

Supporting Information

Light-induced controlled synthesis of arylsulfonyl oxindoles and arylsulfonyl amides under catalyst- and oxidant-free conditions

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List of Contents

1. General Information.....	S1
2. General procedure for the preparation of substrates.....	S2
3. Optimization of the reaction conditions.....	S3
4. General procedures for the synthesis of the products.....	S5
5. Mechanism experiment.....	S8
6. Fluorescence quenching experiments.....	S11
7. UV-vis absorbance experiments.....	S12
8. Light on and off experiments.....	S14
9. Characterization of products.....	S15
10. References.....	S40
11. NMR Spectra.....	S41

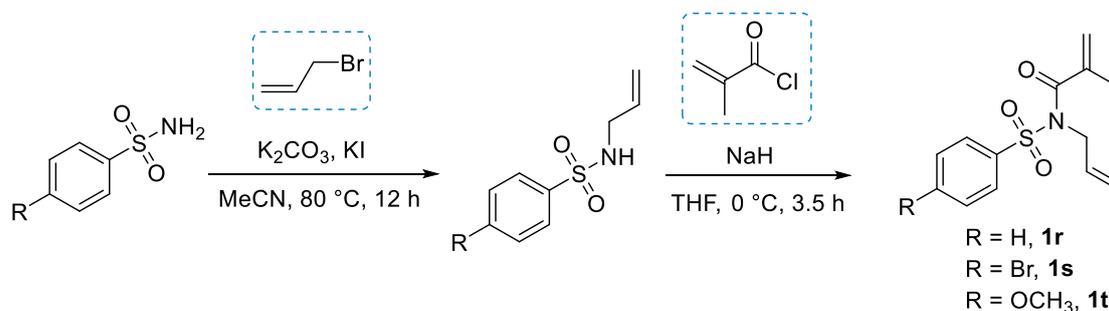
1. General Information

The reagents and solvents were purchased from commercial suppliers and used without further purification unless noted. All reactions were monitored by TLC with silica gel-coated plates. Product purification was accomplished by flash chromatography using 200-300 mesh silica gel. The ^1H NMR (400 MHz), ^{13}C NMR (101 MHz), and ^{19}F NMR (376 MHz or 377 MHz) spectra were recorded on a Varian spectrometer using CDCl_3 or $\text{DMSO-}d_6$ as the solvent. Measurements were done at ambient temperature. ^1H NMR chemical shifts are referenced to the residual hydrogen signals of the deuterated solvent (7.26 ppm for CDCl_3 or 2.50 ppm for $\text{DMSO-}d_6$). The ^{13}C NMR chemical shifts are referenced to the ^{13}C signals of the deuterated solvent (77.16 ppm for CDCl_3 or 39.50 ppm for $\text{DMSO-}d_6$). Abbreviations used in the description of NMR data are listed as follows: s = singlet, d = doublet, dd = doublet of doublet, t = triplet, m = multiplet. Mass spectra were measured with an HRMS-APCI instrument using ESI ionization. Melting points were measured by WRS-1C Melting Point Apparatus (Shanghai INESA Physico-Optical Instrument Co., Ltd.). UV-Vis absorption spectra were recorded on a Shimadzu UV-2550 spectrophotometer. Light-induced reactions were performed under 390 nm or 365 nm light irradiation using a 35 W LED lamp purchased from Xuzhou Ai Jia Electronic Technology Co., Ltd. (available on Taobao.com). The distance from the light source to the irradiation vessel was approximately 1.5 cm, and no filter was used in our study. A fan was employed to ensure that the reactions remained at or near room temperature when using the LEDs.

2. General procedure for the preparation of substrates

The starting compound **1** was synthesized according to previous corresponding reports.¹

Compounds **1r**, **1s**, and **1t** were synthesized following the procedure below.



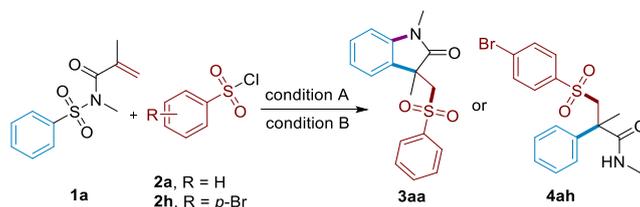
Procedure:

Add arylsulfonamide (20 mmol, 2.0 equiv.), KI (0.1 equiv.), and K₂CO₃ (2.0 equiv.) to a stirred solution of allyl bromide (10 mmol, 1.0 equiv.) in MeCN (20 mL) at room temperature. Then stir the resulting mixture at 80 °C for 8 h. The reaction was monitored by TLC. The crude reaction mixture was quenched with H₂O (20 mL) and extracted with DCM (3×20 mL). The extracts were combined, dried over sodium sulfate, filtered, and the volatiles were removed under reduced pressure. The product was purified by column chromatography on silica gel (200-300 mesh) using a petroleum ether/ethyl acetate mixture as the eluent to give the *N*-allyl arylsulfonamide.

Add *N*-allyl arylsulfonamide (4.0 mmol, 1.0 equiv.) to a solution of NaH (4.8 mmol) in dry THF (20.0 mL) at 0 °C and stir for 0.5 h. Subsequently, methacryloyl chloride (6.0 mmol, 1.5 equiv.) was slowly added dropwise into the reaction system. Stir at room temperature for 3 h. The reaction was monitored by TLC. The crude reaction mixture was quenched with H₂O (20 mL) and extracted with DCM (3×20 mL). The extracts were combined, dried over sodium sulfate, filtered, and the volatiles were removed under reduced pressure. The product was purified by column chromatography on silica gel (200-300 mesh) using a petroleum ether/ethyl acetate mixture as the eluent to give the products **1r**, **1s**, and **1t**.

3. Optimization of the reaction conditions

Table 1. Optimization of the reaction conditions^{a,b}



Entry	Changes from standard conditions	Yield ^c (%)
1	none ^a	3aa /90
2	MeCN, THF, toluene, DCM, DMF, PhCl, EtOH, DCE, EA, DMSO, TFE as solvent	3aa /53, 68, 14, 70, 15, 72, trace, 78, 81, trace, trace
3	DMAP, Py, Cs ₂ CO ₃ , Et ₃ N, NaHCO ₃ , DBU, DABSO, NaOH, K ₂ HPO ₄ as base	3aa /47, 70, 26, trace, 81, trace, trace, trace, 58
4	0.2 mmol or 0.3 mmol of 2a	3aa /79, 87
5	1.5 equiv. or 2.5 equiv. of Na ₂ CO ₃	3aa /67, 92
6	20 h, 28 h	3aa /76, 90
7	no base	3aa /34
8	no light	3aa /N.R.
9	none ^b	4ah /84
10	390 nm, 450 nm as light source	4ah /70, 43
11	MeCN, DCM, EA, NMP, DMF, toluene, MeOH, DCE, HFIP as solvent	4ah /20, 17, 26, 43, trace, trace, trace, 25, trace
12	0.15 mmol or 0.2 mmol of 2h	4ah /70, 80
13	0.1 equiv. or 0.5 equiv. of succinimide	4ah /76, 84
14	without succinimide	4ah /72
15	phthalimide or <i>p</i> -toluenethiol instead of succinimide	4ah /60, 51
16	2 mL THF instead of succinimide	4ah /63
17	12 h, 20 h	4ah /56, 84
18	no light	4ah /N.R.

^a Reaction condition A: **1a** (0.1 mmol), **2a** (0.25 mmol), Na₂CO₃ (2.0 equiv.), and dioxane (1 mL), room temperature under N₂ atmosphere, irradiation with 390 nm LEDs for 24 h. ^b Reaction condition B: **1a** (0.1 mmol), **2h** (0.175 mmol), succinimide (0.25 equiv.) and THF (1 mL), room temperature under N₂ atmosphere, irradiation with 365 nm LEDs for 16 h. ^c Isolated yields based on **1a**.

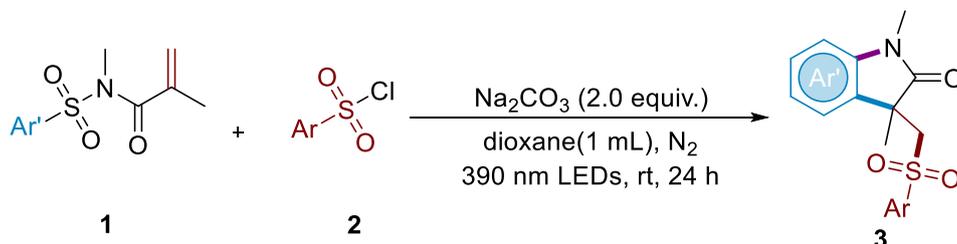
Initially, *N*-methyl-*N*-(benzenesulfonyl)methacrylamide **1a** and readily available benzenesulfonyl chloride **2a** were used as model substrates to explore the reaction conditions. The results are summarized in Table 1. The reactions were conducted under irradiation with 35 W 390 nm LEDs under a nitrogen atmosphere at room temperature for 24 h. The target product **3aa** was efficiently obtained in 90% yield in dioxane (entry 1). Solvent screening showed that various solvents, including MeCN, THF, toluene, DCM, DMF, PhCl, EtOH, DCE, EA, DMSO, and TFE, could be employed for this

reaction, with product yields ranging from 14% to 81% (entry 2). However, none were as effective as dioxane, which afforded the highest yield. Subsequently, a brief screening of different bases (DMAP, Py, Cs₂CO₃, Et₃N, NaHCO₃, DBU, DABSO, NaOH, and K₂HPO₄) indicated Na₂CO₃ to be the most effective (entry 3). We then screened the amount of **2a**, the quantity of Na₂CO₃, and the reaction time (entries 4-6). The necessity of both the base and light irradiation for this transformation was demonstrated by control experiments (entries 7 and 8).

Further, inspired by the above research process, we start our study with *N*-methyl-*N*-(benzenesulfonyl)methacrylamide **1a** and 4-bromobenzenesulfonyl chloride **2h**. After detailed optimization of conditions, the target amide product **4ah** was obtained in 84% yield. The optimal conditions employed THF as solvent, a nitrogen atmosphere, room temperature, and irradiation with 365 nm LEDs (35 W). We screened other wavelengths (390 nm and 450 nm), but the yields were lower than with 365 nm irradiation (entry 10). In addition, the yield was significantly lower in other solvents than THF, including MeCN (20%), DCM (17%), EA (26%), NMP (43%), DMF (trace), toluene (trace), MeOH (trace), DCE (25%), and HFIP (trace) (entry 11). We then screened the amount of **2h** and the quantity of succinimide. (entries 12 and 13). A control experiment was then conducted by removing the hydrogen source, succinimide, which revealed a decrease in the **4ah** yield (entry 14). Considering the importance of the hydrogen source in this reaction, we subsequently attempted to further enhance the yield by increasing the solvent volume and adding either phthalimide or *p*-toluenethiol (entries 15 and 16). Ultimately, neither approach improved the yield. Additionally, we optimized the reaction time and found that the starting material was completely consumed after 16 h (entry 17). The control experiment confirms that this transition is dependent on light irradiation (entry 18).

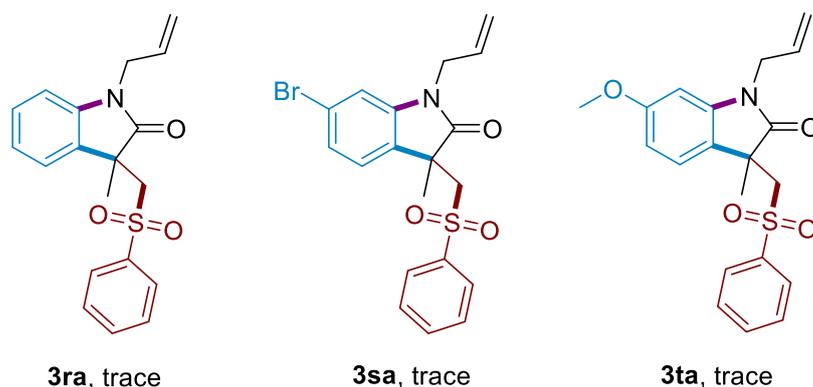
4. General procedures for the synthesis of the products

4.1 General procedure A: for the synthesis of oxindoles

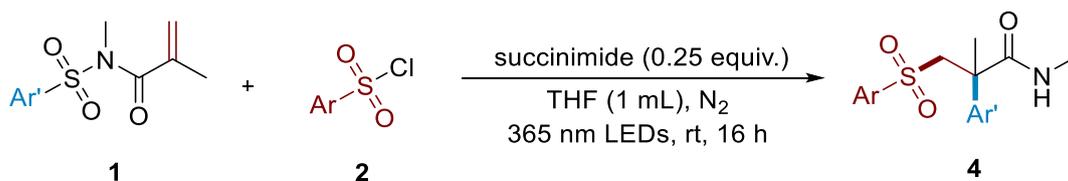


An oven-dried 25 mL reaction vial was charged with **1** (0.1 mmol, 1 equiv.), **2** (0.25 mmol, 2.5 equiv.), Na_2CO_3 (0.2 mmol, 2.0 equiv.), dioxane (1 mL), and a stir bar. The atmosphere was exchanged by applying a vacuum and backfilling with N_2 (this process was conducted three times). The reaction mixture was stirred at room temperature under the irradiation of 35 W, 390 nm LEDs for 24 h. The reaction was monitored by TLC. The crude reaction mixture was quenched with H_2O (5 mL) and extracted with EtOAc (3×5 mL). The extracts were combined, dried over sodium sulfate, filtered, and the volatiles were removed under reduced pressure. The product was purified by column chromatography on silica gel (200-300 mesh) using a petroleum ether/ethyl acetate mixture as the eluent to give product **3**.

Other unsuccessful substrates:



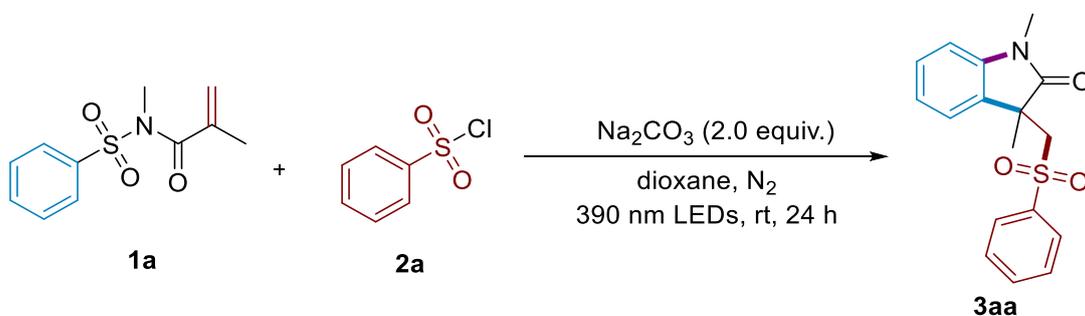
4.2 General procedure B: for the synthesis of amides



An oven-dried 25 mL reaction vial was charged with **1** (0.1 mmol, 1 equiv.), **2** (0.175 mmol, 1.75 equiv.), succinimide (0.025 mmol, 0.25 equiv.), THF (1 mL), and a stir bar. The atmosphere was exchanged by applying a vacuum and backfilling with N₂ (this process was conducted three times). The reaction mixture was stirred at room temperature under the irradiation of 35 W, 365 nm LEDs for 16 h. The reaction was monitored by TLC. The crude reaction mixture was quenched with H₂O (5 mL) and extracted with EtOAc (3×5 mL). The extracts were combined, dried over sodium sulfate, filtered, and the volatiles were removed under reduced pressure. The product was purified by column chromatography on silica gel (200-300 mesh) using a petroleum ether/ethyl acetate mixture as the eluent to give product **4**.

4.3 General procedure C: for the scale-up reaction

4.3.1. Scale-up synthesis for oxindoles

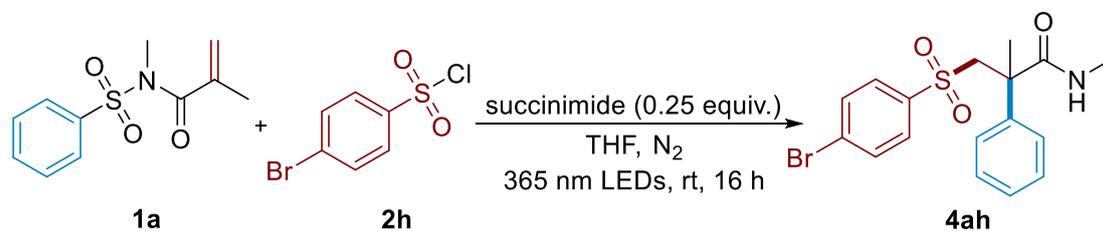


An oven-dried 100 mL reaction vial was charged with **1a** (1.20 g, 5 mmol, 1 equiv.), **2a** (12.5 mmol, 2.5 equiv.), Na₂CO₃ (10 mmol, 2.0 equiv.), dioxane (50 mL), and a stir bar. The atmosphere was exchanged by applying a vacuum and backfilling with N₂ (this process was conducted three times). The reaction mixture was stirred at room temperature under the irradiation of 35 W, 390 nm LEDs for 24 h. The reaction was monitored by TLC. The crude reaction mixture was quenched with H₂O (10 mL) and extracted with EtOAc (3×10 mL). The extracts were combined, dried over sodium sulfate, filtered, and the volatiles were removed under reduced pressure. The product

was purified by column chromatography on silica gel (200-300 mesh) using a petroleum ether/ethyl acetate mixture as the eluent to give product **3aa** in 87% yield (1.37 g).

An oven-dried 250 mL reaction vial was charged with **1a** (2.40 g, 10 mmol, 1 equiv.), **2a** (25 mmol, 2.5 equiv.), Na₂CO₃ (20 mmol, 2.0 equiv.), dioxane (100 mL), and a stir bar. The atmosphere was exchanged by applying a vacuum and backfilling with N₂ (this process was conducted three times). The reaction mixture was stirred at room temperature under the irradiation of 35 W, 390 nm LEDs for 24 h. The reaction was monitored by TLC. The crude reaction mixture was quenched with H₂O (25 mL) and extracted with EtOAc (3×25 mL). The extracts were combined, dried over sodium sulfate, filtered, and the volatiles were removed under reduced pressure. The product was purified by column chromatography on silica gel (200-300 mesh) using a petroleum ether/ethyl acetate mixture as the eluent to give product **3aa** in 77% yield (2.43 g).

4.3.2. Scale-up synthesis for amides

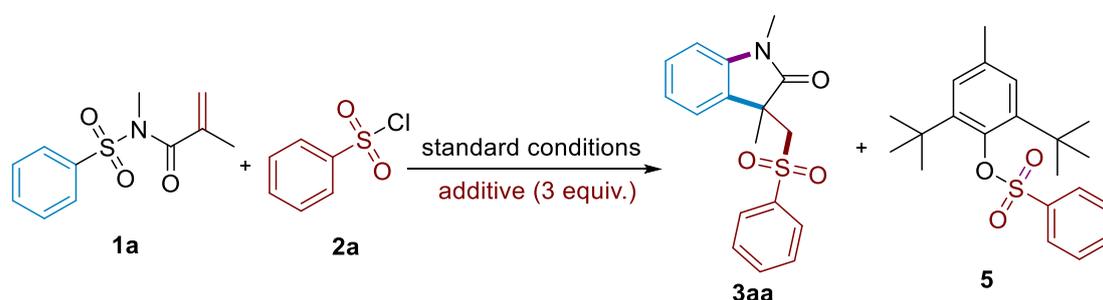


An oven-dried 100 mL reaction vial was charged with **1a** (1.20 g, 5 mmol, 1 equiv.), **2h** (8.75 mmol, 1.75 equiv.), succinimide (1.25 mmol, 0.25 equiv.), THF (50 mL), and a stir bar. The atmosphere was exchanged by applying a vacuum and backfilling with N₂ (this process was conducted three times). The reaction mixture was stirred at room temperature under the irradiation of 35 W, 365 nm LEDs for 16 h. The reaction was monitored by TLC. The crude reaction mixture was quenched with H₂O (10 mL) and extracted with EtOAc (3×10 mL). The extracts were combined, dried over sodium sulfate, filtered, and the volatiles were removed under reduced pressure. The product was purified by column chromatography on silica gel (200-300 mesh) using a petroleum ether/ethyl acetate mixture as the eluent to give product **4ah** in 78% yield

(1.55 g).

An oven-dried 250 mL reaction vial was charged with **1a** (2.40 g, 10 mmol, 1 equiv.), **2h** (17.50 mmol, 1.75 equiv.), succinimide (2.50 mmol, 0.25 equiv.), THF (100 mL), and a stir bar. The atmosphere was exchanged by applying a vacuum and backfilling with N₂ (this process was conducted three times). The reaction mixture was stirred at room temperature under the irradiation of 35 W, 365 nm LEDs for 16 h. The reaction was monitored by TLC. The crude reaction mixture was quenched with H₂O (25 mL) and extracted with EtOAc (3×25 mL). The extracts were combined, dried over sodium sulfate, filtered, and the volatiles were removed under reduced pressure. The product was purified by column chromatography on silica gel (200-300 mesh) using a petroleum ether/ethyl acetate mixture as the eluent to give product **4ah** in 70% yield (2.77 g).

5. Mechanism experiment



An oven-dried 25 mL reaction vial was charged with **1a** (0.1 mmol, 1 equiv.), **2a** (0.25 mmol, 2.5 equiv.), Na₂CO₃ (0.2 mmol, 2.0 equiv.), BHT (66.06 mg, 0.3 mmol, 3 equiv.) or TEMPO (46.88 mg, 0.3 mmol, 3 equiv.), dioxane (1 mL), and a stir bar. The atmosphere was exchanged by applying a vacuum and backfilling with N₂ (this process was conducted three times). The reaction mixture was stirred at room temperature under the irradiation of 35 W, 390 nm LEDs for 24 h. After completion, the consequence was detected by HRMS. In both cases, product **3aa** was scarcely detected, and the BHT adduct **5** was detected by HRMS.

HRMS (ESI) m/z: [M+Na]⁺ calcd for C₂₁H₂₈NaO₃S⁺ 383.1651; found 383.1644. As shown in Figure S1.

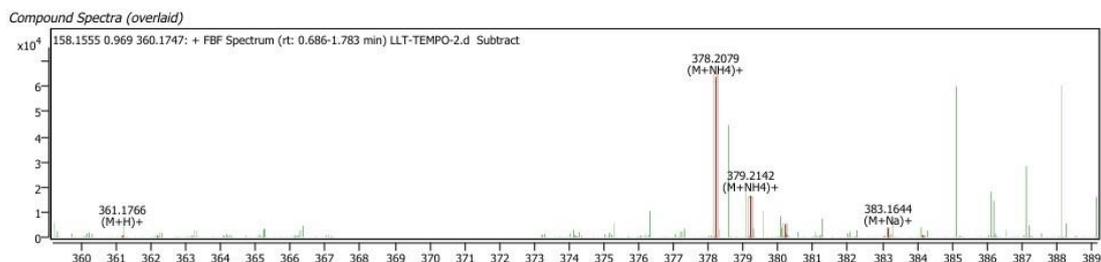
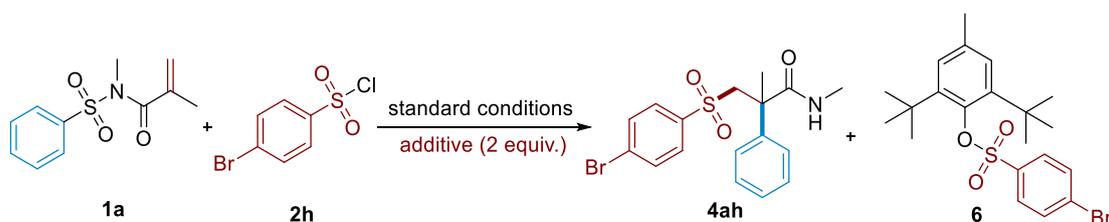


Figure S1. HRMS data of BHT adduct **5**



An oven-dried 25 mL reaction vial was charged with **1a** (0.1 mmol, 1 equiv.), **2h** (0.175 mmol, 1.75 equiv.), succinimide (0.025 mmol, 0.25 equiv.), BHT (44.04 mg, 0.2 mmol, 2 equiv.) or TEMPO (31.25 mg, 0.2 mmol, 2 equiv.), THF (1 mL), and a stir bar. The atmosphere was exchanged by applying a vacuum and backfilling with N₂ (this process was conducted three times). The reaction mixture was stirred at room temperature under the irradiation of 35 W, 365 nm LEDs for 16 h. After completion, the consequence was detected by HRMS. In both cases, product **4ah** was scarcely detected, and the BHT adduct **6** was detected by HRMS.

HRMS (ESI) m/z: [M+Na]⁺ calcd for C₂₁H₂₇BrNaO₃S⁺ 461.0756; found 461.0709.

As shown in Figure S2.

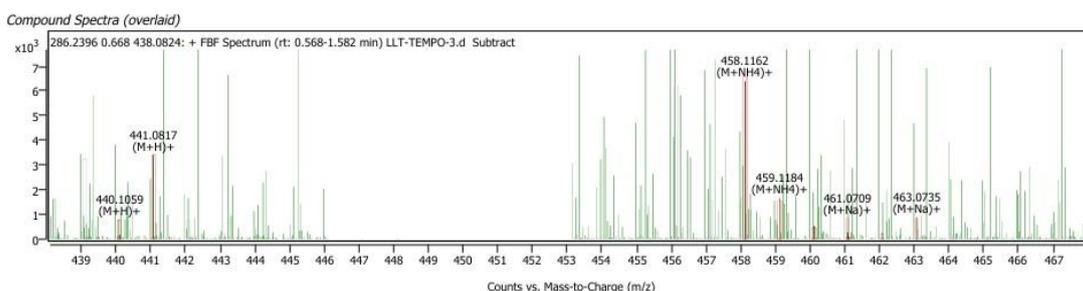


Figure S2. HRMS data of BHT adduct **6**

comparative experiment:

An oven-dried 25 mL reaction vial was charged with **2h** (0.175 mmol, 1 equiv.), BHT (39.12 mg, 0.175 mmol, 1 equiv.), THF (1 mL), and a stir bar. The atmosphere was exchanged by applying a vacuum and backfilling with N₂ (this process was conducted

three times). The reaction mixture was stirred at room temperature under the irradiation of 35 W, 365 nm LEDs for 16 h. After completion, the consequence was detected by HRMS. The adduct **6** was detected by HRMS.

HRMS (ESI) m/z: $[M+NH_4]^+$ calcd for $C_{21}H_{31}BrNO_3S^+$ 456.1203; found 456.1171.

As shown in Figure S3.

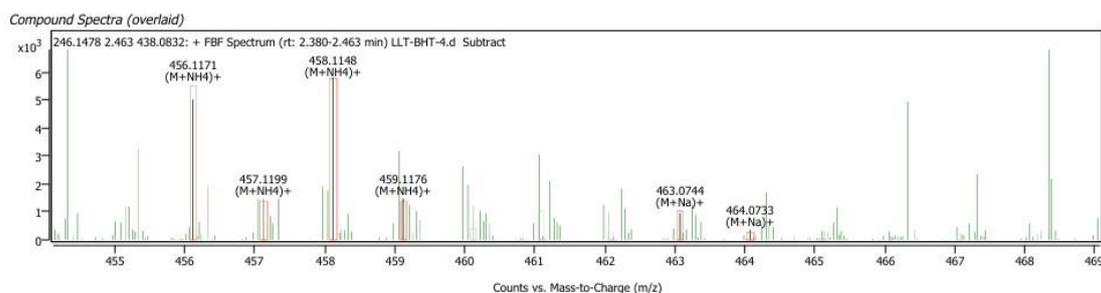


Figure S3. HRMS data of BHT adduct **6**

An oven-dried 25 mL reaction vial was charged with **2h** (0.175 mmol), THF (1 mL), and a stir bar. The atmosphere was exchanged by applying a vacuum and backfilling with N_2 (this process was conducted three times). The reaction mixture was stirred at room temperature under the irradiation of 35 W, 365 nm LEDs for 16 h. After completion, the consequence was detected by GC-MS. The adduct 2-chlorotetrahydrofuran was detected by GC-MS. As shown in Figure S4.

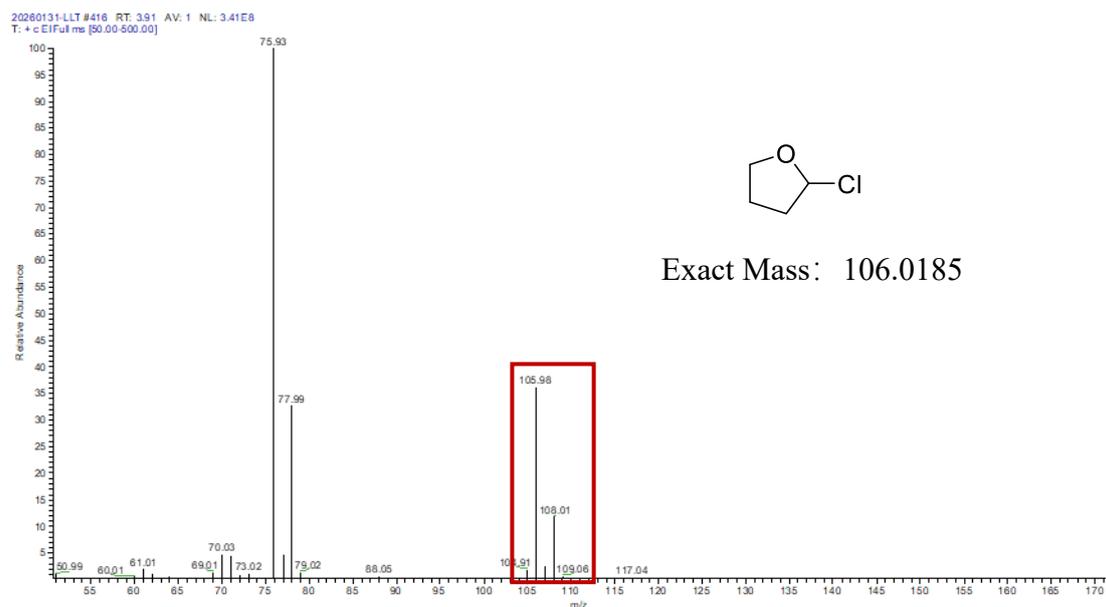


Figure S4. GC-MS data of 2-chlorotetrahydrofuran

6. Fluorescence quenching experiments

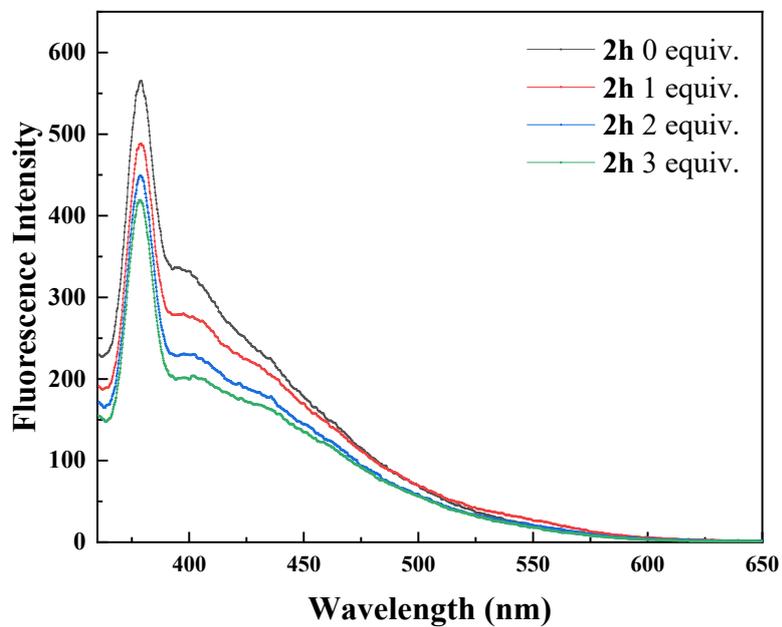


Figure S5. Emission spectra of **1a** in the presence of increasing **2h** concentrations

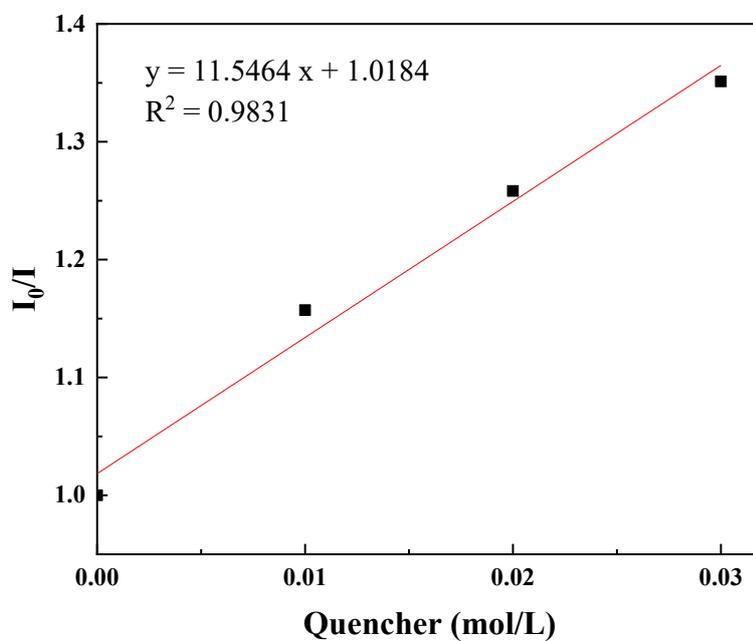


Figure S6. Stern-Volmer plot of I_0/I versus

The maximum emission of the **1a** (0.01 mol/L in THF) was observed at 565 nm upon

excitation wavelength at 379 nm. Following, the addition of **2h** (1.0-3.0 equiv.) led to the gradual decrease of fluorescence intensity, as shown in Figure S5 and Figure S6.

7. UV-vis absorbance experiments

UV-vis absorbance experiment A:

Experimental details: UV-Vis absorption spectra were recorded using a 1.0 cm quartz cuvette on a Shimadzu UV-2550 spectrophotometer, operated with a resolution of up to 0.1 nm over a spectral range of 300–400 nm. The absorption spectra of the individual reaction components and their mixtures were measured in dioxane solution.

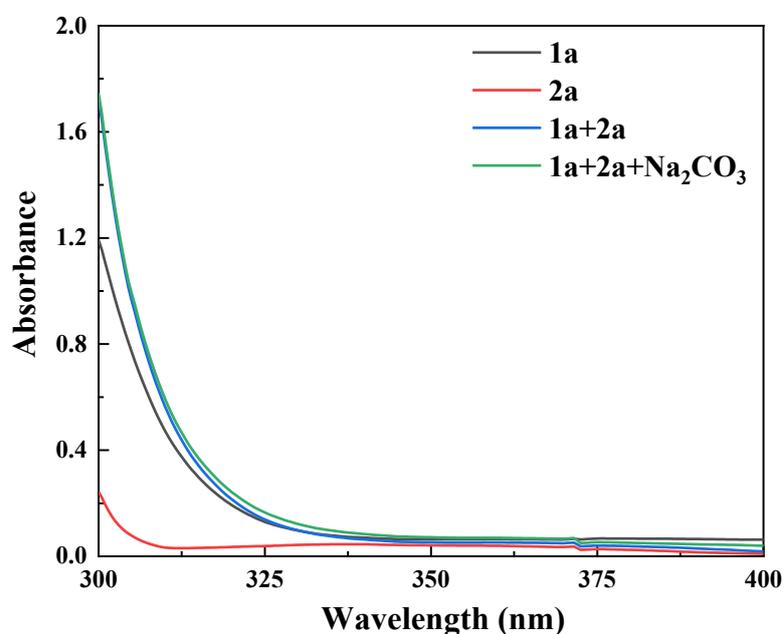


Figure S7. UV-vis spectra of experiment A

Preparation of a stock solution (1a): dissolve **1a** (1 mmol) in dioxane (10 mL) solvent in a 10 mL volumetric flask. Dilute 40 μ L of the above solution to 3 mL to obtain the stock solution (1a).

Preparation of a stock solution (2a): dissolve **2a** (1 mmol) in dioxane (10 mL) solvent in a 10 mL volumetric flask. Dilute 40 μ L of the above solution to 3 mL to obtain the stock solution (2a).

Preparation of a stock solution (1a+2a): dissolve **1a** (1 mmol) and **2a** (1 mmol) in dioxane (10 mL) solvent in a 10 mL volumetric flask. Dilute 40 μ L of the above solution to 3 mL to obtain the stock solution (1a+2a).

Preparation of a stock solution (1a+2a+Na₂CO₃): dissolve **1a** (1 mmol), **2a** (1 mmol), and Na₂CO₃ (0.1 mmol) in dioxane (10 mL) solvent in a 10 mL volumetric flask. Dilute 40 μ L of the above solution to 3 mL to obtain the stock solution (1a+2a+Na₂CO₃). The results are shown in Figure S7.

UV-vis absorbance experiment B:

Experimental details: UV-Vis absorption spectra were recorded using a 1.0 cm quartz cuvette on a Shimadzu UV-2550 spectrophotometer, operated with a resolution of up to 0.1 nm over a spectral range of 300–400 nm. The absorption spectra of the individual reaction components and their mixtures were measured in THF solution.

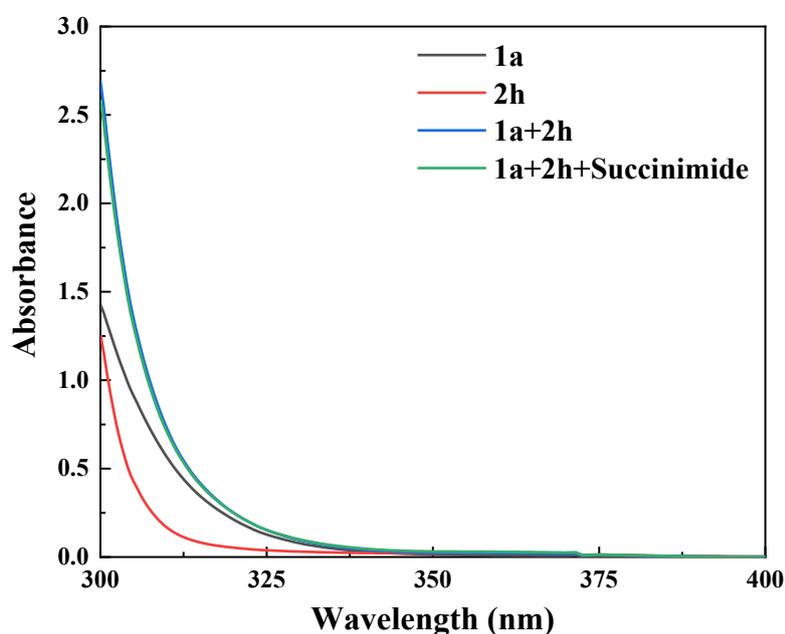


Figure S8. UV-vis spectra of experiment B

Preparation of a stock solution (1a): dissolve **1a** (1 mmol) in THF (10 mL) solvent in a 10 mL volumetric flask. Dilute 40 μ L of the above solution to 3 mL to obtain the stock solution (1a).

Preparation of a stock solution (2h): dissolve **2h** (1 mmol) in THF (10 mL) solvent in a 10 mL volumetric flask. Dilute 40 μ L of the above solution to 3 mL to obtain the stock solution (2h).

Preparation of a stock solution (1a+2h): dissolve **1a** (1 mmol) and **2h** (1 mmol) in THF (10 mL) solvent in a 10 mL volumetric flask. Dilute 40 μ L of the above solution to 3 mL to obtain the stock solution (1a+2h).

Preparation of a stock solution (1a+2h+succinimide): dissolve **1a** (1 mmol), **2a**

(1 mmol), and succinimide (0.1 mmol) in THF (10 mL) solvent in a 10 mL volumetric flask. Dilute 40 μL of the above solution to 3 mL to obtain the stock solution (1a+2h+succinimide). The results are shown in Figure S8.

8. Light on and off experiments

An oven-dried 25 mL reaction vial was charged with **1a** (0.1 mmol, 1 equiv.), **2a** (0.25 mmol, 2.5 equiv.), Na_2CO_3 (0.2 mmol, 2.0 equiv.), internal standard 1,3,5 trimethoxy benzene (16.8 mg, 0.1 mmol), dioxane (1 mL), and a stir bar under N_2 atmosphere. The reaction mixture was stirred at room temperature under the irradiation of 35 W, 390 nm LEDs for 3 h. Then, 20 μL of the reaction mixture was taken from the reaction vial and analyzed by GC. The vial was then covered with aluminum foil, and the reaction mixture was stirred in the dark for 3 h. Another 20 μL of the reaction mixture was taken and analyzed by GC. This procedure was repeated at time intervals, and the yield of **3aa** was determined by GC. The results are shown in Figure S9.

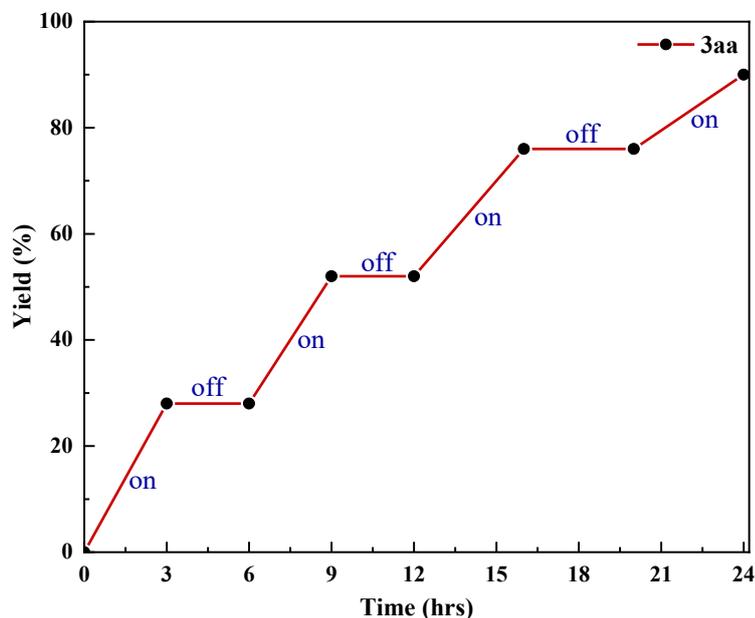


Figure S9. Light on-off experiment for the synthesis of **3aa**

An oven-dried 25 mL reaction vial was charged with **1a** (0.1 mmol, 1 equiv.), **2h** (0.175 mmol, 1.75 equiv.), succinimide (0.025 mmol, 0.25 equiv.), internal standard 1,3,5 trimethoxy benzene (23.5 mg, 0.14 mmol), THF (1 mL), and a stir bar under N_2

atmosphere. The reaction mixture was stirred at room temperature under the irradiation of 35 W, 365 nm LEDs for 2 h. Then, 20 μL of the reaction mixture was taken from the reaction vial and analyzed by GC. The vial was then covered with aluminum foil, and the reaction mixture was stirred in the dark for 2 h. Another 20 μL of the reaction mixture was taken and analyzed by GC. This procedure was repeated at time intervals, and the yield of **4ah** was determined by GC. The results are shown in Figure S10.

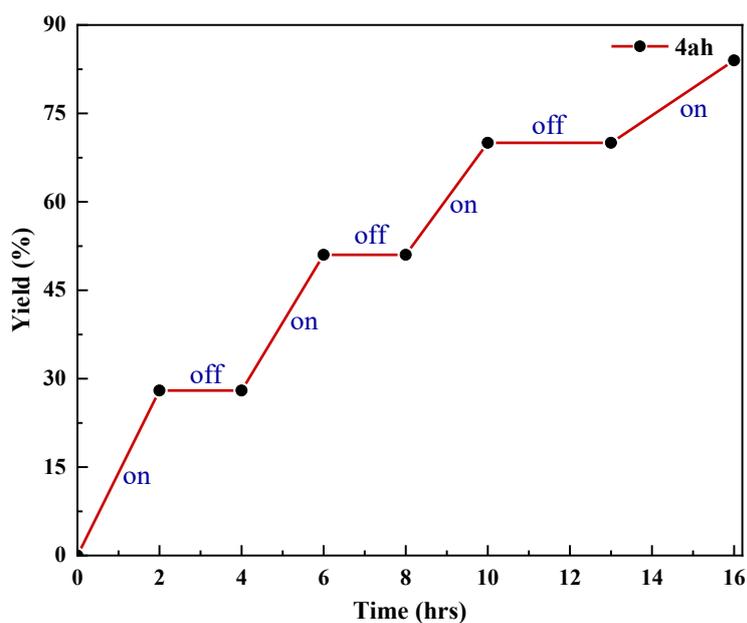
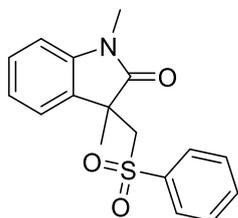


Figure S10. Light on-off experiment for the synthesis of **4ah**

9. Characterization of products



1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

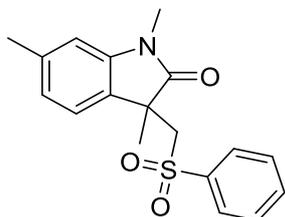
3aa was obtained as yellow oily liquid in 90% yield (28.38 mg), using PE/EA (10:1 to 2:1) as eluent.

^1H NMR (400 MHz, Chloroform-*d*) δ 7.51 (t, J = 7.4 Hz, 1H), 7.46 (d, J = 8.4 Hz, 2H), 7.35 (t, J = 7.8 Hz, 2H), 7.27 – 7.23 (m, 1H), 6.99 (d, J = 7.4 Hz, 1H), 6.87 – 6.81 (m, 2H), 3.87 (d, J = 14.6 Hz, 1H), 3.69 (d, J = 14.6 Hz, 1H), 3.15 (s, 3H), 1.36 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.7, 143.3, 139.9, 133.4, 129.4, 128.9, 128.7,

127.8, 124.0, 122.6, 108.5, 61.8, 45.6, 26.6, 25.5.

HRMS (ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{17}H_{17}NNaO_3S^+$ 338.0822; found 338.0836.



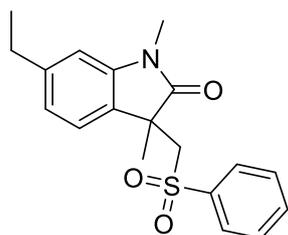
1,3,6-trimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

3ba was obtained as white solid in 78% yield (25.69 mg), mp 149.7-151.3 °C, using PE/EA (10:1 to 2:1) as eluent.

1H NMR (400 MHz, Chloroform-*d*) δ 7.60 – 7.48 (m, 3H), 7.40 – 7.31 (m, 2H), 6.93 (d, $J = 7.5$ Hz, 1H), 6.73 – 6.65 (m, 2H), 3.84 (d, $J = 14.5$ Hz, 1H), 3.66 (d, $J = 14.5$ Hz, 1H), 3.15 (s, 3H), 2.38 (s, 3H), 1.36 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 178.0, 143.3, 140.1, 138.8, 133.3, 128.9, 127.8, 126.6, 123.8, 123.1, 109.3, 62.0, 45.4, 26.5, 25.5, 21.9.

Data are consistent with reported in the literature.¹



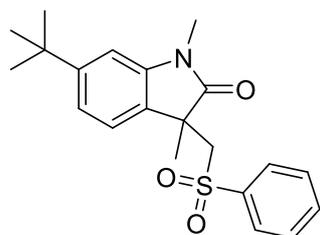
6-ethyl-1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

3ca was obtained as white oily liquid in 74% yield (25.41 mg), using PE/EA (10:1 to 2:1) as eluent.

1H NMR (400 MHz, Chloroform-*d*) δ 7.51 (t, $J = 7.4$ Hz, 1H), 7.47 (d, $J = 7.2$ Hz, 2H), 7.35 (t, $J = 7.8$ Hz, 2H), 6.89 (d, $J = 7.5$ Hz, 1H), 6.69 (d, $J = 8.5$ Hz, 2H), 3.85 (d, $J = 14.5$ Hz, 1H), 3.67 (d, $J = 14.6$ Hz, 1H), 3.16 (s, 3H), 2.66 (q, $J = 7.6$ Hz, 2H), 1.36 (s, 3H), 1.27 (t, $J = 7.7$ Hz, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 178.0, 145.9, 143.4, 140.0, 133.3, 128.9, 127.8, 126.7, 123.8, 122.0, 108.2, 61.9, 45.4, 29.2, 26.6, 25.5, 15.8.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{19}H_{22}NO_3S^+$ 344.1315; found 344.1317.



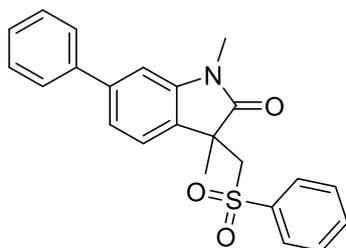
6-(tert-butyl)-1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

3da was obtained as transparent oily liquid in 94% yield (34.92 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.50 (t, *J* = 7.4 Hz, 1H), 7.43 (d, *J* = 7.3 Hz, 2H), 7.37 – 7.29 (m, 2H), 6.88 – 6.84 (m, 2H), 6.82 (s, 1H), 3.86 (d, *J* = 14.6 Hz, 1H), 3.69 (d, *J* = 14.6 Hz, 1H), 3.17 (s, 3H), 1.37 (s, 3H), 1.34 (s, 9H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 178.0, 152.3, 143.2, 140.0, 133.2, 128.8, 127.8, 126.4, 123.6, 119.4, 105.7, 61.9, 45.4, 35.1, 31.5, 26.5, 25.4.

Data are consistent with reported in the literature.¹



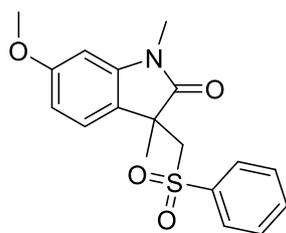
1,3-dimethyl-6-phenyl-3-((phenylsulfonyl)methyl)indolin-2-one

3ea was obtained as creamy yellow oily liquid in 93% yield (36.41 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.59 (d, *J* = 6.9 Hz, 2H), 7.56 – 7.43 (m, 5H), 7.42 – 7.32 (m, 3H), 7.09 – 7.00 (m, 3H), 3.92 (d, *J* = 14.6 Hz, 1H), 3.74 (d, *J* = 14.6 Hz, 1H), 3.24 (s, 3H), 1.43 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.9, 143.9, 142.2, 140.9, 140.0, 133.4, 128.9, 128.9, 128.4, 127.8, 127.7, 127.2, 124.2, 121.5, 107.3, 62.0, 45.5, 26.7, 25.4.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₂₃H₂₂NO₃S⁺ 392.1315; found 392.1315.



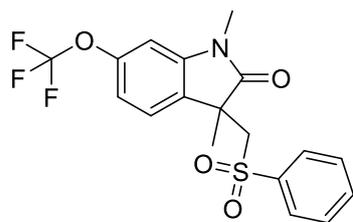
6-methoxy-1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

3fa was obtained as white oily liquid in 52% yield (17.96 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.57 – 7.48 (m, 3H), 7.43 – 7.36 (m, 2H), 6.93 (d, *J* = 8.1 Hz, 1H), 6.44 – 6.35 (m, 2H), 3.86 – 3.80 (m, 4H), 3.65 (d, *J* = 14.5 Hz, 1H), 3.13 (s, 3H), 1.35 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 178.3, 160.6, 144.5, 140.0, 133.4, 128.9, 127.8, 124.8, 121.3, 106.4, 96.4, 62.0, 55.6, 45.2, 26.6, 25.6.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₈H₂₀NO₄S⁺ 346.1108; found 346.1110.



1,3-dimethyl-3-((phenylsulfonyl)methyl)-6-(trifluoromethoxy)indolin-2-one

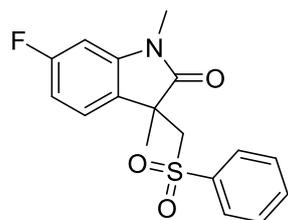
3ga was obtained as light yellow oily liquid in 87% yield (34.75 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.54 (t, $J = 7.3$ Hz, 1H), 7.48 (d, $J = 8.4$ Hz, 2H), 7.42 – 7.33 (m, 2H), 6.96 (d, $J = 8.2$ Hz, 1H), 6.70 – 6.66 (m, 2H), 3.88 (d, $J = 14.7$ Hz, 1H), 3.70 (d, $J = 14.7$ Hz, 1H), 3.17 (s, 3H), 1.37 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.7, 149.6 (q, $J = 1.9$ Hz), 144.8, 139.8, 133.6, 129.0, 127.8, 127.6, 125.0, 120.4 (d, $J = 257.7$ Hz), 114.4, 102.2, 61.7, 45.3, 26.8, 25.3.

¹⁹F NMR (377 MHz, Chloroform-*d*) δ -57.68.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₈H₁₇F₃NO₄S⁺ 400.0825; found 400.0830.



6-fluoro-1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

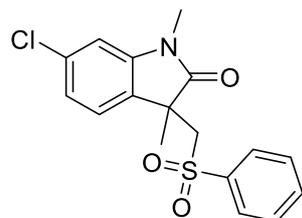
3ha was obtained as yellow oily liquid in 92% yield (30.67 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.57 – 7.51 (m, 3H), 7.43 – 7.38 (m, 2H), 6.97 (dd, $J = 8.2, 5.3$ Hz, 1H), 6.62 – 6.51 (m, 2H), 3.85 (d, $J = 14.5$ Hz, 1H), 3.67 (d, $J = 14.6$ Hz, 1H), 3.15 (s, 3H), 1.36 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 178.0, 163.3 (d, $J = 245.9$ Hz), 144.9 (d, $J = 11.7$ Hz), 139.9, 133.6, 129.0, 127.7, 125.2 (d, $J = 9.9$ Hz), 124.8 (d, $J = 3.0$ Hz), 108.7 (d, $J = 22.5$ Hz), 97.3 (d, $J = 27.5$ Hz), 61.9, 45.3, 26.7, 25.4.

¹⁹F NMR (377 MHz, Chloroform-*d*) δ -111.29.

Data are consistent with reported in the literature.²



6-chloro-1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

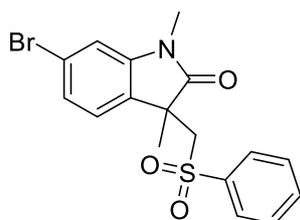
3ia was obtained as light yellow oily liquid in 94% yield (32.88 mg), using PE/EA (10:1

to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.57 – 7.50 (m, 3H), 7.42 – 7.38 (m, 2H), 6.93 (d, *J* = 7.7 Hz, 1H), 6.85 – 6.80 (m, 2H), 3.84 (d, *J* = 14.5 Hz, 1H), 3.67 (d, *J* = 14.5 Hz, 1H), 3.15 (s, 3H), 1.36 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.6, 144.5, 139.9, 134.5, 133.6, 129.1, 127.9, 127.7, 125.0, 122.4, 109.2, 61.8, 45.4, 26.7, 25.3.

Data are consistent with reported in the literature.¹



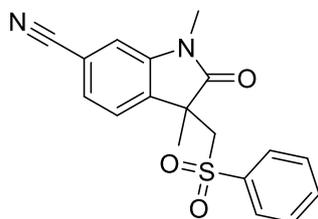
6-bromo-1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

3ja was obtained as yellow oily liquid in 90% yield (35.49 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.58 – 7.50 (m, 3H), 7.44 – 7.36 (m, 2H), 7.01 – 6.94 (m, 2H), 6.87 (d, *J* = 7.8 Hz, 1H), 3.84 (d, *J* = 14.5 Hz, 1H), 3.66 (d, *J* = 14.6 Hz, 1H), 3.15 (s, 3H), 1.35 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.5, 144.7, 139.8, 133.6, 129.1, 128.4, 127.7, 125.3, 125.3, 122.3, 112.0, 61.8, 45.4, 26.7, 25.2.

Data are consistent with reported in the literature.¹



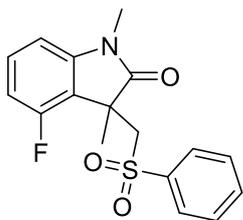
1,3-dimethyl-2-oxo-3-((phenylsulfonyl)methyl)indoline-6-carbonitrile

3ka was obtained as white oily liquid in 62% yield (21.10 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.65 – 7.56 (m, 3H), 7.50 – 7.41 (m, 2H), 7.29 – 7.22 (m, 2H), 7.10 (s, 1H), 3.88 (d, *J* = 14.5 Hz, 1H), 3.69 (d, *J* = 14.5 Hz, 1H), 3.22 (s, 3H), 1.41 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.0, 144.2, 139.7, 134.9, 133.9, 129.2, 127.7, 127.0, 124.8, 118.6, 112.5, 111.1, 61.5, 45.8, 26.9, 25.1.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₈H₁₇N₂O₃S⁺ 341.0954; found 341.0960.



4-fluoro-1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

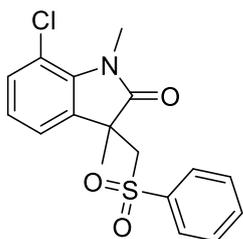
3la was obtained as yellow oily liquid in 78% yield (26.00 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.54 (d, *J* = 8.3 Hz, 2H), 7.42 (d, *J* = 8.4 Hz, 2H), 7.10 (d, *J* = 8.1 Hz, 2H), 7.00 (d, *J* = 8.0 Hz, 2H), 5.46 (s, 1H), 3.58 (d, *J* = 13.2 Hz, 1H), 3.49 (d, *J* = 13.2 Hz, 1H), 2.73 (d, *J* = 4.7 Hz, 3H), 2.28 (s, 3H), 1.69 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.1, 159.2 (d, *J* = 247.3 Hz), 145.3 (d, *J* = 9.4 Hz), 139.4, 133.4, 130.7 (d, *J* = 8.8 Hz), 128.9, 127.7, 115.2 (d, *J* = 19.8 Hz), 110.0 (d, *J* = 20.6 Hz), 104.6 (d, *J* = 3.0 Hz), 60.7, 44.7, 27.1, 23.7.

¹⁹F NMR (376 MHz, Chloroform-*d*) δ -119.52.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₇H₁₇FNO₃S⁺ 334.0908; found 334.0911.



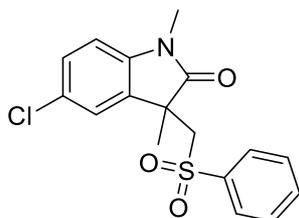
5-chloro-1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

3ma was obtained as white solid in 52% yield (18.19 mg), mp 150.4-152.4 °C, using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.58 – 7.52 (m, 3H), 7.44 – 7.39 (m, 2H), 7.19 (d, *J* = 8.2 Hz, 1H), 6.96 (d, *J* = 7.3 Hz, 1H), 6.82 (t, *J* = 7.8 Hz, 1H), 3.89 (d, *J* = 14.6 Hz, 1H), 3.66 (d, *J* = 14.6 Hz, 1H), 3.50 (s, 3H), 1.36 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.9, 139.7, 139.3, 133.6, 132.2, 131.0, 129.0, 127.9, 123.3, 122.6, 115.8, 61.9, 45.4, 30.0, 25.9.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₇H₁₇ClNO₃S⁺ 350.0612; found 350.0614.



7-chloro-1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

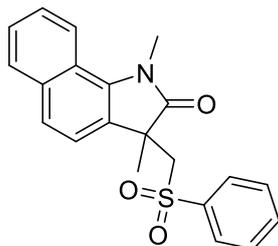
3ma' was obtained as yellow oily liquid in 41% yield (14.34 mg), using PE/EA (10:1

to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.57 (t, J = 7.4 Hz, 1H), 7.48 (d, J = 7.4 Hz, 2H), 7.44 – 7.35 (m, 2H), 7.23 (d, J = 6.2 Hz, 1H), 6.84 – 6.75 (m, 2H), 3.88 (d, J = 14.7 Hz, 1H), 3.66 (d, J = 14.7 Hz, 1H), 3.20 (s, 3H), 1.37 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.2, 142.1, 139.7, 133.7, 131.1, 129.0, 128.7, 127.9, 127.6, 124.5, 109.4, 61.7, 45.8, 26.8, 25.3.

HRMS (ESI/Q-TOF) m/z : $[M+H]^+$ calcd for C₁₇H₁₇ClNO₃S⁺ 350.0612; found 350.0614.



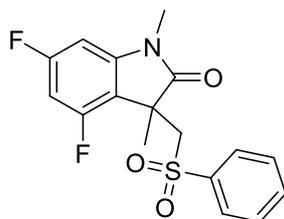
1,3-dimethyl-3-((phenylsulfonyl)methyl)-1,3-dihydro-2H-benzo[*g*]indol-2-one

3na was obtained as white oily liquid in 89% yield (32.52 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 8.45 – 8.40 (m, 1H), 7.87 – 7.82 (m, 1H), 7.52 – 7.47 (m, 3H), 7.41 (t, J = 7.2 Hz, 3H), 7.28 – 7.22 (m, 2H), 7.15 (d, J = 8.3 Hz, 1H), 3.99 (d, J = 14.6 Hz, 1H), 3.82 – 3.76 (m, 4H), 1.44 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 179.3, 139.6, 138.5, 134.8, 133.3, 129.4, 128.8, 127.9, 126.0, 125.8, 125.3, 123.1, 121.6, 121.3, 120.8, 62.2, 45.5, 31.2, 25.6.

Data are consistent with reported in the literature.¹



4,6-difluoro-1,3-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

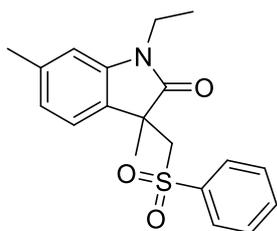
3oa was obtained as creamy yellow solid in 77% yield (27.06 mg), mp 155.1-156.4 °C, using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.60 – 7.55 (m, 3H), 7.45 – 7.41 (m, 2H), 6.45 (d, J = 8.4 Hz, 1H), 6.23 (t, J = 9.6 Hz, 1H), 3.91 – 3.80 (m, 2H), 3.20 (s, 3H), 1.42 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.4, 164.0 (dd, J = 248.1, 13.1 Hz), 159.0 (dd, J = 248.6, 14.4 Hz), 146.2 – 145.8(m), 139.4, 133.6, 129.0, 127.7, 110.7 (dd, J = 20.0, 3.4 Hz), 98.1 – 97.5 (m), 93.8 (dd, J = 27.6, 3.5 Hz), 60.8, 44.4, 27.2, 23.7.

¹⁹F NMR (377 MHz, Chloroform-*d*) δ -107.34 (d, J = 8.3 Hz), -116.17 (d, J = 7.9 Hz).

Data are consistent with reported in the literature.²



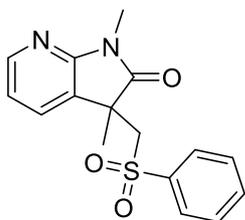
1-ethyl-3,6-dimethyl-3-((phenylsulfonyl)methyl)indolin-2-one

3pa was obtained as white solid in 73% yield (25.07 mg), mp 146.3-147.9 °C, using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.57 – 7.48 (m, 3H), 7.44 – 7.32 (m, 2H), 6.88 (d, *J* = 7.6 Hz, 1H), 6.69 (s, 1H), 6.65 (d, *J* = 7.6 Hz, 1H), 3.87 – 3.60 (m, 4H), 2.37 (s, 3H), 1.35 (s, 3H), 1.30 (t, *J* = 7.3 Hz, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.6, 142.4, 140.3, 138.7, 133.3, 128.9, 127.7, 126.8, 123.8, 122.9, 109.5, 61.9, 45.4, 34.9, 25.5, 21.9, 12.3.

Data are consistent with reported in the literature.³



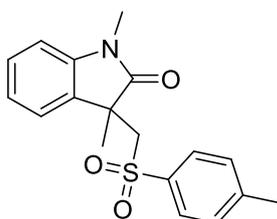
1,3-dimethyl-3-((phenylsulfonyl)methyl)-1,3-dihydro-2H-pyrrolo[2,3-*b*]pyridin-2-one

3qa was obtained as creamy yellow oily liquid in 80% yield (25.31 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 8.21 (d, *J* = 6.8 Hz, 1H), 7.62 – 7.55 (m, 3H), 7.51 – 7.41 (m, 3H), 6.93 – 6.85 (m, 1H), 3.84 (d, *J* = 14.5 Hz, 1H), 3.67 (d, *J* = 14.5 Hz, 1H), 3.21 (s, 3H), 1.44 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.3, 156.4, 147.5, 139.7, 133.8, 132.1, 129.2, 127.8, 124.3, 118.2, 61.2, 45.4, 25.7, 24.8.

Data are consistent with reported in the literature.²



1,3-dimethyl-3-(tosylmethyl)indolin-2-one

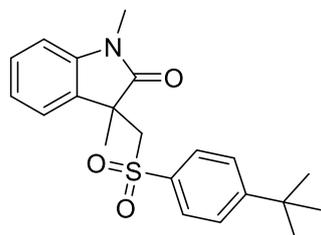
3ab was obtained as white oily liquid in 70% yield (23.06 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.37 (d, *J* = 8.0 Hz, 2H), 7.32 – 7.24 (m, 1H), 7.16 (d, *J* = 7.9 Hz, 2H), 7.07 (d, *J* = 7.3 Hz, 1H), 6.91 (t, *J* = 7.5 Hz, 1H), 6.84 (d, *J* =

7.8 Hz, 1H), 3.85 (d, $J = 14.5$ Hz, 1H), 3.66 (d, $J = 14.5$ Hz, 1H), 3.15 (s, 3H), 2.39 (s, 3H), 1.38 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.7, 144.4, 143.3, 137.0, 129.6, 129.5, 128.6, 127.9, 124.2, 122.5, 108.4, 61.9, 45.7, 26.6, 25.5, 21.6.

Data are consistent with reported in the literature.⁴



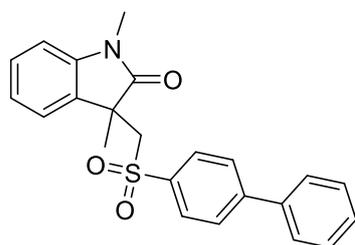
3-(((4-(*tert*-butyl)phenyl)sulfonyl)methyl)-1,3-dimethylindolin-2-one

3ac was obtained as transparent oily liquid in 84% yield (31.21 mg), using PE/EA (10:1 to 2:1) as eluent.

^1H NMR (400 MHz, Chloroform-*d*) δ 7.44 – 7.33 (m, 4H), 7.28 – 7.22 (m, 1H), 7.03 (d, $J = 7.3$ Hz, 1H), 6.88 – 6.82 (m, 2H), 3.86 (d, $J = 14.5$ Hz, 1H), 3.67 (d, $J = 14.6$ Hz, 1H), 3.16 (s, 3H), 1.38 (s, 3H), 1.31 (s, 9H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.7, 157.2, 143.3, 136.9, 129.6, 128.6, 127.6, 125.9, 124.1, 122.5, 108.4, 61.9, 45.6, 35.2, 31.1, 26.6, 25.5.

Data are consistent with reported in the literature.⁵



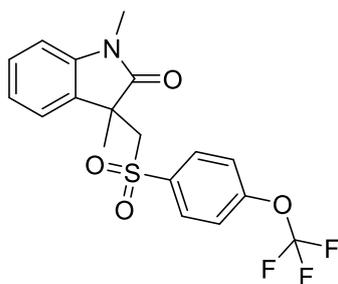
3-(((1,1'-biphenyl)-4-ylsulfonyl)methyl)-1,3-dimethylindolin-2-one

3ad was obtained as creamy yellow oily liquid in 79% yield (30.93 mg), using PE/EA (10:1 to 2:1) as eluent.

^1H NMR (400 MHz, Chloroform-*d*) δ 7.59 – 7.42 (m, 9H), 7.33 – 7.28 (m, 1H), 7.05 (d, $J = 7.4$ Hz, 1H), 6.94 – 6.83 (m, 2H), 3.97 (d, $J = 14.5$ Hz, 1H), 3.77 (d, $J = 14.6$ Hz, 1H), 3.18 (s, 3H), 1.42 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.6, 146.2, 143.3, 139.2, 138.3, 129.5, 129.2, 128.7, 128.7, 128.4, 127.5, 127.4, 124.1, 122.5, 108.5, 62.0, 45.6, 26.6, 25.6.

HRMS (ESI/Q-TOF) m/z : $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{23}\text{H}_{22}\text{NO}_3\text{S}^+$ 392.1315; found 392.1317.



1,3-dimethyl-3-(((4-(trifluoromethoxy)phenyl)sulfonyl)methyl)indolin-2-one

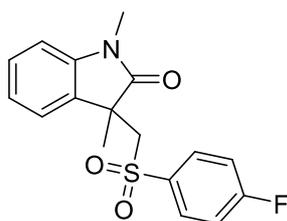
3ae was obtained as transparent oily liquid in 93% yield (37.14 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.47 (d, *J* = 8.8 Hz, 2H), 7.26 (t, *J* = 7.7 Hz, 1H), 7.15 (d, *J* = 8.4 Hz, 2H), 6.92 (d, *J* = 7.8 Hz, 1H), 6.84 (d, *J* = 8.0 Hz, 2H), 3.92 (d, *J* = 14.7 Hz, 1H), 3.71 (d, *J* = 14.7 Hz, 1H), 3.17 (s, 3H), 1.37 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.4, 152.6 (d, *J* = 1.9 Hz), 143.4, 138.1, 130.1, 129.2, 128.8, 123.8, 122.5, 120.7, 120.2 (d, *J* = 259.6 Hz), 108.5, 62.0, 45.5, 26.6, 25.5.

¹⁹F NMR (376 MHz, Chloroform-*d*) δ -57.63.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₈H₁₇F₃NO₄S⁺ 400.0825; found 400.0830.



3-(((4-fluorophenyl)sulfonyl)methyl)-1,3-dimethylindolin-2-one

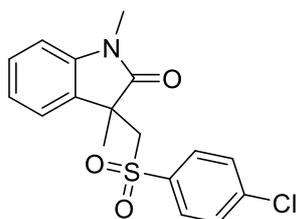
3af was obtained as transparent oily liquid in 85% yield (28.34 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.50 – 7.42 (m, 2H), 7.31 – 7.26 (m, 1H), 7.05 – 6.99 (m, 3H), 6.91 (t, *J* = 7.5 Hz, 1H), 6.85 (d, *J* = 7.8 Hz, 1H), 3.90 (d, *J* = 14.6 Hz, 1H), 3.68 (d, *J* = 14.6 Hz, 1H), 3.17 (s, 3H), 1.38 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.5, 165.6 (d, *J* = 256.3 Hz), 143.3, 135.9 (d, *J* = 3.1 Hz), 130.7 (d, *J* = 9.6 Hz), 129.4, 128.8, 124.0, 122.6, 116.2 (d, *J* = 22.5 Hz), 108.5, 62.0, 45.6, 26.6, 25.6.

¹⁹F NMR (376 MHz, Chloroform-*d*) δ -103.78.

Data are consistent with reported in the literature.⁵



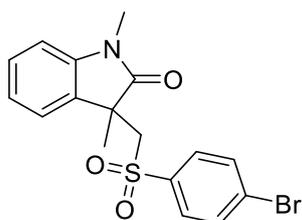
3-(((4-chlorophenyl)sulfonyl)methyl)-1,3-dimethylindolin-2-one

3ag was obtained as white oily liquid in 89% yield (31.13 mg), using PE/EA (10:1 to 2:1) as eluent.

$^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.38 – 7.27 (m, 5H), 6.98 (d, $J = 7.7$ Hz, 1H), 6.89 (t, $J = 7.5$ Hz, 1H), 6.84 (d, $J = 7.8$ Hz, 1H), 3.90 (d, $J = 14.7$ Hz, 1H), 3.68 (d, $J = 14.6$ Hz, 1H), 3.16 (s, 3H), 1.37 (s, 3H).

$^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 177.5, 143.3, 140.1, 138.2, 129.3, 129.3, 129.2, 128.8, 124.0, 122.6, 108.5, 62.0, 45.6, 26.6, 25.6.

Data are consistent with reported in the literature.⁶



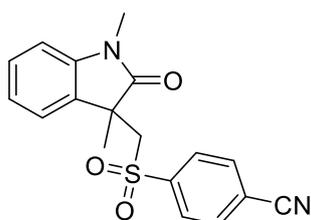
3-(((4-bromophenyl)sulfonyl)methyl)-1,3-dimethylindolin-2-one

3ah was obtained as white solid in 84% yield (33.12 mg), mp 170.0-172.0 °C, using PE/EA (10:1 to 2:1) as eluent.

$^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.49 (d, $J = 8.6$ Hz, 2H), 7.34 – 7.26 (m, 3H), 7.00 (d, $J = 6.9$ Hz, 1H), 6.92 (t, $J = 7.4$ Hz, 1H), 6.85 (d, $J = 7.8$ Hz, 1H), 3.90 (d, $J = 14.6$ Hz, 1H), 3.67 (d, $J = 14.6$ Hz, 1H), 3.16 (s, 3H), 1.38 (s, 3H).

$^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 177.5, 143.3, 138.7, 132.2, 129.4, 129.3, 128.8, 128.8, 124.0, 122.6, 108.5, 62.0, 45.6, 26.6, 25.6.

Data are consistent with reported in the literature.⁷



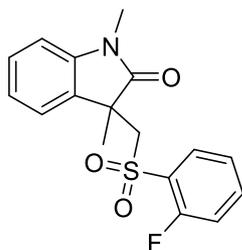
4-(((1,3-dimethyl-2-oxindolin-3-yl)methyl)sulfonyl)benzotrile

3ai was obtained as white oily liquid in 58% yield (19.74 mg), using PE/EA (10:1 to 2:1) as eluent.

$^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.65 (d, $J = 8.5$ Hz, 2H), 7.56 (d, $J = 8.5$ Hz, 2H), 7.30 (t, $J = 7.6$ Hz, 1H), 6.90 – 6.83 (m, 3H), 3.94 (d, $J = 14.7$ Hz, 1H), 3.72 (d, $J = 14.8$ Hz, 1H), 3.21 (s, 3H), 1.39 (s, 3H).

$^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 177.3, 144.0, 143.5, 132.6, 129.1, 129.0, 128.5, 123.7, 122.6, 117.1, 117.0, 108.7, 61.9, 45.5, 26.7, 25.4.

HRMS (ESI/Q-TOF) m/z: $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{17}\text{N}_2\text{O}_3\text{S}^+$ 341.0954; found 341.0960.



3-(((2-fluorophenyl)sulfonyl)methyl)-1,3-dimethylindolin-2-one

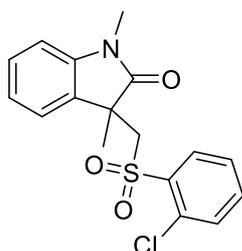
3aj was obtained as white solid in 95% yield (31.67 mg), mp 173.4-175.2 °C, using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.55 – 7.44 (m, 1H), 7.20 – 7.08 (m, 3H), 6.97 (t, *J* = 7.7 Hz, 1H), 6.87 (d, *J* = 7.4 Hz, 1H), 6.79 (d, *J* = 7.8 Hz, 1H), 6.67 (t, *J* = 7.6 Hz, 1H), 4.01 – 3.90 (m, 2H), 3.18 (s, 3H), 1.39 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.5, 159.2 (d, *J* = 254.9 Hz), 143.3, 135.8 (d, *J* = 8.5 Hz), 129.7, 129.1, 128.7, 127.8 (d, *J* = 14.6 Hz), 124.5 (d, *J* = 3.7 Hz), 123.4, 122.4, 116.8 (d, *J* = 21.0 Hz), 108.4, 61.2, 45.4, 26.6, 25.1.

¹⁹F NMR (376 MHz, Chloroform-*d*) δ -109.05.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₇H₁₇FNO₃S⁺ 334.0908; found 334.0913.



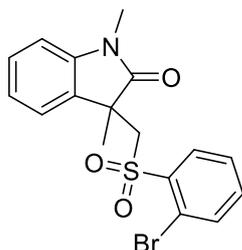
3-(((2-chlorophenyl)sulfonyl)methyl)-1,3-dimethylindolin-2-one

3ak was obtained as white solid in 91% yield (31.83 mg), mp 151.4-152.9 °C, using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.46 – 7.37 (m, 2H), 7.27 (d, *J* = 7.8 Hz, 1H), 7.15 (t, *J* = 7.7 Hz, 1H), 7.08 (t, *J* = 8.3 Hz, 1H), 6.81 (dd, *J* = 15.7, 7.6 Hz, 2H), 6.63 (t, *J* = 7.6 Hz, 1H), 4.20 (d, *J* = 14.9 Hz, 1H), 4.00 (d, *J* = 14.9 Hz, 1H), 3.22 (s, 3H), 1.40 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.6, 143.4, 137.5, 134.2, 132.1, 131.5, 130.9, 129.2, 128.7, 127.2, 123.2, 122.3, 108.3, 59.7, 45.5, 26.6, 25.1.

Data are consistent with reported in the literature.⁸



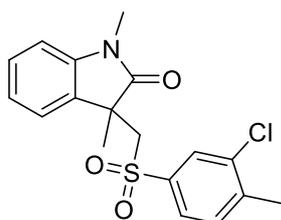
3-(((2-bromophenyl)sulfonyl)methyl)-1,3-dimethylindolin-2-one

3al was obtained as white solid in 89% yield (35.09 mg), mp 153.3-155.7 °C, using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.65 (t, *J* = 8.3 Hz, 1H), 7.34 – 7.24 (m, 2H), 7.17 – 7.08 (m, 2H), 6.84 – 6.76 (m, 2H), 6.62 (t, *J* = 7.6 Hz, 1H), 4.30 (d, *J* = 14.9 Hz, 1H), 3.98 (d, *J* = 15.0 Hz, 1H), 3.22 (s, 3H), 1.40 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.6, 143.3, 139.1, 135.0, 134.2, 131.2, 129.2, 128.7, 127.8, 123.2, 122.3, 120.3, 108.3, 59.3, 45.5, 26.6, 25.1.

Data are consistent with reported in the literature.⁷



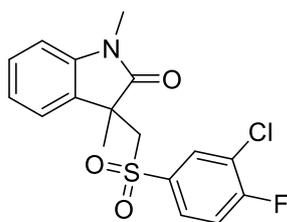
3-(((3-chloro-4-methylphenyl)sulfonyl)methyl)-1,3-dimethylindolin-2-one

3am was obtained as white oily liquid in 92% yield (33.47 mg), using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.35 – 7.24 (m, 4H), 6.97 (d, *J* = 7.4 Hz, 1H), 6.89 – 6.84 (m, 2H), 3.89 (d, *J* = 14.7 Hz, 1H), 3.69 (d, *J* = 14.6 Hz, 1H), 3.19 (s, 3H), 2.40 (s, 3H), 1.38 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.5, 143.3, 142.5, 138.7, 134.9, 131.4, 129.1, 128.9, 128.5, 125.9, 123.9, 122.4, 108.5, 62.0, 45.5, 26.6, 25.5, 20.4.

HRMS (ESI/Q-TOF) m/z: [M+Na]⁺ calcd for C₁₈H₁₈ClNNO₃S⁺ 386.0589; found 386.0599.



3-(((3-chloro-4-fluorophenyl)sulfonyl)methyl)-1,3-dimethylindolin-2-one

3an was obtained as creamy yellow oily liquid in 94% yield (34.58 mg), using PE/EA (10:1 to 2:1) as eluent.

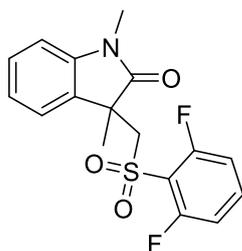
¹H NMR (400 MHz, Chloroform-*d*) δ 7.49 – 7.41 (m, 1H), 7.40 – 7.26 (m, 2H), 7.17 (t, *J* = 8.5 Hz, 1H), 6.97 – 6.85 (m, 3H), 3.95 (d, *J* = 14.7 Hz, 1H), 3.72 (d, *J* = 14.7 Hz, 1H), 3.23 (s, 3H), 1.40 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.4, 161.1 (d, *J* = 258.4 Hz), 143.4, 136.8 (d, *J* = 3.8 Hz), 131.1, 129.1, 128.9, 128.4 (d, *J* = 8.8 Hz), 123.8, 122.4, 122.2 (d, *J* = 18.8 Hz), 117.3 (d, *J* = 22.5 Hz), 108.6, 62.2, 45.5, 26.6, 25.5.

¹⁹F NMR (376 MHz, Chloroform-*d*) δ -105.88.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₇H₁₆ClFNO₃S⁺ 368.0518; found

368.0526.



3-(((2,6-difluorophenyl)sulfonyl)methyl)-1,3-dimethylindolin-2-one

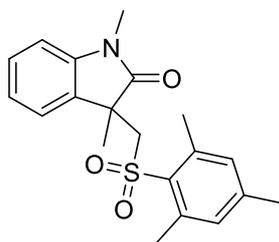
3ao was obtained as white solid in 96% yield (33.73 mg), mp 158.1-159.8 °C, using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.47 – 7.39 (m, 1H), 7.18 (t, *J* = 7.7 Hz, 1H), 6.91 – 6.78 (m, 4H), 6.68 (t, *J* = 7.5 Hz, 1H), 3.99 – 3.91 (m, 2H), 3.22 (s, 3H), 1.41 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 177.3, 161.1 (d, *J* = 3.8 Hz), 158.5 (d, *J* = 3.7 Hz), 143.5, 135.6 (t, *J* = 11.2 Hz), 128.8, 128.8, 122.9, 122.3, 117.7 (t, *J* = 15.4 Hz), 113.3 (d, *J* = 3.7 Hz), 113.1 (d, *J* = 3.6 Hz), 108.8, 62.6, 45.5, 26.6, 25.0.

¹⁹F NMR (376 MHz, Chloroform-*d*) δ -106.65 (dd, *J* = 9.4, 5.9 Hz).

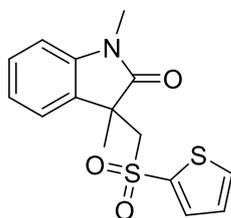
HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₇H₁₆F₂NO₃S⁺ 352.0813; found 352.0820.



3-(((mesitylsulfonyl)methyl)-1,3-dimethylindolin-2-one

3ap was detected by HRMS.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₂₀H₂₄NO₃S⁺ 358.1471; found 358.1466.



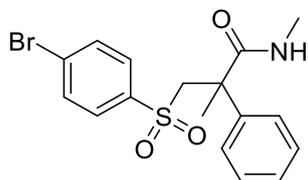
1,3-dimethyl-3-((thiophen-2-ylsulfonyl)methyl)indolin-2-one

3aq was obtained as white solid in 75% yield (24.11 mg), mp 155.4-157.7 °C, using PE/EA (10:1 to 2:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.58 (d, *J* = 4.9 Hz, 1H), 7.34 – 7.24 (m, 1H), 7.16 (d, *J* = 5.0 Hz, 1H), 7.10 (d, *J* = 7.4 Hz, 1H), 6.98 – 6.90 (m, 2H), 6.86 (d, *J* = 7.8 Hz, 1H), 3.96 (d, *J* = 14.5 Hz, 1H), 3.78 (d, *J* = 14.6 Hz, 1H), 3.21 (s, 3H), 1.41 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.5, 143.3, 141.2, 134.1, 134.0, 129.5, 128.7, 127.6, 124.0, 122.6, 108.5, 63.3, 45.8, 26.6, 25.3.

HRMS (ESI/Q-TOF) *m/z*: $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{16}\text{NO}_3\text{S}_2^+$ 322.0566; found 322.0568.



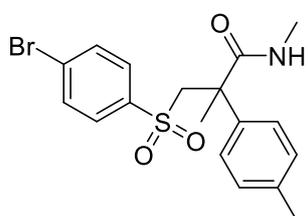
3-((4-bromophenyl)sulfonyl)-*N*,2-dimethyl-2-phenylpropanamide

4ah was obtained as white oily liquid in 84% yield (33.29 mg), using PE/EA (5:1 to 1:1) as eluent.

^1H NMR (400 MHz, Chloroform-*d*) δ 7.50 – 7.44 (m, 4H), 7.24 – 7.18 (m, 5H), 5.38 – 5.30 (m, 1H), 4.12 (d, $J = 14.9$ Hz, 1H), 3.76 (d, $J = 14.7$ Hz, 1H), 2.70 (d, $J = 4.8$ Hz, 3H), 1.98 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 174.9, 140.0, 139.8, 132.2, 129.1, 128.8, 128.4, 127.9, 126.9, 64.4, 49.3, 26.9, 22.4.

HRMS (ESI/Q-TOF) *m/z*: $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{19}\text{BrNO}_3\text{S}^+$ 396.0264; found 396.0257.



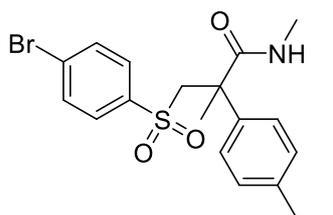
3-((4-bromophenyl)sulfonyl)-*N*,2-dimethyl-2-(*p*-tolyl)propanamide

4bh was obtained as white solid in 82% yield (33.65 mg), mp 148.1-150.4 °C, using PE/EA (5:1 to 1:1) as eluent.

^1H NMR (400 MHz, Chloroform-*d*) δ 7.48 – 7.41 (m, 2H), 7.43 – 7.36 (m, 2H), 7.06 – 7.00 (m, 2H), 7.00 – 6.93 (m, 2H), 5.31 – 5.23 (m, 1H), 4.08 (d, $J = 15.0$ Hz, 1H), 3.80 (d, $J = 15.0$ Hz, 1H), 2.68 (d, $J = 4.8$ Hz, 3H), 2.29 (s, 3H), 1.95 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 175.2, 139.9, 138.0, 136.3, 132.0, 129.4, 129.1, 128.1, 126.9, 64.3, 48.9, 26.9, 22.5, 21.0.

HRMS (ESI/Q-TOF) *m/z*: $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{21}\text{BrNO}_3\text{S}^+$ 410.0420; found 410.0428.



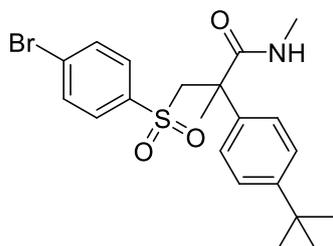
3-((4-bromophenyl)sulfonyl)-2-(4-ethylphenyl)-*N*,2-dimethylpropanamide

4ch was obtained as white solid in 73% yield (30.98 mg), mp 157.4-160.3 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.50 – 7.39 (m, 4H), 7.11 – 7.00 (m, 4H), 5.31 – 5.23 (m, 1H), 4.13 (d, *J* = 15.0 Hz, 1H), 3.84 (d, *J* = 15.0 Hz, 1H), 2.72 (d, *J* = 4.8 Hz, 3H), 2.67 – 2.57 (m, 2H), 1.99 (s, 3H), 1.25 (t, *J* = 7.6 Hz, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 175.3, 144.2, 140.0, 136.6, 132.1, 129.2, 128.3, 128.2, 127.0, 64.4, 49.1, 28.4, 27.0, 22.6, 15.4.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₉H₂₃BrNO₃S⁺ 424.0577; found 424.0539.



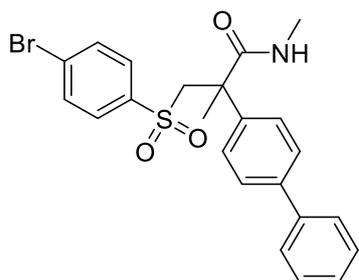
3-((4-bromophenyl)sulfonyl)-2-(4-(tert-butyl)phenyl)-*N*,2-dimethylpropanamide

4dh was obtained as transparent oily liquid in 80% yield (36.19 mg), using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.43 – 7.38 (m, 4H), 7.15 (d, *J* = 8.5 Hz, 2H), 7.05 (d, *J* = 8.6 Hz, 2H), 5.37 – 5.28 (m, 1H), 4.13 (d, *J* = 15.0 Hz, 1H), 3.81 (d, *J* = 15.0 Hz, 1H), 2.67 (d, *J* = 4.8 Hz, 3H), 1.95 (s, 3H), 1.26 (s, 9H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 175.1, 150.9, 139.9, 136.4, 132.0, 129.1, 128.1, 126.6, 125.6, 64.3, 48.8, 34.4, 31.3, 26.9, 22.4.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₂₁H₂₇BrNO₃S⁺ 452.0890; found 452.0863.



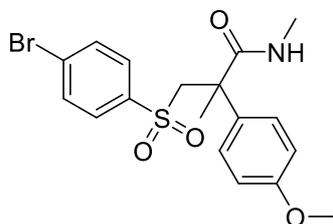
2-([1,1'-biphenyl]-4-yl)-3-((4-bromophenyl)sulfonyl)-*N*,2-dimethylpropanamide

4eh was obtained as white solid in 83% yield (39.21 mg), mp 162.8-165.4 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.55 – 7.52 (m, 2H), 7.47 – 7.37 (m, 9H), 7.26 – 7.19 (m, 2H), 5.40 – 5.32 (m, 1H), 4.13 (d, *J* = 15.1 Hz, 1H), 3.90 (d, *J* = 15.1 Hz, 1H), 2.72 (d, *J* = 4.8 Hz, 3H), 2.02 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 175.0, 140.9, 139.9, 139.8, 138.3, 132.1, 129.1, 129.0, 128.2, 127.8, 127.5, 127.2, 127.1, 64.4, 49.0, 27.0, 22.5.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{23}H_{23}BrNO_3S^+$ 472.0577; found 472.0536.



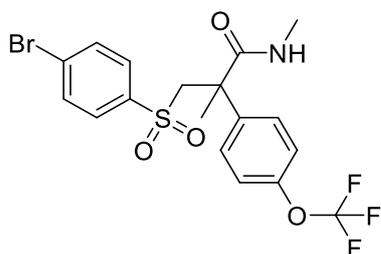
3-((4-bromophenyl)sulfonyl)-2-(4-methoxyphenyl)-N,2-dimethylpropanamide

4fh was obtained as white solid in 76% yield (32.40 mg), mp 155.4-158.2 °C, using PE/EA (5:1 to 1:1) as eluent.

1H NMR (400 MHz, Chloroform-*d*) δ 7.49 – 7.36 (m, 4H), 7.09 – 7.03 (m, 2H), 6.71 – 6.64 (m, 2H), 5.34 – 5.24 (m, 1H), 4.06 (d, $J = 15.0$ Hz, 1H), 3.81 (d, $J = 15.0$ Hz, 1H), 3.77 (s, 3H), 2.68 (d, $J = 4.8$ Hz, 3H), 1.94 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 175.4, 159.2, 139.9, 132.1, 131.1, 129.1, 128.3, 128.1, 114.0, 64.4, 55.3, 48.6, 26.9, 22.7.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{21}BrNO_4S^+$ 426.0369; found 426.0353.



3-((4-bromophenyl)sulfonyl)-N,2-dimethyl-2-(4-(trifluoromethoxy)phenyl)propanamide

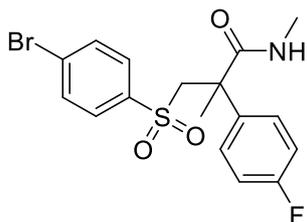
4gh was obtained as white solid in 83% yield (39.86 mg), mp 167.4-170.1 °C, using PE/EA (5:1 to 1:1) as eluent.

1H NMR (400 MHz, Chloroform-*d*) δ 7.57 – 7.50 (m, 4H), 7.30 – 7.26 (m, 2H), 7.07 (d, $J = 8.3$ Hz, 2H), 5.46 – 5.38 (m, 1H), 4.10 (d, $J = 14.8$ Hz, 1H), 3.72 (d, $J = 14.8$ Hz, 1H), 2.74 (d, $J = 4.8$ Hz, 3H), 2.00 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 174.1, 148.8, 140.0, 138.7, 132.3, 129.1, 128.7, 128.4, 120.9, 124.2 – 116.4 (m), 64.4, 48.9, 27.0, 22.4.

^{19}F NMR (377 MHz, Chloroform-*d*) δ -57.76.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{18}BrF_3NO_4S^+$ 480.0087; found 480.0088.



3-((4-bromophenyl)sulfonyl)-2-(4-fluorophenyl)-N,2-dimethylpropanamide

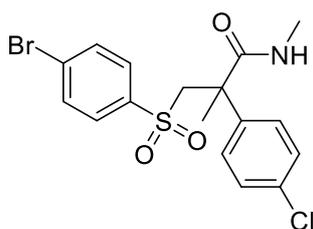
4hh was obtained as white solid in 85% yield (35.21 mg), mp 171.6-173.3 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.58 – 7.47 (m, 4H), 7.26 – 7.17 (m, 2H), 6.92 (t, *J* = 8.6 Hz, 2H), 5.41 – 5.31 (m, 1H), 4.08 (d, *J* = 14.8 Hz, 1H), 3.72 (d, *J* = 14.8 Hz, 1H), 2.73 (d, *J* = 4.8 Hz, 3H), 1.98 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 174.6, 162.2 (d, *J* = 248.4 Hz), 140.0, 135.7 (d, *J* = 3.6 Hz), 132.3, 129.1, 128.7 (d, *J* = 8.2 Hz), 128.6, 115.7 (d, *J* = 21.4 Hz), 64.5, 48.9, 27.0, 22.6.

¹⁹F NMR (377 MHz, Chloroform-*d*) δ -113.77.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₇H₁₈BrFNO₃S⁺ 414.0169; found 414.0169.



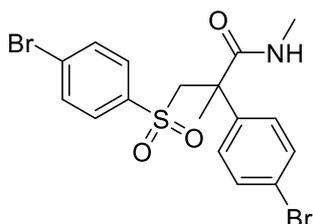
3-((4-bromophenyl)sulfonyl)-2-(4-chlorophenyl)-N,2-dimethylpropanamide

4ih was obtained as white solid in 82% yield (35.32 mg), mp 152.8-154.4 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.56 – 7.51 (m, 2H), 7.48 – 7.44 (m, 2H), 7.19 – 7.13 (m, 4H), 5.40 – 5.31 (m, 1H), 4.05 (d, *J* = 14.8 Hz, 1H), 3.74 (d, *J* = 14.8 Hz, 1H), 2.71 (d, *J* = 4.8 Hz, 3H), 1.96 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 174.4, 139.8, 138.3, 134.2, 132.3, 129.0, 128.9, 128.6, 128.3, 64.3, 48.9, 27.0, 22.4.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₇H₁₈BrClNO₃S⁺ 429.9874; found 429.9874.



2-(4-bromophenyl)-3-((4-bromophenyl)sulfonyl)-N,2-dimethylpropanamide

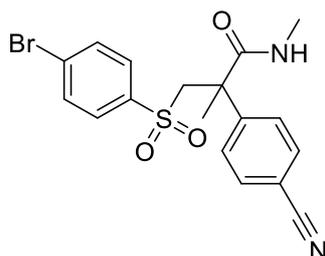
4jh was obtained as white solid in 81% yield (38.49 mg), mp 162.9-164.7 °C, using

PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.58 – 7.50 (m, 2H), 7.49 – 7.42 (m, 2H), 7.37 – 7.29 (m, 2H), 7.12 – 7.04 (m, 2H), 5.33 – 5.27 (m, 1H), 4.05 (d, *J* = 14.9 Hz, 1H), 3.76 (d, *J* = 14.9 Hz, 1H), 2.72 (d, *J* = 4.8 Hz, 3H), 1.97 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 174.3, 139.8, 138.7, 132.3, 131.8, 129.0, 128.7, 128.6, 122.4, 64.2, 49.0, 27.0, 22.3.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₇H₁₈Br₂NO₃S⁺ 473.9369; found 473.9367.



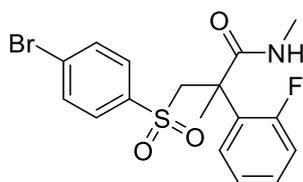
3-((4-bromophenyl)sulfonyl)-2-(4-cyanophenyl)-*N*,2-dimethylpropanamide

4kh was obtained as white solid in 87% yield (36.65 mg), mp 150.1-152.6 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.64 – 7.56 (m, 6H), 7.46 – 7.42 (m, 2H), 5.44 – 5.37 (m, 1H), 4.10 (d, *J* = 14.5 Hz, 1H), 3.67 (d, *J* = 14.5 Hz, 1H), 2.78 (d, *J* = 4.8 Hz, 3H), 2.04 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 173.4, 145.7, 140.0, 132.6, 129.3, 129.2, 127.7, 118.2, 112.2, 64.2, 49.7, 27.3, 22.2.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₈H₁₈BrN₂O₃S⁺ 421.0216; found 421.0202.



3-((4-bromophenyl)sulfonyl)-2-(2-fluorophenyl)-*N*,2-dimethylpropanamide

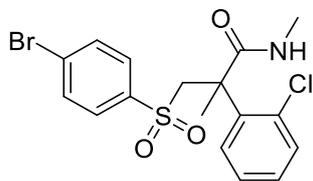
4lh was obtained as white solid in 86% yield (35.63 mg), mp 155.5-158.4 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.42 (d, *J* = 8.7 Hz, 3H), 7.31 (d, *J* = 8.6 Hz, 2H), 7.29 – 7.21 (m, 1H), 7.21 – 7.13 (m, 1H), 6.72 – 6.63 (m, 1H), 5.13 – 5.05 (m, 1H), 4.14 (d, *J* = 15.5 Hz, 1H), 3.92 (d, *J* = 15.5 Hz, 1H), 2.66 (d, *J* = 4.8 Hz, 3H), 1.97 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 174.8, 160.6 (d, *J* = 248.5 Hz), 139.3, 132.1, 130.7 (d, *J* = 8.8 Hz), 129.4 (d, *J* = 3.9 Hz), 128.9, 128.2, 126.2 (d, *J* = 12.3 Hz), 124.8 (d, *J* = 3.5 Hz), 115.8 (d, *J* = 22.0 Hz), 60.6 (d, *J* = 4.8 Hz), 46.6, 26.9, 23.7.

¹⁹F NMR (377 MHz, Chloroform-*d*) δ -109.88.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{18}BrFNO_3S^+$ 414.0169; found 414.0167.



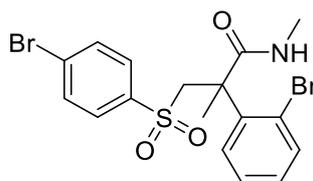
3-((4-bromophenyl)sulfonyl)-2-(2-chlorophenyl)-N,2-dimethylpropanamide

4mh was obtained as white solid in 93% yield (40.06 mg), mp 154.3-156.4 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.62 – 7.55 (m, 1H), 7.41 – 7.38 (m, 2H), 7.37 – 7.29 (m, 1H), 7.26 – 7.18 (m, 3H), 7.03 – 6.96 (m, 1H), 5.01 – 4.92 (m, 1H), 4.56 (d, $J = 15.8$ Hz, 1H), 3.87 (d, $J = 15.8$ Hz, 1H), 2.67 (d, $J = 4.8$ Hz, 3H), 2.02 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 175.0, 138.9, 135.5, 134.7, 131.9, 131.0, 130.7, 130.0, 128.8, 128.1, 127.5, 59.2, 48.9, 27.0, 25.4.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{18}BrClNO_3S^+$ 429.9874; found 429.9875.



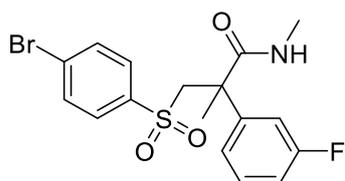
2-(2-bromophenyl)-3-((4-bromophenyl)sulfonyl)-N,2-dimethylpropanamide

4nh was obtained as white solid in 88% yield (41.82 mg), mp 148.8-151.4 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.59 (d, $J = 7.9$ Hz, 1H), 7.40 – 7.35 (m, 3H), 7.28 – 7.18 (m, 3H), 7.16 – 7.07 (m, 1H), 5.03 – 4.95 (m, 1H), 4.68 (d, $J = 15.7$ Hz, 1H), 3.85 (d, $J = 15.7$ Hz, 1H), 2.67 (d, $J = 4.8$ Hz, 3H), 2.03 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 175.0, 138.9, 136.8, 134.7, 131.9, 131.2, 130.1, 128.9, 128.1, 128.0, 124.8, 59.2, 50.1, 27.1, 25.8.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{18}Br_2NO_3S^+$ 473.9369; found 473.9366.



3-((4-bromophenyl)sulfonyl)-2-(3-fluorophenyl)-N,2-dimethylpropanamide

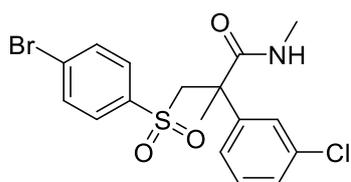
4oh was obtained as white solid in 80% yield (33.14 mg), mp 156.8-159.4 °C, PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.58 – 7.49 (m, 4H), 7.25 – 7.15 (m, 1H), 7.02 (d, $J = 8.4$ Hz, 1H), 6.98 – 6.89 (m, 2H), 5.45 – 5.34 (m, 1H), 4.11 (d, $J = 14.7$ Hz, 1H), 3.70 (d, $J = 14.8$ Hz, 1H), 2.73 (d, $J = 4.8$ Hz, 3H), 1.98 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 174.0, 162.8 (d, $J = 247.4$ Hz), 142.6 (d, $J = 6.8$ Hz), 139.9, 132.3, 130.4 (d, $J = 8.3$ Hz), 129.1, 128.7, 122.5 (d, $J = 3.0$ Hz), 114.9 (d, $J = 20.9$ Hz), 114.2 (d, $J = 22.8$ Hz), 64.2, 49.2 (d, $J = 1.7$ Hz), 27.0, 22.3.

¹⁹F NMR (377 MHz, Chloroform-*d*) δ -111.26.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for C₁₇H₁₈BrFNO₃S⁺ 414.0169; found 414.0165.



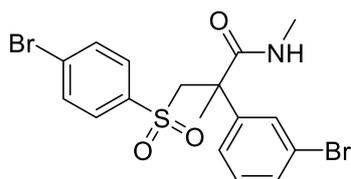
3-((4-bromophenyl)sulfonyl)-2-(3-chlorophenyl)-*N*,2-dimethylpropanamide

4ph was obtained as transparent oily liquid in 75% yield (32.31 mg), using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.54 – 7.47 (m, 4H), 7.21 – 7.11 (m, 4H), 5.38 – 5.29 (m, 1H), 4.09 (d, $J = 14.9$ Hz, 1H), 3.75 (d, $J = 14.9$ Hz, 1H), 2.74 (d, $J = 4.8$ Hz, 3H), 1.98 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 174.0, 141.9, 139.7, 134.9, 132.3, 130.1, 129.0, 128.7, 128.1, 127.3, 125.0, 64.1, 49.1, 27.1, 22.2.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for C₁₇H₁₈BrClNO₃S⁺ 429.9874; found 429.9873.



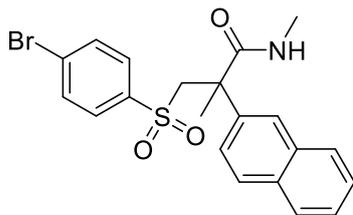
2-(3-bromophenyl)-3-((4-bromophenyl)sulfonyl)-*N*,2-dimethylpropanamide

4qh was obtained as white solid in 77% yield (36.59 mg), mp 147.8-150.3 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.56 – 7.43 (m, 4H), 7.38 – 7.30 (m, 1H), 7.29 (t, $J = 1.9$ Hz, 1H), 7.21 – 7.14 (m, 1H), 7.09 (t, $J = 7.9$ Hz, 1H), 5.37 – 5.27 (m, 1H), 4.08 (d, $J = 15.0$ Hz, 1H), 3.76 (d, $J = 14.9$ Hz, 1H), 2.73 (d, $J = 4.8$ Hz, 3H), 1.97 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 169.3, 137.3, 134.9, 127.6, 126.3, 125.6, 125.4, 124.3, 124.0, 120.8, 118.4, 59.3, 44.3, 22.3, 17.5.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for C₁₇H₁₈Br₂NO₃S⁺ 473.9369; found 473.9366.



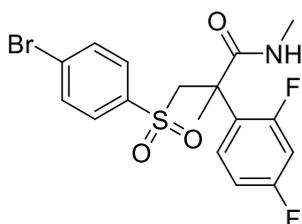
3-((4-bromophenyl)sulfonyl)-*N*,2-dimethyl-2-(naphthalen-2-yl)propanamide

4rh was obtained as white solid in 57% yield (25.44 mg), mp 160.5-163.4 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.78 – 7.72 (m, 2H), 7.71 – 7.67 (m, 1H), 7.55 – 7.50 (m, 3H), 7.25 – 7.22 (m, 2H), 7.14 – 7.10 (m, 2H), 7.10 – 7.04 (m, 1H), 5.24 – 5.16 (m, 1H), 4.14 (d, *J* = 15.3 Hz, 1H), 3.99 (d, *J* = 15.3 Hz, 1H), 2.69 (d, *J* = 4.8 Hz, 3H), 2.10 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 175.3, 140.0, 136.3, 132.9, 132.7, 131.9, 129.0, 128.7, 128.2, 128.1, 127.5, 127.1, 127.0, 126.5, 124.8, 64.0, 49.5, 27.0, 22.7.

HRMS (ESI/Q-TOF) *m/z*: [M+H]⁺ calcd for C₂₁H₂₁BrNO₃S⁺ 446.0420; found 446.0402.



3-((4-bromophenyl)sulfonyl)-2-(2,4-difluorophenyl)-*N*,2-dimethylpropanamide

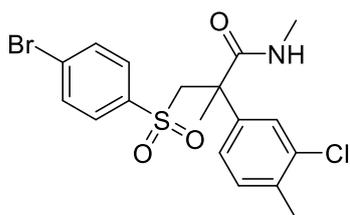
4sh was obtained as white solid in 86% yield (37.18 mg), mp 156.1-158.4 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.57 – 7.50 (m, 2H), 7.49 – 7.43 (m, 1H), 7.43 – 7.39 (m, 2H), 7.01 – 6.89 (m, 1H), 6.57 – 6.47 (m, 1H), 5.10 – 5.05 (m, 1H), 4.08 (d, *J* = 15.3 Hz, 1H), 3.88 (d, *J* = 15.3 Hz, 1H), 2.71 (d, *J* = 4.8 Hz, 3H), 1.98 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 174.5, 162.3 – 162.0 (m), 159.7 – 159.5 (m), 139.4, 132.2, 130.4 – 130.0 (m), 128.9, 128.5, 122.5 (d, *J* = 12.6 Hz), 112.0 – 111.5 (m), 104.5 (t, *J* = 25.8 Hz), 60.5 (d, *J* = 4.8 Hz), 46.3, 26.9, 23.9.

¹⁹F NMR (377 MHz, Chloroform-*d*) δ -105.74 (d, *J* = 9.3 Hz), -108.53 (d, *J* = 9.5 Hz).

HRMS (ESI/Q-TOF) *m/z*: [M+Na]⁺ calcd for C₁₇H₁₆BrF₂NNaO₃S⁺ 453.9895; found 453.9893.



3-((4-bromophenyl)sulfonyl)-2-(3-chloro-4-methylphenyl)-*N*,2-

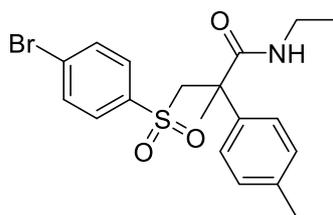
dimethylpropanamide

4th was obtained as white solid in 78% yield (34.69 mg), mp 144.7-146.9 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, DMSO-*d*₆) δ 7.65 (d, *J* = 8.6 Hz, 2H), 7.50 (d, *J* = 8.6 Hz, 2H), 7.46 – 7.38 (m, 1H), 7.12 (d, *J* = 8.0 Hz, 1H), 7.09 – 7.00 (m, 2H), 4.23 (d, *J* = 15.0 Hz, 1H), 3.94 (d, *J* = 15.0 Hz, 1H), 2.48 (d, *J* = 4.5 Hz, 3H), 2.24 (s, 3H), 1.78 (s, 3H).

¹³C NMR (101 MHz, DMSO-*d*₆) δ 178.3, 145.3, 144.9, 139.5, 138.2, 137.1, 136.0, 134.4, 132.6, 132.3, 130.5, 67.9, 52.9, 31.6, 26.5, 24.3.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₈H₂₀BrClNO₃S⁺ 444.0030; found 444.0044.



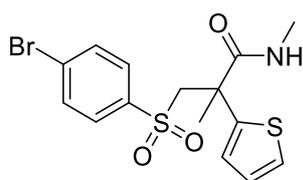
3-((4-bromophenyl)sulfonyl)-*N*-ethyl-2-methyl-2-(*p*-tolyl)propanamide

4uh was obtained as transparent oily liquid in 79% yield (33.52 mg), using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.47 – 7.37 (m, 4H), 7.05 – 6.95 (m, 4H), 5.24 – 5.13 (m, 1H), 4.07 (d, *J* = 15.0 Hz, 1H), 3.80 (d, *J* = 15.0 Hz, 1H), 3.23 – 3.11 (m, 2H), 2.30 (s, 3H), 1.96 (s, 3H), 0.98 (t, *J* = 7.2 Hz, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 174.6, 140.0, 138.0, 136.4, 132.1, 129.5, 129.2, 128.1, 127.0, 64.4, 49.0, 35.0, 22.6, 21.1, 14.6.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₉H₂₃BrNO₃S⁺ 424.0577; found 424.0580.



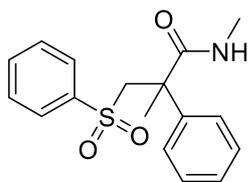
3-((4-bromophenyl)sulfonyl)-*N*,2-dimethyl-2-(thiophen-2-yl)propanamide

4vh was obtained as transparent oily liquid in 62% yield (24.94 mg), using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.67 – 7.58 (m, 4H), 7.22 (d, *J* = 5.1 Hz, 1H), 6.98 – 6.89 (m, 2H), 5.71 – 5.60 (m, 1H), 4.23 (d, *J* = 14.5 Hz, 1H), 3.65 (d, *J* = 14.5 Hz, 1H), 2.77 (d, *J* = 4.8 Hz, 3H), 2.05 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 173.5, 144.9, 140.2, 132.5, 129.4, 128.8, 127.3, 126.1, 126.0, 65.3, 47.7, 27.2, 23.9.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₅H₁₇BrNO₃S₂⁺ 401.9828; found 401.9825.



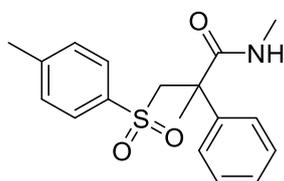
***N*,2-dimethyl-2-phenyl-3-(phenylsulfonyl)propanamide**

4aa was obtained as white solid in 76% yield (24.12 mg), mp 145.4-148.2 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.70 – 7.63 (m, 2H), 7.52 (t, *J* = 7.5 Hz, 1H), 7.39 (t, *J* = 7.8 Hz, 2H), 7.27 – 7.20 (m, 5H), 5.49 – 5.42 (m, 1H), 4.16 (d, *J* = 14.7 Hz, 1H), 3.76 (d, *J* = 14.7 Hz, 1H), 2.72 (d, *J* = 4.8 Hz, 3H), 2.01 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 174.9, 141.2, 140.2, 133.2, 129.0, 128.8, 127.9, 127.5, 126.8, 64.3, 49.4, 27.0, 22.5.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₈H₂₂NO₃S⁺ 332.1315; found 332.1316.



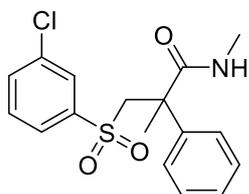
***N*,2-dimethyl-2-phenyl-3-tosylpropanamide**

4ab was obtained as transparent oily liquid in 74% yield (24.53 mg), using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.54 (d, *J* = 8.3 Hz, 2H), 7.25 – 7.20 (m, 5H), 7.17 (d, *J* = 8.0 Hz, 2H), 5.44 – 5.36 (m, 1H), 4.13 (d, *J* = 14.6 Hz, 1H), 3.70 (d, *J* = 14.6 Hz, 1H), 2.71 (d, *J* = 4.8 Hz, 3H), 2.37 (s, 3H), 1.99 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 174.9, 144.0, 140.3, 138.3, 129.6, 128.7, 127.7, 127.6, 126.8, 64.3, 49.4, 26.9, 22.5, 21.6.

HRMS (ESI/Q-TOF) m/z: [M+H]⁺ calcd for C₁₈H₂₂NO₃S⁺ 332.1315; found 332.1316.



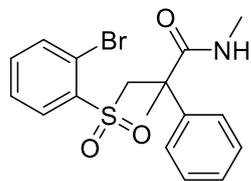
3-((3-chlorophenyl)sulfonyl)-*N*,2-dimethyl-2-phenylpropanamide

4ac was obtained as white solid in 71% yield (24.98 mg), mp 153.5-155.9 °C, using PE/EA (5:1 to 1:1) as eluent.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.55 – 7.52 (m, 1H), 7.47 – 7.42 (m, 2H), 7.34 – 7.29 (m, 1H), 7.21 (s, 5H), 5.32 – 5.23 (m, 1H), 4.11 (d, *J* = 15.0 Hz, 1H), 3.84 (d, *J* = 15.0 Hz, 1H), 2.71 (d, *J* = 4.8 Hz, 3H), 2.00 (s, 3H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 175.0, 142.6, 139.3, 135.1, 133.2, 130.2, 128.7, 128.2, 127.7, 127.0, 125.6, 64.3, 49.3, 26.9, 22.6.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{19}ClNO_3S^+$ 352.0769; found 352.0771.



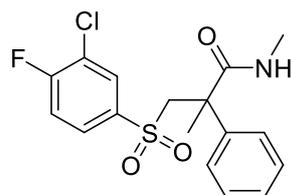
3-((2-bromophenyl)sulfonyl)-N,2-dimethyl-2-phenylpropanamide

4aI was obtained as transparent oily liquid in 68% yield (26.95 mg), using PE/EA (5:1 to 1:1) as eluent.

1H NMR (400 MHz, Chloroform-*d*) δ 7.64 – 7.55 (m, 2H), 7.30 – 7.19 (m, 5H), 7.15 – 7.12 (m, 2H), 5.23 – 5.16 (m, 1H), 4.41 (d, J = 15.3 Hz, 1H), 4.17 (d, J = 15.3 Hz, 1H), 2.69 (d, J = 4.8 Hz, 3H), 1.99 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 175.3, 139.8, 139.1, 135.0, 134.0, 131.3, 128.6, 128.0, 127.7, 127.2, 120.6, 61.4, 49.4, 26.9, 23.0.

HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{19}BrNO_3S^+$ 396.0264; found 396.0264.



3-((3-chloro-4-fluorophenyl)sulfonyl)-N,2-dimethyl-2-phenylpropanamide

4aII was obtained as white solid in 79% yield (29.22 mg), mp 159.7-162.4 °C, using PE/EA (5:1 to 1:1) as eluent.

1H NMR (400 MHz, Chloroform-*d*) δ 7.55 – 7.48 (m, 2H), 7.25 – 7.16 (m, 5H), 7.11 (t, J = 8.5 Hz, 1H), 5.31 – 5.21 (m, 1H), 4.10 (d, J = 15.1 Hz, 1H), 3.85 (d, J = 15.1 Hz, 1H), 2.71 (d, J = 4.8 Hz, 3H), 1.99 (s, 3H).

^{13}C NMR (101 MHz, Chloroform-*d*) δ 174.9, 160.8 (d, J = 257.9 Hz), 139.2, 137.9 (d, J = 3.9 Hz), 130.7 (d, J = 1.4 Hz), 128.7, 128.2, 128.1 (d, J = 8.7 Hz), 127.0, 122.1 (d, J = 18.7 Hz), 117.2 (d, J = 22.5 Hz), 64.5, 49.3, 26.9, 22.5.

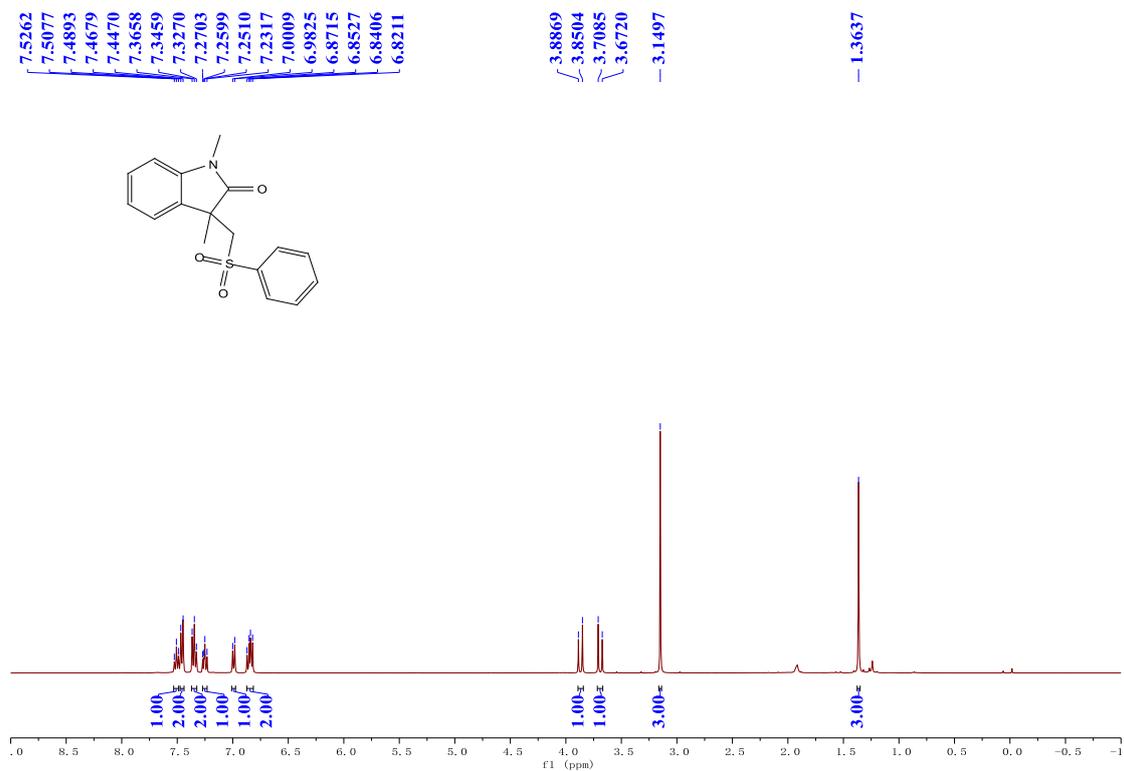
^{19}F NMR (377 MHz, Chloroform-*d*) δ -106.68.

HRMS (ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{17}H_{17}ClFNNaO_3S^+$ 392.0494; found 392.0494.

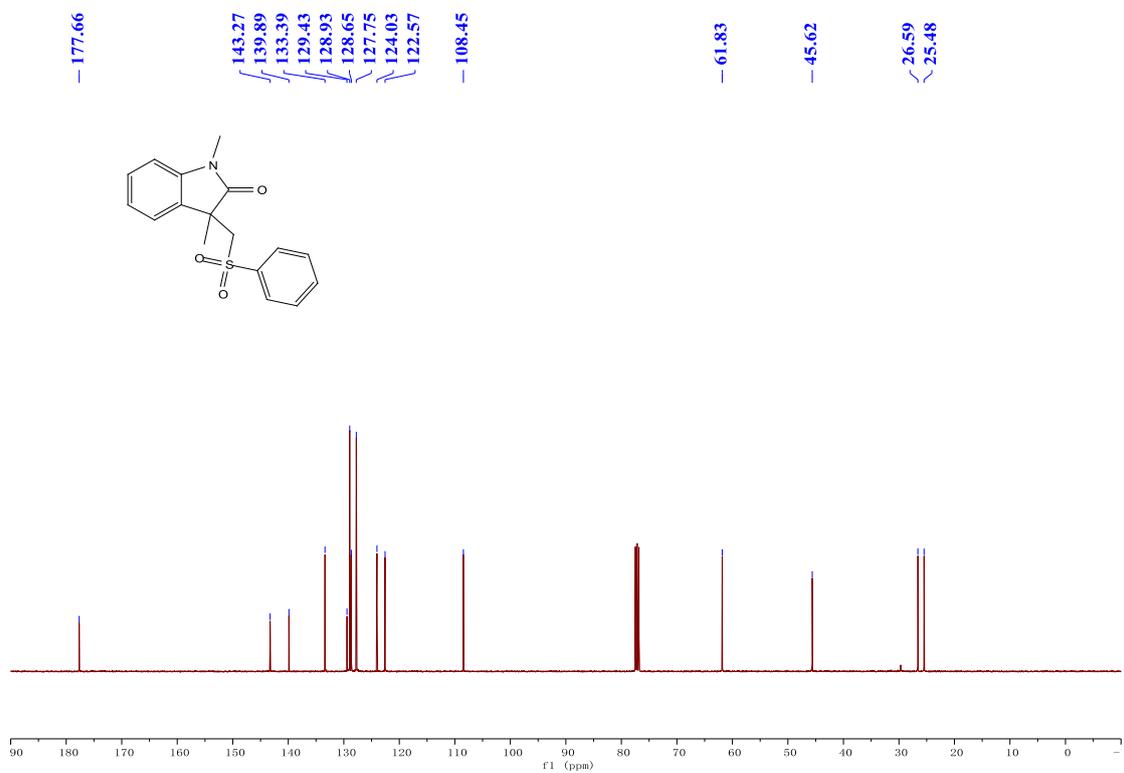
10. References

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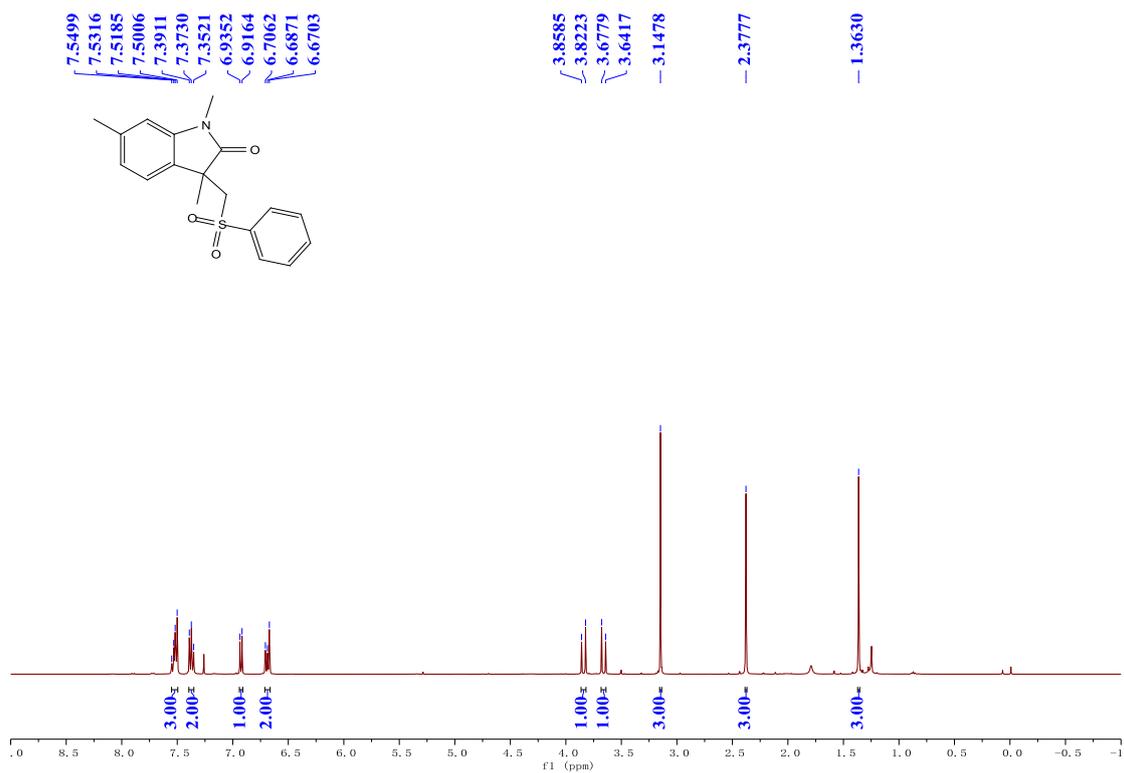
11. NMR Spectra



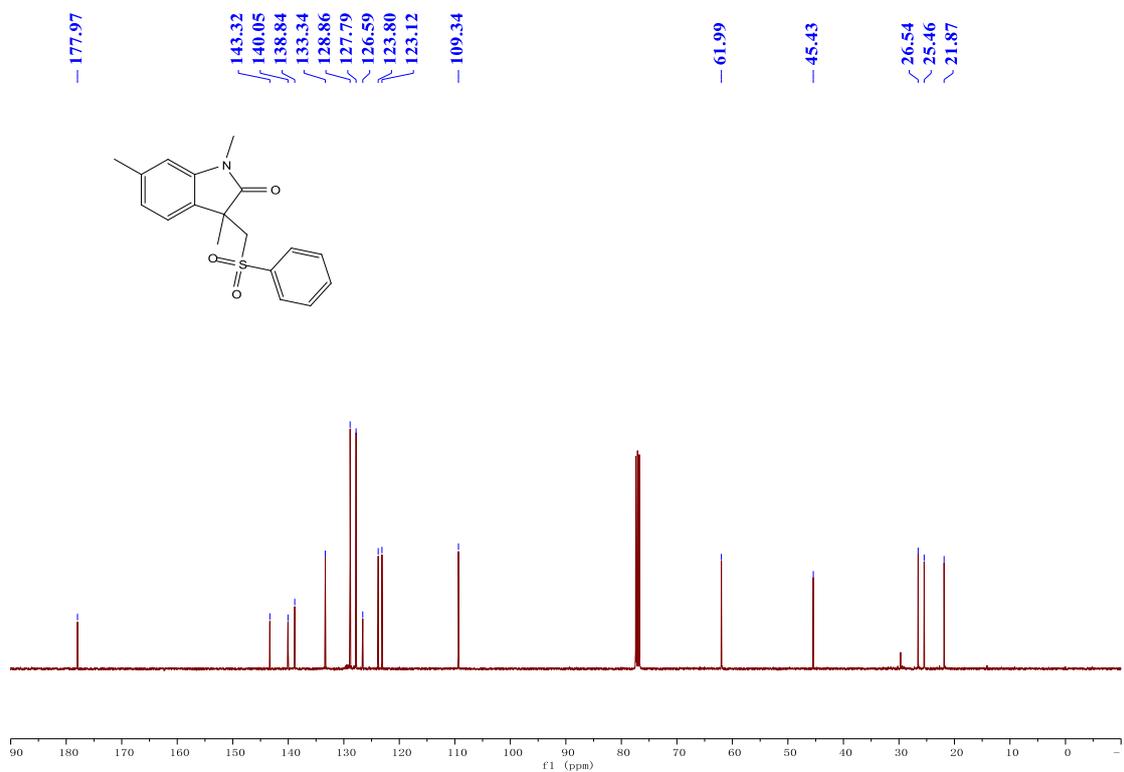
¹H NMR spectra of **3aa** (400 MHz, CDCl₃)



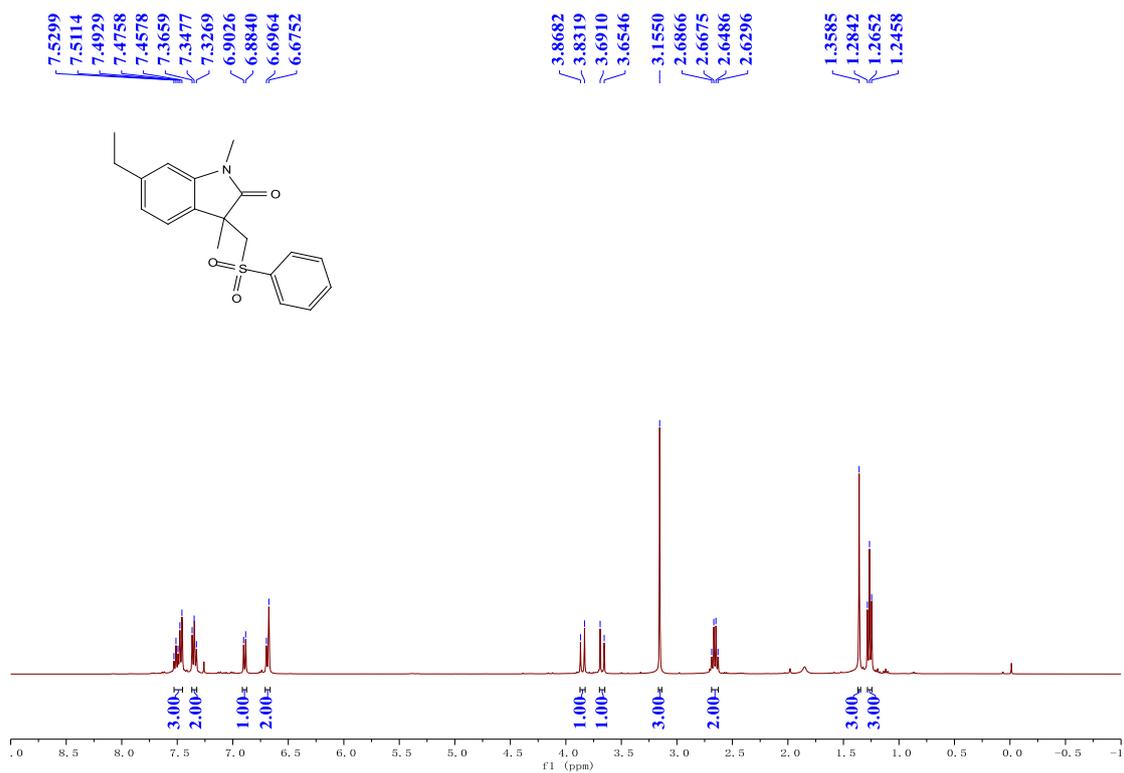
¹³C NMR spectra of **3aa** (101 MHz, CDCl₃)



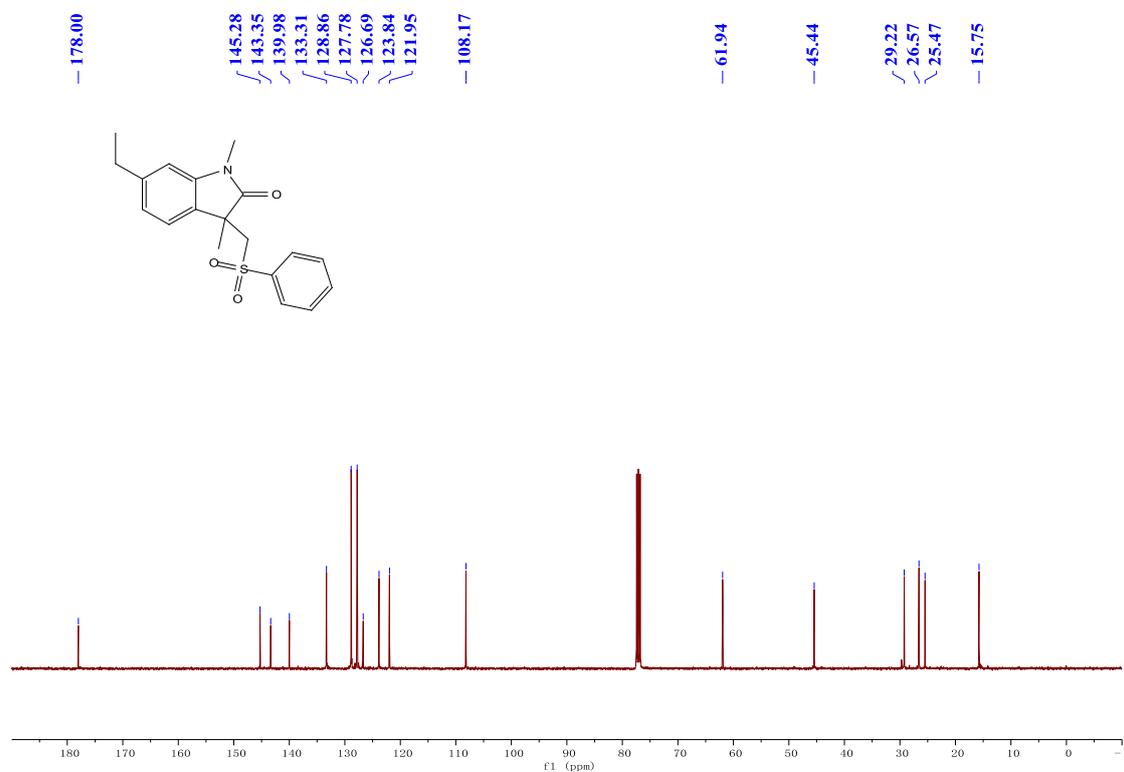
¹H NMR spectra of **3ba** (400 MHz, CDCl₃)



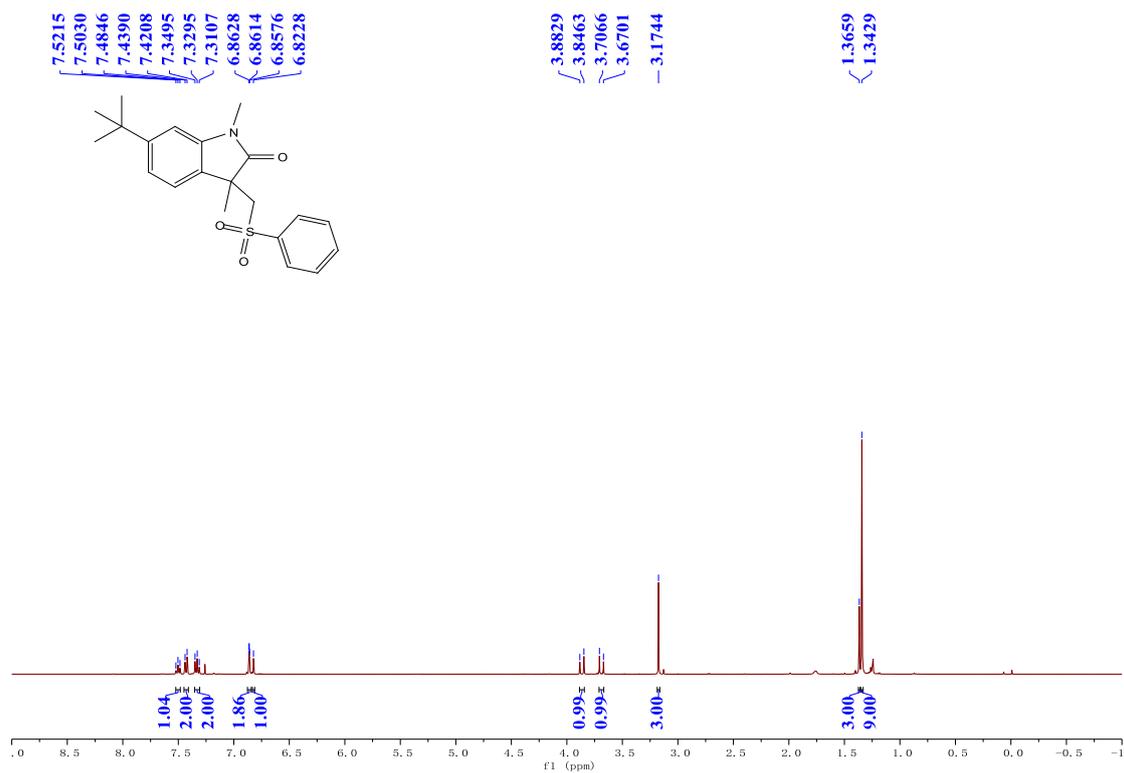
¹³C NMR spectra of **3ba** (101 MHz, CDCl₃)



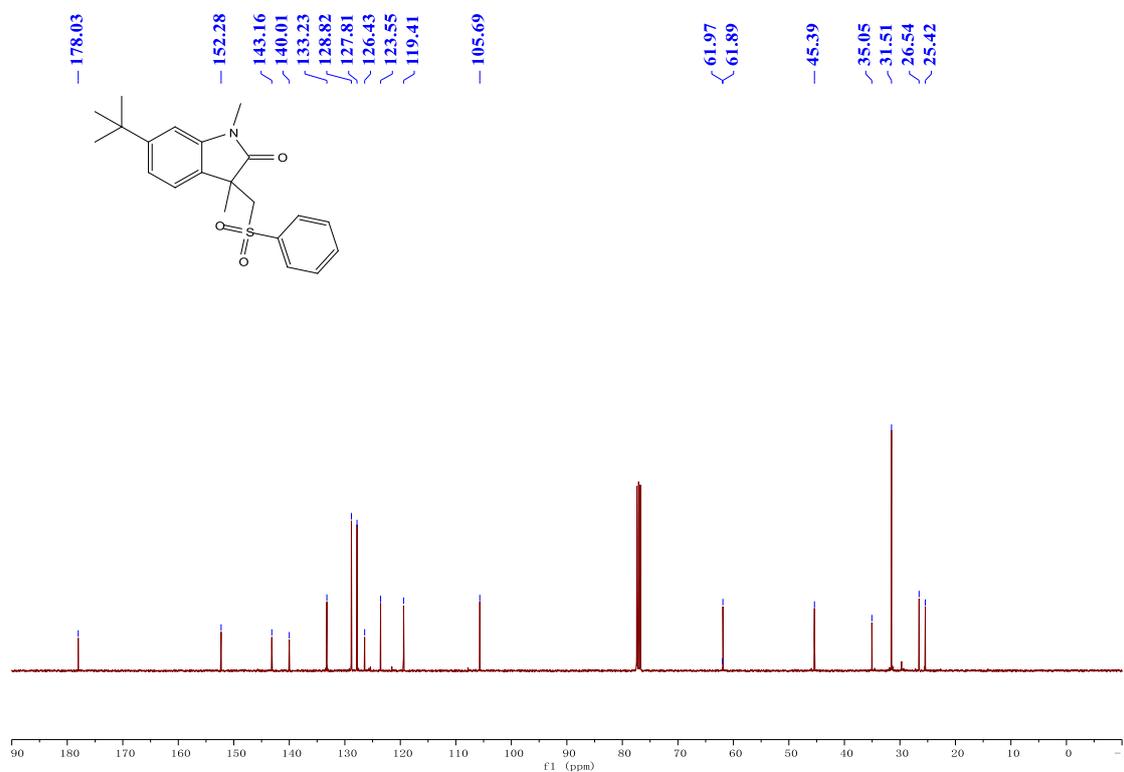
¹H NMR spectra of **3ca** (400 MHz, CDCl₃)



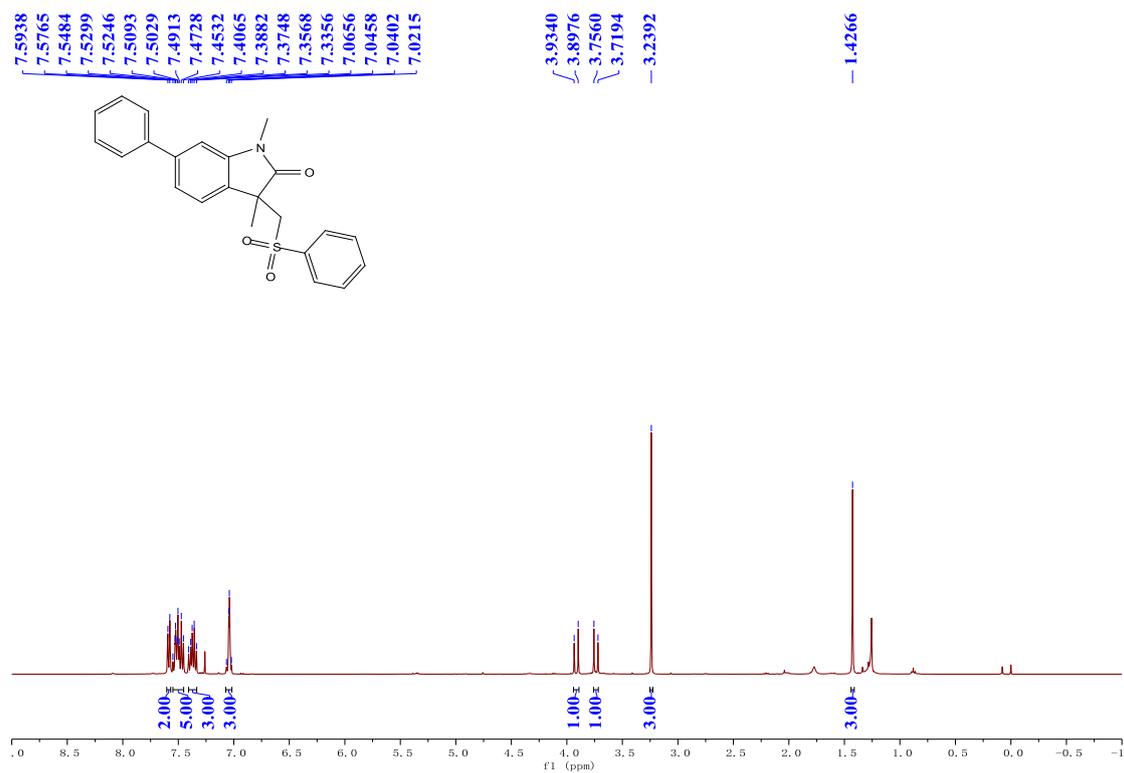
¹³C NMR spectra of **3ca** (101 MHz, CDCl₃)



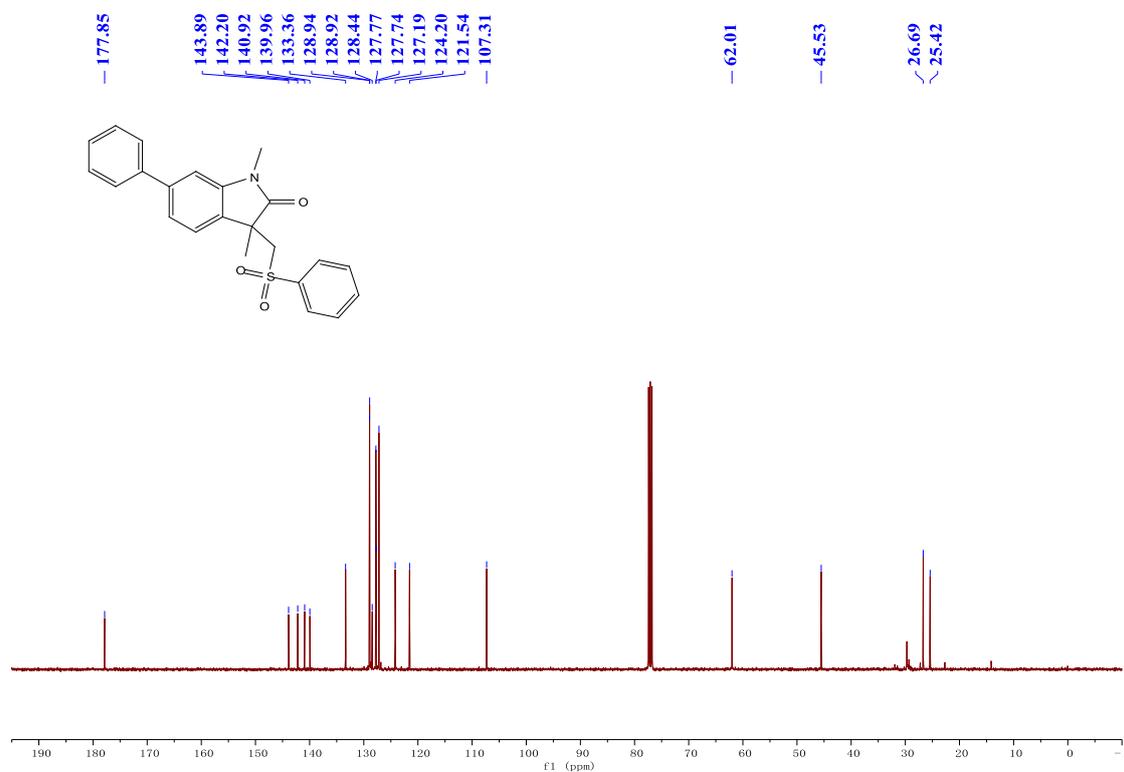
¹H NMR spectra of **3da** (400 MHz, CDCl₃)



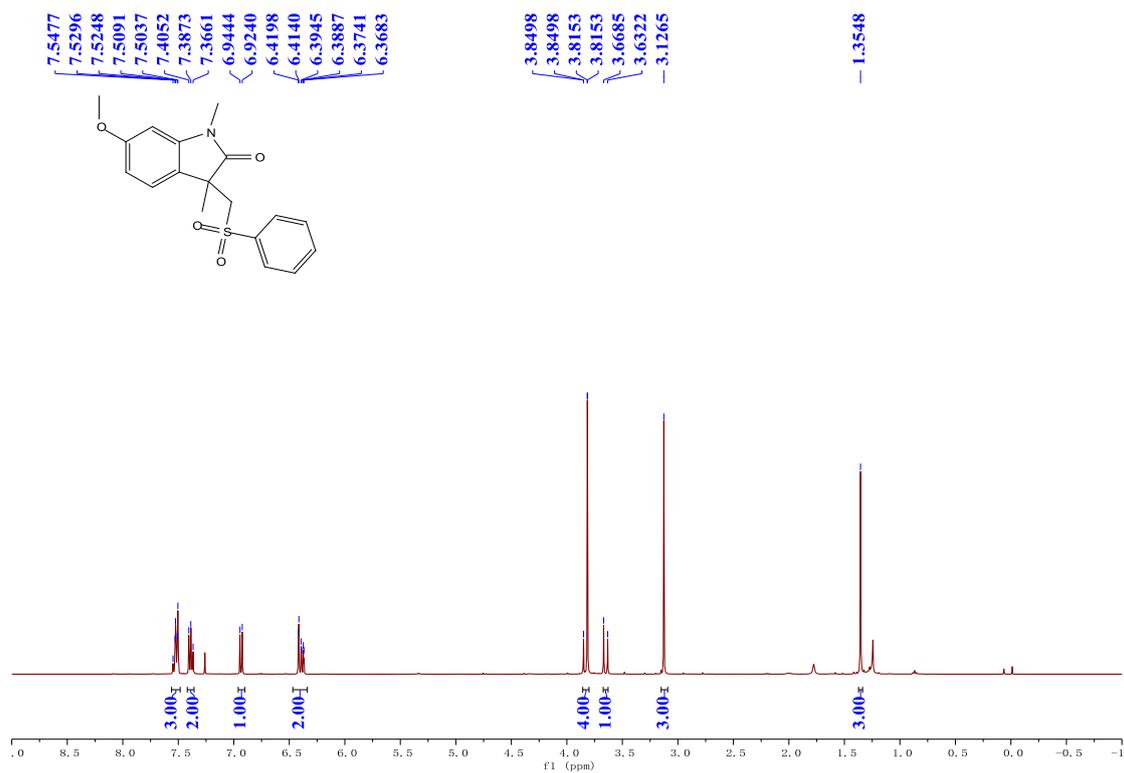
¹³C NMR spectra of **3da** (101 MHz, CDCl₃)



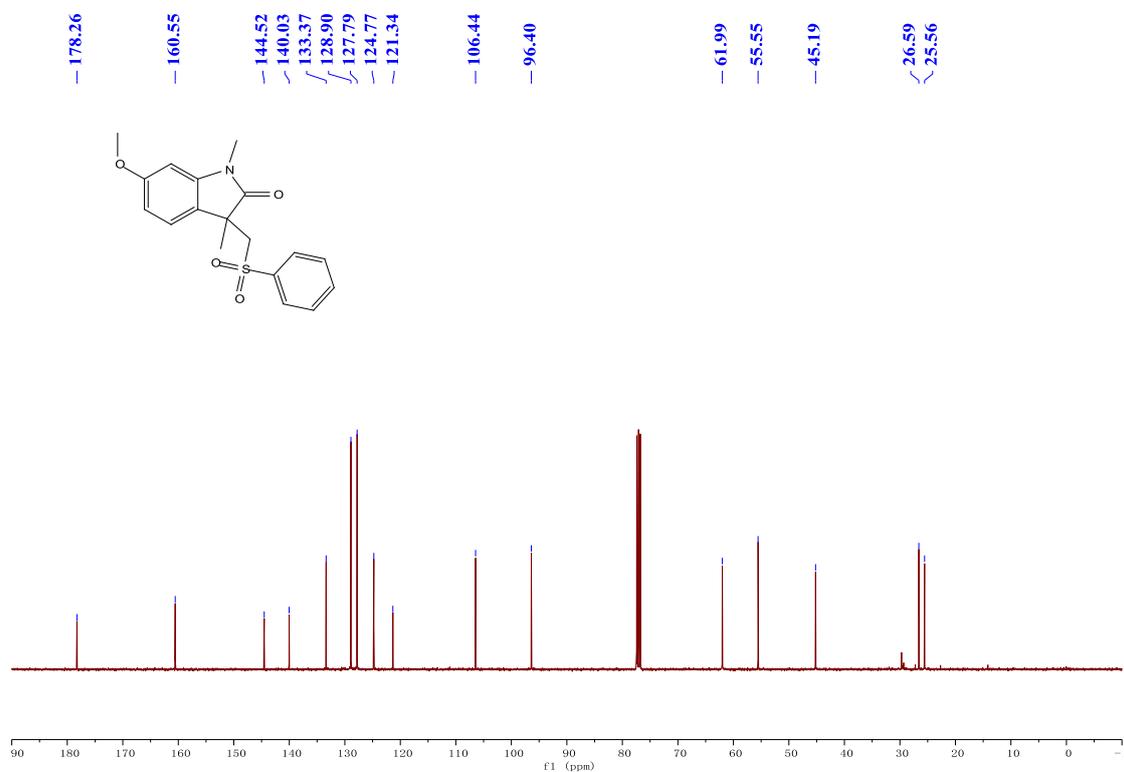
¹H NMR spectra of **3ea** (400 MHz, CDCl₃)



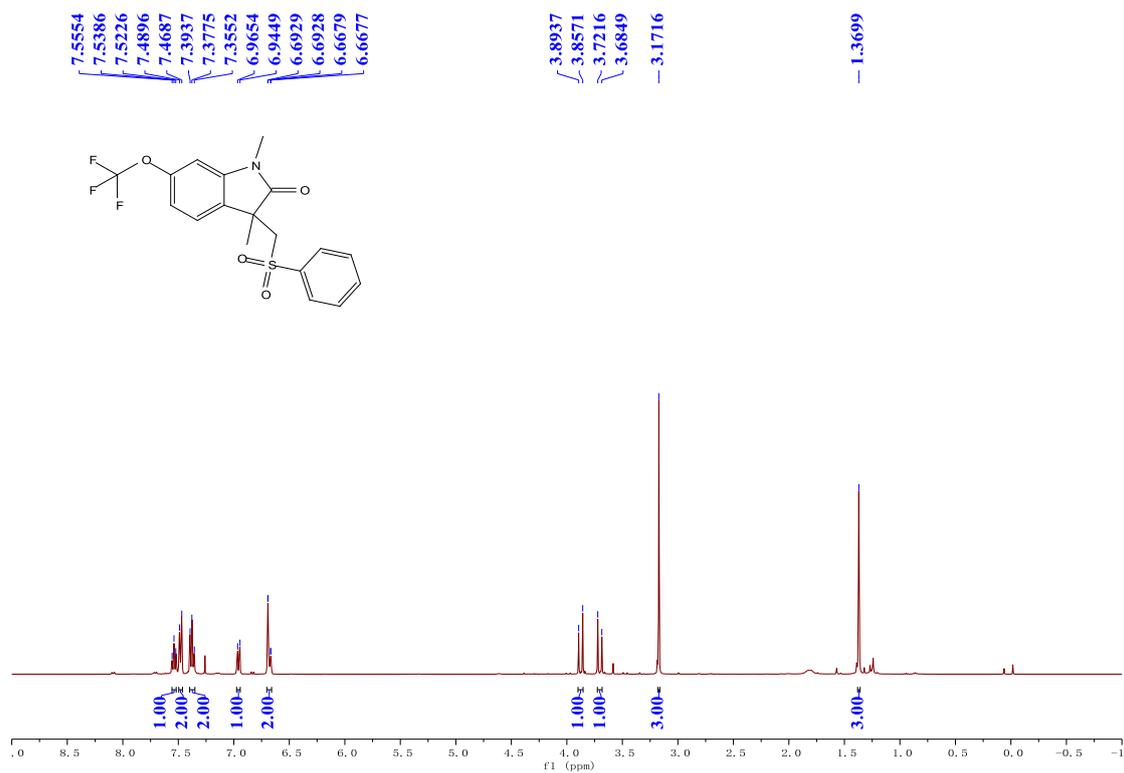
¹³C NMR spectra of **3ea** (101 MHz, CDCl₃)



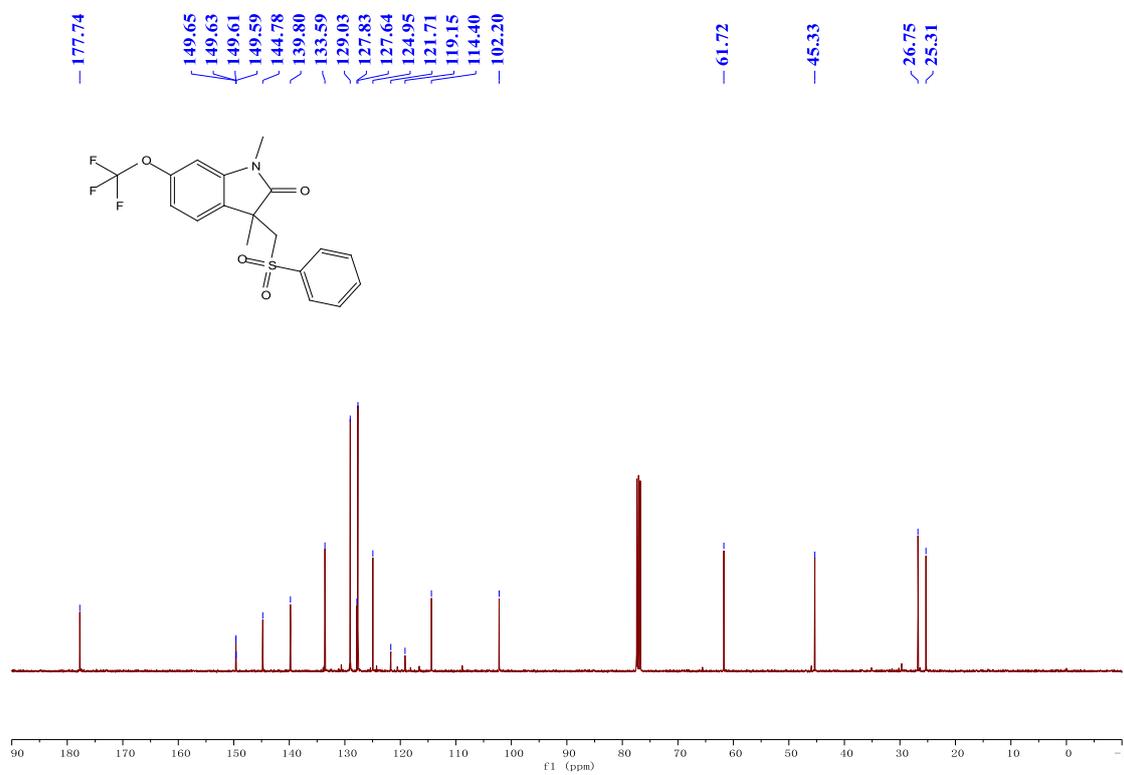
¹H NMR spectra of **3fa** (400 MHz, CDCl₃)



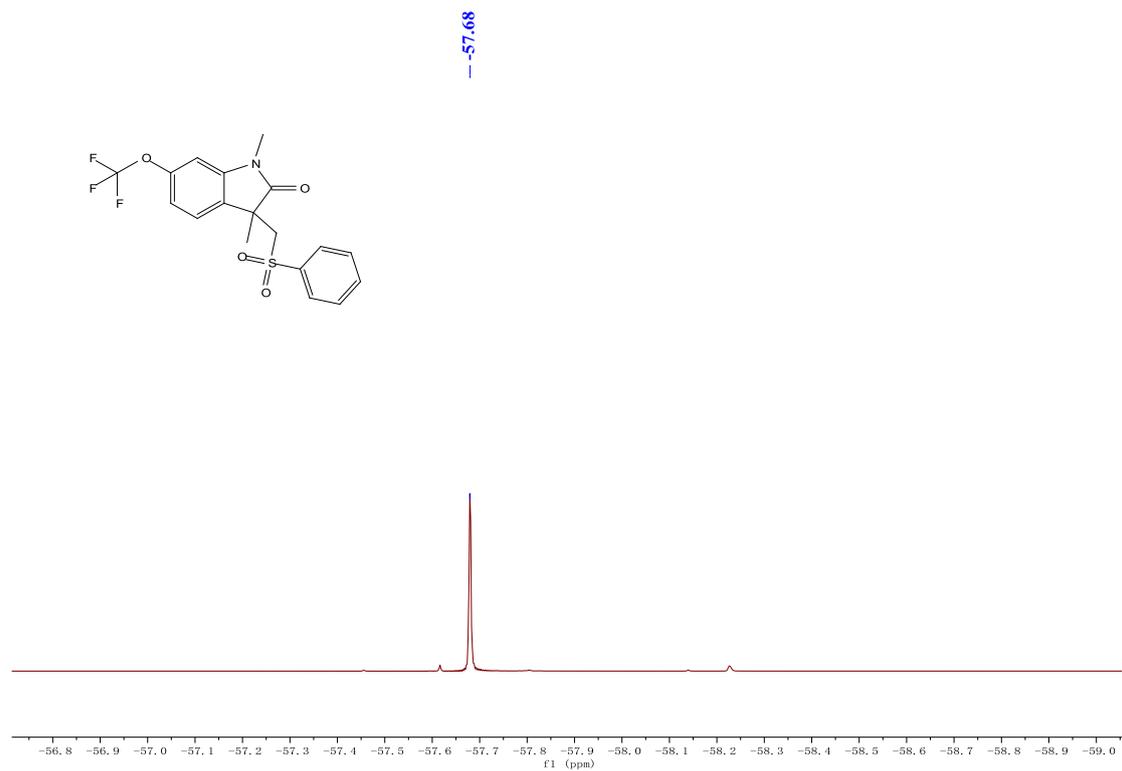
¹³C NMR spectra of **3fa** (101 MHz, CDCl₃)



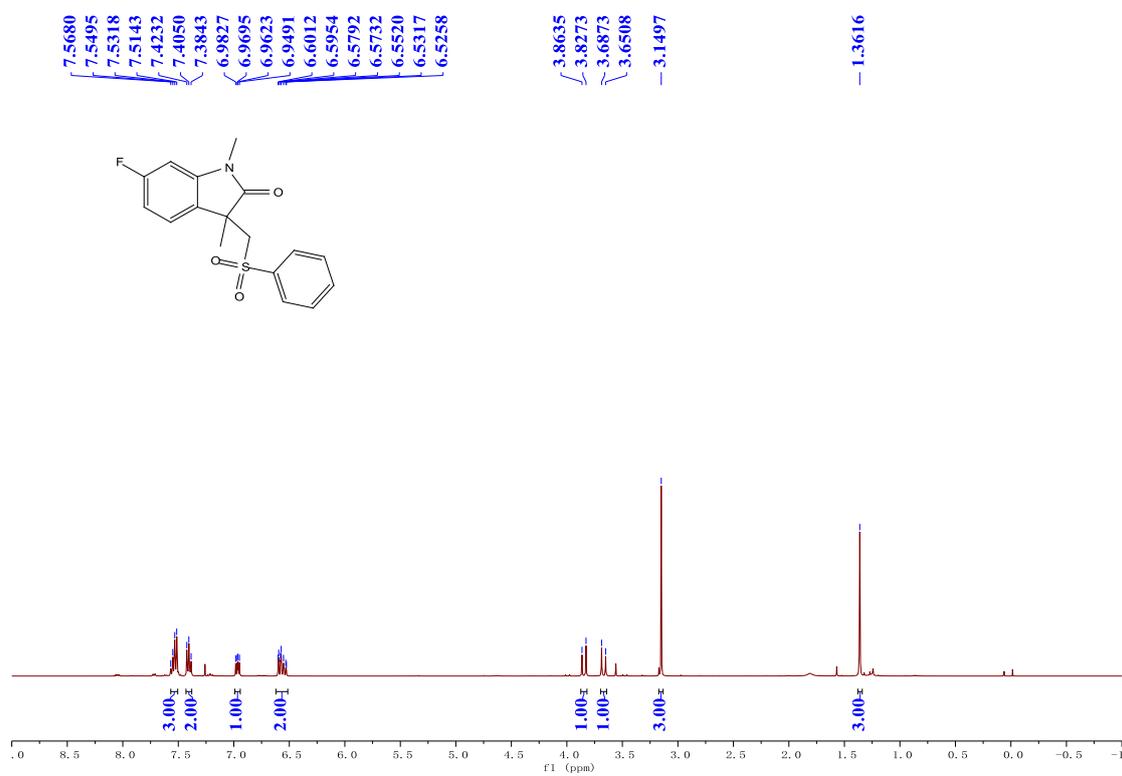
¹H NMR spectra of **3ga** (400 MHz, CDCl₃)



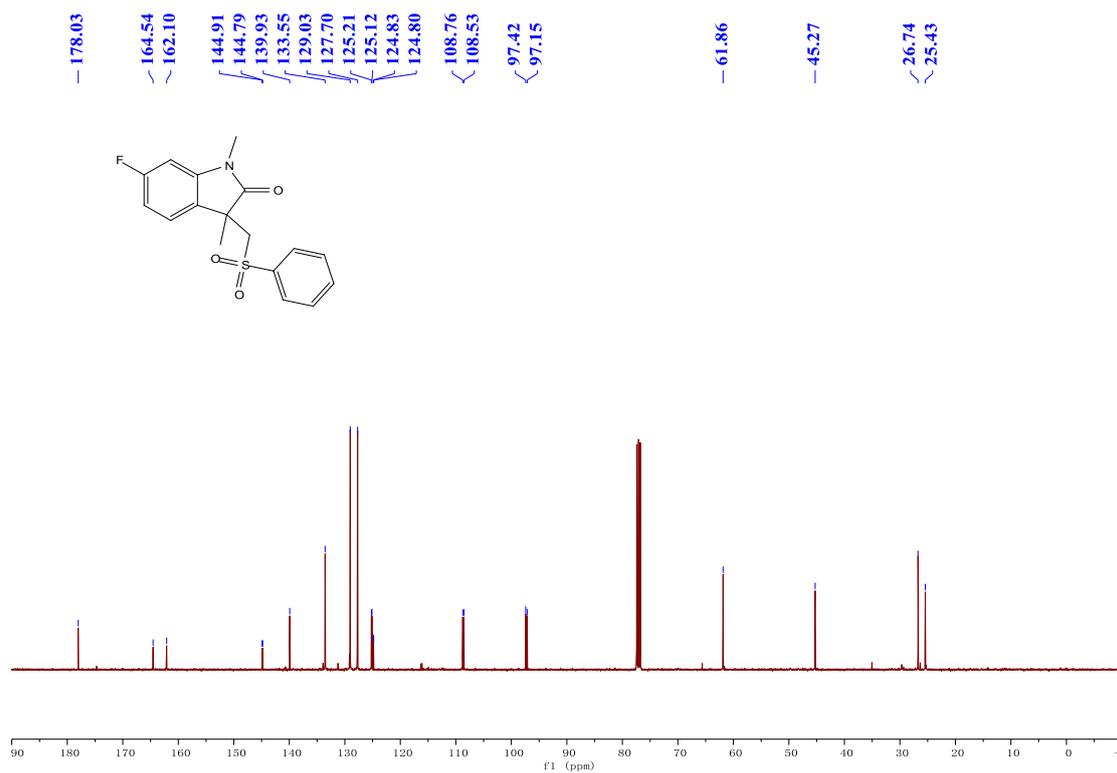
¹³C NMR spectra of **3ga** (101 MHz, CDCl₃)



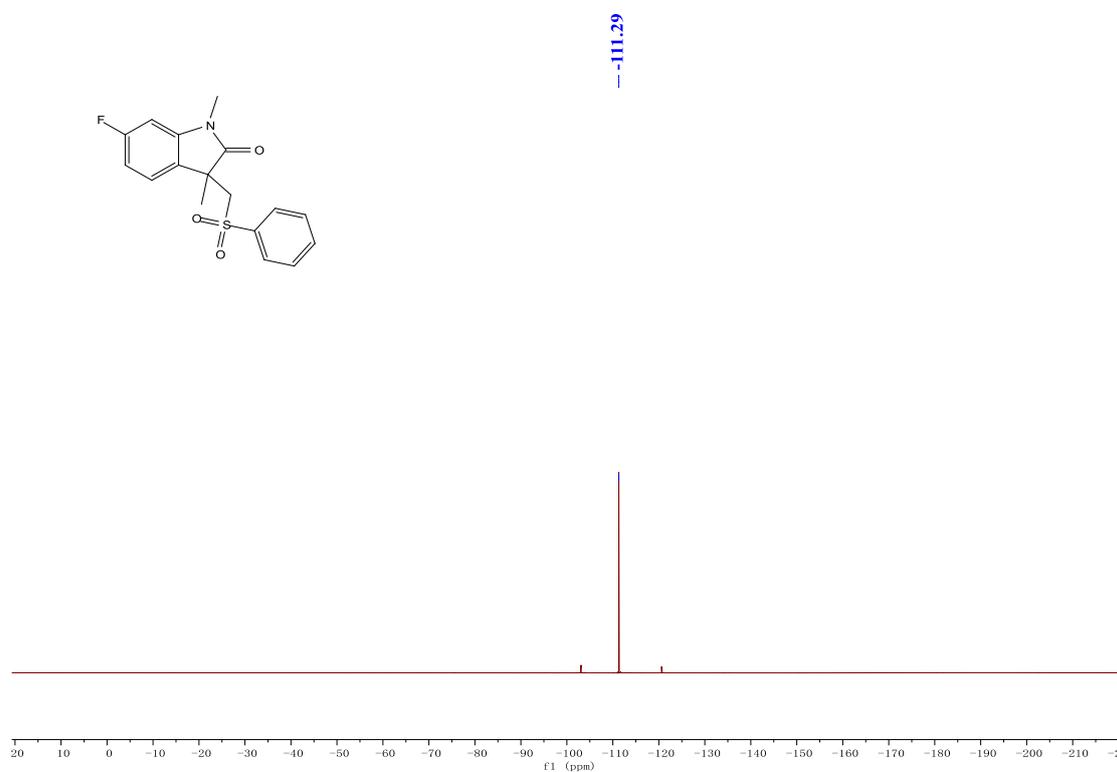
^{19}F NMR spectra of **3ga** (377 MHz, CDCl_3)



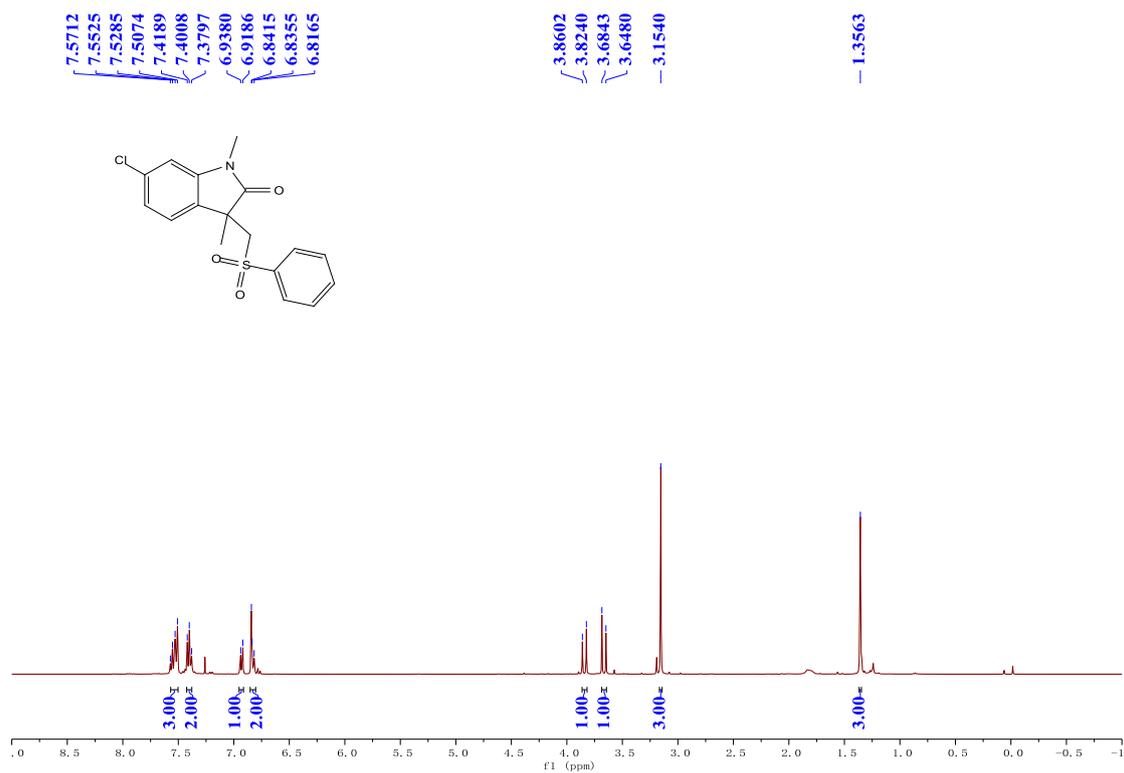
^1H NMR spectra of **3ha** (400 MHz, CDCl_3)



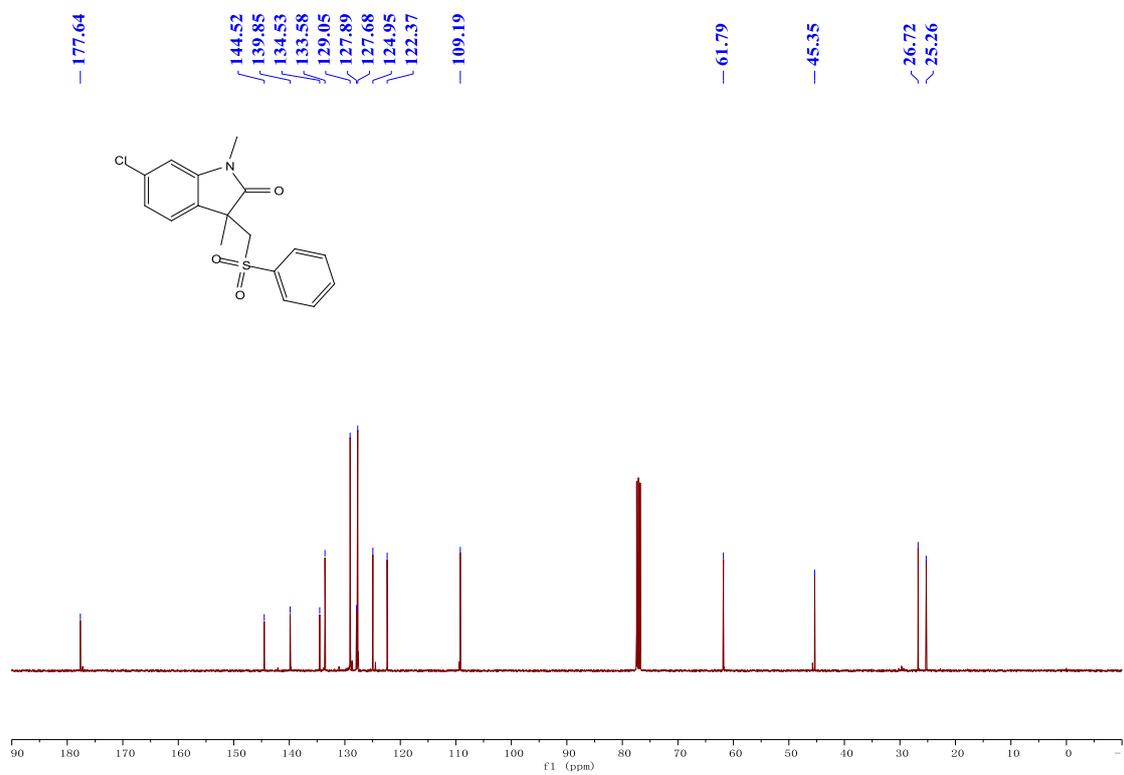
^{13}C NMR spectra of **3ha** (101 MHz, CDCl_3)



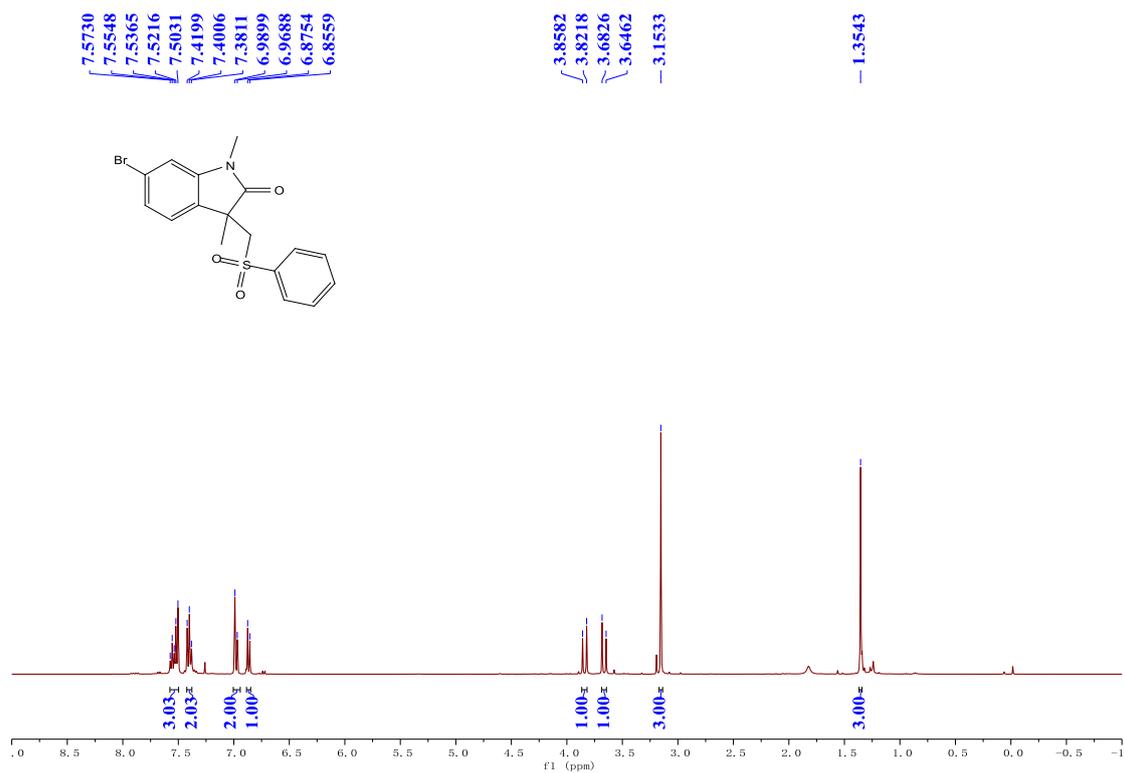
^{19}F NMR spectra of **3ha** (377 MHz, CDCl_3)



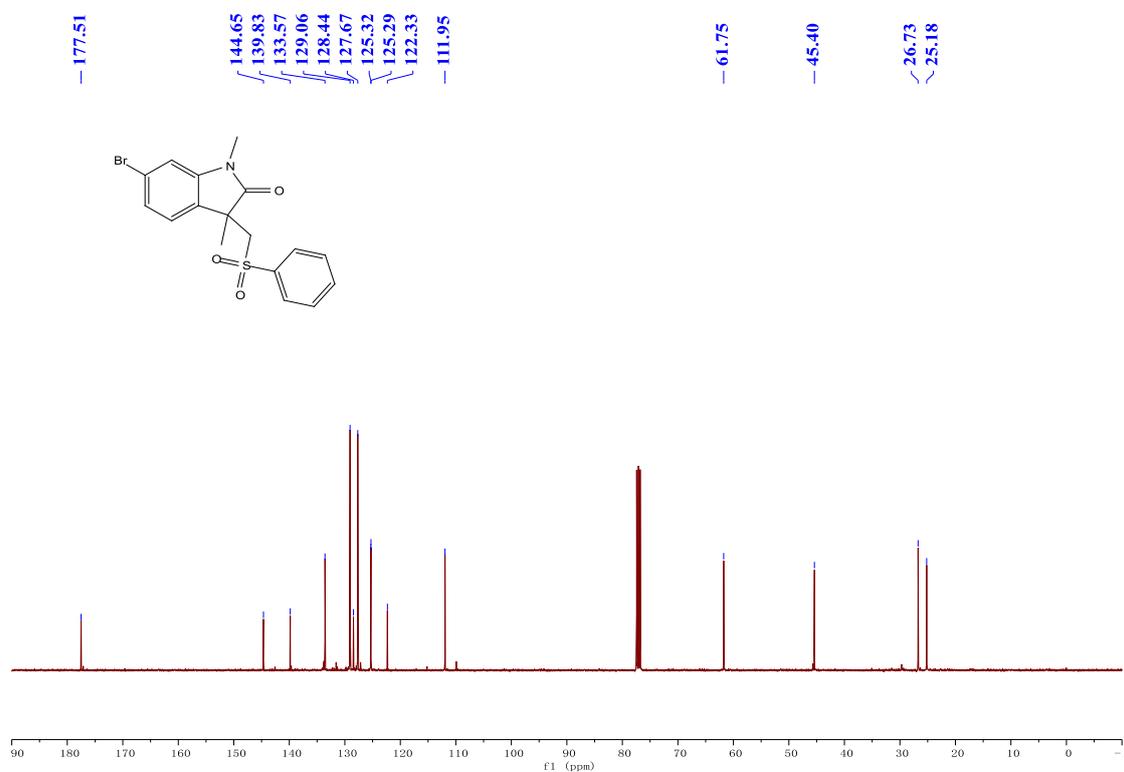
¹H NMR spectra of **3ia** (400 MHz, CDCl₃)



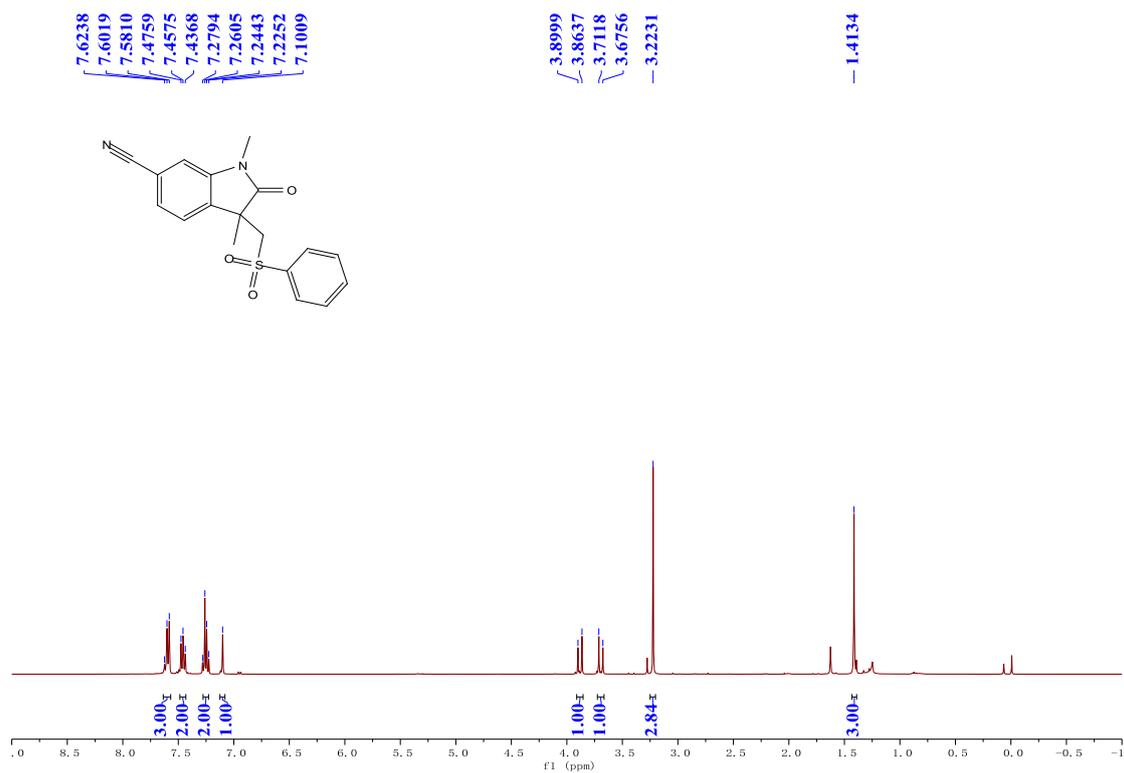
¹³C NMR spectra of **3ia** (101 MHz, CDCl₃)



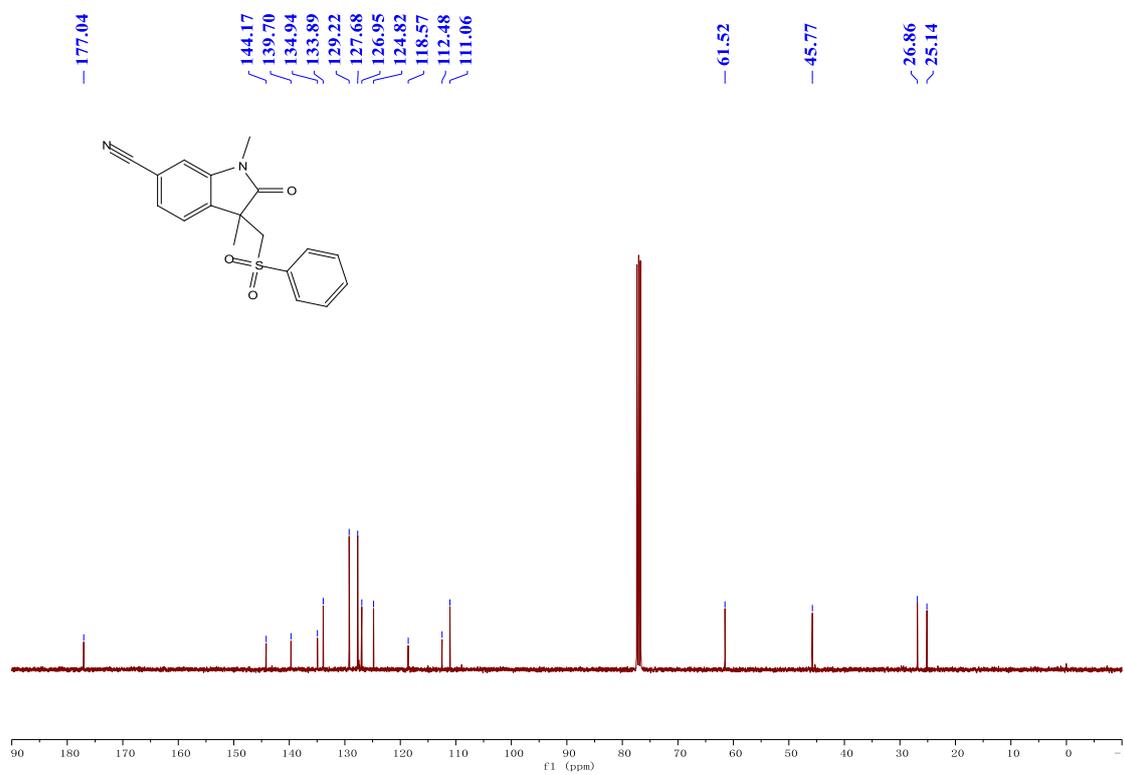
¹H NMR spectra of **3ja** (400 MHz, CDCl₃)



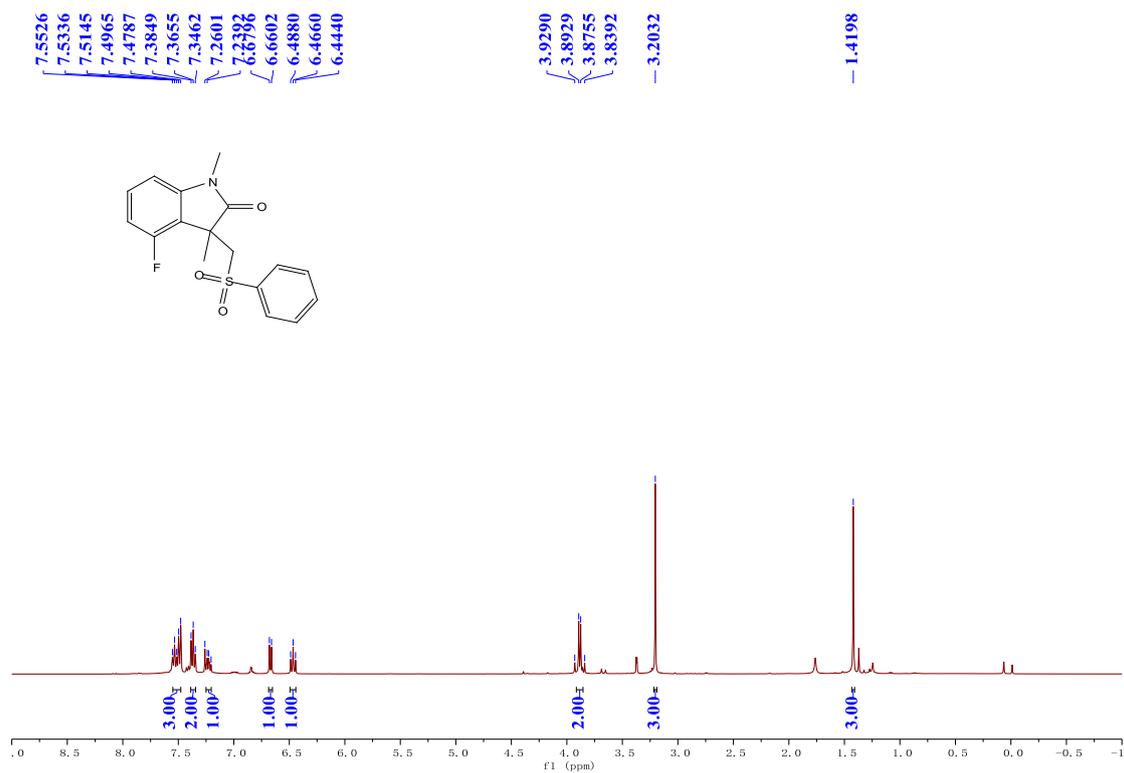
¹³C NMR spectra of **3ja** (101 MHz, CDCl₃)



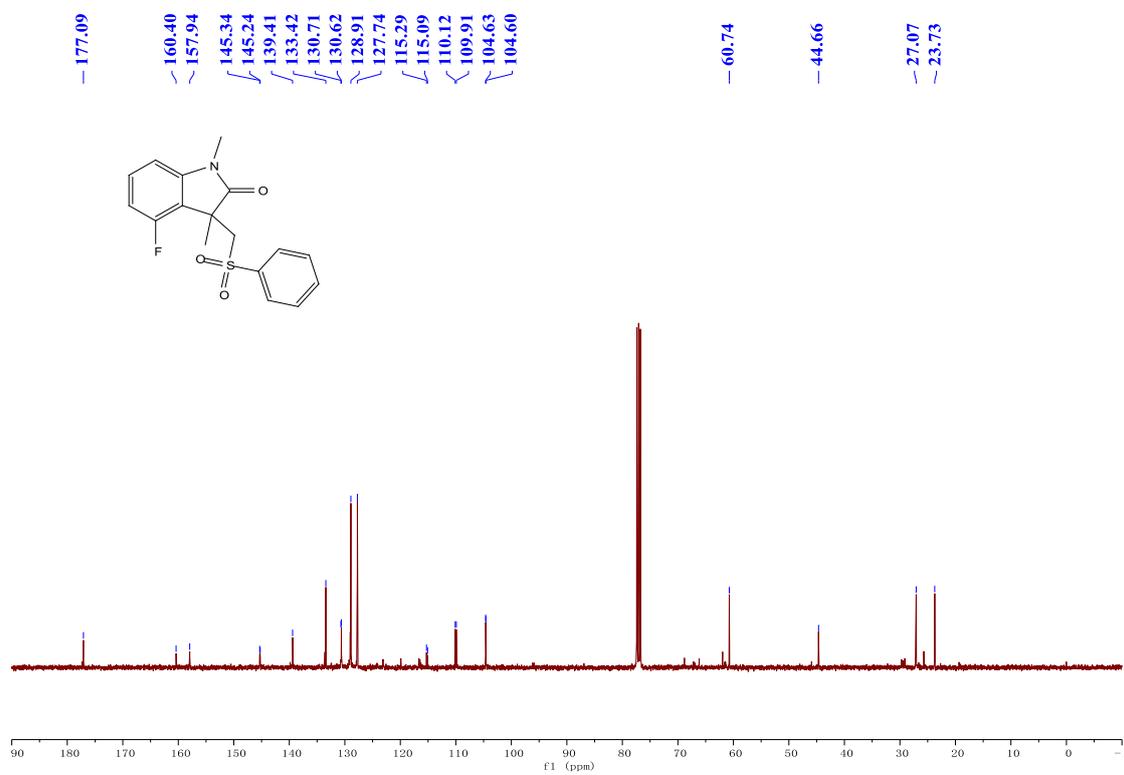
¹H NMR spectra of **3ka** (400 MHz, CDCl₃)



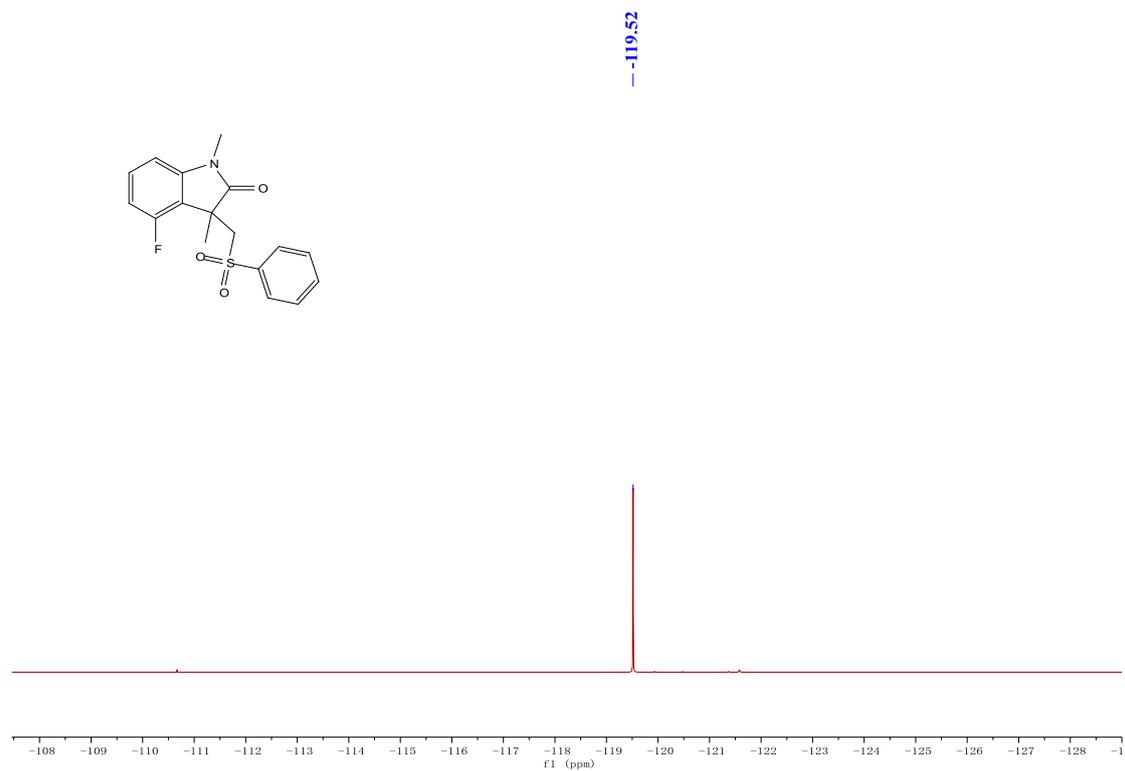
¹³C NMR spectra of **3ka** (101 MHz, CDCl₃)



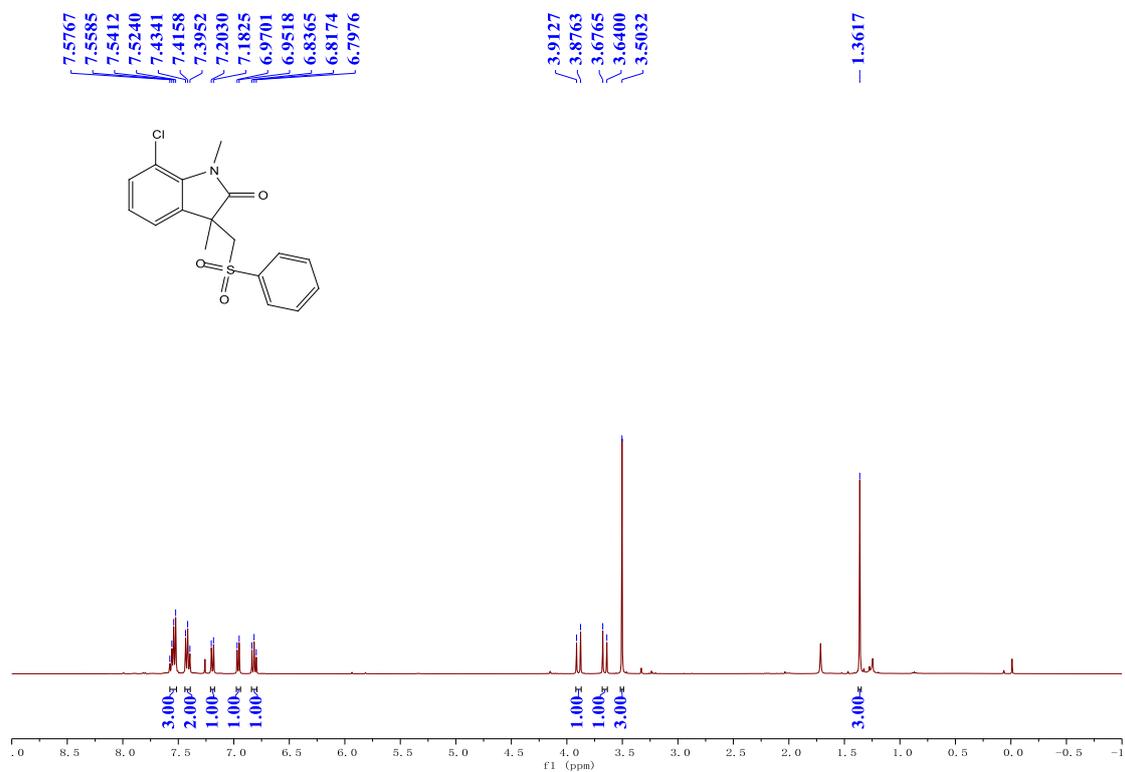
^1H NMR spectra of **3la** (400 MHz, CDCl_3)



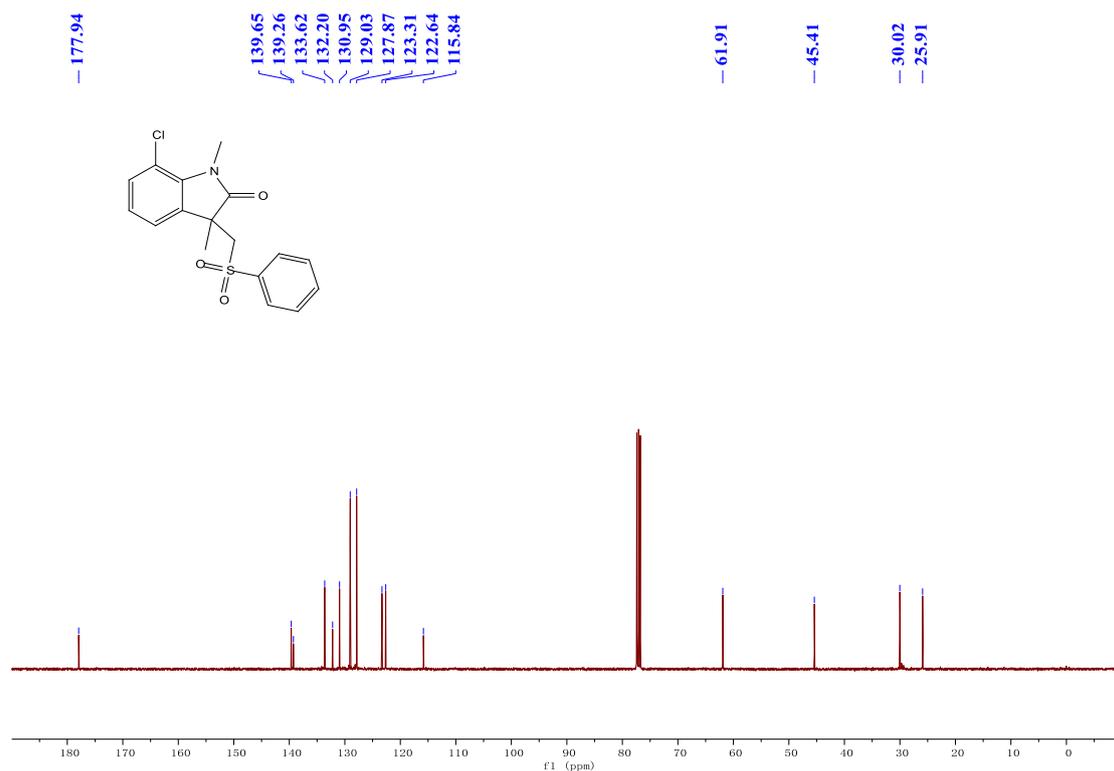
^{13}C NMR spectra of **3la** (101 MHz, CDCl_3)



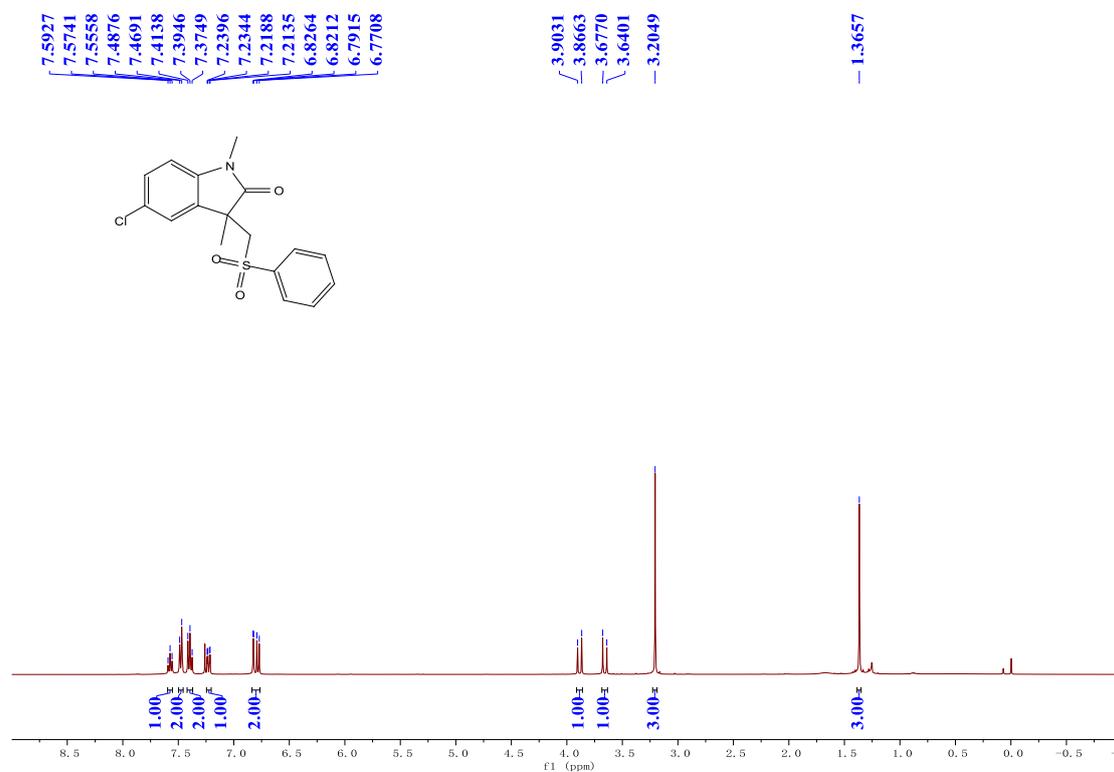
^{19}F NMR spectra of **3la** (376 MHz, CDCl_3)



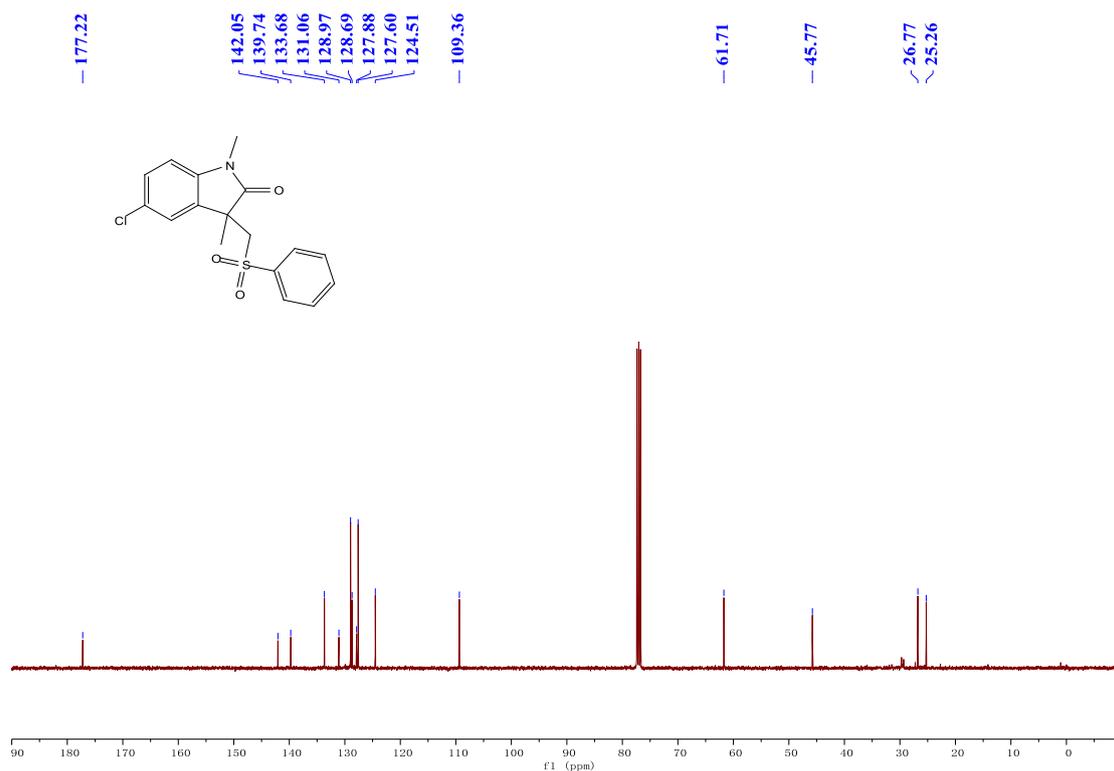
^1H NMR spectra of **3ma** (400 MHz, CDCl_3)



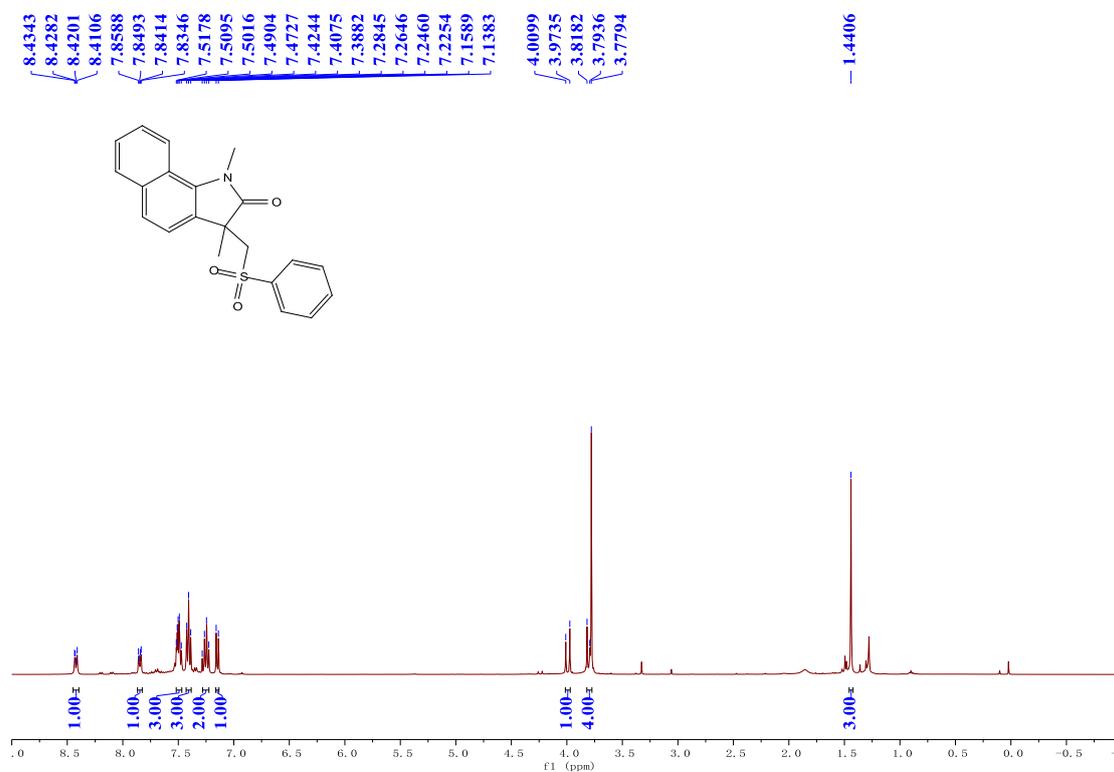
¹³C NMR spectra of **3ma** (101 MHz, CDCl₃)



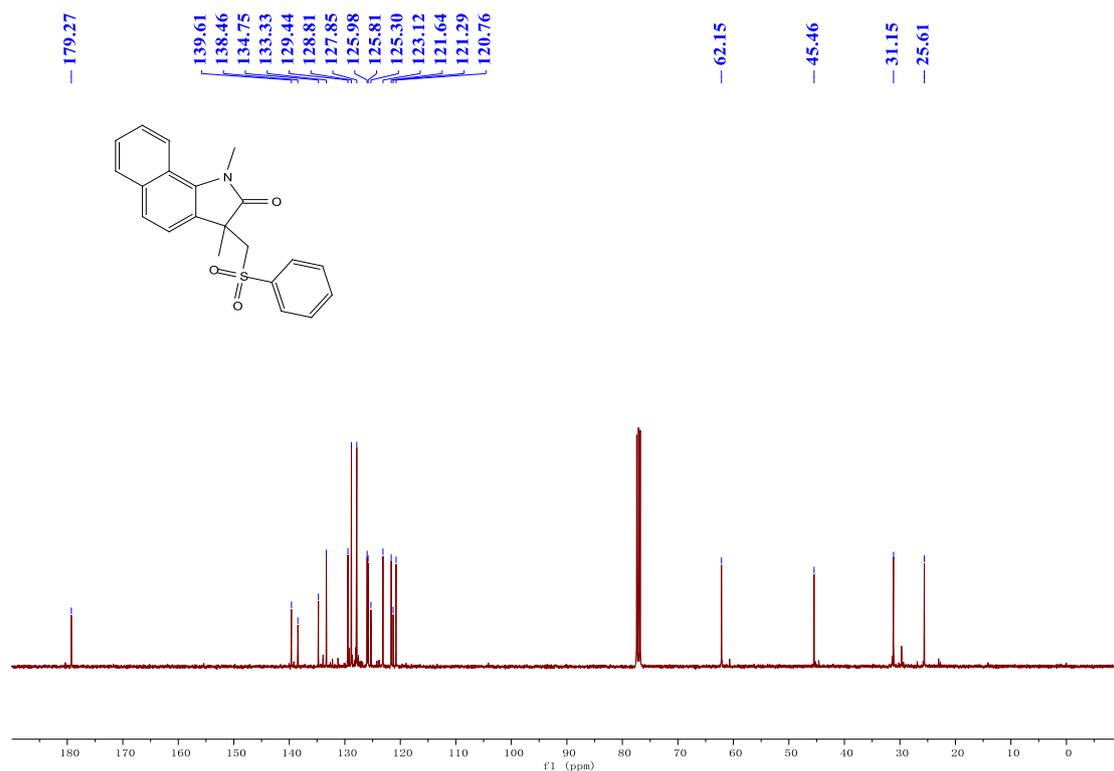
¹H NMR spectra of **3ma'** (400 MHz, CDCl₃)



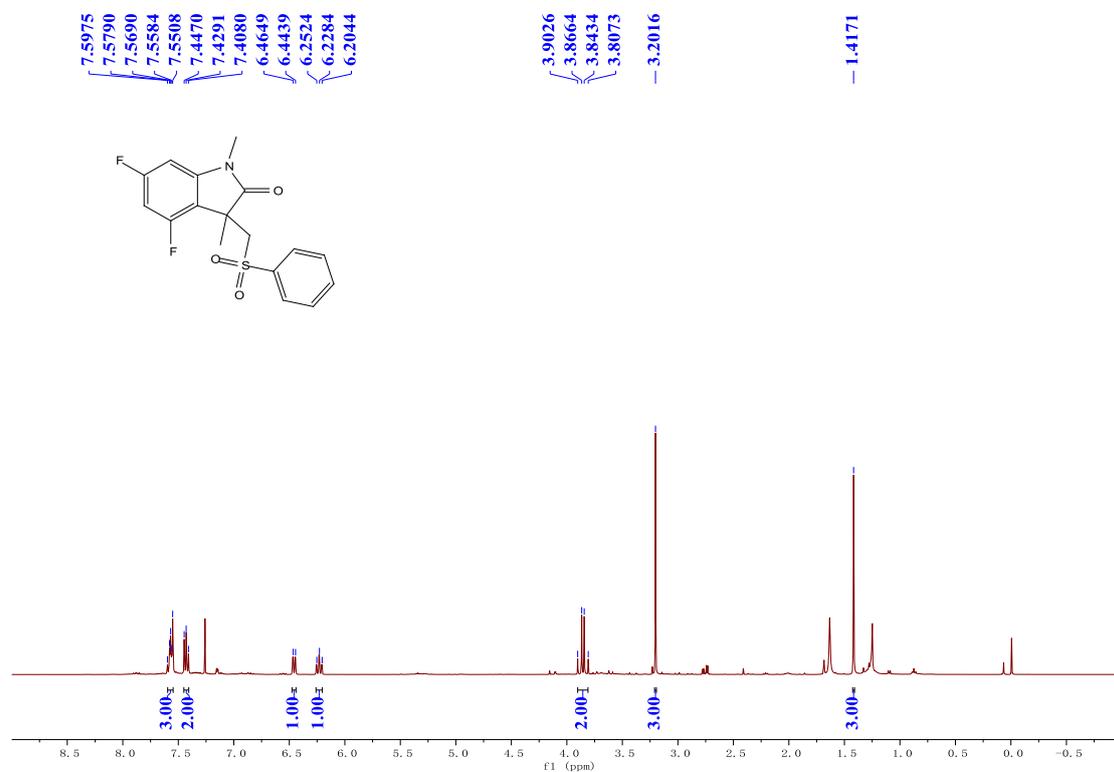
¹³C NMR spectra of **3ma'** (101 MHz, CDCl₃)



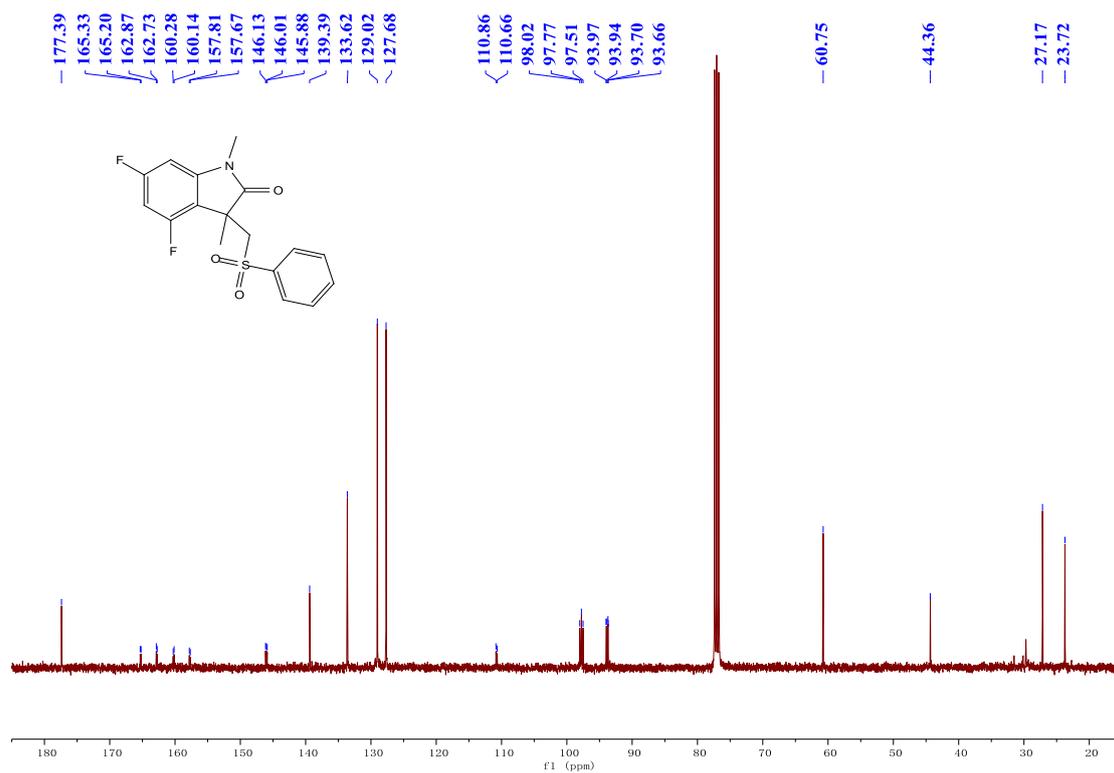
¹H NMR spectra of **3na** (400 MHz, CDCl₃)



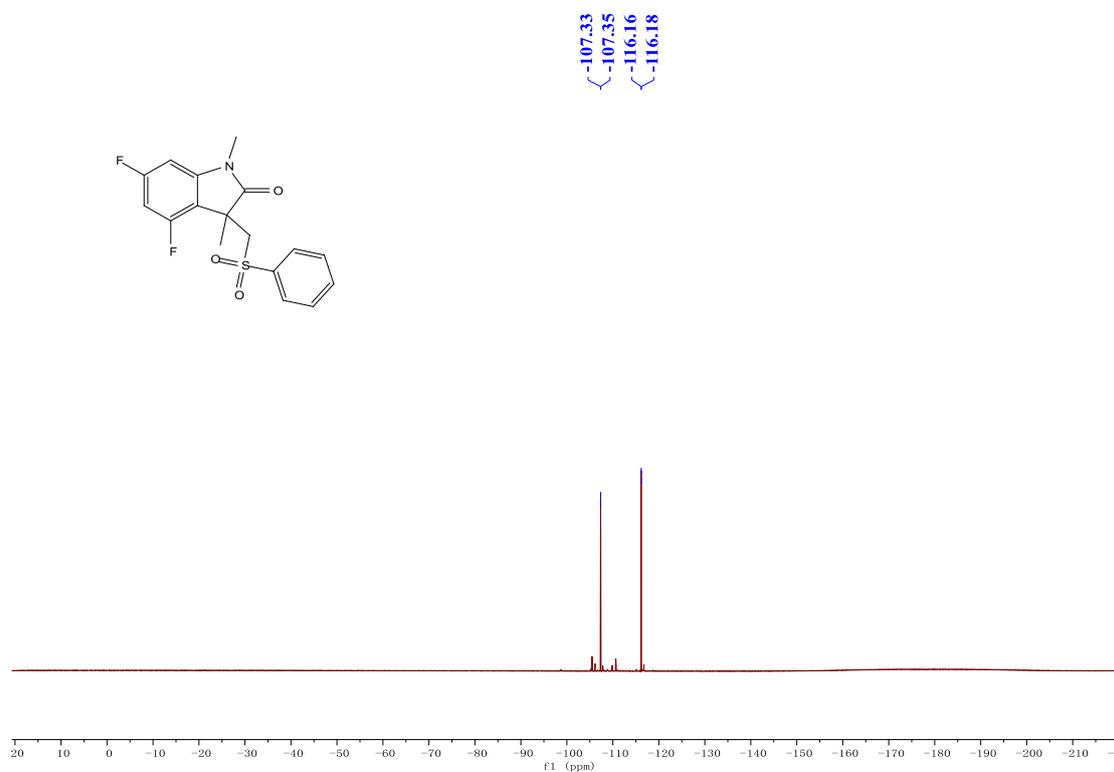
¹³C NMR spectra of **3na** (101 MHz, CDCl₃)



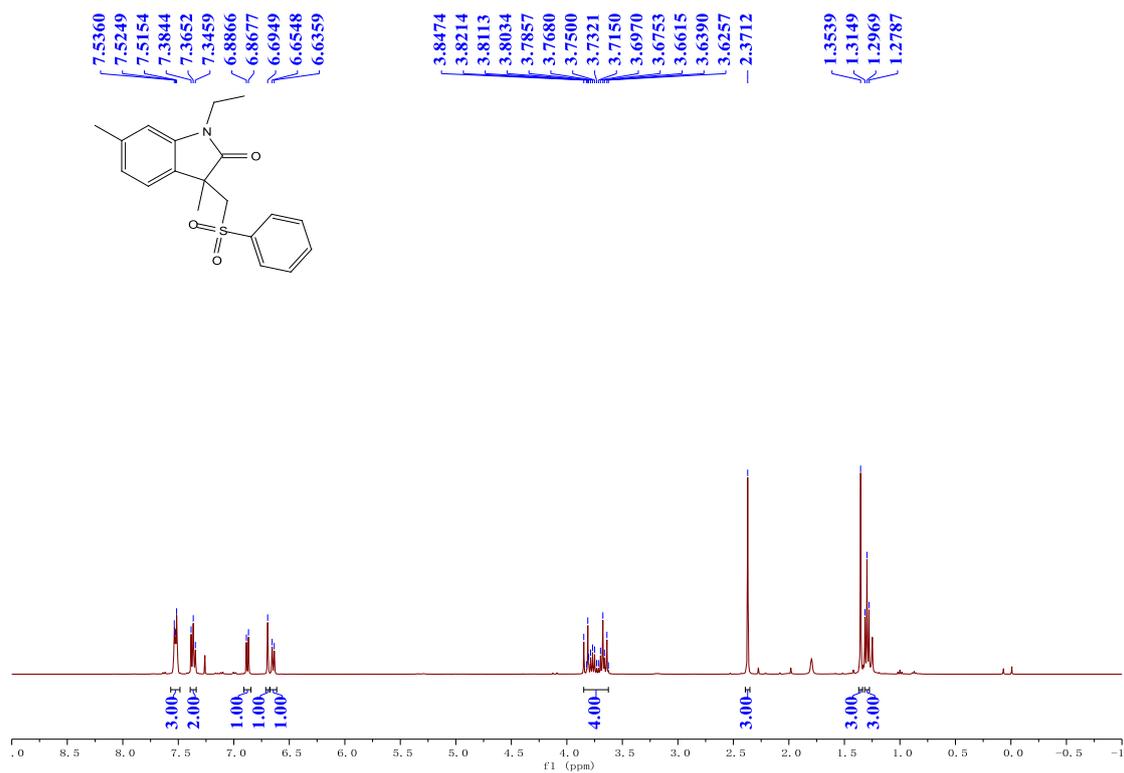
¹H NMR spectra of **3oa** (400 MHz, CDCl₃)



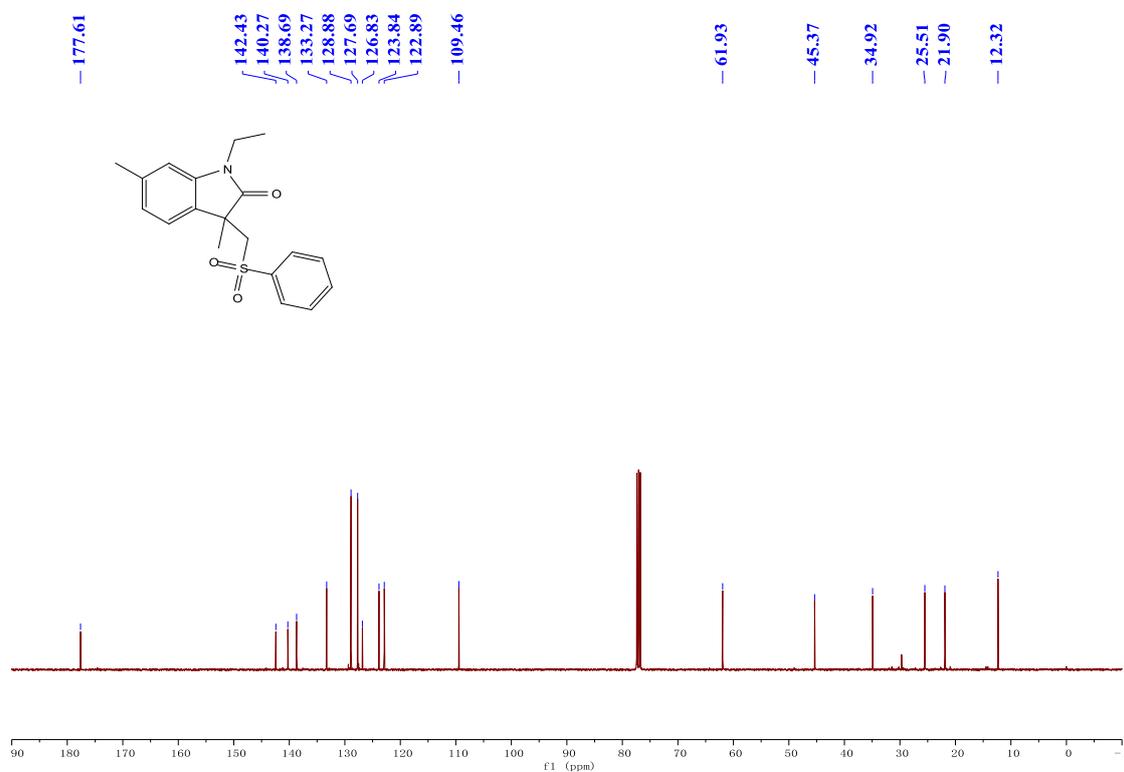
¹³C NMR spectra of **30a** (101 MHz, CDCl₃)



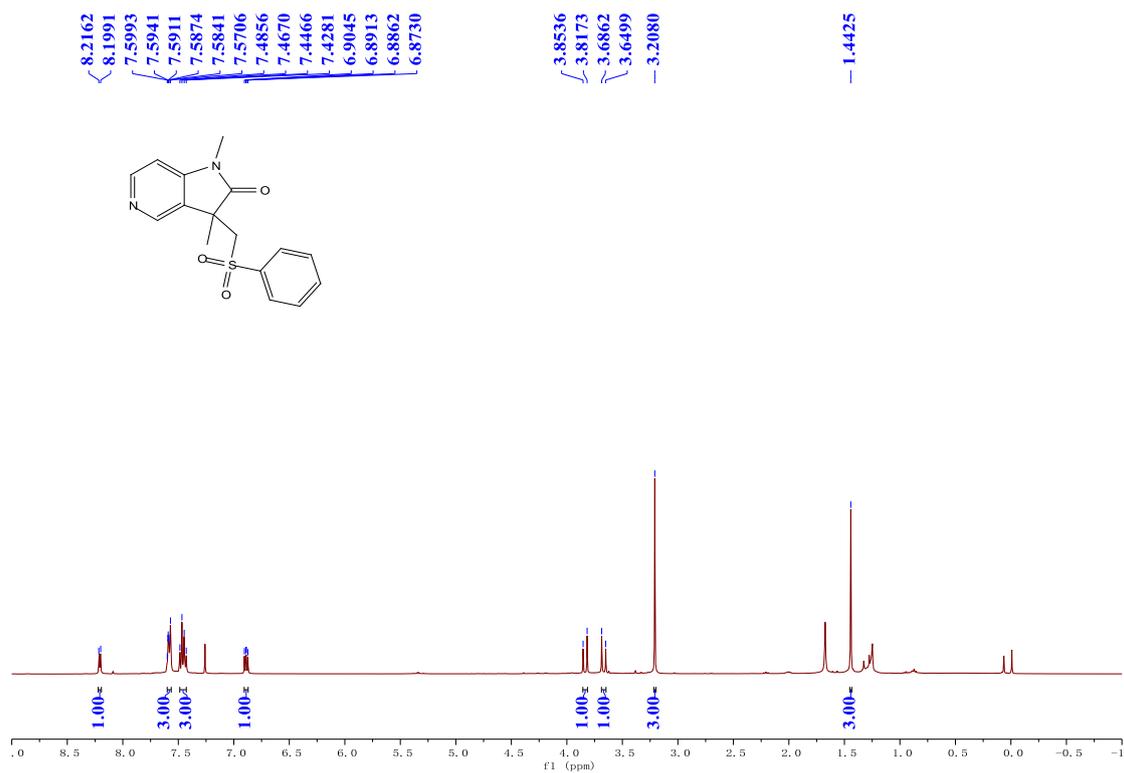
¹⁹F NMR spectra of **30a** (377 MHz, CDCl₃)



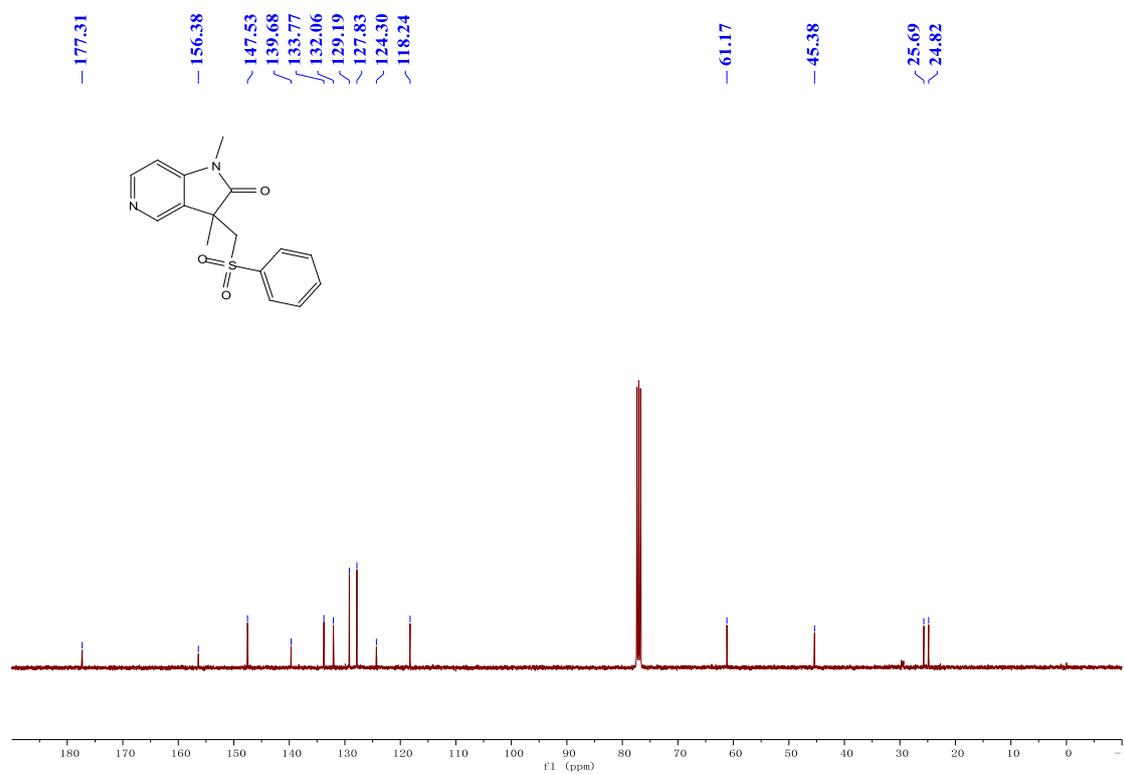
¹H NMR spectra of **3pa** (400 MHz, CDCl₃)



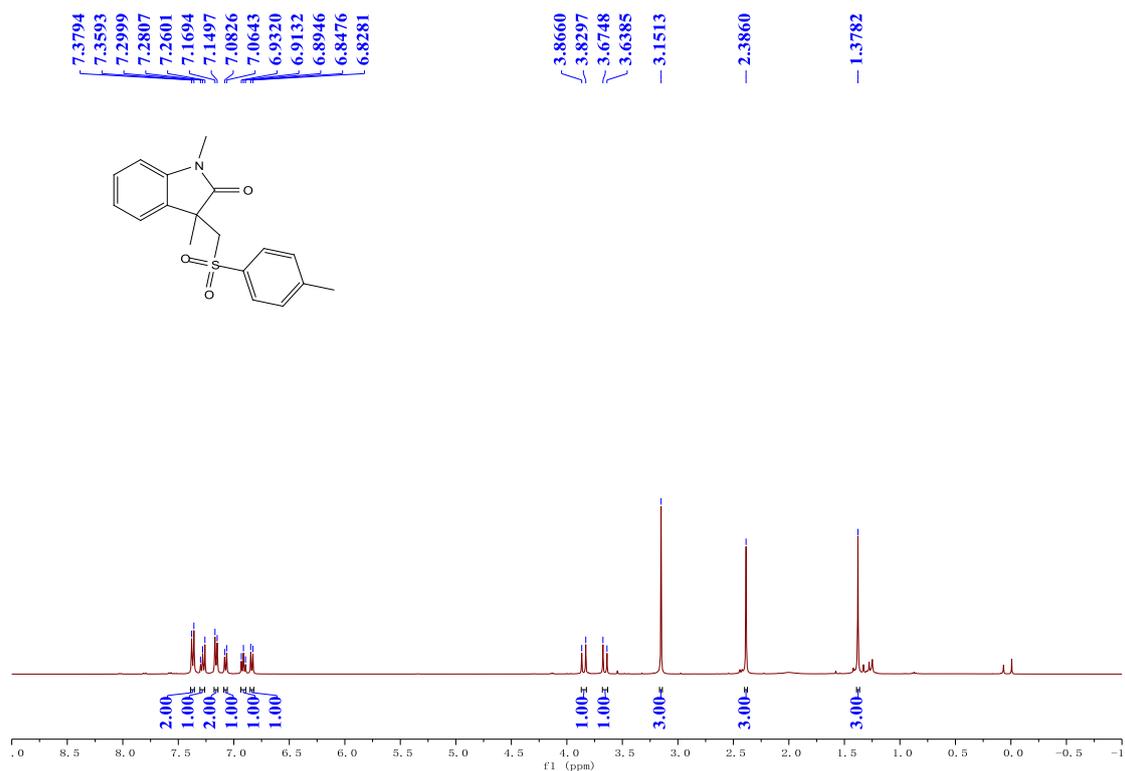
¹³C NMR spectra of **3pa** (101 MHz, CDCl₃)



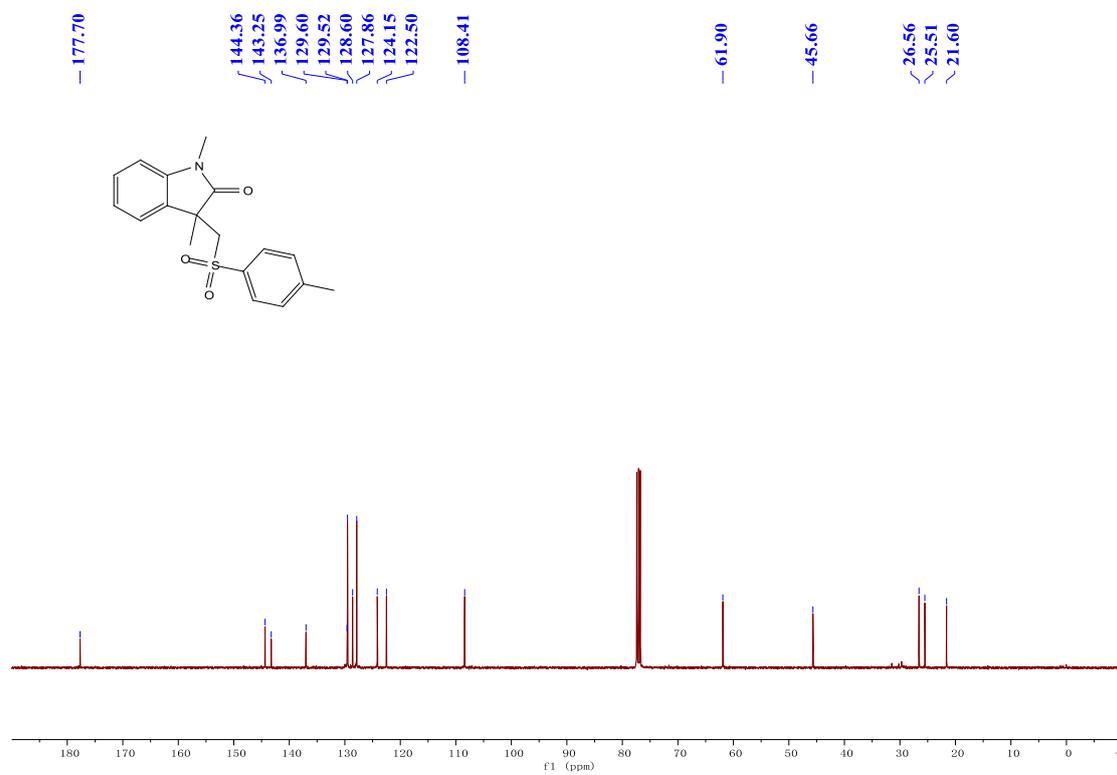
¹H NMR spectra of **3qa** (400 MHz, CDCl₃)



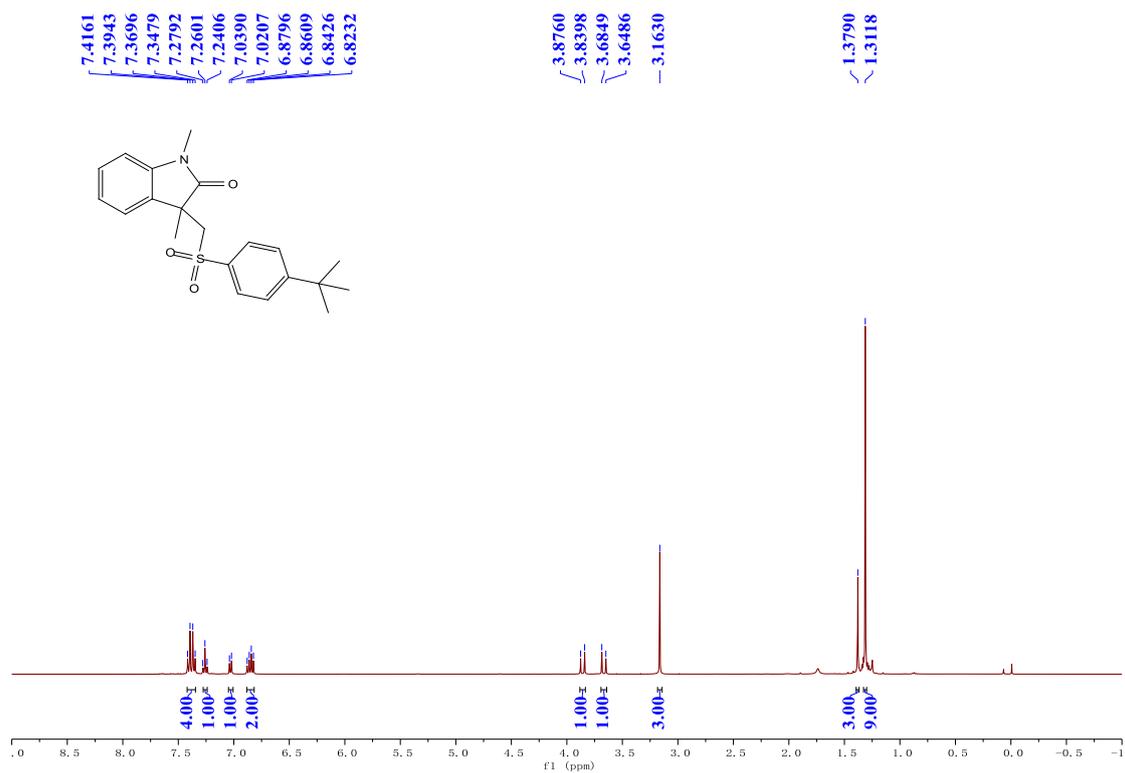
¹³C NMR spectra of **3qa** (101 MHz, CDCl₃)



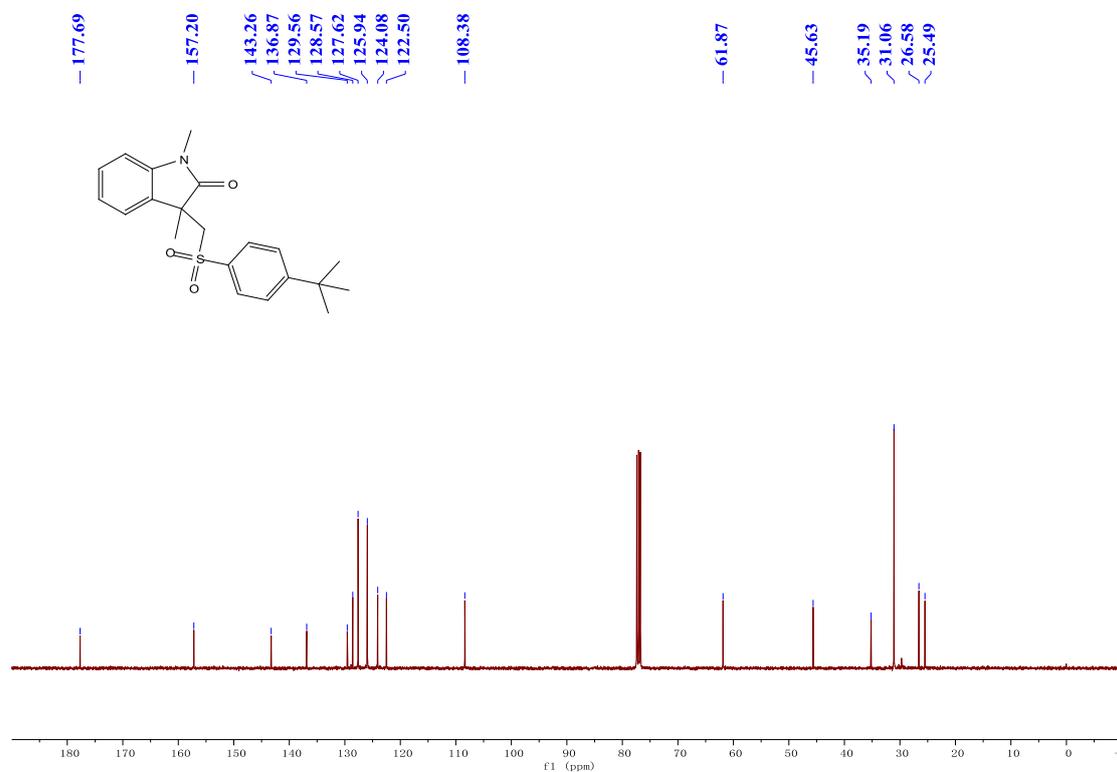
¹H NMR spectra of **3ab** (400 MHz, CDCl₃)



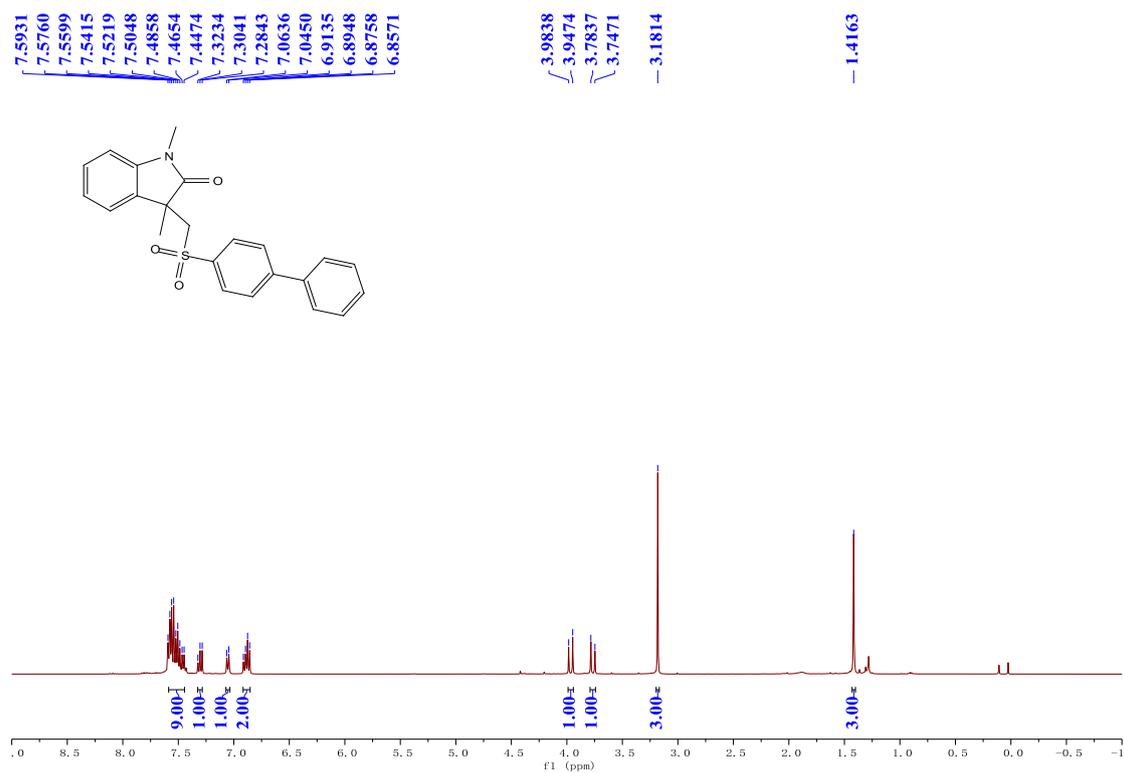
¹³C NMR spectra of **3ab** (101 MHz, CDCl₃)



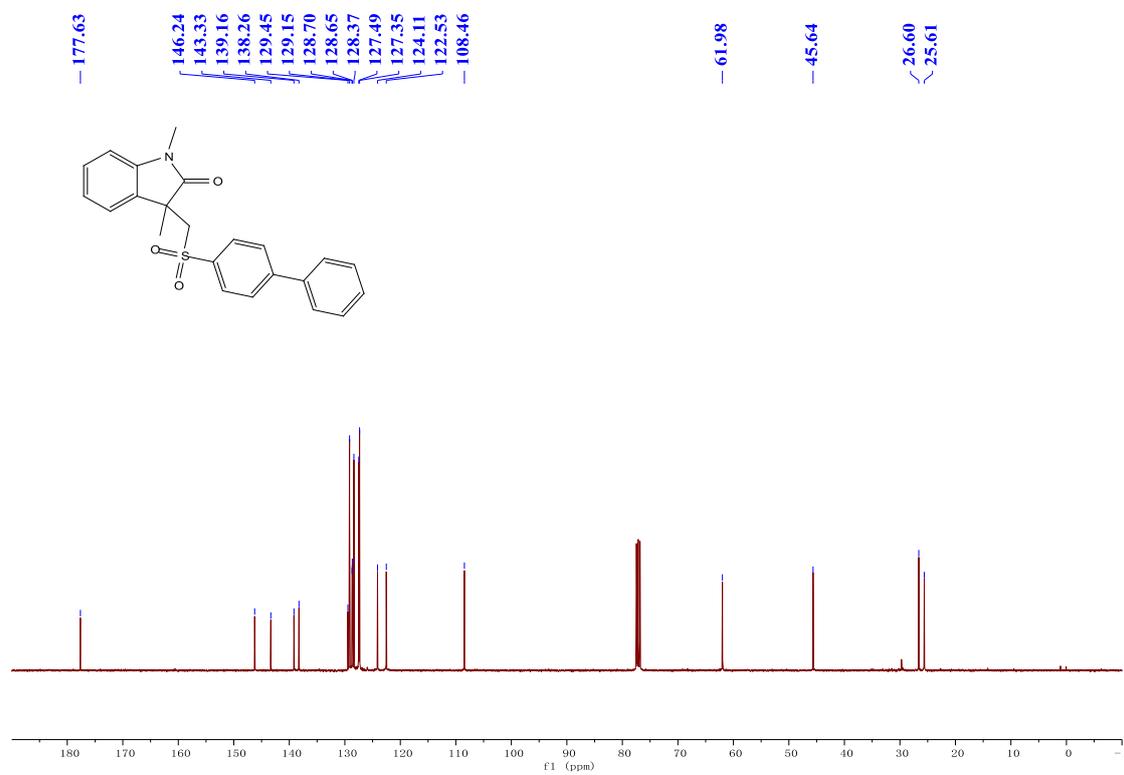
¹H NMR spectra of **3ac** (400 MHz, CDCl₃)



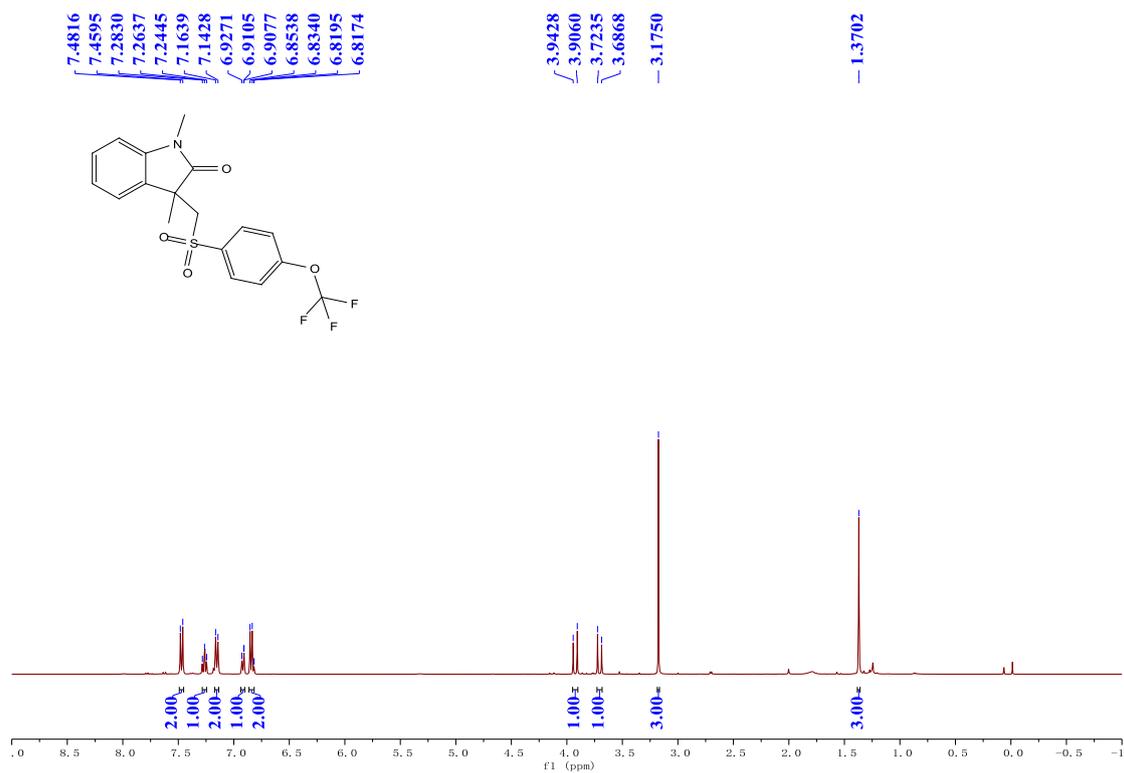
¹³C NMR spectra of **3ac** (101 MHz, CDCl₃)



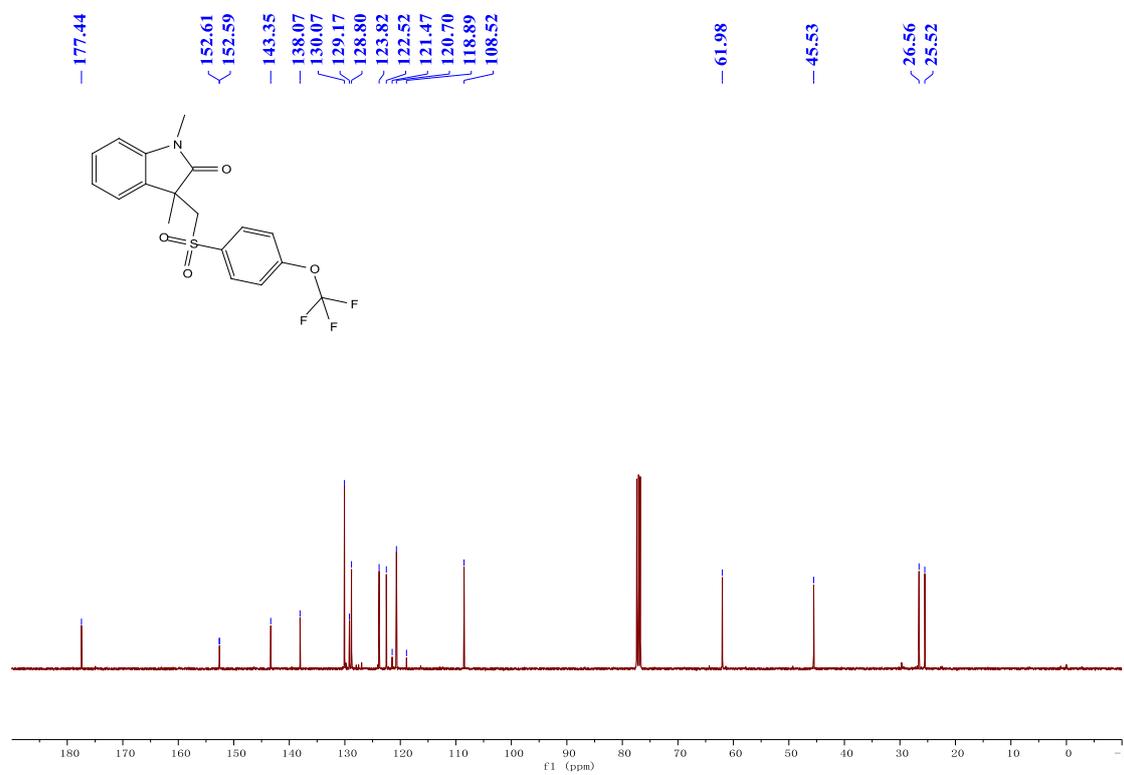
¹H NMR spectra of **3ad** (400 MHz, CDCl₃)



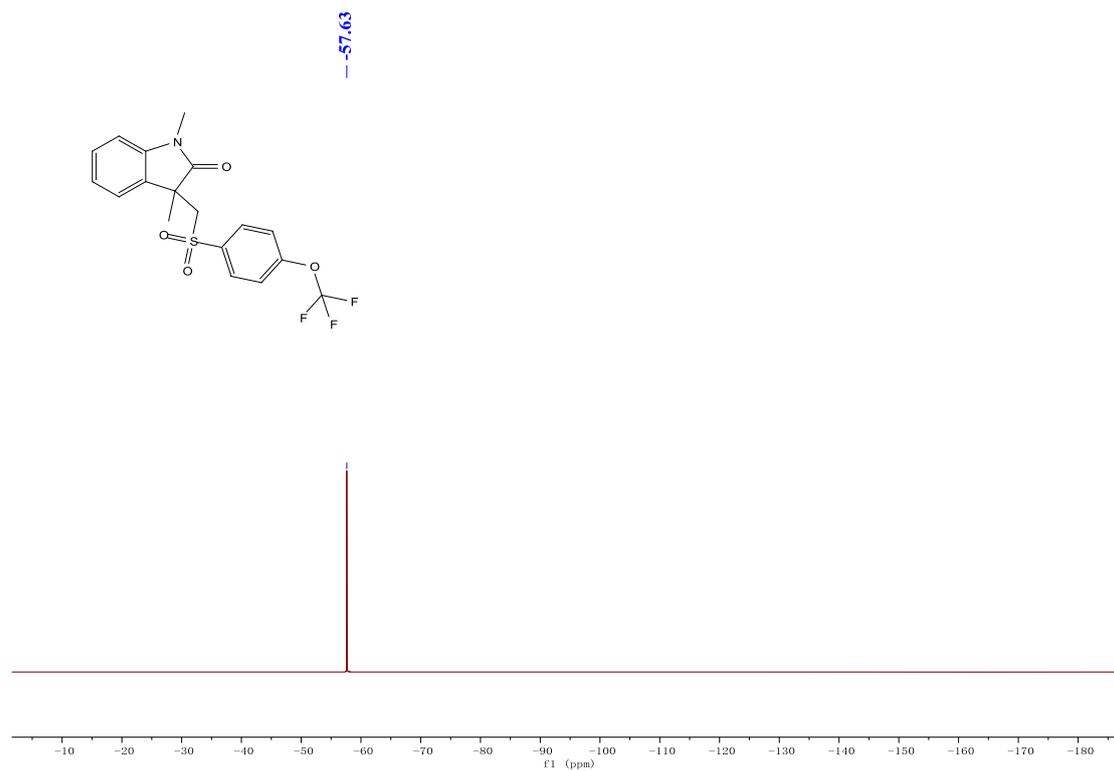
¹³C NMR spectra of **3ad** (101 MHz, CDCl₃)



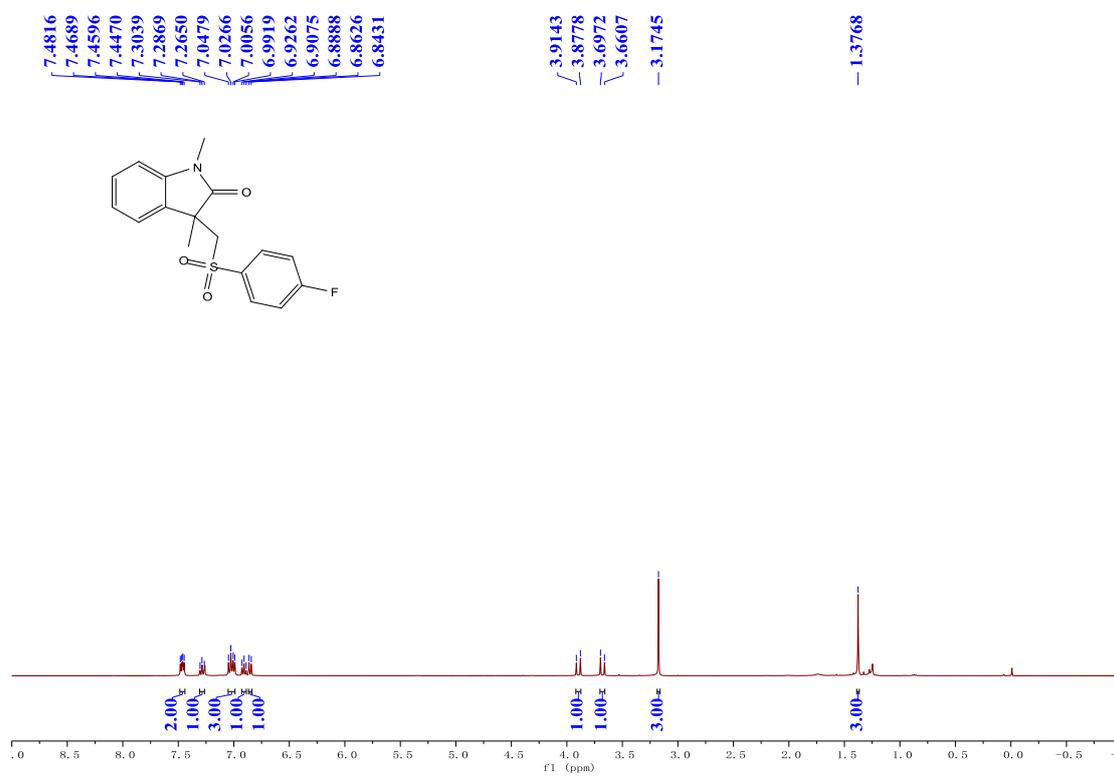
¹H NMR spectra of **3ae** (400 MHz, CDCl₃)



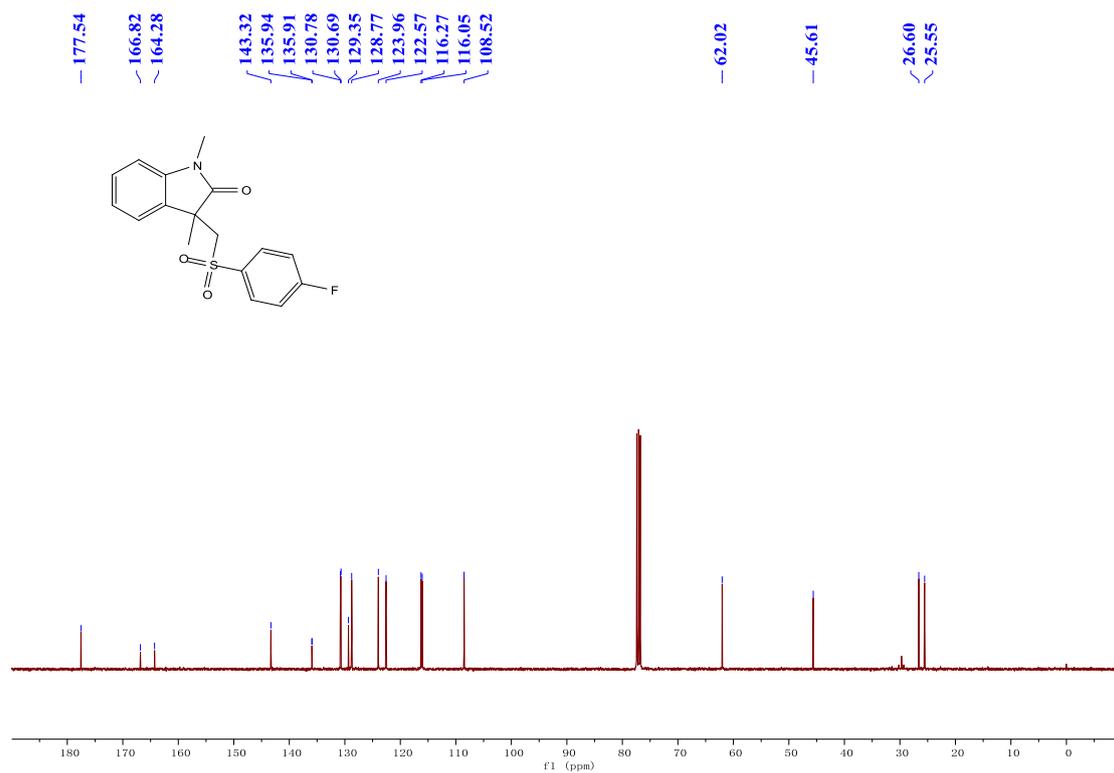
¹³C NMR spectra of **3ae** (101 MHz, CDCl₃)



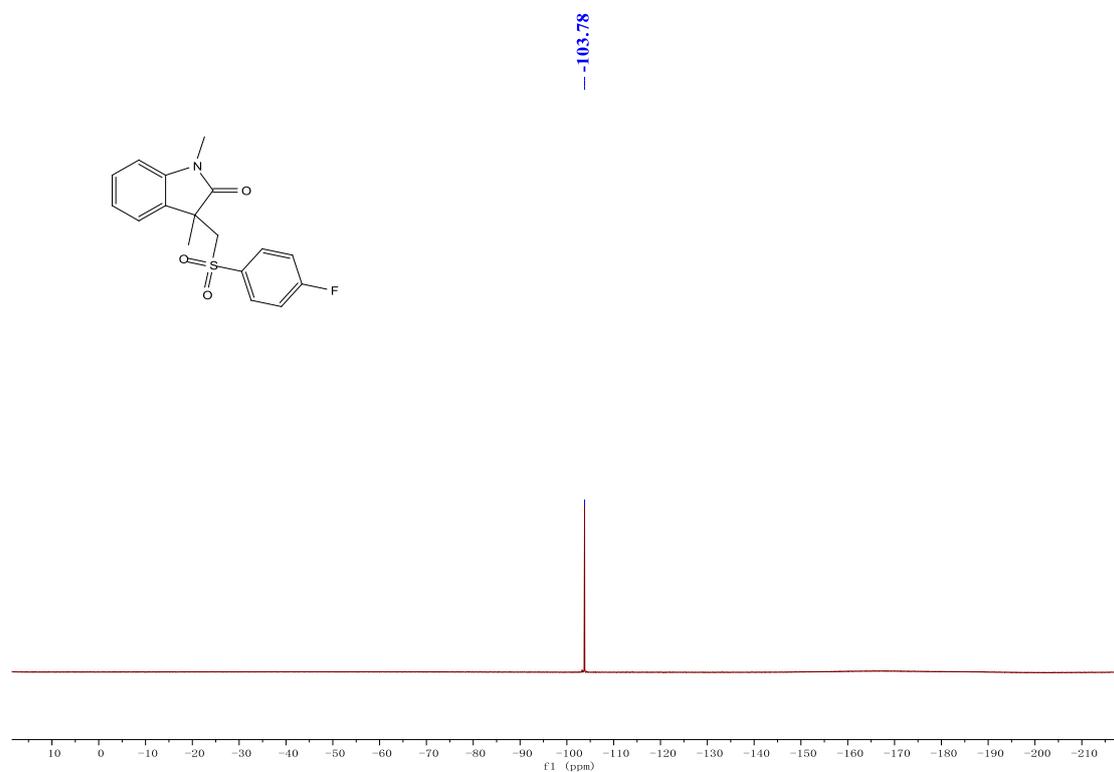
^{19}F NMR spectra of **3ae** (376 MHz, CDCl_3)



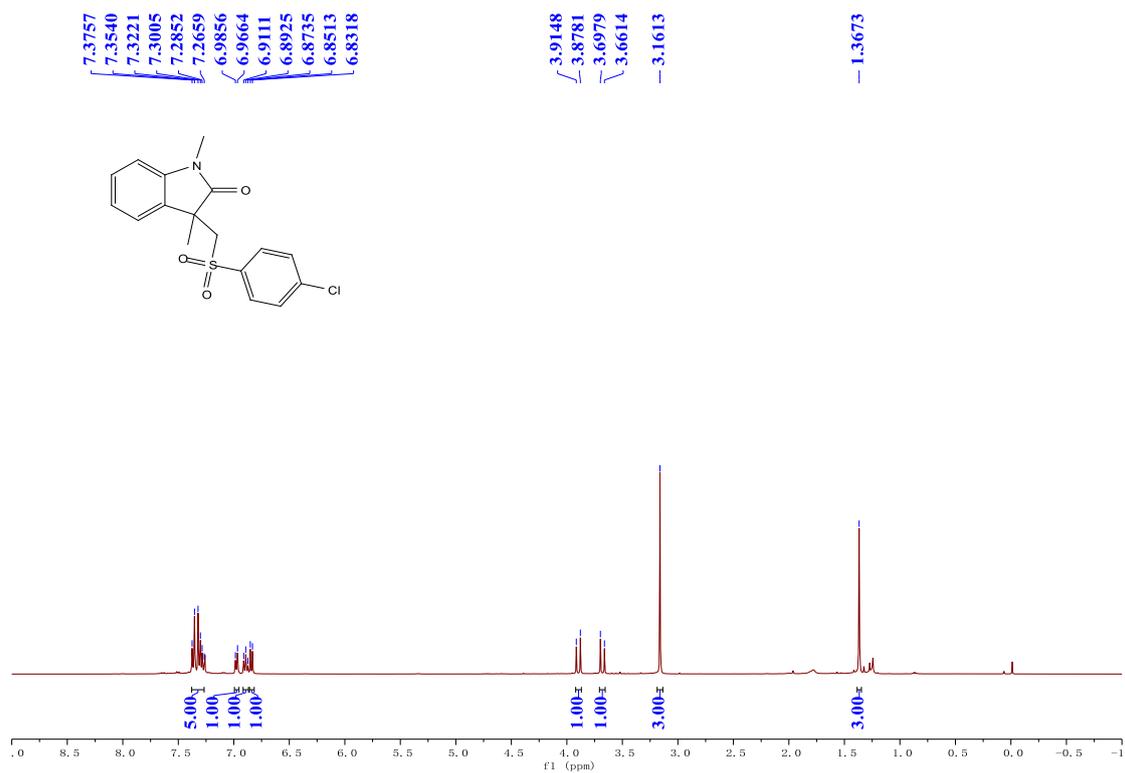
^1H NMR spectra of **3af** (400 MHz, CDCl_3)



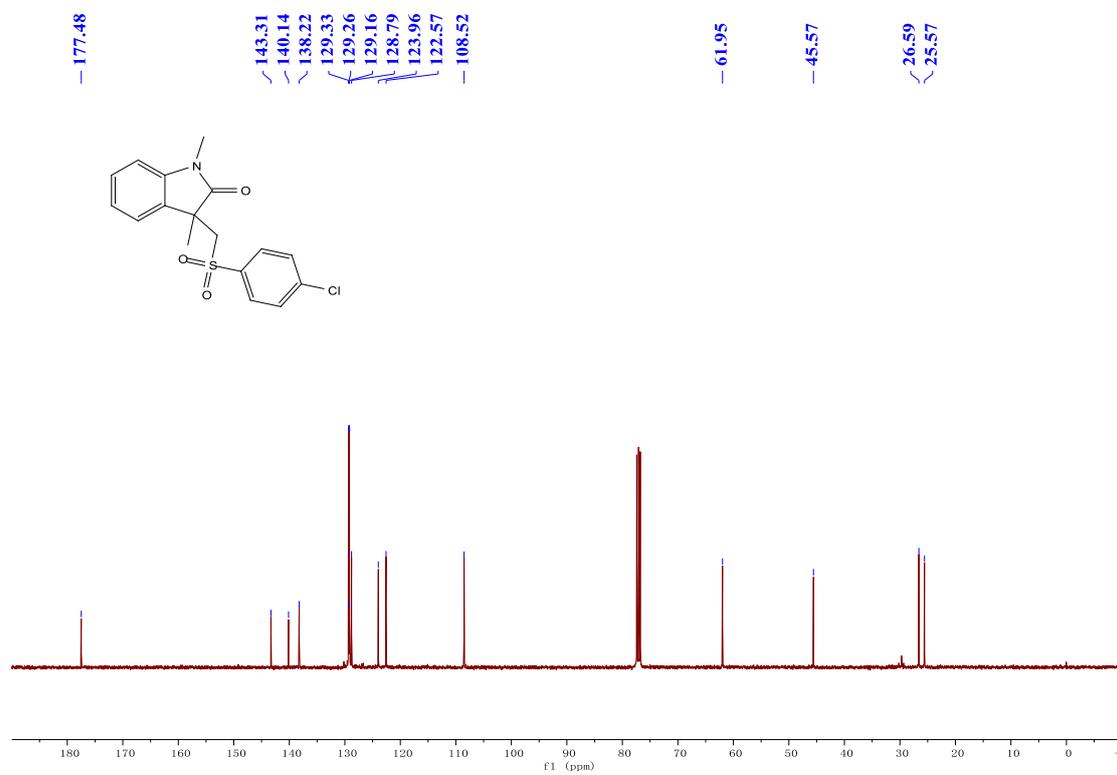
^{13}C NMR spectra of **3af** (101 MHz, CDCl_3)



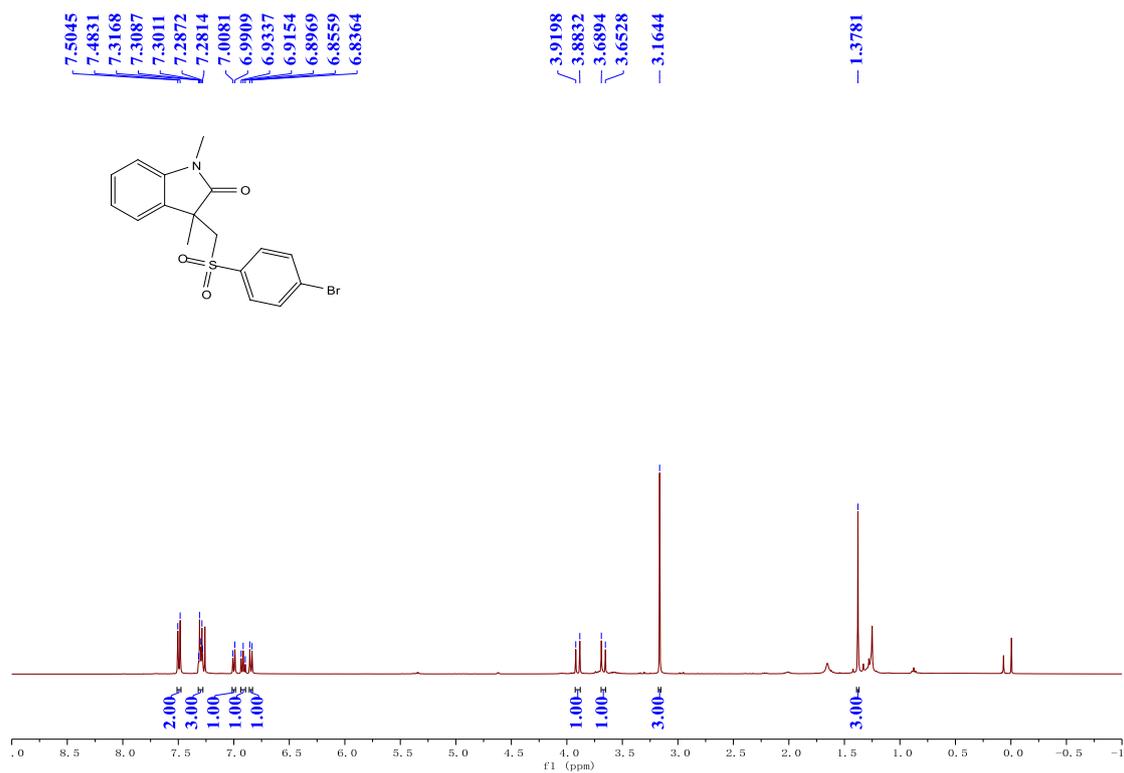
^{19}F NMR spectra of **3af** (376 MHz, CDCl_3)



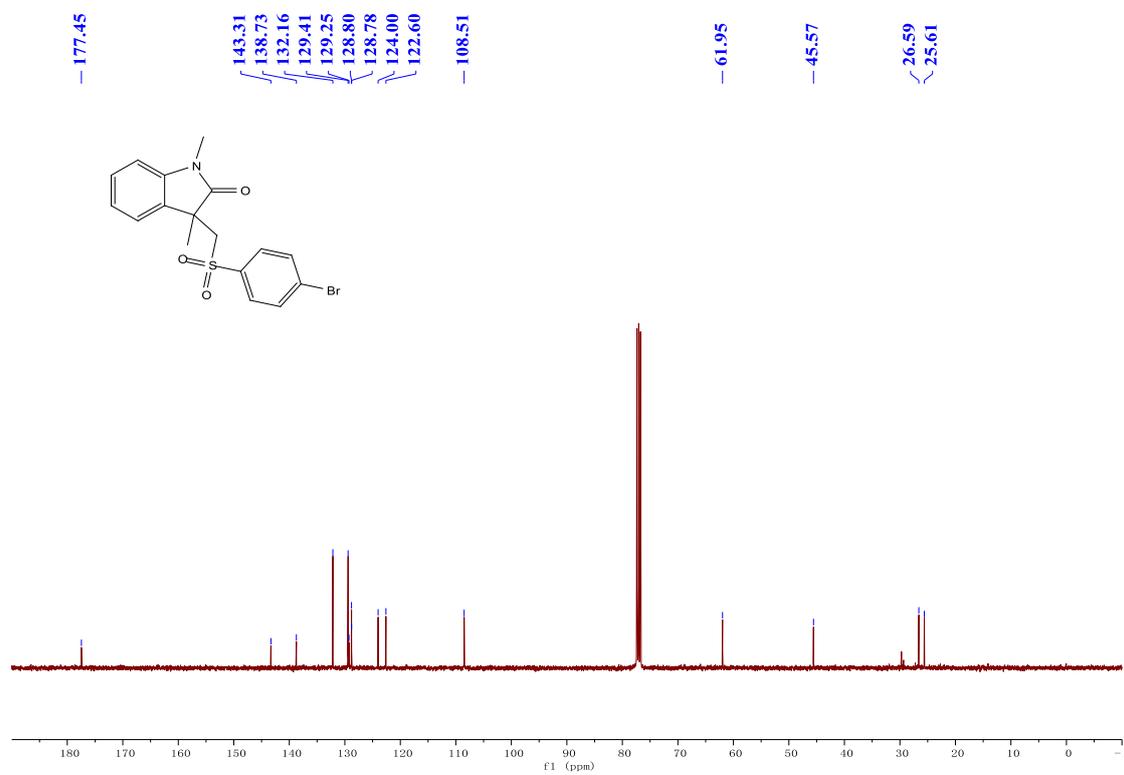
¹H NMR spectra of **3ag** (400 MHz, CDCl₃)



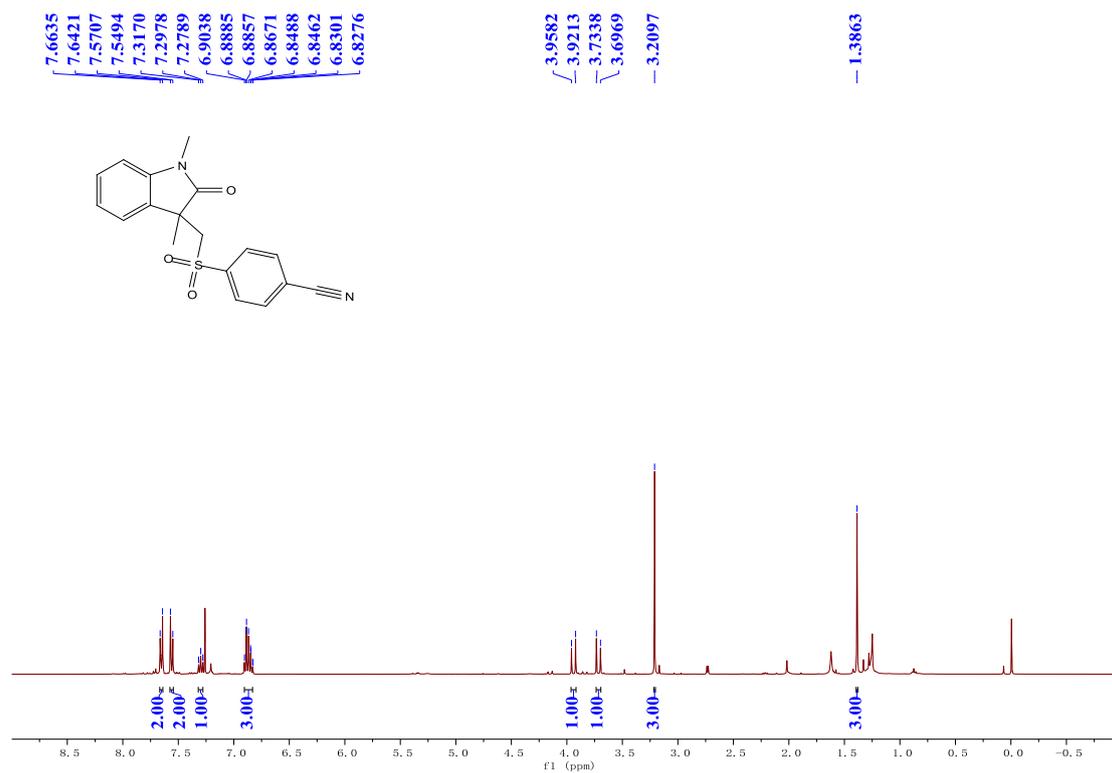
¹³C NMR spectra of **3ag** (101 MHz, CDCl₃)



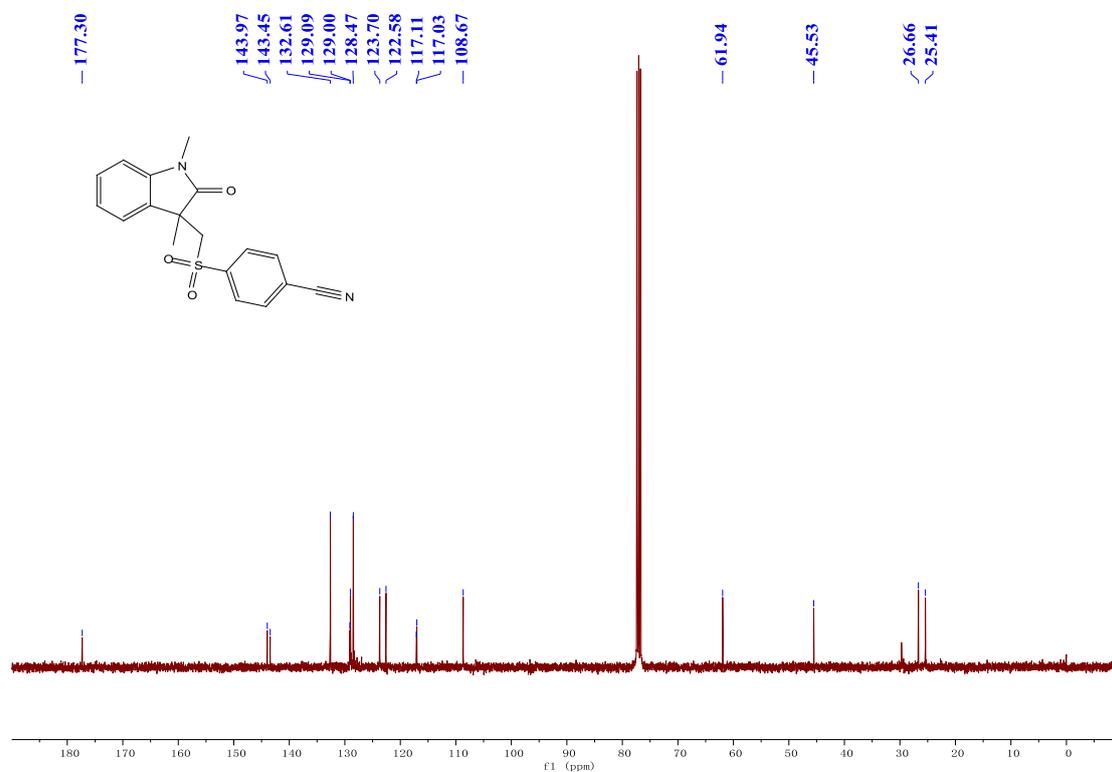
¹H NMR spectra of **3ah** (400 MHz, CDCl₃)



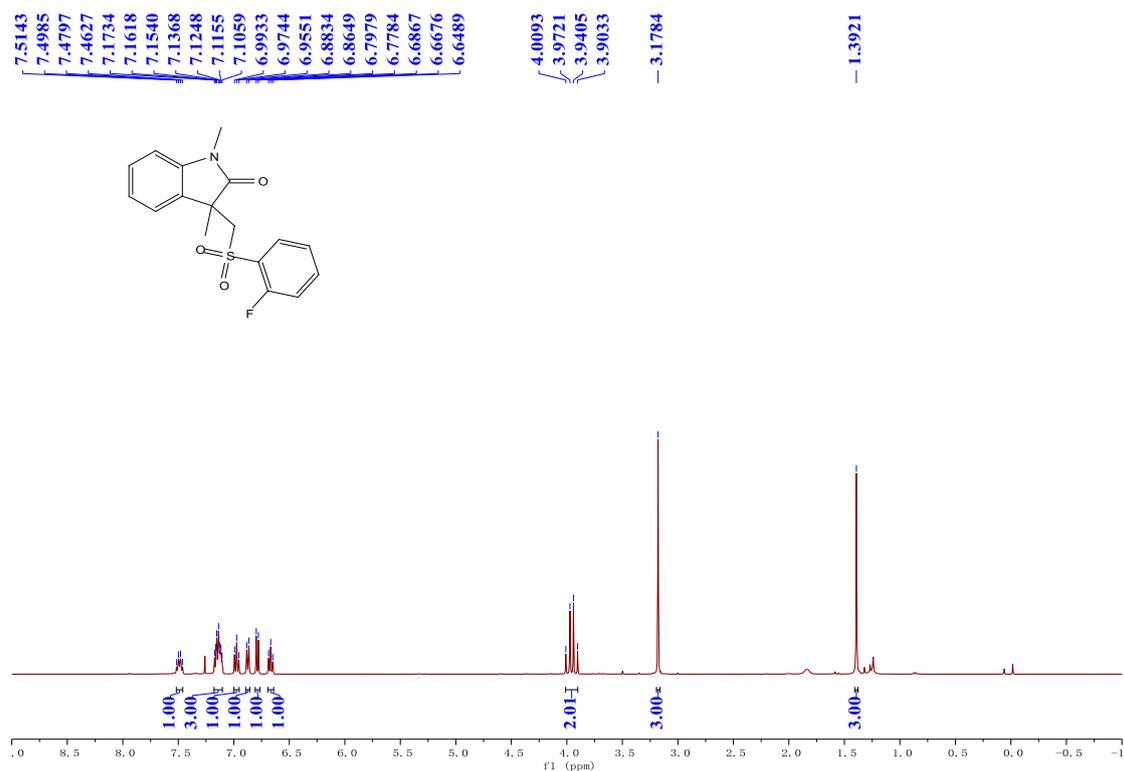
¹³C NMR spectra of **3ah** (101 MHz, CDCl₃)



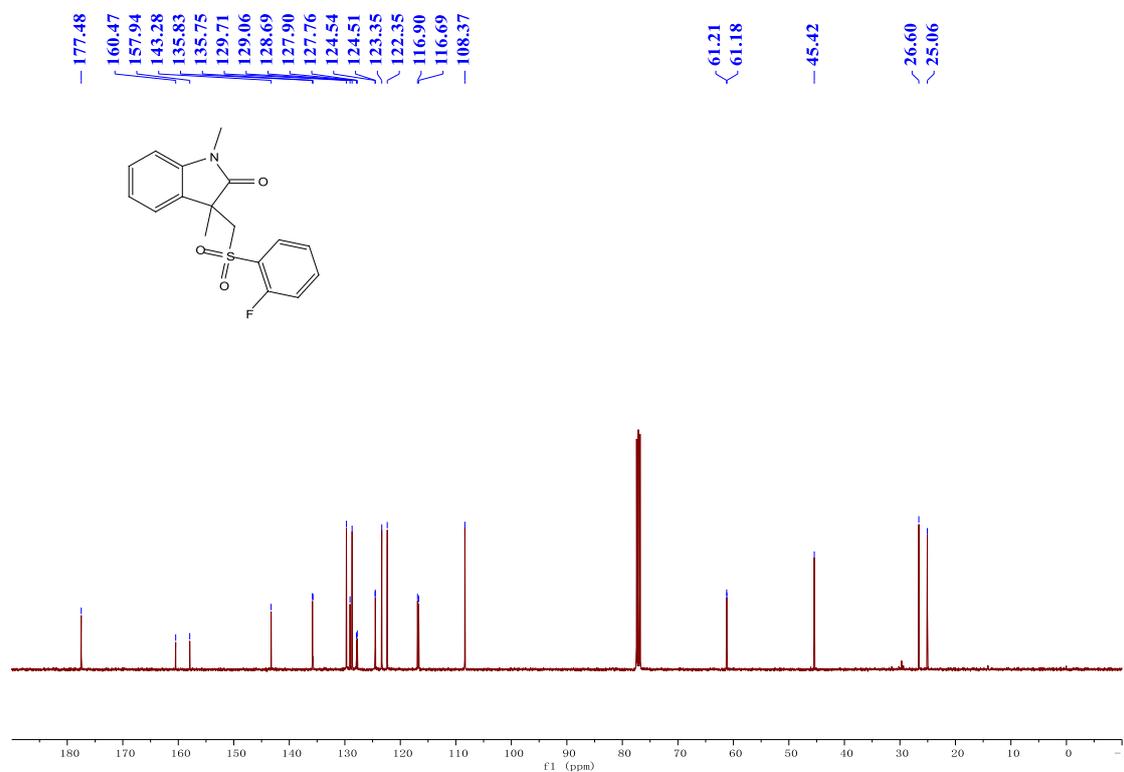
¹H NMR spectra of **3ai** (400 MHz, CDCl₃)



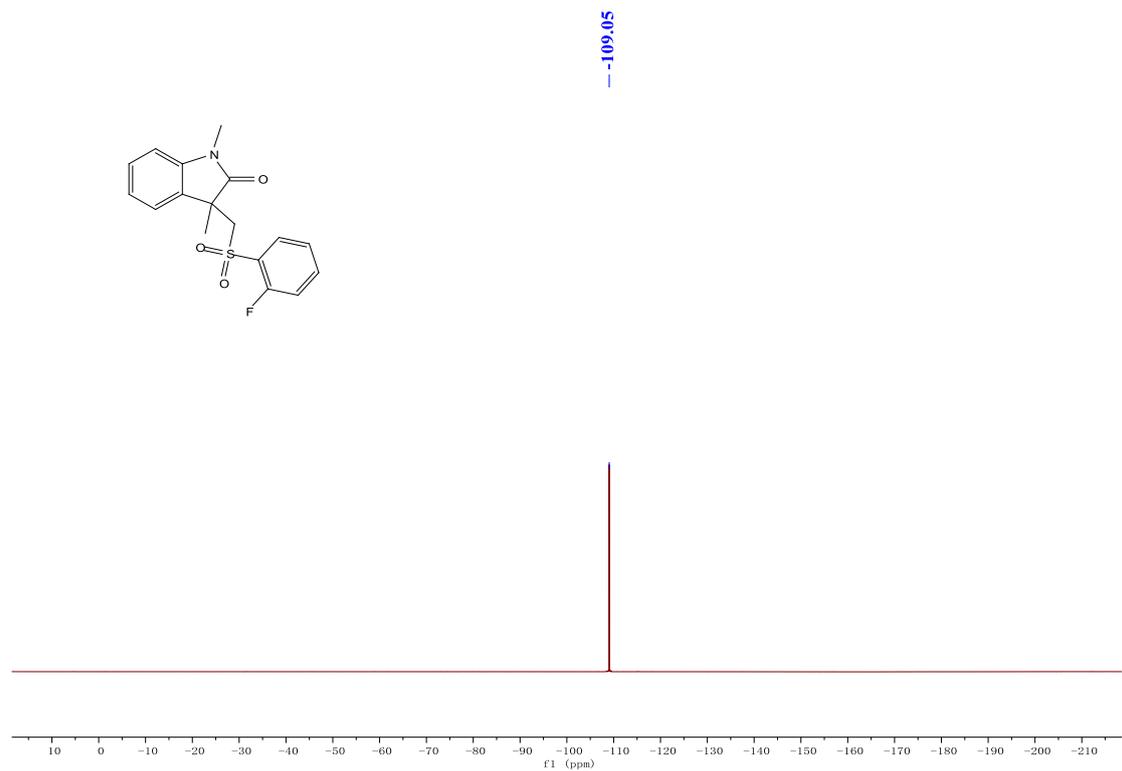
¹³C NMR spectra of **3ai** (101 MHz, CDCl₃)



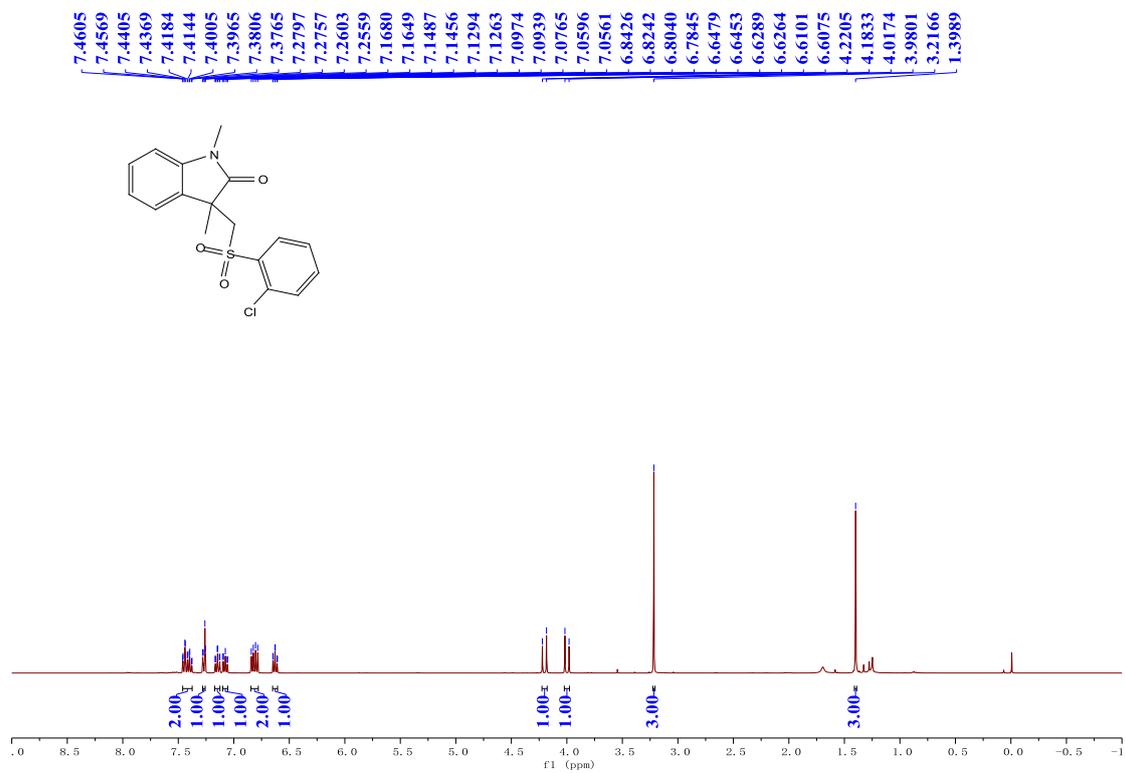
¹H NMR spectra of **3aj** (400 MHz, CDCl₃)



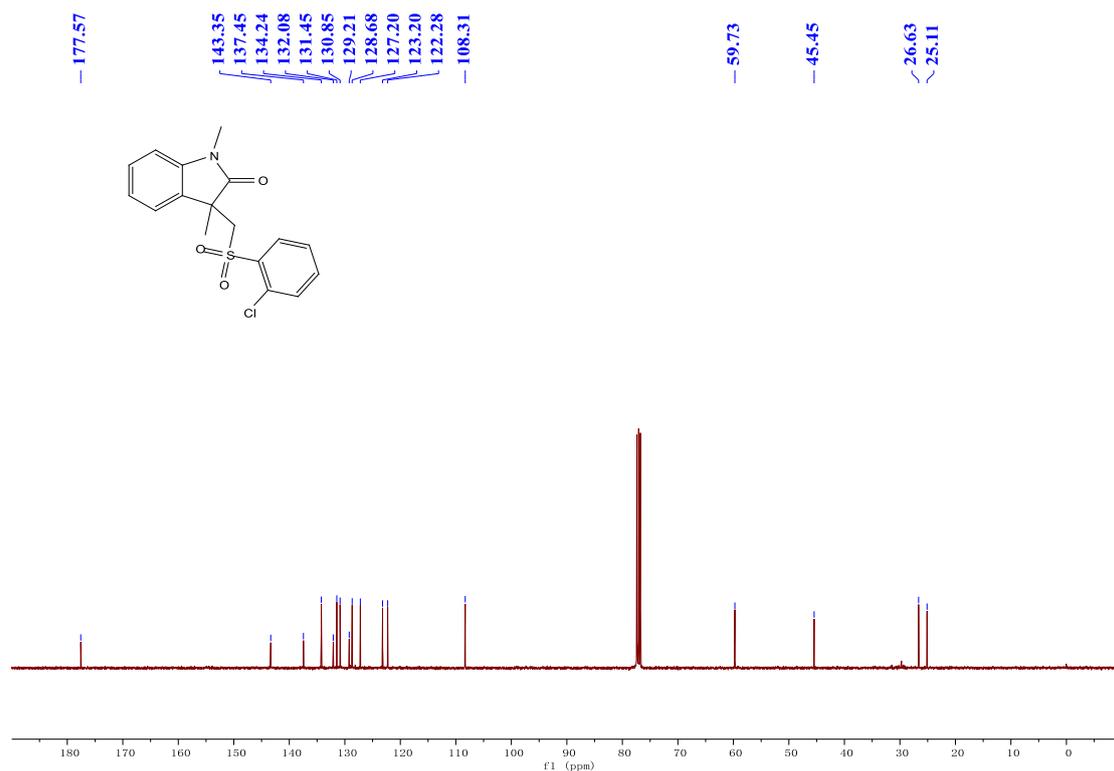
¹³C NMR spectra of **3aj** (101 MHz, CDCl₃)



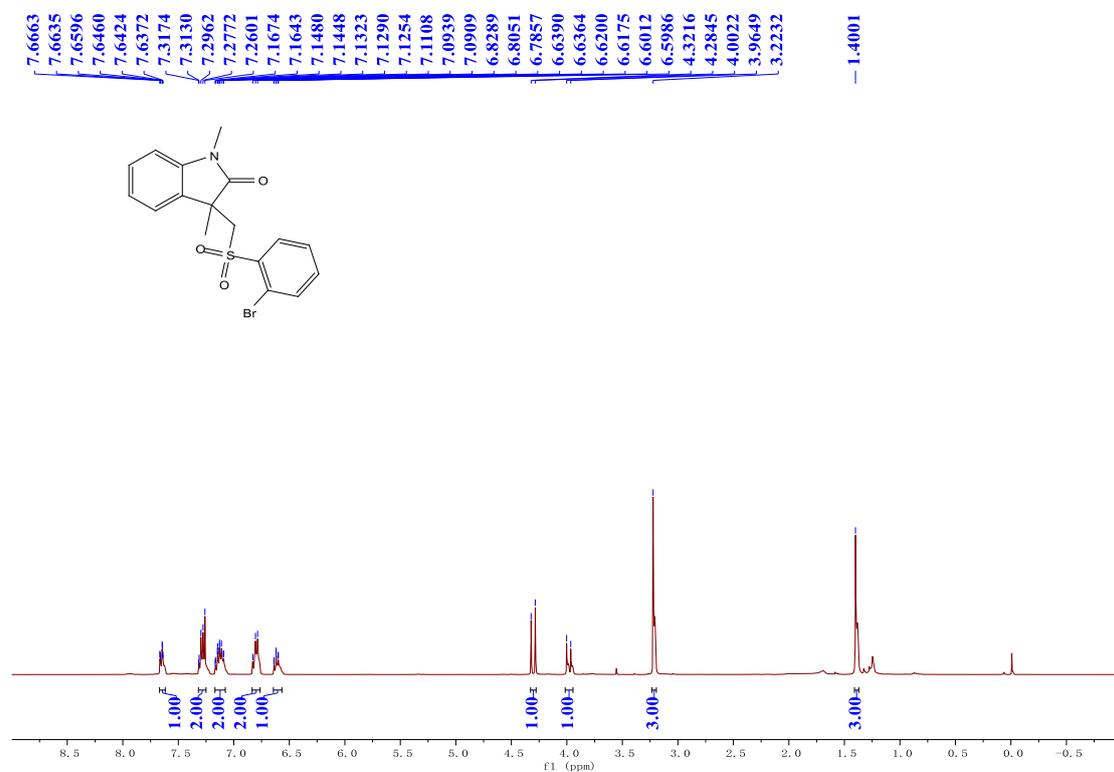
^{19}F NMR spectra of **3aj** (376 MHz, CDCl_3)



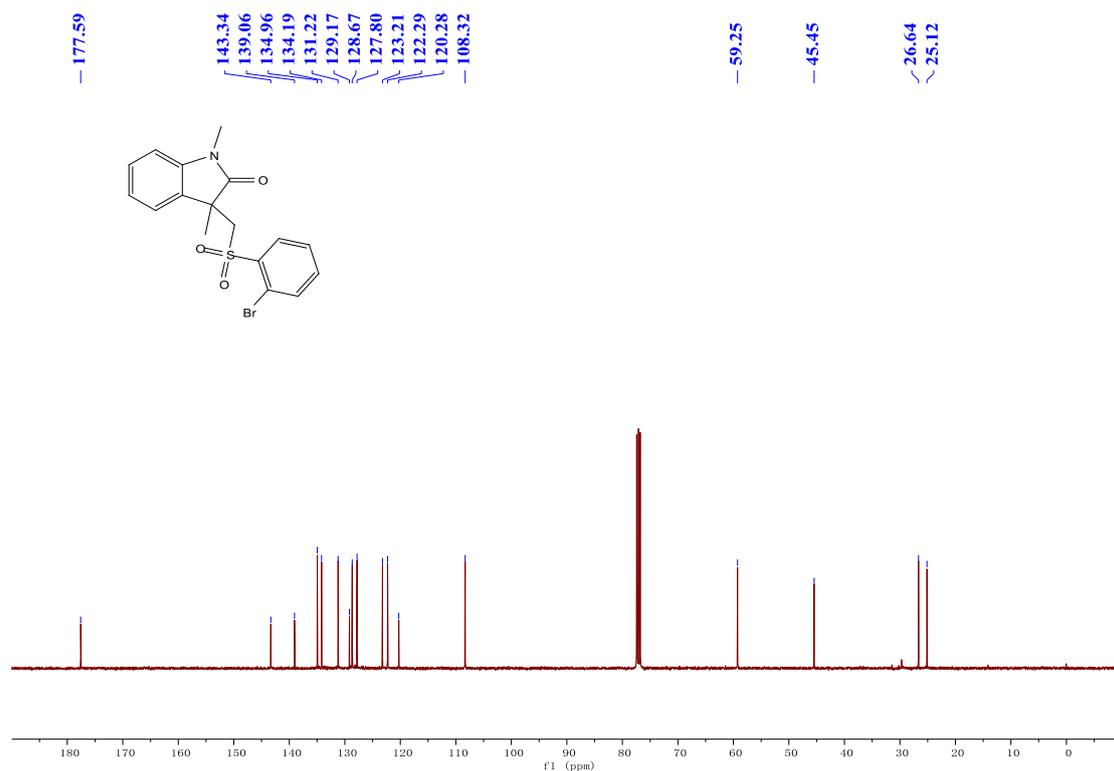
^1H NMR spectra of **3ak** (400 MHz, CDCl_3)



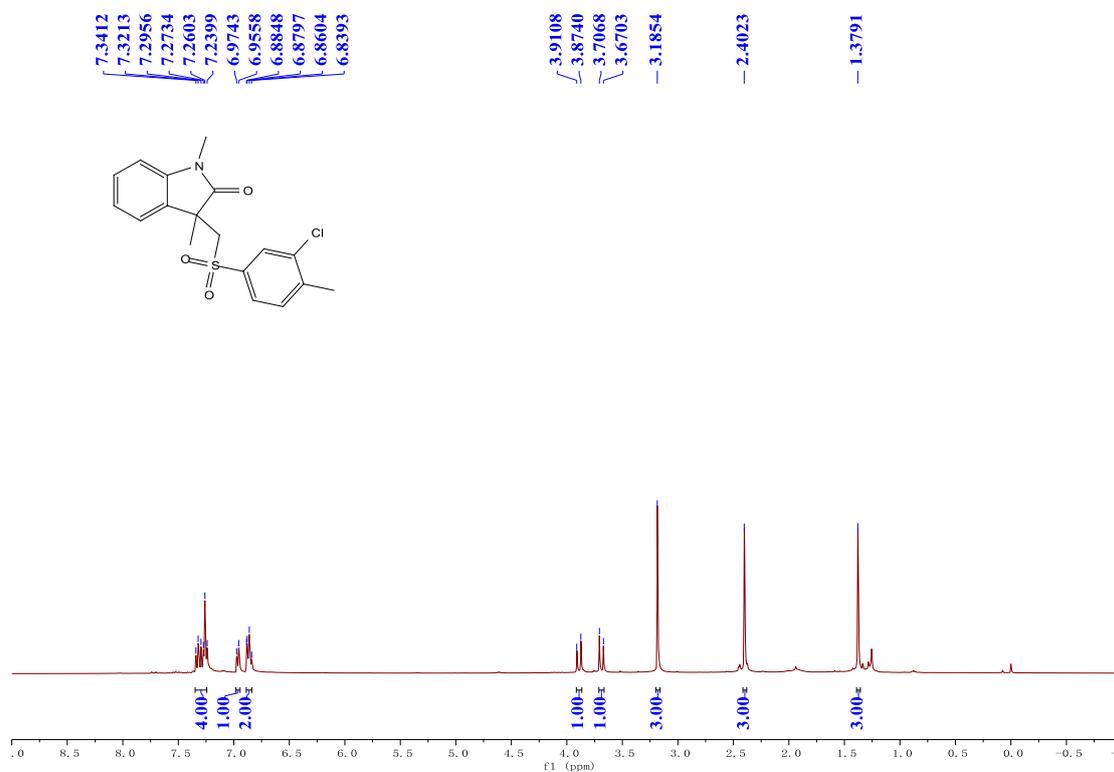
^{13}C NMR spectra of **3ak** (101 MHz, CDCl_3)



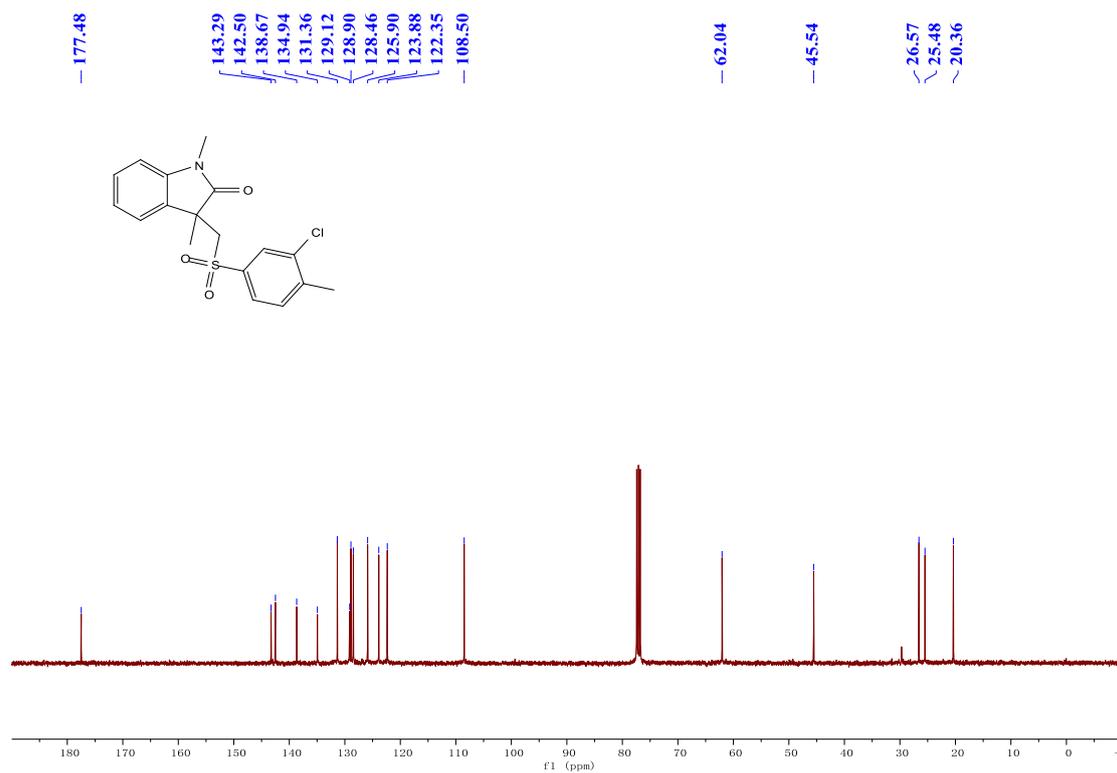
^1H NMR spectra of **3al** (400 MHz, CDCl_3)



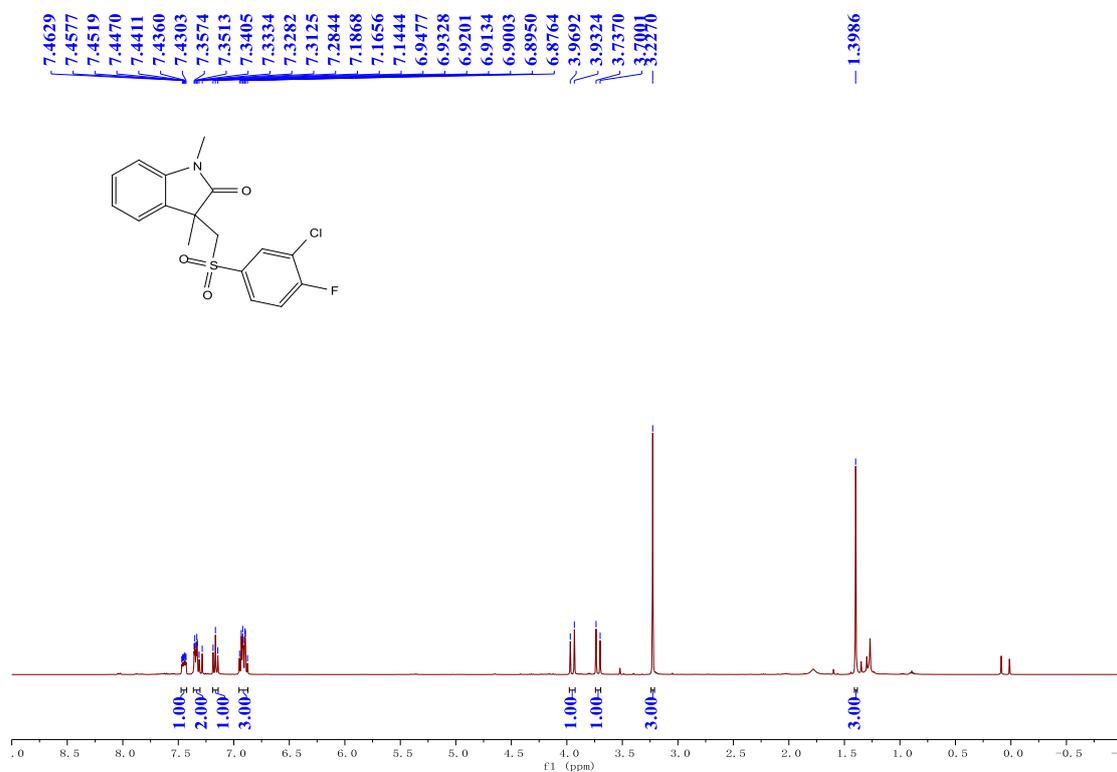
^{13}C NMR spectra of **3al** (101 MHz, CDCl_3)



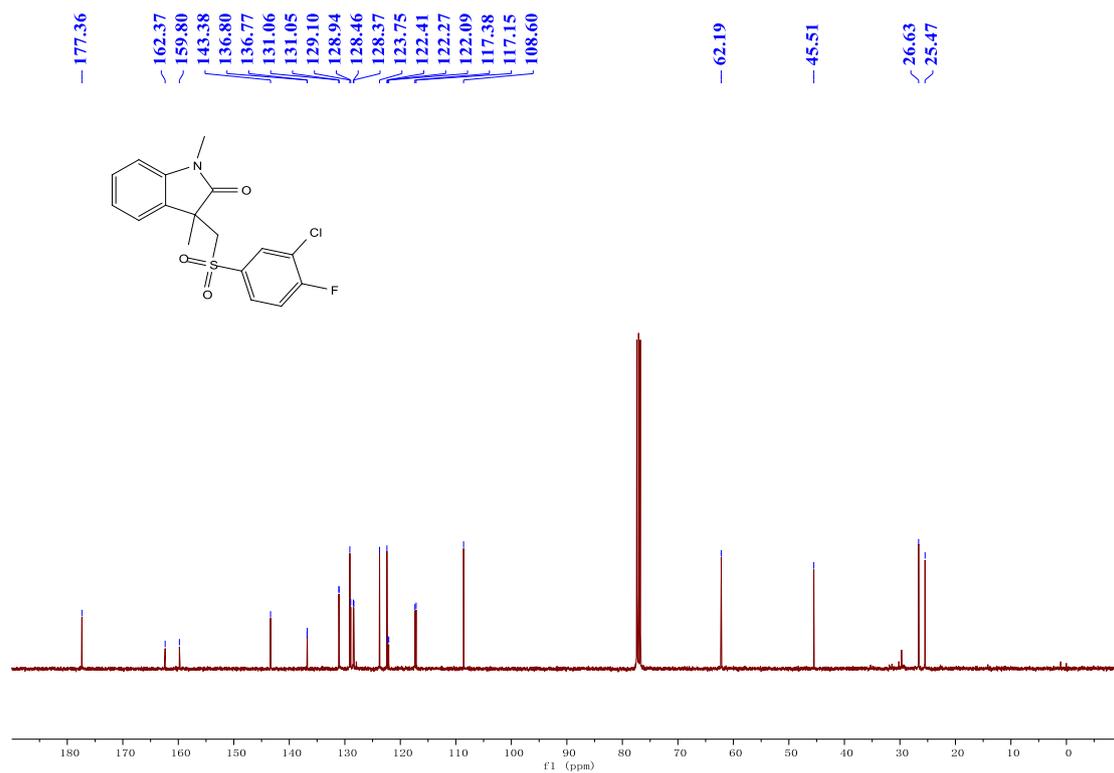
^1H NMR spectra of **3am** (400 MHz, CDCl_3)



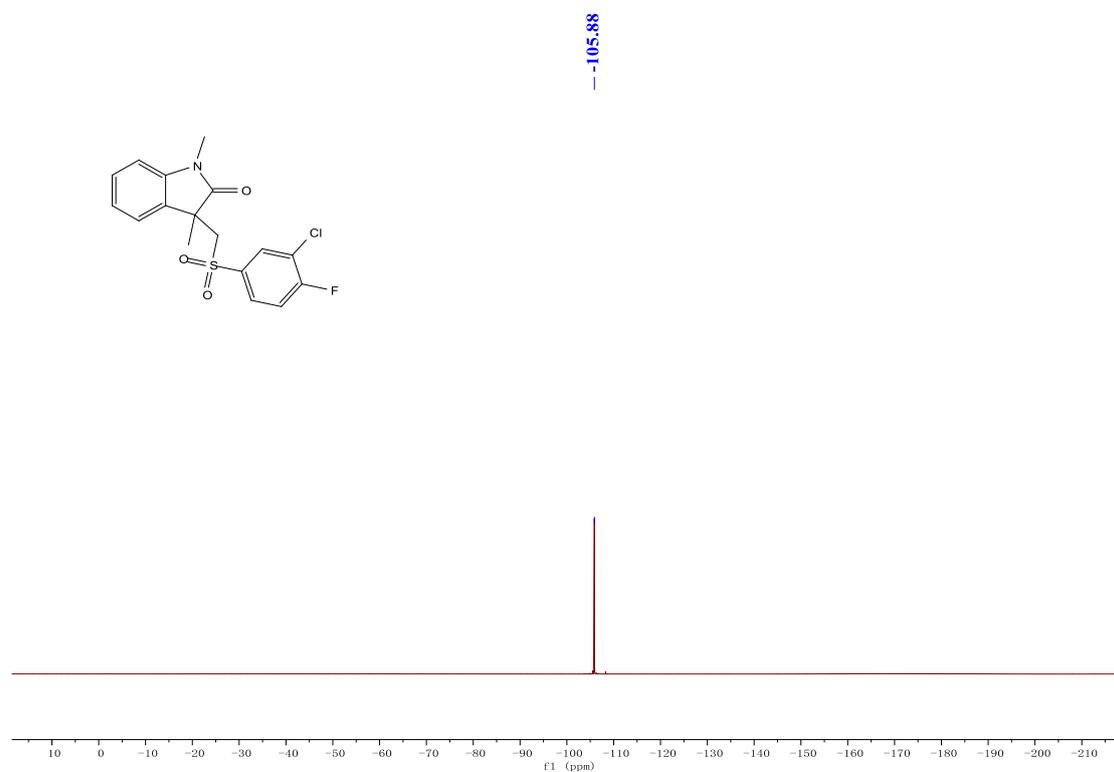
¹³C NMR spectra of **3am** (101 MHz, CDCl₃)



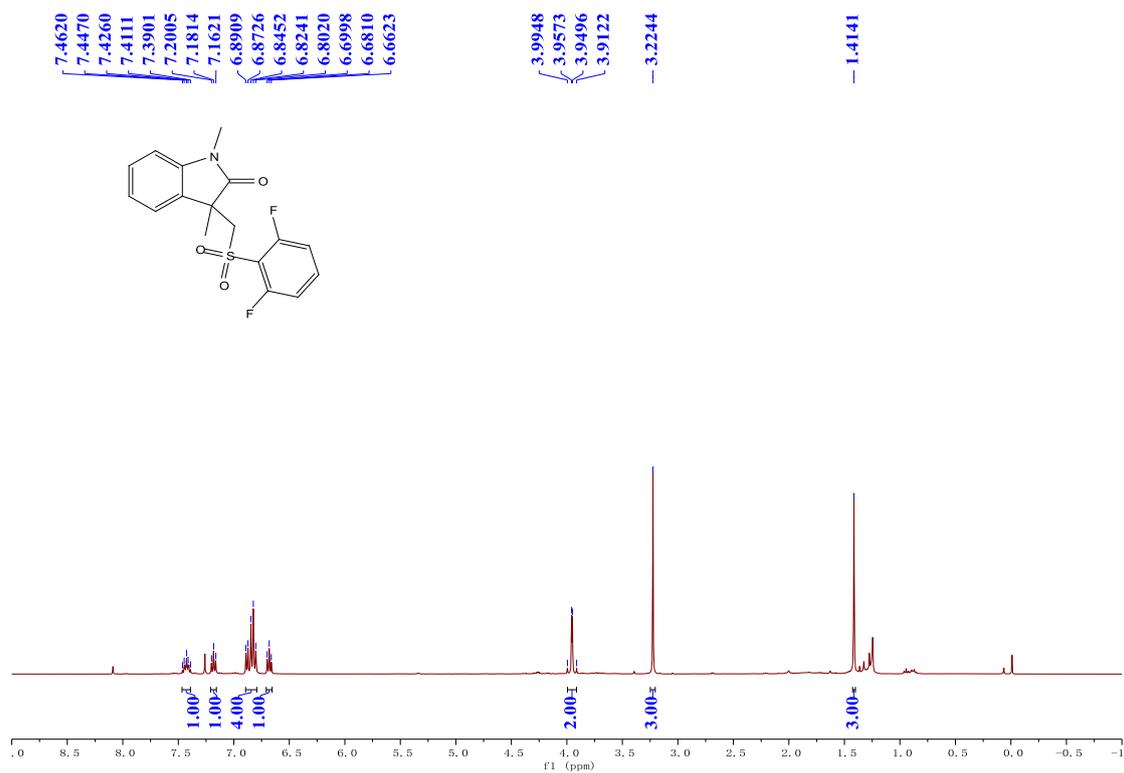
¹H NMR spectra of **3am** (400 MHz, CDCl₃)



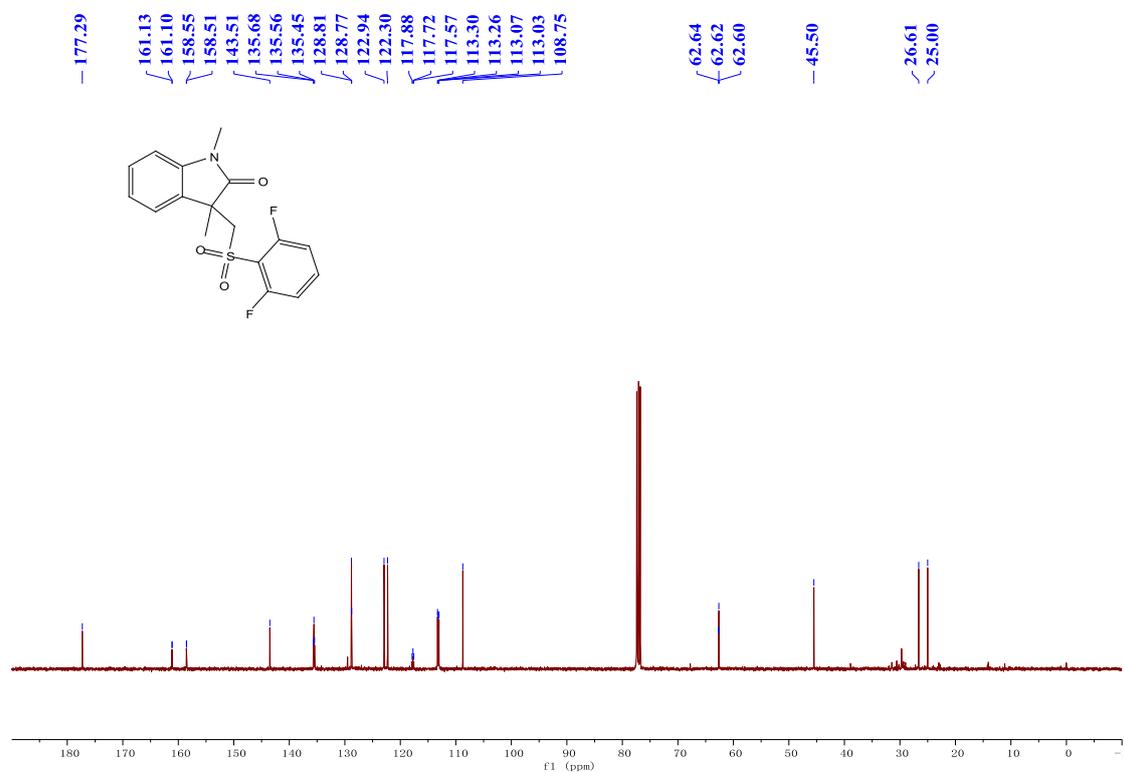
^{13}C NMR spectra of **3an** (101 MHz, CDCl_3)



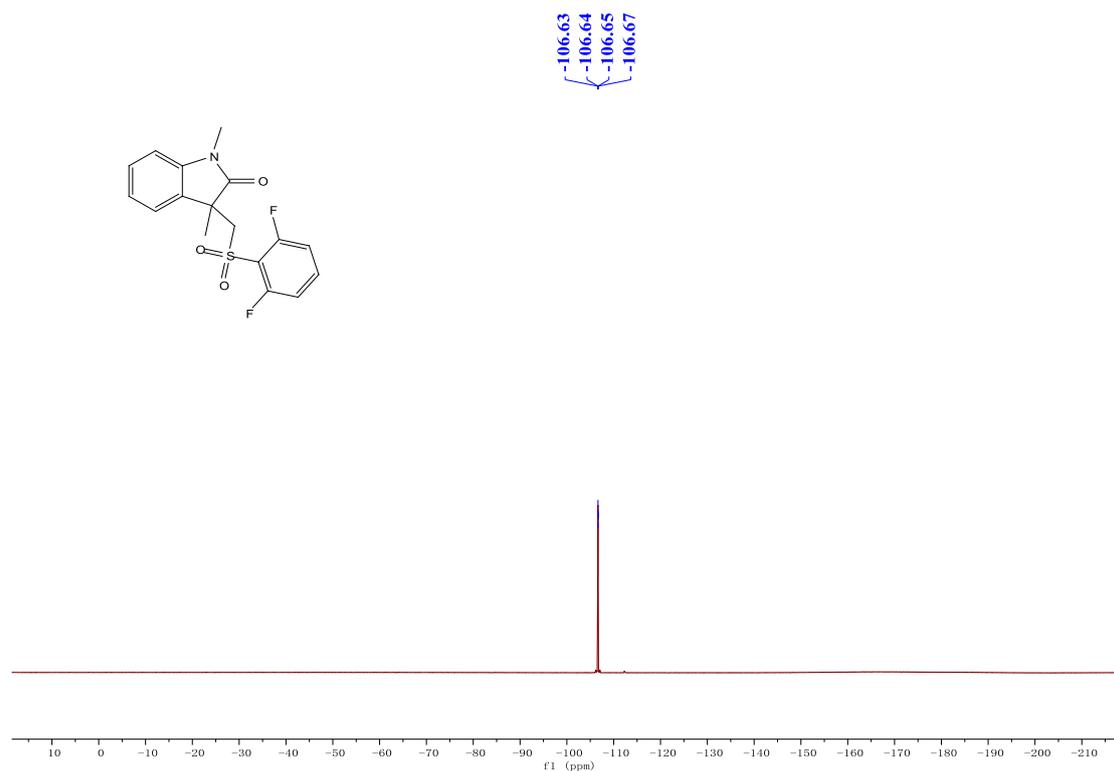
^{19}F NMR spectra of **3an** (376 MHz, CDCl_3)



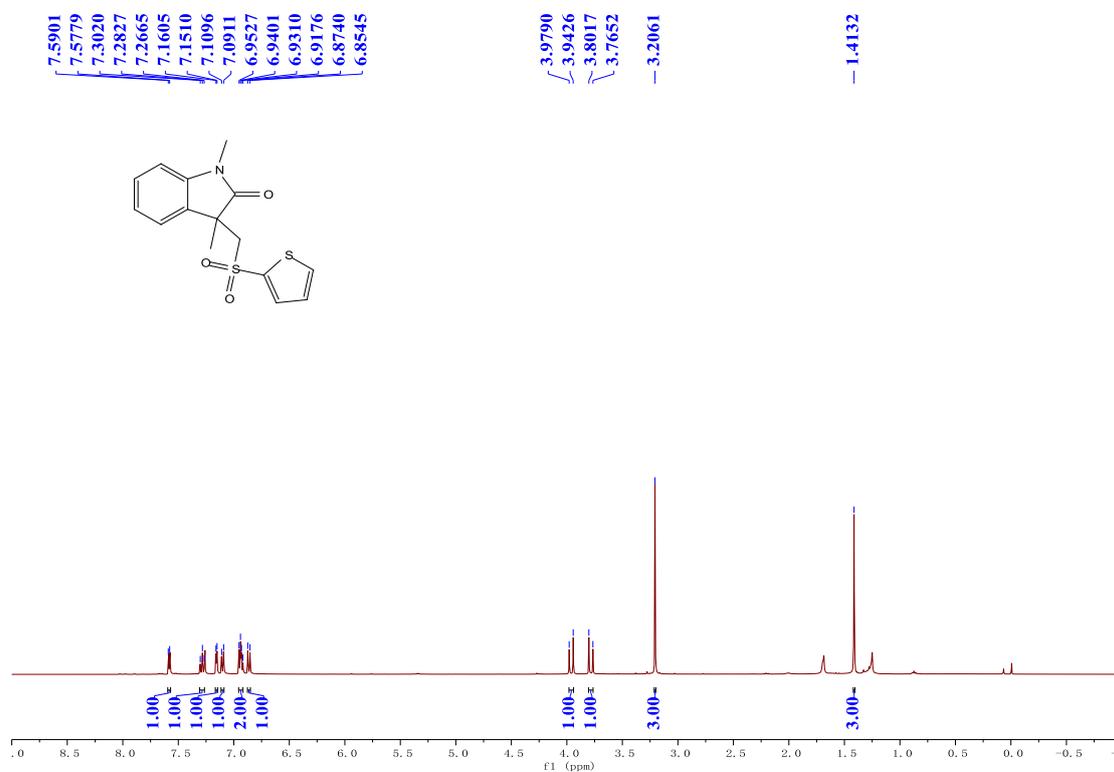
¹H NMR spectra of **3ao** (400 MHz, CDCl₃)



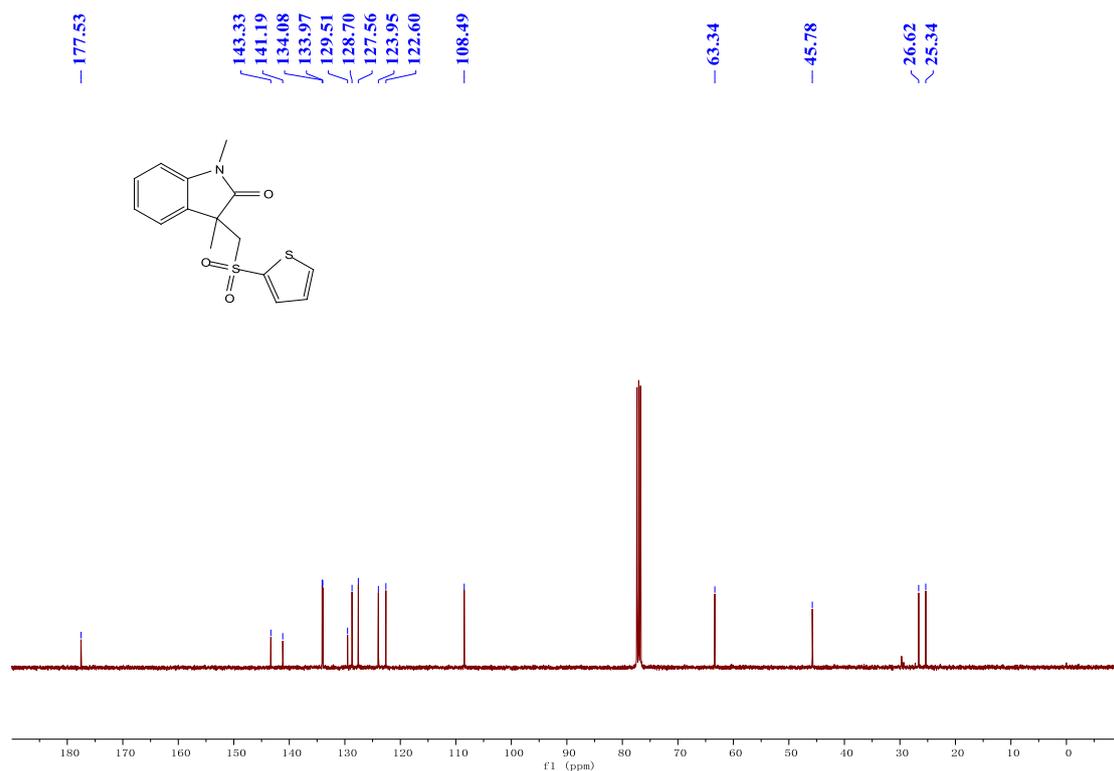
¹³C NMR spectra of **3ao** (101 MHz, CDCl₃)



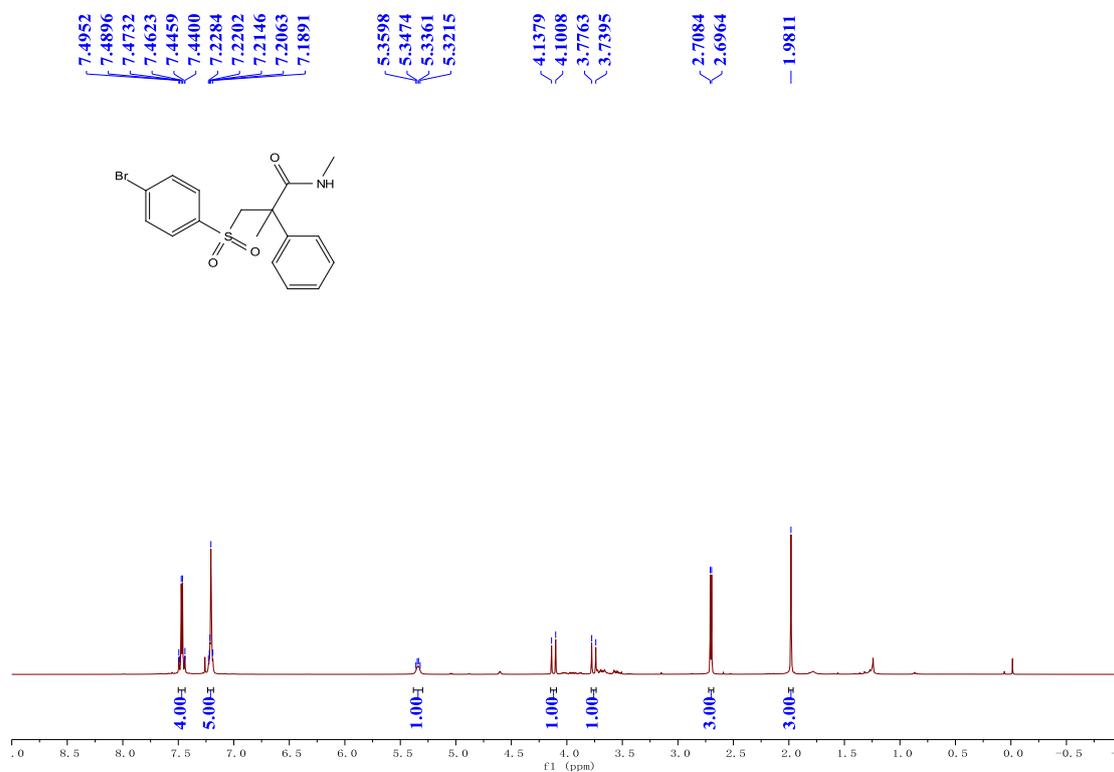
^{19}F NMR spectra of **3ao** (376 MHz, CDCl_3)



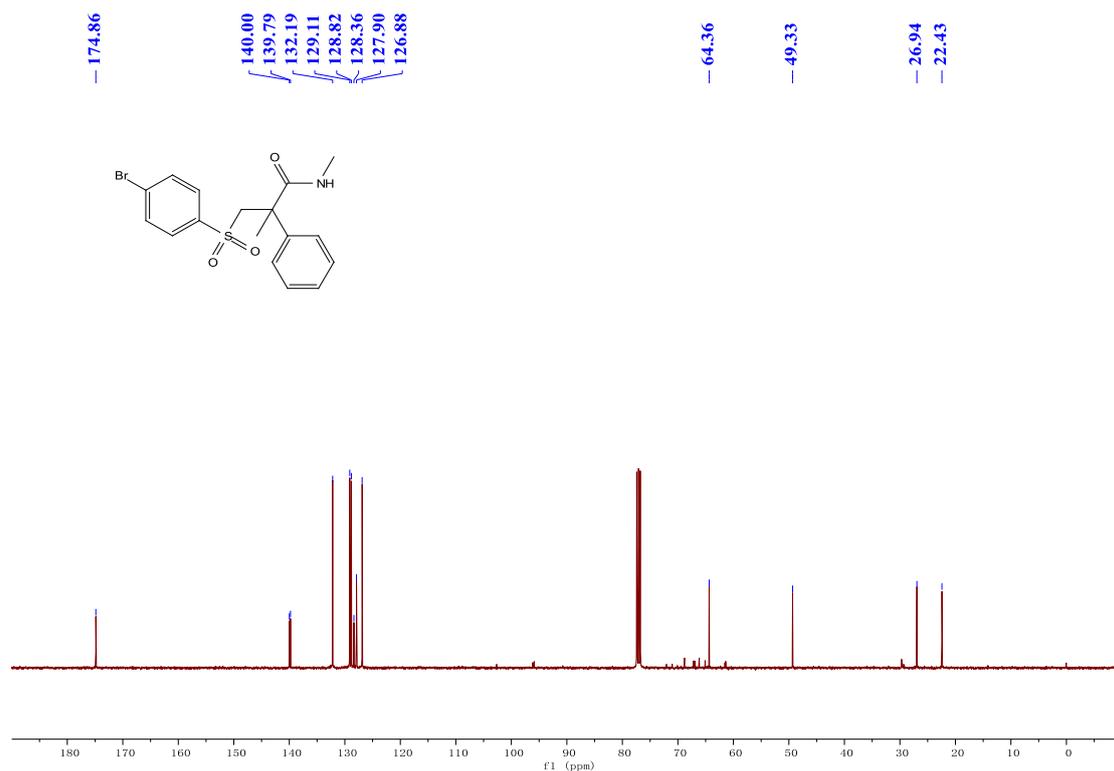
^1H NMR spectra of **3aq** (400 MHz, CDCl_3)



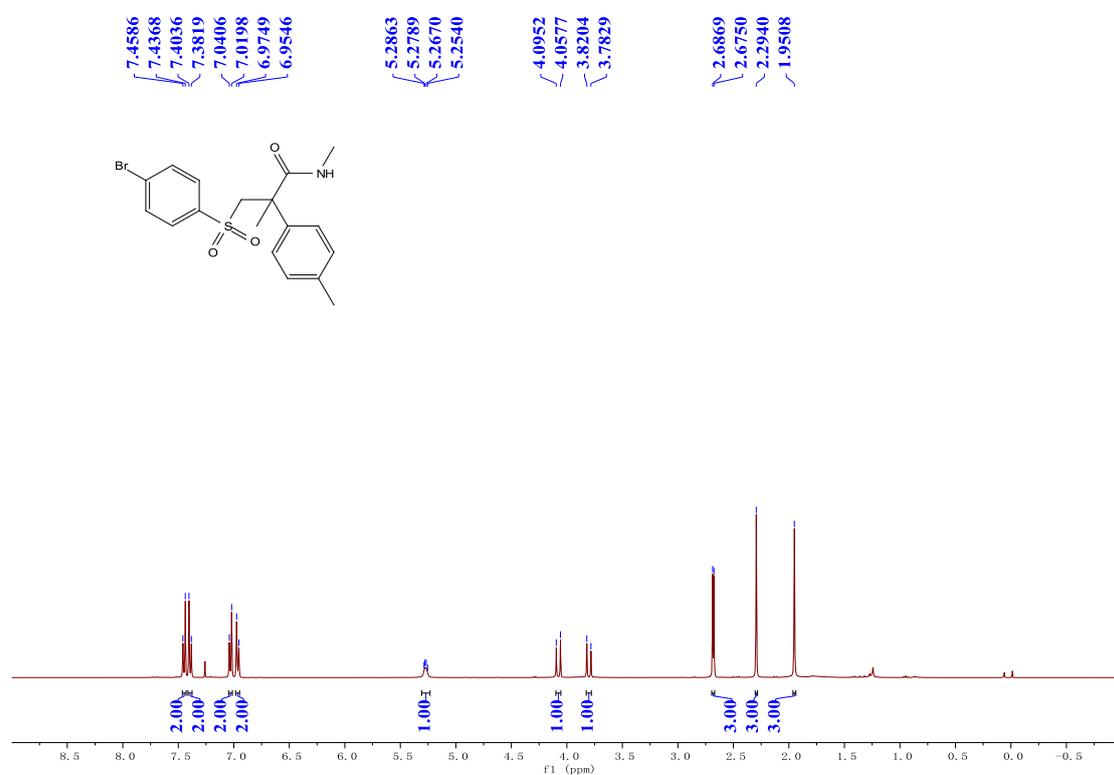
¹³C NMR spectra of **3aq** (101 MHz, CDCl₃)



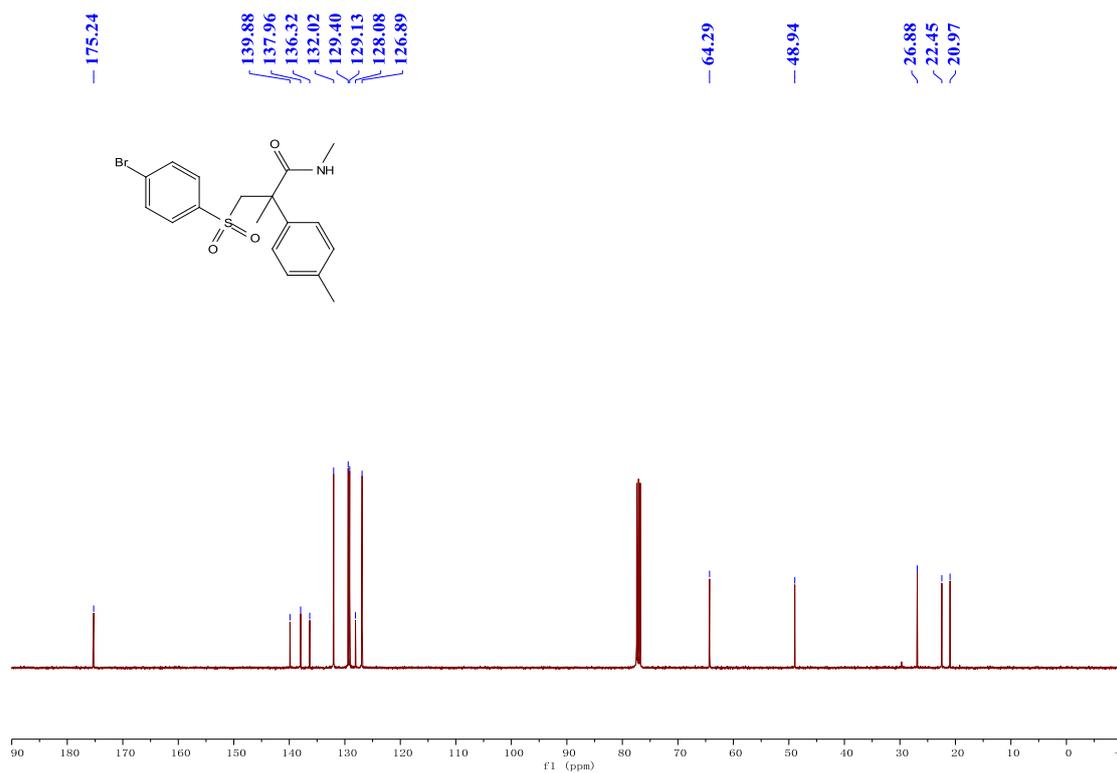
¹H NMR spectra of **4ah** (400 MHz, CDCl₃)



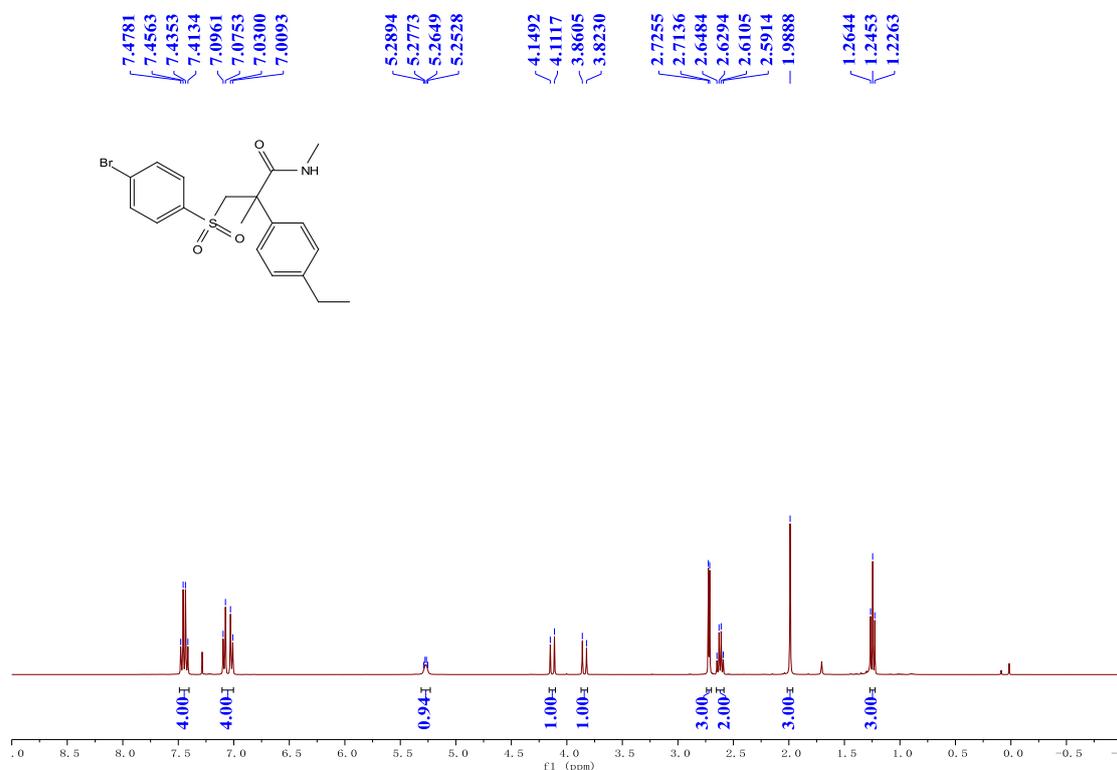
^{13}C NMR spectra of **4ah** (101 MHz, CDCl_3)



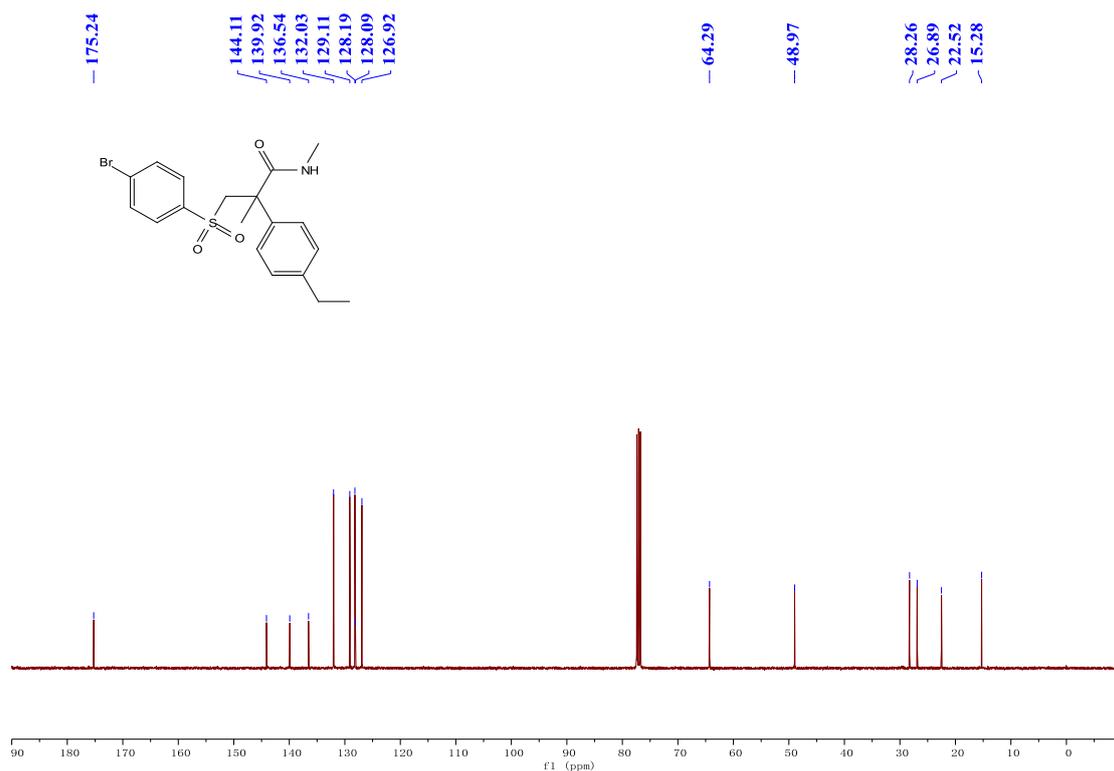
^1H NMR spectra of **4bh** (400 MHz, CDCl_3)



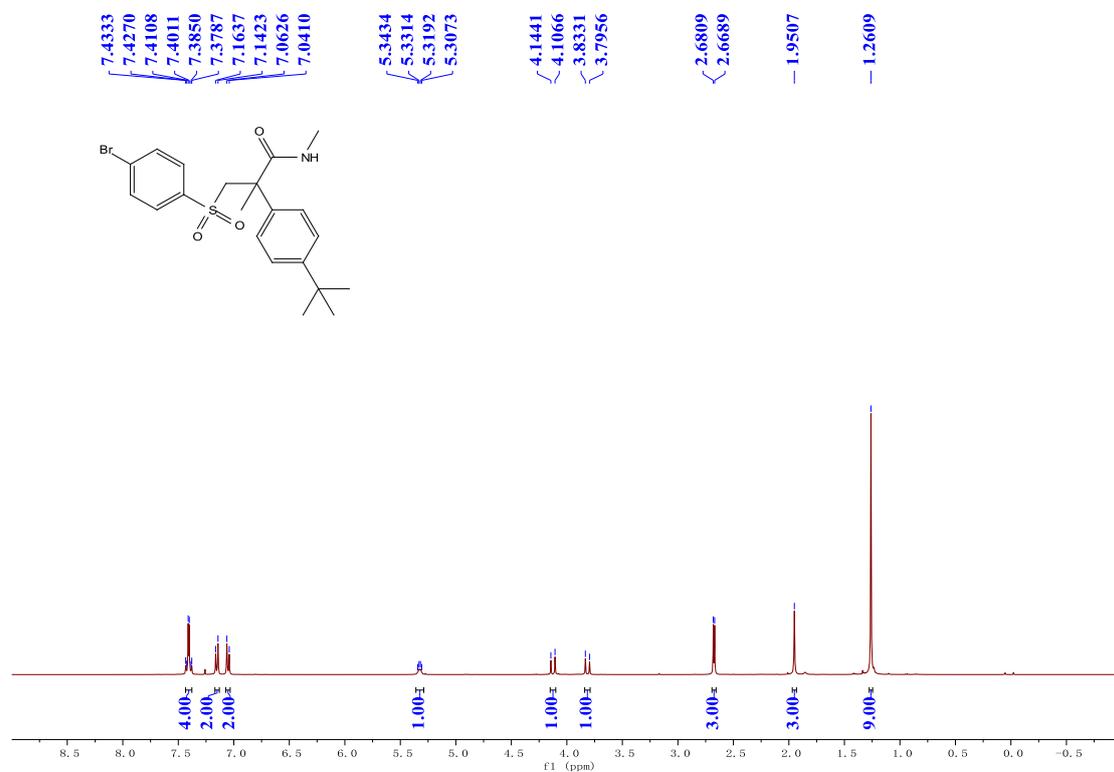
¹³C NMR spectra of **4bh** (101 MHz, CDCl₃)



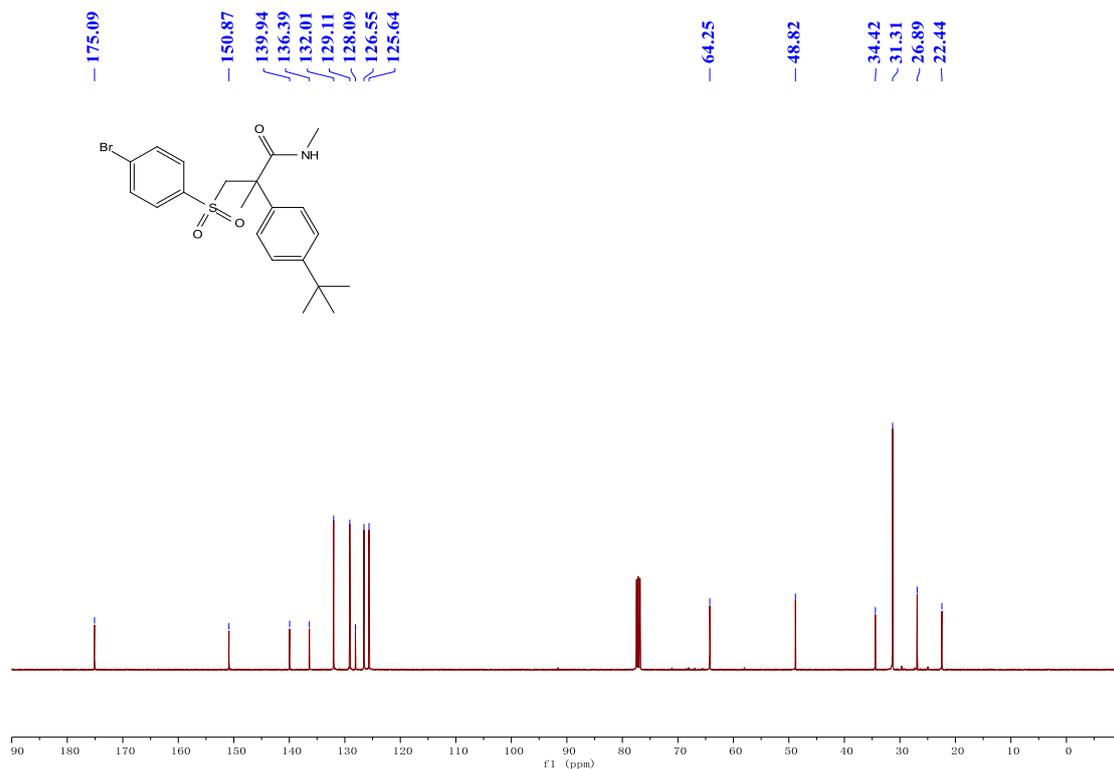
¹H NMR spectra of **4ch** (400 MHz, CDCl₃)



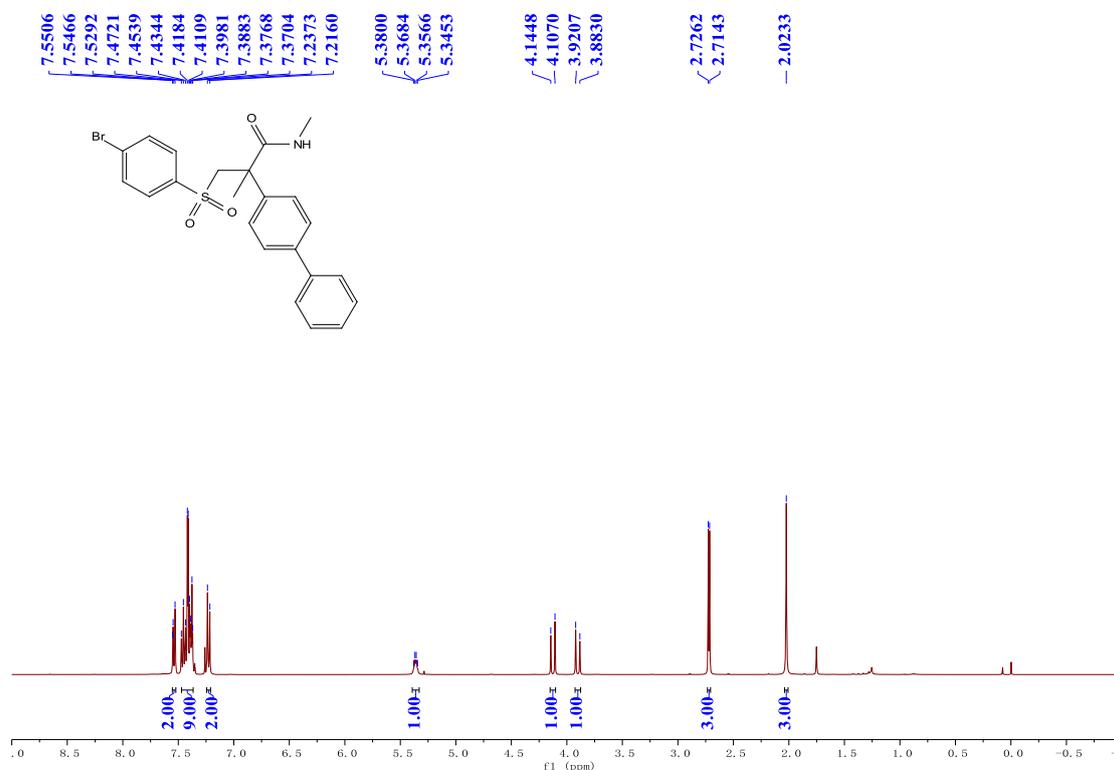
^{13}C NMR spectra of **4ch** (101 MHz, CDCl_3)



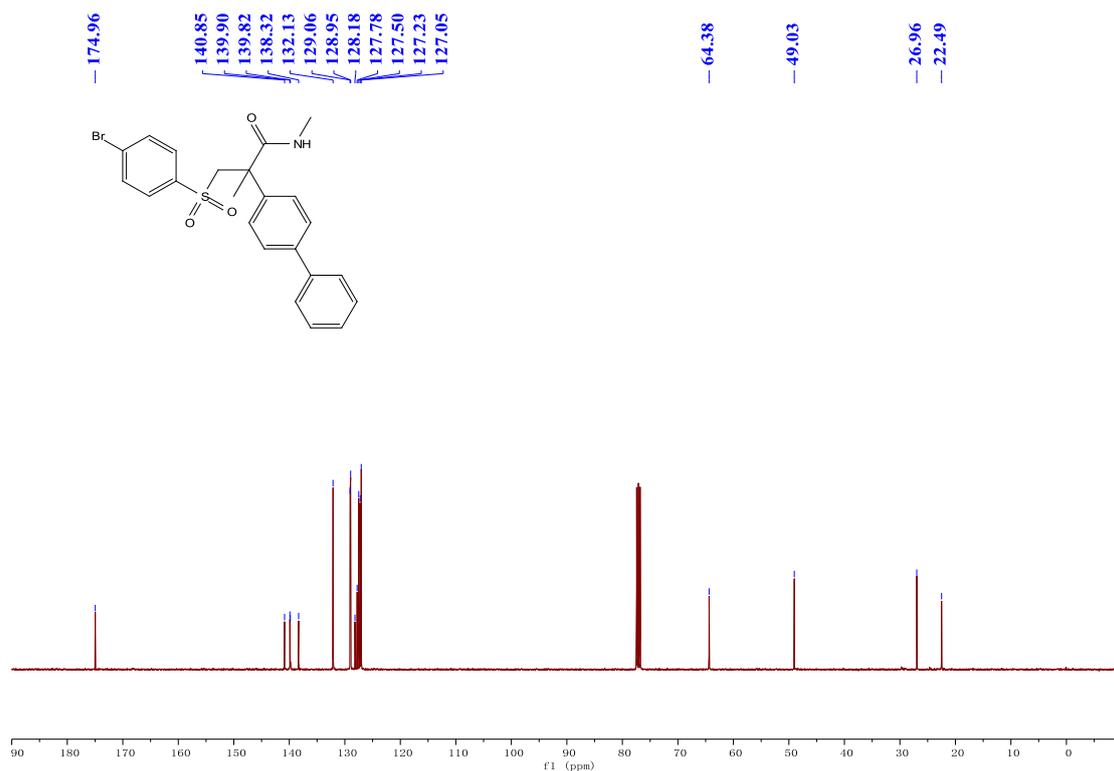
^1H NMR spectra of **4dh** (400 MHz, CDCl_3)



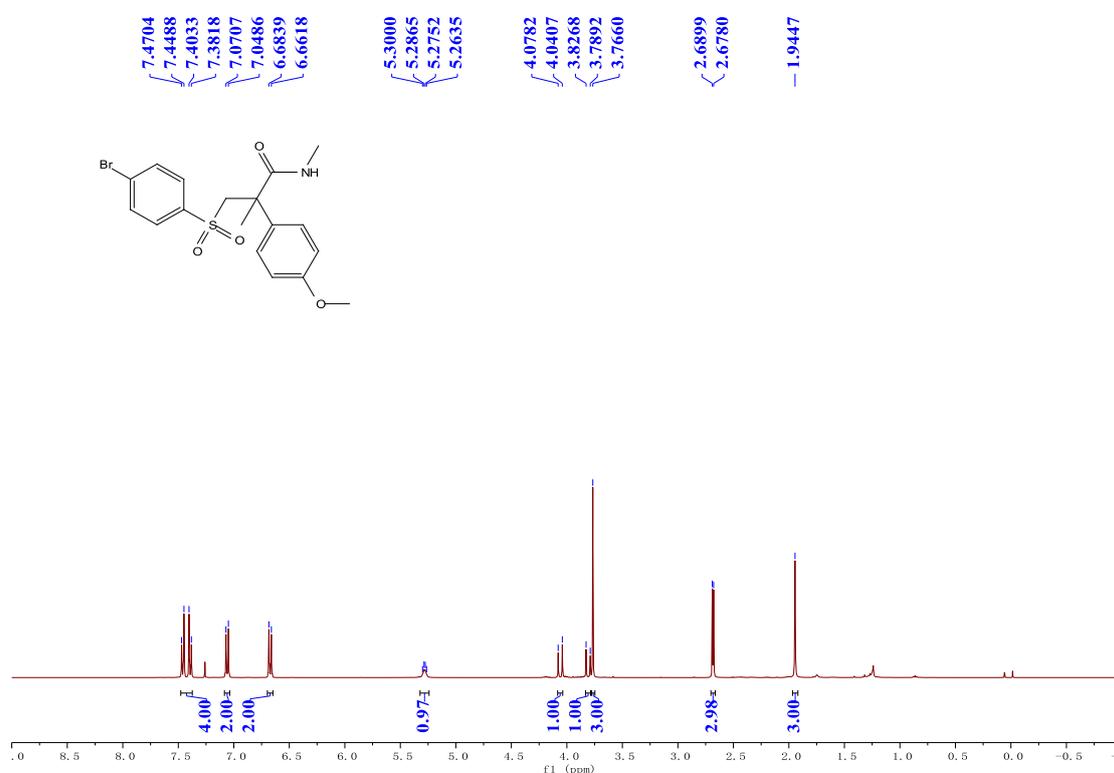
¹³C NMR spectra of **4dh** (101 MHz, CDCl₃)



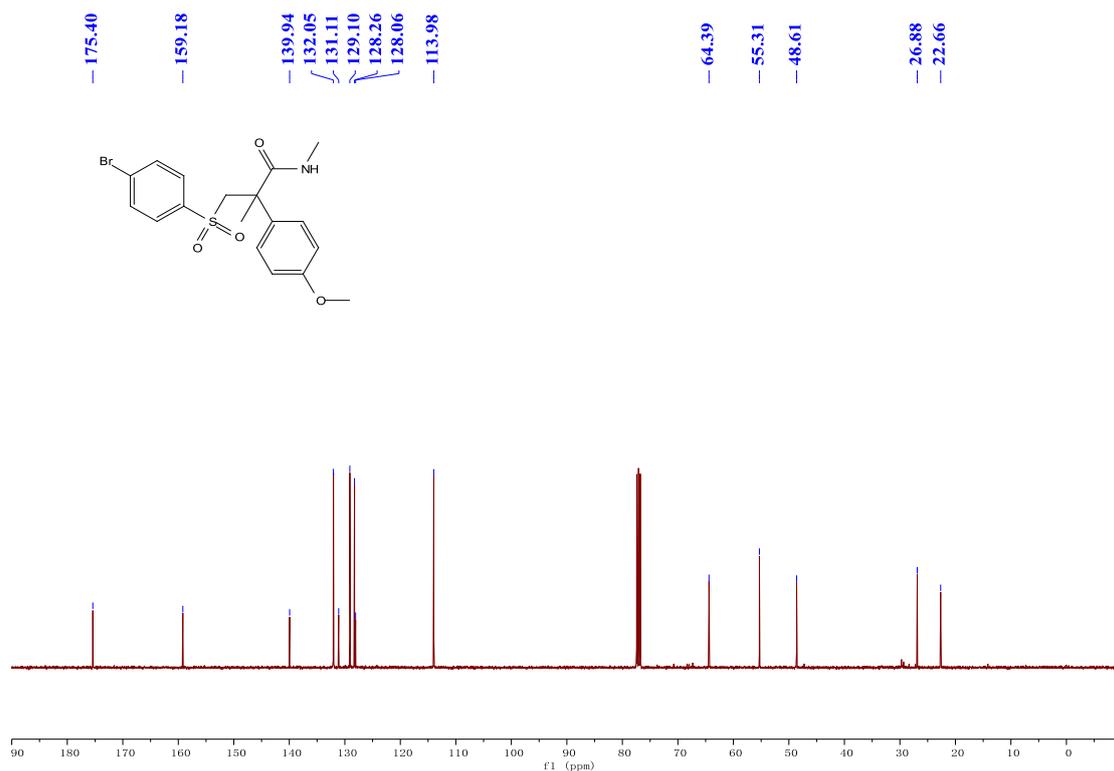
¹H NMR spectra of **4eh** (400 MHz, CDCl₃)



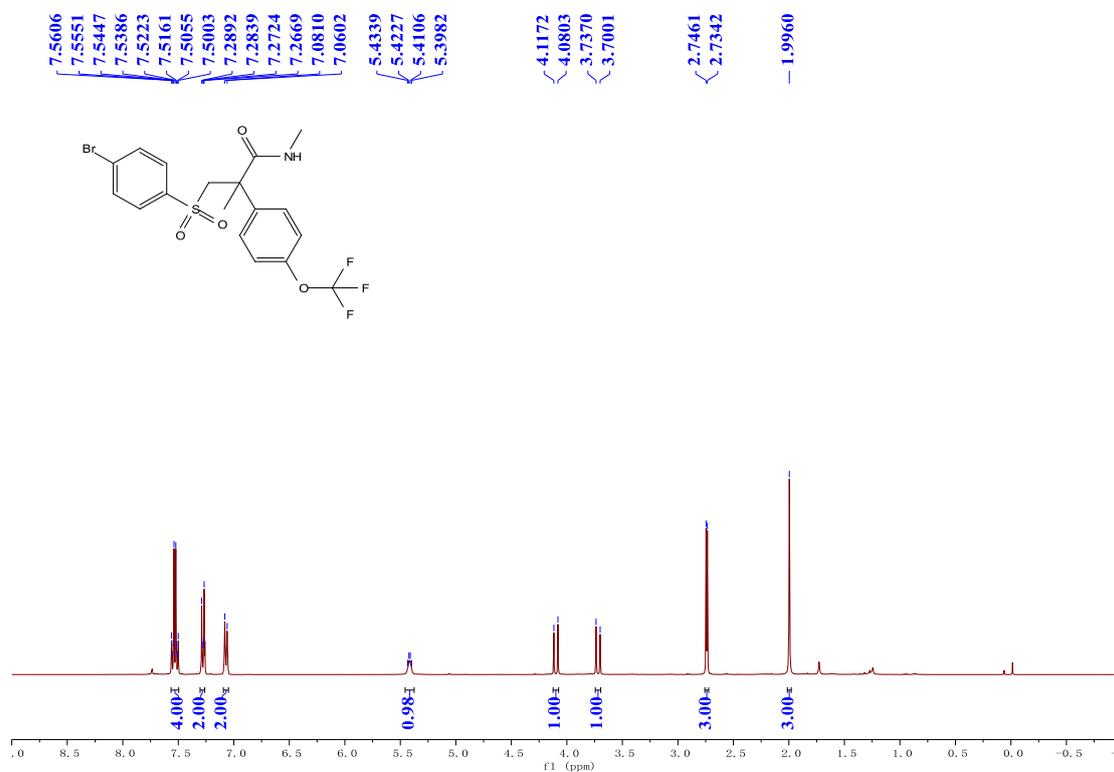
^{13}C NMR spectra of **4eh** (101 MHz, CDCl_3)



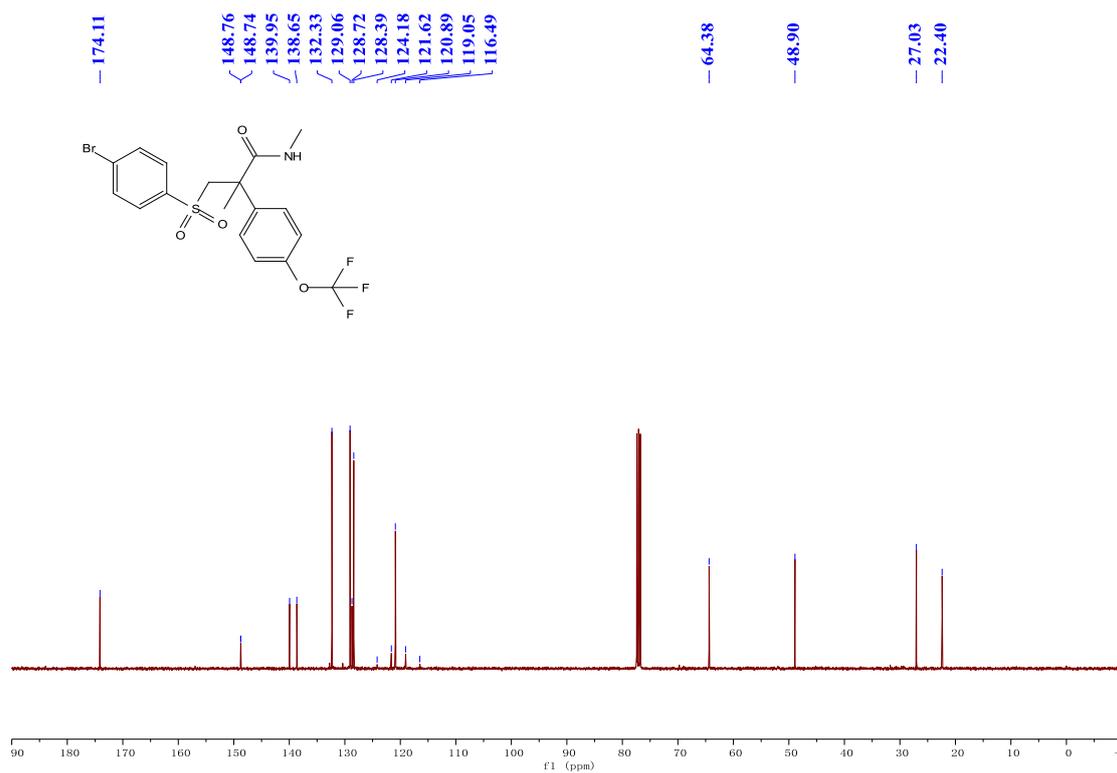
^1H NMR spectra of **4fh** (400 MHz, CDCl_3)



^{13}C NMR spectra of **4fh** (101 MHz, CDCl_3)



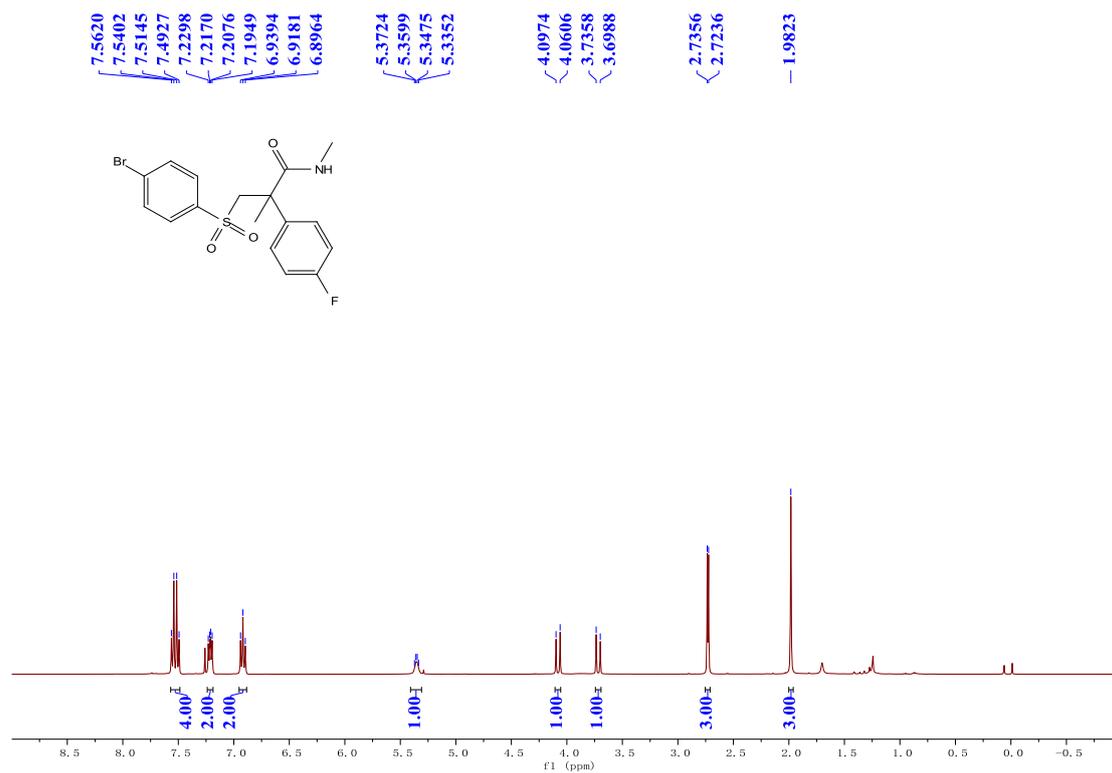
^1H NMR spectra of **4gh** (400 MHz, CDCl_3)



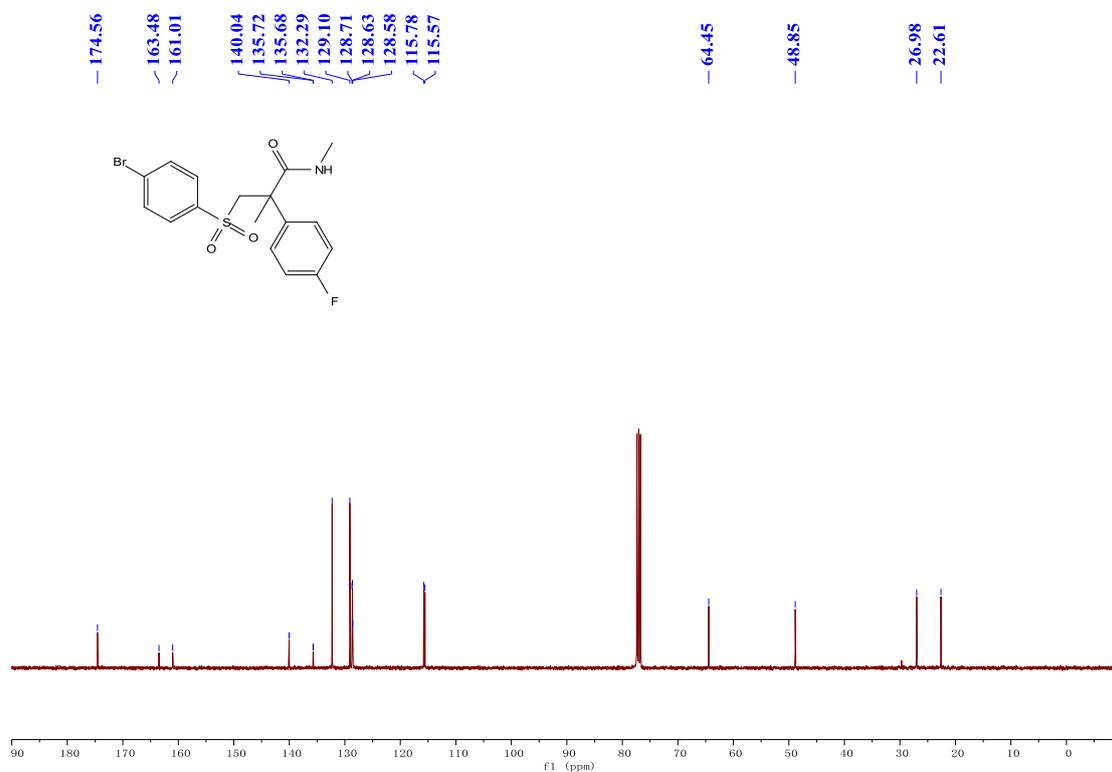
^{13}C NMR spectra of **4gh** (101 MHz, CDCl_3)



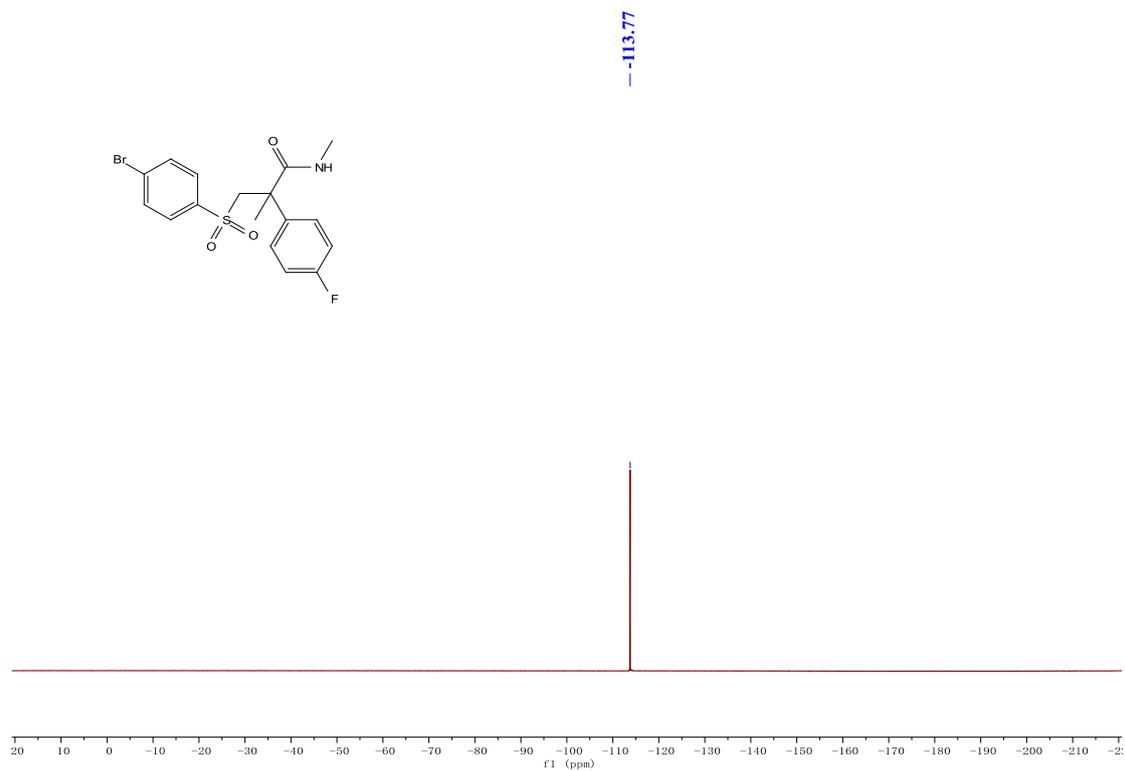
^{19}F NMR spectra of **4gh** (377 MHz, CDCl_3)



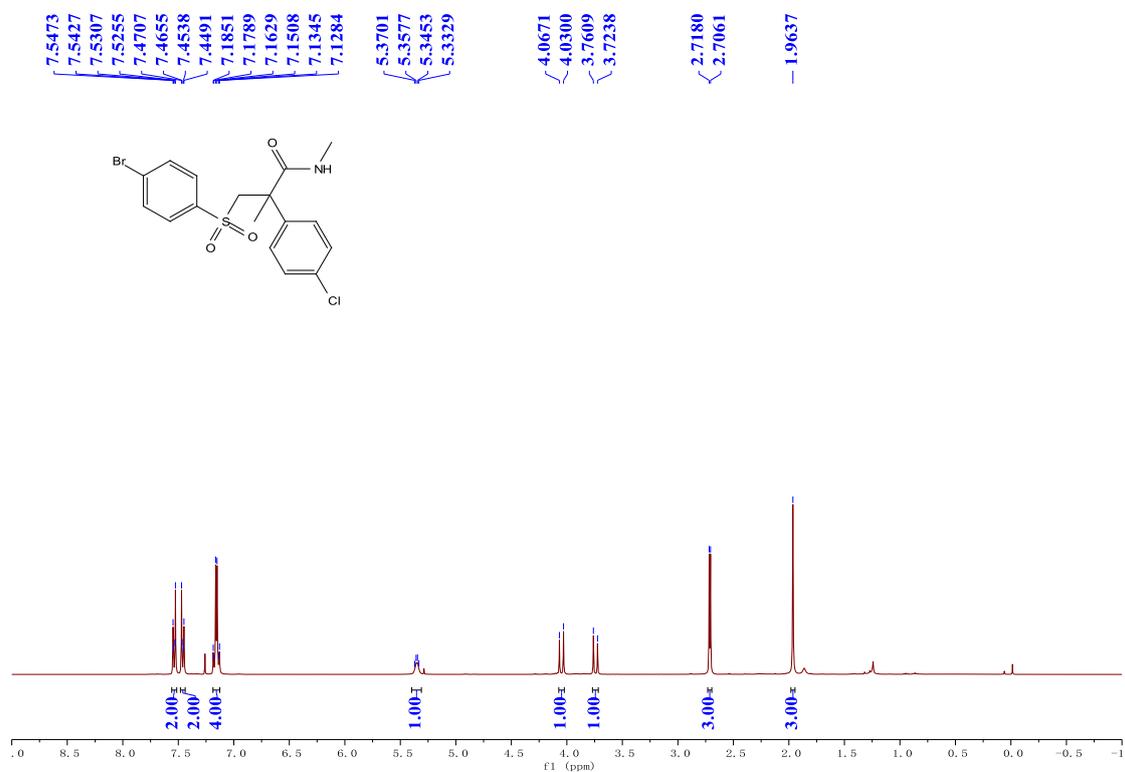
¹H NMR spectra of **4hh** (400 MHz, CDCl₃)



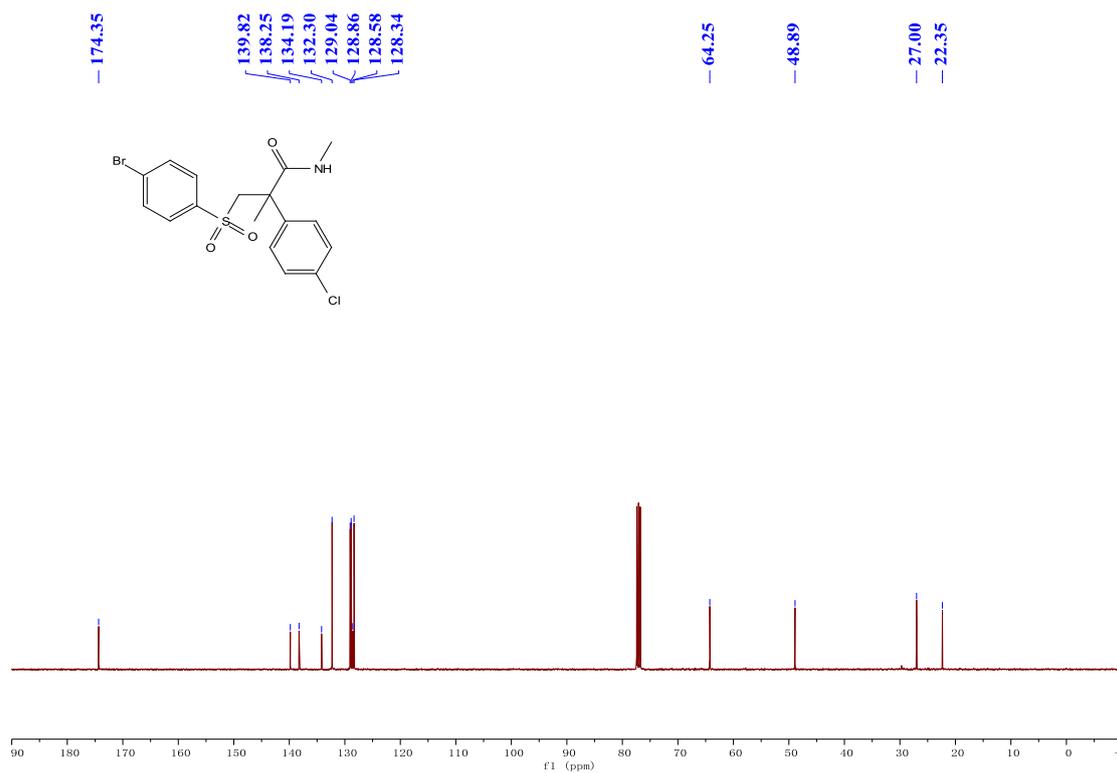
¹³C NMR spectra of **4hh** (101 MHz, CDCl₃)



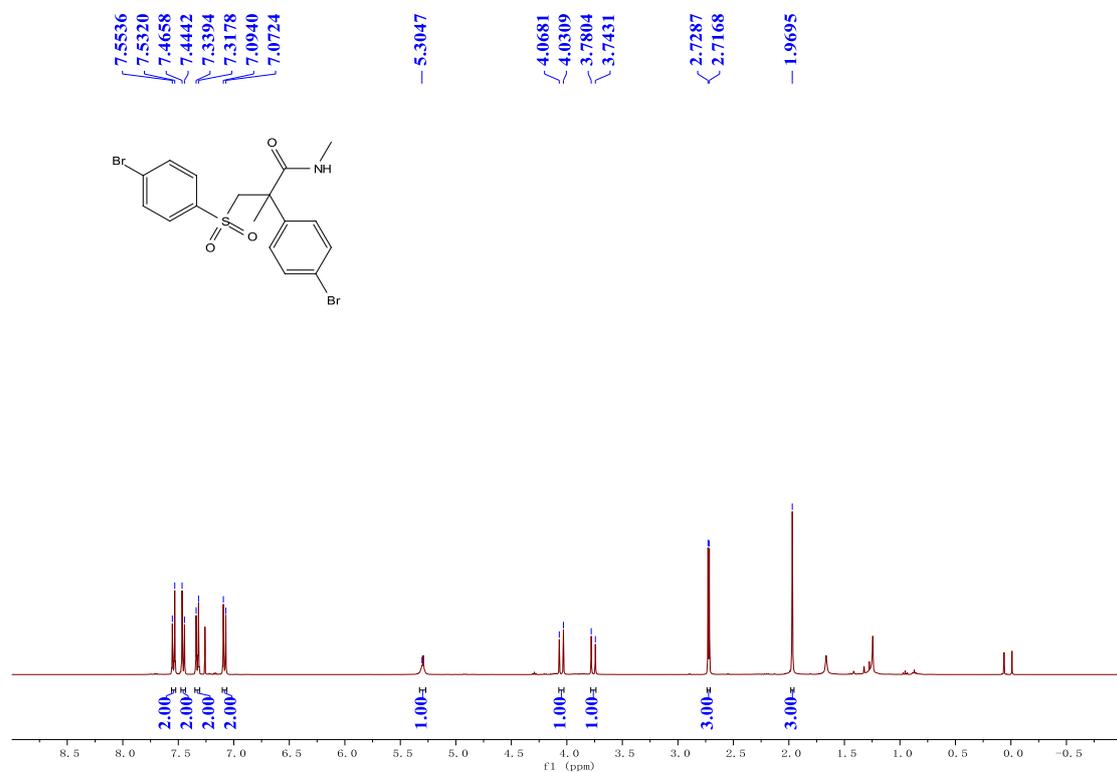
^{19}F NMR spectra of **4hh** (377 MHz, CDCl_3)



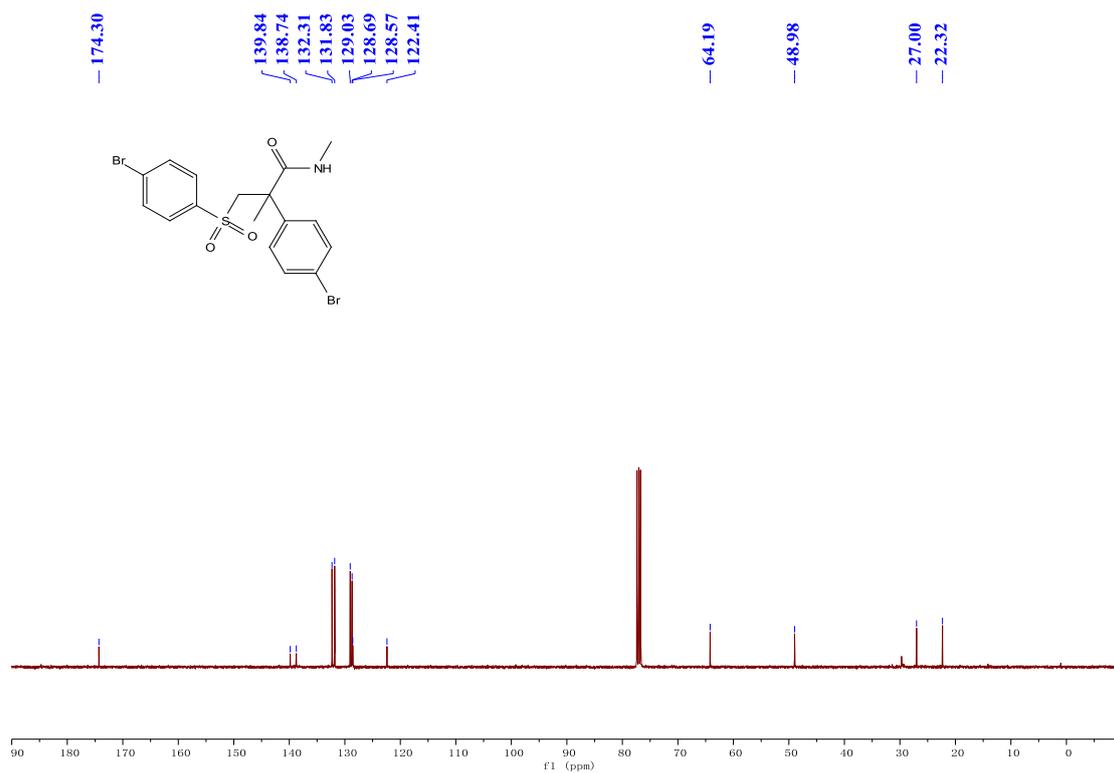
^1H NMR spectra of **4ih** (400 MHz, CDCl_3)



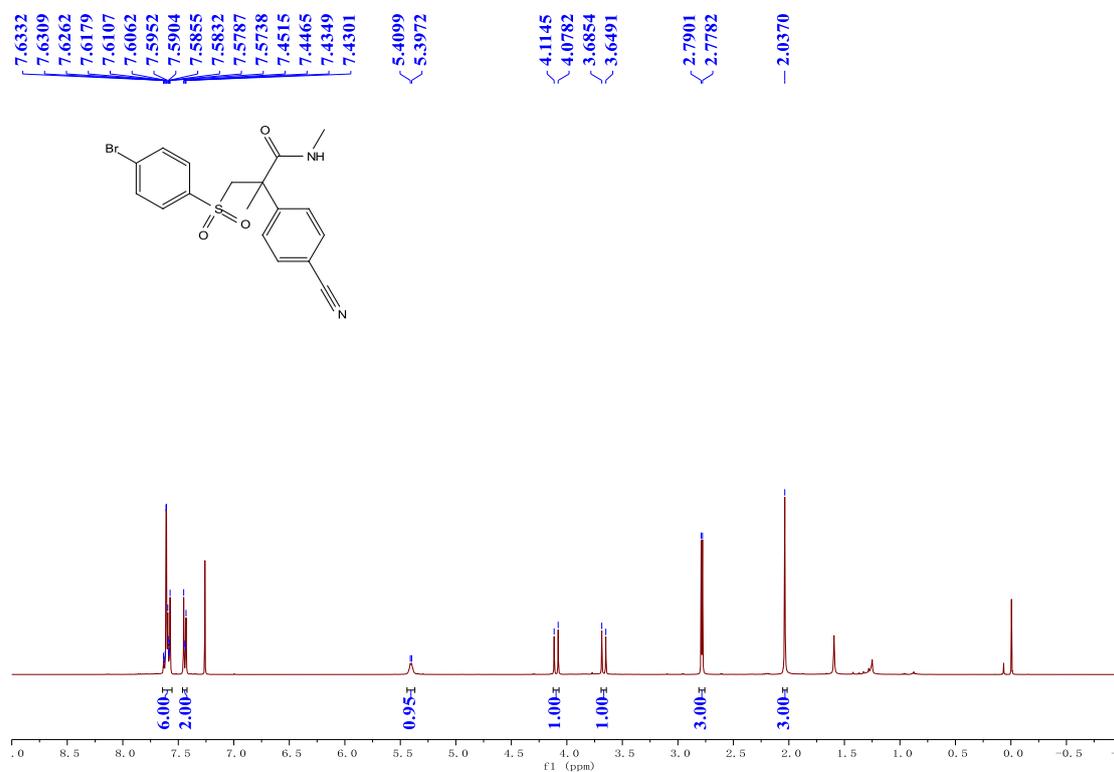
^{13}C NMR spectra of **4ih** (101 MHz, CDCl_3)



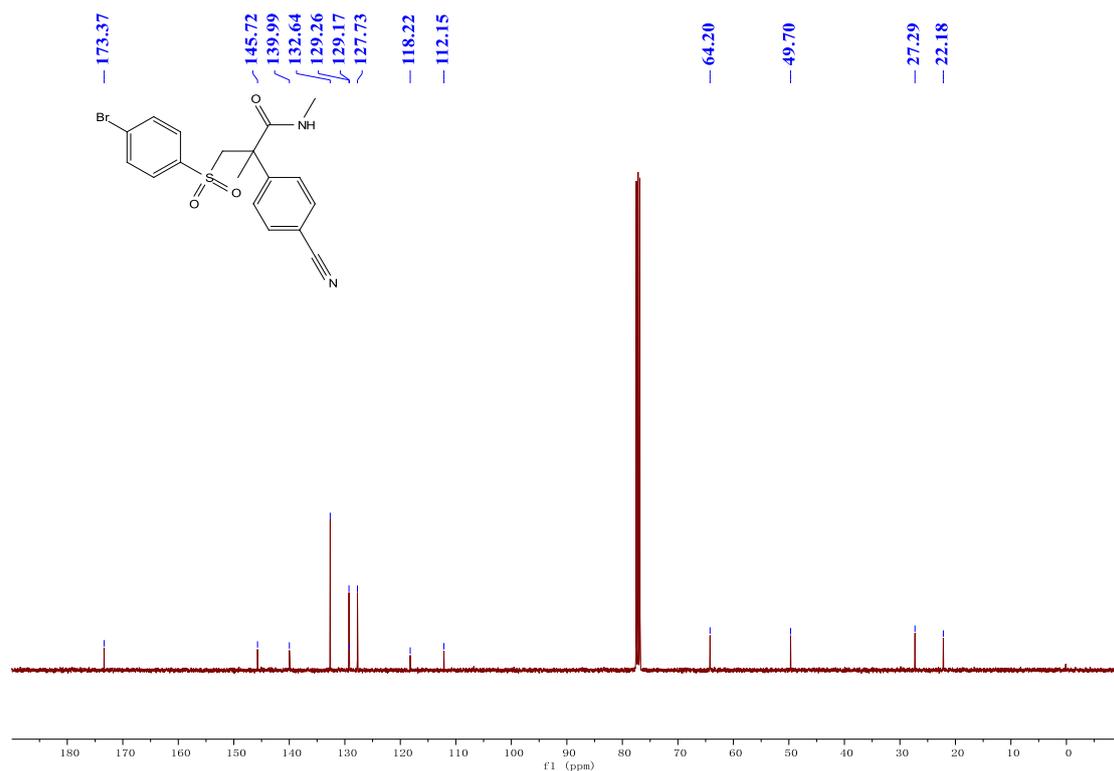
^1H NMR spectra of **4jh** (400 MHz, CDCl_3)



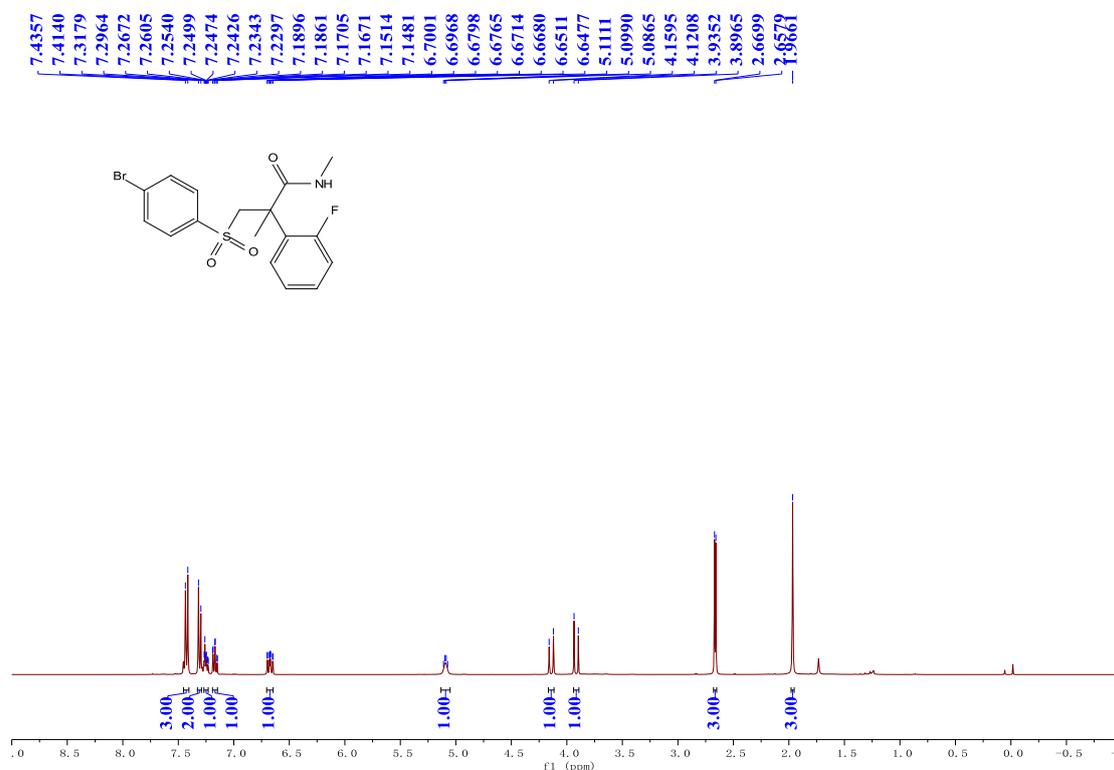
¹³C NMR spectra of **4jh** (101 MHz, CDCl₃)



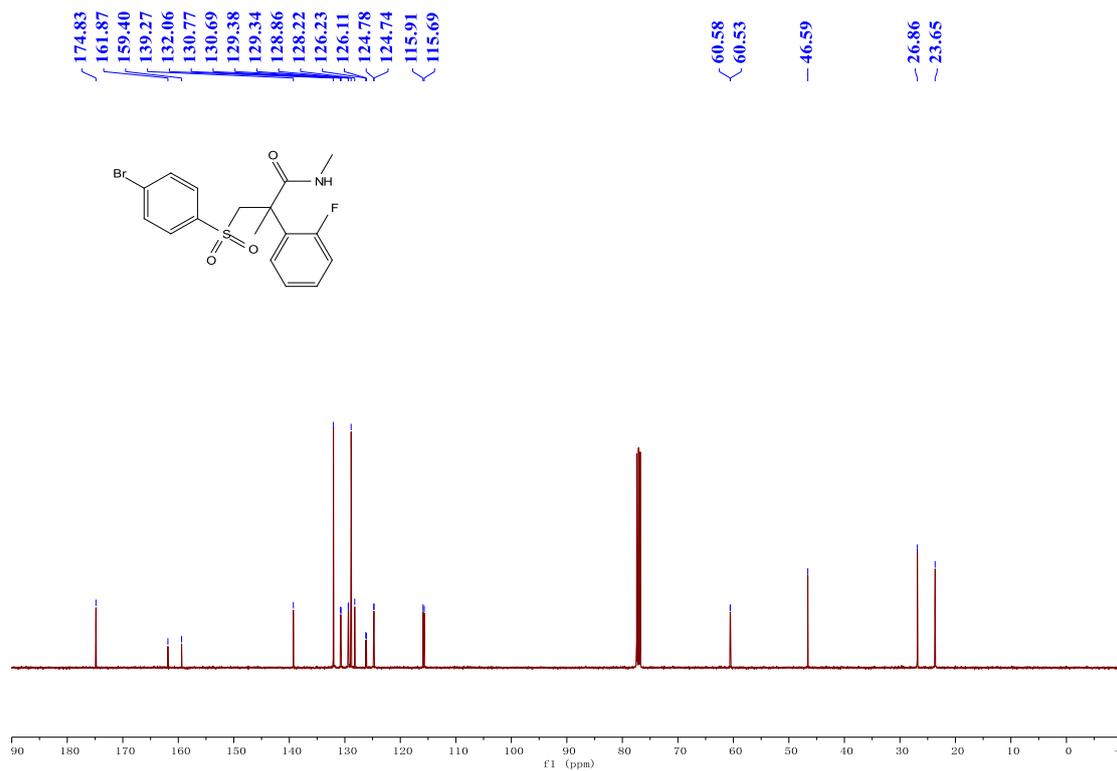
¹H NMR spectra of **4kh** (400 MHz, CDCl₃)



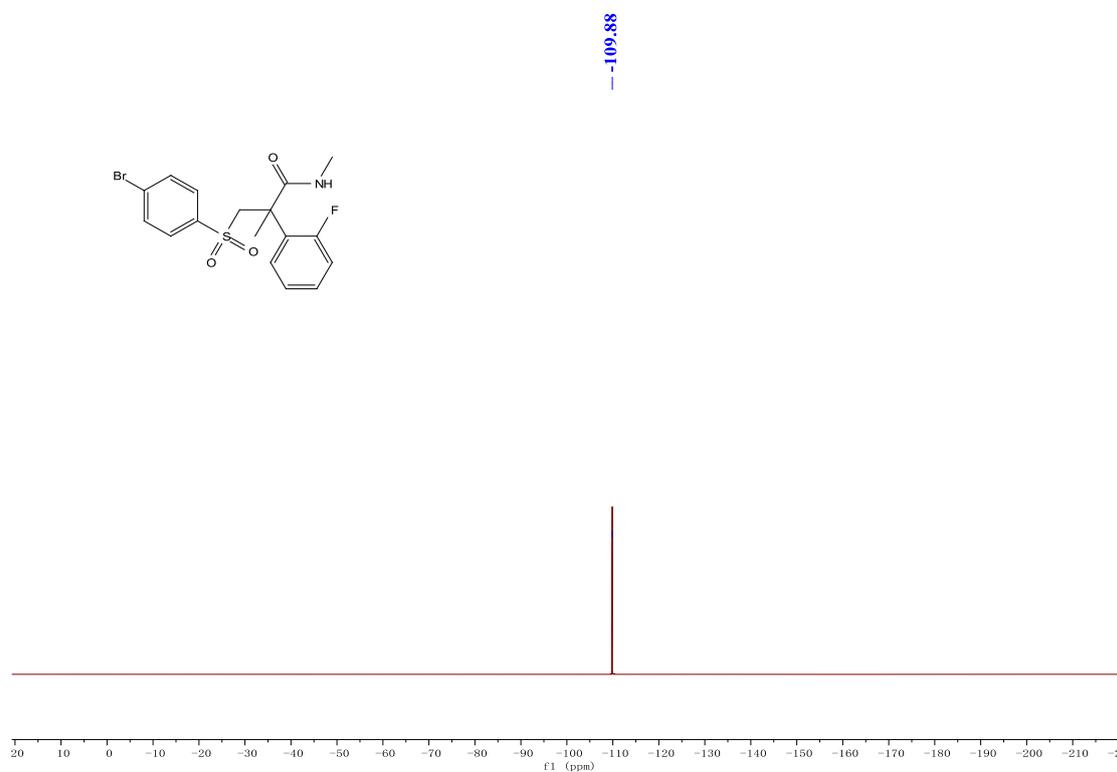
^{13}C NMR spectra of **4kh** (101 MHz, CDCl_3)



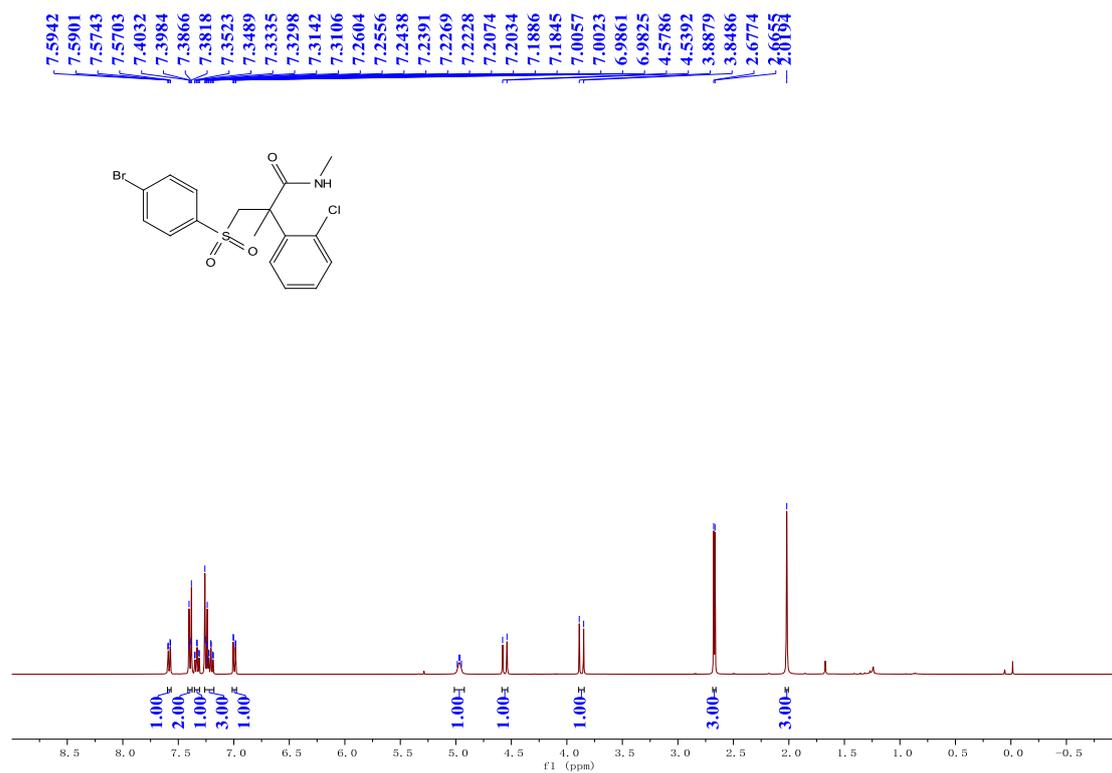
^1H NMR spectra of **4lh** (400 MHz, CDCl_3)



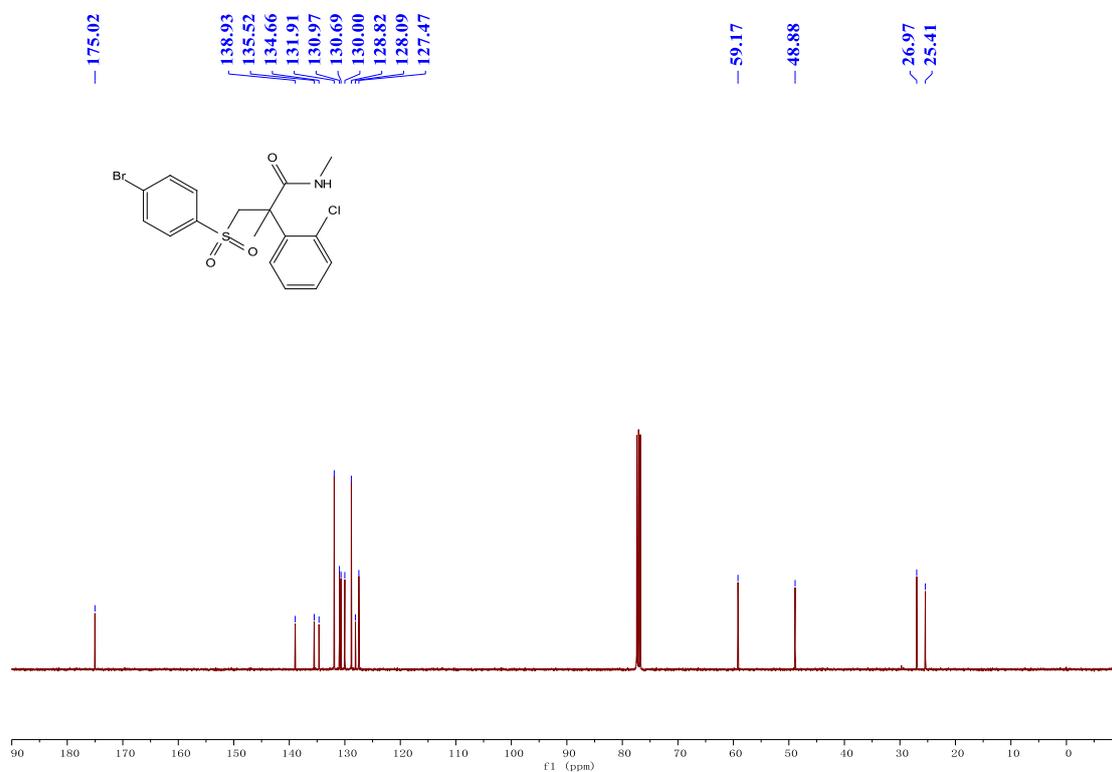
¹³C NMR spectra of **4lh** (101 MHz, CDCl₃)



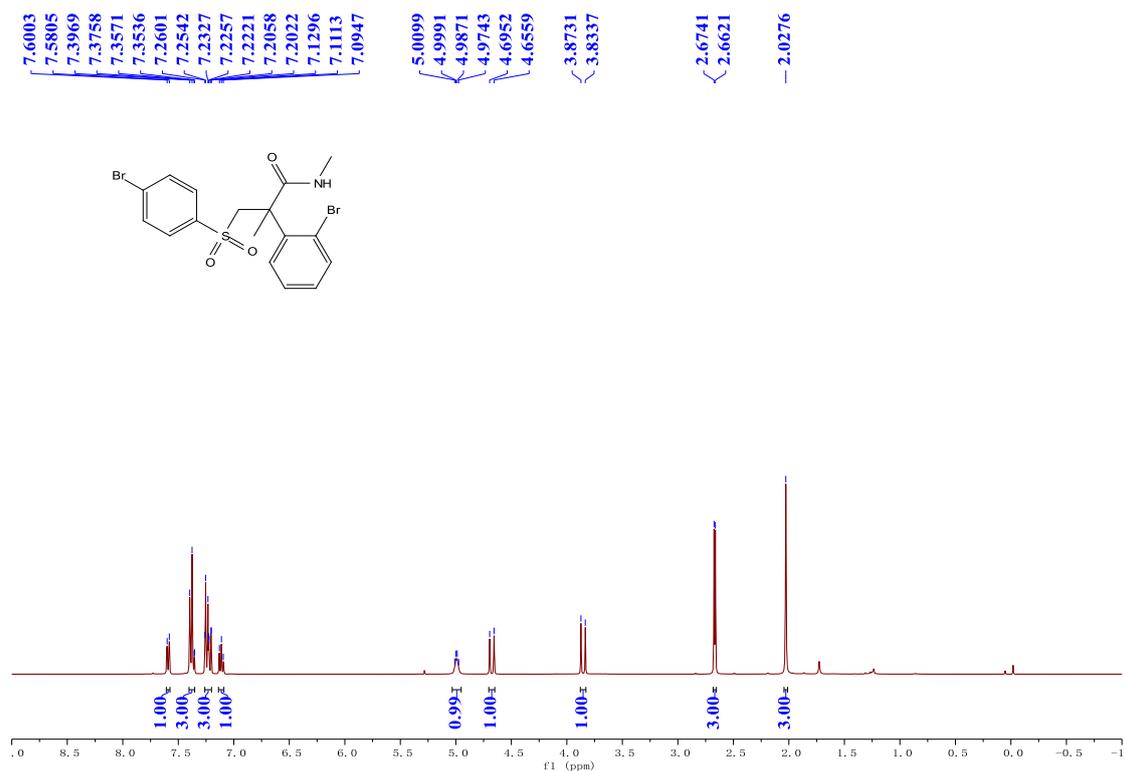
¹⁹F NMR spectra of **4lh** (377 MHz, CDCl₃)



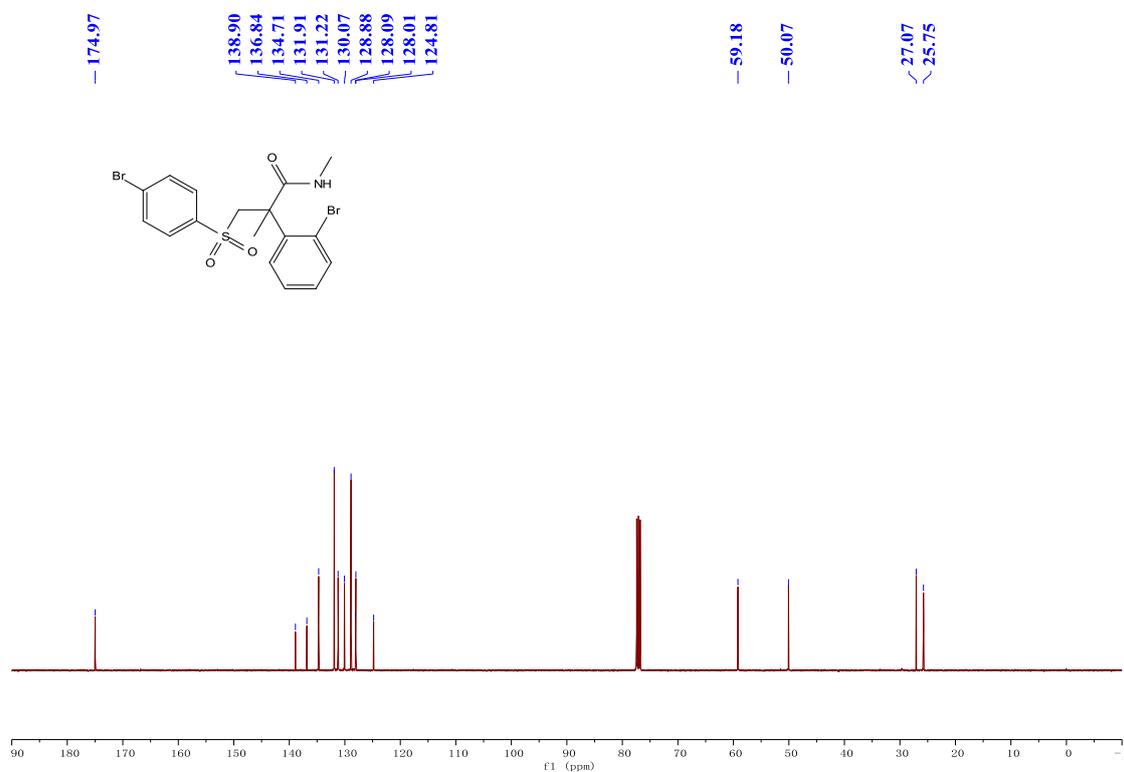
¹H NMR spectra of **4mh** (400 MHz, CDCl₃)



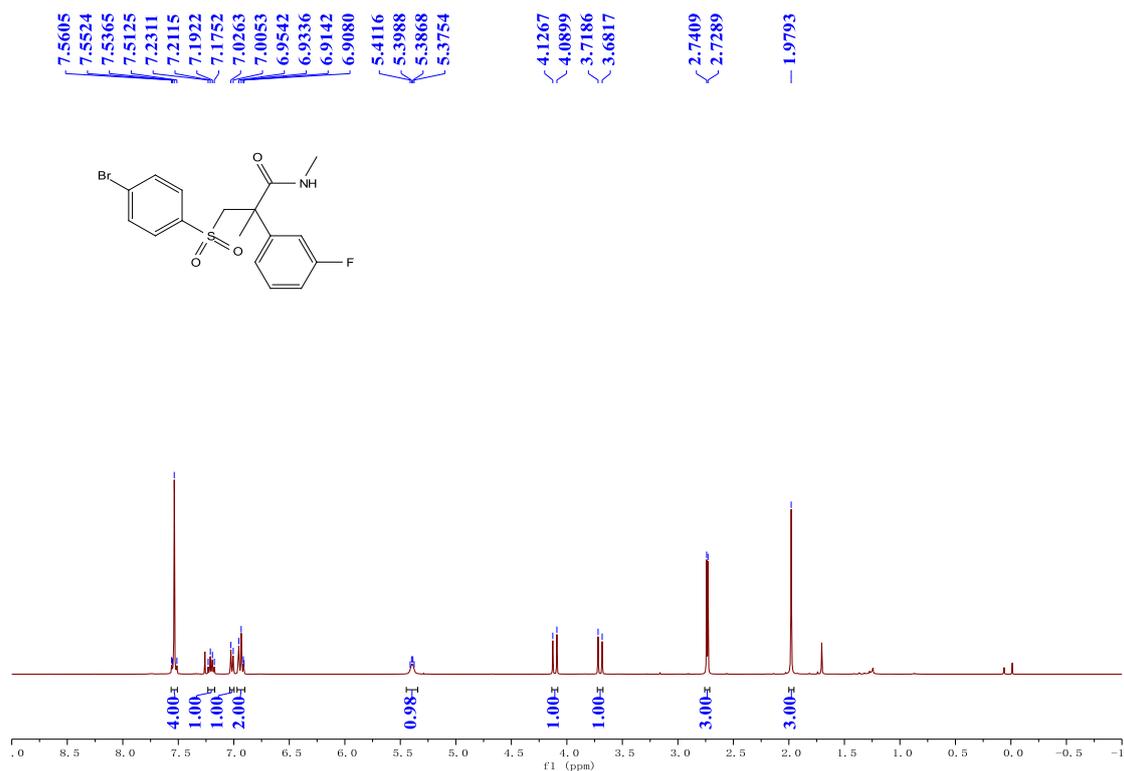
¹³C NMR spectra of **4mh** (101 MHz, CDCl₃)



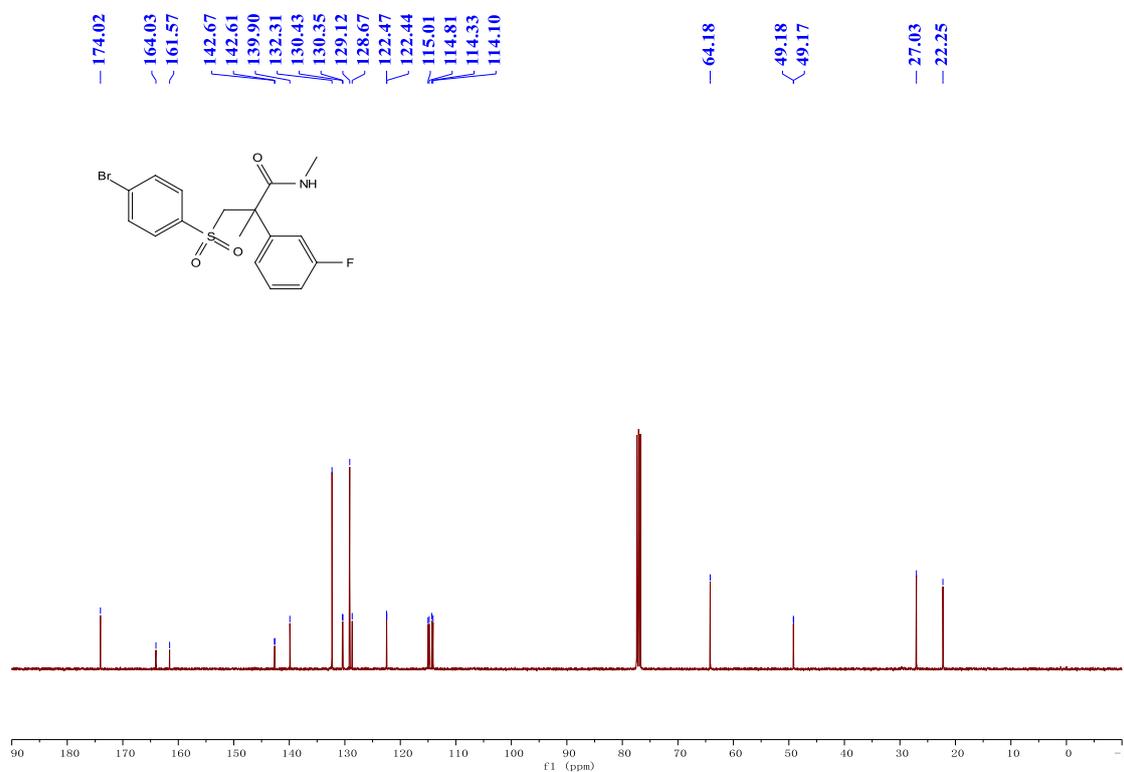
¹H NMR spectra of **4nh** (400 MHz, CDCl₃)



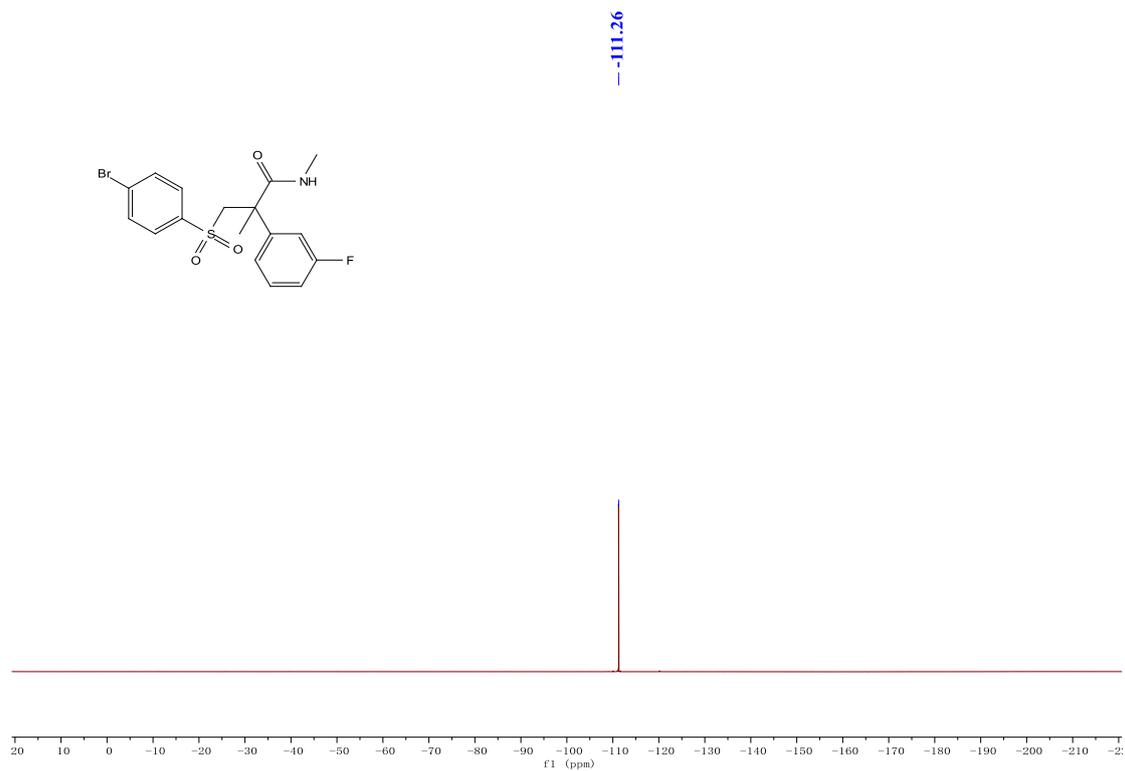
¹³C NMR spectra of **4nh** (101 MHz, CDCl₃)



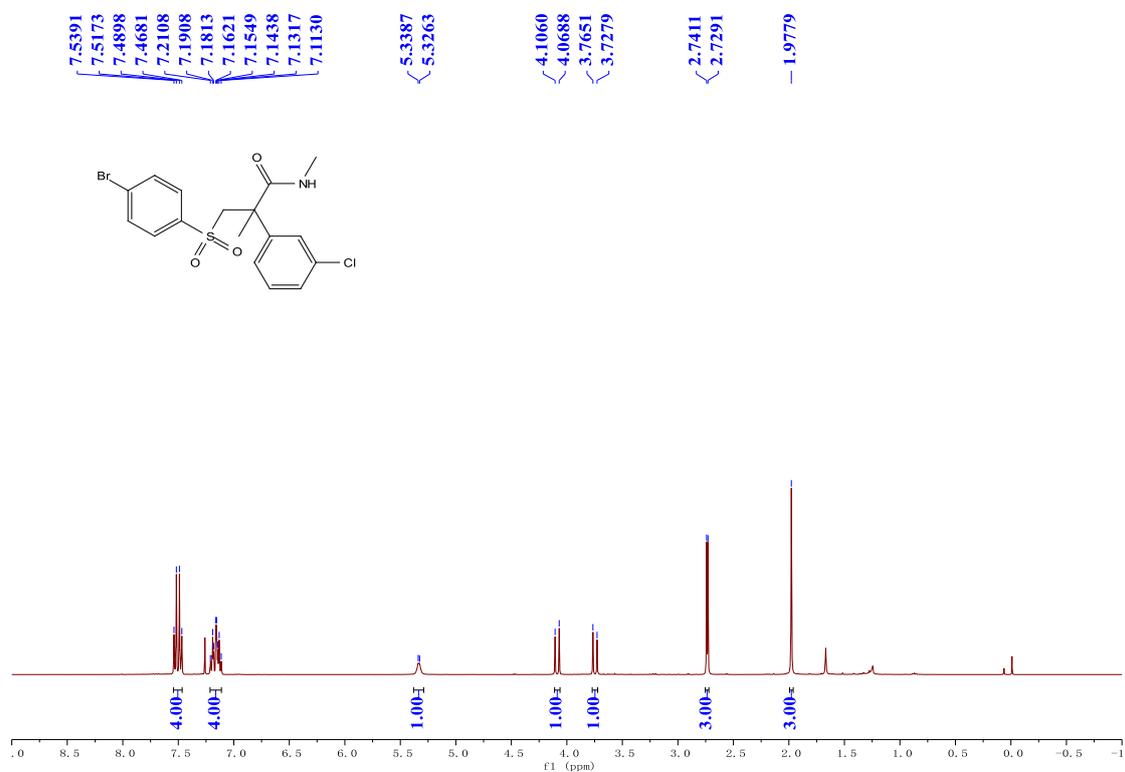
¹H NMR spectra of **4oh** (400 MHz, CDCl₃)



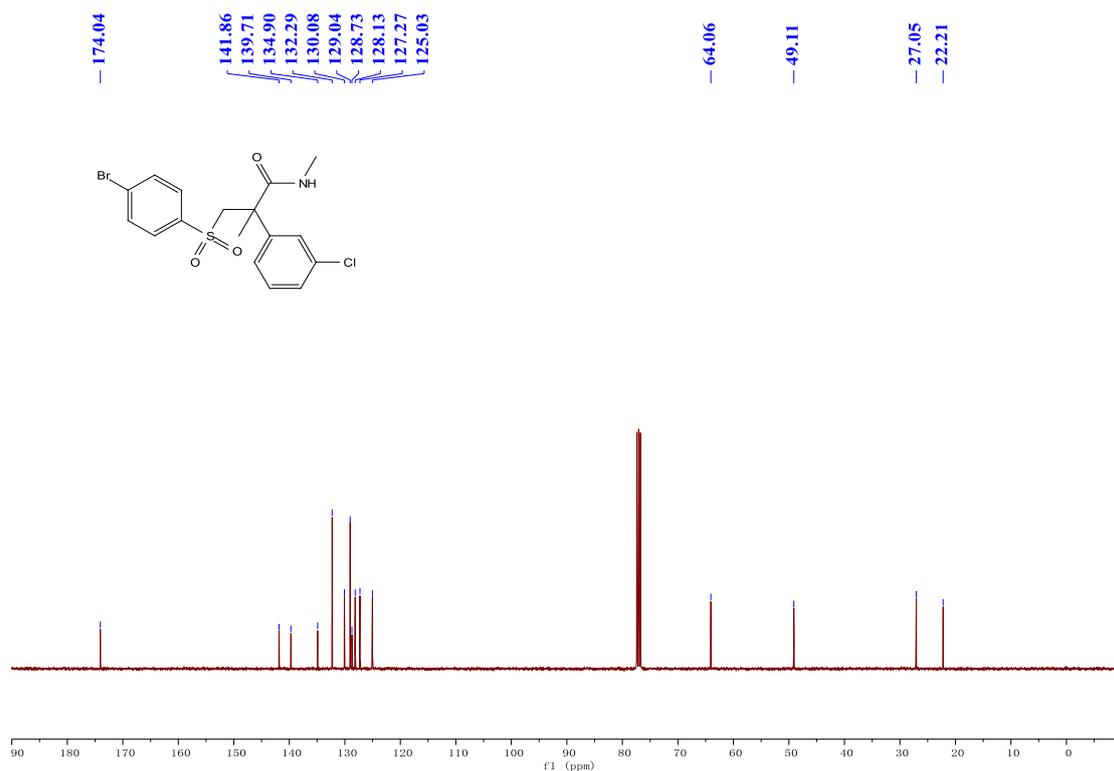
¹³C NMR spectra of **4oh** (101 MHz, CDCl₃)



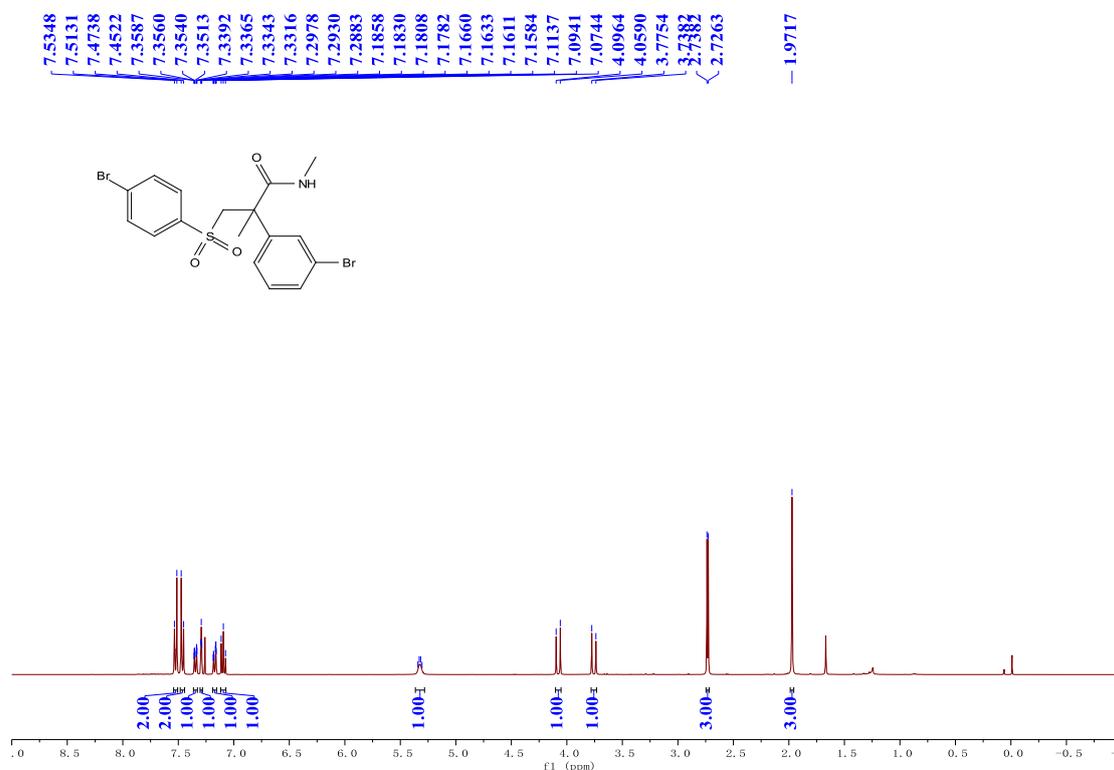
^{19}F NMR spectra of 4oh (377 MHz, CDCl_3)



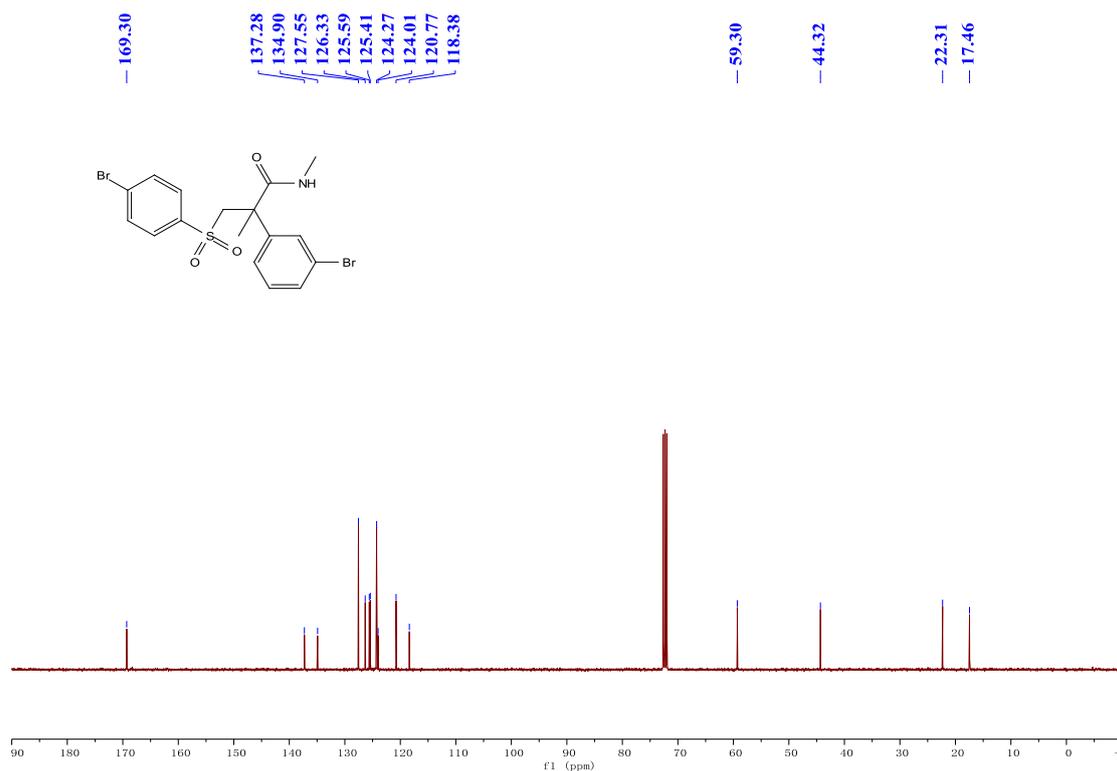
^1H NMR spectra of 4ph (400 MHz, CDCl_3)



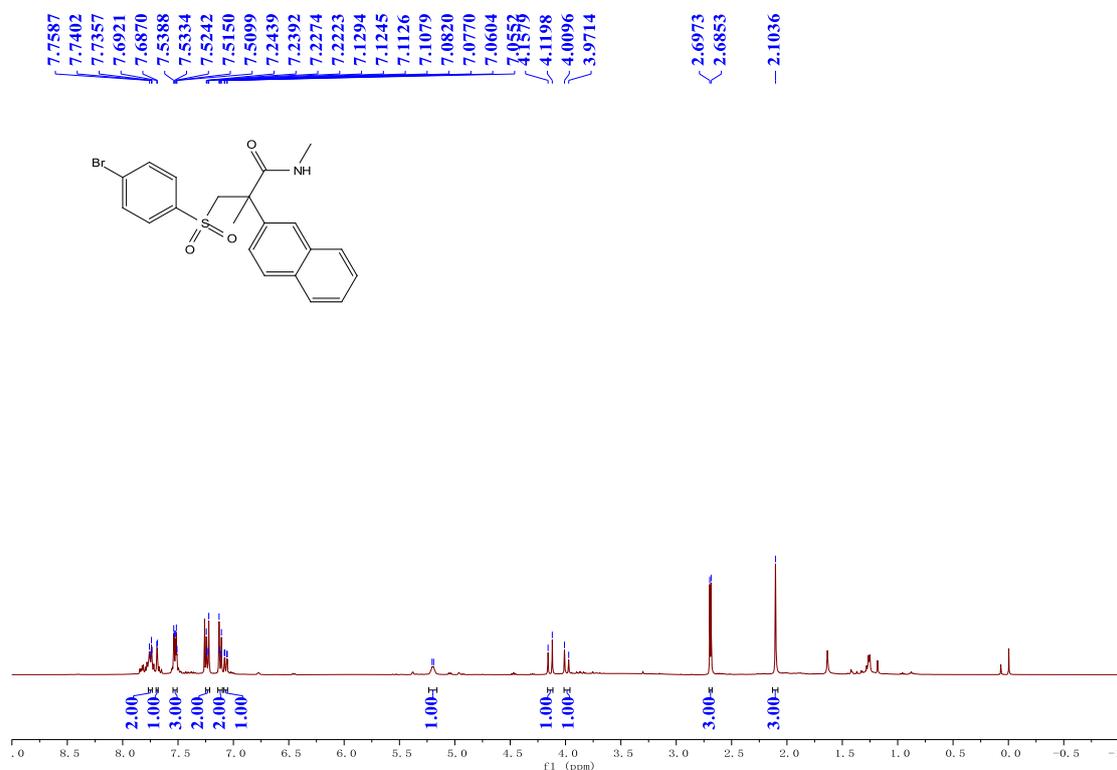
^{13}C NMR spectra of **4ph** (101 MHz, CDCl_3)



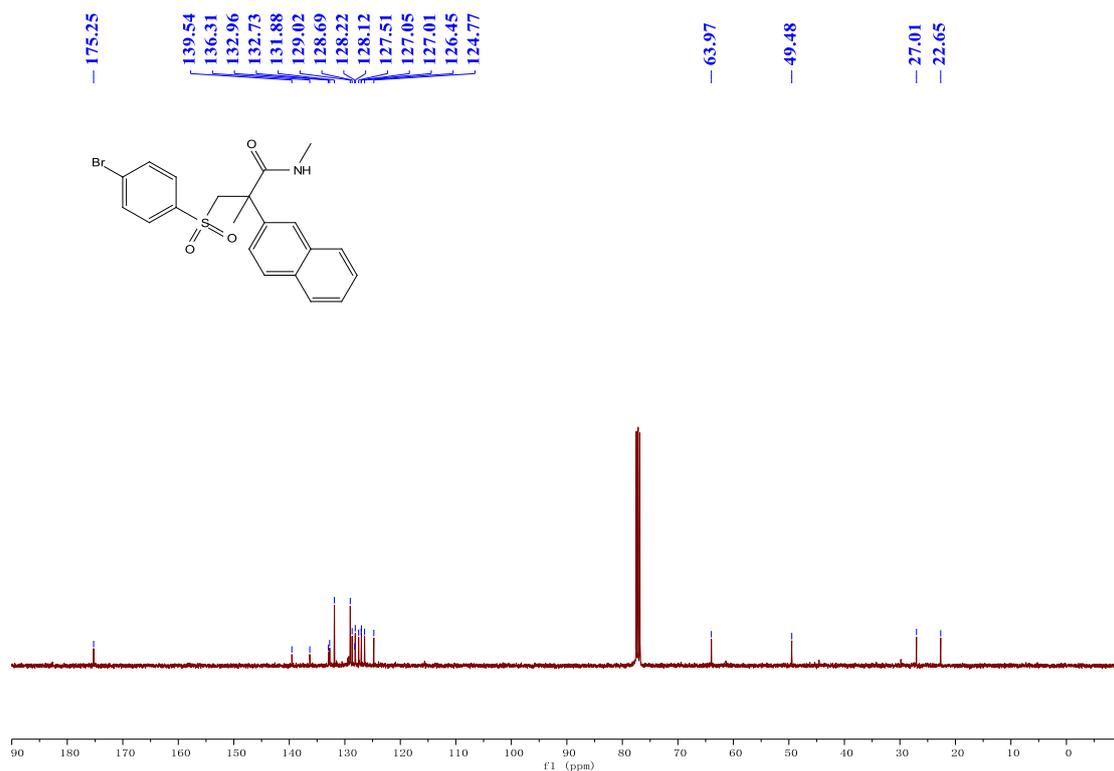
^1H NMR spectra of **4qh** (400 MHz, CDCl_3)



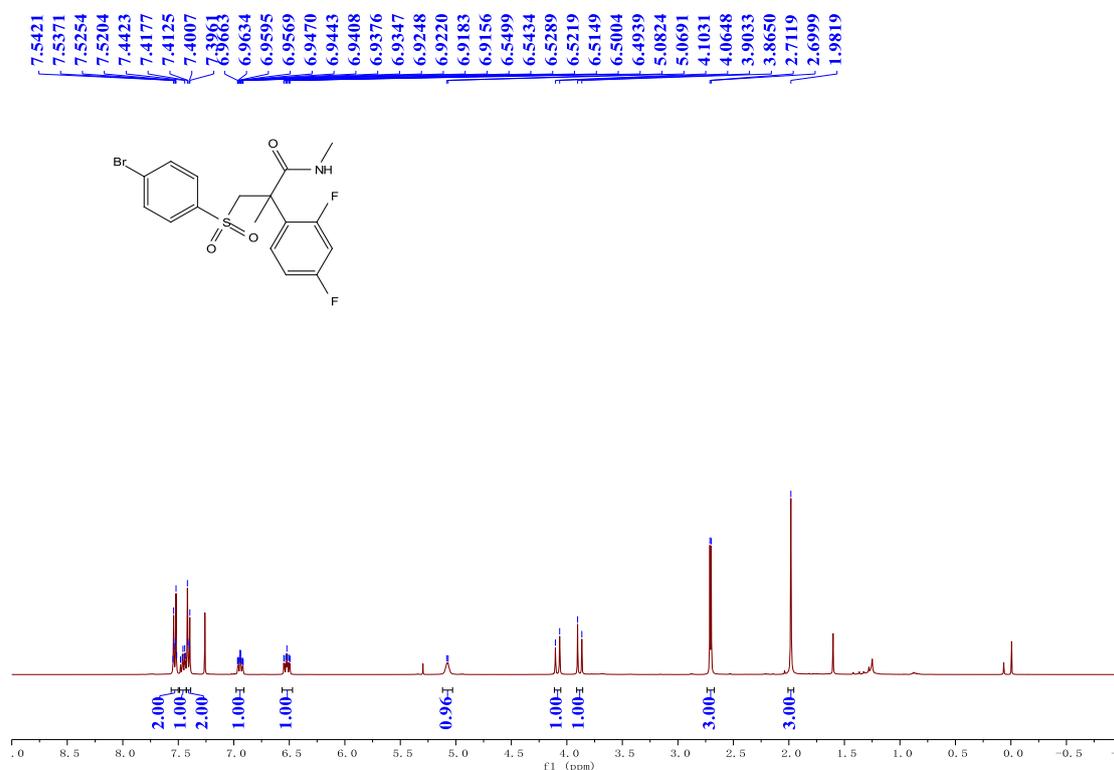
^{13}C NMR spectra of **4qh** (101 MHz, CDCl_3)



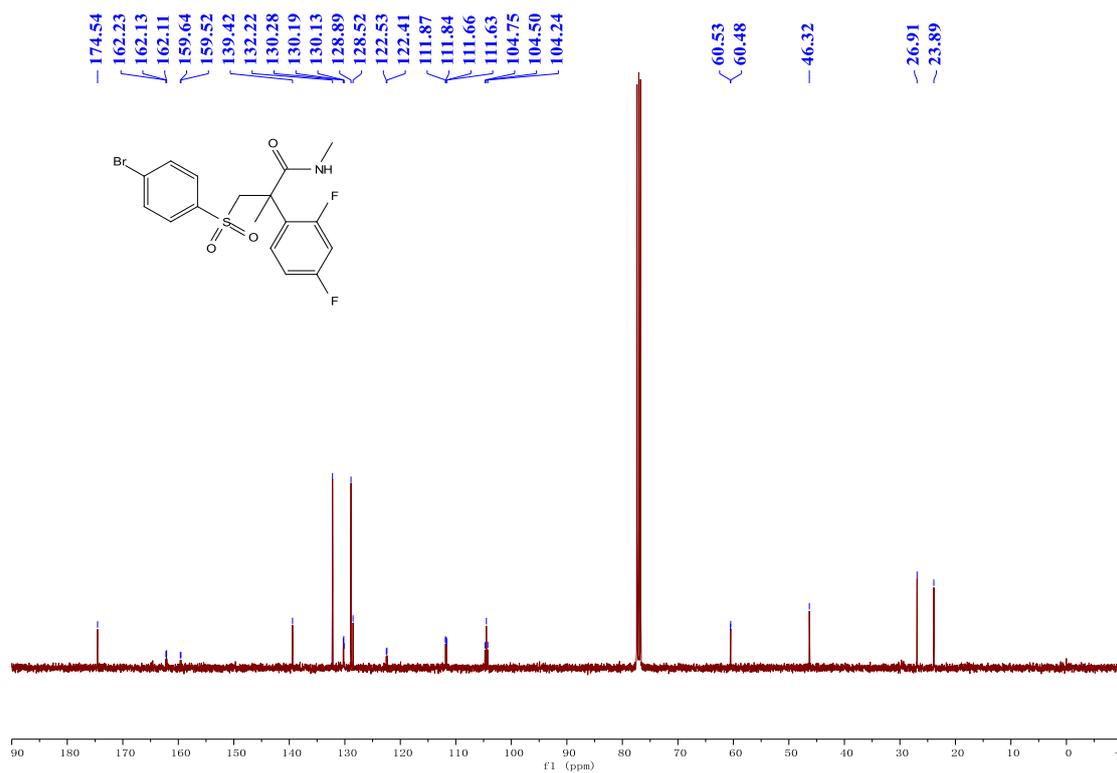
^1H NMR spectra of **4rh** (400 MHz, CDCl_3)



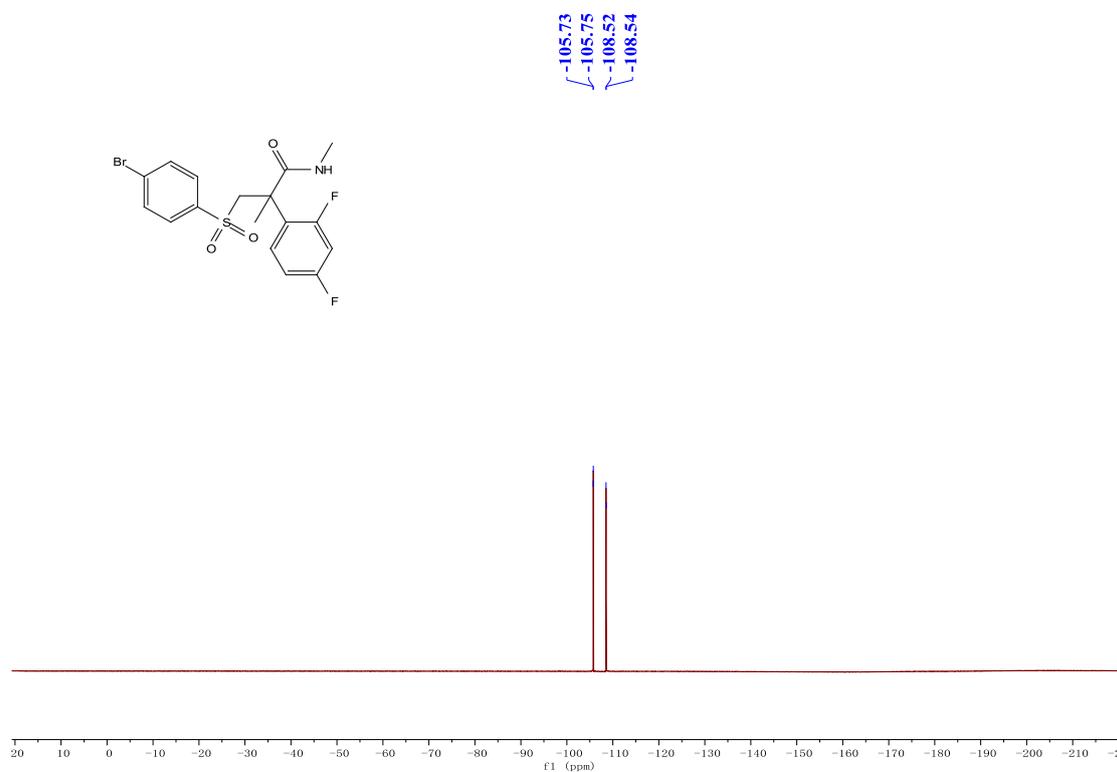
^{13}C NMR spectra of **4rh** (101 MHz, CDCl_3)



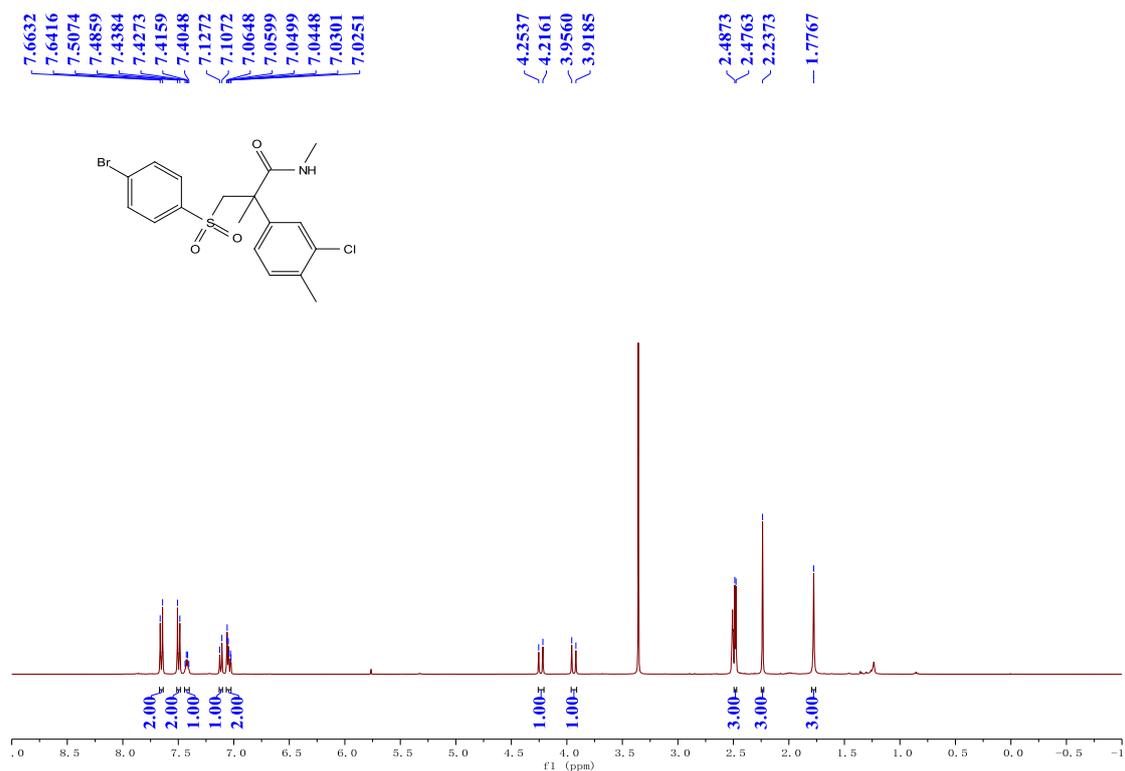
^1H NMR spectra of **4sh** (400 MHz, CDCl_3)



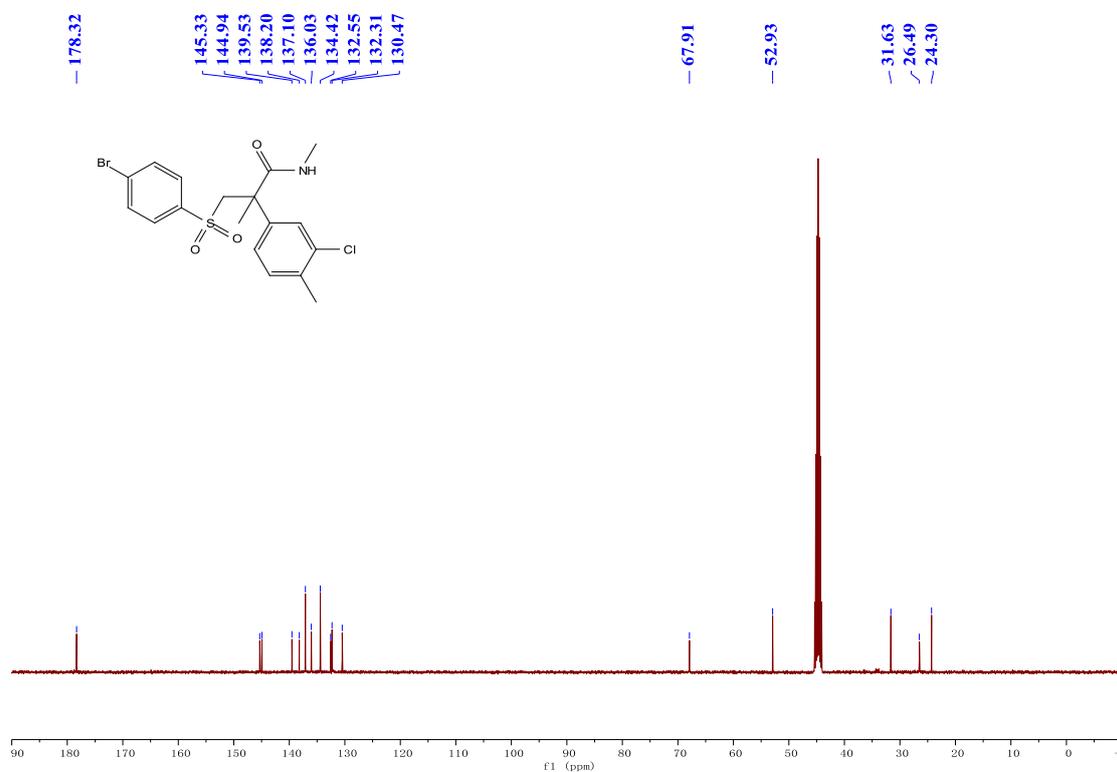
¹³C NMR spectra of **4sh** (101 MHz, CDCl₃)



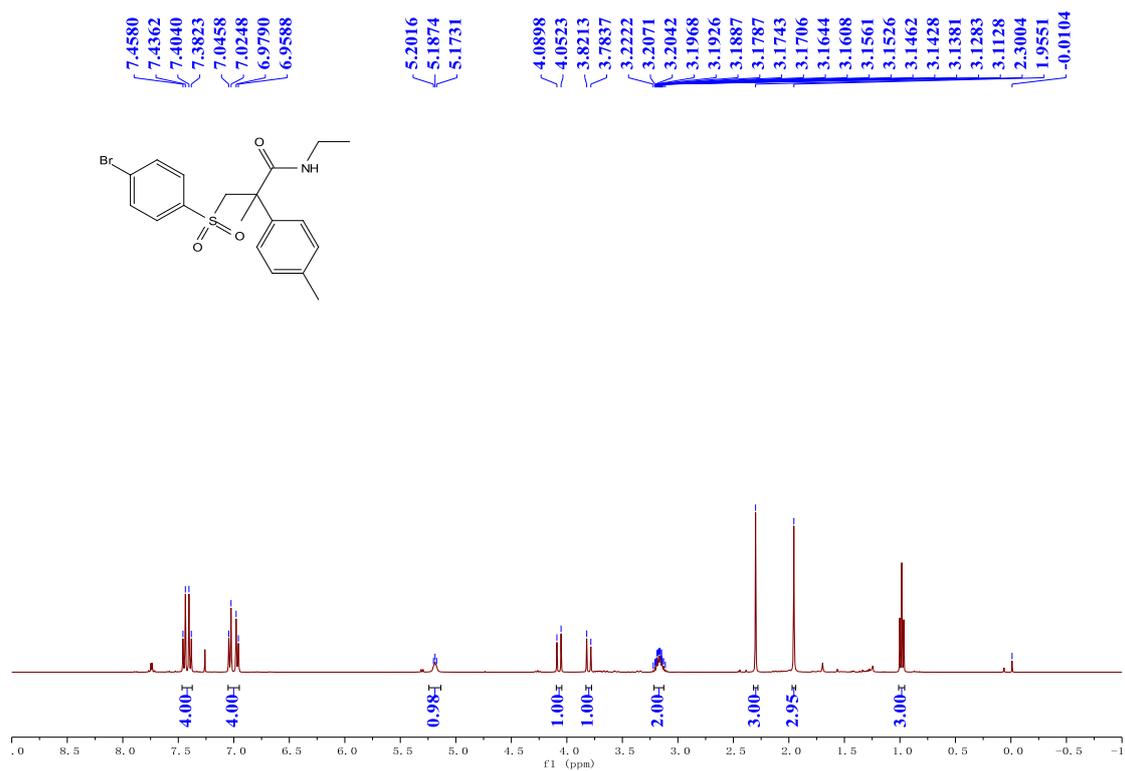
¹⁹F NMR spectra of **4sh** (377 MHz, CDCl₃)



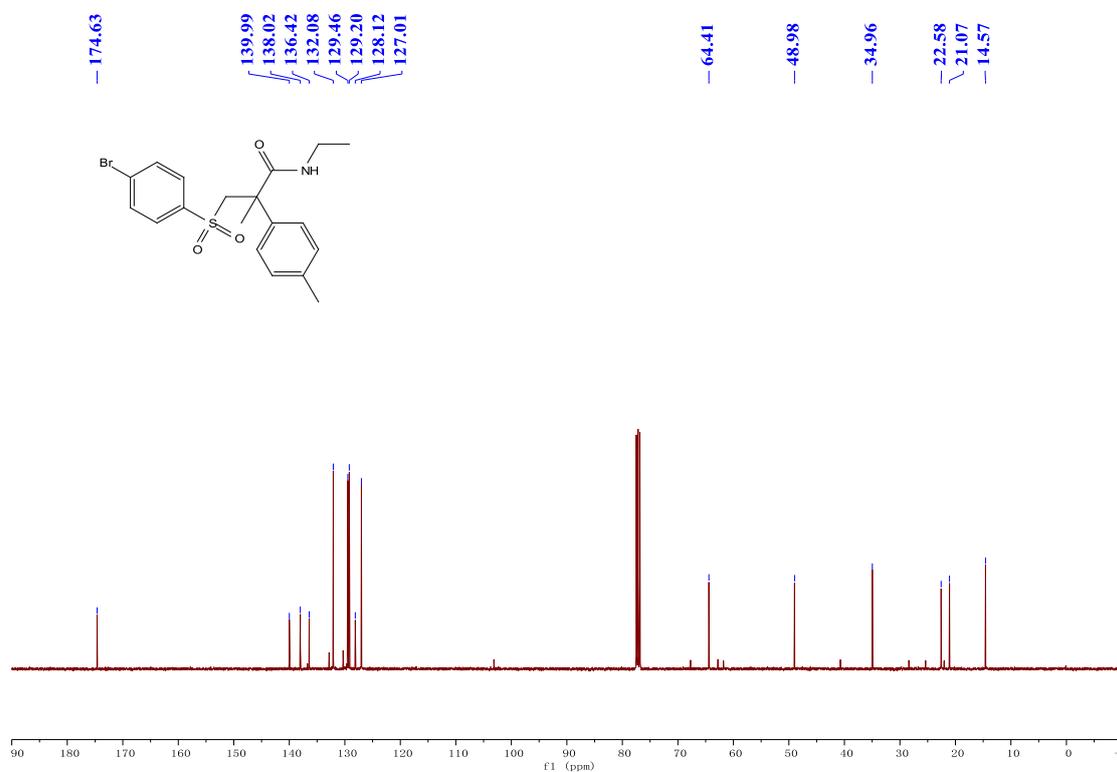
¹H NMR spectra of **4th** (400 MHz, DMSO-*d*₆)



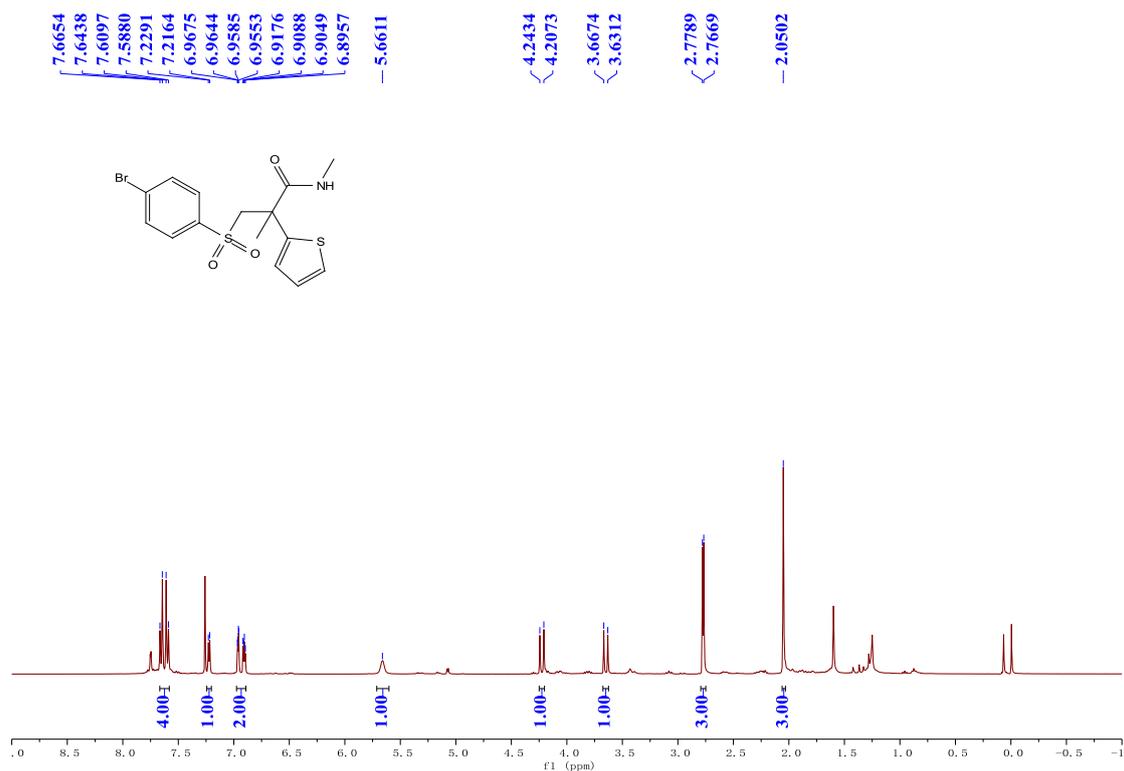
¹³C NMR spectra of **4th** (101 MHz, DMSO-*d*₆)



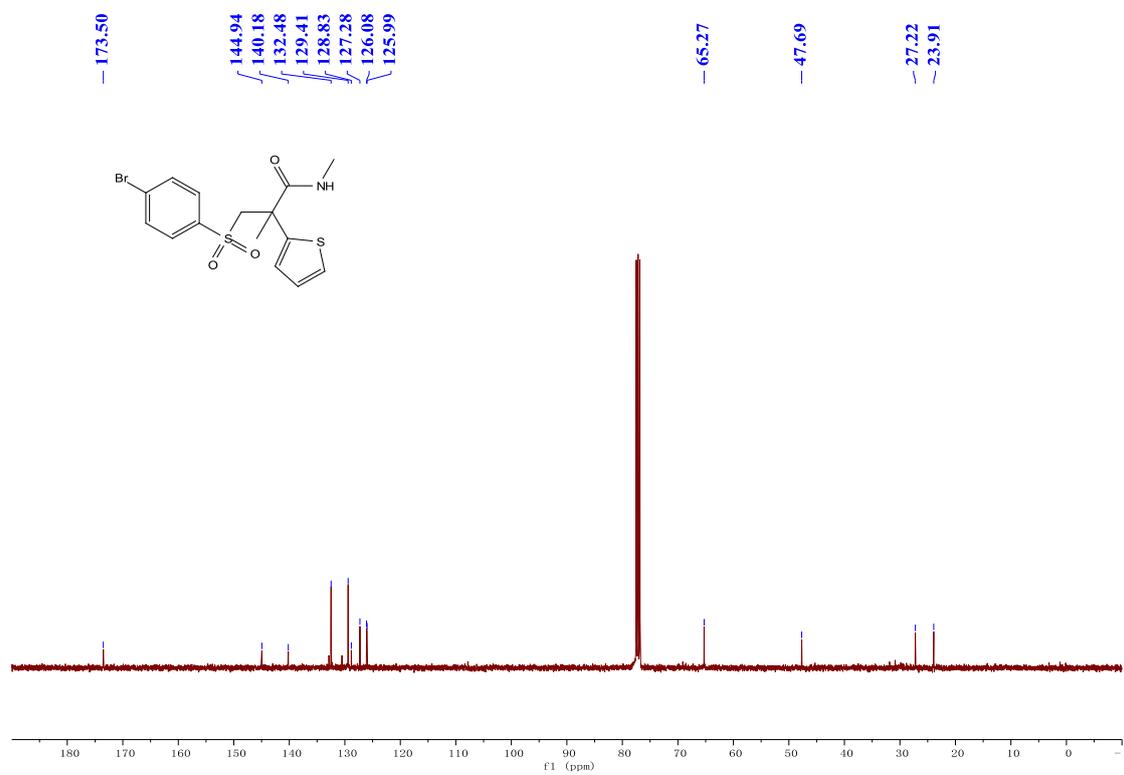
¹H NMR spectra of **4uh** (400 MHz, CDCl₃)



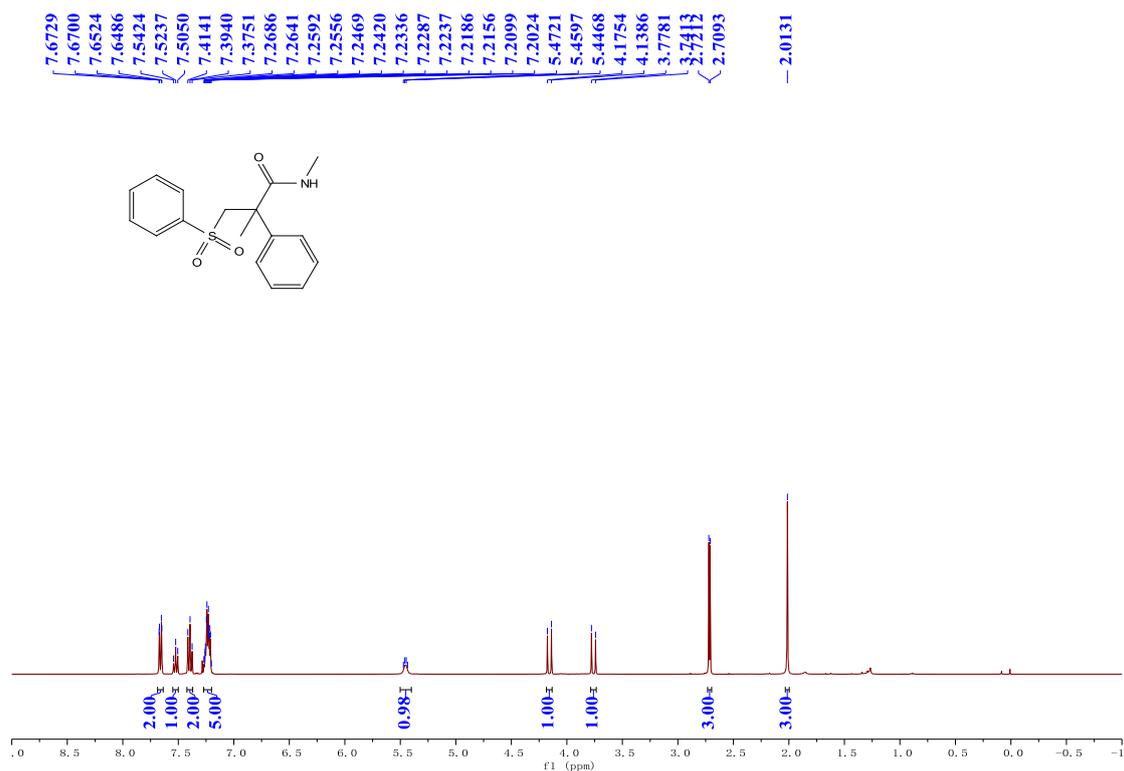
¹³C NMR spectra of **4uh** (101 MHz, CDCl₃)



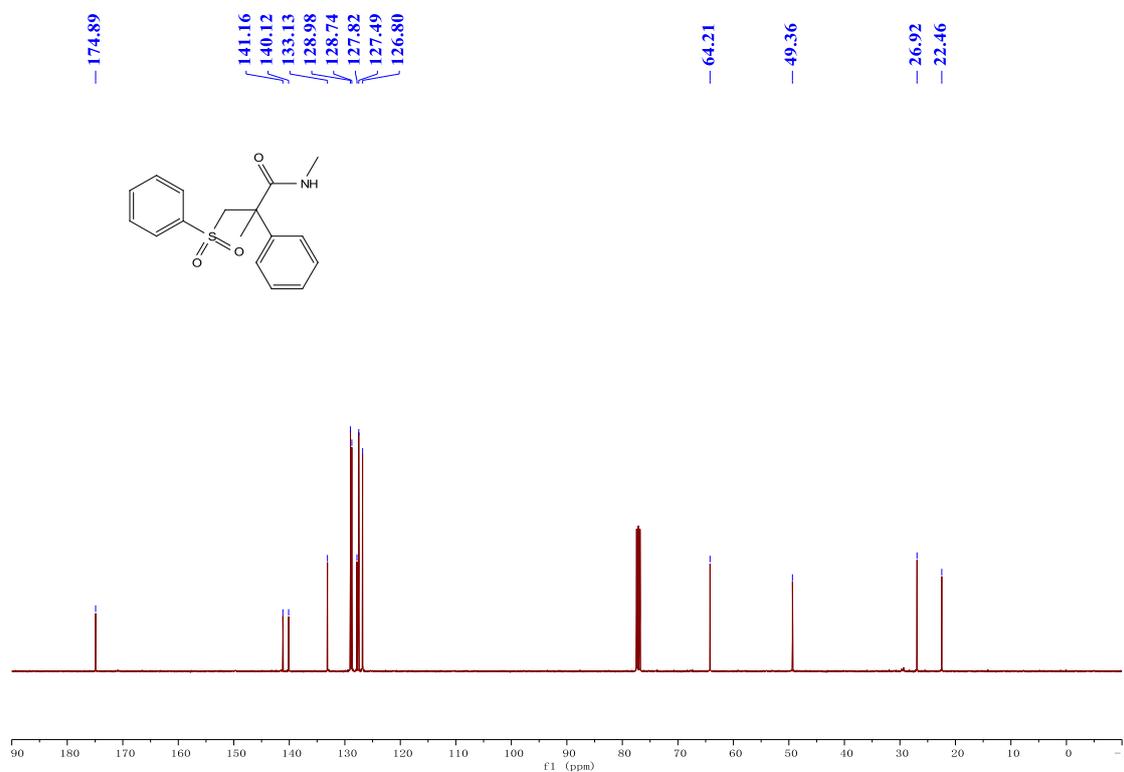
¹H NMR spectra of **4vh** (400 MHz, CDCl₃)



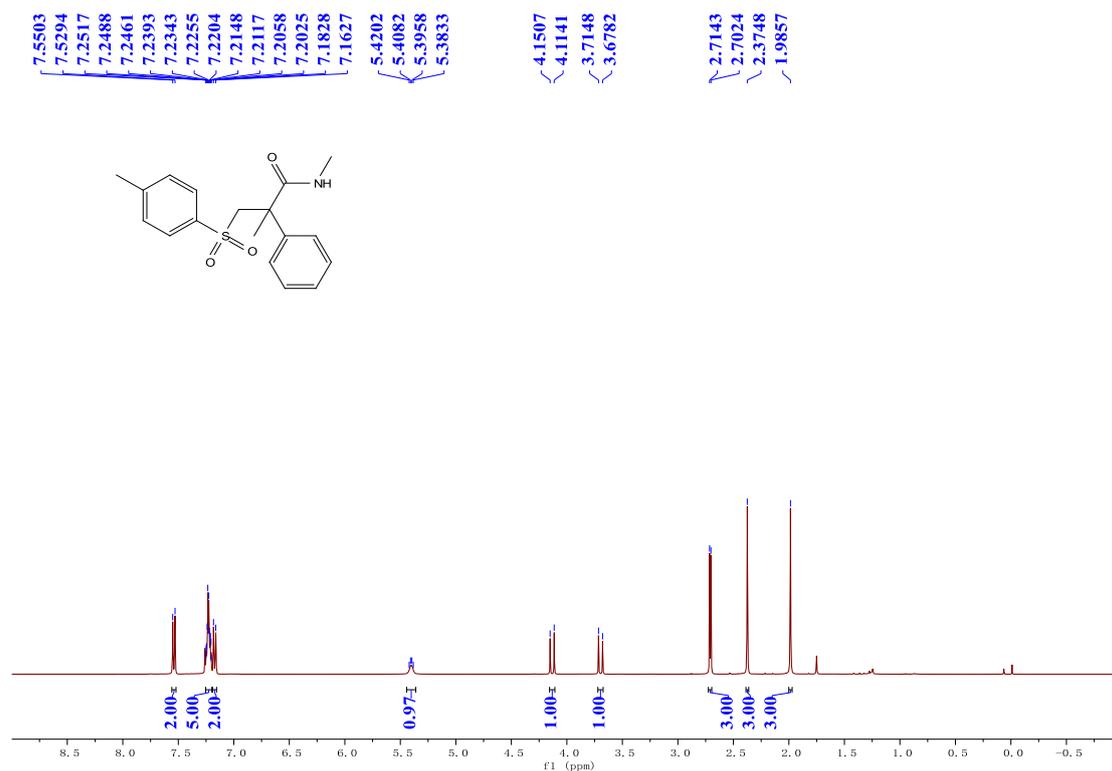
¹³C NMR spectra of **4vh** (101 MHz, CDCl₃)



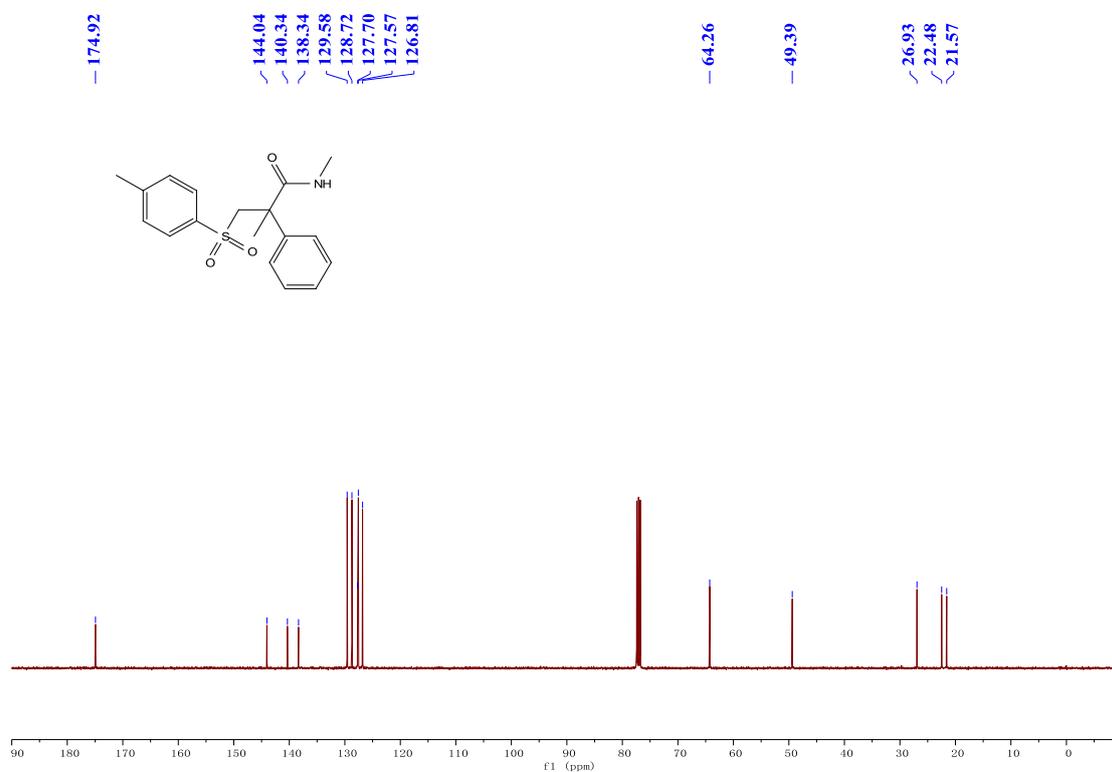
¹H NMR spectra of **4aa** (400 MHz, CDCl₃)



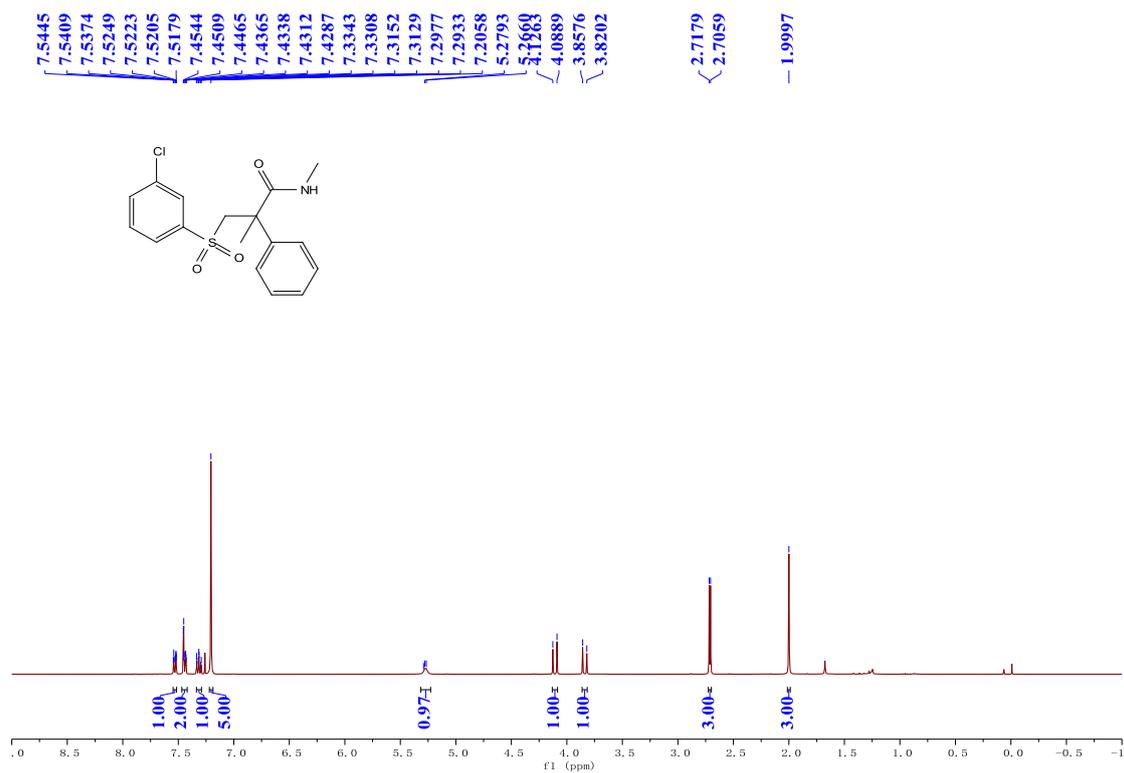
¹³C NMR spectra of **4aa** (101 MHz, CDCl₃)



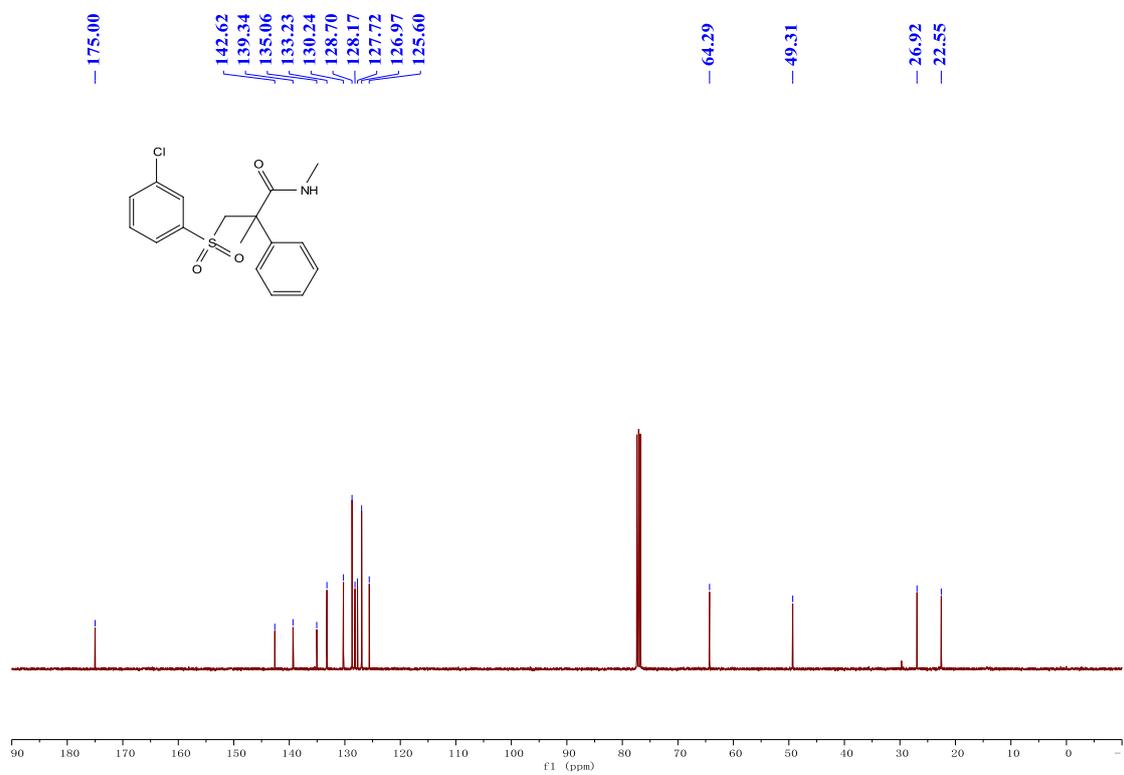
¹H NMR spectra of **4ab** (400 MHz, CDCl₃)



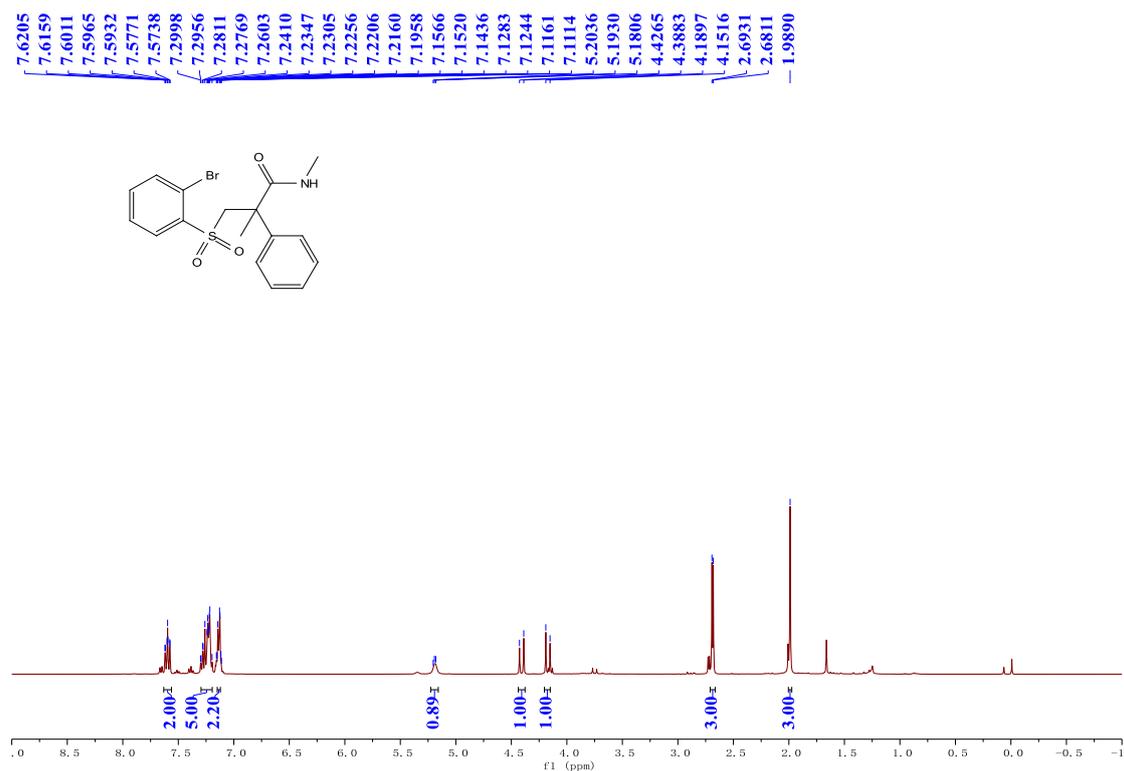
¹³C NMR spectra of **4ab** (101 MHz, CDCl₃)



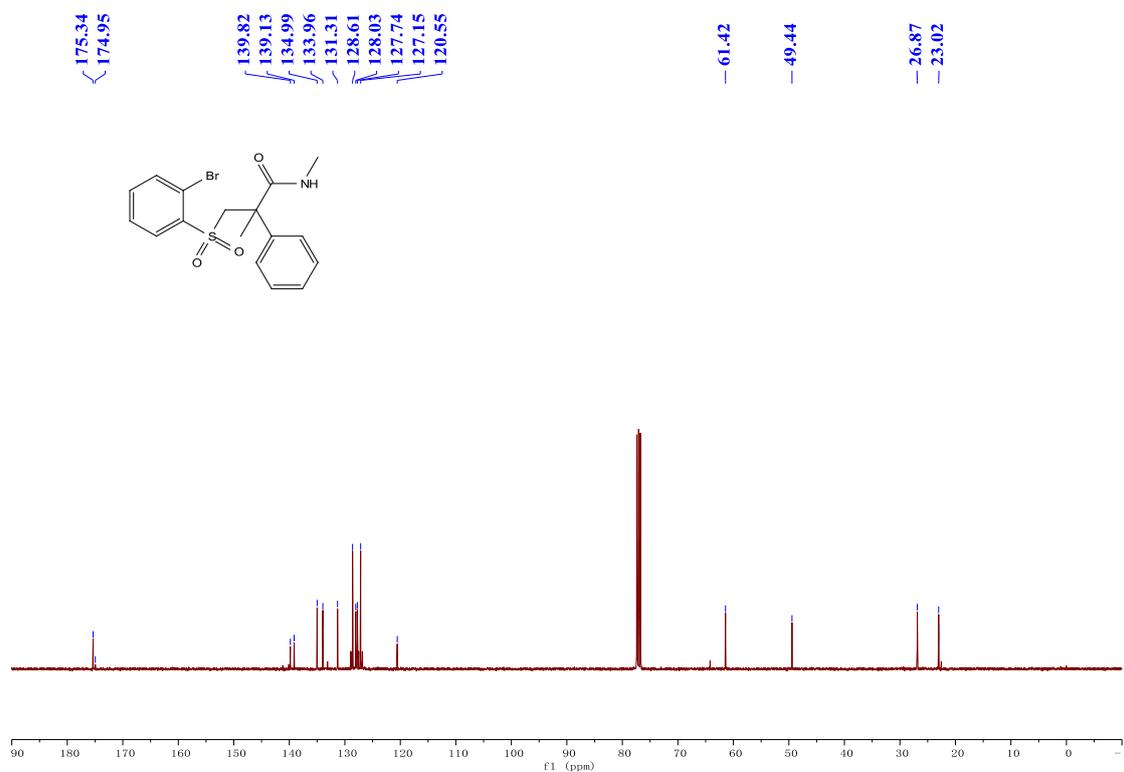
¹H NMR spectra of **4ac** (400 MHz, CDCl₃)



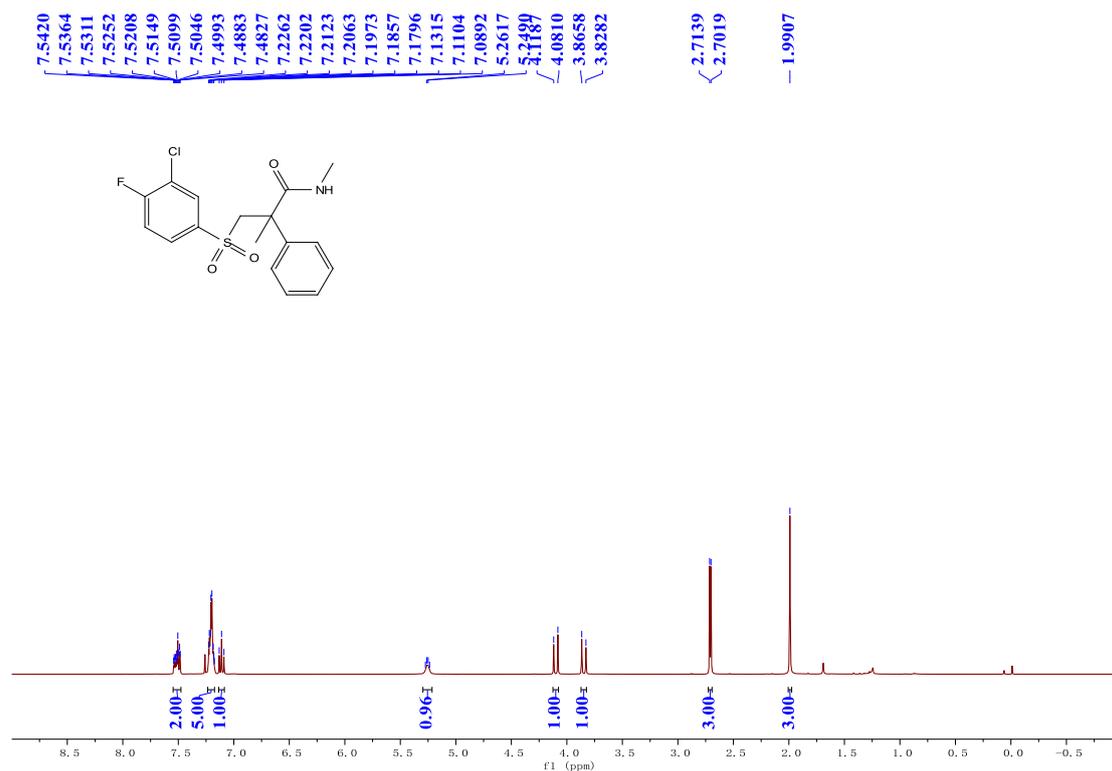
¹³C NMR spectra of **4ac** (101 MHz, CDCl₃)



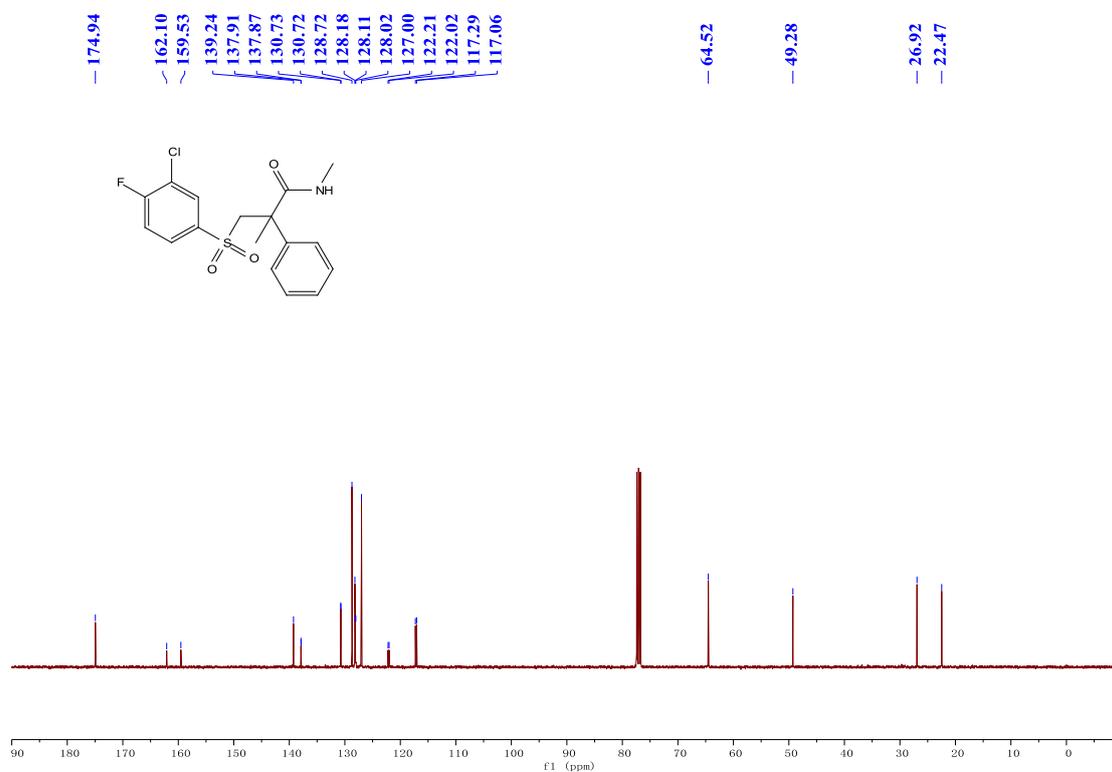
¹H NMR spectra of **4al** (400 MHz, CDCl₃)



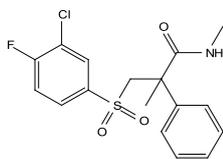
¹³C NMR spectra of **4al** (101 MHz, CDCl₃)



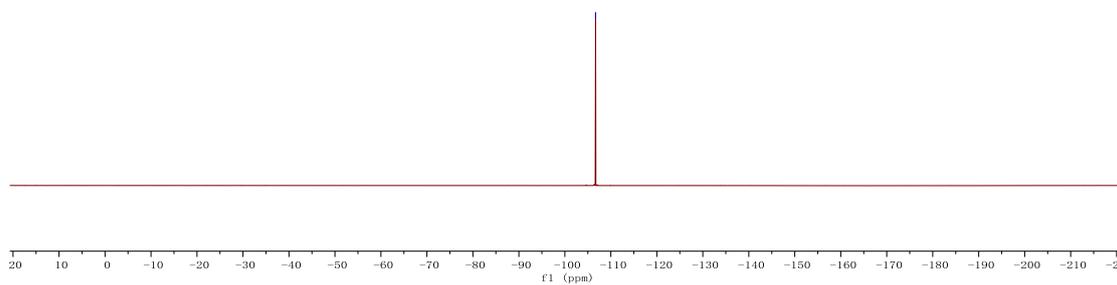
¹H NMR spectra of **4an** (400 MHz, CDCl₃)



¹³C NMR spectra of **4an** (101 MHz, CDCl₃)



-106.68



^{19}F NMR spectra of **4an** (377 MHz, CDCl_3)