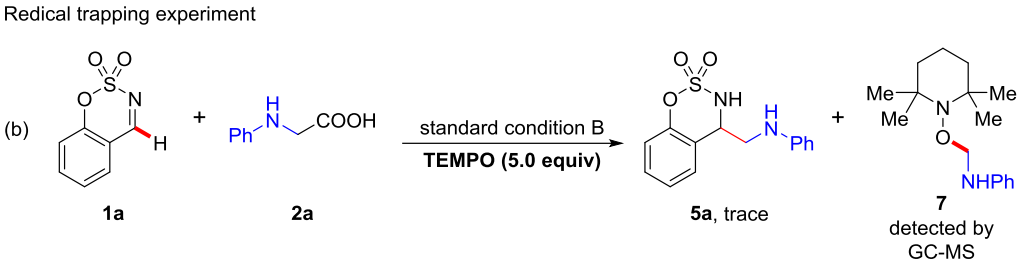
a) the Annulation of *N*-phenyl glycine with Cyclic Aldimines:



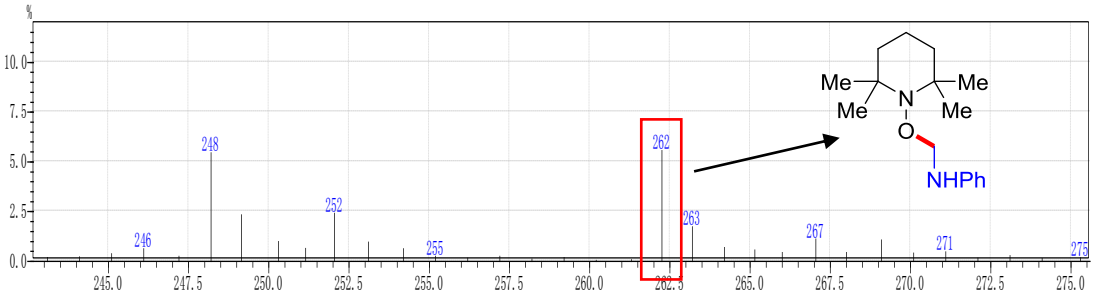
To a 10 mL three-necked round bottom flask equipped with a magnetic bar was added cyclic aldimine **1a** (0.2 mmol, 1.0 equiv), *N*-phenyl glycine **2a** (0.6 mmol, 3.0 equiv), and $^{n}Bu_4NClO_4$ (0.2 mmol, 1.0 equiv). The TEMPO (1.0 mmol, 5.0 equiv) and MeCN (4.0 mL), H_2O (1.0 mL) were added subsequently. The flask was equipped with graphite plate anode (10 mm × 10 mm × 3 mm) and platinum cathode (10 mm × 10 mm × 0.1 mm). The reaction mixture was stirred and electrolyzed at a constant current of 6 mA under 35 °C for 5 h. After the reaction finished, the GC-MS detected the addition product formed by the radical scavenger with cyclohexyl radical.

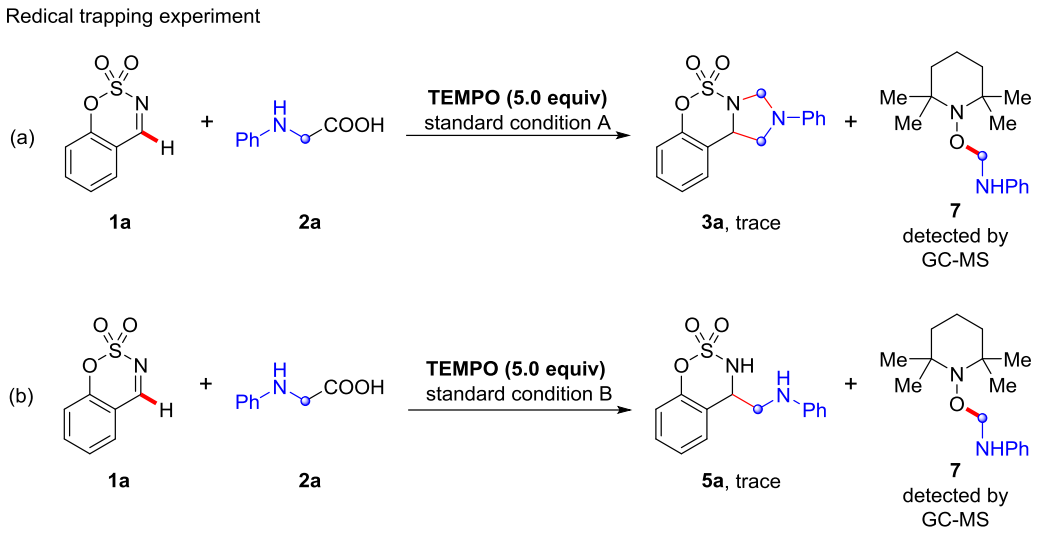


b) the Aminomethylation of *N*-phenylglycine with Cyclic Aldimines:



To a 10 mL three-necked round bottom flask equipped with a magnetic bar was added cyclic aldimine **1a** (0.2 mmol, 1 equiv), *N*-phenyl glycine **2a** (0.6 mmol, 3.0 equiv), and $^{n}Bu_4NClO_4$ (0.1 mmol, 0.5 equiv). The TEMPO (1.0 mmol, 5.0 equiv) and H_2O (5.0 mL) were added subsequently. The flask was equipped with graphite plate anode (10 mm × 10 mm × 3 mm) and platinum cathode (10 mm × 10 mm × 0.1 mm). The reaction mixture was stirred and electrolyzed at a constant current of 6 mA under 60 °C for 12 h. After the reaction finished, the GC-MS detected the addition product formed by the radical scavenger with cyclohexyl radical.

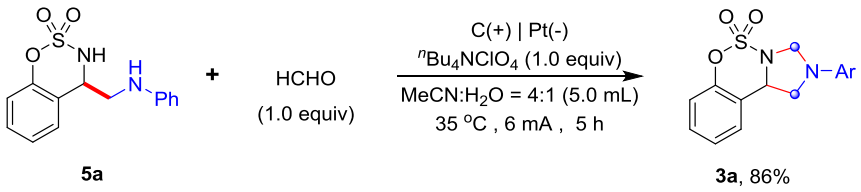




Scheme S3. Radical trapping studies

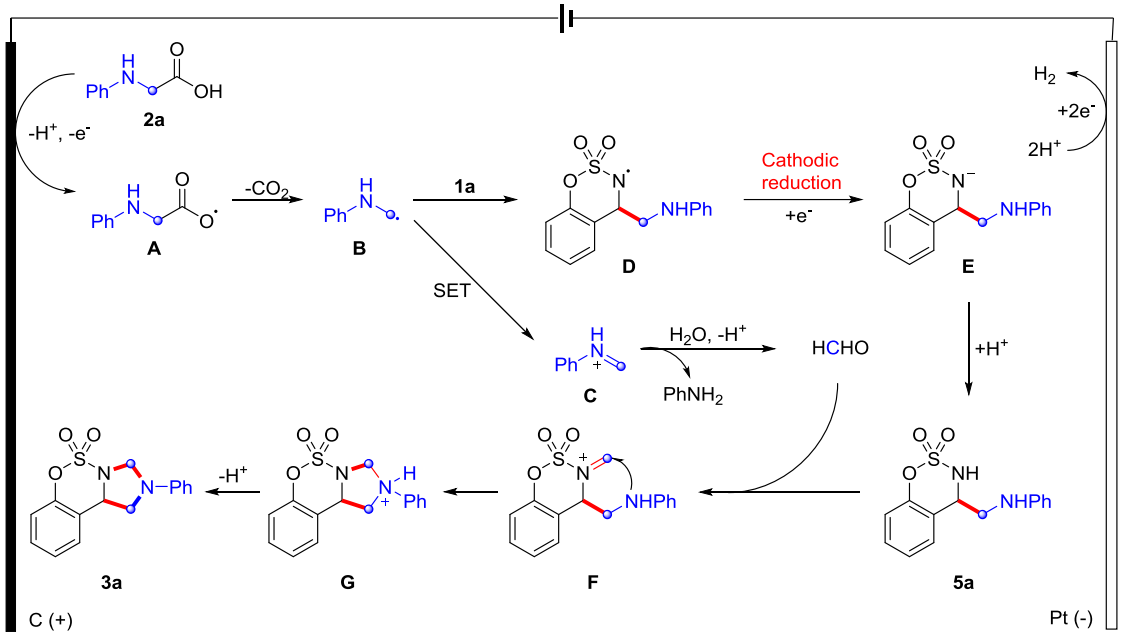
4.4 Control experiment

In 10 mL three-necked round bottom flask, a mixture of *4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide* **5a** (0.2 mmol, 1.0 equiv), HCHO (16 μL, 1.0 equiv, 37-40% in H_2O), $^nBu_4NClO_4$ (0.2 mmol, 1.0 equiv) and MeCN (4.0 mL), H_2O (1.0 mL) were added. The flask was equipped with graphite plate anode (10 mm × 10 mm × 3 mm) and platinum cathode (10 mm × 10 mm × 0.1 mm). The reaction mixture was stirred and electrolyzed at a constant current of 6 mA under 35 °C for 5 h. After the reaction finished, the mixture was cooled to room temperature, then diluted with 10 mL water and extracted with EtOAc (3*10 mL). The combined organic layers were washed with brine, dried over Na_2SO_4, evaporated under vacuum. The residue was purified with silica gel chromatography (petroleum ether/ethyl acetate) to provide pure product **3a** in 86% yield.



Scheme S4. Control experiment

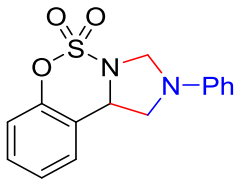
4.5 Proposed mechanism.



Scheme S5. Plausible mechanism

4. Experimental data

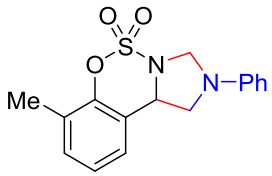
2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3a)



3a

Following the general procedure (eluent: petroleum ether/ethyl acetate = 4/1), the desired product **3a** was obtained as a white solid (55.1 mg, 91% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.37 – 7.26 (m, 5H), 7.06 (d, *J* = 8.2 Hz, 1H), 6.88 (t, *J* = 7.4 Hz, 1H), 6.62 – 6.58 (m, 1H), 5.46 (t, *J* = 3.7 Hz, 1H), 4.97 (d, *J* = 4.7 Hz, 1H), 4.67 (d, *J* = 4.7 Hz, 1H), 3.96 (d, *J* = 3.7 Hz, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 150.9, 145.0, 129.7, 129.4, 126.5, 126.0, 120.8, 119.2, 118.8, 113.2, 65.9, 61.8, 54.4. This compound is known. [3]

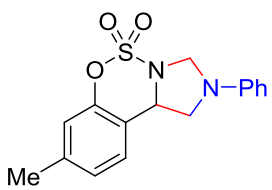
7-methyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3b)



3b

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **3b** was obtained as a white solid (55.9 mg, 88% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.31 – 7.25 (m, 2H), 7.19 (d, *J* = 7.2 Hz, 1H), 7.14 (t, *J* = 7.5 Hz, 1H), 7.08 (d, *J* = 7.4 Hz, 1H), 6.90 – 6.84 (m, 1H), 6.62 – 6.58 (m, 2H), 5.44 (t, *J* = 3.6 Hz, 1H), 4.97 (d, *J* = 4.8 Hz, 1H), 4.67 (d, *J* = 4.8 Hz, 1H), 3.95 (d, *J* = 3.9 Hz, 2H), 2.32 (s, 3H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 149.4, 145.0, 131.1, 129.4, 128.3, 125.2 123.9, 120.6, 119.2, 113.2, 66.0, 61.9, 54.7, 15.3. This compound is known. [4]

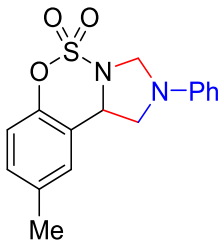
8-methyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3c)



3c

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **3c** was obtained as a white solid (50 mg, 80% yield); 1H NMR (400 MHz, CDCl3) δ 7.31 – 7.25 (m, 2H), 7.14 (d, *J* = 7.9 Hz, 1H), 7.07 (d, *J* = 8.0 Hz, 1H), 6.88 (d, *J* = 7.3 Hz, 2H), 6.59 (d, *J* = 8.4 Hz, 2H), 5.42 (t, *J* = 3.7 Hz, 1H), 4.94 (d, *J* = 4.8 Hz, 1H), 4.66 (d, *J* = 4.7 Hz, 1H), 3.94 (d, *J* = 3.7 Hz, 2H), 2.35 (s, 3H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 150.7, 145.0, 140.3, 129.4 , 126.8, 126.2, 119.1, 117.6, 115.0, 113.1, 65.9, 61.7, 54.4, 20.9. This compound is known. [3]

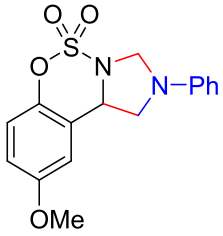
9-methyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3d)



3d

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **3d** was obtained as a white solid (51.8 mg, 81% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.31 – 7.25 (m, 2H), 7.12 (d, *J* = 8.4 Hz, 1H), 7.04 (s, 1H), 6.94 (d, *J* = 8.4 Hz, 1H), 6.87 (t, *J* = 7.4 Hz, 1H), 6.60 (d, *J* = 7.9 Hz, 2H), 5.43 – 5.38 (m, 1H), 4.94 (d, *J* = 4.7 Hz, 1H), 4.65 (d, *J* = 4.7 Hz, 1H), 3.98 – 3.93 (m, 2H), 2.35 (s, 3H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 148.9, 145.0, 135.9, 130.3, 129.4, 126.7, 120.3, 119.2, 118.5, 113.1, 65.9, 61.8, 54.4, 20.8. This compound is known. [3]

9-methoxy-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3e)

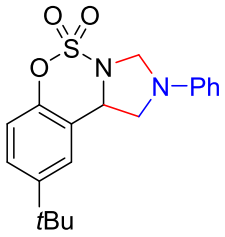


3e

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **3e** was obtained as a yellow solid (49.6 mg, 74% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.31 – 7.25 (m, 2H), 6.99 (d, *J* = 9.0 Hz, 1H), 6.87 (t, *J* = 7.3 Hz, 2H), 6.74 (d, *J* = 2.6 Hz, 1H), 6.60 (d, *J* = 8.0 Hz, 2H), 5.40 (t, *J* = 3.3 Hz, 1H), 4.94 (d, *J* = 4.7 Hz, 1H), 4.66 (d, *J* = 4.7 Hz, 1H), 3.95 (d, *J* = 3.7

Hz, 2H), 3.81 (s, 3H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 157.2, 145.0, 144.6, 129.4, 121.6, 119.8, 119.3, 114.9, 113.2, 111.5, 66.0, 61.9, 55.8, 54.5. This compound is known. [4]

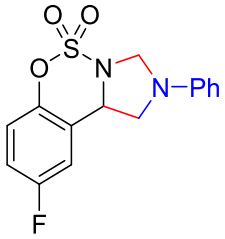
9-(tert-butyl)-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3f)



3f

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **3f** was obtained as a yellow solid (62.4 mg, 87% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.39 (dd, *J* = 8.7, 2.4 Hz, 1H), 7.35 – 7.26 (m, 3H), 7.02 (d, *J* = 8.7 Hz, 1H), 6.90 (t, *J* = 7.3 Hz, 1H), 6.64 (d, *J* = 7.8 Hz, 2H), 5.49 (d, *J* = 5.7 Hz, 1H), 4.99 (d, *J* = 4.7 Hz, 1H), 4.71 (d, *J* = 4.7 Hz, 1H), 4.08 – 3.96 (m, 2H), 1.37 (s, 9H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 149.2, 148.6, 145.0, 129.4, 126.9, 123.0, 119.9, 119.1, 118.2, 113.1, 65.9, 62.0, 54.6, 34.5, 31.2. This compound is known. [4]

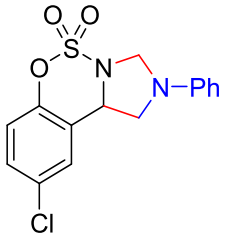
9-fluoro-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3g)



3g

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **3g** was obtained as a white solid (48.2 mg, 76% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.36 – 7.27 (m, 2H), 7.10 – 7.04 (m, 2H), 7.00 (d, *J* = 7.8 Hz, 1H), 6.91 (t, *J* = 7.4 Hz, 1H), 6.63 (d, *J* = 7.9 Hz, 2H), 5.44 (dd, *J* = 5.2, 2.1 Hz, 1H), 4.99 (d, *J* = 4.8 Hz, 1H), 4.68 (d, *J* = 4.8 Hz, 1H), 4.04 – 3.89 (m, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 159.6 (d, $^{1}J_{C\text{-}F}$ = 247.0 Hz), 146.9, 144.9, 129.5, 122.5 (d, $^{5}J_{C\text{-}F}$ = 7.0 Hz), 120.5 (d, $^{4}J_{C\text{-}F}$ = 8.4 Hz), 119.5, 116.8 (d, $^{2}J_{C\text{-}F}$ = 23.8 Hz), 113.3, 113.1 (d, $^{3}J_{C\text{-}F}$ = 24.6 Hz), 66.1, 61.8, 54.4. This compound is known. [3]

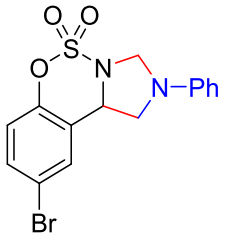
9-chloro-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3h)



3h

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **3h** was obtained as a yellow solid (53.3 mg, 79% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.34 – 7.25 (m, 4H), 7.02 (d, *J* = 8.8 Hz, 1H), 6.90 (t, *J* = 7.4 Hz, 1H), 6.62 (d, *J* = 7.8 Hz, 2H), 5.42 (t, *J* = 3.4 Hz, 1H), 4.97 (d, *J* = 4.8 Hz, 1H), 4.66 (d, *J* = 4.8 Hz, 1H), 3.96 (d, *J* = 3.8 Hz, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 149.5, 144.8, 131.2, 129.8, 129.5, 126.4, 122.5, 120.4, 119.6, 113.4, 66.1, 61.6, 54.4. This compound is known. [3]

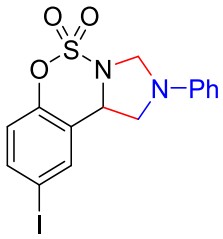
9-bromo-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3i)



3i

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **3i** was obtained as a yellow solid (52.9 mg, 69% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.46 – 7.42 (m, 1H), 7.41 – 7.38 (m, 1H), 7.32 – 7.26 (m, 2H), 6.94 (d, *J* = 8.7 Hz, 1H), 6.89 (t, *J* = 7.4 Hz, 1H), 6.64 – 6.57 (m, 2H), 5.41 (t, *J* = 3.6 Hz, 1H), 4.96 (d, *J* = 4.8 Hz, 1H), 4.64 (d, *J* = 4.8 Hz, 1H), 3.93 (d, *J* = 3.6 Hz, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 150.1, 144.8, 132.7, 129.5, 129.4, 122.9, 120.6, 119.6, 118.6, 113.3, 66.1, 61.5, 54.4. This compound is known. [3]

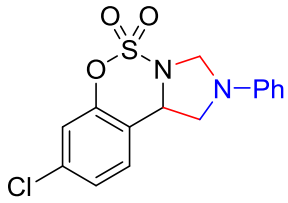
9-iodo-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3j)



3j

Following the general procedure (eluent: petroleum ether/ethyl acetate = 10/1), the desired product **3j** was obtained as a yellow solid (57.4 mg, 67% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.62 (dd, *J* = 8.6, 1.6 Hz, 1H), 7.57 (s, 1H), 7.31 – 7.25 (m, 2H), 6.88 (t, *J* = 7.4 Hz, 1H), 6.80 (d, *J* = 8.6 Hz, 1H), 6.61 (d, *J* = 7.9 Hz, 2H), 5.45 – 5.37 (m, 1H), 4.95 (d, *J* = 4.8 Hz, 1H), 4.64 (d, *J* = 4.8 Hz, 1H), 3.96 – 3.88 (m, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 151.0, 144.9, 138.7, 135.3, 129.5, 123.2, 120.9, 119.6, 113.4, 89.2, 66.1, 61.3, 54.5. This compound is known. [3]

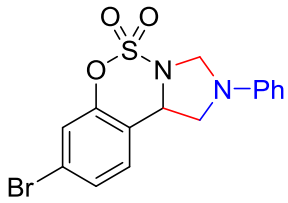
8-chloro-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3k)



3k

Following the general procedure (eluent: petroleum ether/ethyl acetate = 10/1), the desired product **3k** was obtained as a yellow solid (49.9 mg, 74% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.69 (d, *J* = 8.6 Hz, 1H), 7.52 (dd, *J* = 8.5, 1.9 Hz, 1H), 7.48 (d, *J* = 1.9 Hz, 1H), 3.13 (t, *J* = 11.4 Hz, 1H), 1.92 (dd, *J* = 11.9, 4.5 Hz, 4H), 1.79 (d, *J* = 12.6 Hz, 1H), 1.68 – 1.55 (m, 2H), 1.42 (q, *J* = 12.8 Hz, 2H), 1.34 – 1.27 (m, 1H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 149.6, 144.8, 131.2, 129.8, 129.5, 126.4, 122.5, 120.4, 119.6, 113.4, 66.1, 61.7, 54.5. This compound is known. [3]

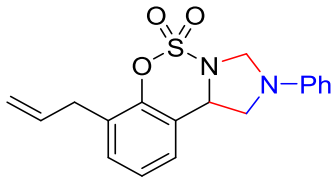
8-bromo-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3l)



3l

Following the general procedure (eluent: petroleum ether/ethyl acetate = 10/1), the desired product **3l** was obtained as a yellow solid (60.0 mg, 79% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) 7.38 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.32 – 7.25 (m, 2H), 7.22 (d, *J* = 2.0 Hz, 1H), 7.13 (d, *J* = 8.4 Hz, 1H), 6.88 (t, *J* = 7.4 Hz, 1H), 6.59 (d, *J* = 8.1 Hz, 2H), 5.41 – 5.35 (m, 1H), 4.96 (d, *J* = 4.8 Hz, 1H), 4.64 (d, *J* = 4.8 Hz, 1H), 3.97 – 3.88 (m, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 151.3, 144.8, 129.5, 129.2, 127.7, 122.5, 122.1, 119.9, 119.6, 113.3, 66.1, 61.7, 54.4. This compound is known. [3]

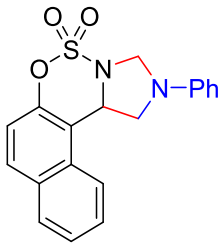
7-allyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (3m)



3m

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **3m** was obtained as a yellow solid (53.5 mg, 78% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.30 (t, *J* = 7.9 Hz, 2H), 7.21 (q, *J* = 7.5, 6.7 Hz, 2H), 7.14 (dd, *J* = 7.0, 2.4 Hz, 1H), 6.89 (t, *J* = 7.4 Hz, 1H), 6.62 (d, *J* = 8.1 Hz, 2H), 5.98 (ddt, *J* = 16.8, 10.2, 6.6 Hz, 1H), 5.45 (t, *J* = 3.8 Hz, 1H), 5.20 – 5.08 (m, 2H), 4.98 (d, *J* = 4.8 Hz, 1H), 4.68 (d, *J* = 4.8 Hz, 1H), 3.96 (d, *J* = 4.2 Hz, 2H), 3.57 – 3.37 (m, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 149.0, 145.0, 134.9, 130.3, 130.2, 129.3, 125.5, 124.5, 120.7, 119.2, 116.8, 113.2, 66.1, 61.9, 54.7, 33.2. This compound is known. [3]

2-phenyl-1,2,3,12c-tetrahydroimidazo[1,5-c]naphtho[1,2-e][1,2,3]oxathiazine 5,5-dioxide (3n)

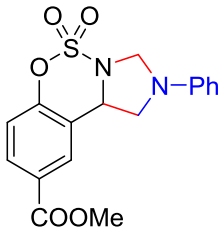


3n

Following the general procedure (eluent: petroleum ether/ethyl acetate = 10/1), the desired product **3n** was obtained as a yellow solid (41.0 mg, 58% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.91 (d, *J* = 8.2 Hz, 1H), 7.86 (d, *J* = 9.0 Hz, 1H), 7.71 (dd, *J* = 16.1, 7.6 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.27 (t, *J* = 7.9 Hz, 2H), 7.20 (d, *J* = 9.0 Hz, 1H), 6.88 (t, *J* = 7.4 Hz, 1H), 6.63 (d, *J* = 8.1 Hz, 2H), 5.96 (dd, *J* = 7.0, 2.6 Hz, 1H), 5.08 (d, *J* = 5.2 Hz, 1H), 4.83 (d, *J* = 5.2 Hz, 1H), 4.29 (dd, *J* = 9.3,

7.0 Hz, 1H), 3.99 (dd, *J* = 9.3, 2.7 Hz, 1H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 148.6, 145.0, 131.6, 130.8, 129.9, 1294, 127.8, 125.9, 122.4, 119.6, 118.3, 115.2, 113.7, 66.9, 60.9, 55.1. This compound is known. [3]

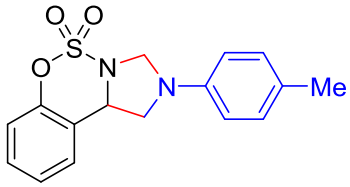
methyl 2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine-9-carboxylate 5,5-dioxide (3o)



3o

Following the general procedure (eluent: petroleum ether/ethyl acetate = 4/1), the desired product **3o** was obtained as a yellow solid (33.4 mg, 46% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 6.7 Hz, 2H), 7.26 (t, *J* = 7.8 Hz, 2H), 7.09 (d, *J* = 9.1 Hz, 1H), 6.86 (t, *J* = 7.3 Hz, 1H), 6.59 (d, *J* = 8.1 Hz, 2H), 5.47 (d, *J* = 5.3 Hz, 1H), 4.97 (d, *J* = 4.7 Hz, 1H), 4.65 (d, *J* = 4.7 Hz, 1H), 4.03 – 3.96 (m, 2H), 3.93 (s, 3H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 165.4, 154.3, 144.9, 131.0, 129.5, 128.4, 127.9, 121.1, 119.6, 119.2, 113.8, 66.1, 61.8, 54.6, 52.5. This compound is known. [3]

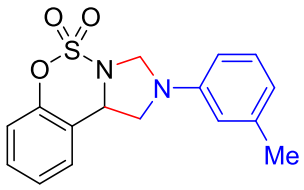
2-(p-tolyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (4a)



4a

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **4a** was obtained as a yellow solid (55.0 mg, 87% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.41 – 7.26 (m, 3H), 7.14 (d, *J* = 7.4 Hz, 2H), 7.08 (d, *J* = 7.7 Hz, 1H), 6.57 (d, *J* = 7.9 Hz, 2H), 5.46 (s, 1H), 5.00 (d, *J* = 4.4 Hz, 1H), 4.65 (d, *J* = 4.3 Hz, 1H), 3.95 (s, 2H), 2.33 (s, 3H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 151.0, 142.9, 129.9, 129.6, 128.7, 126.5, 125.9, 121.0, 118.8, 113.4, 66.4, 61.8, 54.9, 20.3. This compound is known. [4]

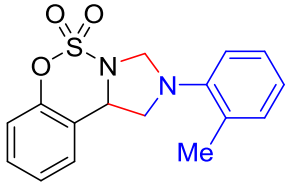
2-(m-tolyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (4b)



4b

Following the general procedure (eluent: petroleum ether/ethyl acetate = 4/1), the desired product **4b** was obtained as a yellow solid (38.2 mg, 60% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.41 – 7.34 (m, 1H), 7.29 (d, *J* = 2.9 Hz, 2H), 7.20 (t, *J* = 7.6 Hz, 1H), 7.08 (d, *J* = 8.3 Hz, 1H), 6.73 (d, *J* = 7.6 Hz, 1H), 6.44 (d, *J* = 8.0 Hz, 2H), 5.47 (t, *J* = 3.5 Hz, 1H), 4.99 (d, *J* = 4.8 Hz, 1H), 4.69 (d, *J* = 4.7 Hz, 1H), 4.07 – 3.96 (m, 2H), 2.36 (s, 3H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 151.0, 145.0, 139.3, 129.6, 129.3 126.5, 125.9, 120.9, 120.2, 118.8, 113.9, 110.3, 66.0, 61.8, 54.5, 21.6. This compound is known. [4]

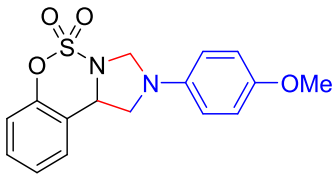
2-(o-tolyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (4c)



4c

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **4c** was obtained as a yellow solid (38.7 mg, 61% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.35 (t, *J* = 7.8 Hz, 1H), 7.30 – 7.25 (m, 1H), 7.24 (d, *J* = 4.9 Hz, 2H), 7.17 – 7.08 (m, 4H), 5.31 – 5.18 (m, 1H), 4.87 (d, *J* = 7.2 Hz, 1H), 4.63 (d, *J* = 7.2 Hz, 1H), 3.96 (dd, *J* = 10.7, 6.4 Hz, 1H), 3.61 (dd, *J* = 10.8, 4.4 Hz, 1H), 2.26 (s, 3H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 150.7, 145.5, 132.2, 131.4, 129.1, 126.8, 126.4, 125.9, 124.5, 122.3, 118.9, 118.7, 70.1, 60.5, 60.3, 18.3. This compound is known. [4]

2-(4-methoxyphenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (4d)

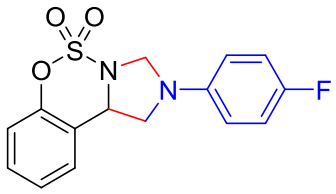


4d

Following the general procedure (eluent: petroleum ether/ethyl acetate = 4/1), the desired product **4d** was obtained as a yellow solid (60.2 mg, 90% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.32 (t, *J* = 8.6 Hz, 1H), 7.25 – 7.21 (m, 2H), 7.04 (d, *J* = 8.2 Hz, 1H), 6.85 (d, *J* = 9.0 Hz, 1H), 6.58 (d, *J* =

9.0 Hz, 2H), 5.39 (t, *J* = 3.7 Hz, 1H), 4.95 (d, *J* = 4.9 Hz, 1H), 4.56 (d, *J* = 4.9 Hz, 1H), 3.86 (d, *J* = 4.2 Hz, 2H), 3.75 (s, 3H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 153.4, 151.0, 139.5, 129.5, 126.4, 125.9, 121.2, 118.8, 114.9, 114.9, 67.1, 61.8, 55.8, 55.6. This compound is known. [4]

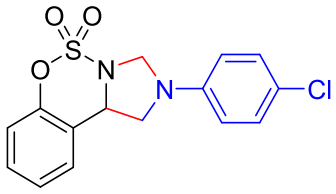
2-(4-fluorophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (4e)



4e

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **4e** was obtained as a white solid (44.0 mg, 64% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.36 (t, *J* = 7.3 Hz, 1H), 7.27 (dd, *J* = 6.8, 2.5 Hz, 2H), 7.08 (d, *J* = 8.2 Hz, 1H), 6.99 (t, *J* = 8.7 Hz, 2H), 6.60 – 6.53 (m, 2H), 5.46 (d, *J* = 5.0 Hz, 1H), 4.96 (d, *J* = 4.8 Hz, 1H), 4.63 (d, *J* = 4.8 Hz, 1H), 3.99 – 3.87 (m, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 156.9 (d, $^{1}J_{C\text{-}F}$ = 238.6 Hz), 151.0, 141.7 (d, $^{4}J_{C\text{-}F}$ = 2.2 Hz), 129.8, 126.4, 126.1, 120.9, 119.0, 116.0 (d, $^{2}J_{C\text{-}F}$ = 22.6 Hz), 114.5 (d, $^{3}J_{C\text{-}F}$ = 7.6 Hz), 66.7, 61.9, 55.4. This compound is known. [4]

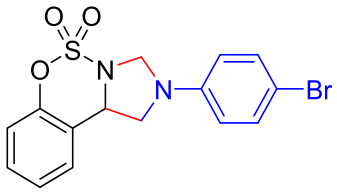
2-(4-chlorophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (4f)



4f

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **4f** was obtained as a yellow solid (43.8 mg, 65% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.40 – 7.33 (m, 1H), 7.30 – 7.25 (m, 2H), 7.22 (d, *J* = 8.9 Hz, 2H), 7.07 (d, *J* = 8.2 Hz, 1H), 6.51 (d, *J* = 8.9 Hz, 2H), 5.47 (d, *J* = 5.5 Hz, 1H), 4.92 (d, *J* = 4.7 Hz, 1H), 4.64 (d, *J* = 4.7 Hz, 1H), 4.00 – 3.89 (m, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 150.9, 143.6, 129.8, 129.3, 126.5, 126.1, 124.3, 120.6, 118.9, 114.3, 65.9, 61.8, 54.6. This compound is known. [4]

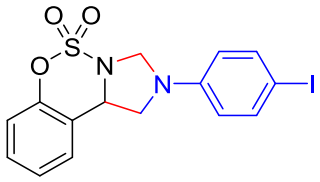
2-(4-bromophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (4g)



4g

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **4g** was obtained as a yellow solid (52.5 mg, 69% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.36 (d, *J* = 8.6 Hz, 3H), 7.27 (d, *J* = 7.6 Hz, 2H), 7.07 (d, *J* = 8.2 Hz, 1H), 6.46 (d, *J* = 8.8 Hz, 2H), 5.47 (d, *J* = 5.5 Hz, 1H), 4.91 (d, *J* = 4.7 Hz, 1H), 4.65 (d, *J* = 4.7 Hz, 1H), 4.01 – 3.88 (m, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 150.9, 144.0, 132.2, 129.9, 126.5, 126.1, 120.5, 119.0, 114.7, 111.5, 65.8, 61.8, 54.5. This compound is known. [4]

2-(4-iodophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (4h)

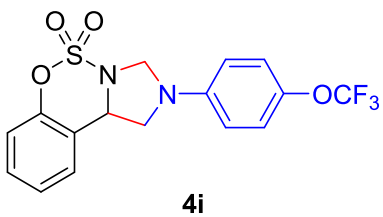


4h

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **4h** was obtained as a yellow solid (35.4 mg, 40% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.53 (d, *J* = 8.9 Hz, 2H), 7.40 – 7.34 (m, 1H), 7.29 – 7.25 (m, 2H), 7.07 (d, *J* = 8.5 Hz, 1H), 6.36 (d, *J* = 8.9 Hz, 2H), 5.48 (d, *J* = 5.6 Hz, 1H), 4.91 (d, *J* = 4.7 Hz, 1H), 4.65 (d, *J* = 4.7 Hz, 1H), 4.02 – 3.88 (m, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 150.9, 144.5, 138.0, 129.9, 129.4, 126.5, 126.1, 120.5, 119.0 , 115.2, 65.7, 61.8, 54.3.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{15}H_{13}IN_2O_3S$ 428.97644; found 428.97773.

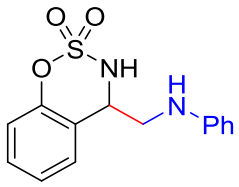
2-(4-(trifluoromethoxy)phenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (4i)



4i

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **4i** was obtained as a white solid (62.8 mg, 81% yield). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.35 (dt, *J* = 8.4, 4.1 Hz, 1H), 7.27 (d, *J* = 4.3 Hz, 2H), 7.13 (d, *J* = 8.4 Hz, 2H), 7.06 (d, *J* = 8.1 Hz, 1H), 6.57 – 6.52 (m, 2H), 5.47 (d, *J* = 5.3 Hz, 1H), 4.92 (d, *J* = 4.7 Hz, 1H), 4.65 (d, *J* = 4.7 Hz, 1H), 4.01 – 3.91 (m, 2H). **^{13}C NMR (**101 MHz**,** $CDCl_3$**)** δ 150.9, 143.7, 141.8, 129.9, 126.5, 126.1, 122.5, 120.6 (q, *J* = 255.8 Hz), 120.5, 118.9, 113.6, 65.9, 61.9, 54.6. This compound is known. [4]

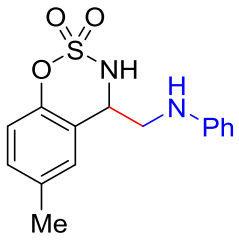
4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5a)



5a

Following the general procedure (eluent: petroleum ether/ethyl acetate = 4/1), the desired product **5a** was obtained as a yellow oil (41.3 mg, 71% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) **^{13}C NMR** (101 MHz, $CDCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.43 – 7.36 (m, 1H), 7.31 – 7.27 (m, 2H), 7.27 – 7.21 (m, 2H), 7.09 (d, *J* = 8.1 Hz, 1H), 6.83 (t, *J* = 7.4 Hz, 1H), 6.72 (d, *J* = 7.7 Hz, 1H), 5.38 (s, 1H), 5.06 – 4.92 (m, 1H), 3.93 – 3.72 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.6, 146.7, 129.9, 129.5, 126.3, 125.6, 119.6, 119.2, 119.2, 113.8, 56.2, 46.6. This compound is known.[4]

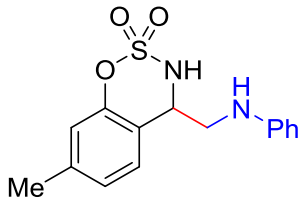
6-methyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5b)



5b

Following the general procedure (eluent: petroleum ether/ethyl acetate = 4/1), the desired product **5b** was obtained as a yellow oil (32.4 mg, 53% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.23 (t, *J* = 7.8 Hz, 2H), 7.16 (d, *J* = 8.4 Hz, 1H), 7.06 (s, 1H), 6.97 (d, *J* = 8.4 Hz, 1H), 6.82 (t, *J* = 7.3 Hz, 1H), 6.71 (d, *J* = 8.3 Hz, 2H), 5.14 (s, 1H), 4.94 (s, 1H), 3.88 – 3.72 (m, 2H), 2.37 (s, 3H). **^{13}C NMR** (101 MHz, DMSO-d6) δ 149.3, 148.3, 134.9, 130.3, 129.5, 128.1, 121.1, 118.4, 116.8, 112.9 , 55.5, 46.6, 20.8. This compound is known.[3]

7-methyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5c)

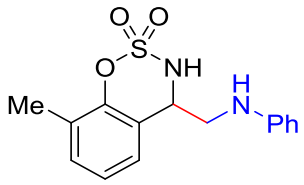


5c

Following the general procedure (eluent: petroleum ether/ethyl acetate = 4/1), the desired product **5c** was obtained as a yellow oil (34.0 mg, 55% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.21 (t, *J* = 7.9 Hz, 2H), 7.14 (d, *J* = 7.9 Hz, 1H), 7.06 (d, *J* = 7.9 Hz, 1H), 6.86 (s, 1H), 6.80 (t, *J* = 7.4 Hz, 1H), 6.69 (d, *J* = 7.7 Hz, 2H), 5.26 (s, 1H), 4.89 (t, *J* = 5.3 Hz, 1H), 3.78 – 3.71 (m, 2H), 2.37 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.5, 146.8, 140.5, 129.5, 126.5, 126.0, 119.4, 119.1, 116.5, 113.8, 56.1, 46.6, 21.0.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{15}H_{16}N_2O_3S$ 305.09544; found 305.09592.

8-methyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5d)

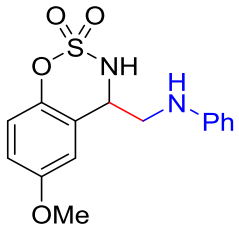


5d

Following the general procedure (eluent: petroleum ether/ethyl acetate = 4/1), the desired product **5d** was obtained as a yellow oil (32.0 mg, 52% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.22 (t, *J* = 7.9 Hz, 3H), 7.17 – 7.09 (m, 2H), 6.81 (t, *J* = 7.3 Hz, 1H), 6.71 (d, *J* = 7.7 Hz, 3H), 5.17 (s, 1H), 5.01 – 4.93 (m, 1H), 3.88 – 3.72 (m, 2H), 2.31 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 150.2, 146.8, 131.4, 129.5, 128.7, 125.0, 123.6, 119.4, 119.2, 113.9, 56.3, 46.7, 15.6.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{15}H_{16}N_2O_3S$ 305.09544; found 305.09596.

6-methoxy-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5e)

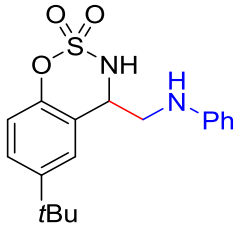


5e

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **5e** was obtained as a yellow oil (21.9 mg, 34 % yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.21 (dd, *J* = 8.5, 7.4 Hz, 2H), 6.99 (d, *J* = 9.0 Hz, 1H), 6.88 (dd, *J* = 9.0, 2.8 Hz, 1H), 6.81 (t, *J* = 7.4 Hz, 1H), 6.76 (d, *J* = 2.8 Hz, 1H), 6.69 (d, *J* = 7.7 Hz, 2H), 5.24 (s, 1H), 4.89 (t, *J* = 5.3 Hz, 1H), 3.81 (s, 3H), 3.75 (dd, *J* = 5.5, 1.9 Hz, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 156.9, 146.0, 145.3, 129.6, 120.4, 120.1, 119.8, 114.9, 114.4, 111.4, 56.1, 55.8, 47.2.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{15}H_{16}N_2O_4S$ 305.09035; found 321.09097.

6-(tert-butyl)-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5f)

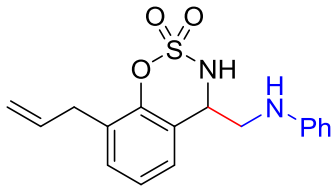


5f

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **5f** was obtained as a yellow oil (16.1 mg, 23% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.38 (dd, *J* = 8.7, 2.3 Hz, 1H), 7.25 – 7.19 (m, 3H), 6.98 (d, *J* = 8.7 Hz, 1H), 6.81 (t, *J* = 7.3 Hz, 1H), 6.72 (d, *J* = 8.0 Hz, 2H), 5.37 (s, 1H), 4.93 (t, *J* = 5.7 Hz, 1H), 3.89 – 3.69 (m, 2H), 1.33 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 149.3, 148.8, 146.6, 129.5, 127.0, 122.9, 119.3, 118.8, 118.6, 114.0, 56.4, 47.0, 34.6, 31.3.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{18}H_{22}N_2O_3S$ 347.14239; found 347.14298.

8-allyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5g)



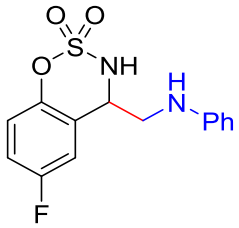
5g

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **5g** was obtained as a yellow solid (39.9 mg, 60% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.25 – 7.11 (m, 5H), 6.83 (t, *J* = 7.4 Hz, 1H), 6.74 (d, *J* = 7.7 Hz, 2H), 5.94 (td, *J* = 16.9, 6.6 Hz, 1H), 5.17 – 5.07 (m, 2H), 4.99 (dd, *J* = 6.5, 4.5 Hz, 1H), 3.87 – 3.72 (m, 2H), 3.42 (d, *J* = 6.6 Hz, 2H). **^{13}C**

NMR (101 MHz, $CDCl_3$) δ 146.2, 135.0, 130.7, 130.5, 129.5, 125.2, 124.3, 119.6, 119.5, 116.9, 114.3, 99.9, 56.1, 47.2, 33.4.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{17}H_{18}N_2O_3S$ 331.11109; found 331.11207.

6-fluoro-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5h)

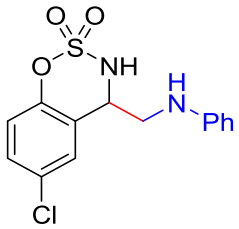


5h

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **5h** was obtained as a yellow oil (30.6 mg, 49% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.28 – 7.22 (m, 3H), 7.10 – 6.99 (m, 3H), 6.88 (t, *J* = 7.4 Hz, 1H), 6.79 (d, *J* = 7.8 Hz, 2H), 5.04 – 4.99 (m, 1H), 3.87 – 3.74 (m, 2H). **^{13}C NMR** (101 MHz, DMSO-d6) δ 158.8 (d, $^1J_{C\text{-}F}$ = 241.9 Hz), 148.0, 147.3 (d, $^6J_{C\text{-}F}$ = 2.4 Hz), 129.32, 123.1 (d, $^5J_{C\text{-}F}$ = 7.7 Hz), 120.3 (d, $^4J_{C\text{-}F}$ = 8.5 Hz), 116.7, 116.6 (d, $^3J_{C\text{-}F}$ = 23.7 Hz), 114.5 (d, $^2J_{C\text{-}F}$ = 25.0 Hz), 112.8, 55.1, 46.1.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{14}H_{13}FN_2O_3S$ 309.07037; found 309.07102.

6-chloro-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5i)

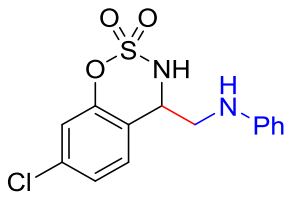


5i

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **5i** was obtained as a yellow oil (27.4 mg, 42% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.34 (dd, *J* = 8.8, 2.4 Hz, 1H), 7.29 – 7.22 (m, 3H), 7.02 (d, *J* = 8.8 Hz, 1H), 6.88 (t, *J* = 7.4 Hz, 1H), 6.79 (d, *J* = 7.8 Hz, 2H), 5.05 – 4.98 (m, 1H), 3.81 (qd, *J* = 13.8, 5.5 Hz, 2H). **^{13}C NMR** (101 MHz, DMSO-d6) δ 150.1, 148.2, 129.8, 129.5, 129.5, 127.8, 123.4, 120.5, 116.9, 113.0, 55.2, 46.3.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{14}H_{13}ClN_2O_3S$ 325.04082; found 325.04134.

7-chloro-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5j)

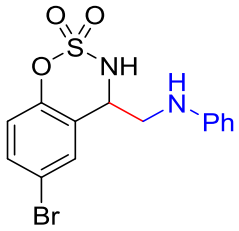


5j

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **5j** was obtained as a yellow oil (29.6 mg, 45% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.26 – 7.18 (m, 3H), 7.06 (d, *J* = 1.6 Hz, 1H), 6.83 (t, *J* = 7.4 Hz, 1H), 6.71 (d, *J* = 7.8 Hz, 2H), 4.98 – 4.88 (m, 1H), 3.82 – 3.68 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.8, 146.1, 135.2, 129.6, 127.3, 125.9, 119.7, 119.5, 118.0, 114.2, 55.8, 46.9.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{14}H_{13}ClN_2O_3S$ 325.04082; found 325.04132.

6-bromo-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5k)

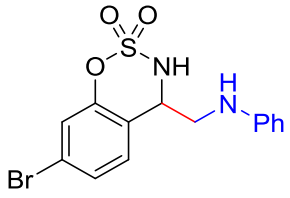


5k

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **5k** was obtained as a yellow solid (29.1 mg, 39% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.48 (dd, *J* = 8.8, 2.2 Hz, 1H), 7.41 (d, *J* = 2.2 Hz, 1H), 7.28 – 7.21 (m, 2H), 6.95 (d, *J* = 8.8 Hz, 1H), 6.87 (t, *J* = 7.4 Hz, 1H), 6.77 (d, *J* = 7.8 Hz, 2H), 5.03 – 4.93 (m, 1H), 3.87 – 3.70 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 150.7, 145.4, 133.0, 129.7, 129.3, 121.5, 121.0, 120.4, 118.3, 114.8, 55.6, 47.5.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{14}H_{13}BrN_2O_3S$ 368.99030; found 368.99102.

7-bromo-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5l)



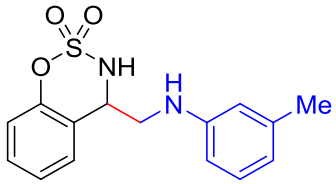
5l

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **5l** was obtained as a yellow solid (30.1 mg, 40% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.38 (dd, *J*

= 8.3, 2.0 Hz, 1H), 7.25 – 7.18 (m, 3H), 7.14 (d, *J* = 8.3 Hz, 1H), 6.83 (t, *J* = 7.4 Hz, 1H), 6.71 (d, *J* = 7.8 Hz, 2H), 4.90 (t, *J* = 5.5 Hz, 1H), 3.87 – 3.65 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.9, 146.1, 129.6, 128.8, 127.6, 122.7, 122.4, 119.7, 118.6, 114.2, 55.9, 46.8.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{14}H_{13}BrN_2O_3S$ 368.99030; found 368.99075.

4-((m-tolylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5m)

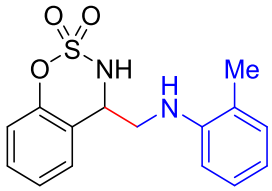


5m

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **5n** was obtained as a yellow oil (23.0 mg, 37% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.40 (t, *J* = 8.6 Hz, 1H), 7.29 (d, *J* = 5.1 Hz, 2H), 7.17 – 7.06 (m, 2H), 6.66 (d, *J* = 7.4 Hz, 1H), 6.54 (d, *J* = 6.4 Hz, 2H), 4.98 (t, *J* = 5.4 Hz, 1H), 3.91 – 3.71 (m, 2H), 2.31 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.7, 146.6, 139.4, 129.9, 129.4, 126.3, 125.6, 120.2, 119.6, 119.2, 114.8, 110.9, 56.2, 46.6 , 21.5.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{15}H_{16}N_2O_3S$ 305.09544; found 305.09632.

4-((o-tolylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5n)

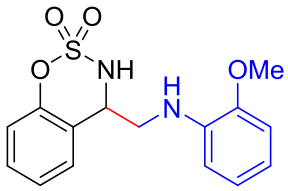


5n

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **5o** was obtained as a yellow oil (30.7 mg, 50% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.42 – 7.33 (m, 1H), 7.30 – 7.25 (m, 2H), 7.16 (t, *J* = 7.7 Hz, 1H), 7.07 (t, *J* = 8.3 Hz, 2H), 6.79 – 6.69 (m, 2H), 5.25 (s, 1H), 4.92 (t, *J* = 5.9 Hz, 1H), 3.79 (d, *J* = 6.0 Hz, 2H), 2.09 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.4, 144.6, 130.6, 129.8, 127.2, 126.4, 125.6, 123.4, 119.6, 119.1, 118.6, 110.4, 56.0, 46.6, 17.3.

HRMS (ESI) m/z: $[M+Na]^+$ Calcd for $C_{15}H_{16}N_2O_3S$ 327.07738; found 327.07840.

4-(((2-methoxyphenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5o)

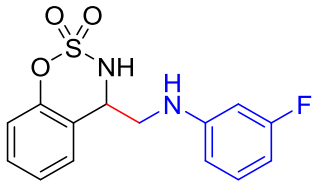


5o

Following the general procedure (eluent: petroleum ether/ethyl acetate = 6/1), the desired product **5p** was obtained as a yellow oil (38.9 mg, 60% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.36 (t, *J* = 7.6 Hz, 1H), 7.31 – 7.22 (m, 2H), 7.05 (d, *J* = 8.2 Hz, 1H), 6.89 (dt, *J* = 8.0, 4.2 Hz, 1H), 6.81 – 6.72 (m, 3H), 5.41 (s, 1H), 5.05 – 4.90 (m, 1H), 3.80 (d, *J* = 10.9 Hz, 2H), 3.79 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.6, 147.6, 136.2, 129.8, 126.4, 125.5, 121.2, 119.8, 119.2, 118.8, 111.2, 110.0, 56.3, 55.4, 46.8.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{15}H_{16}N_2O_4S$ 321.09035; found 321.09117.

4-(((3-fluorophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5p)

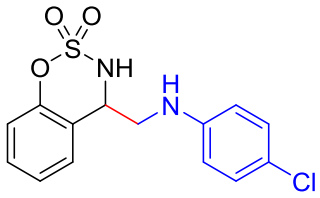


5p

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **5q** was obtained as a yellow oil (34.8 mg, 56% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.38 (dt, *J* = 8.5, 4.3 Hz, 1H), 7.31 – 7.25 (m, 2H), 7.19 – 7.10 (m, 1H), 7.06 (d, *J* = 8.2 Hz, 1H), 6.53 – 6.43 (m, 2H), 6.38 (dt, *J* = 11.2, 2.2 Hz, 1H), 5.20 (d, *J* = 6.9 Hz, 1H), 5.02 – 4.87 (m, 1H), 4.06 (s, 1H), 3.87 – 3.68 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.0 (d, $^1J_{C\text{-}F}$= 244.1 Hz), 151.5, 148.6 (d, $^4J_{C\text{-}F}$ = 10.4 Hz), 130.7 (d, $^5J_{C\text{-}F}$ = 10.2 Hz), 130.0, 126.3, 125.8, 119.4, 119.3, 109.5 (d, $^6J_{C\text{-}F}$ = 2.6 Hz), 105.5 (d, $^3J_{C\text{-}F}$ = 21.3 Hz), 100.5 (d, $^2J_{C\text{-}F}$ = 25.4 Hz), 56.2, 46.4.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{14}H_{13}FN_2O_3S$ 309.07037; found 309.07127.

4-(((4-chlorophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5q)

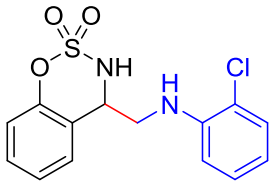


5q

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **5r** was obtained as a yellow oil (21.0 mg, 32% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.38 (dt, *J* = 8.6, 4.4 Hz, 1H), 7.26 (d, *J* = 3.8 Hz, 2H), 7.16 (d, *J* = 8.8 Hz, 2H), 7.07 (t, *J* = 7.8 Hz, 1H), 6.70 – 6.61 (m, 2H), 5.46 (s, 1H), 4.97 (dd, *J* = 7.2, 4.3 Hz, 1H), 3.88 – 3.67 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.5, 144.7, 130.0, 129.4, 126.4, 125.7, 124.4, 119.3, 119.3, 115.4, 56.0, 47.3.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{14}H_{13}ClN_2O_3S$ 325.04082; found 325.04184.

4-(((2-chlorophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5r)

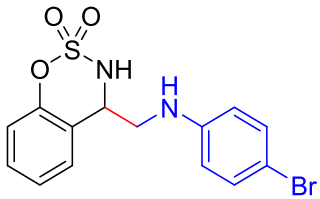


5r

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **5s** was obtained as a yellow oil (36.7 mg, 56% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.41 – 7.35 (m, 1H), 7.31 – 7.27 (m,2H), 7.19 (t, *J* = 7.9 Hz, 1H), 7.07 (d, *J* = 8.3 Hz, 1H), 6.81 (d, *J* = 8.1 Hz, 1H), 6.74 (t, *J* = 7.2 Hz, 1H), 5.27 (d, *J* = 7.8 Hz, 1H), 5.04 – 4.95 (m, 1H), 3.95 – 3.78 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.5, 142.5, 130.0, 129.6, 128.0, 126.4, 125.7, 120.4, 119.3, 119.3, 119.2, 112.1, 56.2, 46.7.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{14}H_{13}ClN_2O_3S$ 325.04082; found 325.04172.

4-(((4-bromophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (5s)



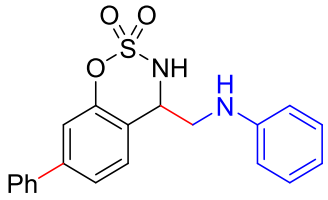
5s

Following the general procedure (eluent: petroleum ether/ethyl acetate = 8/1), the desired product **5t** was obtained as a yellow oil (19.4 mg, 26% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.42 – 7.33 (m, 1H), 7.30 – 7.24 (m, 4H), 7.04 (d, *J* = 8.2 Hz, 1H), 6.58 (d, *J* = 8.8 Hz, 2H), 5.37 (s, 1H), 4.93

(dd, *J* = 7.3, 4.3 Hz, 1H), 3.88 – 3.59 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.5, 145.8, 132.2, 132.0, 123.0, 126.3, 125.7, 119.4, 119.2, 115.35 , 56.1, 46.6.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{14}H_{13}BrN_2O_3S$ 368.99030; found 368.99142.

7-phenyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (6)



6

Following the general procedure (eluent: petroleum ether/ethyl acetate = 3/1), the desired product **6** was obtained as a white oil (63.8 mg, 87% yield); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.60 – 7.54 (m, 2H), 7.51 – 7.39 (m, 4H), 7.34 (d, *J* = 8.1 Hz, 1H), 7.30 – 7.19 (m, 3H), 6.82 (t, *J* = 7.3 Hz, 1H), 6.76 – 6.69 (m, 2H), 5.30 (s, 1H), 4.99 (d, *J* = 5.6 Hz, 1H), 3.89 – 3.77 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 152.0, 146.7, 143.3, 138.7, 129.6, 129.0, 128.3, 126.9, 126.6, 124.2, 119.2, 118.2, 117.5, 113.9, 56.1, 46.6.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{20}H_{18}N_2O_3S$ 367.11109; found 367.11213.

5. References

1. Z.-H. Lua, S.-J. Yan, *Chem. Commun*., **2022**, *58*, 10194-10197.

2. J. A. K Howard, H. Puschmann, et al., *J. Appl. Crystallogr.,* **2009**, *42*, 339-341.

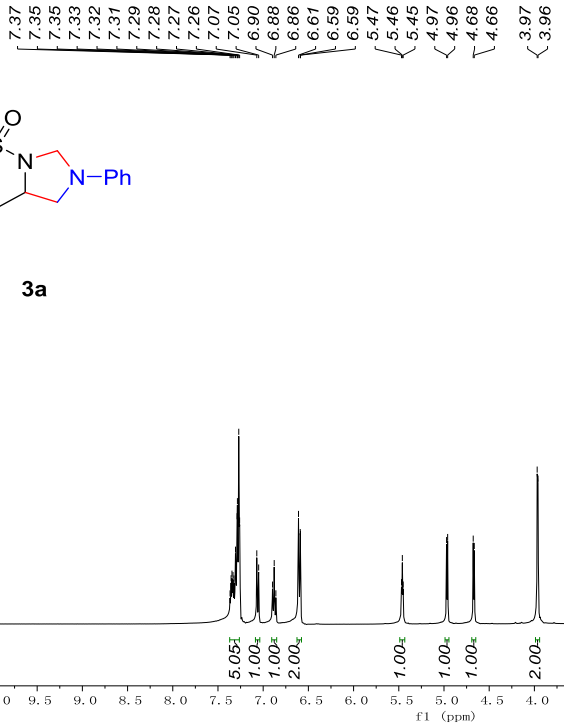
3. Y.-F. Zhao, B. Yu, et al., *Org. Lett.* **2022**, *24*, 299-303.

4. X.-J. Huang, W.-M He, et al., *ACS Catalysis.* **2023**, *13*, 13071-13076.

6. ^{1}H NMR, ^{13}C NMR spectra

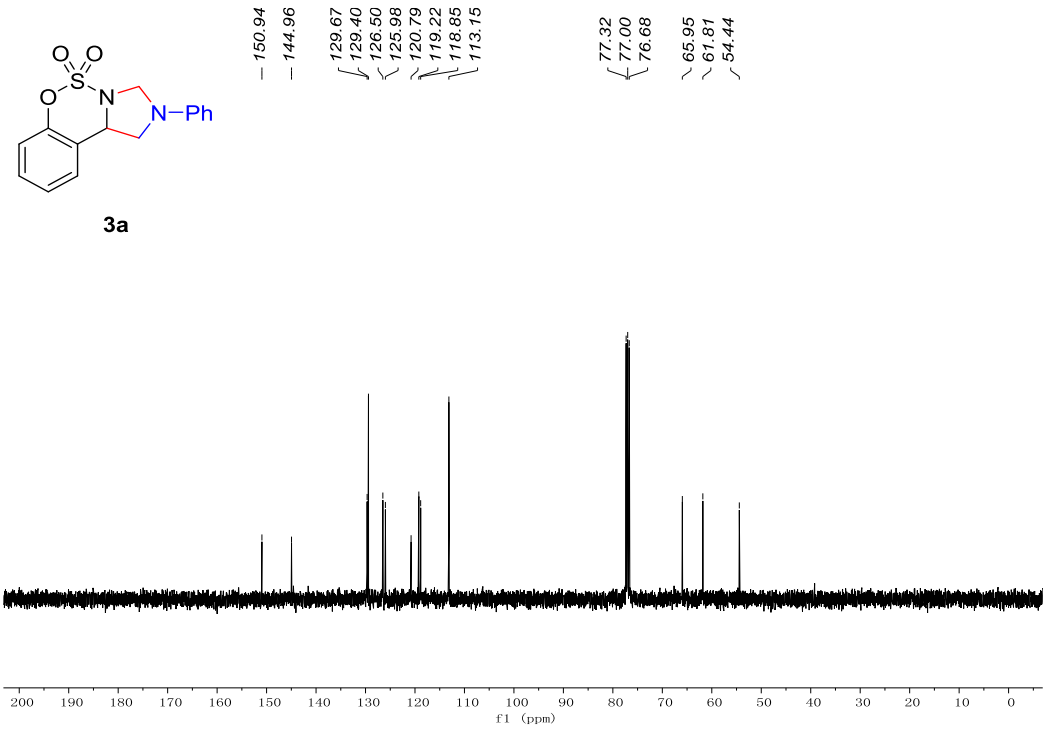
2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3a**)

^{1}H NMR (400 MHz, $CDCl_3$)



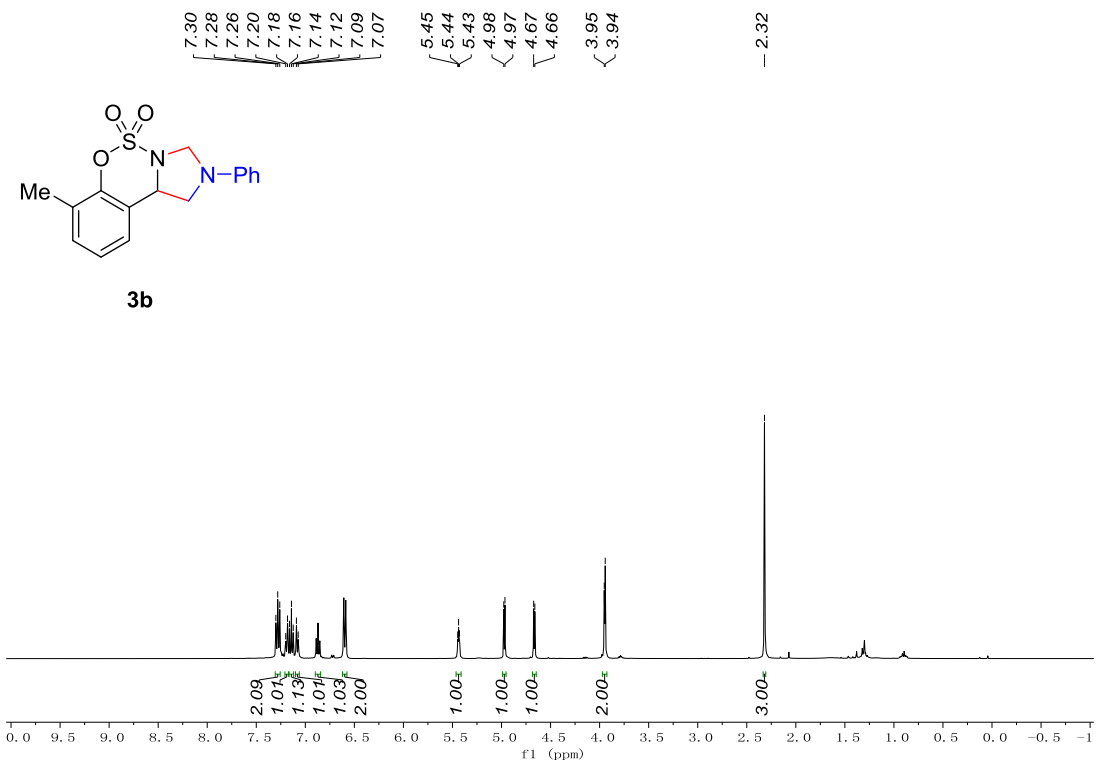
2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3a**)

^{13}C NMR (101 MHz, $CDCl_3$)



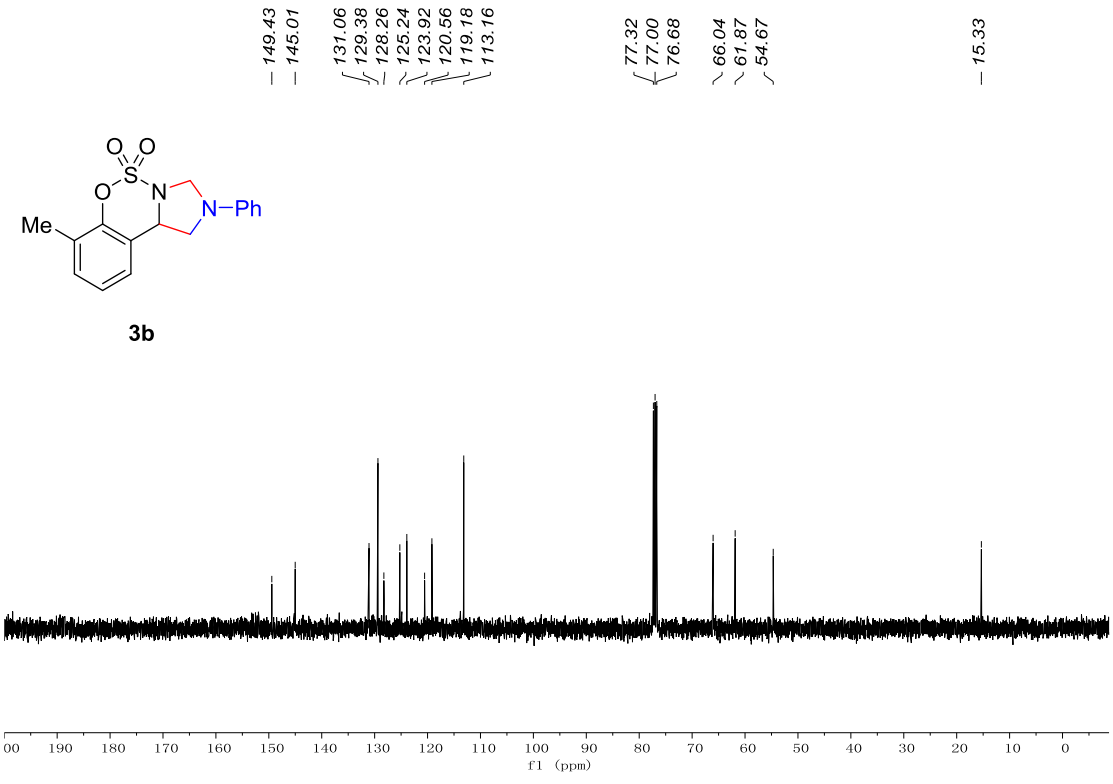
7-methyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3b**)

^{1}H NMR (400 MHz, $CDCl_3$)



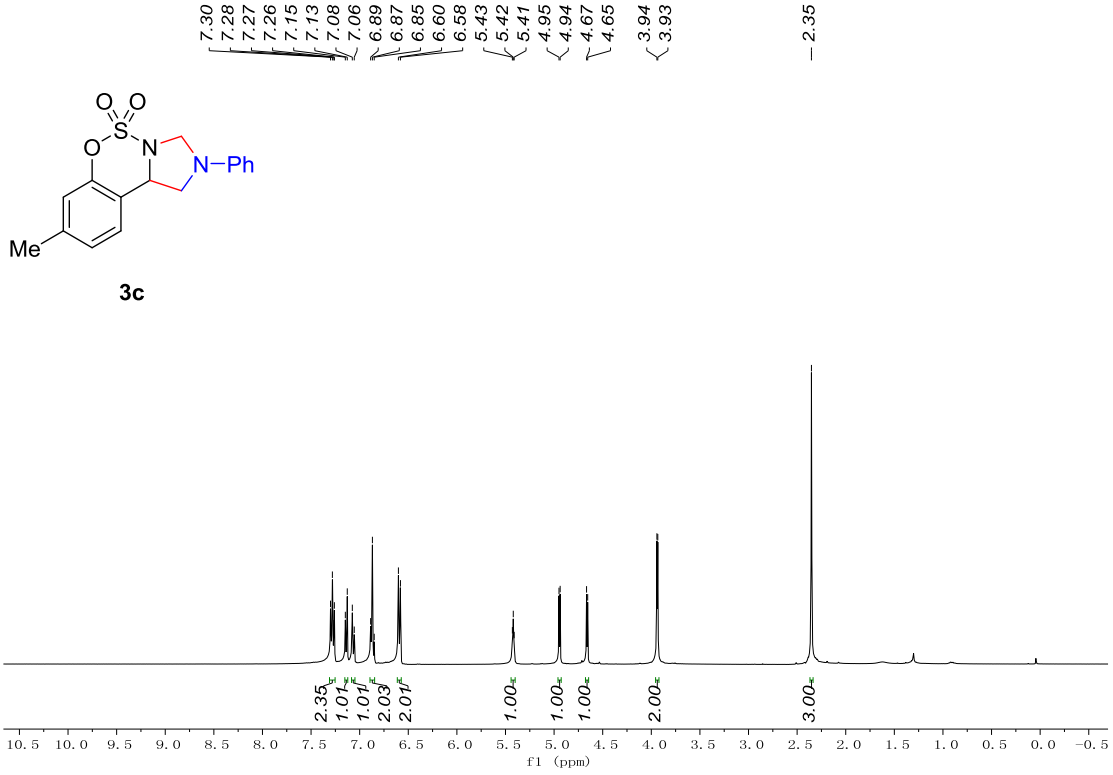
7-methyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3b**)

^{13}C NMR (101 MHz, $CDCl_3$)



8-methyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3c**)

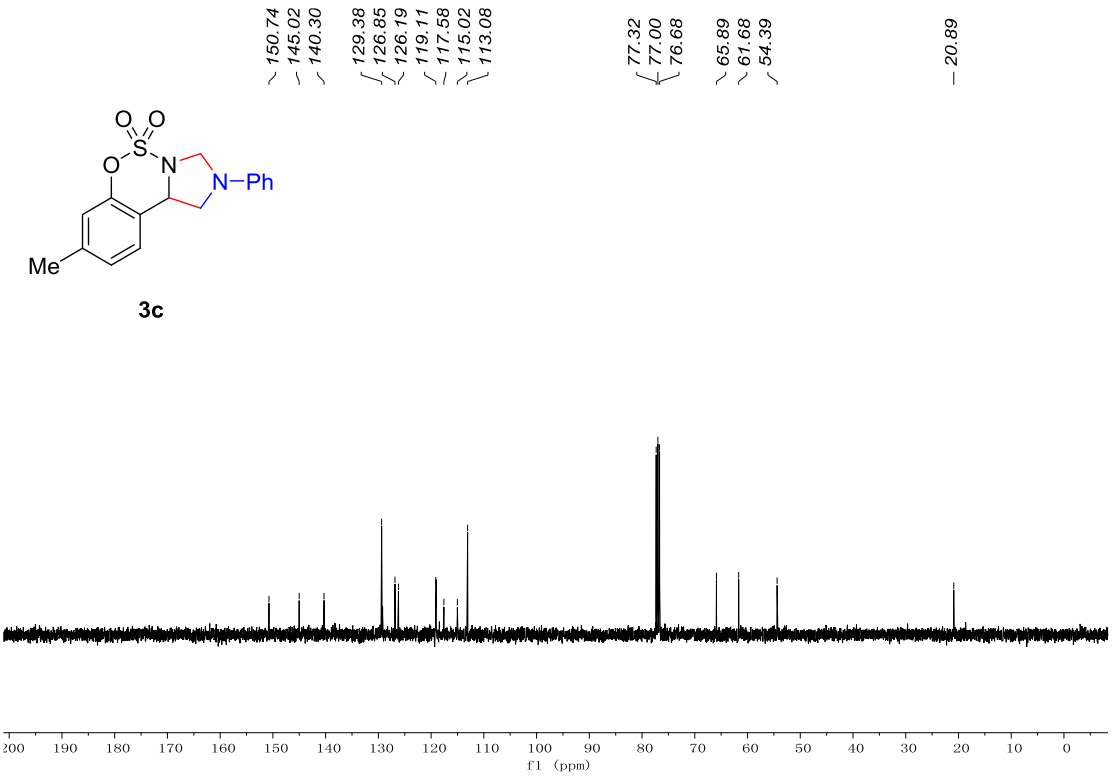
^{1}H NMR (400 MHz, $CDCl_3$)



3c

8-methyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3c**)

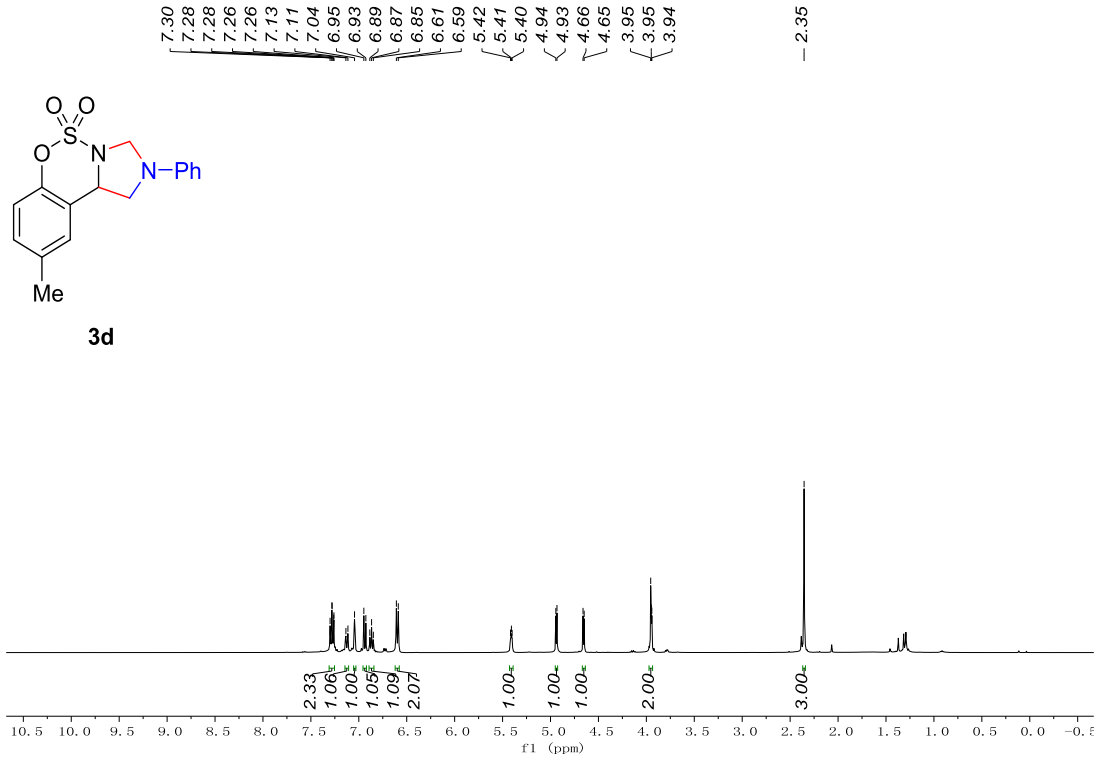
^{13}C NMR (101 MHz, $CDCl_3$)



3c

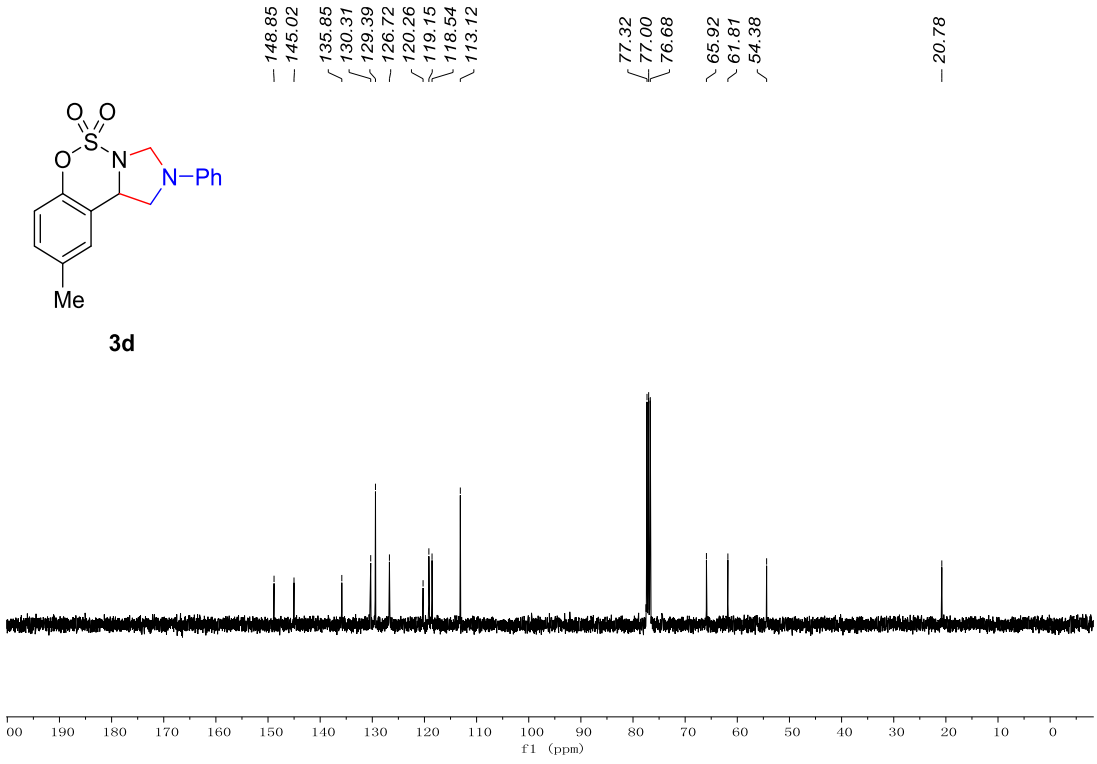
9-methyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3d**)

^{1}H NMR (400 MHz, $CDCl_3$)

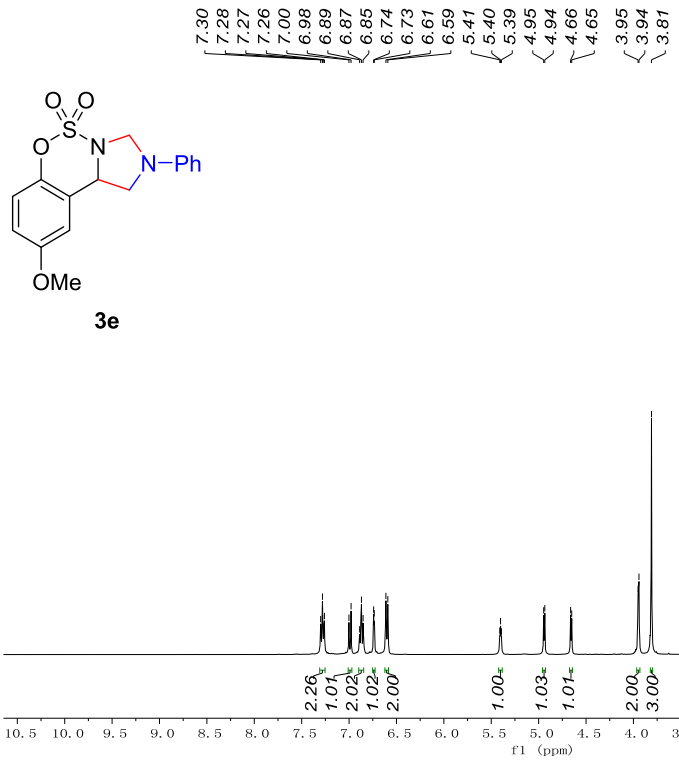


9-methyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3d**)

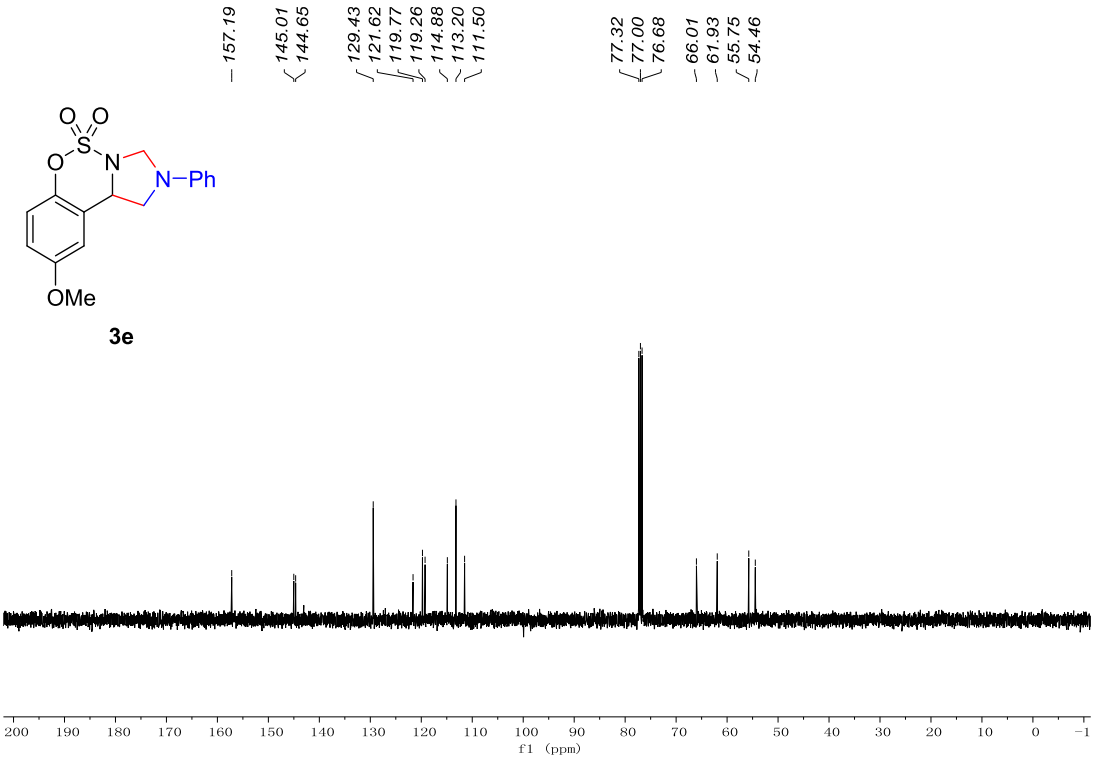
^{13}C NMR (101 MHz, $CDCl_3$)



9-methoxy-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3e**) ^{1}H NMR (400 MHz, $CDCl_3$)

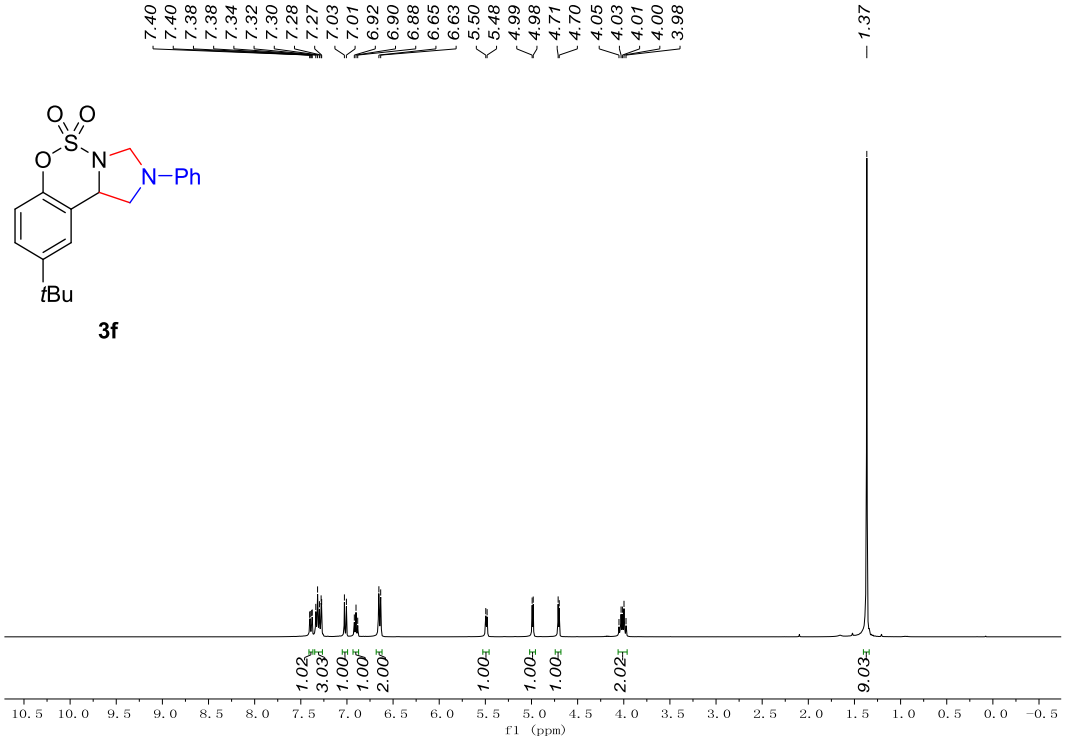


9-methoxy-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3e**) ^{13}C NMR (101 MHz, $CDCl_3$)



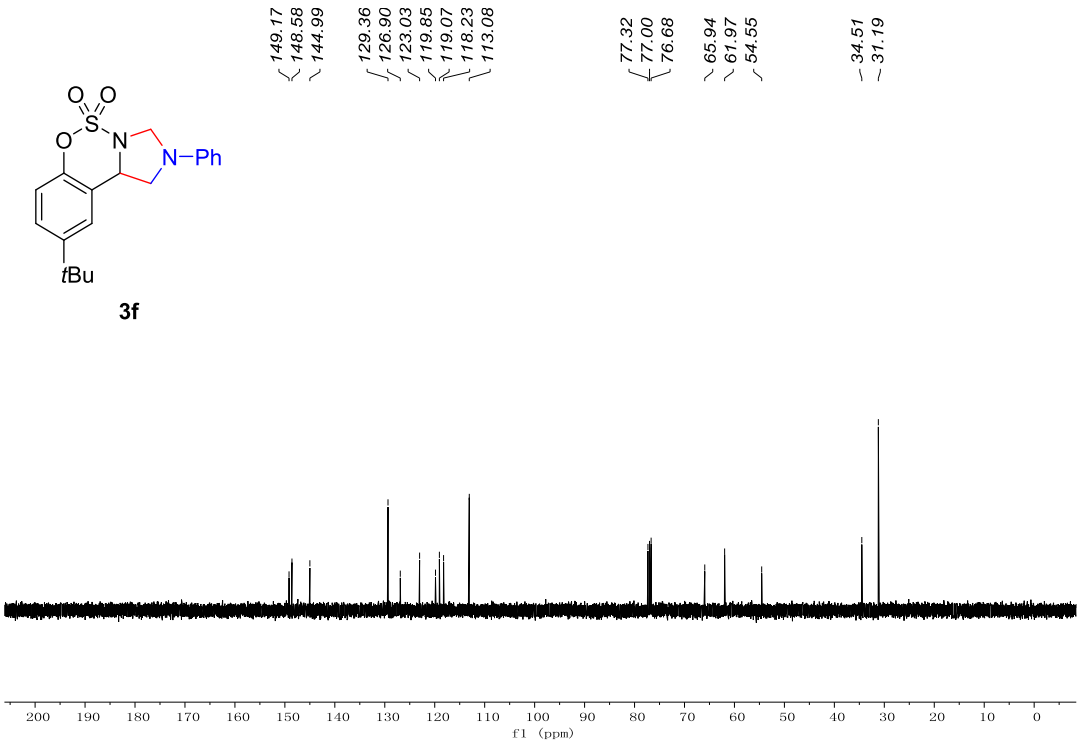
9-(tert-butyl)-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3f**)

^{1}H NMR (400 MHz, $CDCl_3$)



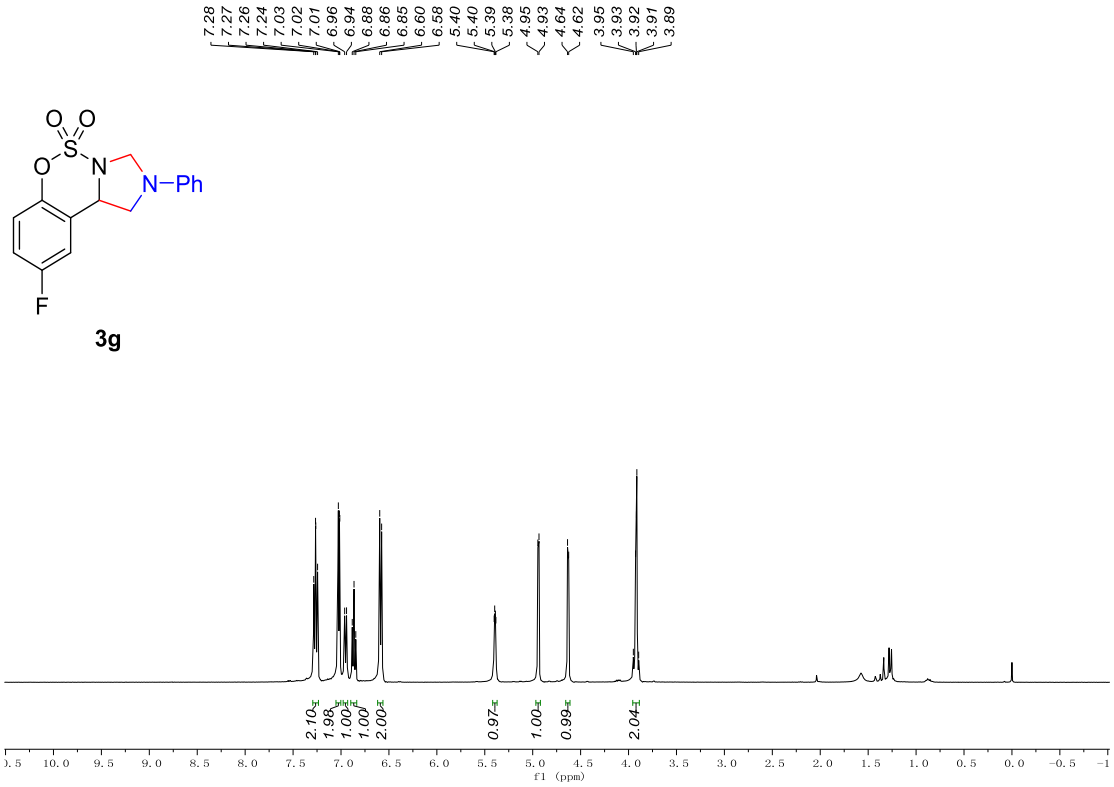
9-(tert-butyl)-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3f**)

^{13}C NMR (101 MHz, $CDCl_3$)



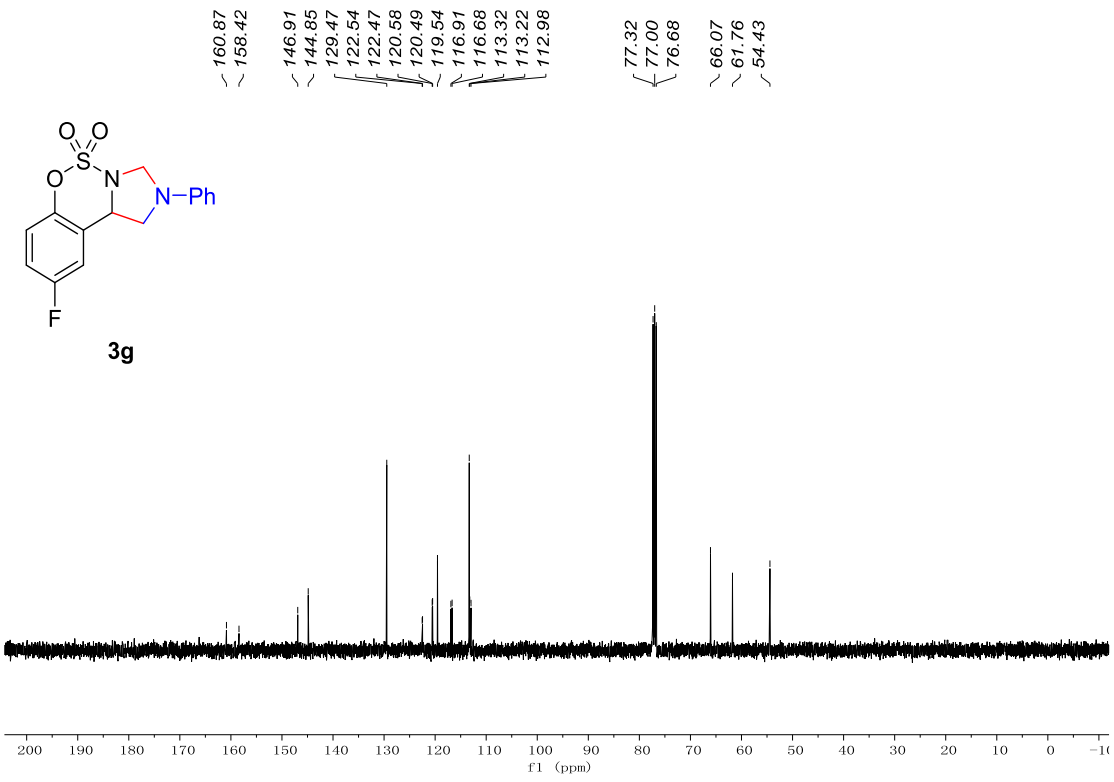
9-fluoro-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3g)**

^{1}H NMR (400 MHz, $CDCl_3$)



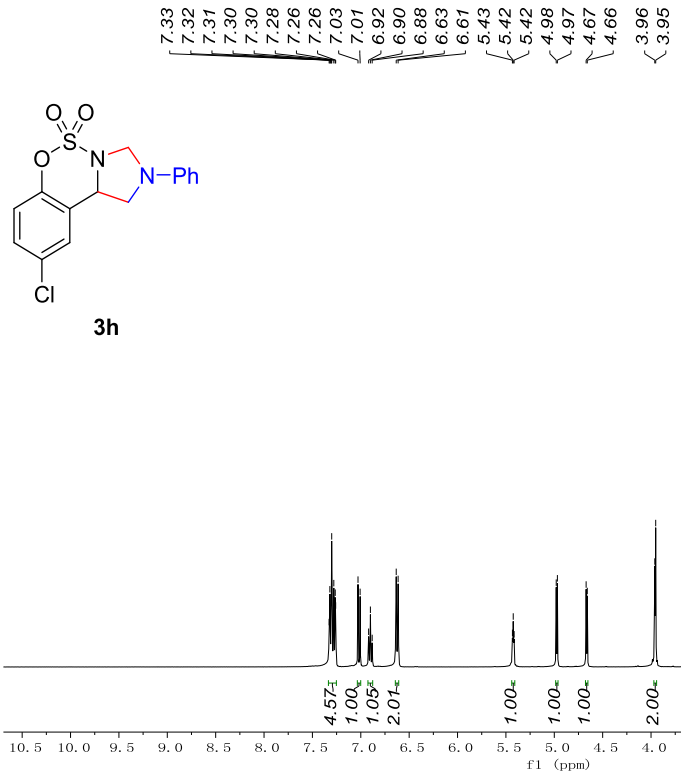
9-fluoro-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3g)**

^{13}C NMR (101 MHz, $CDCl_3$)



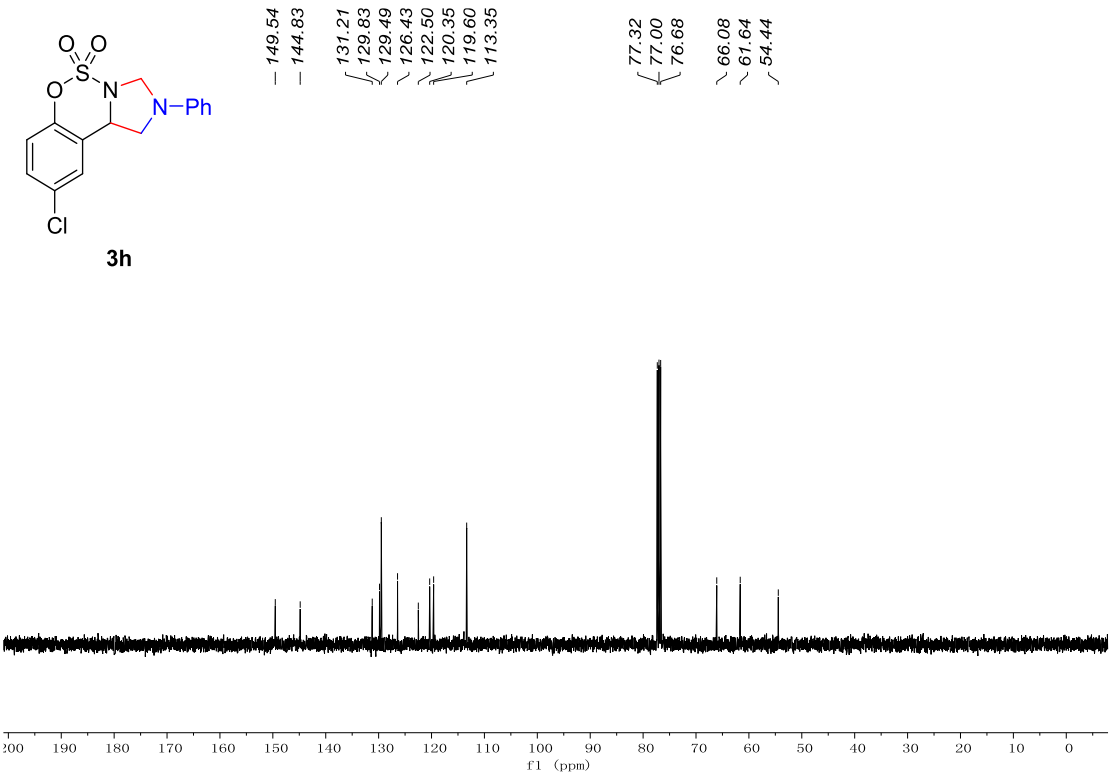
9-chloro-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3h**)

^{1}H NMR (400 MHz, $CDCl_3$)



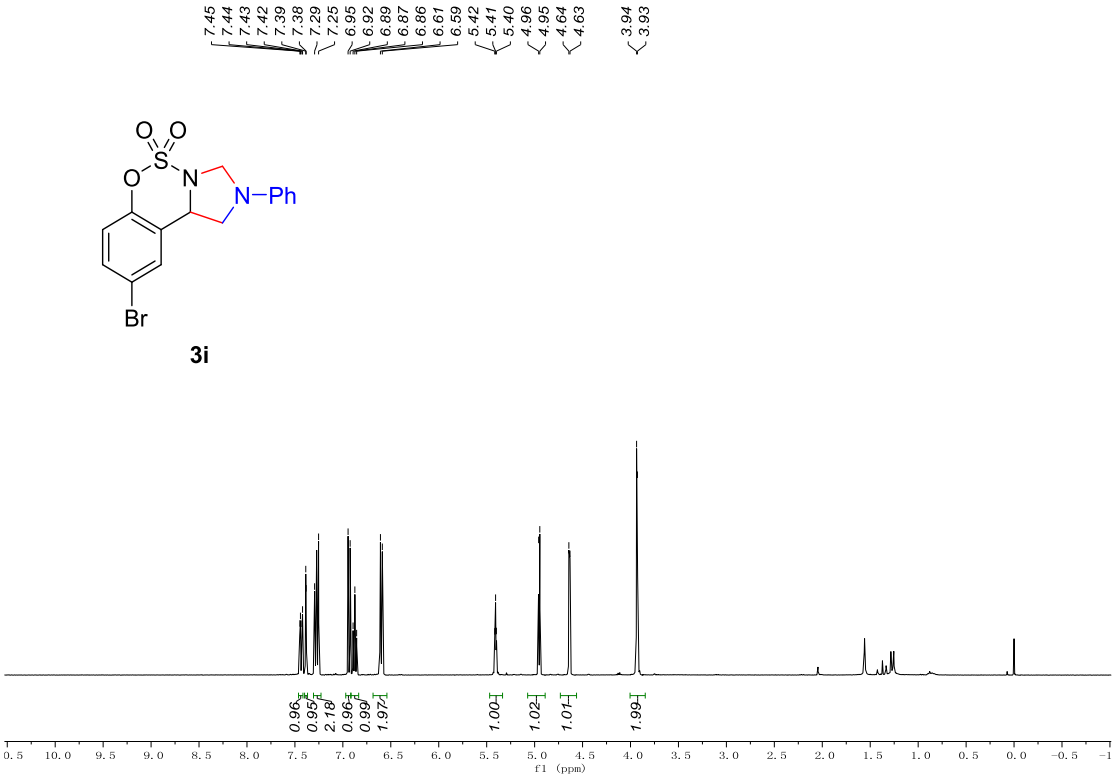
9-chloro-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3h**)

^{13}C NMR (101 MHz, $CDCl_3$)



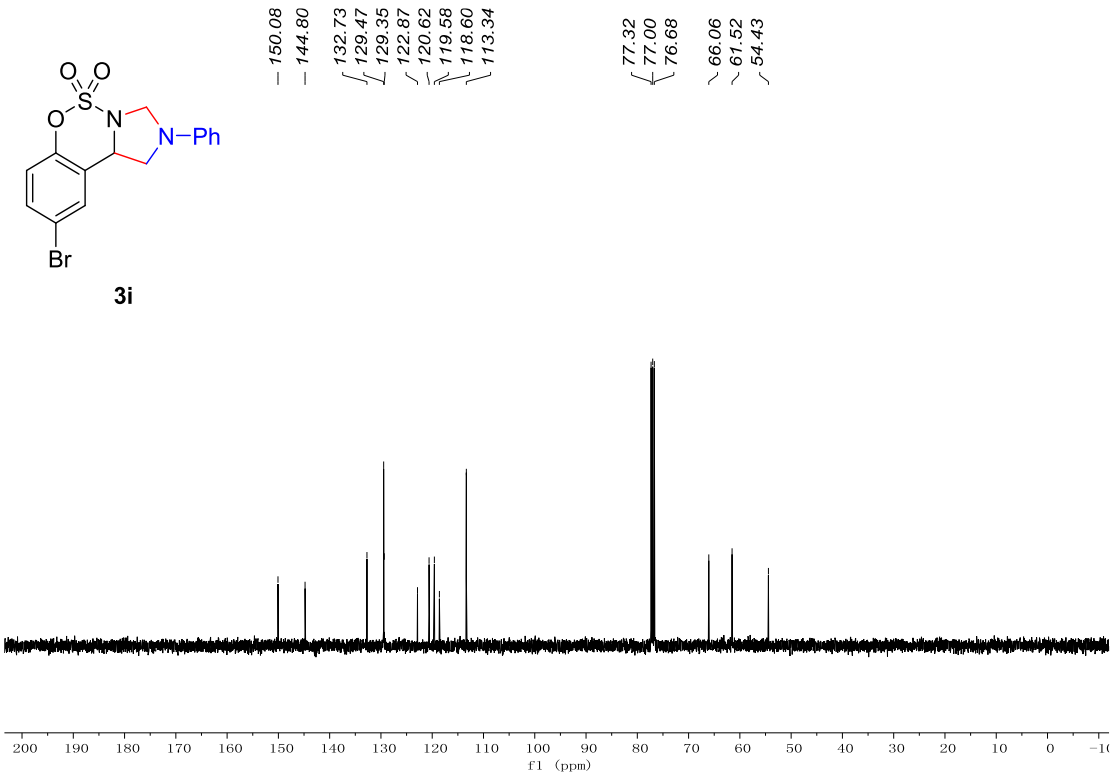
9-bromo-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3i**)

^{1}H NMR (400 MHz, $CDCl_3$)



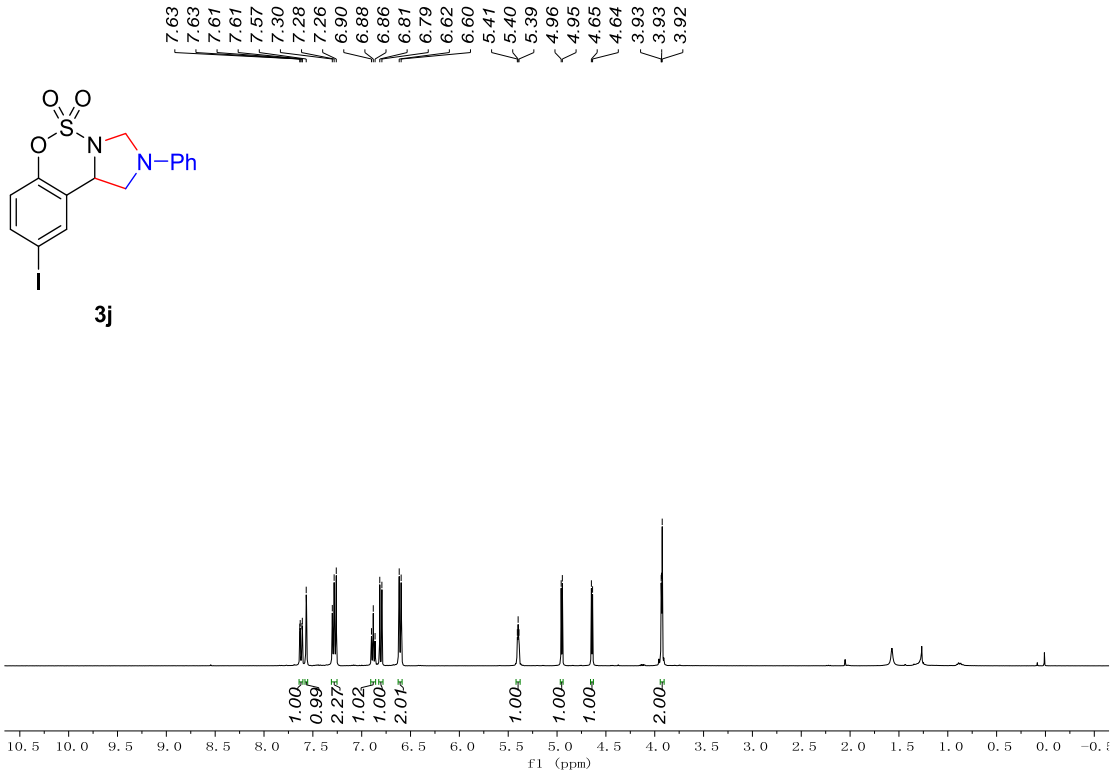
9-bromo-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3i**)

^{13}C NMR (101 MHz, $CDCl_3$)



9-iodo-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3j**)

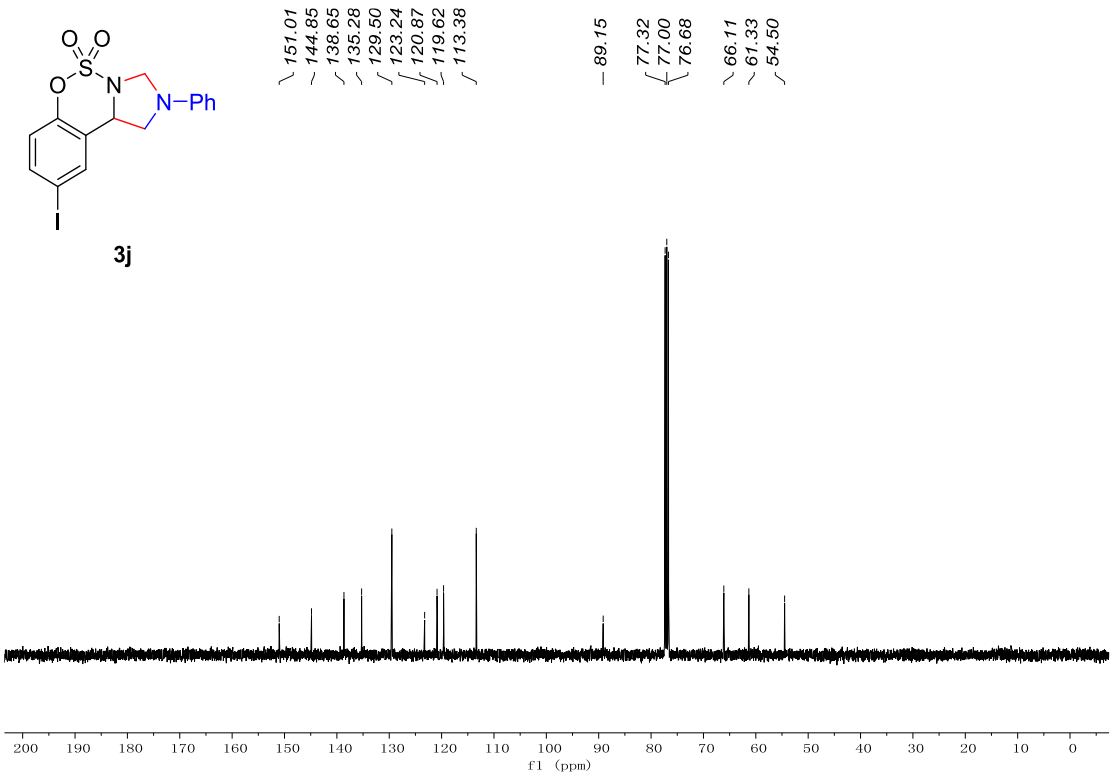
^{1}H NMR (400 MHz, $CDCl_3$)



3j

9-iodo-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3j**)

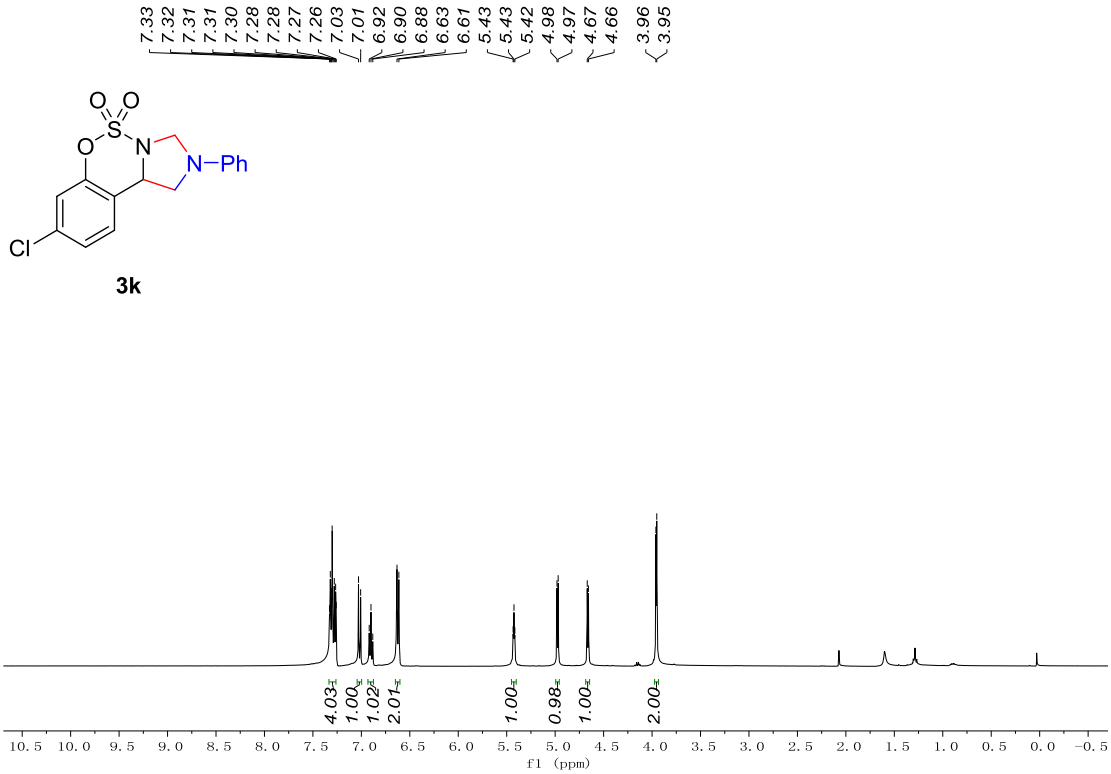
^{13}C NMR (101 MHz, $CDCl_3$)



3j

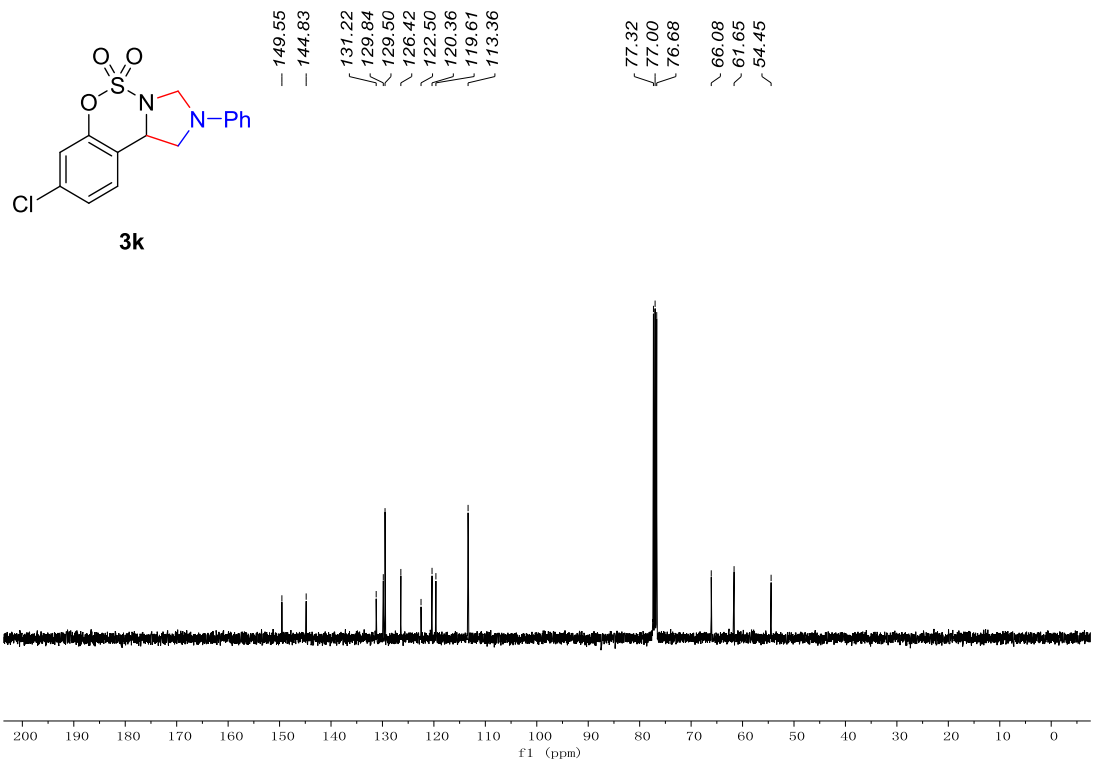
8-chloro-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3k**)

^{1}H NMR (400 MHz, $CDCl_3$)



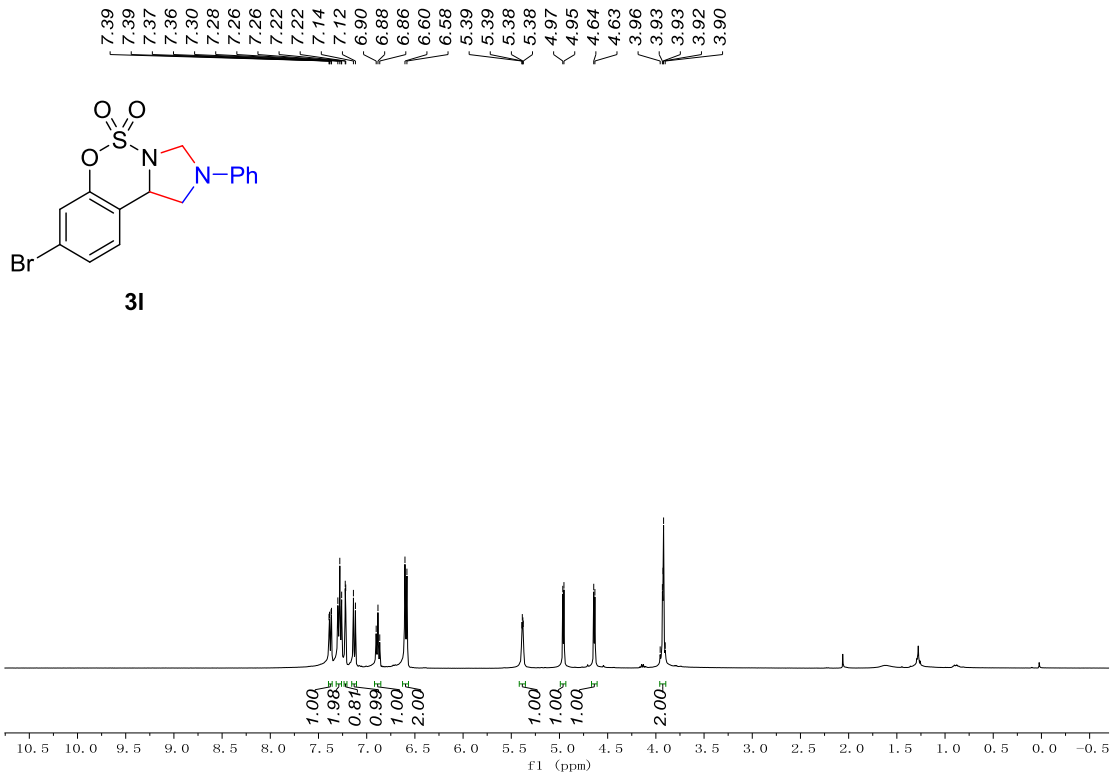
8-chloro-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3k**)

^{13}C NMR (101 MHz, $CDCl_3$)



8-bromo-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3l**)

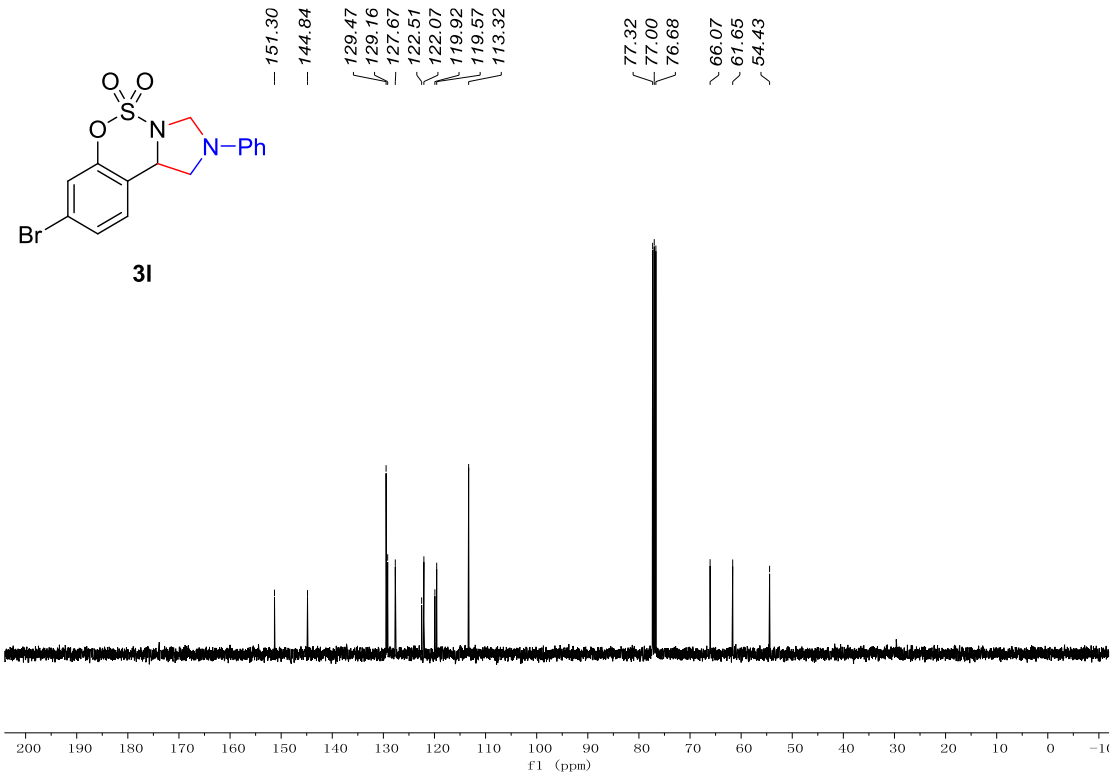
^{1}H NMR (400 MHz, $CDCl_3$)



3l

8-bromo-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3l**)

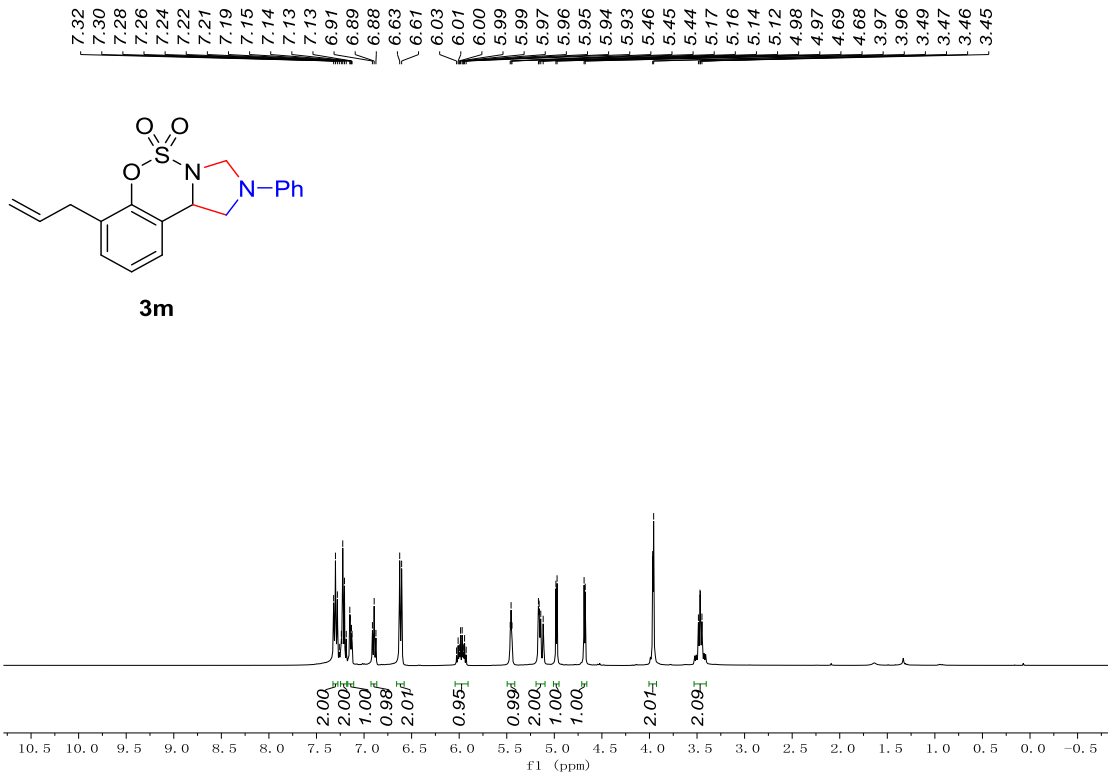
^{13}C NMR (101 MHz, $CDCl_3$)



3l

7-allyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3m**)

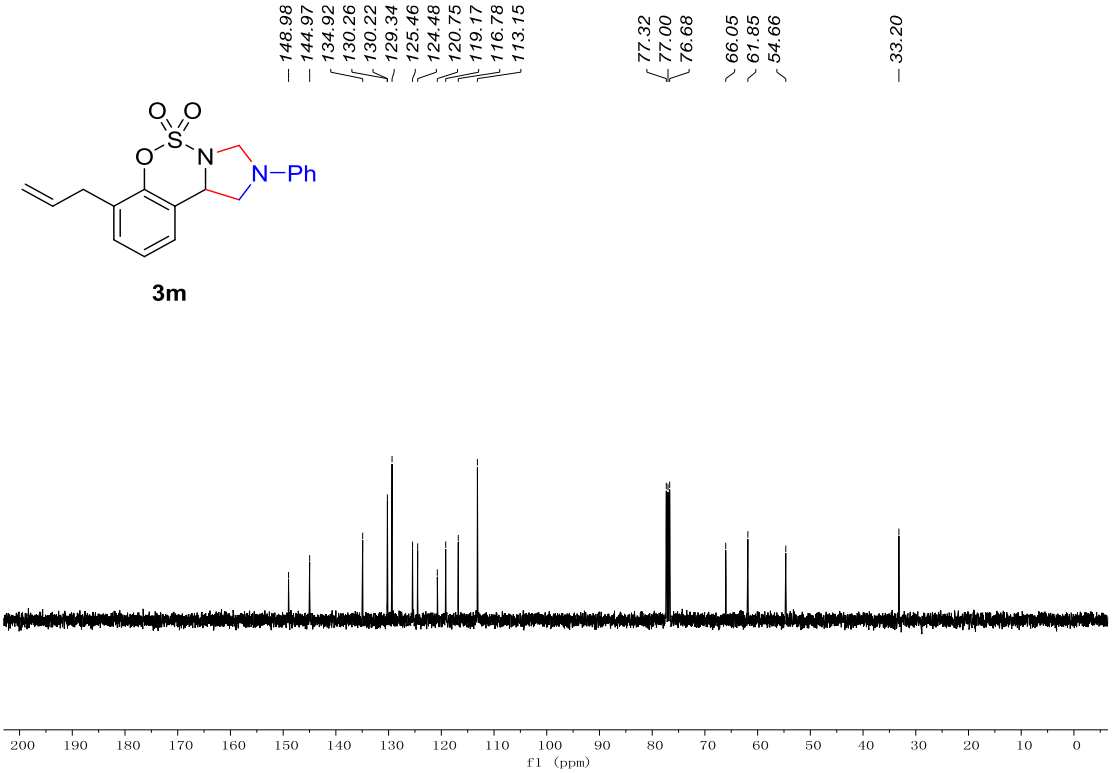
^{1}H NMR (400 MHz, $CDCl_3$)



3m

7-allyl-2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**3m**)

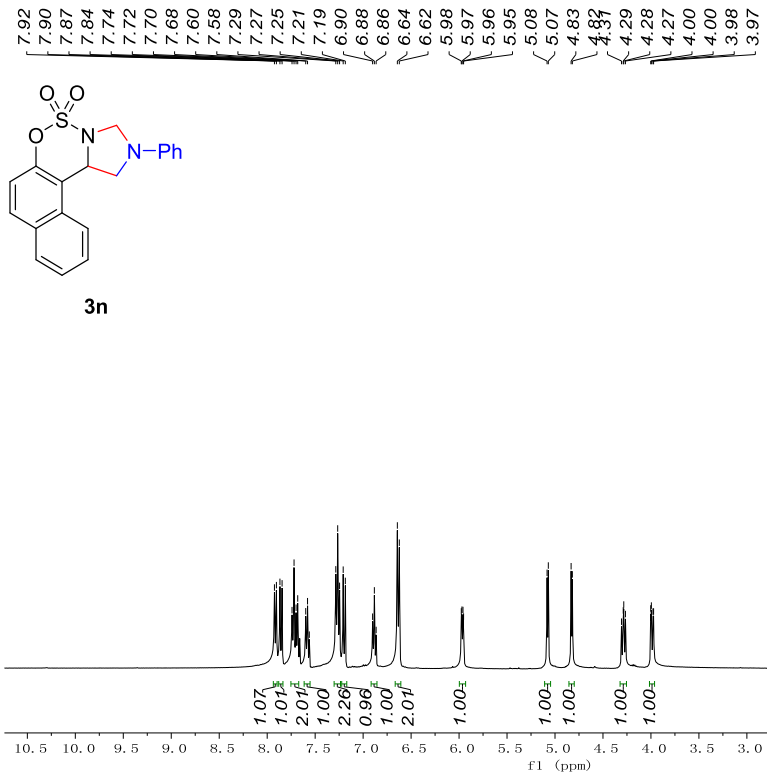
^{13}C NMR (101 MHz, $CDCl_3$)



3m

2-phenyl-1,2,3,12c-tetrahydroimidazo[1,5-c]naphtho[1,2-e][1,2,3]oxathiazine 5,5-dioxide (**3n**)

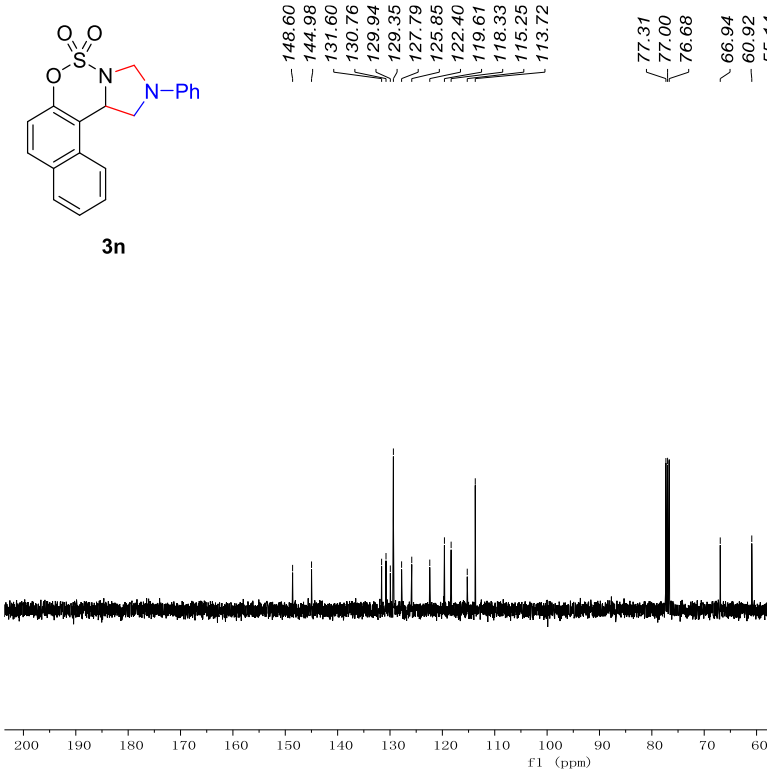
^{1}H NMR (400 MHz, $CDCl_3$)



3n

2-phenyl-1,2,3,12c-tetrahydroimidazo[1,5-c]naphtho[1,2-e][1,2,3]oxathiazine 5,5-dioxide (**3n**)

^{13}C NMR (101 MHz, $CDCl_3$)

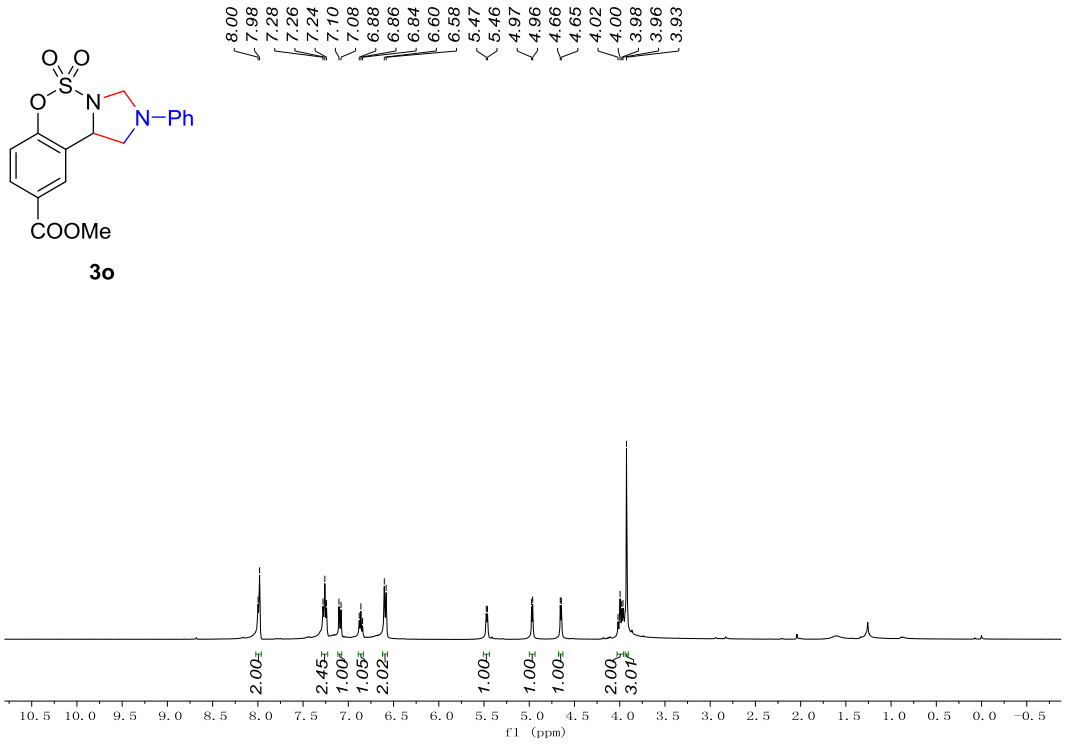


3n

methyl 2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine-9-carboxylate 5,5-

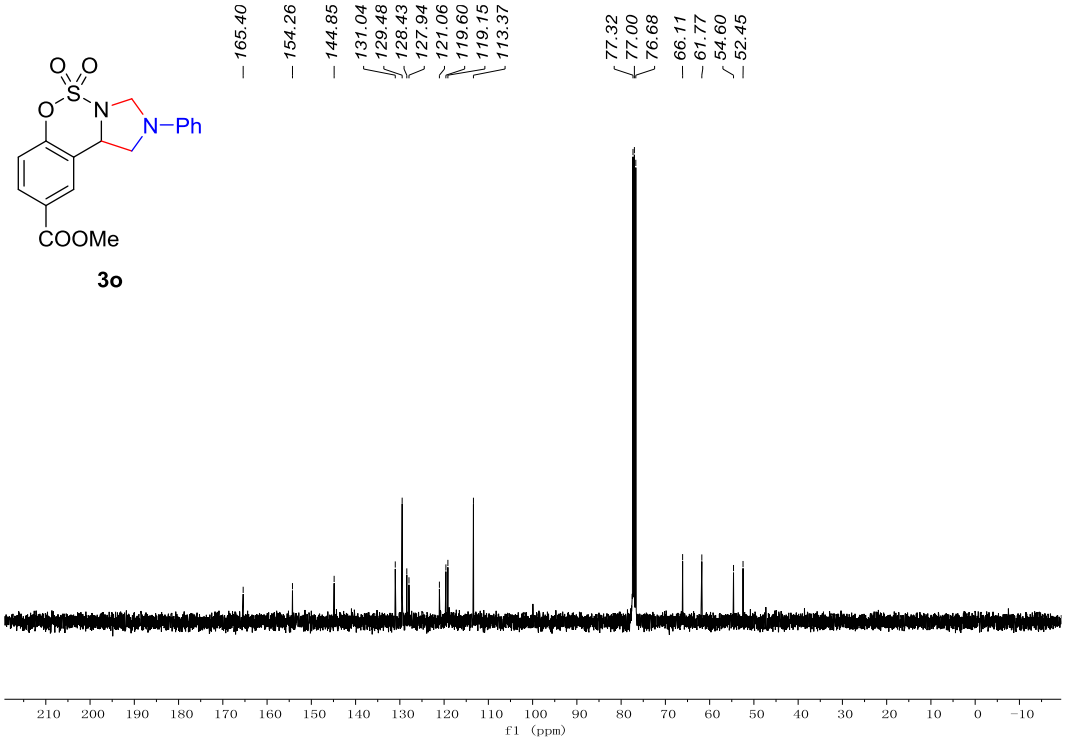
dioxide (**3o**)

^{1}H NMR (400 MHz, $CDCl_3$)



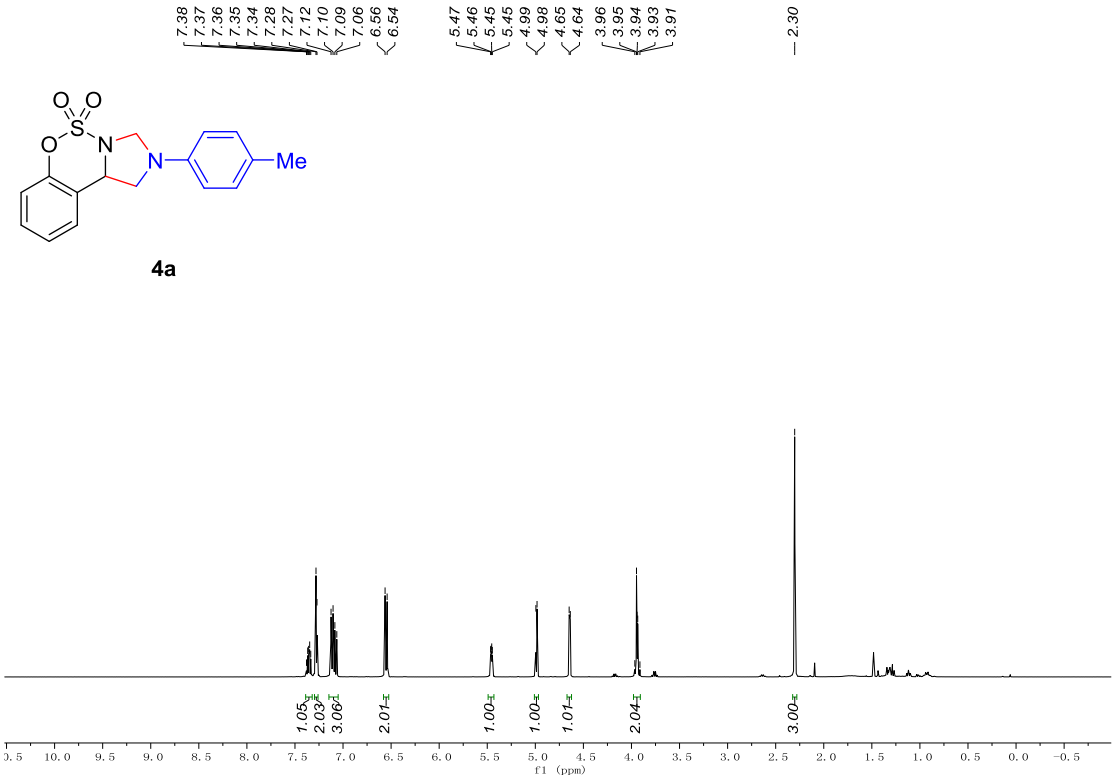
methyl 2-phenyl-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine-9-carboxylate 5,5-dioxide (**3o**)

^{13}C NMR (101 MHz, $CDCl_3$)



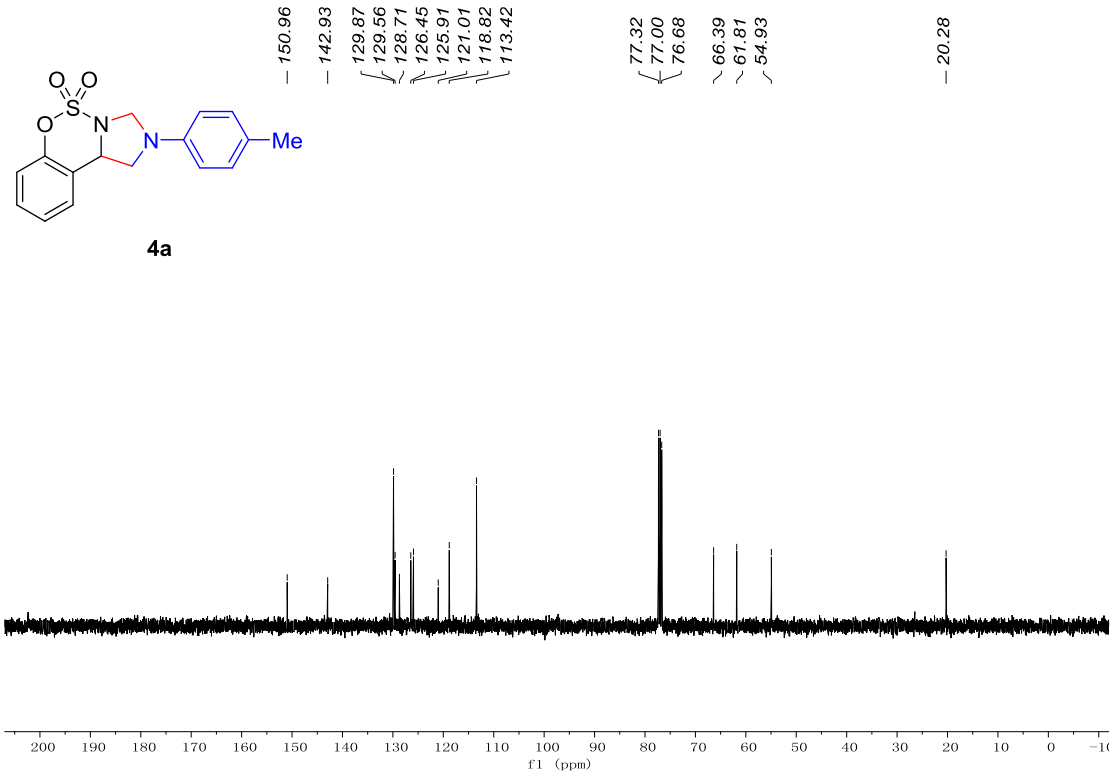
2-(p-tolyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4a**)

^{1}H NMR (400 MHz, $CDCl_3$)



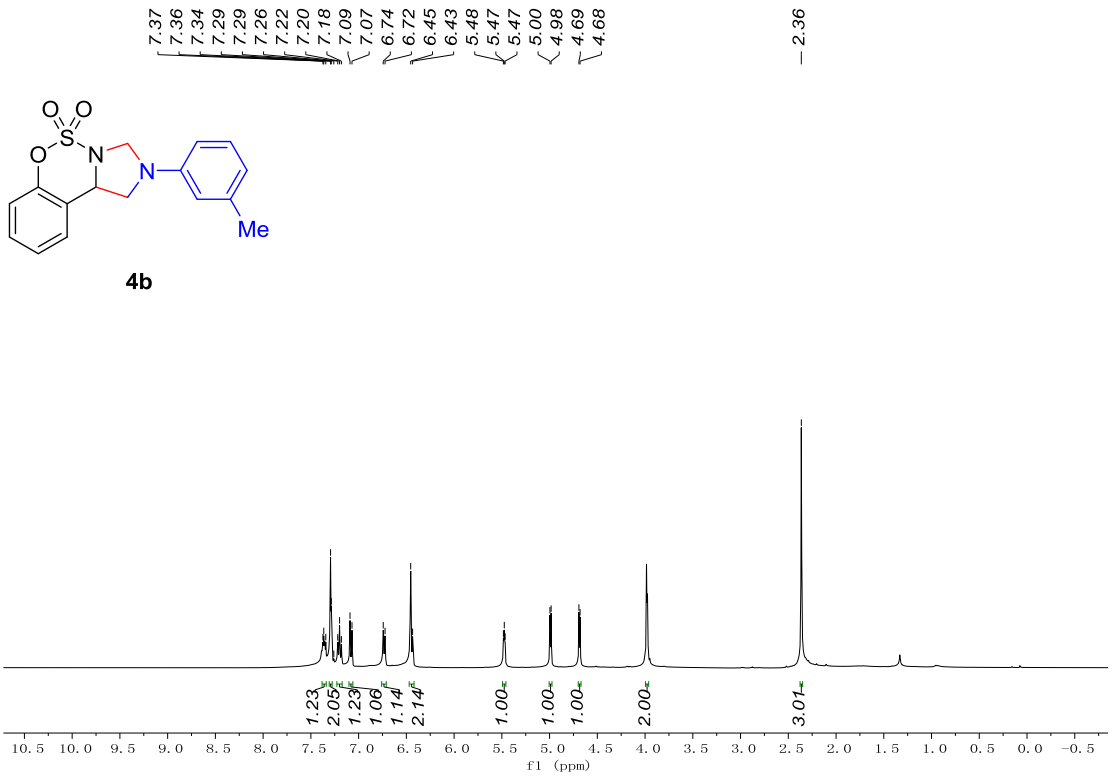
2-(p-tolyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4a**)

^{13}C NMR (101 MHz, $CDCl_3$)



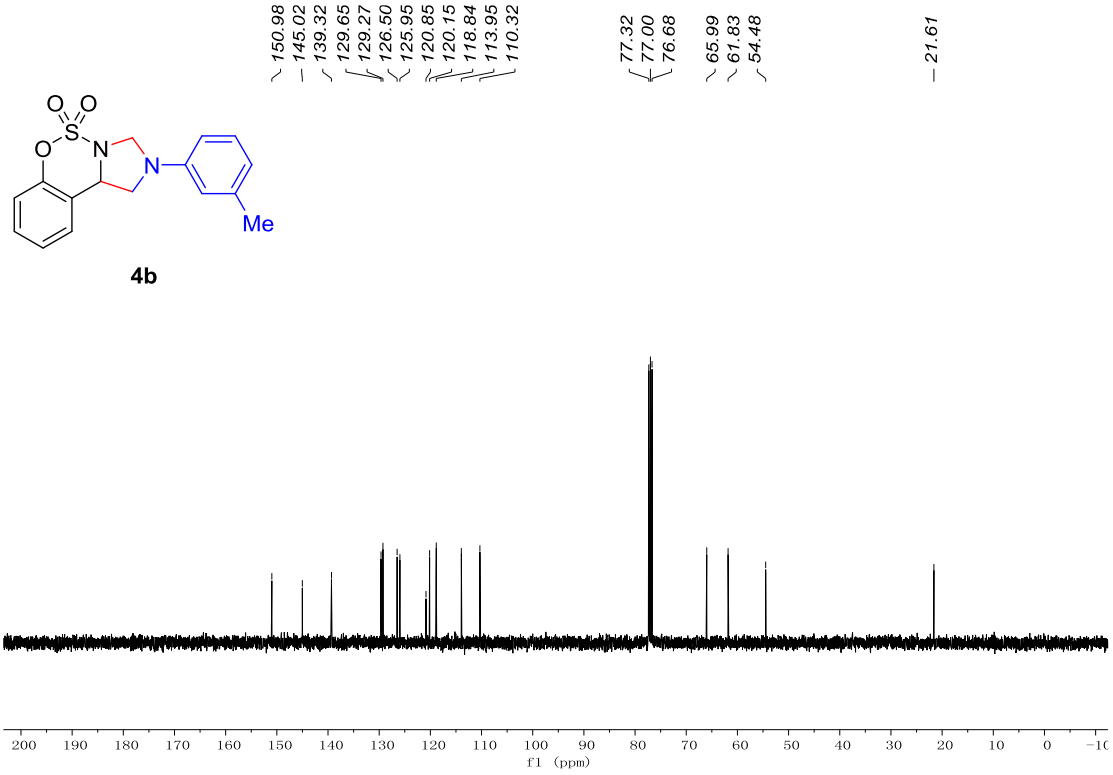
2-(m-tolyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4b**)

^{1}H NMR (400 MHz, $CDCl_3$)



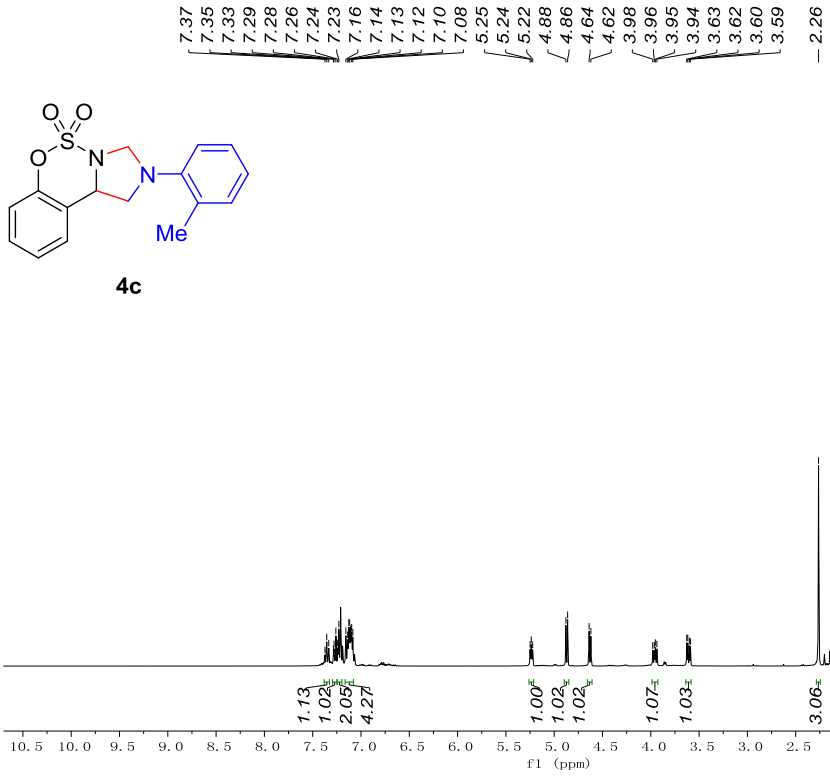
2-(m-tolyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4b**)

^{13}C NMR (101 MHz, $CDCl_3$)



2-(o-tolyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4c**)

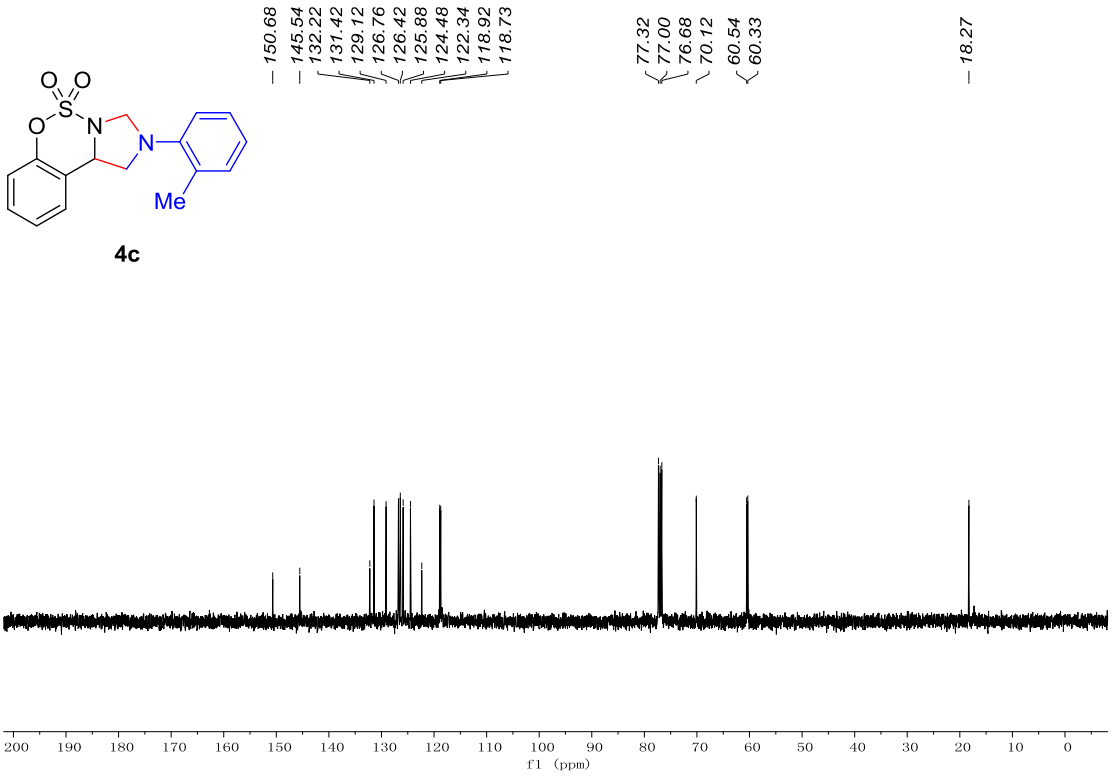
^{1}H NMR (400 MHz, $CDCl_3$)



4c

2-(o-tolyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4c**)

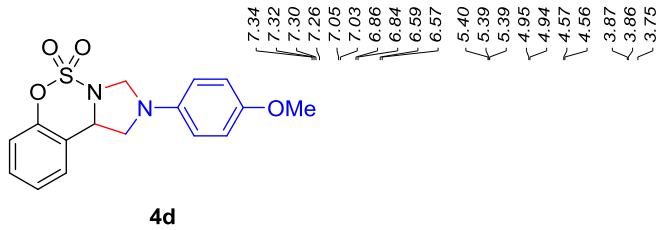
^{13}C NMR (101 MHz, $CDCl_3$)



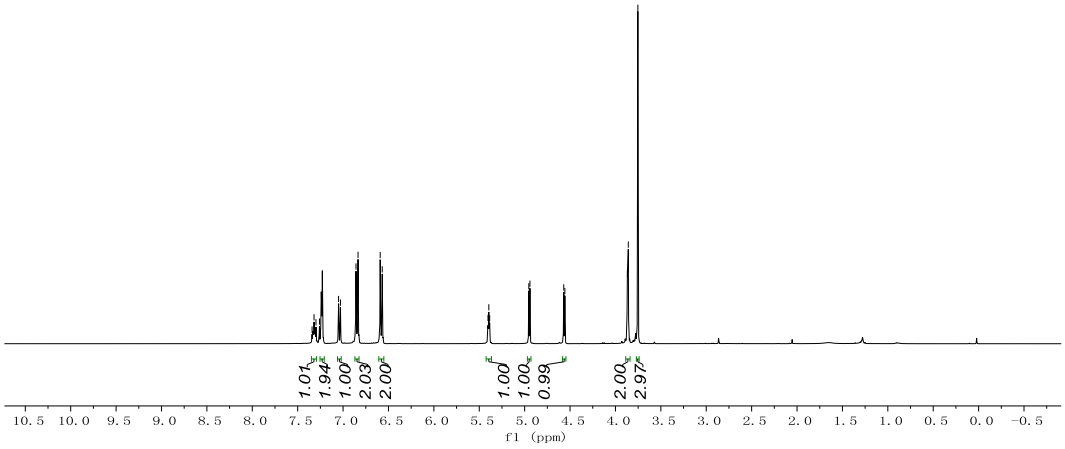
4c

2-(4-methoxyphenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4d**)

^{1}H NMR (400 MHz, $CDCl_3$)

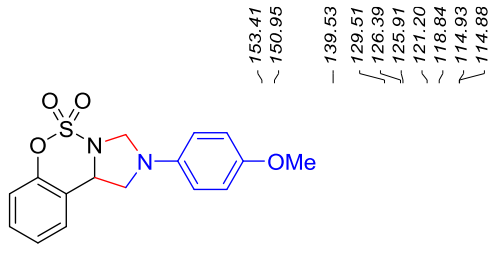


4d

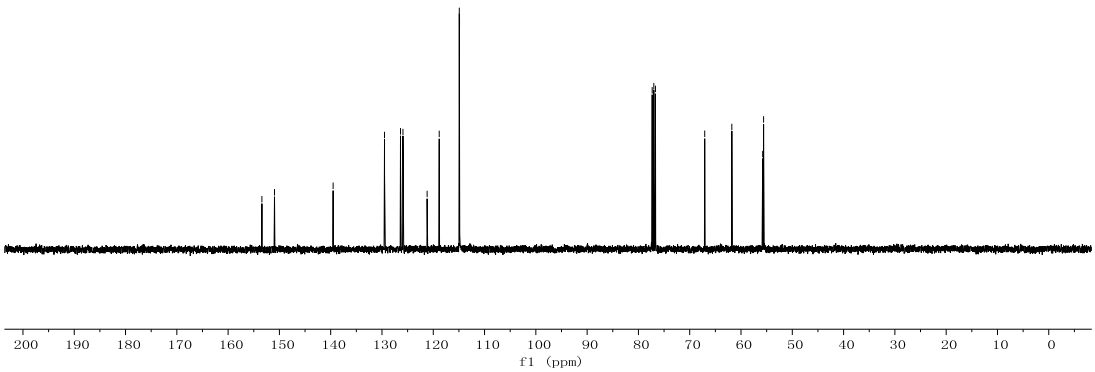


2-(4-methoxyphenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4d**)

^{13}C NMR (101 MHz, $CDCl_3$)

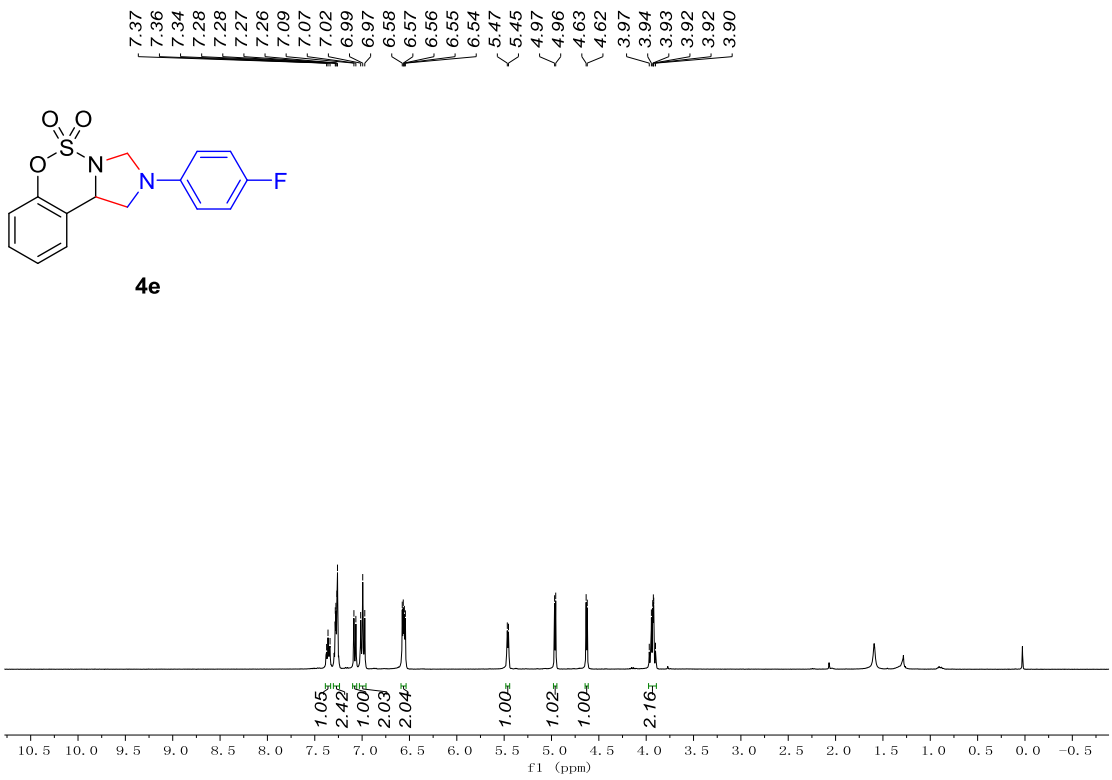


4d



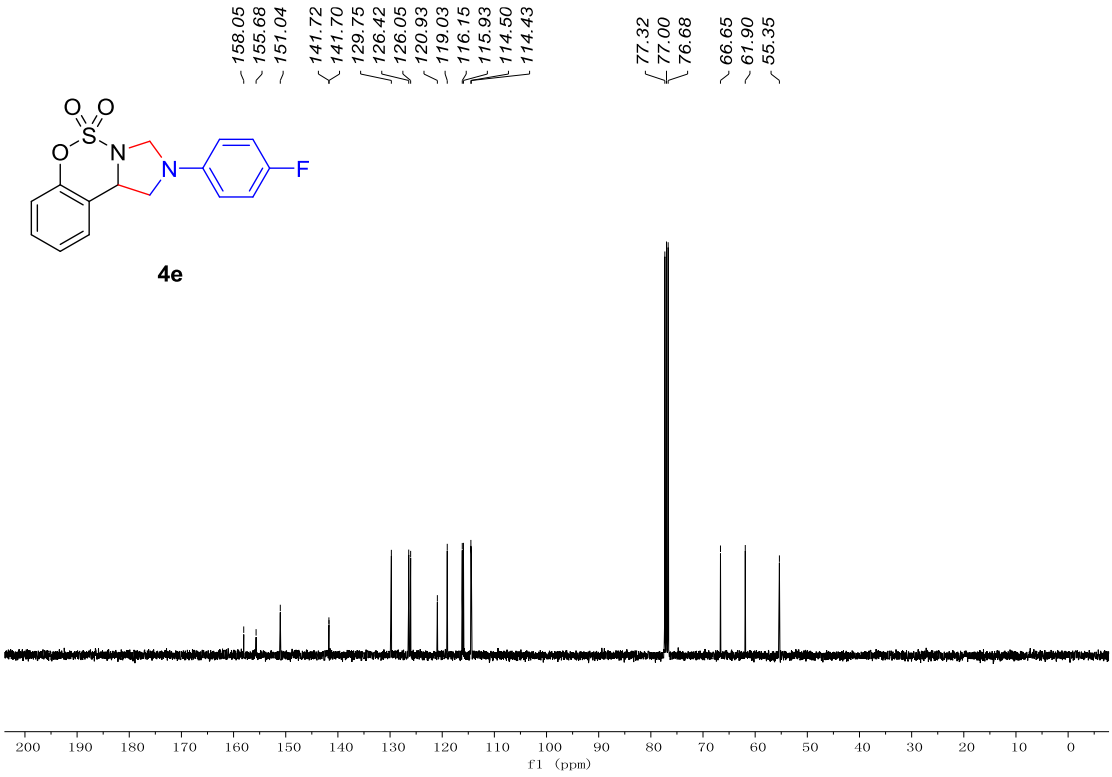
2-(4-fluorophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4e**)

^{1}H NMR (400 MHz, $CDCl_3$)



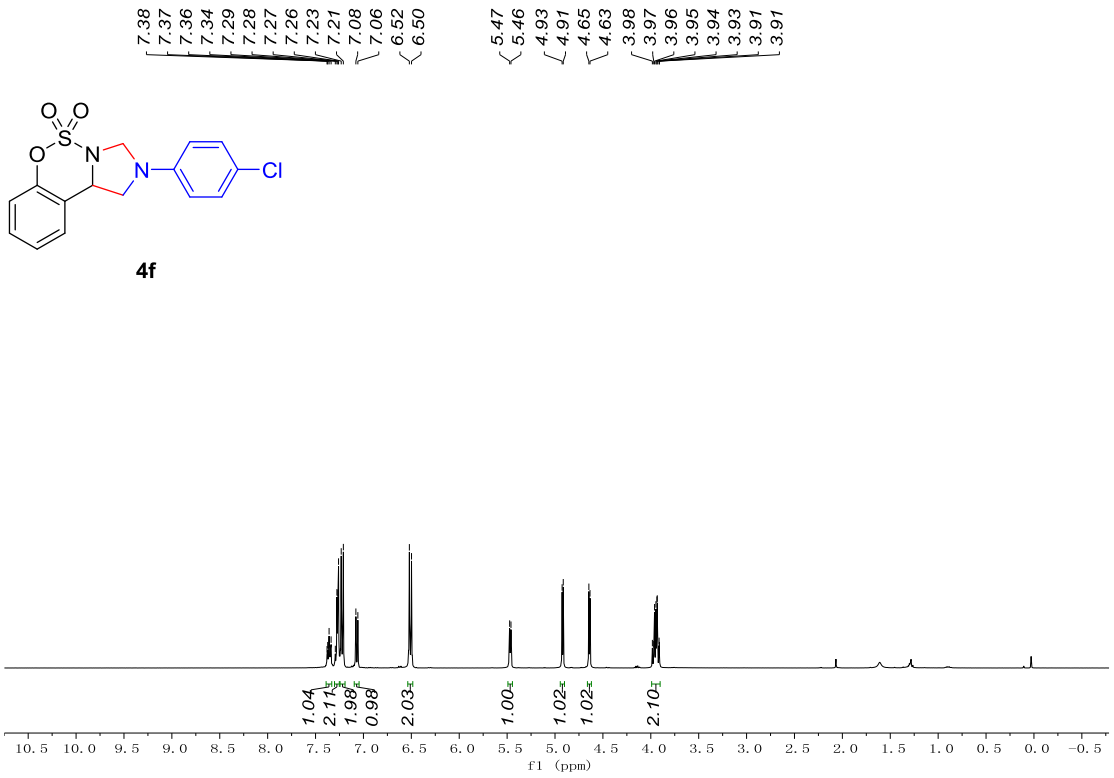
2-(4-fluorophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4e**)

^{13}C NMR (101 MHz, $CDCl_3$)



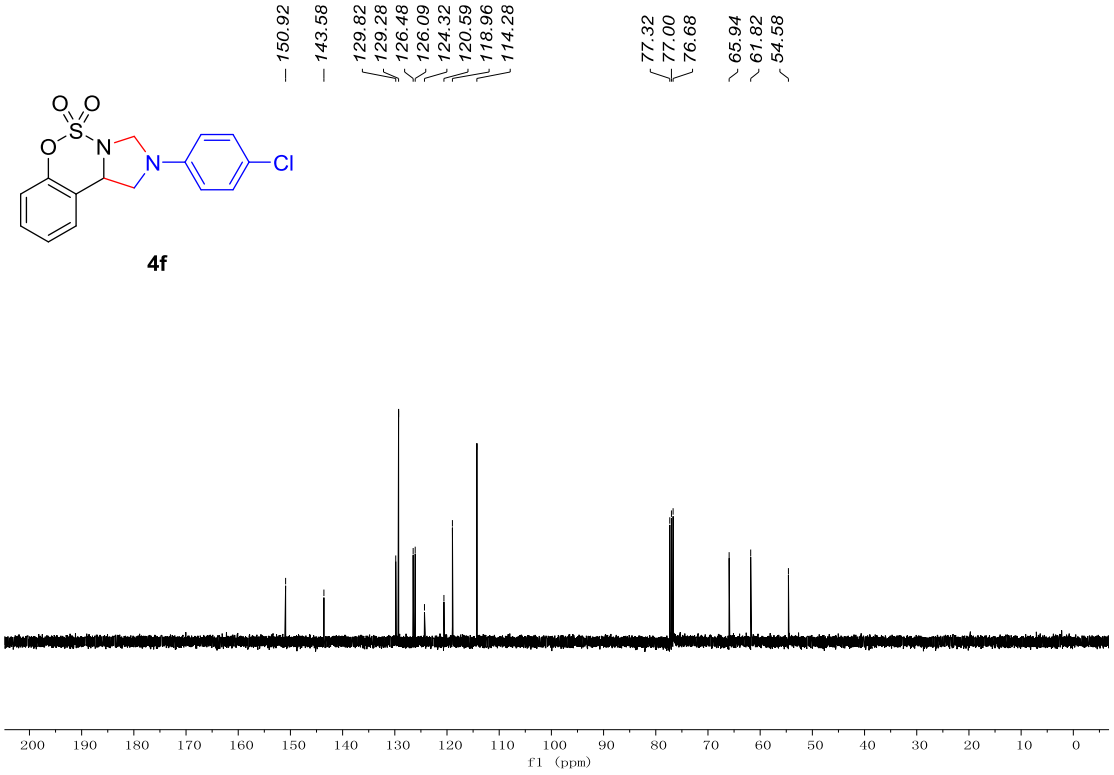
2-(4-chlorophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4f**)

^{1}H NMR (400 MHz, $CDCl_3$)



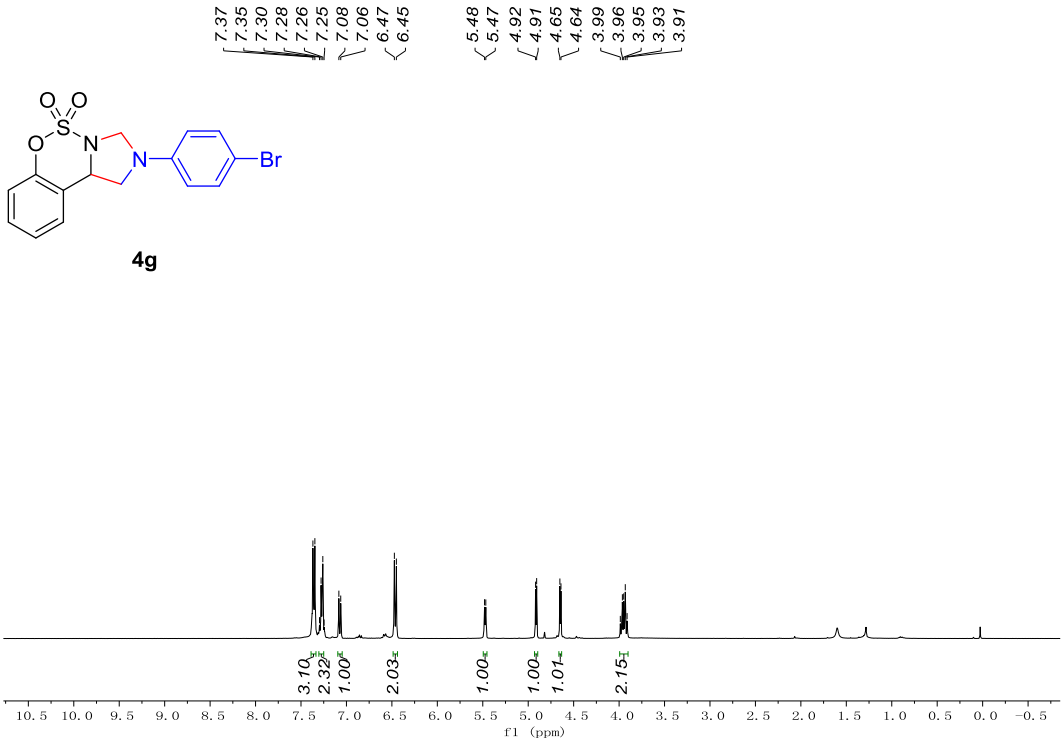
2-(4-chlorophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4f**)

^{13}C NMR (101 MHz, $CDCl_3$)



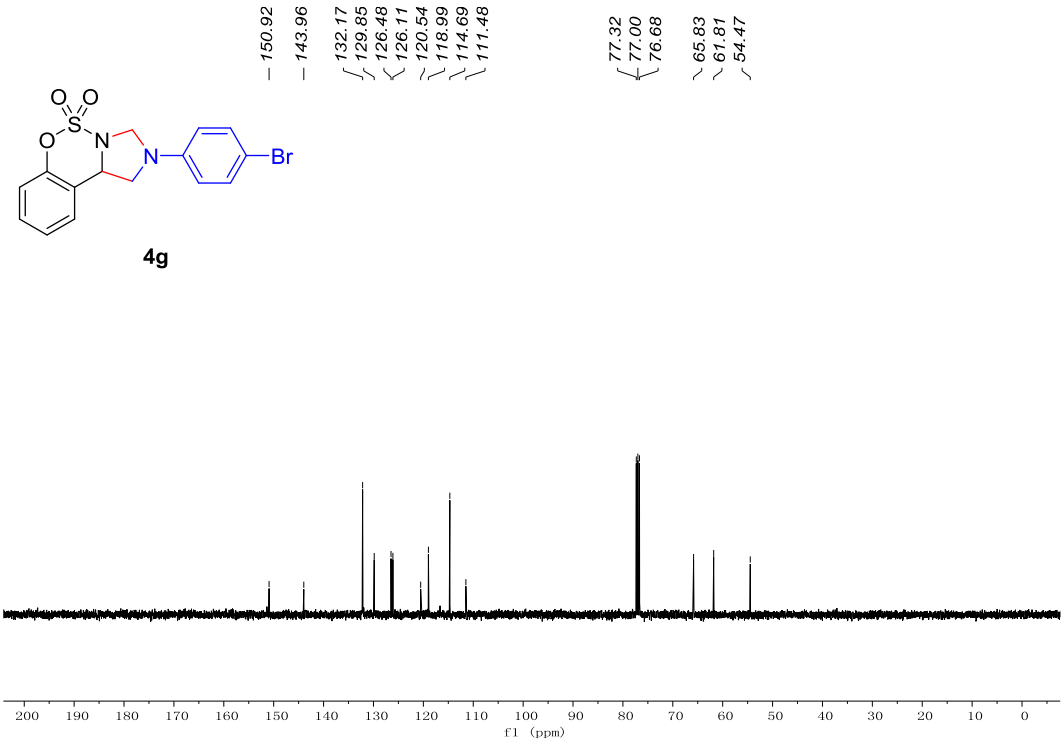
2-(4-bromophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4g**)

^{1}H NMR (400 MHz, $CDCl_3$)



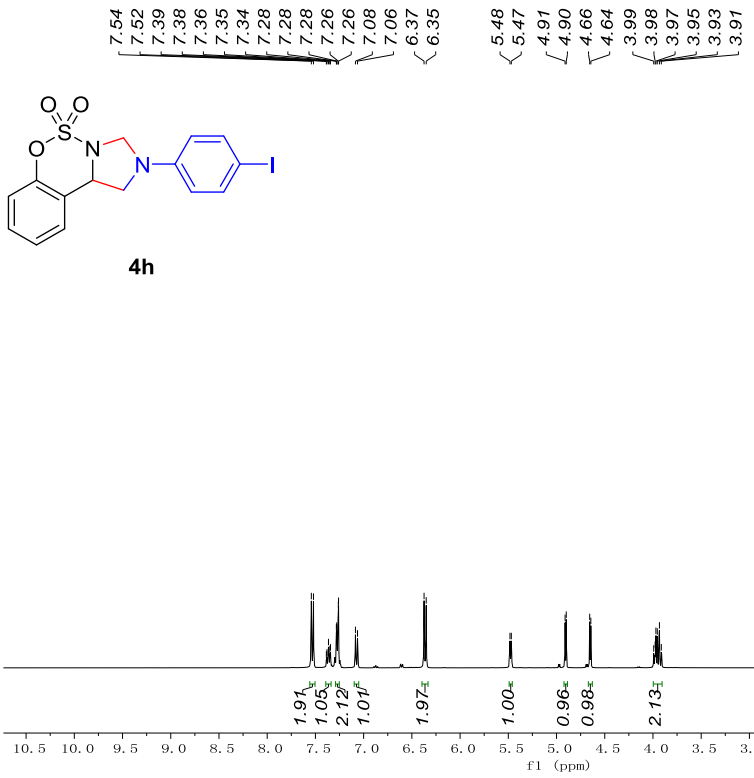
2-(4-bromophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4g**)

^{13}C NMR (101 MHz, $CDCl_3$)



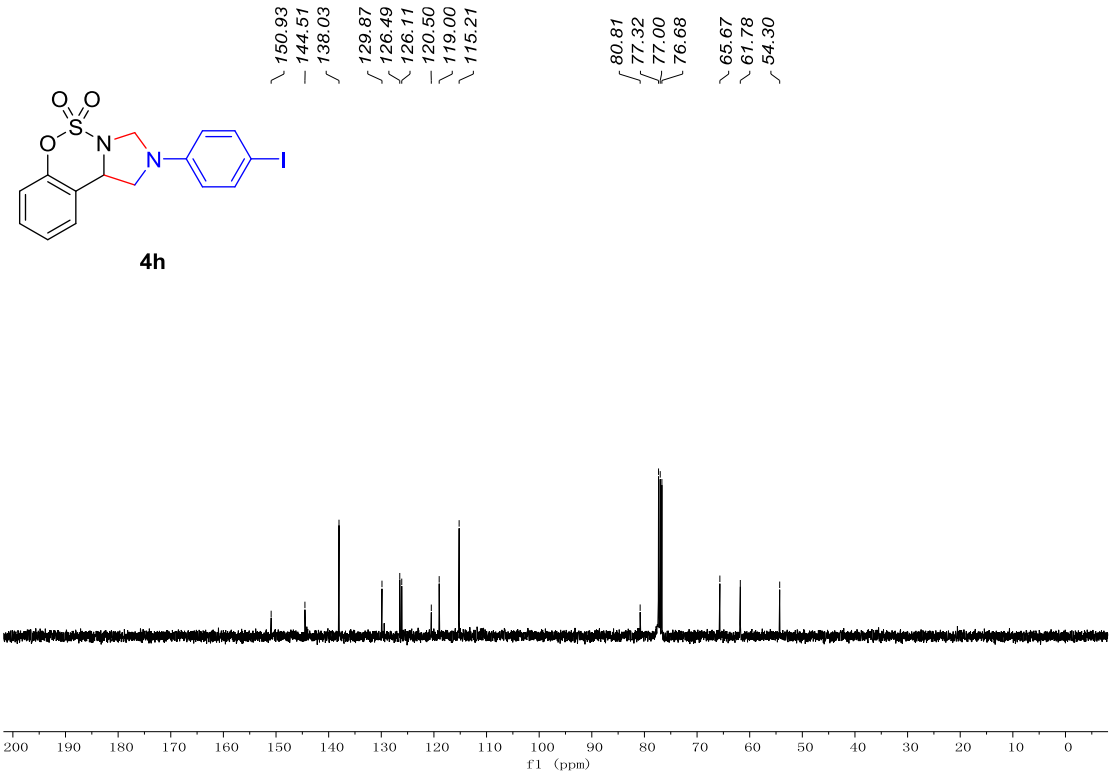
2-(4-iodophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4h**)

^{1}H NMR (400 MHz, $CDCl_3$)

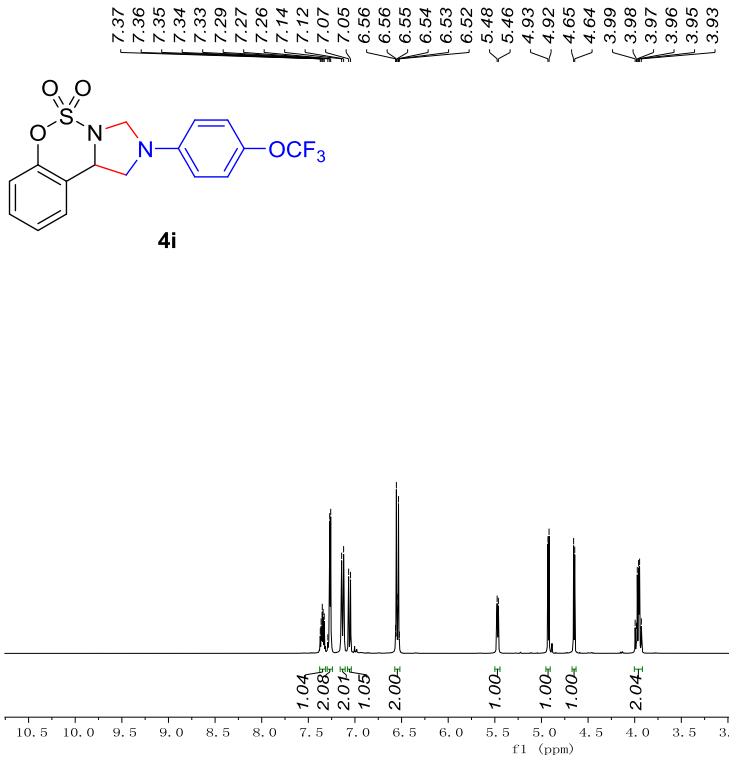


2-(4-iodophenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4h**)

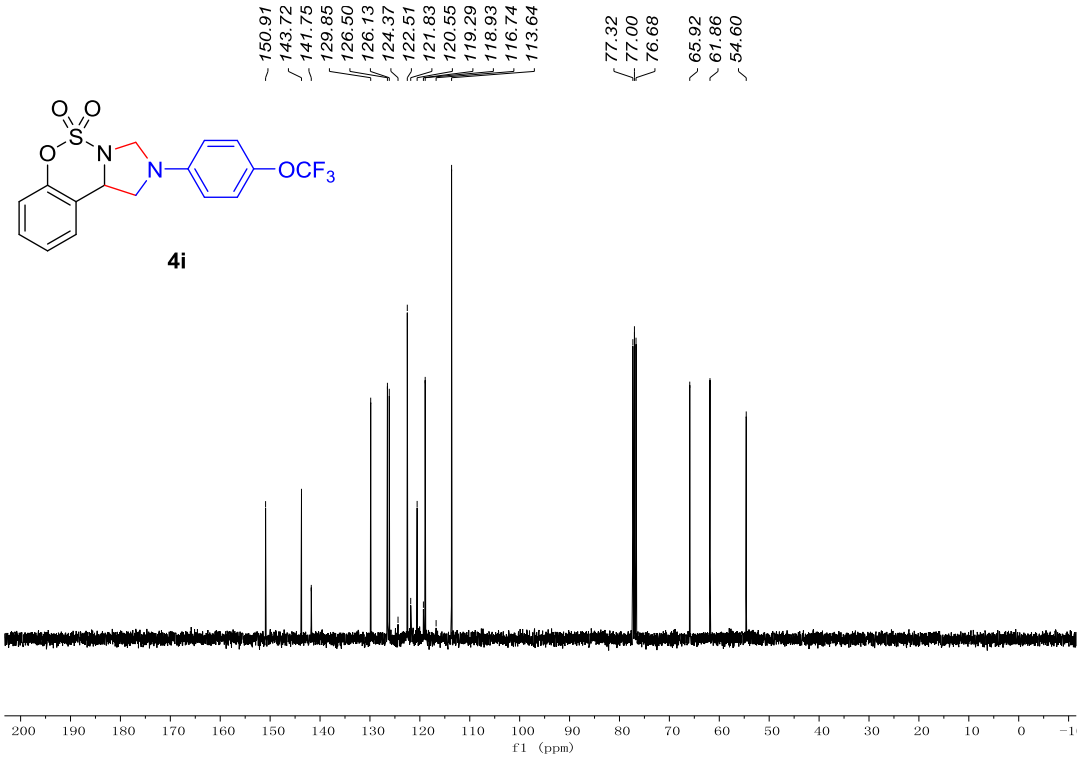
^{13}C NMR (101 MHz, $CDCl_3$)



2-(4-(trifluoromethoxy)phenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4i**) ^{1}H NMR (400 MHz, $CDCl_3$)

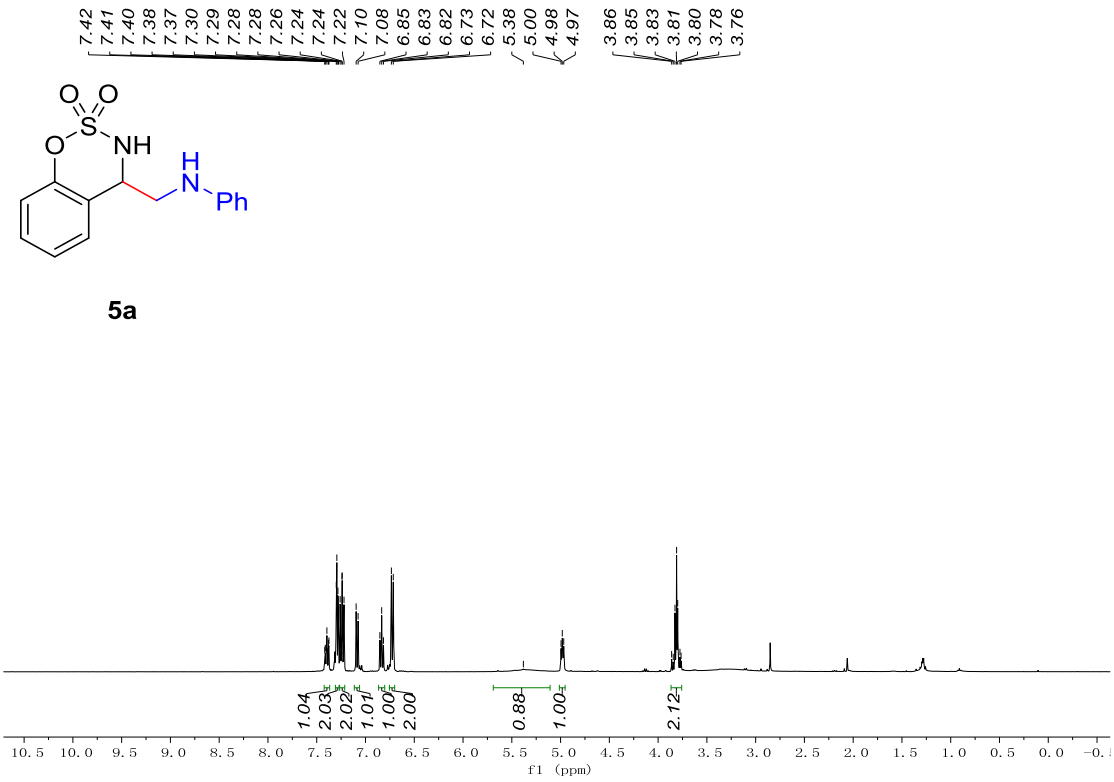


2-(4-(trifluoromethoxy)phenyl)-1,2,3,10b-tetrahydrobenzo[e]imidazo[1,5-c][1,2,3]oxathiazine 5,5-dioxide (**4i**) ^{13}C NMR (101 MHz, $CDCl_3$)



4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5a**)

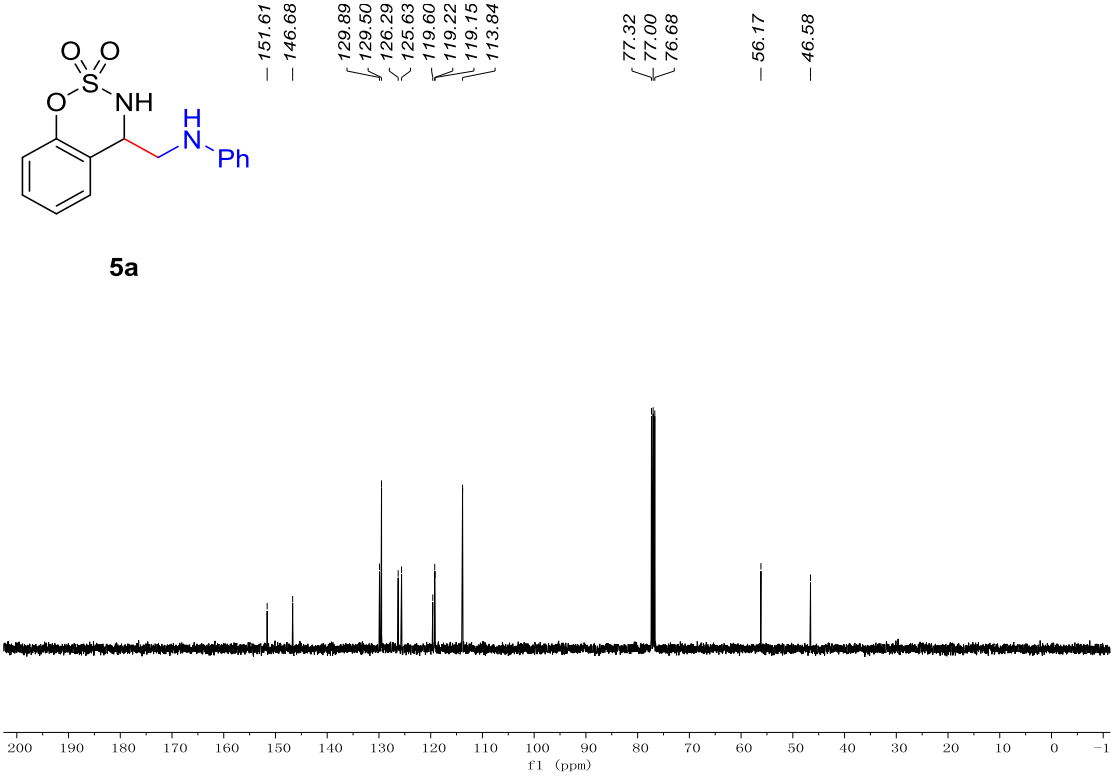
^{1}H NMR (400 MHz, $CDCl_3$)



5a

4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5a**)

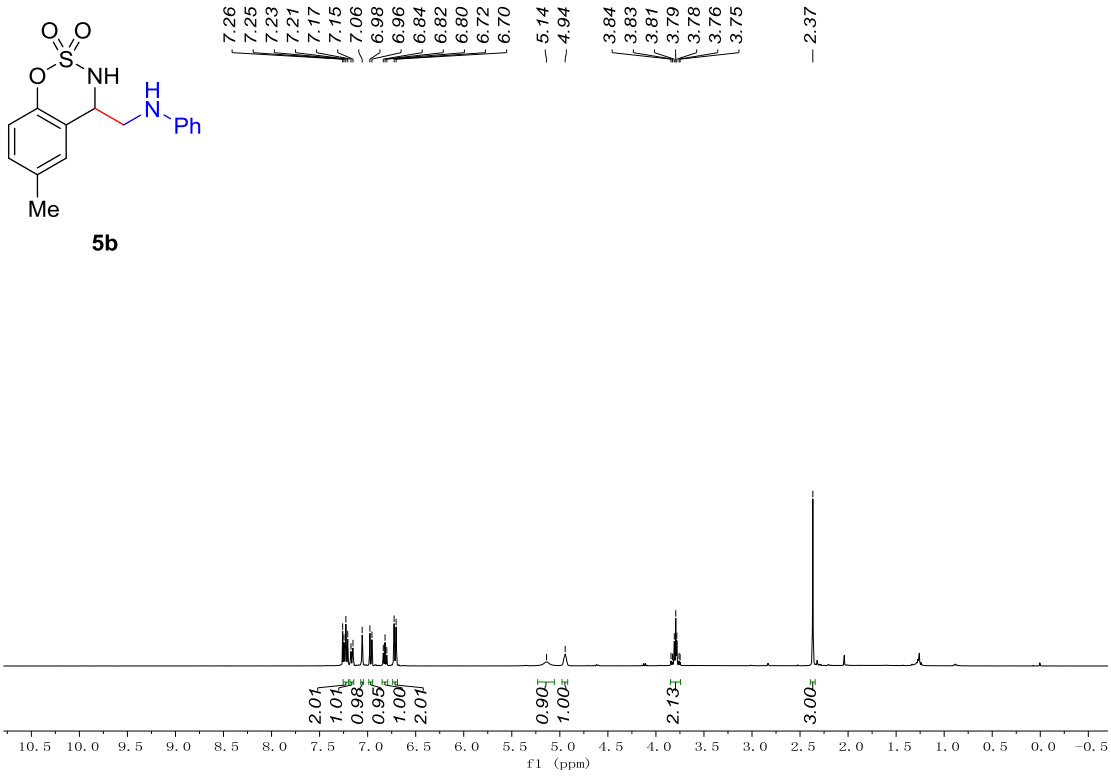
^{13}C NMR (101 MHz, $CDCl_3$)



5a

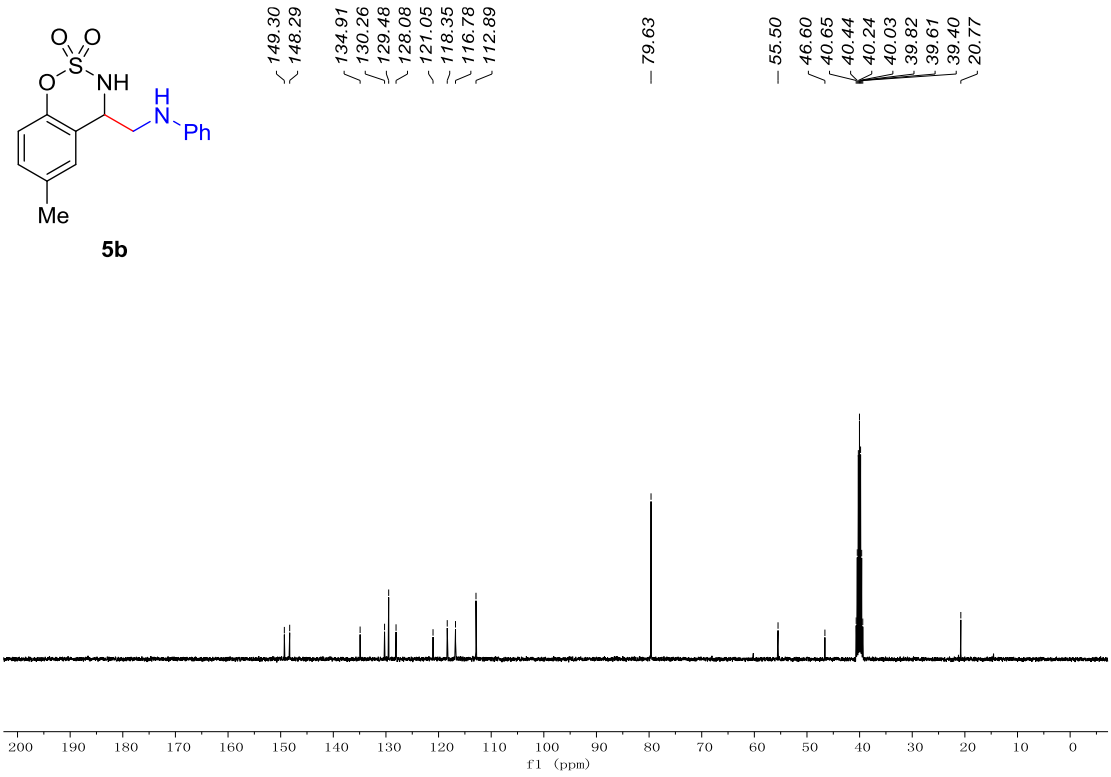
6-methyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5b**)

^{1}H NMR (400 MHz, $CDCl_3$)



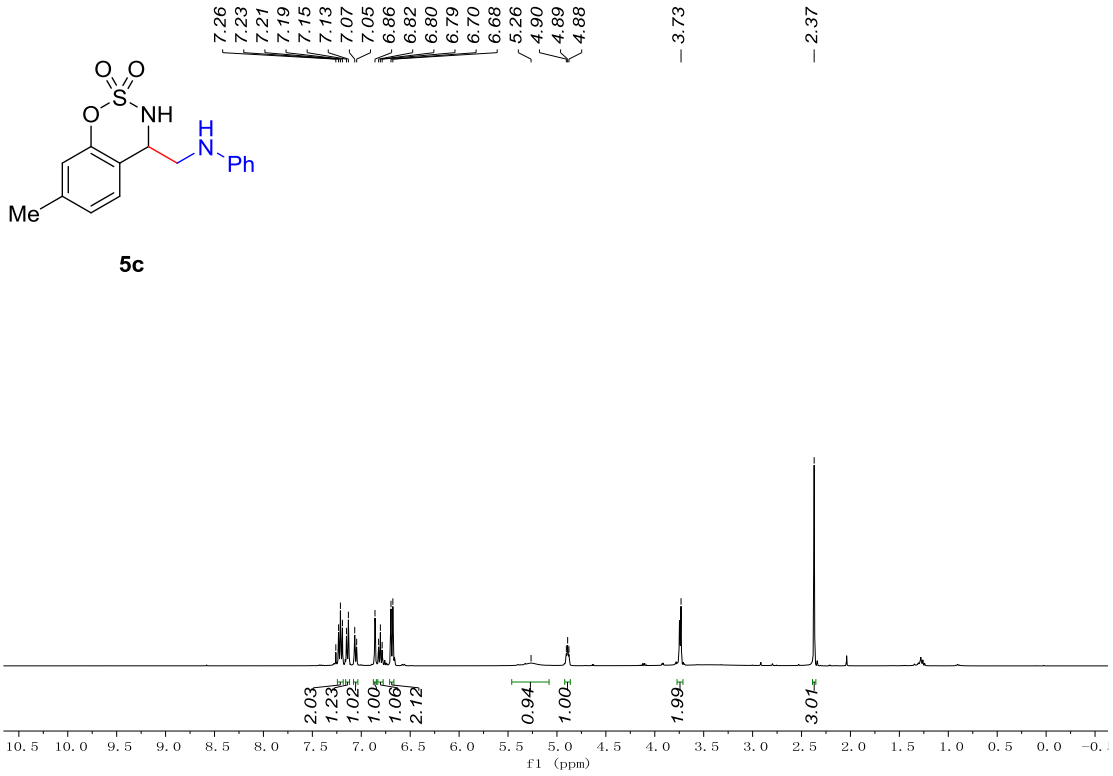
6-methyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5b**)

^{13}C NMR (101 MHz, DMSO-d6)



7-methyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5c**)

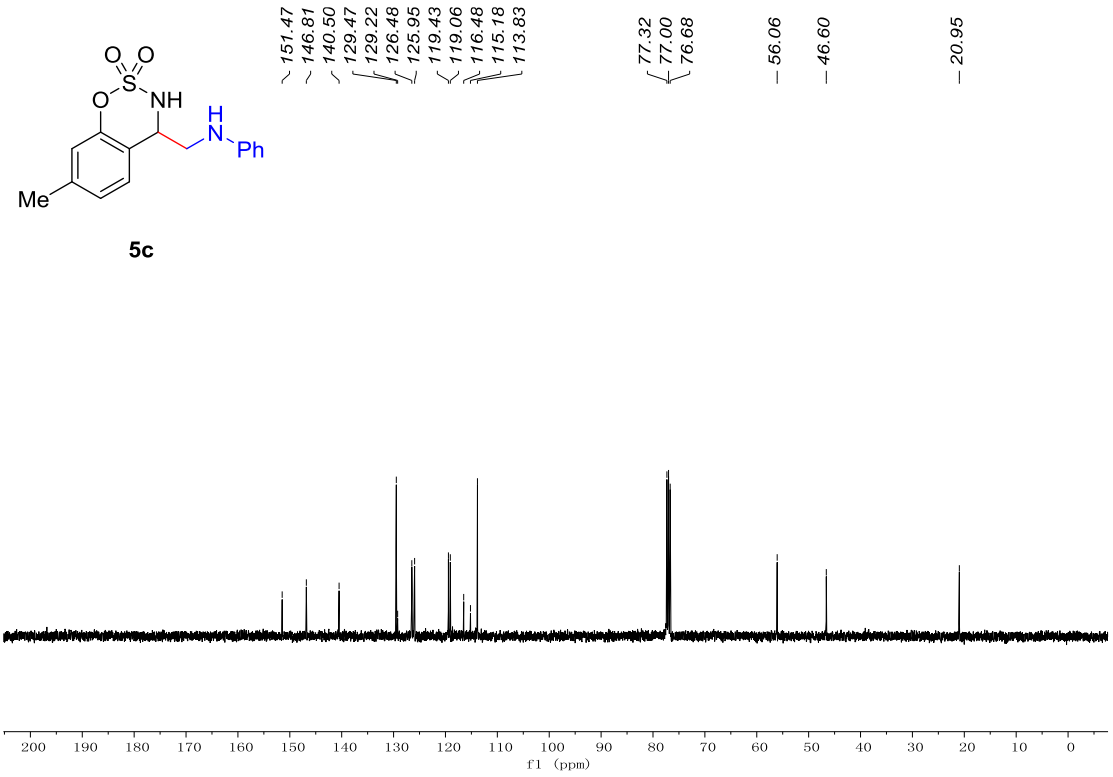
^{1}H NMR (400 MHz, $CDCl_3$)



5c

7-methyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5c**)

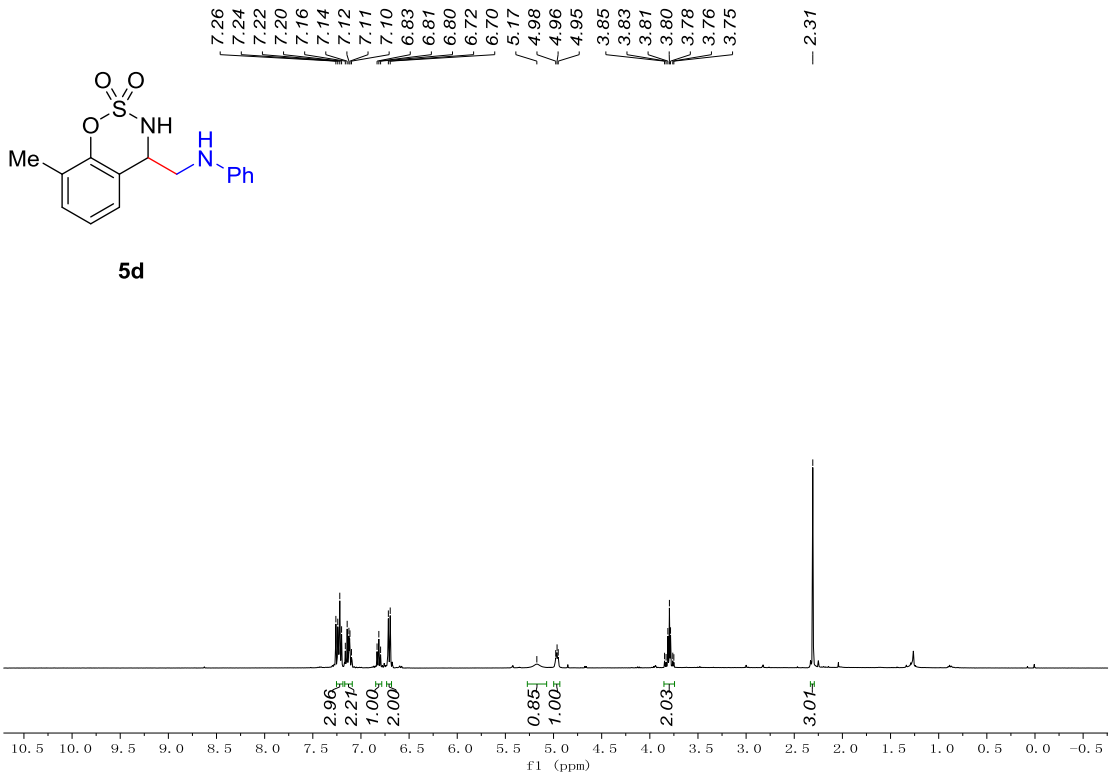
^{13}C NMR (101 MHz, $CDCl_3$)



5c

8-methyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5d**)

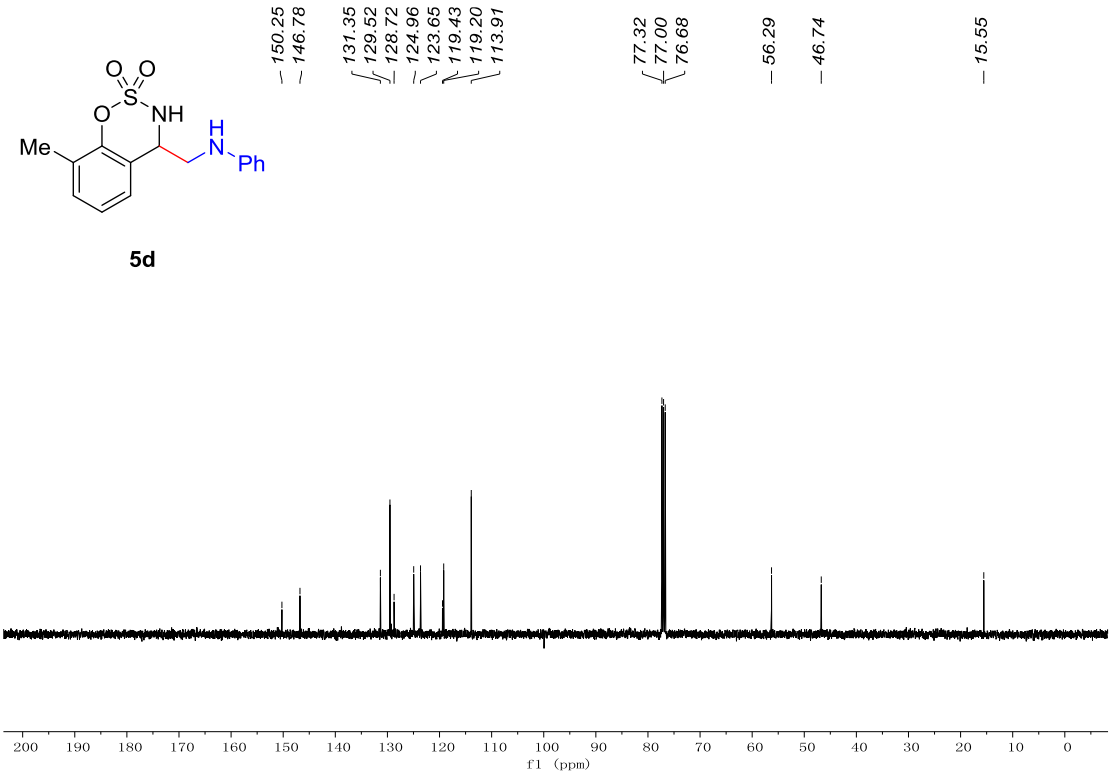
^{1}H NMR (400 MHz, $CDCl_3$)



5d

8-methyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5d**)

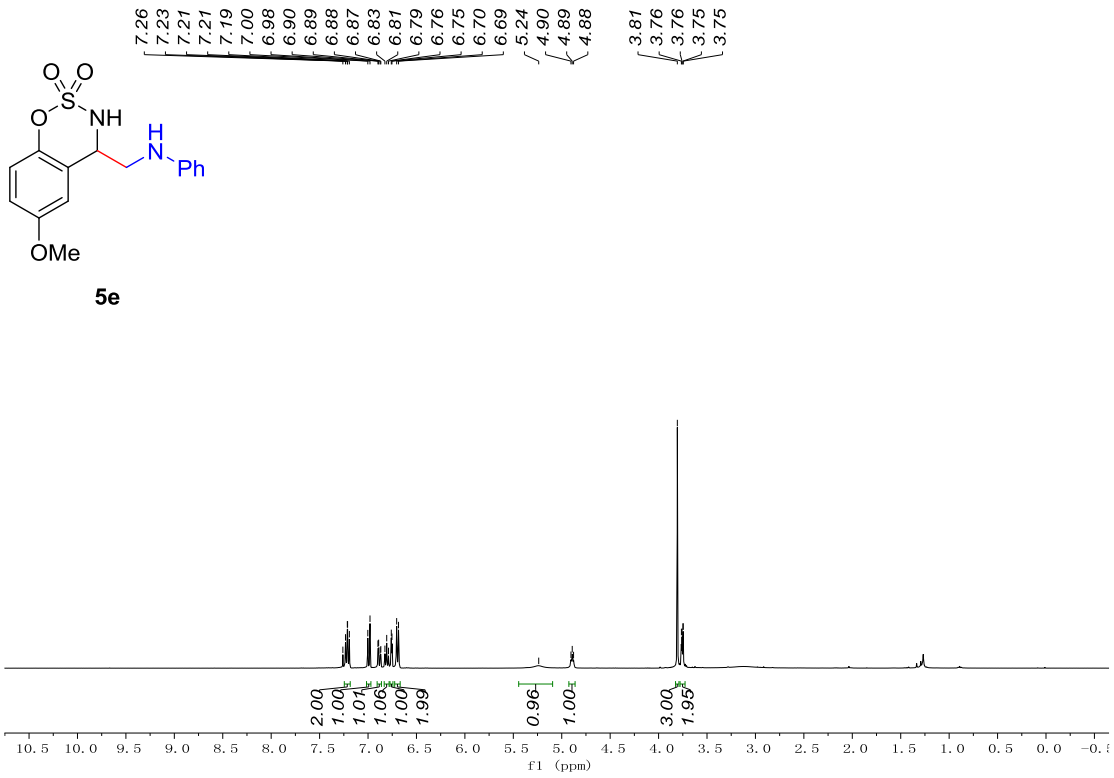
^{13}C NMR (101 MHz, $CDCl_3$)



5d

6-methoxy-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5e**)

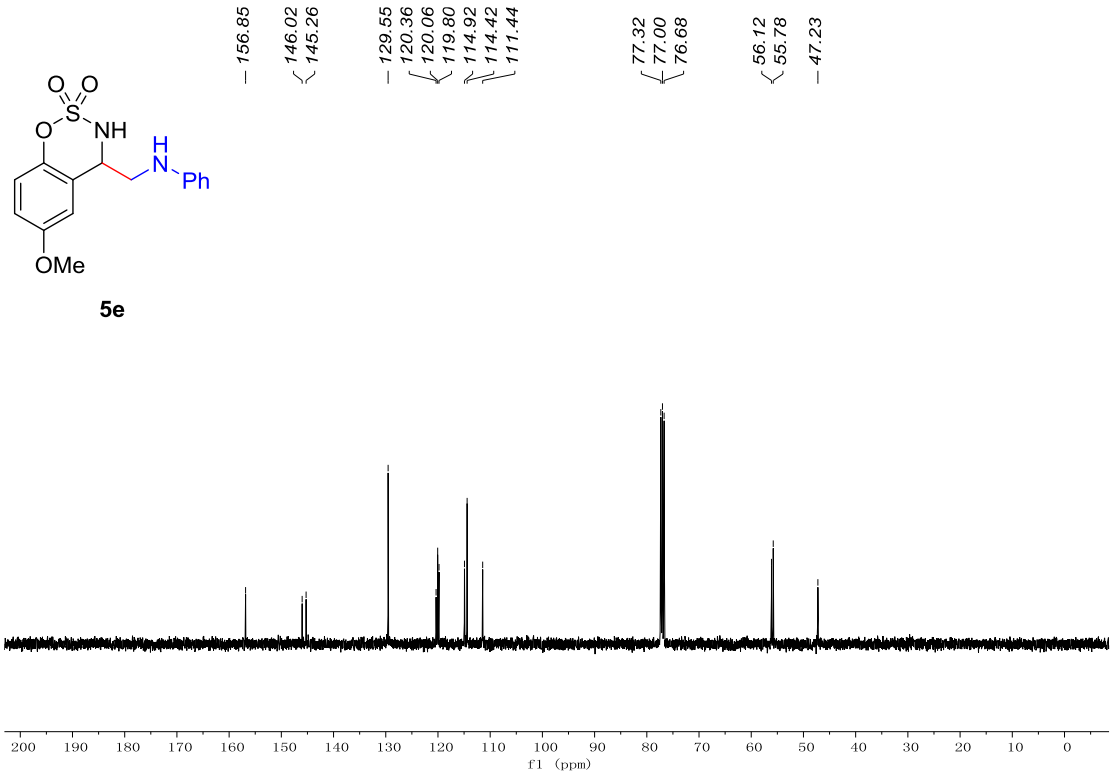
^{1}H NMR (400 MHz, $CDCl_3$)



5e

6-methoxy-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5e**)

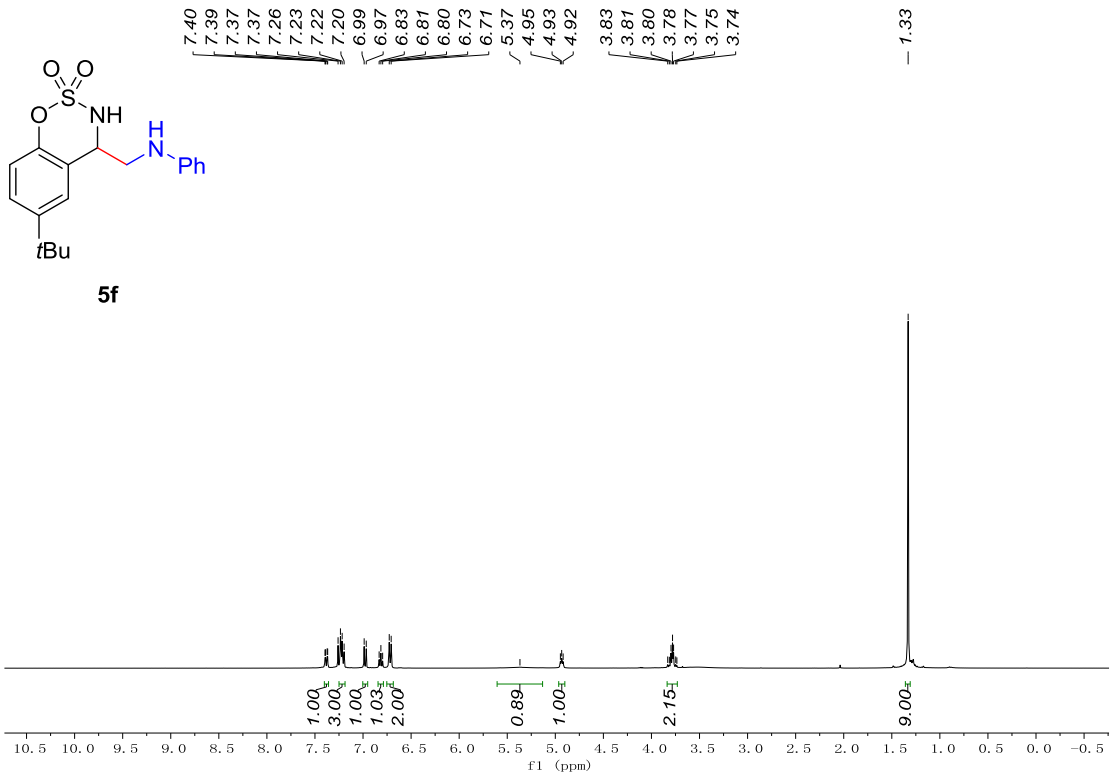
^{13}C NMR (101 MHz, $CDCl_3$)



5e

6-(tert-butyl)-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5f**)

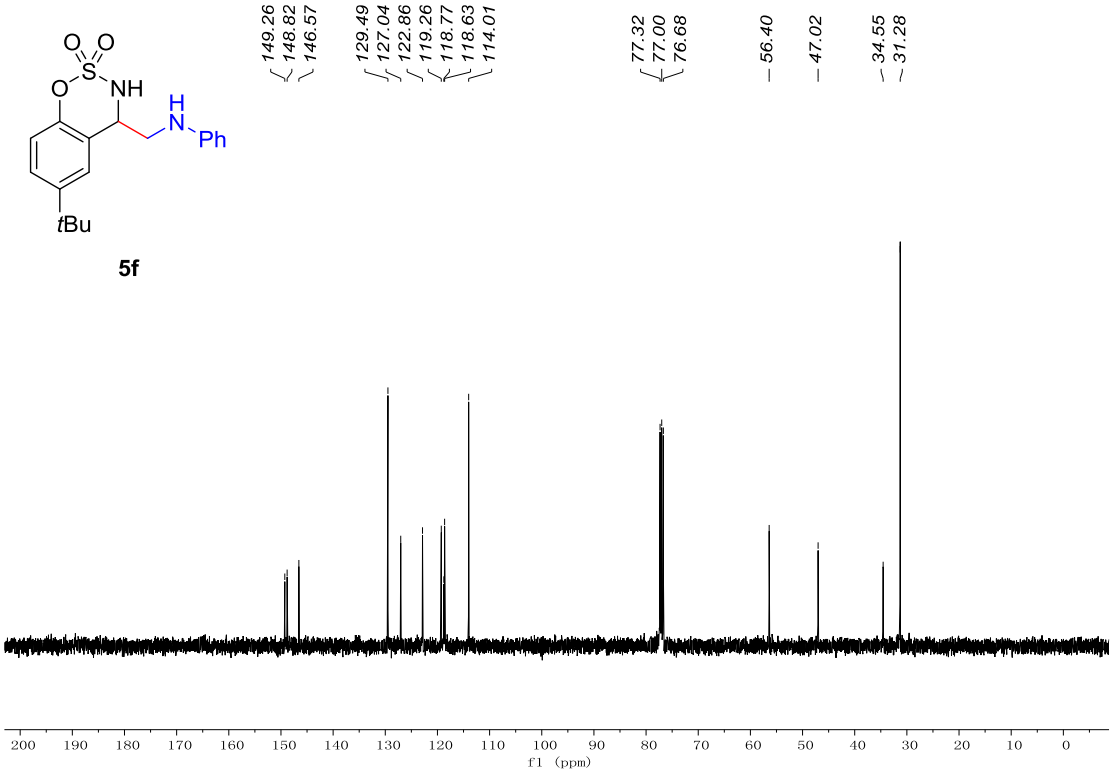
^{1}H NMR (400 MHz, $CDCl_3$)



5f

6-(tert-butyl)-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5f**)

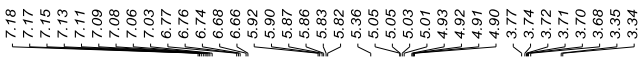
^{13}C NMR (101 MHz, $CDCl_3$)

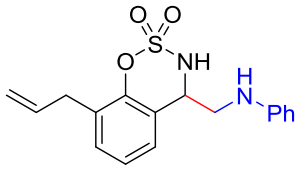


5f

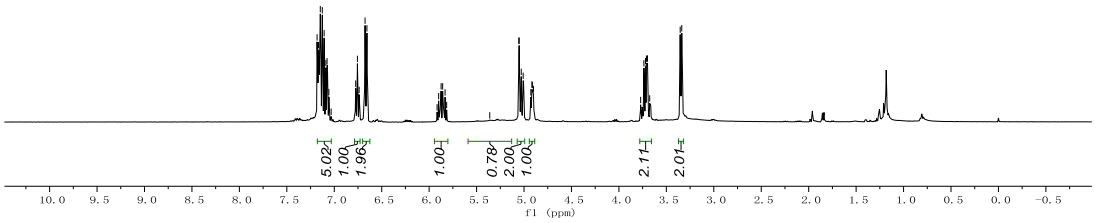
8-allyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5g**)

^{1}H NMR (400 MHz, $CDCl_3$)



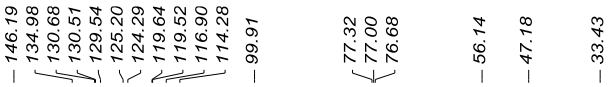


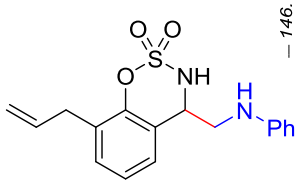
5g



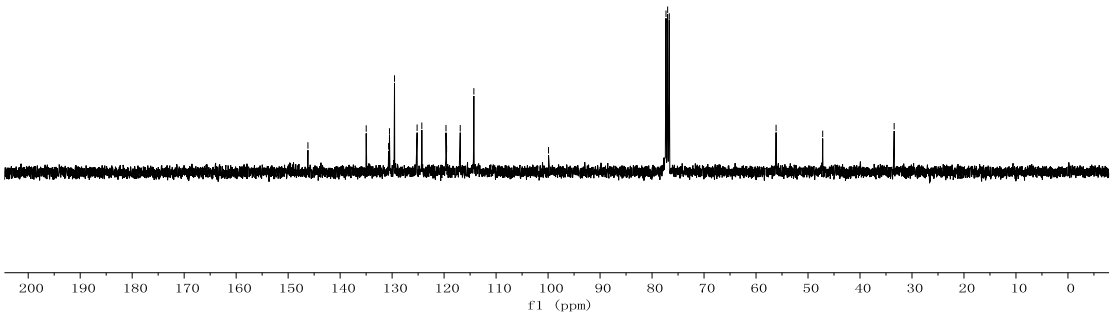
8-allyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5g**)

^{13}C NMR (101 MHz, $CDCl_3$)



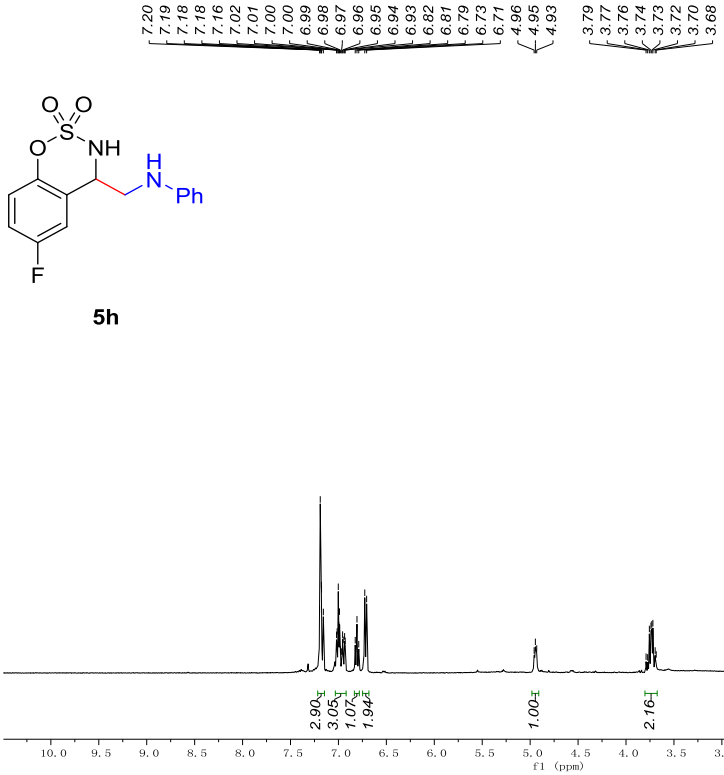


5g



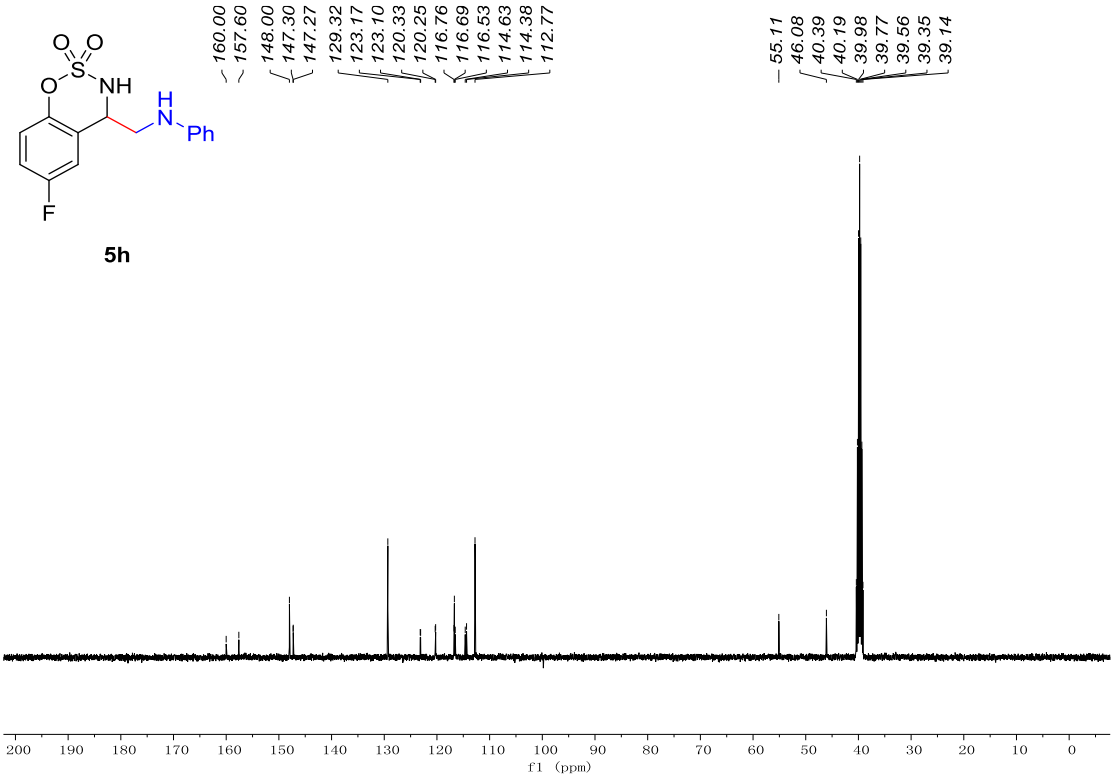
6-fluoro-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide **(5h)**

^{1}H NMR (400 MHz, $CDCl_3$)



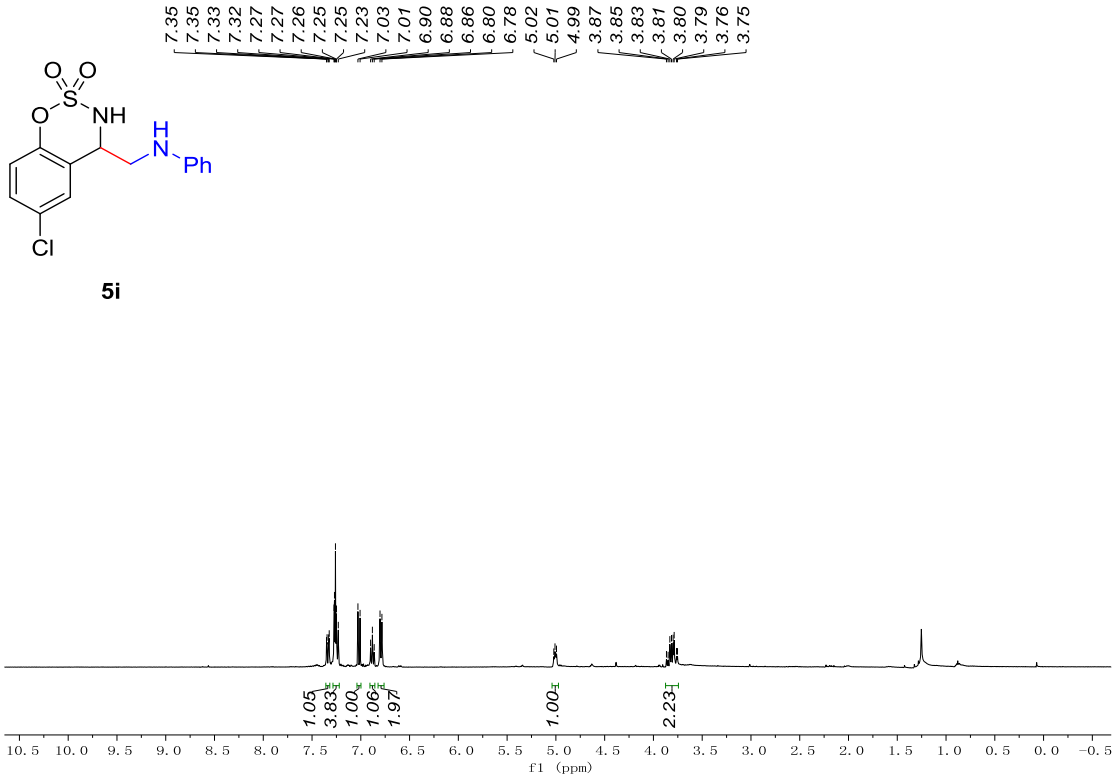
6-fluoro-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide **(5h)**

^{13}C NMR (101 MHz, DMSO-d6)



6-chloro-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide **(5i)**

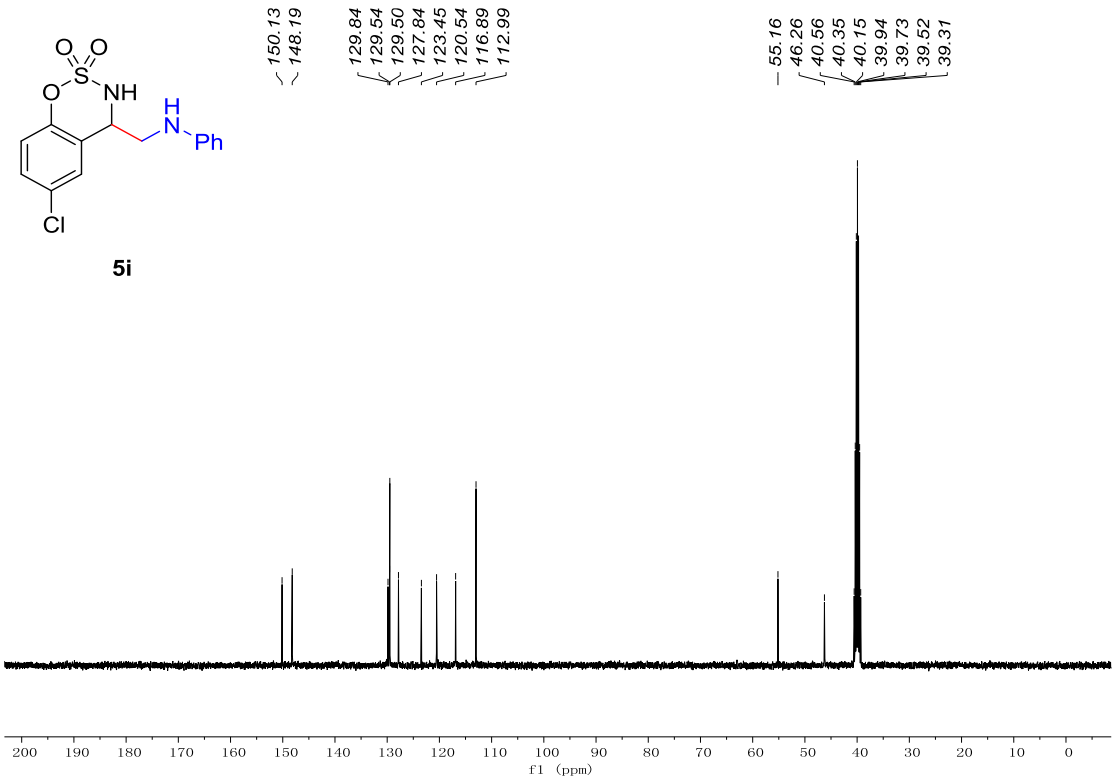
^{1}H NMR (400 MHz, $CDCl_3$)



5i

6-chloro-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide **(5i)**

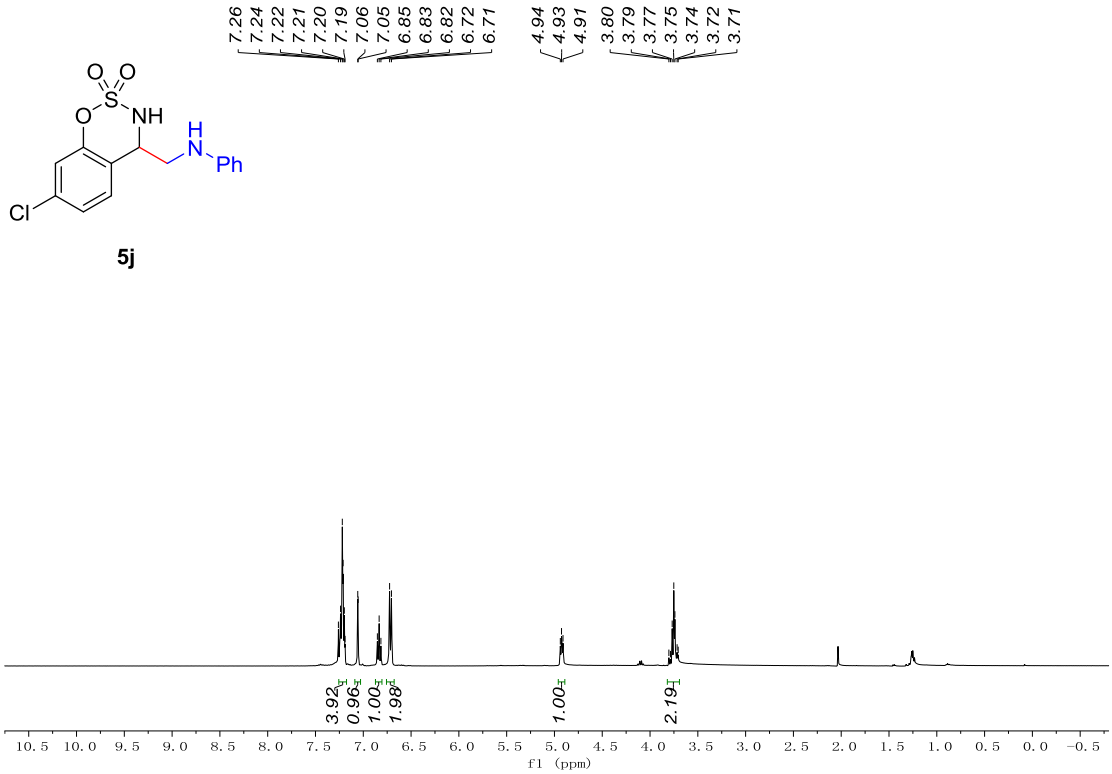
^{13}C NMR (101 MHz, DMSO-d6)



5i

7-chloro-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide **(5j)**

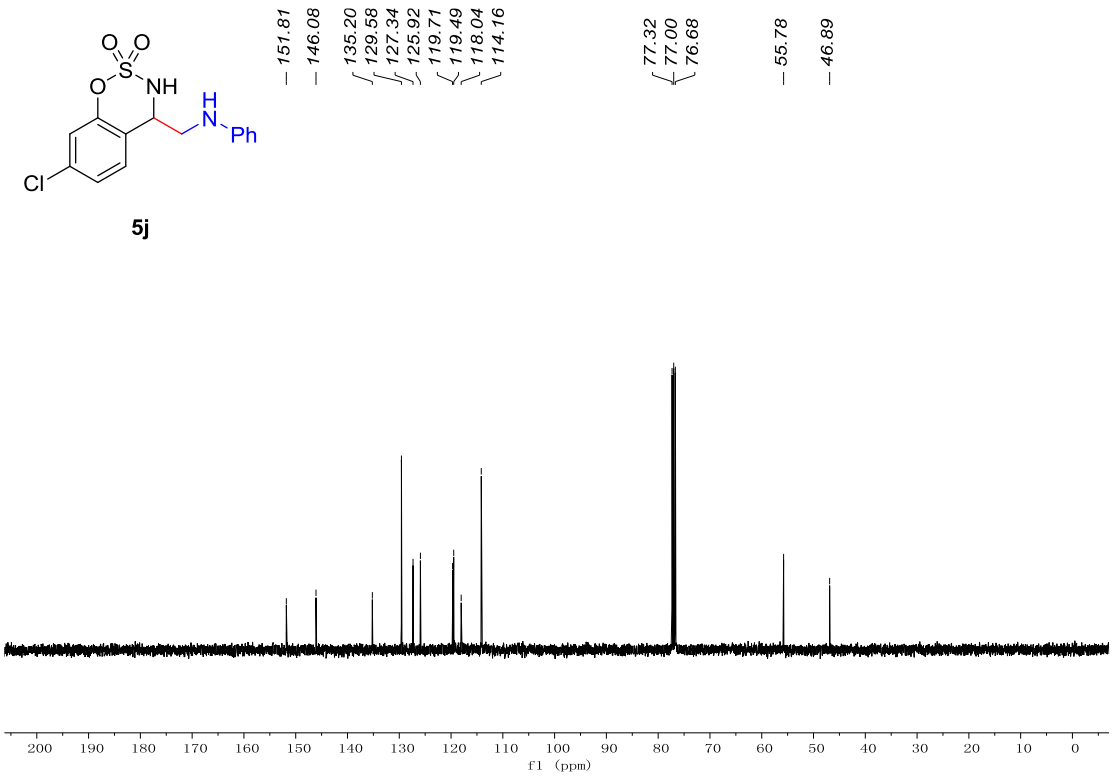
^{1}H NMR (400 MHz, $CDCl_3$)



5j

7-chloro-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5j**)

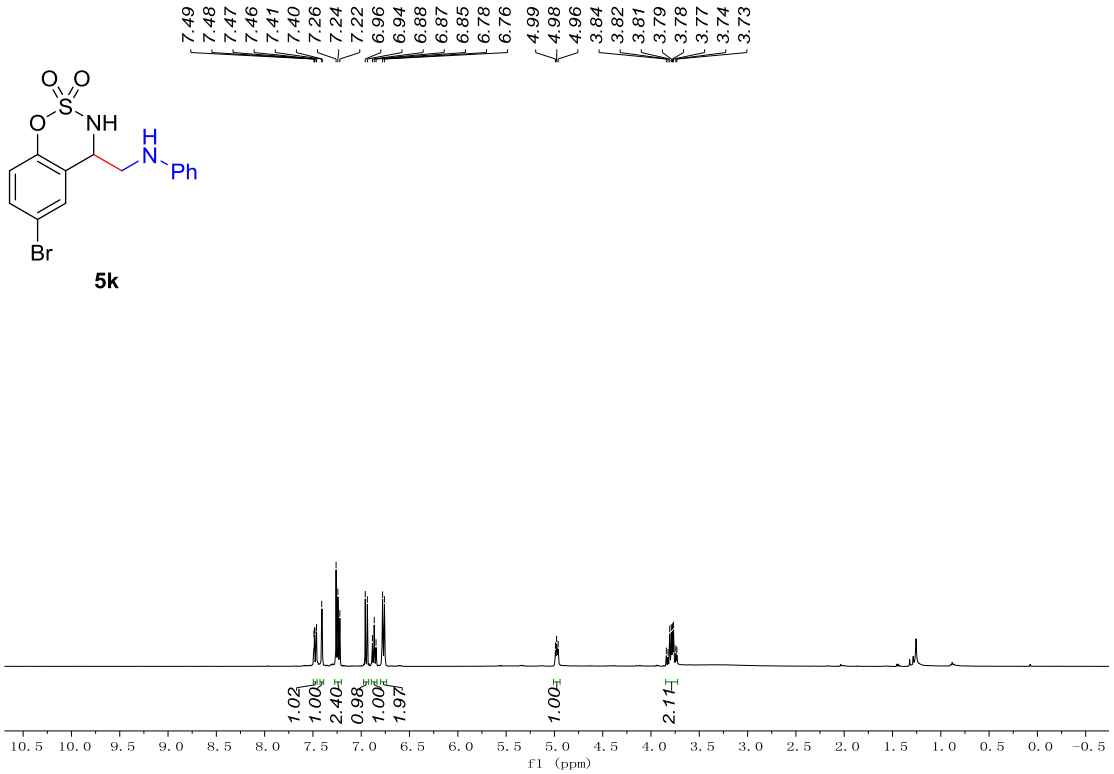
^{13}C NMR (101 MHz, $CDCl_3$)



5j

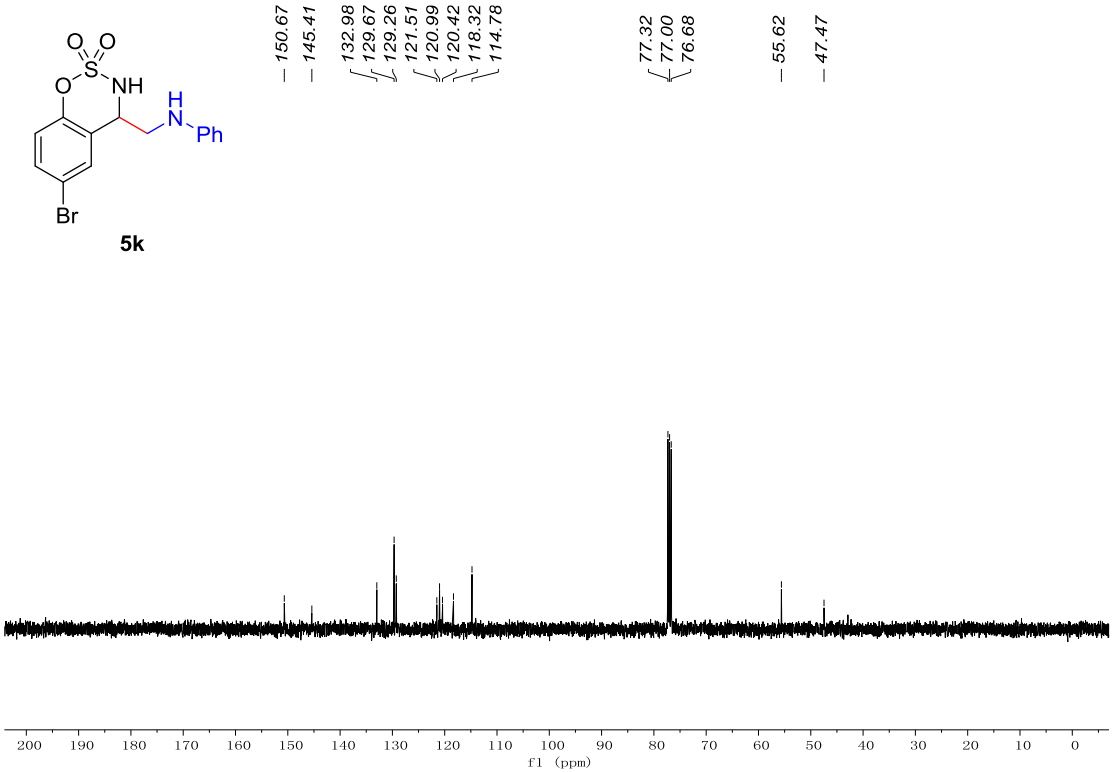
6-bromo-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5k**)

^{1}H NMR (400 MHz, $CDCl_3$)



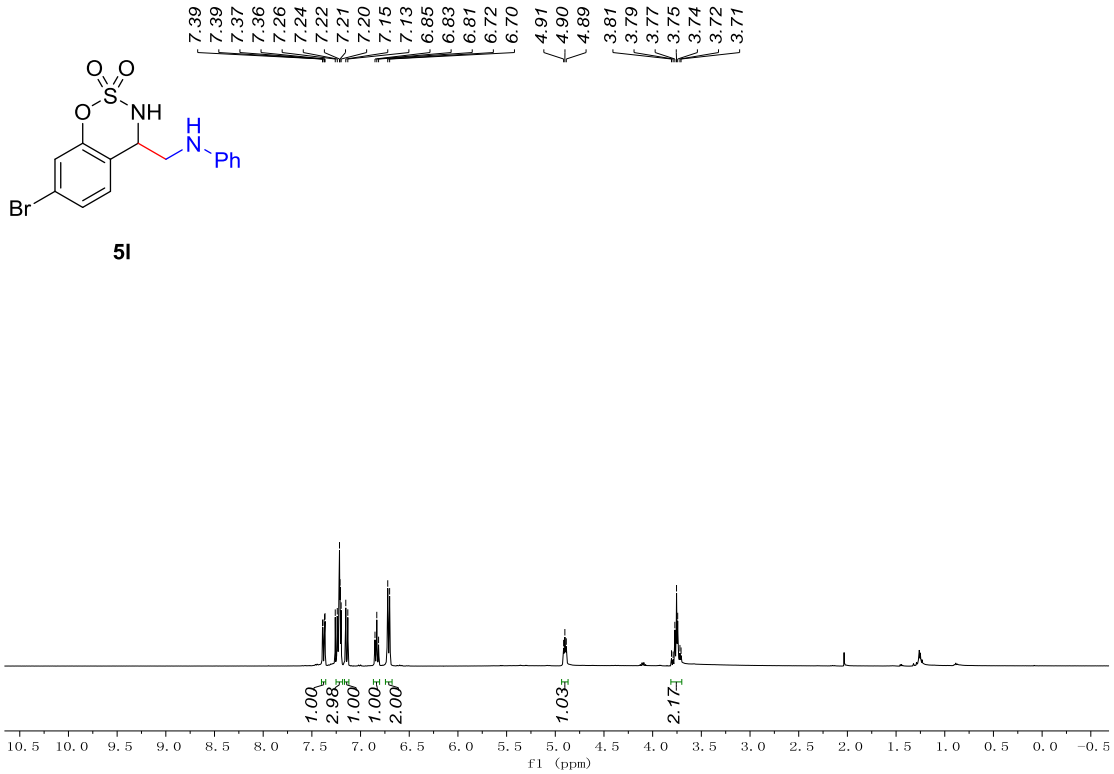
6-bromo-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5k**)

^{13}C NMR (101 MHz, $CDCl_3$)



7-bromo-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5l**)

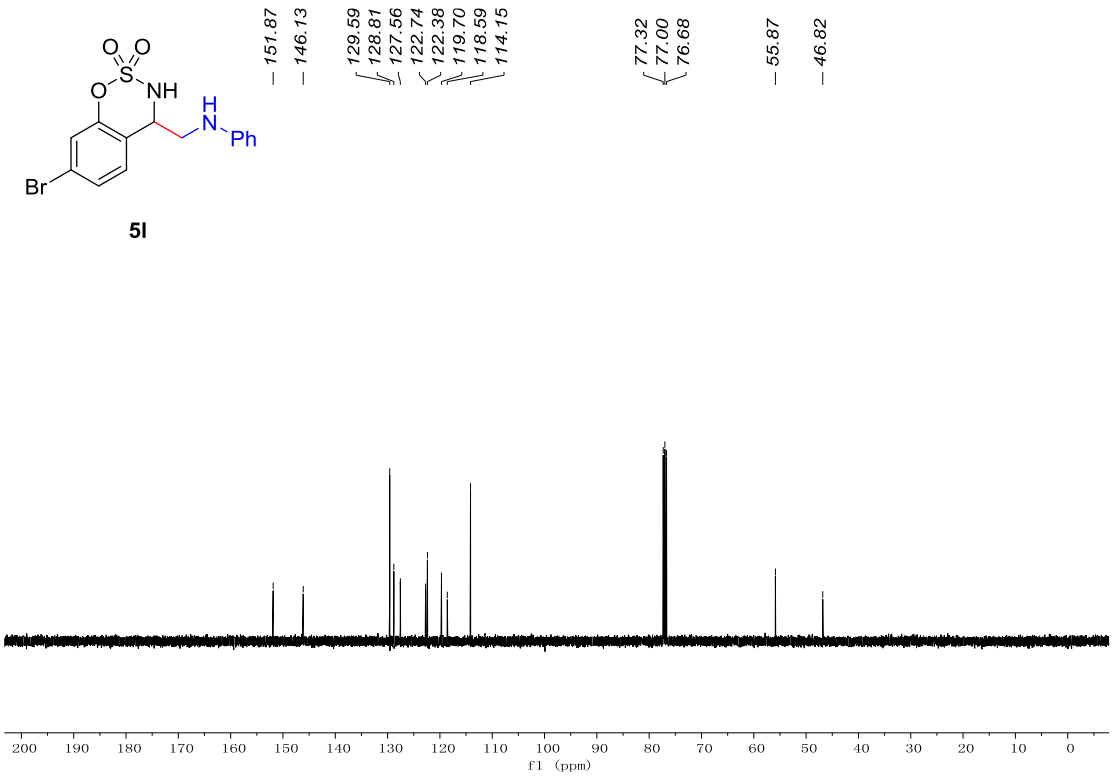
^{1}H NMR (400 MHz, $CDCl_3$)



5l

7-bromo-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5l**)

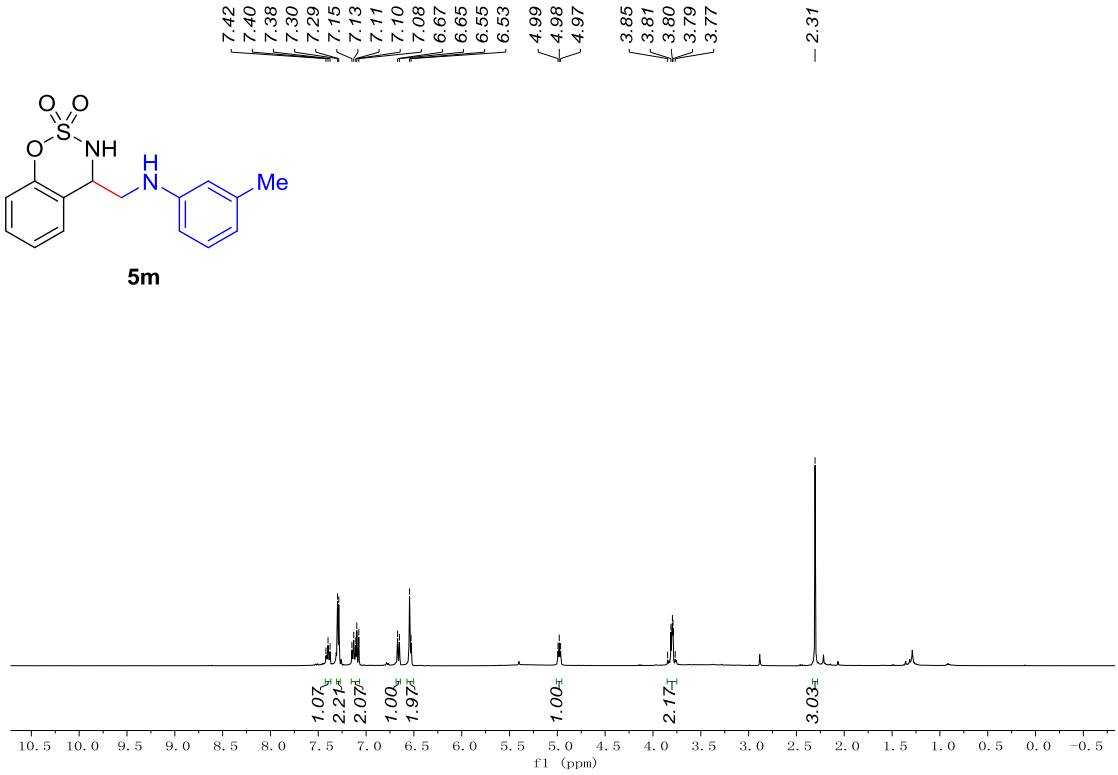
^{13}C NMR (101 MHz, $CDCl_3$)



5l

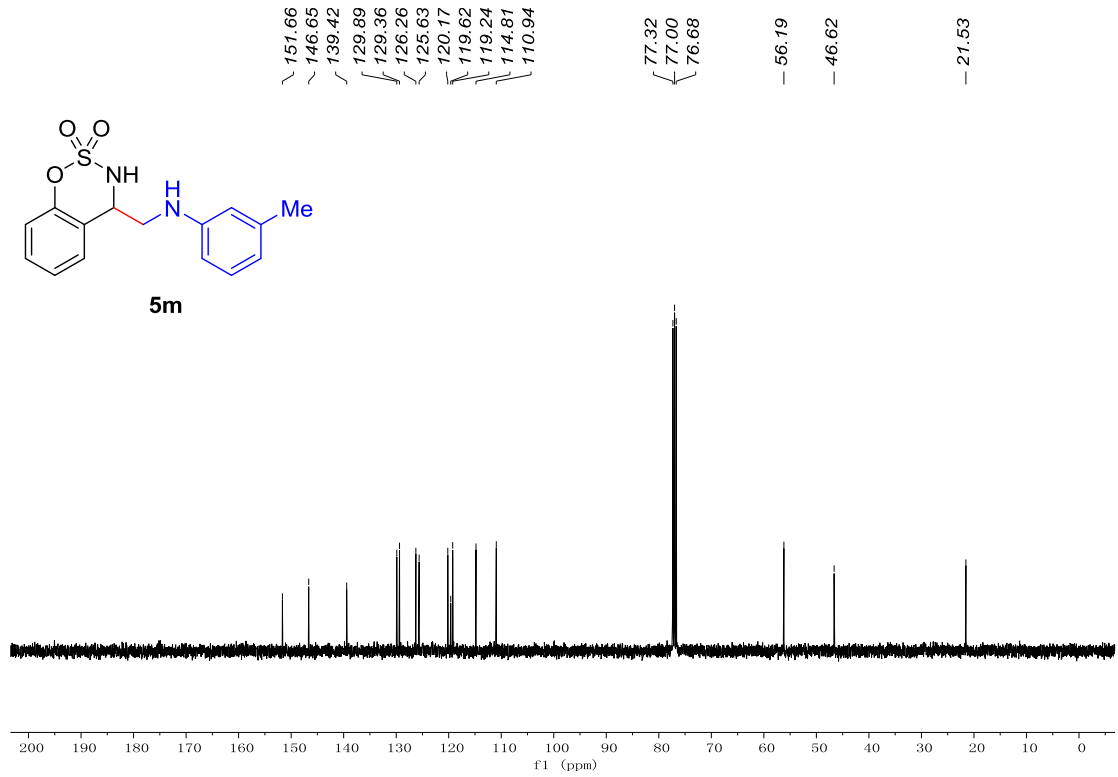
4-((m-tolylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5m**)

^{1}H NMR (400 MHz, $CDCl_3$)



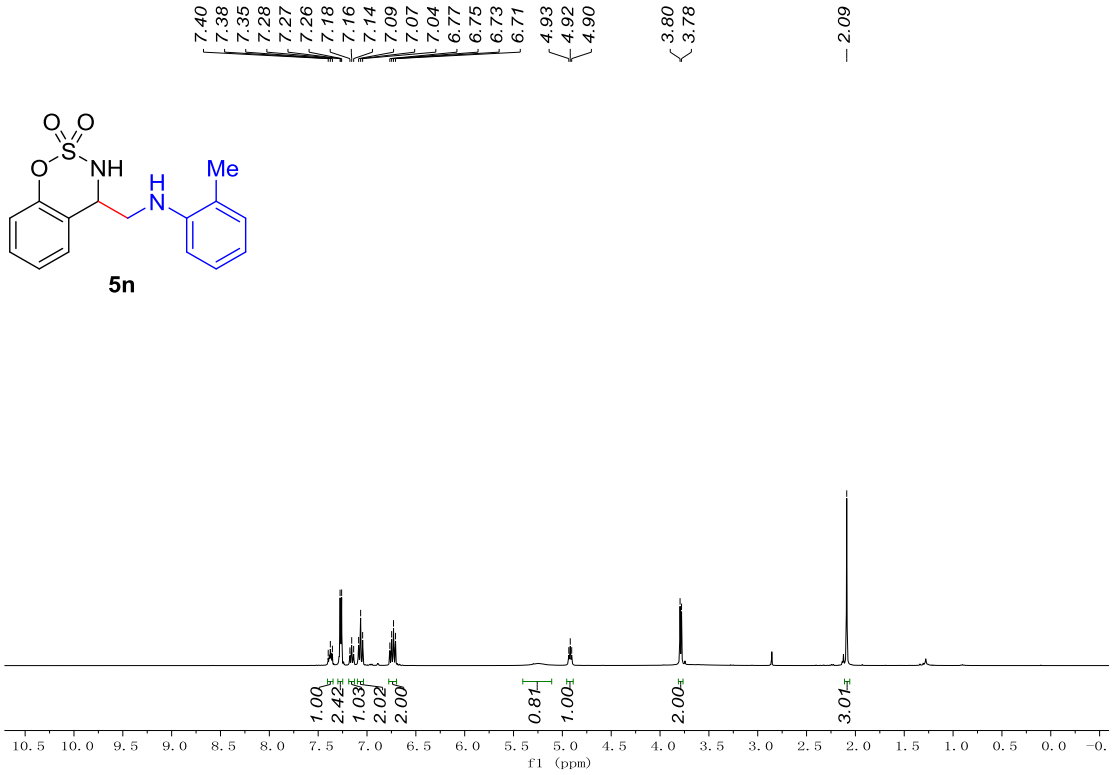
4-((m-tolylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5m**)

^{13}C NMR (101 MHz, $CDCl_3$)



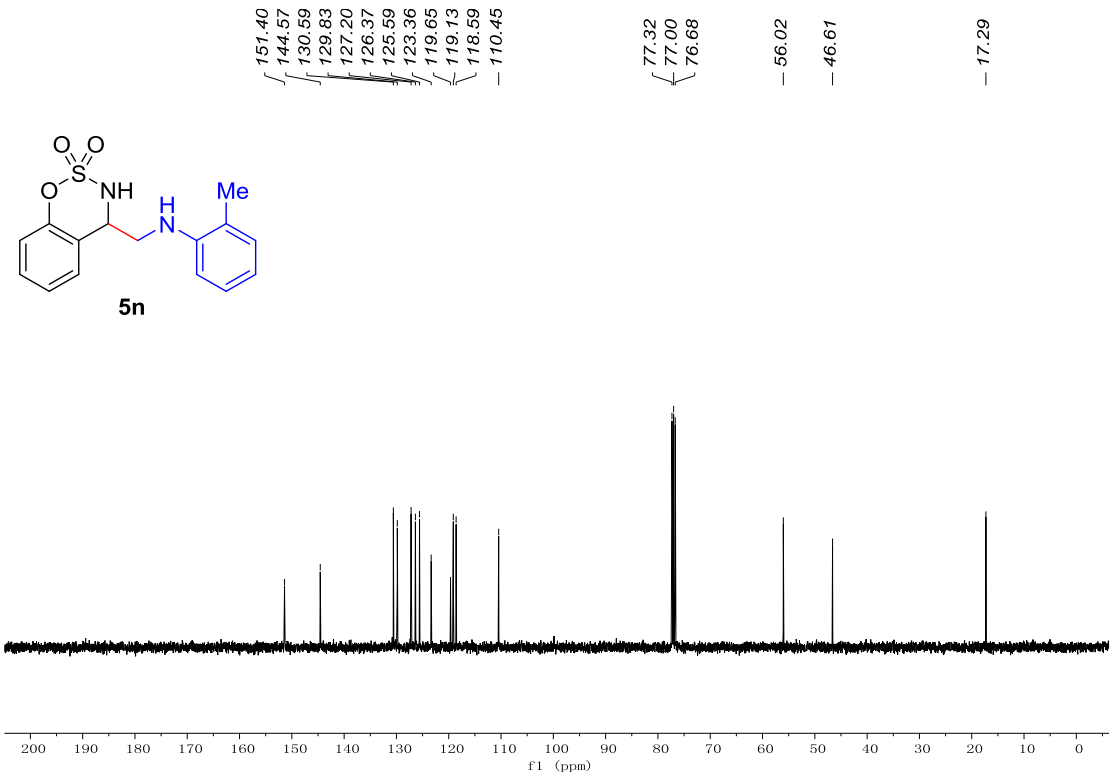
4-((o-tolylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5n**)

^{1}H NMR (400 MHz, $CDCl_3$)



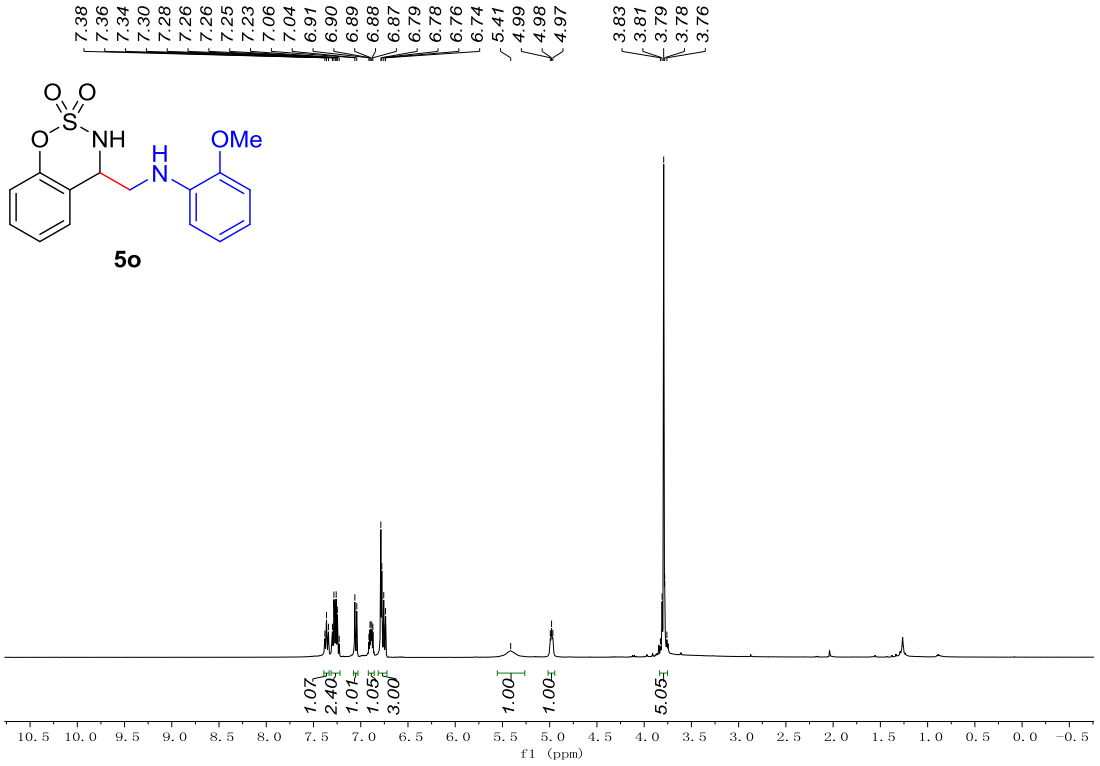
4-((o-tolylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5n**)

^{13}C NMR (101 MHz, $CDCl_3$)



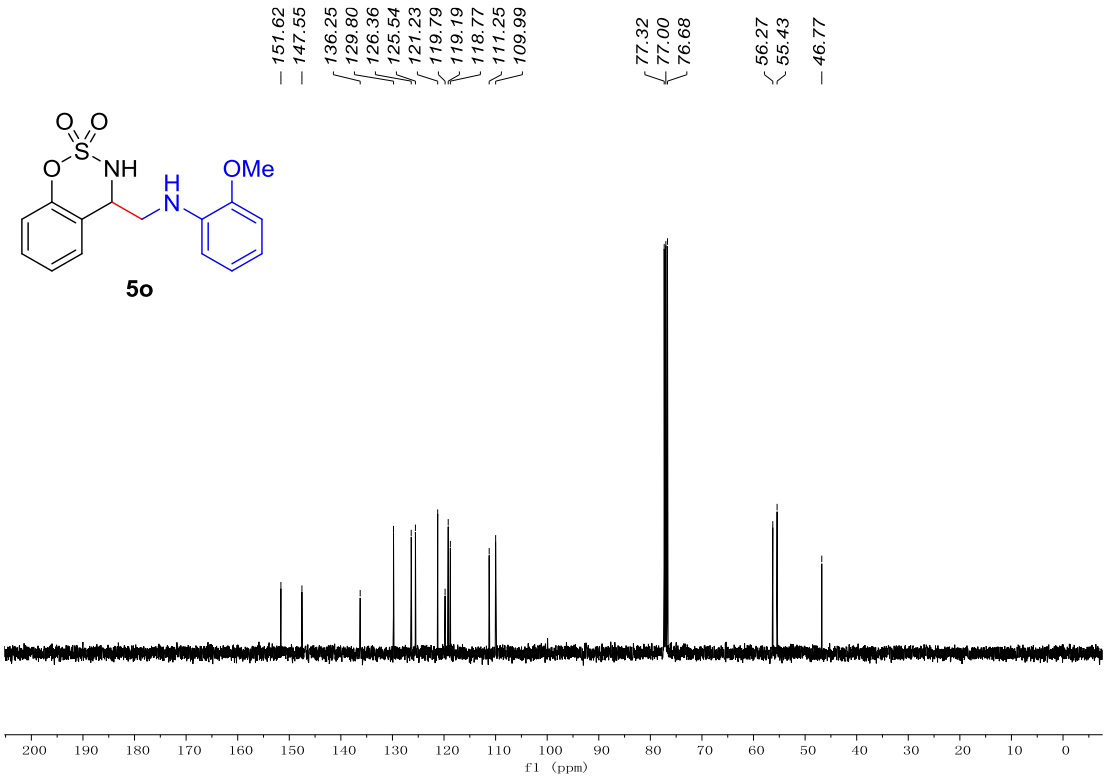
4-(((2-methoxyphenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5o**)

^{1}H NMR (400 MHz, $CDCl_3$)



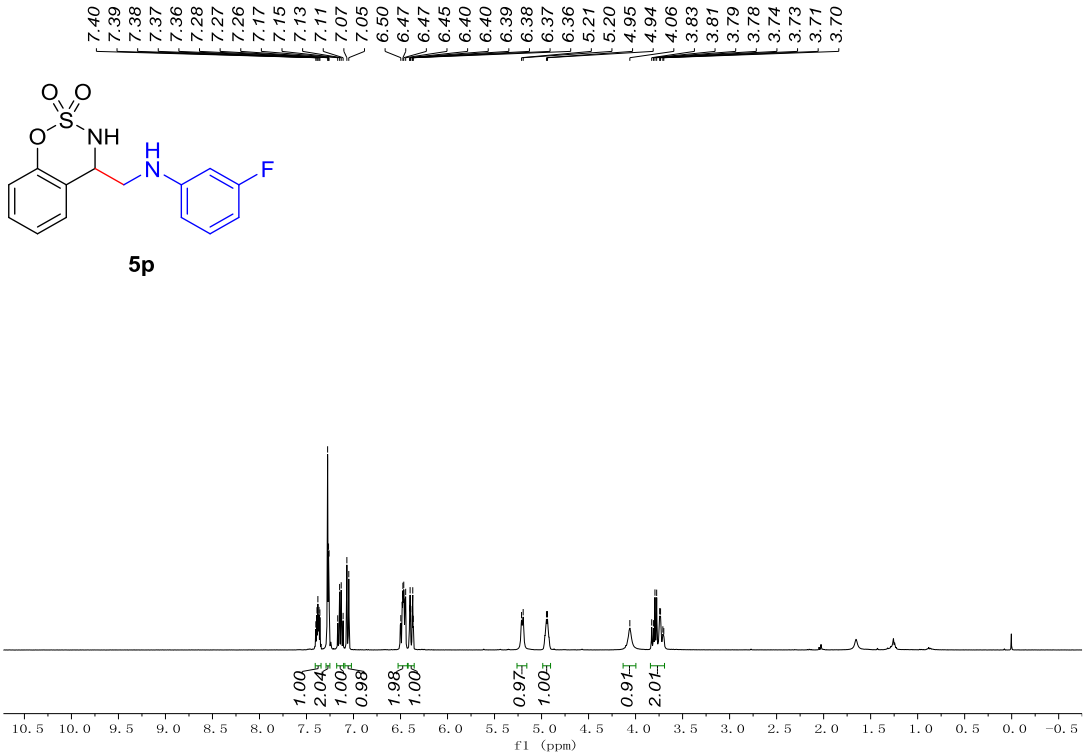
4-(((2-methoxyphenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5o**)

^{13}C NMR (101 MHz, $CDCl_3$)



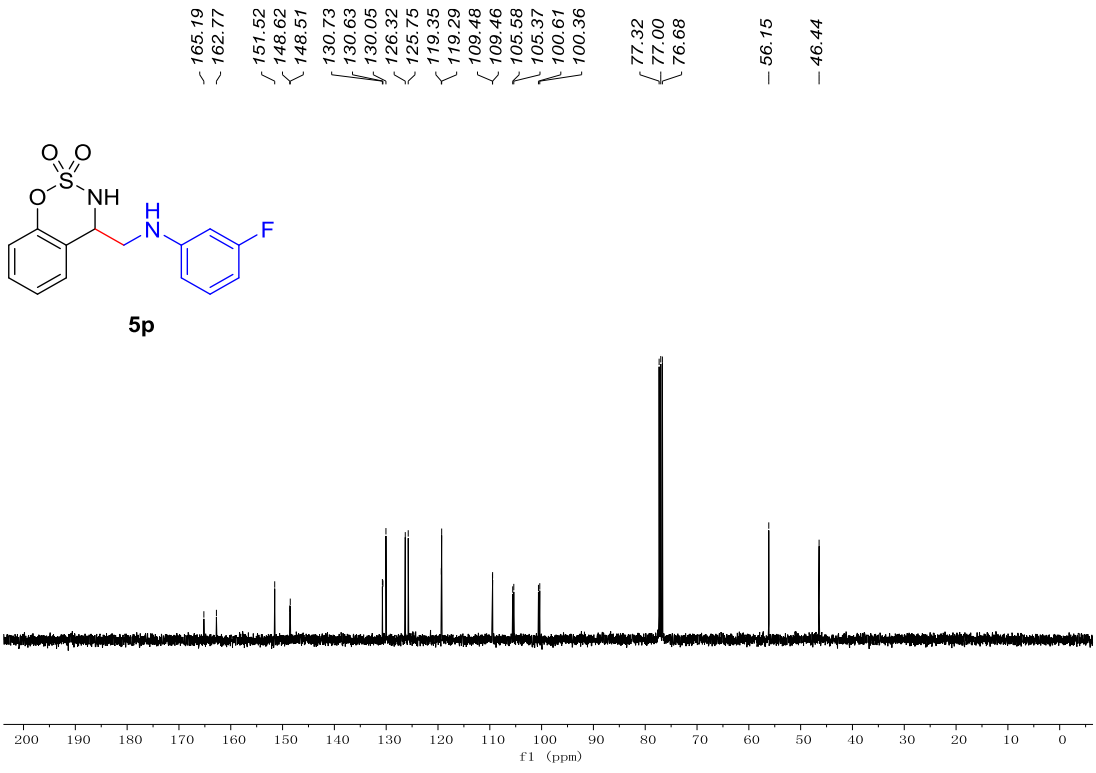
4-(((3-fluorophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5p**)

^{1}H NMR (400 MHz, $CDCl_3$)



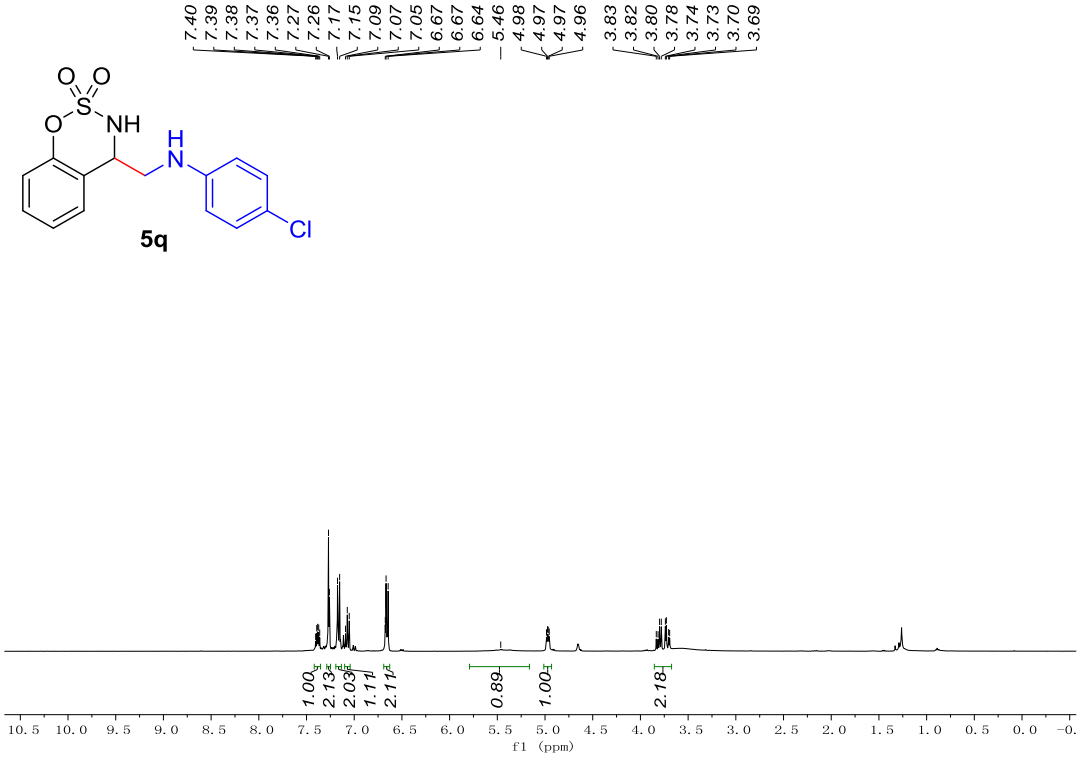
4-(((3-fluorophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5p**)

^{13}C NMR (101 MHz, $CDCl_3$)



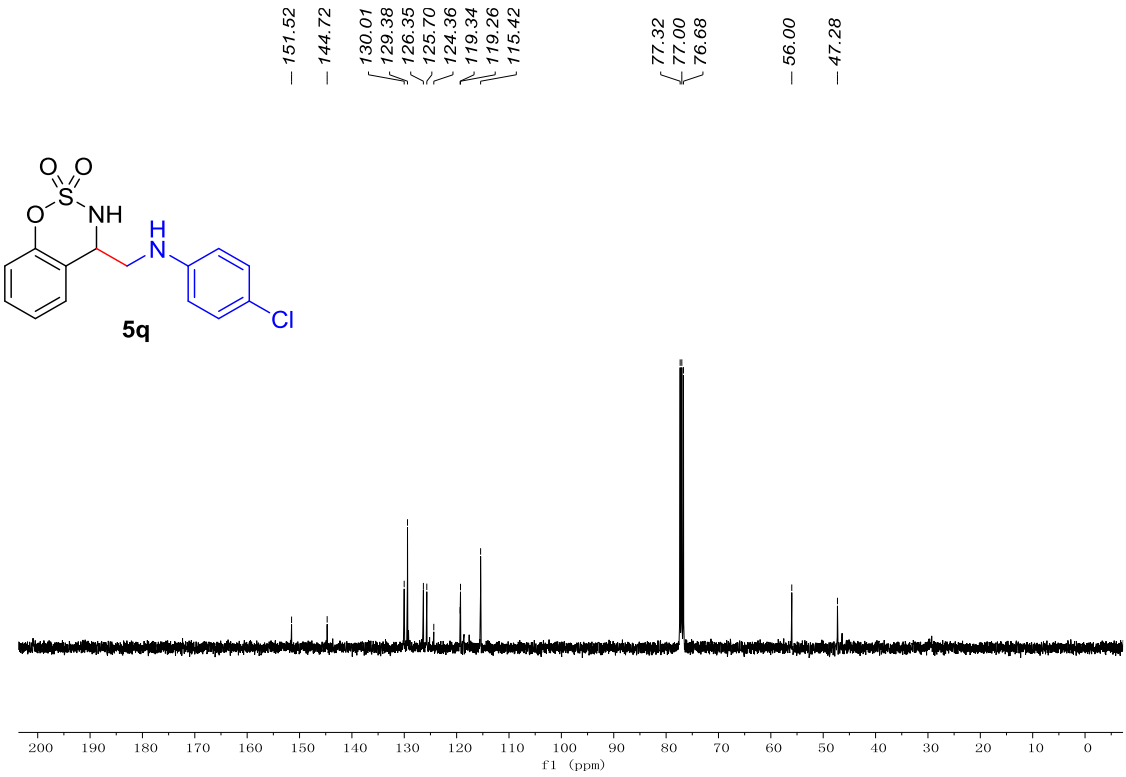
4-(((4-chlorophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5q**)

^{1}H NMR (400 MHz, $CDCl_3$)



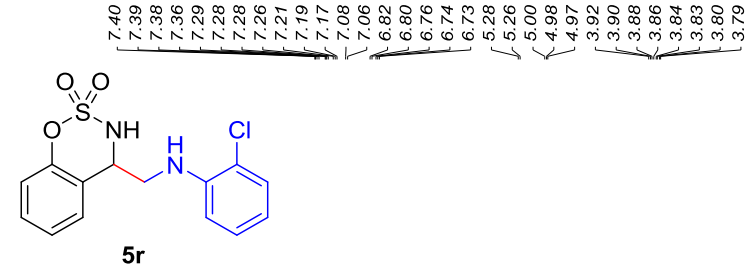
4-(((4-chlorophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5q**)

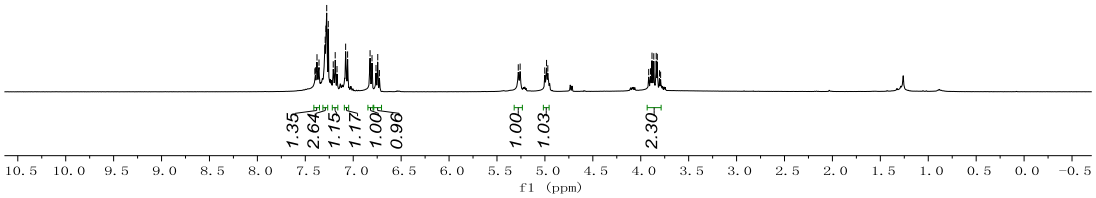
^{13}C NMR (101 MHz, $CDCl_3$)



4-(((2-chlorophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5r**)

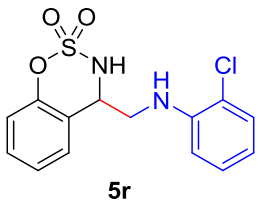
^{1}H NMR (400 MHz, $CDCl_3$)

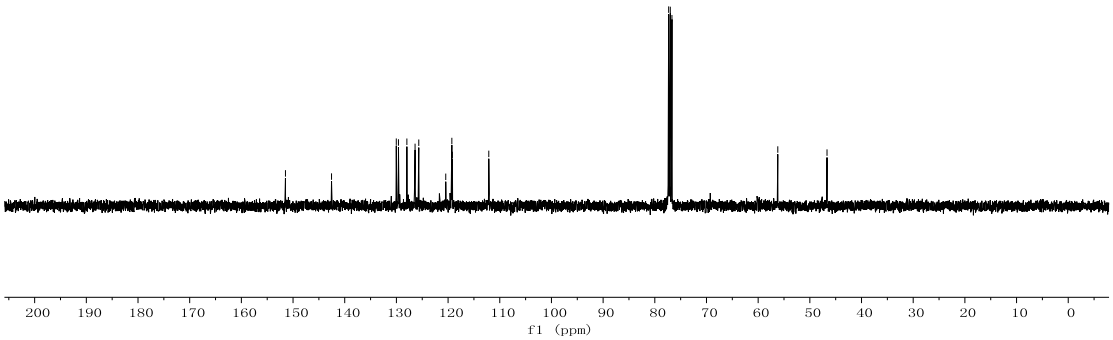




4-(((2-chlorophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5r**)

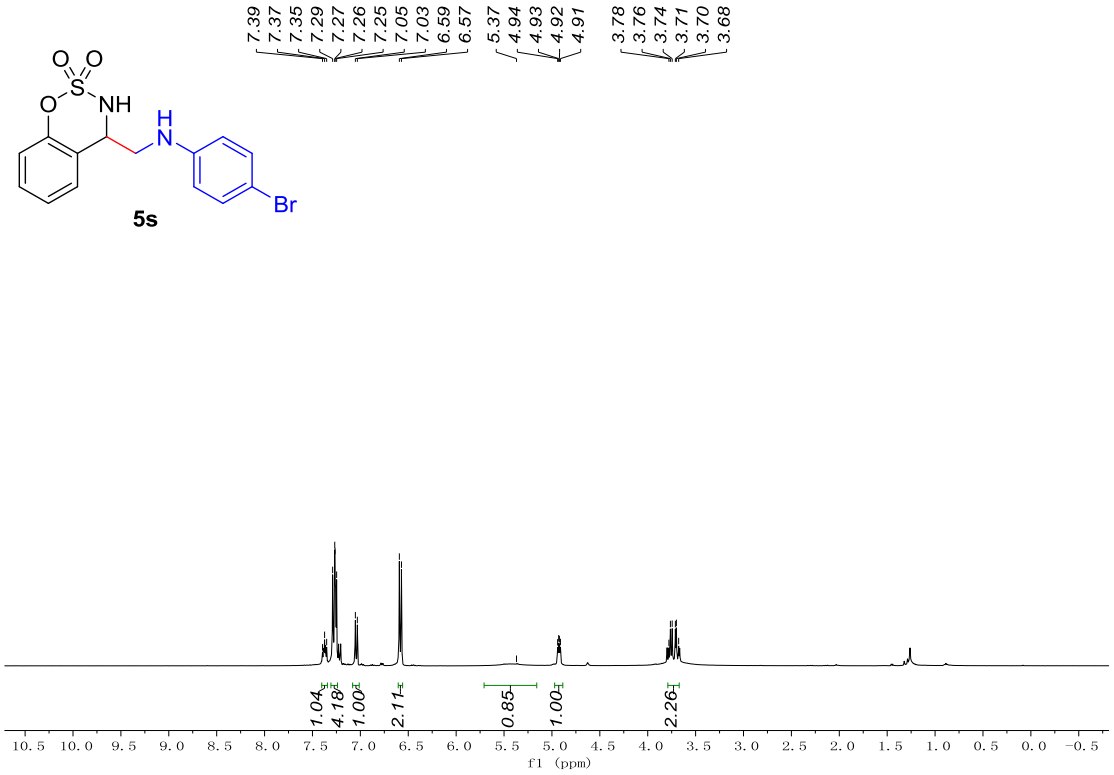
^{13}C NMR (101 MHz, $CDCl_3$)





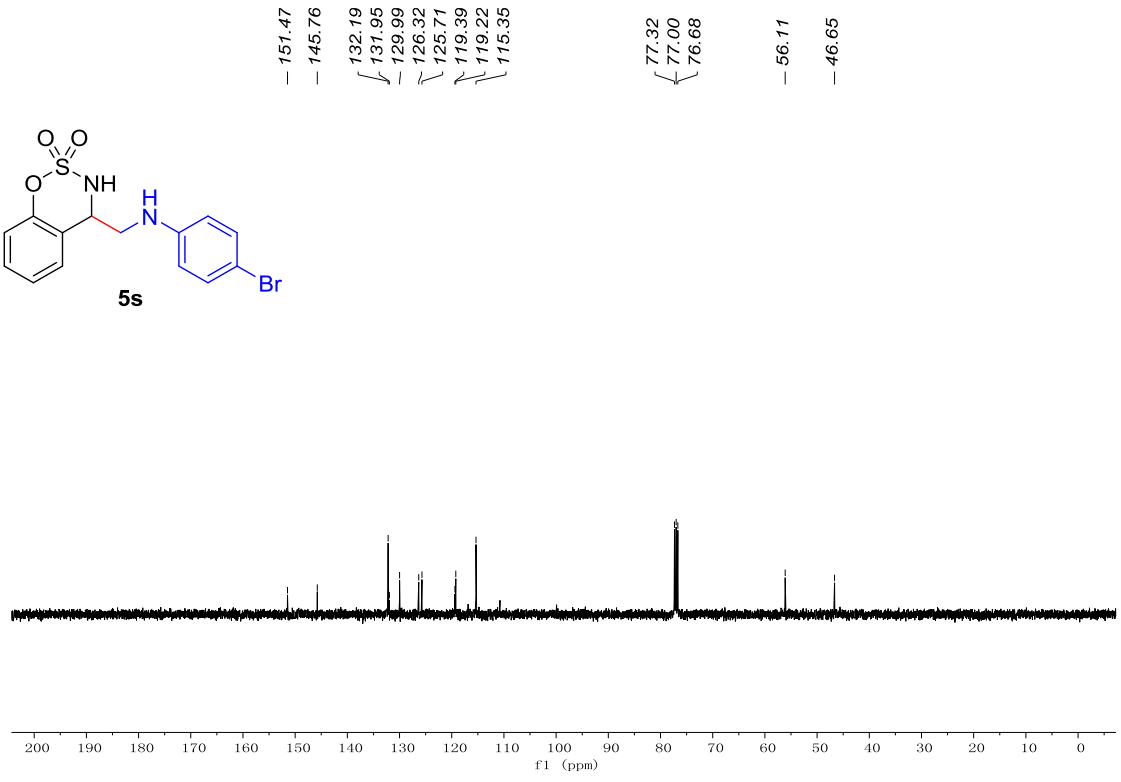
4-(((4-bromophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5s**)

^{1}H NMR (400 MHz, $CDCl_3$)



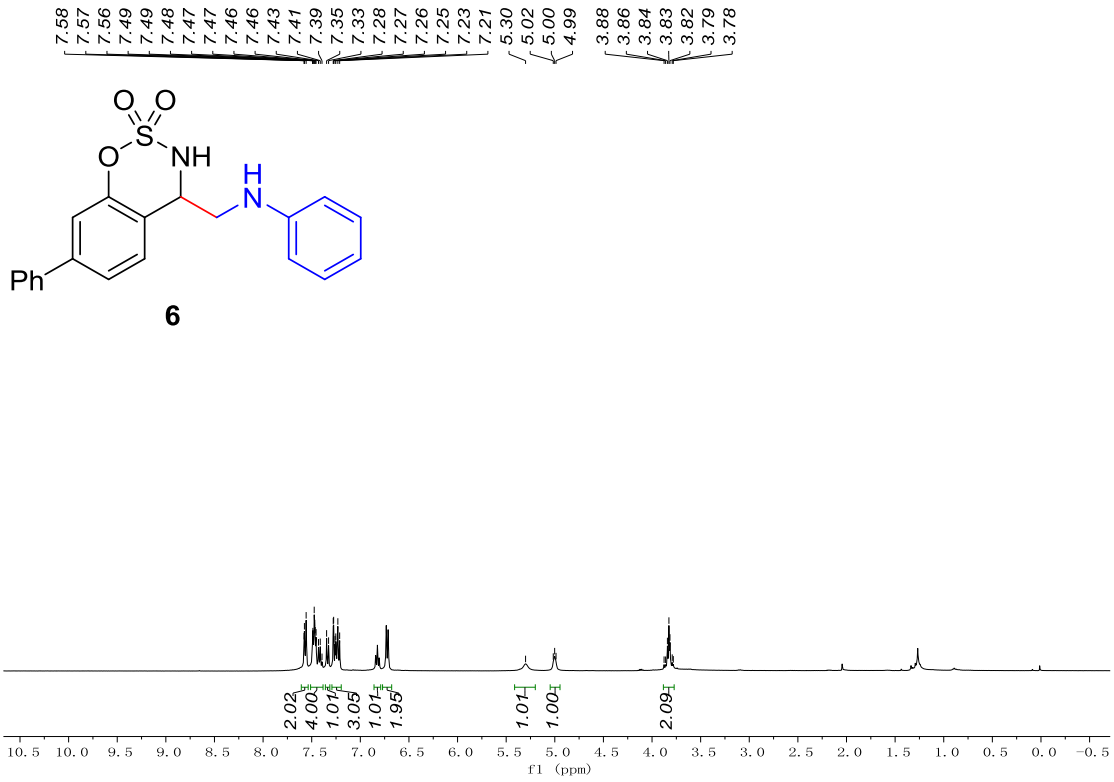
4-(((4-bromophenyl)amino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**5s**)

^{13}C NMR (101 MHz, $CDCl_3$)



7-phenyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**6**)

^{1}H NMR (400 MHz, $CDCl_3$)



7-phenyl-4-((phenylamino)methyl)-3,4-dihydrobenzo[e][1,2,3]oxathiazine 2,2-dioxide (**6**)

^{13}C NMR (101 MHz, $CDCl_3$)