

Supporting Information

Electrochemical Oxidative Radical Cascade Cyclization of Olefinic Amides and Thiophenols towards the synthesis of Sulfurated Benzoxazines, Oxazolines and Iminoisobenzofurans

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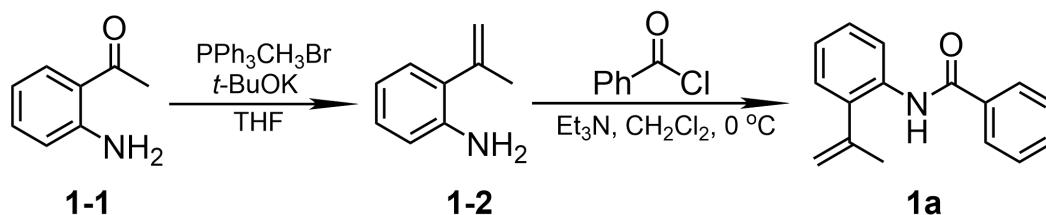
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General information

Unless otherwise noted, materials were obtained from commercial suppliers and used without further purification. The instrument for electrolysis was dual display potentiostat (DJS-292B) (made in China). The anodic electrode was graphite rod (ϕ 6 mm) and cathodic electrode was platinum plate (15 mm \times 15 mm \times 0.3 mm). Thin layer chromatography (TLC) employed glass 0.25 mm silica gel plates. Flash chromatography columns were packed with 300-400 mesh silica gel in petroleum (boiling point was between 60-90 °C). Gradient flash chromatography was conducted eluting with a continuous gradient from petroleum to the indicated solvent, and they were listed as volume/volume ratios. NMR spectra were recorded on a Bruker spectrometer at 400 MHz (^1H NMR), 101 MHz (^{13}C NMR), 376 MHz (^{19}F NMR). Chemical shifts were reported relative to tetramethylsilane, dimethyl sulfoxide (2.50 ppm for ^1H , 39.6 ppm for ^{13}C). And all ^1H , ^{13}C and ^{19}F NMR data spectra were reported in delta (δ) units, parts per million (ppm) downfield from the internal standard. Coupling constants are reported in Hertz (Hz). GC-MS spectra were recorded on a Shimadzu GC-MS QP2010 Ultra.

Experimental procedure

General procedure for the preparation of 1a-1za:¹

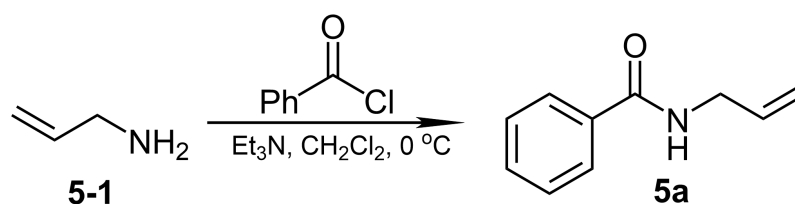


A round-bottom flask was charged with methyltriphenylphosphonium bromide (5.36 g, 15.00 mmol) and dry THF (20.00 mL) under N_2 atmosphere, followed by the addition of potassiumtert-butoxide (1.68 g, 15.00 mmol) at $0\text{ }^\circ\text{C}$. The reaction mixture was allowed to warm to ambient temperature and stir for 0.50 h. Next, 2-aminoacetophenone (**1-1**) (1.35 g, 10.00 mmol) was added. The reaction mixture was stirred at room temperature overnight. After completion, the reaction was quenched with saturated NaHCO_3 solution, and extracted with EtOAc (100.00 mL). The organic phase was dried over anhydrous MgSO_4 and concentrated under reduced pressure. The reaction mixture was purified via column chromatography to give **1-2**.

To a solution of **1-2** (0.99 g, 7.40 mmol) and Et_3N (1.53 g, 11.10 mmol) in CH_2Cl_2 (15.00 mL) was added the solution of benzoylchloride (1.00 mL, 8.90 mmol) in dichloromethane (5.00 mL) dropwise at $0\text{ }^\circ\text{C}$. After completion, the reaction mixture was purified via column chromatography to give **1a**.

Analogues **1a** ~ **1za** were synthesized by using similar procedures.

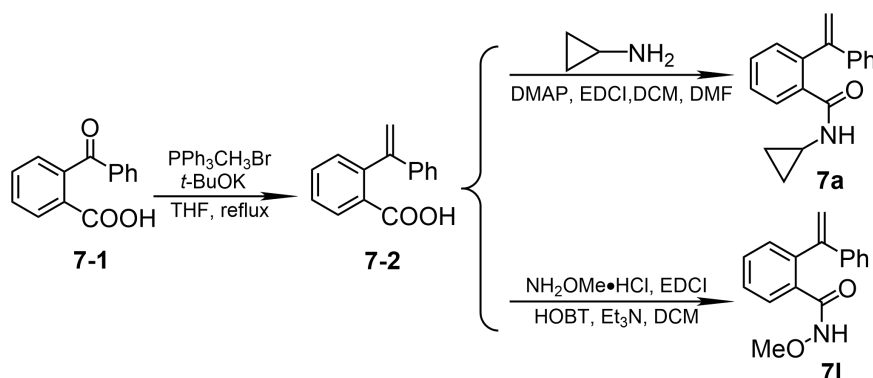
General procedure for the preparation of 5a-5j:²



To a solution of amine (5.50 mmol) in 10.00 mL DCM was added Et_3N (0.84 mL, 6.00 mmol). The mixture was cooled to $0\text{ }^\circ\text{C}$ and added dropwise benzoylchloride (5.00 mmol). The reaction was stirred for 2 h at $0\text{ }^\circ\text{C}$ and quenched with 1 M HCl. The layers were separated and the organic layer was extracted with DCM (2 x 20.00 mL). The combined organic layers were dried on MgSO_4 , filtered and the solvent was evaporated in vacuo to afford the pure amide.

Analogues **5a** ~ **5j** were synthesized by using similar procedures.

General procedure for the preparation of 7a-7m:³⁻⁵



A suspension of potassium tert-butoxide (15.00 g, 2.60 equiv.) in THF (69.00 mL) was added to a suspension of methyltriphenylphosphonium bromide (34.29 g, 1.60 equiv.) in THF (138.00 mL). The resulting yellow solution was stirred at room temperature for 1.5 h, upon which **7-1** (13.50 g, 60.00 mmol, 1.00 equiv.) was added. After the solution was refluxed overnight, the reaction mixture was cooled to room temperature and quenched with acetic acid, followed by addition of EtOAc. The organic layer was extracted with a saturated aqueous solution of NaHCO_3 . The combined aqueous layers were acidified to pH 1 with concentrated HCl and the organic layer extracted with EtOAc. The combined organic layers were washed with water, brine, dried with Na_2SO_4 , and concentrated in vacuo to afford the crude olefin product. Purification by column chromatography afforded **7-2** as a white solid.

To a solution of **7-2** (2.50 mmol, 1.00 equiv.) and cyclopropanamine (3.00 mmol, 1.20 equiv.) in CH_2Cl_2 (5.00 mL) was added DMF (0.50 mL). The mixture was cooled to 0 °C. DMAP (61.00 mg, 0.50 mmol) and 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide (528.00 mg, 3.40 mmol) was then added. The mixture was allowed to warm to room temperature and was further stirred overnight. The mixture was washed with saturated NaHCO_3 and then neutralized with HCl (1 M) until pH = 7.0. The mixture was then dried over anhydrous Na_2SO_4 . After removal of the solvent under reduced pressure, the residue was purified by silica-gel column chromatography to give the products **7a**.

To a solution of **7-2** (1.00 equiv.) in DCM (0.25 M) were added $\text{NH}_2\text{OMe}\cdot\text{HCl}$ (1.50 equiv.), triethylamine (5.00 equiv.). After stirring for 10 min, EDCI (1.50 equiv.) and HOBT (1.50 equiv.) were added. When the reaction was completed as monitored by TLC, the resulting mixture was extracted with DCM. The organic phase was washed with aqueous HCl (1.00 M), saturated

NaHCO₃ solution, brine, dried over Na₂SO₄, filtered and concentrated in vacuo. The residue was purified by silica gel column chromatography to get substrate **7l**.

Analogues **7b** ~ **7m** were synthesized by using similar procedures.

General procedure for the preparation of 3a-3p:

In an oven-dried undivided three-necked bottle (25.00 mL) equipped with a stir bar, *N*-(2-(prop-1-en-2-yl)phenyl)benzamide **1a** (0.50 mmol), thiophenols or Disulfide or Diselenide **2** (1.00 mmol), ⁿBu₄NBF₄ (0.50 mmol, 164.60 mg), MeCN (11.00 mL) was added. The bottle was equipped with graphite rod (ϕ 6 mm, about 15 mm immersion depth in solution) as the anode and platinum plate (15 mm×15 mm×0.3 mm) as the cathode. The reaction mixture was stirred and electrolyzed at a constant current of 20 mA under N₂ atmosphere at 40 °C for 4.5 h. After completion of the reaction, as indicated by TLC and GC-MS, the pure product was obtained by flash column chromatography on silica gel (petroleum ether : ethyl acetate = 100 : 1).

General procedure for the preparation of 4a-4za:

In an oven-dried undivided three-necked bottle (25.00 mL) equipped with a stir bar, Vinylanilides **1** (0.50 mmol), 4-methylbenzenethiol **2a** (1.00 mmol), ⁿBu₄NBF₄ (0.50 mmol, 164.60 mg), MeCN (11.00 mL) was added. The bottle was equipped with graphite rod (ϕ 6 mm, about 15 mm immersion depth in solution) as the anode and platinum plate (15 mm×15 mm×0.3 mm) as the cathode. The reaction mixture was stirred and electrolyzed at a constant current of 20 mA under N₂ atmosphere at 40 °C for 4.5 h. After completion of the reaction, as indicated by TLC and GC-MS, the pure product was obtained by flash column chromatography on silica gel (petroleum ether : ethyl acetate = 100 : 1).

General procedure for the preparation of 6a-6j:

In an oven-dried undivided three-necked bottle (25.00 mL) equipped with a stir bar, Allylamides **5** (0.50 mmol), 4-methylbenzenethiol **2a** (1.00 mmol), ⁿBu₄NBF₄ (0.50 mmol, 164.60 mg), MeCN (11.00 mL) was added. The bottle was equipped with graphite rod (ϕ 6 mm, about 15 mm immersion depth in solution) as the anode and platinum plate (15 mm×15 mm×0.3 mm) as the cathode. The reaction mixture was stirred and electrolyzed at a constant current of 20 mA under N₂ atmosphere at 40 °C for 5 h. After completion of the reaction, as indicated by TLC and GC-MS, the pure product was obtained by flash column chromatography on silica gel (petroleum ether :

ethyl acetate = 10 : 1).

General procedure for the preparation of 8a-8m:

In an oven-dried undivided three-necked bottle (25.00 mL) equipped with a stir bar, vinylbenzamide **7** (0.50 mmol), 4-methylbenzenethiol **2a** (1.00 mmol), $n\text{Bu}_4\text{NBF}_4$ (0.50 mmol, 164.60 mg), MeCN (11.00 mL) was added. The bottle was equipped with graphite rod (ϕ 6 mm, about 15 mm immersion depth in solution) as the anode and platinum plate (15 mm \times 15 mm \times 0.3 mm) as the cathode. The reaction mixture was stirred and electrolyzed at a constant current of 20 mA under N_2 atmosphere at 40 °C for 5 h. After completion of the reaction, as indicated by TLC and GC-MS, the pure product was obtained by flash column chromatography on silica gel (petroleum ether : ethyl acetate = 20 : 1).

General procedure for the preparation of 9a / 10a:

To a solution of **3a** in DCM was added dropwise *m*CPBA (1.00 equiv. or 2.50 equiv.) at 0 °C. After the mixture was stirred for 1 h, aqueous sat. NaHCO_3 solution was slowly added. The mixture was extracted with DCM for three times. The combined organic layers were washed with brine, dried and concentrated to give a crude residue, which was purified by flash chromatography on silica gel (EA/PE = 1:1) to afford the product **9a** in 63% yield or **10a** in 57% yield.

Procedure for the preparation of 11a:

To a solution of **8k** in 1,2-dimethoxyethane at 0 °C was added 10% aq. HCl. The mixture was then heated at reflux for 30 min. Upon completion of the reaction, the resulting mixture was diluted with EtOAc and washed with aq. NH_4Cl and brine, dried over Na_2SO_4 . The solvent was then removed under vacuo. The residue was purified by column chromatography on silica gel to give the corresponding product **11a** in 87% yield.

Procedure for the preparation of 12a:

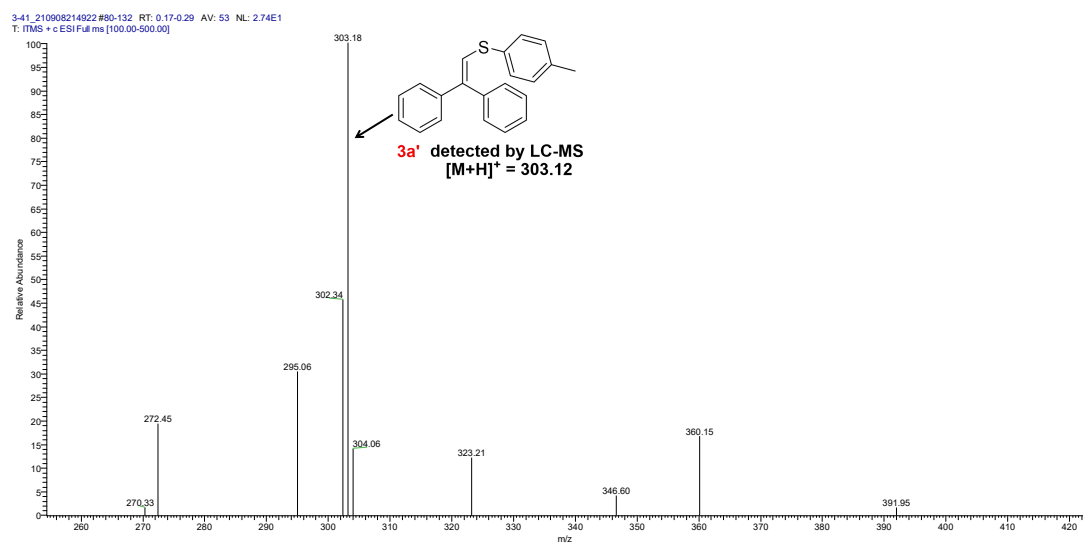
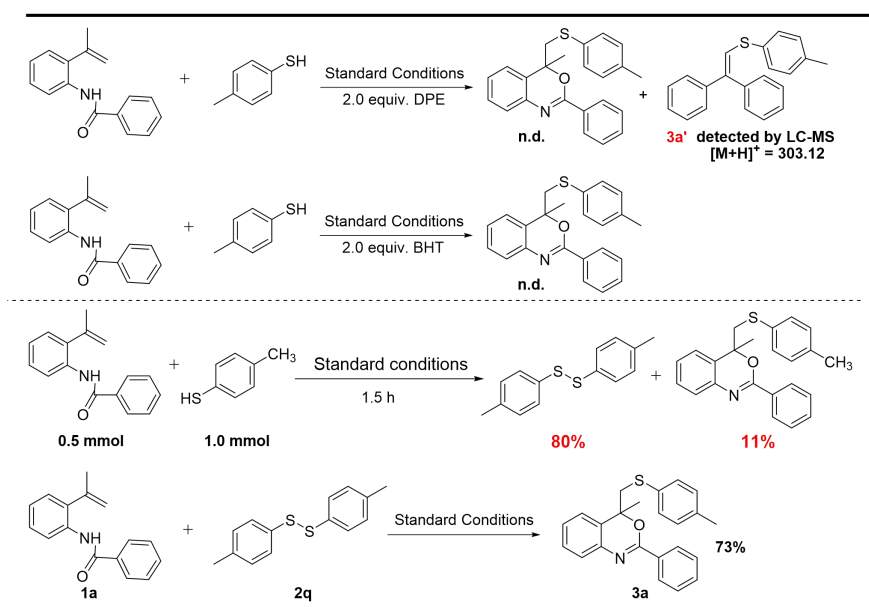
To a solution of **6a** in THF and 2 M HCl was added. The reaction was allowed to stir overnight at room temperature. After completion solvent was removed in vacuo and the resulted mixture was washed with aq. NaHCO_3 solution and the organic phase was extracted with ethyl acetate for twice. The organic layers were combined and dried over Na_2SO_4 . The solvent was then removed under vacuo. The residue was purified by column chromatography on silica gel to give the corresponding product **12a** in 58% yield.

Procedure for gram scale synthesis of **3a**:

In an oven-dried undivided three-necked bottle (250.00 mL) equipped with a stir bar, *N*-(2-(prop-1-en-2-yl)phenyl)benzamide **1a** (5.00 mmol, 1185.60 mg), 4-methylbenzenethiol **2a** (10.00 mmol, 1242.00 mg), ⁿBu₄NBF₄ (5.00 mmol, 1646.40 mg), MeCN (110.00 mL) was added. The bottle was equipped with graphite rod (ϕ 6 mm, about 15 mm immersion depth in solution) as the anode and platinum plate (15 mm×15 mm×0.3 mm) as the cathode. The reaction mixture was stirred and electrolyzed at a constant current of 25 mA under N₂ atmosphere at room temperature for 28 h. After completion of the reaction, as indicated by TLC and GC-MS, The residue was purified by column chromatography on silica gel to give the corresponding product **3a** in 65% yield.

Mechanism research

To investigate the possible mechanism of this transformation, a series of control experiments were carried out. No desired product was obtained when 1,1-diphenylethane (DPE) or butylated hydroxytoluene (BHT) was added. The **3a'** adduct was detected by LC-MS in the reaction system. These results indicated this reaction probably underwent a radical pathway, and sulfur radical might be involved in the transformation. The reaction was carried out for 1.5 hr to control the experiment, the formation of the desired product **3a** was detected in 10% yield, obtaining disulfide in high yield 80%. In addition, To confirm the role of disulfide **2q**, and under the standard conditions, the reaction between disulfide **2q** and N-(2-(prop-1-en-2-yl)phenyl)benzamide **1a** was conducted to obtain **3a** in 73% yield.



CV experiments:

Cyclic voltammetry was performed in a three-electrode cell connected to a schlenk line under air at room temperature. The working electrode was a glassy carbon electrode, the counter electrode a platinum wire. The reference was an Ag/AgCl electrode submerged in saturated aqueous KCl solution. 10 mL of CH₃CN containing 0.01 M ⁿBu₄NBF₄ were poured into the electrochemical cell in all experiments. The scan rate is 0.1 V/s, ranging from 0 V to 3.5 V. The peak potentials vs. Ag/AgCl for used. An obvious oxidation peak of *N*-(2-(prop-1-en-2-yl)phenyl)benzamide **1a** was observed at 1.80 V. The oxidation peak of 4-chlorobenzenethiol **2e** could also be observed at 1.51 V. So, **2e** was oxidized preferentially at the anode. A reduction peak of diphenyl disulfide was observed at -1.14 V under the reaction solvent system. Therefore, the diphenyl disulfide may involve in reduction processes in the catalytic cycle.

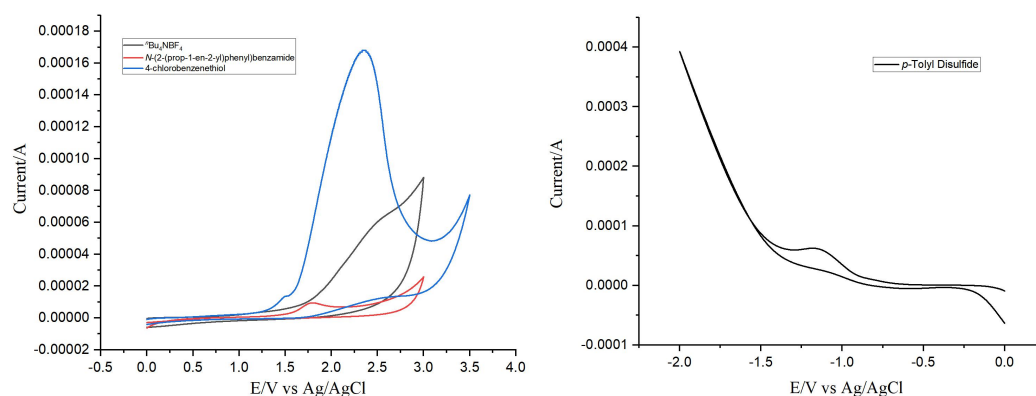
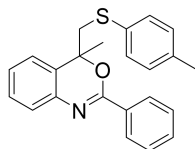
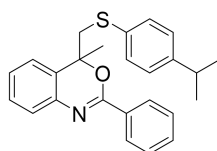


Figure S1 Cyclic voltammogram

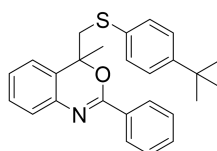
Detail descriptions for products



4-methyl-2-phenyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (3a). (Yellow oil was obtained in 75% isolated yield, 135.0 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.95 (d, $J = 8.0$ Hz, 2H), 7.51 (t, $J = 8.0$ Hz, 1H), 7.42 (t, $J = 8.0$ Hz, 2H), 7.35–7.30 (m, 2H), 7.22 (t, $J = 8.0$ Hz, 2H), 7.17 (d, $J = 8.0$ Hz, 2H), 6.96 (d, $J = 8.0$ Hz, 2H), 3.66 (d, $J = 16.0$ Hz, 1H), 3.50 (d, $J = 16.0$ Hz, 1H), 2.15 (s, 3H), 1.77 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.2, 138.4, 135.5, 132.6, 132.1, 131.5, 129.6, 129.3, 129.0, 128.5, 128.3, 127.6, 126.8, 124.8, 124.0, 80.5, 44.8, 26.8, 20.6. HRMS (ESI) calcd for $\text{C}_{23}\text{H}_{22}\text{NOS}$: 360.1417 ($\text{M}+\text{H}^+$), found: 360.1426.

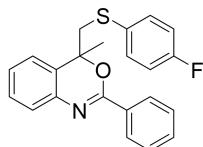


4-(((4-isopropylphenyl)thio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3b) (Colorless oil was obtained in 64% isolated yield, 124.0 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.99 (d, $J = 8.0$ Hz, 2H), 7.49 (t, $J = 8.0$ Hz, 1H), 7.41 (t, $J = 8.0$ Hz, 2H), 7.33–7.28 (m, 2H), 7.23 (d, $J = 8.0$ Hz, 1H), 7.20–7.17 (m, 3H), 7.00 (d, $J = 8.0$ Hz, 2H), 3.66 (d, $J = 12.0$ Hz, 1H), 3.50 (d, $J = 16.0$ Hz, 1H), 2.74–2.68 (m, 1H), 1.77 (s, 3H), 1.08–1.06 (m, 6H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.2, 146.4, 138.4, 133.0, 132.1, 131.4, 129.4, 128.9, 128.5, 128.3, 127.6, 126.9, 126.8, 124.8, 123.9, 80.4, 44.8, 33.0, 26.7, 23.8, 23.7. HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{26}\text{NOS}$: 388.1730 ($\text{M}+\text{H}^+$), found: 388.1739.



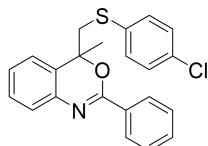
4-(((4-(tert-butyl)phenyl)thio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3c) (Colorless oil was obtained in 58% isolated yield, 115.5 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.96 (d, $J = 8.0$ Hz, 2H), 7.50 (t, $J = 8.0$ Hz, 1H), 7.41 (t, $J =$

8.0 Hz, 2H), 7.34–7.28 (m, 2H), 7.22–7.14 (m, 6H), 3.67 (d, $J = 16.0$ Hz, 1H), 3.52 (d, $J = 16.0$ Hz, 1H), 1.77 (s, 3H), 1.16 (s, 9H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.1, 148.6, 138.4, 132.7, 132.1, 131.5, 129.0, 128.9, 128.4, 128.3, 127.5, 126.8, 125.7, 124.8, 123.9, 80.4, 44.7, 34.1, 31.0, 26.8. HRMS (ESI) calcd for $\text{C}_{26}\text{H}_{28}\text{NOS}$: 402.1886 ($\text{M}+\text{H}^+$), found: 402.1892.



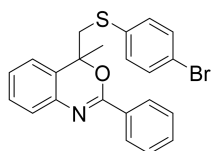
4-(((4-fluorophenyl)thio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3d)

(Colorless oil was obtained in 42% isolated yield, 76.6 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.94 (d, $J = 8.0$ Hz, 2H), 7.52 (t, $J = 8.0$ Hz, 1H), 7.43 (t, $J = 8.0$ Hz, 2H), 7.34–7.29 (m, 4H), 7.22–7.18 (m, 2H), 6.98 (t, $J = 8.0$ Hz, 2H), 3.71 (d, $J = 12.0$ Hz, 1H), 3.55 (d, $J = 16.0$ Hz, 1H), 1.78 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 160.9 (d, $J = 242.4$ Hz), 155.1, 138.4, 132.0, 131.6, 131.6, 131.5, 129.0, 128.3, 128.3, 127.5, 126.8, 124.8, 124.0, 115.9 (d, $J = 20.2$ Hz), 80.6, 45.2, 27.0. ^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) δ -116.23. HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{18}\text{FNNaOS}$: 386.0985 ($\text{M}+\text{Na}^+$), found: 386.0975.



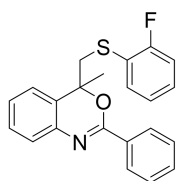
4-(((4-chlorophenyl)thio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3e)

(Colorless oil was obtained in 56% isolated yield, 106.1 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.92 (d, $J = 8.0$ Hz, 2H), 7.50 (t, $J = 8.0$ Hz, 1H), 7.41 (t, $J = 8.0$ Hz, 2H), 7.34 (d, $J = 8.0$ Hz, 1H), 7.31–7.27 (m, 3H), 7.23–7.20 (m, 2H), 7.16 (d, $J = 8.0$ Hz, 2H), 3.73 (d, $J = 16.0$ Hz, 1H), 3.59 (d, $J = 16.0$ Hz, 1H), 1.78 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.1, 138.4, 135.3, 132.0, 131.5, 130.6, 130.3, 129.0, 128.7, 128.3, 127.5, 126.9, 124.8, 124.0, 80.5, 44.1, 26.9. HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{19}\text{ClNOS}$: 380.0870 ($\text{M}+\text{H}^+$), found: 380.0862.



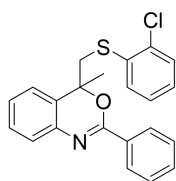
4-(((4-bromophenyl)thio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3f)

(Colorless oil was obtained in 46% isolated yield, 97.5 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.91 (d, $J = 8.0$ Hz, 2H), 7.51 (t, $J = 8.0$ Hz, 1H), 7.41 (t, $J = 8.0$ Hz, 2H), 7.36–7.29 (m, 4H), 7.24–7.18 (m, 4H), 3.74 (d, $J = 12.0$ Hz, 1H), 3.60 (d, $J = 16.0$ Hz, 1H), 1.78 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.0, 138.4, 135.9, 131.9, 131.6, 131.5, 130.5, 129.0, 128.3, 127.4, 126.8, 124.8, 123.9, 118.8, 80.4, 43.9, 26.9. HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{19}\text{BrNOS}$: 424.0365 ($\text{M}+\text{H}^+$), found: 424.0363.



4-(((2-fluorophenyl)thio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3g)

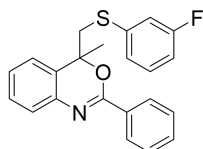
(Colorless oil was obtained in 17% isolated yield, 30.9 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.93 (d, $J = 8.0$ Hz, 2H), 7.52 (t, $J = 8.0$ Hz, 1H), 7.45–7.35 (m, 4H), 7.31 (t, $J = 8.0$ Hz, 1H), 7.22–7.18 (m, 2H), 7.14 (t, $J = 8.0$ Hz, 1H), 7.08 (t, $J = 8.0$ Hz, 1H), 7.02 (t, $J = 8.0$ Hz, 1H), 3.75 (d, $J = 16.0$ Hz, 1H), 3.60 (d, $J = 12.0$ Hz, 1H), 1.78 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 160.1 (d, $J = 242.4$ Hz), 155.1, 138.4, 132.0, 131.7, 131.3, 129.1, 128.5, 128.4 (d, $J = 10.1$ Hz), 128.2, 127.5, 127.0, 125.0, 124.9, 124.1, 122.9 (d, $J = 20.2$ Hz), 115.6 (d, $J = 30.3$ Hz), 80.5, 43.3, 27.1; ^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) δ -110.40. HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{19}\text{FNOS}$: 364.1166 ($\text{M}+\text{H}^+$), found: 364.1171.



4-(((2-chlorophenyl)thio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3h)

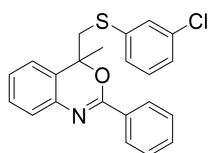
(Colorless oil was obtained in 62% isolated yield, 117.3 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.99 (d, $J = 8.0$ Hz, 2H), 7.52 (t, $J = 8.0$ Hz, 1H), 7.46–7.42 (m, 3H),

7.40 (d, $J = 8.0$ Hz, 1H), 7.36–7.31 (m, 2H), 7.24–7.16 (m, 3H), 7.08 (t, $J = 8.0$ Hz, 1H), 3.81 (d, $J = 12.0$ Hz, 1H), 3.67 (d, $J = 12.0$ Hz, 1H), 1.81 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.1, 138.3, 135.4, 132.0, 131.8, 131.7, 129.4, 129.2, 128.7, 128.5, 128.3, 127.7, 127.6, 127.0, 126.9, 124.9, 124.0, 80.3, 42.6, 27.1. HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{19}\text{ClNOS}$: 380.0870 ($\text{M}+\text{H}^+$), found: 380.0870.



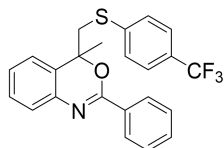
4-(((3-fluorophenyl)thio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3i)

(Colorless oil was obtained in 29% isolated yield, 52.7 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.96 (d, $J = 8.0$ Hz, 2H), 7.52 (t, $J = 8.0$ Hz, 1H), 7.43 (t, $J = 8.0$ Hz, 2H), 7.37 (d, $J = 8.0$ Hz, 1H), 7.31 (t, $J = 8.0$ Hz, 1H), 7.22–7.15 (m, 4H), 7.10 (d, $J = 8.0$ Hz, 1H), 6.85 (t, $J = 8.0$ Hz, 1H), 3.80 (d, $J = 12.0$ Hz, 1H), 3.68 (d, $J = 12.0$ Hz, 1H), 1.79 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 162.2 (d, $J = 242.4$ Hz), 155.1, 139.1 (d, $J = 10.1$ Hz), 138.4, 132.0, 131.6, 130.5 (d, $J = 10.1$ Hz), 129.0, 128.3, 128.3, 127.5, 126.9, 124.8, 124.1, 124.0, 114.7 (d, $J = 20.2$ Hz), 112.5 (d, $J = 30.3$ Hz), 80.5, 43.4, 27.1; ^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) δ -112.44. HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{19}\text{FNOS}$: 364.1166 ($\text{M}+\text{H}^+$), found: 364.1171.

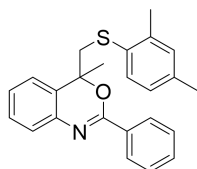


4-(((3-chlorophenyl)thio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3j)

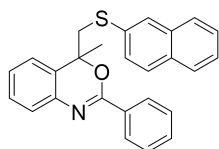
(Colorless oil was obtained in 33% isolated yield, 64 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.97 (d, $J = 8.0$ Hz, 2H), 7.50 (t, $J = 8.0$ Hz, 1H), 7.42 (t, $J = 8.0$ Hz, 2H), 7.35–7.28 (m, 3H), 7.24–7.17 (m, 3H), 7.13 (t, $J = 8.0$ Hz, 1H), 7.06 (d, $J = 8.0$ Hz, 1H), 3.79 (d, $J = 12.0$ Hz, 1H), 3.66 (d, $J = 16.0$ Hz, 1H), 1.79 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.0, 138.8, 138.4, 133.6, 132.0, 131.5, 130.2, 129.0, 128.2, 128.2, 127.5, 126.8, 126.8, 125.6, 124.8, 123.9, 80.4, 43.6, 27.0. HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{19}\text{ClNOS}$: 380.0870 ($\text{M}+\text{H}^+$), found: 380.0874.



4-methyl-2-phenyl-4-(((4-(trifluoromethyl)phenyl)thio)methyl)-4H-benzo[d][1,3]oxazine (3k) (Colorless oil was obtained in 81% isolated yield, 166.6 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.93 (d, $J = 8.0$ Hz, 2H), 7.48–7.35 (m, 8H), 7.30 (t, $J = 8.0$ Hz, 1H), 7.24–7.18 (m, 2H), 3.82 (d, $J = 12.0$ Hz, 1H), 3.70 (d, $J = 16.0$ Hz, 1H), 1.80 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.0, 142.3, 138.4, 132.0, 131.5, 129.0, 128.2, 127.9, 127.4, 126.9, 126.1, 125.8, 125.4 (q, $J = 10.1$ Hz), 124.9, 124.3 (q, $J = 272.7$ Hz), 123.9, 80.4, 42.9, 26.9; ^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) δ -61.0. HRMS (ESI) calcd for $\text{C}_{23}\text{H}_{19}\text{F}_3\text{NOS}$: 414.1134 ($\text{M}+\text{H}^+$), found: 414.1143.

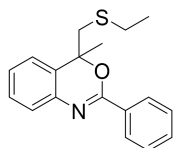


4-(((2,4-dimethylphenyl)thio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3l). (Colorless oil was obtained in 64% isolated yield, 120.0 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.95 (d, $J = 8.0$ Hz, 2H), 7.51 (t, $J = 8.0$ Hz, 1H), 7.42 (t, $J = 8.0$ Hz, 2H), 7.34–7.29 (m, 2H), 7.23–7.17 (m, 3H), 6.83 (t, $J = 8.0$ Hz, 2H), 3.61 (d, $J = 16.0$ Hz, 1H), 3.44 (d, $J = 8.0$ Hz, 1H), 2.16 (s, 3H), 2.12 (s, 3H), 1.77 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.2, 138.4, 137.4, 135.6, 132.1, 131.7, 131.5, 130.8, 129.9, 128.9, 128.5, 128.3, 127.5, 127.5, 127.2, 126.8, 124.8, 124.0, 80.5, 44.8, 27.0, 20.5, 20.2. HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{24}\text{NOS}$: 374.1573 ($\text{M}+\text{H}^+$), found: 374.1570.

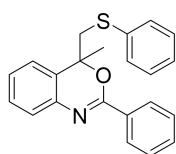


4-methyl-4-((naphthalen-2-ylthio)methyl)-2-phenyl-4H-benzo[d][1,3]oxazine (3m) (Colorless oil was obtained in 16% isolated yield, 32.3 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.90 (d, $J = 8.0$ Hz, 2H), 7.81–7.70 (m, 4H), 7.47–7.38 (m, 5H), 7.33–7.28 (m, 3H), 7.21 (t, $J = 8.0$ Hz, 2H), 3.85 (d, $J = 16.0$ Hz, 1H), 3.72 (d, $J = 16.0$ Hz, 1H), 1.82 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.2, 138.4, 134.0,

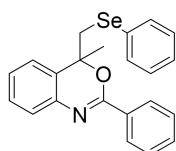
133.4, 132.0, 131.5, 131.2, 129.1, 128.5, 128.3, 128.3, 127.6, 127.5, 127.0, 127.0, 126.9, 126.6, 126.1, 125.7, 124.8, 124.1, 80.6, 43.8, 27.0. HRMS (ESI) calcd for $C_{26}H_{22}NOS$: 396.1417 ($M+H^+$), found: 396.1422.



4-((ethylthio)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3n) (Colorless oil was obtained in 12% isolated yield, 18.2 mg). 1H NMR (400 MHz, $DMSO-d_6$) δ 8.09 (d, $J = 8.0$ Hz, 2H), 7.58–7.49 (m, 3H), 7.32 (t, $J = 8.0$ Hz, 2H), 7.24–7.19 (m, 2H), 3.09 (d, $J = 16.0$ Hz, 1H), 3.04 (d, $J = 12.0$ Hz, 1H), 2.39–2.30 (m, 2H), 1.76 (s, 3H), 1.02 (t, $J = 8.0$ Hz, 3H); ^{13}C NMR (101 MHz, $DMSO-d_6$) δ 155.4, 138.5, 132.3, 131.7, 128.9, 128.9, 128.6, 127.6, 126.8, 124.7, 124.0, 80.8, 42.3, 26.9, 26.6, 14.9. HRMS (ESI) calcd for $C_{18}H_{20}NOS$: 298.1260 ($M+H^+$), found: 298.1270.

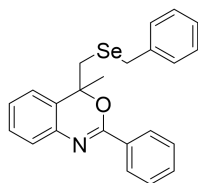


4-methyl-2-phenyl-4-((phenylthio)methyl)-4H-benzo[d][1,3]oxazine (3o) (Colorless oil was obtained in 67% isolated yield, 116.3 mg). 1H NMR (400 MHz, $DMSO-d_6$) δ 7.99 (d, $J = 8.0$ Hz, 2H), 7.52 (t, $J = 8.0$ Hz, 1H), 7.44 (t, $J = 8.0$ Hz, 2H), 7.37 (d, $J = 8.0$ Hz, 1H), 7.34–7.29 (m, 3H), 7.25–7.16 (m, 4H), 7.08 (t, $J = 8.0$ Hz, 1H), 3.74 (d, $J = 16.0$ Hz, 1H), 3.59 (d, $J = 16.0$ Hz, 1H), 1.79 (s, 3H); ^{13}C NMR (101 MHz, $DMSO-d_6$) δ 155.2, 138.4, 136.4, 132.1, 131.6, 129.1, 129.0, 128.6, 128.5, 128.4, 127.6, 126.9, 125.9, 124.8, 124.0, 80.4, 43.9, 26.9. HRMS (ESI) calcd for $C_{22}H_{20}NOS$: 346.1260 ($M+H^+$), found: 346.1268.

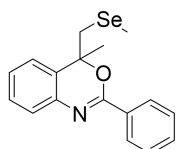


4-methyl-2-phenyl-4-((phenylselanyl)methyl)-4H-benzo[d][1,3]oxazine (3p) (Colorless oil was obtained in 70% isolated yield, 137.6 mg). 1H NMR (400 MHz, $DMSO-d_6$) δ 8.01 (d, $J = 8.0$ Hz, 2H), 7.53 (t, $J = 8.0$ Hz, 1H), 7.45 (t, $J = 8.0$ Hz, 2H),

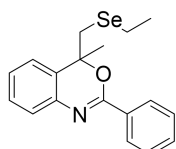
7.42–7.40 (m, 2H), 7.36 (d, $J = 8.0$ Hz, 1H), 7.34–7.30 (m, 1H), 7.24–7.20 (m, 2H), 7.19–7.15 (m, 3H), 3.74 (d, $J = 12.0$ Hz, 1H), 3.57 (d, $J = 12.0$ Hz, 1H), 1.80 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 155.2, 138.3, 132.1, 131.7, 131.6, 130.5, 129.2, 129.1, 128.9, 128.5, 127.6, 127.0, 126.7, 124.8, 123.9, 80.3, 27.3. HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{20}\text{NOSe}$: 394.0706 ($\text{M}+\text{H}^+$), found: 394.0710.



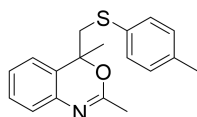
4-((benzylselanyl)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3q) (Colorless oil was obtained in 83% isolated yield, 168.8 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 8.13 (d, $J = 8.0$ Hz, 2H), 7.57–7.48 (m, 3H), 7.35–7.20 (m, 6H), 7.14 (t, $J = 8.0$ Hz, 3H), 3.63–3.57 (m, 2H), 3.19 (d, $J = 12.0$ Hz, 1H), 3.04 (d, $J = 16.0$ Hz, 1H), 1.76 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 155.4, 139.3, 138.5, 132.3, 131.8, 129.2, 129.0, 128.9, 128.6, 128.5, 127.7 (t, $J = 10.1$ Hz), 126.9, 126.7, 124.8, 123.9, 80.5, 35.0, 27.9, 26.8. HRMS (ESI) calcd for $\text{C}_{23}\text{H}_{22}\text{NOSe}$: 408.0862 ($\text{M}+\text{H}^+$), found: 408.0867.



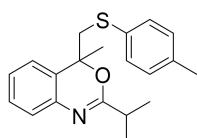
4-methyl-4-((methylselanyl)methyl)-2-phenyl-4H-benzo[d][1,3]oxazine (3r) (Colorless oil was obtained in 79% isolated yield, 130.6 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 8.11 (d, $J = 8.0$ Hz, 2H), 7.57–7.54 (m, 1H), 7.50 (t, $J = 8.0$ Hz, 2H), 7.31 (t, $J = 8.0$ Hz, 2H), 7.24–7.20 (m, 2H), 3.22 (d, $J = 16.0$ Hz, 1H), 3.08 (d, $J = 12.0$ Hz, 1H), 1.80–1.77 (m, 6H); ^{13}C NMR (101 MHz, DMSO- d_6) δ ^{13}C NMR (101 MHz, CDCl_3) δ 155.3, 138.4, 132.3, 131.7, 129.2, 128.9, 128.6, 127.6 (t, $J = 10.1$ Hz), 126.8, 124.7, 123.9, 80.7, 36.8, 26.9, 5.9. HRMS (ESI) calcd for $\text{C}_{17}\text{H}_{18}\text{NOSe}$: 332.0549 ($\text{M}+\text{H}^+$), found: 332.0550.



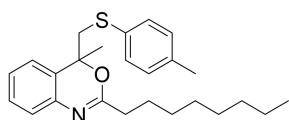
4-((ethylselanyl)methyl)-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazine (3s) (Colorless oil was obtained in 69% isolated yield, 119.3 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.10 (d, *J* = 8.0 Hz, 2H), 7.55 (t, *J* = 8.0 Hz, 1H), 7.50 (t, *J* = 8.0 Hz, 2H), 7.35–7.29 (m, 2H), 7.22 (t, *J* = 8.0 Hz, 2H), 3.25 (d, *J* = 12.0 Hz, 1H), 3.09 (d, *J* = 12.0 Hz, 1H), 2.37 (q, *J* = 8.0 Hz, 2H), 1.79 (s, 3H), 1.16 (t, *J* = 8.0 Hz, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 155.3, 138.4, 132.3, 131.7, 129.3, 128.9, 128.5, 127.6, 126.8, 124.7, 123.9, 80.5, 34.6, 26.9, 18.4, 15.7. HRMS (ESI) calcd for C₁₈H₂₀NOSe: 346.0705 (M+H⁺), found: 346.0710.



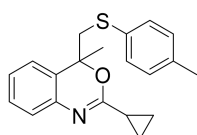
2,4-dimethyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4a) (Colorless oil was obtained in 72% isolated yield, 107.3 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.24–7.19 (m, 4H), 7.12 (t, *J* = 8.0 Hz, 1H), 7.07 (d, *J* = 8.0 Hz, 2H), 7.00 (d, *J* = 8.0 Hz, 1H), 3.51 (d, *J* = 12.0 Hz, 1H), 3.34 (d, *J* = 12.0 Hz, 1H), 2.23 (s, 3H), 1.74 (s, 3H), 1.68 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 158.5, 138.2, 135.6, 132.8, 129.6, 129.6, 128.7, 127.8, 126.3, 123.9, 123.8, 79.9, 45.5, 27.1, 20.9, 20.6. HRMS (ESI) calcd for C₁₈H₂₀NOS: 298.1260 (M+H⁺), found: 298.1256.



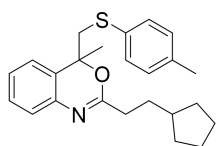
2-isopropyl-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4b) (Colorless oil was obtained in 65% isolated yield, 105.0 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.25–7.21 (m, 2H), 7.17 (d, *J* = 8.0 Hz, 2H), 7.13 (t, *J* = 8.0 Hz, 1H), 7.06 (d, *J* = 8.0 Hz, 2H), 7.02 (d, *J* = 8.0 Hz, 1H), 3.56 (d, *J* = 12.0 Hz, 1H), 3.38 (d, *J* = 16.0 Hz, 1H), 2.34–2.27 (m, 1H), 2.22 (s, 3H), 1.63 (s, 3H), 1.08–1.05 (m, 6H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 164.8, 138.2, 135.6, 133.0, 129.7, 129.3, 128.8, 128.1, 126.4, 124.2, 123.9, 79.6, 44.8, 33.4, 27.1, 20.6, 19.5, 19.4. HRMS (ESI) calcd for C₂₀H₂₄NOS: 326.1573 (M+H⁺), found: 326.1572.



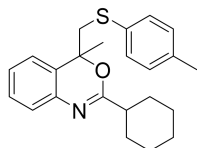
4-methyl-2-octyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4c) (Colorless oil was obtained in 71% isolated yield, 140.3 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.23–7.16 (m, 4H), 7.11 (t, $J = 8.0$ Hz, 1H), 7.04 (d, $J = 8.0$ Hz, 2H), 6.99 (d, $J = 8.0$ Hz, 1H), 3.50 (d, $J = 16.0$ Hz, 1H), 3.33 (d, $J = 12.0$ Hz, 1H), 2.21 (s, 3H), 2.01–1.88 (m, 2H), 1.65 (s, 3H), 1.46 (s, 2H), 1.18 (s, 10H), 0.81 (t, $J = 8.0$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 161.2, 138.2, 135.5, 133.0, 129.6, 129.4, 128.7, 128.0, 126.3, 124.0, 123.9, 79.7, 45.2, 34.2, 31.4, 28.9, 28.8, 28.8, 27.1, 25.5, 22.3, 20.6, 14.1. HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{34}\text{NOS}$: 396.2356 ($\text{M}+\text{H}^+$), found: 396.2365.



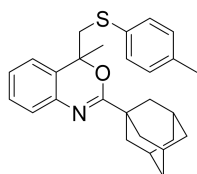
2-cyclopropyl-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4d) (Colorless oil was obtained in 63% isolated yield, 102.3 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.23–7.18 (m, 4H), 7.11–7.06 (m, 3H), 6.98 (d, $J = 8.0$ Hz, 1H), 3.52 (d, $J = 16.0$ Hz, 1H), 3.34 (d, $J = 12.0$ Hz, 1H), 2.23 (s, 3H), 1.61 (s, 3H), 1.52–1.45 (m, 1H), 0.91–0.87 (m, 1H), 0.80–0.71 (m, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 161.8, 138.5, 135.5, 132.8, 129.6, 129.3, 128.7, 128.1, 125.7, 123.8, 123.5, 79.7, 44.6, 26.6, 20.6, 14.1, 6.7 (d, $J = 40.4$ Hz). HRMS (ESI) calcd for $\text{C}_{20}\text{H}_{22}\text{NOS}$: 324.1417 ($\text{M}+\text{H}^+$), found: 324.1418.



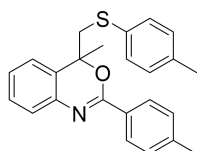
2-(2-cyclopentylethyl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4e) (Colorless oil was obtained in 68% isolated yield, 128.7 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.25–7.19 (m, 4H), 7.12 (t, $J = 8.0$ Hz, 1H), 7.07 (d, $J = 8.0$ Hz, 2H), 7.00 (d, $J = 8.0$ Hz, 1H), 3.52 (d, $J = 16.0$ Hz, 1H), 3.36 (d, $J = 16.0$ Hz, 1H), 2.23 (s, 3H), 2.02–1.92 (m, 2H), 1.66 (s, 6H), 1.54–1.43 (m, 6H), 1.00 (s, 2H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 161.2, 138.2, 135.5, 132.9, 129.6, 129.4, 128.7, 128.0, 126.2, 124.0, 123.8, 79.7, 45.1, 33.5, 32.1, 31.6, 27.1, 24.8, 24.8, 20.6. HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{30}\text{NOS}$: 380.2043 ($\text{M}+\text{H}^+$), found: 380.2048.



2-cyclohexyl-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4f) (Colorless oil was obtained in 67% isolated yield, 121.6 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.23–7.17 (m, 4H), 7.11 (t, $J = 8.0$ Hz, 1H), 7.05 (d, $J = 8.0$ Hz, 2H), 7.01 (d, $J = 8.0$ Hz, 1H), 3.52 (d, $J = 16.0$ Hz, 1H), 3.35 (d, $J = 16.0$ Hz, 1H), 2.22 (s, 3H), 1.91–1.86 (m, 1H), 1.77–1.58 (m, 8H), 1.34–1.11 (m, 5H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 163.8, 138.3, 135.5, 133.0, 129.6, 129.5, 128.6, 128.2, 126.2, 124.2, 123.8, 79.5, 44.8, 42.5, 29.3 (d, $J = 10.1$ Hz), 26.8, 25.6, 25.4 (d, $J = 10.1$ Hz), 20.6. HRMS (ESI) calcd for $\text{C}_{23}\text{H}_{28}\text{NOS}$: 366.1886 ($\text{M}+\text{H}^+$), found: 366.1892.

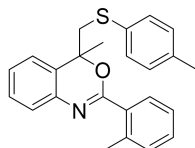


2-((1s,3s)-adamantan-1-yl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4g) (Colorless oil was obtained in 59% isolated yield, 122.9 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.23–7.16 (m, 4H), 7.13–7.09 (m, 1H), 7.03 (t, $J = 8.0$ Hz, 3H), 3.56 (d, $J = 12.0$ Hz, 1H), 3.42 (d, $J = 12.0$ Hz, 1H), 2.21 (s, 3H), 1.92 (s, 3H), 1.76 (t, $J = 12.0$ Hz, 6H), 1.66–1.58 (m, 9H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 165.6, 138.4, 135.3, 133.1, 129.5, 129.2, 128.6, 128.3, 126.1, 124.3, 123.6, 79.2, 44.1, 38.7, 38.5, 36.2, 27.6, 26.6, 20.5. HRMS (ESI) calcd for $\text{C}_{27}\text{H}_{32}\text{NOS}$: 418.2199 ($\text{M}+\text{H}^+$), found: 418.2190.

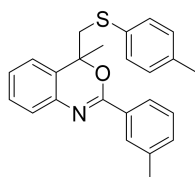


4-methyl-2-(p-tolyl)-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4h) (Colorless oil was obtained in 71% isolated yield, 131.7 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.86 (d, $J = 8.0$ Hz, 2H), 7.34–7.29 (m, 2H), 7.23–7.16 (m, 6H), 6.96 (d, $J = 8.0$ Hz, 2H), 3.65 (d, $J = 12.0$ Hz, 1H), 3.48 (d, $J = 12.0$ Hz, 1H), 2.34 (s, 3H), 2.16 (s, 3H), 1.77 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.3, 141.5, 138.6,

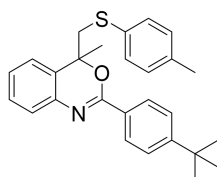
135.5, 132.7, 129.6, 129.4, 129.3, 128.9, 128.9, 128.5, 127.6, 126.6, 124.7, 123.9, 80.2, 44.7, 26.6, 21.2, 20.5. HRMS (ESI) calcd for C₂₄H₂₄NOS: 374.1573 (M+H⁺), found: 374.1575.



4-methyl-2-(o-tolyl)-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4i) (Colorless oil was obtained in 66% isolated yield, 122.4 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.72 (d, *J* = 8.0 Hz, 1H), 7.37–7.28 (m, 3H), 7.25–7.14 (m, 6H), 6.97 (d, *J* = 8.0 Hz, 2H), 3.68 (d, *J* = 12.0 Hz, 1H), 3.52 (d, *J* = 16.0 Hz, 1H), 2.57 (s, 3H), 2.17 (s, 3H), 1.77 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 156.8, 138.4, 137.9, 135.5, 132.7, 132.0, 131.3, 130.4, 129.6, 129.5, 129.4, 128.9, 127.9, 126.9, 125.7, 124.8, 124.0, 80.6, 45.0, 27.1, 21.6, 20.6. HRMS (ESI) calcd for C₂₄H₂₄NOS: 374.1573 (M+H⁺), found: 374.1578.

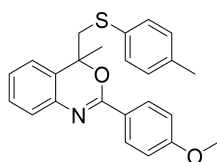


4-methyl-2-(m-tolyl)-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4j) (Colorless oil was obtained in 71% isolated yield, 131.9 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.76 (t, *J* = 8.0 Hz, 2H), 7.34–7.27 (m, 4H), 7.22 (t, *J* = 8.0 Hz, 2H), 7.16 (d, *J* = 8.0 Hz, 2H), 6.95 (d, *J* = 8.0 Hz, 2H), 3.66 (d, *J* = 12.0 Hz, 1H), 3.50 (d, *J* = 16.0 Hz, 1H), 2.32 (s, 3H), 2.14 (s, 3H), 1.76 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 155.3, 138.5, 137.5, 135.4, 132.7, 132.1, 132.1, 129.5, 129.2, 128.9, 128.5, 128.2, 127.9, 126.8, 124.8, 124.8, 124.0, 80.4, 44.7, 26.9, 21.0, 20.6. HRMS (ESI) calcd for C₂₄H₂₄NOS: 374.1573 (M+H⁺), found: 374.1567.



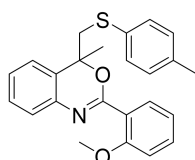
2-(4-(tert-butyl)phenyl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]

oxazine (4k) (Colorless oil was obtained in 73% isolated yield, 148.3 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.89 (d, *J* = 8.0 Hz, 2H), 7.41 (d, *J* = 8.0 Hz, 2H), 7.34–7.28 (m, 2H), 7.23–7.16 (m, 4H), 6.96 (d, *J* = 8.0 Hz, 2H), 3.64 (d, *J* = 16.0 Hz, 1H), 3.48 (d, *J* = 16.0 Hz, 1H), 2.16 (s, 3H), 1.76 (s, 3H), 1.27 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 155.2, 154.3, 138.6, 135.4, 132.7, 129.5, 129.3, 128.8, 128.5, 127.4, 126.6, 125.0, 124.7, 123.9, 80.2, 44.8, 34.6, 30.9, 26.6, 20.5. HRMS (ESI) calcd for C₂₇H₃₀NOS: 416.2043 (M+H⁺), found: 416.2052.



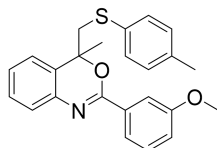
2-(4-methoxyphenyl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine

(4l) (Colorless oil was obtained in 49% isolated yield, 95.3 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.90 (d, *J* = 12.0 Hz, 2H), 7.33–7.28 (m, 2H), 7.19–7.16 (m, 4H), 6.99–6.95 (m, 4H), 3.80 (s, 3H), 3.64 (d, *J* = 12.0 Hz, 1H), 3.48 (d, *J* = 12.0 Hz, 1H), 2.17 (s, 3H), 1.75 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 162.0, 155.2, 138.7, 135.5, 132.7, 129.6, 129.5, 129.3, 128.9, 128.5, 126.4, 124.5, 124.4, 123.9, 113.7, 80.2, 55.5, 44.6, 26.5, 20.6. HRMS (ESI) calcd for C₂₄H₂₄NO₂S: 390.1522 (M+H⁺), found: 390.1521.



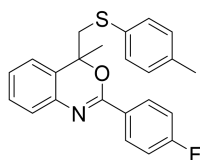
2-(2-methoxyphenyl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine

(4m) (Colorless oil was obtained in 52% isolated yield, 101.1 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.52–7.50 (m, 1H), 7.47–7.43 (m, 1H), 7.32–7.27 (m, 2H), 7.21–7.19 (m, 1H), 7.17–7.14 (m, 3H), 7.08 (d, *J* = 8.0 Hz, 1H), 7.02–6.96 (m, 3H), 3.80 (s, 3H), 3.68 (d, *J* = 16.0 Hz, 1H), 3.50 (d, *J* = 12.0 Hz, 1H), 2.20 (s, 3H), 1.73 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 158.1, 156.6, 138.7, 135.5, 133.0, 132.0, 130.4, 129.6, 129.3, 128.8, 128.1, 126.8, 124.6, 124.1, 122.8, 120.1, 112.3, 80.6, 55.8, 44.6, 26.9, 20.6. HRMS (ESI) calcd for C₂₄H₂₄NO₂S: 390.1522 (M+H⁺), found: 390.1531.



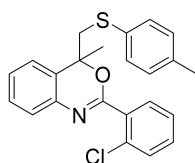
2-(3-methoxyphenyl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine

(4n) (Colorless oil was obtained in 53% isolated yield, 102.3 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.55 (d, *J* = 8.0 Hz, 1H), 7.49 (s, 1H), 7.36–7.30 (m, 3H), 7.24–7.19 (m, 2H), 7.16 (d, *J* = 8.0 Hz, 2H), 7.10–7.08 (m, 1H), 6.95 (d, *J* = 8.0 Hz, 2H), 3.79 (s, 3H), 3.65 (d, *J* = 16.0 Hz, 1H), 3.50 (d, *J* = 16.0 Hz, 1H), 2.15 (s, 3H), 1.77 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 159.1, 155.1, 138.4, 135.5, 133.5, 132.6, 129.6, 129.4, 129.3, 129.0, 128.6, 126.9, 124.8, 124.0, 120.0, 117.3, 112.6, 80.5, 55.3, 44.8, 26.8, 20.6. HRMS (ESI) calcd for C₂₄H₂₄NO₂S: 390.1522 (M+H⁺), found: 390.1520.



2-(4-fluorophenyl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4o)

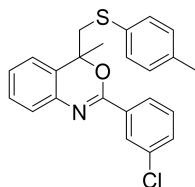
(Colorless oil was obtained in 77% isolated yield, 145.3 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.96–7.92 (m, 2H), 7.33–7.29 (m, 2H), 7.23–7.18 (m, 4H), 7.15 (d, *J* = 8.0 Hz, 2H), 6.93 (d, *J* = 8.0 Hz, 2H), 3.64 (d, *J* = 12.0 Hz, 1H), 3.48 (d, *J* = 16.0 Hz, 1H), 2.14 (s, 3H), 1.77 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 164.2 (d, *J* = 242.4 Hz), 154.3, 138.3, 135.5, 132.5, 130.1 (d, *J* = 10.1 Hz), 129.5, 129.4, 129.0, 128.5, 128.4, 126.9, 124.8, 124.0, 115.3 (d, *J* = 20.2 Hz), 80.7, 44.9, 26.7, 20.5; ¹⁹F NMR (376 MHz, DMSO-*d*₆) δ -108.84. HRMS (ESI) calcd for C₂₃H₂₁FNOS: 378.1322 (M+H⁺), found: 378.1319.



2-(2-chlorophenyl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4p)

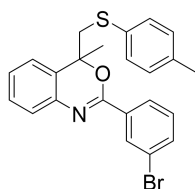
(Colorless oil was obtained in 47% isolated yield, 92.4 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.60 (d, *J* = 8.0 Hz, 1H), 7.53–7.47 (m, 2H), 7.38 (t, *J* = 8.0 Hz, 1H),

7.35–7.29 (m, 2H), 7.22 (t, $J = 8.0$ Hz, 1H), 7.17–7.14 (m, 3H), 6.98 (d, $J = 8.0$ Hz, 2H), 3.71 (d, $J = 16.0$ Hz, 1H), 3.55 (d, $J = 12.0$ Hz, 1H), 2.19 (s, 3H), 1.79 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 155.3, 138.0, 135.6, 132.6, 132.2, 131.9, 131.8, 131.1, 130.4, 129.6, 129.5, 129.0, 127.8, 127.4, 127.1, 124.9, 124.2, 81.7, 45.2, 27.7, 20.6. HRMS (ESI) calcd for $\text{C}_{23}\text{H}_{21}\text{ClNOS}$: 394.1027 ($\text{M}+\text{H}^+$), found: 394.1029.



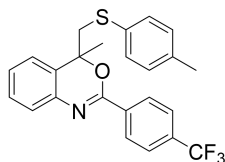
2-(3-chlorophenyl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4q)

(Colorless oil was obtained in 72% isolated yield, 142.1 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 7.84 (dt, $J = 8.0, 4.0$ Hz, 1H), 7.77 (t, $J = 8.0$ Hz, 1H), 7.54–7.51 (m, 1H), 7.40 (t, $J = 8.0$ Hz, 1H), 7.33–7.29 (m, 2H), 7.24–7.20 (m, 2H), 7.14 (d, $J = 8.0$ Hz, 2H), 6.90 (d, $J = 8.0$ Hz, 2H), 3.63 (d, $J = 16.0$ Hz, 1H), 3.52 (d, $J = 12.0$ Hz, 1H), 2.11 (s, 3H), 1.77 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 153.8, 138.1, 135.4, 134.0, 133.1, 132.4, 131.0, 130.0, 129.4, 129.3, 128.9, 128.4, 127.2, 126.9, 125.9, 124.9, 124.0, 81.1, 45.0, 27.0, 20.5. HRMS (ESI) calcd for $\text{C}_{23}\text{H}_{21}\text{ClNOS}$: 394.1027 ($\text{M}+\text{H}^+$), found: 394.1023.

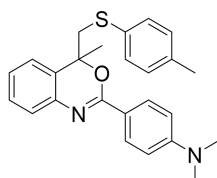


2-(3-bromophenyl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4r)

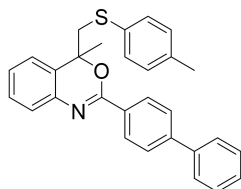
(Colorless oil was obtained in 53% isolated yield, 116.2 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 7.89–7.85 (m, 2H), 7.67–7.64 (m, 1H), 7.35–7.29 (m, 3H), 7.23–7.20 (m, 2H), 7.13 (d, $J = 8.0$ Hz, 2H), 6.89 (d, $J = 8.0$ Hz, 2H), 3.62 (d, $J = 16.0$ Hz, 1H), 3.52 (d, $J = 12.0$ Hz, 1H), 2.10 (s, 3H), 1.76 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 153.8, 138.2, 135.6, 134.3, 134.1, 132.4, 130.5, 129.9, 129.6, 129.4, 129.1, 128.5, 127.4, 126.4, 125.1, 124.1, 121.7, 81.3, 45.1, 27.2, 20.7. HRMS (ESI) calcd for $\text{C}_{23}\text{H}_{21}\text{BrNOS}$: 438.0522 ($\text{M}+\text{H}^+$), found: 438.0529.



4-methyl-4-((p-tolylthio)methyl)-2-(4-(trifluoromethyl)phenyl)-4H-benzo[d][1,3]oxazine (4s) (Colorless oil was obtained in 54% isolated yield, 114.9 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.99 (d, $J = 8.0$ Hz, 2H), 7.71 (d, $J = 8.0$ Hz, 2H), 7.37–7.31 (m, 2H), 7.24 (t, $J = 8.0$ Hz, 2H), 7.13 (d, $J = 8.0$ Hz, 2H), 6.86 (d, $J = 8.0$ Hz, 2H), 3.65 (d, $J = 12.0$ Hz, 1H), 3.52 (d, $J = 12.0$ Hz, 1H), 2.07 (s, 3H), 1.80 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 153.8, 138.0, 135.7, 135.5, 132.4, 131.1 (q, $J = 30.3$ Hz), 129.5, 129.4, 129.4, 129.0, 128.4, 128.0, 127.4, 125.1 (q, $J = 10.1$ Hz), 124.1, 124.1 (q, $J = 282.8$ Hz), 81.2, 45.2, 27.0, 20.4; ^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) δ -61.39. HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{20}\text{F}_3\text{NNaOS}$: 450.1110 ($\text{M}+\text{Na}^+$), found: 450.1111.

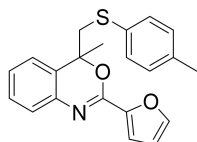


N,N-dimethyl-4-(4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazin-2-yl)aniline (4t) (Yellow oil was obtained in 29% isolated yield, 58.2 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 9.46 (s, 1H), 7.71–7.68 (m, 1H), 7.43 (d, $J = 8.0$ Hz, 1H), 7.36 (s, 1H), 7.32 (d, $J = 8.0$ Hz, 2H), 7.27–7.23 (m, 4H), 7.20 (d, $J = 8.0$ Hz, 2H), 5.04 (s, 1H), 4.84 (s, 1H), 2.80 (s, 6H), 2.32 (s, 3H), 1.93 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 164.8, 154.0, 142.7, 138.8, 138.1, 134.5, 133.2, 131.1, 130.6, 129.3, 129.1, 128.9, 128.1, 127.4, 126.6, 126.1, 125.7, 118.9, 115.4, 43.6, 23.5, 20.9. HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{27}\text{N}_2\text{OS}$: 403.1839 ($\text{M}+\text{H}^+$), found: 403.1835.



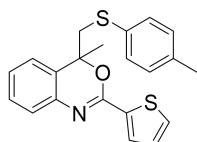
2-([1,1'-biphenyl]-4-yl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4u) (Colorless oil was obtained in 77% isolated yield, 168.3 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.02 (d, $J = 8.0$ Hz, 2H), 7.70 (d, $J = 8.0$ Hz, 4H), 7.47 (t, $J = 8.0$

Hz, 2H), 7.39 (d, $J = 8.0$ Hz, 1H), 7.35–7.30 (m, 2H), 7.26–7.16 (m, 4H), 6.94 (d, $J = 8.0$ Hz, 2H), 3.66 (d, $J = 12.0$ Hz, 1H), 3.50 (d, $J = 16.0$ Hz, 1H), 2.11 (s, 3H), 1.78 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.0, 143.0, 139.3, 138.5, 135.5, 132.6, 131.0, 129.6, 129.3, 129.1, 129.0, 128.5, 128.2, 128.1, 126.9, 126.5, 124.8, 124.0, 80.5, 44.9, 26.7, 20.6, 20.5. HRMS (ESI) calcd for $\text{C}_{29}\text{H}_{26}\text{NOS}$: 436.1730 ($\text{M}+\text{H}^+$), found: 436.1730.



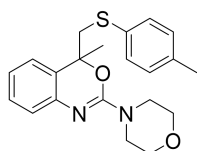
2-(furan-2-yl)-4-methyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4v)

(Colorless oil was obtained in 61% isolated yield, 107.0 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.87 (s, 1H), 7.32–7.27 (m, 2H), 7.20–7.15 (m, 4H), 6.98 (d, $J = 8.0$ Hz, 2H), 6.95 (d, $J = 4.0$ Hz, 1H), 6.61–6.60 (m, 1H), 3.64 (d, $J = 12.0$ Hz, 1H), 3.44 (d, $J = 16.0$ Hz, 1H), 2.18 (s, 3H), 1.74 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 148.4, 146.3, 146.1, 138.1, 135.5, 132.6, 129.6, 129.3, 129.0, 128.5, 126.8, 124.6, 124.1, 115.0, 112.2, 80.6, 44.8, 26.8, 20.6. HRMS (ESI) calcd for $\text{C}_{21}\text{H}_{19}\text{NNaO}_2\text{S}$: 372.1029 ($\text{M}+\text{Na}^+$), found: 372.1030.



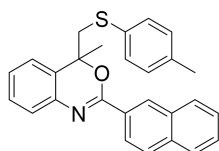
4-methyl-2-(thiophen-2-yl)-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4w)

(Colorless oil was obtained in 59% isolated yield, 108.5 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.75 (d, $J = 8.0$ Hz, 1H), 7.49 (d, $J = 4.0$ Hz, 1H), 7.33–7.27 (m, 2H), 7.20–7.15 (m, 4H), 7.10 (t, $J = 4.0$ Hz, 1H), 6.98 (d, $J = 8.0$ Hz, 2H), 3.65 (d, $J = 12.0$ Hz, 1H), 3.46 (d, $J = 8.0$ Hz, 1H), 2.17 (s, 3H), 1.76 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 152.1, 138.4, 136.3, 135.6, 132.6, 131.4, 130.2, 129.6, 129.3, 129.0, 128.4, 128.0, 126.7, 124.4, 124.1, 80.9, 44.8, 26.7, 20.6. HRMS (ESI) calcd for $\text{C}_{21}\text{H}_{20}\text{NOS}_2$: 366.0981 ($\text{M}+\text{H}^+$), found: 366.0983.



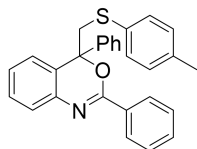
4-methyl-2-morpholino-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4x)

(Colorless oil was obtained in 51% isolated yield, 93.5 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 7.23–7.13 (m, 4H), 7.09 (d, $J = 8.0$ Hz, 2H), 6.91 (t, $J = 8.0$ Hz, 1H), 6.84 (d, $J = 8.0$ Hz, 1H), 3.55–3.33 (m, 10H), 2.24 (s, 3H), 1.65 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 152.4, 141.8, 135.5, 132.9, 129.7, 129.0, 128.8, 126.9, 123.4, 122.2, 122.0, 81.4, 65.9, 44.1, 43.1, 25.0, 20.6. HRMS (ESI) calcd for $\text{C}_{21}\text{H}_{25}\text{N}_2\text{O}_2\text{S}$: 369.1631 ($\text{M}+\text{H}^+$), found: 369.1641.



4-methyl-2-(naphthalen-2-yl)-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4y)

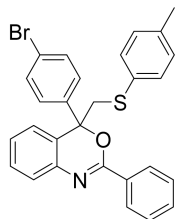
(Colorless oil was obtained in 66% isolated yield, 135.2 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 8.34 (s, 1H), 8.10 (d, $J = 8.0$ Hz, 1H), 7.97–7.90 (m, 3H), 7.60–7.54 (m, 2H), 7.37–7.32 (m, 2H), 7.28 (d, $J = 8.0$ Hz, 1H), 7.23 (t, $J = 8.0$ Hz, 1H), 7.17 (d, $J = 8.0$ Hz, 2H), 6.85 (d, $J = 8.0$ Hz, 2H), 3.70 (d, $J = 16.0$ Hz, 1H), 3.56 (d, $J = 12.0$ Hz, 1H), 1.94 (s, 3H), 1.83 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 155.2, 138.6, 135.4, 134.4, 132.5, 132.2, 129.5, 129.4, 129.3, 129.0, 129.0, 128.5, 127.8, 127.7, 127.7, 126.9, 126.7, 124.8, 124.2, 124.0, 80.7, 44.8, 27.0, 20.3. HRMS (ESI) calcd for $\text{C}_{27}\text{H}_{24}\text{NOS}$: 410.1573 ($\text{M}+\text{H}^+$), found: 410.1582.



2,4-diphenyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine (4z)

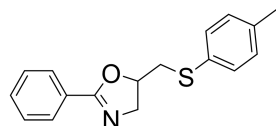
(Colorless oil was obtained in 67% isolated yield, 141.7 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 7.97 (d, $J = 8.0$ Hz, 2H), 7.57–7.52 (m, 2H), 7.44 (t, $J = 8.0$ Hz, 2H), 7.38 (t, $J = 8.0$ Hz, 3H), 7.32–7.25 (m, 7H), 7.03 (d, $J = 8.0$ Hz, 2H), 4.21 (d, $J = 12.0$ Hz, 1H), 4.08 (d, $J = 12.0$ Hz, 1H), 2.19 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 155.3, 142.6,

138.9, 135.6, 133.0, 131.8, 131.6, 129.6, 129.5, 129.3, 128.5, 128.5, 128.2, 127.5, 126.9, 126.8, 125.5, 125.4, 125.0, 84.0, 43.9, 20.6. HRMS (ESI) calcd for C₂₈H₂₄NOS: 422.1573 (M+H⁺), found: 422.1577.

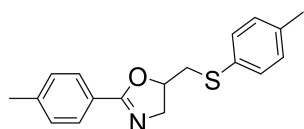


4-(4-bromophenyl)-2-phenyl-4-((p-tolylthio)methyl)-4H-benzo[d][1,3]oxazine

(4za) (Colorless oil was obtained in 57% isolated yield, 142.7 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.94 (d, *J* = 8.0 Hz, 2H), 7.55–7.49 (m, 4H), 7.45–7.37 (m, 3H), 7.31–7.25 (m, 6H), 7.02 (d, *J* = 8.0 Hz, 2H), 4.19 (d, *J* = 12.0 Hz, 1H), 4.09 (d, *J* = 12.0 Hz, 1H), 2.19 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 155.1, 141.8, 138.8, 135.6, 132.7, 131.9, 131.4, 131.4, 129.6, 129.5, 129.5, 128.5, 127.9, 127.5, 127.0, 126.5, 125.3, 125.1, 121.7, 83.5, 43.5, 20.6. HRMS (ESI) calcd for C₂₈H₂₃BrNOS: 500.0678 (M+H⁺), found: 500.0671.

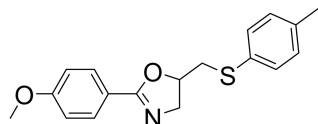


2-phenyl-5-((p-tolylthio)methyl)-4,5-dihydrooxazole (6a)⁶ (Colorless oil was obtained in 74% isolated yield, 104.9 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.72 (d, *J* = 8.0 Hz, 2H), 7.51 (t, *J* = 8.0 Hz, 1H), 7.42 (t, *J* = 8.0 Hz, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 7.10 (d, *J* = 8.0 Hz, 2H), 4.90–4.84 (m, 1H), 4.09–4.03 (m, 1H), 3.77–3.72 (m, 1H), 3.26 (d, *J* = 4.0 Hz, 2H), 2.25 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 162.2, 135.9, 131.9, 131.5, 129.8, 129.7, 128.6, 127.8, 127.5, 78.3, 59.1, 37.7, 20.7.

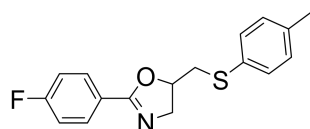


2-(p-tolyl)-5-((p-tolylthio)methyl)-4,5-dihydrooxazole (6b)⁶ (Colorless oil was obtained in 69% isolated yield, 103.2 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.63 (d, *J* = 8.0 Hz, 2H), 7.30 (d, *J* = 8.0 Hz, 2H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.09 (d, *J* = 8.0 Hz,

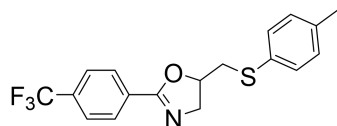
2H), 4.87–4.80 (m, 1H), 4.07–4.01 (m, 1H), 3.75–3.70 (m, 1H), 3.28–3.19 (m, 2H), 2.32 (s, 3H), 2.25 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 162.2, 141.3, 135.9, 131.8, 129.8, 129.6, 129.1, 127.8, 124.8, 78.1, 59.1, 37.7, 21.2, 20.6.



2-(4-methoxyphenyl)-5-((p-tolylthio)methyl)-4,5-dihydrooxazole (6c) (Colorless oil was obtained in 72% isolated yield, 112.8 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.67 (d, *J* = 8.0 Hz, 2H), 7.30 (d, *J* = 8.0 Hz, 2H), 7.09 (d, *J* = 8.0 Hz, 2H), 6.95 (d, *J* = 8.0 Hz, 2H), 4.85–4.79 (m, 1H), 4.05–3.99 (m, 1H), 3.78 (s, 3H), 3.73–3.68 (m, 1H), 3.27–3.18 (m, 2H), 2.24 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 162.0, 161.7, 135.9, 131.9, 129.8, 129.7, 129.6, 119.9, 113.9, 78.1, 59.1, 55.4, 37.8, 20.7. HRMS (ESI) calcd for C₁₈H₂₀NO₂S: 314.1209 (M+H⁺), found: 314.1217.

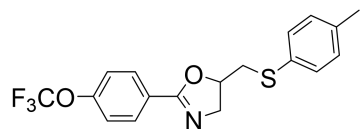


2-(4-fluorophenyl)-5-((p-tolylthio)methyl)-4,5-dihydrooxazole (6d)⁶ (Colorless oil was obtained in 70% isolated yield, 104.8 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.76–7.73 (m, 2H), 7.28 (d, *J* = 8.0 Hz, 2H), 7.22 (t, *J* = 8.0 Hz, 2H), 7.06 (d, *J* = 8.0 Hz, 2H), 4.90–4.83 (m, 1H), 4.08–4.01 (m, 1H), 3.77–3.72 (m, 1H), 3.24 (d, *J* = 4.0 Hz, 2H), 2.22 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 164.1 (d, *J* = 252.5 Hz), 161.4, 136.0, 131.8, 130.4 (d, *J* = 10.1 Hz), 129.8, 129.8, 115.6 (d, *J* = 20.2 Hz), 78.5, 59.1, 37.8, 20.6; ¹⁹F NMR (376 MHz, DMSO-*d*₆) δ -108.64.



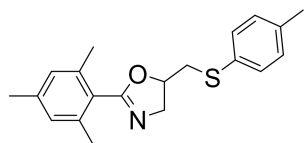
5-((p-tolylthio)methyl)-2-(4-(trifluoromethyl)phenyl)-4,5-dihydrooxazole (6e) (Colorless oil was obtained in 66% isolated yield, 116.3 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.86 (d, *J* = 8.0 Hz, 2H), 7.76 (d, *J* = 8.0 Hz, 2H), 7.28 (d, *J* = 8.0 Hz, 2H), 7.04 (d, *J* = 8.0 Hz, 2H), 4.98–4.91 (m, 1H), 4.13–4.07 (m, 1H), 3.84–3.79 (m,

1H), 3.35–3.21 (m, 2H), 2.21 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 161.2, 135.9, 131.8, 131.3 (q, *J* = 30.3 Hz), 131.2, 129.8, 129.7, 128.6, 125.6 (q, *J* = 10.1 Hz), 124.1 (q, *J* = 272.7 Hz), 78.8, 59.1, 37.6, 20.6; ¹⁹F NMR (376 MHz, DMSO-*d*₆) δ -61.56. HRMS (ESI) calcd for C₁₈H₁₇F₃NOS: 352.0977 (M+H⁺), found: 352.0987.

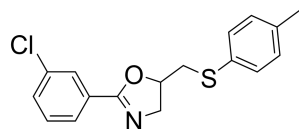


5-((p-tolylthio)methyl)-2-(4-(trifluoromethoxy)phenyl)-4,5-dihydrooxazole (6f)

(Colorless oil was obtained in 71% isolated yield, 130.1 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.78 (d, *J* = 8.0 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.27 (d, *J* = 8.0 Hz, 2H), 7.04 (d, *J* = 8.0 Hz, 2H), 4.93–4.86 (m, 1H), 4.09–4.03 (m, 1H), 3.80–3.75 (m, 1H), 3.30–3.19 (m, 2H), 2.20 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 161.2, 150.5 (q, *J* = 10.1 Hz), 136.0, 131.9, 130.0, 129.8, 129.8, 126.6, 120.9, 120.2 (q, *J* = 252.5 Hz), 78.8, 59.1, 37.8, 20.6; ¹⁹F NMR (376 MHz, DMSO-*d*₆) δ -56.96. HRMS (ESI) calcd for C₁₈H₁₇F₃NO₂S: 368.0927 (M+H⁺), found: 368.0918.

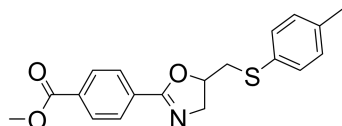


2-mesityl-5-((p-tolylthio)methyl)-4,5-dihydrooxazole (6g) (Colorless oil was obtained in 82% isolated yield, 133.5 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.31 (d, *J* = 8.0 Hz, 2H), 7.12 (d, *J* = 8.0 Hz, 2H), 6.87 (s, 2H), 4.81–4.74 (m, 1H), 4.11–4.05 (m, 1H), 3.79–3.73 (m, 1H), 3.31–3.19 (m, 2H), 2.28–2.19 (m, 12H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 162.5, 138.7, 136.5, 136.0, 131.7, 129.9, 129.6, 128.1, 126.3, 77.1, 59.3, 37.8, 20.8, 20.6, 19.5. HRMS (ESI) calcd for C₂₀H₂₃NKOS: 364.1132 (M+K⁺), found: 364.1139.

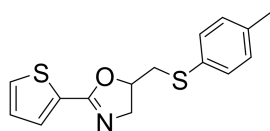


2-(3-chlorophenyl)-5-((p-tolylthio)methyl)-4,5-dihydrooxazole (6h) (Colorless oil was obtained in 67% isolated yield, 106.8 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ

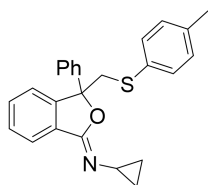
7.64 (d, $J = 8.0$ Hz, 1H), 7.54 (d, $J = 4.0$ Hz, 2H), 7.41 (t, $J = 8.0$ Hz, 1H), 7.27 (d, $J = 8.0$ Hz, 2H), 7.04 (d, $J = 8.0$ Hz, 2H), 4.93–4.86 (m, 1H), 4.09–4.03 (m, 1H), 3.80–3.74 (m, 1H), 3.31–3.18 (m, 2H), 2.22 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 161.1, 136.0, 133.3, 131.8, 131.3, 130.5, 129.8, 129.8, 129.4, 127.3, 126.4, 79.0, 59.1, 37.6, 20.7. HRMS (ESI) calcd for $\text{C}_{17}\text{H}_{17}\text{ClNOS}$: 318.0714 ($\text{M}+\text{H}^+$), found: 318.0718.



methyl 4-(5-((p-tolylthio)methyl)-4,5-dihydrooxazol-2-yl)benzoate (6i) (Colorless oil was obtained in 78% isolated yield, 133.8 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 7.93 (d, $J = 8.0$ Hz, 2H), 7.77 (d, $J = 8.0$ Hz, 2H), 7.27 (d, $J = 8.0$ Hz, 2H), 7.04 (d, $J = 8.0$ Hz, 2H), 4.92–4.85 (m, 1H), 4.11–4.05 (m, 1H), 3.84 (s, 3H), 3.81–3.75 (m, 1H), 3.29–3.20 (m, 2H), 2.20 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 166.1, 161.8, 136.2, 132.2, 132.1, 131.8, 130.1, 130.0, 129.6, 128.4, 79.0, 59.5, 52.8, 38.0, 20.9. HRMS (ESI) calcd for $\text{C}_{19}\text{H}_{20}\text{NO}_3\text{S}$: 342.1158 ($\text{M}+\text{H}^+$), found: 342.1152.

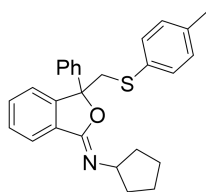


2-(thiophen-2-yl)-5-((p-tolylthio)methyl)-4,5-dihydrooxazole (6j) (Colorless oil was obtained in 81% isolated yield, 117.2 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 7.75–7.74 (m, 1H), 7.40–7.39 (m, 1H), 7.30 (d, $J = 8.0$ Hz, 2H), 7.12–7.09 (m, 3H), 4.89–4.83 (m, 1H), 4.06–4.00 (m, 1H), 3.74–3.68 (m, 1H), 3.29–3.21 (m, 2H), 2.25 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 158.1, 135.9, 131.7, 130.8, 130.2, 129.9, 129.8, 129.6, 127.9, 78.7, 59.0, 37.6, 20.6. HRMS (ESI) calcd for $\text{C}_{15}\text{H}_{16}\text{NOS}_2$: 290.0668 ($\text{M}+\text{H}^+$), found: 290.0671.



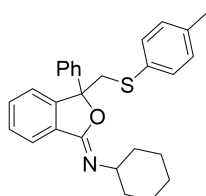
(Z)-N-cyclopropyl-3-phenyl-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine

(8a) (Colorless oil was obtained in 65% isolated yield, 124.9 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.68 (d, $J = 8.0$ Hz, 1H), 7.62 (t, $J = 8.0$ Hz, 3H), 7.47 (t, $J = 8.0$ Hz, 1H), 7.43–7.37 (m, 3H), 7.30 (t, $J = 8.0$ Hz, 1H), 7.20 (d, $J = 8.0$ Hz, 2H), 7.05 (d, $J = 8.0$ Hz, 2H), 4.07–3.97 (m, 2H), 3.18–3.13 (m, 1H), 2.23 (s, 3H), 0.79–0.75 (m, 1H), 0.72–0.61 (m, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 157.4, 147.4, 141.6, 135.7, 132.6, 131.3, 130.0, 129.9, 129.5, 129.1, 128.7, 128.0, 124.9, 122.6, 122.2, 90.5, 44.2, 29.6, 20.6, 8.0 (d, $J = 10.1$ Hz). HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{24}\text{NOS}$: 386.1573 ($\text{M}+\text{H}^+$), found: 386.1576.



(Z)-N-cyclopentyl-3-phenyl-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine (8b)

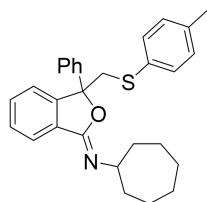
(Colorless oil was obtained in 72% isolated yield, 148.8 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.67–7.62 (m, 4H), 7.47 (t, $J = 8.0$ Hz, 1H), 7.42–7.36 (m, 3H), 7.29 (t, $J = 8.0$ Hz, 1H), 7.16 (d, $J = 8.0$ Hz, 2H), 7.03 (d, $J = 8.0$ Hz, 2H), 4.02 (t, $J = 16.0$ Hz, 2H), 3.92–3.85 (m, 1H), 2.21 (s, 3H), 1.93–1.86 (m, 1H), 1.72–1.62 (m, 3H), 1.56–1.40 (m, 4H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.9, 147.8, 141.9, 135.5, 132.7, 131.4, 130.1, 129.6, 129.4, 128.9, 128.7, 127.9, 124.7, 122.6, 122.5, 90.2, 57.1, 44.0, 34.1 (d, $J = 30.3$ Hz), 23.9, 20.6. HRMS (ESI) calcd for $\text{C}_{27}\text{H}_{28}\text{NOS}$: 414.1886 ($\text{M}+\text{H}^+$), found: 414.1890.



(Z)-N-cyclohexyl-3-phenyl-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine (8c)

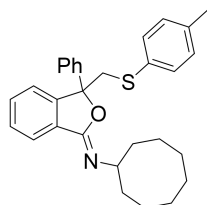
(Colorless oil was obtained in 75% isolated yield, 159.9 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.68–7.59 (m, 4H), 7.47 (t, $J = 8.0$ Hz, 1H), 7.42–7.36 (m, 3H), 7.28 (t, $J = 8.0$ Hz, 1H), 7.16 (d, $J = 8.0$ Hz, 2H), 7.02 (d, $J = 8.0$ Hz, 2H), 4.09–4.00 (m, 2H), 3.47–3.42 (m, 1H), 2.21 (s, 3H), 1.79–1.49 (m, 5H), 1.32–1.12 (m, 5H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.3, 147.9, 141.9, 135.3, 132.8, 131.5, 130.3, 129.4, 129.0,

129.0, 128.7, 128.0, 124.8, 122.7, 122.5, 90.3, 55.0, 43.3, 33.8 (d, $J = 20.2$ Hz), 25.6, 24.6 (d, $J = 20.2$ Hz), 20.6. HRMS (ESI) calcd for $C_{28}H_{30}NOS$: 428.2043 ($M+H^+$), found: 428.2039.



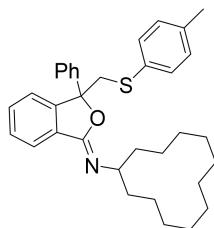
(Z)-N-cycloheptyl-3-phenyl-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine(8d)

(Colorless oil was obtained in 77% isolated yield, 169.3 mg). 1H NMR (400 MHz, $DMSO-d_6$) δ 7.67–7.64 (m, 2H), 7.61 (d, $J = 8.0$ Hz, 2H), 7.46 (t, $J = 8.0$ Hz, 1H), 7.42–7.36 (m, 3H), 7.28 (t, $J = 8.0$ Hz, 1H), 7.15 (d, $J = 8.0$ Hz, 2H), 7.02 (d, $J = 8.0$ Hz, 2H), 4.07–3.97 (m, 2H), 3.71–3.65 (m, 1H), 2.21 (s, 3H), 1.85–1.79 (m, 1H), 1.64–1.28 (m, 11H); ^{13}C NMR (101 MHz, $DMSO-d_6$) δ 154.5, 147.8, 141.9, 135.3, 132.8, 131.3, 130.4, 129.4, 129.2, 128.9, 128.6, 127.9, 124.8, 122.6, 122.4, 90.1, 56.8, 43.7, 35.9 (d, $J = 10.1$ Hz), 28.1 (d, $J = 10.1$ Hz), 24.1 (d, $J = 10.1$ Hz), 20.6. HRMS (ESI) calcd for $C_{29}H_{32}NOS$: 442.2199 ($M+H^+$), found: 442.2191.



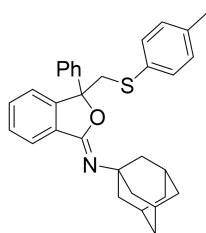
(Z)-N-cyclooctyl-3-phenyl-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine (8e)

(Colorless oil was obtained in 74% isolated yield, 169.2 mg). 1H NMR (400 MHz, $DMSO-d_6$) δ 7.67–7.64 (m, 2H), 7.61 (d, $J = 8.0$ Hz, 2H), 7.46 (t, $J = 8.0$ Hz, 1H), 7.41–7.35 (m, 3H), 7.27 (t, $J = 8.0$ Hz, 1H), 7.15 (d, $J = 8.0$ Hz, 2H), 7.01 (d, $J = 8.0$ Hz, 2H), 4.07–3.96 (m, 2H), 3.75–3.70 (m, 1H), 2.20 (s, 3H), 1.72–1.34 (m, 14H); ^{13}C NMR (101 MHz, $DMSO-d_6$) δ 154.6, 147.8, 141.9, 135.4, 132.9, 131.4, 130.4, 129.4, 129.2, 128.9, 128.7, 128.0, 124.8, 122.7, 122.5, 90.1, 55.8, 43.8, 33.3 (d, $J = 10.1$ Hz), 27.1 (d, $J = 20.2$ Hz), 25.2, 23.7 (d, $J = 50.5$ Hz), 20.6. HRMS (ESI) calcd for $C_{30}H_{34}NOS$: 456.2356 ($M+H^+$), found: 456.2359.



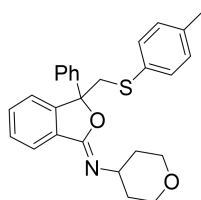
(Z)-N-cyclododecyl-3-phenyl-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine

(8f) (Colorless oil was obtained in 80% isolated yield, 205.5 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.67 (d, $J = 8.0$ Hz, 1H), 7.64–7.61 (m, 3H), 7.43–7.36 (m, 2H), 7.33 (t, $J = 8.0$ Hz, 2H), 7.24 (t, $J = 8.0$ Hz, 1H), 7.08 (d, $J = 8.0$ Hz, 2H), 6.96 (d, $J = 8.0$ Hz, 2H), 4.00 (d, $J = 16.0$ Hz, 2H), 3.89 (d, $J = 16.0$ Hz, 1H), 2.16 (s, 3H), 1.68–1.60 (m, 2H), 1.36–1.15 (m, 20H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 155.6, 147.5, 141.8, 135.4, 132.9, 131.3, 130.3, 129.4, 129.3, 128.9, 128.6, 127.9, 124.7, 122.7, 122.5, 89.5, 52.0, 44.6, 31.2 (d, $J = 10.1$ Hz), 23.5, 23.4, 23.3, 23.1, 21.6 (d, $J = 10.1$ Hz), 20.6. HRMS (ESI) calcd for $\text{C}_{34}\text{H}_{42}\text{NOS}$: 512.2982 ($\text{M}+\text{H}^+$), found: 512.2989.



(Z)-N-((3s,5s,7s)-adamantan-1-yl)-3-phenyl-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine (8g)

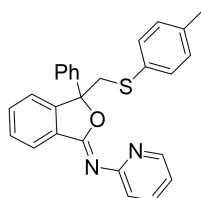
(Colorless oil was obtained in 59% isolated yield, 141.5 mg). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.62–7.58 (m, 4H), 7.44–7.36 (m, 4H), 7.28 (t, $J = 8.0$ Hz, 1H), 7.10 (d, $J = 8.0$ Hz, 2H), 7.00 (d, $J = 8.0$ Hz, 2H), 4.08–3.98 (m, 2H), 2.19 (s, 3H), 1.99–1.91 (m, 9H), 1.60 (s, 6H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 153.0, 147.1, 142.0, 135.3, 132.8, 131.3, 131.2, 129.4, 129.0, 128.9, 128.7, 127.9, 124.8, 123.0, 122.3, 90.3, 53.9, 43.7, 42.5, 36.2, 29.3, 20.5. HRMS (ESI) calcd for $\text{C}_{32}\text{H}_{34}\text{NOS}$: 480.2356 ($\text{M}+\text{H}^+$), found: 480.2353.



(Z)-3-phenyl-N-(tetrahydro-2H-pyran-4-yl)-3-((p-tolylthio) methyl)isobenzofuran-1(3H)-imine (8h)

(Colorless oil was obtained in 81% isolated yield, 174.3 mg).

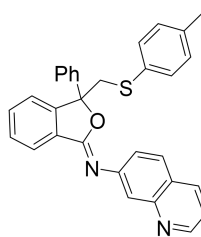
¹H NMR (400 MHz, DMSO-*d*₆) δ 7.67 (t, *J* = 8.0 Hz, 2H), 7.60 (d, *J* = 8.0 Hz, 2H), 7.50 (t, *J* = 8.0 Hz, 1H), 7.44–7.38 (m, 3H), 7.30 (t, *J* = 8.0 Hz, 1H), 7.17 (d, *J* = 8.0 Hz, 2H), 7.04 (d, *J* = 8.0 Hz, 2H), 4.08 (t, *J* = 16.0 Hz, 2H), 3.86–3.77 (m, 2H), 3.61–3.54 (m, 1H), 3.32 (t, *J* = 12.0 Hz, 1H), 3.22 (t, *J* = 12.0 Hz, 1H), 2.22 (s, 3H), 1.71 (d, *J* = 8.0 Hz, 1H), 1.56–1.39 (m, 2H), 1.34–1.29 (m, 1H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 156.1, 147.9, 141.7, 135.2, 132.8, 131.7, 130.1, 129.4, 129.0, 128.9, 128.8, 128.0, 124.8, 122.7, 122.5, 90.7, 65.8 (d, *J* = 10.1 Hz), 52.0, 42.9, 33.7 (d, *J* = 20.2 Hz), 20.6. HRMS (ESI) calcd for C₂₇H₂₈NO₂S: 430.1835 (M+H⁺), found: 430.1844.



(Z)-3-phenyl-N-(pyridin-2-yl)-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine (8i)

(Colorless oil was obtained in 75% isolated yield, 158.1 mg).

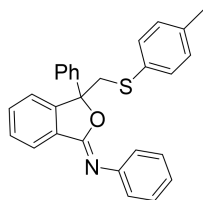
¹H NMR (400 MHz, DMSO-*d*₆) δ 8.43 (s, 1H), 7.92 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.67–7.63 (m, 3H), 7.61–7.53 (m, 2H), 7.38 (t, *J* = 8.0 Hz, 2H), 7.30 (t, *J* = 8.0 Hz, 1H), 7.12–7.06 (m, 3H), 6.95–6.90 (m, 3H), 4.18 (d, *J* = 16.0 Hz, 1H), 3.98 (d, *J* = 12.0 Hz, 1H), 2.19 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 159.3, 158.2, 148.6, 148.4, 140.8, 137.5, 135.8, 132.9, 132.2, 129.8, 129.7, 129.6, 129.5, 128.8, 128.3, 124.9, 123.6, 122.7, 119.3, 117.0, 92.3, 43.6, 20.6. HRMS (ESI) calcd for C₂₇H₂₃N₂OS: 423.1526 (M+H⁺), found: 423.1533.



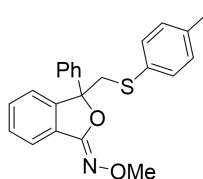
(Z)-3-phenyl-N-(quinolin-6-yl)-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine (8j)

(Colorless oil was obtained in 14% isolated yield, 33.1 mg).

DMSO- d_6) δ 8.81–8.79 (m, 1H), 8.16 (d, J = 8.0 Hz, 1H), 7.94 (d, J = 4.0 Hz, 1H), 7.89 (d, J = 8.0 Hz, 1H), 7.74 (d, J = 8.0 Hz, 1H), 7.64–7.56 (m, 5H), 7.51–7.46 (m, 2H), 7.39 (t, J = 8.0 Hz, 2H), 7.31 (t, J = 8.0 Hz, 1H), 7.12 (d, J = 8.0 Hz, 2H), 6.86 (d, J = 8.0 Hz, 2H), 4.22 (d, J = 16.0 Hz, 1H), 4.07 (d, J = 16.0 Hz, 1H), 2.03 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 157.5, 149.3, 148.1, 145.4, 144.2, 140.8, 135.7, 135.6, 132.8, 132.3, 130.2, 129.7, 129.5, 129.5, 129.2, 129.0, 128.4, 128.4, 127.6, 125.0, 123.5, 122.8, 121.6, 119.9, 92.6, 43.4, 20.5. HRMS (ESI) calcd for $\text{C}_{31}\text{H}_{24}\text{N}_2\text{NaOS}$: 495.1502 ($\text{M}+\text{Na}^+$), found: 495.1504.

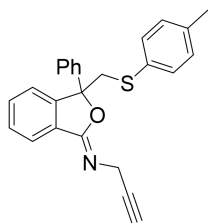


(Z)-N,3-diphenyl-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine (8k) (Colorless oil was obtained in 75% isolated yield, 158.1 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 7.89 (d, J = 8.0 Hz, 1H), 7.70 (d, J = 8.0 Hz, 1H), 7.58–7.50 (m, 4H), 7.37 (t, J = 8.0 Hz, 2H), 7.31–7.25 (m, 3H), 7.14–7.06 (m, 5H), 6.95 (d, J = 8.0 Hz, 2H), 4.17 (d, J = 16.0 Hz, 1H), 4.03 (d, J = 16.0 Hz, 1H), 2.18 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 156.6, 147.9, 146.1, 140.9, 135.8, 132.5, 132.3, 130.3, 129.7, 129.6, 129.5, 128.9, 128.7, 128.3, 124.9, 124.0, 123.5, 123.4, 122.8, 92.0, 43.6, 20.7. HRMS (ESI) calcd for $\text{C}_{28}\text{H}_{24}\text{NOS}$: 422.1573 ($\text{M}+\text{H}^+$), found: 422.1583.

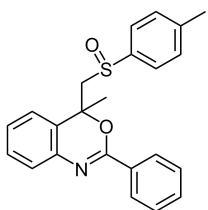


(Z)-3-phenyl-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-one O-methyl oxime (8l) (Colorless oil was obtained in 46% isolated yield, 86.6 mg). ^1H NMR (400 MHz, DMSO- d_6) δ 7.64–7.58 (m, 4H), 7.45–7.41 (m, 2H), 7.38 (t, J = 8.0 Hz, 2H), 7.31 (t, J = 8.0 Hz, 1H), 7.16 (t, J = 8.0 Hz, 2H), 7.02 (d, J = 8.0 Hz, 2H), 4.08 (d, J = 16.0 Hz, 1H), 3.96 (d, J = 12.0 Hz, 1H), 3.83 (s, 3H), 2.22 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 154.5, 145.6, 140.8, 135.9, 132.4, 131.2, 130.2, 129.5, 129.5, 128.8, 128.4, 128.0, 125.0, 123.0, 121.1, 93.1, 62.0, 44.3, 20.7. HRMS (ESI) calcd for

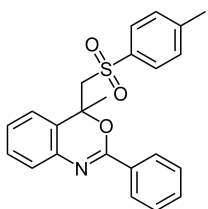
C₂₃H₂₂NO₂S: 376.1366 (M+H⁺), found: 376.1360.



(Z)-3-phenyl-N-(prop-2-yn-1-yl)-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-imine (8m) (Colorless oil was obtained in 71% isolated yield, 136.1 mg). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.73–7.65 (m, 4H), 7.53 (t, *J* = 8.0 Hz, 1H), 7.49 (t, *J* = 8.0 Hz, 1H), 7.38 (t, *J* = 8.0 Hz, 2H), 7.31 (t, *J* = 8.0 Hz, 1H), 7.17 (d, *J* = 8.0 Hz, 2H), 7.06 (d, *J* = 8.0 Hz, 2H), 4.10–3.95 (m, 3H), 3.74–3.69 (m, 1H), 3.10 (t, *J* = 4.0 Hz, 1H), 2.24 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 159.0, 148.2, 141.2, 135.9, 132.6, 132.2, 129.9, 129.5, 129.3, 128.8, 128.2, 124.9, 122.8, 122.6, 91.8, 82.7, 72.6, 43.9, 35.7, 20.7. HRMS (ESI) calcd for C₂₅H₂₂NOS: 384.1417 (M+H⁺), found: 384.1415.

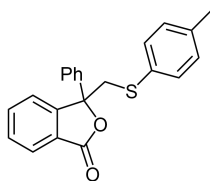


4-methyl-2-phenyl-4-((p-tolylsulfinyl)methyl)-4H-benzo[d][1,3]oxazine (9a) (Colorless oil was obtained in 63% isolated yield). ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.15 (d, *J* = 8.0 Hz, 2H), 7.59–7.50 (m, 3H), 7.44 (d, *J* = 8.0 Hz, 2H), 7.38–7.32 (m, 2H), 7.30–7.20 (m, 4H), 3.54–3.45 (m, 2H), 2.29 (s, 3H), 1.92 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 155.0, 141.6, 141.0, 137.7, 132.1, 131.8, 129.9, 129.2, 128.6, 128.6, 127.8, 127.2, 125.0, 124.0, 124.0, 78.9, 68.1, 27.5, 20.9. HRMS (ESI) calcd for C₂₃H₂₂NO₂S: 376.1366 (M+H⁺), found: 373.1358.

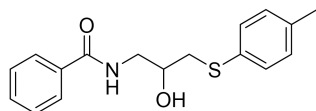


4-methyl-2-phenyl-4-((p-tolylsulfinyl)methyl)-4H-benzo[d][1,3]oxazine (10a) (Colorless oil was obtained in 57% isolated yield). ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.84 (d, *J* = 8.0 Hz, 2H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.50 (t, *J* = 8.0 Hz, 1H), 7.41–7.33

(m, 3H), 7.27 (t, $J = 8.0$ Hz, 1H), 7.20–7.10 (m, 3H), 4.36 (d, $J = 12.0$ Hz, 1H), 4.20 (d, $J = 16.0$ Hz, 1H), 2.19 (s, 3H), 1.78 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 154.3, 143.9, 138.1, 137.6, 131.9, 131.4, 129.6, 129.0, 128.1, 127.6, 127.4, 126.9, 126.7, 125.0, 124.4, 78.3, 63.1, 29.2, 21.1. HRMS (ESI) calcd for $\text{C}_{23}\text{H}_{22}\text{NO}_3\text{S}$: 392.1315 ($\text{M}+\text{H}^+$), found: 392.1319.



3-phenyl-3-((p-tolylthio)methyl)isobenzofuran-1(3H)-one (11a) (Colorless oil was obtained in 87% isolated yield). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 7.89 (d, $J = 8.0$ Hz, 1H), 7.73 (d, $J = 8.0$ Hz, 1H), 7.65–7.59 (m, 3H), 7.54 (t, $J = 8.0$ Hz, 1H), 7.38 (t, $J = 8.0$ Hz, 2H), 7.31 (t, $J = 8.0$ Hz, 1H), 7.11 (d, $J = 8.0$ Hz, 2H), 6.99 (d, $J = 8.0$ Hz, 2H), 4.19 (d, $J = 16.0$ Hz, 1H), 4.00 (d, $J = 16.0$ Hz, 1H), 2.19 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 169.0, 150.8, 139.6, 136.1, 134.5, 132.1, 130.1, 129.8, 129.5, 128.9, 128.5, 125.5, 125.1, 125.0, 123.3, 88.6, 43.9, 20.6. HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{19}\text{O}_2\text{S}$: 347.1100 ($\text{M}+\text{H}^+$), found: 347.1099.



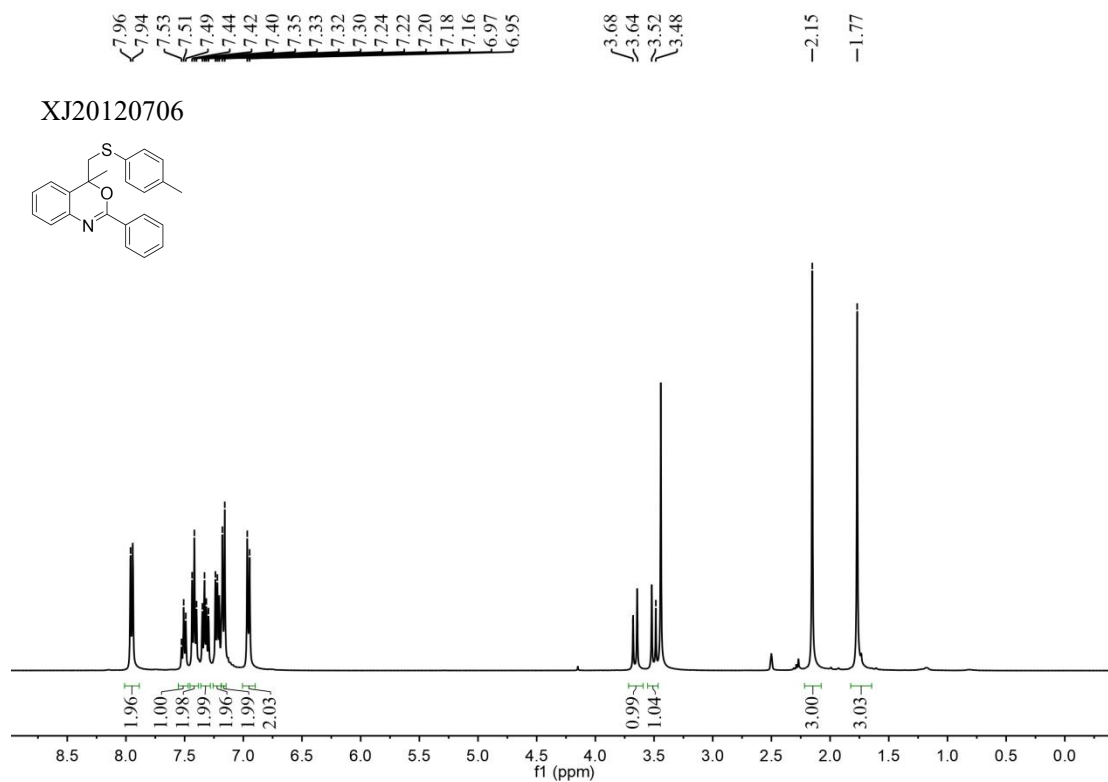
***N*-(2-hydroxy-3-(p-tolylthio)propyl)benzamide (12a)** (Colorless oil was obtained in 58% isolated yield). ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.53 (t, $J = 8.0$ Hz, 1H), 7.87 (d, $J = 8.0$ Hz, 2H), 7.54–7.44 (m, 3H), 7.24 (d, $J = 8.0$ Hz, 2H), 7.08 (d, $J = 8.0$ Hz, 2H), 5.30 (s, 1H), 3.83–3.78 (m, 1H), 3.48–3.42 (m, 1H), 3.36–3.29 (m, 1H), 3.11–3.06 (m, 1H), 2.95–2.90 (m, 1H), 2.23 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 166.7, 135.1, 134.6, 133.3, 131.3, 129.8, 128.6, 128.4, 127.4, 68.5, 45.1, 38.5, 20.7 (d, $J = 10.1$ Hz). HRMS (ESI) calcd for $\text{C}_{17}\text{H}_{20}\text{NO}_2\text{S}$: 302.1209 ($\text{M}+\text{H}^+$), found: 302.1204.

References

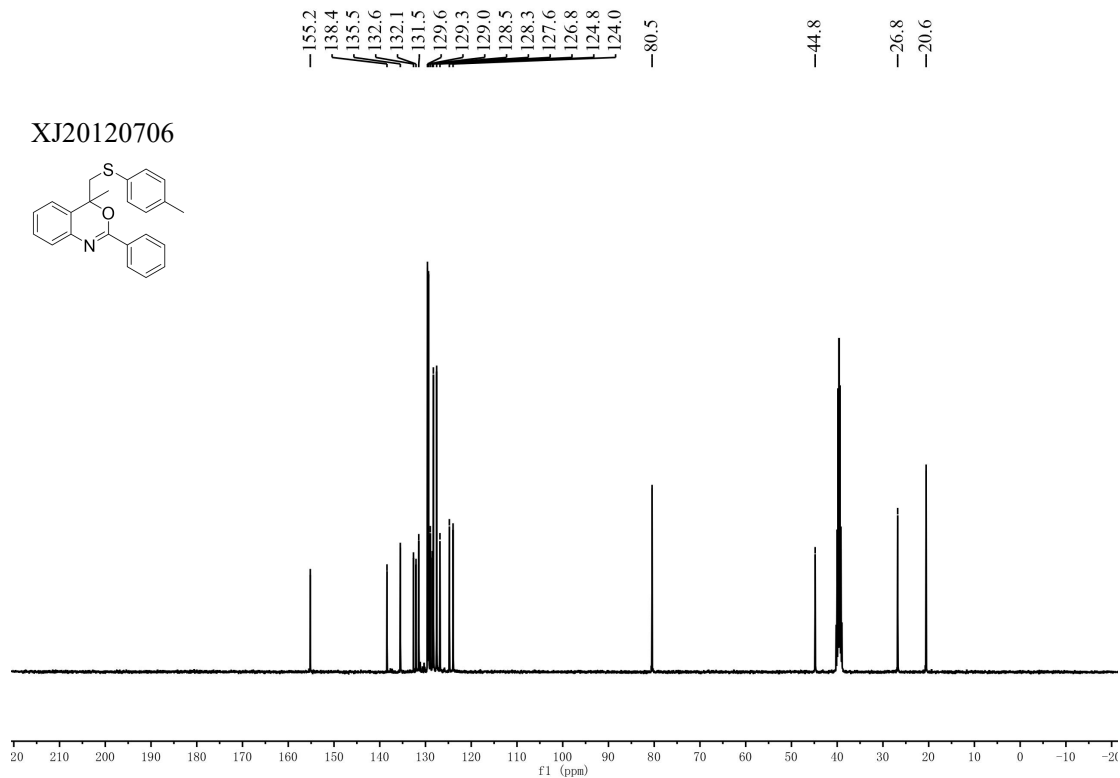
- (1) J. Guo, Y. Hao, G. Li, Z. Wang, Y. Liu, Y. Li and Q. Wang, *Org. Biomol. Chem.*, **2020**, 18, 1994-2001.
- (2) J. Li, R. Oost, B. Maryasin, L. González, N. Maulide, *Nature Communications*, **2019**, 10, 2327.
- (3) B. N. Hemric, K. Shen, and Q. Wang, *J. Am. Chem. Soc.*, **2016**, 138, 18, 5813-5816.
- (4) Z. Liu, Q. Zhao, J. Chen, Q. Tang, J. Chen, W. Xiao, *Adv. Synth. Catal.*, **2018**, 360, 11, 2087-2092.
- (5) C. Xu and Q. Shen, *Org. Lett.*, **2015**, 17, 18, 4561-4563.
- (6) G. C. Senadi, B. Guo, W. Hu and J. Wang, *Chem. Commun.*, **2016**, 52, 11410-11413.

Copies of ^1H NMR, ^{13}C NMR and ^{19}F NMR spectra

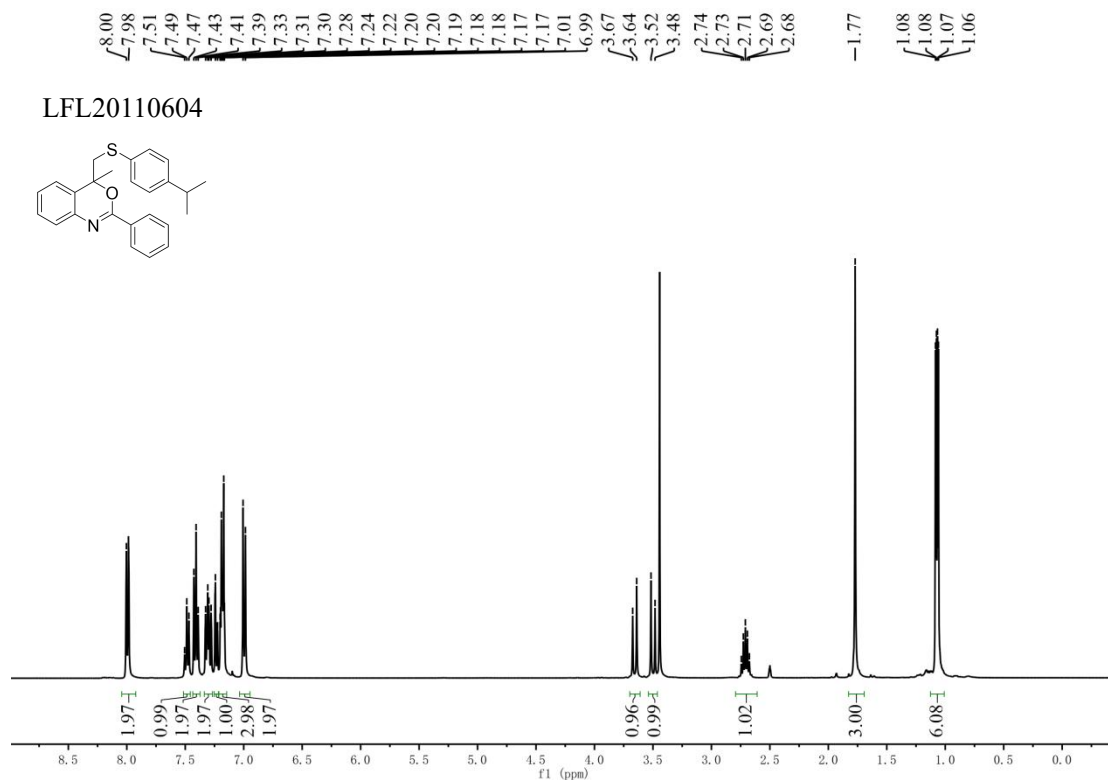
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3a**



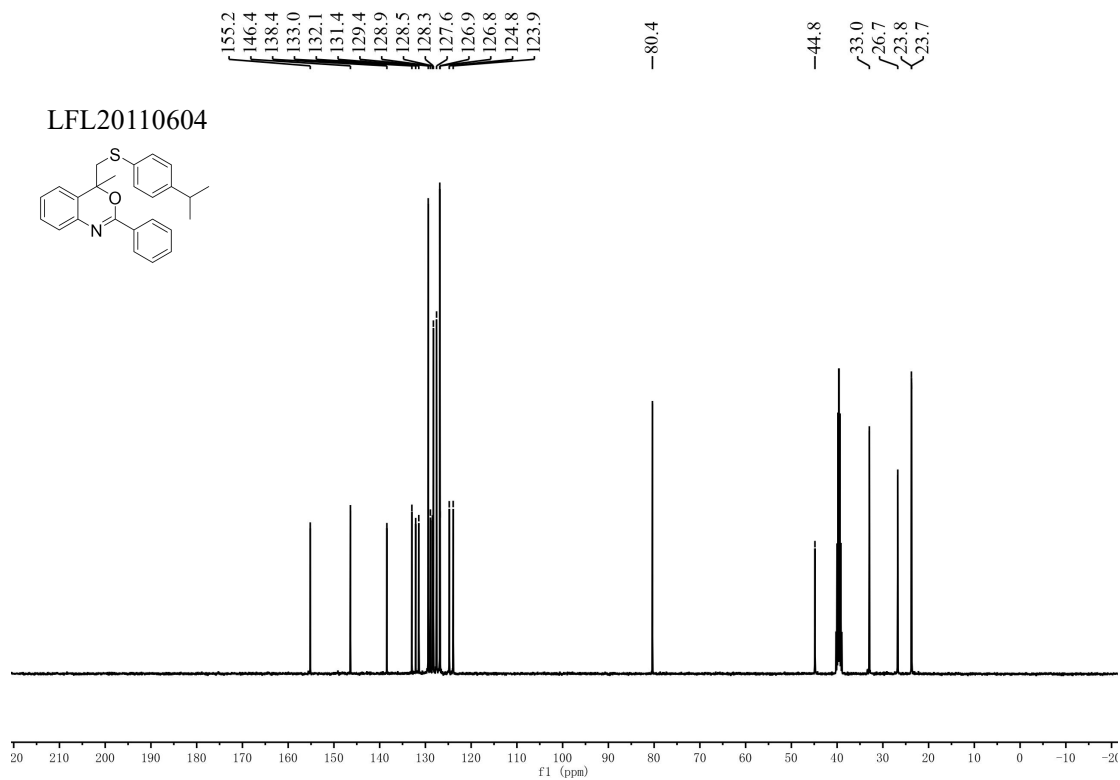
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **3a**



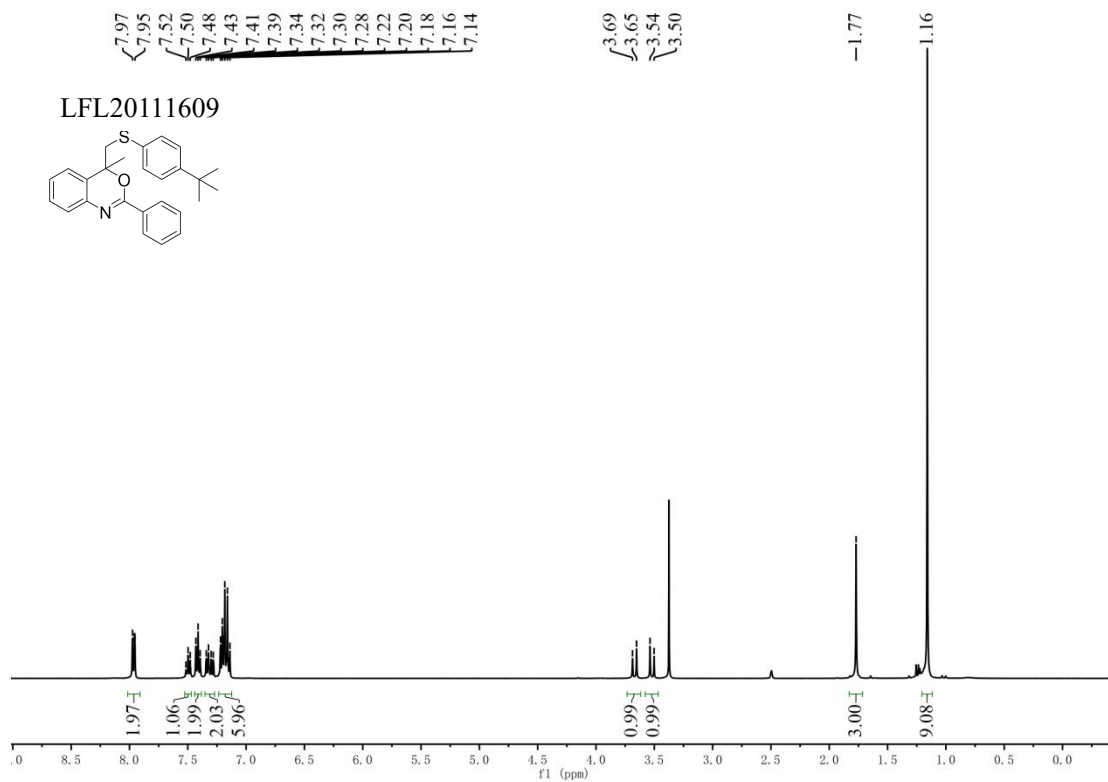
¹H NMR (400 MHz, DMSO-*d*₆) of compound **3b**



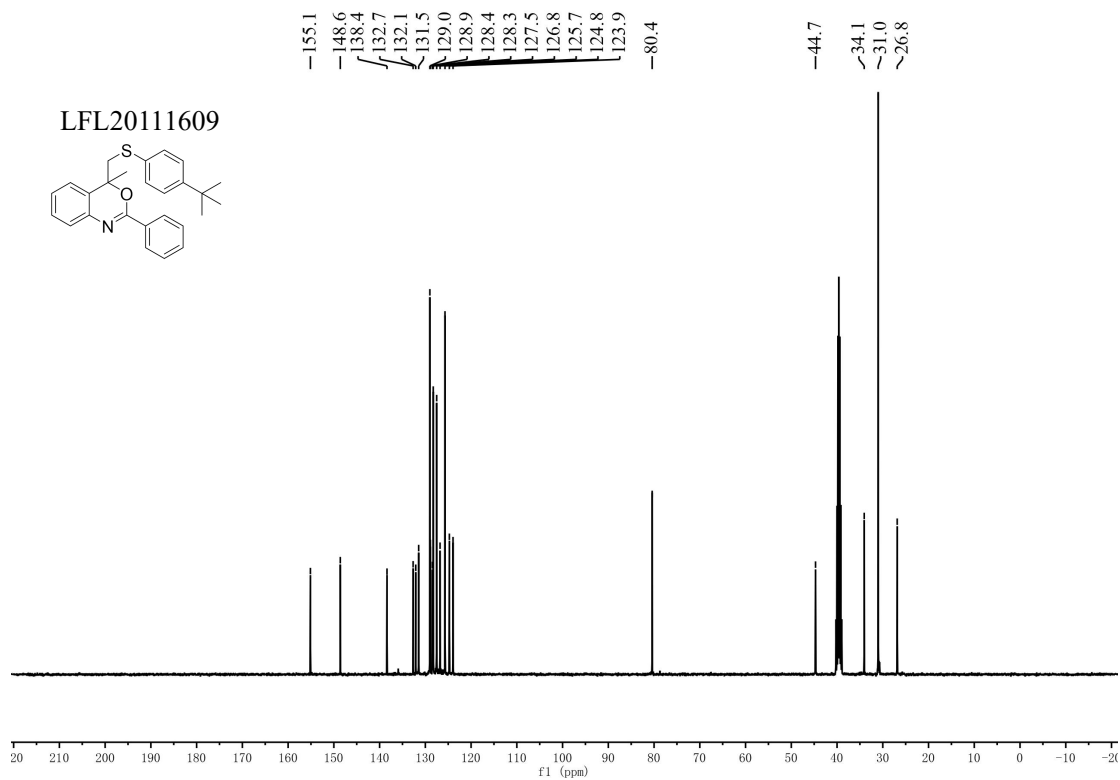
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **3b**



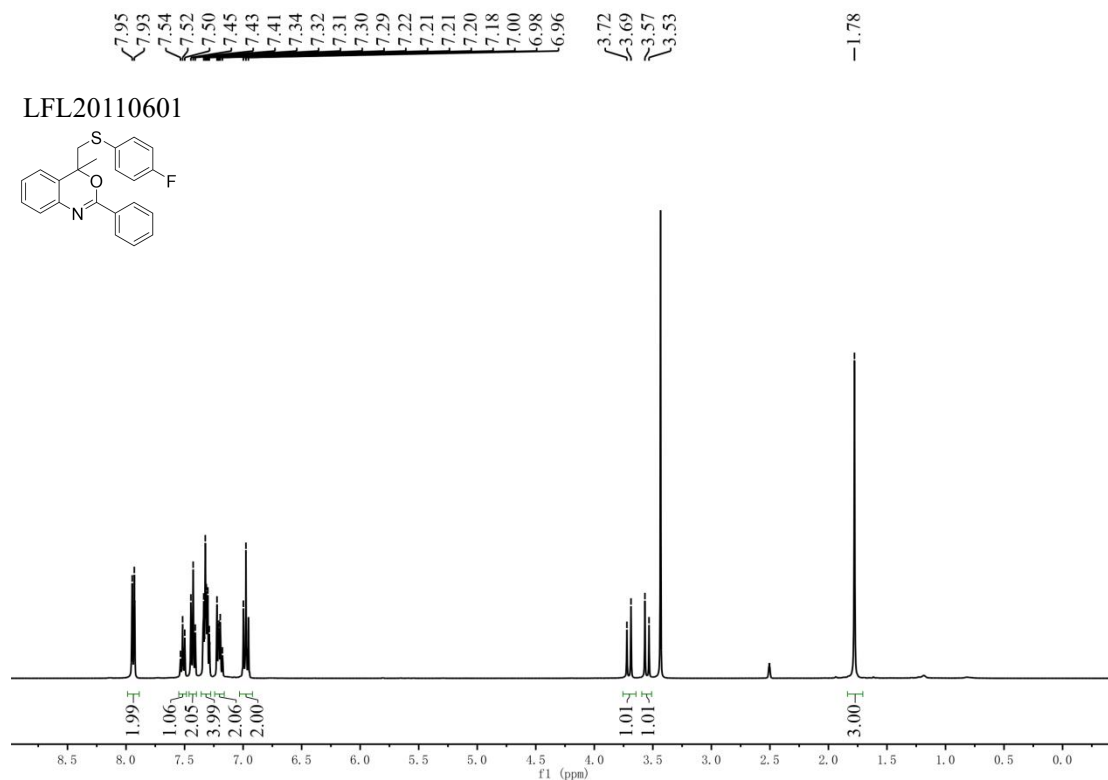
^1H NMR (400 MHz, $\text{DMSO}-d_6$) of compound **3c**



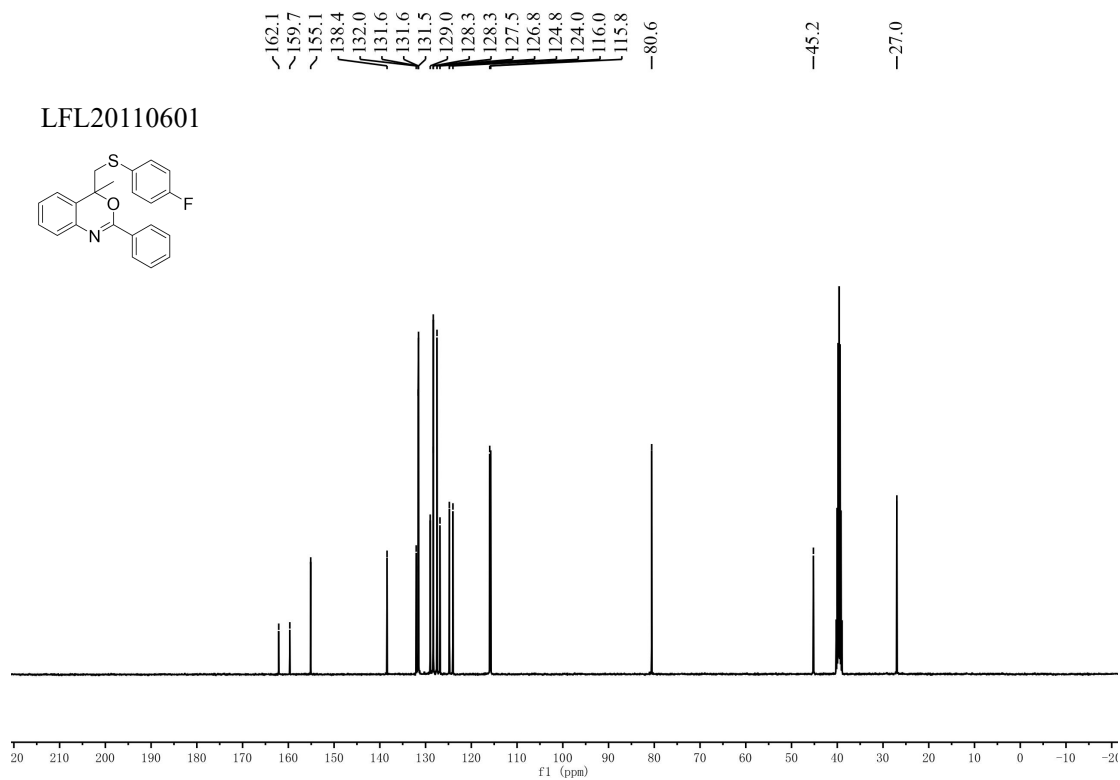
^{13}C NMR (101 MHz, $\text{DMSO}-d_6$) of compound **3c**



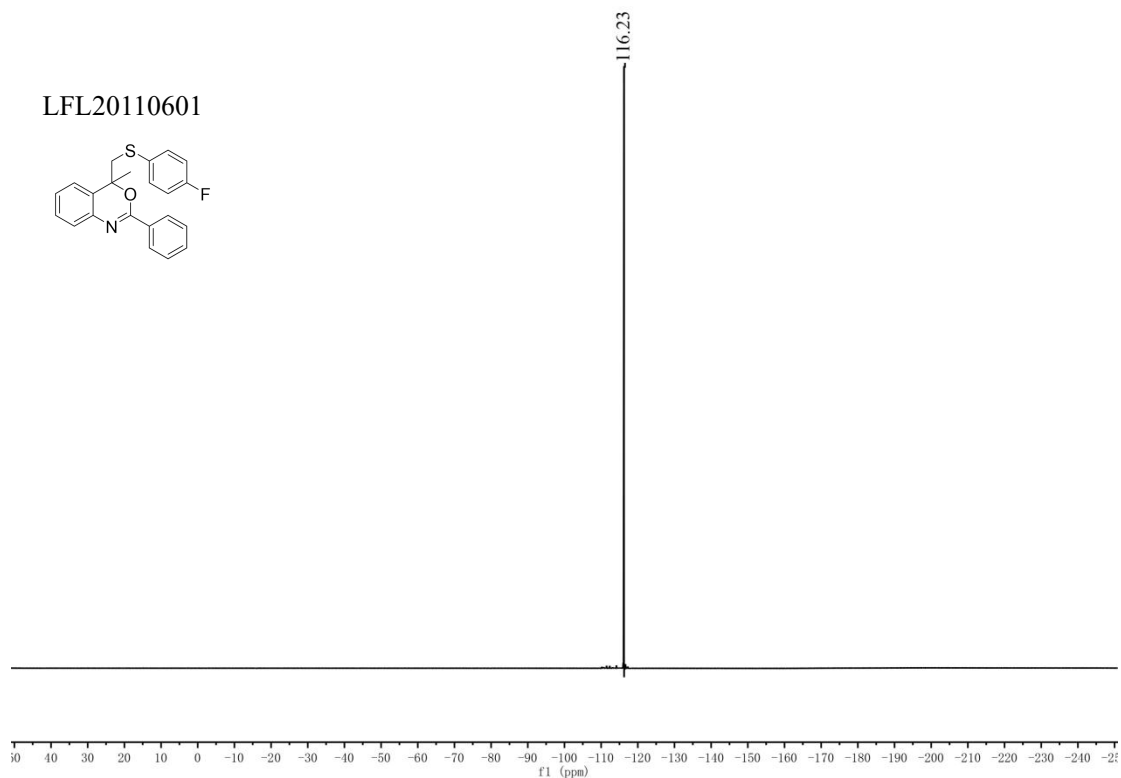
¹H NMR (400 MHz, DMSO-*d*₆) of compound **3d**



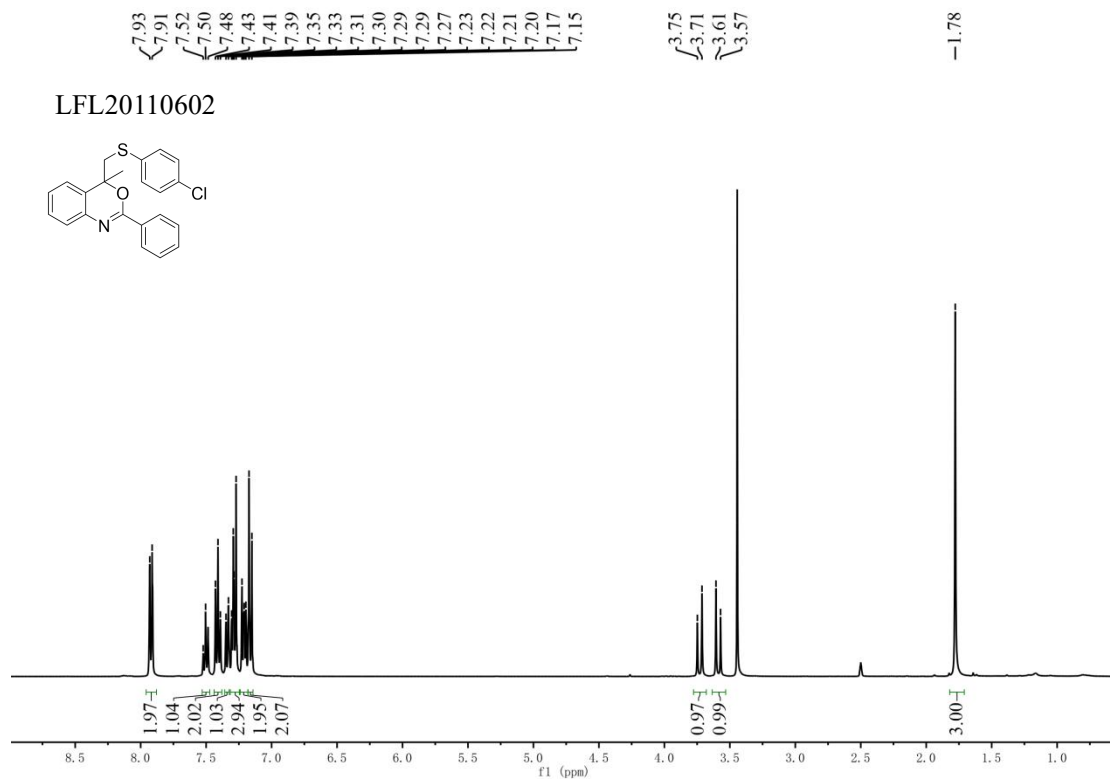
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **3d**



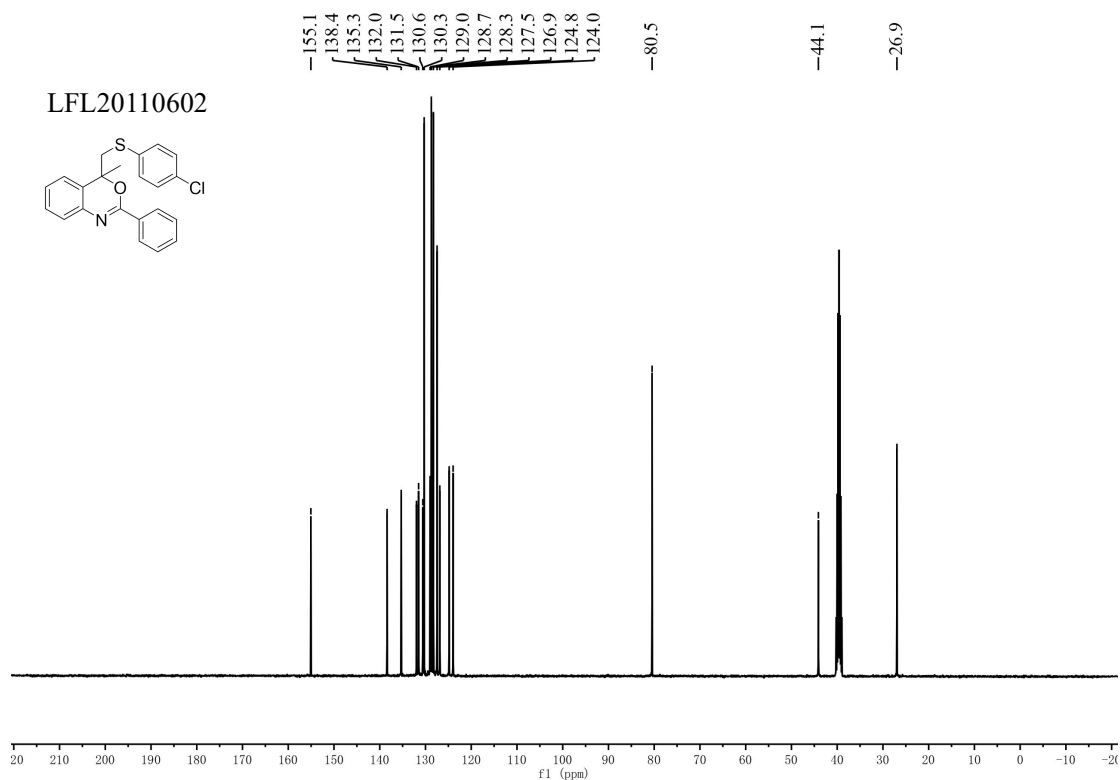
^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) of compound **3d**



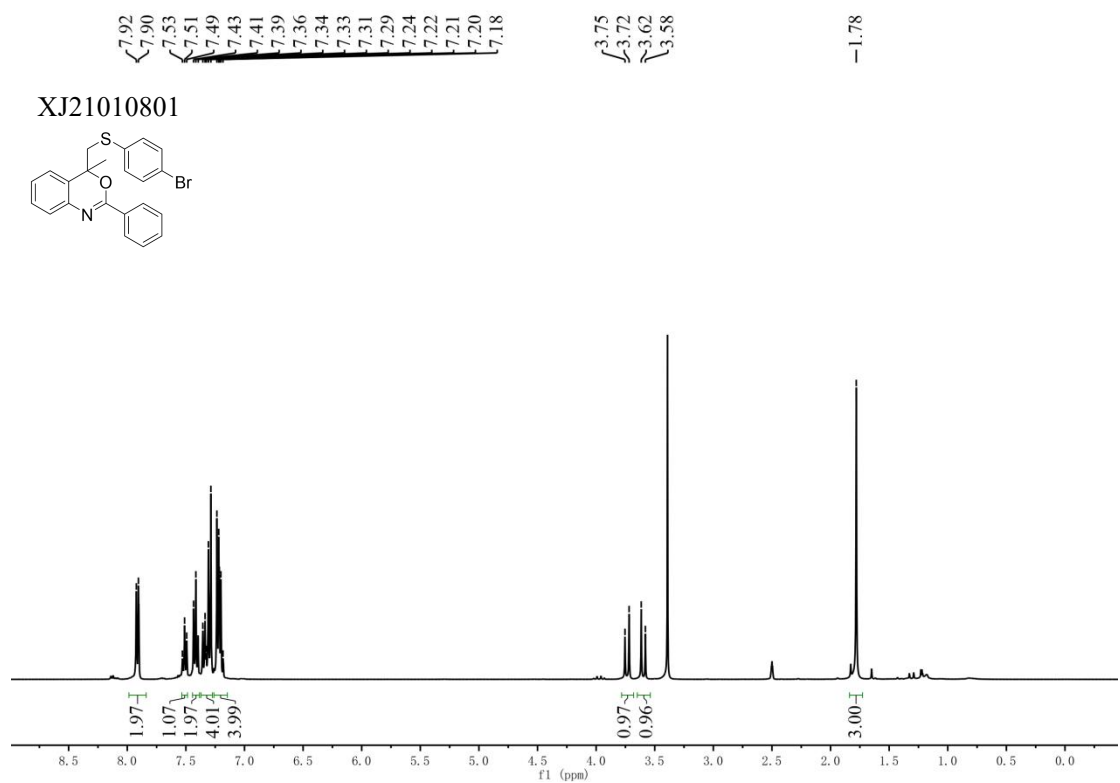
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3e**



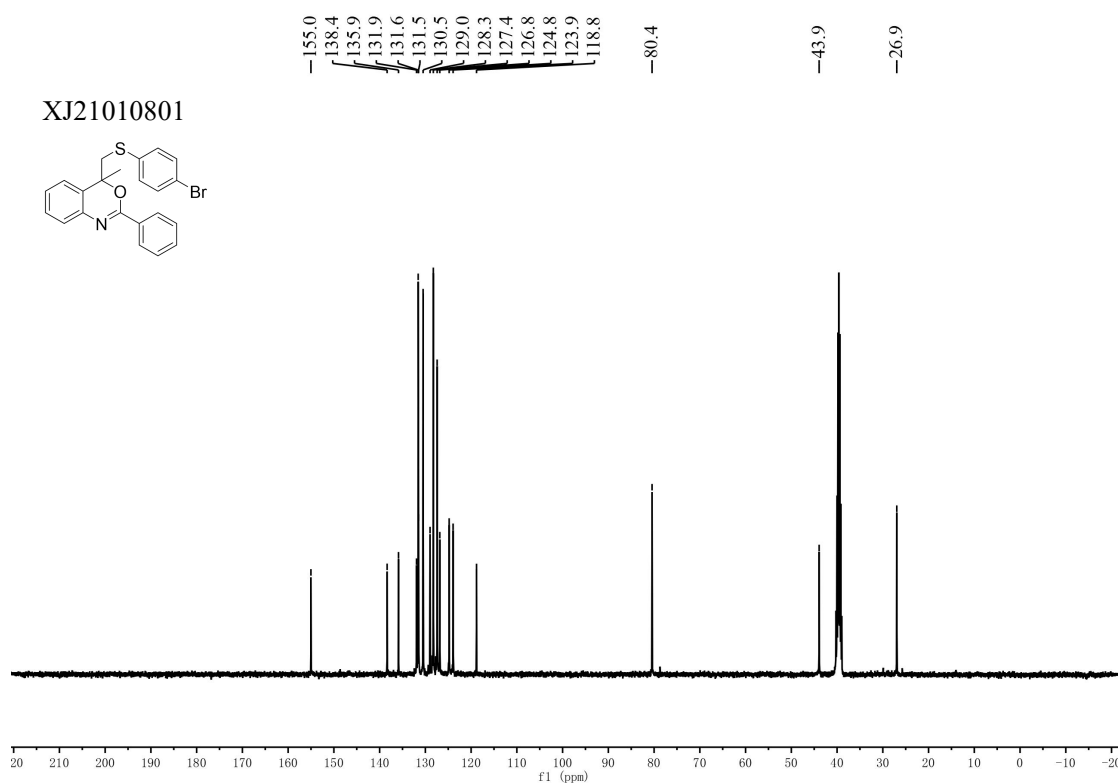
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **3e**



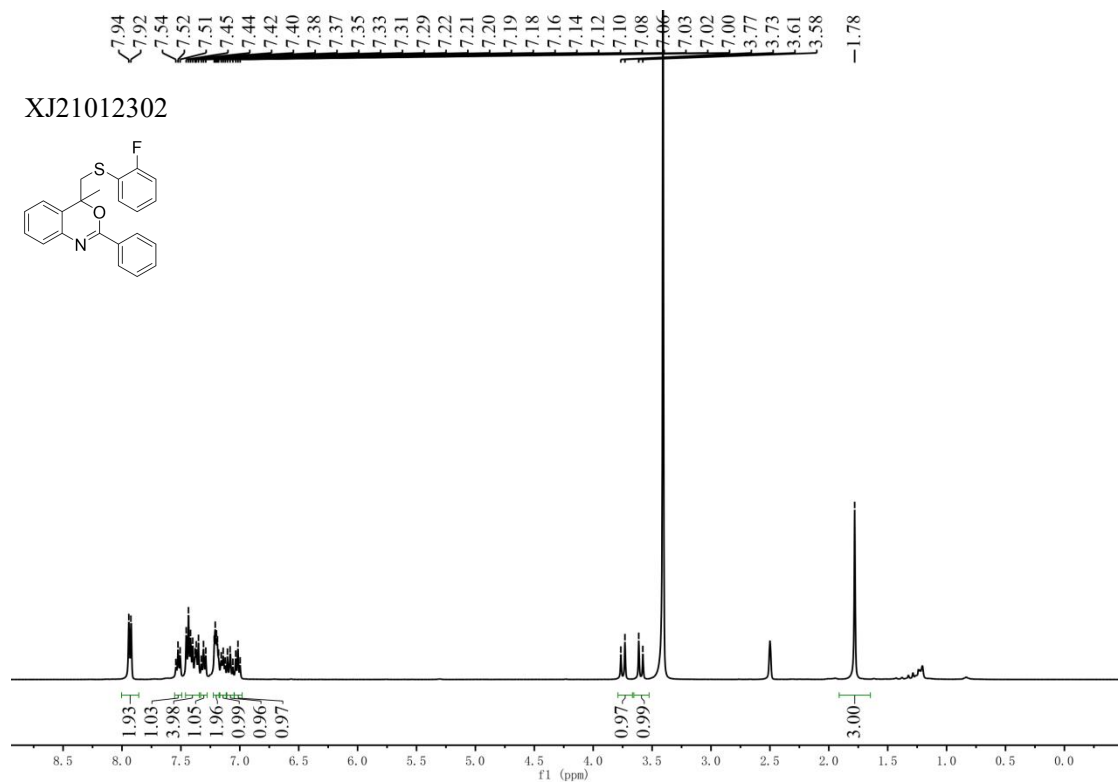
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3f**



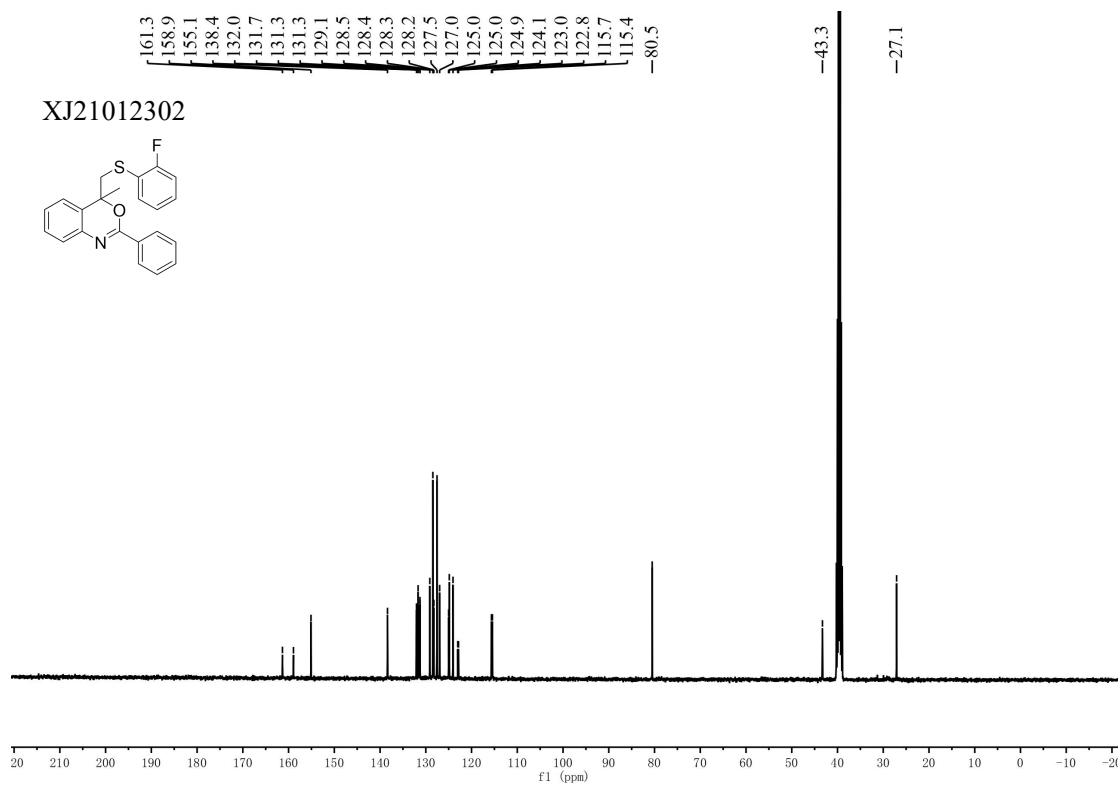
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **3f**



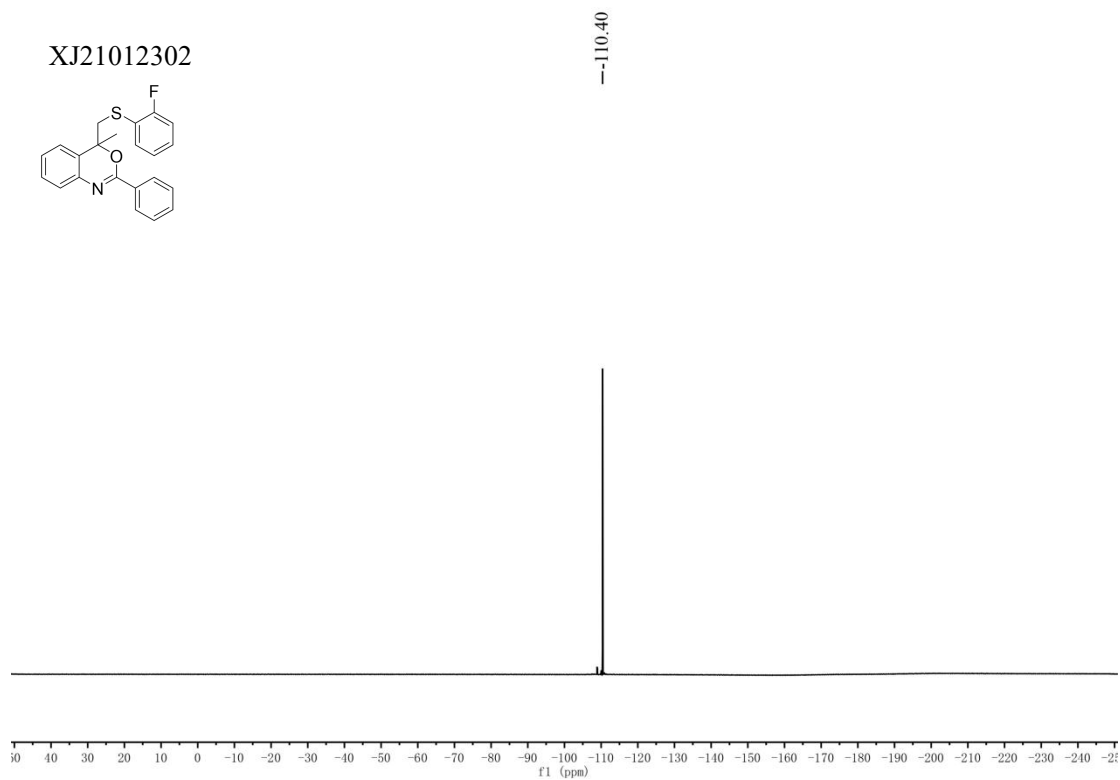
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3g**



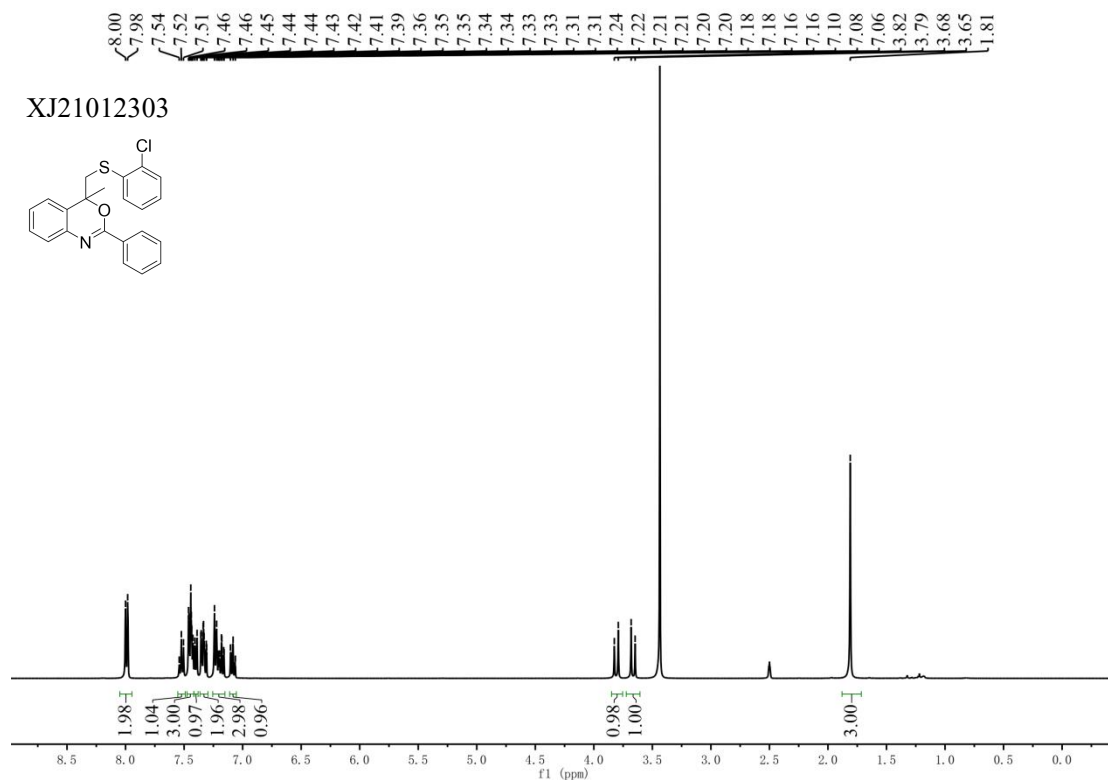
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **3g**



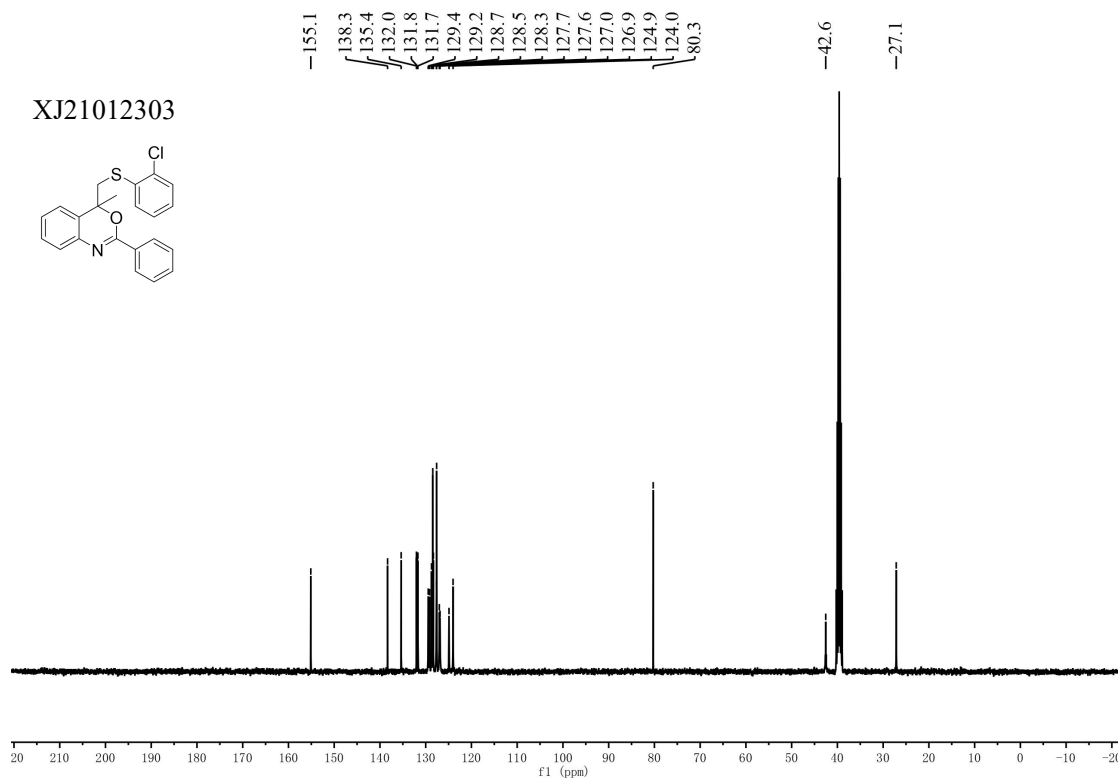
¹⁹F NMR (376 MHz, DMSO-*d*₆) of compound **3g**



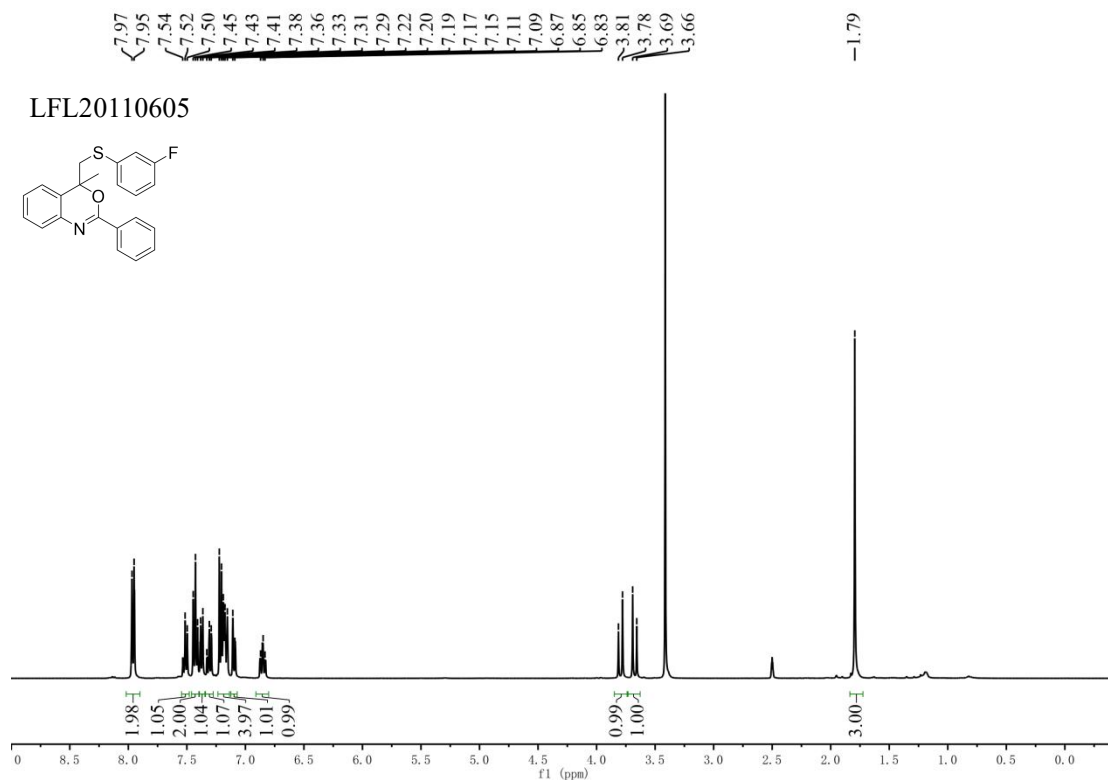
^1H NMR (400 MHz, $\text{DMSO}-d_6$) of compound **3h**



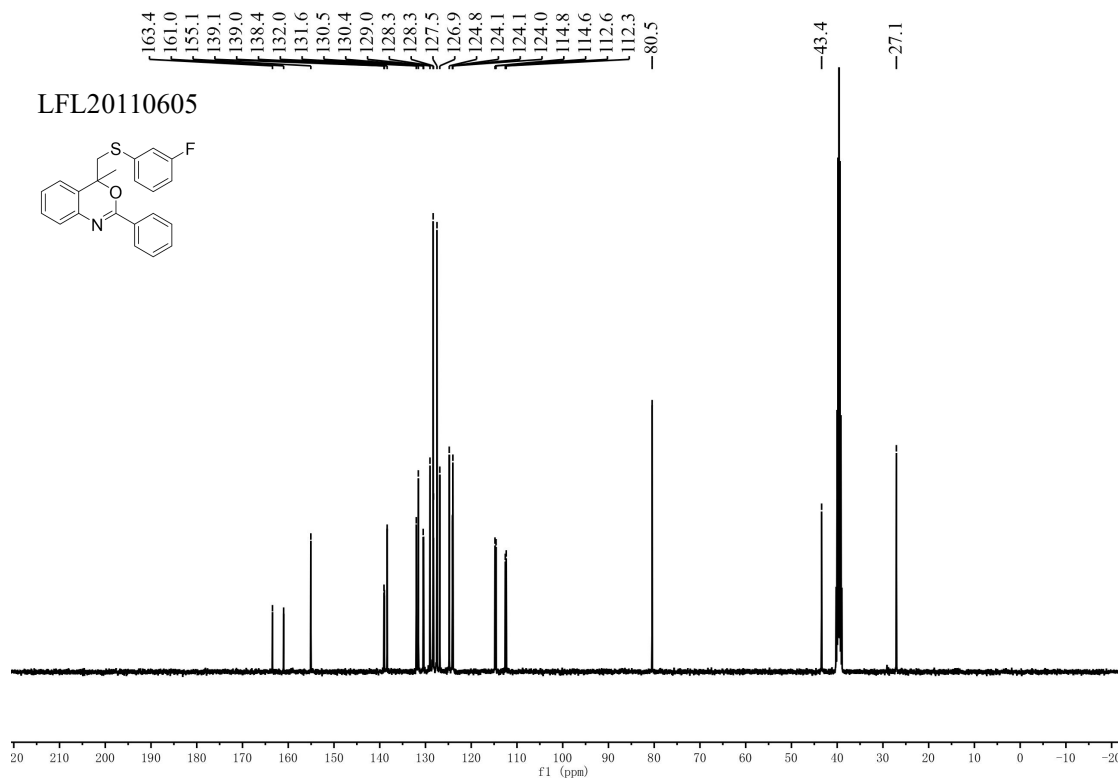
^{13}C NMR (101 MHz, $\text{DMSO}-d_6$) of compound **3h**



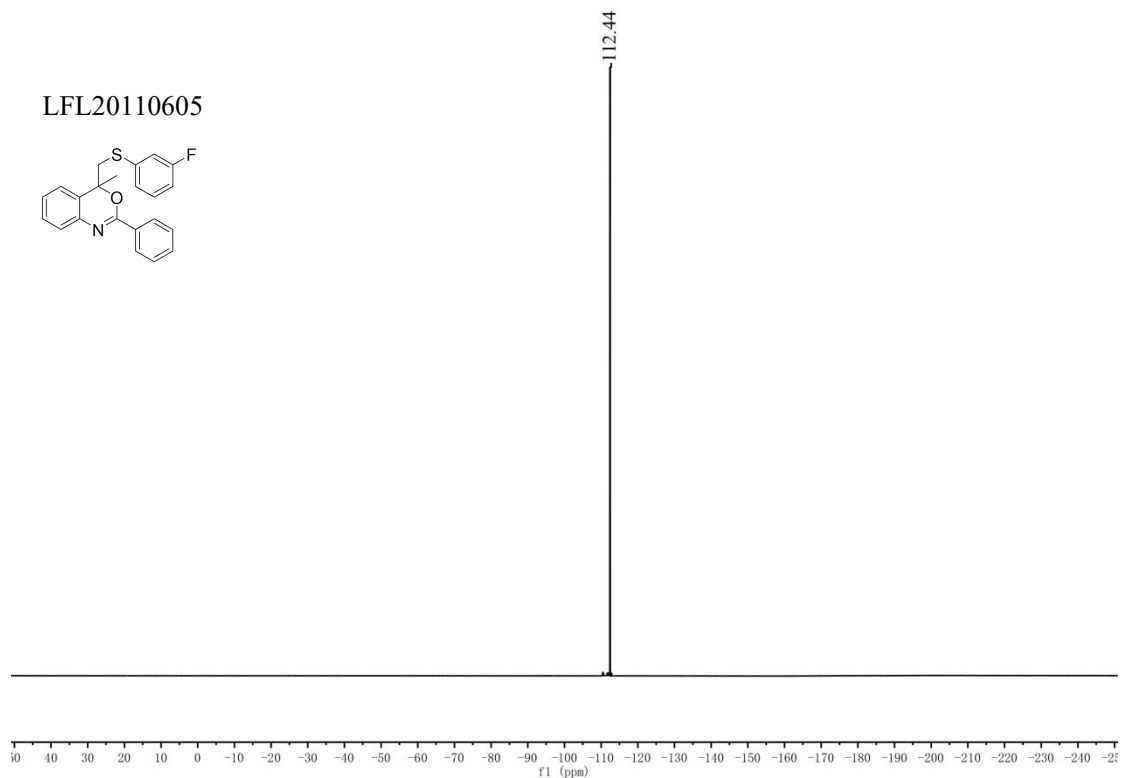
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3i**



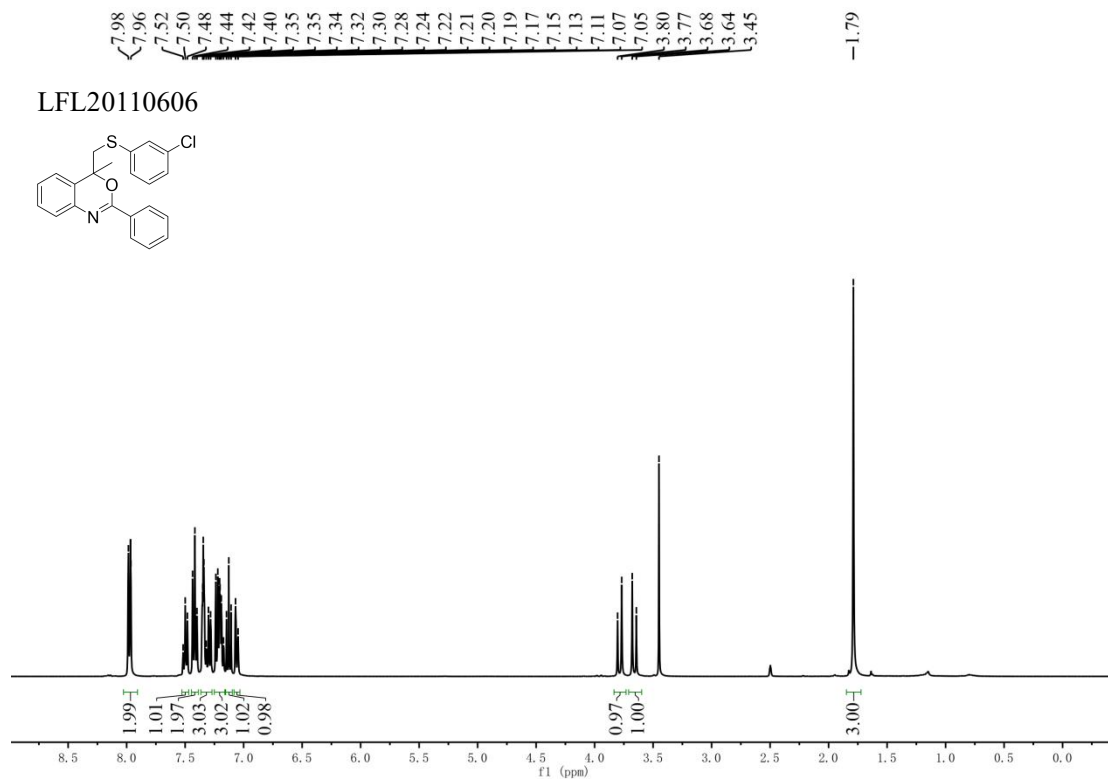
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **3i**



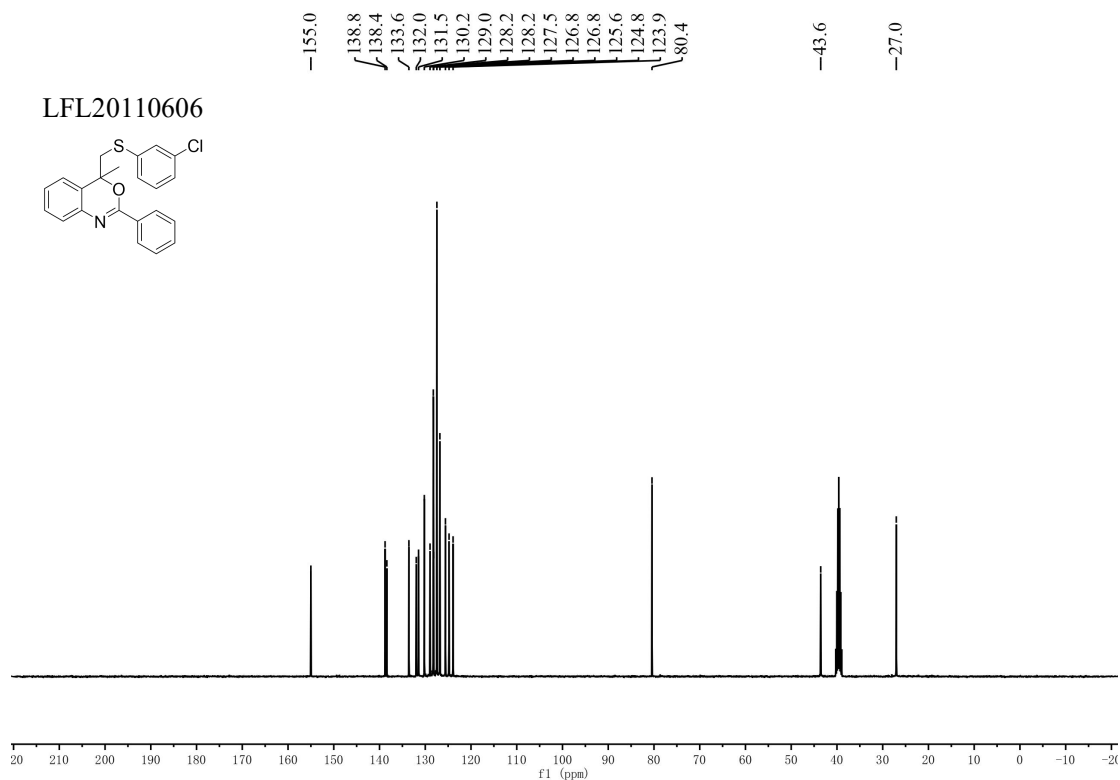
^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) of compound **3i**



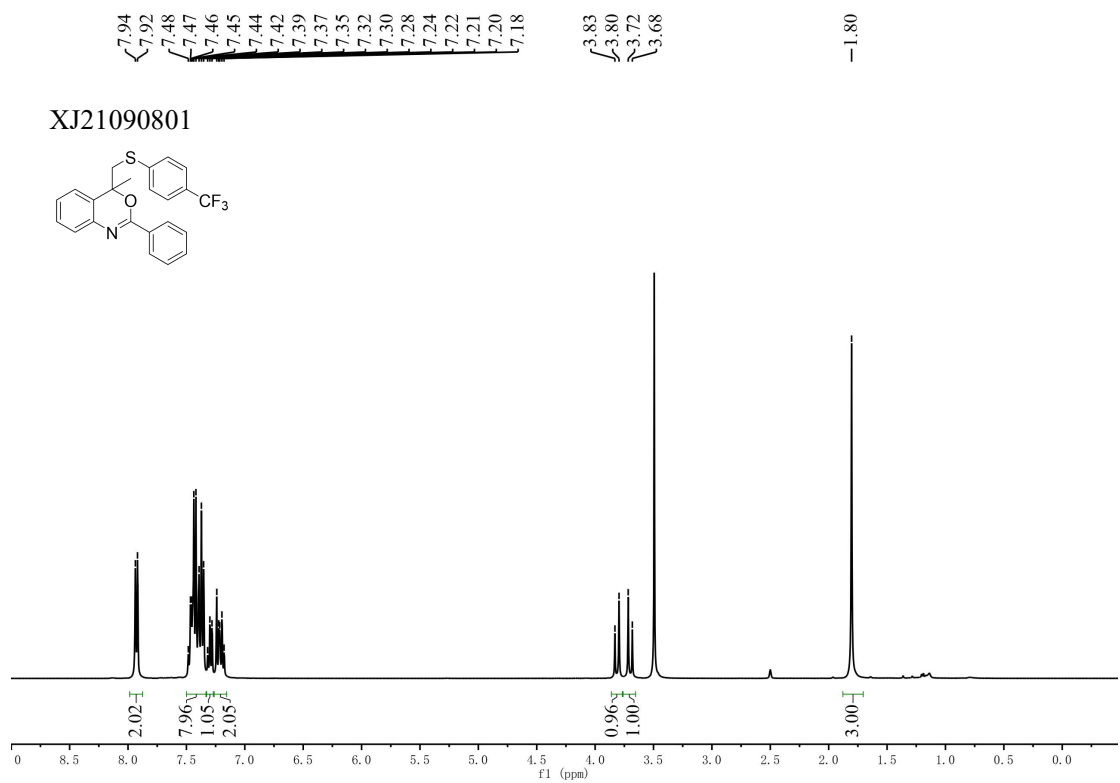
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3j**



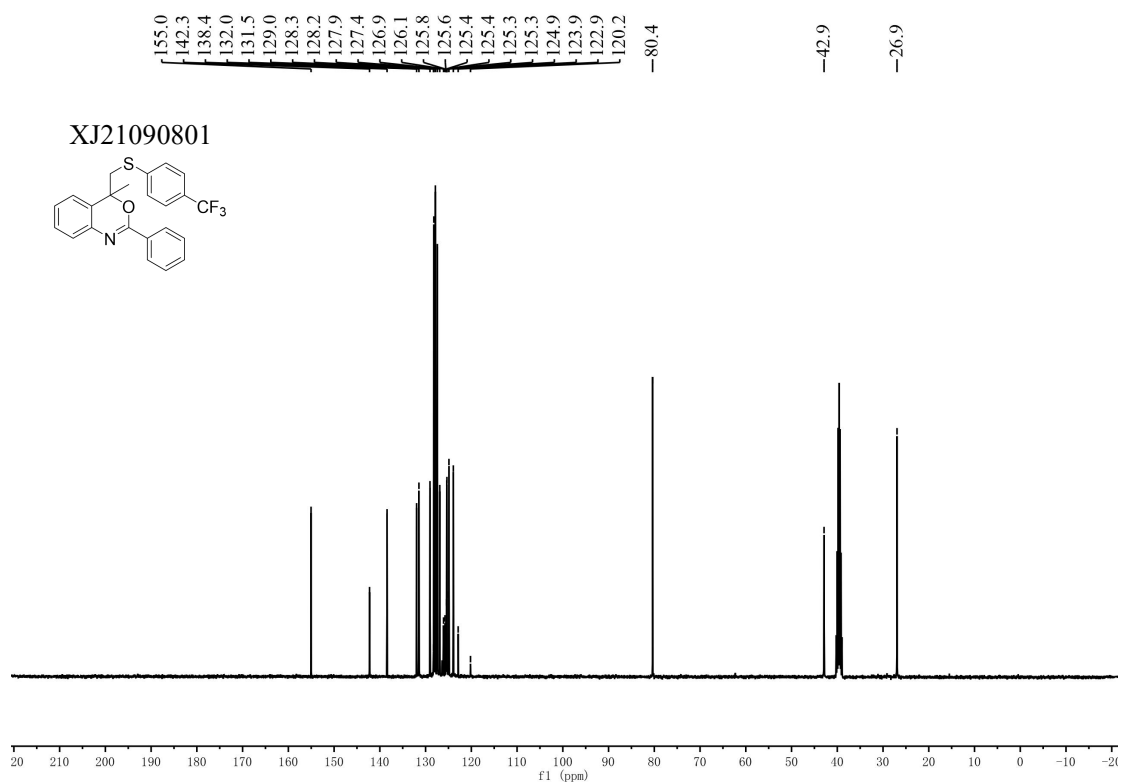
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **3j**



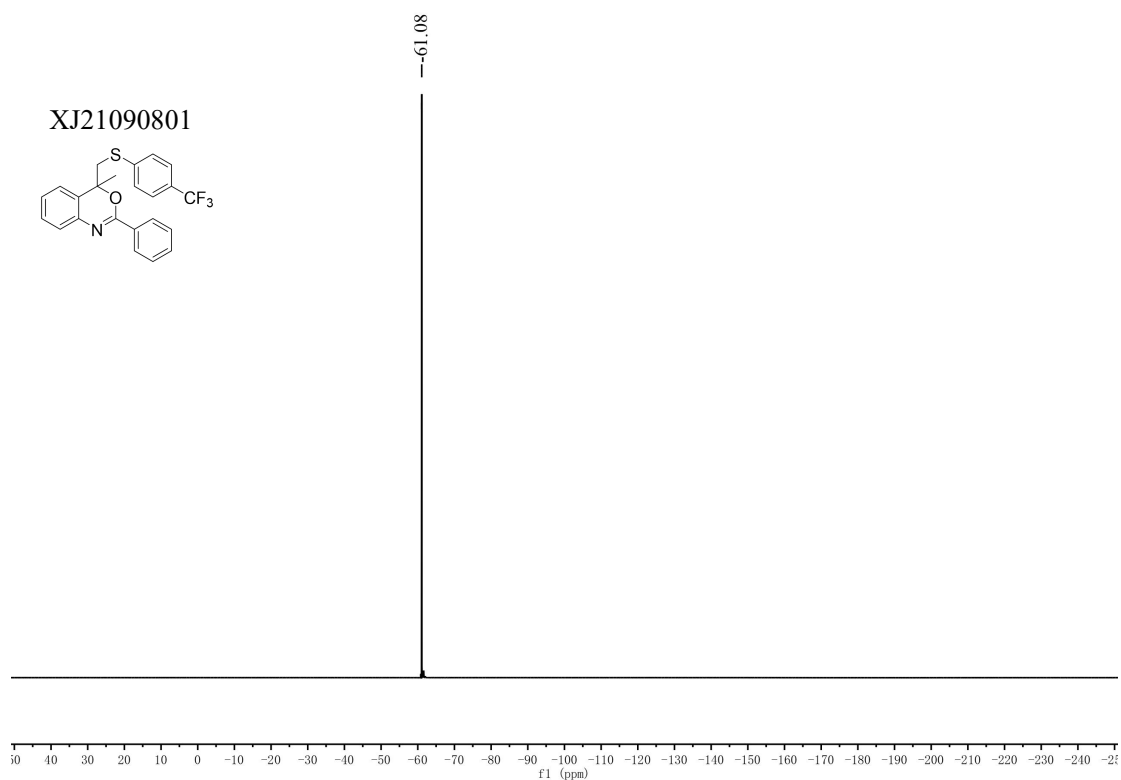
¹H NMR (400 MHz, DMSO-*d*₆) of compound **3k**



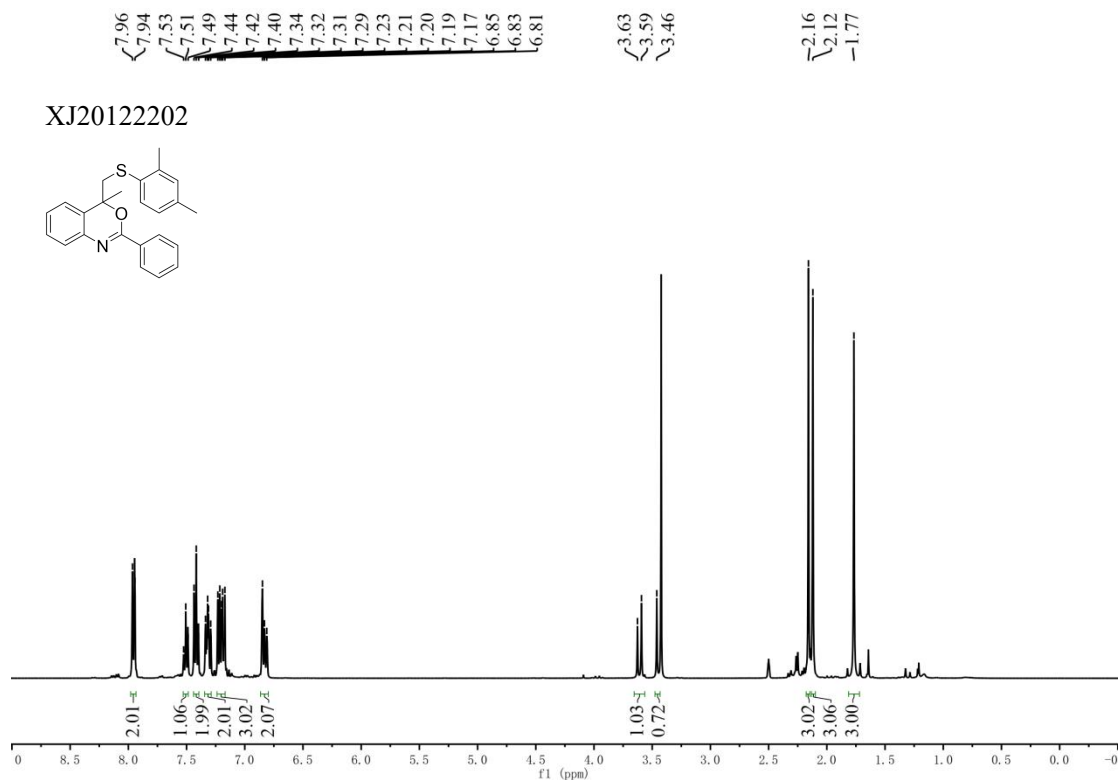
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **3k**



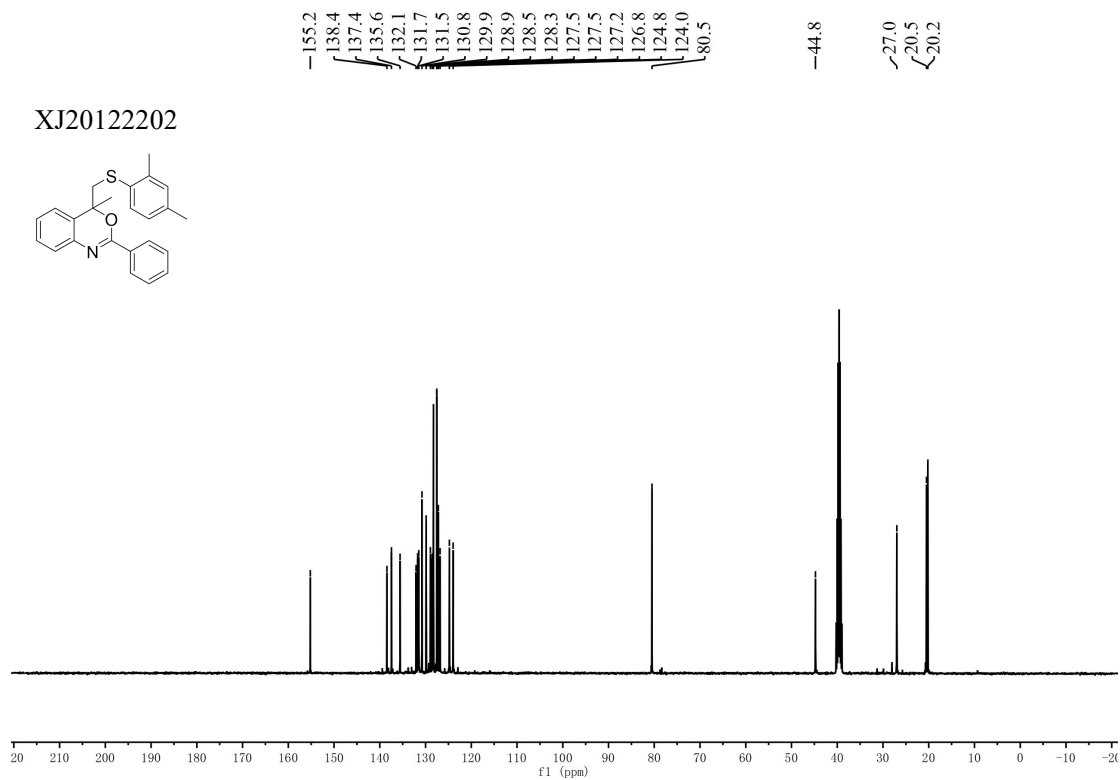
¹⁹F NMR (376 MHz, DMSO-*d*₆) of compound **3k**



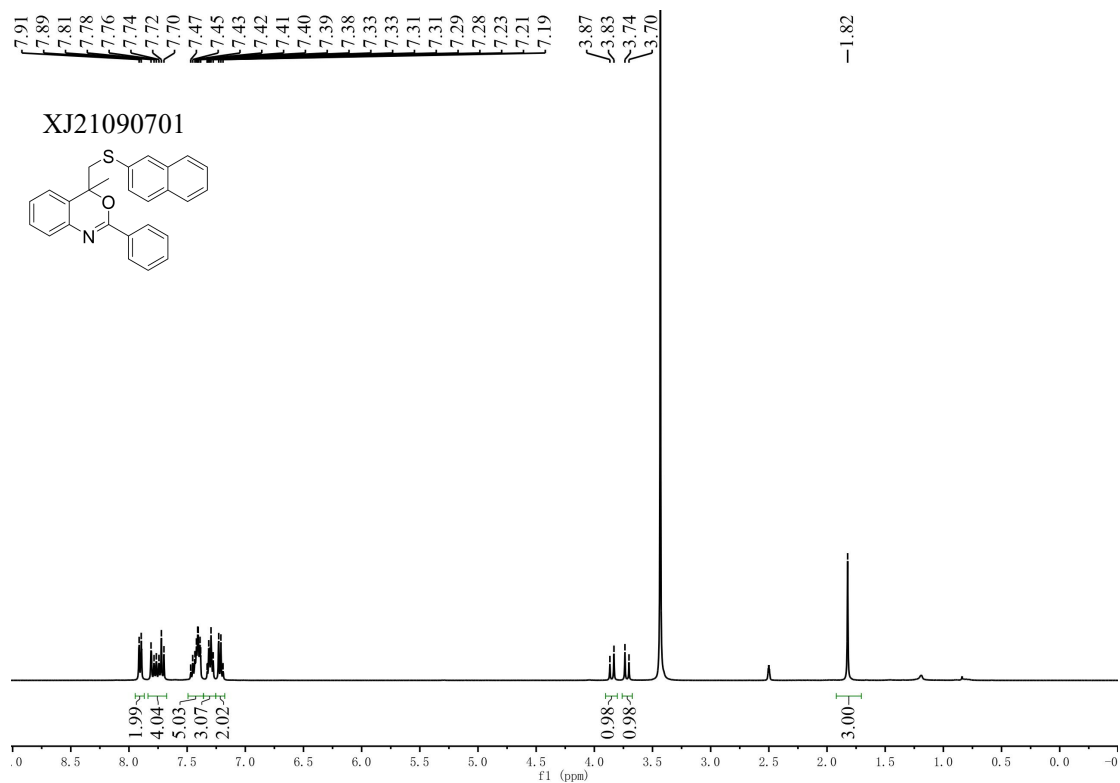
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **31**



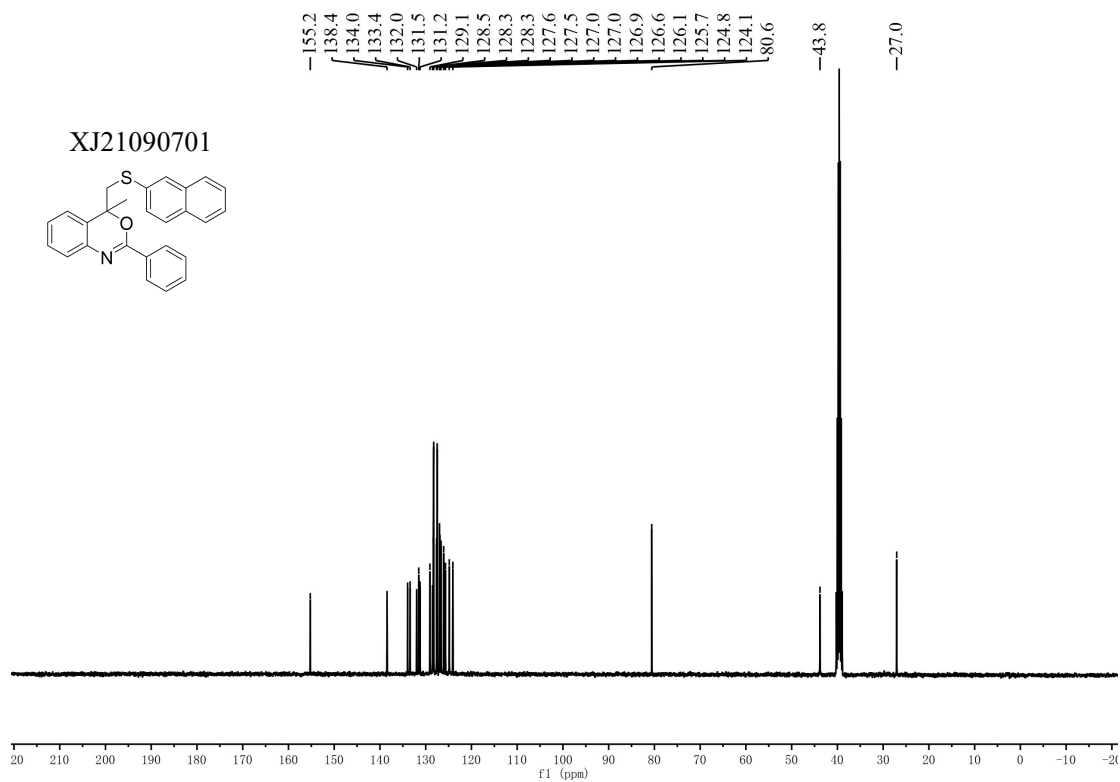
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **31**



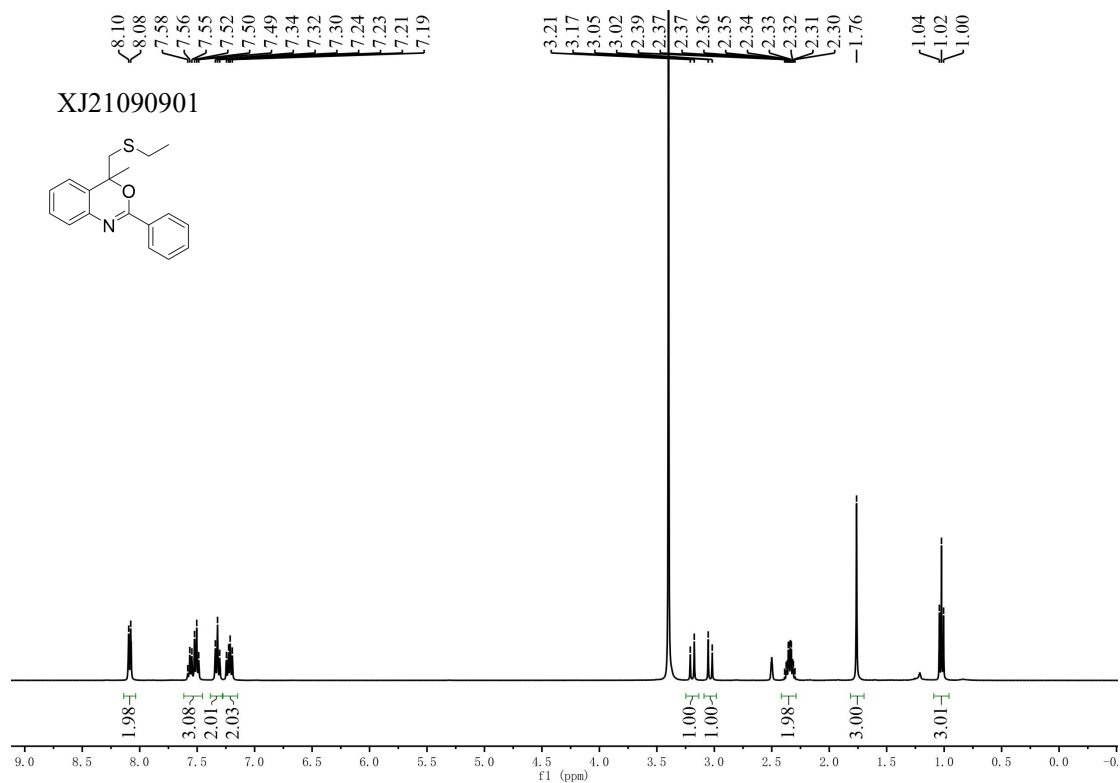
¹H NMR (400 MHz, DMSO-*d*₆) of compound **3m**



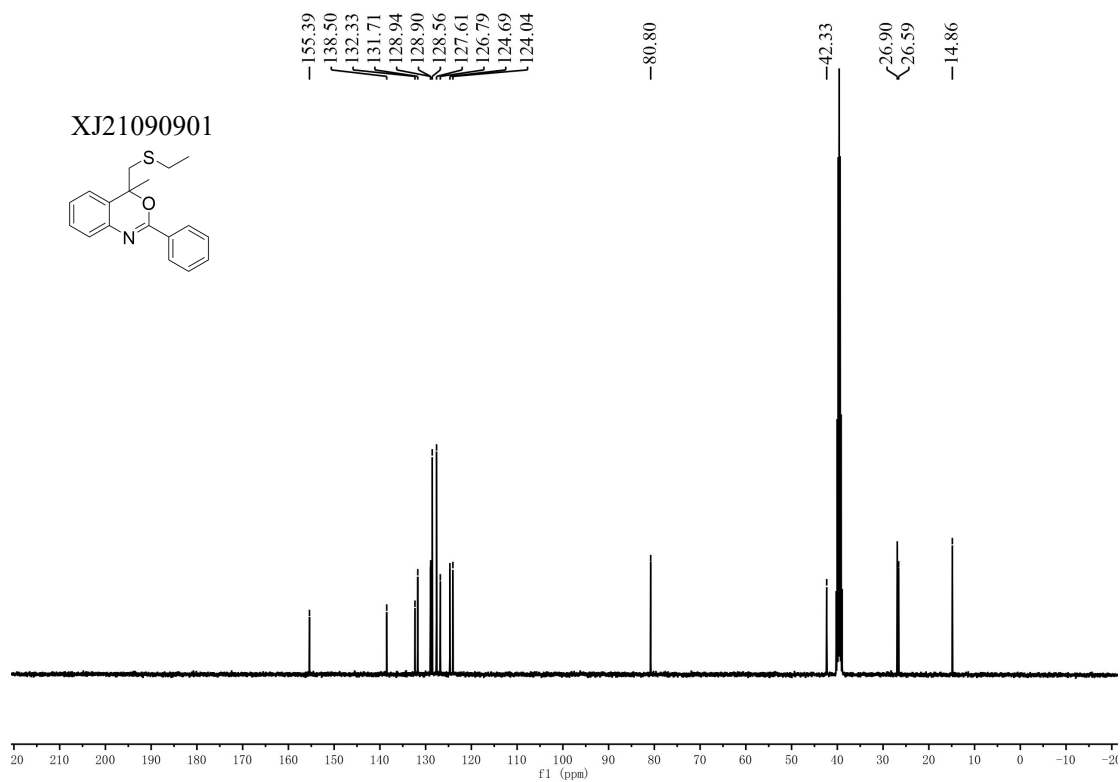
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **3m**



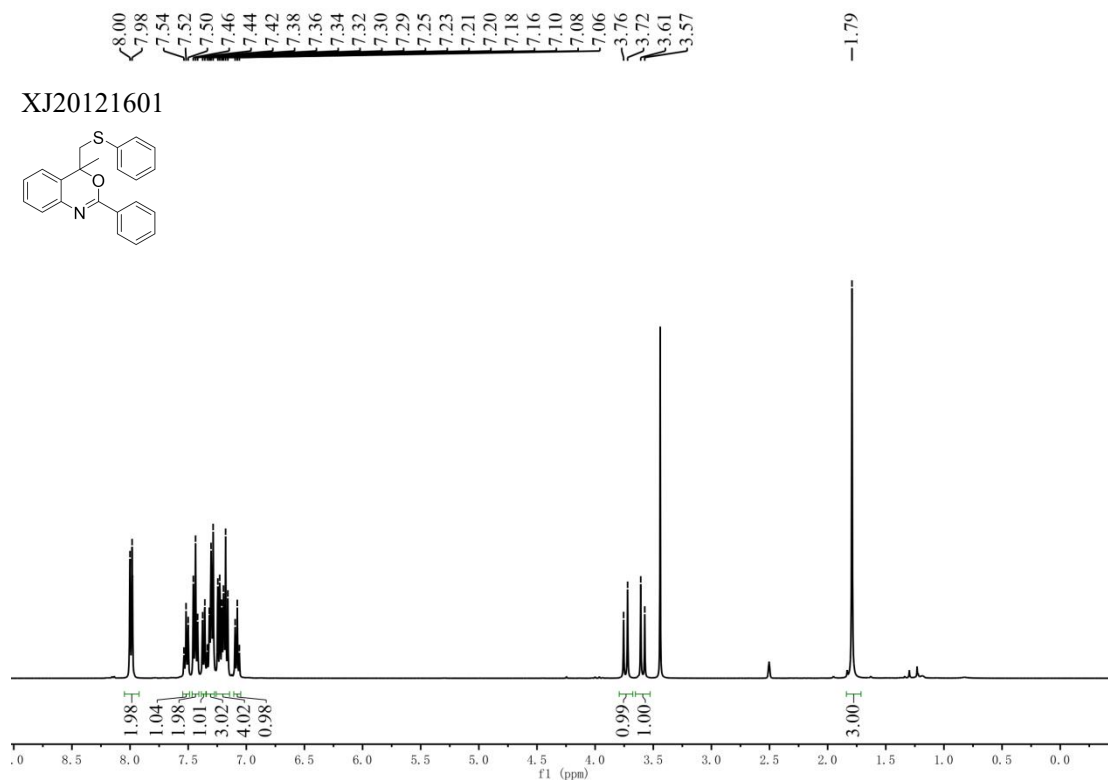
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3n**



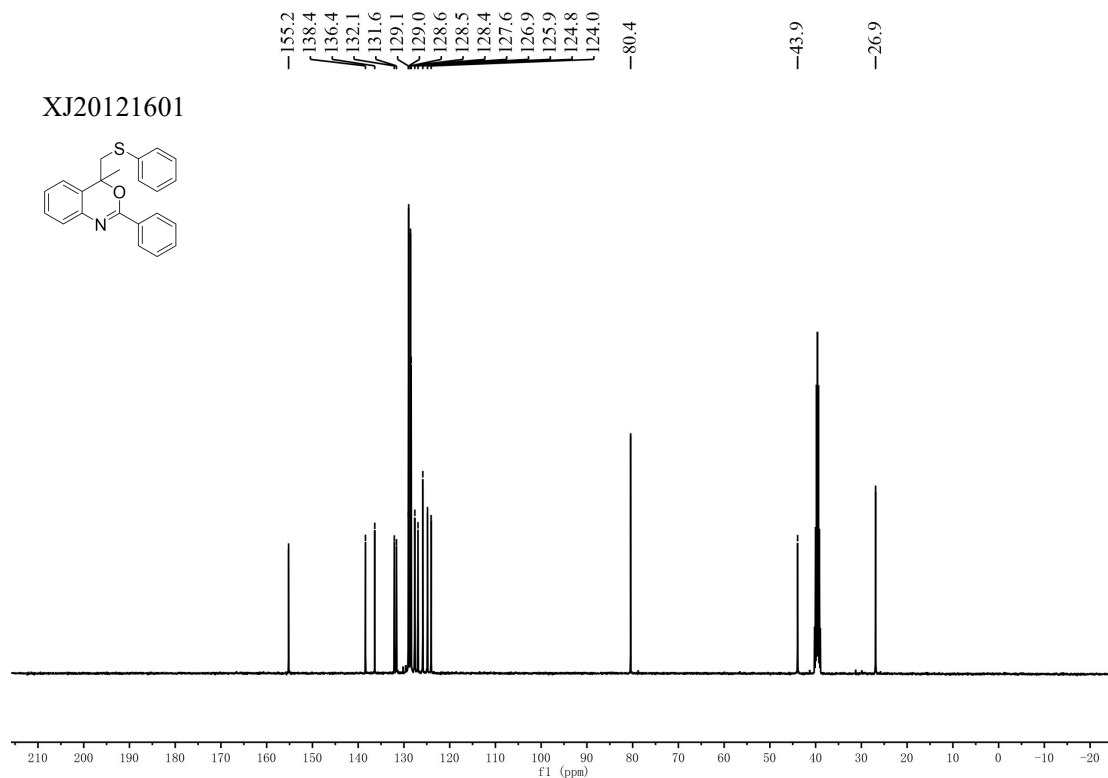
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **3n**



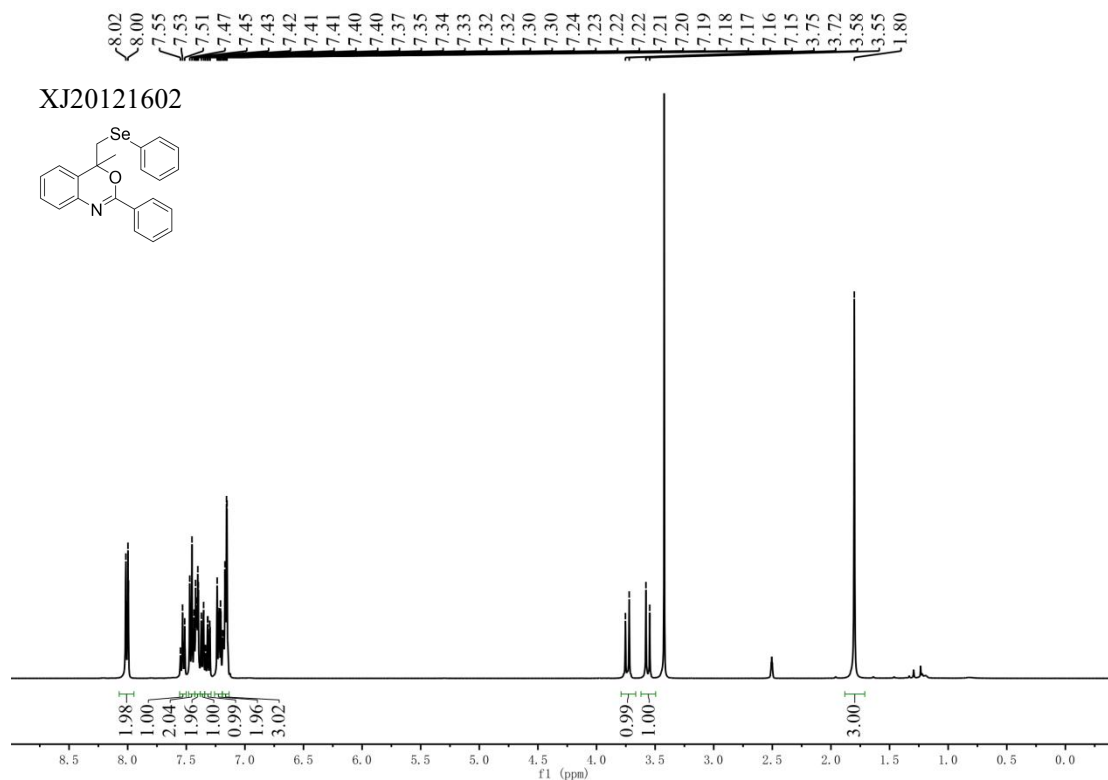
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3o**



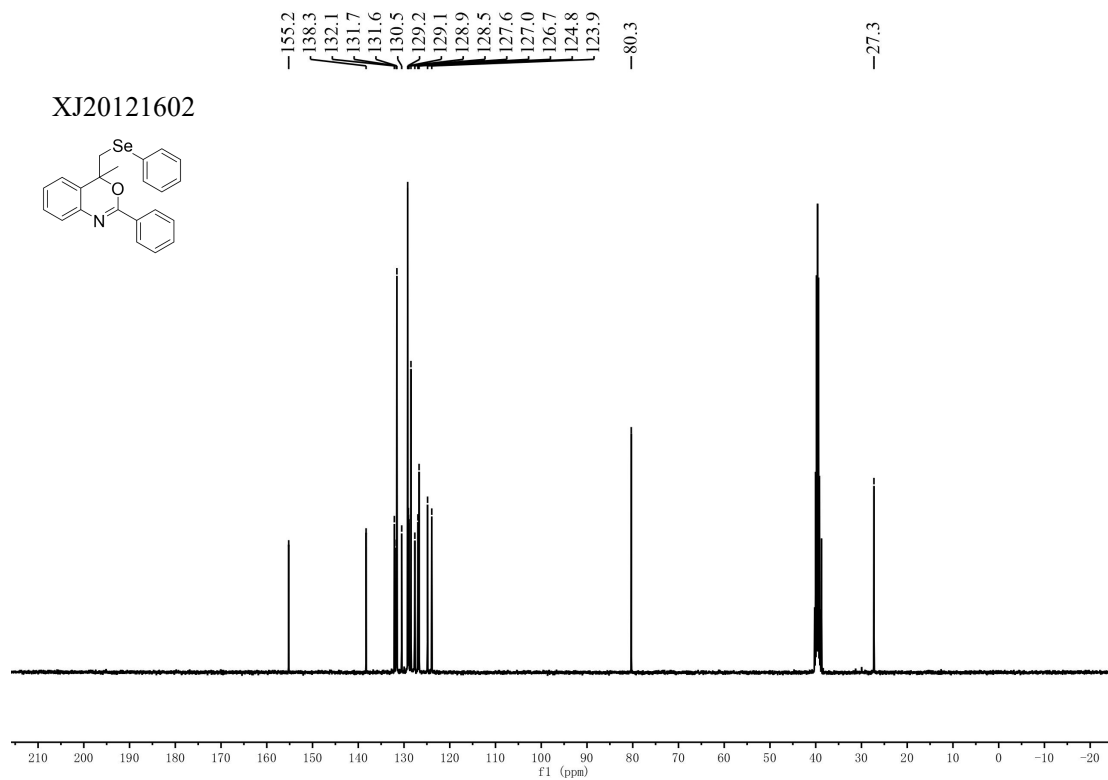
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **3o**



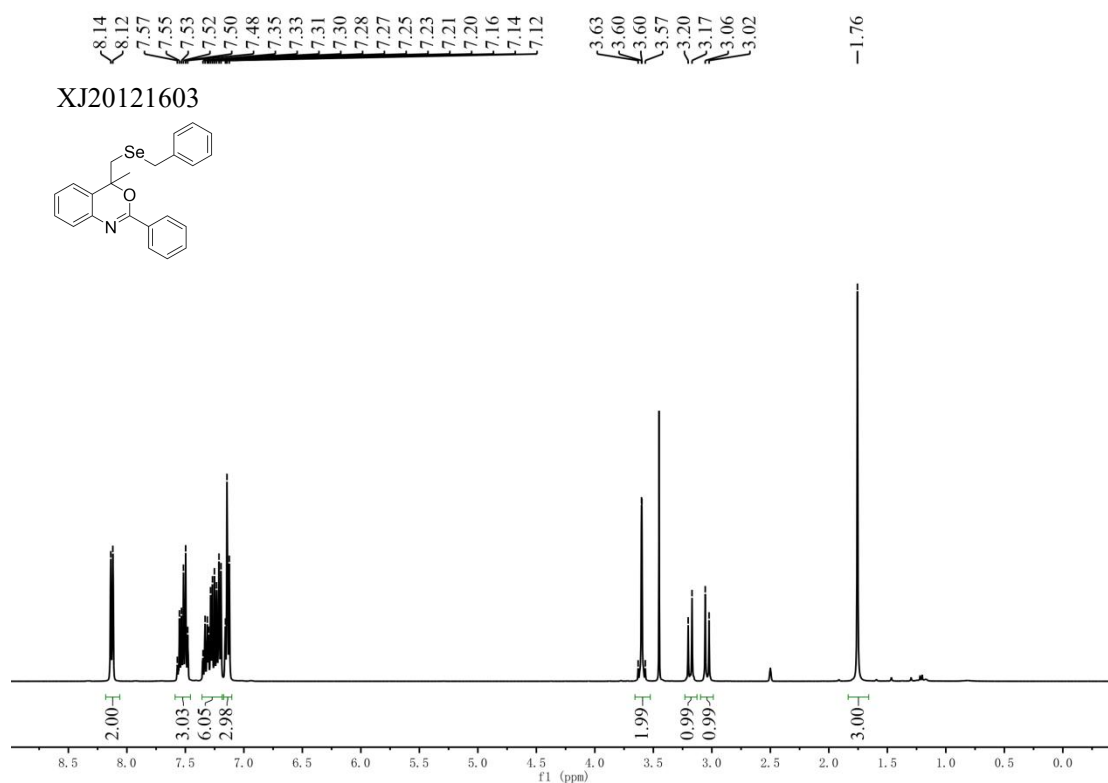
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3p**



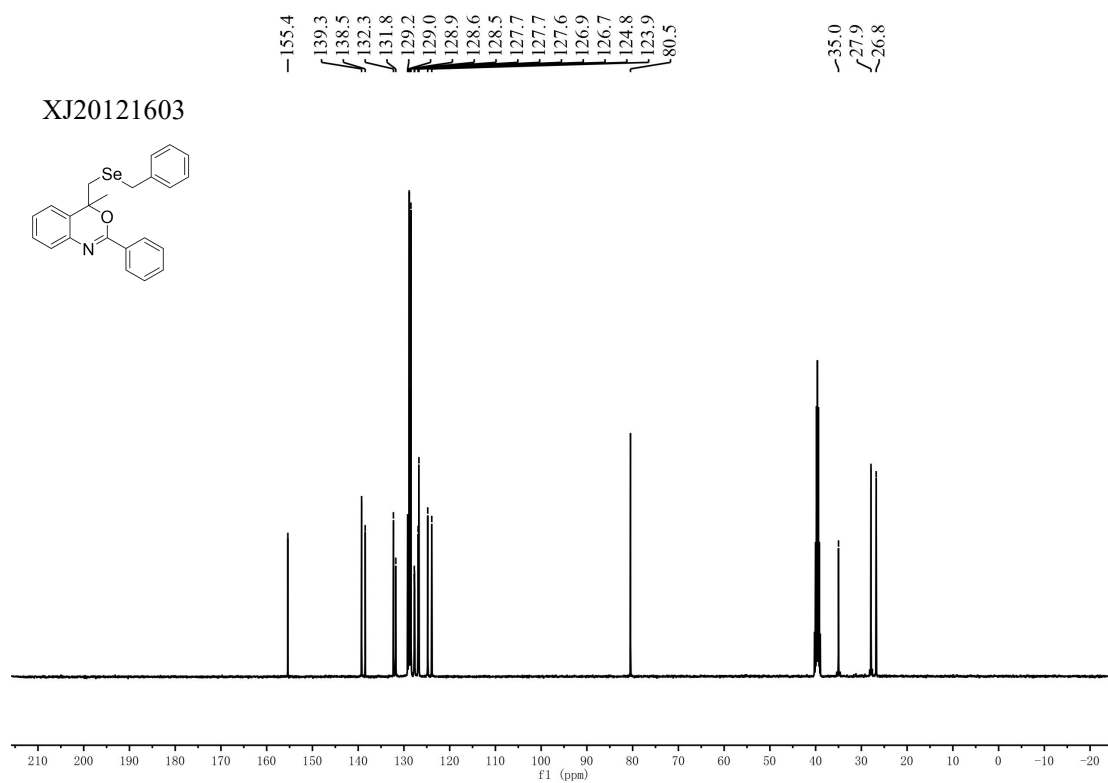
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **3p**



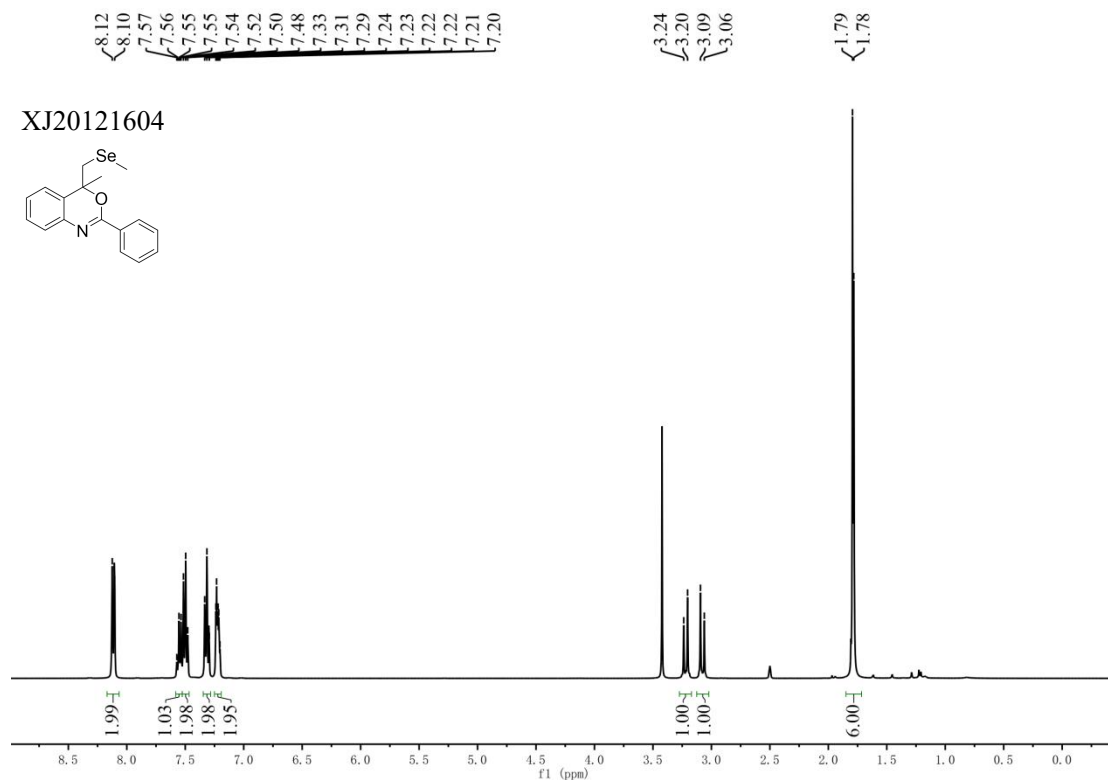
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3q**



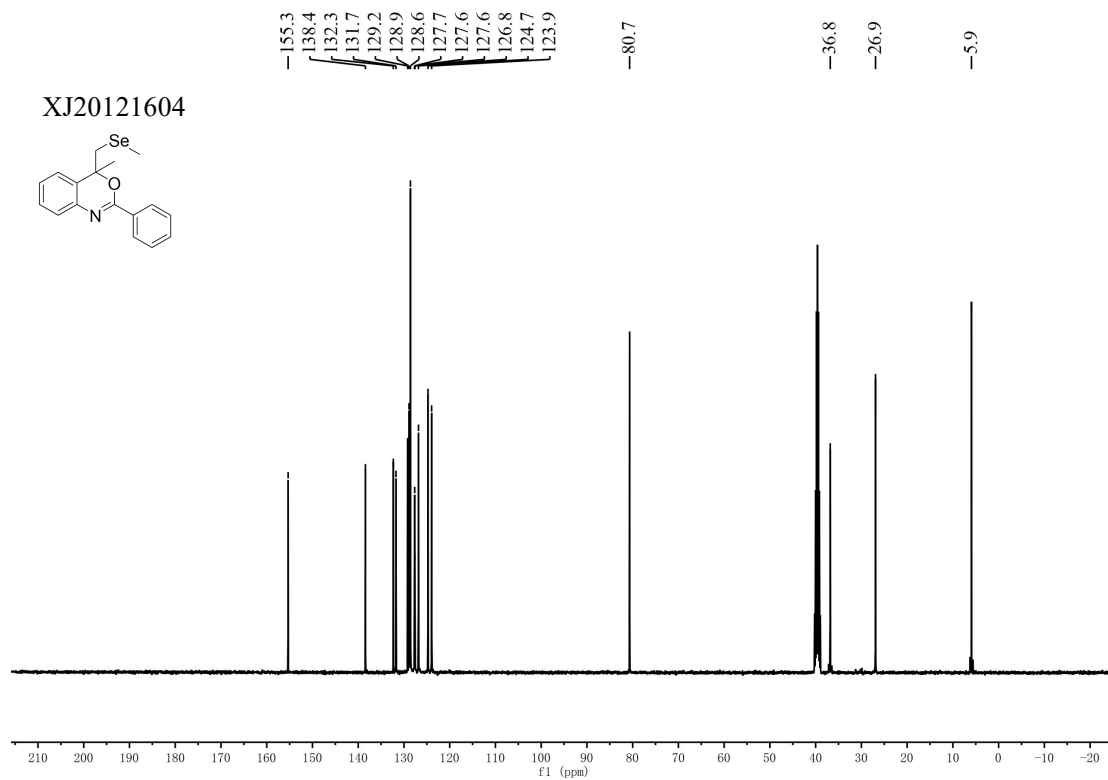
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **3q**



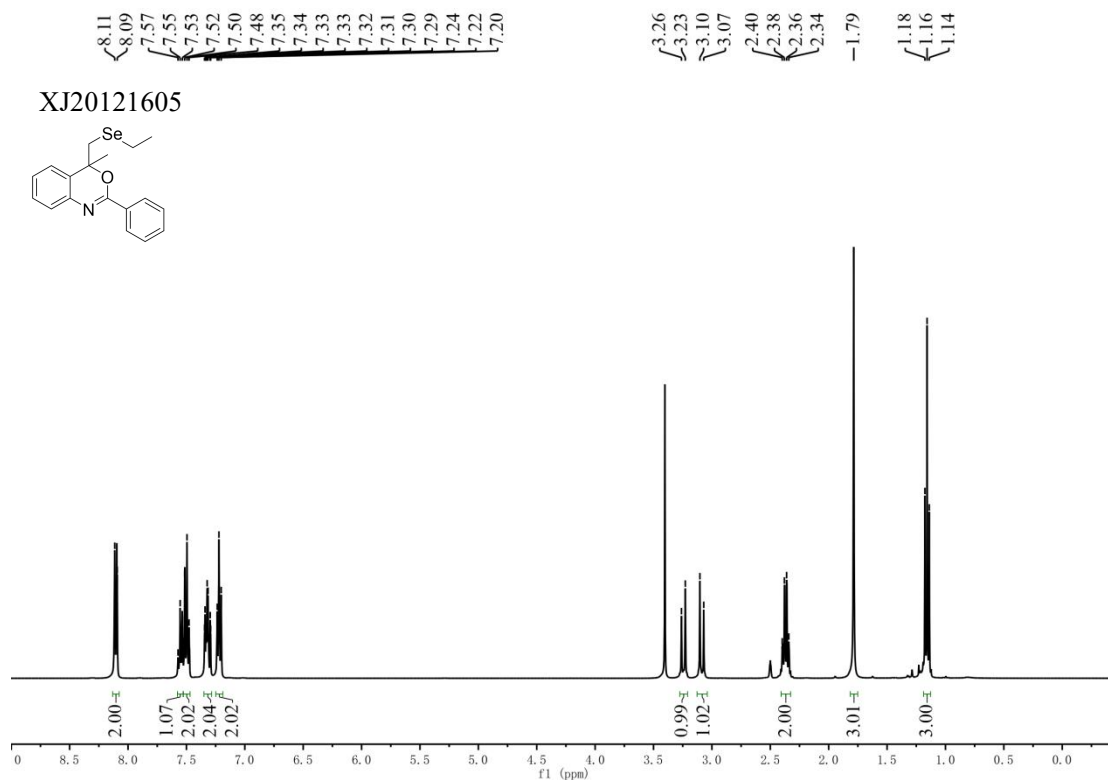
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3r**



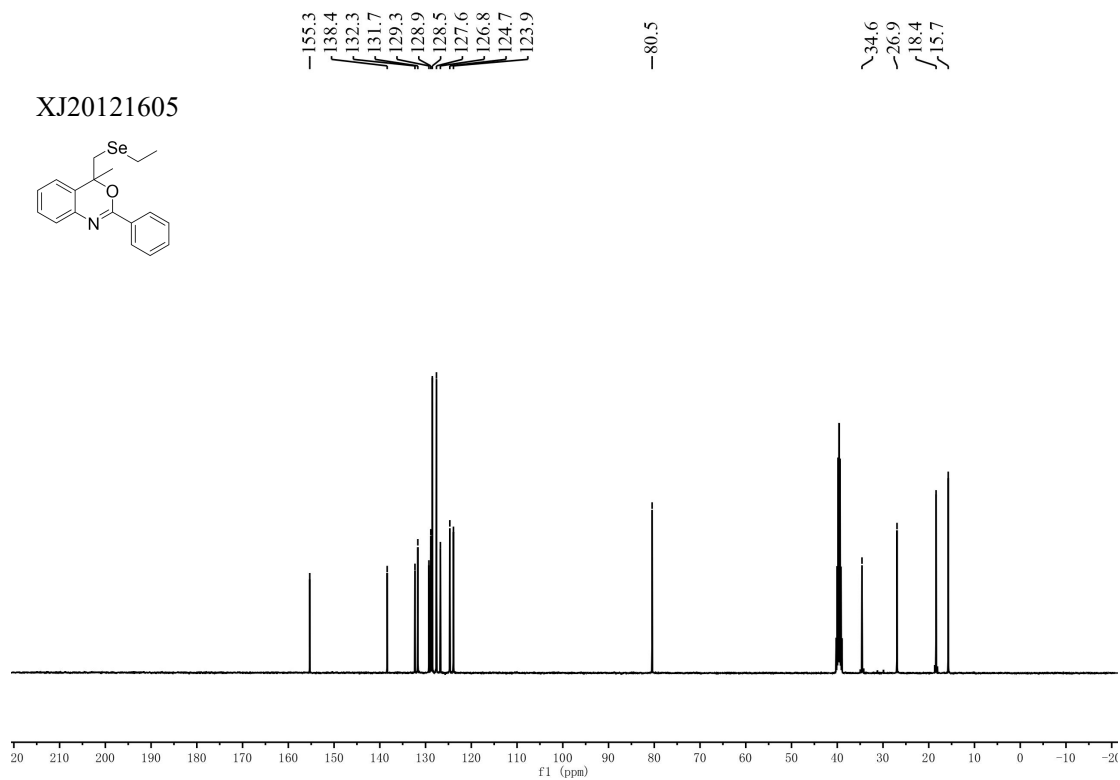
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **3r**



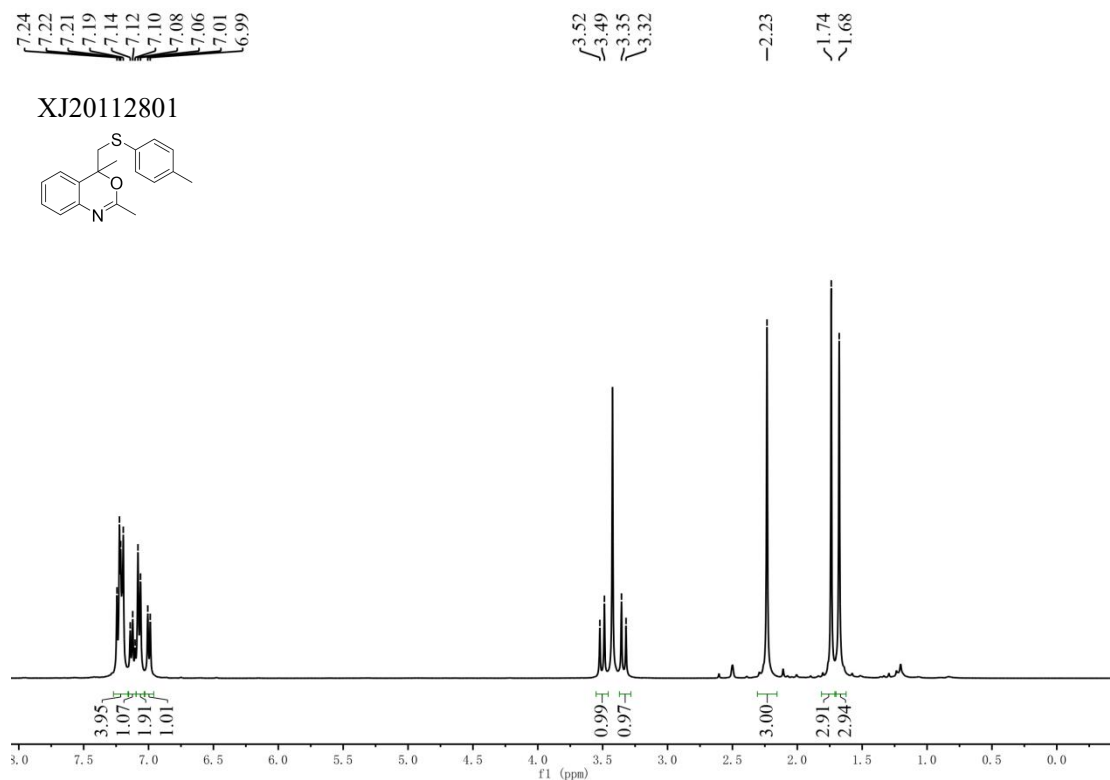
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **3s**



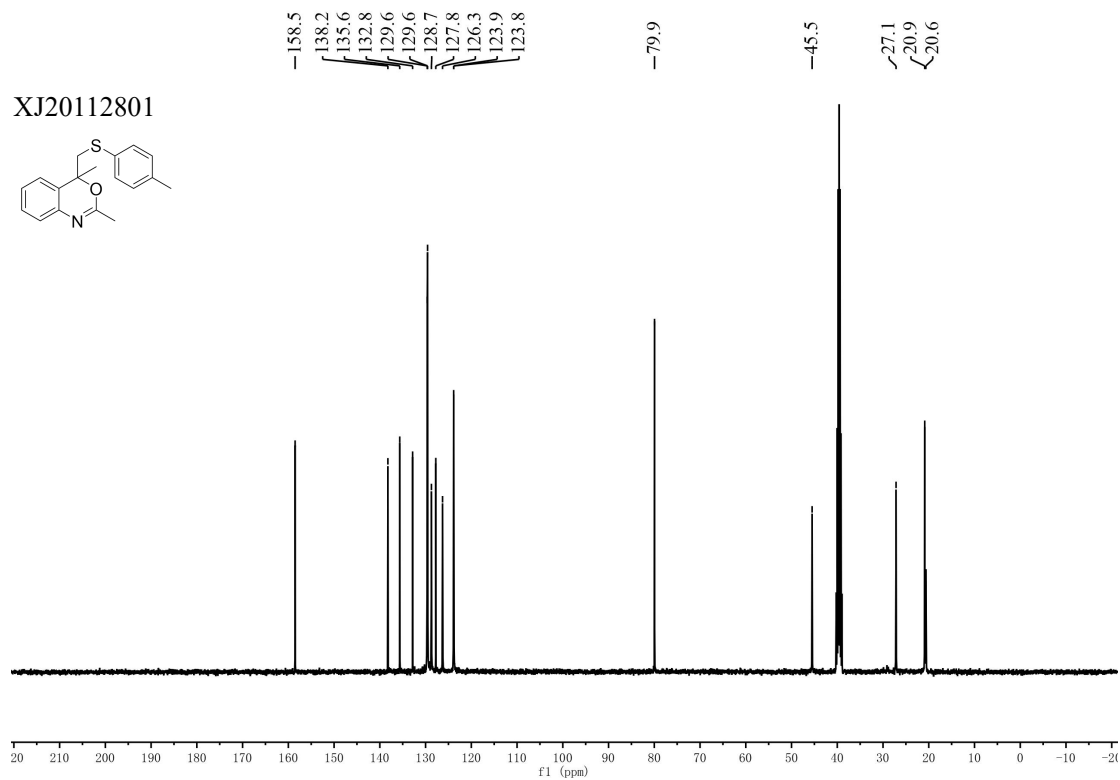
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **3s**



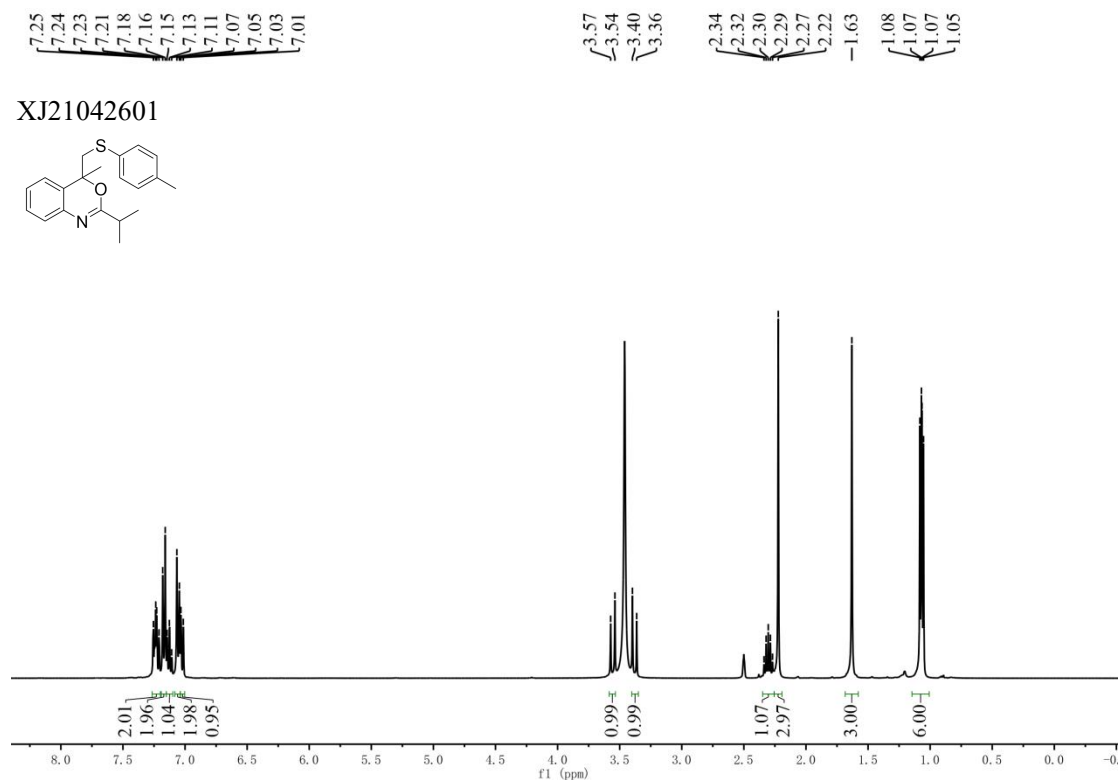
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4a**



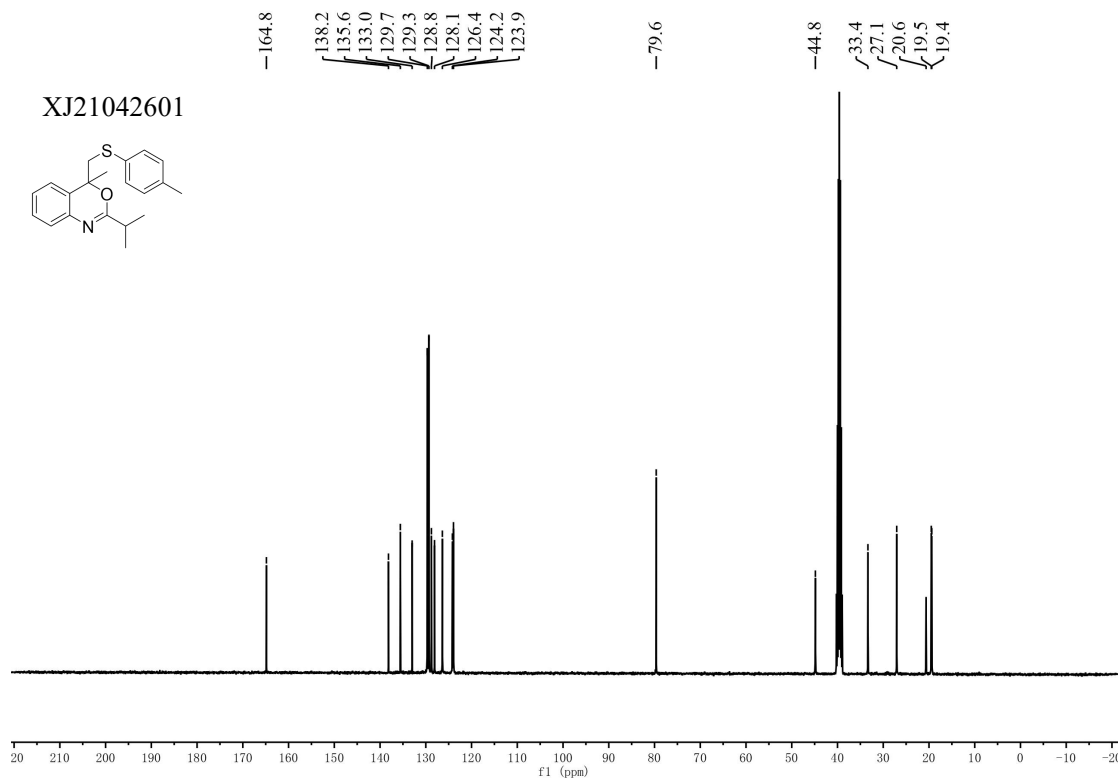
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4a**



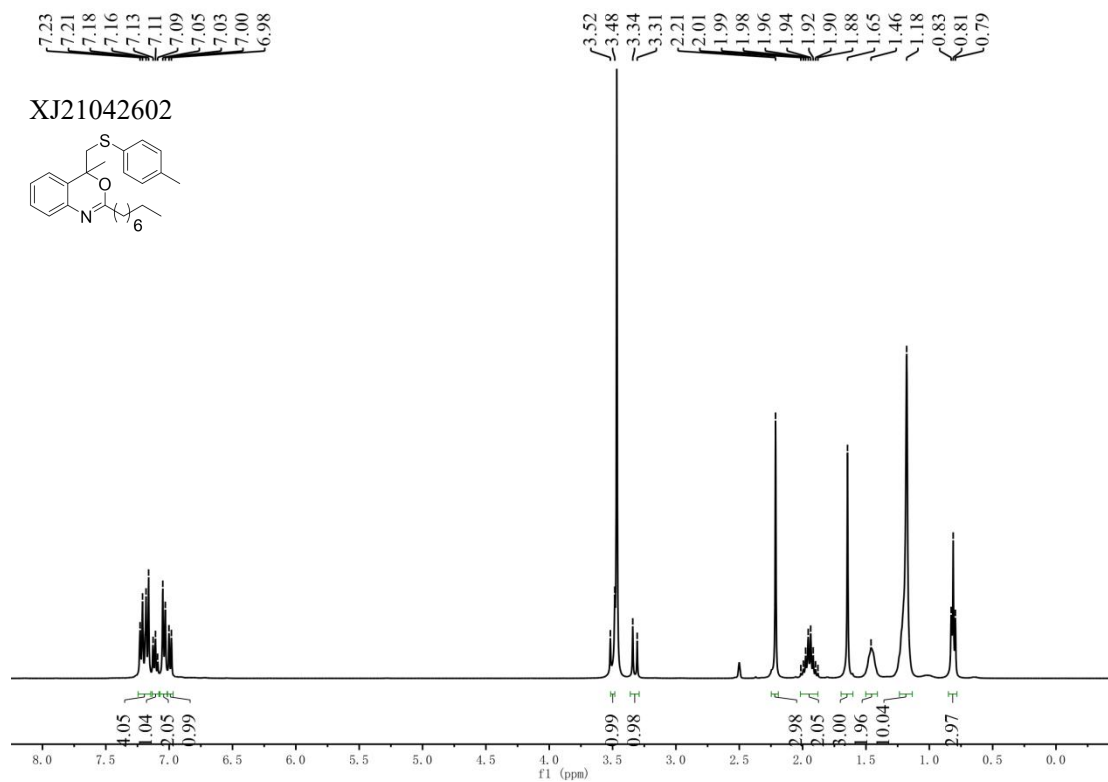
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4b**



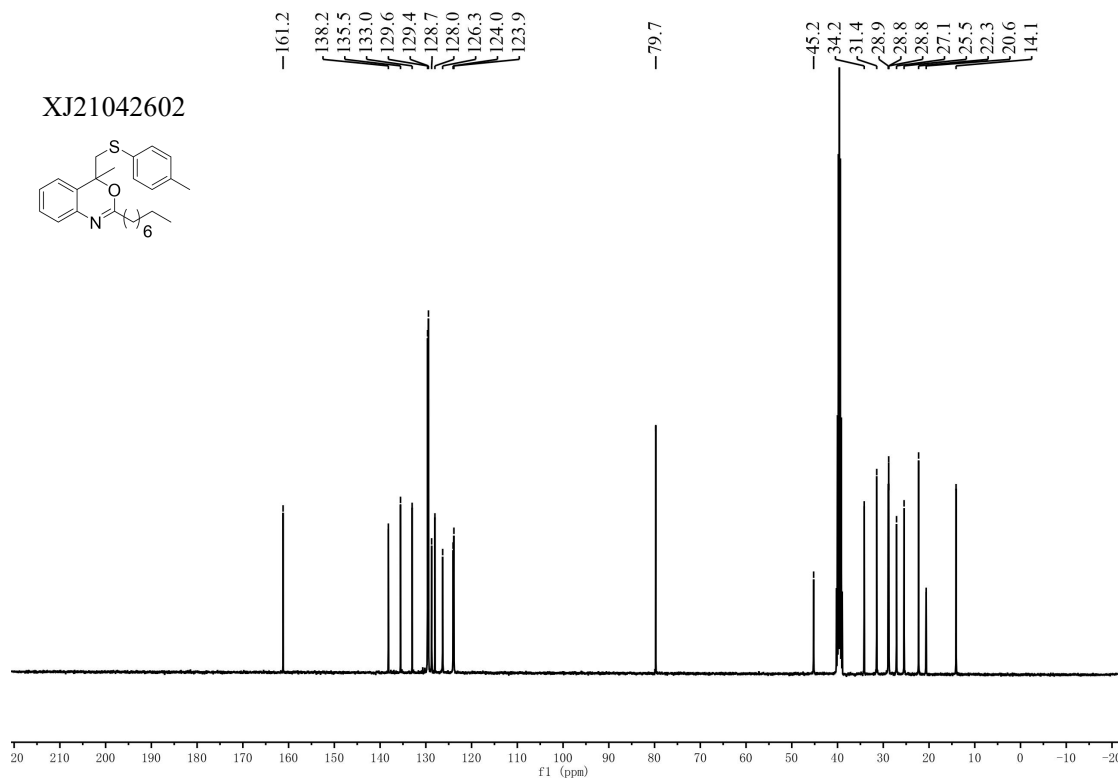
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4b**



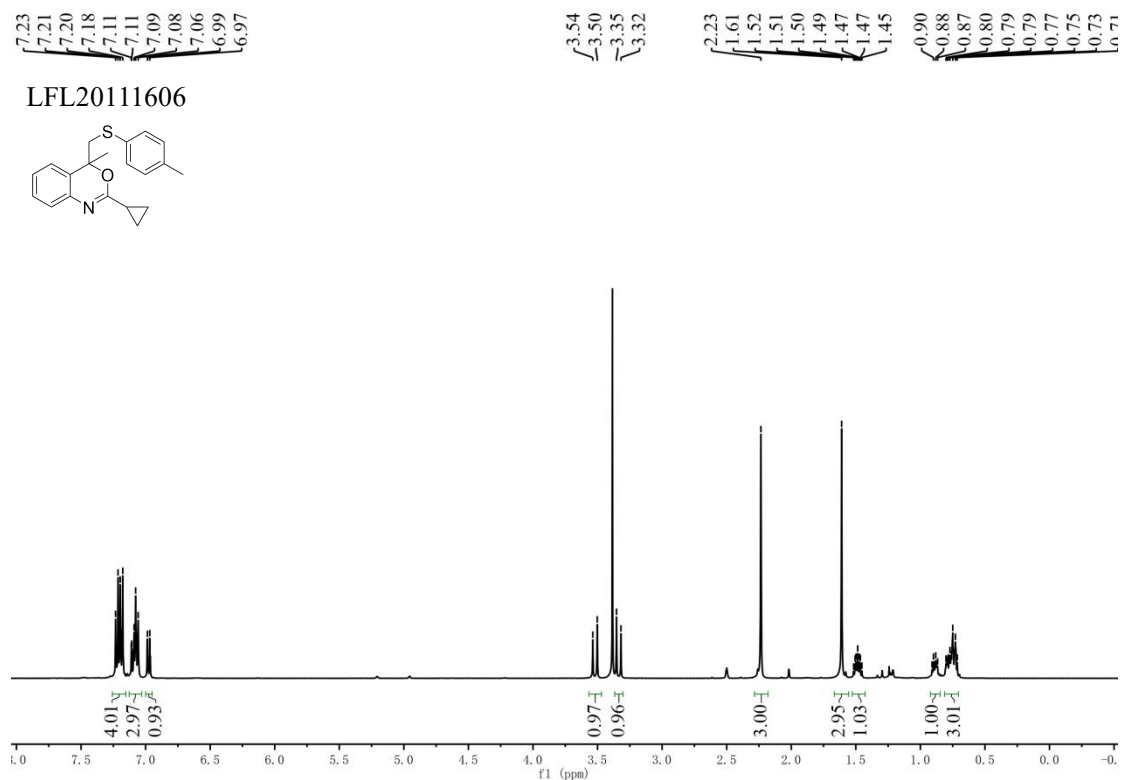
^1H NMR (400 MHz, $\text{DMSO}-d_6$) of compound **4c**



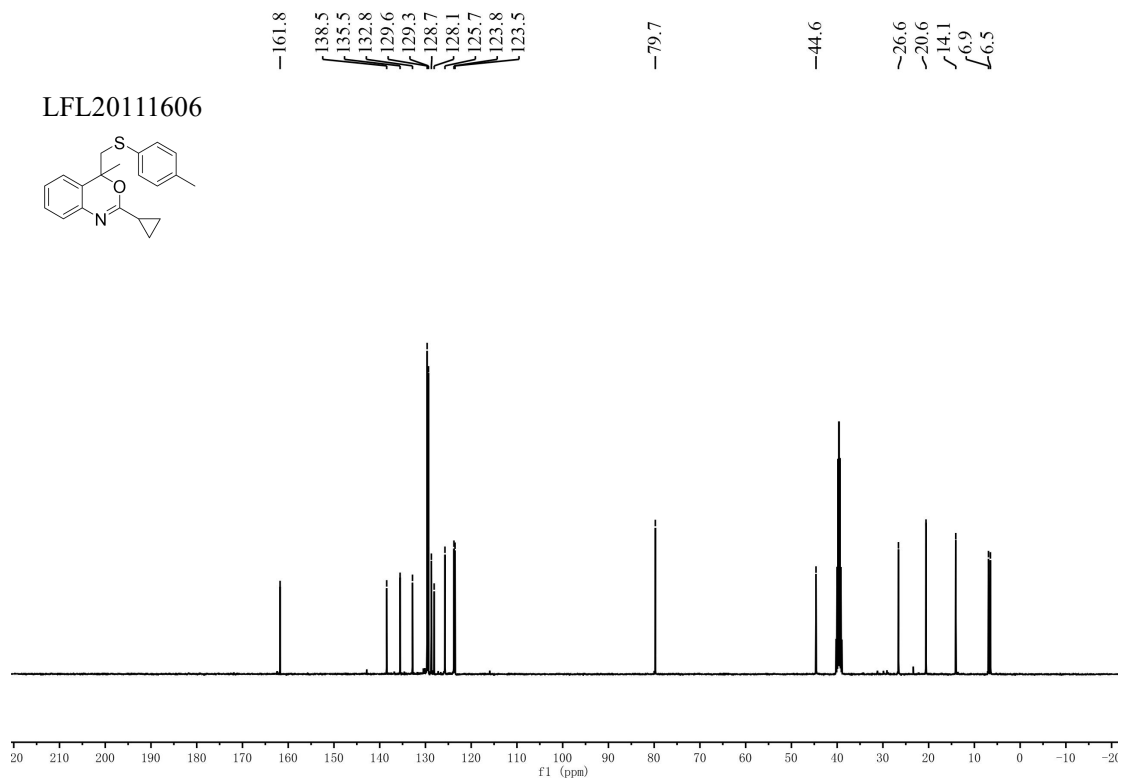
^{13}C NMR (101 MHz, $\text{DMSO}-d_6$) of compound **4c**



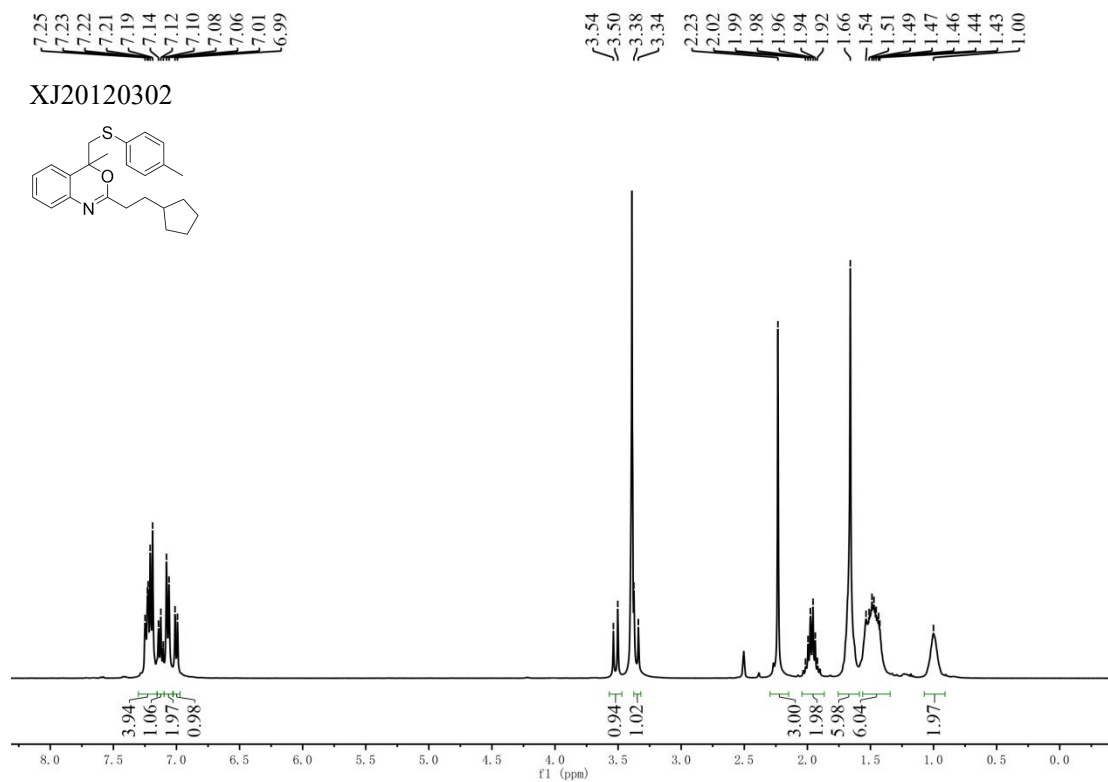
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4d**



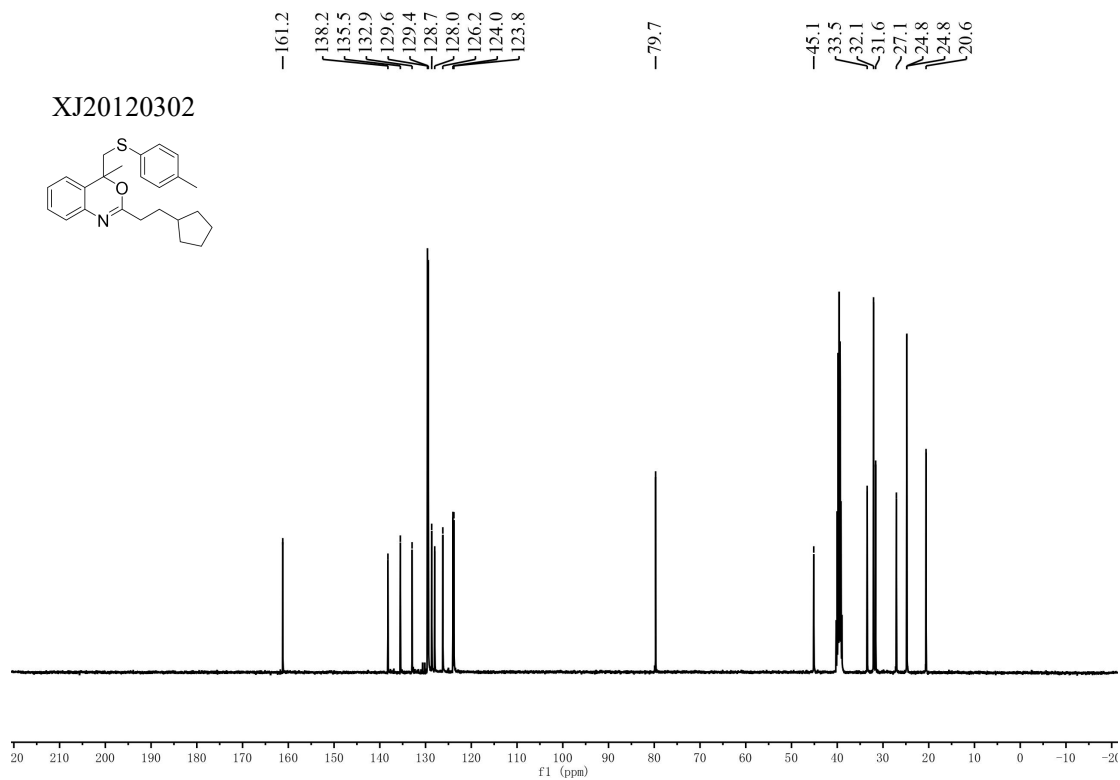
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4d**



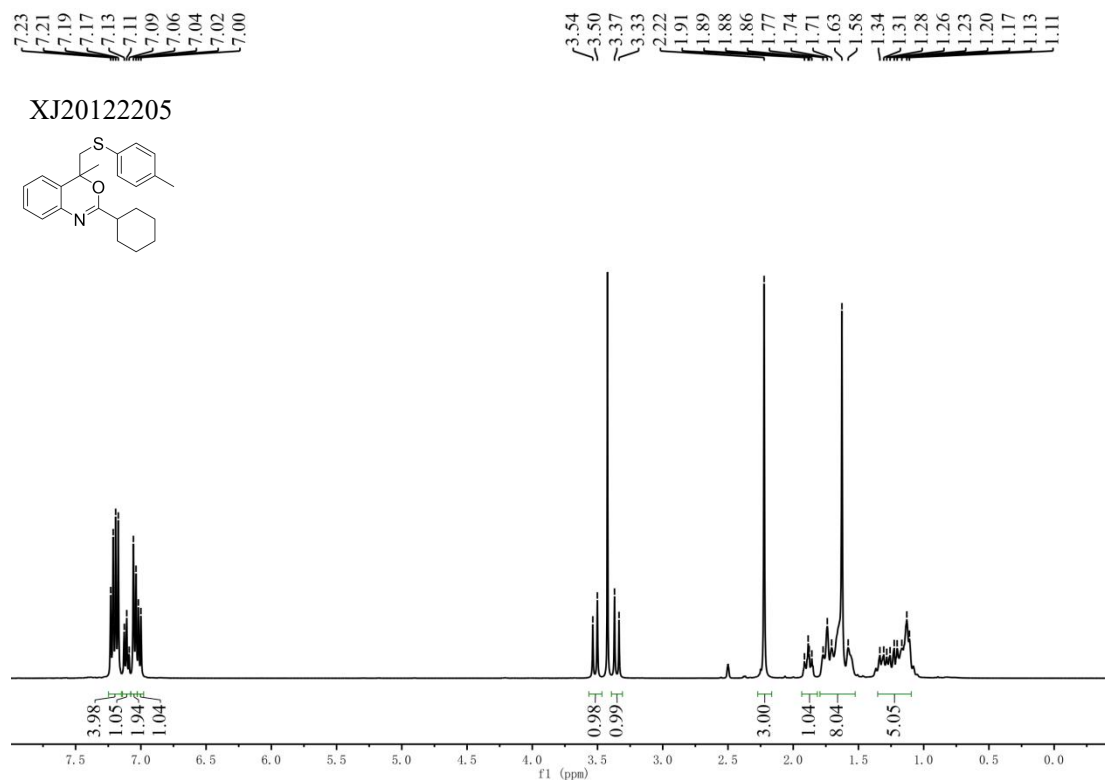
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4e**



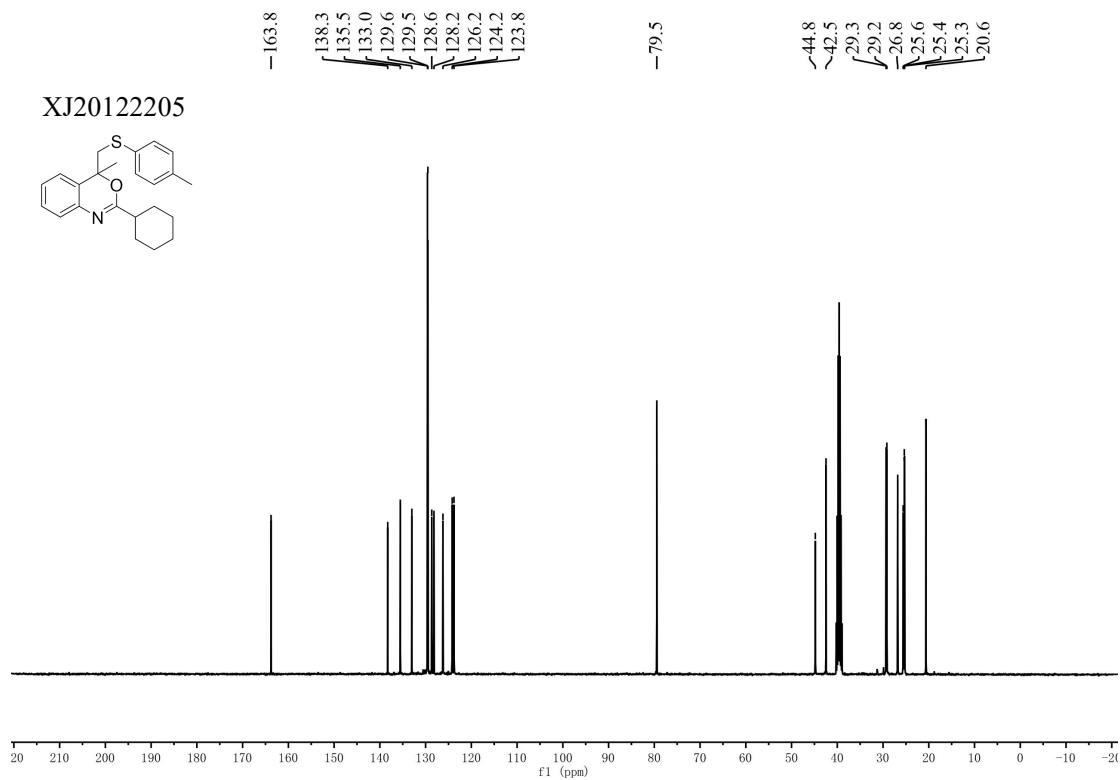
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4e**



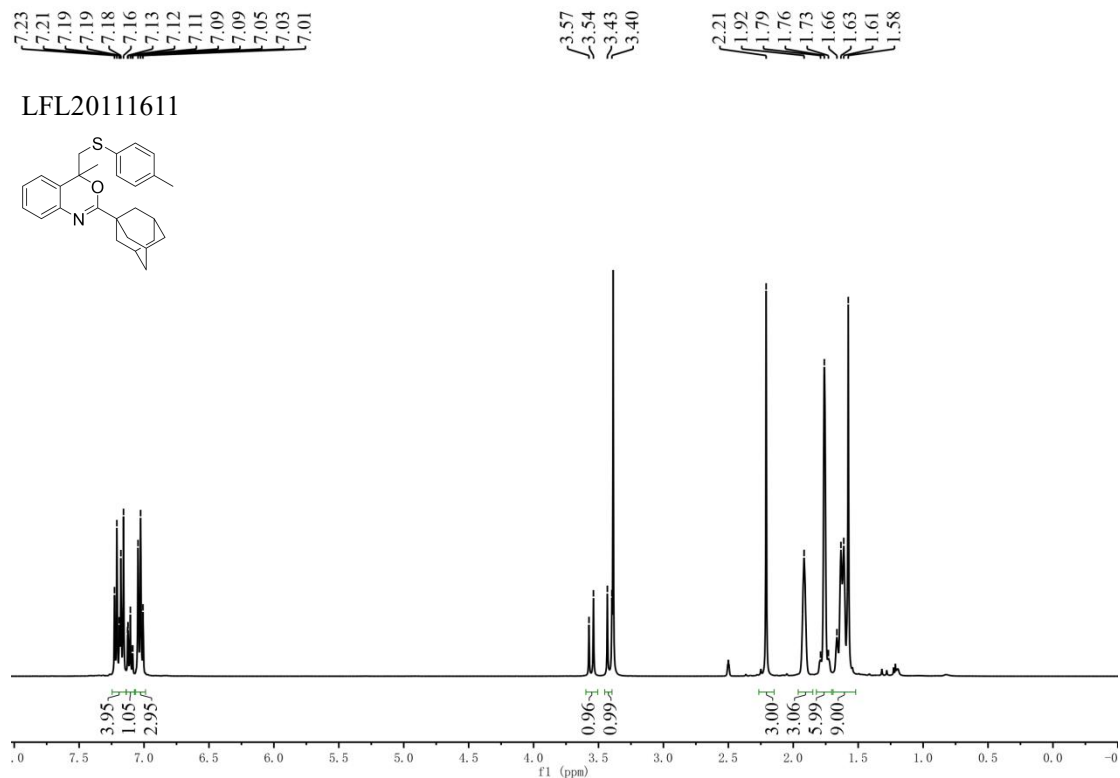
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4f**



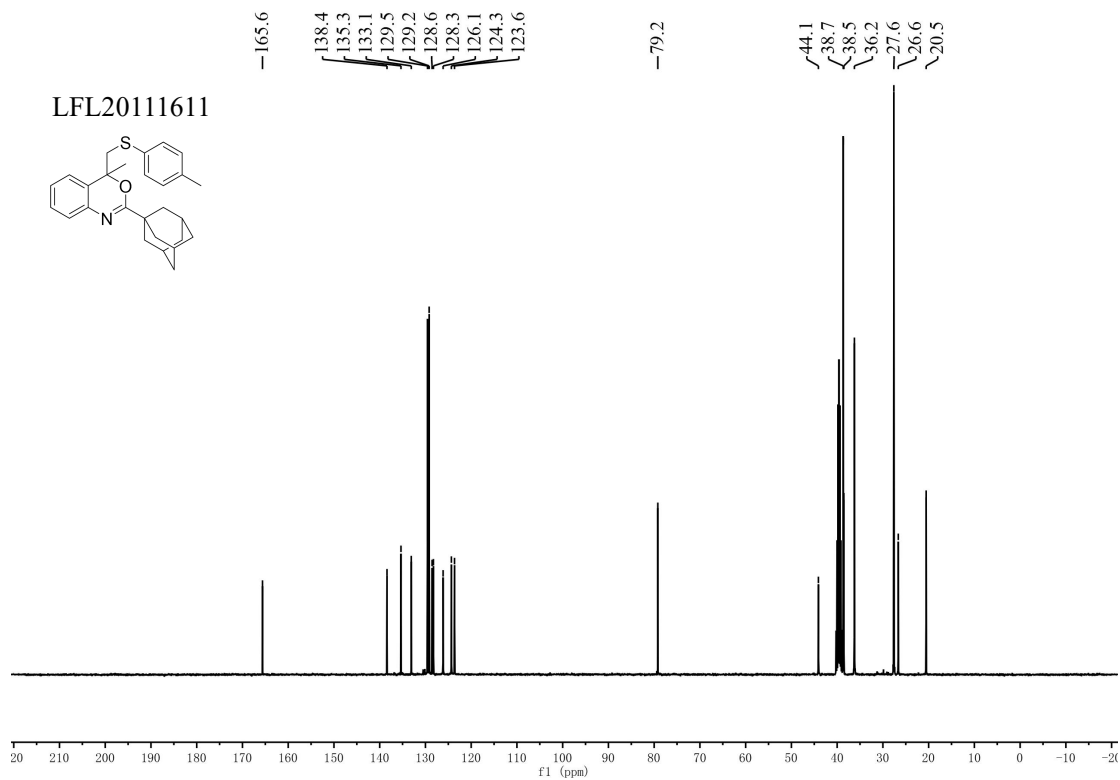
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4f**



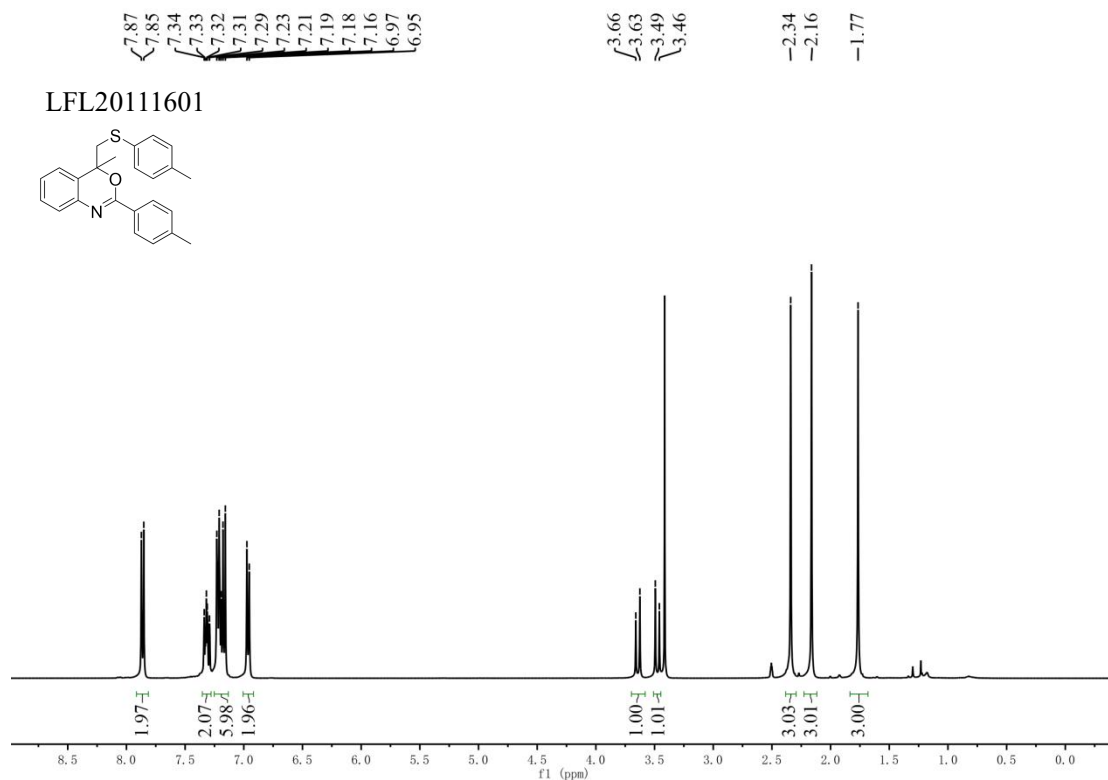
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4g**



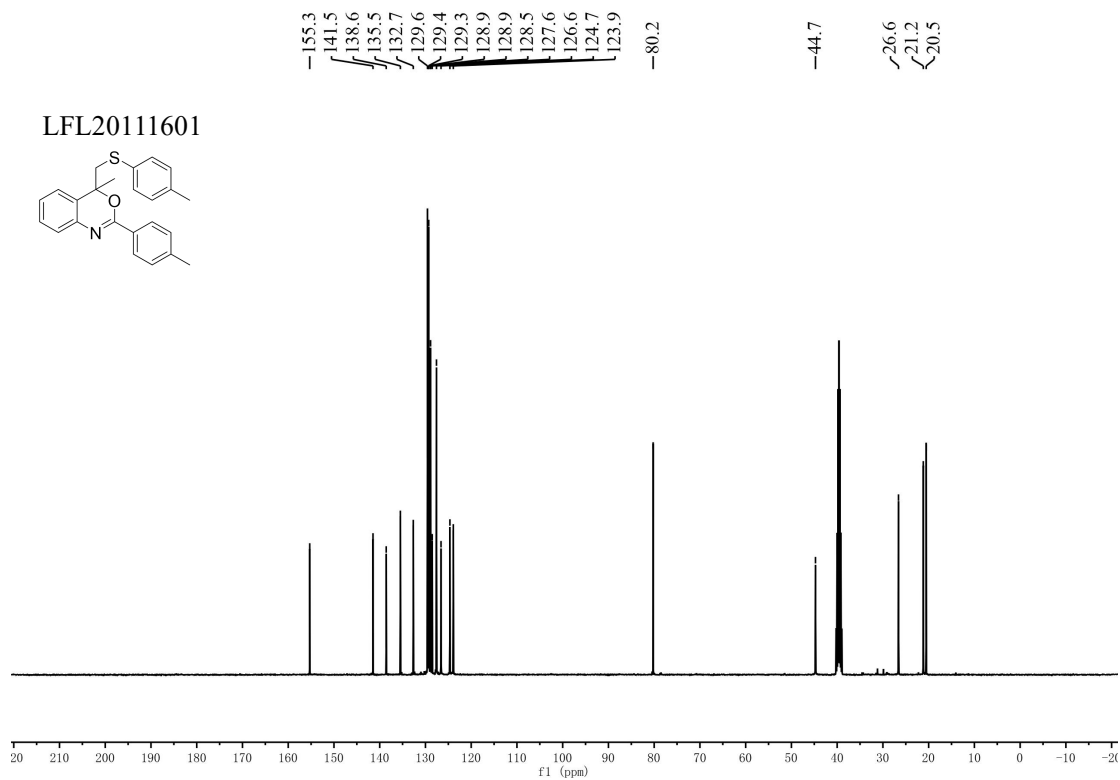
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4g**



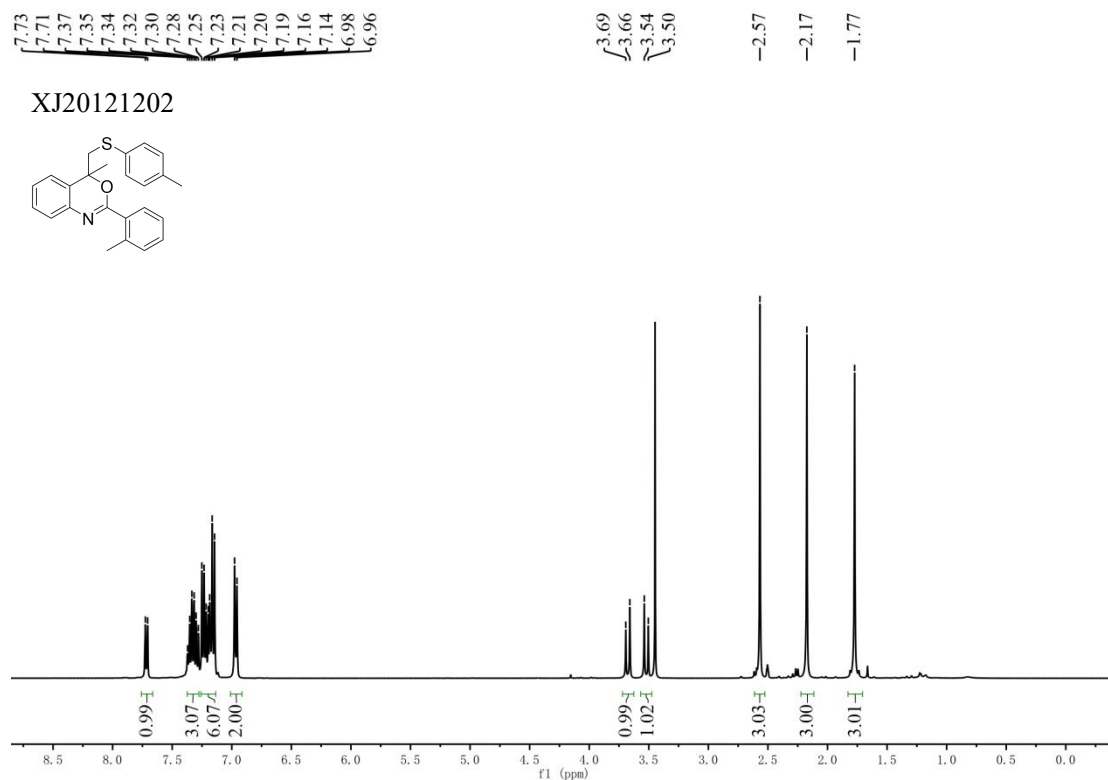
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4h**



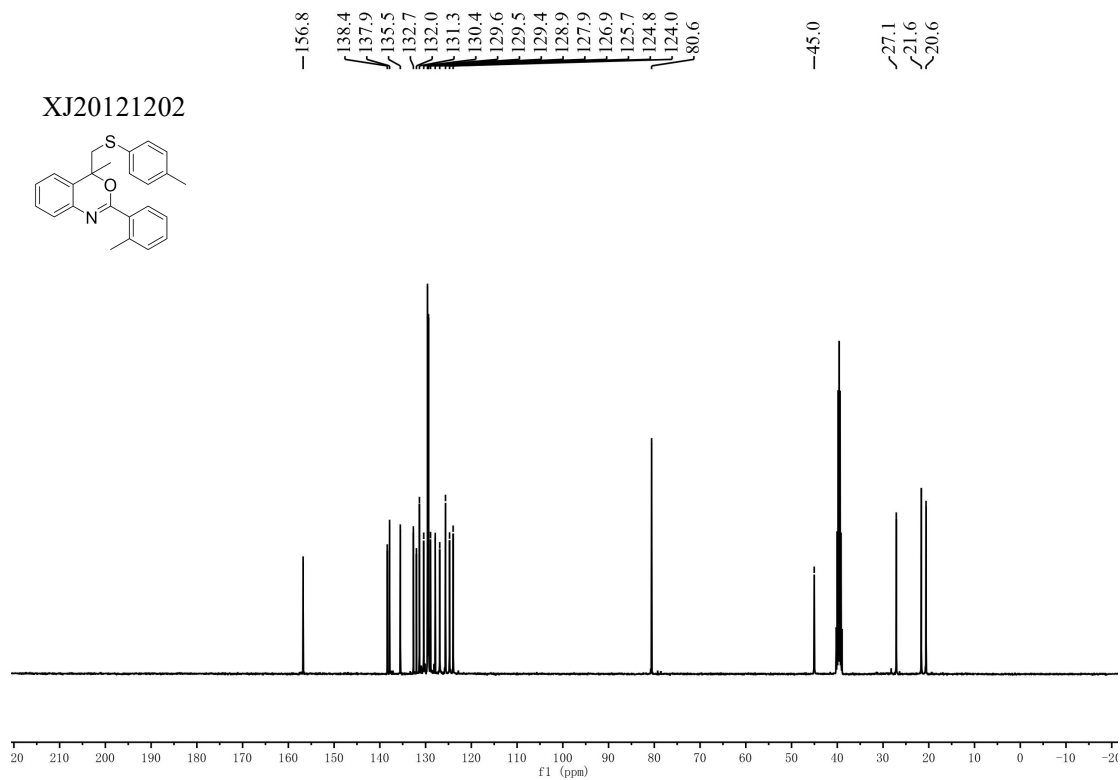
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4h**



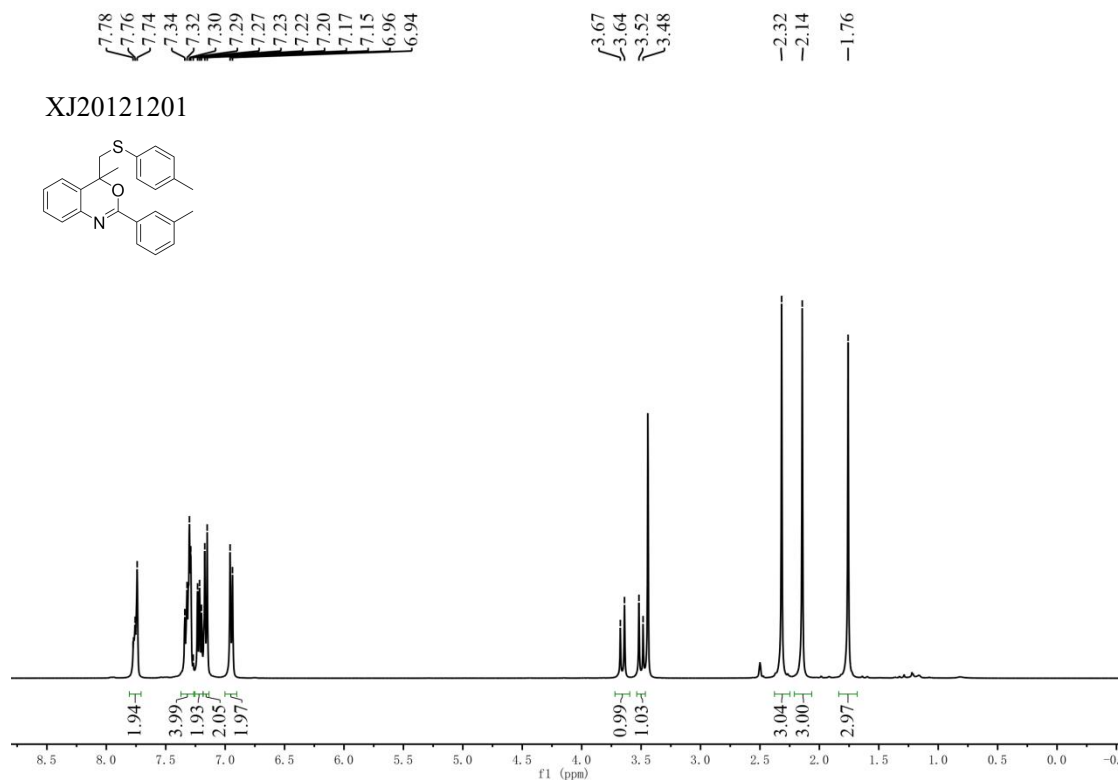
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4i**



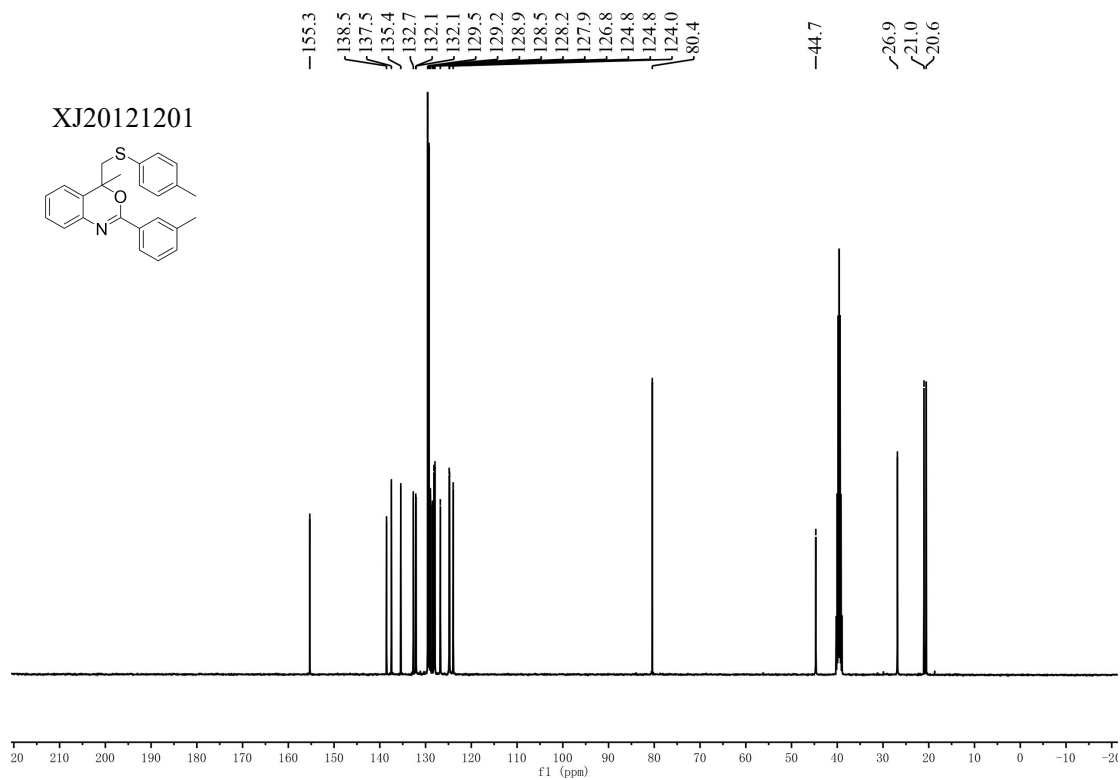
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4i**



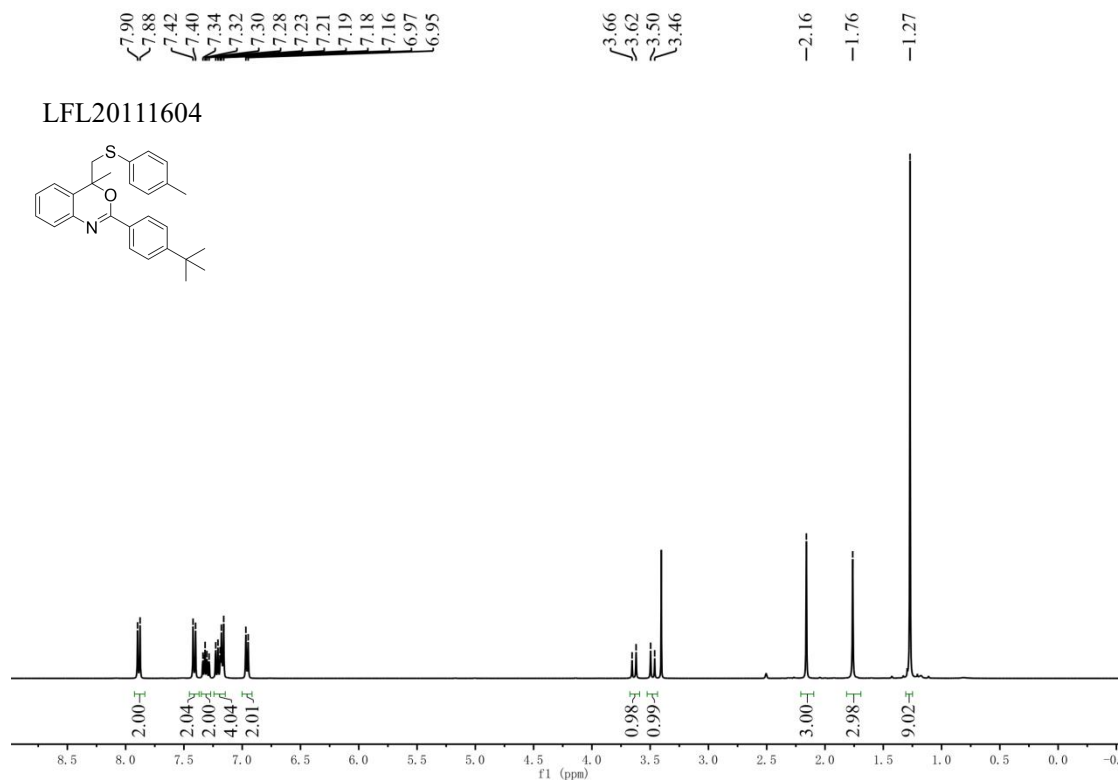
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4j**



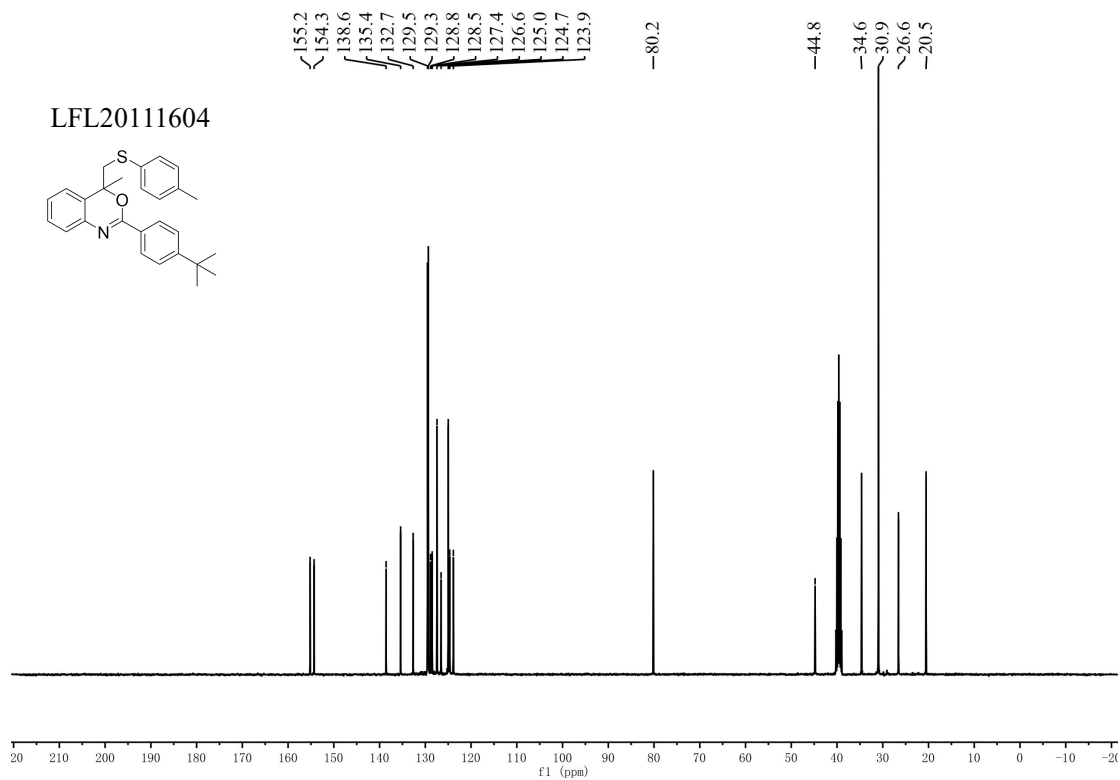
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4j**



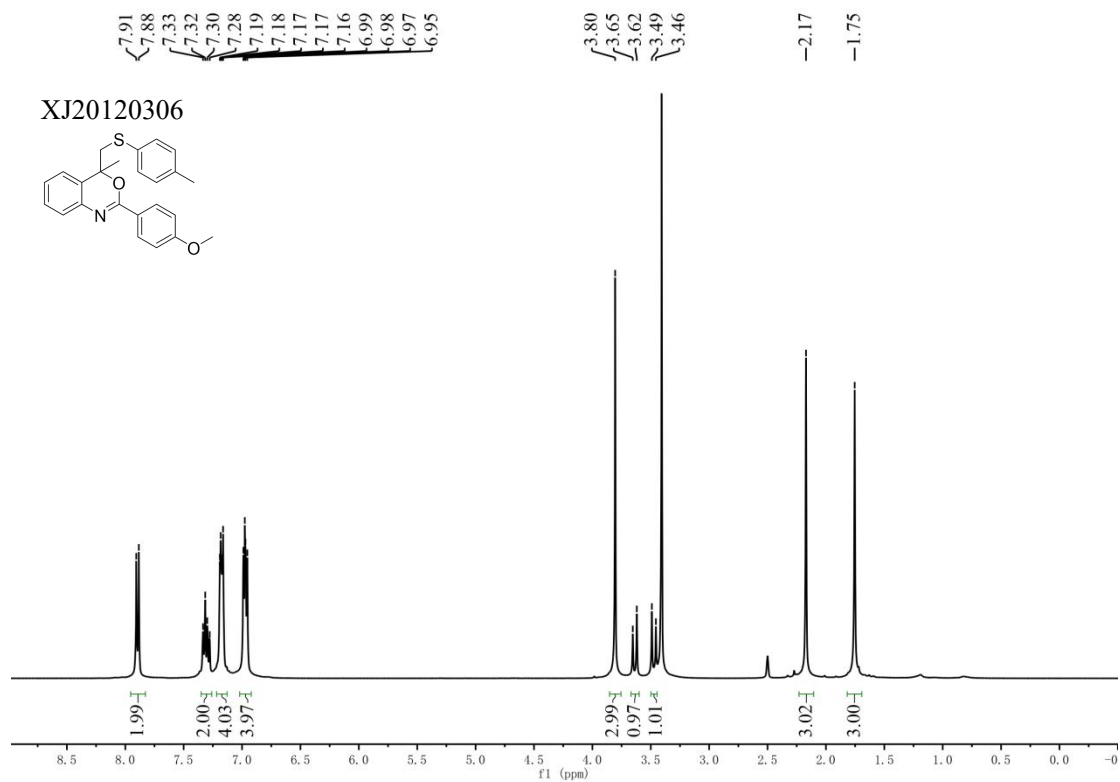
^1H NMR (400 MHz, $\text{DMSO}-d_6$) of compound **4k**



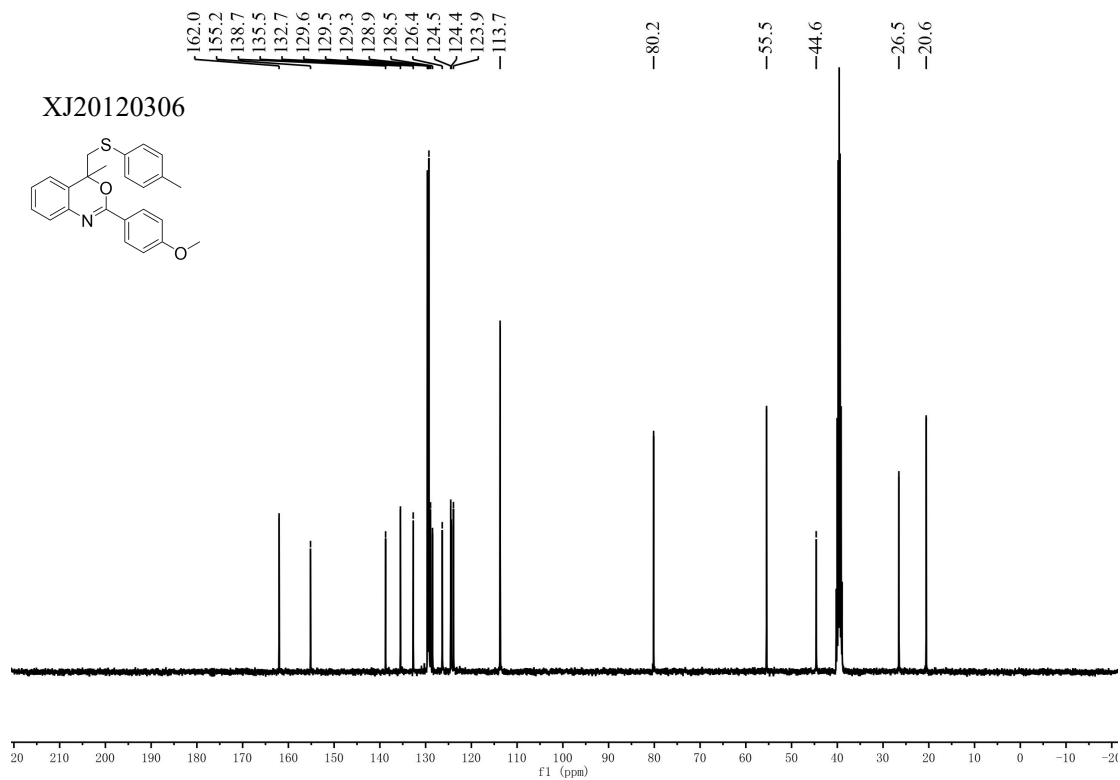
^{13}C NMR (101 MHz, $\text{DMSO}-d_6$) of compound **4k**



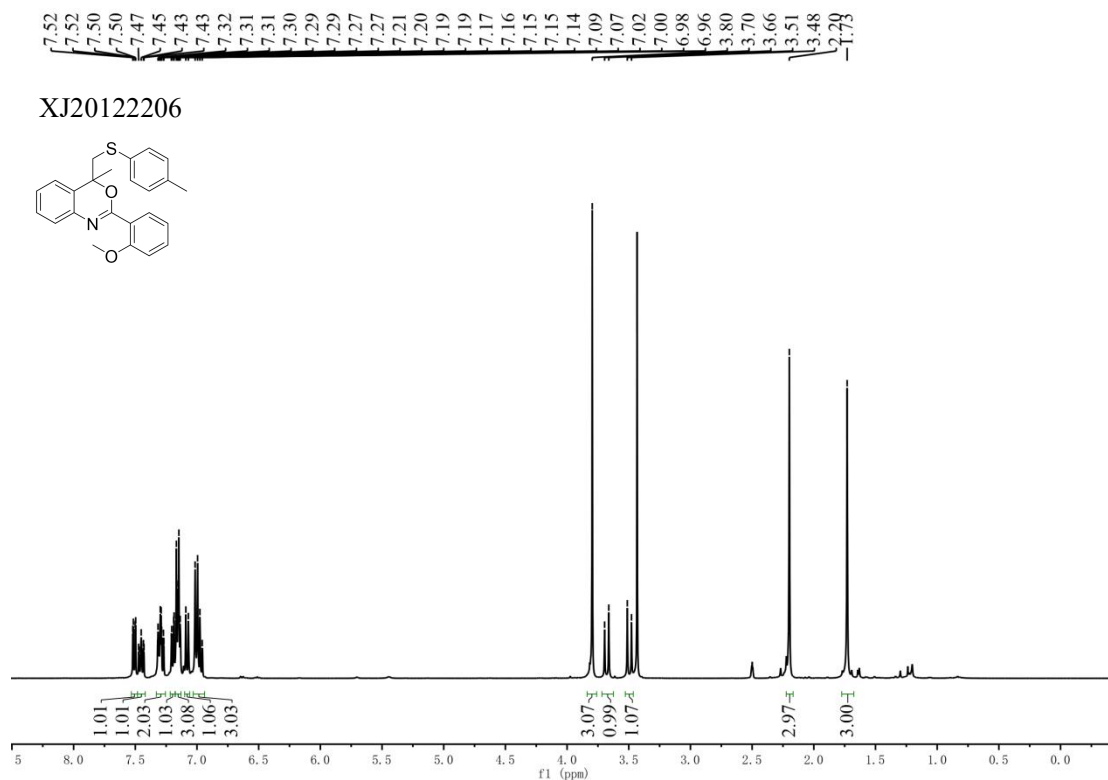
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4I**



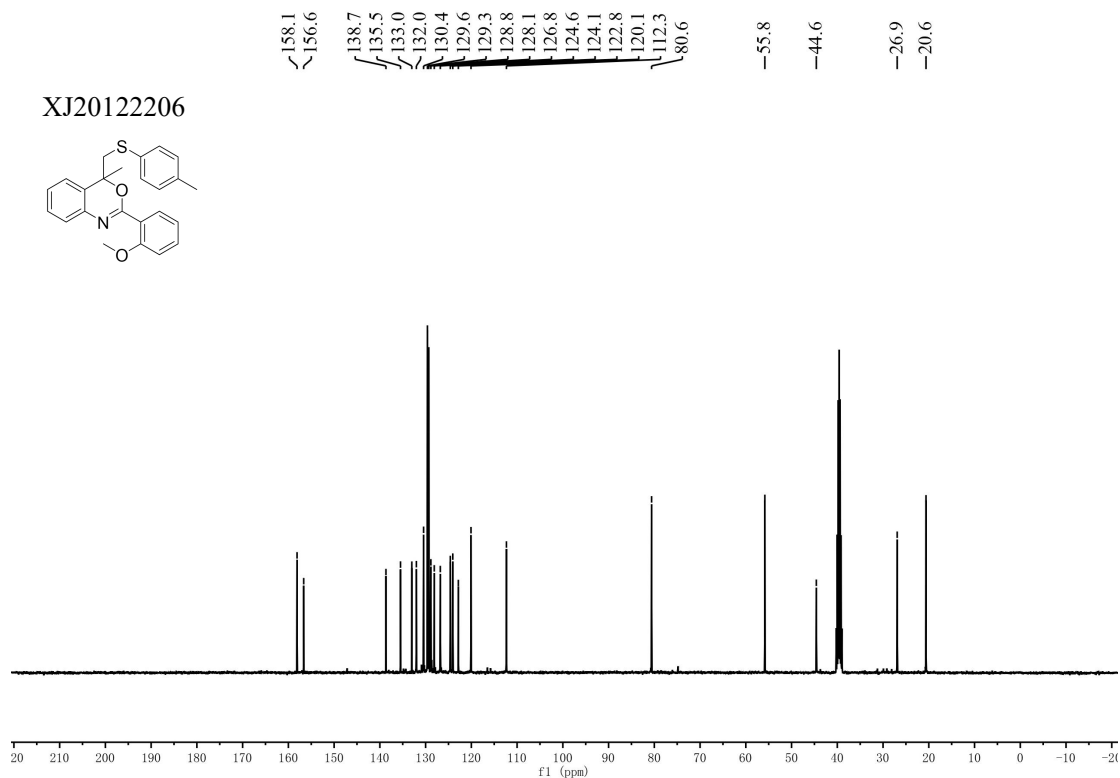
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4I**



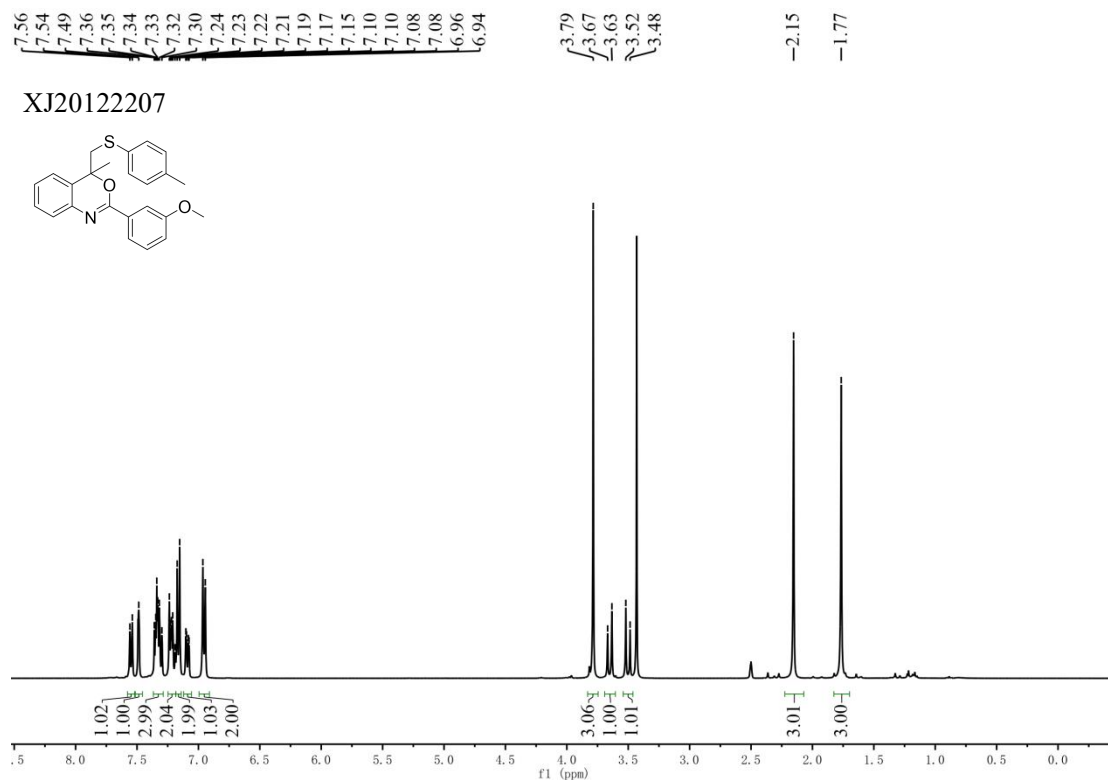
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4m**



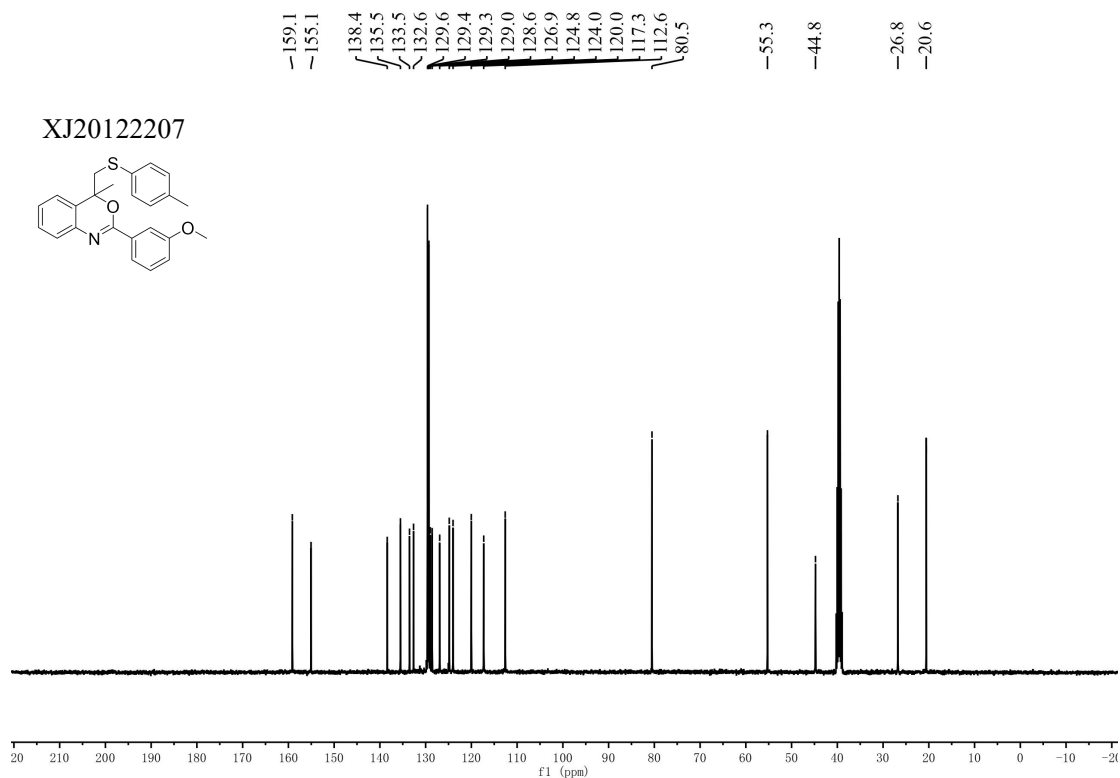
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4m**



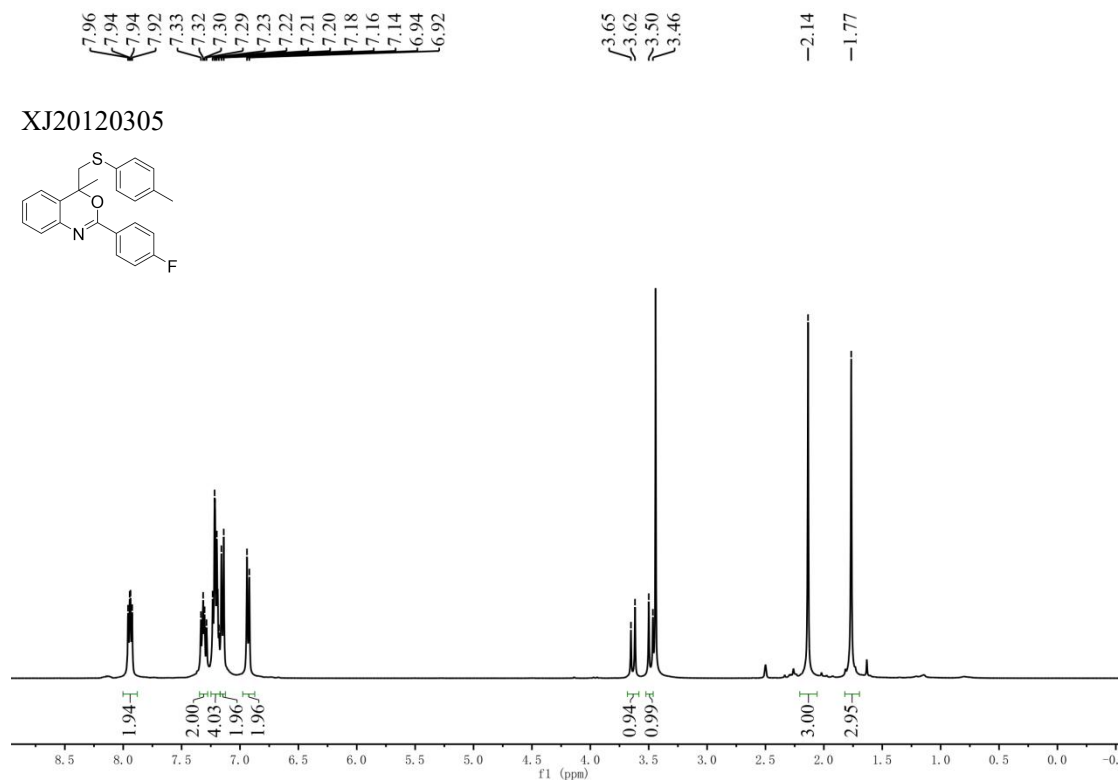
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4n**



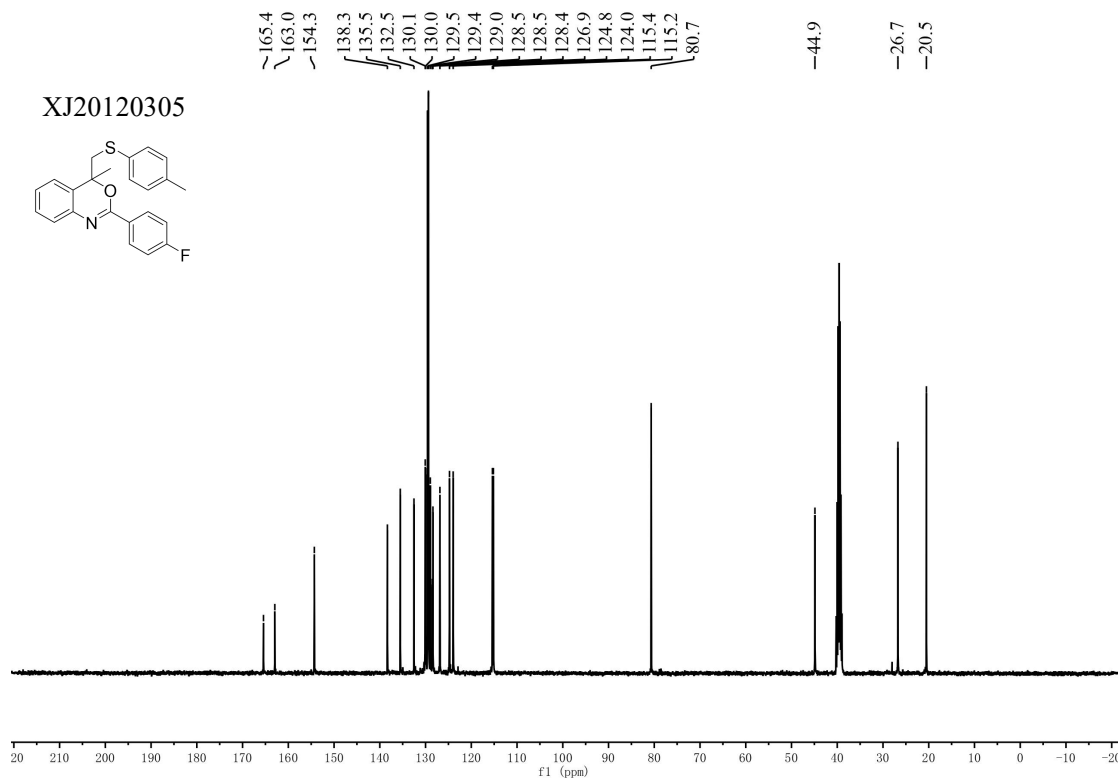
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4n**



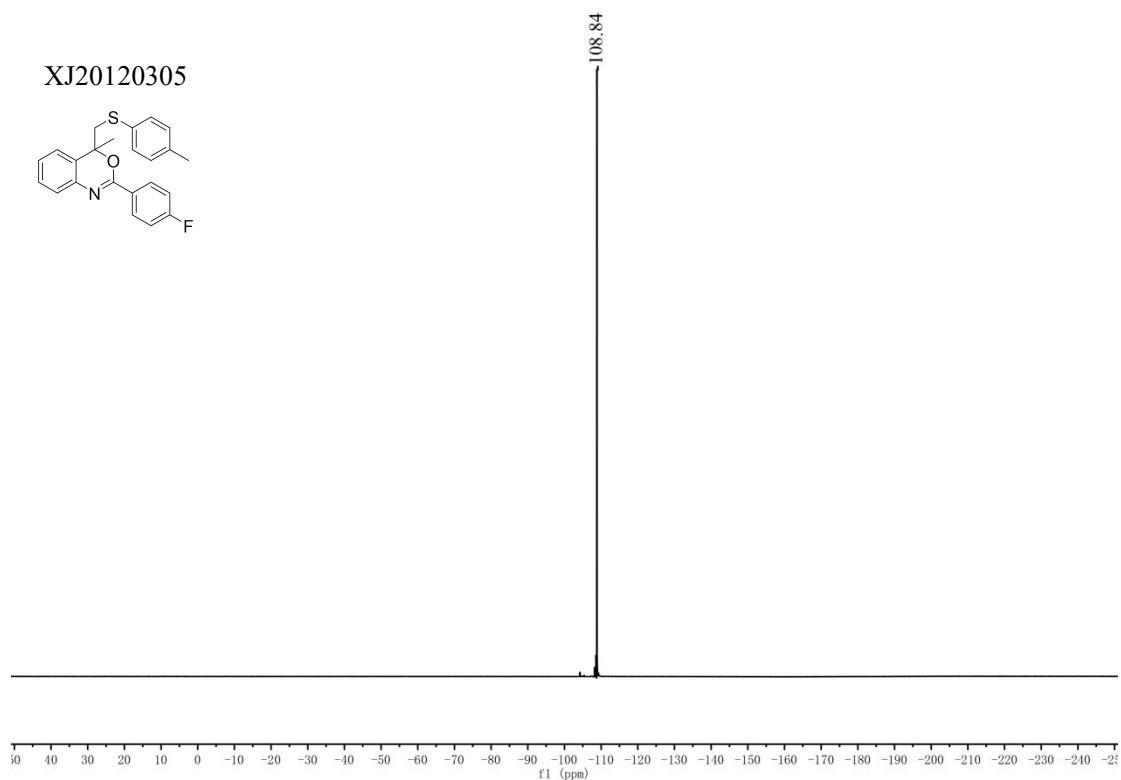
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4o**



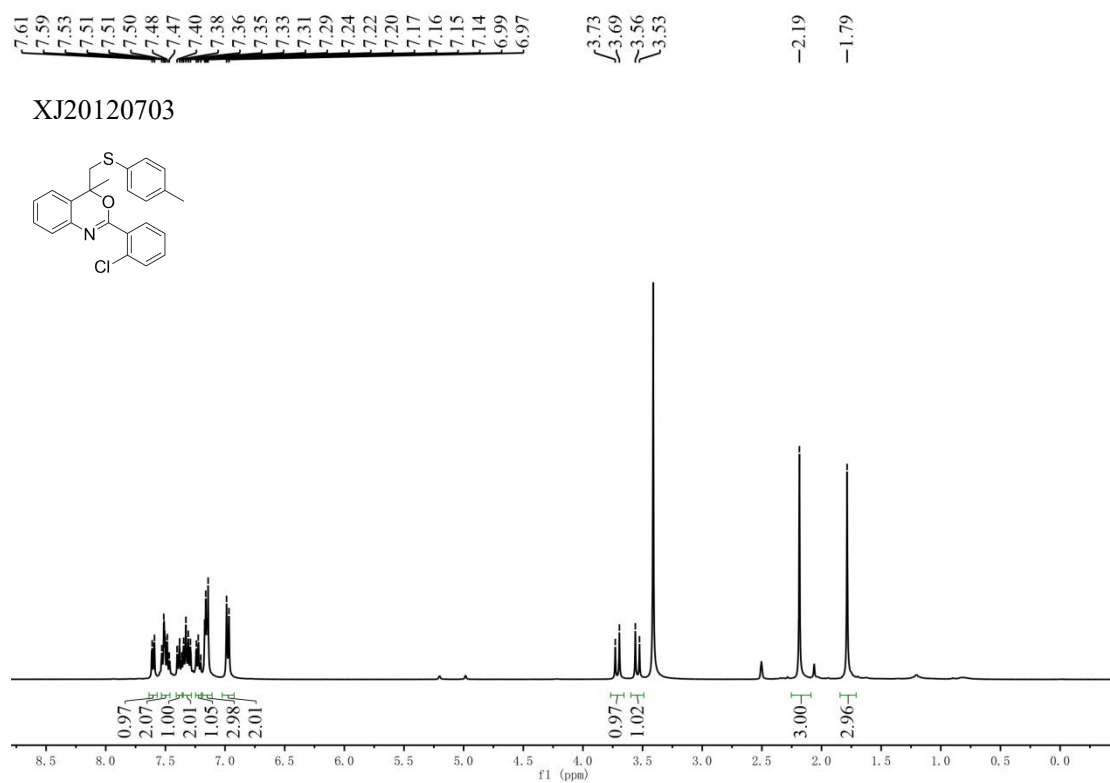
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4o**



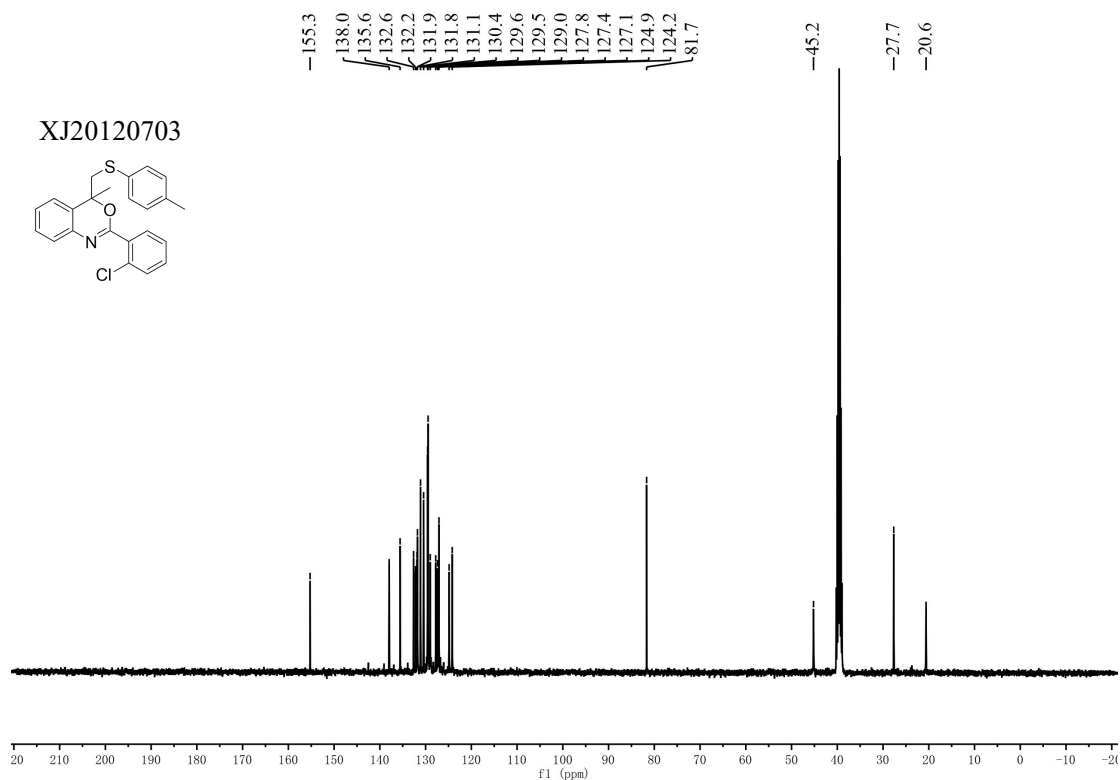
^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) of compound **4o**



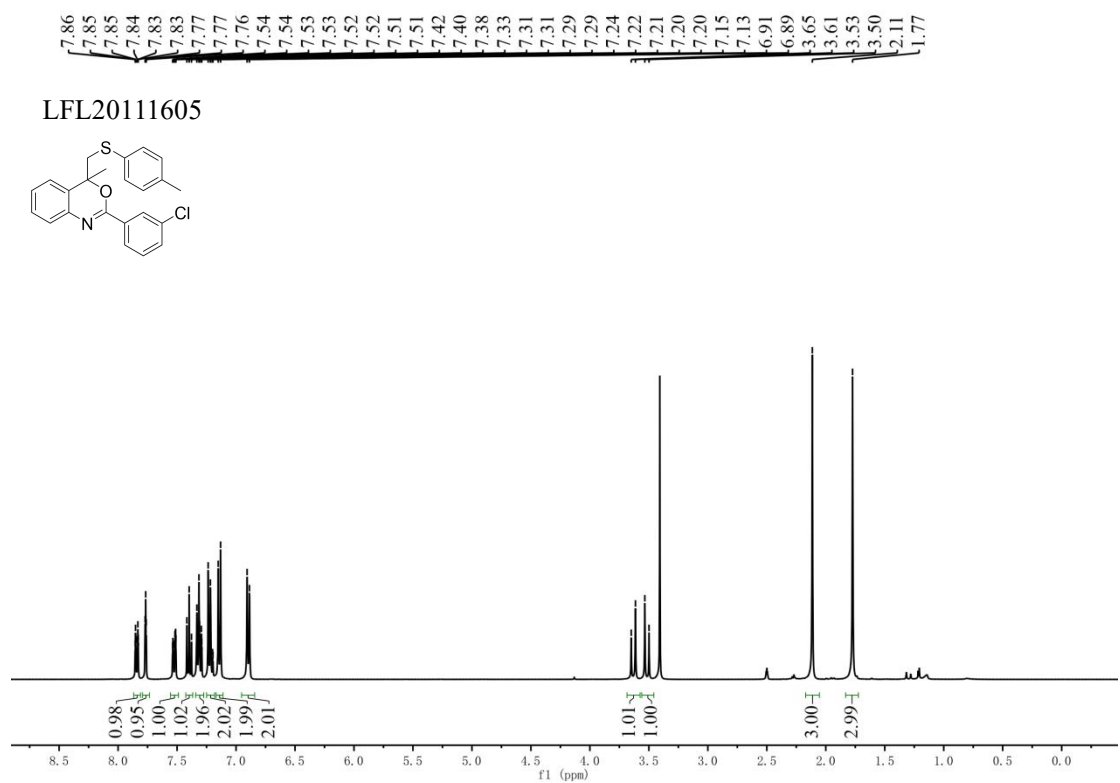
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4p**



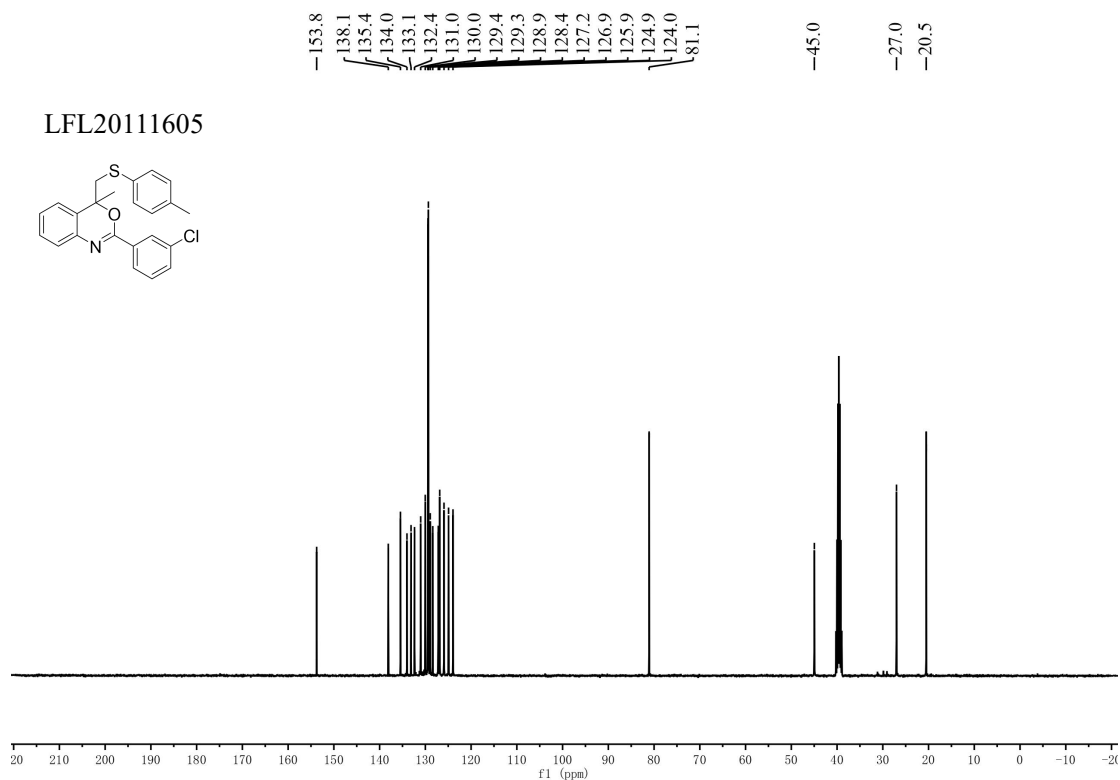
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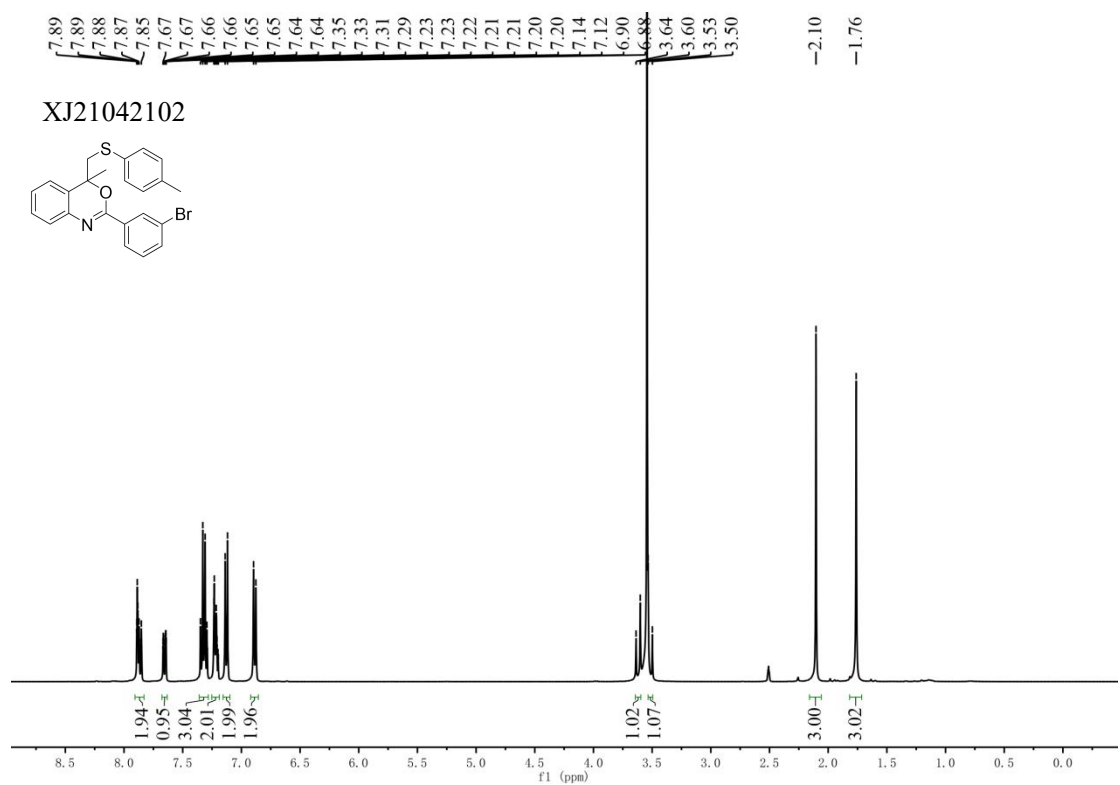
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4q**



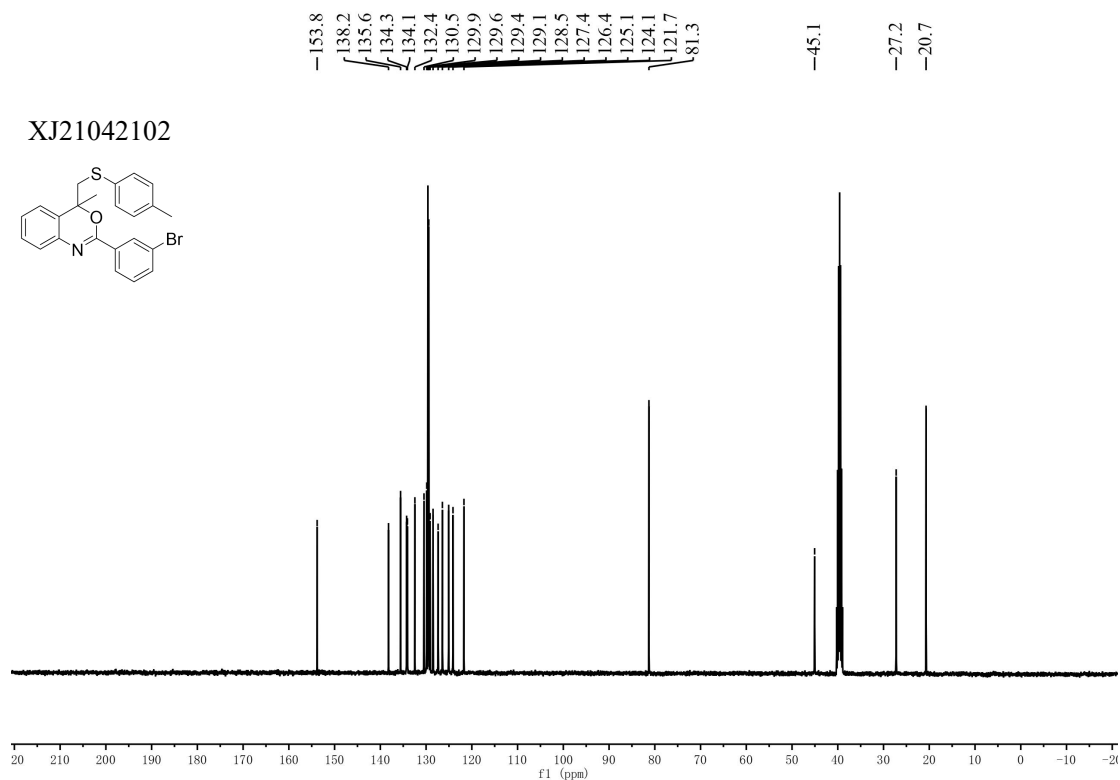
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4q**



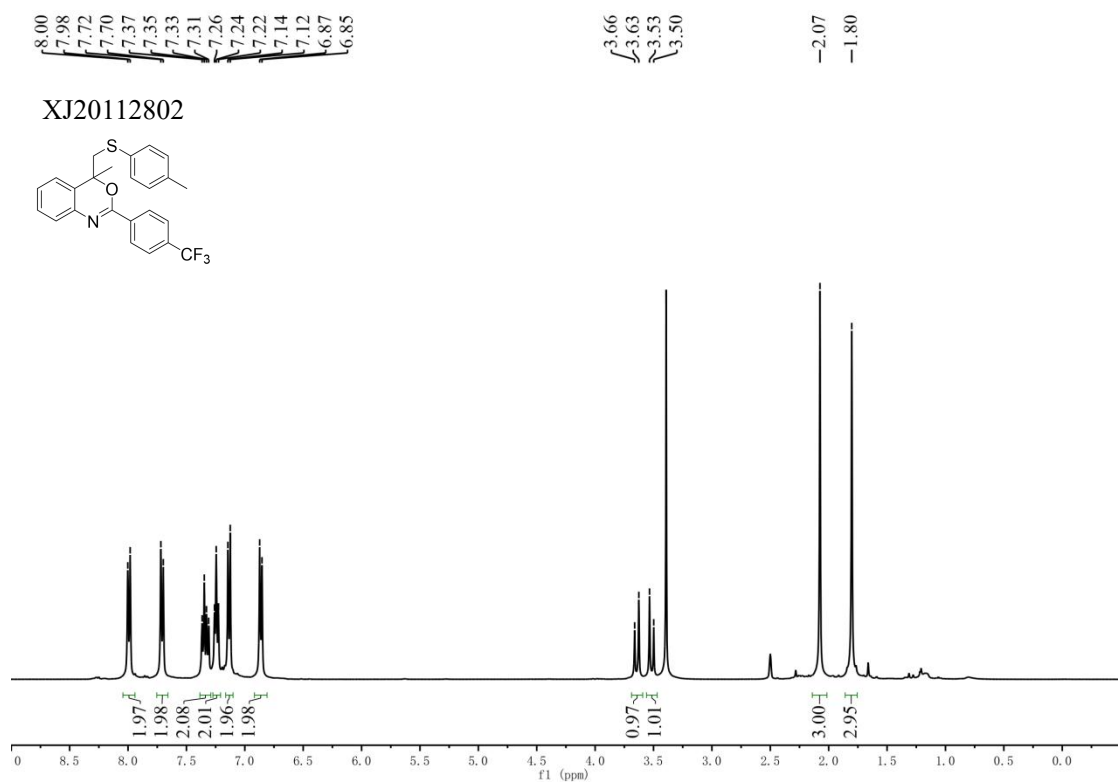
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4r**



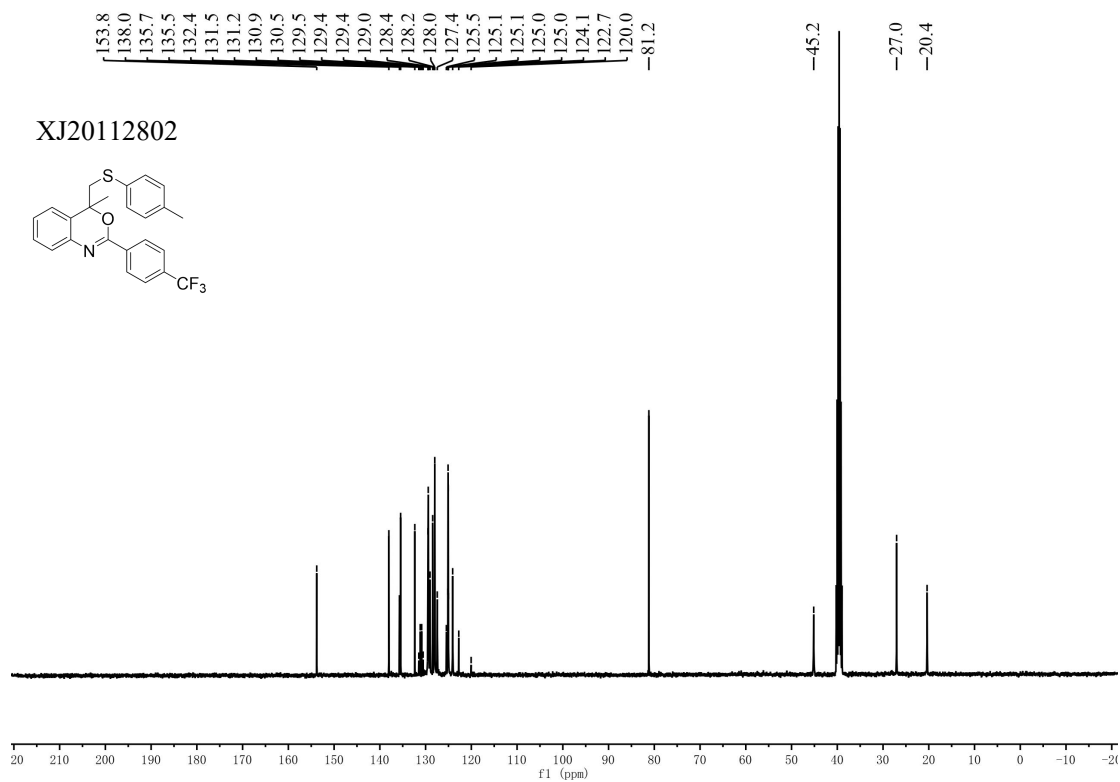
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4r**



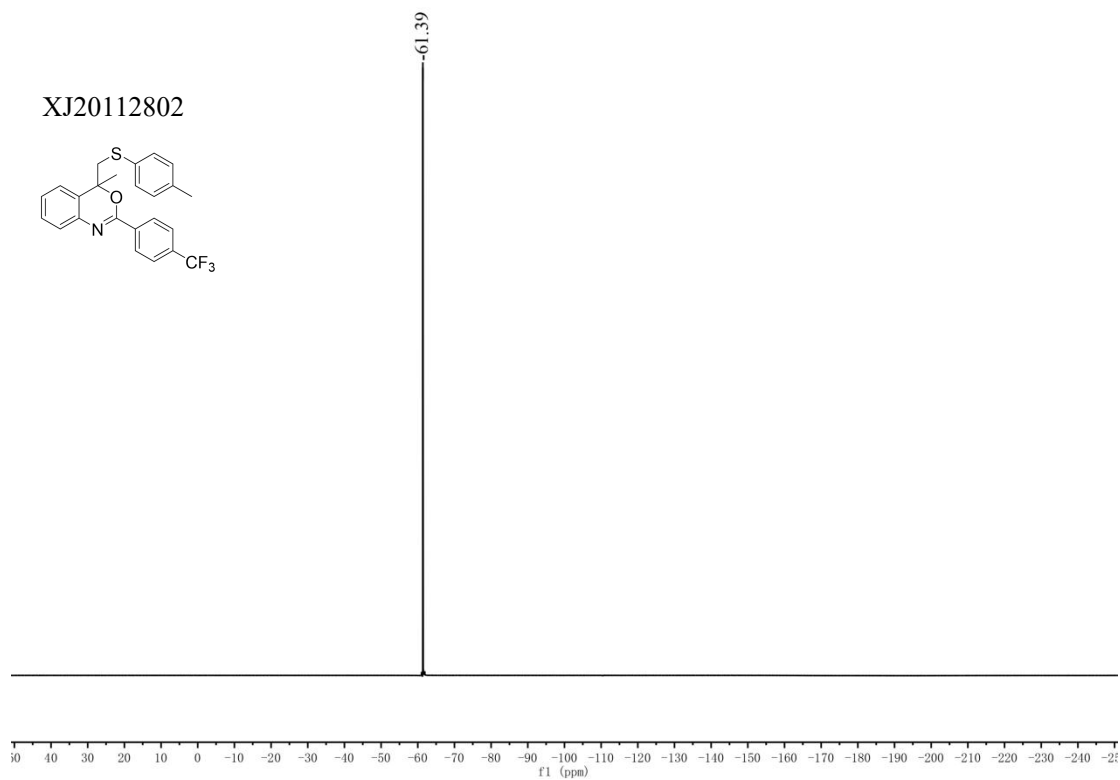
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4s**



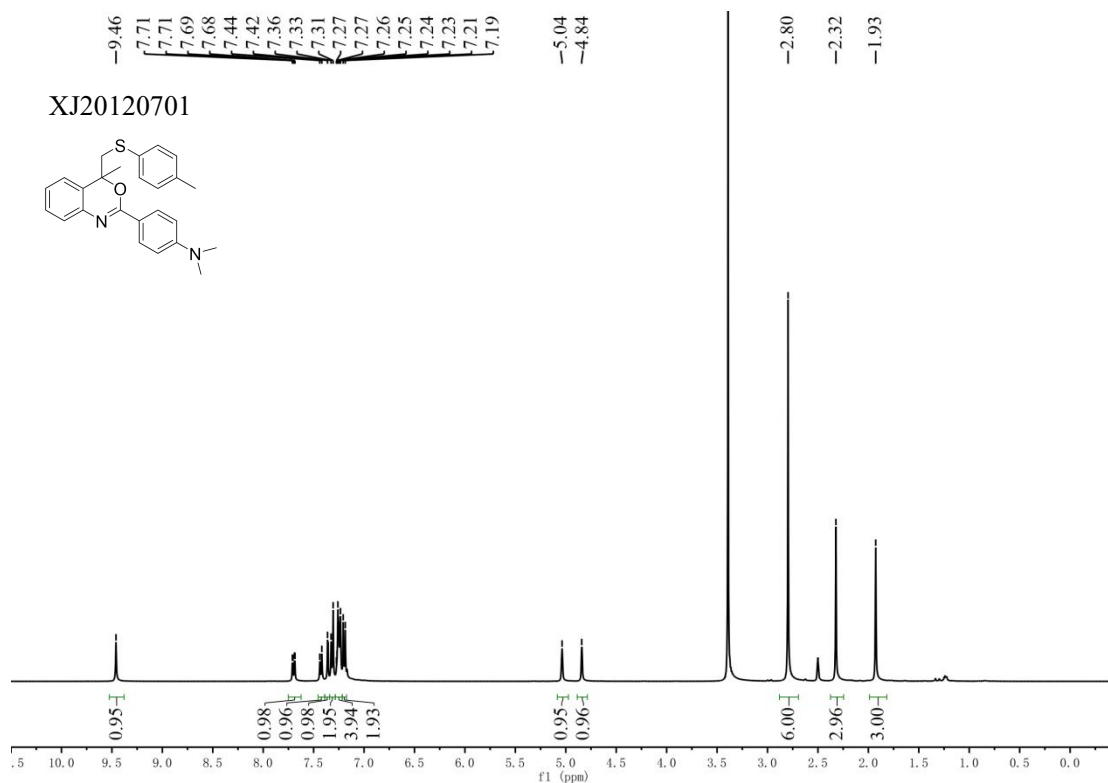
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4s**



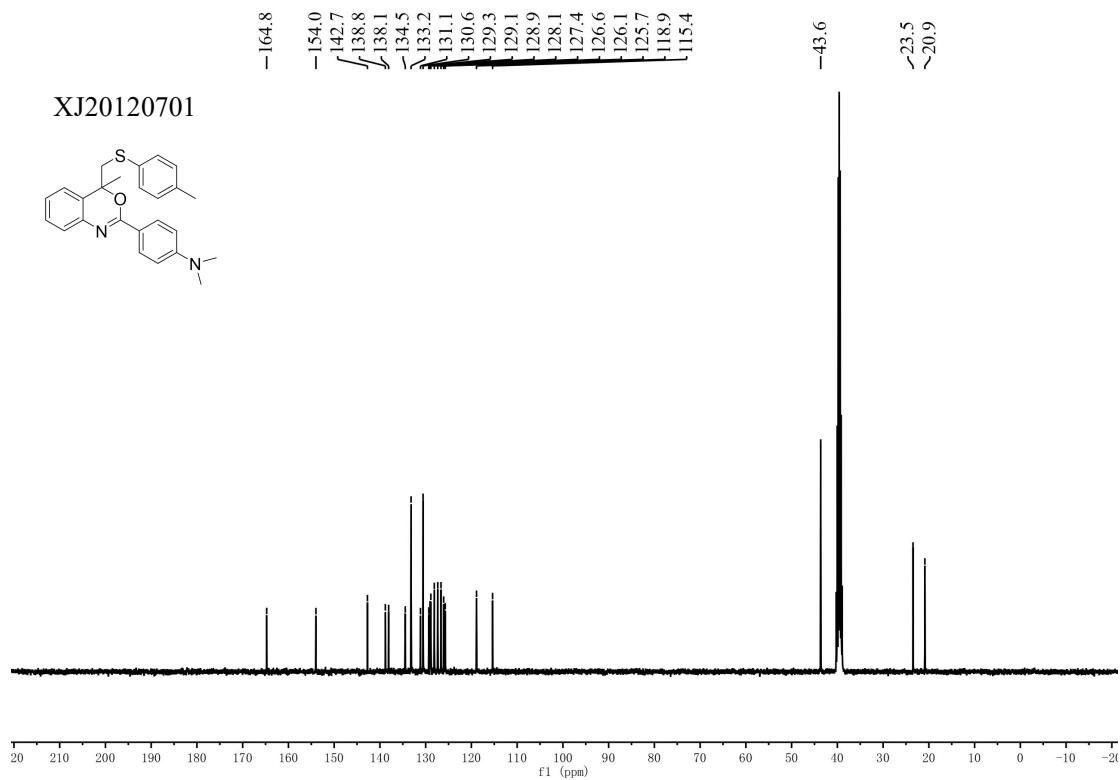
^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) of compound **4s**



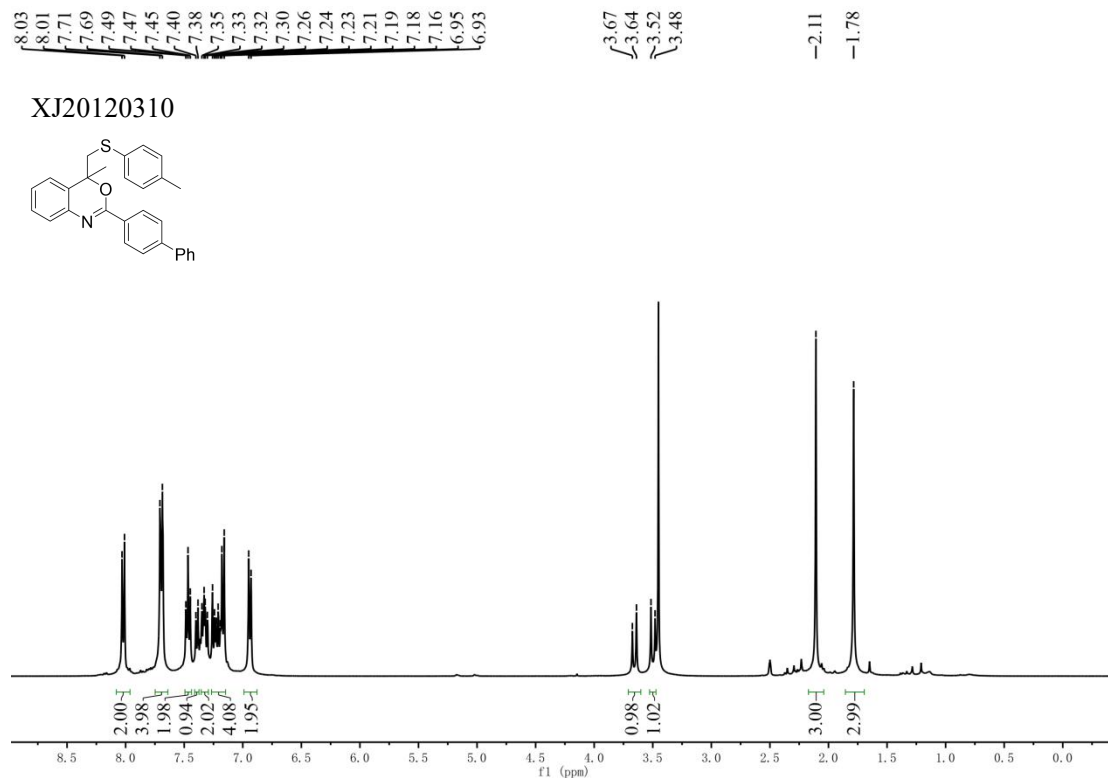
¹H NMR (400 MHz, DMSO-*d*₆) of compound **4t**



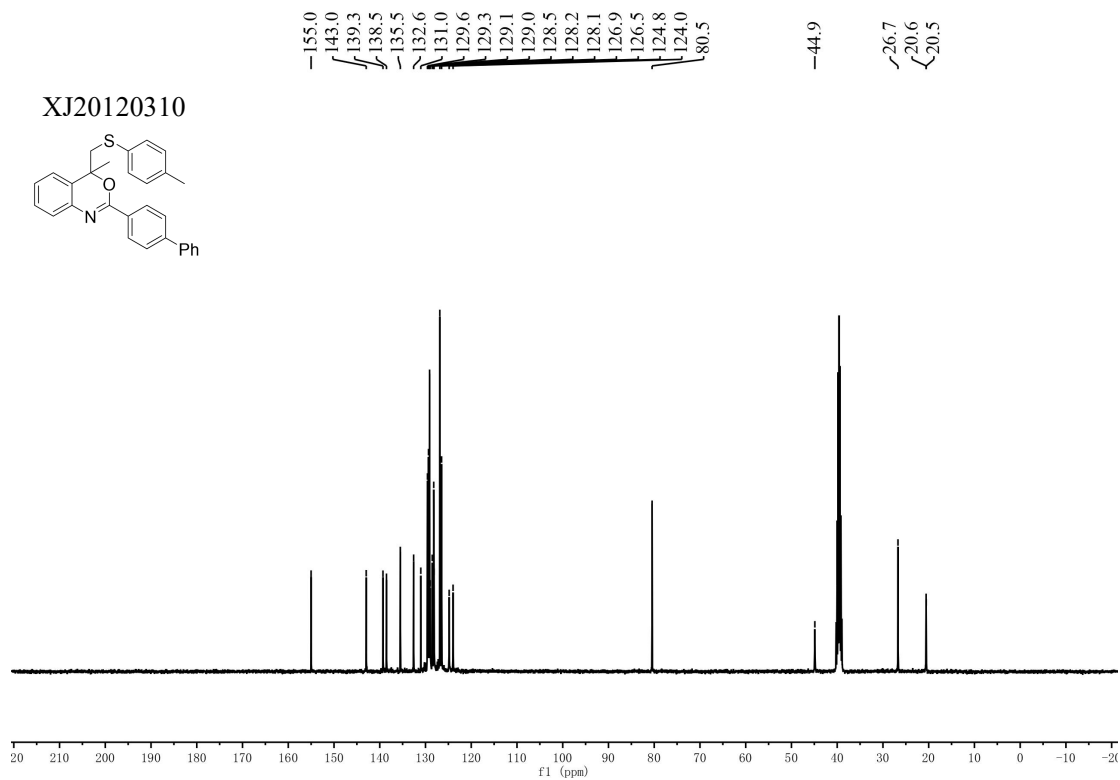
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **4t**



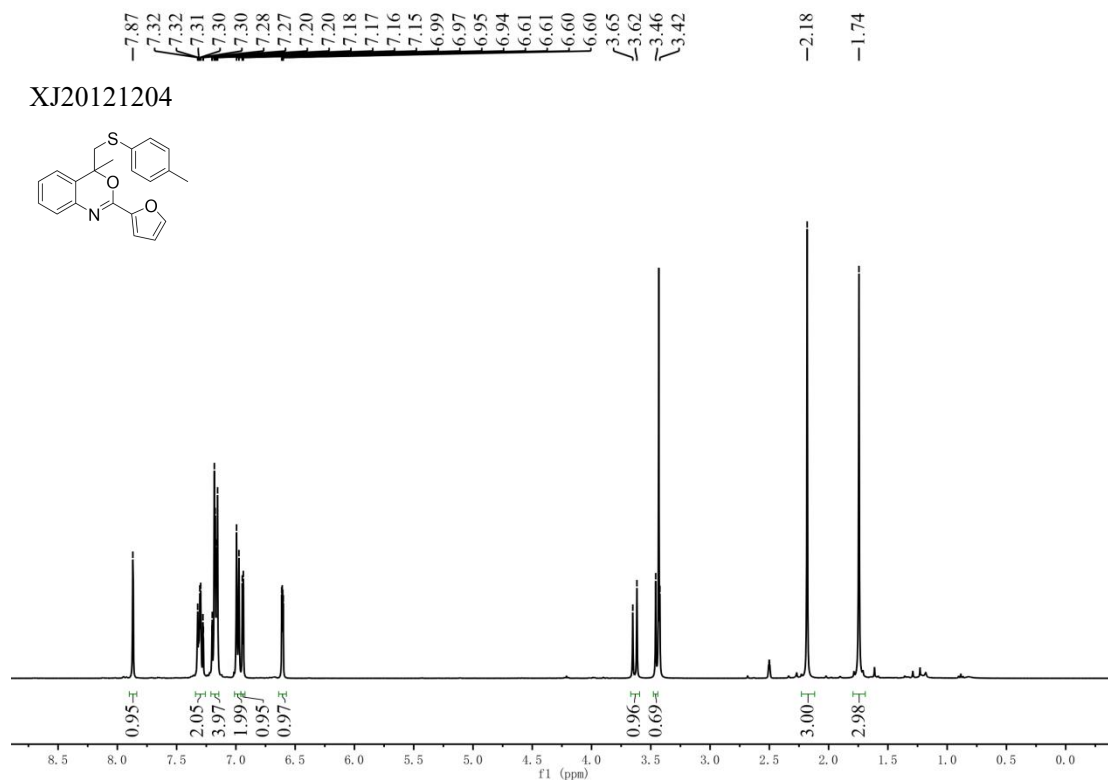
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4u**



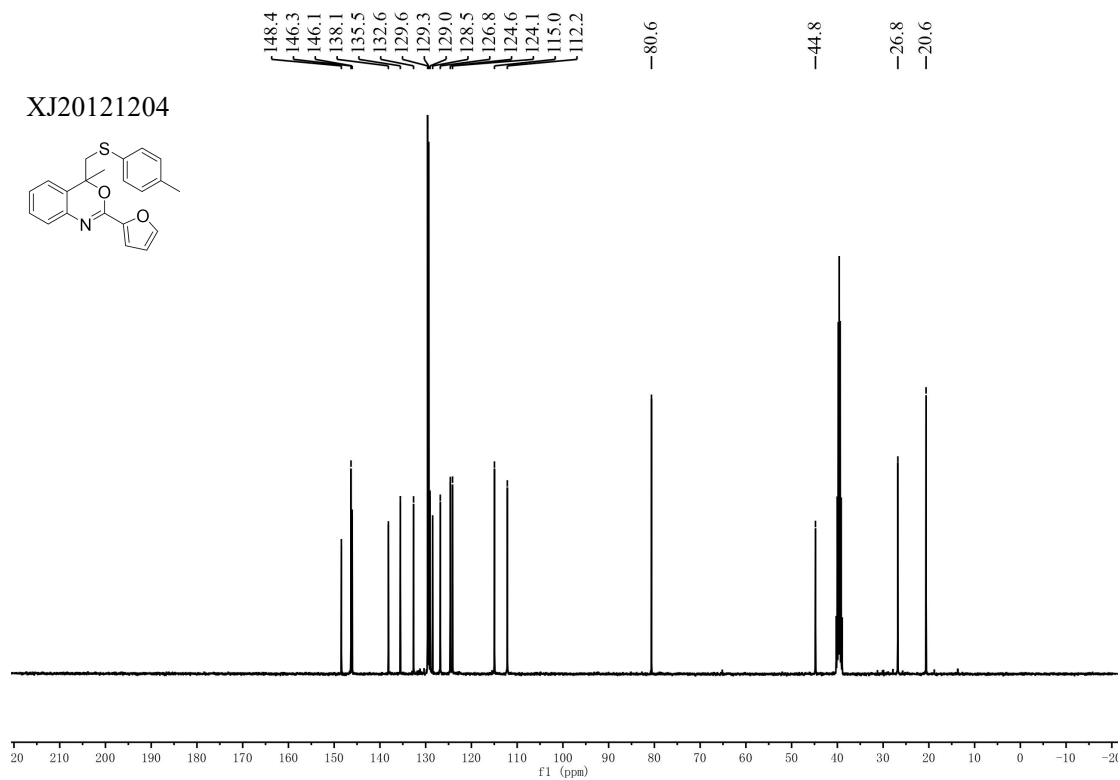
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4u**



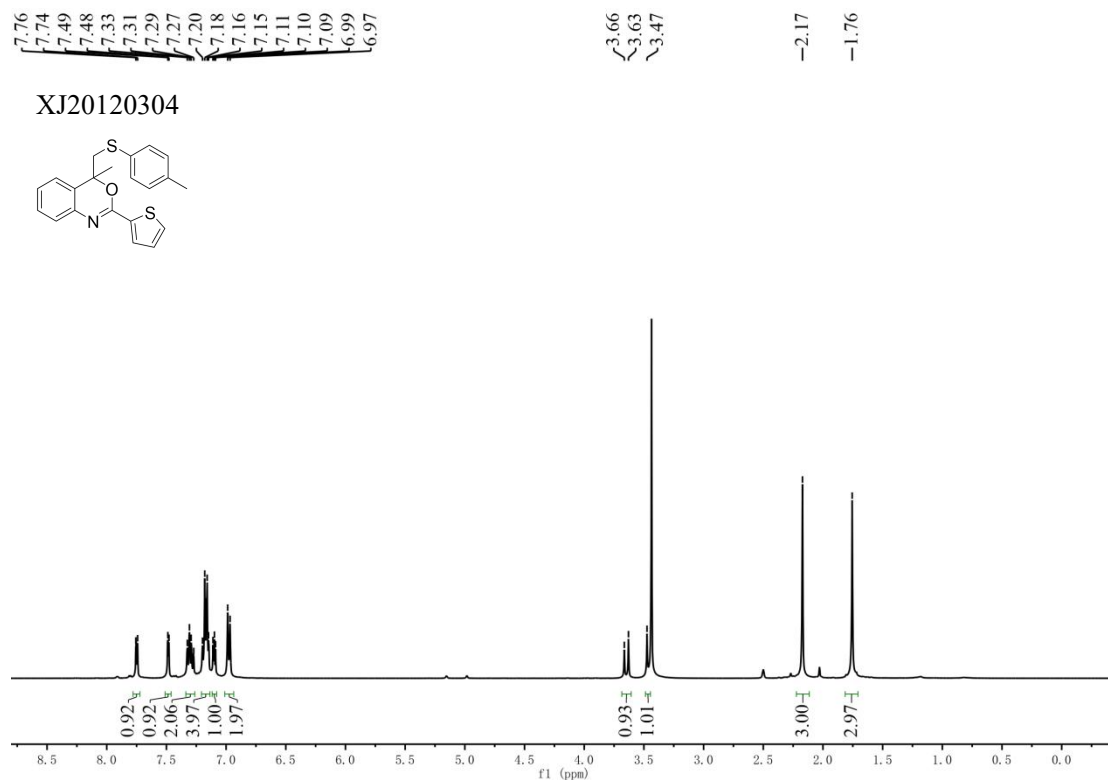
^1H NMR (400 MHz, $\text{DMSO}-d_6$) of compound **4v**



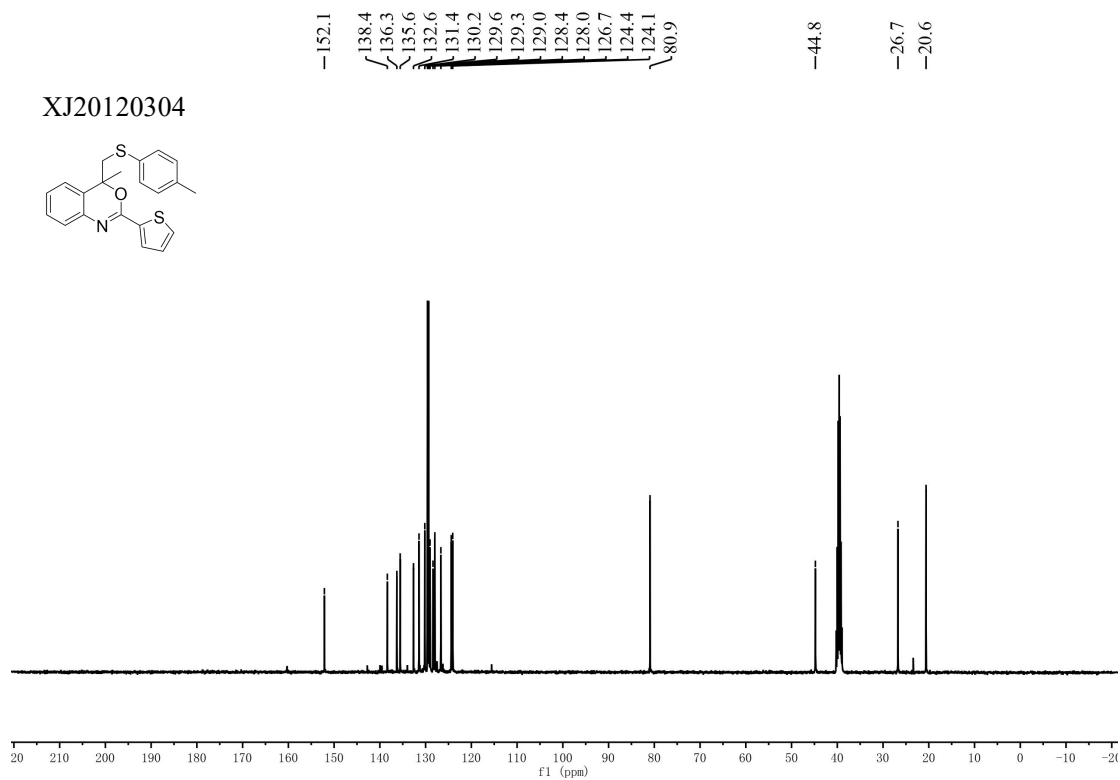
^{13}C NMR (101 MHz, $\text{DMSO}-d_6$) of compound **4v**



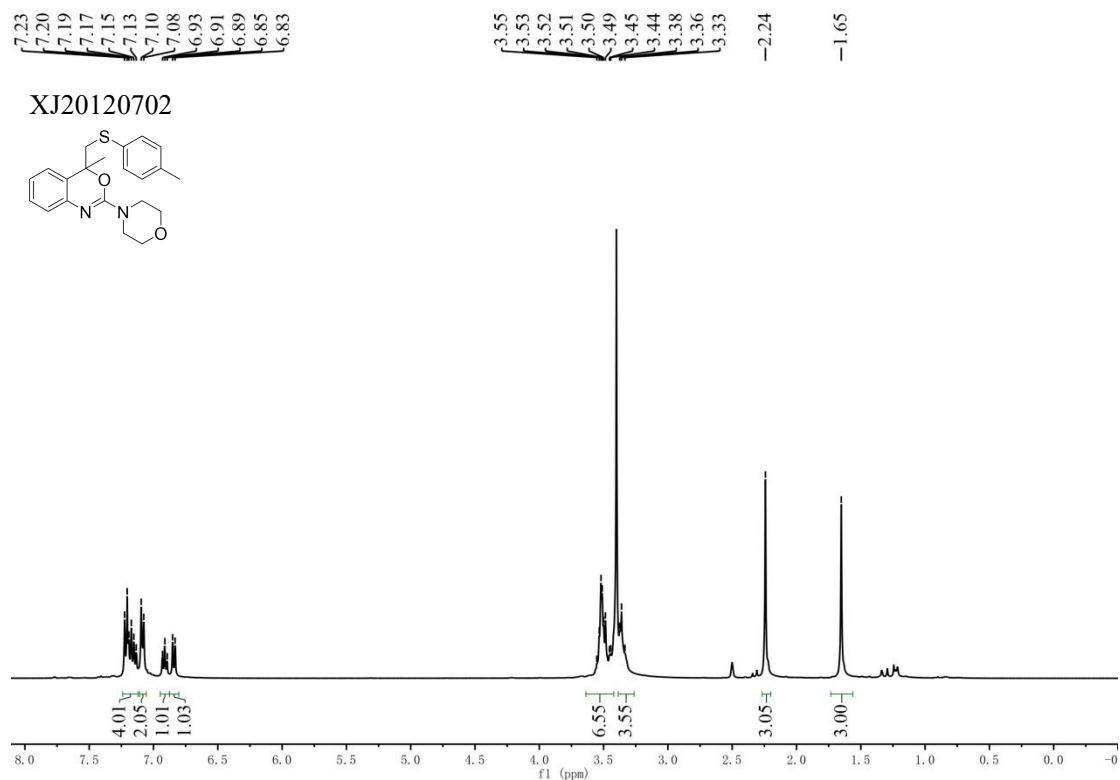
^1H NMR (400 MHz, $\text{DMSO}-d_6$) of compound **4w**



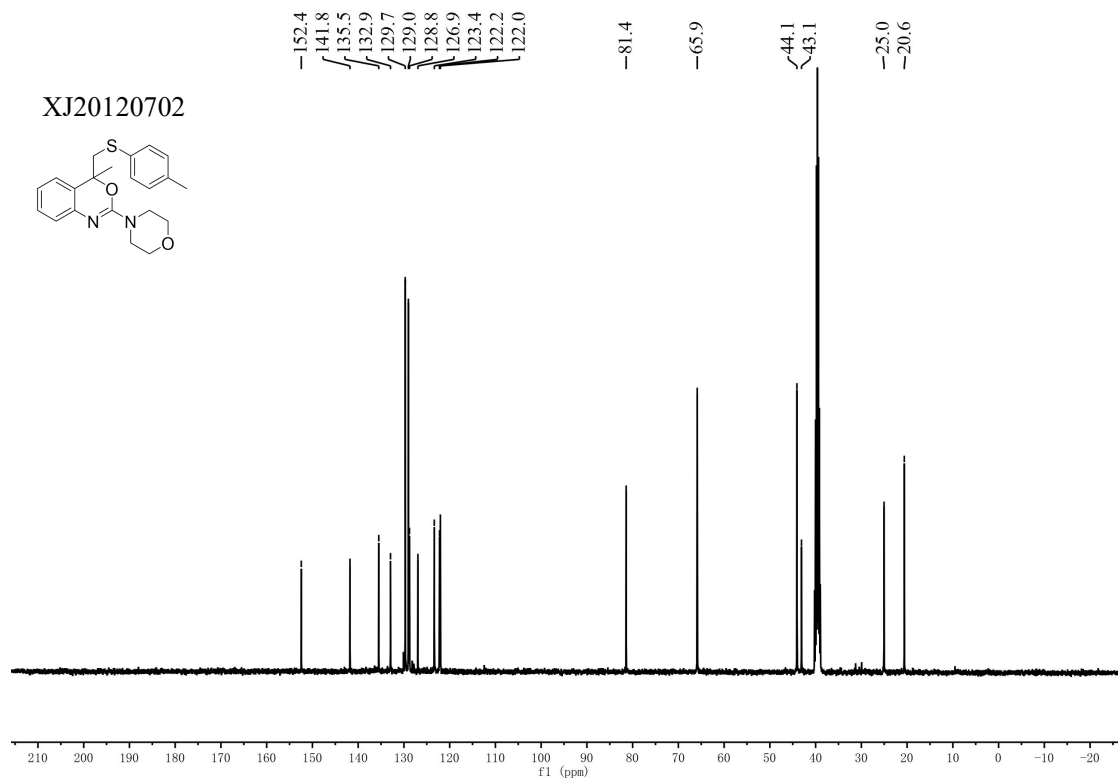
^{13}C NMR (101 MHz, $\text{DMSO}-d_6$) of compound **4w**



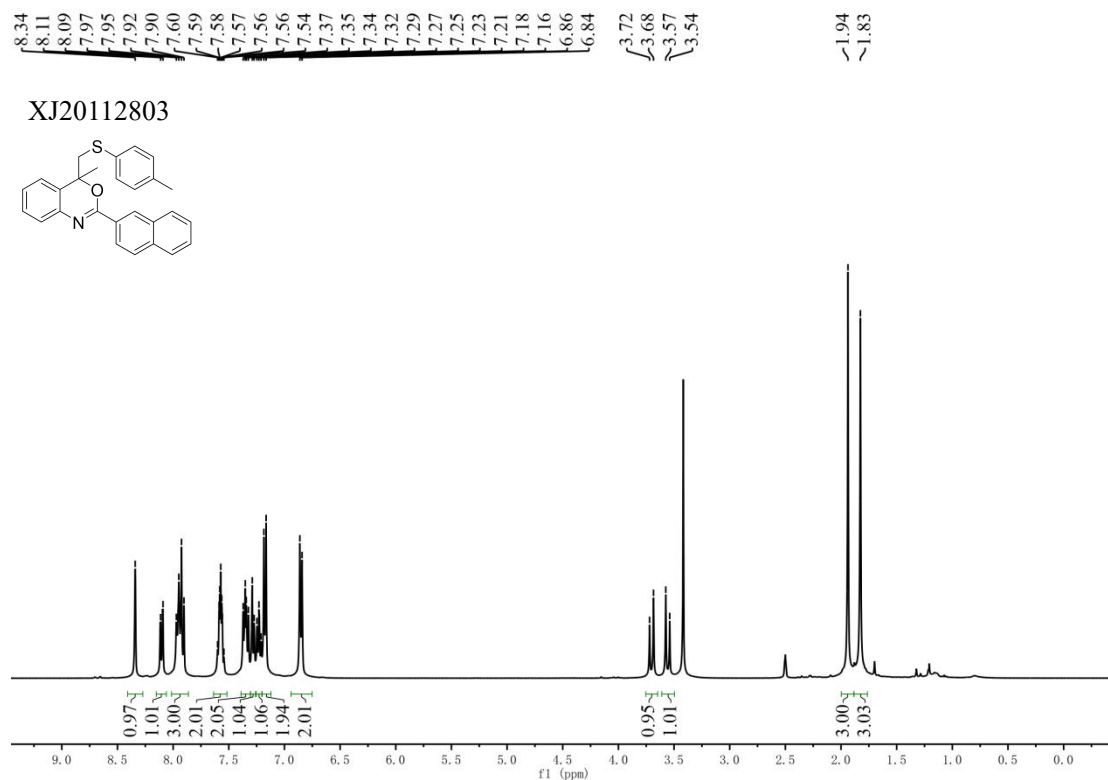
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4x**



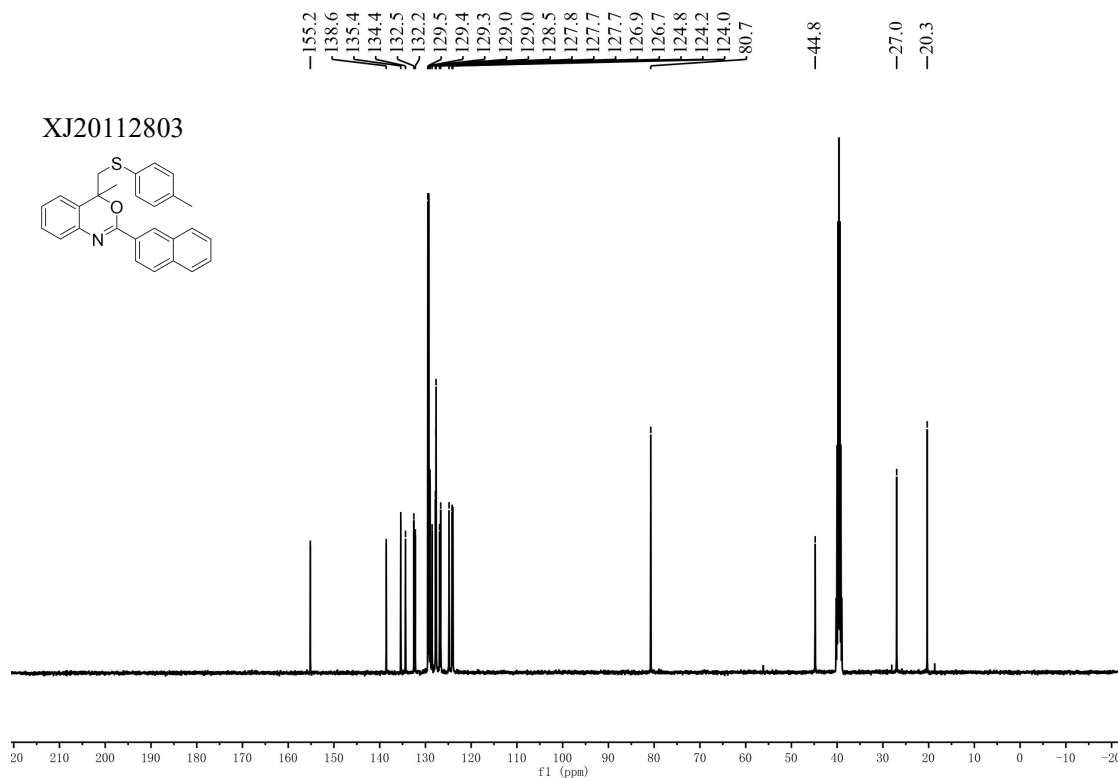
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4x**



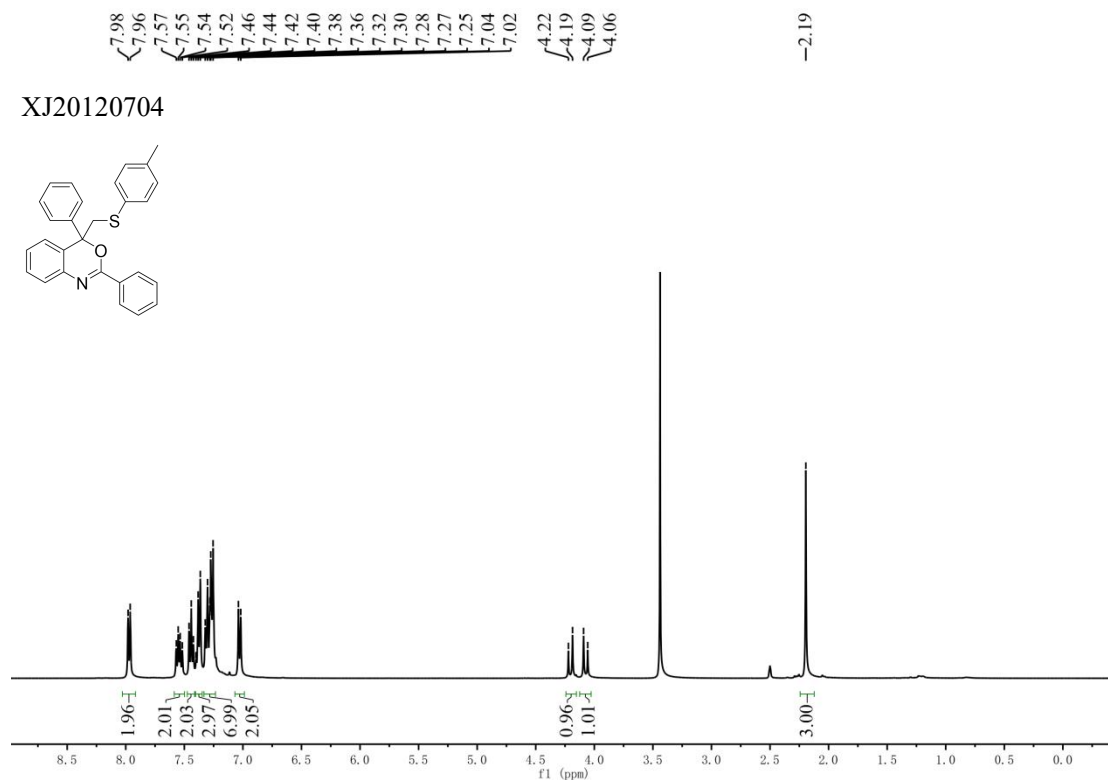
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4y**



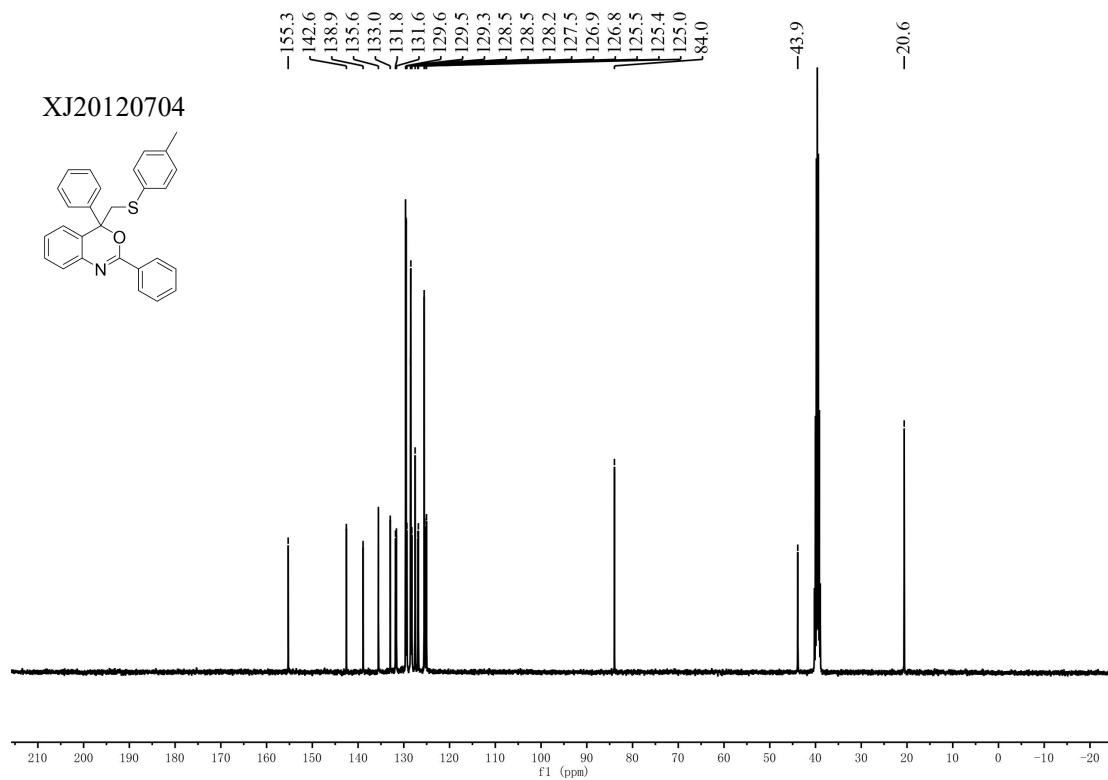
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4y**



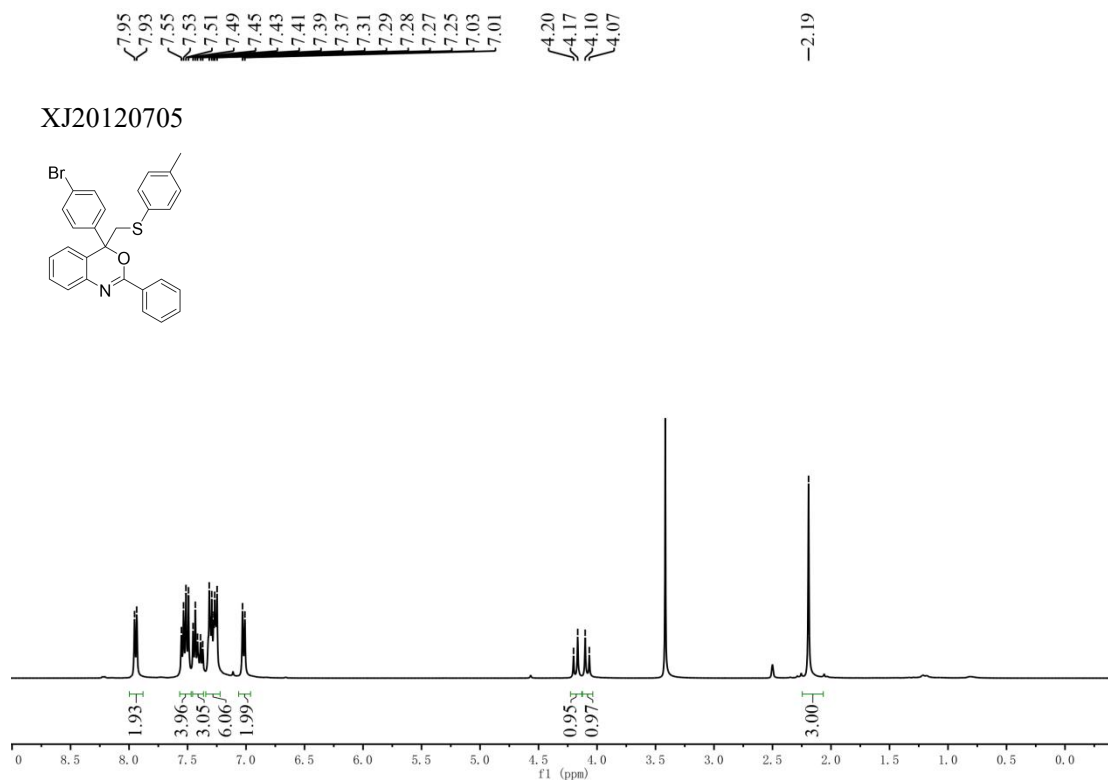
¹H NMR (400 MHz, DMSO-*d*₆) of compound **4z**



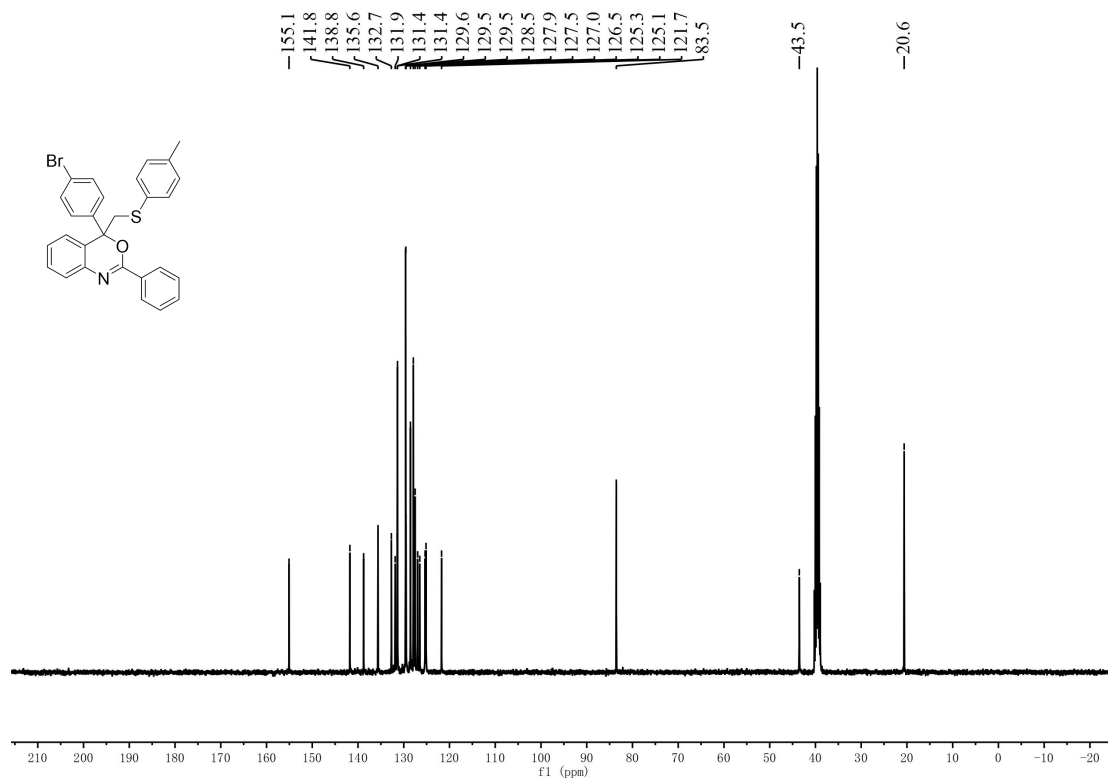
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **4z**



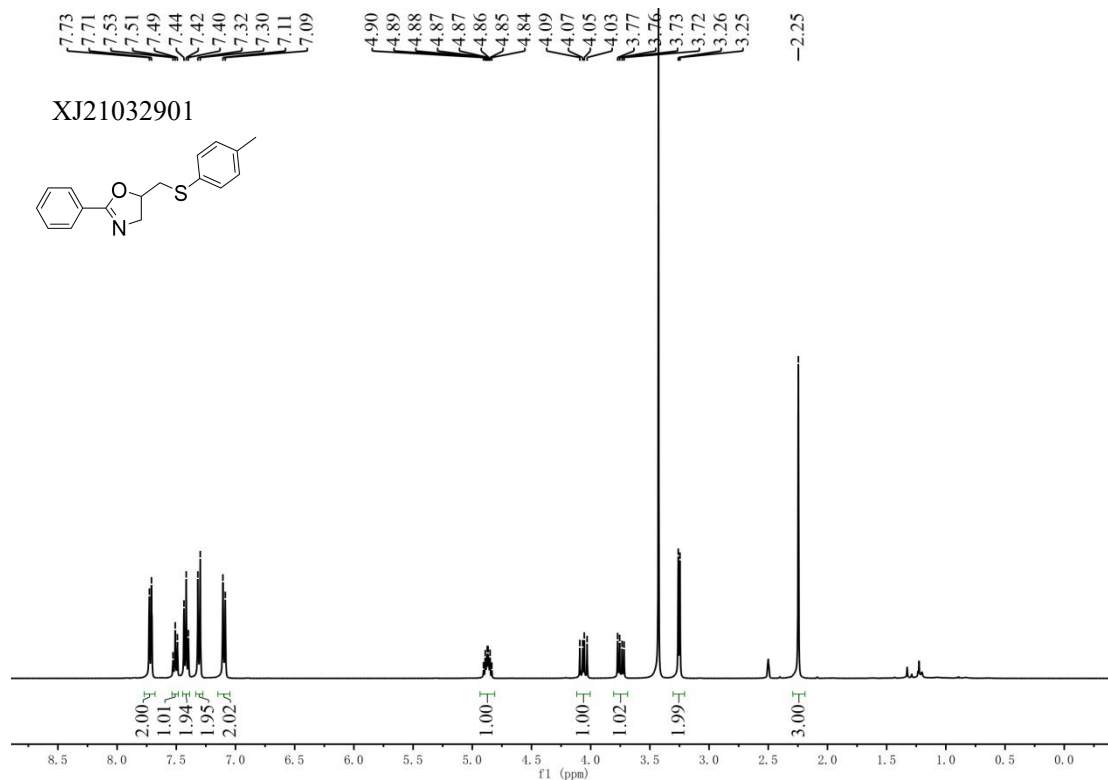
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **4za**



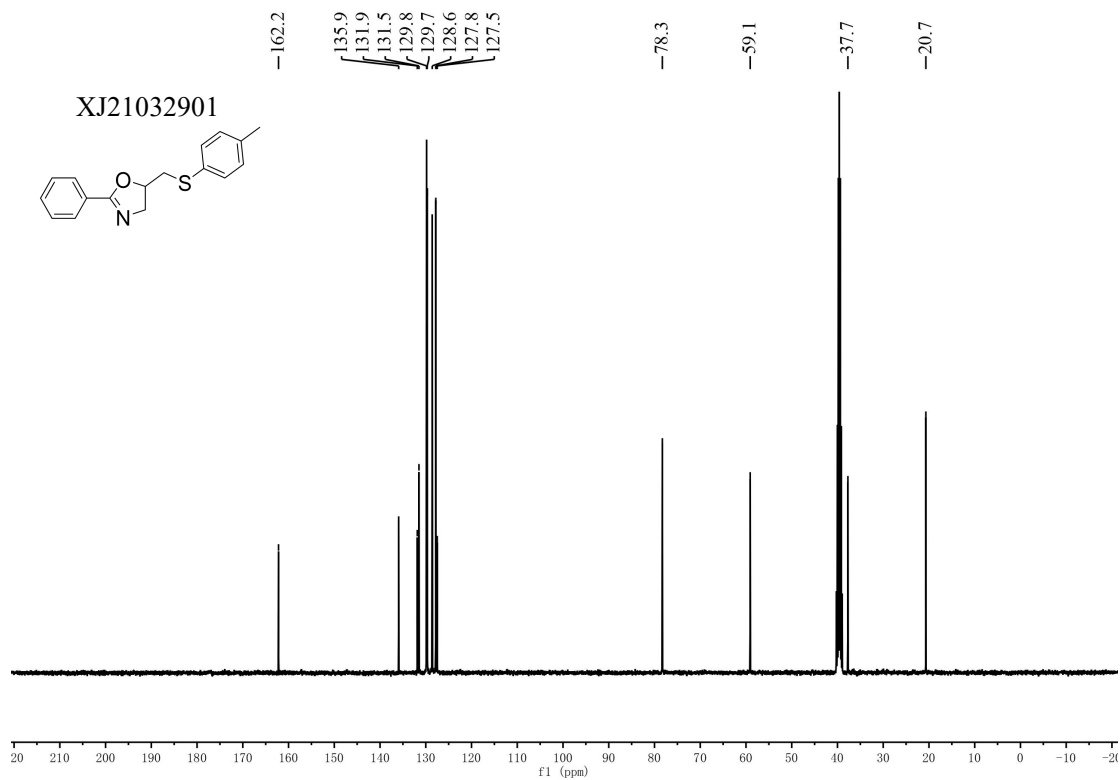
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **4za**



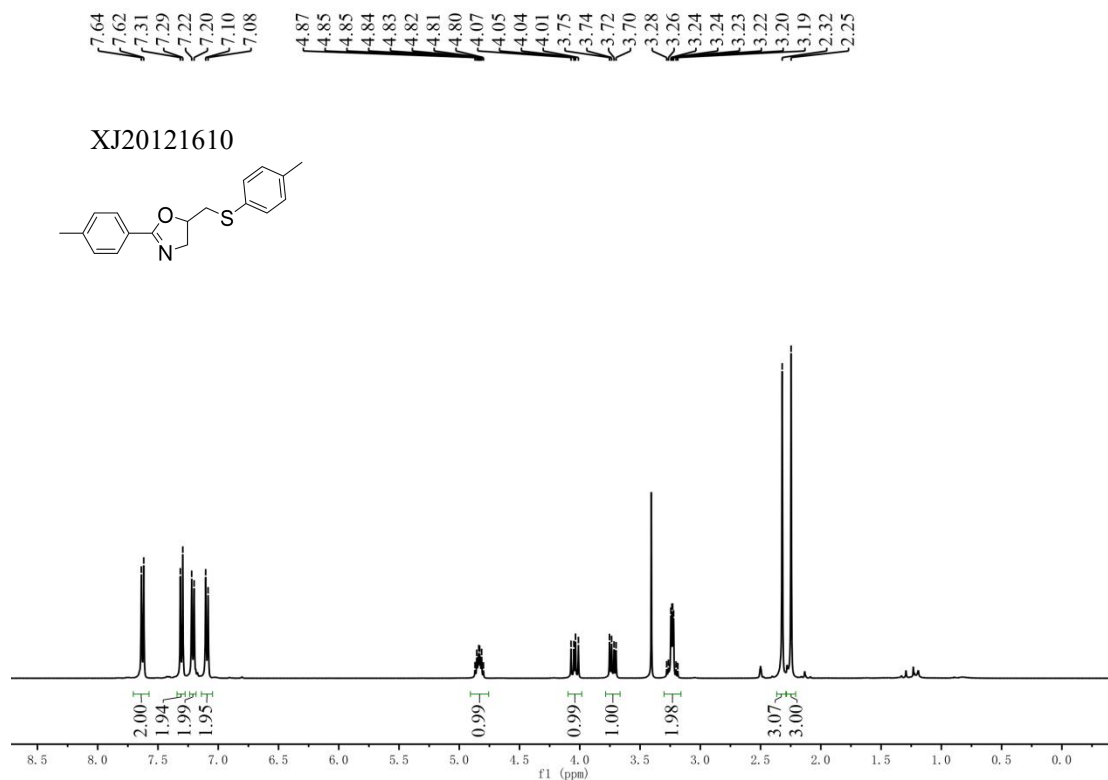
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **6a**



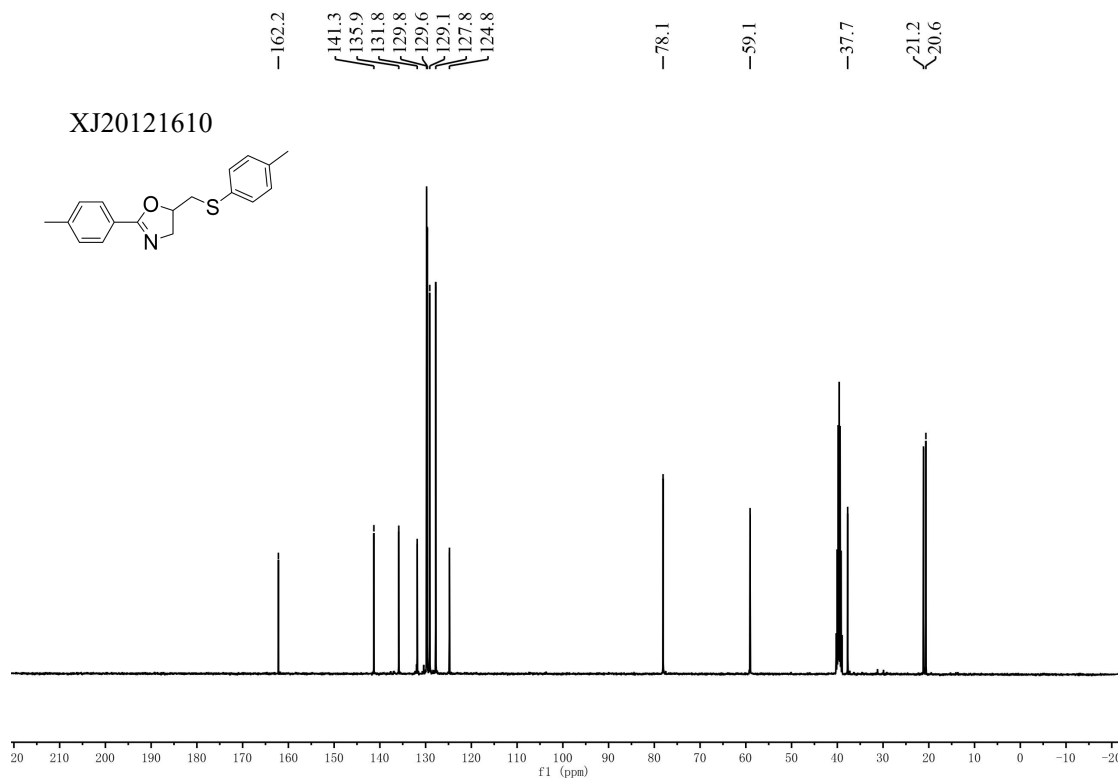
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **6a**



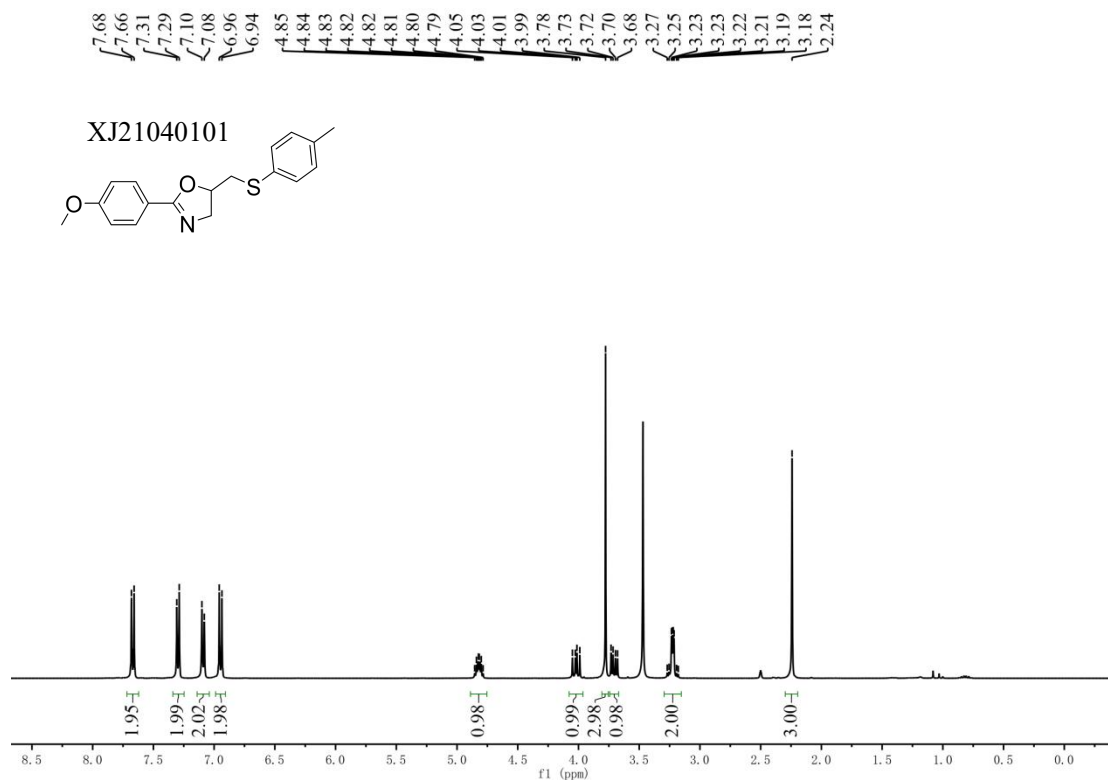
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **6b**



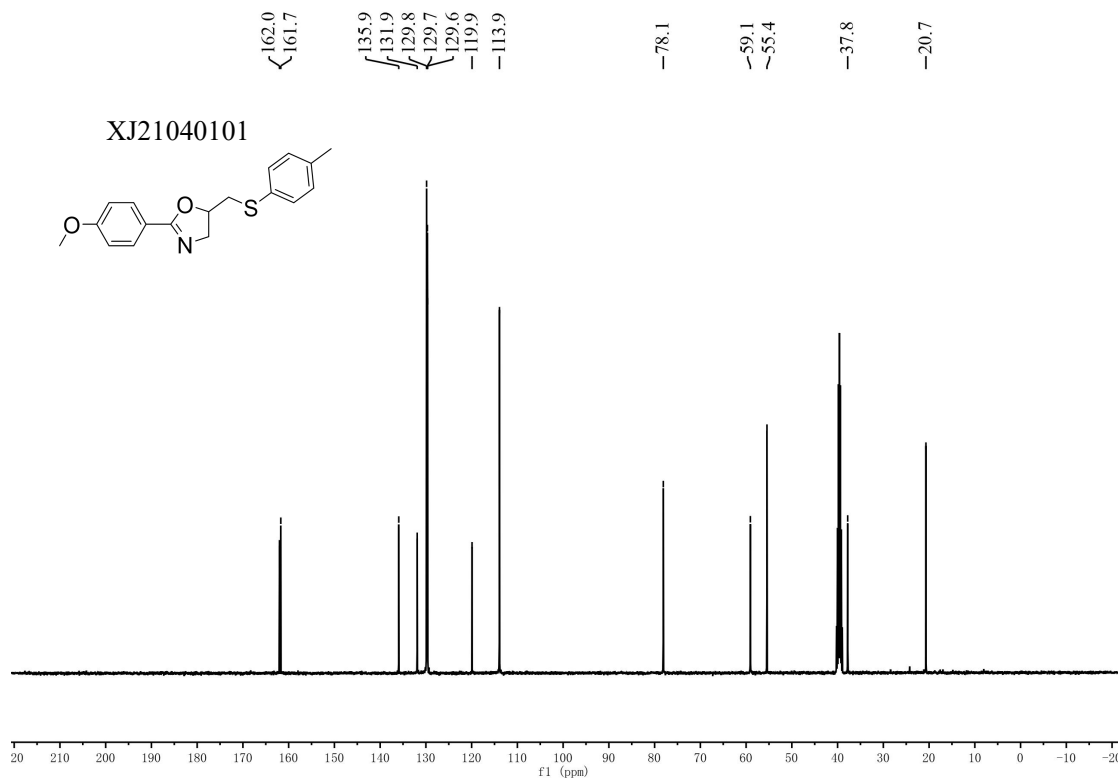
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **6b**



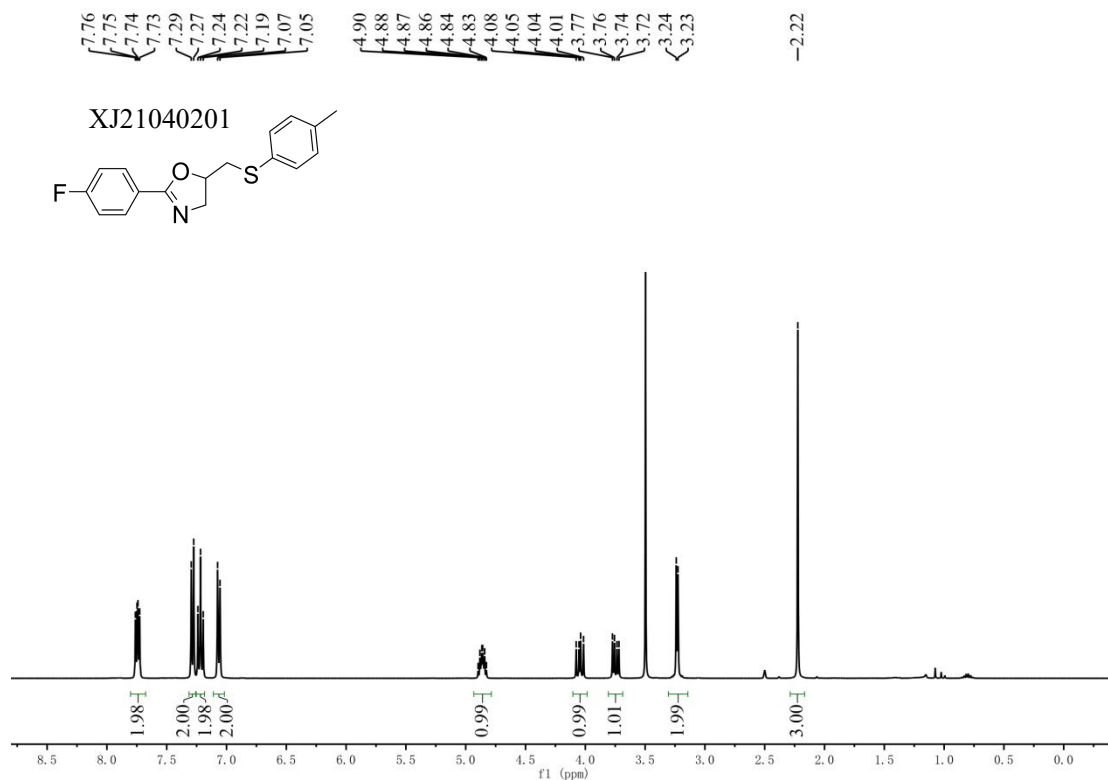
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **6c**



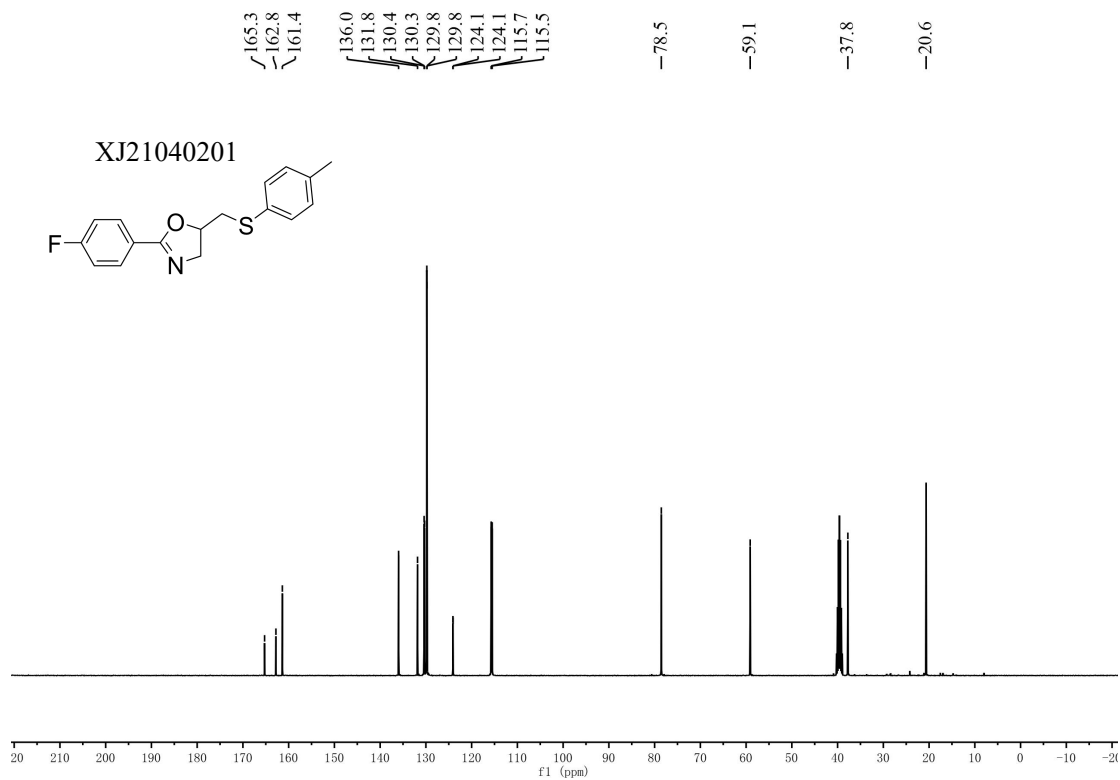
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **6c**



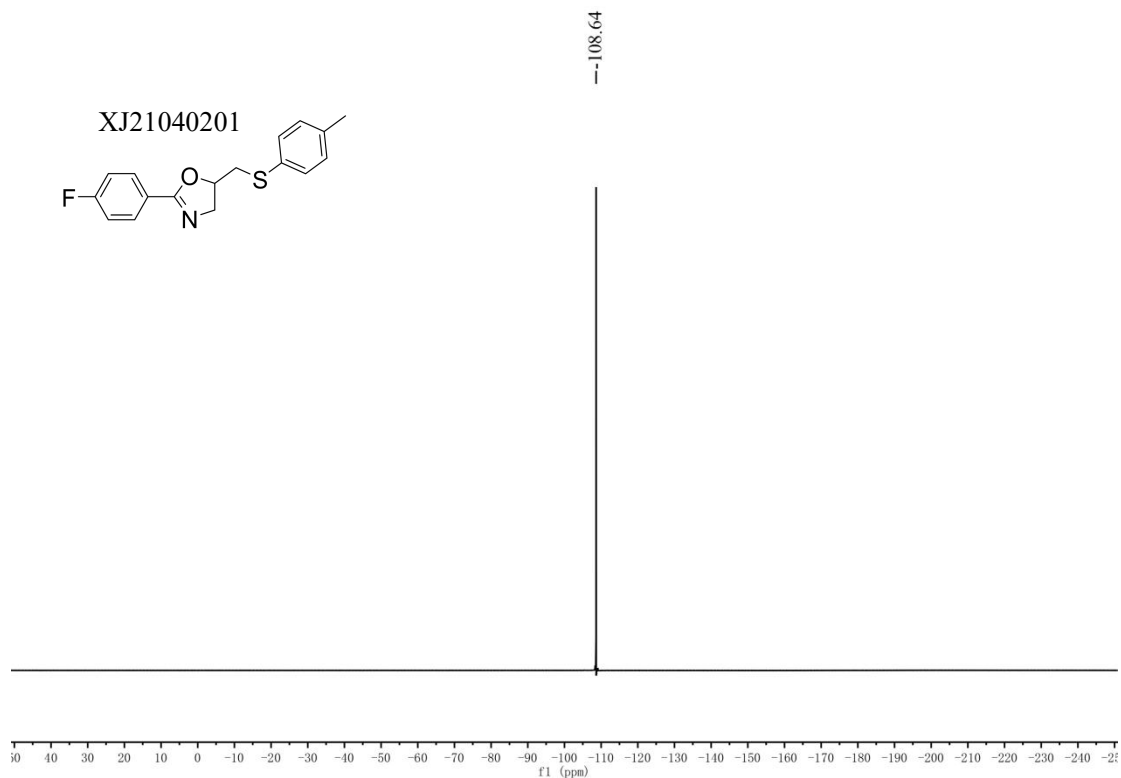
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **6d**



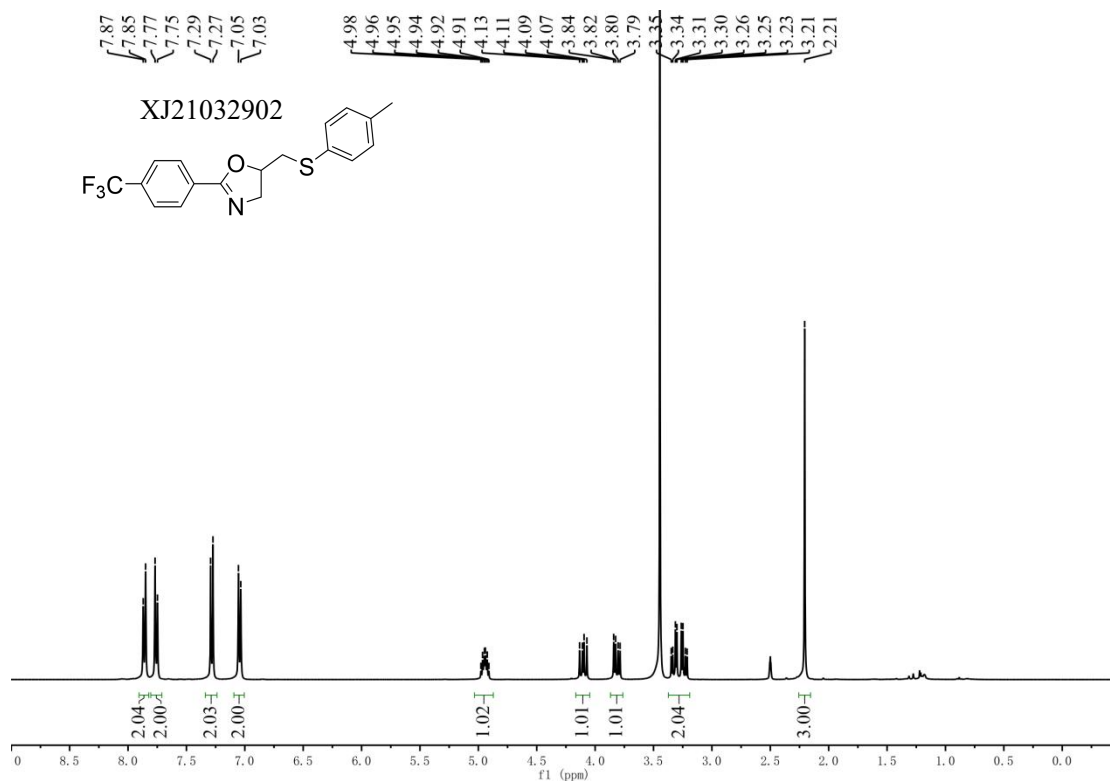
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **6d**



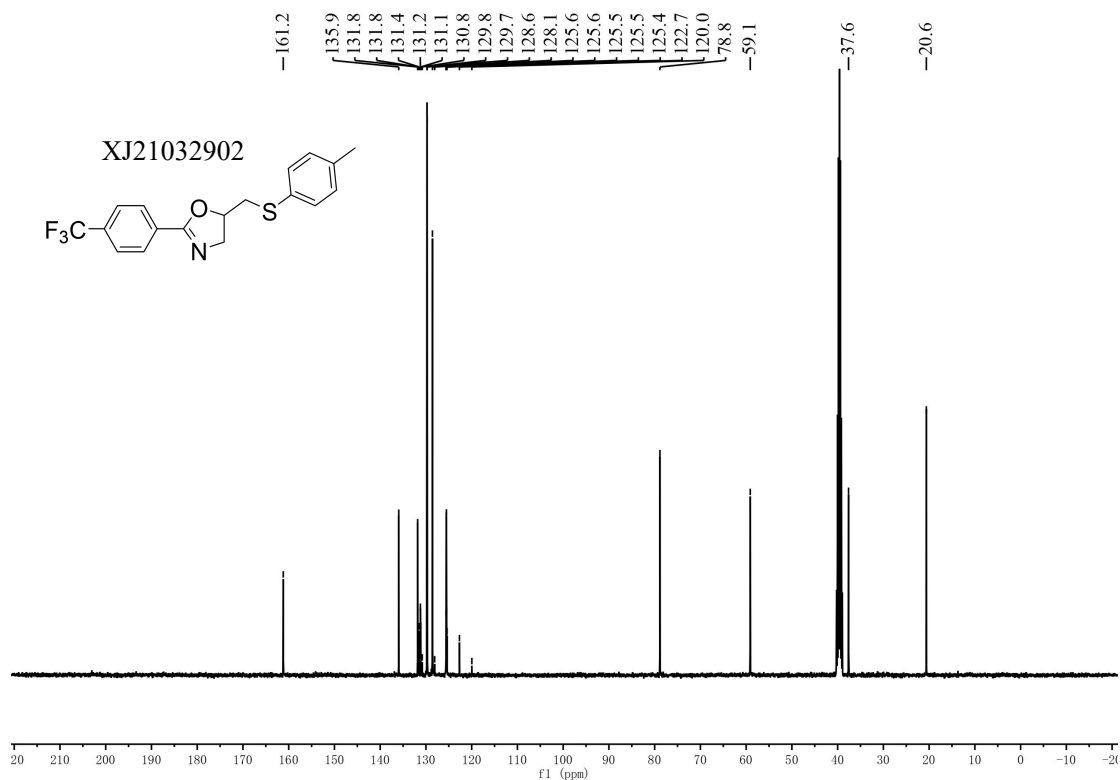
^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) of compound **6d**



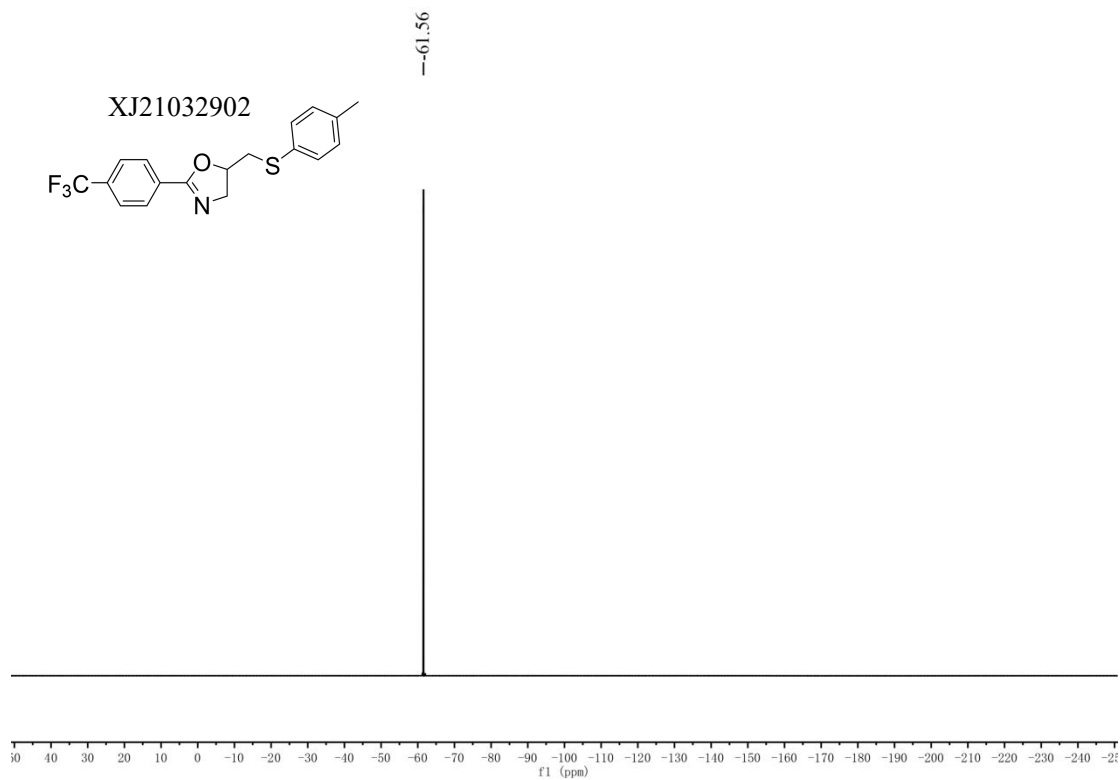
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **6e**



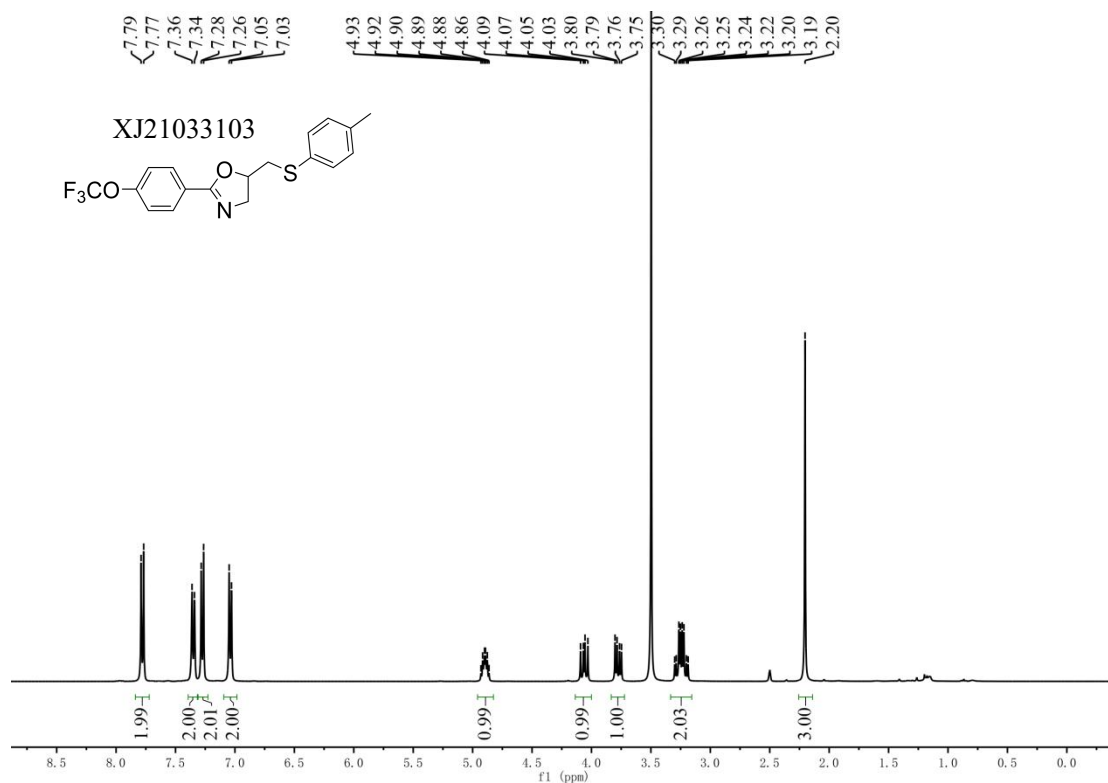
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **6e**



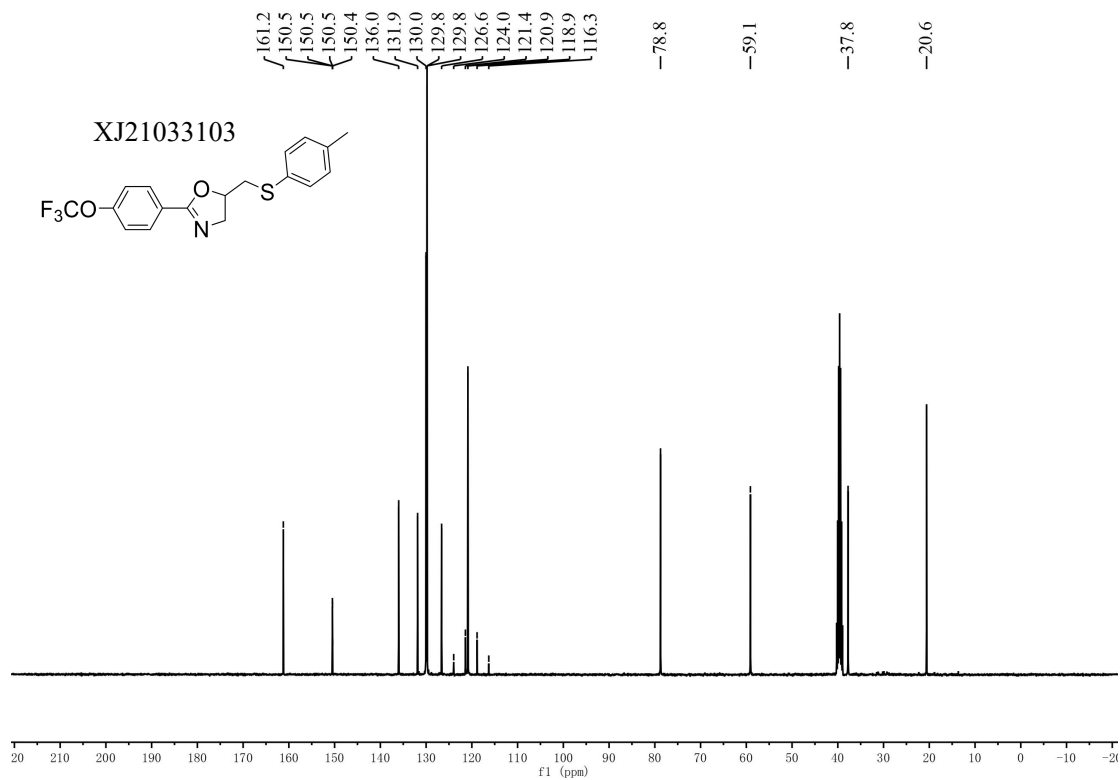
¹⁹F NMR (376 MHz, DMSO-*d*₆) of compound **6e**



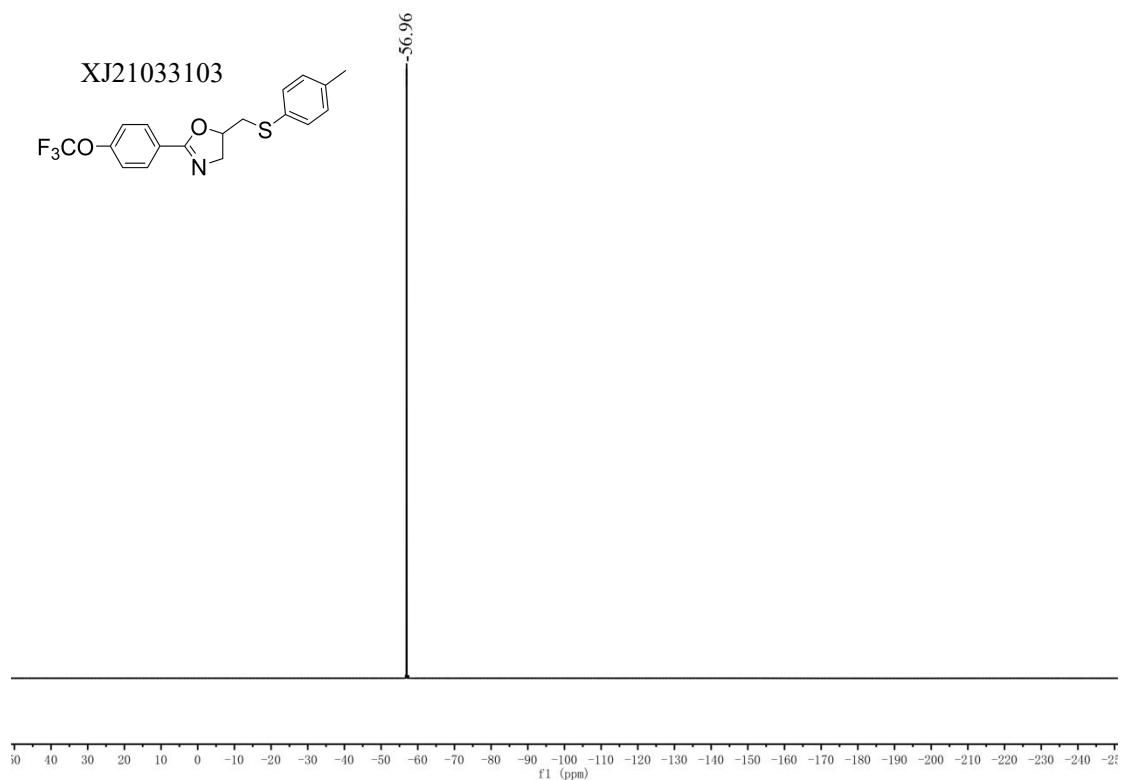
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **6f**



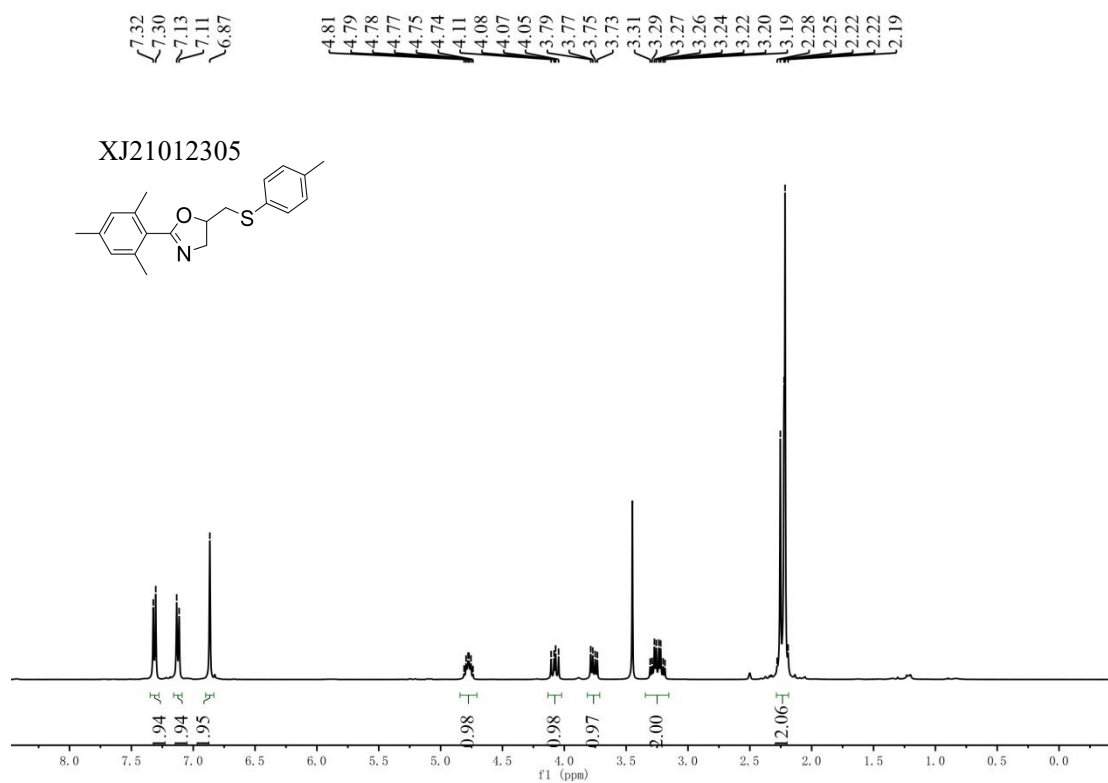
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **6f**



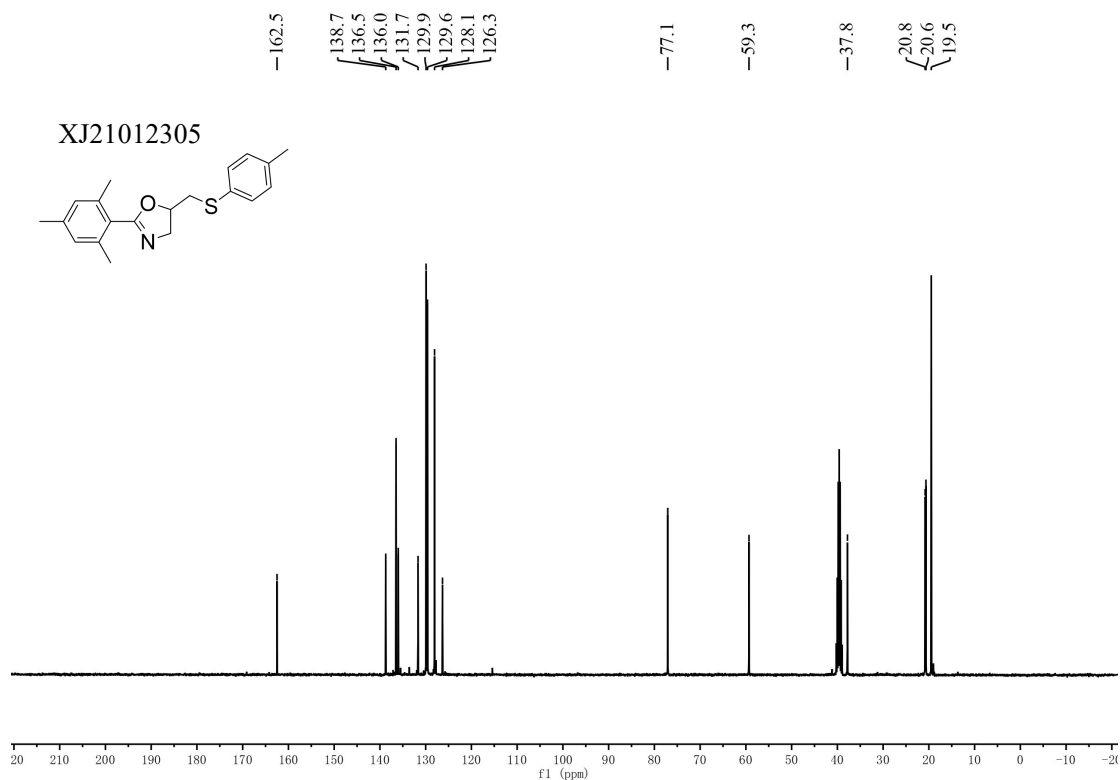
^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) of compound **6f**



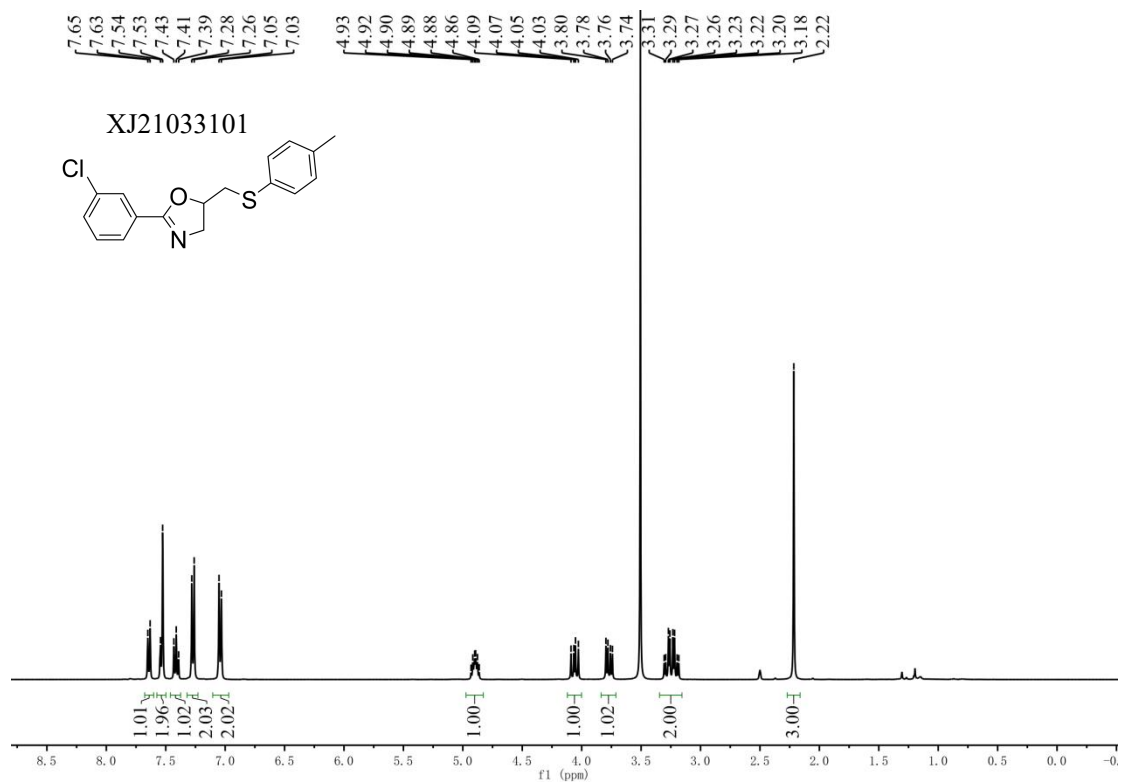
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **6g**



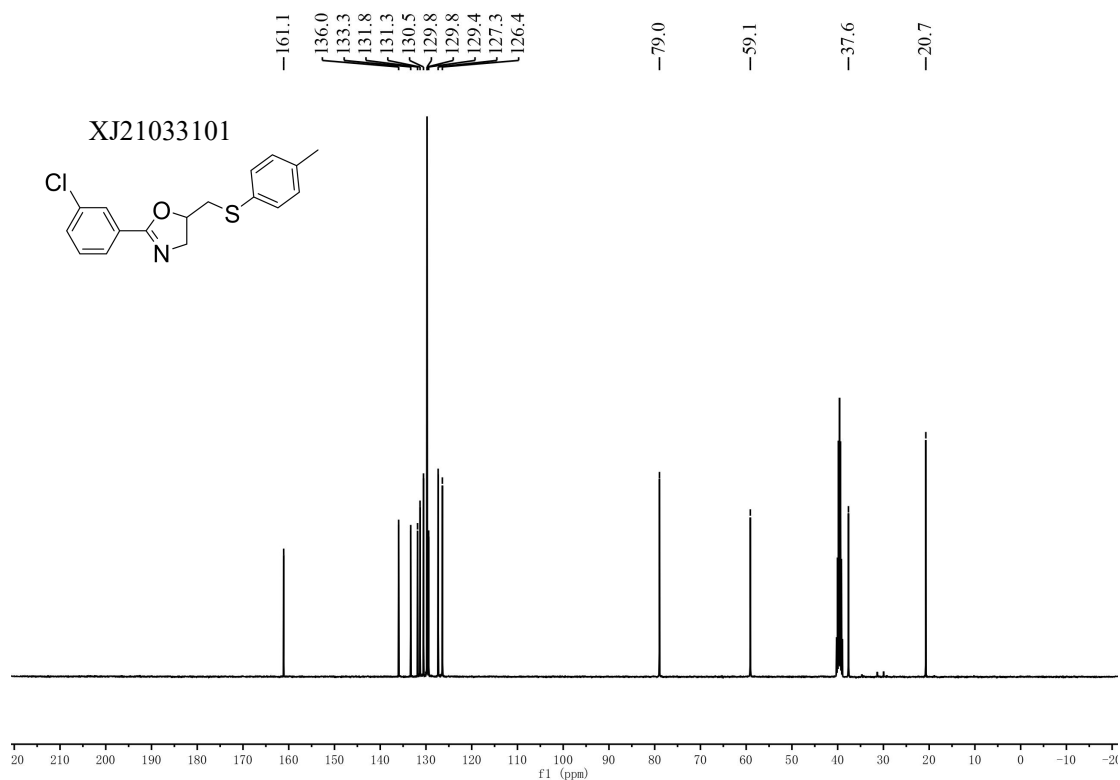
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **6g**



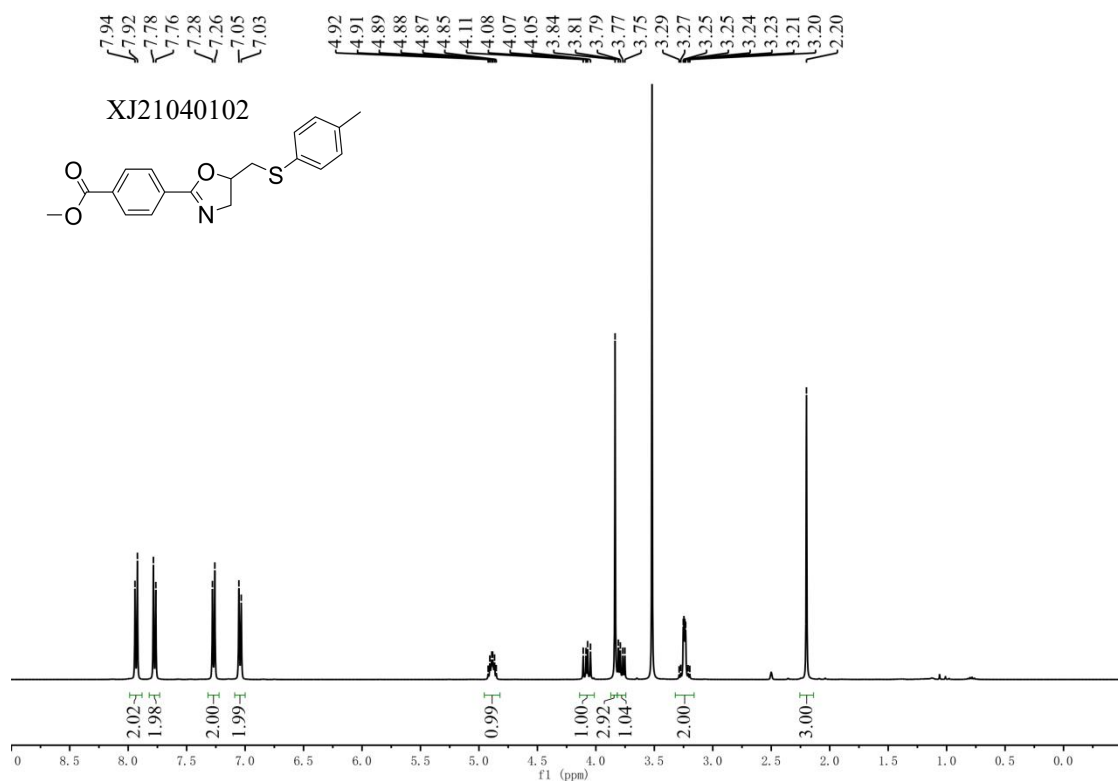
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **6h**



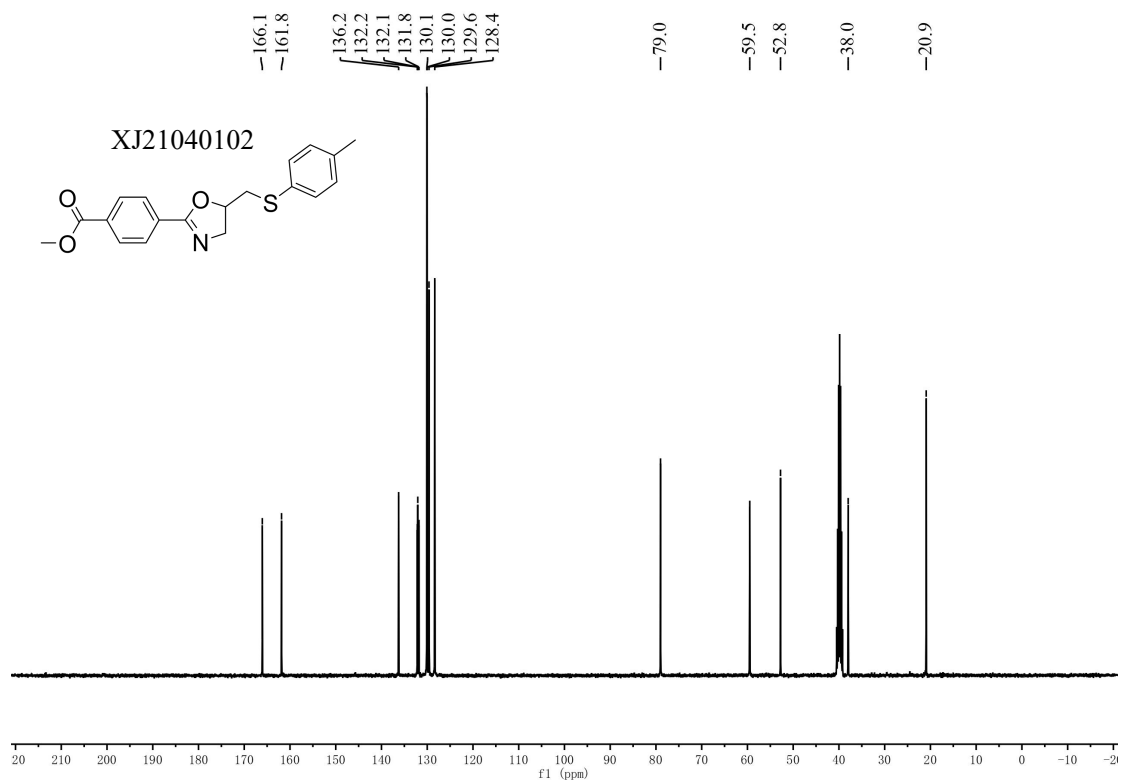
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **6h**



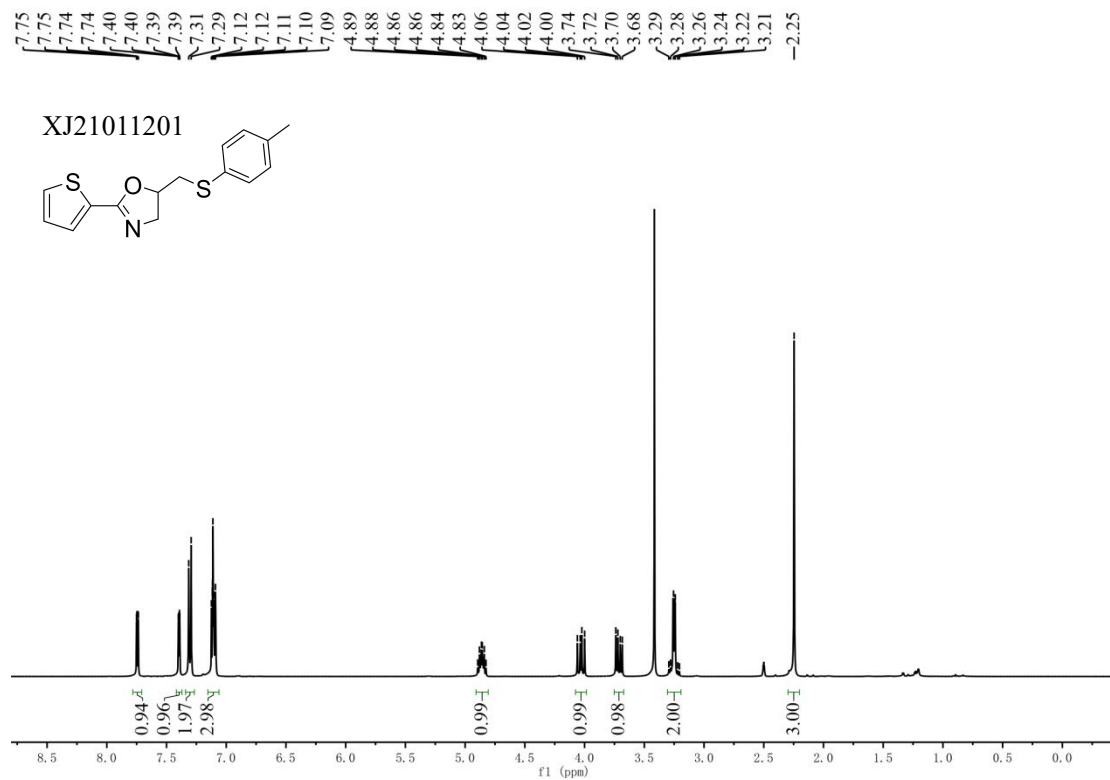
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **6i**



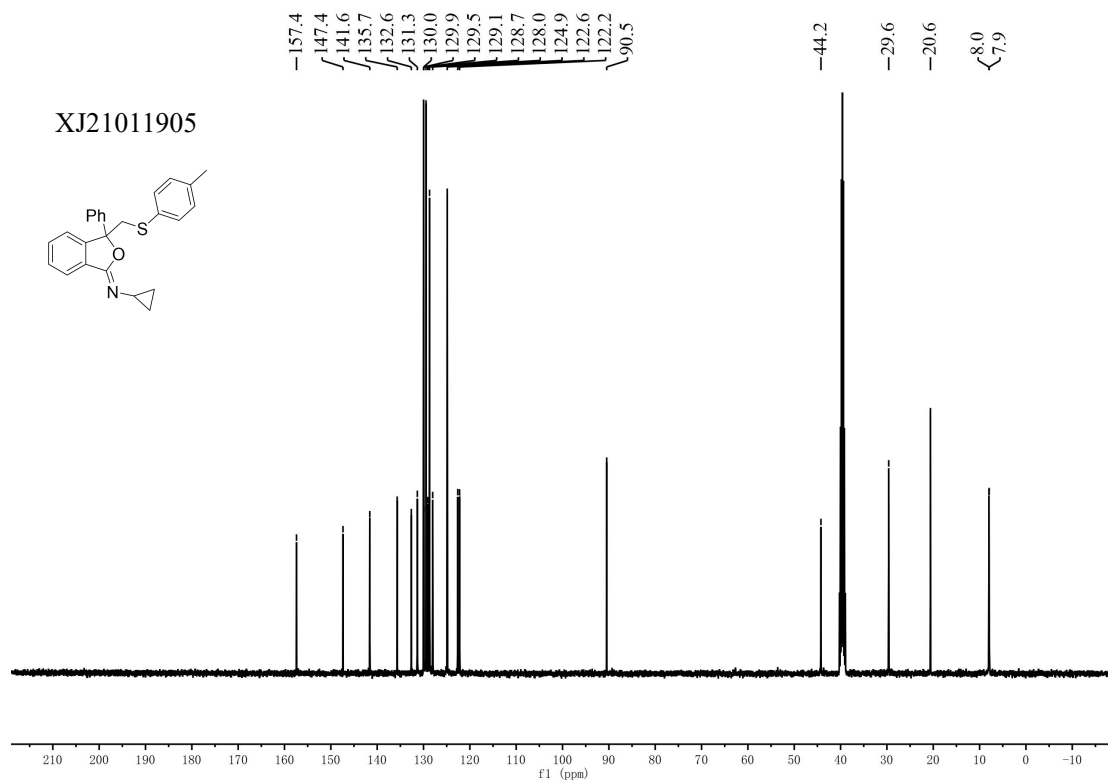
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **6i**



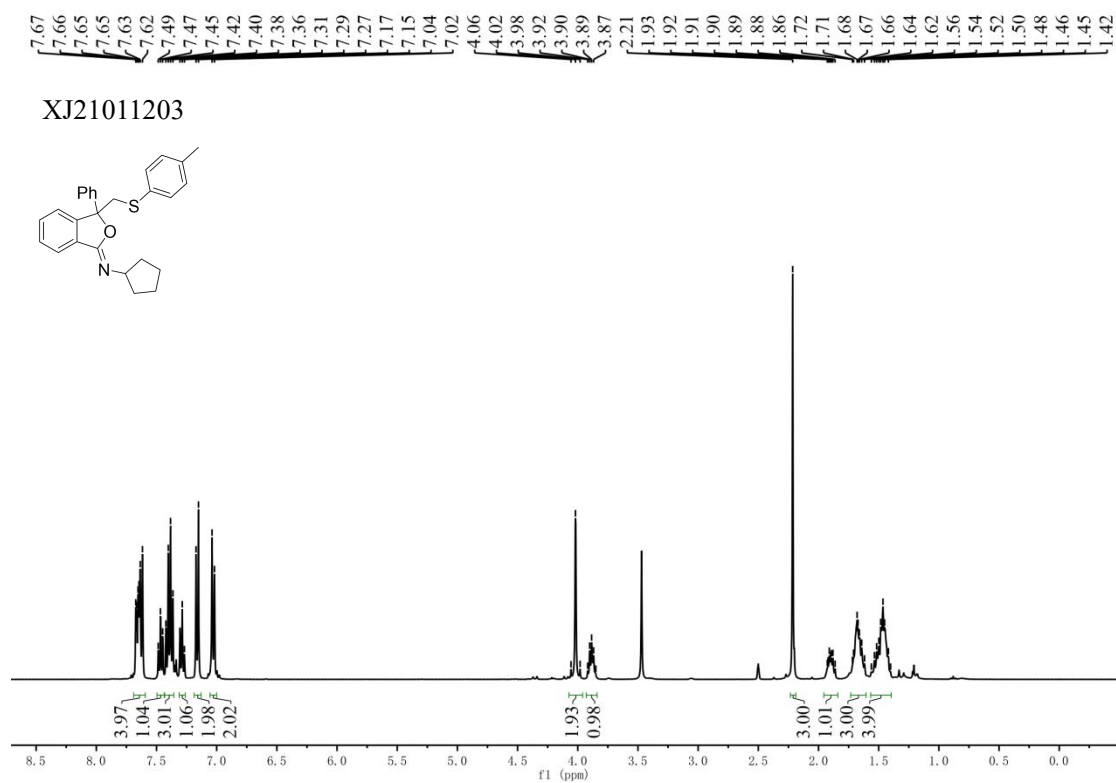
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **6j**



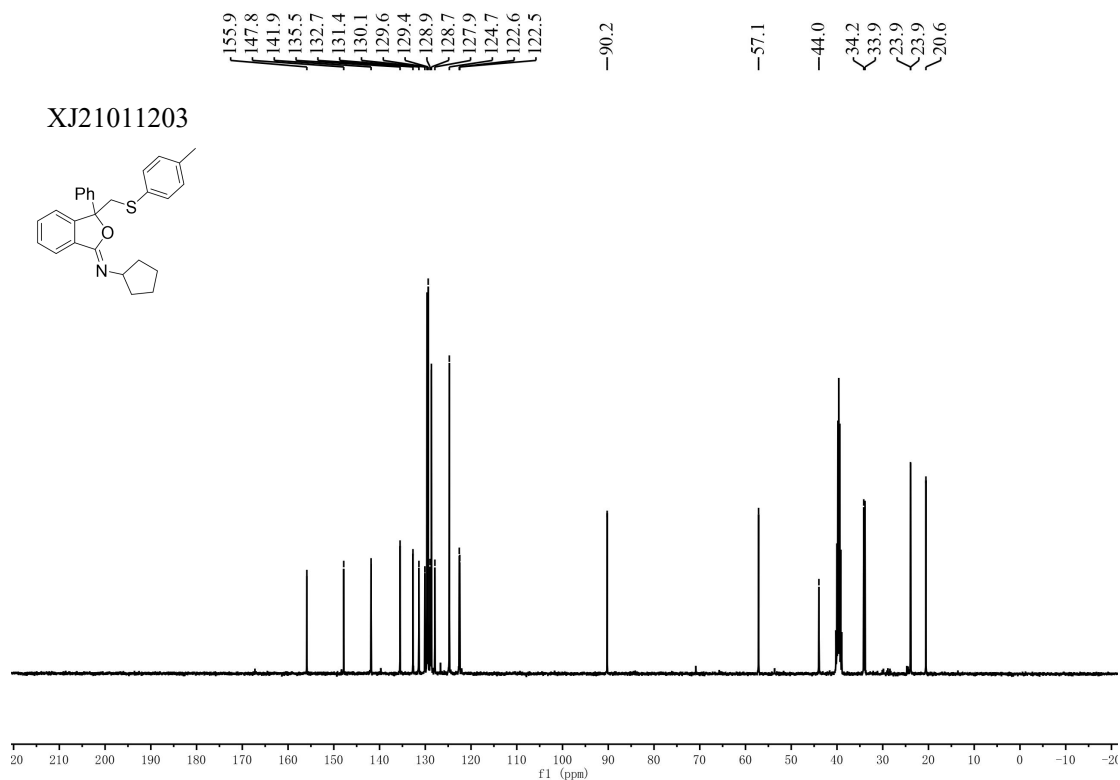
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8a**



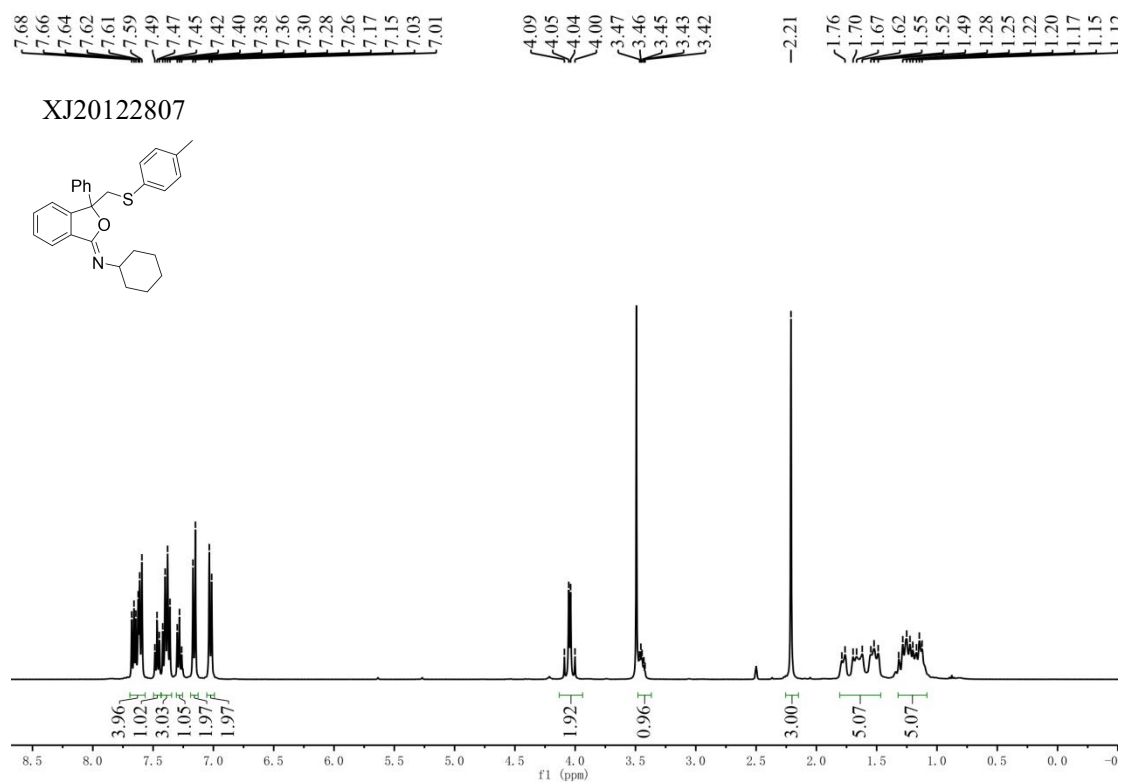
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8b**



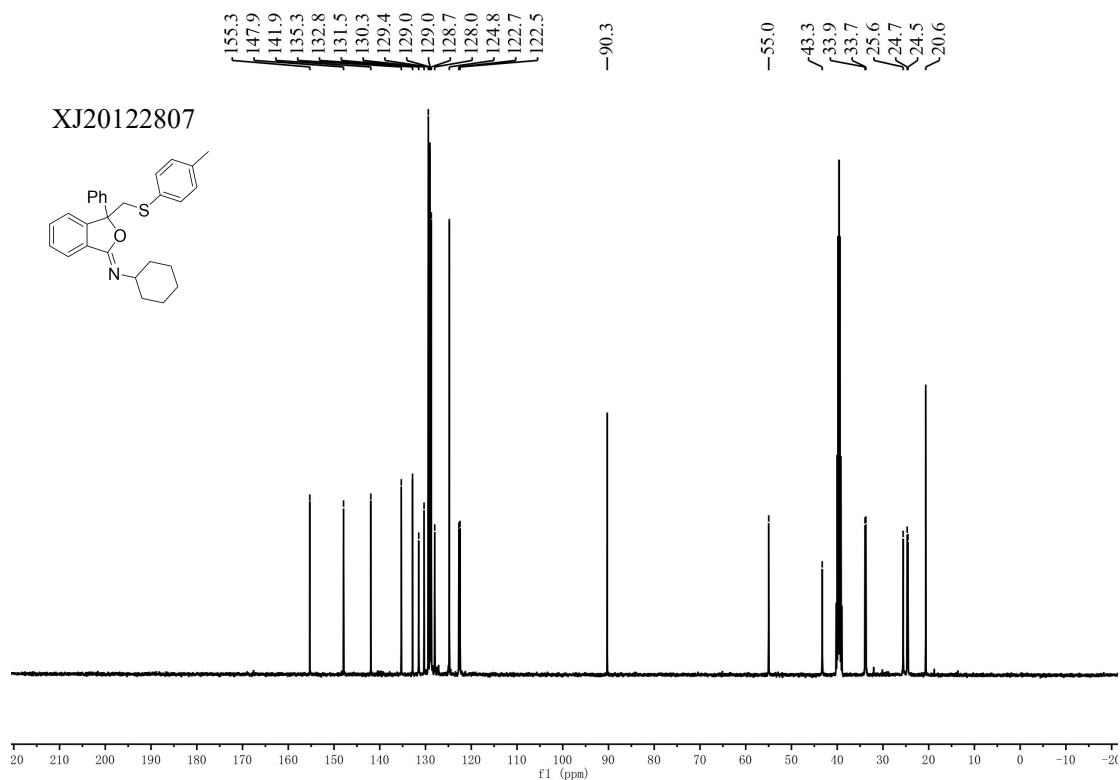
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8b**



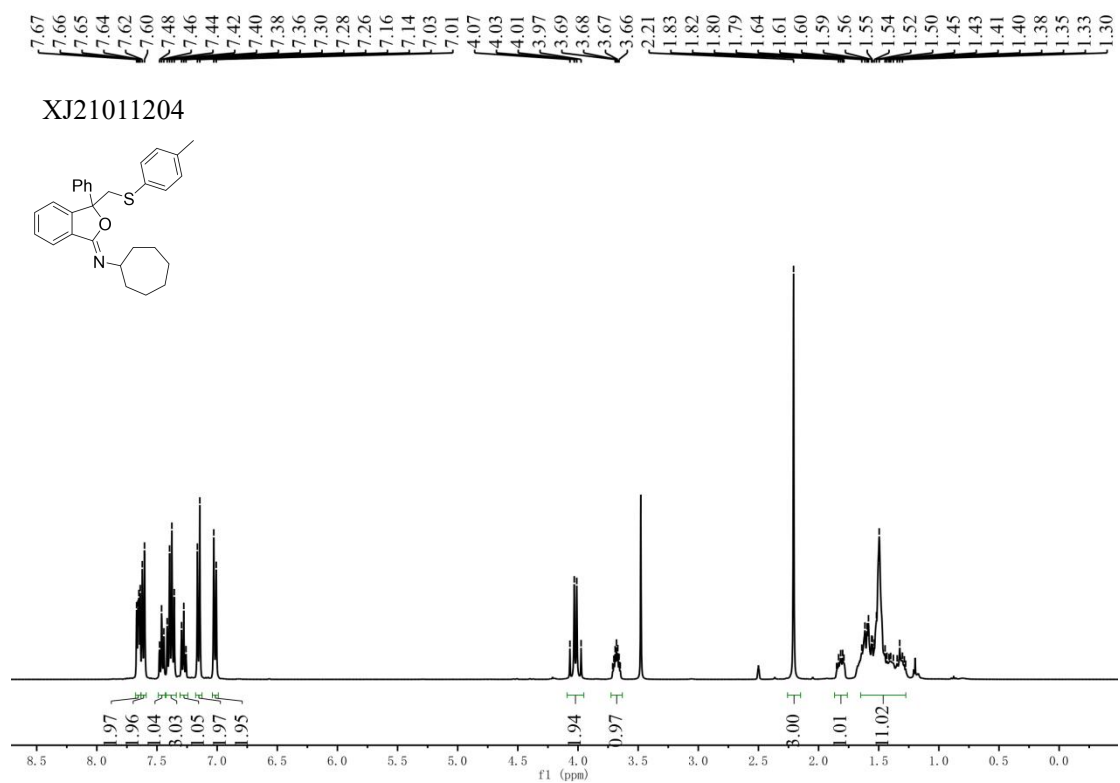
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8c**



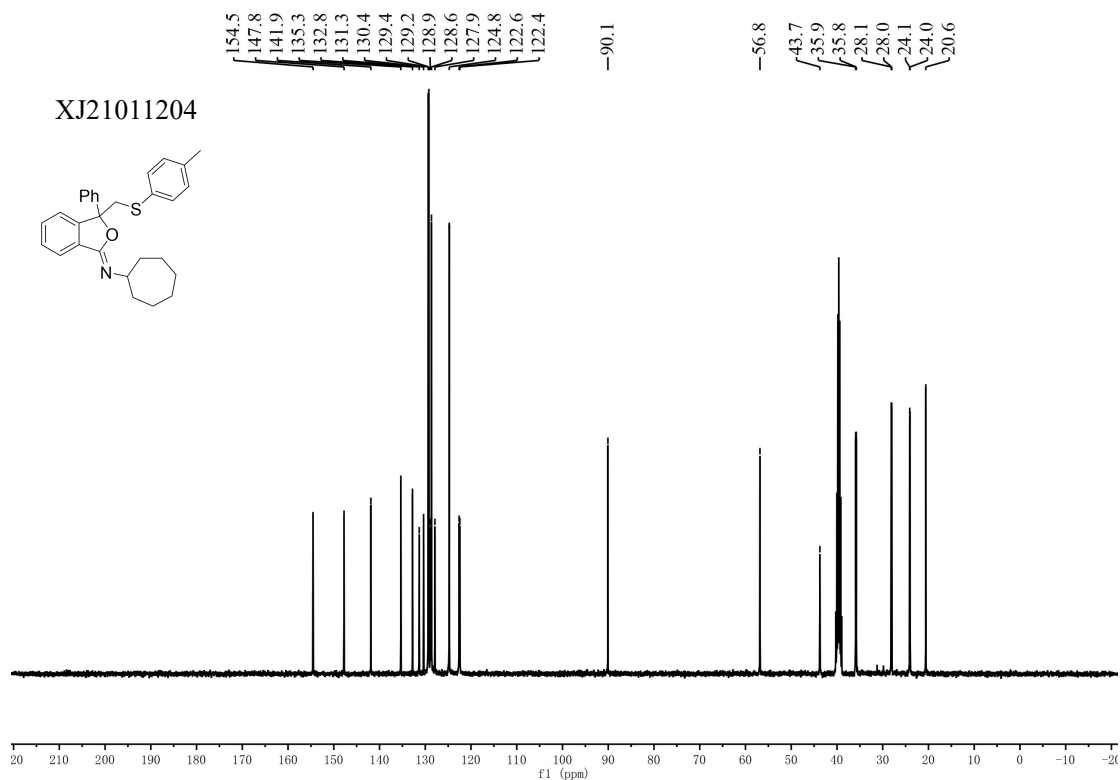
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8c**



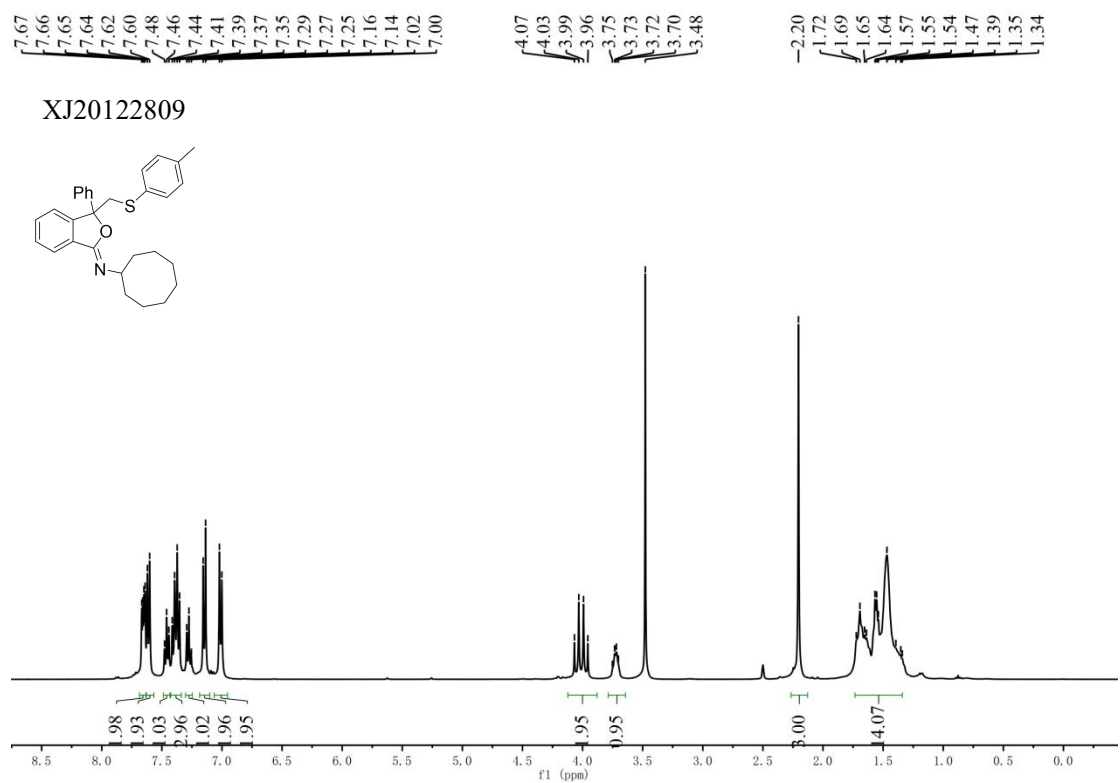
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8d**



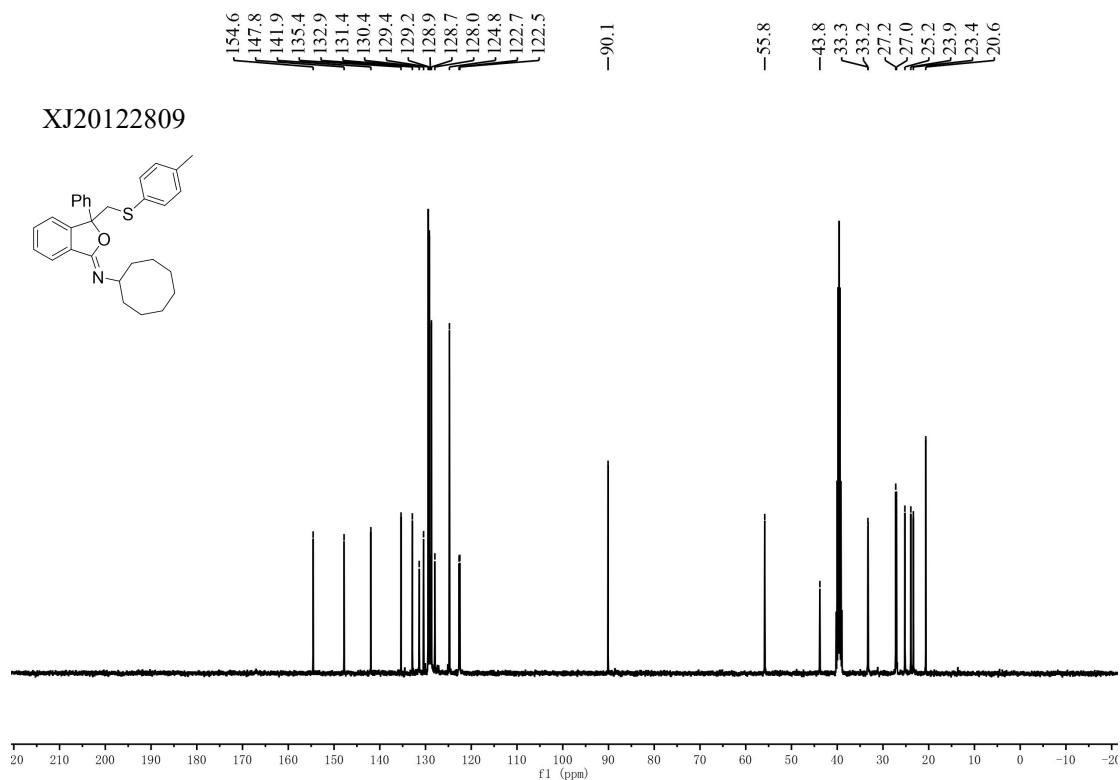
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **8d**



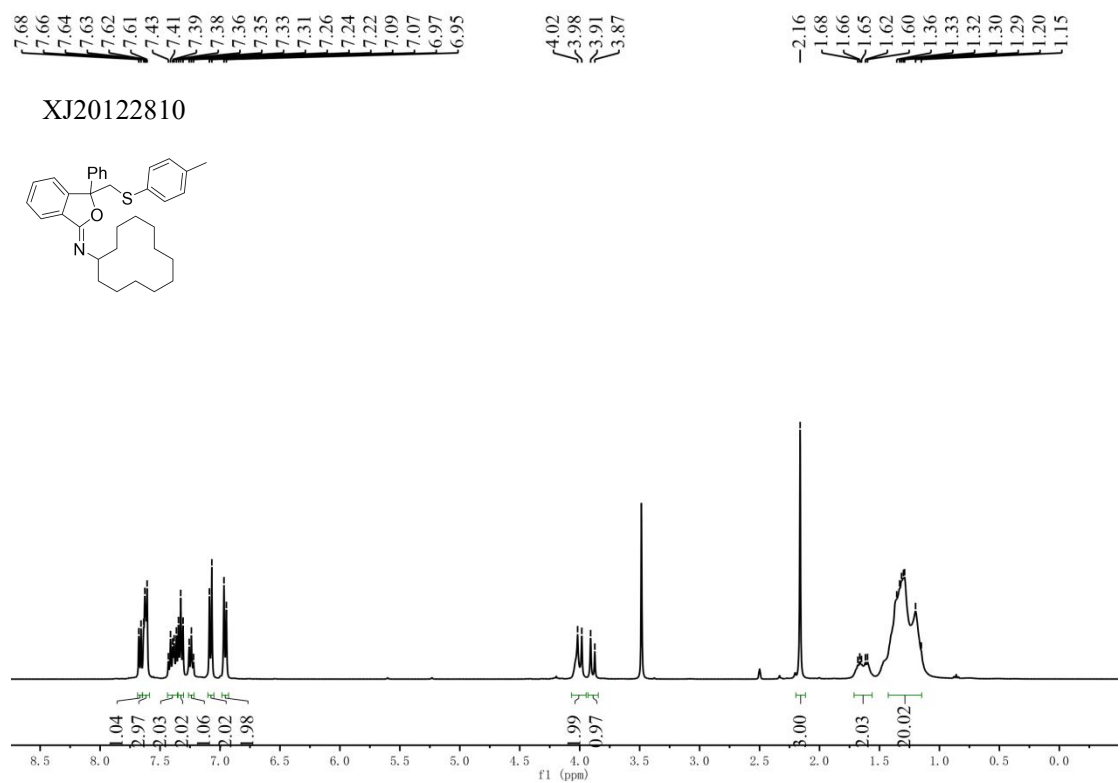
¹H NMR (400 MHz, DMSO-*d*₆) of compound **8e**



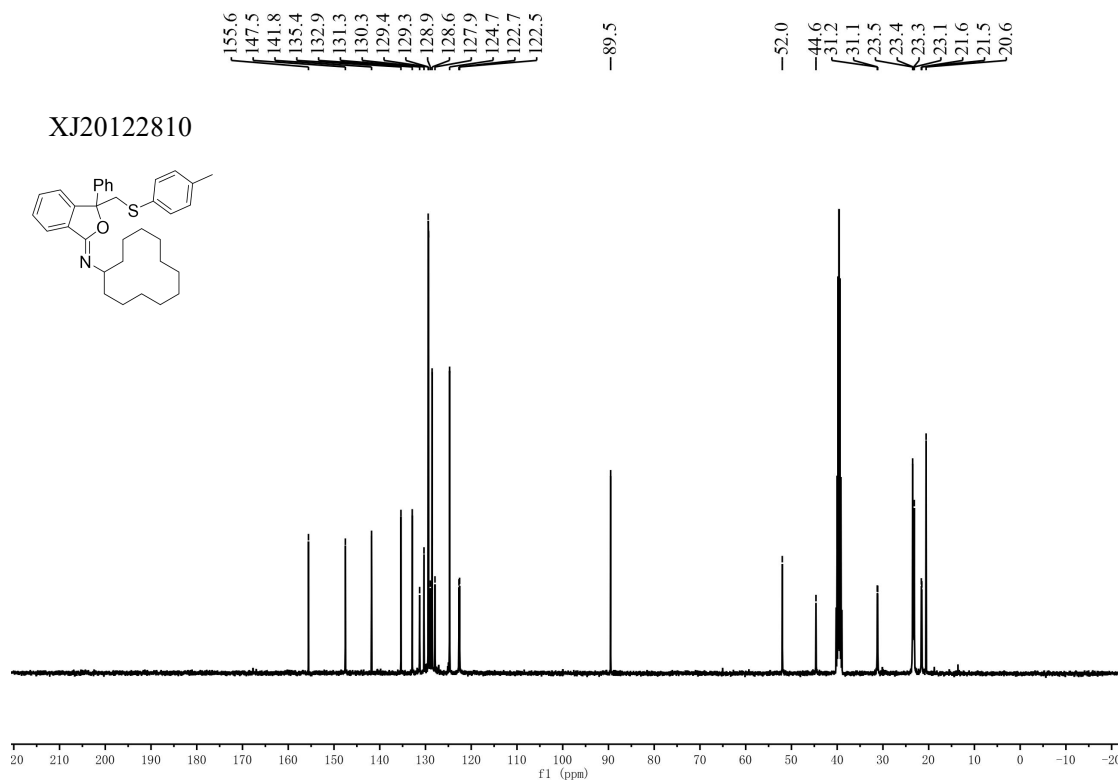
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8e**



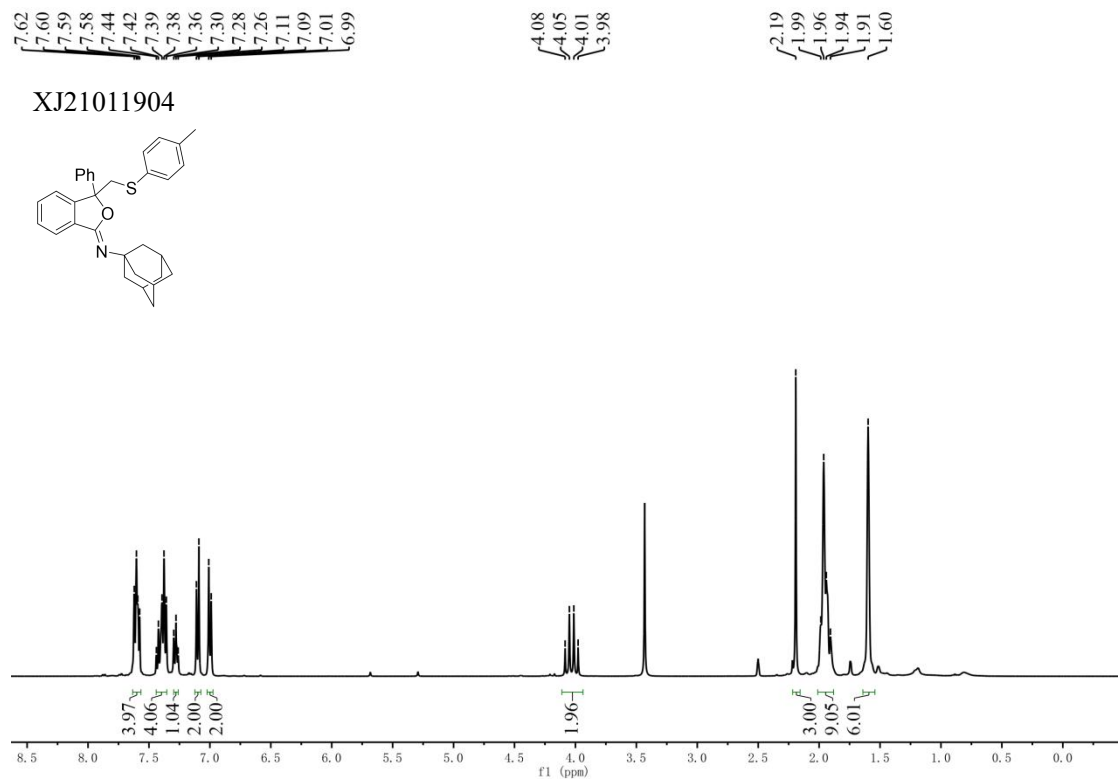
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8f**



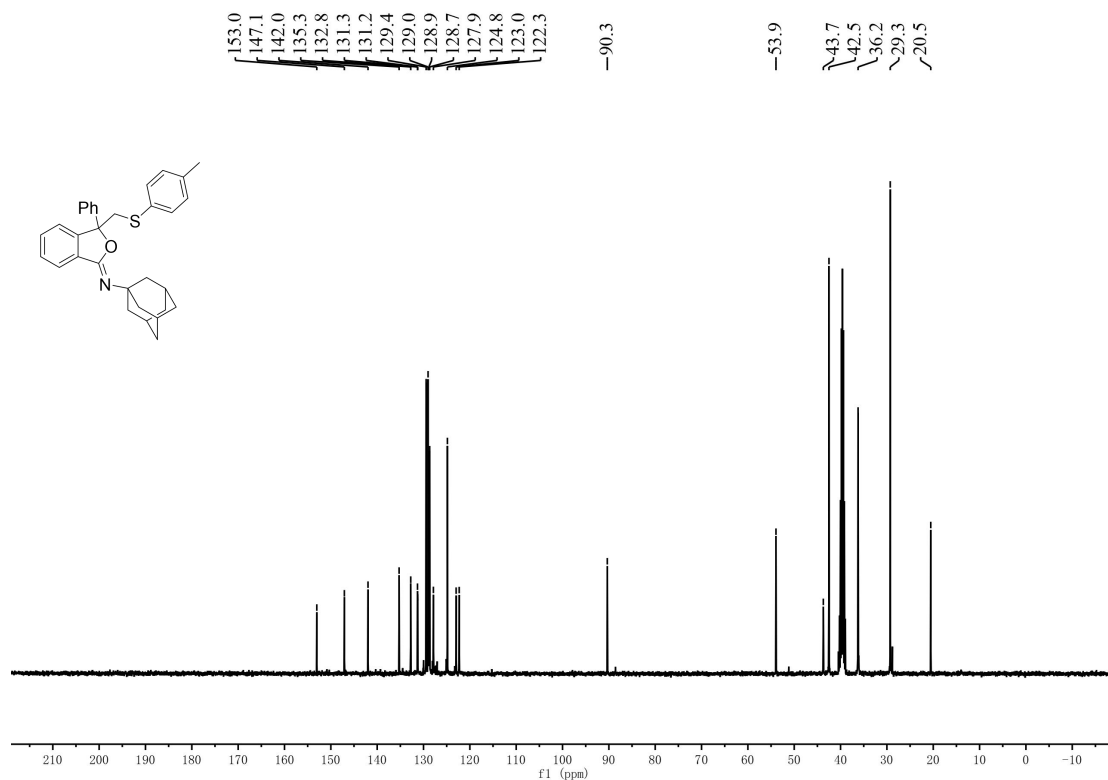
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8f**



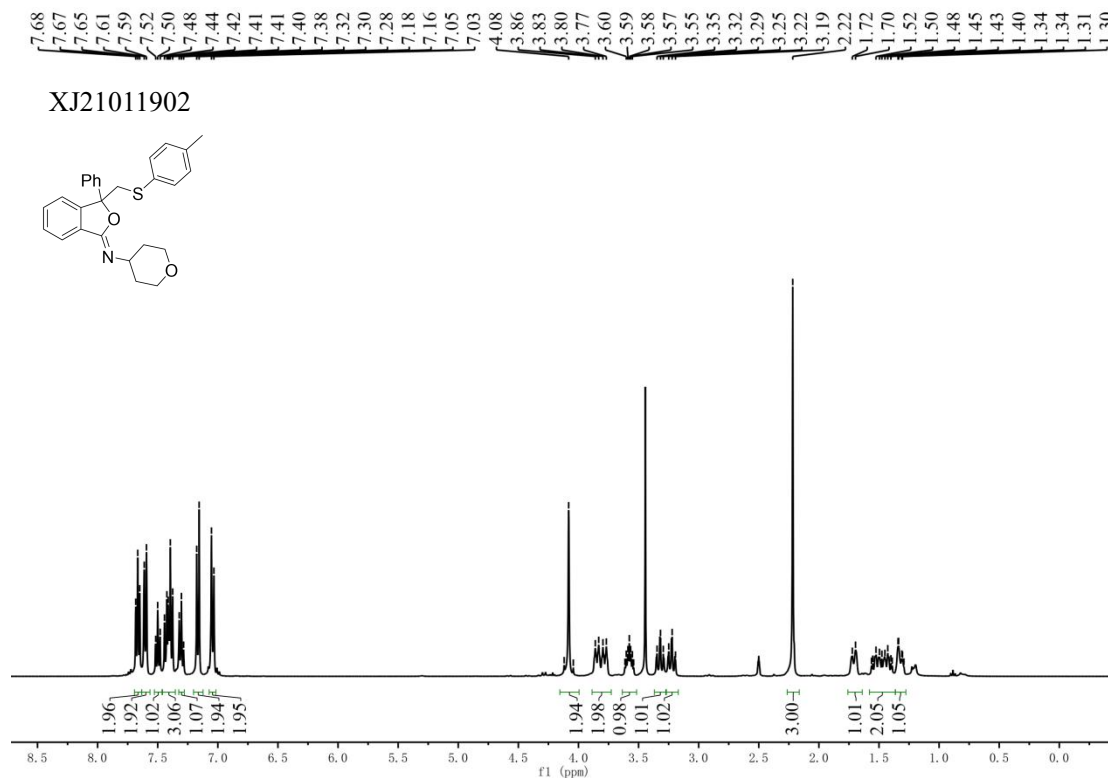
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8g**



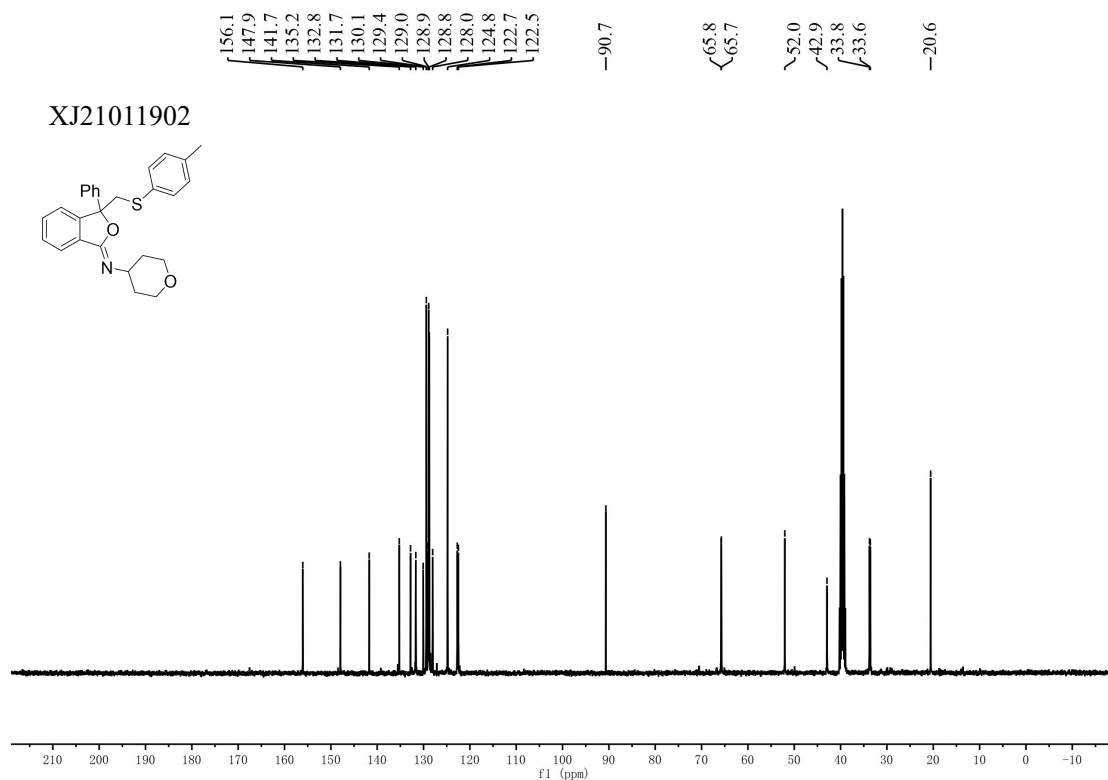
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8g**



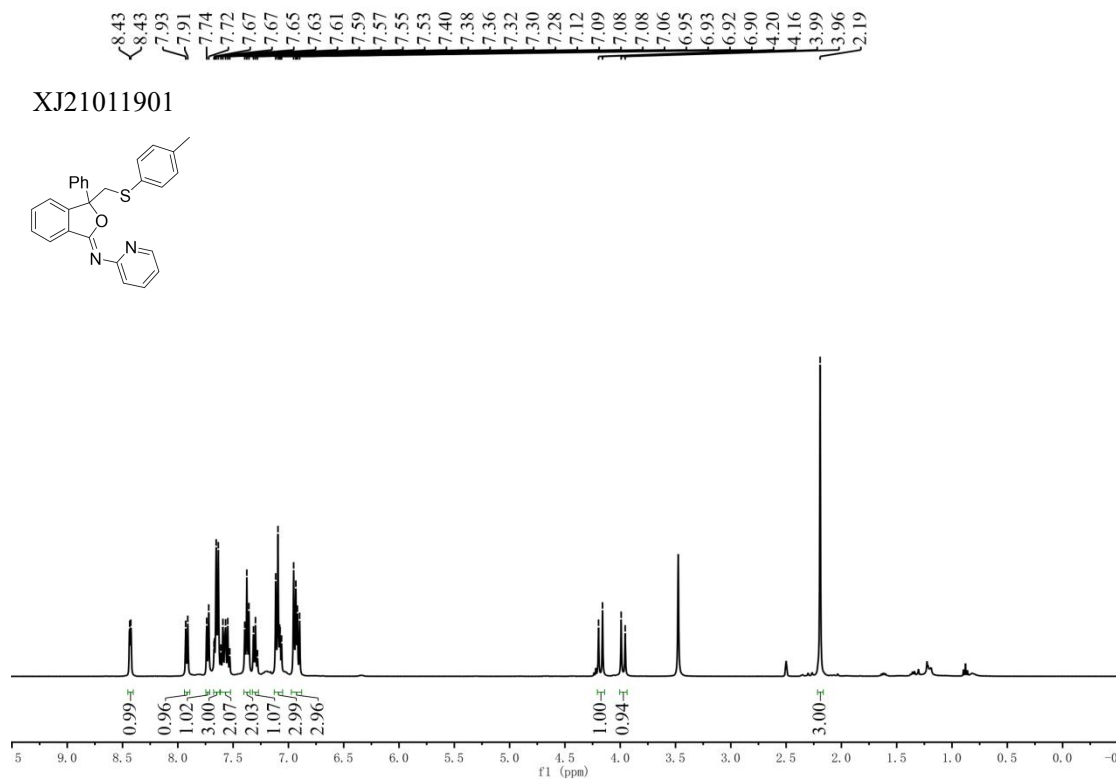
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8h**



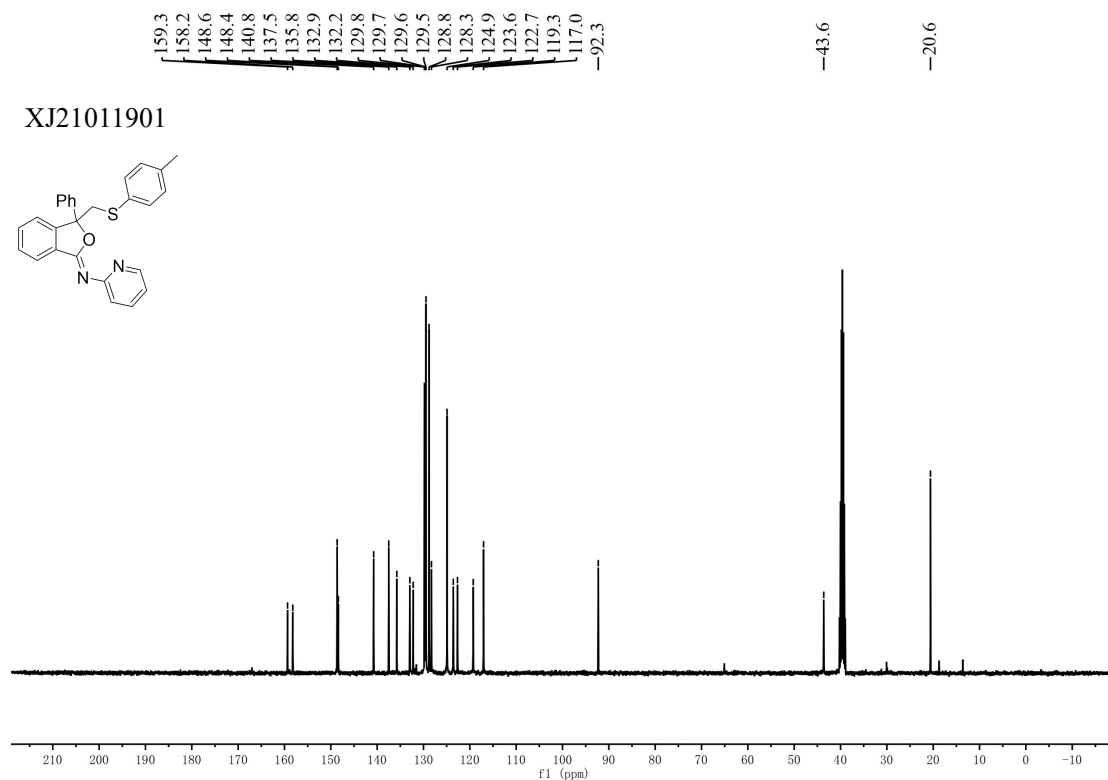
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8h**



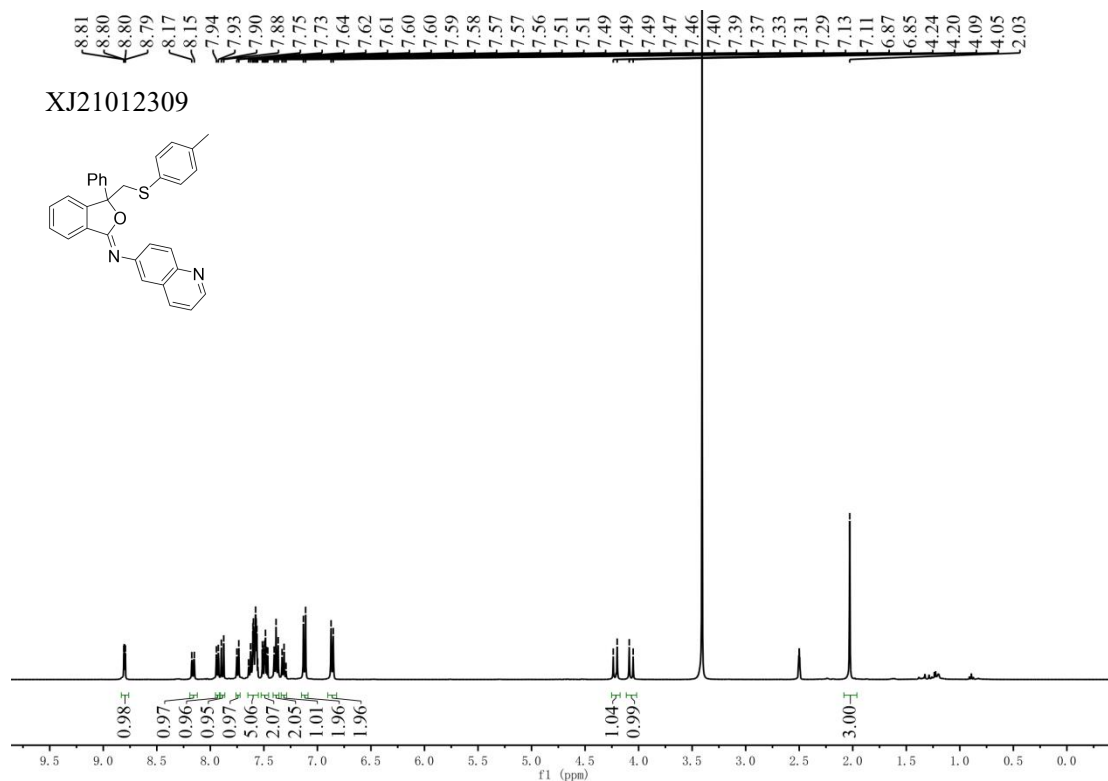
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8i**



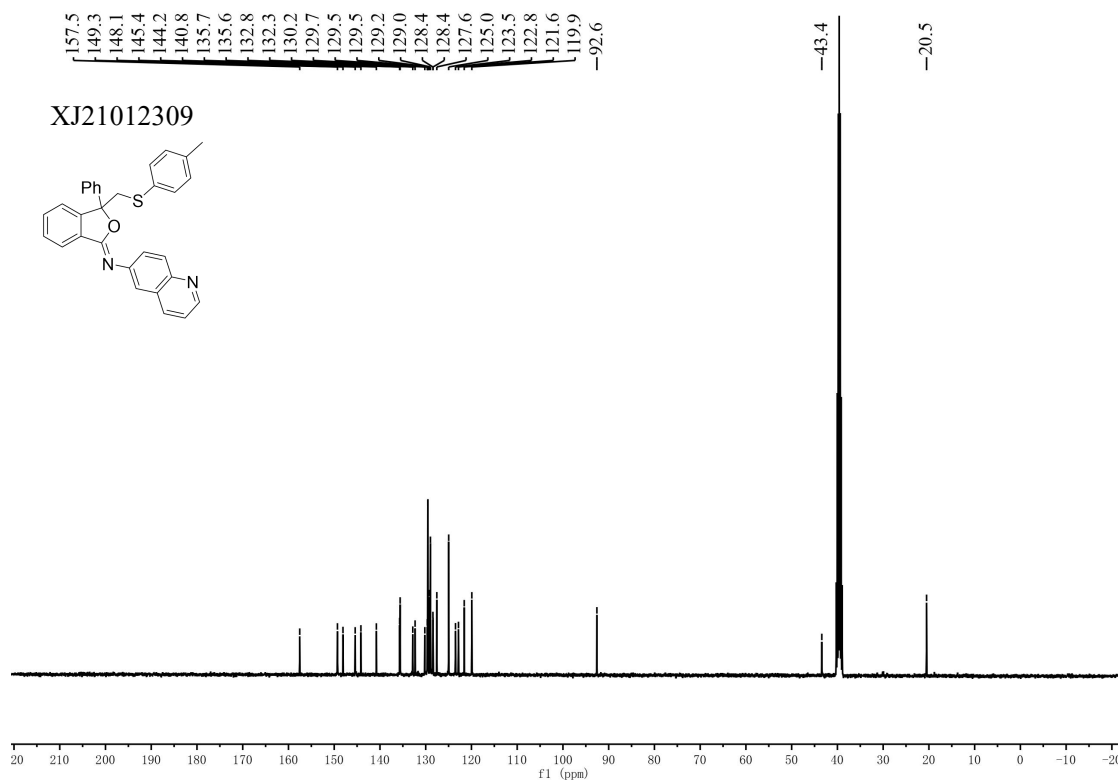
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8i**



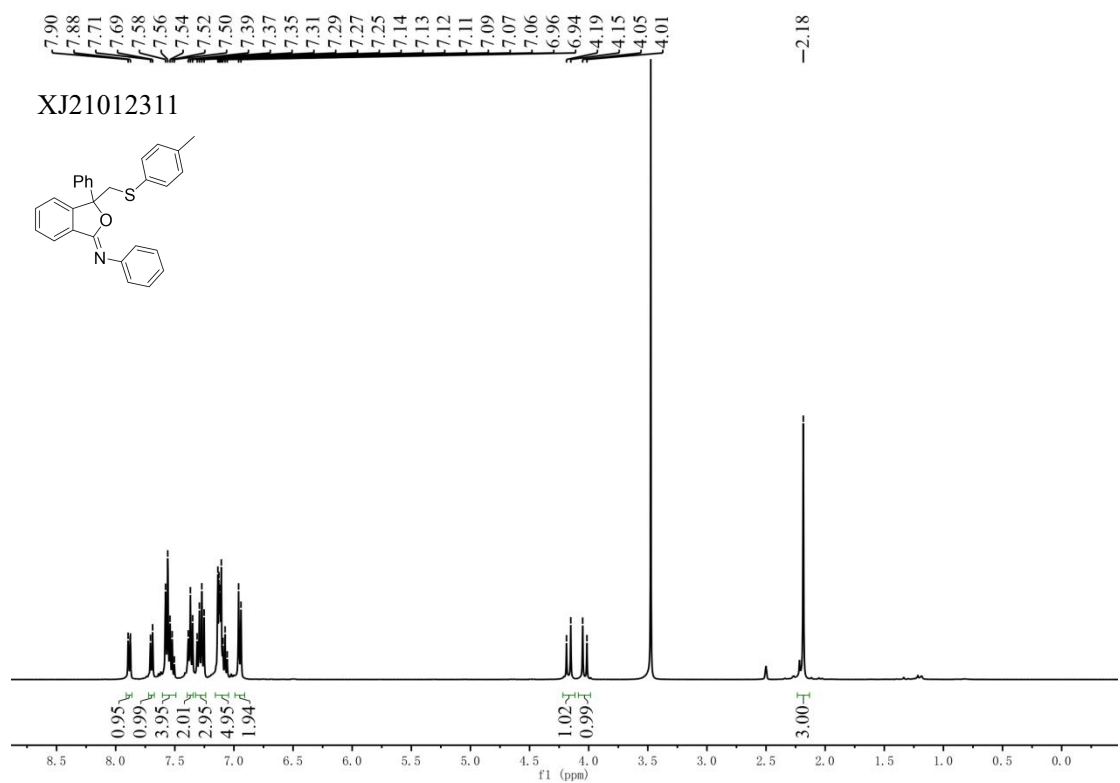
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8j**



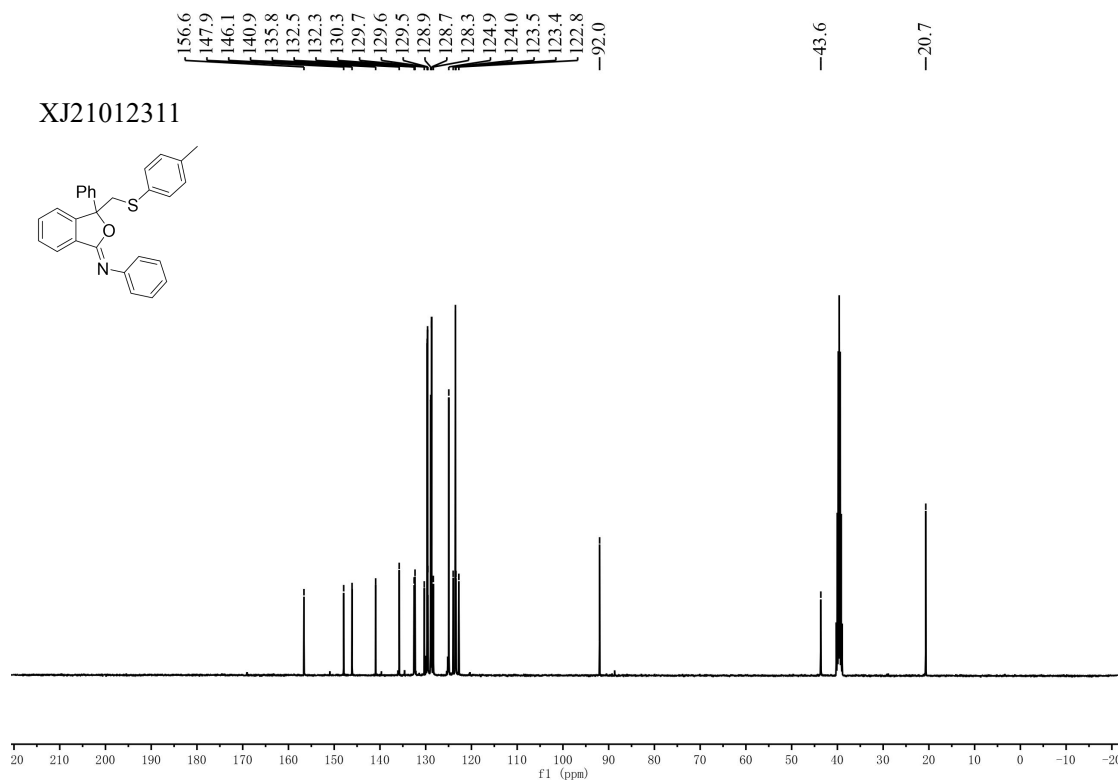
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8j**



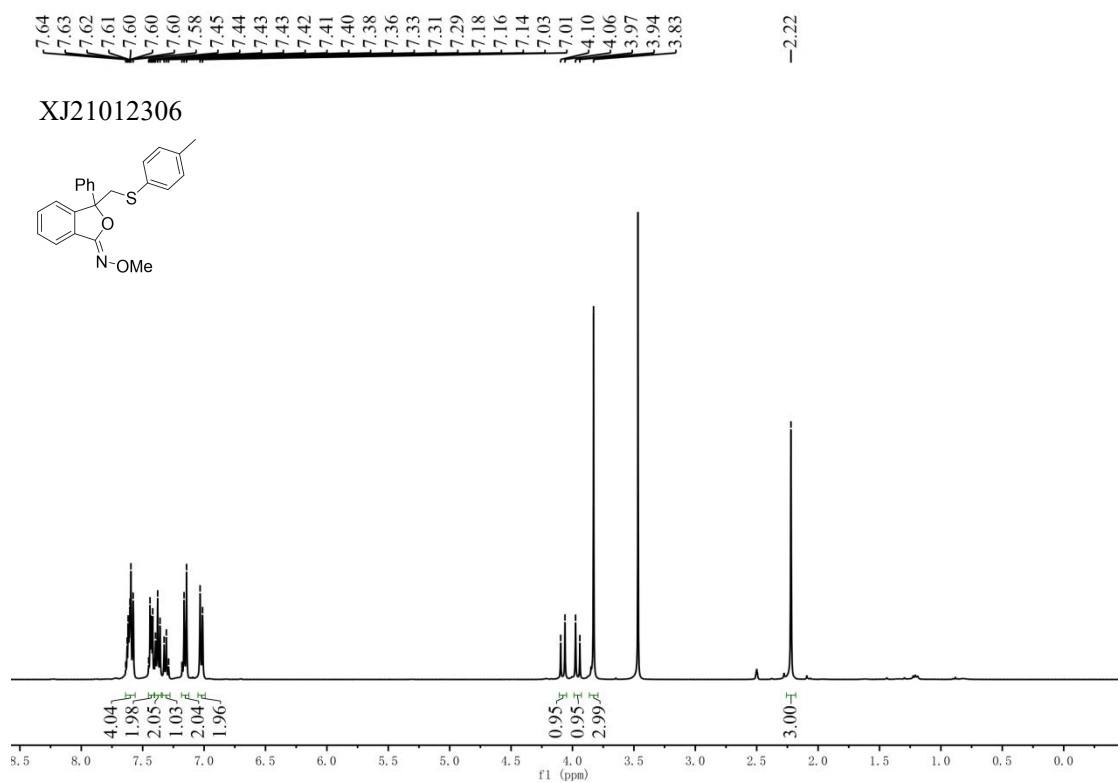
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8k**



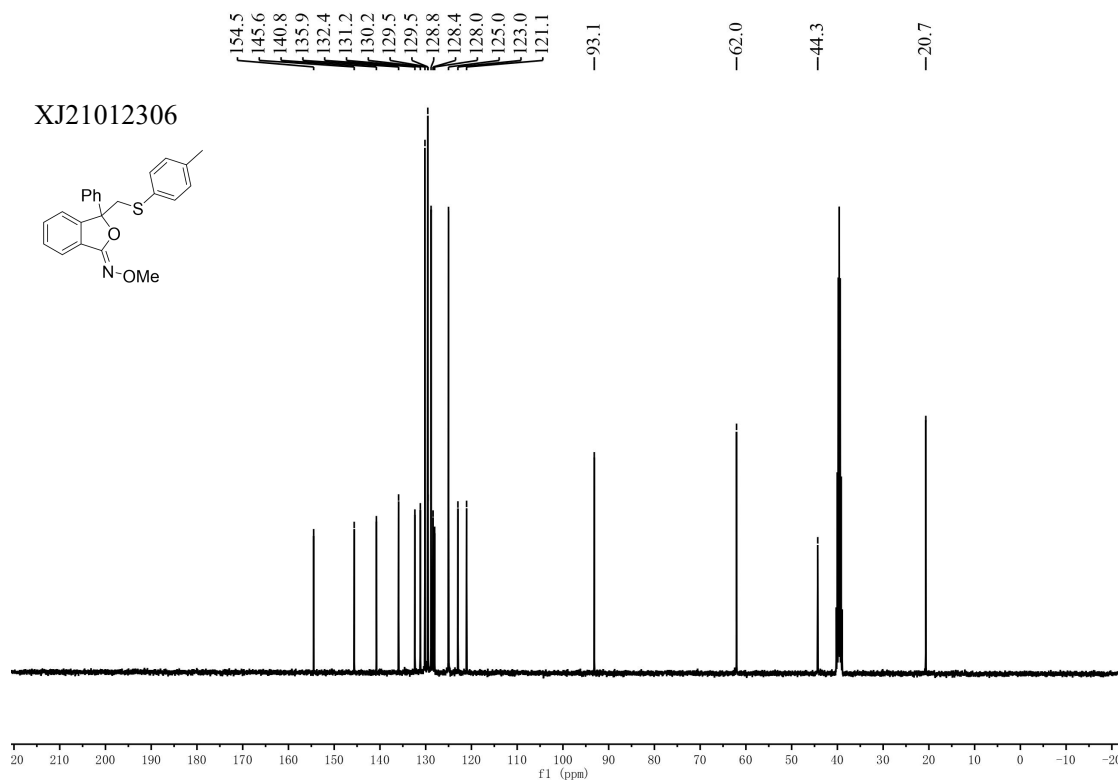
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8k**



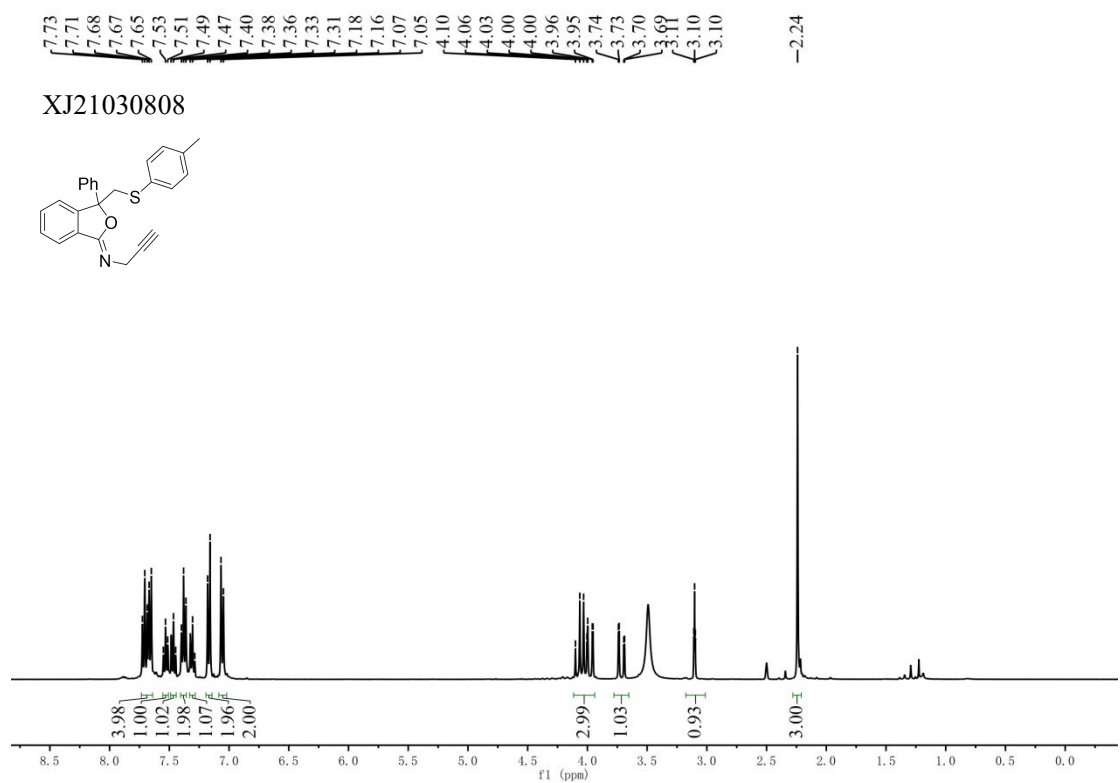
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8l**



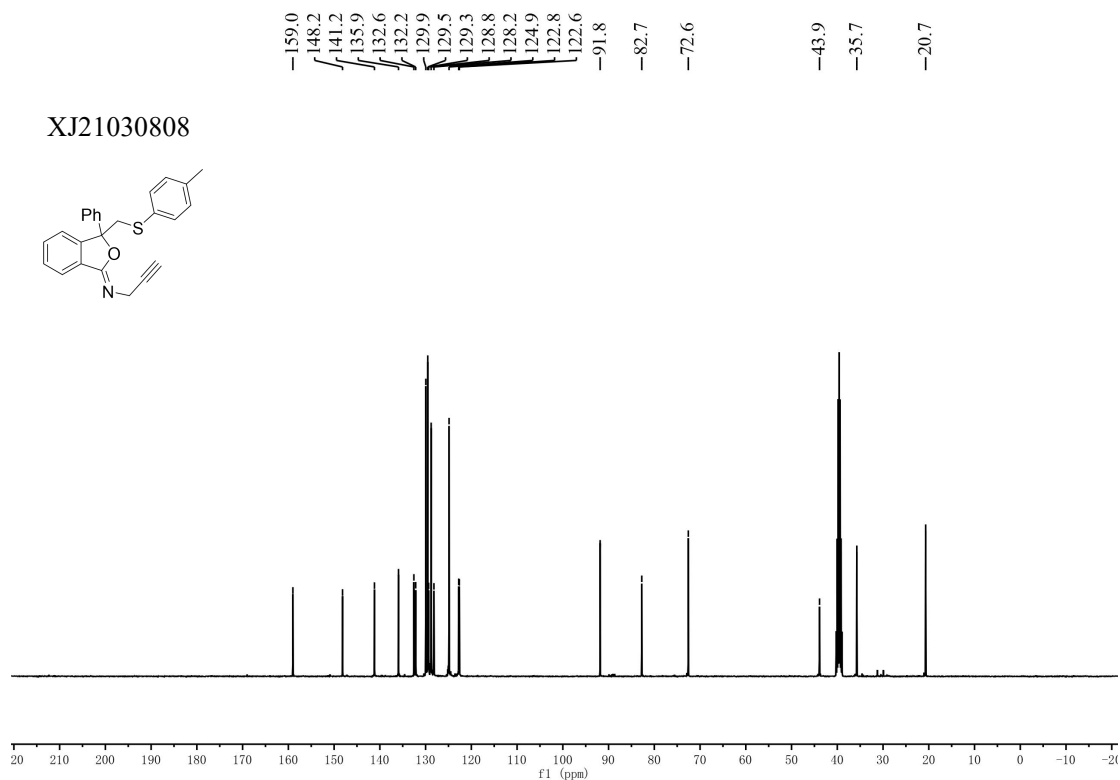
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8l**



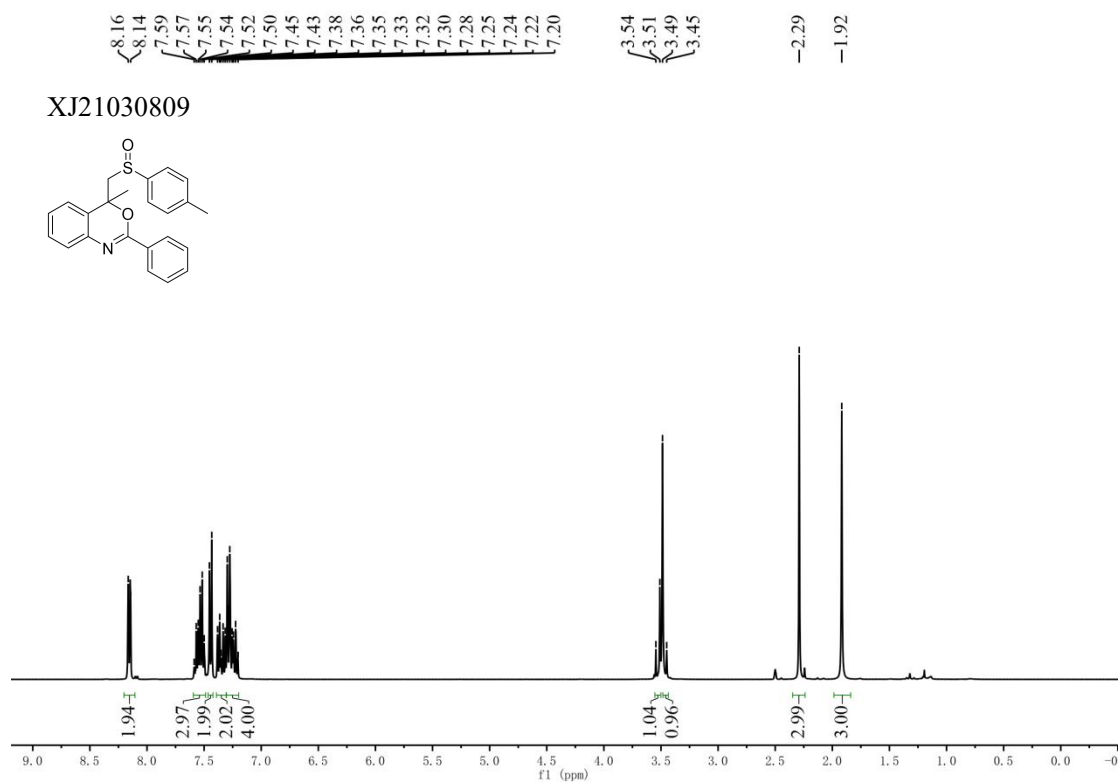
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **8m**



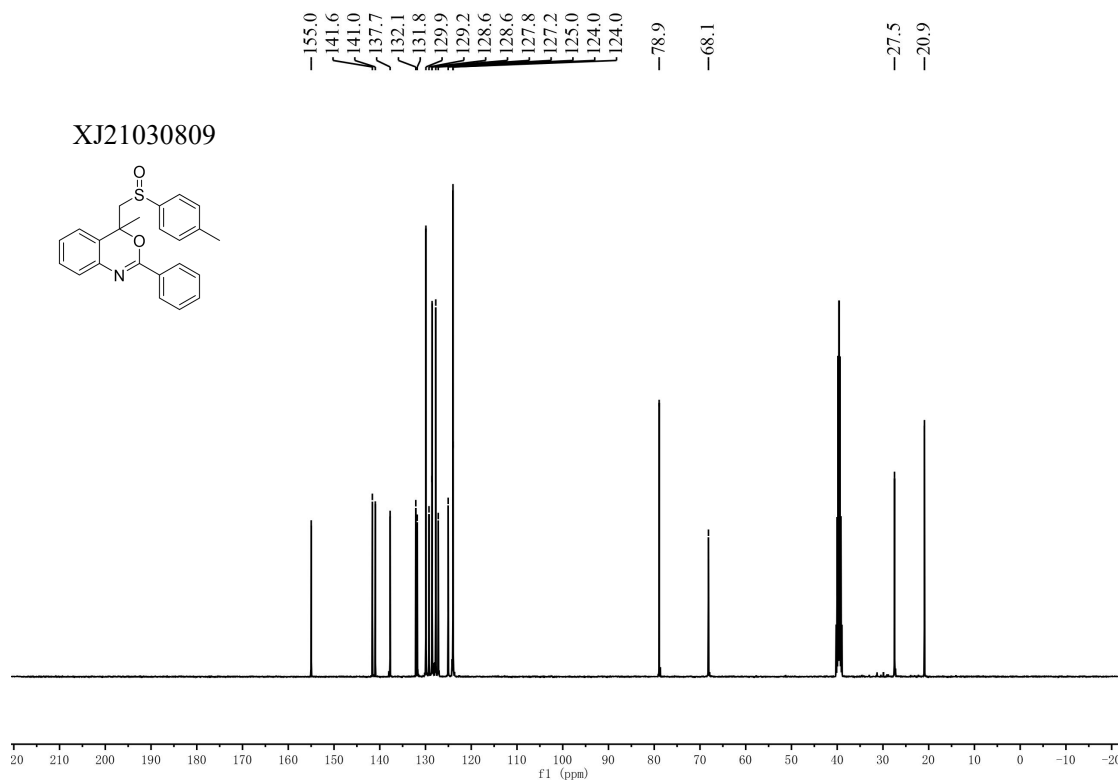
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **8m**



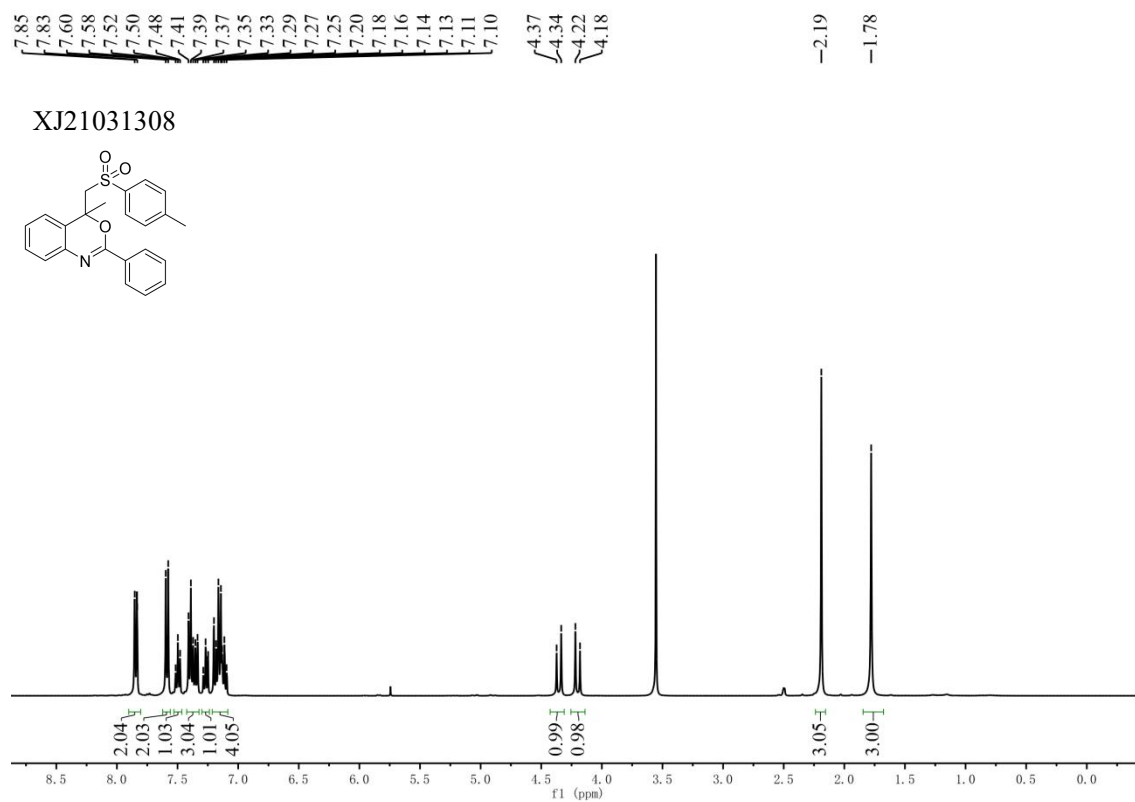
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **9a**



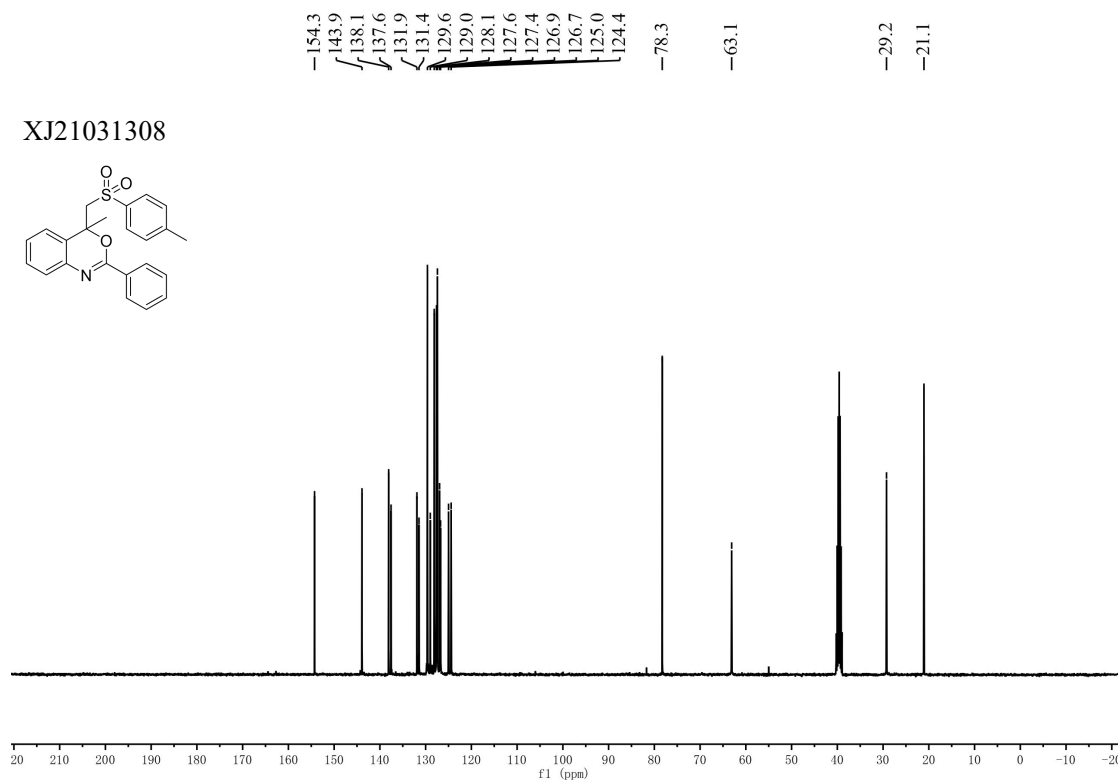
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **9a**



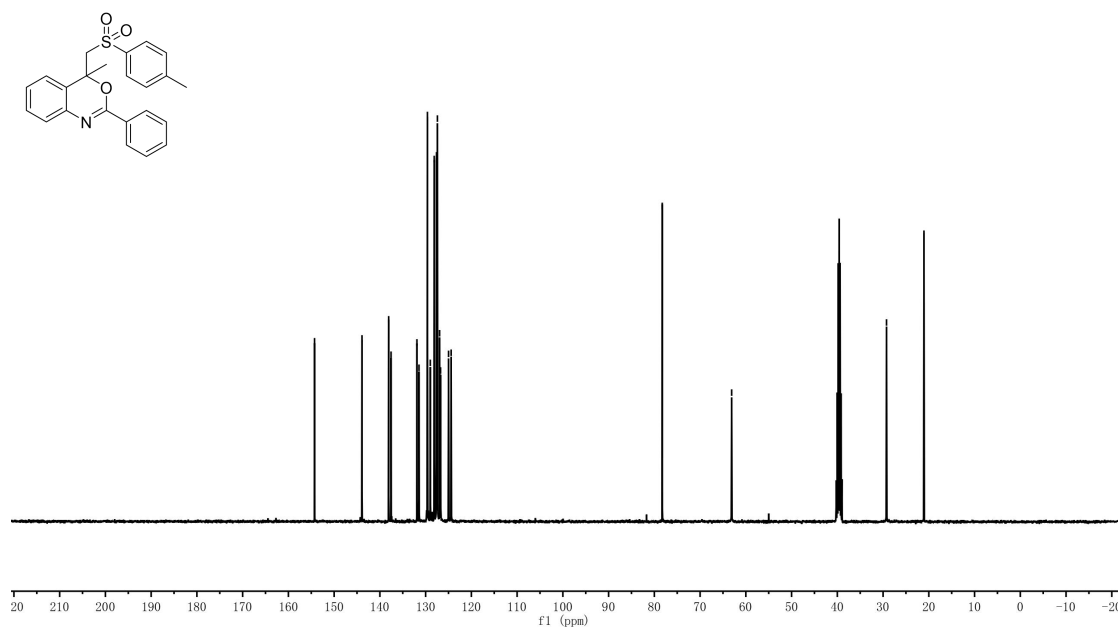
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **10a**



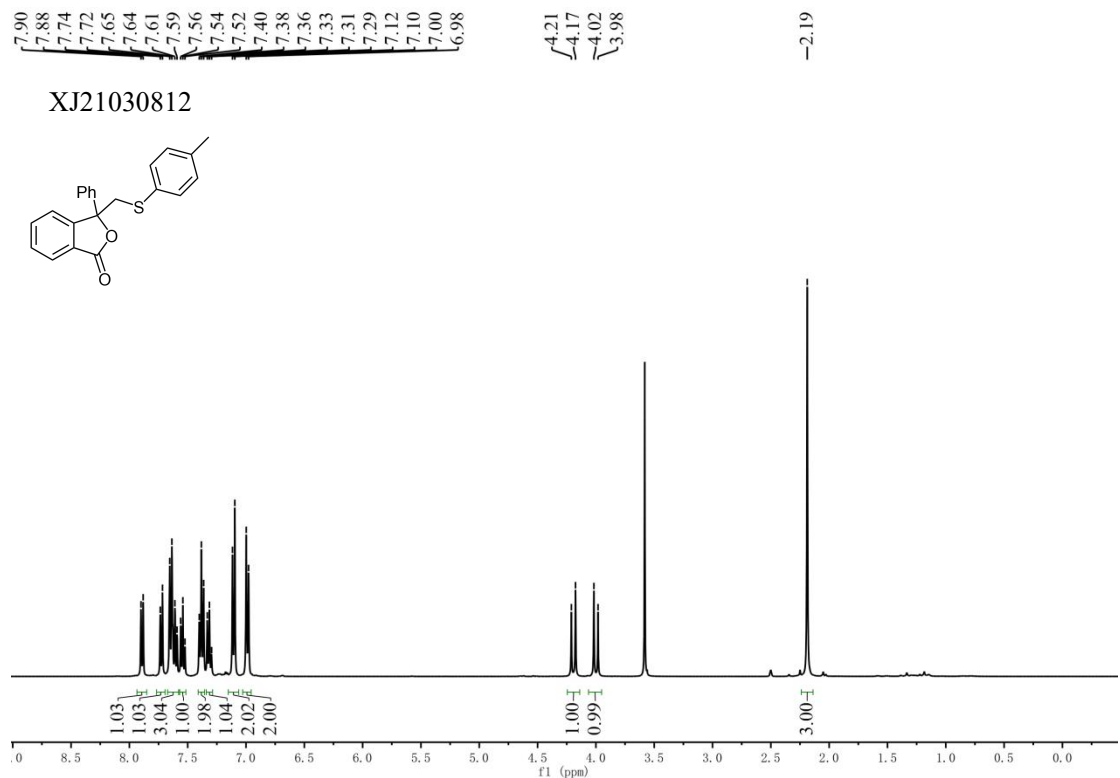
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **10a**



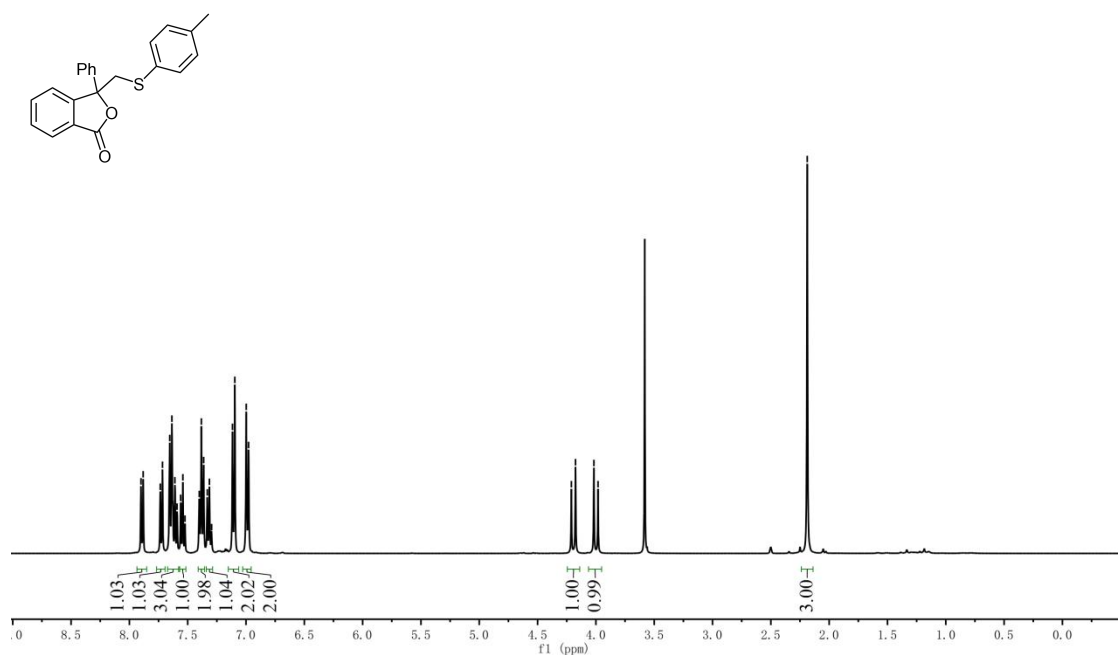
XJ21031308



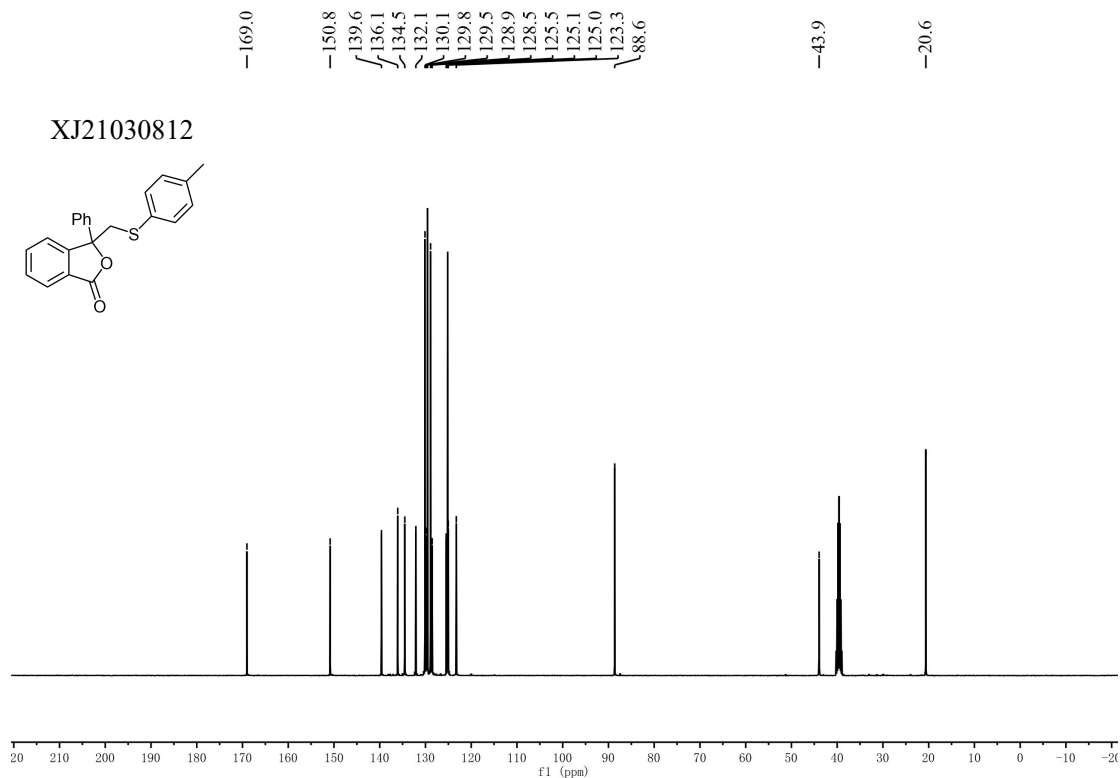
^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **11a**



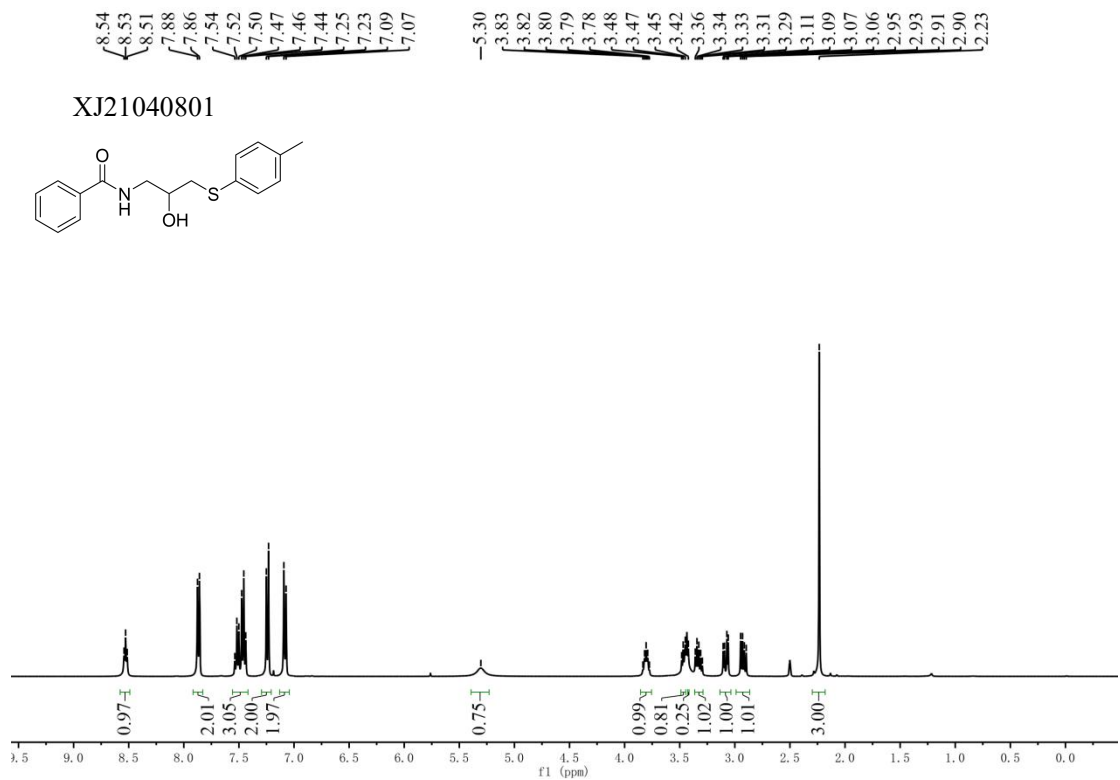
XJ21030812



^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **11a**



^1H NMR (400 MHz, $\text{DMSO-}d_6$) of compound **12a**



^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) of compound **12a**

