

Supplementary Information

Sulfonamide-Directed Site-Selective Functionalization of Unactivated C(sp³)-H Enabled by Photocatalytic Sequential Electron/Proton Transfer

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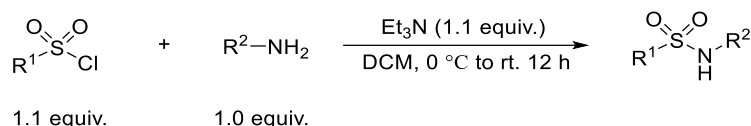
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1. General information

The reagents and solvents were purchased from commercial suppliers and used without further purification unless noted. Chromatographic purification of products was performed by flash column chromatography on silica gel (200–300 meshes). Thin-layer chromatography (TLC) was carried out on silica plates (TLC Silica GF254). Visualization of the compounds was accomplished by projecting UV light onto the developed plates. The experiments under 450–460 nm light irradiation were performed using two 25 W JG LED lamps from Xuzhou Ai Jia Electronic Technology Co., Ltd. The distance from the light source to the irradiation vessel was approximate 2–3 cm, and no filter was used in our study. A fan was employed to ensure reactions remained at or near room temperature when using LED. ^1H (400 MHz or 600 MHz) NMR, ^{13}C (101 MHz or 151 MHz) NMR and ^{19}F (376 MHz) NMR spectra were recorded on a Varian spectrometer in CDCl_3 or $\text{DMSO}-d_6$ using tetramethylsilane (TMS) as internal standards. Data are reported as follows: Chemical shift (number of protons, multiplicity, coupling constants). Coupling constants were quoted to the nearest 0.1 Hz and multiplicity reported according to the following convention: s = singlet, d = doublet, t = triplet, q = quartet, hept = heptet, m = multiplet, dd = doublet of doublets, dt = doublet of triplets, td = triplet of doublets, tt = triplet of triplet, ddd = doublet of doublet of doublets, br s = broad singlet. HRMS spectra were recorded on a Bruker Impact II UHR-QTOF spectrometer using ESI on a TOF mass analyze. The EPR data were recorded with Bruker Emxplus. Fluorescence quenching experiments were performed on Hitachi F7000 FL Spectrophotometer. The cyclic voltammetry measurements were detected by using a CHI 600E electrochemical workstation. Hydrogen gas was analyzed by gas chromatography-thermal conductivity detector (GC-TCD, Agilent 7890B, nitrogen as the carrier gas).

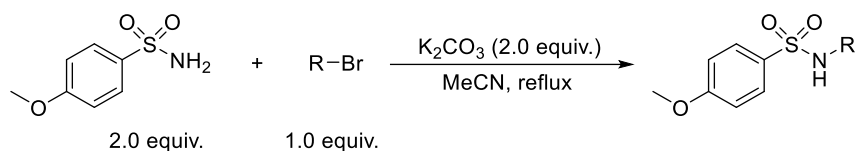
2. General procedure

2.1 General procedure A: Preparation of *N*-protected amines substrates from free amines and sulfonyl chloride.



Free amine/ amine hydrochloride (5.0 mmol, 1.0 equiv.), and triethyl-amine (5.5 mmol, 1.1 equiv.) were dissolved in DCM (25 mL) at 0 °C. A DCM solution (5 mL) of corresponding sulfonyl chloride (5.5 mmol, 1.1 equiv.) was added slowly over 5 minutes. After the addition was completed, the mixture was allowed to warm to room temperature and the solution was allowed to stir for 24 hours. The reaction mixture was quenched with water (5 mL) and 1.0 M HCl (11 mL). The aqueous phase was extracted with 10 mL DCM for three times. Combined organic phases were washed with brine (20 mL) and then dried with anhydrous Na₂SO₄, concentrated under reduced pressure. The desired product was afforded after purification by flash column chromatography on silica gel (PE/EtOAc).

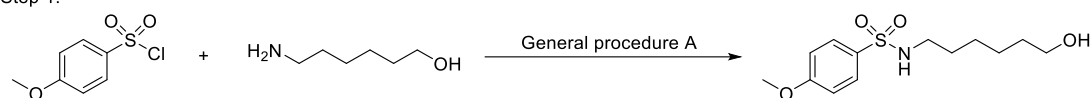
2.2 General procedure B: Preparation of *N*-protected amines substrates from 4-methoxybenzenesulfonamide and alkyl bromide.



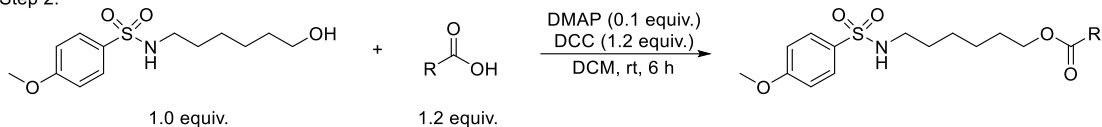
To a solution of alkyl bromide (5.0 mmol, 1.0 equiv.) in MeCN (20 mL) were added K₂CO₃ (10 mmol, 2 equiv.) and 4-methoxybenzenesulfonamide (10 mmol, 2.0 equiv.), and the reaction was heated to reflux for 8 h. After the reaction was completed, the reaction mixture was filtrated, washed with EtOAc (20 mL), and concentrated under reduced pressure. The desired product was afforded after purification by flash column chromatography on silica gel (PE/EtOAc).

2.3 General procedure C: Preparation of *N*-protected amines substrates from free alcohol intermediate and carboxylic acids

Step 1:



Step 2:

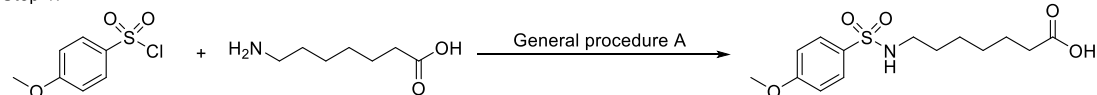


STEP 1 is consistent with the general procedure A.

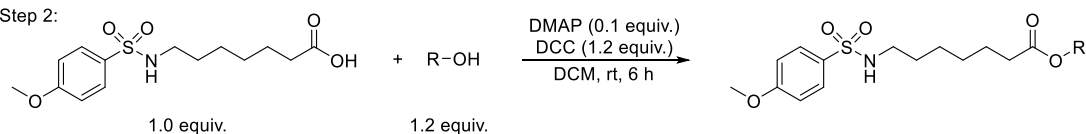
STEP 2: A flame-dried round-bottomed flask was charged with *N*-(6-hydroxyhexyl)-4-methoxybenzenesulfonamide (1.0 mmol, 1.0 equiv.), carboxylic acid (1.2 mmol, 1.2 equiv.), DMAP (0.1 mmol, 0.1 equiv.), DCC (1.2 mmol, 1.0 equiv.) and dry DCM (5 mL). The reaction mixture was stirred at room temperature for 6 hours. The reaction mixture was then filtered, washed with DCM (20 mL) and concentrated under reduced pressure. The desired product was afforded after purification by flash column chromatography on silica gel (PE/EtOAc).

2.4 General procedure D: Preparation of *N*-protected amines substrates from free carboxylic acid intermediate and alcohols

Step 1:



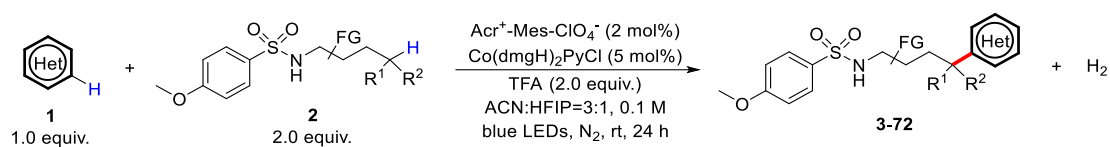
Step 2:



STEP 1 is consistent with the general procedure A.

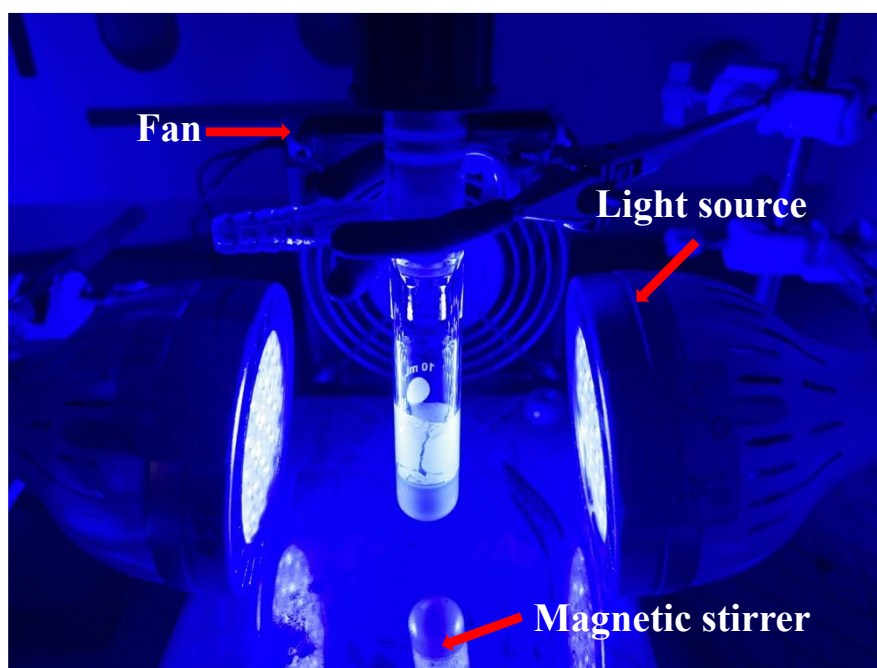
STEP 2: A flame-dried round-bottomed flask was charged with 7-((4-methoxyphenyl)sulfonamido)heptanoic acid (1.0 mmol, 1.0 equiv.), alcohol (1.2 mmol, 1.2 equiv.), DMAP (0.1 mmol, 0.1 equiv.), DCC (1.2 mmol, 1.0 equiv.) and dry DCM (5 mL). The reaction mixture was stirred at room temperature for 6 hours. The reaction mixture was then filtered, washed with DCM (20 mL) and concentrated under reduced pressure. The desired product was afforded after purification by flash column chromatography on silica gel (PE/EtOAc).

2.5 General procedure E: Remote C(sp³)–H heteroarylation of sulfonamides



Photochemical Reaction Apparatus

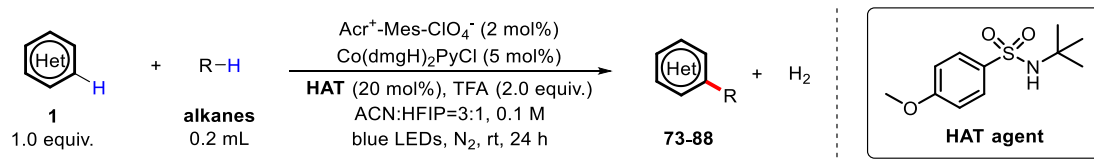
Photochemical reaction was carried out under visible light irradiation by two 25 W blue lamps at room temperature.



Supplementary Figure 1. Reaction set-up for the remote Minisci reaction

To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added heteroarene **1** (0.2 mmol), *N*-protected amines substrates **2** (0.4 mmol), Acr⁺-Mes-ClO₄[−] (2 mol%) and Co(dmgH)₂PyCl (5 mol%). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), ACN (1.5 mL) and HFIP (0.5 mL) were added to the tube under nitrogen. The mixture was then irradiated by two 25 W blue lamps for 24 h. The reaction mixture was quenched by adding 4 mL saturated NaHCO₃ solution and 15 mL water and then extracted with ethyl acetate (3 × 20 mL). The combined organic extracts were washed by brine, dried over Na₂SO₄, filtered, concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel to afford the desired product **3-72**.

2.6 General procedure F: Dehydrogenative C(sp³)-H heteroarylation of alkanes



To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added heteroarene **1** (0.2 mmol), Acr⁺-Mes-ClO₄⁻ (2 mol%), Co(dmgh)₂PyCl (5 mol%) and N-(tert-butyl)-4-methoxybenzenesulfonamide (20 mol%). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), alkanes (0.2 mL), ACN (1.5 mL) and HFIP (0.5 mL) were added to the tube under nitrogen. The mixture was then irradiated by two 25 W blue lamps for 24 h. The reaction mixture was quenched by adding 4 mL saturated NaHCO₃ solution and 15 mL water and then extracted with ethyl acetate (3 × 20 mL). The combined organic extracts were washed by brine, dried over Na₂SO₄, filtered, concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel to afford the desired product **73-88**.

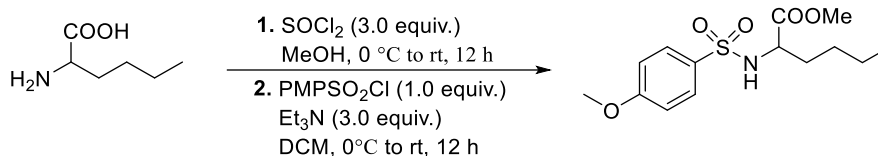
2.7 General procedure G: Remote C(sp³)-H functionalization of sulfonamides



To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added **2a** (0.2 mmol, 1.0 equiv.), radical trap reagent (0.4-0.6 mmol, 2.0-3.0 equiv.) and Acr⁺-Mes-ClO₄⁻ (3 mol%). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, ACN (1.8 mL) and HFIP (0.2 mL) was added to the tube under nitrogen. The mixture was then irradiated by two 25 W blue lamps for 24 h. The reaction mixture was quenched by adding 15 mL water and then extracted with ethyl acetate (3 × 20 mL). The combined organic extracts were washed by brine, dried over Na₂SO₄, filtered, concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel to afford the desired product **89-97**.

2.8 Procedures for preparation of specific compounds

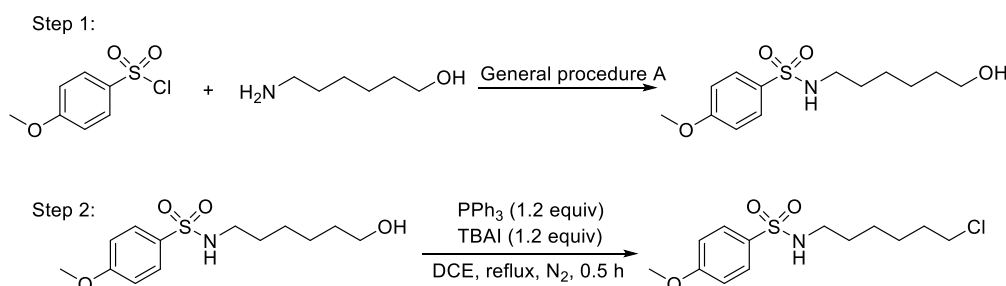
methyl (S)-2-((4-methoxyphenyl)sulfonamido)hexanoate



STEP 1: 2-Aminohexanoic acid (10 mmol, 1.0 equiv.) was suspended in methanol (100 mL) and cooled at 0 °C. Thionyl chloride (30 mmol, 3.0 equiv.) was added, the mixture was allowed to warm to room temperature and the solution was allowed to stir for 12 h. The solution was concentrated under reduced pressure to obtain crude amine hydrochloride.

STEP 2: The crude amine hydrochloride and Et₃N (30 mmol, 3.0 equiv.) were dissolved in DCM (50 mL) at 0 °C. A DCM solution (20 mL) of sulfonyl chloride (10 mmol, 1.0 equiv.) was added slowly over 5 minutes. After the addition was completed, the mixture was allowed to warm to room temperature and the solution was allowed to stir for 12 h. The reaction mixture was quenched with water (10 mL) and 1.0 M HCl (10 mL). The aqueous phase was extracted with 20 mL DCM for three times. Combined organic phases were dried with anhydrous Na₂SO₄, concentrated under reduced pressure. The crude product was purified by flash chromatography on silica gel (PE/ EtOAc =2.5/1).

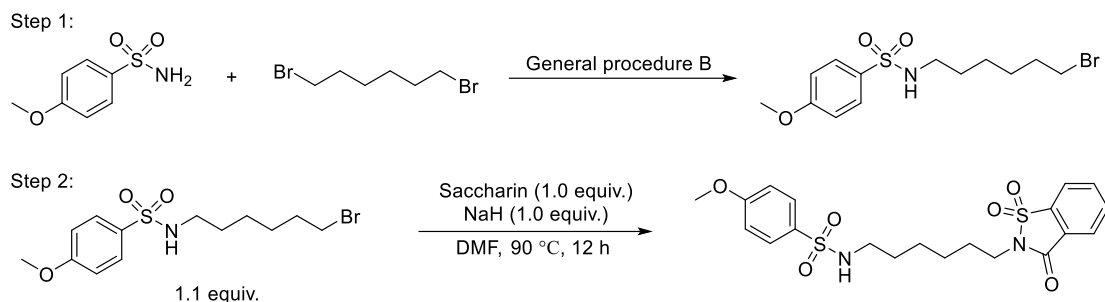
N-(6-chlorohexyl)-4-methoxybenzenesulfonamide



STEP 1 is consistent with the general procedure A.

STEP 2: In a 25 mL Schlenk flask, *N*-(6-hydroxyhexyl)-4-methoxybenzenesulfonamide (2.0 mmol, 1.0 equiv.), triphenylphosphine (2.4 mmol, 1.2 equiv.), tetrabutylammonium iodide (2.4 mmol, 1.2 equiv.), and dry 1,2-dichloroethane (20 mL) were added under a N₂ atmosphere. The mixture was heated to reflux for 0.5 hours. After the mixture was cooled to room temperature, the solvent was removed by concentration under reduced pressure. The residue was purified by flash chromatography on silica gel (PE/EtOAc = 3/1) to give the desired product (90% yield).

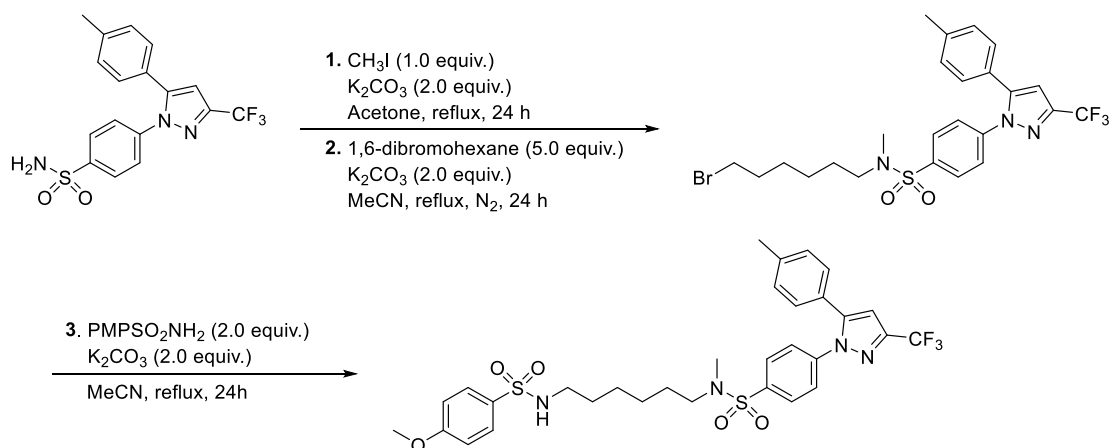
N-(6-(1,1-dioxido-3-oxobenzo[*d*]isothiazol-2(3*H*)-yl)hexyl)-4-methoxybenzenesulfonamide



STEP 1 is consistent with the general procedure B.

STEP 2: To a solution of Saccharin (1.0 eq. 5 mmol) in dry DMF was added sodium hydride (1.0 equiv., 60% mineral oil dispersion) at 0 °C. The mixture was allowed to warm to room temperature and stirred for 30 minutes and then cooled to 0 °C before *N*-(6-bromohexyl)-4-methoxybenzenesulfonamide (2.2 mmol, 1.1 equiv.) was added. The mixture was allowed to come to room temperature and then heated at 90 °C for 12 hours. The reaction mixture was quenched by adding saturated aqueous ammonium chloride solution and then extracted with EtOAc for three times. Combined organic phases were washed with brine, dried with anhydrous Na₂SO₄ and concentrated under reduced pressure. The crude product was purified by flash chromatography on silica gel (PE/EtOAc = 3/1) to give the desired product.

***N*-(6-((4-methoxyphenyl)sulfonamido)hexyl)-*N*-methyl-4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)benzenesulfonamide**



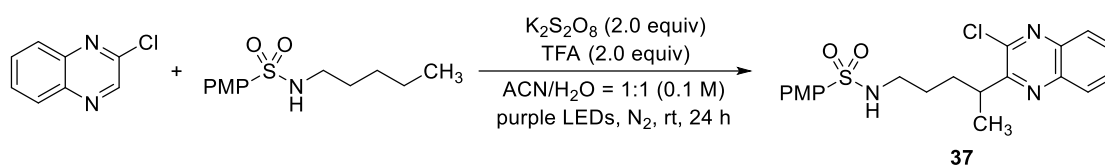
STEP1: Under N₂ atmosphere, to a solution of Celecoxib (5.0 mmol, 1.0 equiv.) and CH₃I (7.5 mmol, 1.5 equiv.) in acetone (25.0 mL) was added K₂CO₃ (10 mmol, 2.0 equiv.) at room temperature. Then the reaction mixture was heated to reflux for 24 hours. After cooling to room temperature, the reaction mixture was filtered through a pad of Celite and concentrated under

reduced pressure. The residue was purified by flash chromatography on silica gel (PE/EtOAc = 5/1) to afford *N*-methyl-Celecoxib as a white solid (90% yield).

STEP2: Under N₂ atmosphere, to a solution of *N*-methyl-Celecoxib (4.5 mmol, 1.0 equiv.) and 1,6-dibromohexane (22.5 mmol, 5.0 equiv.) in anhydrous CH₃CN (20 mL) was added K₂CO₃ (13.5 mmol 3.0 equiv.) at room temperature. Then the reaction mixture was heated for 24 hours. After cooling to room temperature, the reaction mixture was filtered through a pad of Celite and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel (PE/EtOAc = 10/1) to afford the alkyl bromide intermediate as a white solid (76% yield).

STEP3: Alkyl bromide intermediate (2.0 mmol, 1.0 equiv.), 4-methoxybenzenesulfonamide (4.0 mmol, 2.0 equiv.), K₂CO₃ (4.0 mmol, 2.0 equiv.) and MeCN (10 mL) were added into a round-bottom flask. Then the reaction mixture was heated to reflux for 24 hours. After being cooled to room temperature, the reaction mixture was concentrated under reduced pressure, 5 mL EA was added to the residue and insoluble was filtered off. The solution was concentrated under reduced pressure. The crude product was purified by flash chromatography on silica gel (PE/EtOAc = 3/1) to give the desired product (46% yield).

***N*-(4-(3-chloroquinoxalin-2-yl)pentyl)-4-methoxybenzenesulfonamide**



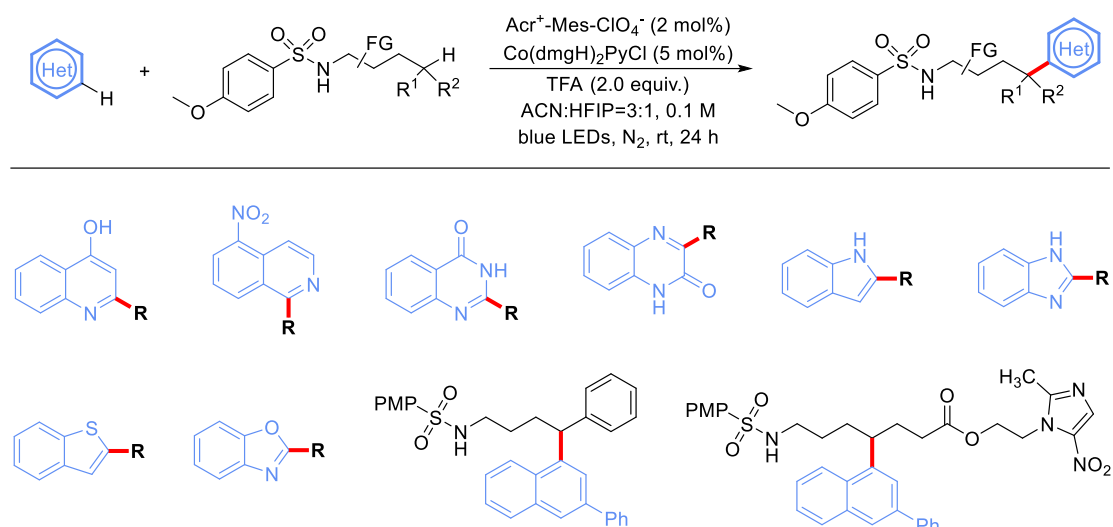
To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added 2-chloroquinoxaline (0.2 mmol), 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (0.4 mmol), K₂S₂O₈ (2.0 equiv). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), ACN (1.0 mL) and H₂O (1.0 mL) were added to the tube under nitrogen. The mixture was then irradiated by two 25 W purple lamps (λ = 390–400 nm) for 24 h. The reaction mixture was quenched by adding 6 mL saturated NaHCO₃ solution and 15 mL water and then extracted with ethyl acetate (3 × 20 mL). The combined organic extracts were washed by brine, dried over Na₂SO₄, filtered, concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel to afford the desired product **37**.

4-methoxy-*N*-(pentyl-4-*d*)benzenesulfonamide



To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (0.2 mmol), Acr⁺-Mes-ClO₄⁻ (3 mol%) and PhS-SPh (20 mol%). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, D₂O (0.2 mL) and ACN (1.8 mL) were added to the tube under nitrogen. The mixture was then irradiated by two 25 W blue lamps for 24 h. The reaction mixture was concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel to afford the desired product **98**.

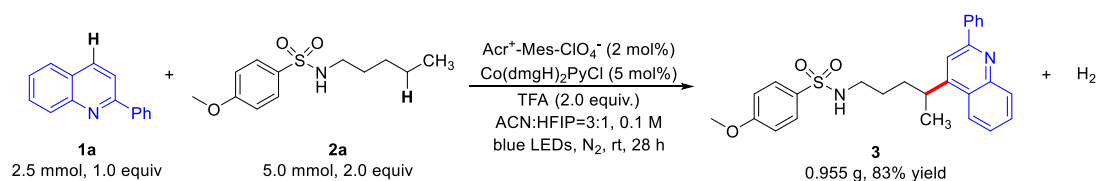
3. Unsuccessful substrates

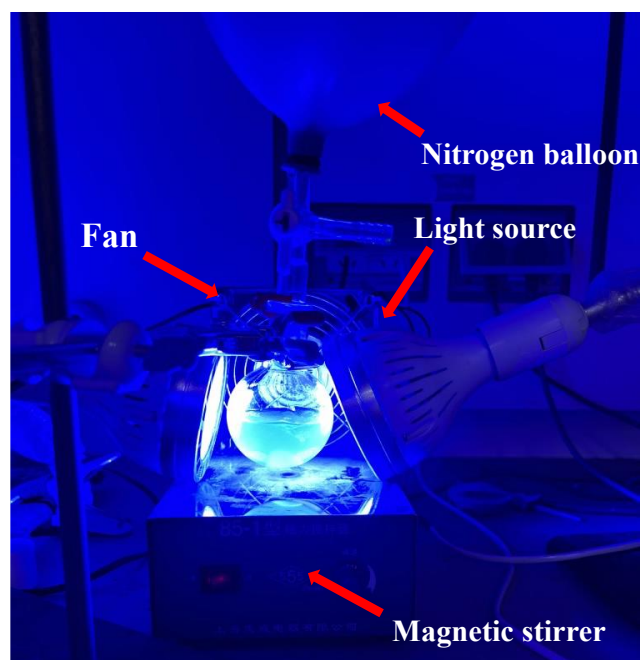


Supplementary Figure 2. Unsuccessful substrates. The listed substrates were suffered from decomposition or low reactivity under optimal conditions, which did not undergo further optimizations.

4. Gram-scale experiments

4.1 Gram-scale experiments in batch





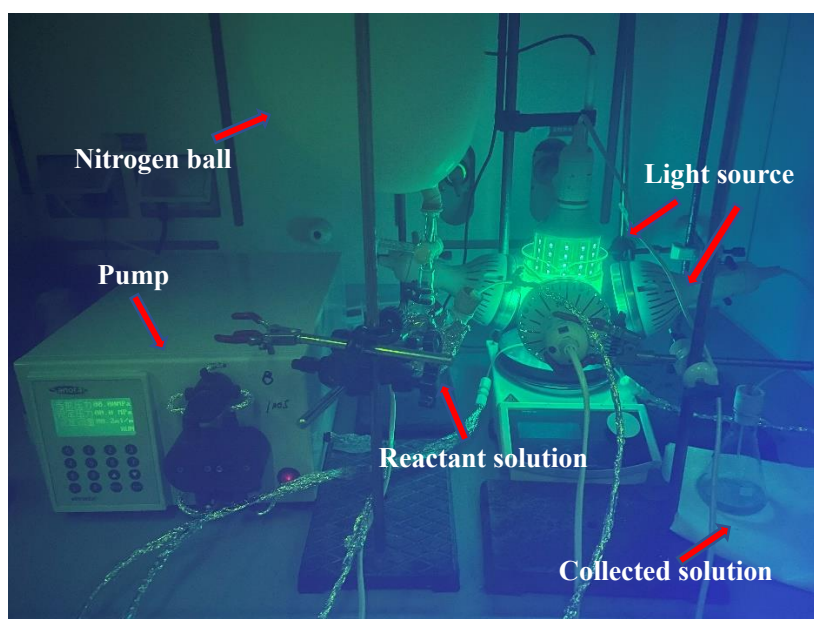
Supplementary Figure 3. Reaction set-up for the gram-scale experiment in batch

A flame-dried round-bottomed flask was charged with 2-phenylquinoline **1a** (2.5 mmol, 1.0 equiv.), 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (5.0 mmol, 2.0 equiv.), $\text{Acr}^+\text{-Mes-ClO}_4^-$ (0.05 mmol, 2 mol%) and $\text{Co}(\text{dmgH})_2\text{PyCl}$ (0.125 mmol, 5 mol%). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (5.0 mmol, 2.0 equiv.), ACN (19.0 mL) and HFIP (6.0 mL) were added to the flask under nitrogen. The mixture was then irradiated by two 25 W blue lamps for 28 h. The reaction mixture was quenched by adding 20 mL saturated NaHCO_3 solution and 50 mL water and then extracted with ethyl acetate (3×50 mL). The combined organic extracts were washed by brine, dried over Na_2SO_4 , filtered, concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel to afford the desired product **3** in 83% yield.

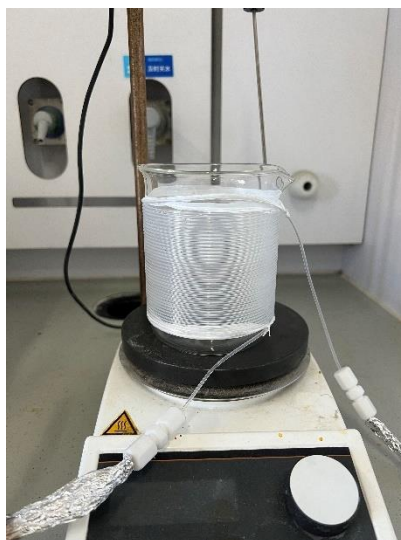
4.2 Gram-scale experiments in continuous-flow

Nitrogen was pumped into the pipeline to remove the air. Under nitrogen atmosphere, a two-neck round bottom flask was equipped with a rubber septum and magnetic stir bar and charged with heteroarene (2.5 mmol, 1.0 equiv.), 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (5.0 mmol, 2.0 equiv.), $\text{Acr}^+\text{-Mes-ClO}_4^-$ (0.05 mmol, 2 mol%) and $\text{Co}(\text{dmgH})_2\text{PyCl}$ (0.125 mmol, 5 mol%). The resulting mixture was sealed and degassed via vacuum evacuation and back-filled with nitrogen gas three times. The TFA (5.0 mmol, 2.0 equiv.), ACN (19.0 mL) and HFIP (6.0 mL) were then added

to the mixture. The round bottom flask was wrapped with tin foil to avoid light. The microtubing reactor (PFA, O.D. = 1/16", I.D. = 1 mm", 10.5 m, volume = 8.3 mL) was placed under 4×25 W and 1×40 W blue LEDs at 40-45 °C for 103 min. After the reaction was completed, 10.0 mL acetonitrile was pumped to wash the microtubing reactor. About 35.0 mL of solution was pumped out from the microtubing reactor. The reaction mixture was quenched by adding 20 mL saturated NaHCO₃ solution and 50 mL water and then extracted with ethyl acetate (3 × 50 mL). The combined organic extracts were washed by brine, dried over Na₂SO₄, filtered, concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel to afford the desired product **3** in 78% yield.

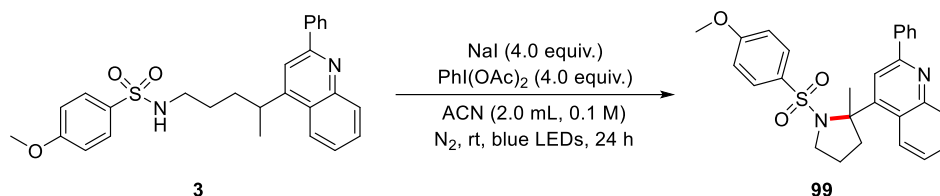


Supplementary Figure 4. Reaction set-up for the gram-scale experiment in continuous-flow

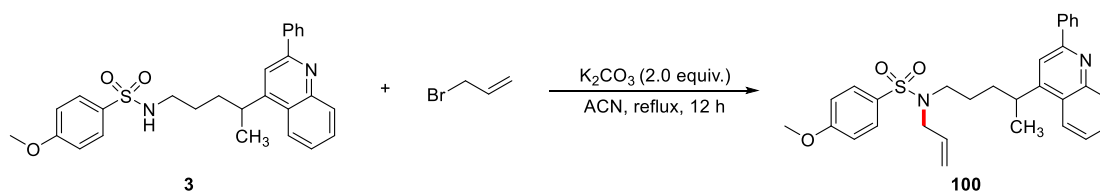


Supplementary Figure 5. Microtubing reactor setup

5. Synthetic application



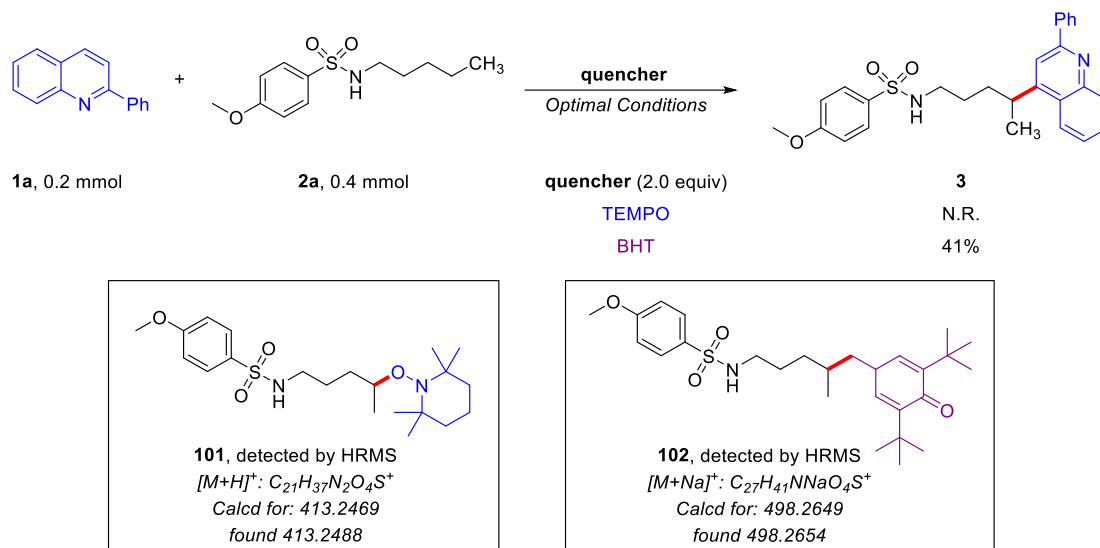
To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added compound **3** (0.2 mmol, 1.0 equiv.), NaI (0.8 mmol, 4.0 equiv.) and PhI(OAc)₂ (0.8 mmol, 4.0 equiv.). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, ACN (2.0 mL) was added to the tube under nitrogen. The mixture was then irradiated by two 25 W blue lamps for 24 h. The reaction mixture was quenched by adding 10 mL saturated sodium thiosulfate solution and then extracted with ethyl acetate (3 × 10 mL). The combined organic extracts were washed by brine, dried over Na₂SO₄, filtered, concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel to afford the desired product **99** in 29% yield.



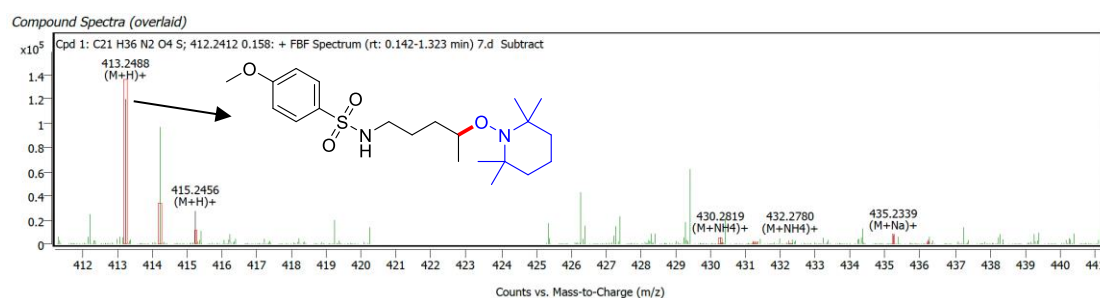
To a solution of 3-bromoprop-1-ene (0.4 mmol, 2.0 equiv.) in MeCN (2.0 mL) was added compound **3** (0.2 mmol, 1.0 equiv.) and K₂CO₃ (0.4 mmol, 2.0 equiv.). The reaction mixture was heated to reflux for 12 hours. After cooling to room temperature, the reaction mixture was filtered through a pad of Celite and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel to afford the desired product **100** in 83% yield.

6. The mechanistic studies

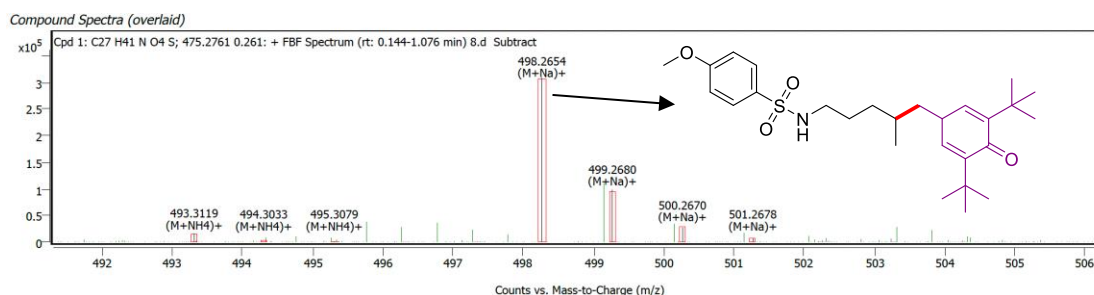
6.1 Radical quenching experiments



To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added 2-phenylquinoline **1a** (0.2 mmol, 1.0 equiv.), 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (0.4 mmol, 2.0 equiv.), $Ac^+Mes-ClO_4^-$ (2 mol%), $Co(dmgH)_2PyCl$ (5 mol%) and radical quencher (TEMPO or BHT, 0.4 mmol, 2.0 equiv.). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), ACN (1.5 mL) and HFIP (0.5 mL) were added to the tube under nitrogen. The mixture was then irradiated by two 25 W blue lamps for 24 h. The reaction was completely inhibited in the presence of TEMPO, and the desired product **3** was isolated in the yield of 41% in the presence of BHT. In addition, radical adducts **101** and **102** were detected by ESI-HRMS in the case of TEMPO and BHT, respectively, which suggested that the reaction proceed through a radical involved pathway.



Supplementary Figure 6. The HRMS analysis of radical quenching experiment in the presence of TEMPO

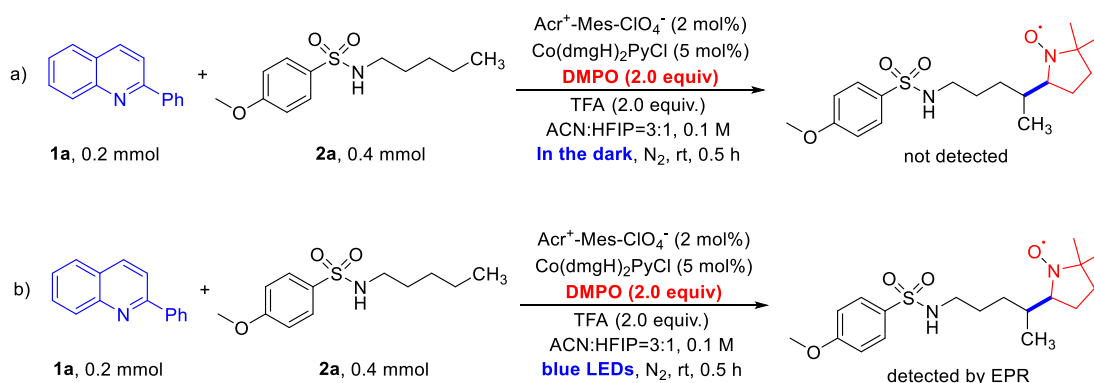


Supplementary Figure 7. The HRMS analysis of radical quenching experiment in the presence of

BHT

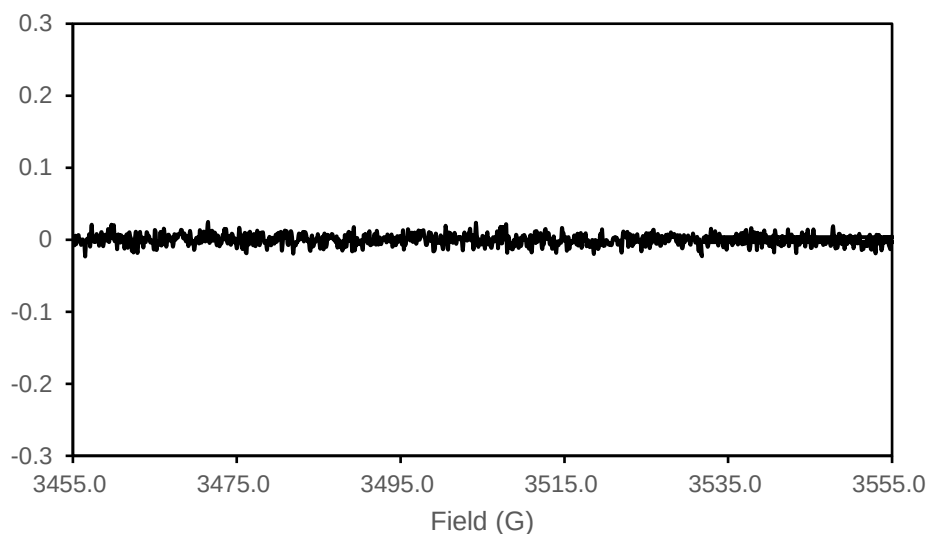
6.2 Electron paramagnetic resonance (EPR) texts

The electron paramagnetic resonance (EPR) experiments were carried out to determination of remote C-centred radical. EPR spectra was recorded at room temperature on Bruker Emxplus spectrometer. Typical spectrometer parameters were shown as follows, center field: 3505.00 G, frequency mon: 9.843483 GHz, sweep width: 100.0 G, power: 3.170 mW, power atten: 18.0 dB, modulation amplitude: 1.000 G, modulation frequency: 100.00 kHz, sweep time: 60.00 s, time constant: 5.12 ms.



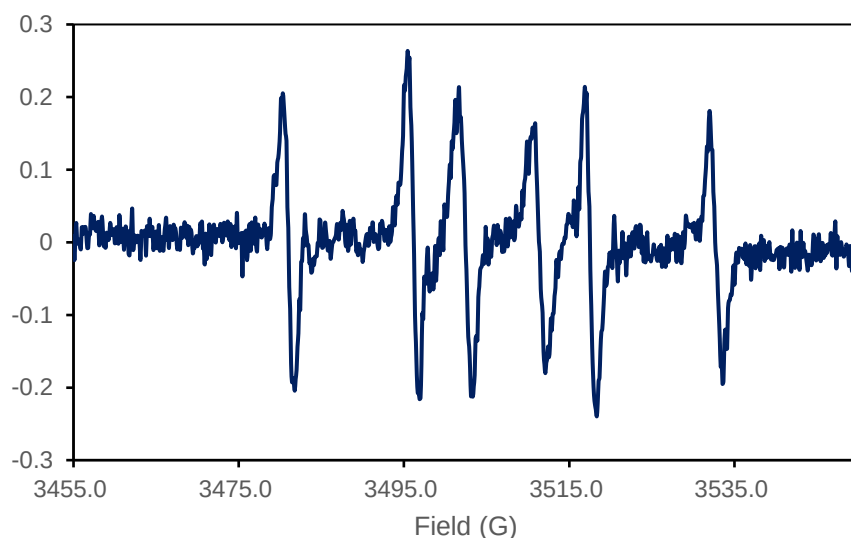
a): To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added 2-phenylquinoline **1a** (0.2 mmol, 1.0 equiv.), 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (0.4 mmol, 2.0 equiv.), $\text{Acr}^+\text{-Mes-ClO}_4^-$ (2 mol%) and $\text{Co}(\text{dmgh})_2\text{PyCl}$ (5 mol%). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), ACN (1.5 mL) and HFIP (0.5 mL) were added to the tube under nitrogen. The mixture was stirred in the dark for 0.5 h. Then the 5,5-dimethyl-1-pyrroline-*N*-oxide (DMPO, 0.4 mmol, 2.0 equiv.) was added into the tube and reacted for 1 min. The mixture was put into a simple melting point tube for EPR test. As shown in **Figure**

S8, any useful information could not be detected by EPR spin-trapping experiment with DMPO.



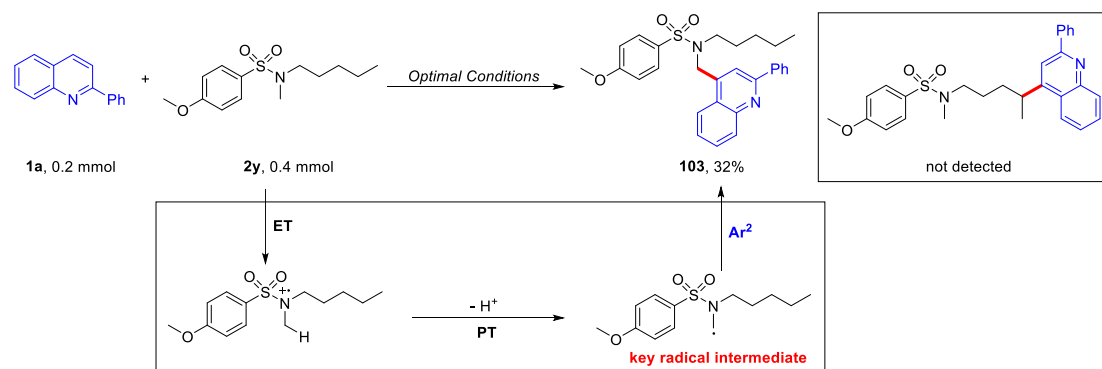
Supplementary Figure 8. EPR spin-trapping results of experiment **a**

b): To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added 2-phenylquinoline **1a** (0.2 mmol, 1.0 equiv.), 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (0.4 mmol, 2.0 equiv.), Acr⁺-Mes-ClO₄⁻ (2 mol%) and Co(dmgh)₂PyCl (5 mol%). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), ACN (1.5 mL) and HFIP (0.5 mL) were added to the tube under nitrogen. The mixture was then irradiated by 450-460 nm blue lamps for 0.5 h. Then the 5,5-dimethyl-1-pyrroline-*N*-oxide (DMPO, 0.4 mmol, 2.0 equiv.) was added into the tube and reacted for 1 min. The mixture was put into a simple melting point tube for EPR test. As shown in **Figure S9**, a C-centred radical trapped by DMPO was detected.



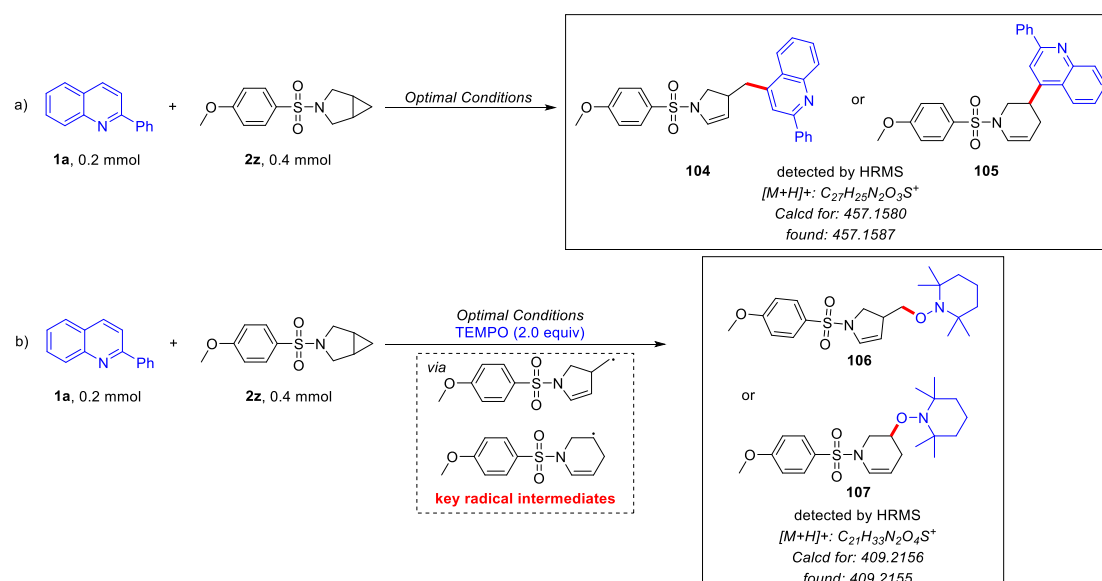
Supplementary Figure 9. The hyperfine structure with DMPO

6.3 Control experiment



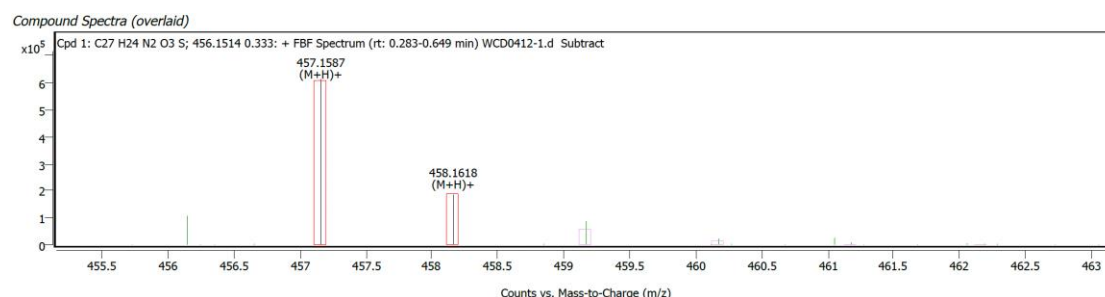
To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added 2-phenylquinoline **1a** (0.2 mmol, 1.0 equiv.), 4-methoxy-*N*-methyl-*N*-pentylbenzenesulfonamide **2y** (0.4 mmol, 2.0 equiv.), $\text{Acr}^+\text{-Mes-ClO}_4^-$ (2 mol%) and $\text{Co(dmgH)}_2\text{PyCl}$ (5 mol%). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), ACN (1.5 mL) and HFIP (0.5 mL) were added to the tube under nitrogen. The mixture was then irradiated by 450–460 nm blue lamps for 24 h. The reaction mixture was quenched by adding 4 mL saturated NaHCO_3 solution and 15 mL water and then extracted with ethyl acetate (3×20 mL). The combined organic extracts were washed by brine, dried over Na_2SO_4 , filtered, concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel to afford the desired product **103** in a yield of 32%. However, the remote heteroarylation product was not observed.

6.4 Radical clock experiments



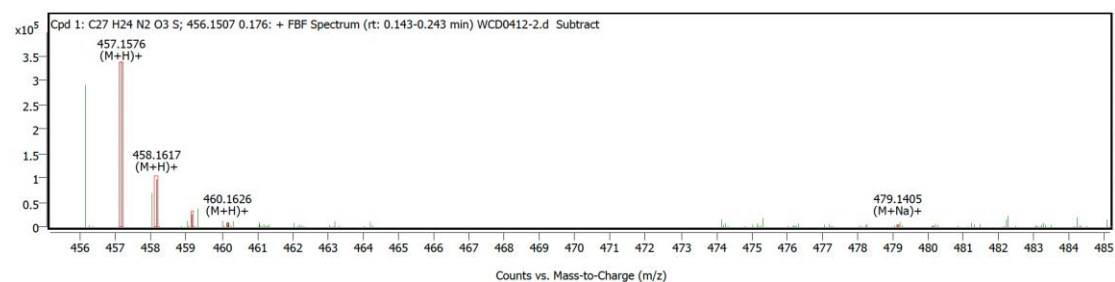
a) To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added 2-phenylquinoline **1a**

(0.2 mmol, 1.0 equiv.), 3-((4-methoxyphenyl)sulfonyl)-3-azabicyclo[3.1.0]hexane **2z** (0.4 mmol, 2.0 equiv.), $\text{Acr}^+\text{-Mes-ClO}_4^-$ (2 mol%) and $\text{Co(dmgH)}_2\text{PyCl}$ (5 mol%). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), ACN (1.5 mL) and HFIP (0.5 mL) were added to the tube under nitrogen. The mixture was then irradiated by two 25 W blue lamps for 24 h. After the reaction was completed, the mixture was put into a simple melting point tube for HRMS test. As expected, the molecular peak of the desired products **104** or **105** was detected by high-resolution mass spectrometry (**Figure S10**).

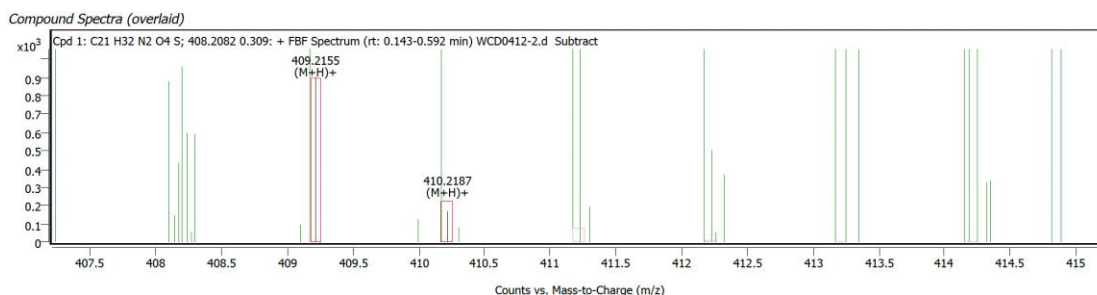


Supplementary Figure 10. The HRMS analysis of the product **104** or **105** in radical clock experiment **a**

b) To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added 2-phenylquinoline **1a** (0.2 mmol, 1.0 equiv.), 3-((4-methoxyphenyl)sulfonyl)-3-azabicyclo[3.1.0]hexane **2z** (0.4 mmol, 2.0 equiv.), $\text{Acr}^+\text{-Mes-ClO}_4^-$ (2 mol%), $\text{Co(dmgH)}_2\text{PyCl}$ (5 mol%) and TEMPO (0.4 mmol, 2.0 equiv.). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), ACN (1.5 mL) and HFIP (0.5 mL) were added to the tube under nitrogen. The mixture was then irradiated by two 25 W blue lamps for 24 h. After the reaction was completed, the mixture was put into a simple melting point tube for HRMS test. As expected, not only the molecular peak of the desired product **104** or **105**, but also the molecular peak of the radical adducts **106** or **107** were detected by high-resolution mass spectrometry (**Figure S11** and **S12**).



Supplementary Figure 11. The HRMS analysis of the product **104** or **105** in radical clock experiment **b**



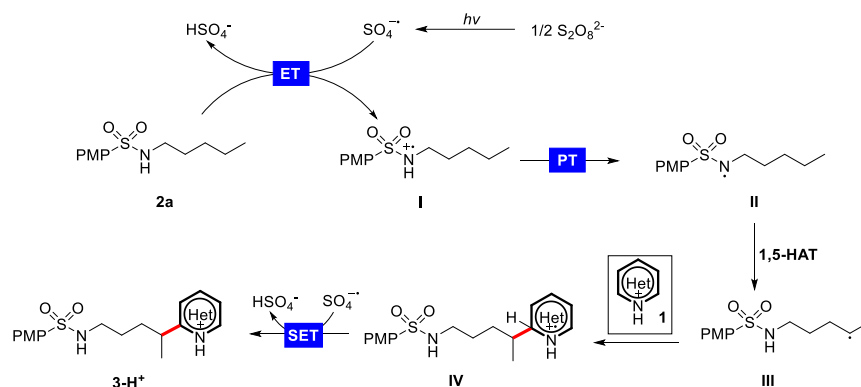
Supplementary Figure 12. The HRMS analysis of the radical adducts **106** or **107** in radical clock experiment **b**

6.5 K₂S₂O₈-promoted remote heteroarylation



To a 10 mL Schlenk tube equipped with a magnetic stirring bar was added 2-phenylquinoline **1a** (0.2 mmol, 1.0 equiv.), 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (0.4 mmol, 2.0 equiv.) and K₂S₂O₈ (2.0 equiv.). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), ACN (1.0 mL) and H₂O (1.0 mL) were added to the tube under nitrogen. The mixture was then irradiated by 450-460 nm blue lamps for 24 h. The reaction mixture was quenched by adding 4 mL saturated NaHCO₃ solution and 15 mL water and then extracted with ethyl acetate (3 × 20 mL). The combined organic extracts were washed by brine, dried over Na₂SO₄, filtered, concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel to afford the desired product **3** in 74% yield.

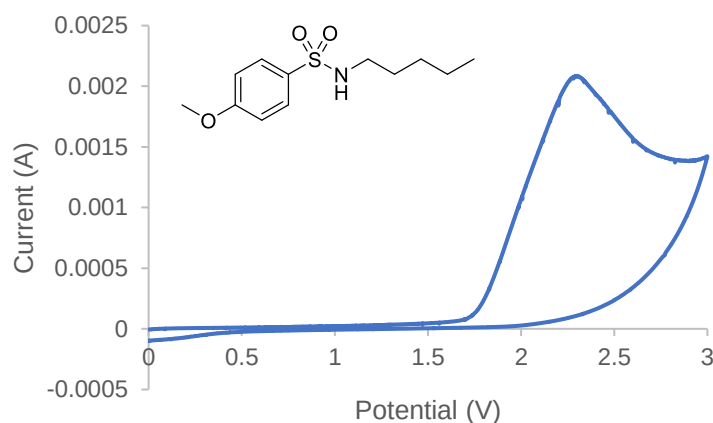
The mechanism was proposed as followed. Initially, SO₄^{•−} ($E_{p/2} = +2.5$ -3.0 V) was generated through homolytic cleavage of S₂O₈^{2−} by means of light irradiation, which could remove an electron from neutral *N*-alkylsulfonamide **2a** to afford the sulfonamide radical cations **I**. The so-formed sulfonamide radical cations **I** then underwent deprotonation to afford *N*-centred radicals **II**, which could trigger intramolecular remote HAT through a cyclic transition state to afford the distal C-centred radicals **III**. The intermediate **III** then undergo addition on the protonated heteroarene **1** in a Minisci-type pathway to afford the amine radical cation **IV**, which then was oxidized by SO₄^{•−} to give the desired product **3-H**⁺.



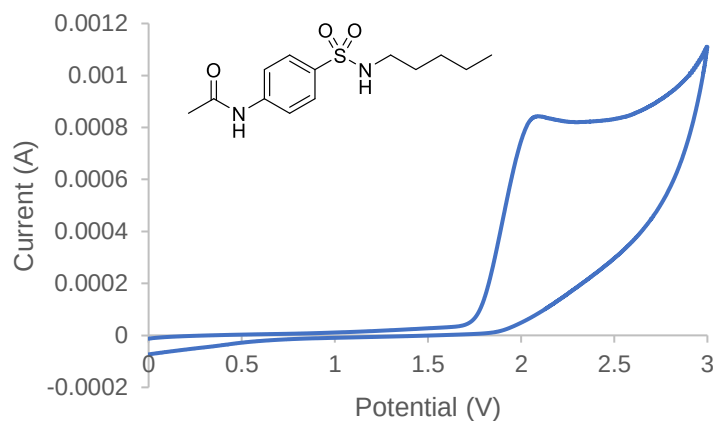
Supplementary Figure 13. The plausible mechanism of $\text{K}_2\text{S}_2\text{O}_8$ -promoted remote heteroarylation

6.6 Cyclovoltammetric experiments

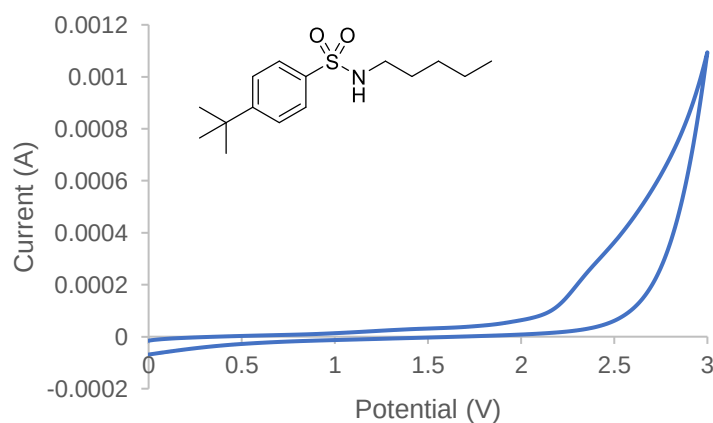
The cyclic voltammetry measurements were detected by using a CHI 600E electrochemical workstation. Electrochemical measurements (cyclic voltammetry) were performed in a three-electrode cell (10 mL) and acetonitrile was used as solvent. As for the electrolyte, it was used in a 0.1 M concentration, while the tested compounds were used in a 10 mM concentration. Electrodes: a 6 mm-diameter glassy carbon as the working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s.



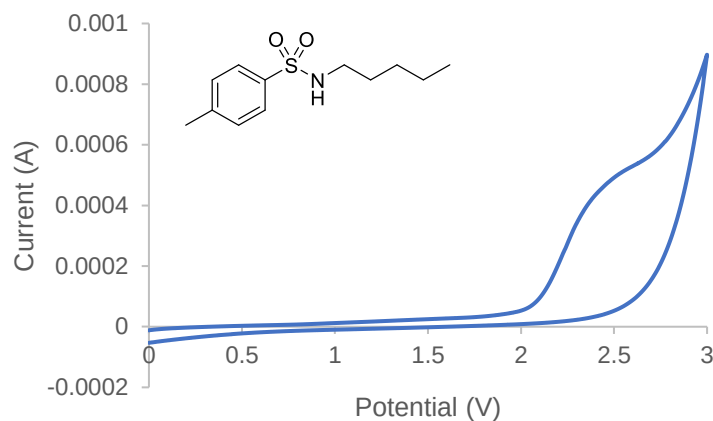
Supplementary Figure 14. The CV data of 4-methoxy-*N*-pentylbenzenesulfonamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. It was found that the half-peak potential of 4-methoxy-*N*-pentylbenzenesulfonamide in ACN was observed at 1.95 V (vs. SCE in ACN).



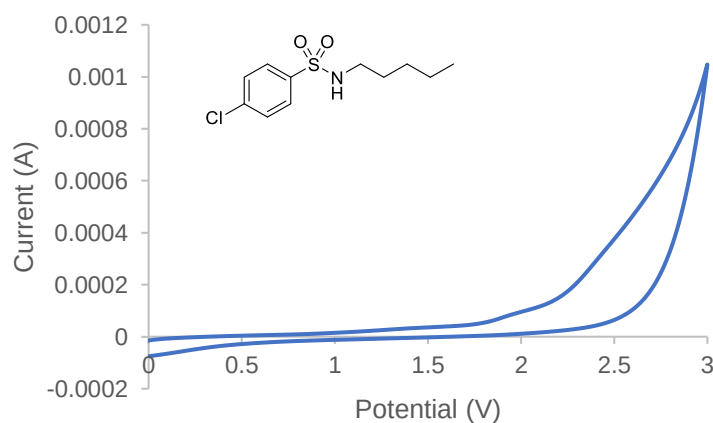
Supplementary Figure 15. The CV data of *N*-(4-(*N*-pentylsulfamoyl)phenyl)acetamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. It was found that the half-peak potential of 4-methoxy-*N*-pentylbenzenesulfonamide in ACN was observed at 1.89 V (vs. SCE in ACN).



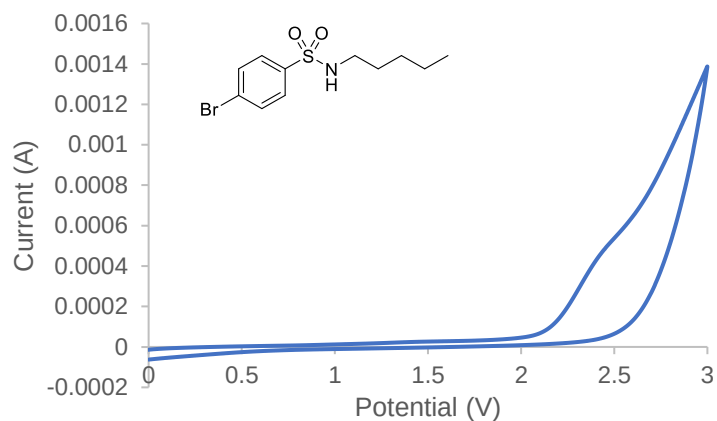
Supplementary Figure 16. The CV data of 4-(*tert*-butyl)-*N*-pentylbenzenesulfonamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. The results showed that no redox features were displayed between 0 and 3.0 V.



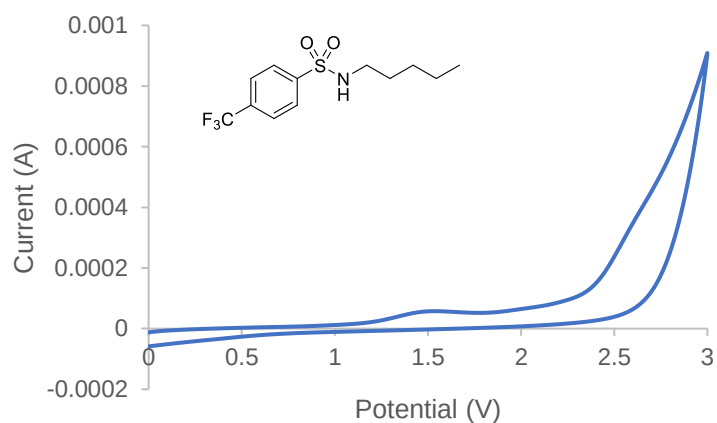
Supplementary Figure 17. The CV data of 4-methyl-*N*-pentylbenzenesulfonamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. It was found that the half-peak potential of 4-methyl-*N*-pentylbenzenesulfonamide in ACN was observed at 2.23 V (vs. SCE in ACN).



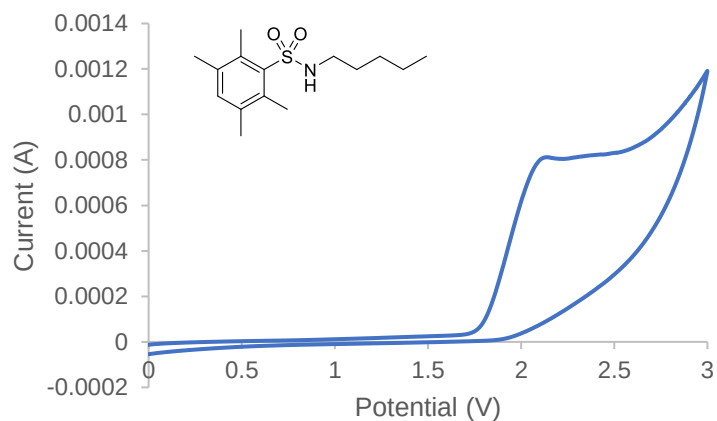
Supplementary Figure 18. The CV data of 4-chloro-*N*-pentylbenzenesulfonamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. The results showed that no redox features were displayed between 0 and 3.0 V.



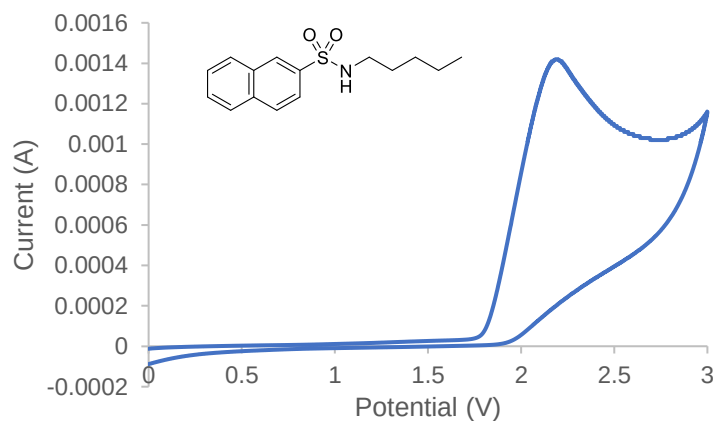
Supplementary Figure 19. The CV data of 4-bromo-*N*-pentylbenzenesulfonamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. The results showed that no redox features were displayed between 0 and 3.0 V.



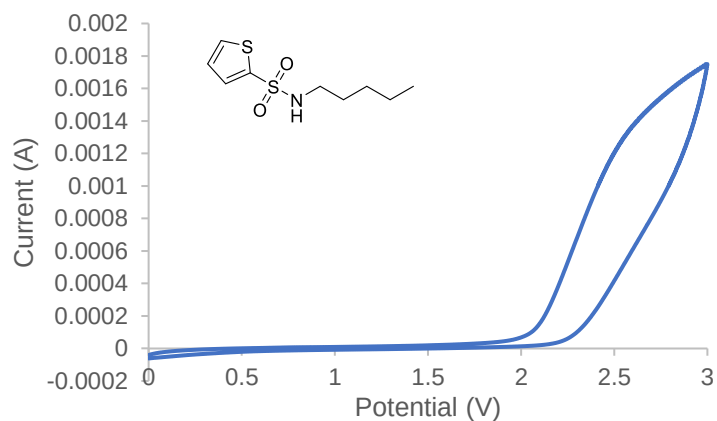
Supplementary Figure 20. The CV data of *N*-pentyl-4-(trifluoromethyl)benzenesulfonamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. The results showed that no redox features were displayed between 0 and 3.0 V.



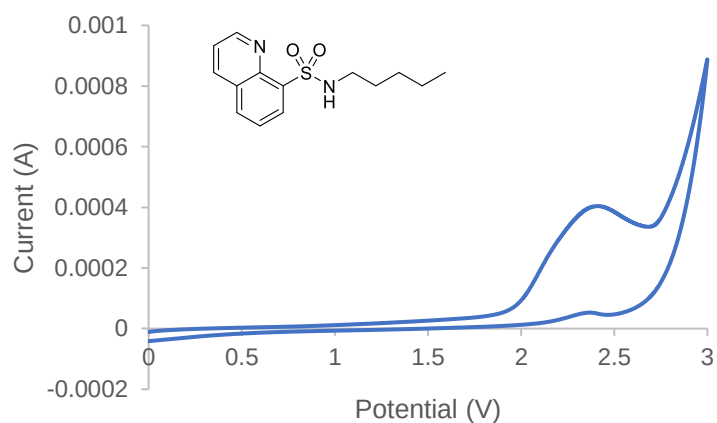
Supplementary Figure 21. The CV data of 2,3,5,6-tetramethyl-*N*-pentylbenzenesulfonamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. It was found that the half-peak potential of 2,3,5,6-tetramethyl-*N*-pentylbenzenesulfonamide in ACN was observed at 1.93 V (vs. SCE in ACN).



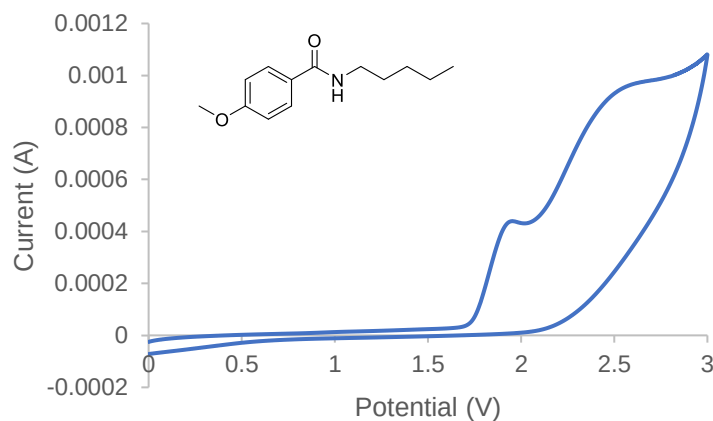
Supplementary Figure 22. The CV data of *N*-pentyl-naphthalene-2-sulfonamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. It was found that the half-peak potential of *N*-pentyl-naphthalene-2-sulfonamide in ACN was observed at 1.97 V (vs. SCE in ACN).



Supplementary Figure 23. The CV data of *N*-pentylthiophene-2-sulfonamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. The results showed that no redox features were displayed between 0 and 3.0 V.



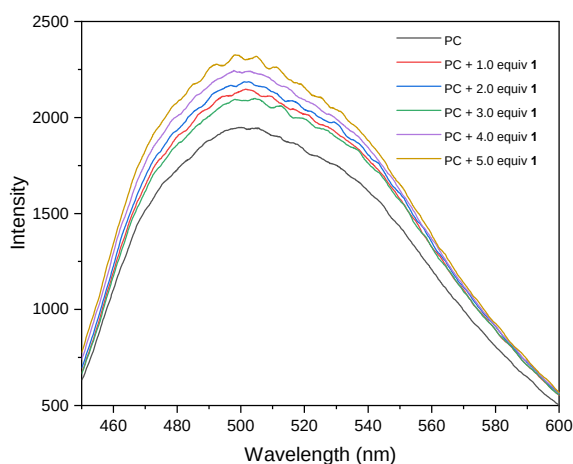
Supplementary Figure 24. The CV data of *N*-pentylquinoline-8-sulfonamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. It was found that the half-peak potential of *N*-pentylquinoline-8-sulfonamide in ACN was observed at 2.11 V (vs. SCE in ACN).



Supplementary Figure 25. The CV data of 4-methoxy-*N*-pentylbenzamide in ACN. Conditions: a 6 mm-diameter glassy carbon as working electrode, Pt wire as the counter electrode and Ag/AgCl (sat'd KCl) as the reference electrode. Scan speed was 100 mV/s, room temperature. It was found that the half-peak potential of 4-methoxy-*N*-pentylbenzamide in ACN was observed at 1.82 V (vs. SCE in ACN).

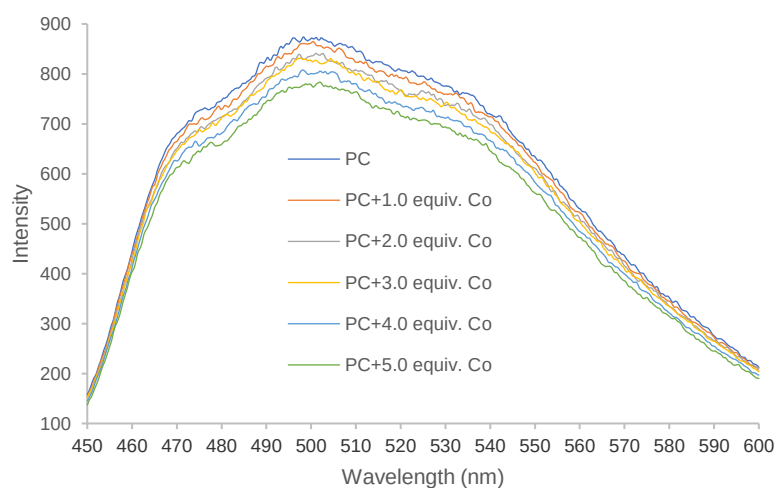
6.7 Stern-Volmer fluorescence quenching studies

Stern-Volmer luminescence quenching experiments of PC with 2-phenylquinoline **1a** were carried out with freshly prepared solutions of PC (5×10^{-5} M) in ACN at room temperature. All PC solutions were irradiated at 380 nm approximately and the emission intensity from 450 nm to 600 nm was recorded by F-7000 FL Spectrophotometer. It was shown that no significant fluorescence quenching was observed between excited PC and 2-phenylquinoline **1a** (Supplementary Figure 26).

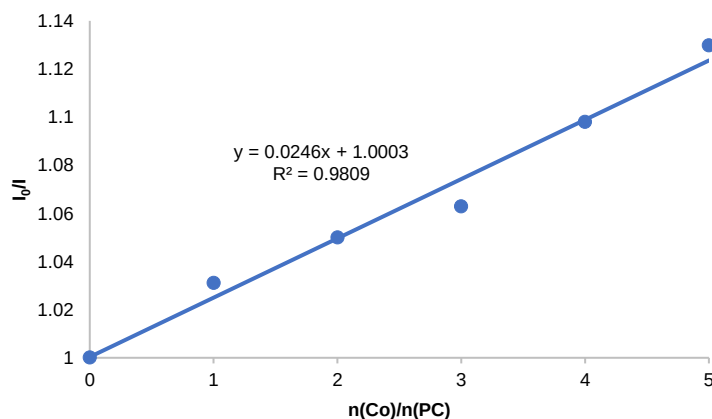


Supplementary Figure 26. Emission intensity of 5×10^{-5} M PC in ACN, with varied amount of **1a**

Stern-Volmer luminescence quenching experiments of PC with $\text{Co}(\text{dmgH})_2\text{PyCl}$ were carried out with freshly prepared solutions of PC (5×10^{-5} M) in ACN at room temperature. All PC solutions were irradiated at 380 nm approximately and the emission intensity from 450 nm to 600 nm was recorded by F-7000 FL Spectrophotometer. The resulting fluorescence emission spectra was shown in **Supplementary Figure 27**.

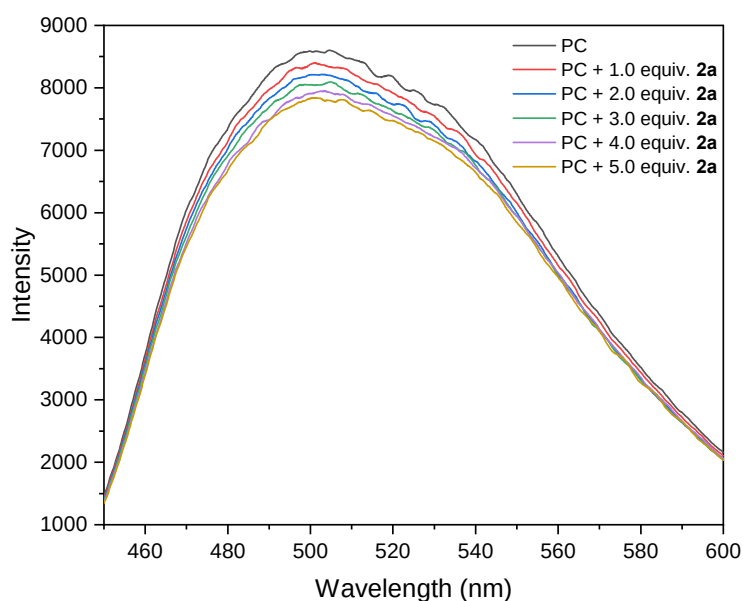


Supplementary Figure 27. Emission intensity of 5×10^{-5} M PC in ACN, with varied amount of $\text{Co}(\text{dmgH})_2\text{PyCl}$

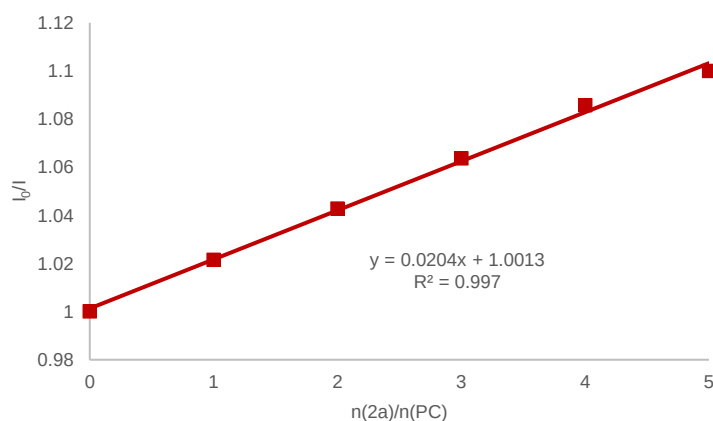


Supplementary Figure 28. Stern-Volmer plot of PC and $\text{Co}(\text{dmgH})_2\text{PyCl}$

Stern-Volmer luminescence quenching experiments of PC with 4-methoxy-*N*-pentylbenzenesulfonamide **2a** were carried out with freshly prepared solutions of PC (5×10^{-4} M) in ACN at room temperature. All PC solutions were irradiated at 380 nm approximately and the emission intensity from 450 nm to 600 nm was recorded by F-7000 FL Spectrophotometer. The resulting fluorescence emission spectra was shown in **Supplementary Figure 29**.



Supplementary Figure 29. Emission intensity of 5×10^{-4} M PC in ACN, with varied amount of **2a**

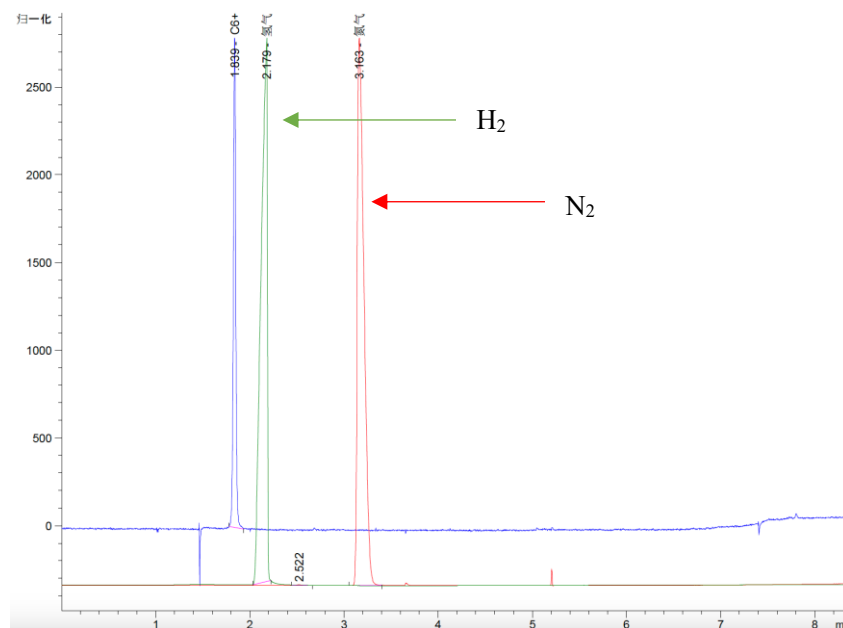


Supplementary Figure 30. Stern-Volmer plot of PC and **2a**

6.8 Hydrogen evolution detection

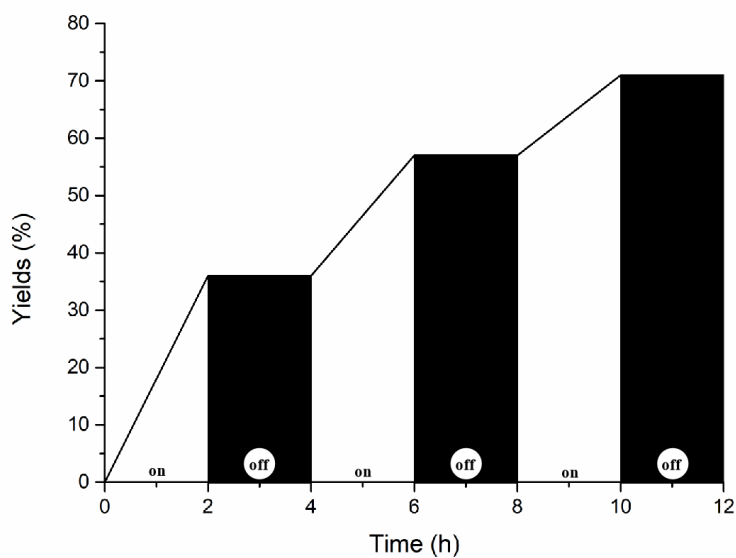
To a 50 mL Schlenk tube equipped with a magnetic stirring bar was added 2-phenylquinoline **1a** (1.0 mmol), 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (2.0 mmol), $\text{Acr}^+\text{-Mes-ClO}_4^-$ (2 mol%) and $\text{Co}(\text{dmgH})_2\text{PyCl}$ (5 mol%). After three cycles of evacuation and backfilling of the reaction flask with nitrogen, TFA (2.0 equiv.), ACN (7.5 mL) and HFIP (2.5 mL) were added to the tube under nitrogen. The mixture was then irradiated by two 25 W blue lamps for 24 h. After the reaction was

completed, the upper 20 mL gas content of the tube was taken by a gas-tight syringe and analyzed by GC-TCD. The results indicated the formation of hydrogen during the reaction (Figure S31).



Supplementary Figure 31. Hydrogen evolution detected by GC-TCD

6.9 Light on/off experiments

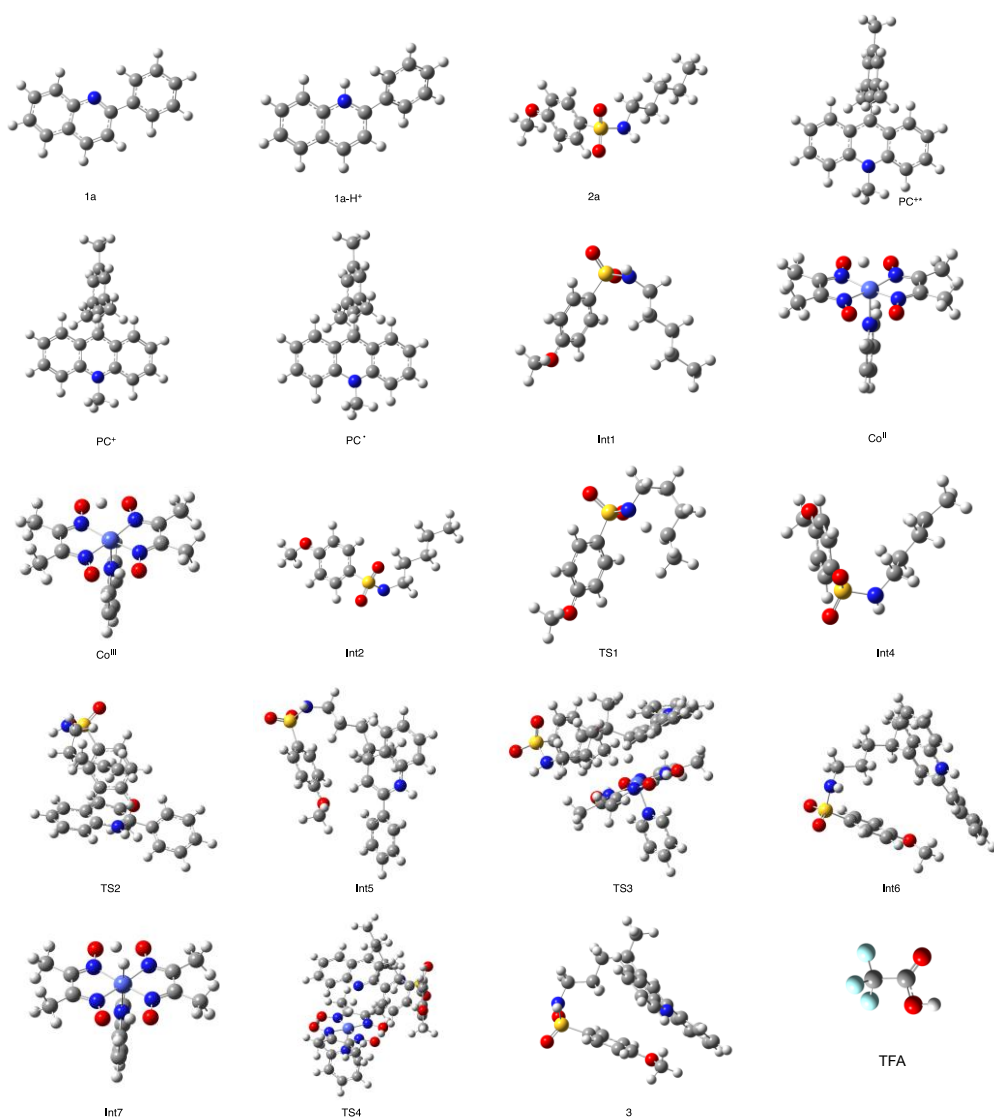


Supplementary Figure 32. On/off light experiments for the model reaction

6.10 Density functional theory calculations

Method

All the calculations were carried out by the Gaussian16 package.^[1] The PBE0 hybrid functional^[2] was applied for all calculations in combination with the D3BJ^[3] dispersion correction. For geometry optimization, the def2-SV(P)^[4] basis set and IEFPCM^[5] solvent model for Acetonitrile were used. The frequencies were computed analytically at the same level of theory as the geometry optimizations to identify the nature of all stationary points being either minimum (no imaginary frequency) or transition state (only one imaginary frequency) and also to obtain the Gibbs free energy correction at 298.15 K. The final and solvation energies for the fully optimized structures in the acetonitrile were calculated by employing the SMD continuum solvation model^[6] with the larger def2-TZVP basis set.

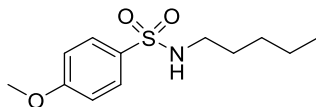


Supplementary Figure 33. The 3D structures of involved substrates, catalysts, intermediates and transition states

7. Characterization data for synthesized compounds

7.1 Characterization data for substrates

4-methoxy-N-pentylbenzenesulfonamide (2a)



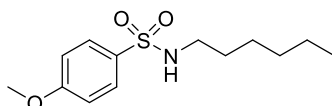
The substrate **2a** was prepared following **General procedure A** on a 10 mmol scale, which was obtained as colorless oil in 95% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.90 – 7.73 (m, 2H), 7.05 – 6.88 (m, 2H), 4.54 (br, 1H), 3.86 (s, 3H), 2.90 (t, *J* = 7.1 Hz, 2H), 1.44 (p, *J* = 6.8 Hz, 2H), 1.30 – 1.16 (m, 4H), 0.83 (t, *J* = 6.3 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.82, 131.61, 129.21, 114.21, 55.61, 43.18, 29.21, 28.67, 22.14, 13.87.

Analytical data matched that reported in literature.^[7]

N-hexyl-4-methoxybenzenesulfonamide (2b)



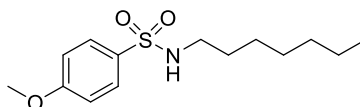
The substrate **2b** was prepared following **General procedure A** on a 10 mmol scale, which was obtained as white solid in 96% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.83 – 7.77 (m, 2H), 7.00 – 6.94 (m, 2H), 4.43 (br, 1H), 3.86 (s, 3H), 2.90 (t, *J* = 7.1 Hz, 2H), 1.49 – 1.38 (m, 2H), 1.31 – 1.13 (m, 6H), 0.83 (t, *J* = 7.0 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.81, 131.66, 129.20, 114.20, 55.59, 43.19, 31.23, 29.49, 26.19, 22.44, 13.91.

Analytical data matched that reported in literature.^[7]

N-heptyl-4-methoxybenzenesulfonamide (2c)



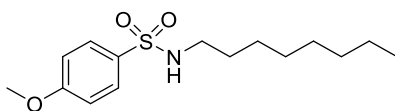
The substrate **2c** was prepared following **General procedure A** on a 10 mmol scale, which was obtained as white solid in 93% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.80 (d, *J* = 8.6 Hz, 2H), 6.97 (d, *J* = 8.6 Hz, 2H), 4.65 – 4.44 (br, 1H), 3.86 (s, 3H), 2.91 (q, *J* = 7.0, 6.5 Hz, 2H), 1.50 – 1.36 (m, 2H), 1.32 – 1.12 (m, 8H), 0.85 (t, *J* = 6.6 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.82, 131.57, 129.21, 114.20, 55.61, 43.19, 31.63, 29.51, 28.73, 26.49, 22.52, 14.04.

Analytical data matched that reported in literature.^[7]

4-methoxy-N-octylbenzenesulfonamide (2d)



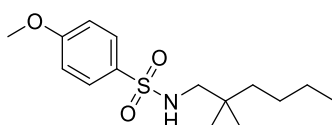
The substrate **2d** was prepared following **General procedure A** on a 10 mmol scale, which was obtained as white solid in 95% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.83 – 7.77 (m, 2H), 7.00 – 6.94 (m, 2H), 4.67 (br, 1H), 3.86 (s, 3H), 2.90 (t, *J* = 7.2 Hz, 2H), 1.49 – 1.35 (m, 2H), 1.30 – 1.13 (m, 10H), 0.85 (t, *J* = 6.1 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.81, 131.67, 129.20, 114.20, 55.58, 43.18, 31.70, 29.51, 29.07, 29.01, 26.52, 22.58, 14.03.

Analytical data matched that reported in literature.^[7]

N-(2,2-dimethylhexyl)-4-methoxybenzenesulfonamide (2e)



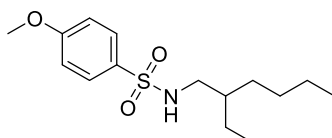
The substrate **2e** was prepared following **General procedure A** on a 10 mmol scale, which was obtained as white solid in 94% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.82 – 7.77 (m, 2H), 7.00 – 6.94 (m, 2H), 4.49 (t, *J* = 6.7 Hz, 1H), 3.87 (s, 3H), 2.66 (d, *J* = 6.8 Hz, 2H), 1.26 – 1.06 (m, 6H), 0.85 (t, *J* = 7.2 Hz, 3H), 0.82 (s, 6H).

¹³C NMR (101 MHz, CDCl₃) δ 162.77, 131.72, 129.19, 114.18, 55.57, 52.98, 39.27, 33.63, 25.87, 24.92, 23.39, 14.01.

HRMS (ESI+) *m/z* Calcd for C₁₅H₂₆NO₃S⁺: 300.1628 [*M*+H]⁺; found: 300.1634.

N-(2-ethylhexyl)-4-methoxybenzenesulfonamide (2f)



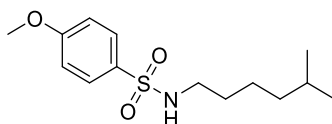
The substrate **2i** was prepared following **General procedure A** on a 10 mmol scale, which was obtained as yellow oil in 90% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.83 – 7.77 (m, 2H), 7.01 – 6.93 (m, 2H), 4.51 (t, *J* = 6.5 Hz, 1H), 3.86 (s, 3H), 2.83 (t, *J* = 5.7 Hz, 2H), 1.44 – 1.07 (m, 9H), 0.84 (t, *J* = 7.0 Hz, 3H), 0.78 (t, *J* = 7.3 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.79, 131.63, 129.21, 114.18, 55.59, 45.74, 39.16, 30.66, 28.68, 23.90, 22.88, 13.98, 10.68.

Analytical data matched that reported in literature.^[8]

4-methoxy-N-(5-methylhexyl)benzenesulfonamide (2g)



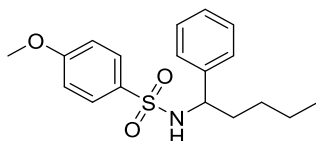
The substrate **2k** was prepared following **General procedure A** on a 10 mmol scale, which was obtained as colorless oil in 86% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.84 – 7.77 (m, 2H), 7.01 – 6.93 (m, 2H), 4.52 (br, 1H), 3.86 (s, 3H), 2.91 (t, *J* = 7.1 Hz, 2H), 1.53 – 1.37 (m, 3H), 1.31 – 1.16 (m, 2H), 1.14 – 1.01 (m, 2H), 0.81 (d, *J* = 6.6 Hz, 6H).

¹³C NMR (101 MHz, CDCl₃) δ 162.82, 131.68, 129.20, 114.21, 55.59, 43.21, 38.35, 29.80, 27.80, 24.33, 22.47.

Analytical data matched that reported in literature.^[9]

4-methoxy-*N*-(1-phenylpentyl)benzenesulfonamide (2h)



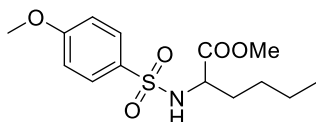
The substrate **2f** was prepared following **General procedure A** on a 10 mmol scale, which was obtained as white solid in 90% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.60 – 7.54 (m, 2H), 7.17 – 7.10 (m, 3H), 7.05 – 6.97 (m, 2H), 6.80 – 6.71 (m, 2H), 5.11 (br, 1H), 4.24 (q, *J* = 7.3 Hz, 1H), 3.80 (s, 3H), 1.83 – 1.60 (m, 2H), 1.30 – 1.02 (m, 4H), 0.80 (t, *J* = 7.1 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.52, 141.15, 132.50, 129.12, 128.36, 127.23, 126.52, 113.80, 58.34, 55.52, 37.37, 27.98, 22.19, 13.79.

HRMS (ESI+) *m/z* Calcd for C₁₈H₂₄NO₃S⁺: 334.1471 [*M*+H]⁺; found: 334.1469.

methyl (S)-2-((4-methoxyphenyl)sulfonamido)hexanoate (2i)



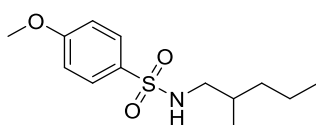
The substrate **2j** was prepared following the **Procedures for preparation of specific compounds**, which was obtained as white solid in 37% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.73 (m, 2H), 6.97 – 6.92 (m, 2H), 5.10 (d, *J* = 9.0 Hz, 1H), 3.92 – 3.86 (m, 1H), 3.85 (s, 3H), 3.50 (s, 3H), 1.77 – 1.51 (m, 2H), 1.36 – 1.18 (m, 4H), 0.85 (t, *J* = 7.0 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 172.41, 163.00, 131.36, 129.42, 114.12, 55.65, 55.62, 52.38, 33.07, 26.99, 22.03, 13.74.

Analytical data matched that reported in literature.^[7]

4-methoxy-*N*-(2-methylpentyl)benzenesulfonamide (2j)



The substrate **2g** was prepared following **General procedure A** on a 5 mmol scale, which was

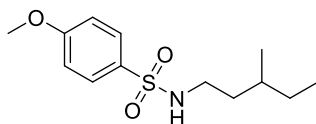
obtained as yellow oil in 94% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.87 – 7.75 (m, 2H), 7.03 – 6.91 (m, 2H), 4.61 (br, 1H), 3.86 (s, 3H), 2.90 – 2.61 (m, 2H), 1.64 – 1.47 (m, 1H), 1.31 – 0.99 (m, 4H), 0.86 – 0.80 (m, 6H).

¹³C NMR (101 MHz, CDCl₃) δ 162.79, 131.73, 129.18, 114.19, 55.59, 49.05, 36.22, 32.87, 19.79, 17.42, 14.12.

Analytical data matched that reported in literature.^[7]

4-methoxy-N-(3-methylpentyl)benzenesulfonamide (2k)



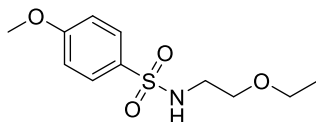
The substrate **2h** was prepared following **General procedure A** on a 5 mmol scale, which was obtained as yellow oil in 87% yield.

¹H NMR (600 MHz, CDCl₃) δ 7.82 – 7.78 (m, 2H), 7.01 – 6.94 (m, 2H), 4.59 (br, 1H), 3.86 (s, 3H), 2.98 – 2.86 (m, 2H), 1.49 – 1.40 (m, 1H), 1.37 – 1.29 (m, 1H), 1.29 – 1.18 (m, 2H), 1.13 – 1.04 (m, 1H), 0.83 – 0.75 (m, 6H).

¹³C NMR (151 MHz, CDCl₃) δ 162.81, 131.58, 129.21, 114.21, 55.61, 41.30, 36.15, 31.75, 29.17, 18.79, 11.14.

HRMS (ESI+) *m/z* Calcd for C₁₃H₂₂NO₃S⁺: 272.1315 [*M*+H]⁺; found: 272.1320.

N-(2-ethoxyethyl)-4-methoxybenzenesulfonamide (2l)



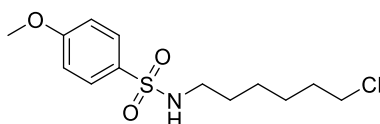
The substrate **2l** was prepared following **General procedure B** on a 10 mmol scale, which was obtained as colorless oil in 82% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.82 – 7.75 (m, 2H), 7.01 – 6.92 (m, 2H), 4.88 (br, 1H), 3.86 (s, 3H), 3.47 – 3.35 (m, 4H), 3.09 (t, *J* = 5.1 Hz, 2H), 1.12 (t, *J* = 7.0 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.88, 131.63, 129.18, 114.23, 68.37, 66.47, 55.60, 42.95, 14.95.

HRMS (ESI+) *m/z* Calcd for C₁₁H₁₈NO₄S⁺: 260.0951 [*M*+H]⁺; found: 260.0958.

N-(6-chlorohexyl)-4-methoxybenzenesulfonamide (2m)



The substrate **2m** was prepared following the **Procedures for preparation of specific compounds**, which was obtained as yellow oil in 90% yield.

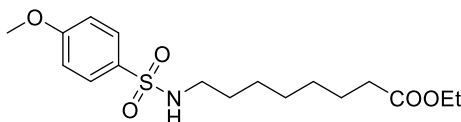
¹H NMR (400 MHz, CDCl₃) δ 7.82 – 7.77 (m, 2H), 7.00 – 6.95 (m, 2H), 4.55 (br, 1H), 3.87 (s, 3H), 3.48 (t, *J* = 6.6 Hz, 2H), 2.92 (t, *J* = 6.7 Hz, 2H), 1.70 (p, *J* = 6.7 Hz, 2H), 1.47 (p, *J* = 7.2 Hz, 2H), 1.41 – 1.24 (m, 4H).

¹³C NMR (101 MHz, CDCl₃) δ 162.87, 131.62, 129.19, 114.25, 55.61, 44.82, 42.99, 32.32, 29.38,

26.29, 25.77.

Analytical data matched that reported in literature.^[7]

ethyl 8-((4-methoxyphenyl)sulfonamido)octanoate (2n)



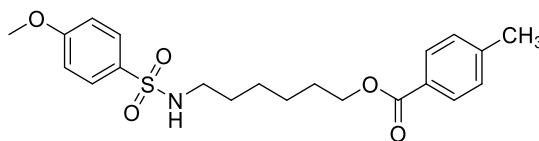
The substrate **2n** was prepared following **General procedure B** on a 5 mmol scale, which was obtained as white solid in 63% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.79 (d, *J* = 8.2 Hz, 2H), 6.97 (d, *J* = 8.2 Hz, 2H), 4.55 (br, 1H), 4.10 (q, *J* = 6.6 Hz, 2H), 3.86 (s, 3H), 2.89 (t, *J* = 6.1 Hz, 2H), 2.25 (t, *J* = 7.1 Hz, 2H), 1.63 – 1.49 (m, 2H), 1.50 – 1.34 (m, 2H), 1.33 – 1.11 (m, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 173.81, 162.81, 131.54, 129.20, 114.21, 60.22, 55.61, 43.12, 34.25, 29.44, 28.88, 28.68, 26.31, 24.77, 14.26.

Analytical data matched that reported in literature.^[7]

6-((4-methoxyphenyl)sulfonamido)hexyl 4-methylbenzoate (2o)



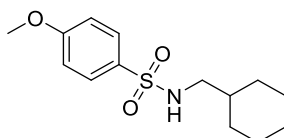
The substrate **2o** was prepared following **General procedure C** on a 1 mmol scale, which was obtained as white solid in 82% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.91 (d, *J* = 5.8 Hz, 2H), 7.83 – 7.75 (m, 2H), 7.23 (d, *J* = 6.6 Hz, 2H), 6.97 (d, *J* = 7.7 Hz, 2H), 4.63 (br, 1H), 4.30 – 4.17 (m, 2H), 3.86 (s, 3H), 2.99 – 2.85 (m, 2H), 2.41 (s, 3H), 1.77 – 1.60 (m, 2H), 1.55 – 1.43 (m, 2H), 1.41 – 1.27 (m, 4H).

¹³C NMR (101 MHz, CDCl₃) δ 166.73, 162.84, 143.50, 131.68, 129.54, 129.19, 129.05, 127.68, 114.23, 64.57, 55.58, 43.03, 29.46, 28.57, 26.16, 25.51, 21.61.

Analytical data matched that reported in literature.^[7]

N-(cyclohexylmethyl)-4-methoxybenzenesulfonamide (2p)



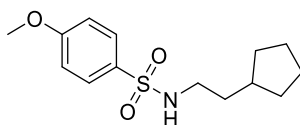
The substrate **2p** was prepared following **General procedure A** on a 5 mmol scale, which was obtained as white solid in 78% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.82 – 7.75 (m, 2H), 7.01 – 6.93 (m, 2H), 4.52 (br, 1H), 3.87 (s, 3H), 2.74 (t, *J* = 5.2 Hz, 2H), 1.73 – 1.58 (m, 5H), 1.45 – 1.32 (m, 1H), 1.27 – 1.03 (m, 3H), 0.84 (td, *J* = 13.2, 9.9 Hz, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 162.77, 131.76, 129.17, 114.18, 55.59, 49.35, 37.73, 30.57, 26.26, 25.65.

Analytical data matched that reported in literature.^[9]

N-(2-cyclopentylethyl)-4-methoxybenzenesulfonamide (2q)



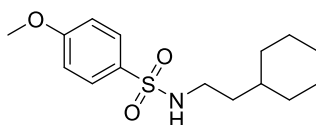
The substrate **2q** was prepared following **General procedure A** on a 5 mmol scale, which was obtained as white solid in 84% yield.

¹H NMR (600 MHz, CDCl₃) δ 7.82 – 7.77 (m, 2H), 6.99 – 6.95 (m, 2H), 4.56 (t, *J* = 6.2 Hz, 1H), 3.86 (s, 3H), 2.92 (td, *J* = 7.4, 5.7 Hz, 2H), 1.76 – 1.64 (m, 3H), 1.59 – 1.51 (m, 2H), 1.50 – 1.42 (m, 4H), 1.04 – 0.95 (m, 2H).

¹³C NMR (151 MHz, CDCl₃) δ 162.80, 131.58, 129.21, 114.20, 55.61, 42.60, 37.30, 35.78, 32.41, 24.99.

HRMS (ESI+) *m/z* Calcd for C₁₄H₂₂NO₃S⁺: 284.1315 [*M*+H]⁺; found: 284.1312.

N-(2-cyclohexylethyl)-4-methoxybenzenesulfonamide (2r)



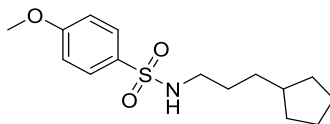
The substrate **2r** was prepared following **General procedure A** on a 5 mmol scale, which was obtained as white solid in 76% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.83 – 7.76 (m, 2H), 7.00 – 6.94 (m, 2H), 4.47 (br, 1H), 3.87 (s, 3H), 2.93 (t, *J* = 7.2 Hz, 2H), 1.72 – 1.47 (m, 5H), 1.37 – 1.28 (m, 2H), 1.29 – 1.03 (m, 4H), 0.87 – 0.75 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 162.81, 131.48, 129.23, 114.21, 55.63, 40.93, 36.92, 34.83, 32.96, 26.40, 26.11.

Analytical data matched that reported in literature.^[9]

N-(3-cyclopentylpropyl)-4-methoxybenzenesulfonamide (2s)



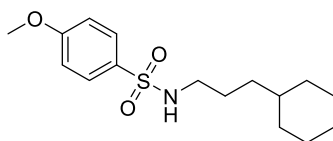
The substrate **2t** was prepared following **General procedure A** on a 5 mmol scale, which was obtained as white solid in 69% yield.

¹H NMR (600 MHz, CDCl₃) δ 7.81 – 7.78 (m, 2H), 7.00 – 6.95 (m, 2H), 4.62 (br, 1H), 3.86 (dd, *J* = 2.2, 1.1 Hz, 3H), 2.90 (t, *J* = 6.5 Hz, 2H), 1.72 – 1.60 (m, 3H), 1.58 – 1.50 (m, 2H), 1.50 – 1.41 (m, 4H), 1.28 – 1.19 (m, 2H), 1.04 – 0.92 (m, 2H).

¹³C NMR (151 MHz, CDCl₃) δ 162.80, 131.64, 129.21, 114.20, 55.61, 43.44, 39.58, 32.95, 32.55, 28.73, 25.09.

HRMS (ESI+) *m/z* Calcd for C₁₅H₂₄NO₃S⁺: 298.1471 [*M*+H]⁺; found: 298.1481.

N-(3-cyclohexylpropyl)-4-methoxybenzenesulfonamide (2t)



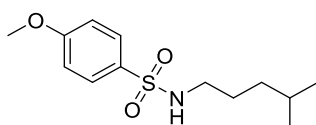
The substrate **2u** was prepared following **General procedure A** on a 5 mmol scale, which was obtained as white solid in 77% yield.

¹H NMR (600 MHz, CDCl₃) δ 7.82 – 7.78 (m, 2H), 7.00 – 6.95 (m, 2H), 4.50 (br, 1H), 3.86 (s, 3H), 2.89 (td, *J* = 7.3, 3.5 Hz, 2H), 1.73 – 1.53 (m, 5H), 1.44 (p, *J* = 6.9 Hz, 2H), 1.21 – 1.05 (m, 6H), 0.86 – 0.73 (m, 2H).

¹³C NMR (151 MHz, CDCl₃) δ 162.81, 131.64, 129.22, 114.21, 55.60, 43.51, 37.14, 34.19, 33.19, 26.88, 26.56, 26.25.

Analytical data matched that reported in literature.^[8]

4-methoxy-N-(4-methylpentyl)benzenesulfonamide (2u)



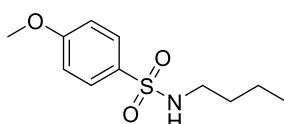
The substrate **2v** was prepared following **General procedure A** on a 5 mmol scale, which was obtained as colorless oil in 91% yield.

¹H NMR (600 MHz, CDCl₃) δ 7.81 – 7.78 (m, 2H), 6.99 – 6.95 (m, 2H), 4.58 (br, 1H), 3.86 (s, 3H), 2.95 – 2.84 (m, 2H), 1.50 – 1.39 (m, 3H), 1.15 – 1.07 (m, 2H), 0.81 (d, *J* = 6.7 Hz, 6H).

¹³C NMR (151 MHz, CDCl₃) δ 162.81, 131.61, 129.21, 114.21, 55.61, 43.48, 35.67, 27.58, 27.41, 22.42.

Analytical data matched that reported in literature.^[9]

N-butyl-4-methoxybenzenesulfonamide (2v)



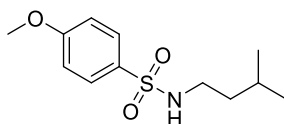
The substrate **2w** was prepared following **General procedure A** on a 10 mmol scale, which was obtained as colorless oil in 94% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.83 – 7.76 (m, 2H), 6.99 – 6.93 (m, 2H), 4.73 (br, 1H), 3.86 (s, 3H), 2.90 (t, *J* = 7.1 Hz, 2H), 1.42 (p, *J* = 7.2 Hz, 2H), 1.27 (h, *J* = 7.2 Hz, 2H), 0.83 (t, *J* = 7.3 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.79, 131.52, 129.21, 114.21, 55.62, 42.88, 31.51, 19.71, 13.55.

Analytical data matched that reported in literature.^[8]

N-isopentyl-4-methoxybenzenesulfonamide (2w)



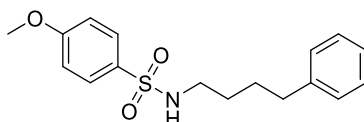
The substrate **2x** was prepared following **General procedure B** on a 5 mmol scale, which was obtained as white solid in 86% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.83 – 7.78 (m, 2H), 7.00 – 6.94 (m, 2H), 4.60 (br, 1H), 3.86 (s, 3H), 2.92 (t, *J* = 7.4 Hz, 2H), 1.57 (dp, *J* = 13.4, 6.7 Hz, 1H), 1.32 (q, *J* = 7.2 Hz, 2H), 0.81 (d, *J* = 6.8 Hz, 6H).

¹³C NMR (101 MHz, CDCl₃) δ 162.82, 131.49, 129.24, 114.23, 55.63, 41.45, 38.30, 25.42, 22.26.

Analytical data matched that reported in literature.^[8]

4-methoxy-*N*-(4-phenylbutyl)benzenesulfonamide (2x)



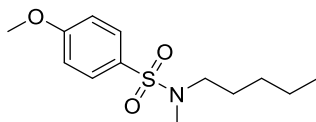
The substrate **2s** was prepared following **General procedure A** on a 5 mmol scale, which was obtained as white solid in 87% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.81 – 7.75 (m, 2H), 7.29 – 7.04 (m, 5H), 6.99 – 6.93 (m, 2H), 4.60 (t, *J* = 6.3 Hz, 1H), 3.85 (s, 3H), 2.93 (q, *J* = 6.5 Hz, 2H), 2.54 (t, *J* = 7.5 Hz, 2H), 1.63 – 1.53 (m, 2H), 1.52 – 1.43 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 162.84, 141.78, 131.63, 129.19, 128.33, 125.84, 114.24, 55.60, 43.02, 35.24, 29.09, 28.21.

Analytical data matched that reported in literature.^[7]

4-methoxy-*N*-methyl-*N*-pentylbenzenesulfonamide (2y)



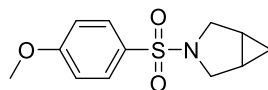
The substrate **2y** was prepared following **General procedure A** on a 5 mmol scale, which was obtained as colorless oil in 91% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.74 – 7.67 (m, 2H), 7.00 – 6.95 (m, 2H), 3.86 (s, 3H), 2.99 – 2.92 (m, 2H), 2.68 (s, 3H), 1.51 (p, *J* = 7.3 Hz, 2H), 1.38 – 1.23 (m, 4H), 0.88 (t, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.74, 129.48, 129.42, 114.13, 55.55, 50.09, 34.53, 28.67, 27.27, 22.24, 13.91.

HRMS (ESI+) *m/z* Calcd for C₁₃H₂₂NO₃S⁺: 272.1315 [*M*+H]⁺; found: 272.1319.

3-((4-methoxyphenyl)sulfonyl)-3-azabicyclo[3.1.0]hexane (2z)



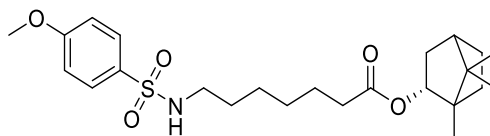
The substrate **2z** was prepared following **General procedure A** on a 2 mmol scale, which was obtained as white solid in 88% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.76 – 7.68 (m, 2H), 7.02 – 6.95 (m, 2H), 3.87 (s, 3H), 3.49 (d, *J* = 9.1 Hz, 2H), 3.04 (dt, *J* = 9.2, 1.8 Hz, 2H), 1.42 – 1.37 (m, 2H), 0.54 (td, *J* = 7.8, 5.1 Hz, 1H), 0.37 (q, *J* = 4.3 Hz, 1H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.87, 129.60, 128.29, 114.09, 55.60, 49.80, 15.60, 7.58.

HRMS (ESI+) m/z Calcd for $\text{C}_{12}\text{H}_{16}\text{NO}_3\text{S}^+$: 254.0845 $[M+\text{H}]^+$; found: 254.0844.

(2R)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl 7-((4-methoxyphenyl)sulfonamido)heptanoate (2aa)



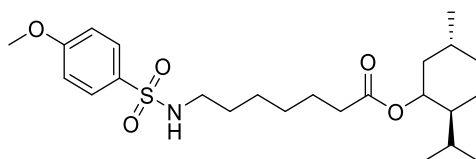
The substrate **2aa** was prepared following **General procedure D** on a 2 mmol scale, which was obtained as colorless oil in 65% yield.

^1H NMR (400 MHz, CDCl_3) δ 7.83 – 7.73 (m, 2H), 7.00 – 6.94 (m, 2H), 4.86 (ddd, J = 10.0, 3.5, 2.1 Hz, 1H), 4.60 (t, J = 6.3 Hz, 1H), 3.86 (s, 3H), 2.90 (q, J = 6.6 Hz, 2H), 2.37 – 2.29 (m, 1H), 2.26 (t, J = 7.4 Hz, 2H), 1.90 (ddd, J = 12.4, 9.3, 4.5 Hz, 1H), 1.78 – 1.64 (m, 2H), 1.56 (p, J = 7.3 Hz, 2H), 1.49 – 1.41 (m, 2H), 1.37 – 1.15 (m, 7H), 0.89 (s, 3H), 0.86 (s, 3H), 0.80 (s, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 173.99, 162.81, 131.54, 129.20, 114.21, 79.68, 55.62, 48.73, 47.78, 44.88, 43.09, 36.84, 34.48, 29.38, 28.56, 28.06, 27.12, 26.22, 24.88, 19.71, 18.85, 13.53.

HRMS (ESI+) m/z Calcd for $\text{C}_{24}\text{H}_{38}\text{NO}_5\text{S}^+$: 452.2465 $[M+\text{H}]^+$; found: 452.2478.

(2R,5S)-2-isopropyl-5-methylcyclohexyl 7-((4-methoxyphenyl)sulfonamido)heptanoate (2ab)



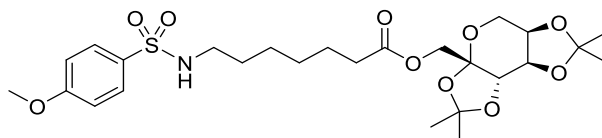
The substrate **2ab** was prepared following **General procedure D** on a 2 mmol scale, which was obtained as colorless oil in 67% yield.

^1H NMR (400 MHz, CDCl_3) δ 7.79 (d, J = 8.9 Hz, 2H), 6.97 (d, J = 8.9 Hz, 2H), 4.65 (td, J = 10.9, 4.2 Hz, 1H), 4.51 (br, 1H), 3.86 (s, 3H), 2.90 (t, J = 7.2 Hz, 2H), 2.23 (t, J = 7.4 Hz, 2H), 1.99 – 1.90 (m, 1H), 1.89 – 1.77 (m, 1H), 1.72 – 1.61 (m, 2H), 1.61 – 1.50 (m, 2H), 1.50 – 1.39 (m, 3H), 1.39 – 1.29 (m, 1H), 1.29 – 1.21 (m, 4H), 1.10 – 0.80 (m, 9H), 0.73 (d, J = 6.8 Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 173.25, 162.81, 131.53, 129.20, 114.22, 73.99, 55.61, 46.99, 43.08, 40.94, 34.51, 34.25, 31.38, 29.38, 28.53, 26.25, 26.19, 24.85, 23.40, 22.04, 20.77, 16.29.

HRMS (ESI+) m/z Calcd for $\text{C}_{24}\text{H}_{40}\text{NO}_5\text{S}^+$: 454.2622 $[M+\text{H}]^+$; found: 454.2615.

((3aS,5aR,8aR,8bS)-2,2,7,7-tetramethyltetrahydro-3aH-bis([1,3]dioxolo)[4,5-b:4',5'-d]pyran-3a-yl)methyl 7-((4-methoxyphenyl)sulfonamido)heptanoate (2ac)



The substrate **2ac** was prepared following **General procedure D** on a 3 mmol scale, which was obtained as colorless oil in 61% yield.

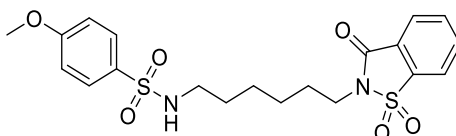
^1H NMR (600 MHz, CDCl_3) δ 7.76 – 7.70 (m, 2H), 6.90 (td, J = 6.1, 2.4 Hz, 2H), 5.16 – 4.96 (m, 1H), 4.53 (td, J = 6.4, 4.9, 2.5 Hz, 1H), 4.31 (dd, J = 11.9, 4.5 Hz, 1H), 4.23 (dd, J = 4.6, 2.3 Hz,

1H), 4.17 (dt, $J = 6.3, 3.1$ Hz, 1H), 3.94 (dd, $J = 12.5, 5.5$ Hz, 1H), 3.83 (dt, $J = 13.2, 2.7$ Hz, 1H), 3.79 (d, $J = 4.2$ Hz, 3H), 3.67 (dd, $J = 13.2, 5.5$ Hz, 1H), 2.81 (p, $J = 6.7$ Hz, 2H), 2.24 (q, $J = 6.6, 5.8$ Hz, 2H), 1.53 – 1.44 (m, 5H), 1.41 – 1.34 (m, 5H), 1.32 (d, $J = 4.9$ Hz, 3H), 1.26 (d, $J = 5.2$ Hz, 3H), 1.18 (tt, $J = 7.0, 3.8$ Hz, 4H).

^{13}C NMR (151 MHz, CDCl_3) δ 172.77, 162.69, 131.64, 129.08, 114.14, 108.98, 108.63, 101.46, 70.69, 70.44, 69.97, 64.98, 61.14, 55.54, 42.94, 33.81, 29.20, 28.42, 26.41, 26.09, 25.82, 25.18, 24.45, 24.01.

HRMS (ESI+) m/z Calcd for $\text{C}_{26}\text{H}_{40}\text{NO}_{10}\text{S}^+$: 558.2367 $[M+\text{H}]^+$; found: 558.2374.

***N*-(6-(1,1-dioxido-3-oxobenzo[*d*]isothiazol-2(3*H*)-yl)hexyl)-4-methoxybenzenesulfonamide (2ad)**



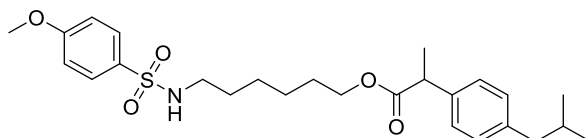
The substrate **2ac** was prepared following the **procedures for preparation of specific compounds** on a 5 mmol scale, which was obtained as white solid in 52% yield.

^1H NMR (400 MHz, CDCl_3) δ 8.06 – 8.02 (m, 1H), 7.93 – 7.77 (m, 5H), 6.98 – 6.94 (m, 2H), 4.62 (t, $J = 6.2$ Hz, 1H), 3.85 (s, 3H), 3.72 (t, $J = 7.4$ Hz, 2H), 2.91 (q, $J = 6.7$ Hz, 2H), 1.83 – 1.73 (m, 2H), 1.51 – 1.41 (m, 2H), 1.36 – 1.29 (m, 4H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.80, 159.02, 137.61, 134.77, 134.37, 131.55, 129.21, 127.35, 125.16, 120.92, 114.23, 55.62, 42.95, 39.12, 29.28, 28.15, 26.07, 25.85.

Analytical data matched that reported in literature.^[7]

6-((4-methoxyphenyl)sulfonamido)hexyl 2-(4-isobutylphenyl)propanoate (2ae)



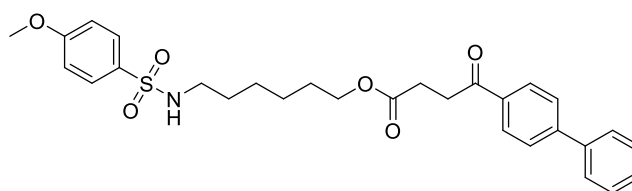
The substrate **2ad** was prepared following **General procedure C** on a 2 mmol scale, which was obtained as colorless oil in 80% yield.

^1H NMR (400 MHz, CDCl_3) δ 7.82 – 7.77 (m, 2H), 7.20 – 7.15 (m, 2H), 7.09 – 7.05 (m, 2H), 6.99 – 6.95 (m, 2H), 4.55 (br, 1H), 4.00 (t, $J = 6.6$ Hz, 2H), 3.86 (s, 3H), 3.66 (q, $J = 7.1$ Hz, 1H), 2.95 – 2.79 (m, 2H), 2.43 (d, $J = 7.2$ Hz, 2H), 1.83 (dp, $J = 13.6, 6.8$ Hz, 1H), 1.54 – 1.44 (m, 5H), 1.39 (p, $J = 7.2$ Hz, 2H), 1.27 – 1.12 (m, 4H), 0.88 (d, $J = 6.6$ Hz, 6H).

^{13}C NMR (101 MHz, CDCl_3) δ 174.75, 162.85, 140.44, 137.86, 131.73, 129.24, 129.18, 127.12, 114.22, 64.36, 55.58, 45.18, 45.01, 42.99, 30.13, 29.41, 28.33, 26.00, 25.22, 22.35, 18.41.

HRMS (ESI+) m/z Calcd for $\text{C}_{26}\text{H}_{38}\text{NO}_5\text{S}^+$: 476.2465 $[M+\text{H}]^+$; found: 476.2477.

6-((4-methoxyphenyl)sulfonamido)hexyl 4-([1,1'-biphenyl]-4-yl)-4-oxobutanoate (2af)



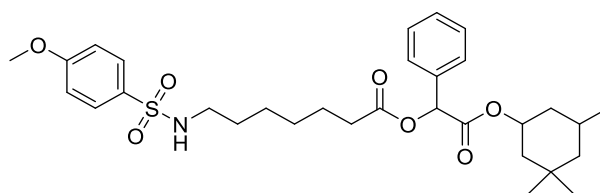
The substrate **2ae** was prepared following **General procedure C** on a 2 mmol scale, which was obtained as colorless oil in 71% yield.

¹H NMR (400 MHz, CDCl₃) δ 8.09 – 8.01 (m, 2H), 7.82 – 7.76 (m, 2H), 7.71 – 7.66 (m, 2H), 7.64 – 7.60 (m, 2H), 7.50 – 7.36 (m, 3H), 6.99 – 6.93 (m, 2H), 4.82 (t, *J* = 6.2 Hz, 1H), 4.06 (t, *J* = 6.6 Hz, 2H), 3.84 (s, 3H), 3.33 (t, *J* = 6.5 Hz, 2H), 2.90 (q, *J* = 6.5 Hz, 2H), 2.76 (t, *J* = 6.5 Hz, 2H), 1.61 – 1.52 (m, 2H), 1.44 (t, *J* = 7.0 Hz, 2H), 1.33 – 1.23 (m, *J* = 3.9, 3.0 Hz, 4H).

¹³C NMR (101 MHz, CDCl₃) δ 197.88, 173.01, 162.78, 145.88, 139.79, 135.24, 131.62, 129.19, 128.99, 128.67, 128.28, 127.26, 114.22, 64.55, 55.62, 43.03, 33.42, 29.38, 28.39, 28.32, 26.09, 25.40.

HRMS (ESI⁺) *m/z* Calcd for C₂₉H₃₄NO₆S⁺: 524.2101 [*M*+H]⁺; found: 524.2104.

2-oxo-1-phenyl-2-((3,3,5-trimethylcyclohexyl)oxy)ethyl 7-((4-methoxyphenyl)sulfonamido)hexanoate (2ag)



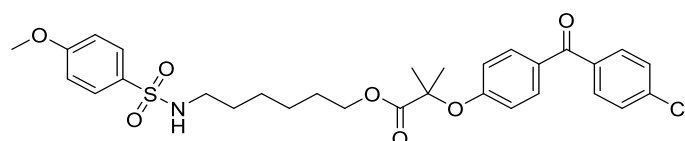
The substrate **2ag** was prepared following **General procedure D** on a 2 mmol scale, which was obtained as colorless oil in 89% yield.

¹H NMR (400 MHz, CDCl₃) δ 7.83 – 7.75 (m, 2H), 7.48 – 7.41 (m, 2H), 7.41 – 7.34 (m, 3H), 7.01 – 6.92 (m, 2H), 5.84 (d, *J* = 1.6 Hz, 1H), 4.91 (tt, *J* = 11.6, 4.4 Hz, 1H), 4.55 (br, 1H), 3.85 (s, 3H), 2.91 (q, *J* = 6.5 Hz, 2H), 2.50 – 2.31 (m, 2H), 1.89 (dd, *J* = 84.0, 12.1 Hz, 1H), 1.74 – 1.40 (m, 6H), 1.34 – 1.24 (m, 5H), 1.04 (dt, *J* = 61.7, 12.1 Hz, 1H), 0.93 – 0.83 (m, 9H), 0.81 – 0.63 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 173.01, 168.48, 168.46, 162.81, 134.05, 134.04, 131.61, 129.21, 129.09, 128.73, 127.53, 127.51, 114.23, 74.64, 74.62, 72.81, 55.61, 47.42, 43.63, 43.34, 43.03, 40.09, 39.76, 33.77, 32.96, 32.93, 32.29, 32.24, 29.30, 28.40, 27.04, 26.97, 26.10, 25.45, 25.42, 24.55, 22.23, 22.20.

Analytical data matched that reported in literature.^[7]

6-((4-methoxyphenyl)sulfonamido)hexyl 2-(4-(4-chlorobenzoyl)phenoxy)-2-methylpropanoate (2ah)



The substrate **2af** was prepared following **General procedure C** on a 1 mmol scale, which was obtained as colorless oil in 82% yield.

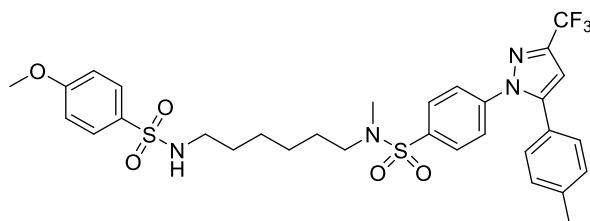
¹H NMR (400 MHz, CDCl₃) δ 7.83 – 7.77 (m, 2H), 7.73 – 7.66 (m, 4H), 7.47 – 7.42 (m, 2H), 6.99

– 6.94 (m, 2H), 6.86 – 6.81 (m, 2H), 4.71 (t, $J = 5.0$ Hz, 1H), 4.11 (t, $J = 6.4$ Hz, 2H), 3.86 (s, 3H), 2.83 (q, $J = 6.7$ Hz, 2H), 1.67 (s, 6H), 1.57 – 1.44 (m, 2H), 1.34 – 1.27 (m, 2H), 1.19 – 1.13 (m, 2H), 1.11 – 1.02 (m, 2H)

^{13}C NMR (101 MHz, CDCl_3) δ 194.51, 173.72, 162.77, 159.78, 138.59, 136.18, 132.01, 131.68, 131.27, 130.26, 129.20, 128.60, 116.95, 114.19, 79.43, 65.51, 55.61, 43.06, 29.48, 28.22, 26.05, 25.46, 25.33.

Analytical data matched that reported in literature.^[7]

N-(6-((4-methoxyphenyl)sulfonamido)hexyl)-N-methyl-4-(5-(p-tolyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)benzenesulfonamide (2ai)



The substrate **2ah** was prepared following the **procedures for preparation of specific compounds** on a 2 mmol scale, which was obtained as colorless oil in 45% yield.

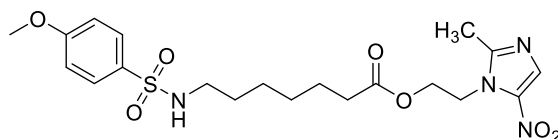
^1H NMR (400 MHz, CDCl_3) δ 7.83 – 7.72 (m, 4H), 7.51 – 7.43 (m, 2H), 7.16 (d, $J = 7.9$ Hz, 2H), 7.09 (d, $J = 8.2$ Hz, 2H), 7.02 – 6.92 (m, 2H), 6.74 (s, 1H), 4.75 – 4.67 (m, 1H), 3.85 (s, 3H), 2.98 – 2.85 (m, 4H), 2.68 (s, 3H), 2.36 (s, 3H), 1.52 – 1.39 (m, 4H), 1.33 – 1.21 (m, 4H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.81, 145.31, 144.08 (q, $J_{\text{F-C}} = 38.5$ Hz), 142.43, 139.82, 137.15, 131.62, 129.74, 129.18, 128.70, 128.29, 125.61, 121.06 (q, $J_{\text{F-C}} = 269.4$ Hz), 114.24, 106.21, 55.62, 49.79, 42.88, 34.51, 29.36, 27.20, 25.81, 25.61, 21.31.

^{19}F NMR (376 MHz, CDCl_3) δ -62.40.

Analytical data matched that reported in literature.^[7]

2-(2-methyl-5-nitro-1H-imidazol-1-yl)ethyl 7-((4-methoxyphenyl)sulfonamido)heptanoate (2ai)



The substrate **2ai** was prepared following **General procedure D** on a 1 mmol scale, which was obtained as yellow solid in 58% yield.

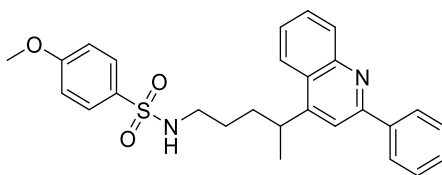
^1H NMR (400 MHz, CDCl_3) δ 7.89 (s, 1H), 7.78 – 7.73 (m, 2H), 6.97 – 6.91 (m, 2H), 5.12 (t, $J = 6.1$ Hz, 1H), 4.56 (t, $J = 5.2$ Hz, 2H), 4.37 (t, $J = 5.2$ Hz, 2H), 3.84 (s, 3H), 2.85 (q, $J = 6.7$ Hz, 2H), 2.49 (s, 3H), 2.19 (t, $J = 7.4$ Hz, 2H), 1.50 – 1.36 (m, 4H), 1.26 – 1.13 (m, 4H).

^{13}C NMR (101 MHz, CDCl_3) δ 172.89, 162.75, 150.98, 138.52, 132.95, 131.57, 129.12, 114.20, 62.30, 55.63, 45.07, 42.95, 33.61, 29.18, 28.30, 26.02, 24.36, 14.37.

HRMS (ESI+) m/z Calcd for $\text{C}_{20}\text{H}_{29}\text{N}_4\text{O}_7\text{S}^+$: 469.1751 [$M+\text{H}$] $^+$; found: 469.1746.

7.2 Characterization data for products

4-methoxy-N-(4-(2-phenylquinolin-4-yl)pentyl)benzenesulfonamide (3)



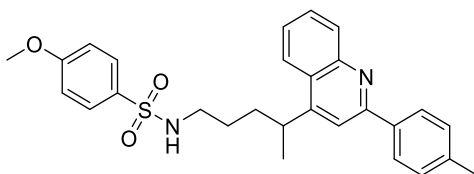
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (79 mg, 86% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 8.21 (d, *J* = 8.3 Hz, 1H), 8.11 (d, *J* = 7.5 Hz, 2H), 8.01 (d, *J* = 8.4 Hz, 1H), 7.73 – 7.66 (m, 4H), 7.55 – 7.42 (m, 4H), 6.86 (d, *J* = 8.4 Hz, 2H), 4.83 (t, *J* = 5.7 Hz, 1H), 3.79 (s, 3H), 3.56 (h, *J* = 7.4 Hz, 1H), 2.89 (q, *J* = 6.9 Hz, 2H), 1.87 – 1.67 (m, 2H), 1.57 – 1.40 (m, 2H), 1.36 (d, *J* = 6.6 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.77, 157.14, 153.74, 148.41, 139.81, 131.39, 130.54, 129.35, 129.33, 129.11, 128.84, 127.66, 126.22, 126.04, 122.75, 115.58, 114.18, 55.58, 43.11, 34.03, 33.21, 27.53, 21.29.

HRMS (ESI⁺) *m/z* Calcd for C₂₇H₂₉N₂O₃S⁺: 461.1893 [*M*+H]⁺; found: 461.1896.

4-methoxy-*N*-(4-(2-(*p*-tolyl)quinolin-4-yl)pentyl)benzenesulfonamide (4)



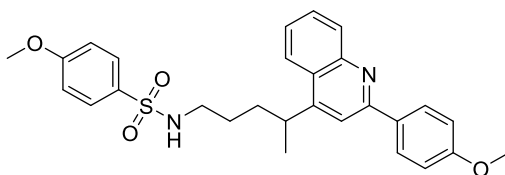
Prepared from 2-(*p*-tolyl)quinoline (43.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (90 mg, 95% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 8.19 (dd, *J* = 8.5, 1.3 Hz, 1H), 8.04 – 8.01 (m, 2H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.74 – 7.64 (m, 4H), 7.49 (ddd, *J* = 8.3, 6.8, 1.3 Hz, 1H), 7.31 (d, *J* = 7.9 Hz, 2H), 6.87 – 6.82 (m, 2H), 5.03 (t, *J* = 6.2 Hz, 1H), 3.77 (s, 3H), 3.52 (h, *J* = 6.9 Hz, 1H), 2.87 (q, *J* = 6.7 Hz, 2H), 2.42 (s, 3H), 1.85 – 1.65 (m, 2H), 1.54 – 1.38 (m, 2H), 1.34 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.76, 157.13, 153.37, 148.60, 139.30, 137.15, 131.43, 130.59, 129.56, 129.16, 129.11, 127.48, 125.96, 122.73, 115.35, 114.18, 55.58, 43.13, 34.02, 33.18, 27.53, 21.38, 21.31.

HRMS (ESI⁺) *m/z* Calcd for C₂₈H₃₁N₂O₃S⁺: 475.2050 [*M*+H]⁺; found: 475.2059.

4-methoxy-*N*-(4-(2-(4-methoxyphenyl)quinolin-4-yl)pentyl)benzenesulfonamide (5)



Prepared from 2-(4-methoxyphenyl)quinoline (47.0 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-

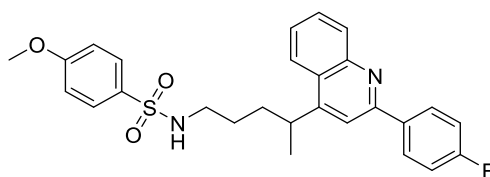
pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (77 mg, 79% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.16 (d, *J* = 8.3 Hz, 1H), 8.12 – 8.06 (m, 2H), 7.97 (d, *J* = 8.4 Hz, 1H), 7.73 – 7.64 (m, 3H), 7.62 (s, 1H), 7.47 (ddd, *J* = 8.4, 6.9, 1.3 Hz, 1H), 7.04 – 6.99 (m, 2H), 6.87 – 6.82 (m, 2H), 4.99 (t, *J* = 6.2 Hz, 1H), 3.86 (s, 3H), 3.77 (s, 3H), 3.51 (h, *J* = 7.0 Hz, 1H), 2.87 (q, *J* = 6.7 Hz, 2H), 1.86 – 1.64 (m, 2H), 1.52 – 1.37 (m, 2H), 1.33 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.76, 160.74, 156.70, 153.32, 148.56, 132.48, 131.41, 130.42, 129.16, 129.10, 128.92, 125.79, 125.78, 122.71, 115.04, 114.20, 114.18, 55.57, 55.42, 43.14, 34.01, 33.18, 27.54, 21.31.

HRMS (ESI+) *m/z* Calcd for C₂₈H₃₁N₂O₄S⁺: 491.1999 [*M*+H]⁺; found: 491.1996.

N-(4-(2-(4-fluorophenyl)quinolin-4-yl)pentyl)-4-methoxybenzenesulfonamide (6)



Prepared from 2-(4-fluorophenyl)quinoline (44.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (80 mg, 84% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

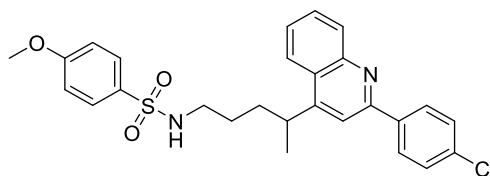
¹H NMR (400 MHz, CDCl₃) δ 8.16 (d, *J* = 8.4 Hz, 1H), 8.12 (dd, *J* = 8.1, 5.6 Hz, 2H), 8.00 (d, *J* = 8.5 Hz, 1H), 6.73 – 6.66 (m, 3H), 7.62 (s, 1H), 7.54 – 7.47 (m, 1H), 7.20 – 7.14 (m, 2H), 6.88 – 6.82 (m, 2H), 5.07 (t, *J* = 6.2 Hz, 1H), 3.78 (s, 3H), 3.53 (h, *J* = 7.0 Hz, 1H), 2.88 (q, *J* = 6.6 Hz, 2H), 1.86 – 1.67 (m, 2H), 1.55 – 1.38 (m, 2H), 1.34 (d, *J* = 6.8 Hz, 3H).

¹⁹F NMR (376 MHz, CDCl₃) δ -112.54.

¹³C NMR (101 MHz, CDCl₃) δ 163.72 (d, *J*_{F-C} = 248.9 Hz), 162.79, 156.03, 153.77, 148.48, 136.05 (d, *J*_{F-C} = 3.3 Hz), 131.37, 130.54, 129.47 (d, *J*_{F-C} = 8.4 Hz), 129.35, 129.09, 126.21, 125.93, 122.75, 115.71 (d, *J*_{F-C} = 21.3 Hz), 115.13, 114.19, 55.57, 43.12, 34.00, 33.24, 27.55, 21.30.

HRMS (ESI+) *m/z* Calcd for C₂₇H₂₈FN₂O₃S⁺: 479.1799 [*M*+H]⁺; found: 479.1791.

N-(4-(2-(4-chlorophenyl)quinolin-4-yl)pentyl)-4-methoxybenzenesulfonamide (7)



Prepared from 2-(4-chlorophenyl)quinoline (47.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as white solid (75 mg, 76% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

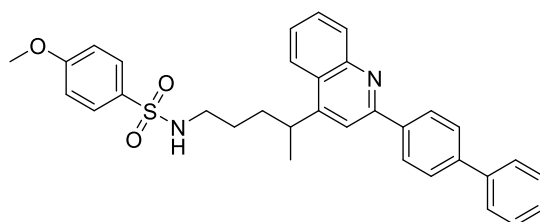
¹H NMR (400 MHz, CDCl₃) δ 8.17 (dd, *J* = 8.4, 1.3 Hz, 1H), 8.09 – 8.05 (m, 2H), 8.00 (dd, *J* = 8.6, 1.3 Hz, 1H), 7.73 – 7.66 (m, 3H), 7.63 (s, 1H), 7.51 (ddd, *J* = 8.3, 6.8, 1.3 Hz, 1H), 7.47 – 7.43 (m,

2H), 6.87 – 6.82 (m, 2H), 5.10 (t, $J = 6.2$ Hz, 1H), 3.78 (s, 3H), 3.53 (h, $J = 6.9$ Hz, 1H), 2.88 (q, $J = 6.7$ Hz, 2H), 1.88 – 1.66 (m, 2H), 1.56 – 1.36 (m, 2H), 1.34 (d, $J = 6.8$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.78, 155.79, 153.88, 148.48, 138.29, 135.39, 131.36, 130.60, 129.41, 129.09, 128.95, 128.90, 126.36, 126.08, 122.78, 115.07, 114.19, 55.58, 43.11, 33.98, 33.27, 27.55, 21.31.

HRMS (ESI+) m/z Calcd for $\text{C}_{27}\text{H}_{28}\text{ClN}_2\text{O}_3\text{S}^+$: 495.1504 $[M+\text{H}]^+$; found: 495.1516.

***N*-(4-(2-([1,1'-biphenyl]-4-yl)quinolin-4-yl)pentyl)-4-methoxybenzenesulfonamide (8)**



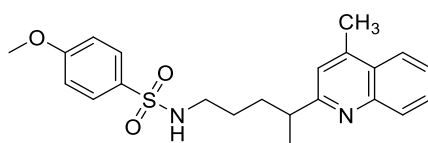
Prepared from 2-([1,1'-biphenyl]-4-yl)quinoline (56.2 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (41 mg, 38% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

^1H NMR (400 MHz, CDCl_3) δ 8.26 – 8.18 (m, 3H), 8.02 (dd, $J = 8.6, 1.3$ Hz, 1H), 7.77 – 7.65 (m, 8H), 7.56 – 7.45 (m, 3H), 7.41 – 7.36 (m, 1H), 6.89 – 6.84 (m, 2H), 4.78 (t, $J = 6.2$ Hz, 1H), 3.79 (s, 3H), 3.57 (h, $J = 6.8$ Hz, 1H), 2.91 (q, $J = 6.7$ Hz, 2H), 1.90 – 1.70 (m, 2H), 1.58 – 1.42 (m, 2H), 1.39 (d, $J = 6.8$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.80, 156.68, 153.57, 148.59, 142.00, 140.57, 138.75, 131.40, 130.65, 129.33, 129.13, 128.89, 128.03, 127.63, 127.53, 127.14, 126.20, 126.08, 122.73, 115.40, 114.20, 55.58, 43.16, 34.07, 33.24, 27.60, 21.37.

HRMS (ESI+) m/z Calcd for $\text{C}_{33}\text{H}_{33}\text{N}_2\text{O}_3\text{S}^+$: 537.2206 $[M+\text{H}]^+$; found: 537.2191.

4-methoxy-*N*-(4-(4-methylquinolin-2-yl)pentyl)benzenesulfonamide (9)



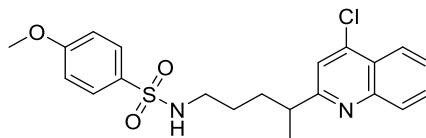
Prepared from 4-methylquinoline (28.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (61 mg, 77% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

^1H NMR (600 MHz, CDCl_3) δ 8.08 (dd, $J = 8.4, 1.2$ Hz, 1H), 7.93 (dd, $J = 8.3, 1.4$ Hz, 1H), 7.74 – 7.70 (m, 2H), 7.67 (ddd, $J = 8.3, 6.8, 1.4$ Hz, 1H), 7.50 (ddd, $J = 8.2, 6.8, 1.2$ Hz, 1H), 7.07 (s, 1H), 6.88 – 6.84 (m, 2H), 5.62 (t, $J = 4.7$ Hz, 1H), 3.80 (s, 3H), 2.95 (ddt, $J = 18.9, 12.9, 6.7$ Hz, 2H), 2.86 (dq, $J = 12.3, 6.5$ Hz, 1H), 2.65 (s, 3H), 1.81 (dtd, $J = 14.1, 9.1, 5.2$ Hz, 1H), 1.63 (ddt, $J = 13.7, 9.3, 6.3$ Hz, 1H), 1.44 (ddq, $J = 13.5, 9.0, 6.7$ Hz, 1H), 1.40 – 1.32 (m, 1H), 1.27 (d, $J = 7.0$ Hz, 3H).

^{13}C NMR (151 MHz, CDCl_3) δ 165.61, 162.61, 147.21, 144.92, 131.69, 129.33, 129.25, 129.14, 127.02, 125.71, 123.61, 120.27, 114.08, 55.56, 43.11, 41.71, 33.74, 27.11, 21.08, 18.86.

HRMS (ESI+) m/z Calcd for $C_{22}H_{27}N_2O_3S^+$: 399.1737 $[M+H]^+$; found: 399.1738.

N-(4-(4-chloroquinolin-2-yl)pentyl)-4-methoxybenzenesulfonamide (10)



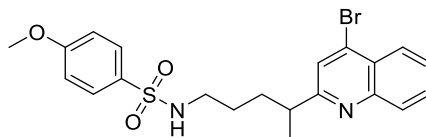
Prepared from 4-chloroquinoline (32.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (61 mg, 73% yield) after silica gel column chromatography using petroleum ether/EtOAc (5:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 8.17 (d, J = 8.3 Hz, 1H), 8.09 (d, J = 8.4 Hz, 1H), 7.78 – 7.70 (m, 3H), 7.59 (t, J = 7.5 Hz, 1H), 7.33 (s, 1H), 6.88 (d, J = 8.3 Hz, 2H), 5.28 – 5.23 (m, 1H), 3.81 (s, 3H), 3.02 – 2.83 (m, 3H), 1.87 – 1.76 (m, 1H), 1.72 – 1.58 (m, 1H), 1.54 – 1.32 (m, 2H), 1.29 (d, J = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 165.98, 162.69, 148.36, 143.05, 131.56, 130.51, 129.24, 129.14, 126.95, 125.14, 123.93, 119.84, 114.12, 55.58, 43.05, 41.81, 33.53, 27.16, 20.92.

HRMS (ESI+) m/z Calcd for $C_{21}H_{24}ClN_2O_3S^+$: 419.1191 $[M+H]^+$; found: 419.1195.

N-(4-(4-bromoquinolin-2-yl)pentyl)-4-methoxybenzenesulfonamide (11)



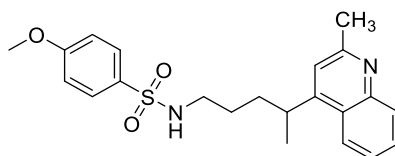
Prepared from 4-bromoquinoline (41.4 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (60 mg, 65% yield) after silica gel column chromatography using petroleum ether/EtOAc (5:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.11 (d, J = 8.3 Hz, 1H), 8.05 (d, J = 8.4 Hz, 1H), 7.77 – 7.66 (m, 3H), 7.57 (t, J = 7.4 Hz, 1H), 7.52 (s, 1H), 6.90 – 6.83 (m, 2H), 5.37 (t, J = 6.0 Hz, 1H), 3.79 (s, 3H), 3.02 – 2.81 (m, 3H), 1.86 – 1.75 (m, 1H), 1.69 – 1.56 (m, 1H), 1.53 – 1.31 (m, 2H), 1.28 (d, J = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 165.97, 162.67, 148.09, 134.65, 131.55, 130.50, 129.26, 129.14, 127.20, 126.57, 126.48, 123.73, 114.12, 55.58, 43.04, 41.67, 33.51, 27.20, 20.88.

HRMS (ESI+) m/z Calcd for $C_{21}H_{24}BrN_2O_3S^+$: 463.0686 $[M+H]^+$; found: 463.0689.

4-methoxy-N-(4-(2-methylquinolin-4-yl)pentyl)benzenesulfonamide (12)



Prepared from 2-methylquinoline (28.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (59 mg, 74% yield) after silica gel column chromatography

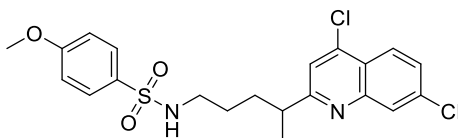
using petroleum ether/EtOAc (3:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 7.99 (d, *J* = 8.3 Hz, 1H), 7.94 (d, *J* = 8.4 Hz, 1H), 7.74 – 7.68 (m, 2H), 7.61 (ddd, *J* = 8.4, 6.8, 1.5 Hz, 1H), 7.44 (ddd, *J* = 8.3, 6.8, 1.4 Hz, 1H), 7.07 (s, 1H), 6.89 – 6.84 (m, 2H), 5.17 (t, *J* = 6.5 Hz, 1H), 3.80 (s, 3H), 3.45 (h, *J* = 6.6 Hz, 1H), 2.88 (q, *J* = 6.7 Hz, 2H), 2.66 (s, 3H), 1.81 – 1.58 (m, 2H), 1.52 – 1.34 (m, 2H), 1.27 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.76, 158.68, 153.06, 147.90, 131.42, 129.30, 129.10, 129.04, 125.56, 125.31, 122.70, 118.44, 114.16, 55.60, 43.10, 33.97, 32.86, 27.50, 25.33, 21.20.

HRMS (ESI⁺) *m/z* Calcd for C₂₂H₂₇N₂O₃S⁺: 399.1737 [*M*+H]⁺; found: 399.1743.

N-(4-(4,7-dichloroquinolin-2-yl)pentyl)-4-methoxybenzenesulfonamide (13)



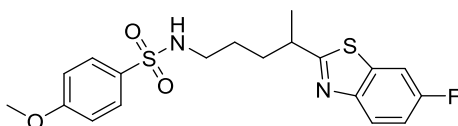
Prepared from 4,7-dichloroquinoline (39.4 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (39 mg, 43% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.10 (d, *J* = 8.9 Hz, 1H), 8.07 (s, 1H), 7.77 – 7.71 (m, 2H), 7.53 (dd, *J* = 8.9, 2.1 Hz, 1H), 7.32 (s, 1H), 6.94 – 6.87 (m, 2H), 4.95 (t, *J* = 6.0 Hz, 1H), 3.83 (s, 3H), 3.02 – 2.85 (m, 3H), 1.86 – 1.75 (m, 1H), 1.70 – 1.58 (m, 1H), 1.51 – 1.33 (m, 2H), 1.29 (d, *J* = 6.7 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 167.38, 162.75, 148.77, 142.97, 136.53, 131.52, 129.14, 128.23, 127.88, 125.37, 123.65, 120.18, 114.15, 55.59, 43.05, 41.90, 33.42, 27.30, 20.75.

HRMS (ESI⁺) *m/z* Calcd for C₂₁H₂₃Cl₂N₂O₃S⁺: 453.0801 [*M*+H]⁺; found: 453.0793.

N-(4-(6-fluorobenzo[d]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (14)



Prepared from 6-fluorobenzo[d]thiazole (30.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (42 mg, 52% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

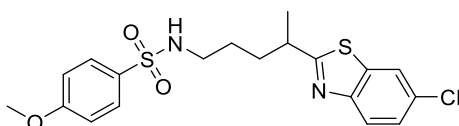
¹H NMR (400 MHz, CDCl₃) δ 7.91 (dd, *J* = 9.0, 4.7 Hz, 1H), 7.75 (d, *J* = 8.7 Hz, 2H), 7.51 (d, *J* = 7.9 Hz, 1H), 7.18 (t, *J* = 8.7 Hz, 1H), 6.91 (d, *J* = 8.5 Hz, 2H), 4.98 (br, 1H), 3.83 (s, 3H), 3.20 (q, *J* = 7.0 Hz, 1H), 2.93 (t, *J* = 6.8 Hz, 2H), 1.92 – 1.65 (m, 2H), 1.61 – 1.42 (m, 2H), 1.39 (d, *J* = 6.5 Hz, 3H).

¹⁹F NMR (376 MHz, CDCl₃) δ -116.51.

¹³C NMR (101 MHz, CDCl₃) δ 176.86, 162.79, 160.24 (d, *J*_{F-C} = 245.1 Hz), 149.27 (d, *J*_{F-C} = 2.0 Hz), 135.46 (d, *J*_{F-C} = 1.3 Hz), 131.47, 129.17, 123.48 (d, *J*_{F-C} = 9.4 Hz), 114.61 (d, *J*_{F-C} = 24.6 Hz), 114.20, 107.84 (d, *J*_{F-C} = 26.7 Hz), 55.60, 42.91, 38.82, 33.91, 27.05, 21.26.

HRMS (ESI⁺) *m/z* Calcd for C₁₉H₂₂FN₂O₃S₂⁺: 409.1050 [*M*+H]⁺; found: 409.1055.

N-(4-(6-chlorobenzo[d]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (15)



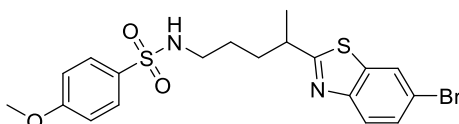
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (54 mg, 64% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.83 (d, *J* = 8.7 Hz, 1H), 7.77 (d, *J* = 2.1 Hz, 1H), 7.76 – 7.72 (m, 2H), 7.38 (dd, *J* = 8.7, 2.1 Hz, 1H), 6.91 – 6.87 (m, 2H), 5.15 (br, 1H), 3.81 (s, 3H), 3.18 (h, *J* = 6.9 Hz, 1H), 2.97 – 2.86 (m, 2H), 1.88 – 1.65 (m, 2H), 1.60 – 1.40 (m, 2H), 1.36 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 177.74, 162.77, 151.34, 135.77, 131.44, 130.66, 129.16, 126.74, 123.33, 121.22, 114.20, 55.61, 42.90, 38.85, 33.97, 27.06, 21.20.

HRMS (ESI+) *m/z* Calcd for C₁₉H₂₂ClN₂O₃S₂⁺: 425.0755 [*M*+H]⁺; found: 425.0764.

N-(4-(6-bromobenzo[d]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (16)



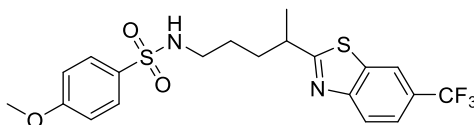
Prepared from 6-bromobenzo[d]thiazole (42.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (57 mg, 61% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.95 (s, 1H), 7.79 (d, *J* = 8.6 Hz, 1H), 7.74 (d, *J* = 8.5 Hz, 2H), 7.53 (dt, *J* = 8.7, 1.8 Hz, 1H), 6.90 (d, *J* = 8.5 Hz, 2H), 5.06 (br, 1H), 3.82 (s, 3H), 3.20 (h, *J* = 7.1 Hz, 1H), 2.92 (t, *J* = 6.8 Hz, 2H), 1.90 – 1.66 (m, 2H), 1.61 – 1.41 (m, 2H), 1.38 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 177.82, 162.78, 151.54, 136.19, 131.45, 129.51, 129.16, 124.16, 123.70, 118.39, 114.20, 55.62, 42.88, 38.81, 33.94, 27.07, 21.21.

HRMS (ESI+) *m/z* Calcd for C₁₉H₂₂BrN₂O₃S₂⁺: 469.0250 [*M*+H]⁺; found: 469.0237.

4-methoxy-N-(4-(6-(trifluoromethyl)benzo[d]thiazol-2-yl)pentyl)benzenesulfonamide (17)



Prepared from 6-(trifluoromethyl)benzo[d]thiazole (40.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (50 mg, 55% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

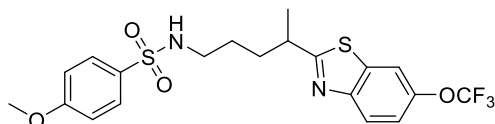
¹H NMR (400 MHz, CDCl₃) δ 8.12 (s, 1H), 8.03 (d, *J* = 8.5 Hz, 1H), 7.75 (d, *J* = 8.1 Hz, 2H), 7.68 (d, *J* = 8.5 Hz, 1H), 6.91 (d, *J* = 8.2 Hz, 2H), 5.07 (br, 1H), 3.82 (s, 3H), 3.25 (h, *J* = 7.1 Hz, 1H), 2.93 (t, *J* = 6.9 Hz, 2H), 1.92 – 1.69 (m, 2H), 1.61 – 1.45 (m, 2H), 1.40 (d, *J* = 6.8 Hz, 3H).

¹⁹F NMR (376 MHz, CDCl₃) δ -61.35.

¹³C NMR (101 MHz, CDCl₃) δ 180.54, 162.81, 154.76, 134.68, 131.42, 129.16, 127.02 (q, *J*_{F-C} = 32.5 Hz), 124.18 (q, *J*_{F-C} = 272.5 Hz), 123.02, (q, *J*_{F-C} = 3.4 Hz), 122.96, 119.29 (q, *J*_{F-C} = 4.1 Hz), 114.20, 55.58, 42.87, 39.04, 33.97, 27.09, 21.18.

HRMS (ESI⁺) *m/z* Calcd for C₂₀H₂₂F₃N₂O₃S₂⁺: 459.1018 [*M*+H]⁺; found:459.1007.

4-methoxy-*N*-(4-(6-(trifluoromethoxy)benzo[d]thiazol-2-yl)pentyl)benzenesulfonamide (18)



Prepared from 6-(trifluoromethoxy)benzo[d]thiazole (43.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (57 mg, 60% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

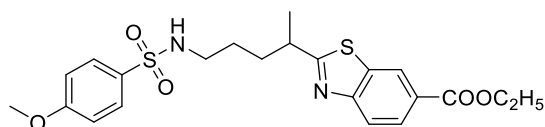
¹H NMR (400 MHz, CDCl₃) δ 7.95 (d, *J* = 8.8 Hz, 1H), 7.76 (d, *J* = 7.6 Hz, 2H), 7.69 (s, 1H), 7.31 (d, *J* = 8.8 Hz, 1H), 6.91 (d, *J* = 7.6 Hz, 2H), 5.04 (br, 1H), 3.82 (s, 3H), 3.27 – 3.16 (m, 1H), 2.98 – 2.88 (m, 2H), 1.91 – 1.67 (m, 2H), 1.60 – 1.42 (m, 2H), 1.39 (d, *J* = 6.6 Hz, 3H).

¹⁹F NMR (376 MHz, CDCl₃) δ -58.04.

¹³C NMR (101 MHz, CDCl₃) δ 178.48, 162.80, 151.23, 146.19, 135.36, 131.45, 129.16, 123.39, 120.52 (q, *J* = 257.4 Hz), 119.97, 114.27, 114.20, 55.58, 42.88, 38.90, 33.94, 27.06, 21.23.

HRMS (ESI⁺) *m/z* Calcd for C₂₀H₂₂F₃N₂O₄S₂⁺: 475.0968 [*M*+H]⁺; found: 475.0974.

ethyl 2-(5-((4-methoxyphenyl)sulfonamido)pentan-2-yl)benzo[d]thiazole-6-carboxylate (19)



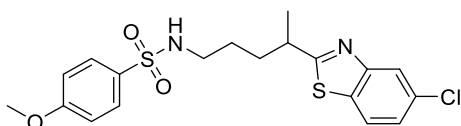
Prepared from ethyl benzo[d]thiazole-6-carboxylate (41.4 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (49 mg, 53% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.55 (d, *J* = 1.5 Hz, 1H), 8.12 (dd, *J* = 8.5, 1.7 Hz, 1H), 7.97 (d, *J* = 8.5 Hz, 1H), 7.77 – 7.72 (m, 2H), 6.93 – 6.88 (m, 2H), 4.99 (br, 1H), 4.41 (q, *J* = 7.1 Hz, 2H), 3.82 (s, 3H), 3.25 (h, *J* = 7.0 Hz, 1H), 2.94 (t, *J* = 6.8 Hz, 2H), 1.92 – 1.70 (m, 2H), 1.62 – 1.45 (m, 2H), 1.45 – 1.36 (m, 6H).

¹³C NMR (101 MHz, CDCl₃) δ 180.91, 166.17, 162.79, 155.57, 134.43, 131.46, 129.15, 127.28, 127.04, 123.78, 122.24, 114.20, 61.31, 55.60, 42.90, 39.08, 33.98, 27.11, 21.18, 14.37.

HRMS (ESI⁺) *m/z* Calcd for C₂₂H₂₇N₂O₅S₂⁺: 463.1356 [*M*+H]⁺; found: 463.1371.

***N*-(4-(5-chlorobenzo[d]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (20)**



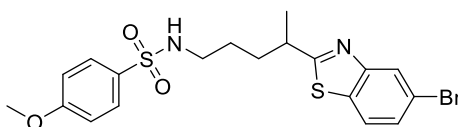
Prepared from 5-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (53 mg, 63% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.90 (s, 1H), 7.77 – 7.70 (m, 3H), 7.31 (d, *J* = 8.5 Hz, 1H), 6.90 (d, *J* = 8.4 Hz, 2H), 5.03 (br, 1H), 3.83 (s, 3H), 3.20 (h, *J* = 7.0 Hz, 1H), 2.95 – 2.89 (m, 2H), 1.88 – 1.67 (m, 2H), 1.60 – 1.41 (m, 2H), 1.38 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 179.24, 162.79, 153.62, 132.80, 131.99, 131.45, 129.15, 125.29, 122.45, 122.34, 114.20, 55.61, 42.90, 38.93, 34.05, 27.12, 21.23.

HRMS (ESI+) *m/z* Calcd for C₁₉H₂₂ClN₂O₃S₂⁺: 425.0755 [*M*+H]⁺; found: 425.0769.

***N*-(4-(5-bromobenzo[d]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (21)**



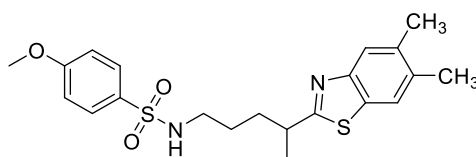
Prepared from 5-bromobenzo[d]thiazole (42.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (69 mg, 74% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 8.05 (s, 1H), 7.74 (d, *J* = 8.4 Hz, 2H), 7.67 (d, *J* = 8.5 Hz, 1H), 7.43 (d, *J* = 8.4 Hz, 1H), 6.90 (d, *J* = 8.4 Hz, 2H), 5.09 (br, 1H), 3.82 (s, 3H), 3.20 (h, *J* = 7.0 Hz, 1H), 2.95 – 2.88 (m, 2H), 1.87 – 1.66 (m, 2H), 1.59 – 1.40 (m, 2H), 1.37 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 179.07, 162.79, 153.93, 133.35, 131.44, 129.14, 127.90, 125.47, 122.70, 119.56, 114.21, 55.62, 42.90, 38.90, 34.06, 27.11, 21.22.

HRMS (ESI+) *m/z* Calcd for C₁₉H₂₂BrN₂O₃S₂⁺: 469.0250 [*M*+H]⁺; found: 469.0252.

***N*-(4-(5,6-dimethylbenzo[d]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (22)**



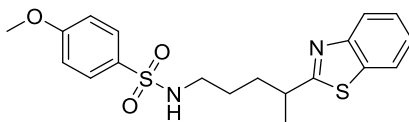
Prepared from 5,6-dimethylbenzo[d]thiazole (32.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (40 mg, 48% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.76 (s, 1H), 7.73 (d, *J* = 5.9 Hz, 2H), 7.57 (s, 1H), 6.90 (d, *J* = 8.5 Hz, 2H), 4.98 (t, *J* = 6.1 Hz, 1H), 3.83 (s, 3H), 3.18 (h, *J* = 6.9 Hz, 1H), 2.97 – 2.88 (m, 2H), 2.36 (s, 6H), 1.87 – 1.66 (m, 2H), 1.58 – 1.40 (m, 2H), 1.37 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 175.91, 162.74, 151.49, 135.19, 134.21, 131.86, 131.55, 129.17, 122.80, 121.52, 114.16, 55.57, 42.95, 38.72, 34.06, 27.03, 21.43, 20.19, 20.11.

HRMS (ESI+) *m/z* Calcd for C₂₁H₂₇N₂O₃S₂⁺: 419.1458 [*M*+H]⁺; found: 419.1469.

***N*-(4-(benzo[d]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (23)**



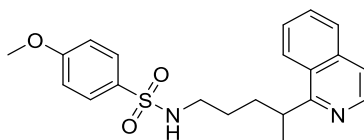
Prepared from benzo[d]thiazole (27.0 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (44 mg, 57% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.95 (d, *J* = 8.1 Hz, 1H), 7.82 (d, *J* = 8.0 Hz, 1H), 7.75 (d, *J* = 8.1 Hz, 2H), 7.44 (t, *J* = 7.6 Hz, 1H), 7.34 (t, *J* = 7.6 Hz, 1H), 6.89 (d, *J* = 8.2 Hz, 2H), 5.15 (t, *J* = 5.8 Hz, 1H), 3.81 (s, 3H), 3.21 (h, *J* = 7.1 Hz, 1H), 2.92 (q, *J* = 6.6 Hz, 2H), 1.89 – 1.66 (m, 2H), 1.60 – 1.42 (m, 2H), 1.38 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 177.20, 162.75, 152.69, 134.50, 131.50, 129.16, 126.02, 124.83, 122.58, 121.63, 114.19, 55.60, 42.93, 38.83, 34.04, 27.07, 21.37.

HRMS (ESI⁺) *m/z* Calcd for C₁₉H₂₃N₂O₃S₂⁺: 391.1145 [*M*+H]⁺; found: 391.1148.

N-(4-(isoquinolin-1-yl)pentyl)-4-methoxybenzenesulfonamide (24)



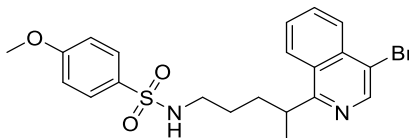
Prepared from isoquinoline (25.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (40 mg, 52% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.46 (d, *J* = 5.5 Hz, 1H), 8.14 (d, *J* = 8.4 Hz, 1H), 7.81 (d, *J* = 8.1 Hz, 1H), 7.73 (d, *J* = 8.6 Hz, 2H), 7.62 (dt, *J* = 32.6, 7.2 Hz, 2H), 7.49 (d, *J* = 5.4 Hz, 1H), 6.89 (d, *J* = 8.6 Hz, 2H), 5.09 (t, *J* = 5.9 Hz, 1H), 3.83 (s, 3H), 3.72 (h, *J* = 6.9 Hz, 1H), 2.88 (q, *J* = 6.3 Hz, 2H), 2.11 – 1.95 (m, 1H), 1.75 – 1.64 (m, 1H), 1.57 – 1.44 (m, 1H), 1.38 – 1.27 (m, 4H).

¹³C NMR (101 MHz, CDCl₃) δ 164.77, 162.68, 141.62, 136.43, 131.57, 129.86, 129.17, 127.62, 127.18, 126.74, 124.59, 119.28, 114.11, 55.58, 43.19, 35.75, 32.46, 27.44, 21.40.

HRMS (ESI⁺) *m/z* Calcd for C₂₁H₂₅N₂O₃S⁺: 385.1580 [*M*+H]⁺; found: 385.1592.

N-(4-(4-bromoisoquinolin-1-yl)pentyl)-4-methoxybenzenesulfonamide (25)



Prepared from 4-bromoisoquinoline (41.4 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (41 mg, 44% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 2:1).

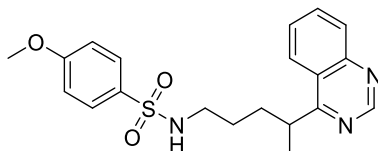
¹H NMR (400 MHz, CDCl₃) δ 8.61 (s, 1H), 8.15 (dd, *J* = 12.8, 8.6 Hz, 2H), 7.80 – 7.70 (m, 3H), 7.64 (ddd, *J* = 8.4, 7.0, 1.3 Hz, 1H), 6.91 – 6.87 (m, 2H), 5.03 – 4.92 (m, 1H), 3.82 (s, 3H), 3.73

– 3.63 (m, 1H), 2.87 (q, $J = 6.8$ Hz, 2H), 2.05 – 1.94 (m, 1H), 1.67 (ddt, $J = 13.3, 11.5, 5.7$ Hz, 1H), 1.54 – 1.41 (m, 1H), 1.39 – 1.26 (m, 4H).

^{13}C NMR (101 MHz, CDCl_3) δ 164.56, 162.72, 143.42, 134.89, 131.45, 131.07, 129.14, 128.09, 128.01, 126.87, 124.96, 117.75, 114.15, 55.61, 43.15, 35.67, 32.53, 27.50, 21.19.

HRMS (ESI+) m/z Calcd for $\text{C}_{21}\text{H}_{24}\text{BrN}_2\text{O}_3\text{S}^+$: 463.0686 $[M+\text{H}]^+$; found: 463.0700.

4-methoxy-*N*-(4-(quinazolin-4-yl)pentyl)benzenesulfonamide (26)



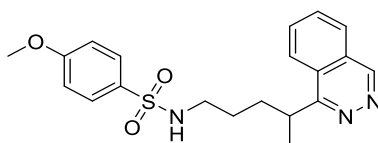
Prepared from quinazoline (26.0 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (24 mg, 31% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 1:1).

^1H NMR (400 MHz, CDCl_3) δ 9.20 (s, 1H), 8.11 (d, $J = 8.4$ Hz, 1H), 8.03 (d, $J = 8.4$ Hz, 1H), 7.87 (ddd, $J = 8.3, 7.0, 1.2$ Hz, 1H), 7.74 – 7.70 (m, 2H), 7.62 (ddd, $J = 8.2, 7.0, 1.1$ Hz, 1H), 6.91 – 6.87 (m, 2H), 4.95 (t, $J = 6.0$ Hz, 1H), 3.83 (s, 3H), 3.72 (h, $J = 6.8$ Hz, 1H), 2.89 (q, $J = 6.7$ Hz, 2H), 2.06 – 1.95 (m, 1H), 1.75 – 1.65 (m, 1H), 1.56 – 1.42 (m, 1H), 1.40 – 1.30 (m, 4H).

^{13}C NMR (101 MHz, CDCl_3) δ 174.66, 162.79, 154.53, 150.07, 133.59, 131.47, 129.33, 129.13, 127.68, 124.03, 123.64, 114.18, 55.60, 43.08, 35.58, 32.28, 27.54, 20.72.

HRMS (ESI+) m/z Calcd for $\text{C}_{20}\text{H}_{24}\text{N}_3\text{O}_3\text{S}^+$: 386.1533 $[M+\text{H}]^+$; found: 386.1541.

4-methoxy-*N*-(4-(phthalazin-1-yl)pentyl)benzenesulfonamide (27)



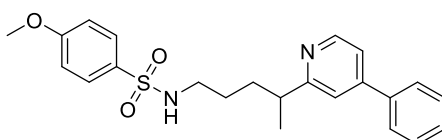
Prepared from phthalazine (26.0 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (41 mg, 53% yield) after silica gel column chromatography using DCM/MeOH (40:1 to 20:1).

^1H NMR (400 MHz, CDCl_3) δ 9.38 (s, 1H), 8.14 (d, $J = 8.0$ Hz, 1H), 8.00 – 7.86 (m, 3H), 7.79 – 7.75 (m, 2H), 6.96 – 6.87 (m, 2H), 5.22 (t, $J = 5.9$ Hz, 1H), 3.85 (s, 3H), 3.78 – 3.65 (m, 1H), 2.93 (q, $J = 6.7$ Hz, 2H), 2.25 – 2.11 (m, 1H), 1.87 – 1.74 (m, 1H), 1.63 – 1.45 (m, 2H), 1.42 (d, $J = 6.9$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 163.09, 162.67, 150.21, 132.65, 131.95, 131.61, 129.16, 127.27, 126.58, 125.34, 123.39, 114.13, 55.58, 43.18, 35.11, 32.45, 27.54, 20.85.

HRMS (ESI+) m/z Calcd for $\text{C}_{20}\text{H}_{24}\text{N}_3\text{O}_3\text{S}^+$: 386.1533 $[M+\text{H}]^+$; found: 386.1529.

4-methoxy-*N*-(4-(4-phenylpyridin-2-yl)pentyl)benzenesulfonamide (28)



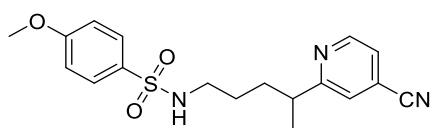
Prepared from 4-phenylpyridine (31.0 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (47 mg, 57% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.54 (d, *J* = 4.5 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 2H), 7.61 (d, *J* = 7.1 Hz, 2H), 7.50 – 7.40 (m, 3H), 7.32 (d, *J* = 4.5 Hz, 1H), 7.30 (s, 1H), 6.92 (d, *J* = 8.5 Hz, 2H), 5.07 (t, *J* = 6.1 Hz, 1H), 3.82 (s, 3H), 2.95 – 2.84 (m, 3H), 1.83 – 1.71 (m, 1H), 1.65 – 1.55 (m, 1H), 1.53 – 1.42 (m, 1H), 1.41 – 1.30 (m, 1H), 1.27 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 166.03, 162.71, 149.36, 149.16, 138.35, 131.65, 129.16, 129.10, 129.05, 127.06, 119.72, 119.51, 114.15, 55.57, 43.15, 41.29, 33.78, 27.45, 21.04.

HRMS (ESI+) *m/z* Calcd for C₂₃H₂₇N₂O₃S⁺: 411.1737 [*M*+H]⁺; found: 411.1733.

***N*-(4-(4-cyanopyridin-2-yl)pentyl)-4-methoxybenzenesulfonamide (29)**



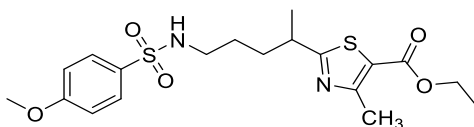
Prepared from isonicotinonitrile (20.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (32 mg, 45% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.69 (d, *J* = 4.9 Hz, 1H), 7.78 – 7.74 (m, 2H), 7.33 (dd, *J* = 5.0, 1.3 Hz, 1H), 7.30 (s, 1H), 6.98 – 6.93 (m, 2H), 4.68 (t, *J* = 6.1 Hz, 1H), 3.86 (s, 3H), 2.93 – 2.82 (m, 3H), 1.75 – 1.67 (m, 1H), 1.64 – 1.53 (m, 1H), 1.49 – 1.37 (m, 1H), 1.35 – 1.27 (m, 1H), 1.24 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 167.39, 162.84, 150.24, 131.46, 129.18, 123.41, 122.73, 120.73, 116.71, 114.22, 55.64, 43.02, 41.35, 33.41, 27.43, 20.59.

HRMS (ESI+) *m/z* Calcd for C₁₈H₂₂N₃O₃S⁺: 360.1376 [*M*+H]⁺; found: 360.1389.

ethyl 2-(5-((4-methoxyphenyl)sulfonamido)pentan-2-yl)-4-methylthiazole-5-carboxylate (30)



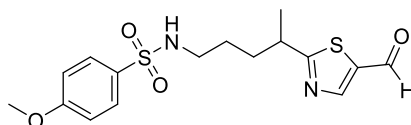
Prepared from ethyl 4-methylthiazole-5-carboxylate (34.2 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (53 mg, 62% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 7.76 (d, *J* = 8.2 Hz, 2H), 6.94 (d, *J* = 8.2 Hz, 2H), 5.01 (t, *J* = 6.1 Hz, 1H), 4.29 (q, *J* = 7.2 Hz, 2H), 3.84 (s, 3H), 3.04 (h, *J* = 7.2 Hz, 1H), 2.90 (q, *J* = 7.0 Hz, 2H), 2.65 (s, 3H), 1.76 – 1.57 (m, 2H), 1.54 – 1.39 (m, 2H), 1.34 (t, *J* = 7.2 Hz, 3H), 1.29 (d, *J* = 7.0 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 179.26, 162.80, 162.22, 159.55, 131.47, 129.15, 121.01, 114.21, 61.17, 55.61, 42.88, 38.22, 34.27, 27.03, 21.20, 17.30, 14.33.

HRMS (ESI+) m/z Calcd for $C_{19}H_{27}N_2O_5S_2^+$: 427.1356 $[M+H]^+$; found: 427.1359.

N-(4-(5-formylthiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (31)



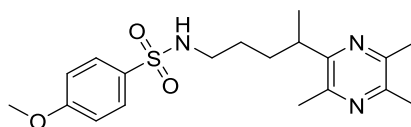
Prepared from thiazole-5-carbaldehyde (22.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (37 mg, 50% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 2:1).

¹H NMR (400 MHz, $CDCl_3$) δ 9.98 (s, 1H), 8.26 (s, 1H), 7.79 – 7.75 (m, 2H), 6.98 – 6.93 (m, 2H), 4.69 (br, 1H), 3.86 (s, 3H), 3.18 (h, J = 7.0 Hz, 1H), 2.93 (t, J = 7.0 Hz, 2H), 1.83 – 1.64 (m, 2H), 1.57 – 1.41 (m, 2H), 1.36 (d, J = 6.8 Hz, 3H).

¹³C NMR (101 MHz, $CDCl_3$) δ 184.99, 182.07, 162.87, 151.14, 138.57, 131.45, 129.18, 114.26, 55.64, 42.86, 38.81, 34.16, 27.10, 21.10.

HRMS (ESI+) m/z Calcd for $C_{16}H_{21}N_2O_4S_2^+$: 369.0937 $[M+H]^+$; found: 369.0940.

4-methoxy-N-(4-(3,5,6-trimethylpyrazin-2-yl)pentyl)benzenesulfonamide (32)



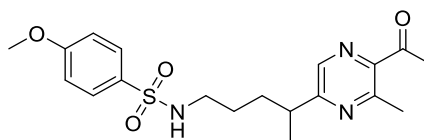
Prepared from 2,3,5-trimethylpyrazine (24.4 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (35 mg, 46% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

¹H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, J = 8.4 Hz, 2H), 6.92 (d, J = 8.3 Hz, 2H), 4.87 (t, J = 6.1 Hz, 1H), 3.83 (s, 3H), 2.94 – 2.86 (m, 1H), 2.83 (q, J = 6.7 Hz, 2H), 2.44 – 2.39 (m, 9H), 1.82 – 1.72 (m, 1H), 1.55 – 1.34 (m, 2H), 1.27 – 1.17 (m, 1H), 1.10 (d, J = 6.8 Hz, 3H).

¹³C NMR (101 MHz, $CDCl_3$) δ 162.75, 154.57, 148.81, 147.89, 147.08, 131.55, 129.14, 114.15, 55.60, 43.17, 35.73, 32.22, 27.47, 21.57, 21.35, 20.76, 20.30.

HRMS (ESI+) m/z Calcd for $C_{19}H_{28}N_3O_3S^+$: 378.1846 $[M+H]^+$; found: 378.1852.

N-(4-(5-acetyl-6-methylpyrazin-2-yl)pentyl)-4-methoxybenzenesulfonamide (33)



Prepared from 1-(3-methylpyrazin-2-yl)ethan-1-one (27.2 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (32 mg, 41% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

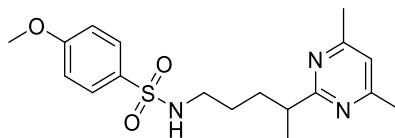
¹H NMR (400 MHz, $CDCl_3$) δ 8.25 (s, 1H), 7.76 (d, J = 8.3 Hz, 2H), 6.94 (d, J = 8.3 Hz, 2H), 4.77 (br,

1H), 3.85 (s, 3H), 2.94 – 2.85 (m, 3H), 2.77 (s, 3H), 2.67 (s, 3H), 1.81 – 1.70 (m, 1H), 1.66 – 1.56 (m, 1H), 1.52 – 1.40 (m, 1H), 1.38 – 1.28 (m, 1H), 1.25 (d, $J = 6.8$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 201.24, 162.83, 162.47, 153.47, 144.65, 139.43, 131.44, 129.15, 114.22, 55.63, 43.00, 39.00, 33.12, 27.88, 27.45, 23.65, 20.28.

HRMS (ESI+) m/z Calcd for $\text{C}_{19}\text{H}_{26}\text{N}_3\text{O}_4\text{S}^+$: 392.1639 $[M+\text{H}]^+$; found: 392.1628.

***N*-(4-(4,6-dimethylpyrimidin-2-yl)pentyl)-4-methoxybenzenesulfonamide (34)**



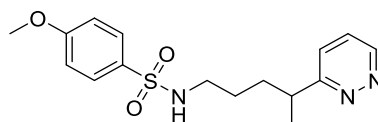
Prepared from 4,6-dimethylpyrimidine (21.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (57 mg, 78% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 2:1).

^1H NMR (400 MHz, CDCl_3) δ 7.76 (d, $J = 8.2$ Hz, 2H), 6.94 (d, $J = 8.3$ Hz, 2H), 6.83 (s, 1H), 5.21 (t, $J = 5.9$ Hz, 1H), 3.85 (s, 3H), 2.94 – 2.83 (m, 3H), 2.43 (s, 6H), 1.84 – 1.73 (m, 1H), 1.57 – 1.40 (m, 2H), 1.37 – 1.27 (m, 1H), 1.21 (d, $J = 6.7$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 173.28, 166.61, 162.70, 131.71, 129.17, 117.64, 114.14, 55.59, 43.09, 42.17, 32.86, 27.09, 23.95, 20.34.

HRMS (ESI+) m/z Calcd for $\text{C}_{18}\text{H}_{26}\text{N}_3\text{O}_3\text{S}^+$: 364.1689 $[M+\text{H}]^+$; found: 364.1670.

***N*-(4-(pyridazin-3-yl)pentyl)benzenesulfonamide (35)**



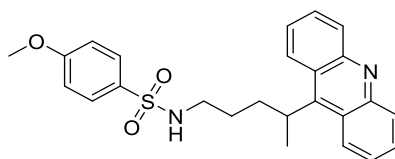
Prepared from pyridazine (16.0 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (42 mg, 63% yield) after silica gel column chromatography using DCM/MeOH (35:1 to 25:1).

^1H NMR (400 MHz, CDCl_3) δ 9.04 (d, $J = 5.3$ Hz, 1H), 8.99 (s, 1H), 7.80 – 7.74 (m, 2H), 7.25 (dd, $J = 5.3, 2.3$ Hz, 1H), 6.98 – 6.93 (m, 2H), 5.35 (t, $J = 6.1$ Hz, 1H), 3.87 (s, 3H), 2.92 (q, $J = 6.6$ Hz, 2H), 2.69 (h, $J = 7.0$ Hz, 1H), 1.63 (q, $J = 7.5$ Hz, 2H), 1.52 – 1.41 (m, 1H), 1.39 – 1.30 (m, 1H), 1.25 (d, $J = 7.0$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.83, 151.85, 151.13, 146.12, 131.49, 129.11, 124.62, 114.24, 55.65, 42.84, 36.76, 33.88, 27.35, 20.82.

HRMS (ESI+) m/z Calcd for $\text{C}_{16}\text{H}_{22}\text{N}_3\text{O}_3\text{S}^+$: 336.1376 $[M+\text{H}]^+$; found: 336.1384.

***N*-(4-(acridin-9-yl)pentyl)-4-methoxybenzenesulfonamide (36)**



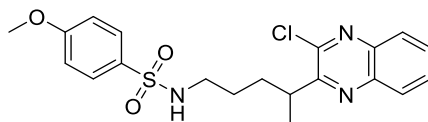
Prepared from acridine (35.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (66 mg, 76% yield) after silica gel column chromatography using petroleum ether/EtOAc (2:1 to 1:1).

¹H NMR (400 MHz, CDCl₃) δ 8.33 (d, *J* = 28.8 Hz, 2H), 8.21 (d, *J* = 8.7 Hz, 2H), 7.72 (t, *J* = 7.7 Hz, 2H), 7.67 – 7.63 (m, 2H), 7.54 – 7.43 (m, 2H), 6.87 – 6.80 (m, 2H), 4.65 (t, *J* = 6.3 Hz, 1H), 4.25 (h, *J* = 7.2 Hz, 1H), 3.81 (s, 3H), 2.83 (q, *J* = 6.7 Hz, 2H), 2.26 – 2.09 (m, 2H), 1.67 (d, *J* = 7.3 Hz, 3H), 1.54 – 1.42 (m, 1H), 1.22 – 1.10 (m, 1H).

¹³C NMR (101 MHz, CDCl₃) δ 162.75, 150.19, 148.88, 148.53, 131.36, 130.88, 130.45, 129.67, 129.47, 129.04, 125.98, 125.82, 125.70, 125.37, 124.73, 123.51, 114.14, 55.60, 43.00, 34.07, 33.71, 28.76, 21.27.

HRMS (ESI+) *m/z* Calcd for C₂₅H₂₇N₂O₃S⁺: 435.1737 [*M*+H]⁺; found: 435.1743.

***N*-(4-(3-chloroquinoxalin-2-yl)pentyl)-4-methoxybenzenesulfonamide (37)**



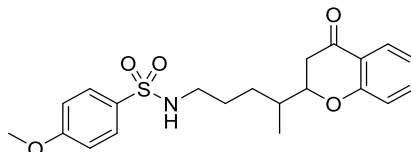
Prepared from 2-chloroquinoxaline (32.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following the **Procedures for preparation of specific compounds**. The product was obtained as colorless oil (63 mg, 75% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 1:1).

¹H NMR (400 MHz, CDCl₃) δ 8.03 (dd, *J* = 7.0, 2.9 Hz, 1H), 7.95 (dt, *J* = 9.2, 2.0 Hz, 1H), 7.77 – 7.67 (m, 4H), 6.88 (d, *J* = 7.9 Hz, 2H), 4.95 (br, 1H), 3.80 (s, 3H), 3.47 (h, *J* = 7.2 Hz, 1H), 2.96 – 2.88 (m, 2H), 2.00 – 1.91 (m, 1H), 1.68 – 1.57 (m, 1H), 1.56 – 1.46 (m, 1H), 1.43 – 1.32 (m, 1H), 1.28 (d, *J* = 6.5 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.75, 158.54, 147.44, 140.96, 140.65, 131.45, 130.18, 130.10, 129.15, 128.75, 128.03, 114.17, 55.59, 43.13, 37.25, 31.90, 27.36, 19.67.

HRMS (ESI+) *m/z* Calcd for C₂₀H₂₃ClN₃O₃S⁺: 420.1143 [*M*+H]⁺; found: 420.1152.

4-methoxy-*N*-(4-(4-oxochroman-2-yl)pentyl)benzenesulfonamide (38)



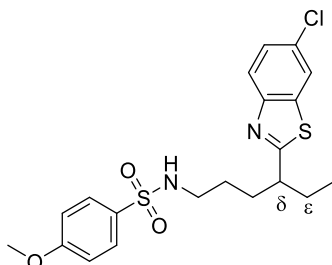
Prepared from 4*H*-chromen-4-one (29.2 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (38 mg, 47% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1).

¹H NMR (600 MHz, CDCl₃) δ 7.84 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.79 (dd, *J* = 8.8, 1.7 Hz, 2H), 7.49 – 7.41 (m, 1H), 6.98 (t, *J* = 7.5 Hz, 1H), 6.96 – 6.92 (m, 3H), 4.87 (t, *J* = 6.1 Hz, 1H), 4.23 (ddd, *J* = 13.8, 4.1, 2.5 Hz, 0.5H), 4.16 (ddd, *J* = 13.0, 6.1, 2.9 Hz, 0.5H), 3.83 (s, 3H), 2.95 (hept, *J* = 6.3 Hz, 2H), 2.74 – 2.46 (m, 2H), 1.89 – 1.73 (m, 1H), 1.63 – 1.43 (m, 3H), 1.24 (qt, *J* = 13.0, 7.6 Hz, 1H), 0.98 (d, *J* = 6.9 Hz, 1.5H), 0.95 (d, *J* = 6.8 Hz, 1.5H).

¹³C NMR (151 MHz, CDCl₃) δ 192.96, 192.82, 162.85, 161.82, 161.72, 136.02, 135.97, 131.58, 129.19, 126.91, 126.89, 121.22, 121.18, 120.91, 120.88, 117.91, 117.89, 114.25, 81.35, 80.93, 55.60, 43.28, 39.93, 39.71, 36.60, 36.57, 29.11, 28.95, 27.23, 27.00, 14.75, 14.36.

HRMS (ESI+) *m/z* Calcd for C₂₁H₂₆NO₅S⁺: 404.1526 [*M*+H]⁺; found: 404.1530.

***N*-(4-(6-chlorobenzo[*d*]thiazol-2-yl)hexyl)-4-methoxybenzenesulfonamide (39)**



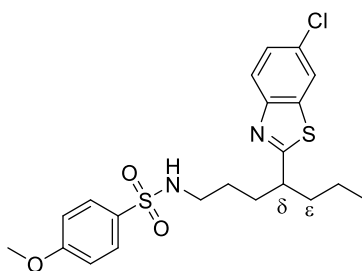
Prepared from 6-chlorobenzo[*d*]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and *N*-hexyl-4-methoxybenzenesulfonamide **2b** (108.4 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The inseparable mixture of δ - and ϵ -arylated products were obtained as yellow oil (δ : ϵ = 3.3:1, 42 mg, 48% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.87 (d, *J* = 8.7 Hz, 0.3H), 7.84 (d, *J* = 8.7 Hz, 1H), 7.80 – 7.76 (m, 1H+0.6H), 7.75 – 7.70 (m, 2H+0.3H), 7.38 (dd, *J* = 8.7, 2.1 Hz, 1H+0.3H), 6.95 – 6.86 (m, 2H+0.6H), 5.09 (br, 1H), 4.98 (br, 0.3H), 3.83 (s, 0.9H), 3.82 (s, 3H), 3.19 (h, *J* = 7.0 Hz, 0.3H), 3.01 – 2.93 (m, 1H), 2.89 (t, *J* = 6.8 Hz, 2H+0.6H), 1.82 – 1.68 (m, 4H+0.9H), 1.54 – 1.27 (m, 2H+1.8H), 0.85 (t, *J* = 7.4 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 178.19, 176.81, 162.76, 151.32, 151.22, 135.77, 135.72, 131.49, 131.45, 130.72, 130.65, 129.17, 129.14, 126.74, 126.72, 123.32, 121.23, 121.21, 114.20, 114.18, 55.60, 46.27, 42.90, 42.80, 39.16, 36.51, 32.34, 29.33, 29.15, 27.16, 24.07, 21.17, 11.74.

HRMS (ESI+) *m/z* Calcd for C₂₀H₂₄ClN₂O₃S₂⁺: 439.0911 [*M*+H]⁺; found: 439.0916.

***N*-(4-(6-chlorobenzo[*d*]thiazol-2-yl)heptyl)-4-methoxybenzenesulfonamide (40)**



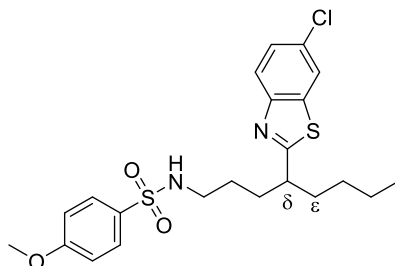
Prepared from 6-chlorobenzo[*d*]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and *N*-heptyl-4-methoxybenzenesulfonamide **2c** (114.1 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The inseparable mixture of δ - and ϵ -arylated products were obtained as yellow oil (δ : ϵ = 6.2:1, 52 mg, 57% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (600 MHz, CDCl₃) δ 7.86 (d, *J* = 8.7 Hz, 0.16H), 7.82 (d, *J* = 8.6 Hz, 1H), 7.79 (s, 0.16H), 7.77 (s, 1H), 7.75 – 7.70 (m, 2H+0.32H), 7.38 (d, *J* = 8.7 Hz, 1H+0.16H), 6.94 – 6.91 (m, 0.32H), 6.90 – 6.87 (m, 2H), 5.08 (br, 1H), 4.94 (br, 0.16H), 3.83 (s, 0.48H), 3.81 (s, 3H), 3.08 – 3.02 (m, 1H), 2.99 – 2.94 (m, 0.16H), 2.93 – 2.84 (m, 2H+0.32H), 1.80 – 1.61 (m, 4H+0.64H), 1.53 – 1.33 (m, 2H+0.80H), 1.31 – 1.17 (m, 2H+0.32H), 0.85 (t, *J* = 7.5 Hz, 3H).

¹³C NMR (151 MHz, CDCl₃) δ 177.07, 176.90, 162.77, 151.47, 151.36, 135.84, 135.81, 131.62, 131.53, 130.67, 130.62, 129.16, 129.13, 126.66, 126.63, 123.39, 123.36, 121.20, 114.21, 114.17, 55.58, 46.66, 44.48, 42.90, 42.80, 38.45, 34.85, 32.74, 29.27, 29.21, 27.17, 24.16, 20.40, 13.92, 11.79.

HRMS (ESI+) *m/z* Calcd for C₂₁H₂₆ClN₂O₃S₂⁺: 453.1068 [*M*+H]⁺; found: 453.1082.

N-(4-(6-chlorobenzo[d]thiazol-2-yl)octyl)-4-methoxybenzenesulfonamide (41)



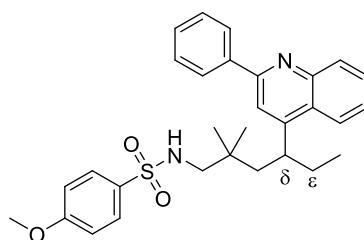
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-octylbenzenesulfonamide **2d** (119.7 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The inseparable mixture of δ - and ϵ -arylated products were obtained as yellow oil (δ : ϵ = 8.3:1, 56 mg, 60% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.86 (d, *J* = 8.7 Hz, 0.12H), 7.83 (d, *J* = 8.7 Hz, 1H), 7.80 – 7.76 (m, 1H+0.12H), 7.75 – 7.71 (m, 2H+0.24H), 7.41 – 7.33 (m, 1H+0.12H), 6.93 – 6.85 (m, 2H+0.24H), 5.09 (br, 1H), 4.94 (t, *J* = 6.1 Hz, 0.12H), 3.83 (s, 0.36H), 3.81 (s, 3H), 3.09 – 2.98 (m, 1H+0.12H), 2.93 – 2.82 (m, 2H+0.24H), 1.80 – 1.63 (m, 4H+0.48H), 1.53 – 1.32 (m, 2H+0.24H), 1.31 – 1.12 (m, 4H+0.48H), 0.89 – 0.78 (m, 3H+0.36H).

¹³C NMR (151 MHz, CDCl₃) δ 176.98, 162.76, 151.31, 135.80, 131.54, 130.68, 129.13, 126.67, 123.35, 121.22, 114.17, 55.58, 44.71, 42.91, 36.05, 32.78, 29.34, 27.18, 22.54, 13.86.

HRMS (ESI+) *m/z* Calcd for C₂₂H₂₈ClN₂O₃S₂⁺: 467.1224 [*M*+H]⁺; found: 467.1243.

N-(2,2-dimethyl-4-(2-phenylquinolin-4-yl)hexyl)-4-methoxybenzenesulfonamide (42)



Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and *N*-(2,2-dimethylhexyl)-4-methoxybenzenesulfonamide **2e** (119.7 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The inseparable mixture of δ - and ϵ -arylated products were obtained as yellow oil (δ : ϵ = 2.5:1, 89 mg, 89% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

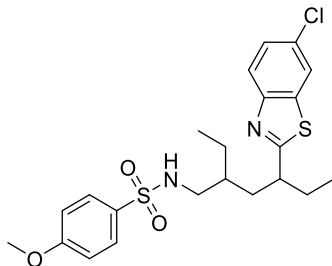
¹H NMR (600 MHz, CDCl₃) δ 8.24 – 8.21 (m, 1H), 8.18 – 8.04 (m, 3H), 7.80 – 7.57 (m, 4H), 7.56 – 7.50 (m, 3H), 7.48 – 7.43 (m, 1H), 6.91 – 6.82 (m, 2H), 5.16 (t, *J* = 6.9 Hz, 0.28H), 4.99 (t, *J* = 7.2 Hz, 0.72H), 3.82 – 3.77 (m, 3H), 3.60 – 3.47 (m, 1H), 2.68 – 2.37 (m, 2H), 2.03 – 1.57 (m, 3.6H), 1.41 – 1.16 (m, 1.4H), 0.87 – 0.66 (m, 8H).

¹³C NMR (101 MHz, CDCl₃) δ 162.74, 162.65, 157.21, 156.82, 154.45, 154.35, 148.57, 140.05, 139.88, 131.50, 131.32, 130.76, 130.60, 129.36, 129.32, 129.24, 129.15, 128.91, 128.86, 127.66,

127.62, 126.74, 126.35, 126.19, 126.16, 122.94, 122.69, 116.24, 115.48, 114.24, 55.58, 53.50, 52.75, 45.63, 37.37, 35.65, 34.91, 34.31, 33.76, 33.01, 31.34, 25.79, 25.64, 25.07, 24.90, 21.37, 12.01.

HRMS (ESI⁺) m/z Calcd for C₃₀H₃₅N₂O₃S⁺: 503.2363 [$M+H$]⁺; found: 503.2378.

N-(4-(6-chlorobenzo[d]thiazol-2-yl)-2-ethylhexyl)-4-methoxybenzenesulfonamide (43)



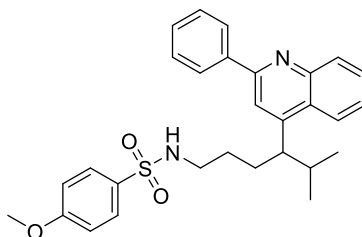
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and *N*-(2-ethylhexyl)-4-methoxybenzenesulfonamide **2i** (119.7 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (61 mg, 65% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 8.03 (d, J = 8.8 Hz, 0.55H), 7.89 (d, J = 8.8 Hz, 0.45H), 7.82 (d, J = 2.2 Hz, 1H), 7.75 (dd, J = 19.1, 8.4 Hz, 2H), 7.44 (dd, J = 10.8, 8.4 Hz, 1H), 6.90 (dd, J = 19.3, 8.4 Hz, 2H), 5.92 (br, 0.55H), 5.33 (br, 0.45H), 3.84 (d, J = 6.2 Hz, 3H), 3.08 (dt, J = 11.4, 5.9 Hz, 1H), 2.99 – 2.69 (m, 2H), 1.76 (dt, J = 14.6, 7.2 Hz, 3H), 1.58 – 1.17 (m, 4H), 0.91 – 0.85 (m, 3H), 0.77 (dt, J = 26.0, 7.2 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 177.25, 177.06, 162.72, 162.60, 151.17, 151.00, 135.83, 135.81, 131.59, 131.46, 130.92, 130.76, 129.13, 129.11, 126.93, 126.74, 123.61, 123.40, 121.27, 121.17, 114.16, 114.05, 55.60, 55.57, 46.27, 45.36, 44.46, 44.05, 37.77, 37.65, 37.00, 36.24, 30.57, 29.98, 25.02, 24.87, 11.84, 11.21, 10.84, 10.81.

HRMS (ESI⁺) m/z Calcd for C₂₂H₂₈ClN₂O₃S₂⁺: 467.1224 [$M+H$]⁺; found: 467.1233.

4-methoxy-N-(5-methyl-4-(2-phenylquinolin-4-yl)hexyl)benzenesulfonamide (44)



Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-(5-methylhexyl)benzenesulfonamide **2k** (114.1 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow solid (65 mg, 67% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

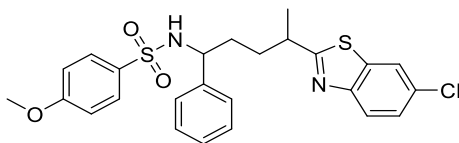
¹H NMR (600 MHz, CDCl₃) δ 8.25 – 8.22 (m, 1H), 8.17 – 8.14 (m, 2H), 8.05 (d, J = 8.5 Hz, 1H), 7.74 – 7.66 (m, 4H), 7.55 – 7.51 (m, 3H), 7.49 – 7.45 (m, 1H), 6.87 – 6.83 (m, 2H), 4.87 (t, J = 6.1 Hz, 1H), 3.81 (s, 3H), 3.35 – 3.22 (m, 1H), 2.87 – 2.77 (m, 2H), 2.06 – 1.94 (m, 2H), 1.85 – 1.73 (m, 1H), 1.28 – 1.15 (m, 2H), 1.01 (d, J = 6.6 Hz, 3H), 0.80 (d, J = 6.6 Hz, 3H).

¹³C NMR (151 MHz, CDCl₃) δ 162.72, 156.79, 151.79, 148.61, 139.92, 131.48, 130.65, 129.28, 129.24, 129.04, 128.84, 127.63, 126.87, 126.10, 123.05, 116.18, 114.16, 55.57, 44.76, 43.16, 33.76,

28.98, 27.42, 21.25, 20.25.

HRMS (ESI⁺) *m/z* Calcd for C₂₉H₃₃N₂O₃S⁺: 489.2206 [*M*+H]⁺; found: 489.2193.

N-(4-(6-chlorobenzo[d]thiazol-2-yl)-1-phenylpentyl)-4-methoxybenzenesulfonamide (45)



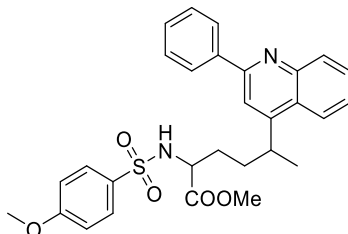
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-(1-phenylpentyl)benzenesulfonamide **2f** (133.3 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (49 mg, 49% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.91 (t, *J* = 7.3 Hz, 1H), 7.78 (s, 1H), 7.56 (t, *J* = 8.1 Hz, 2H), 7.41 (dd, *J* = 8.7, 2.2 Hz, 1H), 7.15 – 7.08 (m, 3H), 7.04 – 6.97 (m, 2H), 6.77 – 6.67 (m, 2H), 5.85 (d, *J* = 6.4 Hz, 0.5H), 5.79 (d, *J* = 6.6 Hz, 0.5H), 4.24 (t, *J* = 7.1 Hz, 1H), 3.76 (s, 3H), 3.17 (h, *J* = 7.4 Hz, 1H), 1.87 – 1.58 (m, 4H), 1.33 (d, *J* = 6.3 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 177.61, 177.57, 162.50, 162.49, 151.32, 151.29, 140.93, 140.77, 135.83, 132.24, 132.22, 130.74, 130.70, 129.13, 129.10, 128.46, 127.39, 127.36, 126.81, 126.77, 126.44, 126.39, 123.46, 121.21, 121.19, 113.81, 58.25, 58.12, 55.55, 55.54, 38.86, 38.82, 35.13, 34.73, 32.98, 32.92, 21.57, 21.08.

HRMS (ESI⁺) *m/z* Calcd for C₂₅H₂₆ClN₂O₃S₂⁺: 501.1068 [*M*+H]⁺; found: 501.1073.

methyl 2-((4-methoxyphenyl)sulfonamido)-5-(2-phenylquinolin-4-yl)hexanoate (46)



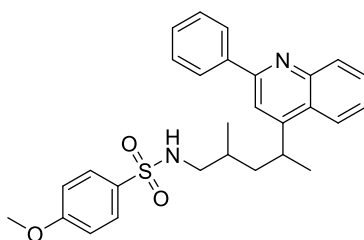
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and methyl 2-((4-methoxyphenyl)sulfonamido)hexanoate **2j** (126.0 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (84 mg, 81% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 2:1).

¹H NMR (600 MHz, CDCl₃) δ 8.21 (d, *J* = 8.4 Hz, 1H), 8.16 (d, *J* = 7.8 Hz, 2H), 8.04 (t, *J* = 7.8 Hz, 1H), 7.71 (dd, *J* = 10.0, 6.8 Hz, 4H), 7.52 (t, *J* = 7.5 Hz, 3H), 7.45 (t, *J* = 7.3 Hz, 1H), 6.85 (dd, *J* = 13.3, 8.8 Hz, 2H), 5.47 (d, *J* = 9.3 Hz, 0.45H), 5.44 (d, *J* = 9.0 Hz, 0.55H), 3.89 (dtd, *J* = 12.6, 8.4, 5.1 Hz, 1H), 3.76 (s, 1.65H), 3.74 (s, 1.35H), 3.58 (h, *J* = 6.6 Hz, 1H), 3.40 (s, 1.35H), 3.38 (s, 1.65H), 1.96 – 1.69 (m, 3H), 1.68 – 1.52 (m, 1H), 1.38 (dd, *J* = 6.5, 4.0 Hz, 3H).

¹³C NMR (151 MHz, CDCl₃) δ 171.99, 171.95, 163.01, 162.98, 157.18, 157.15, 153.12, 153.05, 148.63, 148.59, 139.93, 139.88, 131.06, 130.72, 130.67, 129.38, 129.36, 129.32, 129.30, 128.86, 128.85, 127.65, 127.60, 126.24, 126.21, 126.09, 125.99, 122.79, 122.71, 115.51, 115.45, 114.14, 114.11, 55.67, 55.62, 55.60, 52.54, 33.17, 33.13, 32.32, 32.15, 31.16, 21.66, 21.21.

HRMS (ESI⁺) *m/z* Calcd for C₂₉H₃₁N₂O₅S⁺: 519.1948 [*M*+H]⁺; found: 519.1953.

4-methoxy-*N*-(2-methyl-4-(2-phenylquinolin-4-yl)pentyl)benzenesulfonamide (47)



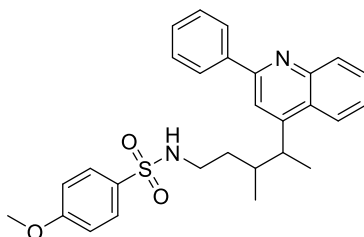
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-(2-methylpentyl)benzenesulfonamide **2g** (108.4 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as white solid (73 mg, 77% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (600 MHz, CDCl₃) δ 8.24 – 8.21 (m, 1H), 8.19 – 7.99 (m, 3H), 7.75 – 7.66 (m, 4H), 7.56 – 7.49 (m, 3H), 7.45 (td, *J* = 7.1, 1.5 Hz, 1H), 6.86 – 6.82 (m, 2H), 5.25 (t, *J* = 6.5 Hz, 0.67H), 5.14 (t, *J* = 6.5 Hz, 0.33H), 3.77 – 3.75 (m, 3H), 3.73 – 3.63 (m, 1H), 2.87 – 2.71 (m, 2H), 1.77 – 1.47 (m, 3H), 1.36 – 1.30 (m, 3H), 0.95 (d, *J* = 6.5 Hz, 2H), 0.83 (d, *J* = 6.4 Hz, 1H).

¹³C NMR (151 MHz, CDCl₃) δ 162.74, 162.73, 154.13, 153.70, 148.59, 148.56, 139.95, 139.86, 131.57, 131.53, 130.67, 130.63, 129.30, 129.26, 129.07, 129.06, 128.87, 128.82, 127.69, 127.65, 126.24, 126.22, 126.15, 125.84, 122.74, 122.59, 115.73, 115.66, 114.19, 55.56, 49.14, 49.10, 41.51, 41.22, 31.11, 31.08, 30.79, 22.76, 20.92, 17.95, 17.54.

HRMS (ESI⁺) *m/z* Calcd for C₂₈H₃₁N₂O₃S⁺: 475.2050 [*M*+H]⁺; found: 475.2048.

4-methoxy-*N*-(3-methyl-4-(2-phenylquinolin-4-yl)pentyl)benzenesulfonamide (48)



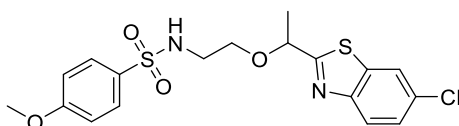
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-(3-methylpentyl)benzenesulfonamide **2h** (108.4 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (69 mg, 73% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1)

¹H NMR (600 MHz, CDCl₃) δ 8.21 (ddd, *J* = 8.5, 2.9, 1.3 Hz, 1H), 8.13 (ddt, *J* = 9.4, 8.2, 1.3 Hz, 2H), 8.05 (dd, *J* = 8.6, 1.3 Hz, 0.6H), 8.00 (dd, *J* = 8.6, 1.3 Hz, 0.4H), 7.73 – 7.66 (m, 4H), 7.55 – 7.50 (m, 3H), 7.47 – 7.43 (m, 1H), 6.89 – 6.86 (m, 0.8H), 6.85 – 6.82 (m, 1.2H), 4.75 (t, *J* = 6.2 Hz, 0.4H), 4.70 (t, *J* = 6.2 Hz, 0.6H), 3.79 (d, *J* = 3.3 Hz, 3H), 3.54 – 3.43 (m, 1H), 3.03 – 2.95 (m, 1H), 2.93 – 2.75 (m, 1H), 2.05 – 1.92 (m, 1H), 1.73 – 1.49 (m, 1H), 1.38 – 1.28 (m, 4H), 0.86 – 0.83 (m, 3H).

¹³C NMR (151 MHz, CDCl₃) δ 162.80, 162.77, 156.93, 156.90, 153.07, 152.98, 148.62, 148.58, 139.92, 131.36, 131.30, 130.69, 130.63, 129.28, 129.27, 129.23, 129.13, 129.09, 128.84, 128.83, 127.65, 126.33, 126.26, 126.19, 126.12, 122.98, 122.94, 116.60, 116.56, 114.21, 114.18, 55.57, 41.37, 41.35, 39.15, 38.23, 35.87, 35.21, 35.13, 32.63, 17.90, 16.97, 15.99, 15.34.

HRMS (ESI⁺) *m/z* Calcd for C₂₈H₃₁N₂O₃S⁺: 475.2050 [*M*+H]⁺; found: 475.2056.

N-(2-(1-(6-chlorobenzo[d]thiazol-2-yl)ethoxy)ethyl)-4-methoxybenzenesulfonamide (49)



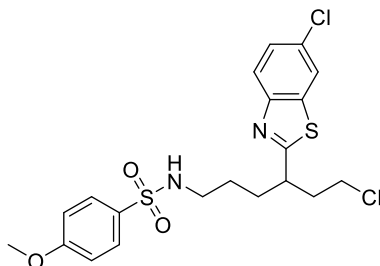
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and *N*-(2-ethoxyethyl)-4-methoxybenzenesulfonamide **2l** (103.6 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (53 mg, 62% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 7.89 (d, *J* = 8.7 Hz, 1H), 7.82 (d, *J* = 2.0 Hz, 1H), 7.79 – 7.75 (m, 2H), 7.41 (dd, *J* = 8.7, 2.1 Hz, 1H), 6.93 – 6.88 (m, 2H), 5.43 (br, 1H), 4.75 (q, *J* = 6.6 Hz, 1H), 3.82 (s, 3H), 3.62 (ddd, *J* = 9.8, 5.9, 4.0 Hz, 1H), 3.53 (ddd, *J* = 9.7, 6.2, 4.2 Hz, 1H), 3.22 – 3.10 (m, 2H), 1.56 (d, *J* = 6.6 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 175.28, 162.86, 151.36, 135.94, 131.49, 131.23, 129.18, 127.01, 123.86, 121.50, 114.23, 76.37, 68.13, 55.62, 43.05, 22.19.

HRMS (ESI+) *m/z* Calcd for C₁₈H₂₀ClN₂O₄S₂⁺: 427.0548 [*M*+H]⁺; found: 427.0552.

N-(6-chloro-4-(6-chlorobenzo[d]thiazol-2-yl)hexyl)-4-methoxybenzenesulfonamide (50)



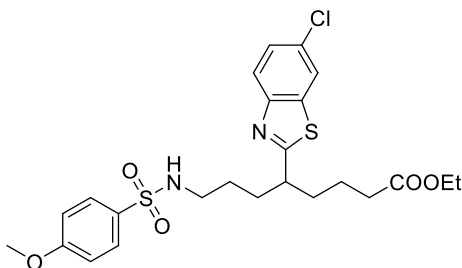
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and *N*-(6-chlorohexyl)-4-methoxybenzenesulfonamide **2m** (122.0 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (36 mg, 38% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.86 (d, *J* = 8.7 Hz, 1H), 7.81 (s, 1H), 7.73 (d, *J* = 8.2 Hz, 2H), 7.42 (d, *J* = 8.7 Hz, 1H), 6.91 (d, *J* = 8.3 Hz, 2H), 4.89 (br, 1H), 3.83 (s, 3H), 3.53 (dt, *J* = 10.7, 5.4 Hz, 1H), 3.44 – 3.31 (m, 2H), 2.90 (t, *J* = 7.0 Hz, 2H), 2.34 – 2.06 (m, 2H), 1.90 – 1.74 (m, 2H), 1.55 – 1.36 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 174.41, 162.83, 151.46, 135.70, 131.40, 131.06, 129.14, 126.97, 123.54, 121.28, 114.23, 55.62, 42.76, 42.24, 41.50, 38.23, 32.37, 27.08.

HRMS (ESI+) *m/z* Calcd for C₂₀H₂₃Cl₂N₂O₃S₂⁺: 473.0522 [*M*+H]⁺; found: 473.0529.

ethyl 5-(6-chlorobenzo[d]thiazol-2-yl)-8-((4-methoxyphenyl)sulfonamido)octanoate (51)



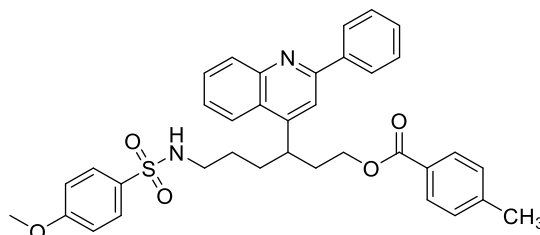
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and ethyl 8-((4-methoxyphenyl)sulfonamido)octanoate **2n** (142.9 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (47 mg, 45% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 7.84 (d, *J* = 8.7 Hz, 1H), 7.80 – 7.77 (m, 1H), 7.72 (d, *J* = 8.7 Hz, 2H), 7.42 – 7.36 (m, 1H), 6.89 (d, *J* = 8.8 Hz, 2H), 4.97 (br, 1H), 4.07 (q, *J* = 7.1 Hz, 2H), 3.82 (s, 3H), 3.07 (p, *J* = 7.7 Hz, 1H), 2.88 (t, *J* = 6.8 Hz, 2H), 2.26 (t, *J* = 7.4 Hz, 2H), 1.82 – 1.70 (m, 4H), 1.65 – 1.33 (m, 4H), 1.20 (t, *J* = 7.1 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 176.20, 173.20, 162.77, 151.22, 135.74, 131.44, 130.85, 129.14, 126.81, 123.40, 121.26, 114.19, 60.39, 55.60, 44.41, 42.83, 35.49, 33.91, 32.64, 27.08, 22.53, 14.23.

HRMS (ESI⁺) *m/z* Calcd for C₂₄H₃₀ClN₂O₅S₂⁺: 525.1279 [*M*+H]⁺; found: 525.1289.

6-((4-methoxyphenyl)sulfonamido)-3-(2-phenylquinolin-4-yl)hexyl 4-methylbenzoate (52)



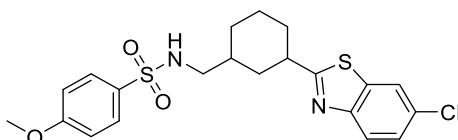
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 6-((4-methoxyphenyl)sulfonamido)hexyl 4-methylbenzoate **2o** (162.1 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (91 mg, 75% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.20 (d, *J* = 8.4 Hz, 1H), 8.11 (d, *J* = 7.3 Hz, 2H), 8.04 (d, *J* = 8.5 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 2H), 7.74 – 7.63 (m, 4H), 7.54 – 7.49 (m, 2H), 7.49 – 7.42 (m, 2H), 7.19 (d, *J* = 8.0 Hz, 2H), 6.90 – 6.82 (m, 2H), 4.60 (t, *J* = 6.3 Hz, 1H), 4.29 (dt, *J* = 11.9, 6.2 Hz, 1H), 4.16 – 4.06 (m, 1H), 3.79 (s, 3H), 3.78 – 3.66 (m, 1H), 2.85 (q, *J* = 6.7 Hz, 2H), 2.40 (s, 3H), 2.31 – 2.19 (m, 2H), 2.01 – 1.83 (m, 2H), 1.46 – 1.23 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 166.48, 162.78, 157.08, 151.26, 148.56, 143.71, 139.61, 131.36, 130.69, 129.54, 129.49, 129.40, 129.09, 129.07, 128.86, 127.64, 127.20, 126.61, 126.37, 122.53, 118.78, 114.18, 62.79, 55.57, 42.97, 35.30, 34.97, 32.99, 27.37, 21.69.

HRMS (ESI⁺) *m/z* Calcd for C₃₆H₃₇N₂O₅S⁺: 609.2418 [*M*+H]⁺; found: 609.2431.

N-((3-(6-chlorobenzo[d]thiazol-2-yl)cyclohexyl)methyl)-4-methoxybenzenesulfonamide (53)



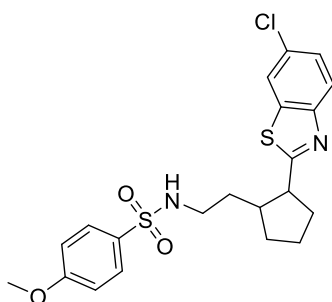
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and *N*-(cyclohexylmethyl)-4-methoxybenzenesulfonamide **2p** (113.2 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (59 mg, 65% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.84 – 7.76 (m, 4H), 7.38 (d, *J* = 8.6 Hz, 1H), 6.94 (d, *J* = 8.0 Hz, 2H), 4.93 (t, *J* = 6.6 Hz, 1H), 3.82 (s, 3H), 3.02 (t, *J* = 11.1 Hz, 1H), 2.88 – 2.78 (m, 2H), 2.17 (t, *J* = 10.9 Hz, 2H), 1.90 (d, *J* = 12.5 Hz, 1H), 1.79 (d, *J* = 12.7 Hz, 1H), 1.72 – 1.59 (m, 1H), 1.55 – 1.33 (m, 2H), 1.29 – 1.19 (m, 1H), 1.01 – 0.85 (m, 1H).

¹³C NMR (101 MHz, CDCl₃) δ 177.07, 162.83, 151.50, 135.65, 131.52, 130.56, 129.17, 126.70, 123.29, 121.21, 114.24, 55.59, 49.00, 42.69, 37.73, 36.75, 32.99, 29.69, 25.28.

HRMS (ESI⁺) *m/z* Calcd for C₂₁H₂₄ClN₂O₃S₂⁺: 451.0911 [*M*+H]⁺; found: 451.0918.

***N*-(2-(2-(6-chlorobenzo[d]thiazol-2-yl)cyclopentyl)ethyl)-4-methoxybenzenesulfonamide (54)**



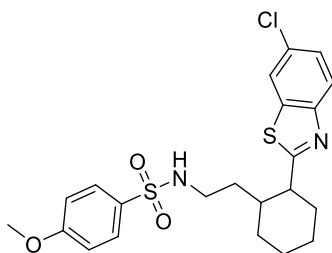
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and *N*-(2-cyclopentylethyl)-4-methoxybenzenesulfonamide **2q** (113.2 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (66 mg, 73% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.83 (d, *J* = 8.6 Hz, 1H), 7.74 (s, 1H), 7.65 (d, *J* = 8.2 Hz, 2H), 7.37 (d, *J* = 8.6 Hz, 1H), 6.81 (d, *J* = 8.2 Hz, 2H), 5.76 (t, *J* = 6.2 Hz, 1H), 3.78 (s, 3H), 3.02 (q, *J* = 8.5 Hz, 1H), 2.89 (tq, *J* = 12.5, 6.0 Hz, 2H), 2.35 – 2.13 (m, 2H), 1.99 – 1.90 (m, 1H), 1.89 – 1.78 (m, 1H), 1.78 – 1.54 (m, 4H), 1.33 – 1.19 (m, 1H).

¹³C NMR (101 MHz, CDCl₃) δ 177.00, 162.62, 151.30, 135.86, 131.58, 130.66, 129.04, 126.79, 123.27, 121.17, 114.07, 55.58, 50.20, 44.18, 41.87, 34.94, 34.54, 32.79, 24.50.

HRMS (ESI⁺) *m/z* Calcd for C₂₁H₂₄ClN₂O₃S₂⁺: 451.0911 [*M*+H]⁺; found: 451.0916.

***N*-(2-(2-(6-chlorobenzo[d]thiazol-2-yl)cyclohexyl)ethyl)-4-methoxybenzenesulfonamide (55)**



Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and *N*-(2-cyclohexylethyl)-4-methoxybenzenesulfonamide **2r** (118.9 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (71 mg, 76% yield) after silica gel column

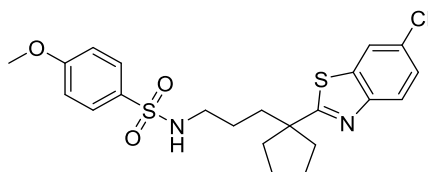
chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.90 (d, *J* = 8.6 Hz, 1H), 7.78 (s, 1H), 7.70 (d, *J* = 7.9 Hz, 2H), 7.41 (d, *J* = 8.6 Hz, 1H), 6.86 (d, *J* = 7.9 Hz, 2H), 5.47 (br, 1H), 3.82 (s, 3H), 2.98 – 2.72 (m, 3H), 2.04 (d, *J* = 11.5 Hz, 1H), 1.88 – 1.64 (m, 4H), 1.58 – 1.35 (m, 2H), 1.33 – 1.17 (m, 3H), 1.08 – 0.93 (m, 1H).

¹³C NMR (101 MHz, CDCl₃) δ 177.06, 162.66, 151.04, 135.62, 131.45, 130.85, 129.14, 126.89, 123.42, 121.24, 114.07, 55.60, 48.76, 40.68, 39.36, 35.49, 33.82, 31.99, 25.94, 25.62.

HRMS (ESI⁺) *m/z* Calcd for C₂₂H₂₆ClN₂O₃S₂⁺: 465.1068 [*M*+H]⁺; found: 465.1086.

***N*-(3-(1-(6-chlorobenzo[*d*]thiazol-2-yl)cyclopentyl)propyl)-4-methoxybenzenesulfonamide (56)**



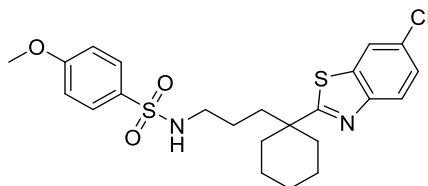
Prepared from 6-chlorobenzo[*d*]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and *N*-(3-cyclopentylpropyl)-4-methoxybenzenesulfonamide **2s** (118.9 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (64 mg, 69% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.87 (dd, *J* = 8.7, 2.4 Hz, 1H), 7.77 (s, 1H), 7.71 (d, *J* = 8.1 Hz, 2H), 7.38 (dt, *J* = 7.3, 1.9 Hz, 1H), 6.92 – 6.82 (m, 2H), 5.10 (t, *J* = 5.9 Hz, 1H), 3.82 (s, 3H), 2.84 (q, *J* = 6.0 Hz, 2H), 2.22 – 2.13 (m, 2H), 1.91 – 1.65 (m, 8H), 1.47 – 1.29 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 180.86, 162.74, 151.42, 136.19, 131.48, 130.58, 129.14, 126.63, 123.45, 121.05, 114.14, 55.60, 53.31, 43.28, 39.53, 38.17, 25.52, 24.38.

HRMS (ESI⁺) *m/z* Calcd for C₂₂H₂₆ClN₂O₃S₂⁺: 465.1068 [*M*+H]⁺; found: 465.1065.

***N*-(3-(1-(6-chlorobenzo[*d*]thiazol-2-yl)cyclohexyl)propyl)-4-methoxybenzenesulfonamide (57)**



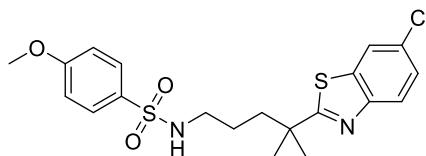
Prepared from 6-chlorobenzo[*d*]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and *N*-(3-cyclohexylpropyl)-4-methoxybenzenesulfonamide **2t** (124.5 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (71 mg, 74% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.86 (d, *J* = 8.6 Hz, 1H), 7.78 (s, 1H), 7.70 (d, *J* = 8.1 Hz, 2H), 7.38 (dt, *J* = 8.8, 2.1 Hz, 1H), 6.88 (d, *J* = 8.1 Hz, 2H), 4.92 (t, *J* = 5.9 Hz, 1H), 3.82 (s, 3H), 2.80 (q, *J* = 6.1 Hz, 2H), 2.15 – 2.05 (m, 2H), 1.72 – 1.64 (m, 2H), 1.65 – 1.51 (m, 4H), 1.51 – 1.35 (m, 4H), 1.31 – 1.22 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 180.28, 162.75, 151.41, 136.12, 131.45, 130.56, 129.13, 126.49, 123.50, 121.10, 114.15, 55.60, 45.11, 43.37, 39.09, 36.94, 25.74, 23.76, 22.31.

HRMS (ESI⁺) *m/z* Calcd for C₂₃H₂₈ClN₂O₃S₂⁺: 479.1224 [*M*+H]⁺; found: 479.1239.

N-(4-(6-chlorobenzo[d]thiazol-2-yl)-4-methylpentyl)-4-methoxybenzenesulfonamide (58)



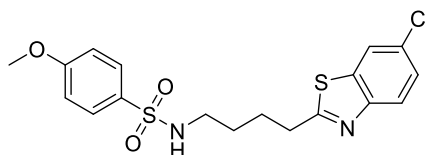
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-(4-methylpentyl)benzenesulfonamide **2u** (108.4 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (72 mg, 82% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.89 (d, *J* = 8.7 Hz, 1H), 7.78 (s, 1H), 7.73 (dd, *J* = 8.9, 2.3 Hz, 2H), 7.38 (dt, *J* = 8.8, 2.2 Hz, 1H), 6.88 (dd, *J* = 8.9, 2.4 Hz, 2H), 5.27 (br, 1H), 3.82 (s, 3H), 2.86 (t, *J* = 6.8 Hz, 2H), 1.86 – 1.64 (m, 2H), 1.43 – 1.35 (m, 8H).

¹³C NMR (101 MHz, CDCl₃) δ 181.22, 162.74, 151.38, 136.03, 131.46, 130.62, 129.15, 126.70, 123.51, 121.08, 114.15, 55.60, 43.28, 41.37, 39.83, 28.75, 24.69.

HRMS (ESI+) *m/z* Calcd for C₂₀H₂₄ClN₂O₃S₂⁺: 439.0911 [*M*+H]⁺; found: 439.0925.

N-(4-(6-chlorobenzo[d]thiazol-2-yl)butyl)-4-methoxybenzenesulfonamide (59)



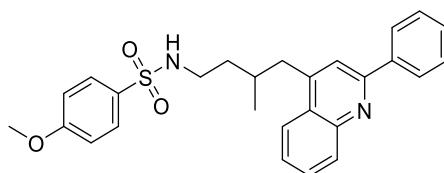
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2v** (97.2 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (18 mg, 22% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.87 (d, *J* = 8.6 Hz, 1H), 7.83 – 7.72 (m, 3H), 7.41 (d, *J* = 8.7 Hz, 1H), 6.94 (d, *J* = 7.8 Hz, 2H), 4.78 (br, 1H), 3.84 (s, 3H), 3.05 (t, *J* = 7.2 Hz, 2H), 2.97 (t, *J* = 7.0 Hz, 2H), 1.88 (p, *J* = 7.3 Hz, 2H), 1.60 (p, *J* = 7.3 Hz, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 171.84, 162.84, 151.57, 136.20, 131.45, 130.80, 129.18, 126.84, 123.29, 121.15, 114.23, 55.61, 42.68, 33.39, 28.77, 25.94.

HRMS (ESI+) *m/z* Calcd for C₁₈H₂₀ClN₂O₃S₂⁺: 411.0598 [*M*+H]⁺; found: 411.0605.

4-methoxy-*N*-(3-methyl-4-(2-phenylquinolin-4-yl)butyl)benzenesulfonamide (60)



Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and *N*-isopentyl-4-methoxybenzenesulfonamide **2w** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (26 mg, 28% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

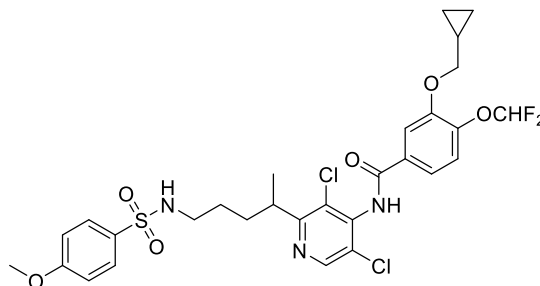
¹H NMR (400 MHz, CDCl₃) δ 8.21 (d, *J* = 8.5 Hz, 1H), 8.13 (d, *J* = 6.7 Hz, 2H), 7.96 (d, *J* = 8.4 Hz, 1H), 7.79 – 7.67 (m, 3H), 7.61 (s, 1H), 7.56 – 7.43 (m, 4H), 6.89 (dd, *J* = 9.0, 2.3 Hz, 2H), 4.68

(t, $J = 6.1$ Hz, 1H), 3.80 (s, 3H), 3.13 – 3.01 (m, 2H), 2.97 – 2.79 (m, 2H), 2.10 – 1.98 (m, 1H), 1.66 – 1.55 (m, 1H), 1.49 – 1.37 (m, 1H), 0.88 (d, $J = 6.6$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.82, 156.74, 148.42, 147.37, 139.56, 131.26, 130.38, 129.42, 129.34, 129.14, 128.84, 127.61, 126.67, 126.22, 123.56, 119.92, 114.23, 55.59, 41.13, 40.01, 36.64, 31.45, 19.48.

HRMS (ESI+) m/z Calcd for $\text{C}_{27}\text{H}_{29}\text{N}_2\text{O}_3\text{S}^+$: 461.1893 $[M+\text{H}]^+$; found: 461.1905.

3-(cyclopropylmethoxy)-*N*-(3,5-dichloro-2-(5-((4-methoxyphenyl)sulfonamido)pentan-2-yl)pyridin-4-yl)-4-(difluoromethoxy)benzamide (61)



Prepared from 3-(cyclopropylmethoxy)-*N*-(3,5-dichloropyridin-4-yl)-4-(difluoromethoxy)benzamide (80.4 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (45 mg, 34% yield) after silica gel column chromatography using petroleum DCM/MeOH (40:1 to 30:1).

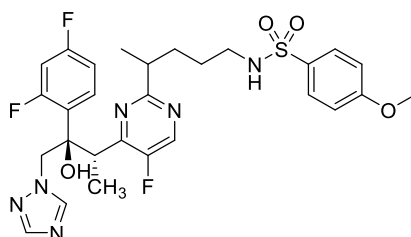
^1H NMR (400 MHz, CDCl_3) δ 8.46 (s, 1H), 8.09 (s, 1H), 7.72 (d, $J = 8.8$ Hz, 2H), 7.59 (d, $J = 1.9$ Hz, 1H), 7.50 (dd, $J = 8.3, 2.0$ Hz, 1H), 7.24 (d, $J = 8.2$ Hz, 1H), 6.94 (s, 2H), 6.92 – 6.73 (m, 1H), 4.85 (s, 1H), 3.93 (d, $J = 7.0$ Hz, 2H), 3.84 (s, 3H), 3.42 – 3.29 (m, 1H), 2.88 – 2.77 (m, 2H), 1.82 – 1.69 (m, 1H), 1.59 – 1.46 (m, 1H), 1.44 – 1.32 (m, 1H), 1.35 – 1.23 (m, 2H), 1.18 (d, $J = 6.8$ Hz, 3H), 0.69 – 0.60 (m, 2H), 0.39 – 0.30 (m, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 164.11, 162.79, 161.72, 150.74, 147.11, 143.67 (t, $J_{\text{F-C}} = 3.1$ Hz), 139.84, 131.31, 130.95, 129.13, 128.37, 127.06, 122.17, 120.18, 115.73 (t, $J_{\text{F-C}} = 261.2$ Hz), 114.23, 114.15, 74.15, 55.65, 43.12, 36.82, 32.45, 27.26, 19.68, 10.01, 3.28.

^{19}F NMR (376 MHz, CDCl_3) δ -81.97.

HRMS (ESI+) m/z Calcd for $\text{C}_{29}\text{H}_{32}\text{Cl}_2\text{F}_2\text{N}_3\text{O}_6\text{S}^+$: 658.1351 $[M+\text{H}]^+$; found: 658.1353.

***N*-(4-(4-((2*S*,3*R*)-3-(2,4-difluorophenyl)-3-hydroxy-4-(1*H*-1,2,4-triazol-1-yl)butan-2-yl)-5-fluoropyrimidin-2-yl)pentyl)-4-methoxybenzenesulfonamide (62)**



Prepared from (2*R*,3*S*)-2-(2,4-difluorophenyl)-3-(5-fluoropyrimidin-4-yl)-1-(1*H*-1,2,4-triazol-1-yl)butan-2-ol (69.8 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (71 mg, 59% yield) after silica gel column chromatography using petroleum

DCM/MeOH (30:1 to 20:1).

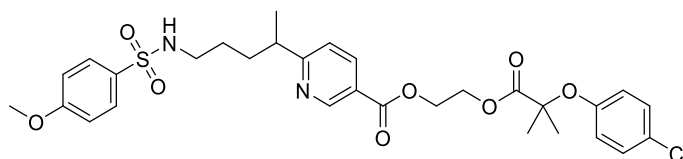
¹H NMR (600 MHz, CDCl₃) δ 8.76 (t, *J* = 1.9 Hz, 1H), 7.99 (d, *J* = 3.0 Hz, 1H), 7.73 (dd, *J* = 8.8, 4.1 Hz, 2H), 7.64 – 7.57 (m, 1H), 7.51 – 7.48 (m, 1H), 6.93 (dd, *J* = 9.0, 2.7 Hz, 2H), 6.81 (ddt, *J* = 8.6, 6.0, 2.4 Hz, 2H), 6.71 (d, *J* = 22.1 Hz, 1H), 5.20 (t, *J* = 6.1 Hz, 0.5H), 4.99 (t, *J* = 6.1 Hz, 0.5H), 4.67 (d, *J* = 14.3 Hz, 1H), 4.32 (dd, *J* = 24.9, 14.3 Hz, 1H), 4.08 (dt, *J* = 11.3, 5.7 Hz, 1H), 3.84 (d, *J* = 3.5 Hz, 3H), 3.21 (dh, *J* = 27.7, 6.7 Hz, 1H), 2.89 (dq, *J* = 13.2, 6.7 Hz, 2H), 1.86 – 1.75 (m, 1H), 1.68 – 1.57 (m, 1H), 1.49 (ddp, *J* = 19.9, 12.9, 6.7 Hz, 1H), 1.42 – 1.29 (m, 1H), 1.28 – 1.22 (m, 3H), 1.05 (dd, *J* = 7.1, 2.3 Hz, 3H).

¹³C NMR (151 MHz, CDCl₃) δ 163.60, 163.52, 162.82, 162.79, 162.41, 162.38, 162.32, 162.28, 161.95, 161.87, 159.37, 159.29, 158.19, 158.14, 158.10, 158.04, 152.82, 152.81, 152.76, 152.74, 150.73, 150.71, 144.12, 144.04, 131.46, 130.68, 130.64, 130.62, 130.58, 129.13, 123.85, 123.83, 123.77, 123.74, 123.72, 123.70, 123.65, 123.62, 114.21, 111.64, 111.62, 111.51, 111.49, 104.26, 104.07, 103.90, 77.53, 77.50, 77.46, 57.54, 57.52, 57.48, 55.61, 42.94, 36.48, 36.45, 36.42, 36.39, 34.20, 33.84, 31.85, 31.62, 27.49, 27.25, 19.10, 19.00, 16.38, 16.28.

¹⁹F NMR (376 MHz, CDCl₃) δ -109.00 (d, *J* = 8.1 Hz, 0.5H), -109.05 (d, *J* = 8.1 Hz, 0.5H), -110.43 (d, *J* = 8.1 Hz, 0.5H), -110.47 (d, *J* = 8.1 Hz, 0.5H), -139.00 (s, 0.5H), -139.15 (s, 0.5H).

HRMS (ESI⁺) *m/z* Calcd for C₂₈H₃₂F₃N₆O₄S⁺: 605.2152 [*M*+H]⁺; found: 605.2150.

2-((2-(4-chlorophenoxy)-2-methylpropanoyl)oxy)ethyl 6-((5-((4-methoxyphenyl)sulfonamido)pentan-2-yl)nicotinate (63)



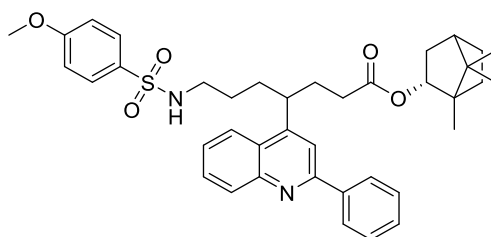
Prepared from 2-((2-(4-chlorophenoxy)-2-methylpropanoyl)oxy)ethyl nicotinate (72.6 mg, 0.2 mmol, 1.0 equiv) and 4-methoxy-*N*-pentylbenzenesulfonamide **2a** (102.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (49 mg, 40% yield) after silica gel column chromatography using petroleum PE/EtOAc (4:1 to 2:1).

¹H NMR (600 MHz, CDCl₃) δ 9.00 (d, *J* = 2.2 Hz, 1H), 7.99 (dd, *J* = 8.2, 2.2 Hz, 1H), 7.74 (d, *J* = 8.9 Hz, 2H), 7.13 (d, *J* = 8.1 Hz, 1H), 7.09 – 7.05 (m, 2H), 6.95 – 6.90 (m, 2H), 6.75 – 6.72 (m, 2H), 4.85 (t, *J* = 6.1 Hz, 1H), 4.51 (ddt, *J* = 8.2, 6.4, 2.1 Hz, 4H), 3.83 (s, 3H), 2.87 (qt, *J* = 6.5, 2.9 Hz, 3H), 1.76 – 1.68 (m, 1H), 1.58 (s, 7H), 1.47 – 1.38 (m, 1H), 1.29 (dq, *J* = 17.0, 6.2, 5.7 Hz, 1H), 1.23 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (151 MHz, CDCl₃) δ 173.91, 170.63, 164.94, 162.77, 153.91, 150.43, 137.57, 131.49, 129.15, 129.12, 127.14, 123.23, 121.40, 120.23, 114.19, 79.34, 63.06, 62.60, 55.61, 43.08, 41.51, 33.52, 27.45, 25.31, 20.66.

HRMS (ESI⁺) *m/z* Calcd for C₃₀H₃₆ClN₂O₈S⁺: 619.1875 [*M*+H]⁺; found: 619.1878.

(2R)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl 7-((4-methoxyphenyl)sulfonamido)-4-(2-phenylquinolin-4-yl)heptanoate (64)



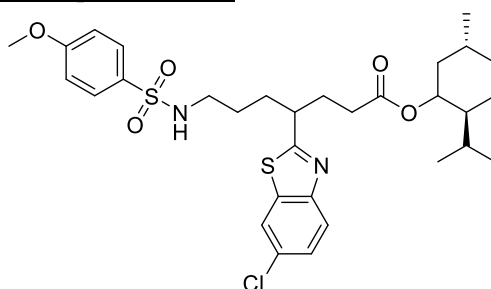
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and (2*R*)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl 7-((4-methoxyphenyl)sulfonamido)heptanoate **2aa** (180.5 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as white solid (90 mg, 69% yield) after silica gel column chromatography using petroleum ether/EtOAc (5:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.21 (d, *J* = 8.4 Hz, 1H), 8.14 – 8.09 (m, 2H), 8.01 (d, *J* = 8.5 Hz, 1H), 7.74 – 7.63 (m, 4H), 7.54 – 7.42 (m, 4H), 6.86 – 6.82 (m, 2H), 4.93 (t, *J* = 6.2 Hz, 1H), 4.83 (ddt, *J* = 9.9, 3.7, 2.1 Hz, 1H), 3.79 (s, 3H), 3.69 – 3.46 (m, 1H), 2.82 (q, *J* = 6.7 Hz, 2H), 2.34 – 2.24 (m, 1H), 2.21 – 2.11 (m, 3H), 2.09 – 2.01 (m, 1H), 1.92 – 1.73 (m, 3H), 1.72 – 1.60 (m, 2H), 1.44 – 1.06 (m, 5H), 0.87 (s, 3H), 0.83 (s, 3H), 0.74 (d, *J* = 8.9 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 173.58, 162.74, 157.12, 151.39, 148.59, 139.68, 131.37, 130.66, 129.51, 129.38, 129.06, 128.86, 127.65, 126.90, 126.41, 122.68, 115.86, 114.17, 80.05, 55.57, 48.69, 47.79, 44.80, 43.02, 36.73, 33.12, 32.11, 31.16, 27.98, 27.96, 27.33, 27.04, 19.70, 18.83, 13.55.

HRMS (ESI⁺) *m/z* Calcd for C₃₉H₄₇N₂O₅S⁺: 655.3200 [*M*+H]⁺; found 655.3203.

(2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl 4-(6-chlorobenzo[*d*]thiazol-2-yl)-7-((4-methoxyphenyl)sulfonamido)heptanoate (65)



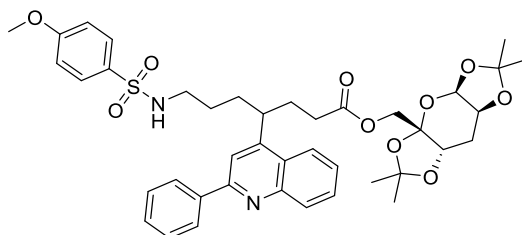
Prepared from 6-chlorobenzo[*d*]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and (2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl 7-((4-methoxyphenyl)sulfonamido)heptanoate **2ab** (181.3 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow solid (55 mg, 44% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.86 (dd, *J* = 8.7, 2.2 Hz, 1H), 7.81 (t, *J* = 2.0 Hz, 1H), 7.76 – 7.70 (m, 2H), 7.42 (ddd, *J* = 8.6, 2.1, 1.0 Hz, 1H), 6.96 – 6.86 (m, 2H), 4.75 (td, *J* = 6.2, 2.3 Hz, 1H), 4.64 (tdd, *J* = 10.9, 4.4, 1.5 Hz, 1H), 3.83 (s, 3H), 3.16 – 3.07 (m, 1H), 2.91 (q, *J* = 6.6 Hz, 2H), 2.25 (t, *J* = 7.3 Hz, 2H), 2.12 – 2.00 (m, 2H), 1.96 – 1.85 (m, 1H), 1.84 – 1.75 (m, 2H), 1.70 – 1.60 (m, 2H), 1.55 – 1.38 (m, 3H), 1.35 – 1.24 (m, 2H), 1.08 – 0.95 (m, 1H), 0.90 – 0.83 (m, 8H), 0.72 (t, *J* = 7.1 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 175.21, 172.37, 162.80, 151.49, 135.81, 131.44, 130.94, 129.15, 126.86, 123.54, 121.26, 114.19, 74.38, 55.60, 46.92, 43.83, 43.81, 42.82, 42.80, 40.90, 40.87, 34.19, 32.59, 32.52, 32.02, 31.37, 31.36, 31.08, 31.01, 27.18, 26.23, 23.36, 22.03, 22.01, 20.78, 20.76, 16.32, 16.28.

HRMS (ESI⁺) *m/z* Calcd for C₃₁H₄₂ClN₂O₅S₂⁺: 621.2218 [*M*+H]⁺; found 621.2217.

((3a*S*,4a*R*,7a*S*,8a*S*)-2,2,6,6-tetramethyltetrahydro-3a*H*-bis([1,3]dioxolo)[4,5-*b*:4',5'-*e*]pyran-3a-yl)methyl 7-((4-methoxyphenyl)sulfonamido)-4-(2-phenylquinolin-4-yl)heptanoate (66)



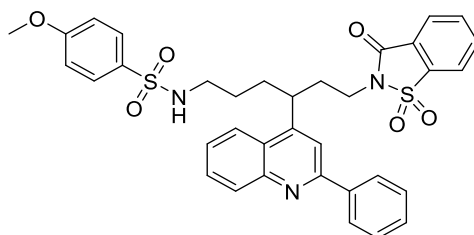
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and ((3a*S*,4a*R*,7a*S*,8a*S*)-2,2,6,6-tetramethyltetrahydro-3a*H*-bis([1,3]dioxolo)[4,5-*b*:4',5'-*e*]pyran-3a-yl)methyl 7-((4-methoxyphenyl)sulfonamido)heptanoate **2ac** (222.9 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (119 mg, 78% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (600 MHz, CDCl₃) δ 8.18 (d, *J* = 8.4 Hz, 1H), 8.09 (dd, *J* = 7.7, 2.3 Hz, 2H), 7.98 (d, *J* = 8.5 Hz, 1H), 7.67 (dd, *J* = 20.2, 8.2 Hz, 4H), 7.49 (q, *J* = 7.4, 7.0 Hz, 3H), 7.43 (t, *J* = 7.3 Hz, 1H), 6.83 (d, *J* = 8.8 Hz, 2H), 4.98 (q, *J* = 6.0 Hz, 1H), 4.54 – 4.44 (m, 1H), 4.37 – 4.29 (m, 1H), 4.16 (d, *J* = 7.9 Hz, 1H), 4.12 – 4.07 (m, 1H), 3.93 (dd, *J* = 11.6, 7.5 Hz, 1H), 3.77 (s, 3H), 3.68 (d, *J* = 13.0 Hz, 1H), 2.81 (q, *J* = 6.6 Hz, 2H), 2.23 – 2.11 (m, 3H), 2.08 – 1.98 (m, 1H), 1.89 – 1.70 (m, 2H), 1.53 – 1.31 (m, 9H), 1.26 (t, *J* = 8.9 Hz, 4H), 1.12 (d, *J* = 24.2 Hz, 3H).

¹³C NMR (151 MHz, CDCl₃) δ 172.48, 162.73, 157.04, 157.02, 151.19, 148.60, 139.65, 131.41, 130.70, 129.47, 129.35, 129.04, 128.84, 127.60, 126.84, 126.44, 122.62, 115.61, 114.16, 109.03, 109.01, 108.65, 108.63, 101.36, 70.66, 70.65, 70.49, 69.93, 65.37, 61.17, 61.14, 55.57, 42.96, 36.99, 32.96, 31.64, 30.90, 27.27, 26.36, 25.83, 25.81, 24.98, 24.92, 24.02, 23.97.

HRMS (ESI⁺) *m/z* Calcd for C₄₁H₄₉N₂O₁₀S⁺: 761.3102 [*M*+H]⁺; found 761.3106.

***N*-(6-(1,1-dioxido-3-oxobenzo[*d*]isothiazol-2(3*H*)-yl)hexyl)-4-(2-phenylquinolin-4-yl)hexyl)-4-methoxybenzenesulfonamide (67)**



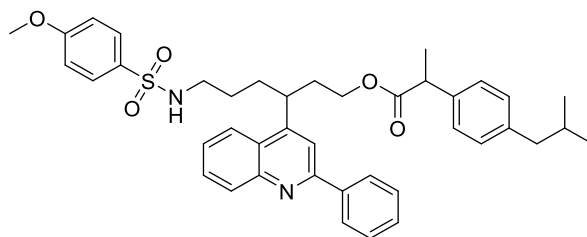
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and *N*-(6-(1,1-dioxido-3-oxobenzo[*d*]isothiazol-2(3*H*)-yl)hexyl)-4-methoxybenzenesulfonamide **2ad** (180.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (98 mg, 75% yield) after silica gel column chromatography using petroleum ether/EtOAc (2:1 to 1:1).

¹H NMR (400 MHz, CDCl₃) δ 8.21 (dd, *J* = 8.5, 1.2 Hz, 1H), 8.17 (dd, *J* = 7.0, 1.5 Hz, 2H), 8.00 (d, *J* = 8.5 Hz, 1H), 7.98 – 7.94 (m, 1H), 7.88 – 7.85 (m, 1H), 7.84 – 7.69 (m, 4H), 7.68 – 7.64 (m, 2H), 7.54 – 7.42 (m, 4H), 6.86 – 6.82 (m, 2H), 4.79 (t, *J* = 6.2 Hz, 1H), 3.77 (s, 3H), 3.75 – 3.57 (m, 3H), 2.82 (q, *J* = 6.7 Hz, 2H), 2.45 – 2.27 (m, 2H), 1.95 – 1.74 (m, 2H), 1.44 – 1.27 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 162.74, 158.79, 157.15, 150.34, 148.63, 139.62, 137.53, 134.85, 134.42, 131.46, 130.72, 129.54, 129.38, 129.08, 128.86, 127.72, 127.18, 126.45, 125.16, 122.62, 120.94, 115.97, 114.18, 55.57, 42.92, 37.56, 35.76, 33.66, 32.89, 27.01.

HRMS (ESI+) m/z Calcd for $C_{35}H_{34}N_3O_6S_2^+$: 656.1884 $[M+H]^+$; found 656.1891.

6-((4-methoxyphenyl)sulfonamido)-3-(2-phenylquinolin-4-yl)hexyl 2-(4-isobutylphenyl)propanoate (68)



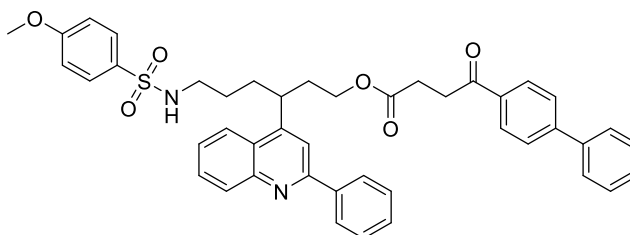
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 6-((4-methoxyphenyl)sulfonamido)hexyl 2-(4-isobutylphenyl)propanoate **2ae** (190.1 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (72 mg, 53% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

1H NMR (400 MHz, $CDCl_3$) δ 8.21 (d, J = 8.4 Hz, 1H), 8.13 – 8.08 (m, 2H), 7.80 (dd, J = 25.8, 8.5 Hz, 1H), 7.75 – 7.60 (m, 4H), 7.56 – 7.37 (m, 4H), 7.18 – 7.05 (m, 4H), 6.88 – 6.83 (m, 2H), 4.62 – 4.52 (m, 1H), 4.15 – 4.01 (m, 1H), 3.85 – 3.73 (m, 4H), 3.64 – 3.39 (m, 2H), 2.80 (p, J = 7.1 Hz, 2H), 2.43 (d, J = 7.2 Hz, 2H), 2.11 – 1.98 (m, 2H), 1.88 – 1.67 (m, 3H), 1.41 (d, J = 7.1 Hz, 3H), 1.36 – 1.15 (m, 2H), 0.90 – 0.84 (m, 6H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 174.55, 162.78, 157.01, 151.40, 148.50, 140.67, 140.58, 139.59, 137.94, 137.57, 131.38, 131.37, 130.62, 129.53, 129.42, 129.35, 129.06, 128.88, 127.64, 127.17, 127.14, 126.65, 126.39, 126.33, 122.61, 115.88, 114.19, 62.60, 62.35, 55.58, 45.18, 45.02, 45.00, 43.00, 42.97, 35.21, 35.12, 32.80, 32.77, 30.19, 27.34, 22.41, 22.38, 18.56, 18.23.

HRMS (ESI+) m/z Calcd for $C_{41}H_{47}N_2O_5S^+$: 679.3200 $[M+H]^+$; found 679.3208.

6-((4-methoxyphenyl)sulfonamido)-3-(2-phenylquinolin-4-yl)hexyl 4-([1,1'-biphenyl]-4-yl)-4-oxobutanoate (69)



Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 6-((4-methoxyphenyl)sulfonamido)hexyl 4-([1,1'-biphenyl]-4-yl)-4-oxobutanoate **2af** (209.3 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (109 mg, 75% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

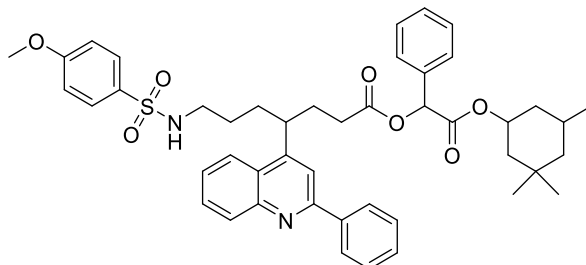
1H NMR (400 MHz, $CDCl_3$) δ 8.23 – 8.19 (m, 1H), 8.16 – 8.11 (m, 2H), 8.07 (dd, J = 8.7, 1.3 Hz, 1H), 8.03 – 8.00 (m, 2H), 7.73 – 7.65 (m, 6H), 7.64 – 7.60 (m, 2H), 7.54 – 7.44 (m, 6H), 7.43 – 7.38 (m, 1H), 6.87 – 6.82 (m, 2H), 4.90 (t, J = 6.2 Hz, 1H), 4.17 – 4.10 (m, 1H), 3.89 (dt, J = 11.2, 6.5 Hz, 1H), 3.78 (s, 3H), 3.75 – 3.62 (m, 1H), 3.34 – 3.16 (m, 2H), 2.90 – 2.80 (m, 2H), 2.74 – 2.58 (m, 2H), 2.14 (q, J = 6.8 Hz, 2H), 1.98 – 1.77 (m, 2H), 1.44 – 1.27 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 197.93, 172.78, 162.72, 157.03, 151.39, 148.63, 145.94, 139.76, 139.68, 135.13, 131.50, 130.73, 129.51, 129.38, 129.06, 129.00, 128.88, 128.69, 128.32, 127.63,

127.27, 126.68, 126.37, 122.70, 115.90, 114.16, 62.62, 55.57, 42.95, 34.98, 33.37, 32.73, 28.23, 27.24.

HRMS (ESI⁺) m/z Calcd for C₄₄H₄₃N₂O₆S⁺: 727.2836 [$M+H$]⁺; found 727.2859.

2-oxo-1-phenyl-2-((3,3,5-trimethylcyclohexyl)oxy)ethyl 7-((4-methoxyphenyl)sulfonamido)-4-(2-phenylquinolin-4-yl)heptanoate (70)



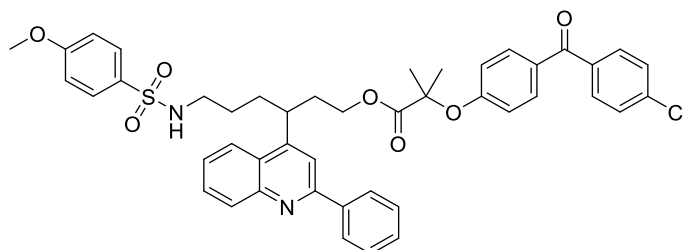
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 2-oxo-1-phenyl-2-((3,3,5-trimethylcyclohexyl)oxy)ethyl 7-((4-methoxyphenyl)sulfonamido)heptanoate **2ag** (229.3 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (110 mg, 71% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.21 (dt, J = 8.5, 1.6 Hz, 1H), 8.12 (dt, J = 8.1, 1.6 Hz, 2H), 8.03 (dd, J = 16.1, 8.5 Hz, 1H), 7.73 – 7.65 (m, 4H), 7.53 – 7.42 (m, 4H), 7.42 – 7.31 (m, 5H), 6.86 – 6.81 (m, 2H), 5.82 (dd, J = 10.2, 2.3 Hz, 1H), 5.01 – 4.87 (m, 2H), 3.77 (d, J = 2.1 Hz, 3H), 3.71 – 3.41 (m, 1H), 2.87 – 2.79 (m, 2H), 2.43 – 2.09 (m, 4H), 2.05 – 1.95 (m, 0.5H), 1.94 – 1.55 (m, 4H), 1.53 – 1.45 (m, 0.5H), 1.42 – 1.26 (m, 3H), 1.16 – 0.95 (m, 1H), 0.95 – 0.86 (m, 8H), 0.83 (dd, J = 6.5, 2.5 Hz, 2H), 0.77 – 0.65 (m, 1H).

¹³C NMR (101 MHz, CDCl₃) δ 172.62, 172.58, 168.46, 168.44, 168.38, 168.37, 162.71, 157.05, 151.29, 148.60, 139.66, 133.79, 133.77, 133.74, 131.52, 131.50, 130.66, 129.51, 129.37, 129.15, 129.07, 128.87, 128.76, 128.74, 127.66, 127.57, 127.54, 127.52, 126.83, 126.41, 122.75, 115.75, 114.16, 74.84, 74.82, 74.80, 74.77, 72.95, 72.92, 55.57, 47.39, 43.65, 43.33, 42.96, 40.10, 39.74, 32.98, 32.94, 32.70, 32.61, 32.30, 32.24, 31.80, 31.64, 31.11, 27.24, 27.06, 26.98, 25.48, 25.46, 25.44, 22.27, 22.21.

HRMS (ESI⁺) m/z Calcd for C₄₆H₅₃N₂O₇S⁺: 777.3568 [$M+H$]⁺; found 777.3514.

6-((4-methoxyphenyl)sulfonamido)-3-(2-phenylquinolin-4-yl)hexyl 2-(4-(4-chlorobenzoyl)phenoxy)-2-methylpropanoate (71)



Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 6-((4-methoxyphenyl)sulfonamido)hexyl 2-(4-(4-chlorobenzoyl)phenoxy)-2-methylpropanoate **2ah** (234.9 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as yellow oil (104 mg, 66% yield) after silica gel column chromatography using petroleum

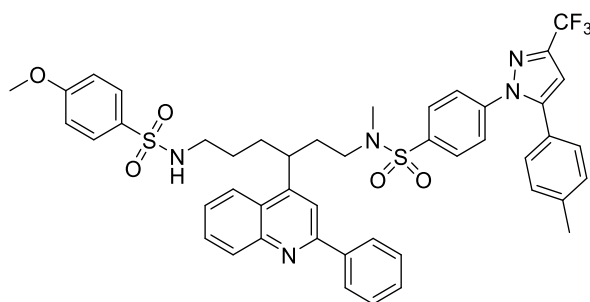
ether/EtOAc (3:1 to 2:1).

¹H NMR (400 MHz, CDCl₃) δ 8.17 (d, *J* = 8.4 Hz, 1H), 8.11 – 8.07 (m, 2H), 7.83 (d, *J* = 7.2 Hz, 1H), 7.74 – 7.62 (m, 8H), 7.53 – 7.40 (m, 6H), 6.89 – 6.84 (m, 4H), 5.14 (t, *J* = 6.3 Hz, 1H), 4.31 – 4.23 (m, 1H), 3.83 – 3.78 (m, 4H), 3.44 – 3.19 (m, 1H), 2.75 (q, *J* = 6.7 Hz, 2H), 2.07 – 1.98 (m, 2H), 1.83 – 1.69 (m, 2H), 1.66 (s, 3H), 1.60 (s, 3H), 1.12 – 1.03 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 194.67, 173.49, 162.69, 159.79, 157.05, 151.04, 148.54, 139.53, 138.74, 135.99, 132.14, 131.56, 131.36, 130.68, 130.29, 129.52, 129.44, 129.09, 128.88, 128.63, 127.58, 126.63, 126.23, 122.64, 116.80, 115.69, 114.12, 79.35, 63.46, 55.57, 43.09, 35.19, 34.62, 32.85, 27.30, 26.65, 24.07.

HRMS (ESI+) *m/z* Calcd for C₄₅H₄₄ClN₂O₇S⁺: 791.2552 [*M*+H]⁺; found 791.2562.

***N*-(6-((4-methoxyphenyl)sulfonamido)-3-(2-phenylquinolin-4-yl)hexyl)-*N*-methyl-4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)benzenesulfonamide (72)**



Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and *N*-(6-((4-methoxyphenyl)sulfonamido)hexyl)-*N*-methyl-4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)benzenesulfonamide **2ai** (265.7 mg, 0.4 mmol, 2.0 equiv) following **general procedure E**. The product was obtained as colorless oil (56 mg, 32% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1 to 2:1).

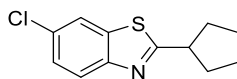
¹H NMR (400 MHz, CDCl₃) δ 8.19 (d, *J* = 8.4 Hz, 1H), 8.13 (d, *J* = 7.0 Hz, 2H), 8.01 (d, *J* = 8.5 Hz, 1H), 7.73 – 7.63 (m, 6H), 7.55 – 7.36 (m, 6H), 7.16 – 7.03 (m, 4H), 6.89 – 6.84 (m, 2H), 6.73 (s, 1H), 5.01 (t, *J* = 6.3 Hz, 1H), 3.80 (s, 3H), 3.71 – 3.47 (m, 1H), 3.16 – 3.01 (m, 1H), 2.83 (q, *J* = 6.5 Hz, 3H), 2.64 (s, 3H), 2.34 (s, 3H), 2.08 – 1.90 (m, 3H), 1.88 – 1.74 (m, 1H), 1.39 – 1.28 (m, 2H).

¹⁹F NMR (376 MHz, CDCl₃) δ -62.37.

¹³C NMR (101 MHz, CDCl₃) δ 162.74, 157.03, 151.15, 148.65, 145.28, 144.04 (q, *J* = 38.5 Hz), 142.50, 139.81, 139.58, 136.66, 131.46, 130.73, 129.74, 129.55, 129.42, 129.09, 128.88, 128.68, 128.26, 127.61, 126.51, 126.41, 125.62, 125.55, 122.51, 121.07 (q, *J* = 269.2 Hz), 115.77, 114.19, 106.25, 55.59, 48.44, 42.71, 35.05, 34.73, 33.90, 31.81, 27.05, 21.33.

HRMS (ESI+) *m/z* Calcd for C₄₆H₄₅F₃N₅O₅S₂⁺: 868.2809 [*M*+H]⁺; found 868.2807.

6-chloro-2-cyclopentylbenzo[d]thiazole (73)

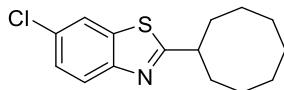


Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and cyclopentane (0.2 mL) following **general procedure F**. The product was obtained as yellow solid (28 mg, 60% yield) after silica gel column chromatography using petroleum ether/EtOAc (50:1 to 40:1).

¹H NMR (600 MHz, CDCl₃) δ 7.85 (d, *J* = 8.7 Hz, 1H), 7.79 (d, *J* = 2.1 Hz, 1H), 7.39 (dd, *J* = 8.7, 2.1 Hz, 1H), 3.53 (p, *J* = 8.2 Hz, 1H), 2.29 – 2.20 (m, 2H), 1.97 – 1.82 (m, 4H), 1.78 – 1.70 (m, 2H).
¹³C NMR (151 MHz, CDCl₃) δ 177.73, 151.66, 136.00, 130.43, 126.60, 123.19, 121.10, 44.73, 34.00, 25.59.

HRMS (ESI+) *m/z* Calcd for C₁₂H₁₃ClNS⁺: 238.0452 [*M*+H]⁺; found 238.0457.

6-chloro-2-cyclooctylbenzo[d]thiazole (74)



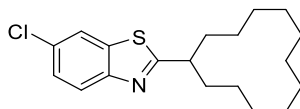
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and cyclooctane (0.2 mL) following **general procedure F**. The product was obtained as yellow oil (32 mg, 57% yield) after silica gel column chromatography using petroleum ether/EtOAc (50:1 to 40:1).

¹H NMR (600 MHz, CDCl₃) δ 7.86 (d, *J* = 8.7 Hz, 1H), 7.82 – 7.78 (m, 1H), 7.41 – 7.37 (m, 1H), 3.35 (tt, *J* = 9.2, 3.8 Hz, 1H), 2.18 – 2.12 (m, 2H), 1.99 – 1.91 (m, 2H), 1.85 – 1.79 (m, 2H), 1.68 – 1.59 (m, 8H).

¹³C NMR (151 MHz, CDCl₃) δ 179.68, 151.51, 135.92, 130.38, 126.55, 123.25, 121.11, 43.68, 32.79, 26.89, 26.09, 25.38.

HRMS (ESI+) *m/z* Calcd for C₁₅H₁₉ClNS⁺: 280.0921 [*M*+H]⁺; found 280.0928.

6-chloro-2-cyclododecylbenzo[d]thiazole (75)



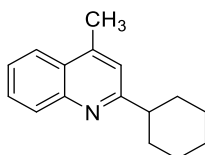
Prepared from 6-chlorobenzo[d]thiazole (33.8 mg, 0.2 mmol, 1.0 equiv) and cyclododecane (0.2 mL) following **general procedure F**. The product was obtained as yellow oil (42 mg, 63% yield) after silica gel column chromatography using petroleum ether/EtOAc (55:1 to 45:1).

¹H NMR (400 MHz, CDCl₃) δ 7.87 (d, *J* = 8.7 Hz, 1H), 7.80 (d, *J* = 2.0 Hz, 1H), 7.38 (dd, *J* = 8.7, 2.0 Hz, 1H), 3.33 (p, *J* = 6.6 Hz, 1H), 1.97 – 1.88 (m, 2H), 1.84 – 1.70 (m, 2H), 1.55 – 1.27 (m, 18H).

¹³C NMR (101 MHz, CDCl₃) δ 178.62, 151.59, 135.95, 130.41, 126.51, 123.29, 121.11, 40.16, 30.90, 23.71, 23.69, 23.62, 23.36, 22.60.

HRMS (ESI+) *m/z* Calcd for C₁₉H₂₇ClNS⁺: 336.1547 [*M*+H]⁺; found 336.1555.

2-cyclohexyl-4-methylquinoline (76)



Prepared from 4-methylquinoline (28.6 mg, 0.2 mmol, 1.0 equiv) and cyclohexane (0.2 mL) following **general procedure F**. The product was obtained as colorless oil (20 mg, 44% yield) after silica gel column chromatography using petroleum ether/EtOAc (20:1 to 10:1).

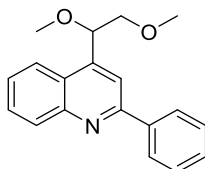
¹H NMR (400 MHz, DMSO) δ 8.02 (d, *J* = 8.2 Hz, 1H), 7.92 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.69 (ddd, *J* = 8.3, 6.8, 1.4 Hz, 1H), 7.54 (ddd, *J* = 8.2, 6.8, 1.3 Hz, 1H), 7.31 (s, 1H), 2.79 (tt, *J* = 11.9, 3.4 Hz,

1H), 2.65 (s, 3H), 1.94 – 1.79 (m, 4H), 1.76 – 1.69 (m, 1H), 1.61 (qd, $J = 12.4, 3.2$ Hz, 2H), 1.40 (qt, $J = 12.4, 3.2$ Hz, 2H), 1.27 (qt, $J = 12.5, 3.2$ Hz, 1H).

^{13}C NMR (101 MHz, DMSO) δ 166.19, 147.45, 144.70, 129.48, 129.42, 127.01, 125.95, 124.42, 121.16, 46.77, 32.61, 26.51, 26.13, 18.72.

HRMS (ESI+) m/z Calcd for $\text{C}_{16}\text{H}_{20}\text{N}^+$: 226.1590 $[M+\text{H}]^+$; found: 226.1591.

4-(1,2-dimethoxyethyl)-2-phenylquinoline (77)



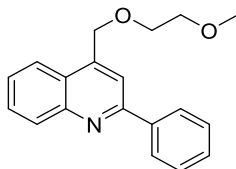
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 1,2-dimethoxyethane (0.2 mL) following **general procedure F**. The product was obtained as colorless oil (23 mg, 40% yield) after silica gel column chromatography using petroleum ether/EtOAc (40:1 to 30:1).

^1H NMR (600 MHz, CDCl_3) δ 8.45 – 8.18 (m, 3H), 8.12 (d, $J = 8.4$ Hz, 1H), 8.03 (s, 1H), 7.77 (t, $J = 7.5$ Hz, 1H), 7.57 (dt, $J = 19.4, 7.6$ Hz, 3H), 7.49 (t, $J = 7.3$ Hz, 1H), 5.22 (dd, $J = 7.7, 3.1$ Hz, 1H), 3.78 – 3.66 (m, 2H), 3.45 (s, 3H), 3.44 (s, 3H).

^{13}C NMR (151 MHz, CDCl_3) δ 157.16, 148.62, 144.87, 139.50, 130.75, 129.46, 129.43, 128.84, 127.61, 126.47, 125.38, 122.63, 116.67, 80.16, 76.50, 59.41, 57.77.

HRMS (ESI+) m/z Calcd for $\text{C}_{19}\text{H}_{20}\text{NO}_2^+$: 294.1489 $[M+\text{H}]^+$; found: 294.1490.

4-((2-methoxyethoxy)methyl)-2-phenylquinoline (78)



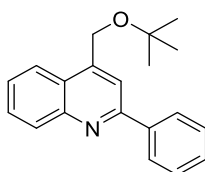
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 1,2-dimethoxyethane (0.2 mL) following **general procedure F**. The product was obtained as colorless oil (13 mg, 22% yield) after silica gel column chromatography using petroleum ether/EtOAc (30:1 to 20:1).

^1H NMR (600 MHz, CDCl_3) δ 8.24 – 8.17 (m, 3H), 8.05 – 7.96 (m, 2H), 7.73 (ddd, $J = 8.4, 6.9, 1.3$ Hz, 1H), 7.54 (q, $J = 8.3, 7.8$ Hz, 3H), 7.49 – 7.43 (m, 1H), 5.12 (s, 2H), 3.80 (dd, $J = 5.6, 3.6$ Hz, 2H), 3.66 (dd, $J = 5.7, 3.6$ Hz, 2H), 3.44 (s, 3H).

^{13}C NMR (151 MHz, CDCl_3) δ 157.17, 148.25, 144.11, 139.63, 130.35, 129.42, 129.35, 128.78, 127.62, 126.34, 125.27, 123.02, 117.40, 72.05, 70.31, 70.22, 59.15.

HRMS (ESI+) m/z Calcd for $\text{C}_{19}\text{H}_{20}\text{NO}_2^+$: 294.1489 $[M+\text{H}]^+$; found: 294.1492.

4-(*tert*-butoxymethyl)-2-phenylquinoline (79)



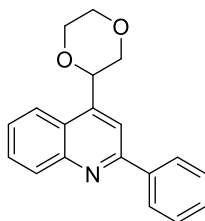
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 2-methoxy-2-methylpropane (0.2 mL) following **general procedure F**. The product was obtained as colorless oil (18 mg, 31% yield) after silica gel column chromatography using petroleum ether/EtOAc (40:1 to 30:1).

¹H NMR (600 MHz, CDCl₃) δ 8.29 – 8.16 (m, 3H), 8.05 (d, *J* = 1.3 Hz, 1H), 7.98 (d, *J* = 8.3 Hz, 1H), 7.76 – 7.68 (m, 1H), 7.58 – 7.51 (m, 3H), 7.50 – 7.44 (m, 1H), 5.00 (s, 2H), 1.41 (s, 9H).

¹³C NMR (151 MHz, CDCl₃) δ 157.28, 147.85, 144.54, 139.66, 130.24, 129.34, 128.78, 127.72, 126.21, 125.26, 122.76, 117.16, 74.27, 60.89, 27.69.

HRMS (ESI+) *m/z* Calcd for C₂₀H₂₂NO⁺: 292.1696 [*M*+H]⁺; found: 292.1697.

4-(1,4-dioxan-2-yl)-2-phenylquinoline (80)



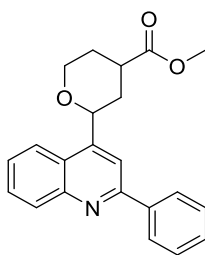
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 1,4-dioxane (0.2 mL) following **general procedure F**. The product was obtained as colorless oil (44 mg, 75% yield) after silica gel column chromatography using petroleum ether/EtOAc (15:1 to 10:1).

¹H NMR (400 MHz, CDCl₃) δ 8.29 – 8.18 (m, 3H), 8.11 (s, 1H), 8.00 (d, *J* = 8.2 Hz, 1H), 7.73 (ddd, *J* = 8.4, 6.8, 1.4 Hz, 1H), 7.58 – 7.51 (m, 3H), 7.50 – 7.44 (m, 1H), 5.42 (dd, *J* = 9.9, 2.3 Hz, 1H), 4.18 (dd, *J* = 11.9, 2.5 Hz, 1H), 4.13 – 4.03 (m, 2H), 3.93 – 3.79 (m, 2H), 3.52 (dd, *J* = 11.8, 9.9 Hz, 1H).

¹³C NMR (101 MHz, CDCl₃) δ 157.33, 148.26, 144.21, 139.58, 130.77, 129.44, 129.40, 128.83, 127.65, 126.53, 124.22, 122.35, 116.18, 74.43, 72.13, 67.38, 66.66.

HRMS (ESI+) *m/z* Calcd for C₁₉H₁₈NO₂⁺: 292.1332 [*M*+H]⁺; found 292.1341.

methyl 2-(2-phenylquinolin-4-yl)tetrahydro-2H-pyran-4-carboxylate (81)



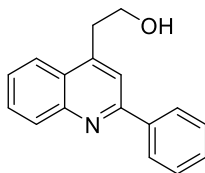
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and methyl tetrahydro-2H-pyran-4-carboxylate (0.2 mL) following **general procedure F**. The product was obtained as colorless oil (29 mg, 42% yield) after silica gel column chromatography using petroleum ether/EtOAc (10:1 to 8:1).

¹H NMR (600 MHz, CDCl₃) δ 8.24 – 8.19 (m, 3H), 8.15 (d, *J* = 8.2 Hz, 1H), 8.07 (s, 1H), 7.71 (ddd, *J* = 8.3, 6.8, 1.3 Hz, 1H), 7.57 (ddd, *J* = 8.2, 6.8, 1.3 Hz, 1H), 7.52 (dd, *J* = 8.2, 6.8 Hz, 2H), 7.47 – 7.43 (m, 1H), 5.39 (dd, *J* = 11.5, 2.0 Hz, 1H), 4.22 – 4.16 (m, 1H), 3.88 (s, 3H), 3.84 (td, *J* = 12.4, 2.5 Hz, 1H), 3.01 (dp, *J* = 5.3, 2.4 Hz, 1H), 2.61 (dq, *J* = 14.1, 2.2 Hz, 1H), 2.18 (dp, *J* = 13.9, 2.2 Hz, 1H), 2.10 (ddt, *J* = 14.0, 12.7, 5.2 Hz, 1H), 1.80 – 1.72 (m, 1H).

^{13}C NMR (151 MHz, CDCl_3) δ 174.95, 157.30, 149.09, 148.19, 139.74, 130.43, 129.27, 129.23, 128.72, 127.67, 126.35, 124.18, 122.93, 114.93, 72.84, 65.85, 52.08, 37.50, 34.56, 27.38.

HRMS (ESI+) m/z Calcd for $\text{C}_{22}\text{H}_{22}\text{NO}_3^+$: 348.1594 $[M+\text{H}]^+$; found 348.1599.

2-(2-phenylquinolin-4-yl)ethan-1-ol (82)



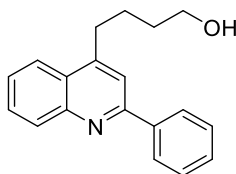
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 1,3-dioxolane (0.2 mL) following **general procedure F**. The product was obtained as white solid (18 mg, 36% yield) after silica gel column chromatography using petroleum ether/EtOAc (5:1 to 4:1).

^1H NMR (400 MHz, CDCl_3) δ 8.20 (d, $J = 8.4$ Hz, 1H), 8.06 – 8.01 (m, 2H), 7.96 (dd, $J = 8.4, 1.5$ Hz, 1H), 7.74 – 7.67 (m, 2H), 7.53 – 7.40 (m, 4H), 4.02 (t, $J = 6.4$ Hz, 2H), 3.35 (t, $J = 6.4$ Hz, 2H), 2.46 (br, 1H).

^{13}C NMR (101 MHz, CDCl_3) δ 156.71, 148.04, 145.80, 139.06, 130.09, 129.59, 129.42, 128.80, 127.60, 126.54, 126.38, 123.34, 119.94, 62.21, 35.83.

HRMS (ESI+) m/z Calcd for $\text{C}_{17}\text{H}_{16}\text{NO}^+$: 250.1226 $[M+\text{H}]^+$; found 250.1222.

4-(2-phenylquinolin-4-yl)butan-1-ol (83)



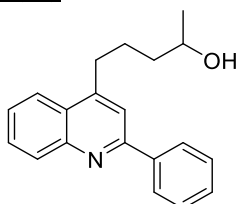
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and tetrahydrofuran (0.2 mL) following **general procedure F**. The product was obtained as yellow oil (37 mg, 67% yield) after silica gel column chromatography using petroleum ether/EtOAc (5:1 to 4:1).

^1H NMR (400 MHz, CDCl_3) δ 8.23 (d, $J = 8.4$ Hz, 1H), 8.14 – 8.09 (m, 2H), 8.01 (dd, $J = 8.4, 1.3$ Hz, 1H), 7.71 (ddd, $J = 8.4, 6.8, 1.4$ Hz, 1H), 7.68 (s, 1H), 7.56 – 7.42 (m, 4H), 3.70 (t, $J = 6.3$ Hz, 2H), 3.12 (t, $J = 7.8$ Hz, 2H), 2.67 (br, 1H), 1.91 – 1.81 (m, 2H), 1.75 – 1.65 (m, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 156.98, 149.57, 147.87, 139.31, 129.95, 129.59, 129.45, 128.84, 127.71, 126.47, 126.26, 123.40, 118.90, 62.43, 32.62, 32.25, 26.36.

HRMS (ESI+) m/z Calcd for $\text{C}_{19}\text{H}_{20}\text{NO}^+$: 278.1539 $[M+\text{H}]^+$; found 278.1544.

5-(2-phenylquinolin-4-yl)pentan-2-ol (84)



Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and 2-methyltetrahydrofuran (0.2 mL) following **general procedure F**. The product was obtained as white solid (38 mg, 65% yield)

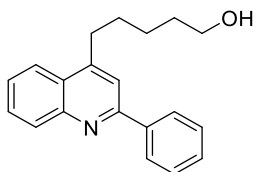
after silica gel column chromatography using petroleum ether/EtOAc (5:1 to 4:1).

¹H NMR (400 MHz, CDCl₃) δ 8.21 (dd, *J* = 8.5, 1.3 Hz, 1H), 8.16 – 8.11 (m, 2H), 8.01 (dd, *J* = 8.4, 1.4 Hz, 1H), 7.73 – 7.66 (m, 2H), 7.52 (ddd, *J* = 8.0, 6.5, 1.5 Hz, 3H), 7.49 – 7.42 (m, 1H), 3.83 (h, *J* = 6.1 Hz, 1H), 3.17 – 3.05 (m, 2H), 1.99 – 1.79 (m, 2H), 1.74 (br, 1H), 1.66 – 1.53 (m, 2H), 1.19 (d, *J* = 6.2 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 157.08, 148.99, 148.38, 139.79, 130.40, 129.31, 129.26, 128.81, 127.62, 126.48, 126.09, 123.36, 118.75, 67.76, 39.10, 32.42, 26.33, 23.74.

HRMS (ESI+) *m/z* Calcd for C₂₀H₂₂NO⁺: 292.1696 [*M*+H]⁺; found 292.1698.

5-(2-phenylquinolin-4-yl)pentan-1-ol (85)



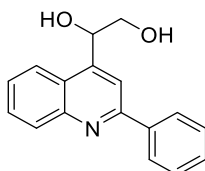
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and tetrahydro-2*H*-pyran (0.2 mL) following **general procedure F**. The product was obtained as colorless oil (26 mg, 44% yield) after silica gel column chromatography using petroleum ether/EtOAc (5:1 to 4:1).

¹H NMR (400 MHz, CDCl₃) δ 8.21 (d, *J* = 8.4 Hz, 1H), 8.17 – 8.13 (m, 2H), 8.01 (dd, *J* = 8.4, 1.3 Hz, 1H), 7.73 – 7.68 (m, 2H), 7.56 – 7.50 (m, 3H), 7.49 – 7.43 (m, 1H), 3.63 (t, *J* = 6.4 Hz, 2H), 3.17 – 3.01 (m, 2H), 1.93 – 1.75 (m, 3H), 1.68 – 1.58 (m, 2H), 1.56 – 1.48 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 157.11, 149.20, 148.37, 139.79, 130.37, 129.33, 129.27, 128.82, 127.64, 126.50, 126.08, 123.38, 118.83, 62.64, 32.54, 30.02, 25.94.

HRMS (ESI+) *m/z* Calcd for C₂₀H₂₂NO⁺: 292.1696 [*M*+H]⁺; found 292.1701.

1-(2-phenylquinolin-4-yl)ethane-1,2-diol (86)



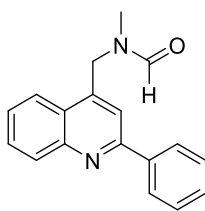
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and ethane-1,2-diol (0.2 mL) following **general procedure F**. The product was obtained as colorless oil (24 mg, 45% yield) after silica gel column chromatography using DCM/MeOH (40:1 to 30:1).

¹H NMR (600 MHz, DMSO-*d*₆) δ 8.23 (ddd, *J* = 15.7, 8.5, 1.4 Hz, 3H), 8.18 (s, 1H), 8.10 (dd, *J* = 8.5, 1.2 Hz, 1H), 7.76 (ddd, *J* = 8.3, 6.8, 1.3 Hz, 1H), 7.64 – 7.55 (m, 3H), 7.53 – 7.49 (m, 1H), 5.73 (d, *J* = 4.6 Hz, 1H), 5.42 (dt, *J* = 6.5, 4.5 Hz, 1H), 4.91 (t, *J* = 6.0 Hz, 1H), 3.75 (ddd, *J* = 10.7, 5.9, 4.4 Hz, 1H), 3.64 (dt, *J* = 11.3, 6.2 Hz, 1H).

¹³C NMR (151 MHz, DMSO-*d*₆) δ 156.11, 150.32, 148.18, 139.44, 130.31, 129.96, 129.78, 129.33, 127.56, 126.59, 125.41, 124.25, 116.41, 70.99, 67.17.

HRMS (ESI+) *m/z* Calcd for C₁₇H₁₆NO₂⁺: 266.1176 [*M*+H]⁺; found 266.1174.

N-methyl-N-((2-phenylquinolin-4-yl)methyl)formamide (87)



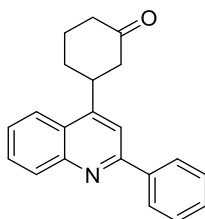
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and *N,N*-dimethylformamide (0.2 mL) following **general procedure F**. The product was obtained as colorless oil (18 mg, 33% yield) after silica gel column chromatography using petroleum ether/EtOAc (2:1 to 1:1).

¹H NMR (600 MHz, CDCl₃) δ 8.44 – 8.21 (m, 2H), 8.19 – 8.11 (m, 2H), 7.99 (dd, *J* = 103.2, 8.4 Hz, 1H), 7.80 – 7.63 (m, 2H), 7.61 – 7.45 (m, 4H), 5.07 – 4.95 (m, 2H), 2.98 – 2.88 (m, 2H).

¹³C NMR (151 MHz, CDCl₃) δ 163.35, 162.57, 157.24, 156.96, 148.48, 141.92, 139.22, 130.86, 130.44, 129.94, 129.72, 129.58, 128.95, 128.89, 127.60, 127.55, 126.94, 125.60, 125.07, 123.19, 121.79, 118.92, 116.71, 50.11, 45.18, 34.36, 30.52.

HRMS (ESI+) *m/z* Calcd for C₁₈H₁₇N₂O⁺: 277.1335 [*M*+H]⁺; found 277.1338.

3-(2-phenylquinolin-4-yl)cyclohexan-1-one (88)



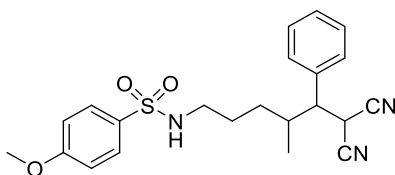
Prepared from 2-phenylquinoline (41.0 mg, 0.2 mmol, 1.0 equiv) and cyclohexanone (0.2 mL) following **general procedure F**. The product was obtained as colorless oil (34 mg, 57% yield) after silica gel column chromatography using petroleum ether/EtOAc (7:1 to 5:1).

¹H NMR (600 MHz, CDCl₃) δ 8.24 (d, *J* = 8.4 Hz, 1H), 8.17 – 8.11 (m, 2H), 8.02 (dd, *J* = 8.5, 1.3 Hz, 1H), 7.77 (s, 1H), 7.73 (ddd, *J* = 8.3, 6.8, 1.3 Hz, 1H), 7.59 – 7.52 (m, 3H), 7.50 – 7.46 (m, 1H), 3.91 (tt, *J* = 11.5, 3.8 Hz, 1H), 2.81 (ddt, *J* = 14.0, 4.1, 2.0 Hz, 1H), 2.72 (ddd, *J* = 13.8, 12.1, 1.0 Hz, 1H), 2.62 – 2.56 (m, 1H), 2.50 (dddd, *J* = 14.5, 12.3, 6.2, 1.1 Hz, 1H), 2.30 (ddq, *J* = 12.9, 3.7, 1.8 Hz, 1H), 2.24 (ddq, *J* = 13.8, 7.4, 3.6 Hz, 1H), 2.09 (dtd, *J* = 12.9, 11.4, 3.3 Hz, 1H), 1.96 (dtdd, *J* = 13.4, 12.1, 4.7, 3.4 Hz, 1H).

¹³C NMR (151 MHz, CDCl₃) δ 210.00, 157.24, 150.23, 148.60, 139.68, 130.81, 129.50, 129.43, 128.88, 127.59, 126.53, 125.23, 122.36, 115.45, 47.75, 41.33, 39.11, 31.75, 25.40.

HRMS (ESI+) *m/z* Calcd for C₂₁H₂₀NO⁺: 302.1539 [*M*+H]⁺; found 302.1541.

N-(6,6-dicyano-4-methyl-5-phenylhexyl)-4-methoxybenzenesulfonamide (89)



Prepared from 4-methoxy-*N*-pentylbenzenesulfonamide (51.4 mg, 0.2 mmol, 1.0 equiv) and 2-benzylidenemalononitrile (92.4 mg, 0.6 mmol, 3.0 equiv) following **general procedure G**. The product was obtained as yellow oil (37 mg, 45% yield) after silica gel column chromatography using

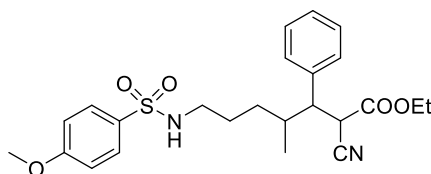
petroleum ether/EtOAc (4:1).

¹H NMR (400 MHz, CDCl₃) δ 7.83 – 7.68 (m, 2H), 7.44 – 7.39 (m, 3H), 7.31 – 7.27 (m, 2H), 7.01 – 6.96 (m, 2H), 4.56 (t, *J* = 5.4 Hz, 1H), 4.21 (d, *J* = 5.8 Hz, 1H), 3.89 (s, 3H), 2.90 (dd, *J* = 9.6, 5.8 Hz, 1H), 2.79 (hept, *J* = 6.1 Hz, 2H), 2.24 – 2.13 (m, 1H), 1.58 – 1.44 (m, 1H), 1.40 – 1.21 (m, 2H), 1.08 (d, *J* = 6.6 Hz, 3H), 1.00 – 0.91 (m, 1H).

¹³C NMR (101 MHz, CDCl₃) δ 162.92, 136.22, 131.32, 129.25, 129.16, 128.94, 128.26, 114.31, 112.15, 111.85, 55.66, 52.04, 42.95, 34.50, 30.21, 27.72, 26.58, 17.42.

HRMS (ESI+) *m/z* Calcd for C₂₂H₂₆N₃O₃S⁺: 412.1689 [*M*+H]⁺; found 412.1692.

ethyl 2-cyano-7-((4-methoxyphenyl)sulfonamido)-4-methyl-3-phenylheptanoate (90)



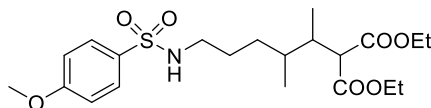
Prepared from 4-methoxy-*N*-pentylbenzenesulfonamide (51.4 mg, 0.2 mmol, 1.0 equiv) and ethyl (*E*)-2-cyano-3-phenylacrylate (120.6 mg, 0.6 mmol, 3.0 equiv) following **general procedure G**. The product was obtained as yellow oil (38 mg, 41% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1).

¹H NMR (400 MHz, CDCl₃) δ 7.87 – 7.79 (m, 1H), 7.79 – 7.70 (m, 1H), 7.36 – 7.10 (m, 5H), 7.06 – 6.94 (m, 2H), 4.95 – 4.75 (m, 0.5H), 4.70 – 4.45 (m, 0.5H), 4.17 – 3.80 (m, 6H), 3.30 – 2.87 (m, 2H), 2.87 – 2.65 (m, 1H), 2.24 – 2.02 (m, 1H), 1.71 – 1.43 (m, 2H), 1.41 – 1.11 (m, 2H), 1.10 – 0.66 (m, 6H).

¹³C NMR (101 MHz, CDCl₃) δ 165.58, 165.54, 165.36, 162.89, 162.85, 162.83, 138.61, 137.77, 137.73, 137.01, 131.54, 131.50, 131.44, 129.24, 129.20, 129.17, 129.14, 128.73, 128.68, 128.58, 128.56, 128.47, 128.43, 128.24, 128.10, 127.92, 127.83, 127.79, 116.12, 116.06, 115.75, 115.47, 114.32, 114.28, 114.26, 114.24, 62.80, 62.74, 62.54, 55.64, 55.63, 51.49, 51.27, 51.03, 50.49, 43.25, 43.12, 43.07, 42.43, 42.36, 41.90, 41.75, 35.02, 34.80, 34.75, 31.67, 30.94, 30.80, 29.92, 27.15, 26.89, 26.50, 17.48, 17.27, 17.03, 15.41, 13.74, 13.72, 13.69, 13.67.

HRMS (ESI+) *m/z* Calcd for C₂₄H₃₁N₂O₅S⁺: 459.1948 [*M*+H]⁺; found 459.1949.

diethyl 2-(6-((4-methoxyphenyl)sulfonamido)-3-methylhexan-2-yl)malonate (91)



Prepared from 4-methoxy-*N*-pentylbenzenesulfonamide (51.4 mg, 0.2 mmol, 1.0 equiv) and diethyl 2-ethylidenemalonate (111.7 mg, 0.6 mmol, 3.0 equiv) following **general procedure G**. The product was obtained as colorless oil (42 mg, 47% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1).

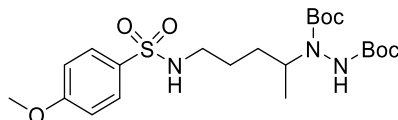
¹H NMR (400 MHz, CDCl₃) δ 7.79 (dq, *J* = 8.2, 3.0 Hz, 2H), 7.01 – 6.93 (m, 2H), 4.72 (t, *J* = 6.2 Hz, 0.52H), 4.60 (t, *J* = 6.3 Hz, 0.48H), 4.20 – 4.12 (m, 4H), 3.86 (s, 3H), 3.26 (dd, *J* = 13.5, 10.1 Hz, 1H), 2.96 – 2.79 (m, 2H), 2.31 – 2.14 (m, 1H), 1.56 – 1.13 (m, 11H), 0.88 – 0.70 (m, 6H).

¹³C NMR (101 MHz, CDCl₃) δ 169.19, 168.89, 168.83, 168.78, 162.80, 162.79, 131.64, 131.58, 129.20, 114.22, 114.20, 61.39, 61.28, 61.22, 56.63, 56.10, 55.61, 43.28, 43.11, 38.47, 36.29, 34.32,

33.77, 32.14, 27.61, 27.49, 27.36, 17.85, 14.10, 13.67, 12.36, 11.03.

HRMS (ESI+) m/z Calcd for $C_{21}H_{34}NO_7S^+$: 444.2050 $[M+H]^+$; found 444.2056.

di-tert-butyl 1-(5-((4-methoxyphenyl)sulfonamido)pentan-2-yl)hydrazine-1,2-dicarboxylate (92)



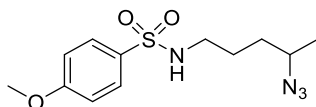
Prepared from 4-methoxy-*N*-pentylbenzenesulfonamide (51.4 mg, 0.2 mmol, 1.0 equiv) and di-*tert*-butyl azodicarboxylate (138.1 mg, 0.6 mmol, 3.0 equiv) following **general procedure G**. The product was obtained as yellow oil (51 mg, 52% yield) after silica gel column chromatography using petroleum ether/EtOAc (3:1).

1H NMR (400 MHz, $CDCl_3$) δ 7.83 – 7.70 (m, 2H), 6.94 (d, J = 8.5 Hz, 2H), 6.10 (br, 1H), 5.28 – 4.85 (m, 1H), 4.25 – 2.96 (m, 1H), 3.84 (s, 3H), 2.90 (q, J = 5.8 Hz, 2H), 1.68 – 1.36 (m, 22H), 1.04 (d, J = 6.7 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 162.64, 156.53, 155.07, 131.71, 129.18, 114.10, 81.45, 81.09, 55.58, 51.91, 42.77, 31.08, 28.25, 28.23, 25.88, 18.35.

HRMS (ESI+) m/z Calcd for $C_{22}H_{38}N_3O_7S^+$: 488.2425 $[M+H]^+$; found 488.2428.

***N*-(4-azidopentyl)-4-methoxybenzenesulfonamide (93)**



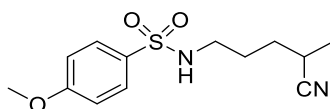
Prepared from 4-methoxy-*N*-pentylbenzenesulfonamide (51.4 mg, 0.2 mmol, 1.0 equiv) and 4-methylbenzenesulfonyl azide (118.2 mg, 0.6 mmol, 3.0 equiv) following **general procedure G**. The product was obtained as colorless oil (18 mg, 30% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1).

1H NMR (400 MHz, $CDCl_3$) δ 7.85 – 7.78 (m, 2H), 7.04 – 6.97 (m, 2H), 4.73 (t, J = 6.2 Hz, 1H), 3.89 (s, 3H), 3.41 (h, J = 6.5 Hz, 1H), 2.95 (q, J = 6.5 Hz, 2H), 1.65 – 1.40 (m, 4H), 1.24 (d, J = 6.5 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 162.93, 131.49, 129.18, 114.29, 57.36, 55.61, 42.78, 33.08, 26.16, 19.34.

HRMS (ESI+) m/z Calcd for $C_{12}H_{19}N_4O_3S^+$: 299.1172 $[M+H]^+$; found 299.1165.

***N*-(4-cyanopentyl)-4-methoxybenzenesulfonamide (94)**



Prepared from 4-methoxy-*N*-pentylbenzenesulfonamide (51.4 mg, 0.2 mmol, 1.0 equiv) and 4-methylbenzenesulfonyl cyanide (108.6 mg, 0.6 mmol, 3.0 equiv) following **general procedure G**. The product was obtained as yellow oil (29 mg, 51% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

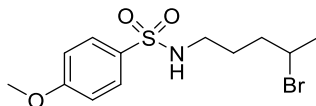
1H NMR (400 MHz, $CDCl_3$) δ 7.81 – 7.75 (m, 2H), 7.00 – 6.94 (m, 2H), 4.99 (t, J = 6.3 Hz, 1H),

3.86 (s, 3H), 2.93 (q, $J = 6.4$ Hz, 2H), 2.57 (h, $J = 7.0$ Hz, 1H), 1.73 – 1.54 (m, 4H), 1.26 (d, $J = 7.1$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.96, 131.22, 129.17, 122.65, 114.36, 55.67, 42.37, 30.87, 27.01, 25.10, 17.95.

HRMS (ESI+) m/z Calcd for $\text{C}_{13}\text{H}_{19}\text{N}_2\text{O}_3\text{S}^+$: 283.1111 $[M+\text{H}]^+$; found 283.1115.

N-(4-bromopentyl)-4-methoxybenzenesulfonamide (95)



Prepared from 4-methoxy-*N*-pentylbenzenesulfonamide (51.4 mg, 0.2 mmol, 1.0 equiv) and 1-bromopyrrolidine-2,5-dione (70.8 mg, 0.4 mmol, 2.0 equiv) following **general procedure G**. The inseparable mixture of mono- and dibrominated products were obtained as yellow oil (momo:di = 9:1, 36 mg, 53% yield) after silica gel column chromatography using petroleum ether/EtOAc (8:1 to 6:1).

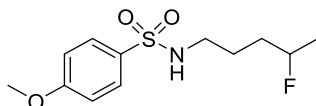
^1H NMR (400 MHz, CDCl_3) δ 7.82 – 7.77 (m, 2H+0.22H), 7.00 – 6.95 (m, 2H+0.22H), 4.88 (br, 0.11H), 4.80 (br, 1H), 4.09 – 4.00 (m, 1H), 3.86 (s, 3H+0.33H), 3.02 (q, $J = 6.3$ Hz, 0.22H), 2.94 (t, $J = 7.6$ Hz, 2H), 2.48 (s, 0.33H), 2.34 – 2.28 (m, 0.22H), 1.92 – 1.83 (m, 0.22H), 1.82 – 1.54 (m, 7H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.97, 162.91, 131.32, 131.27, 129.23, 129.21, 114.37, 114.32, 67.42, 55.67, 50.80, 49.61, 42.44, 42.20, 41.41, 37.78, 28.38, 27.81, 26.48.

HRMS (ESI+) m/z Calcd for $\text{C}_{12}\text{H}_{19}\text{BrNO}_3\text{S}^+$: 336.0264 $[M+\text{H}]^+$; found 336.0257.

HRMS (ESI+) m/z Calcd for $\text{C}_{12}\text{H}_{18}\text{Br}_2\text{NO}_3\text{S}^+$: 413.9369 $[M+\text{H}]^+$; found 413.9364.

N-(4-fluoropentyl)-4-methoxybenzenesulfonamide (96)



Prepared from 4-methoxy-*N*-pentylbenzenesulfonamide (51.4 mg, 0.2 mmol, 1.0 equiv) and Selectfluor (141.6 mg, 0.4 mmol, 2.0 equiv) following **general procedure G**. The inseparable mixture of mono- and difluorinated products were obtained as colorless oil (momo:di = 1:1, 38 mg, 66% yield) after silica gel column chromatography using petroleum ether/EtOAc (5:1 to 4:1).

^1H NMR (400 MHz, CDCl_3) δ 7.82 – 7.75 (m, 2H+2H), 7.01 – 6.93 (m, 2H+2H), 5.01 (t, $J = 6.4$ Hz, 1H), 4.93 (t, $J = 6.4$ Hz, 1H), 4.69 – 4.46 (m, 1H), 3.85 (s, 3H+3H), 2.98 – 2.89 (m, 2H+2H), 1.91 – 1.76 (m, 2H), 1.70 – 1.47 (m, 7H+2H), 1.25 (dd, $J = 24.0, 6.2$ Hz, 3H).

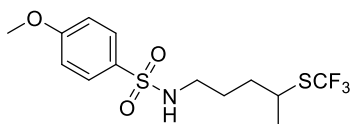
^{19}F NMR (376 MHz, CDCl_3) δ -91.06, -173.24.

^{13}C NMR (101 MHz, CDCl_3) δ 162.91, 162.87, 131.38, 131.32, 129.17, 123.93 (t, $J_{\text{F-C}} = 238.1$ Hz), 114.31, 114.28, 90.45 (d, $J_{\text{F-C}} = 165.1$ Hz), 55.64, 42.87, 42.62, 34.86 (t, $J_{\text{F-C}} = 25.9$ Hz), 33.72 (d, $J_{\text{F-C}} = 21.3$ Hz), 25.28 (d, $J_{\text{F-C}} = 4.0$ Hz), 23.41 (t, $J_{\text{F-C}} = 27.9$ Hz), 22.92 (t, $J_{\text{F-C}} = 4.6$ Hz), 20.94 (d, $J_{\text{F-C}} = 22.4$ Hz).

HRMS (ESI+) m/z Calcd for $\text{C}_{12}\text{H}_{19}\text{FNO}_3\text{S}^+$: 276.1064 $[M+\text{H}]^+$; found 276.1069.

HRMS (ESI+) m/z Calcd for $\text{C}_{12}\text{H}_{18}\text{F}_2\text{NO}_3\text{S}^+$: 294.0970 $[M+\text{H}]^+$; found 294.0978.

4-methoxy-*N*-(4-((trifluoromethyl)thio)pentyl)benzenesulfonamide (97)



Prepared from 4-methoxy-*N*-pentylbenzenesulfonamide (51.4 mg, 0.2 mmol, 1.0 equiv) and 2-((trifluoromethyl)thio)isoindoline-1,3-dione (148.2 mg, 0.6 mmol, 2.0 equiv) following **general procedure G**. The product was obtained as yellow oil (25 mg, 35% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

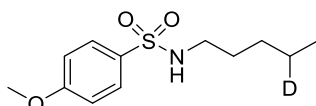
¹H NMR (400 MHz, CDCl₃) δ 7.82 – 7.78 (m, 2H), 7.00 – 6.96 (m, 2H), 4.76 (t, *J* = 6.2 Hz, 1H), 3.86 (s, 3H), 3.22 (dq, *J* = 9.7, 5.2, 3.8 Hz, 1H), 2.94 (dt, *J* = 8.4, 5.1 Hz, 2H), 1.60 (p, *J* = 5.7, 4.9 Hz, 4H), 1.36 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.95, 131.37, 131.02 (q, *J*_{F-C} = 306.3 Hz), 129.19, 114.31, 55.62, 42.66, 40.69, 33.68, 26.72, 22.35.

¹⁹F NMR (376 MHz, CDCl₃) δ -39.13.

HRMS (ESI+) *m/z* Calcd for C₁₃H₁₉F₃NO₃S₂⁺: 358.0753 [*M*+H]⁺; found 358.0759.

4-methoxy-*N*-(pentyl-4-*d*)benzenesulfonamide (98)



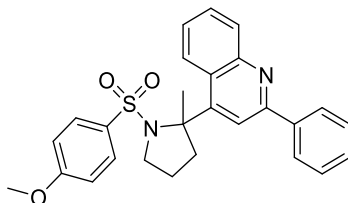
Prepared from 4-methoxy-*N*-pentylbenzenesulfonamide (51.4 mg, 0.2 mmol, 1.0 equiv) and D₂O (0.2 mL) following the **Procedures for preparation of specific compounds**. The product was obtained as colorless oil (41 mg, 80% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1).

¹H NMR (400 MHz, CDCl₃) δ 7.81 – 7.77 (m, 2H), 6.98 – 6.92 (m, 2H), 5.00 (t, *J* = 6.2 Hz, 1H), 3.83 (s, 3H), 2.86 (q, *J* = 6.8 Hz, 2H), 1.42 (tt, *J* = 8.0, 6.6 Hz, 2H), 1.24 – 1.14 (m, 3.15H), 0.84 – 0.76 (m, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.75, 131.53, 129.19, 114.19, 55.61, 43.16, 29.09 (t, *J*_{D-C} = 2.5 Hz), 28.55 (t, *J*_{D-C} = 10.6 Hz), 21.73 (t, *J*_{D-C} = 19.2 Hz), 13.76 (t, *J*_{D-C} = 11.1 Hz).

HRMS (ESI+) *m/z* Calcd for C₁₂H₁₉DNO₃S⁺: 259.1221 [*M*+H]⁺; found 259.1209.

4-(1-((4-methoxyphenyl)sulfonyl)-2-methylpyrrolidin-2-yl)-2-phenylquinoline (99)



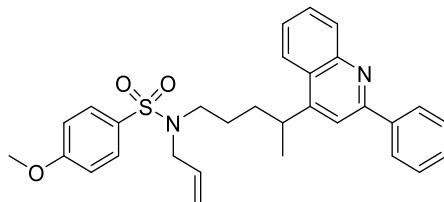
The product was obtained as white solid (27 mg, 29% yield) after silica gel column chromatography using petroleum ether/EtOAc (8:1 to 6:1).

¹H NMR (600 MHz, CDCl₃) δ 8.29 – 8.19 (m, 4H), 7.89 (d, *J* = 8.6 Hz, 1H), 7.62 (ddd, *J* = 8.2, 6.7, 1.3 Hz, 1H), 7.58 – 7.54 (m, 2H), 7.51 – 7.41 (m, 3H), 7.30 – 7.26 (m, 1H), 6.73 (d, *J* = 8.5 Hz, 2H), 3.84 – 3.72 (m, 5H), 2.84 (dt, *J* = 12.7, 7.4 Hz, 1H), 2.21 (s, 3H), 2.17 – 2.05 (m, 2H), 2.01 – 1.94 (m, 1H).

¹³C NMR (151 MHz, CDCl₃) δ 162.50, 156.80, 150.61, 149.28, 139.50, 132.15, 131.05, 129.47, 129.13, 128.87, 128.52, 127.84, 125.42, 125.01, 124.35, 118.59, 113.66, 70.42, 55.53, 48.50, 42.74, 27.09, 22.96.

HRMS (ESI+) *m/z* Calcd for C₂₇H₂₇N₂O₃S⁺: 459.1737 [*M*+H]⁺; found 459.1746.

***N*-allyl-4-methoxy-*N*-(4-(2-phenylquinolin-4-yl)pentyl)benzenesulfonamide (100)**



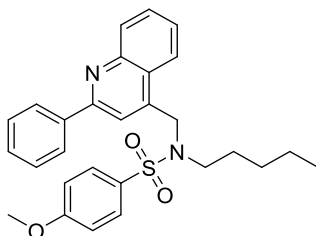
The product was obtained as white solid (83 mg, 83% yield) after silica gel column chromatography using petroleum ether/EtOAc (10:1 to 8:1).

¹H NMR (400 MHz, CDCl₃) δ 8.23 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.18 – 8.14 (m, 2H), 8.08 (dd, *J* = 8.6, 1.3 Hz, 1H), 7.75 – 7.70 (m, 2H), 7.69 – 7.63 (m, 2H), 7.57 – 7.52 (m, 3H), 7.49 – 7.44 (m, 1H), 6.90 – 6.85 (m, 2H), 5.53 (ddt, *J* = 16.7, 10.1, 6.5 Hz, 1H), 5.04 – 4.95 (m, 2H), 3.80 (s, 3H), 3.71 – 3.59 (m, 3H), 3.10 (td, *J* = 7.1, 2.1 Hz, 2H), 1.93 – 1.72 (m, 2H), 1.53 (ddt, *J* = 16.9, 9.8, 6.9 Hz, 2H), 1.42 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 162.69, 157.16, 153.74, 148.57, 139.96, 133.18, 131.51, 130.66, 129.30, 129.28, 129.12, 128.86, 127.62, 126.17, 122.81, 118.70, 115.58, 114.17, 55.58, 50.63, 47.26, 34.00, 33.28, 26.02, 21.60.

HRMS (ESI+) *m/z* Calcd for C₃₀H₃₃N₂O₃S⁺: 501.2206 [*M*+H]⁺; found 501.2216.

4-methoxy-*N*-pentyl-*N*-((2-phenylquinolin-4-yl)methyl)benzenesulfonamide (103)



The product was obtained as yellow oil (30 mg, 32% yield) after silica gel column chromatography using petroleum ether/EtOAc (4:1 to 3:1).

¹H NMR (400 MHz, CDCl₃) δ 8.27 – 8.19 (m, 1H), 8.11 (d, *J* = 1.3 Hz, 1H), 8.10 – 8.05 (m, 2H), 7.86 – 7.81 (m, 2H), 7.80 – 7.76 (m, 1H), 7.74 (dt, *J* = 8.1, 1.4 Hz, 1H), 7.57 (ddd, *J* = 8.3, 6.9, 1.3 Hz, 1H), 7.54 – 7.45 (m, 3H), 7.02 – 6.97 (m, 2H), 4.87 (s, 2H), 3.85 (s, 3H), 3.25 – 3.13 (m, 2H), 1.41 – 1.29 (m, 2H), 1.10 – 1.02 (m, 4H), 0.69 (t, *J* = 6.8 Hz, 3H).

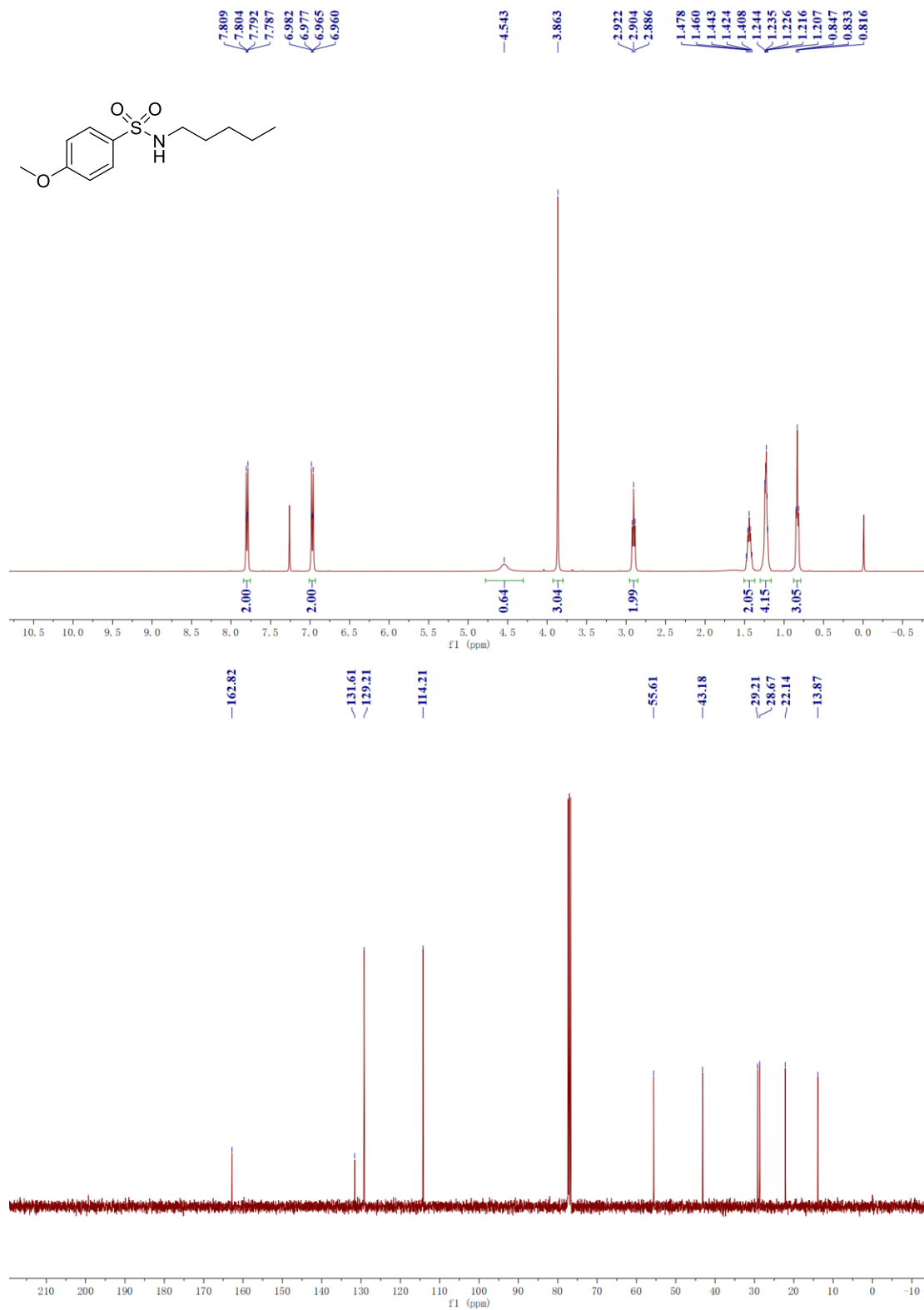
¹³C NMR (101 MHz, CDCl₃) δ 163.02, 156.86, 148.96, 143.99, 139.18, 131.06, 130.44, 129.76, 129.55, 129.39, 128.84, 127.54, 126.72, 125.47, 122.76, 118.21, 114.42, 55.64, 49.42, 49.12, 28.82, 28.03, 22.10, 13.79.

HRMS (ESI+) *m/z* Calcd for C₂₈H₃₁N₂O₃S⁺: 475.2050 [*M*+H]⁺; found 475.2051.

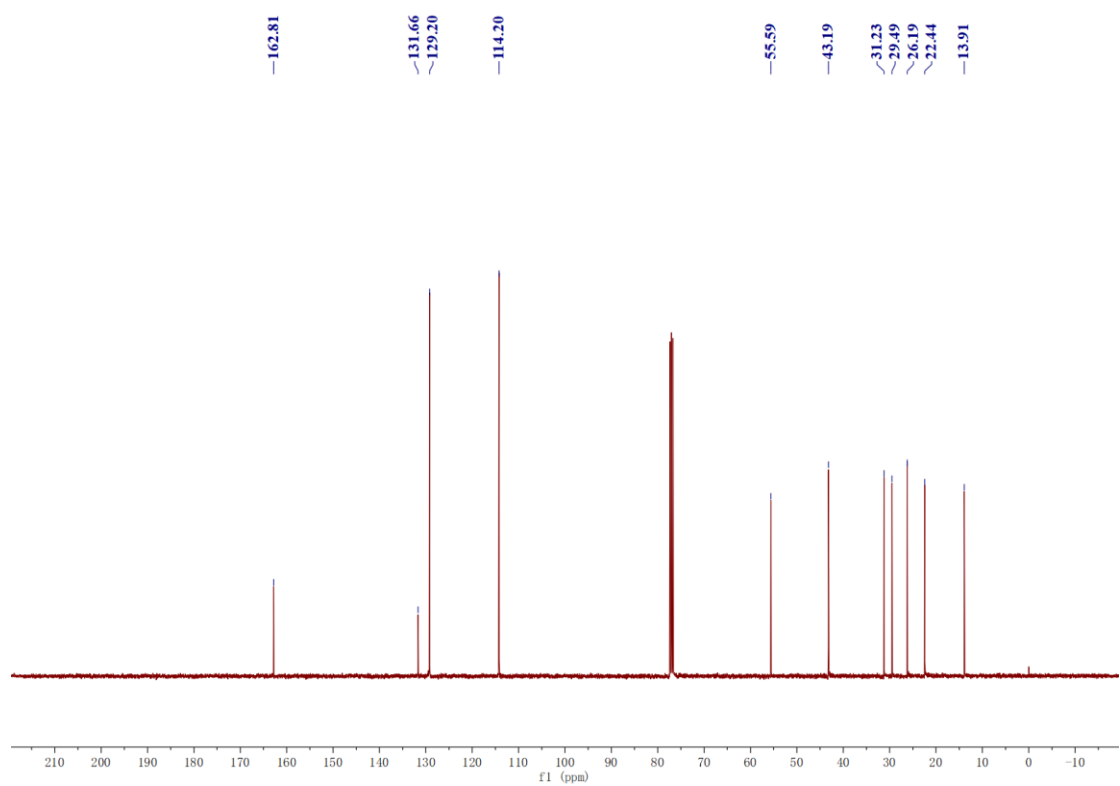
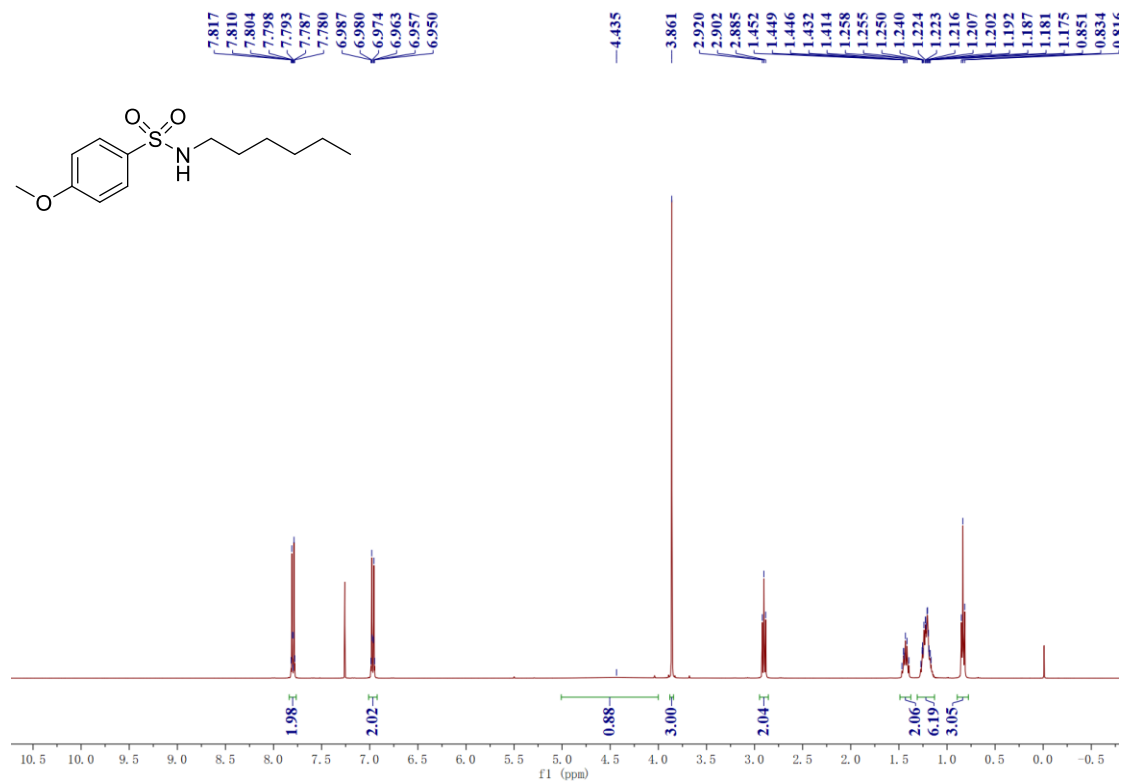
8. NMR spectra for synthesized compounds

8.1 NMR spectra for substrates

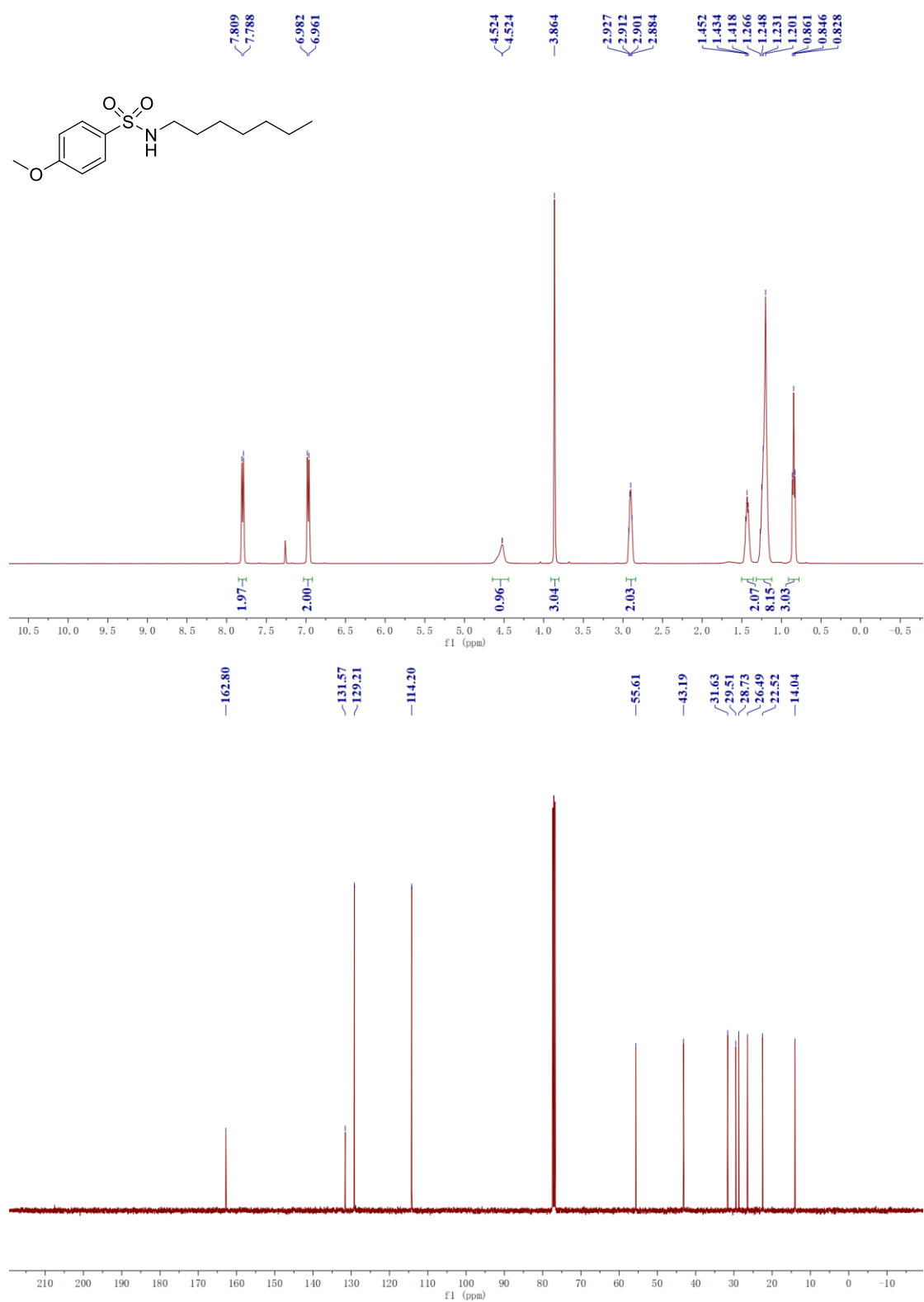
4-methoxy-*N*-pentylbenzenesulfonamide (2a)



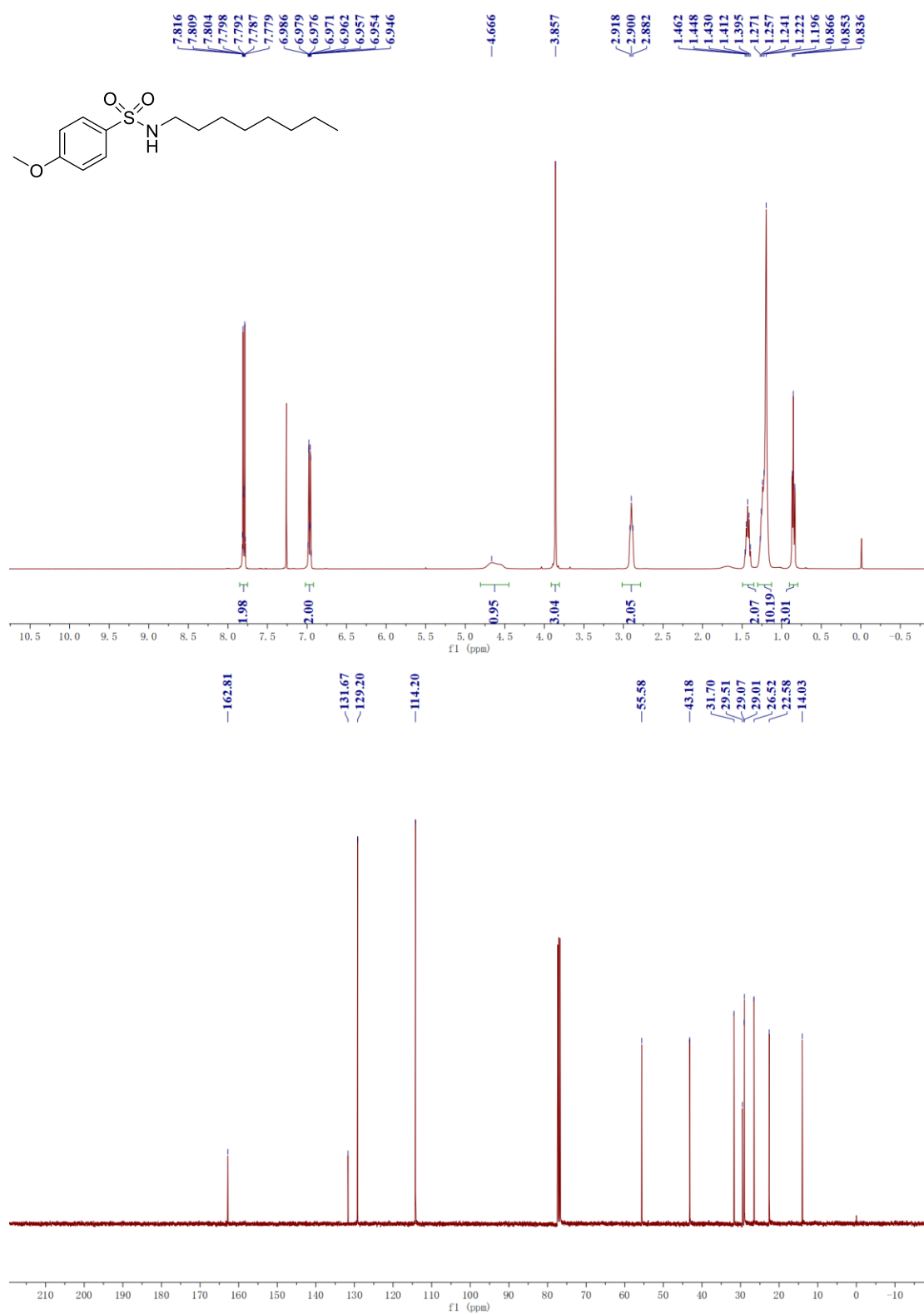
***N*-hexyl-4-methoxybenzenesulfonamide (2b)**



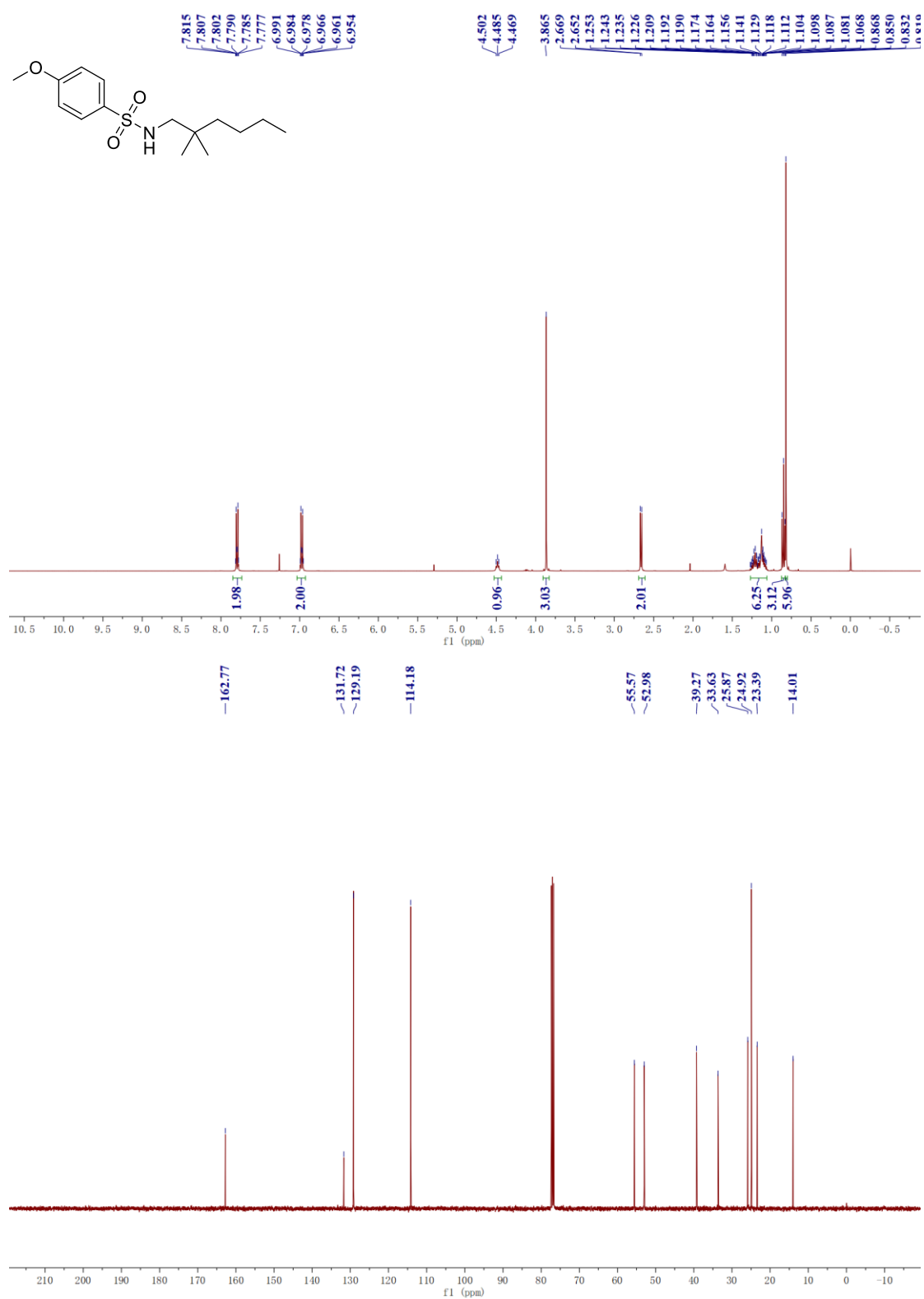
***N*-heptyl-4-methoxybenzenesulfonamide (2c)**



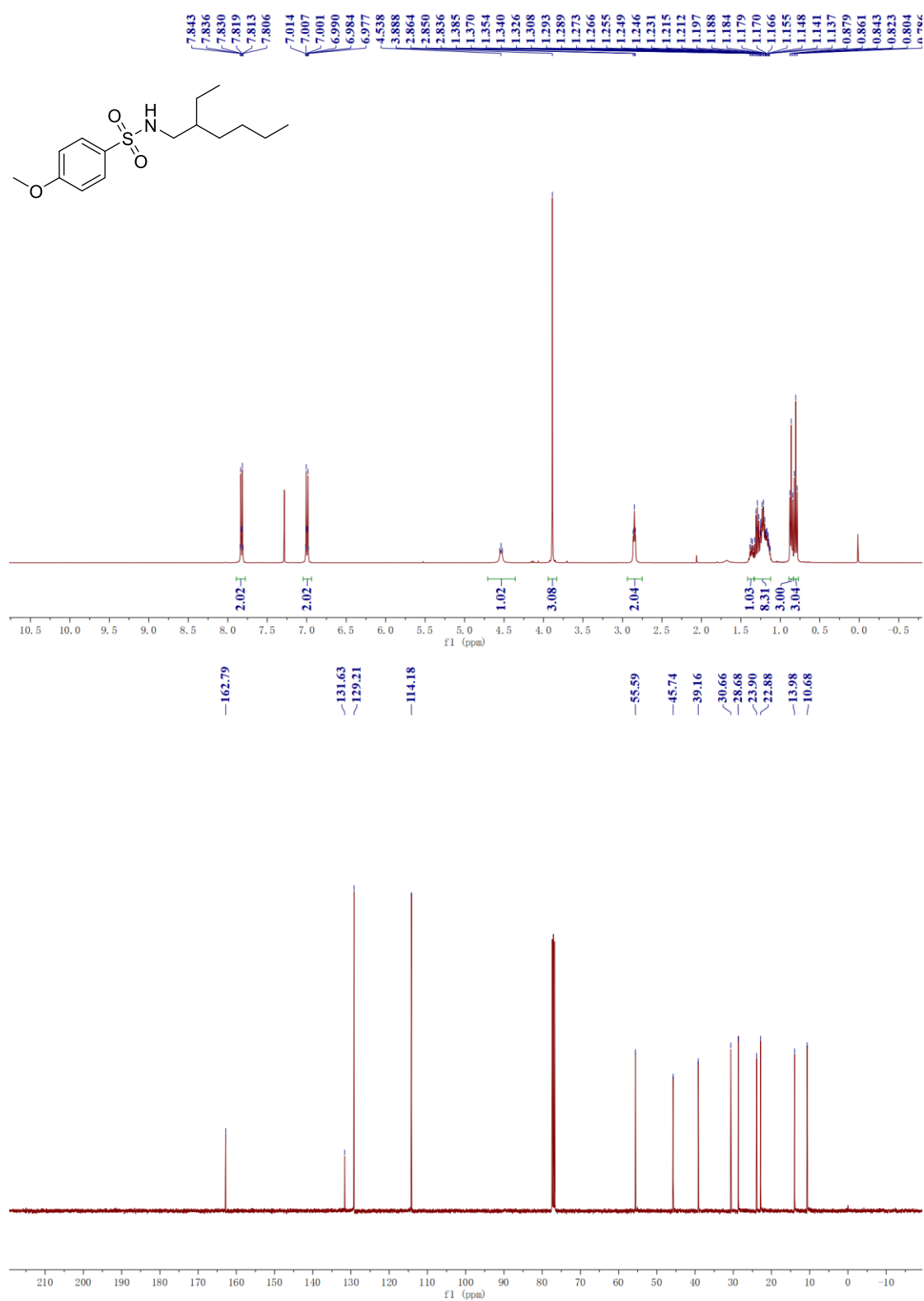
4-methoxy-*N*-octylbenzenesulfonamide (2d)



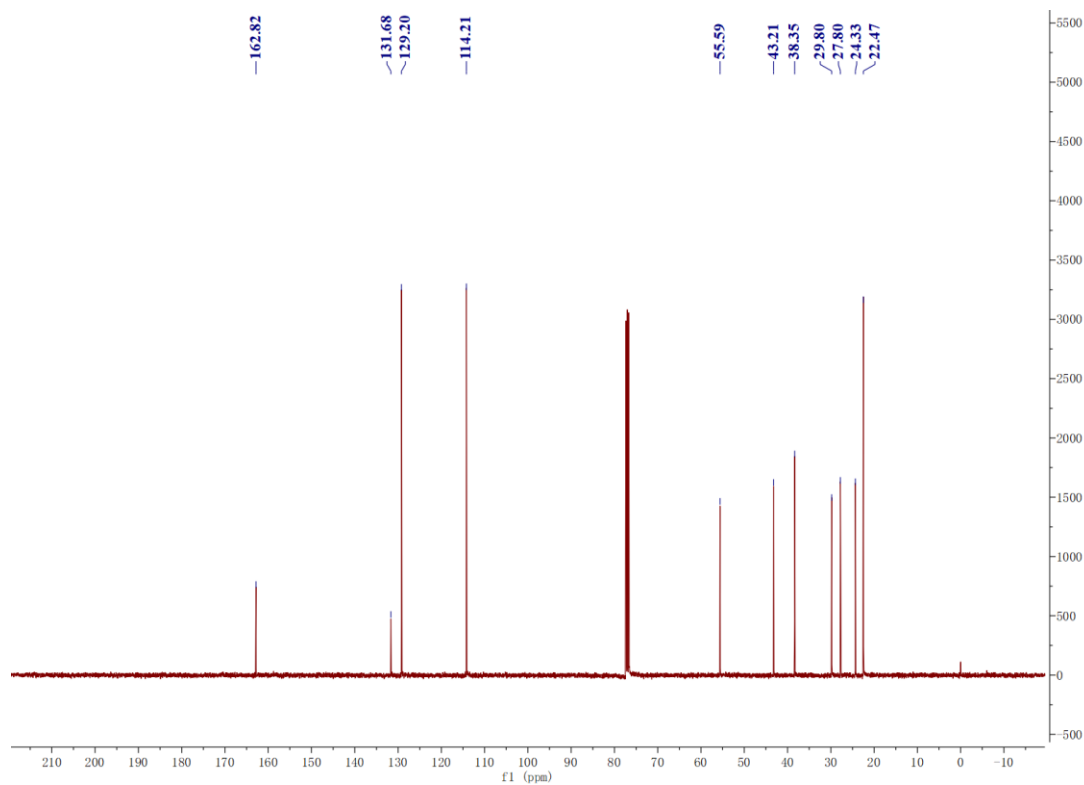
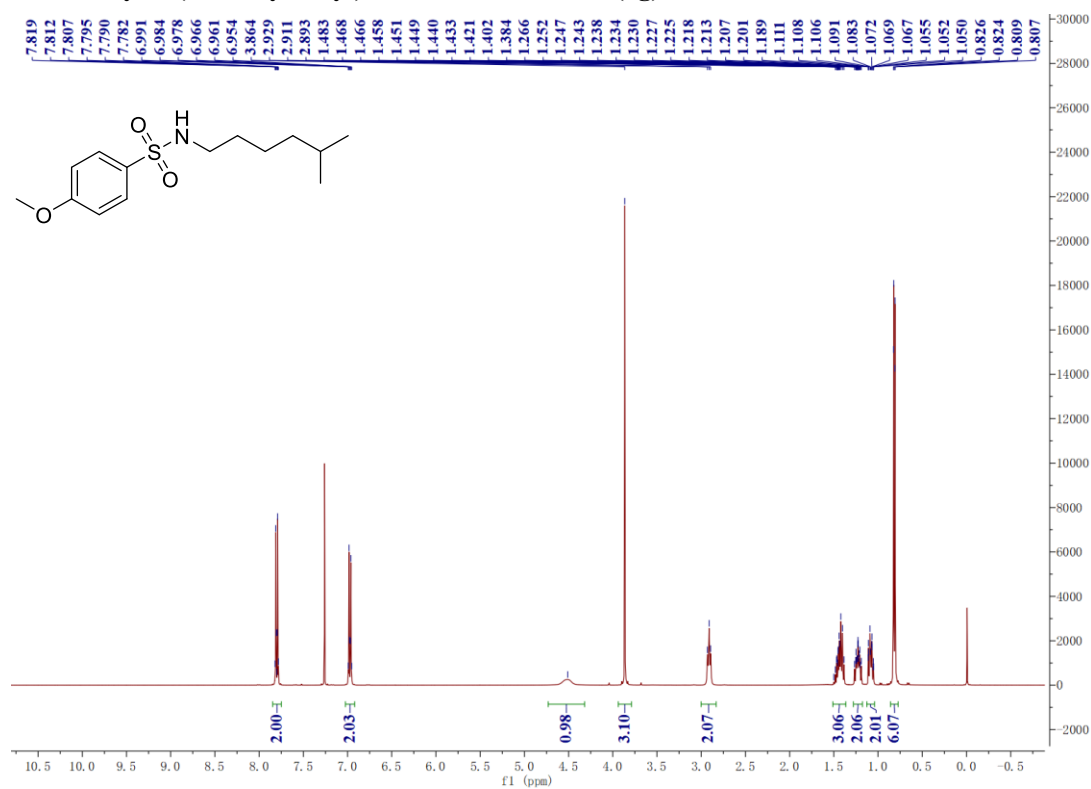
***N*-(2,2-dimethylhexyl)-4-methoxybenzenesulfonamide (2e)**



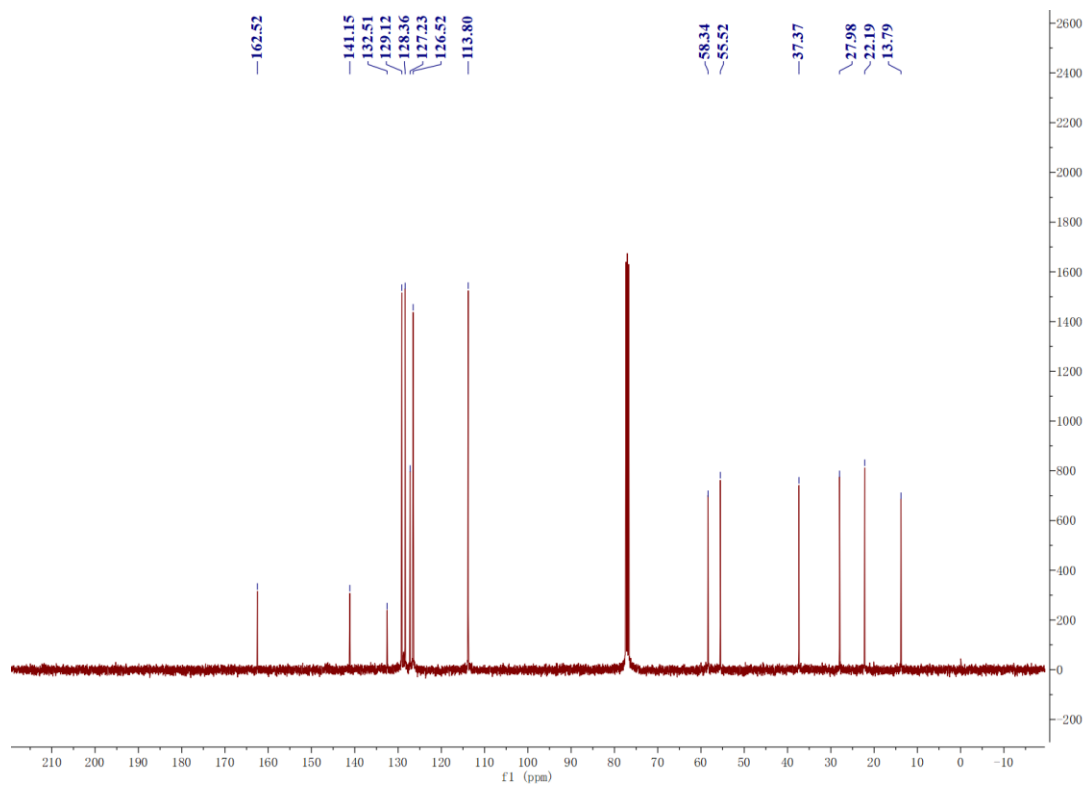
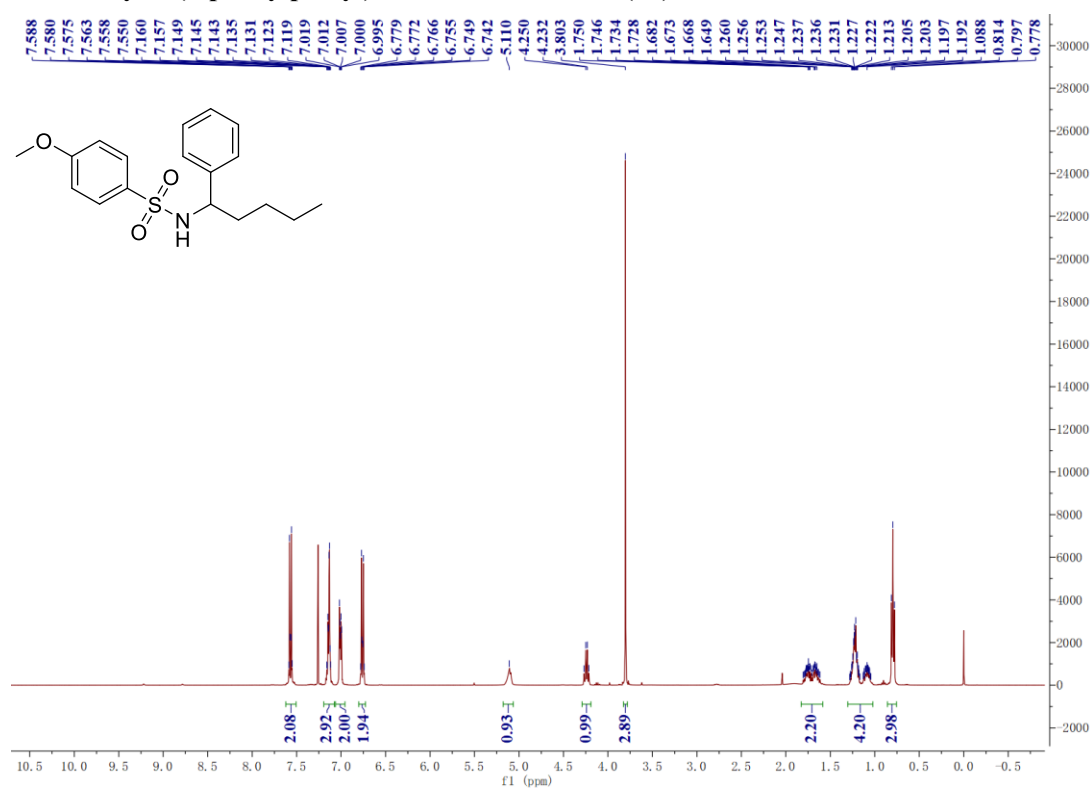
***N*-(2-ethylhexyl)-4-methoxybenzenesulfonamide (2f)**



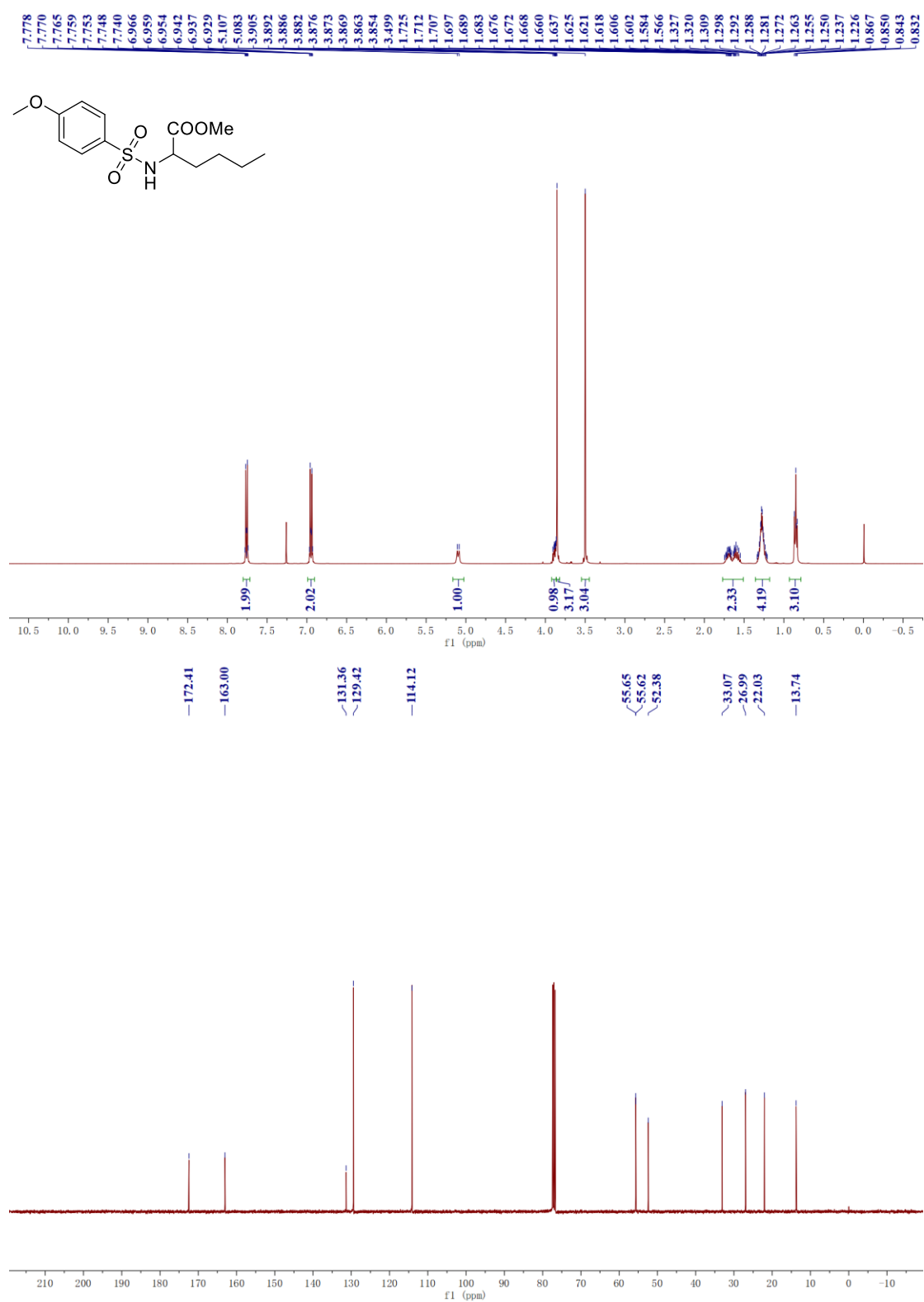
4-methoxy-N-(5-methylhexyl)benzenesulfonamide (2g)



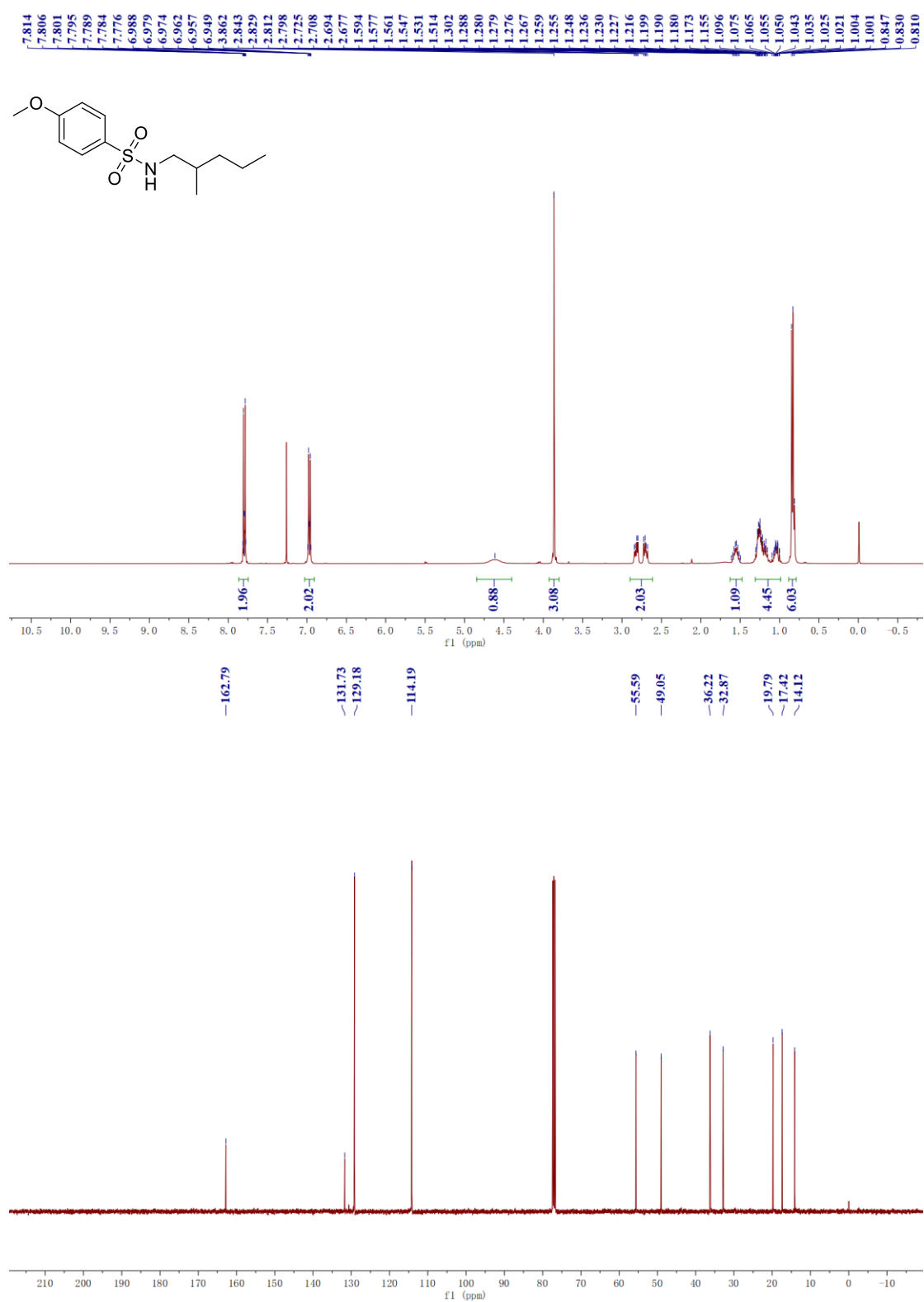
4-methoxy-N-(1-phenylpentyl)benzenesulfonamide (2h)



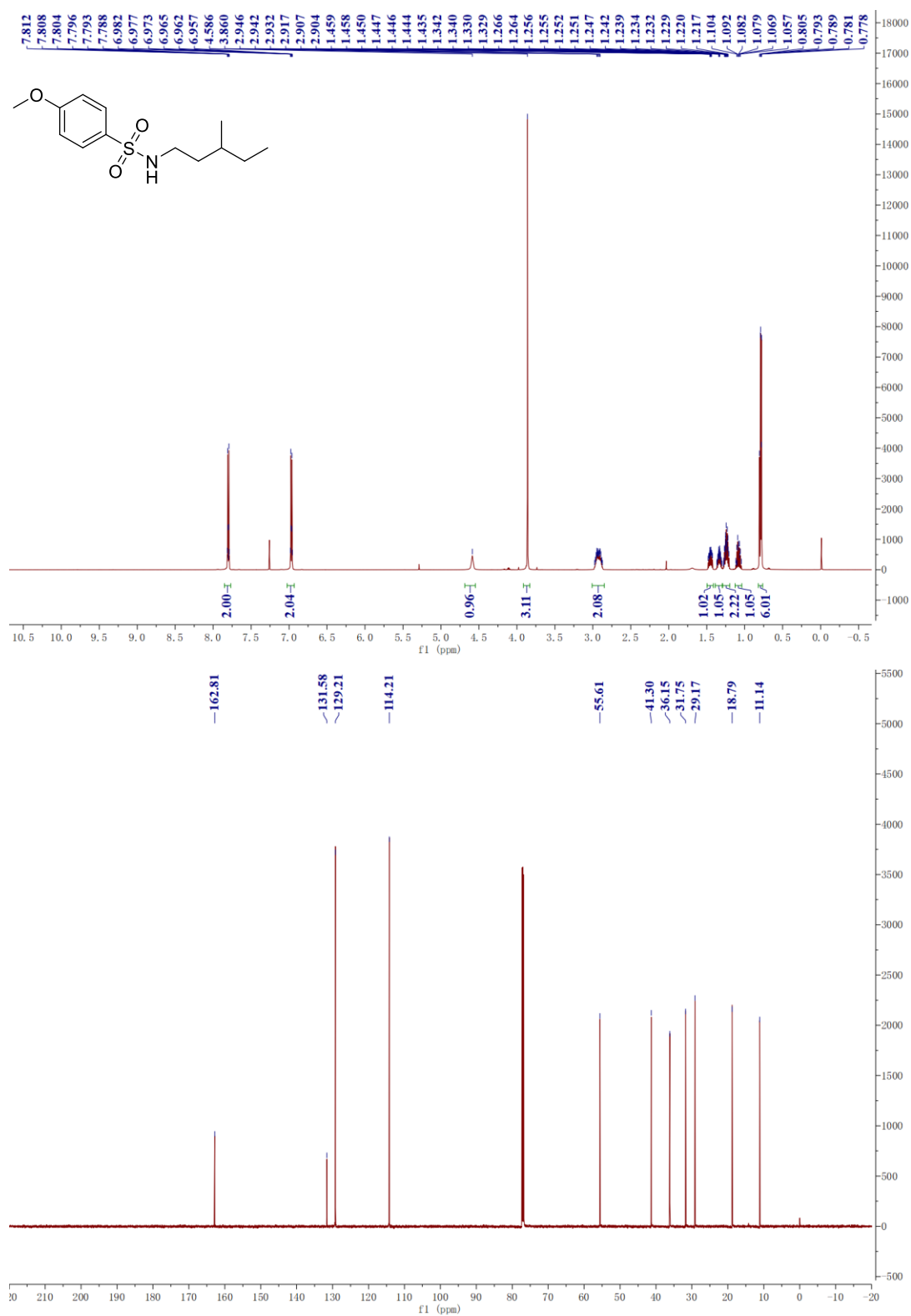
methyl (S)-2-((4-methoxyphenyl)sulfonamido)hexanoate (2i)



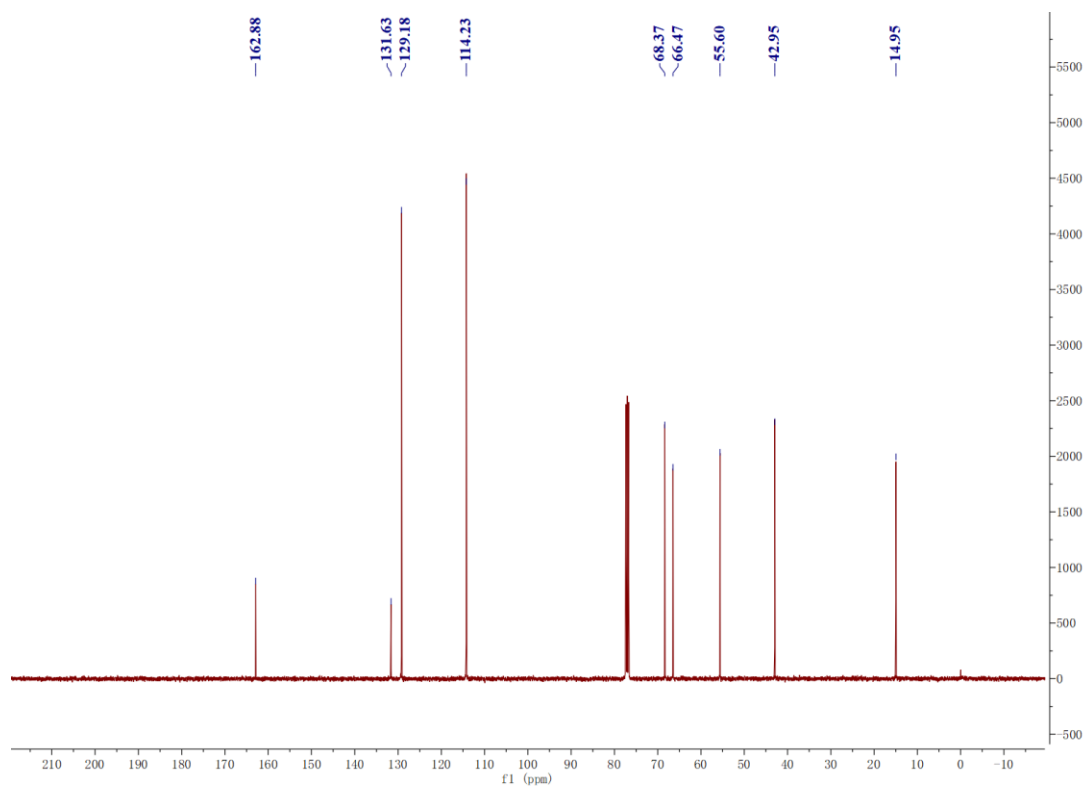
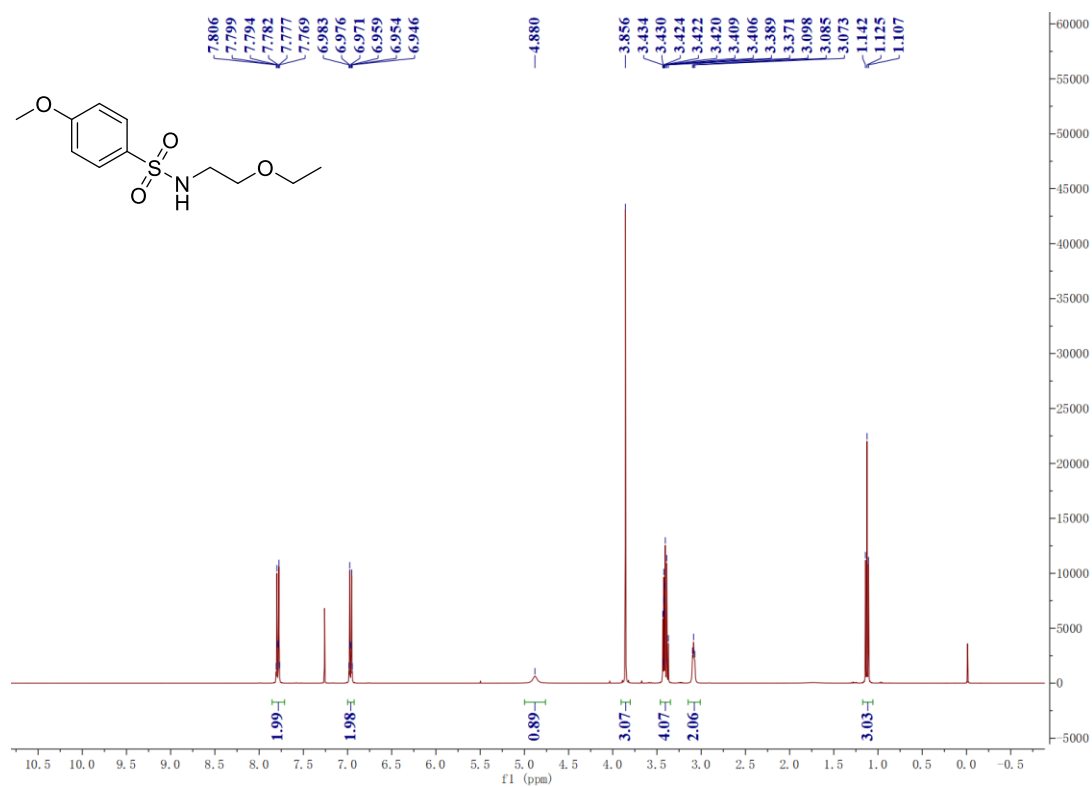
4-methoxy-*N*-(2-methylpentyl)benzenesulfonamide (2j)



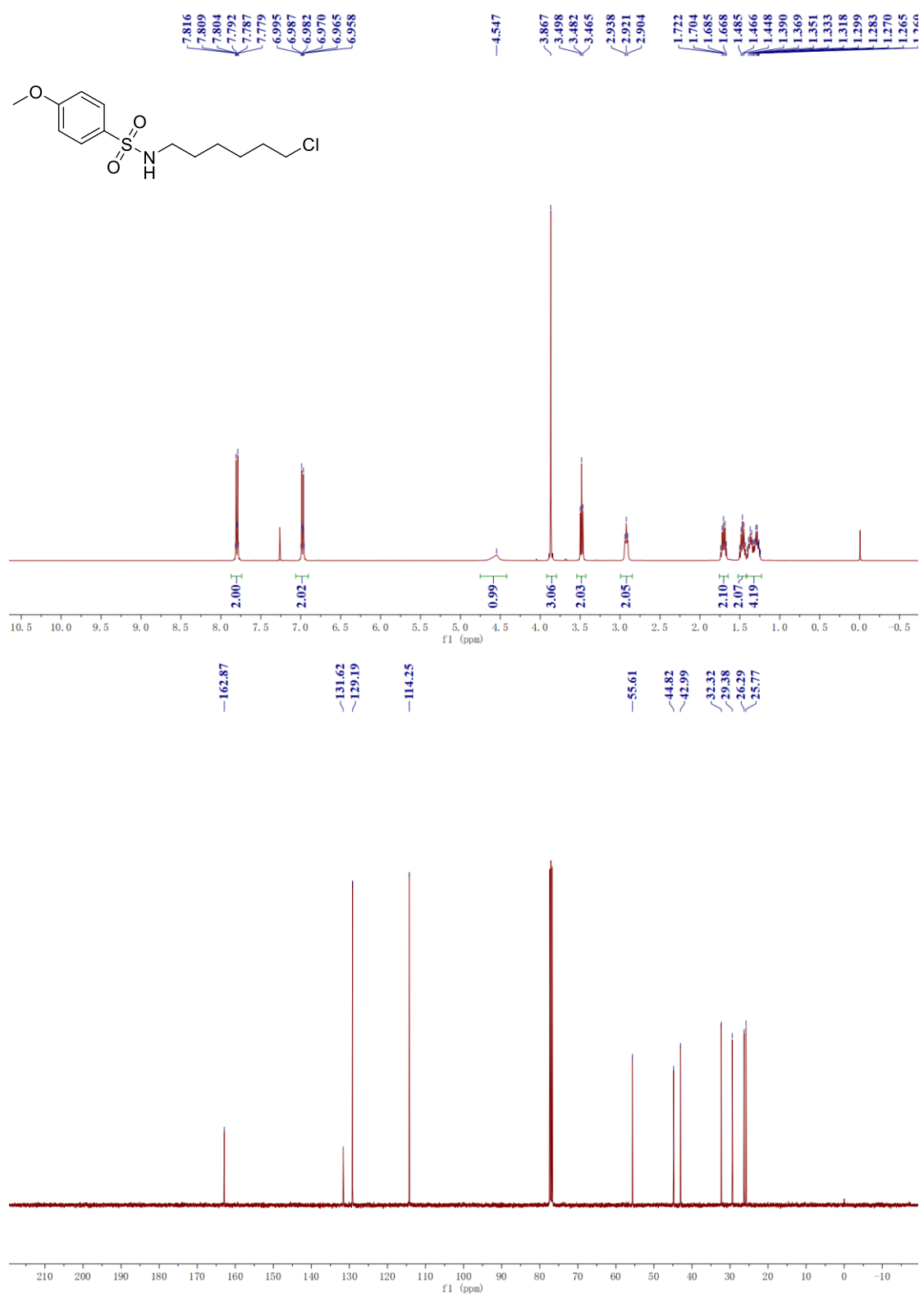
4-methoxy-N-(3-methylpentyl)benzenesulfonamide (2k)



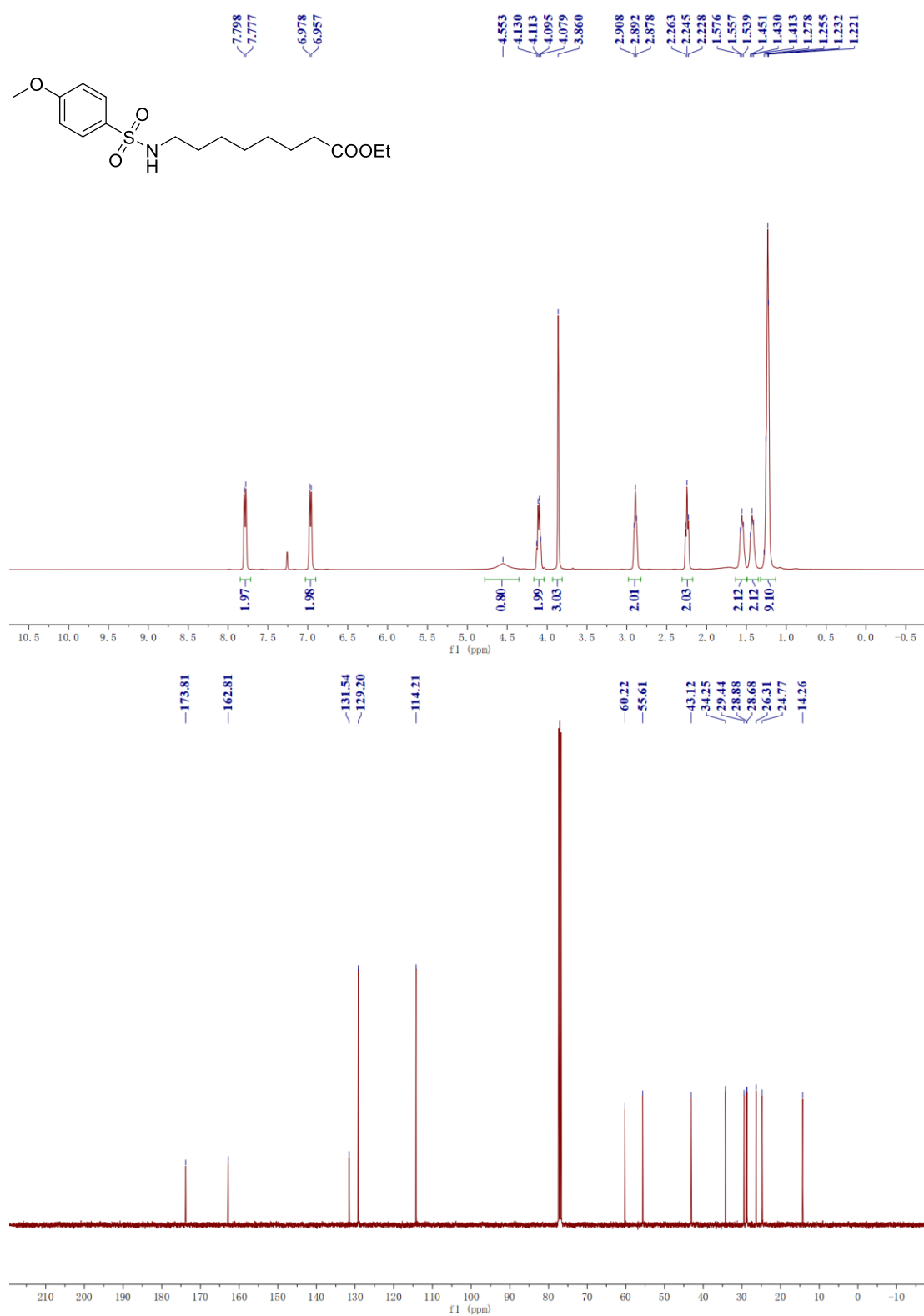
***N*-(2-ethoxyethyl)-4-methoxybenzenesulfonamide (2l)**



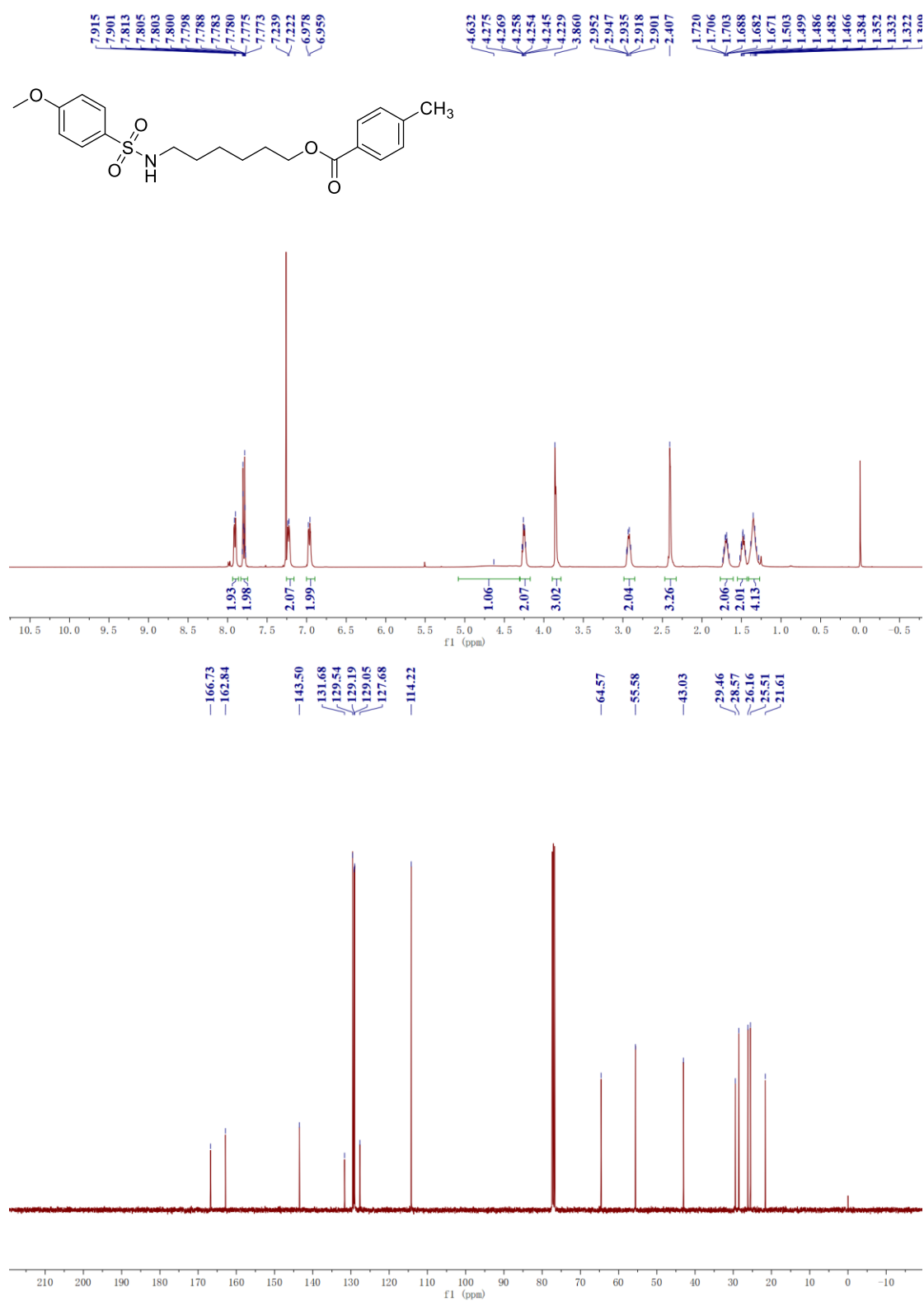
***N*-(6-chlorohexyl)-4-methoxybenzenesulfonamide (2m)**



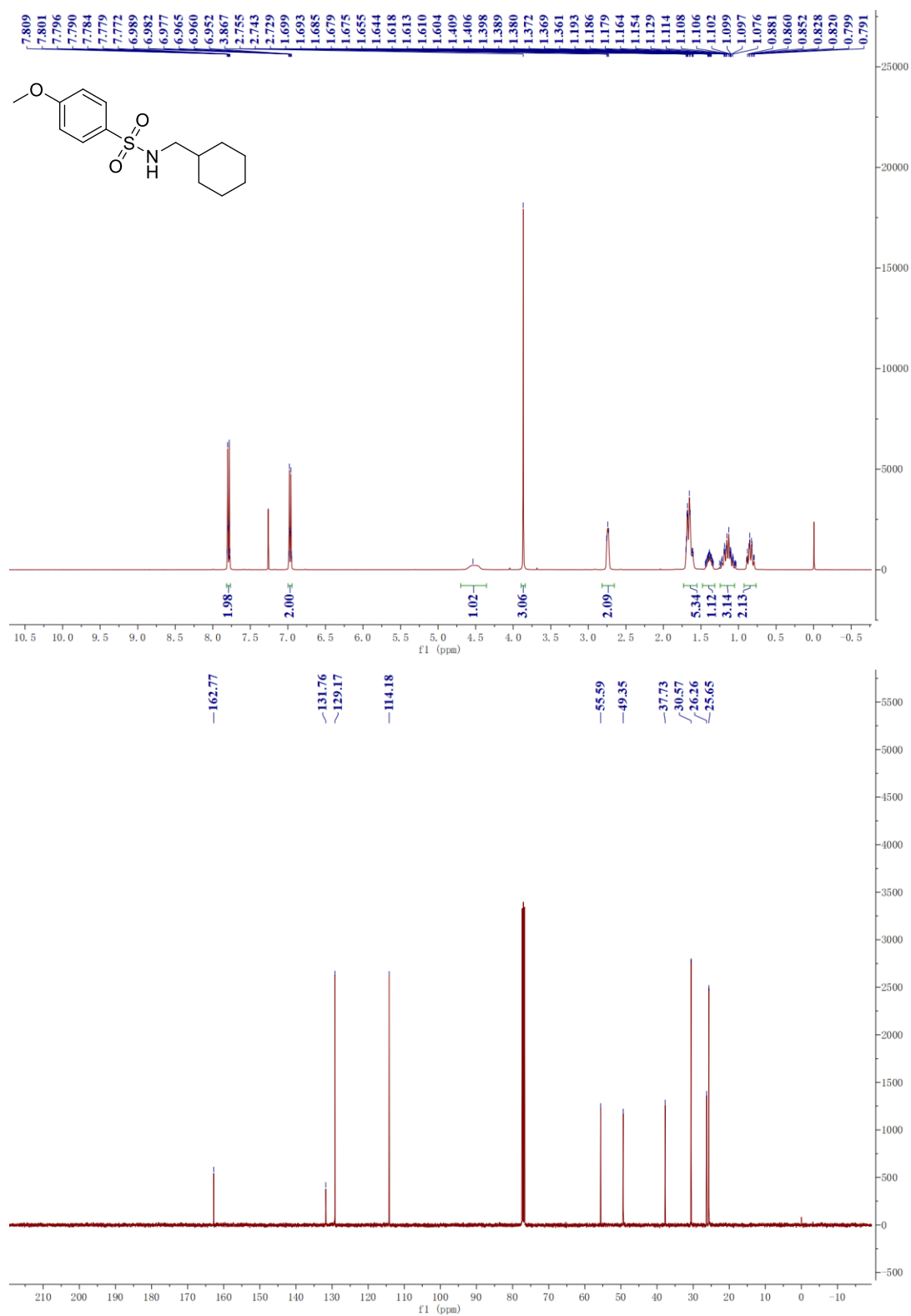
ethyl 8-((4-methoxyphenyl)sulfonamido)octanoate (2n)



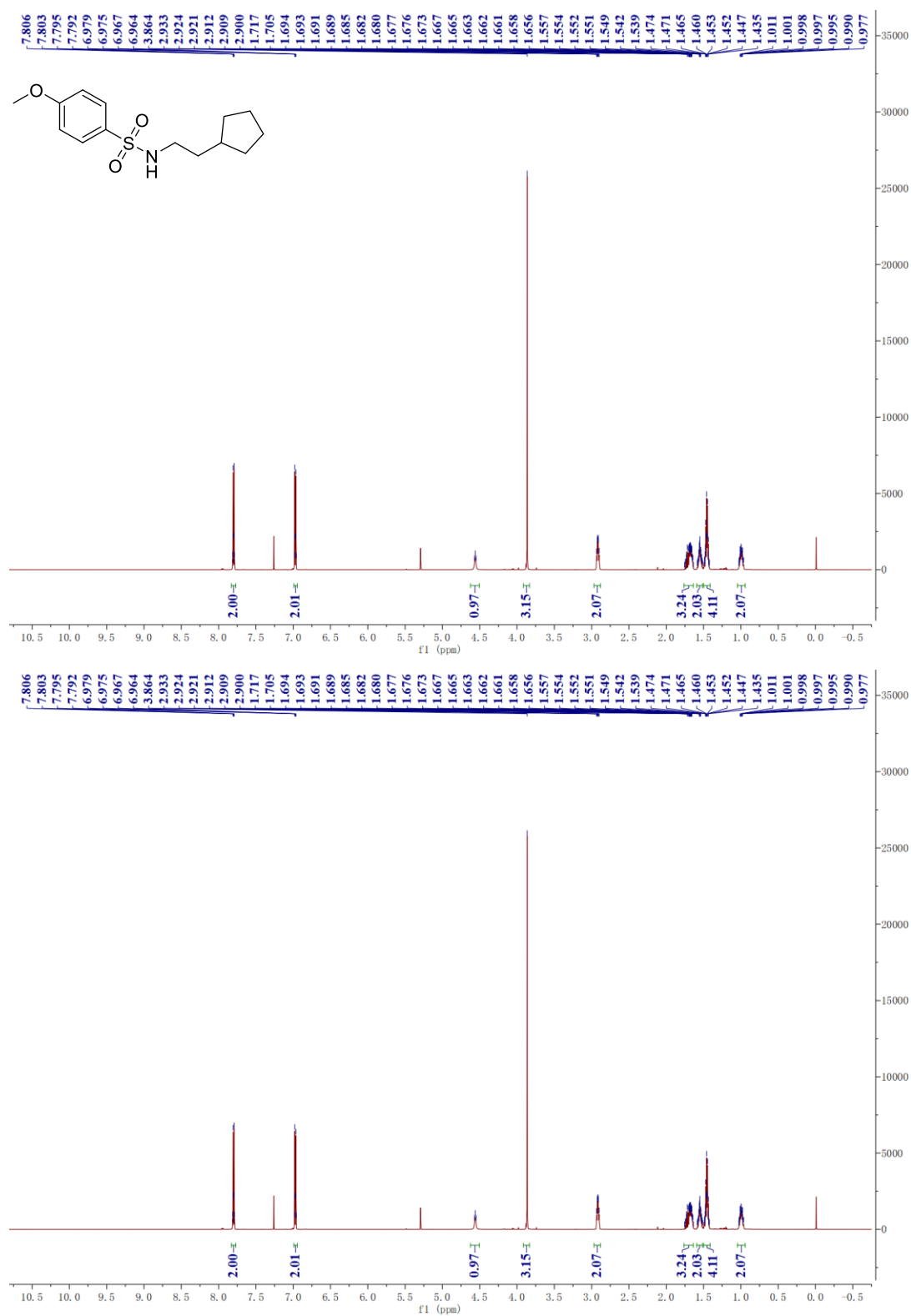
6-((4-methoxyphenyl)sulfonamido)hexyl 4-methylbenzoate (2o)



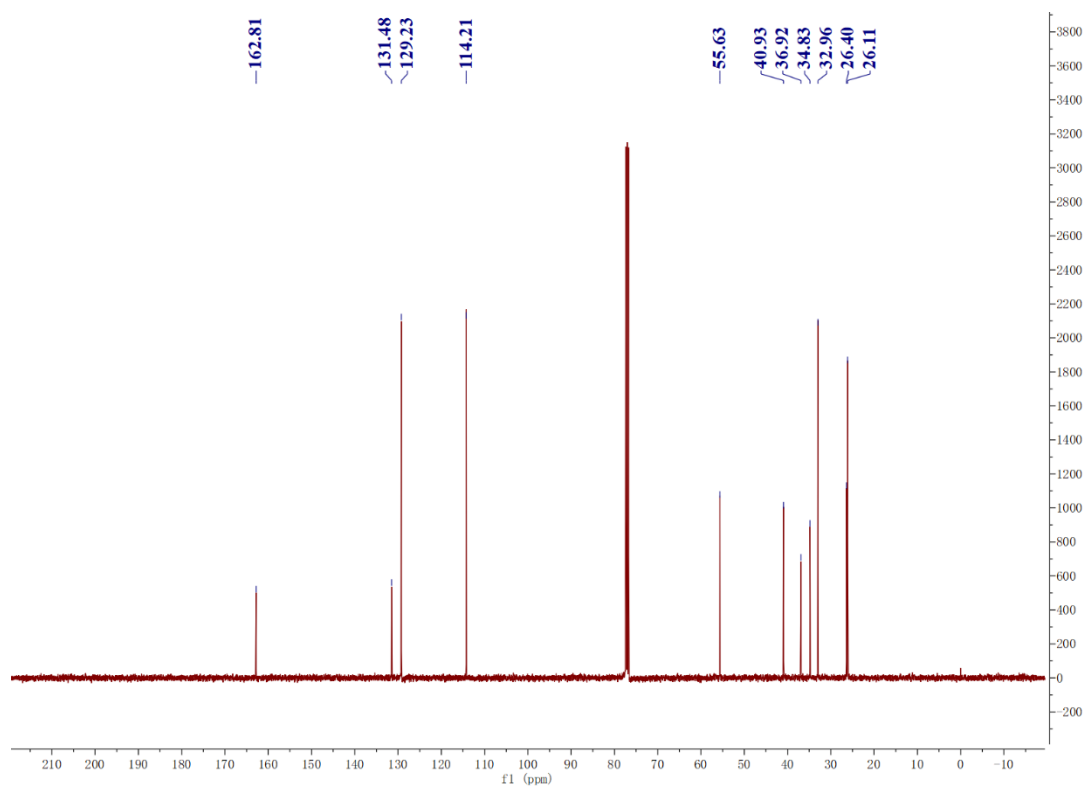
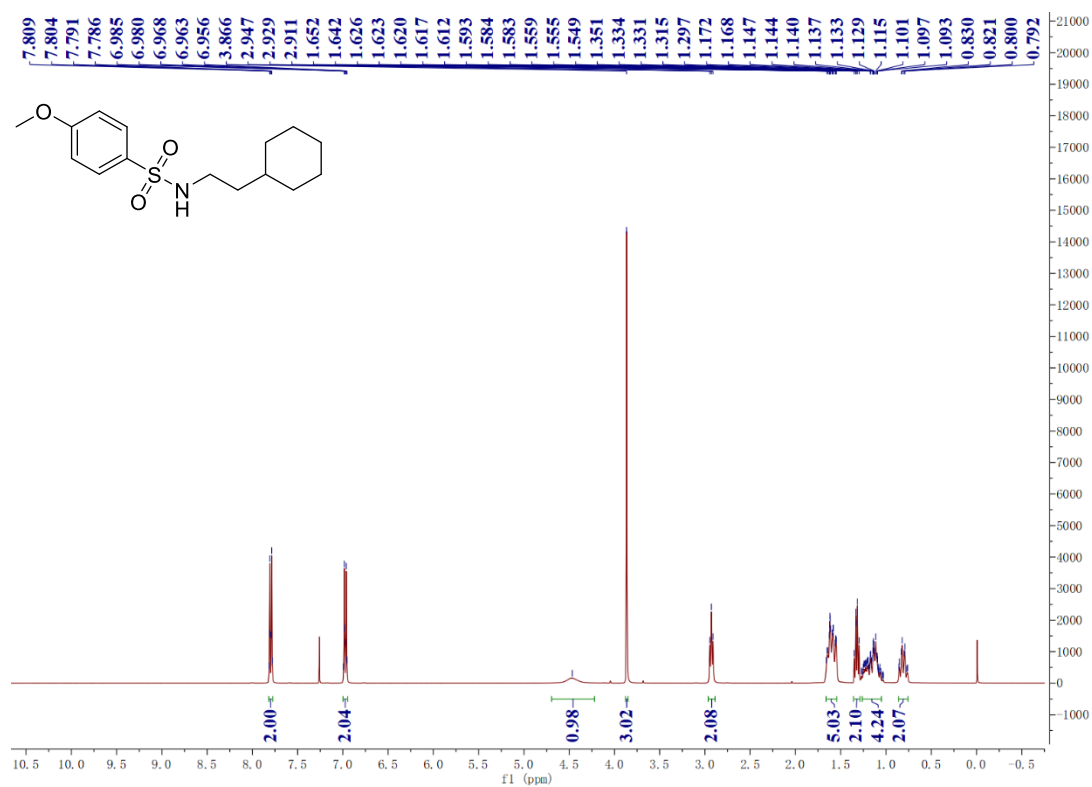
N-(cyclohexylmethyl)-4-methoxybenzenesulfonamide (2p)



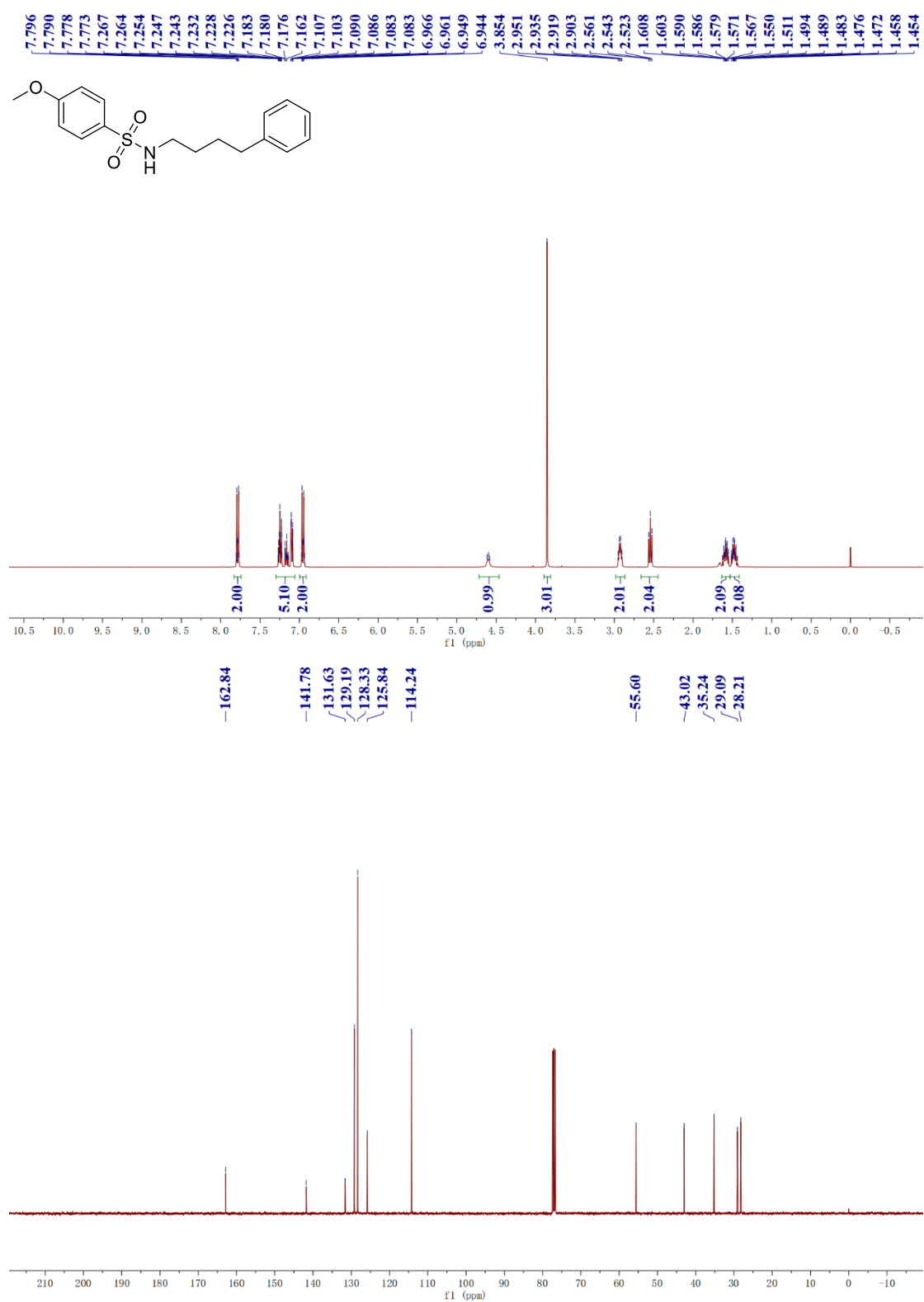
***N*-(2-cyclopentylethyl)-4-methoxybenzenesulfonamide (2q)**



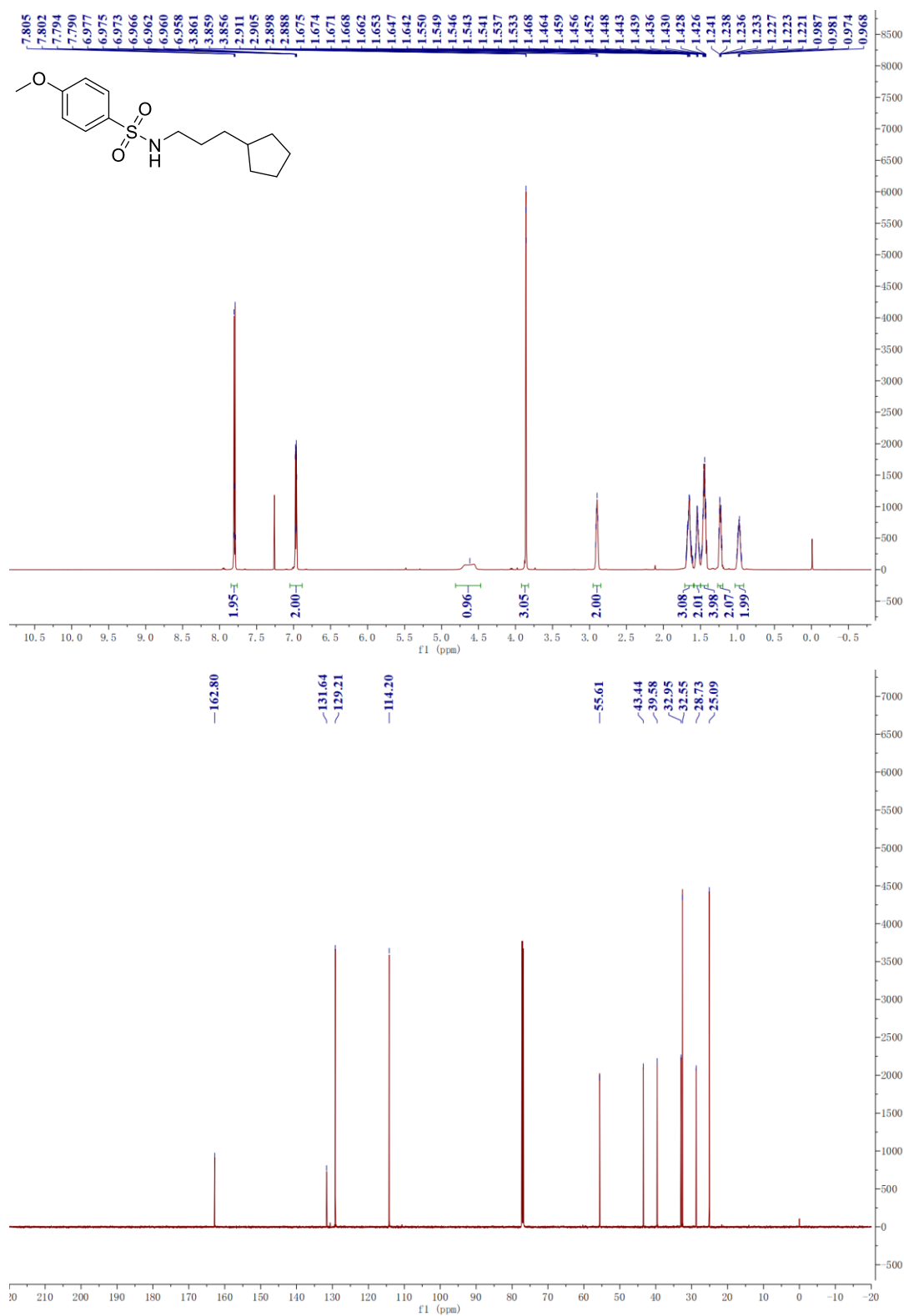
***N*-(2-cyclohexylethyl)-4-methoxybenzenesulfonamide (2r)**



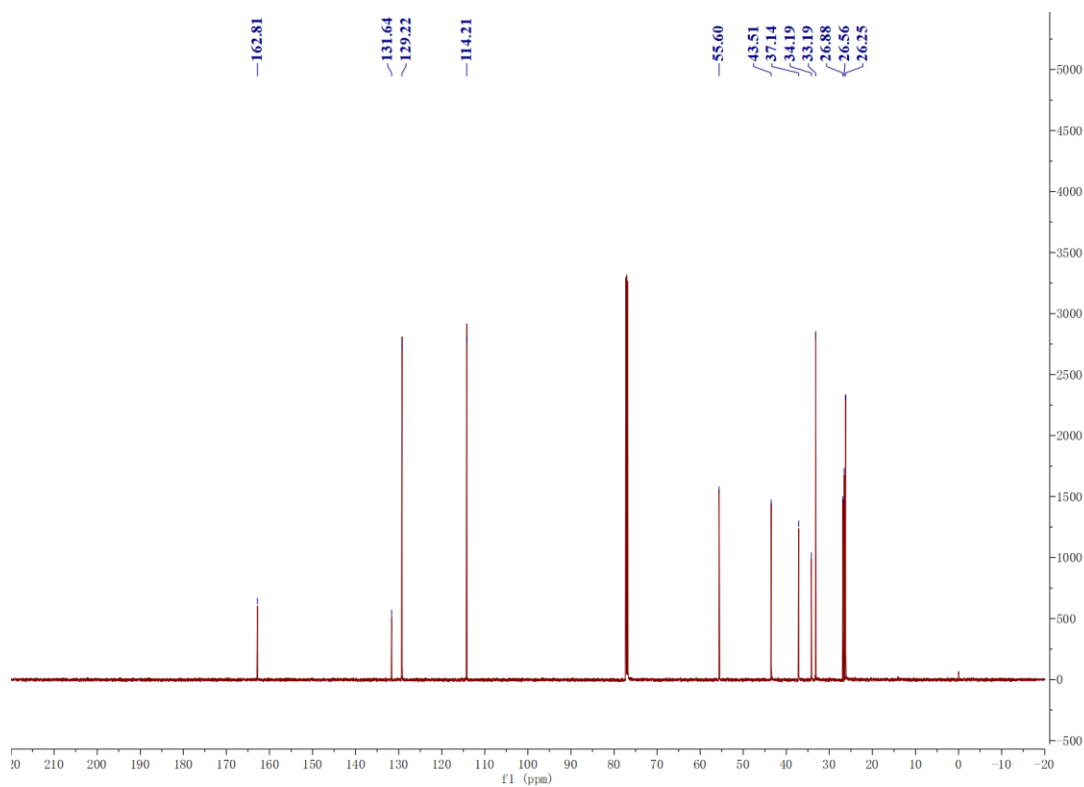
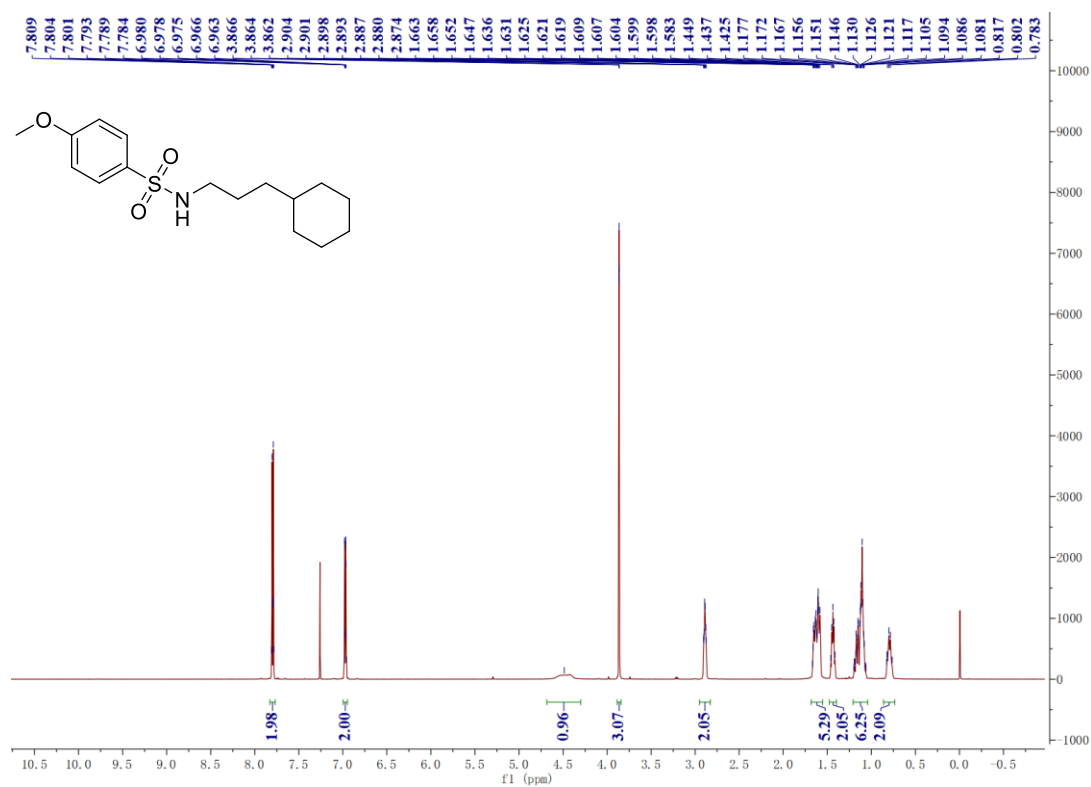
4-methoxy-N-(4-phenylbutyl)benzenesulfonamide (2s)



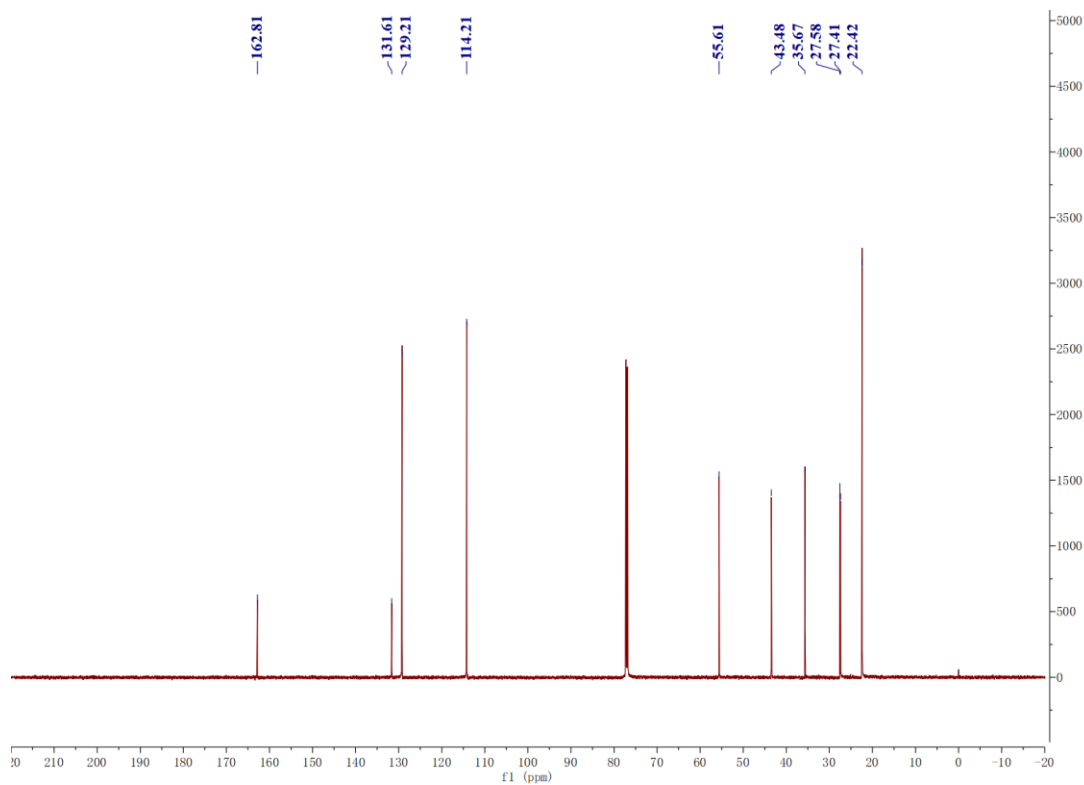
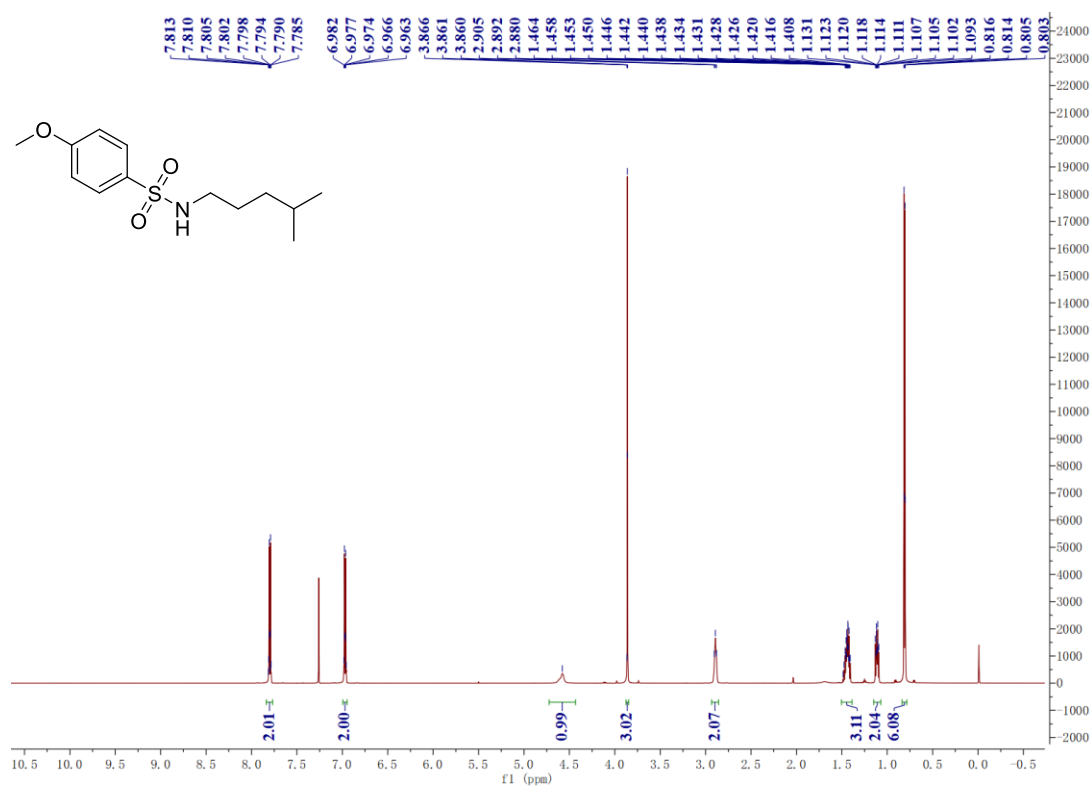
***N*-(3-cyclopentylpropyl)-4-methoxybenzenesulfonamide (2t)**



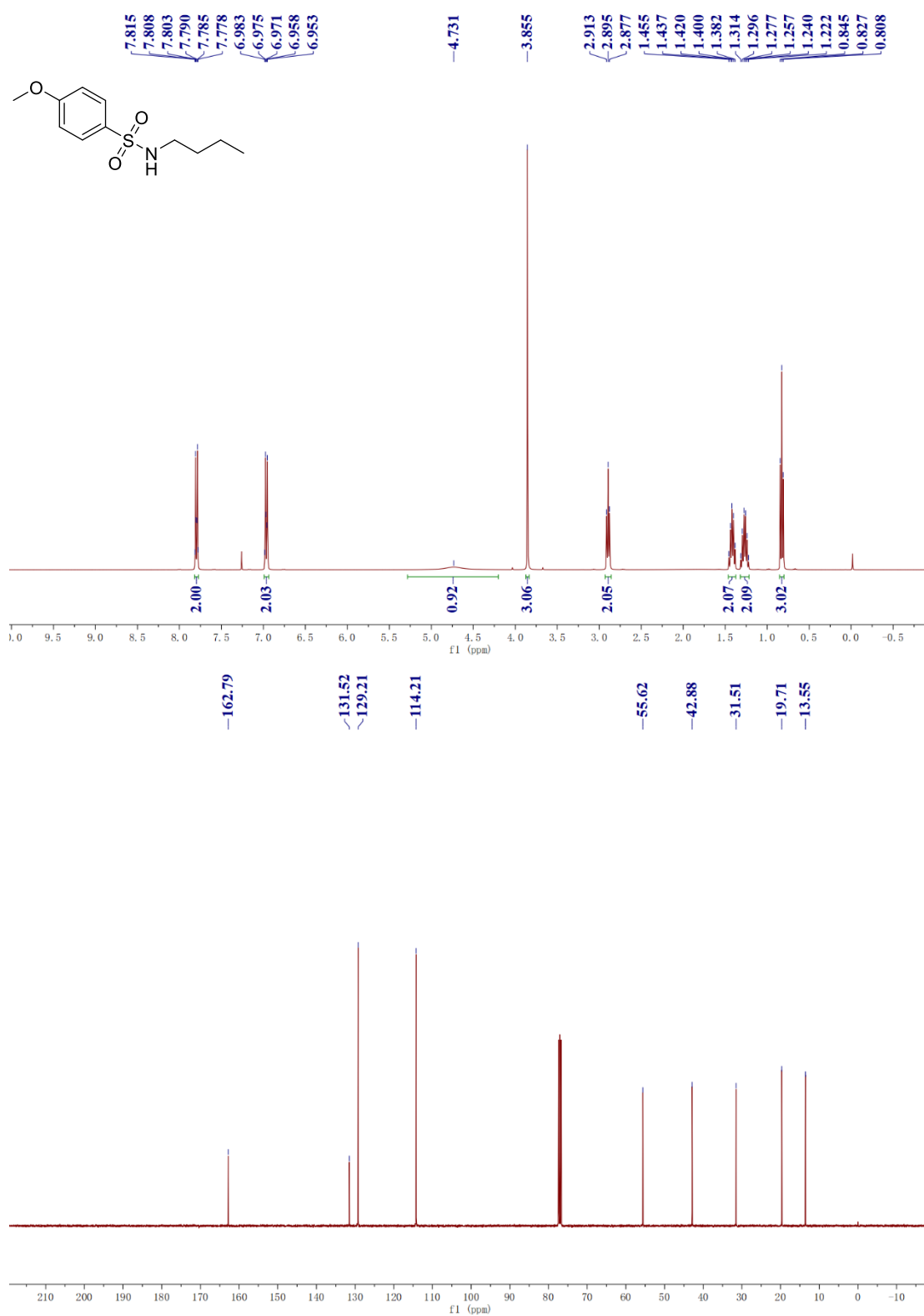
N-(3-cyclohexylpropyl)-4-methoxybenzenesulfonamide (2u)



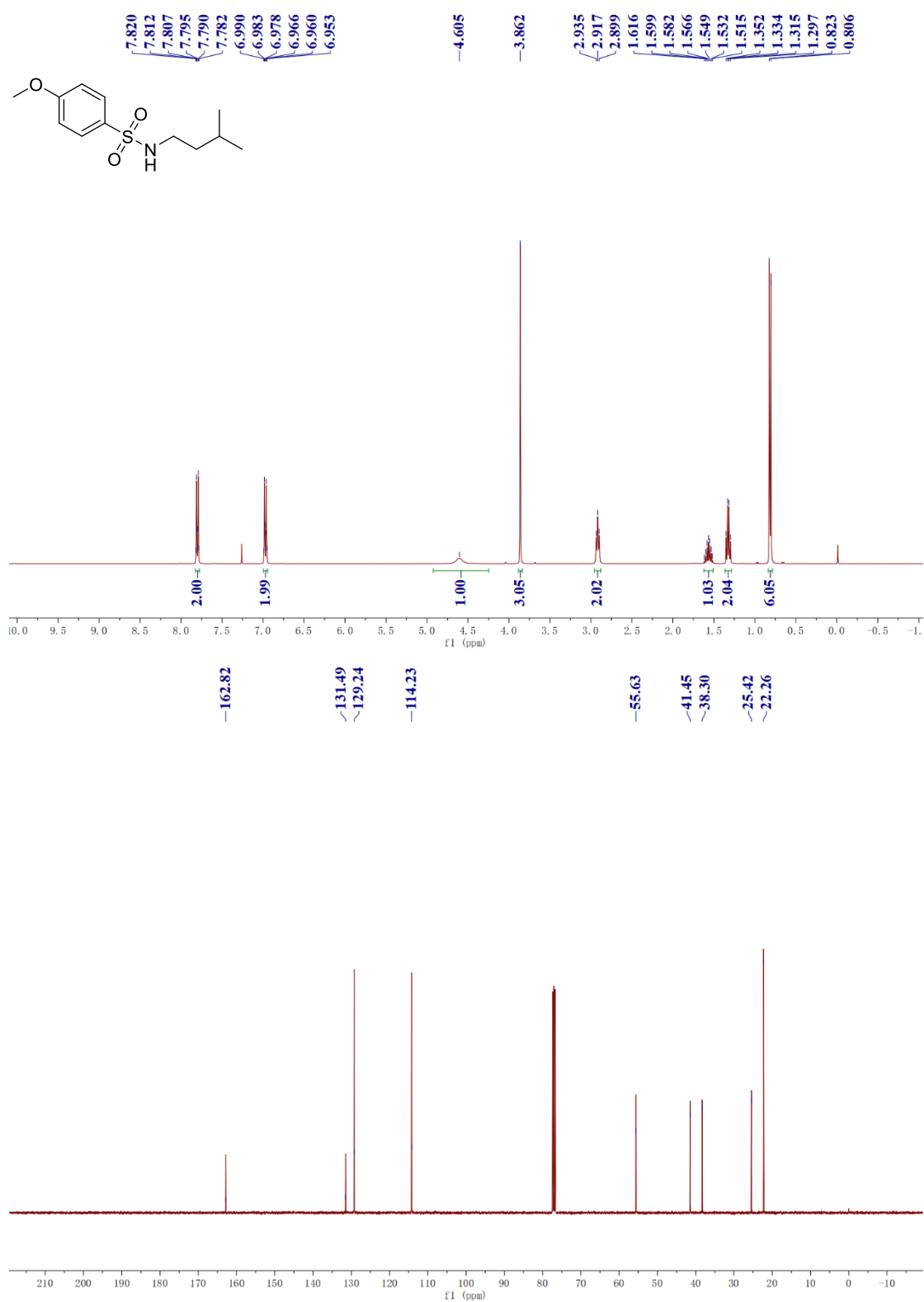
4-methoxy-*N*-(4-methylpentyl)benzenesulfonamide (2v)



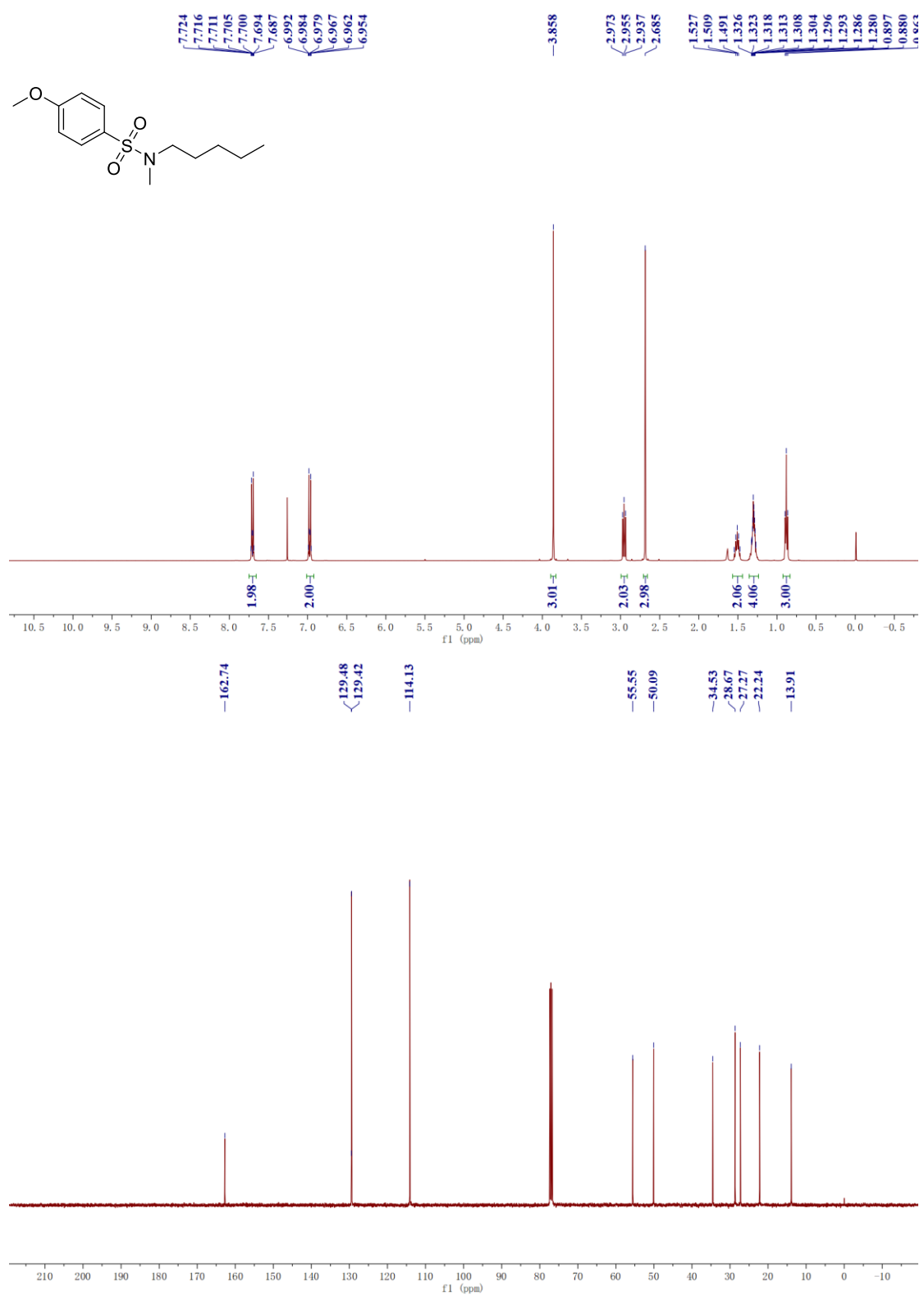
N-butyl-4-methoxybenzenesulfonamide (2w)



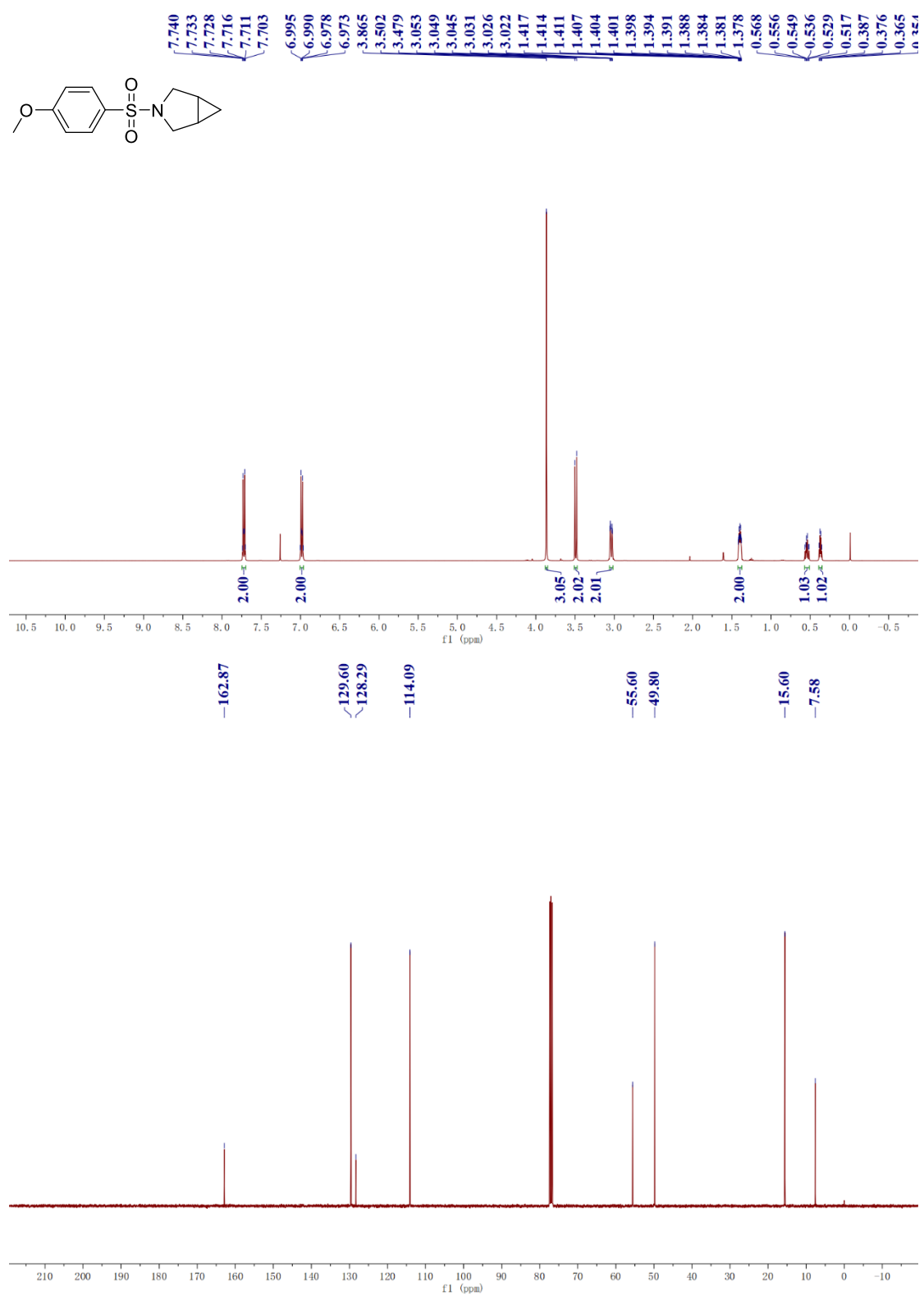
***N*-isopentyl-4-methoxybenzenesulfonamide (2x)**



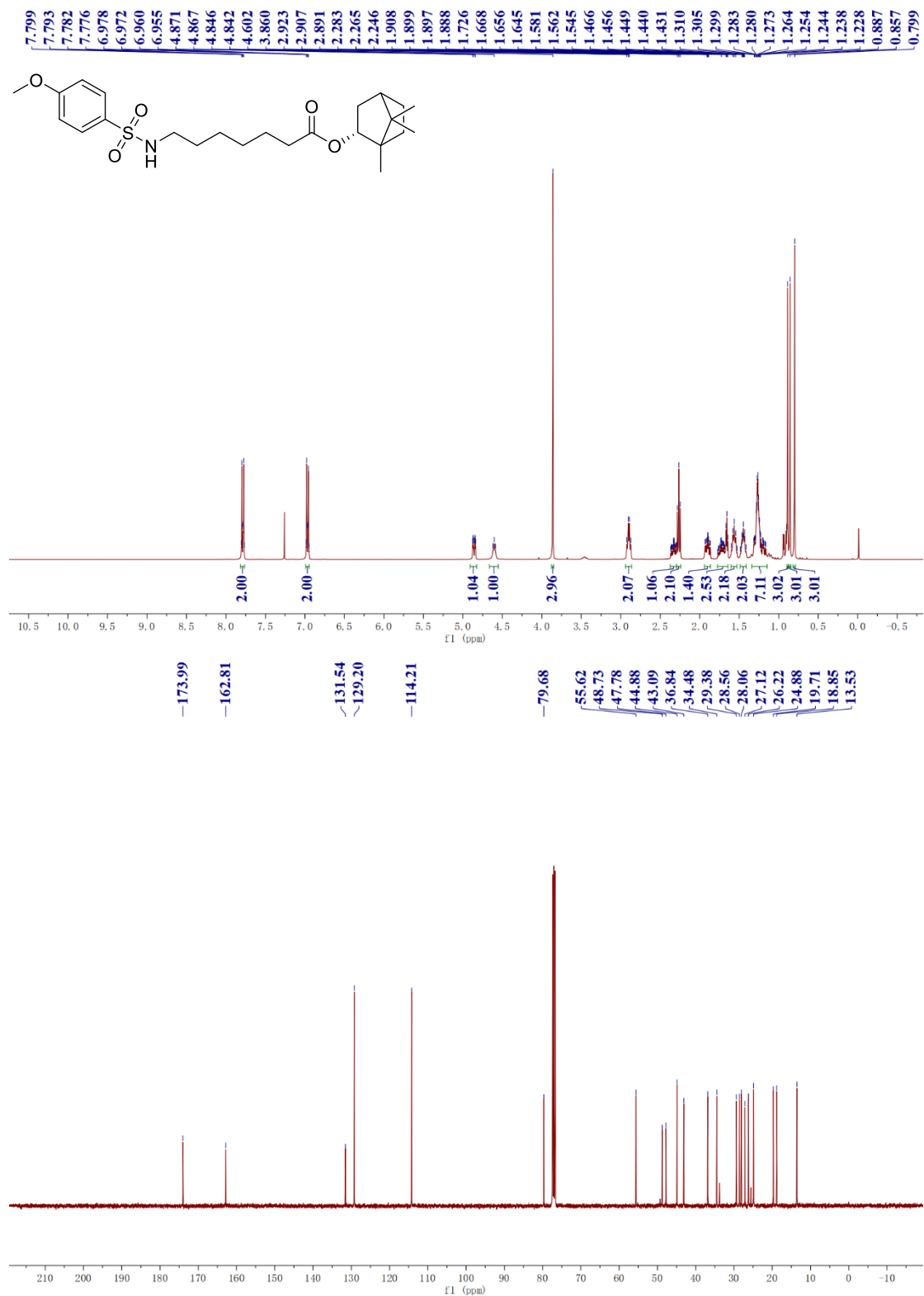
4-methoxy-N-methyl-N-pentylbenzenesulfonamide (2y)



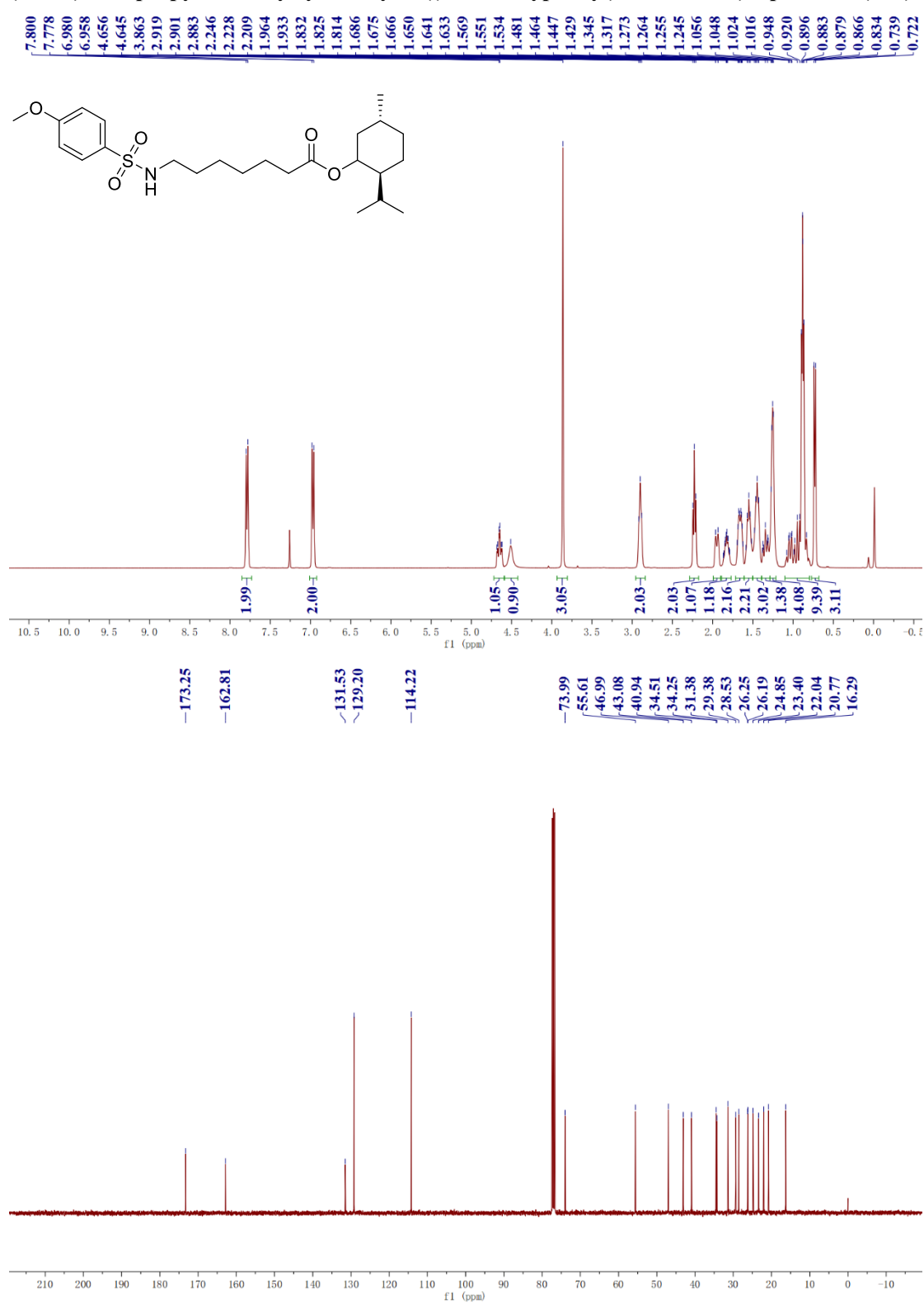
3-((4-methoxyphenyl)sulfonyl)-3-azabicyclo[3.1.0]hexane (2z)



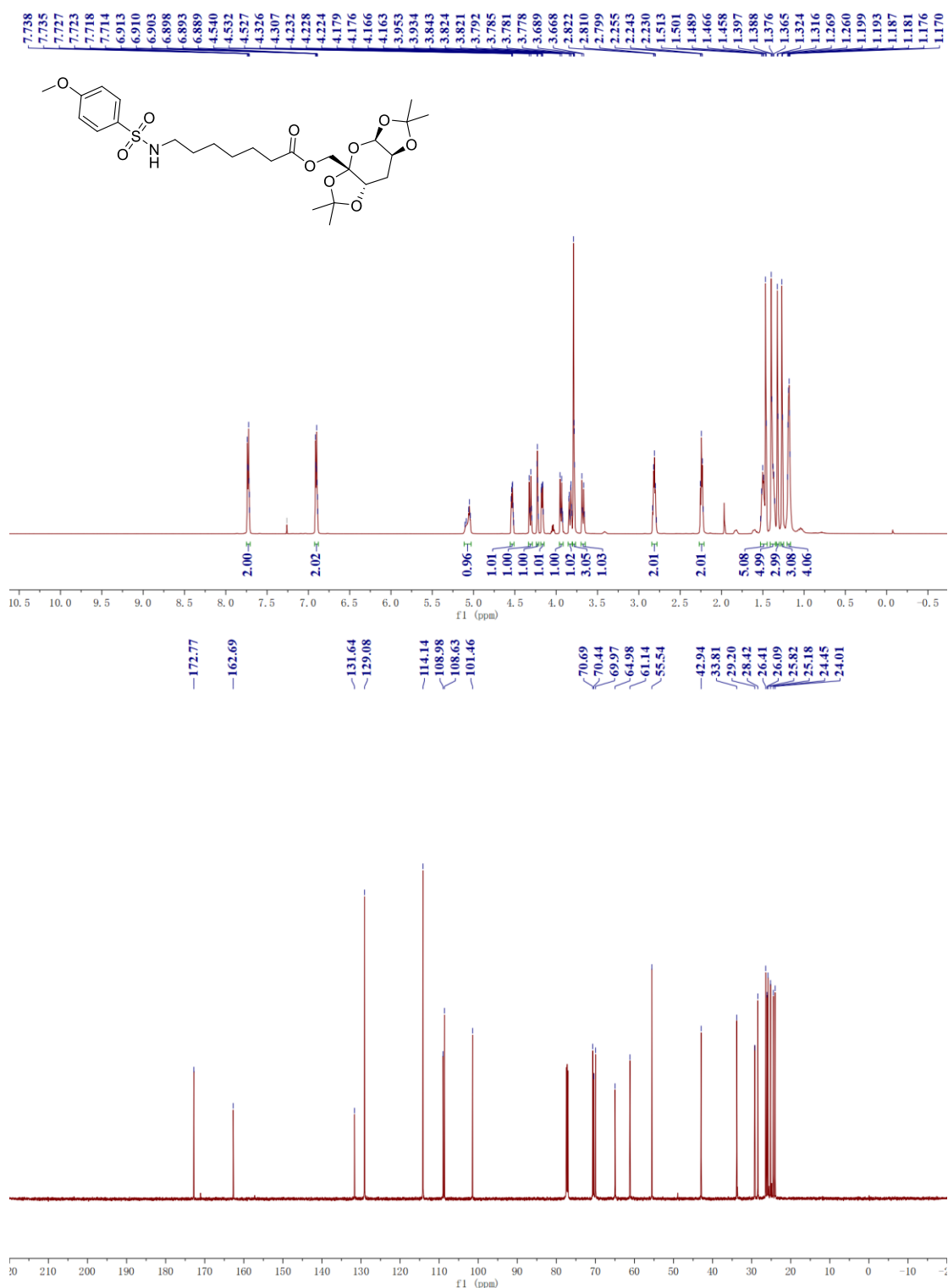
(2R)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl 7-((4-methoxyphenyl)sulfonamido)heptanoate (2aa)



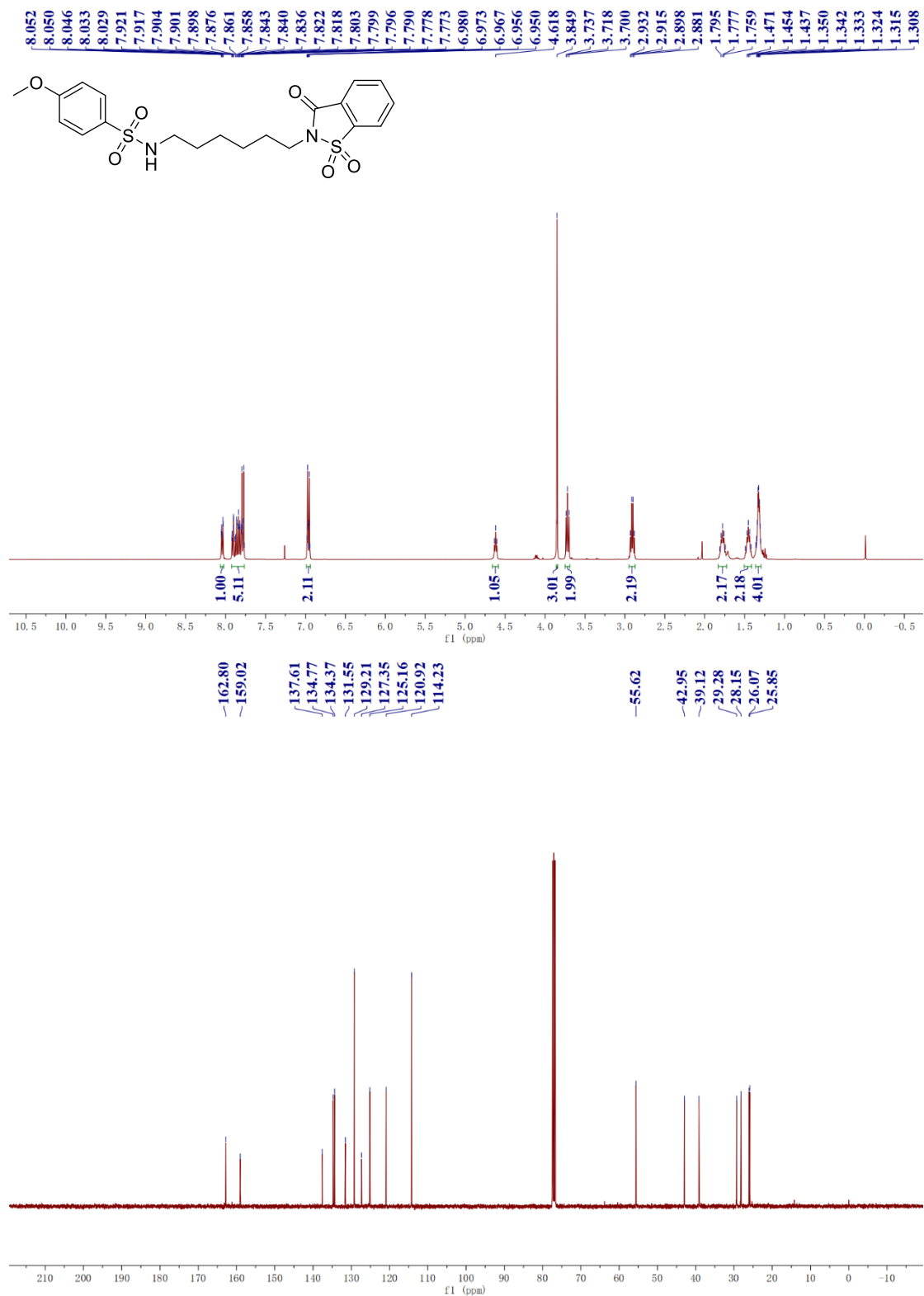
(2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl 7-((4-methoxyphenyl)sulfonamido)heptanoate (2ab)



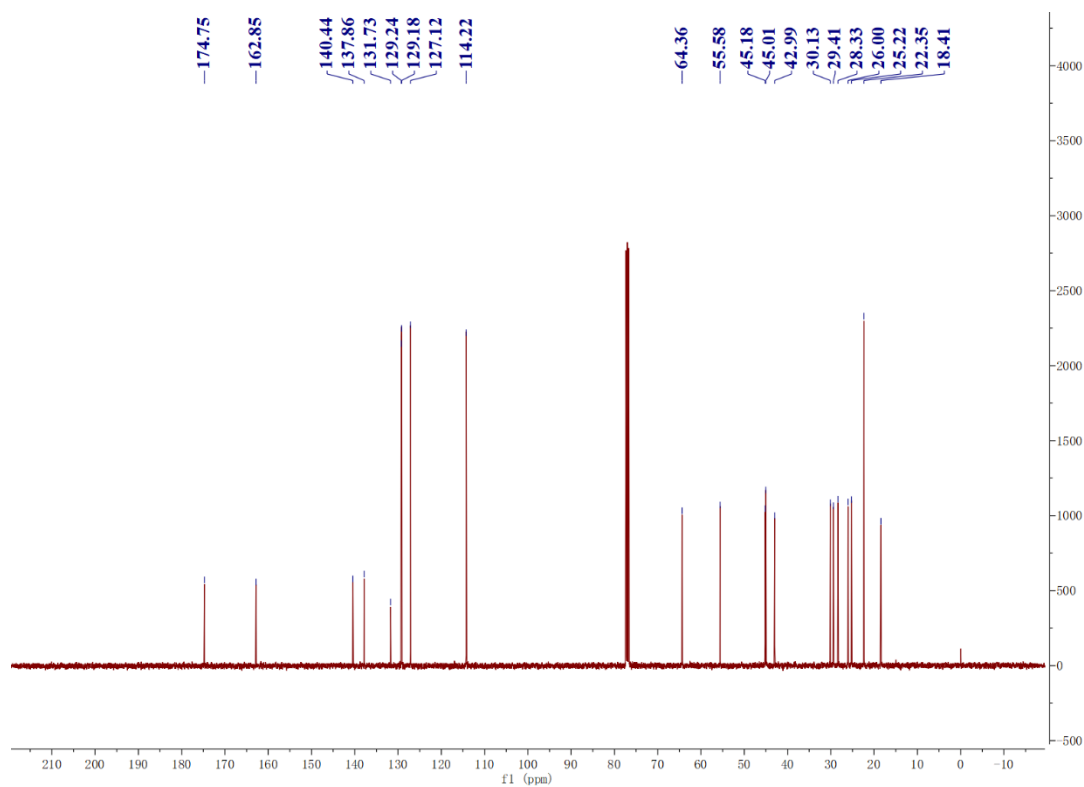
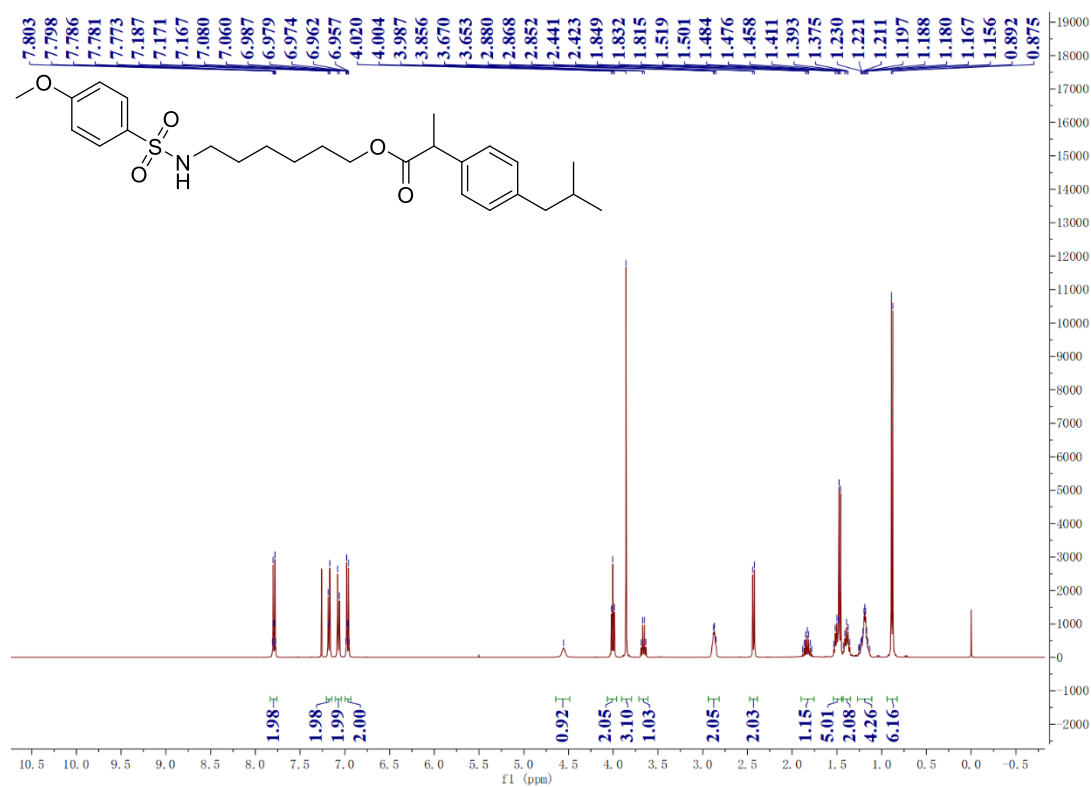
((3a*S*,4a*R*,7a*S*,8a*S*)-2,2,6,6-tetramethyltetrahydro-3a*H*-bis([1,3]dioxolo)[4,5-*b*:4',5'-*e*]pyran-3a-yl)methyl 7-((4-methoxyphenyl)sulfonamido)heptanoate (2ac)



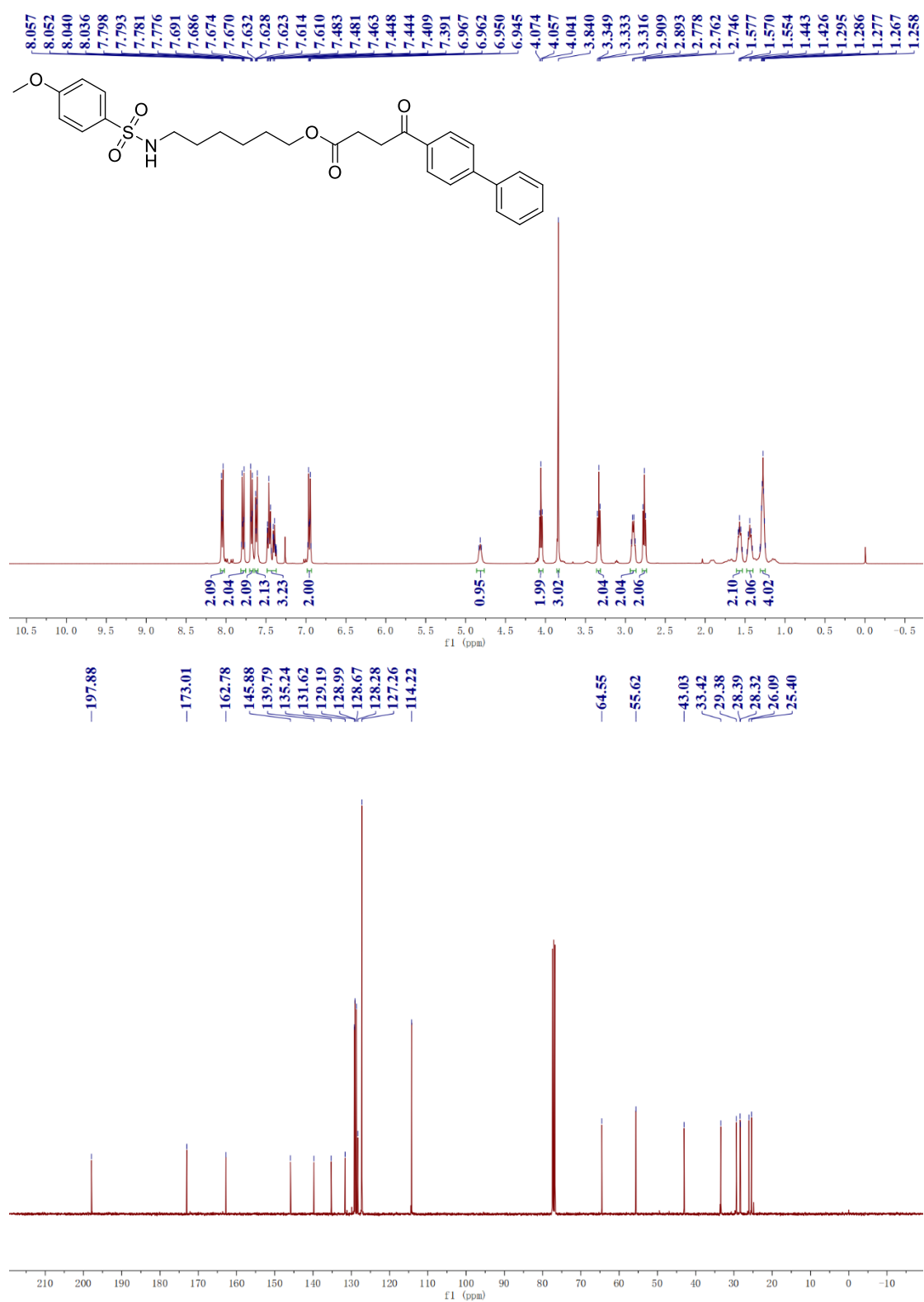
***N*-(6-(1,1-dioxido-3-oxobenzo[*d*]isothiazol-2(3*H*)-yl)hexyl)-4-methoxybenzenesulfonamide
(2ad)**



6-((4-methoxyphenyl)sulfonamido)hexyl 2-(4-isobutylphenyl)propanoate (2ae)

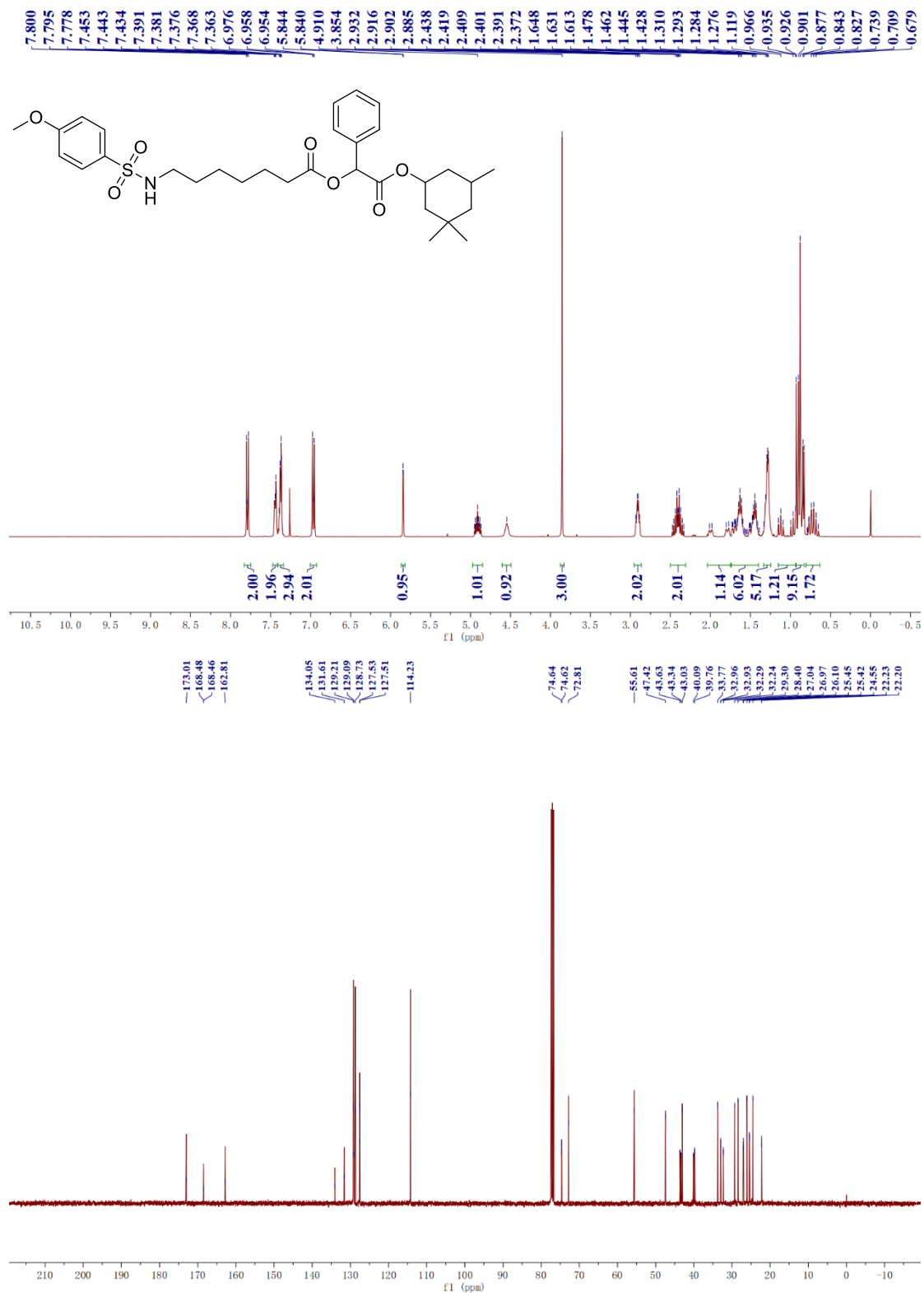


6-((4-methoxyphenyl)sulfonamido)hexyl 4-([1,1'-biphenyl]-4-yl)-4-oxobutanoate (2af)



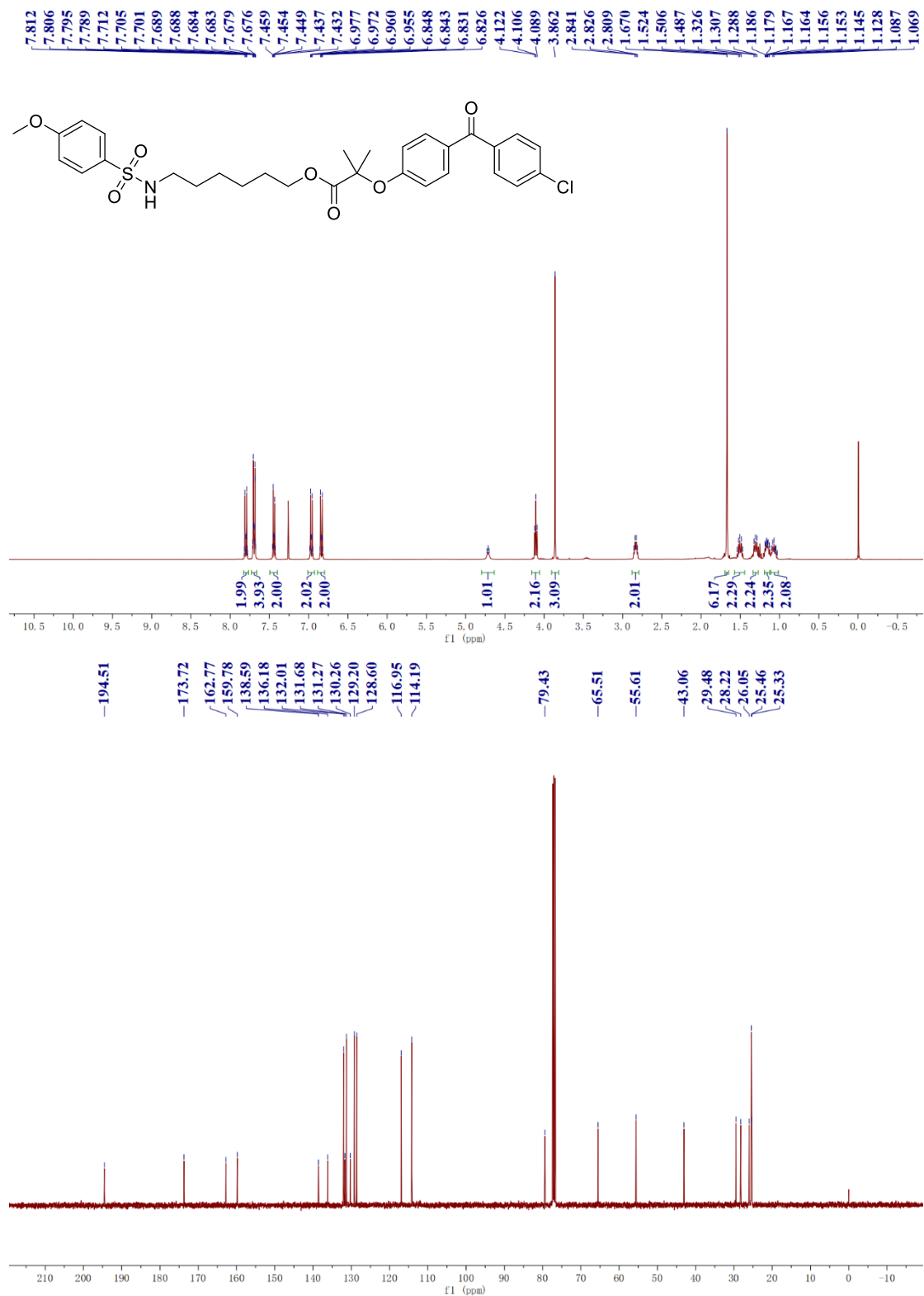
**2-oxo-1-phenyl-2-((3,3,5-trimethylcyclohexyl)oxy)ethyl
methoxyphenyl)sulfonamido)heptanoate (2ag)**

7-((4-

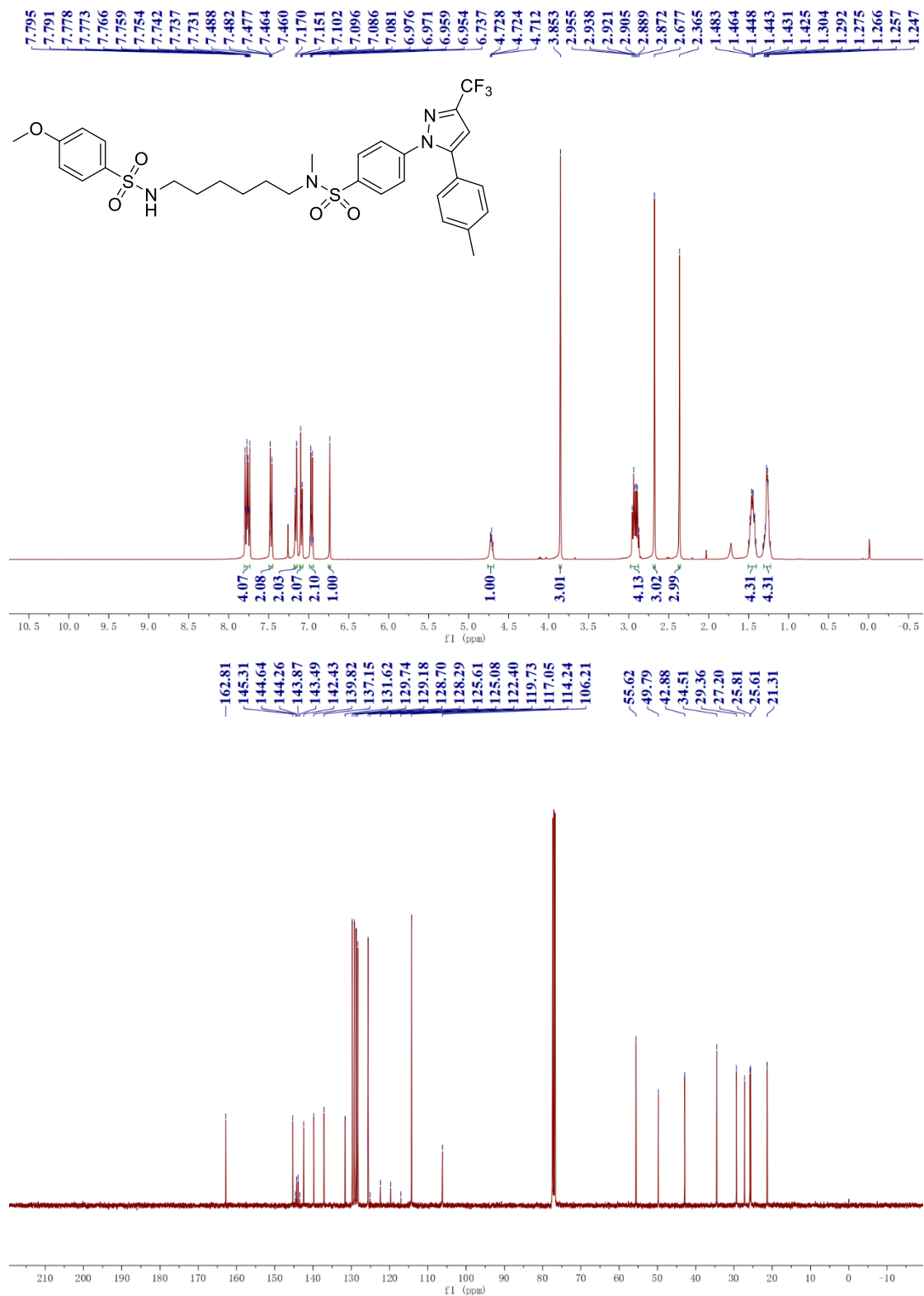


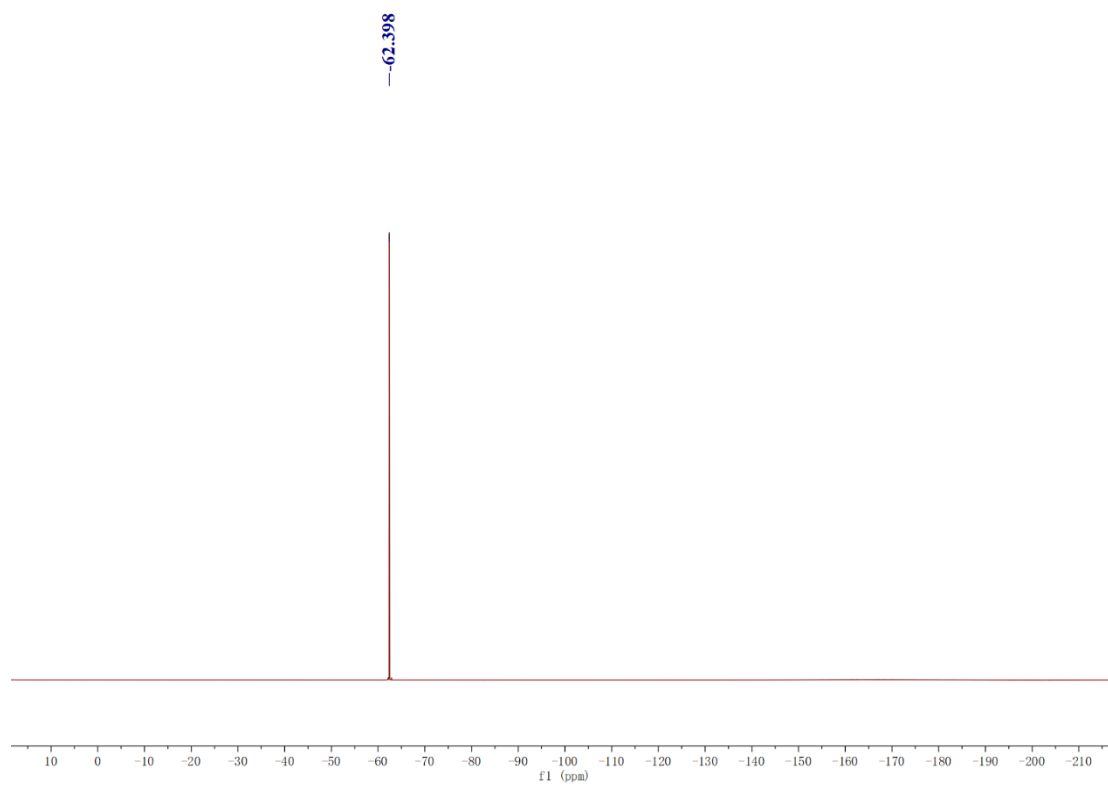
6-((4-methoxyphenyl)sulfonamido)hexyl
methylpropanoate (2ah)

2-(4-(4-chlorobenzoyl)phenoxy)-2-

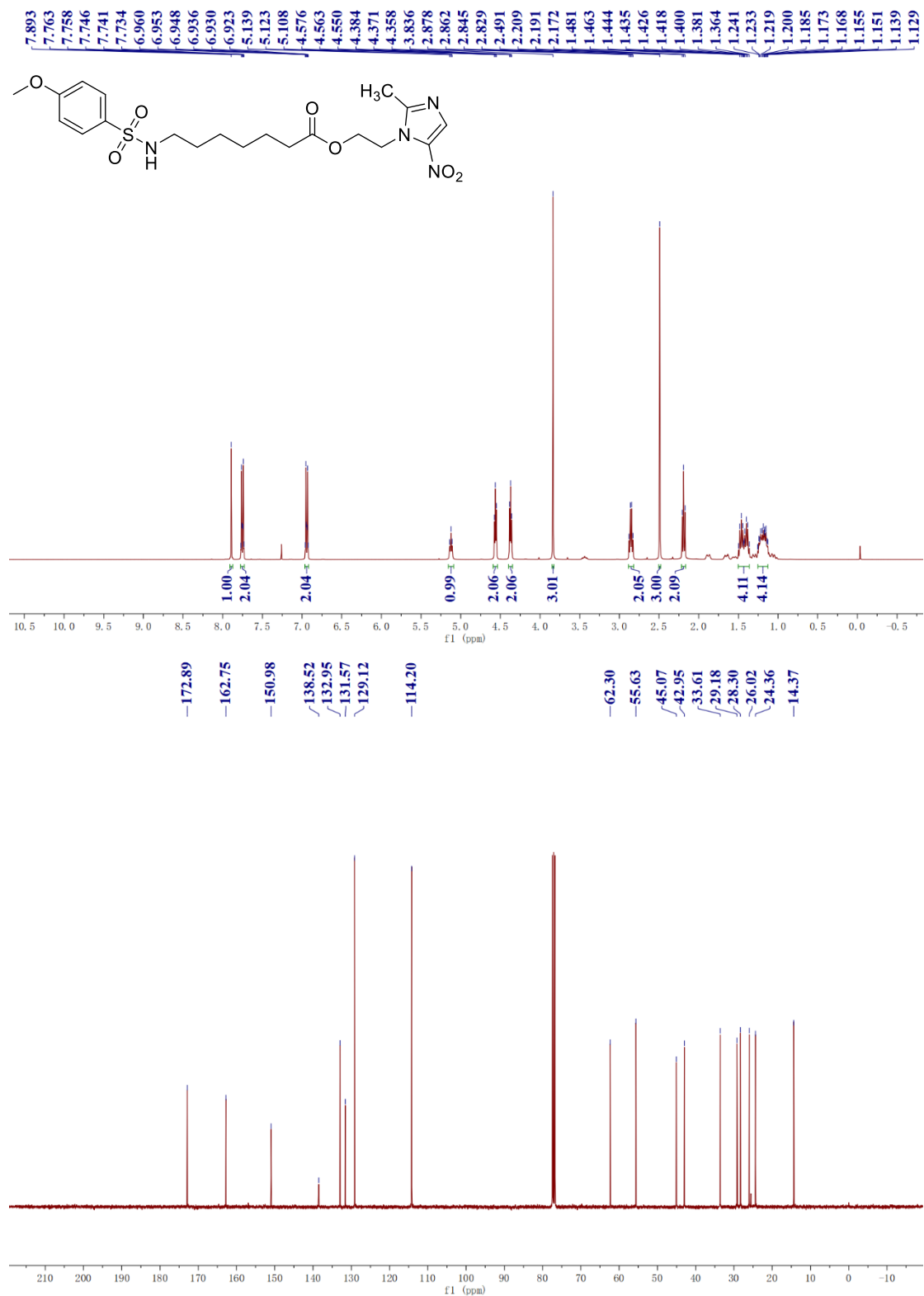


***N*-(6-((4-methoxyphenyl)sulfonamido)hexyl)-*N*-methyl-4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)benzenesulfonamide (2ai)**



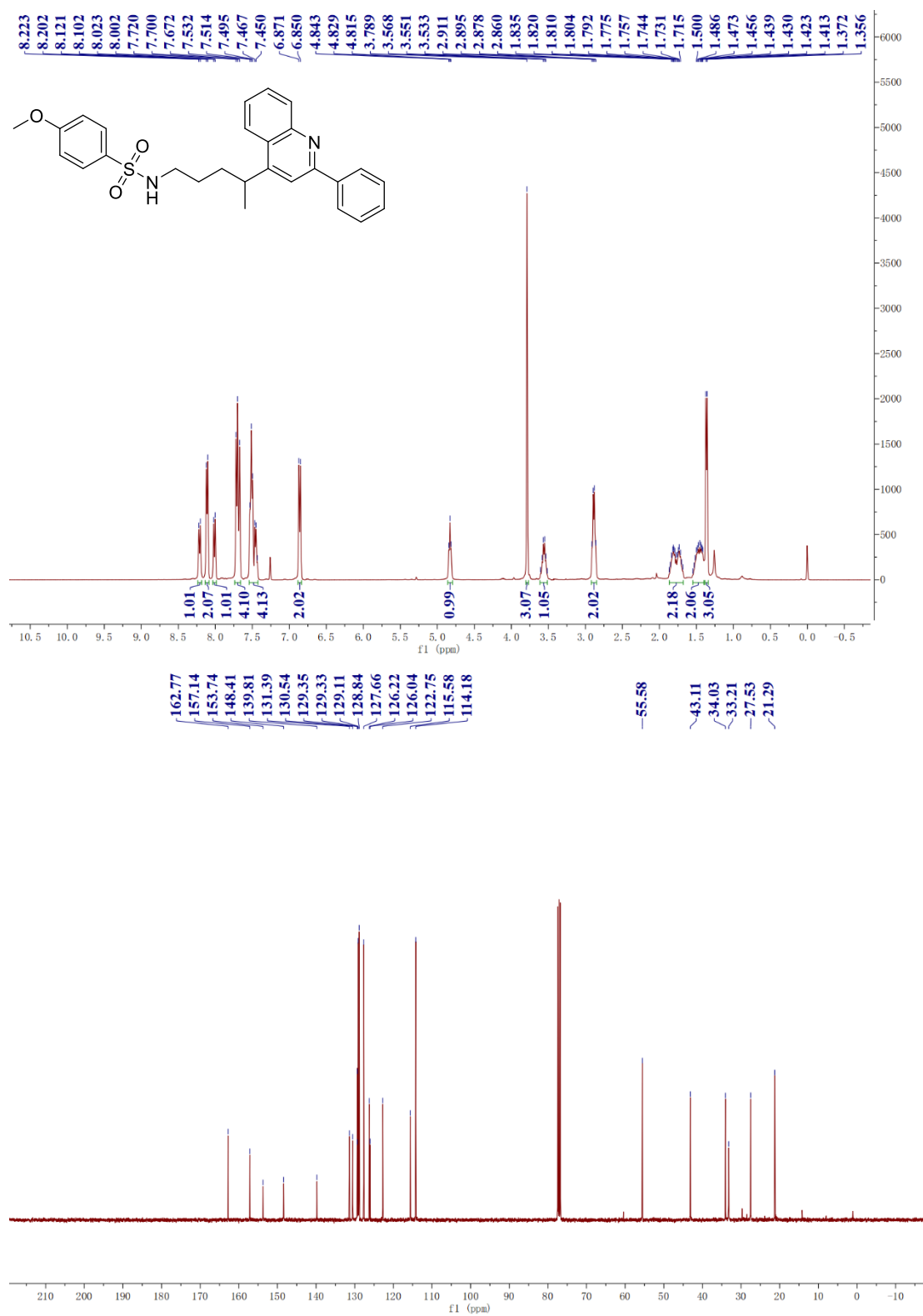


2-(2-methyl-5-nitro-1H-imidazol-1-yl)ethyl 7-((4-methoxyphenyl)sulfonamido)heptanoate (2aj)

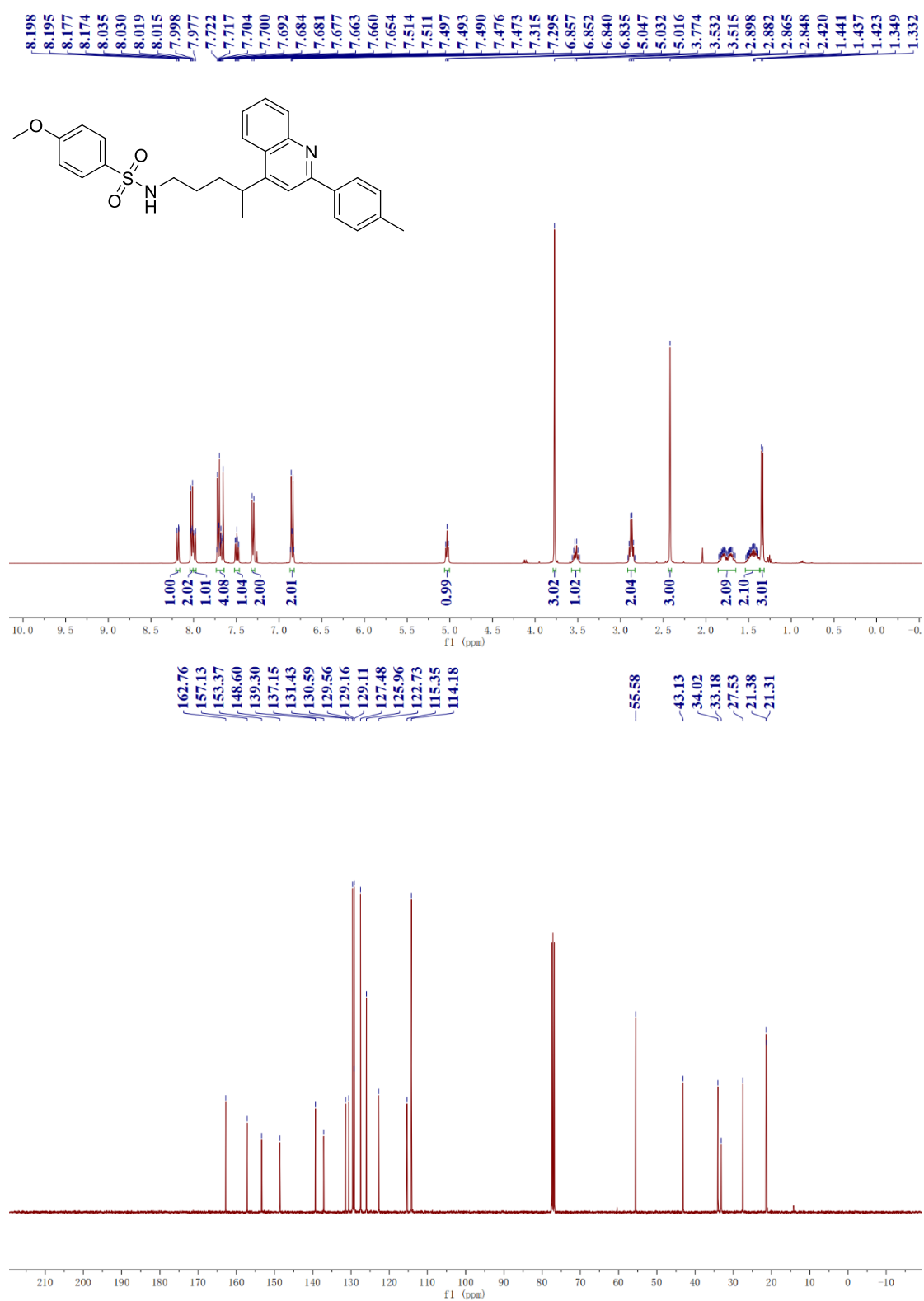


8.2 NMR spectra for products

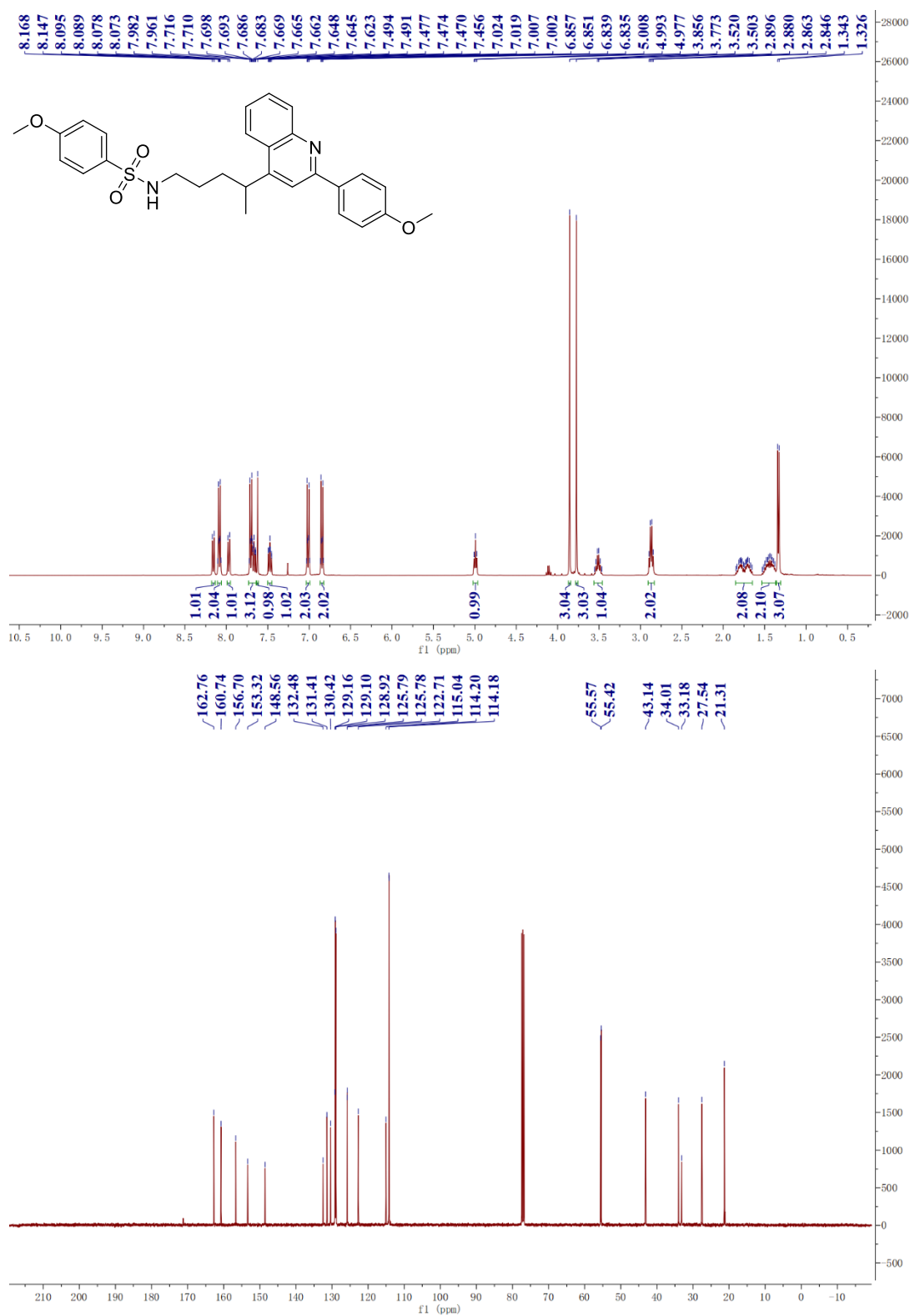
4-methoxy-*N*-(4-(2-phenylquinolin-4-yl)pentyl)benzenesulfonamide (3)



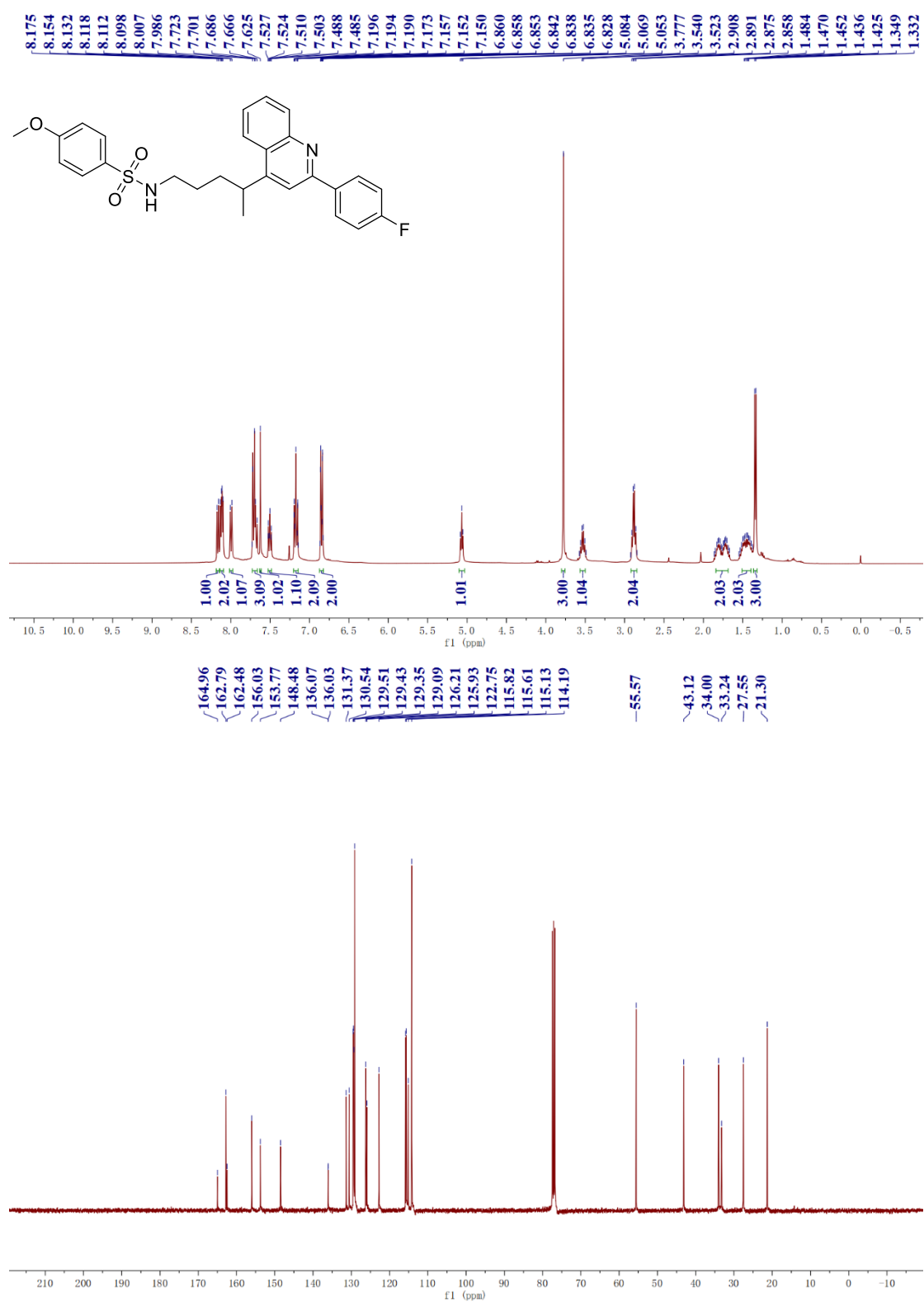
4-methoxy-*N*-(4-(2-(*p*-tolyl)quinolin-4-yl)pentyl)benzenesulfonamide (4)

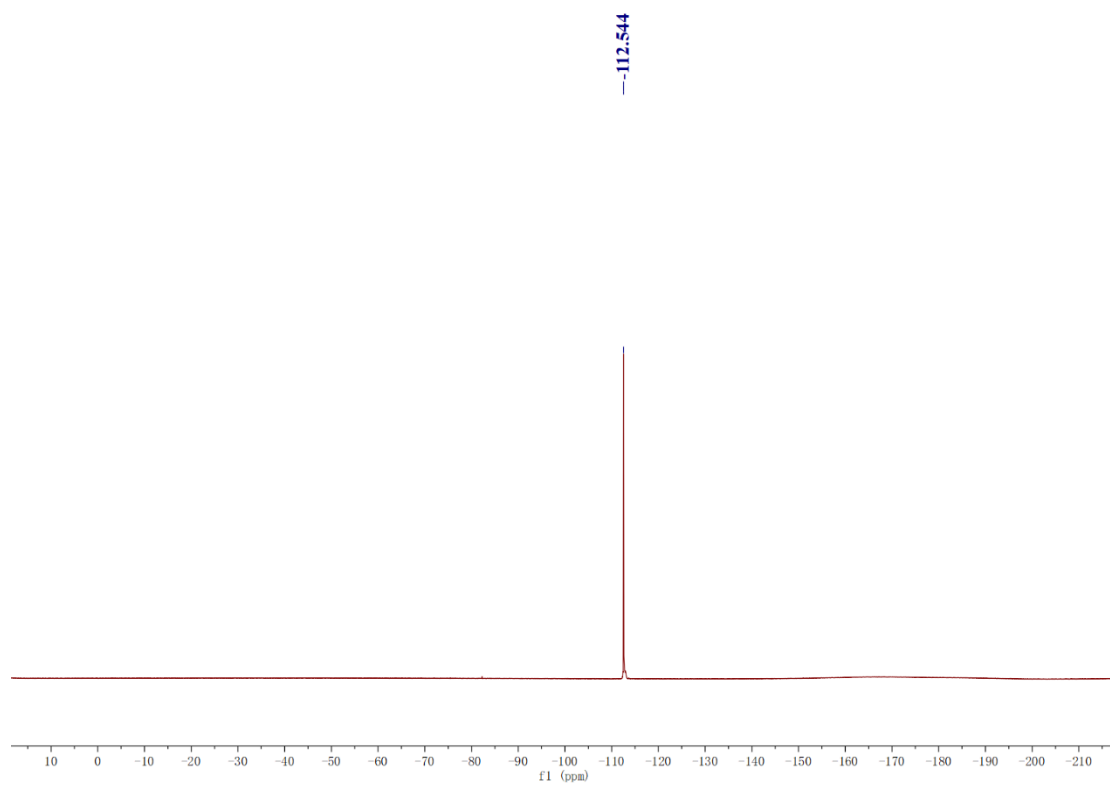


4-methoxy-N-(4-(2-(4-methoxyphenyl)quinolin-4-yl)pentyl)benzenesulfonamide (5)

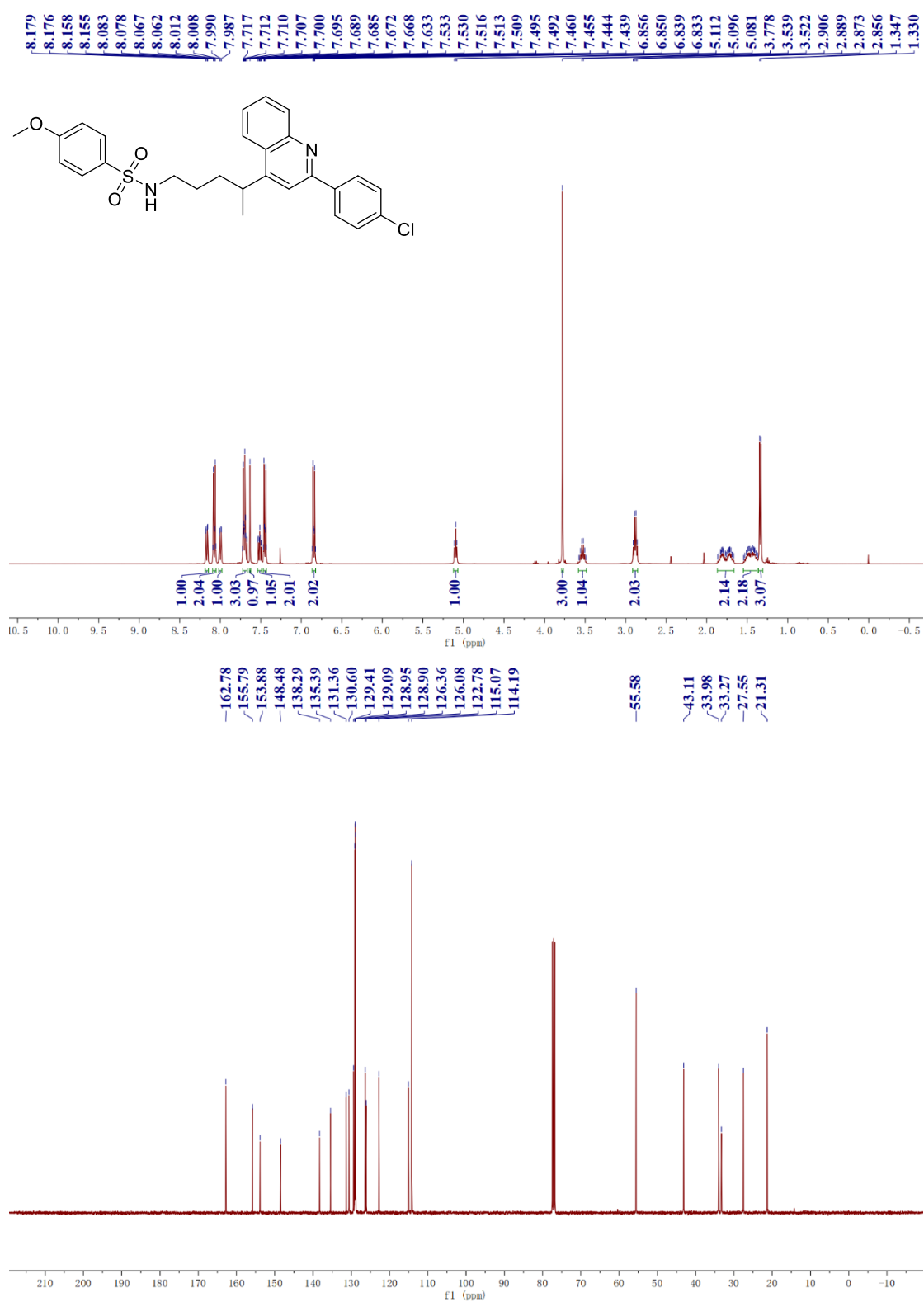


***N*-(4-(2-(4-fluorophenyl)quinolin-4-yl)pentyl)-4-methoxybenzenesulfonamide (6)**

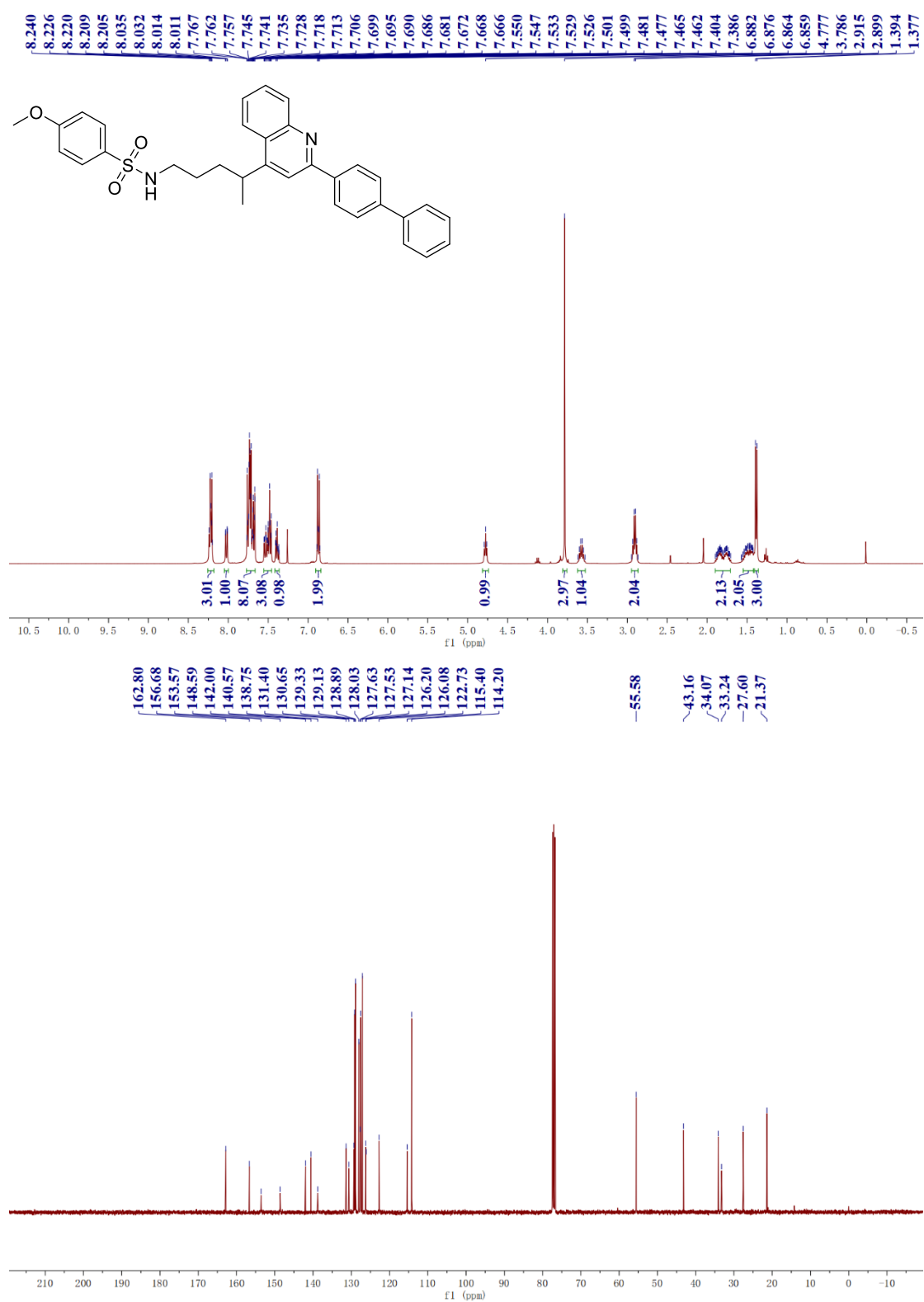




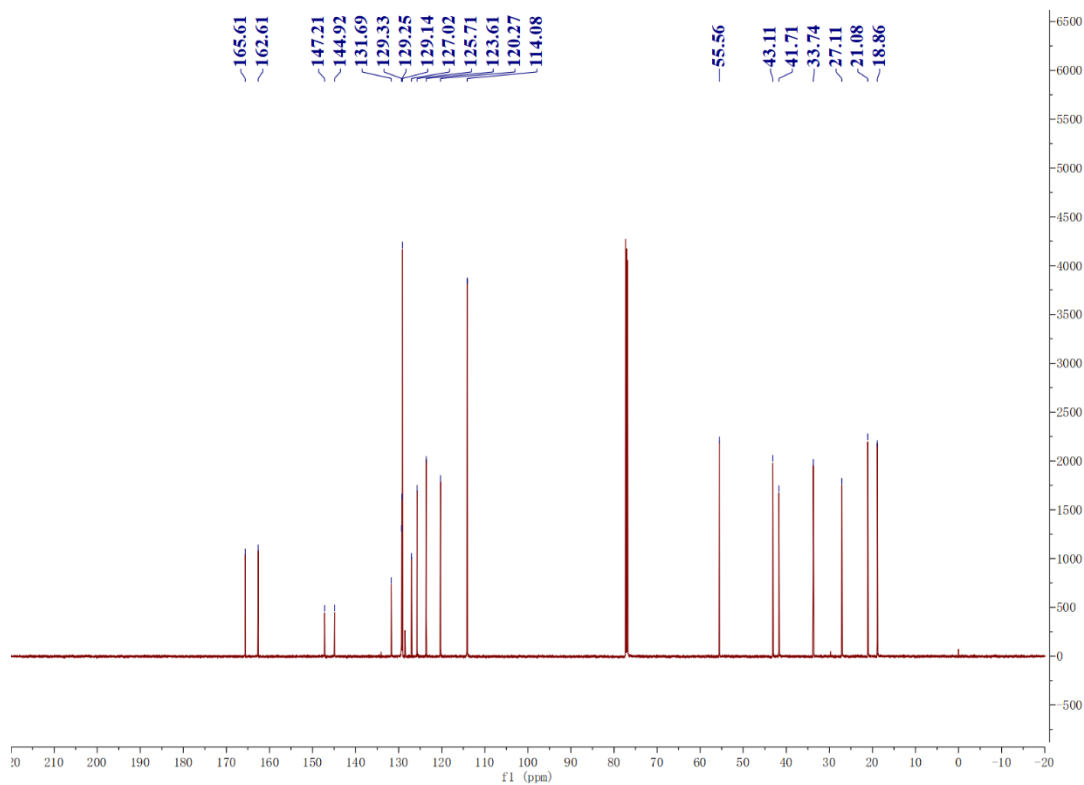
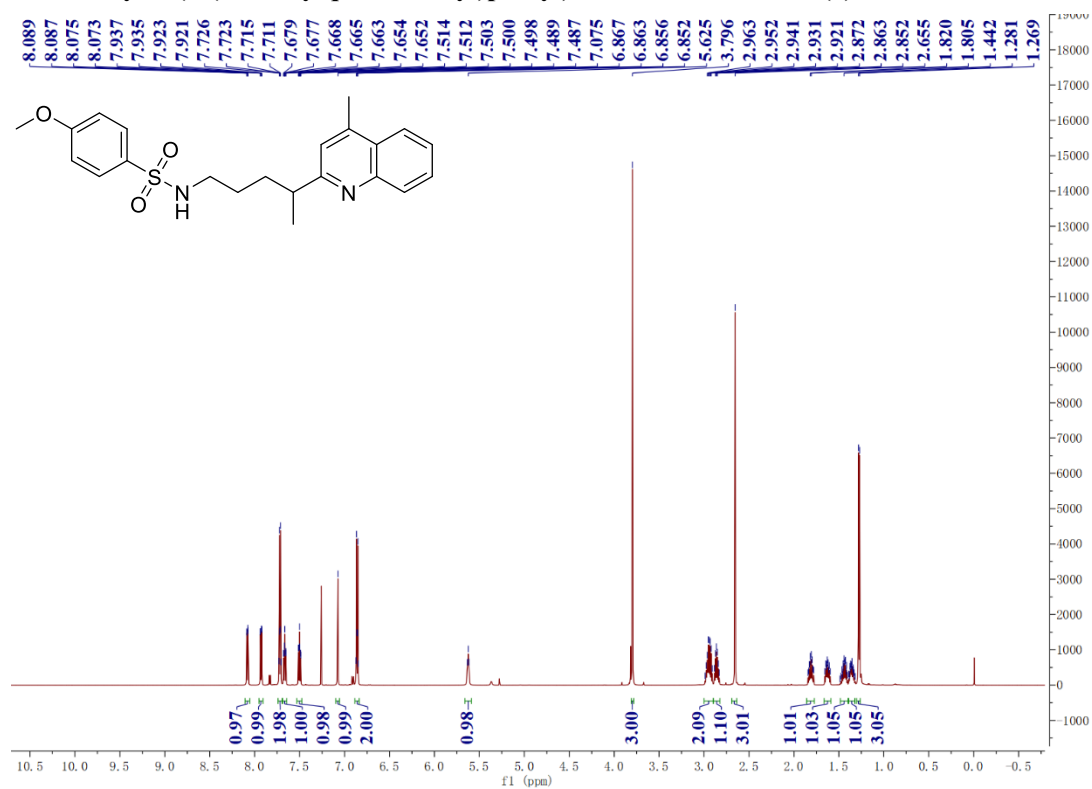
***N*-(4-(2-(4-chlorophenyl)quinolin-4-yl)pentyl)-4-methoxybenzenesulfonamide (7)**



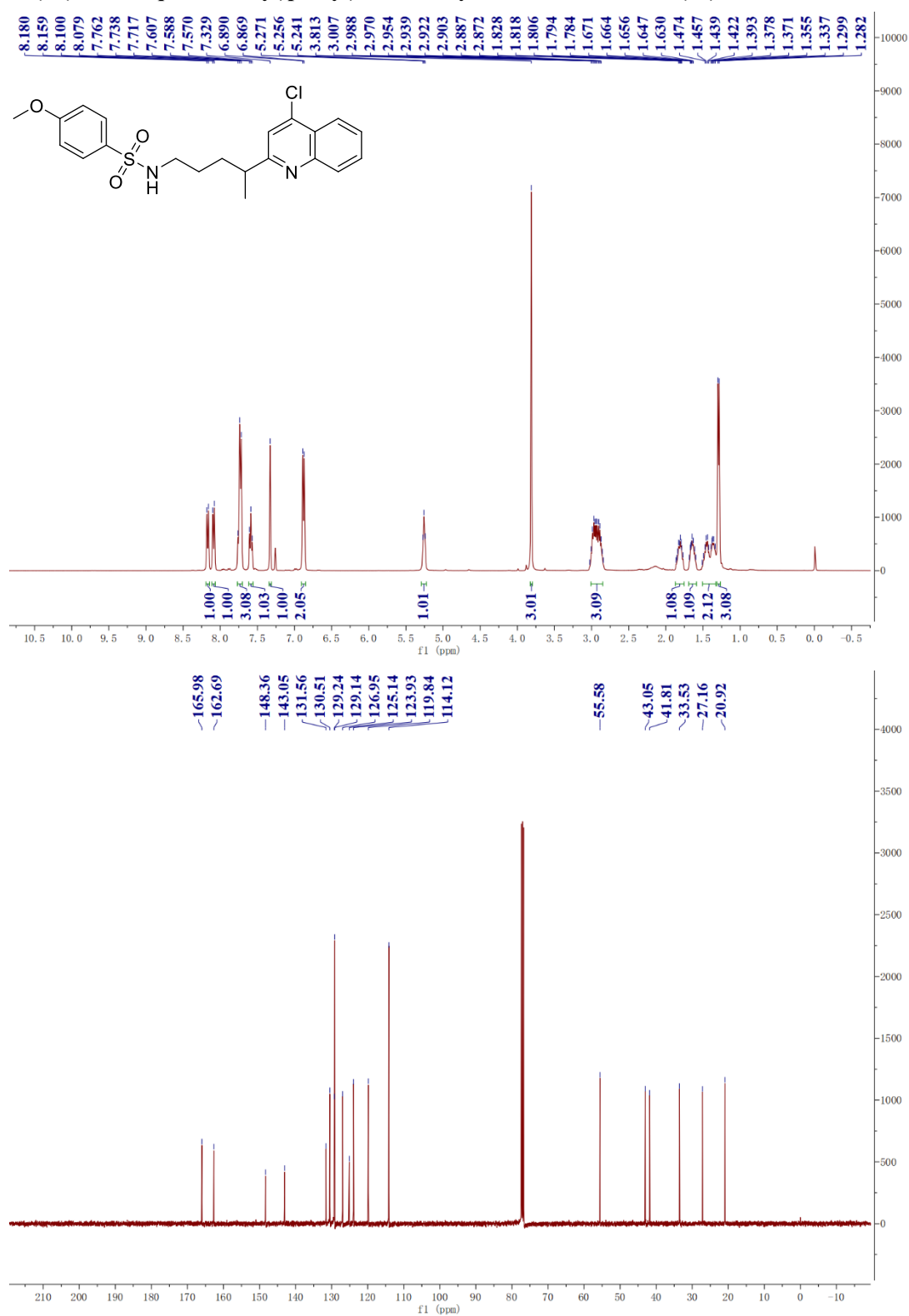
***N*-(4-(2-([1,1'-biphenyl]-4-yl)quinolin-4-yl)pentyl)-4-methoxybenzenesulfonamide (8)**



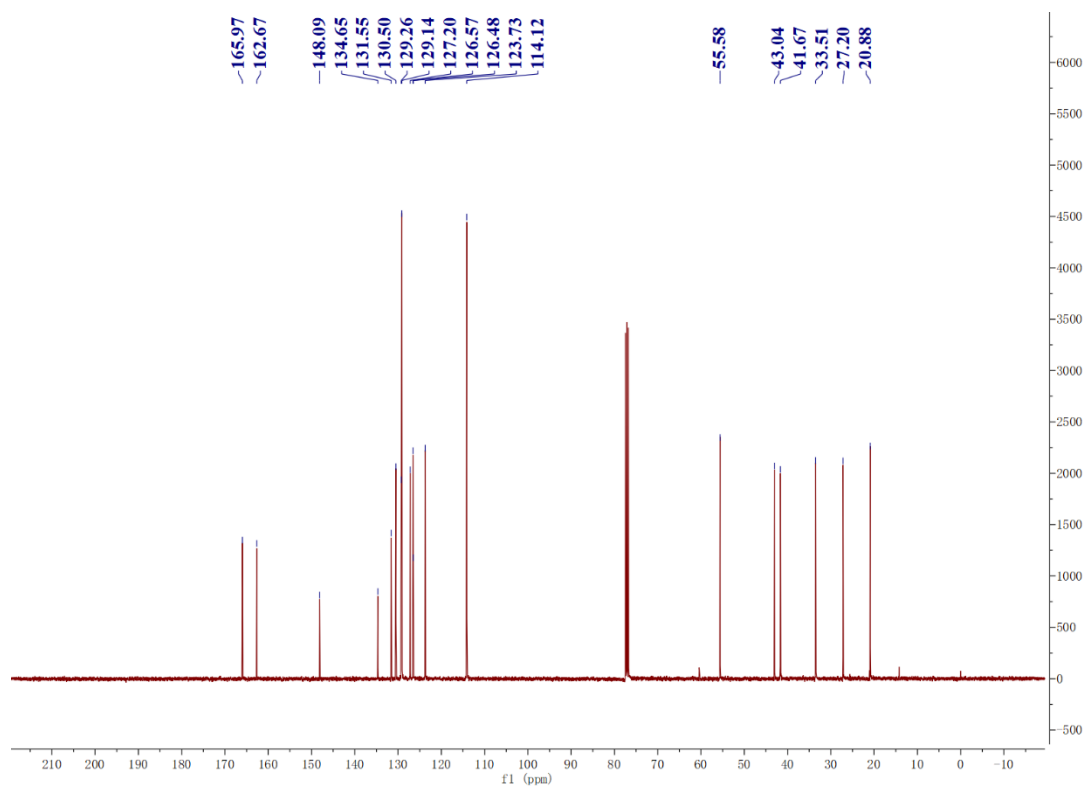
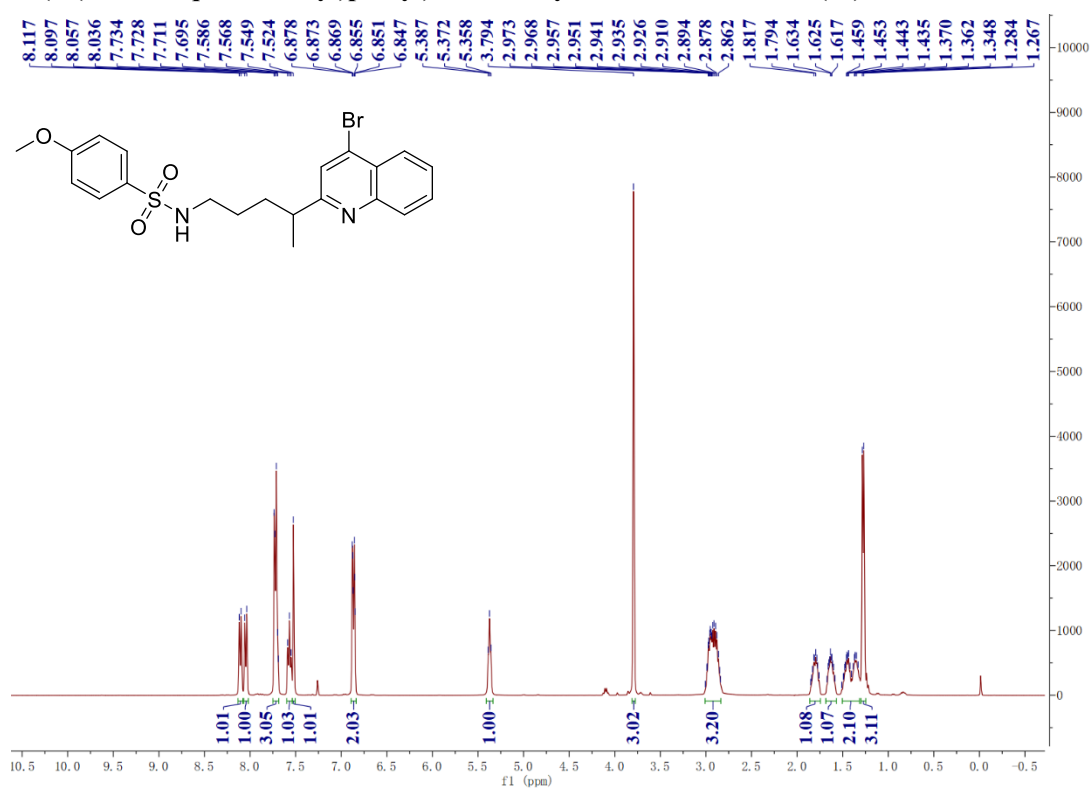
4-methoxy-N-(4-(4-methylquinolin-2-yl)pentyl)benzenesulfonamide (9)



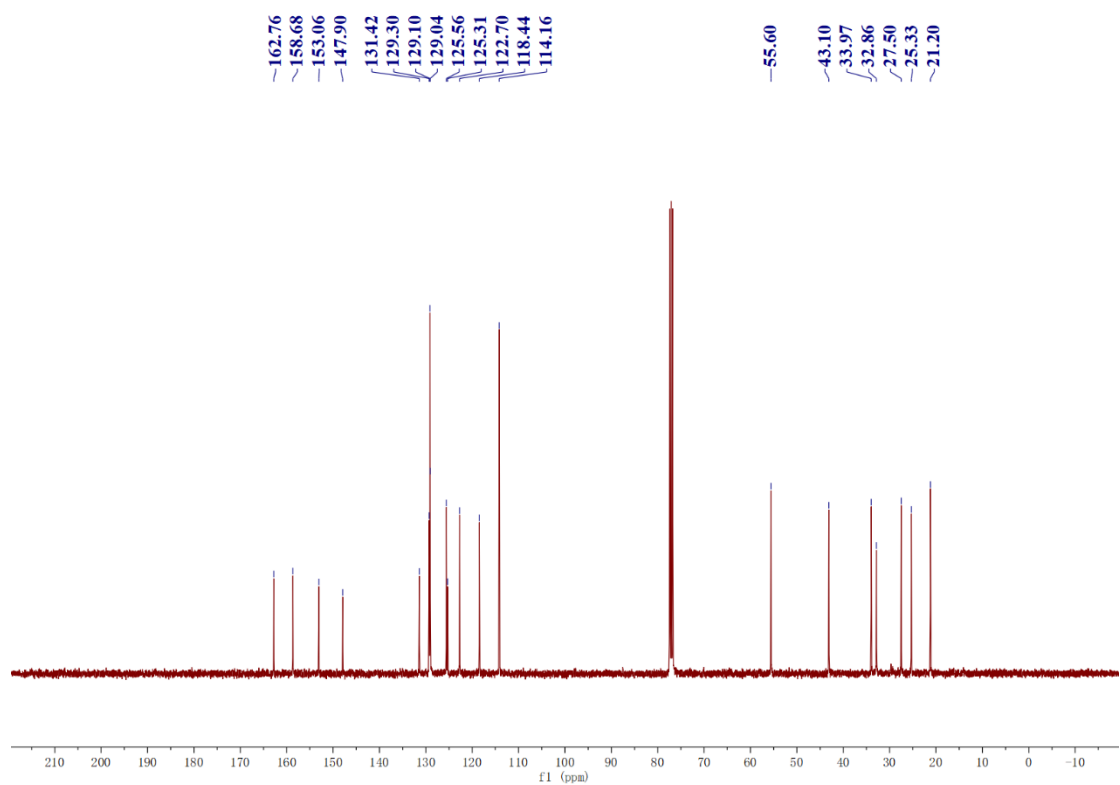
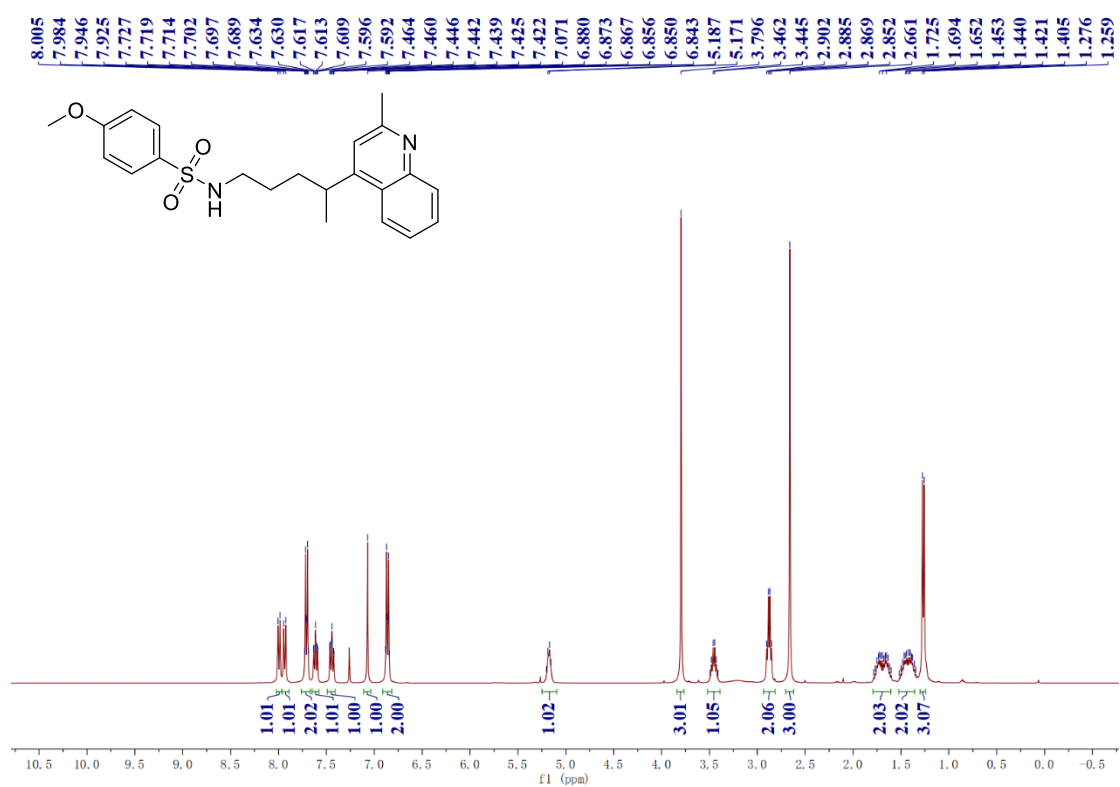
***N*-(4-(4-chloroquinolin-2-yl)pentyl)-4-methoxybenzenesulfonamide (10)**



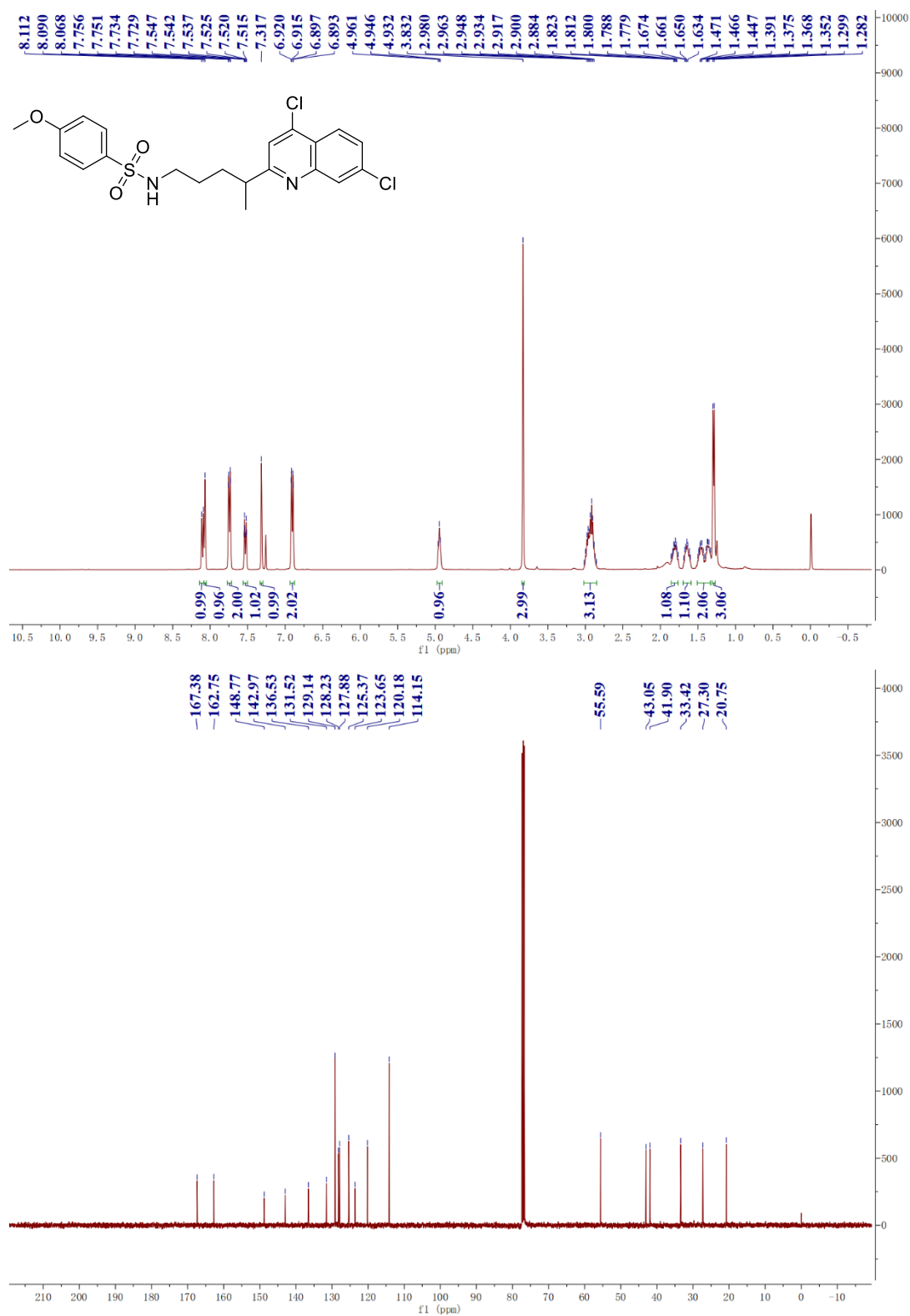
***N*-(4-(4-bromoquinolin-2-yl)pentyl)-4-methoxybenzenesulfonamide (11)**



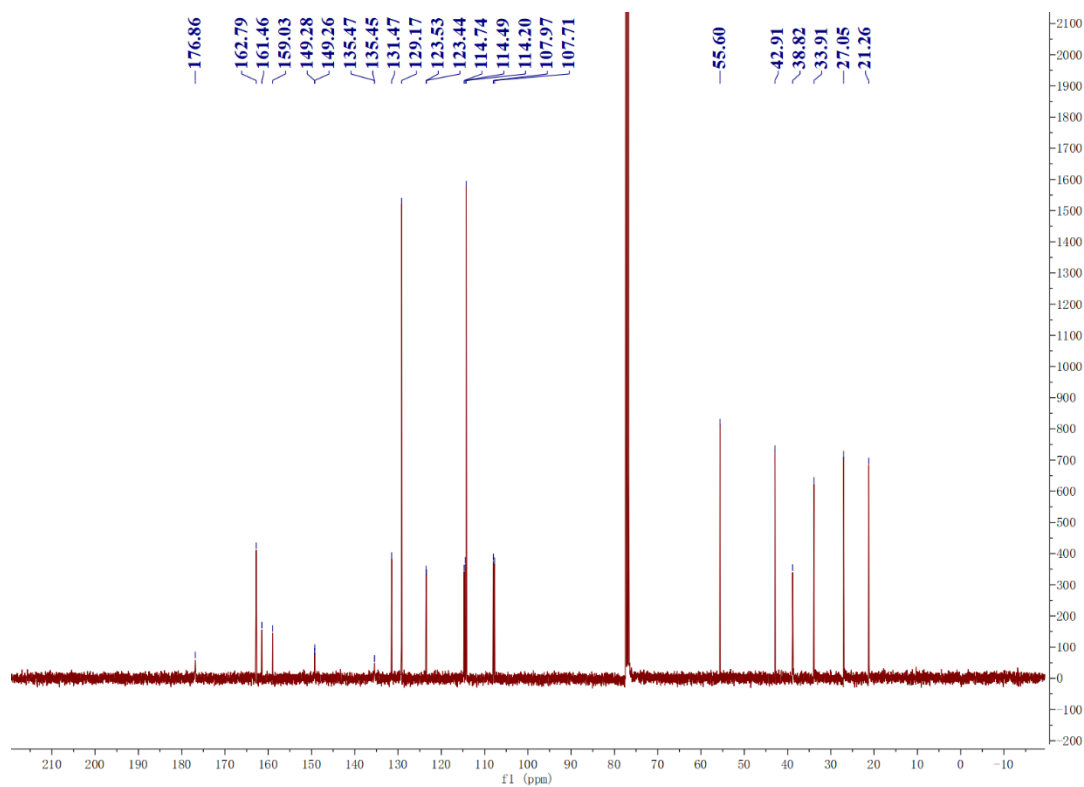
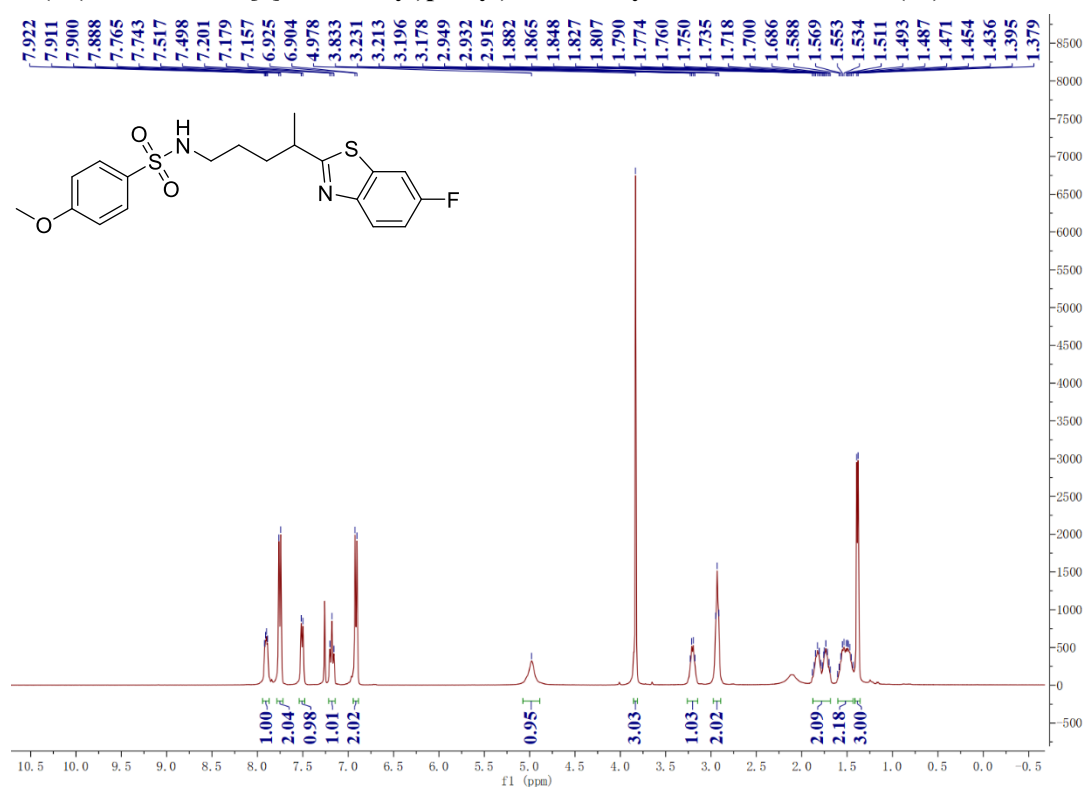
4-methoxy-*N*-(4-(2-methylquinolin-4-yl)pentyl)benzenesulfonamide (12)

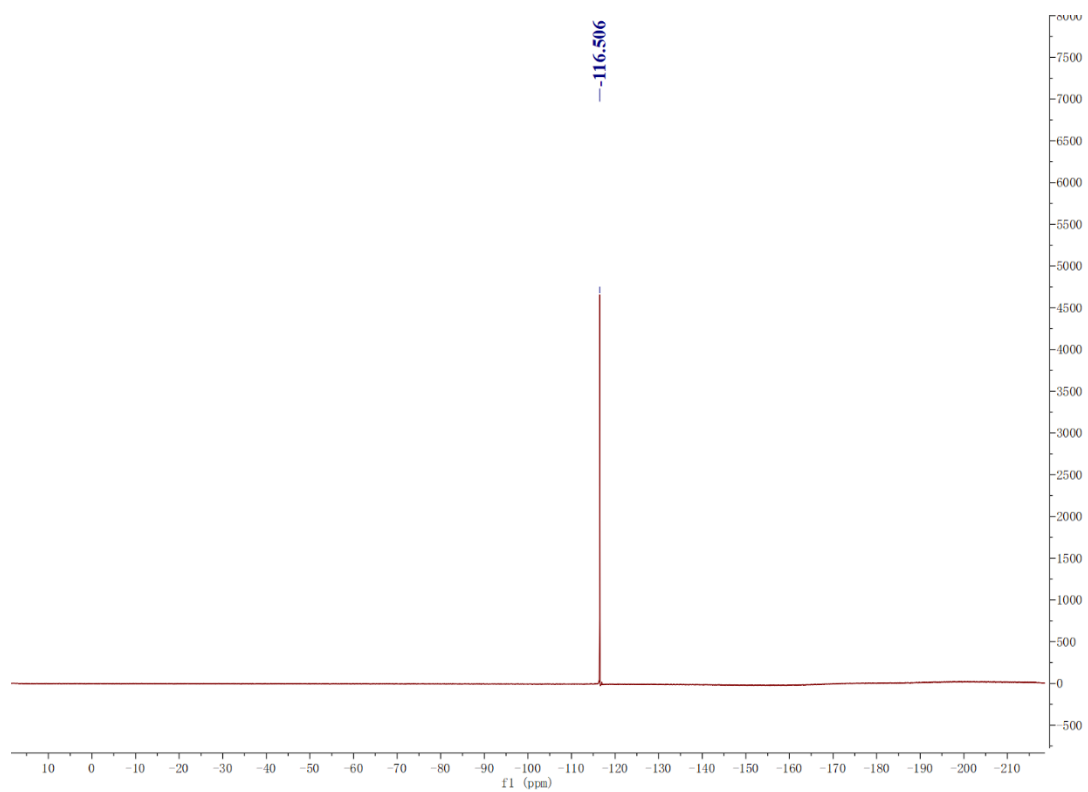


***N*-(4-(4,7-dichloroquinolin-2-yl)pentyl)-4-methoxybenzenesulfonamide (13)**

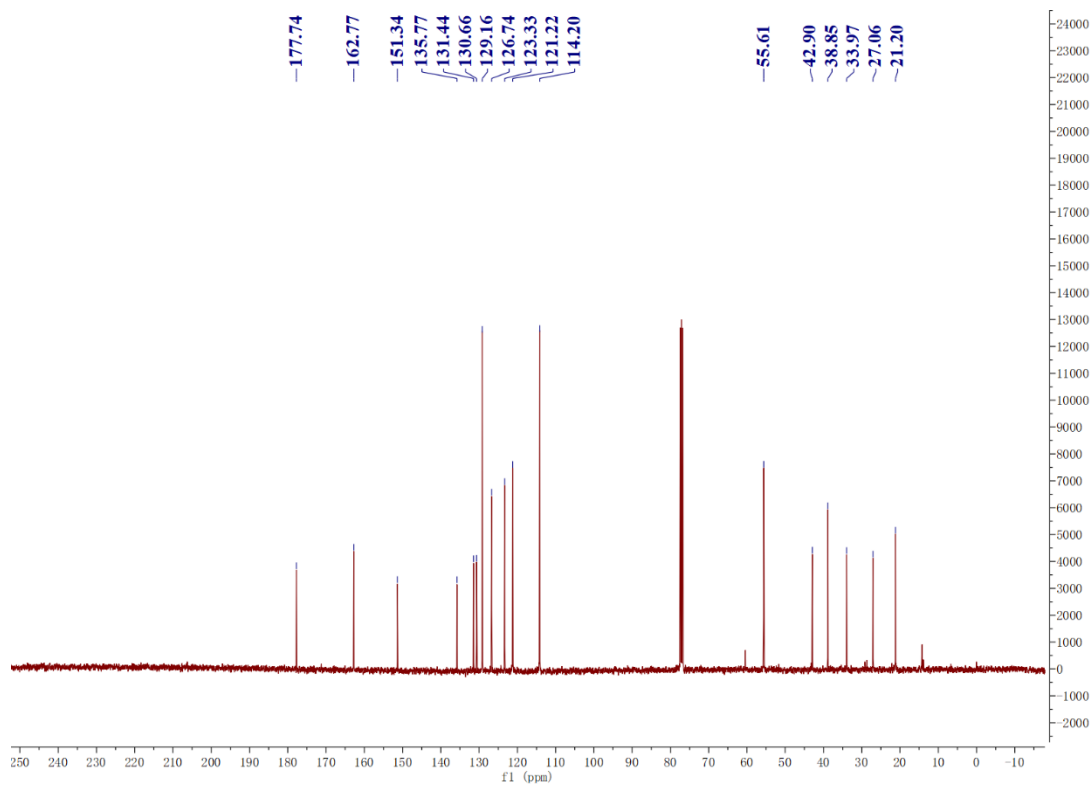
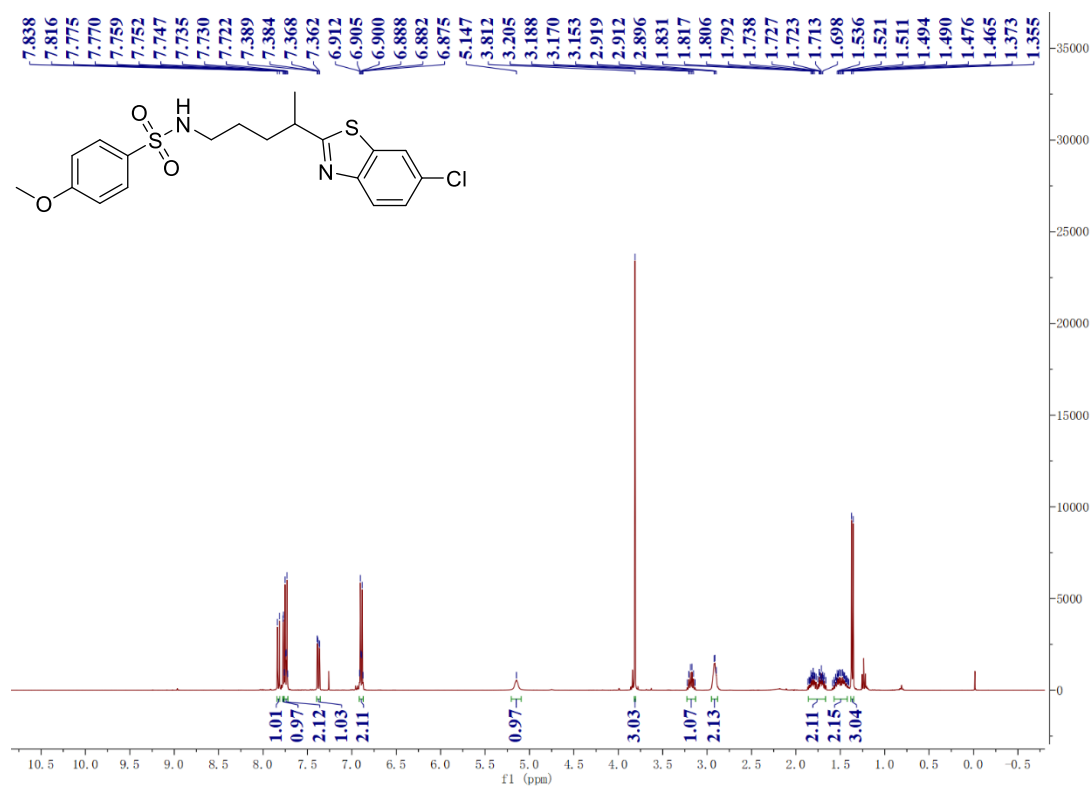


***N*-(4-(6-fluorobenzo[*d*]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (14)**

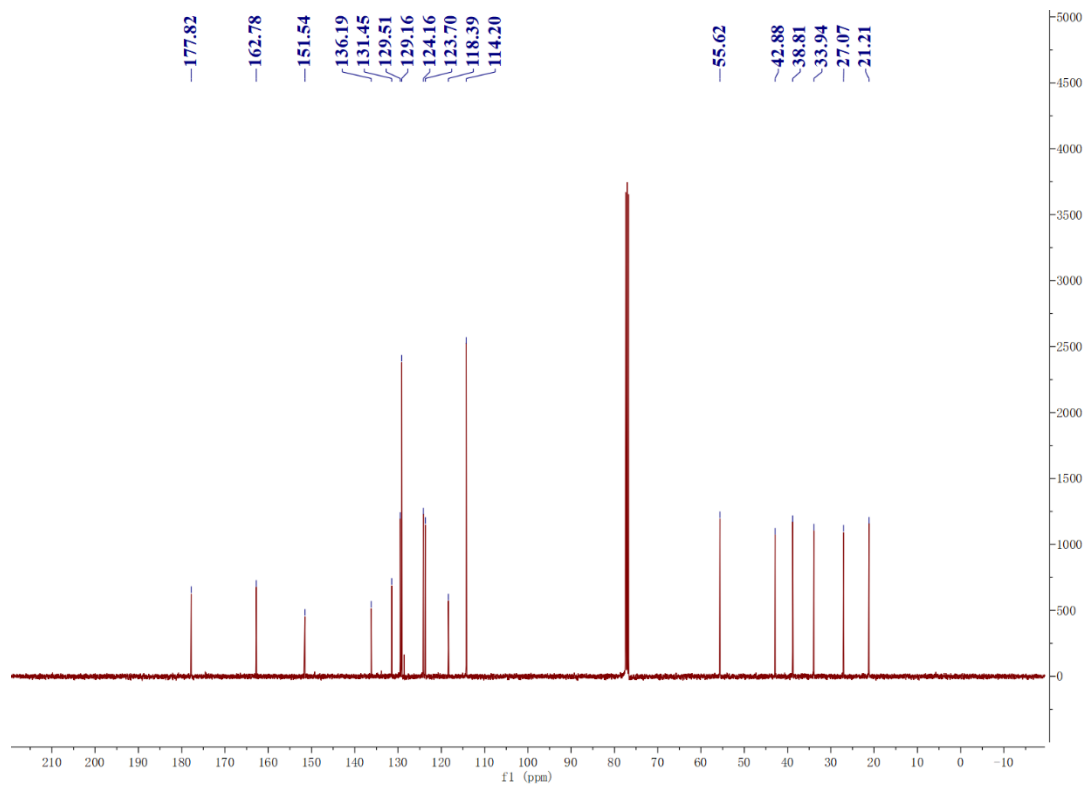
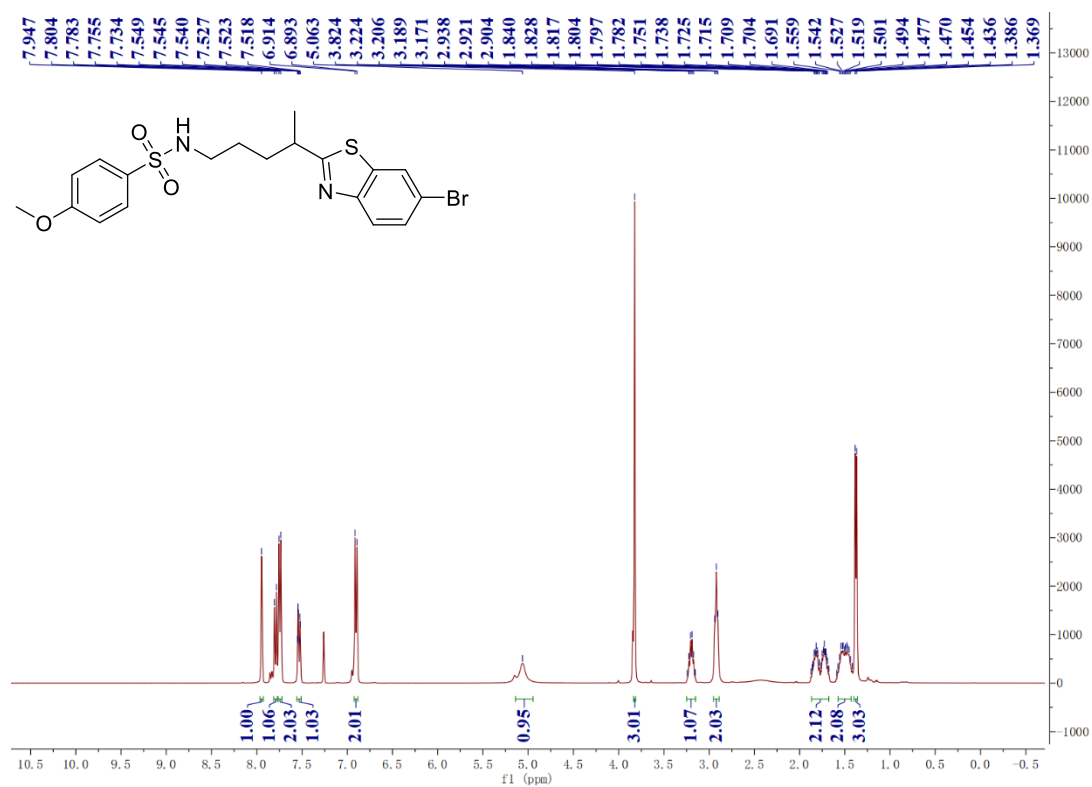




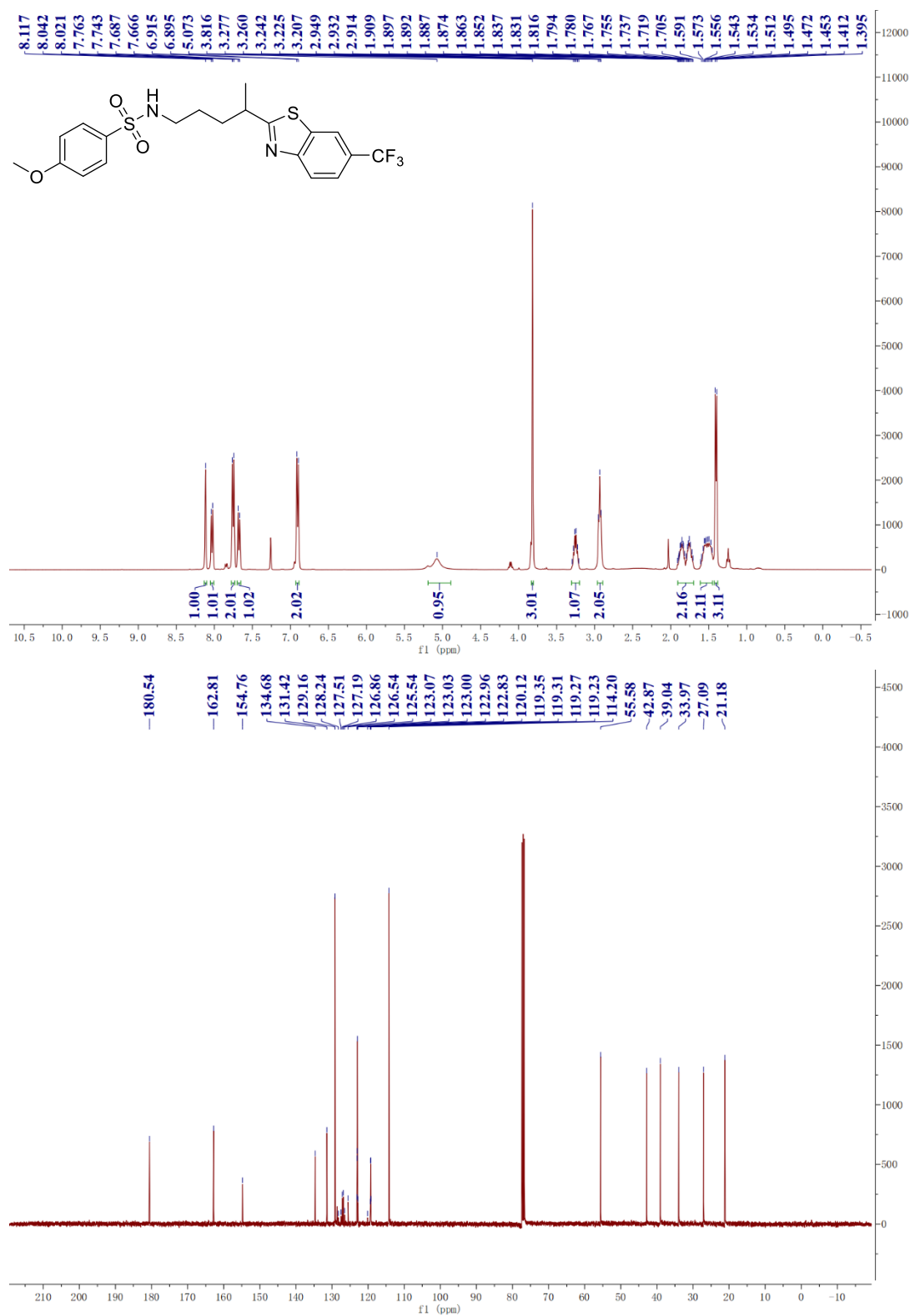
***N*-(4-(6-chlorobenzo[*d*]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (15)**

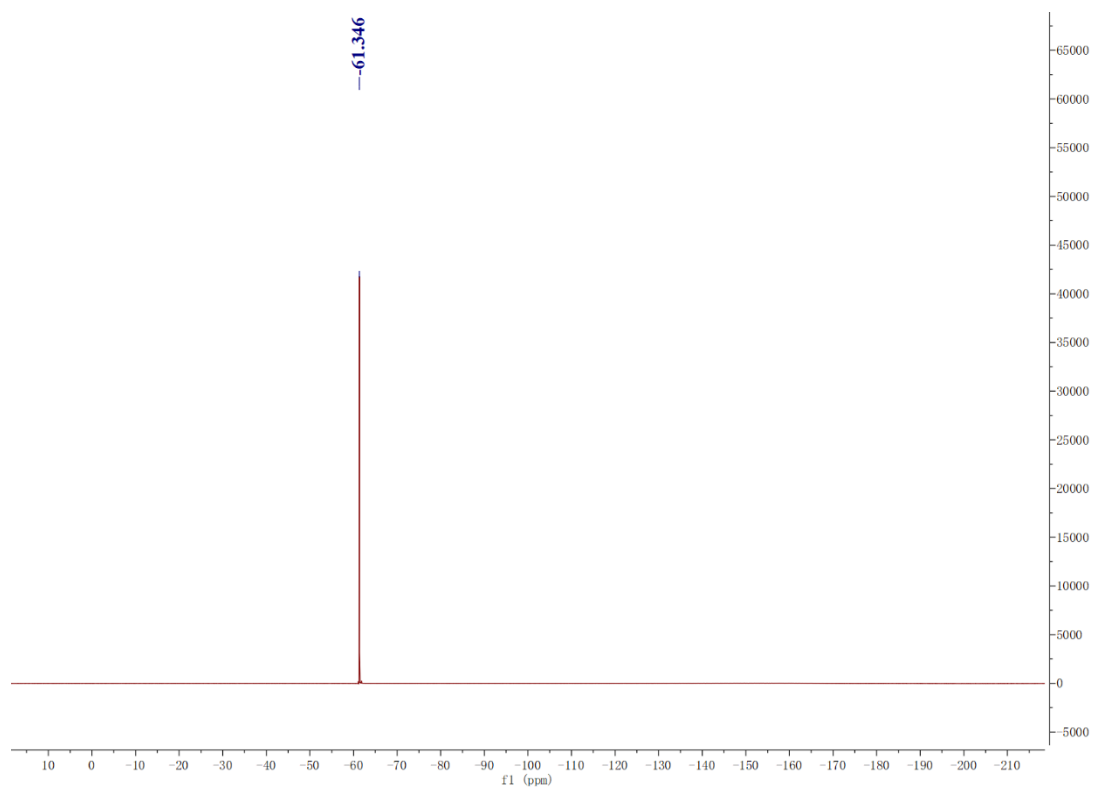


***N*-(4-(6-bromobenzo[*d*]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (16)**

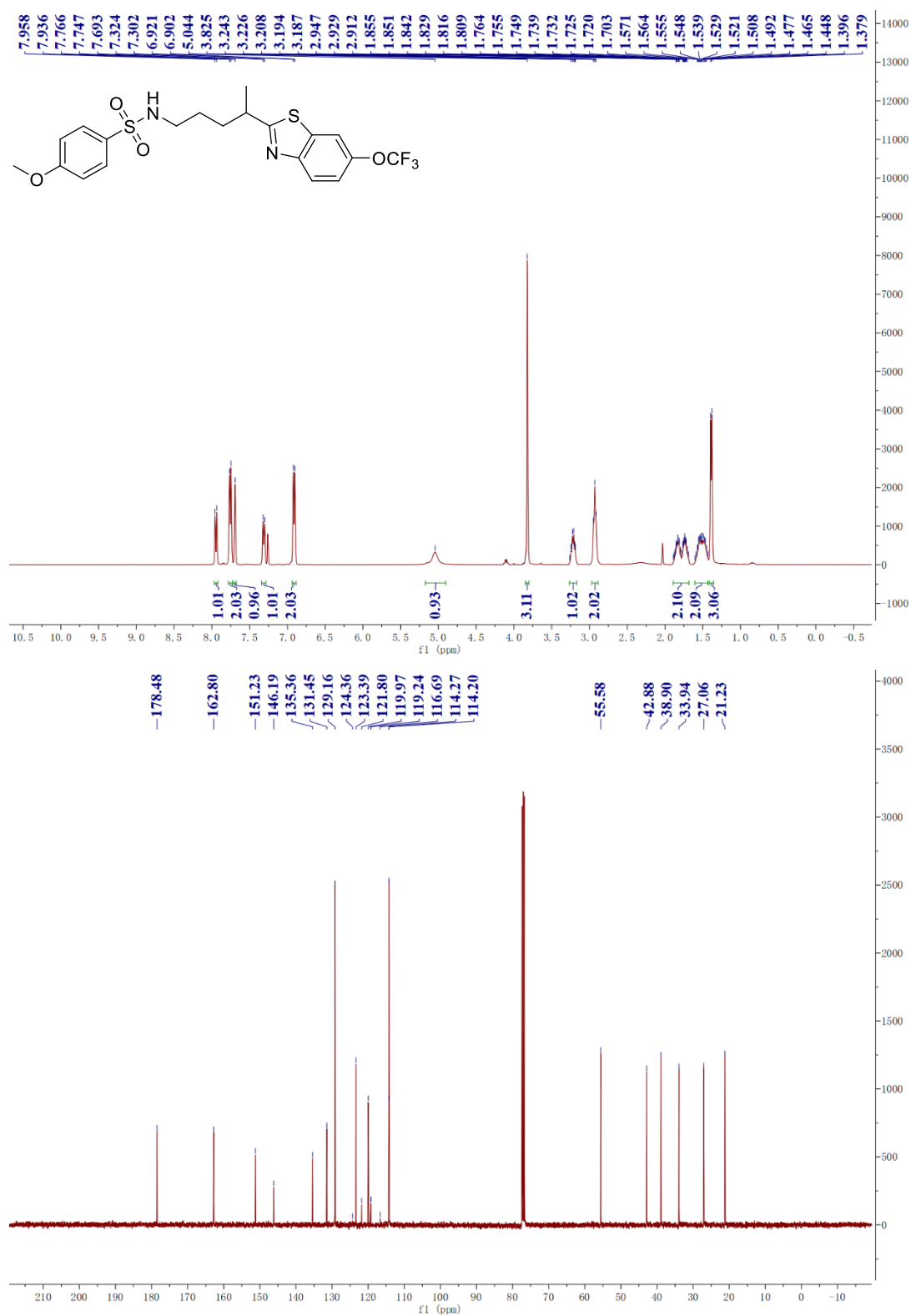


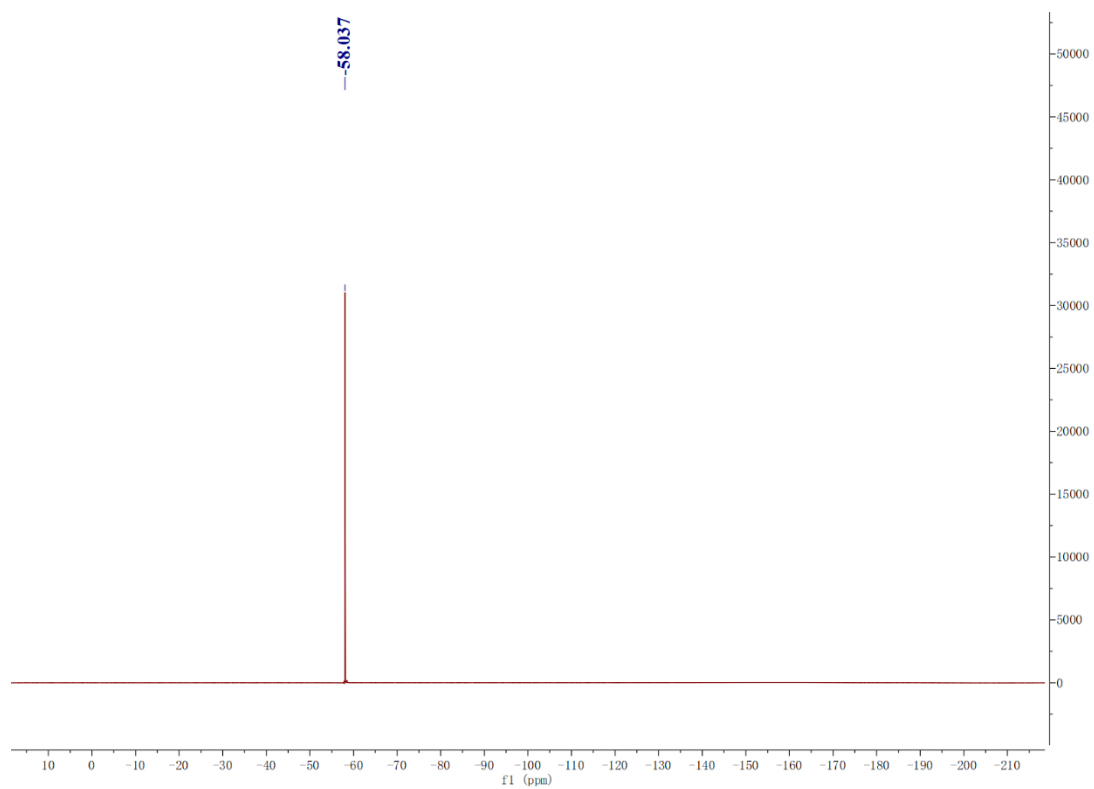
4-methoxy-*N*-(4-(6-(trifluoromethyl)benzo[d]thiazol-2-yl)pentyl)benzenesulfonamide (17)



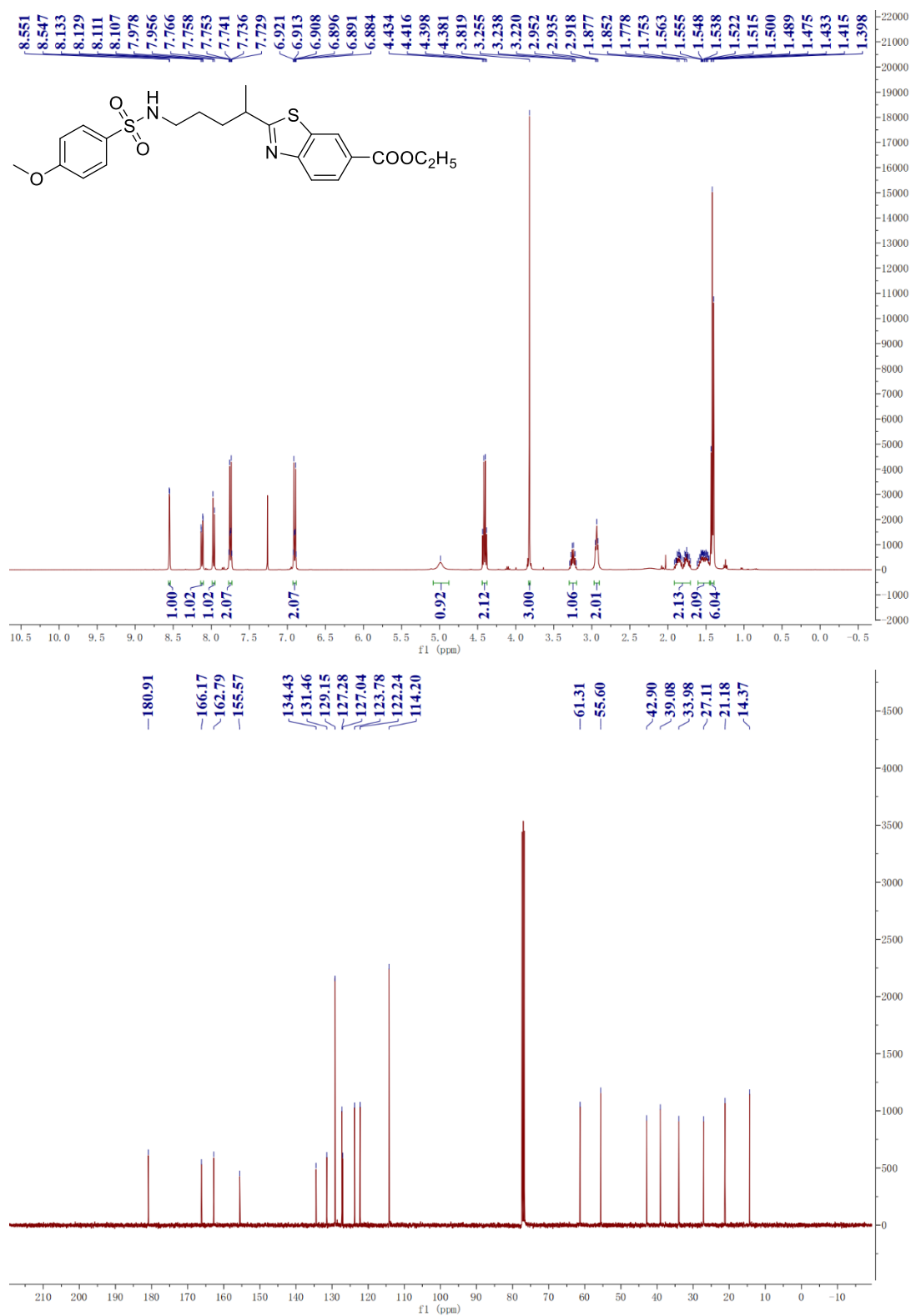


4-methoxy-N-(4-(6-(trifluoromethoxy)benzo[d]thiazol-2-yl)pentyl)benzenesulfonamide (18)

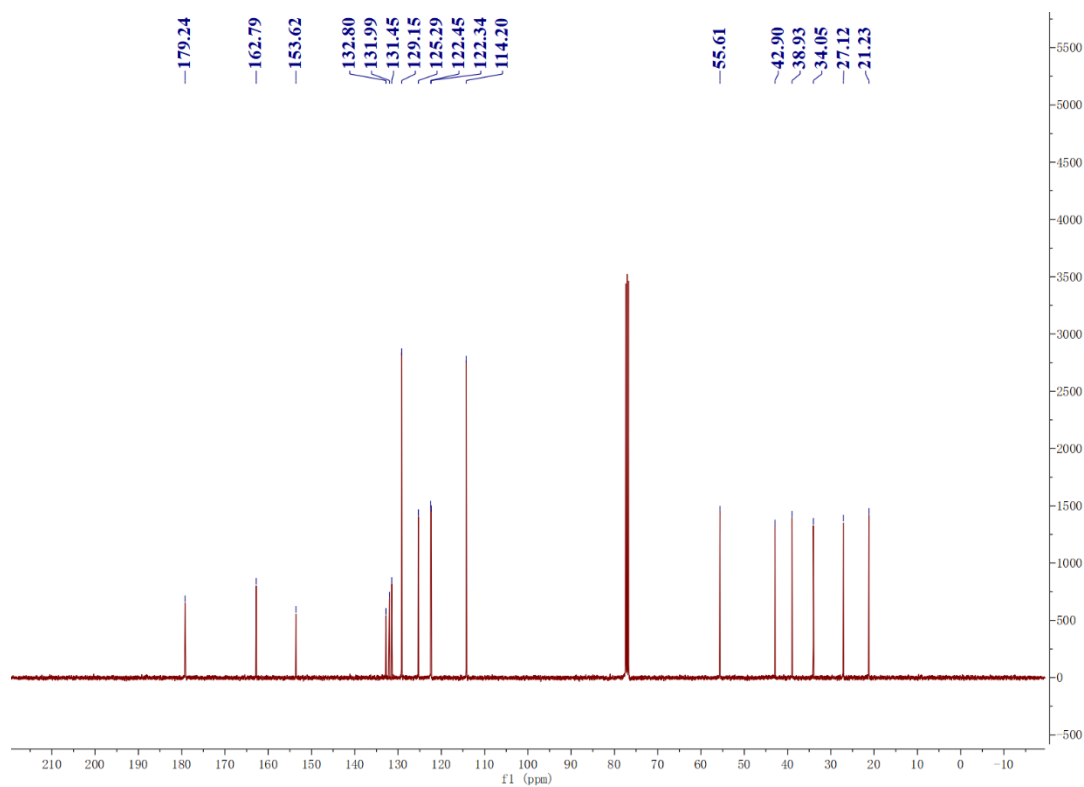
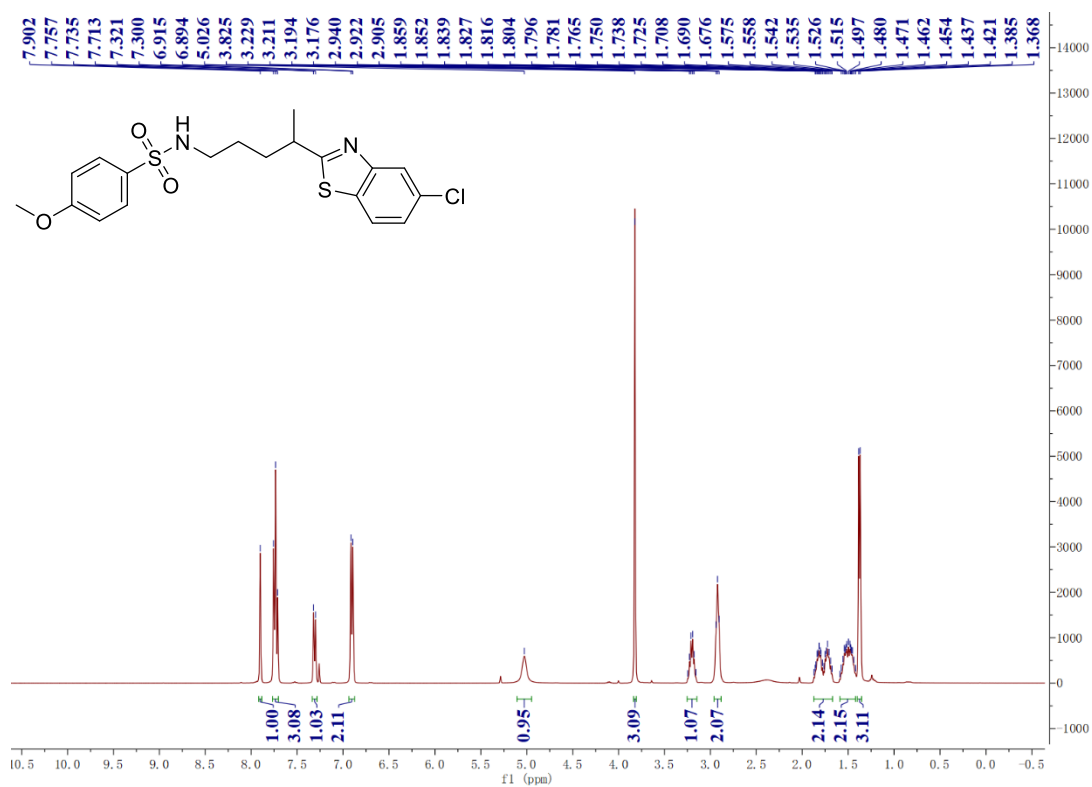




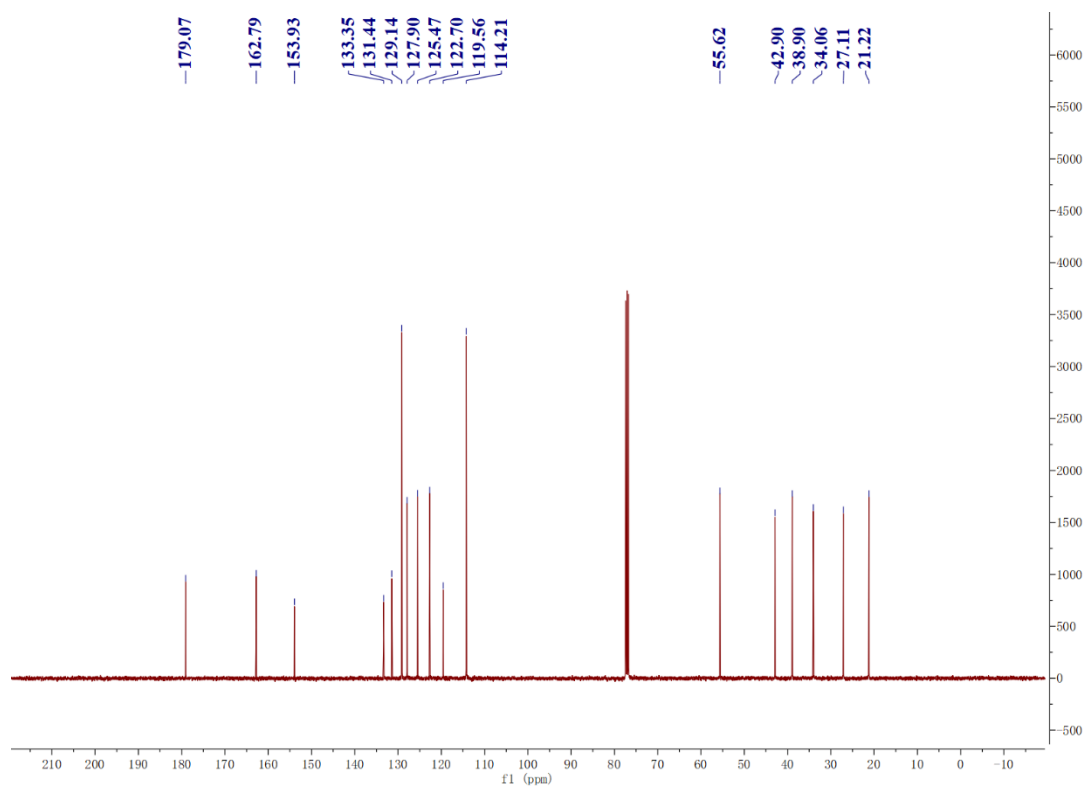
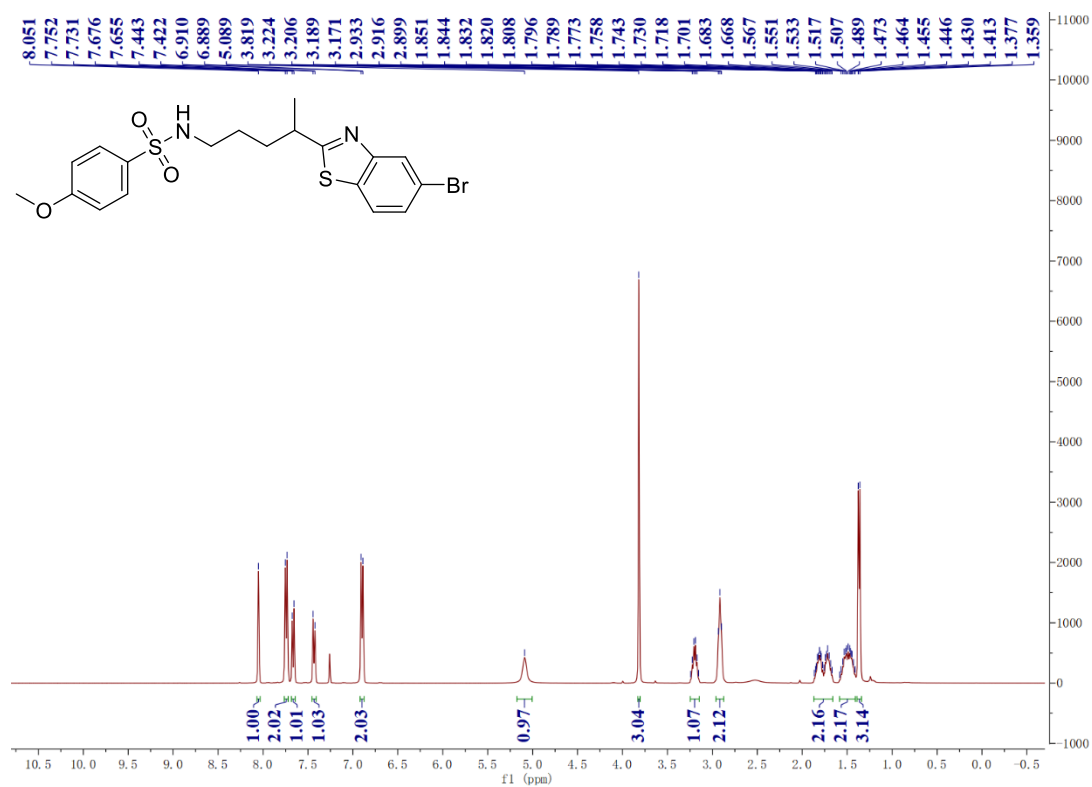
ethyl 2-(5-((4-methoxyphenyl)sulfonamido)pentan-2-yl)benzo[d]thiazole-6-carboxylate (19)



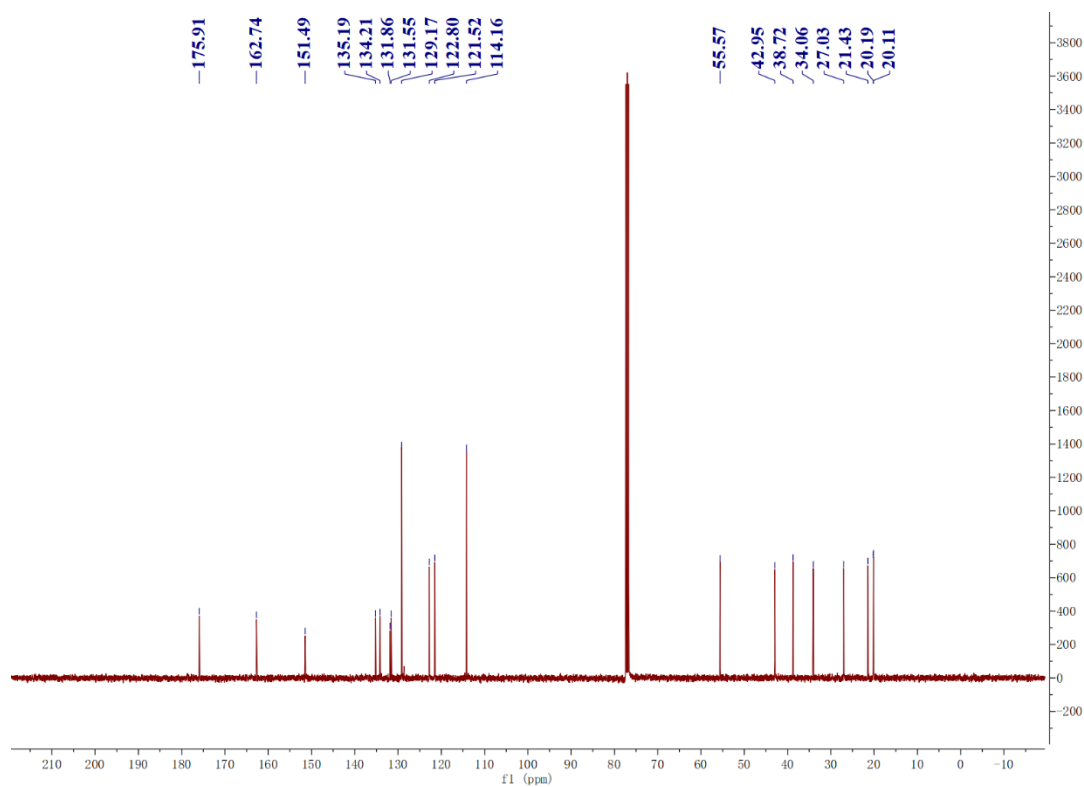
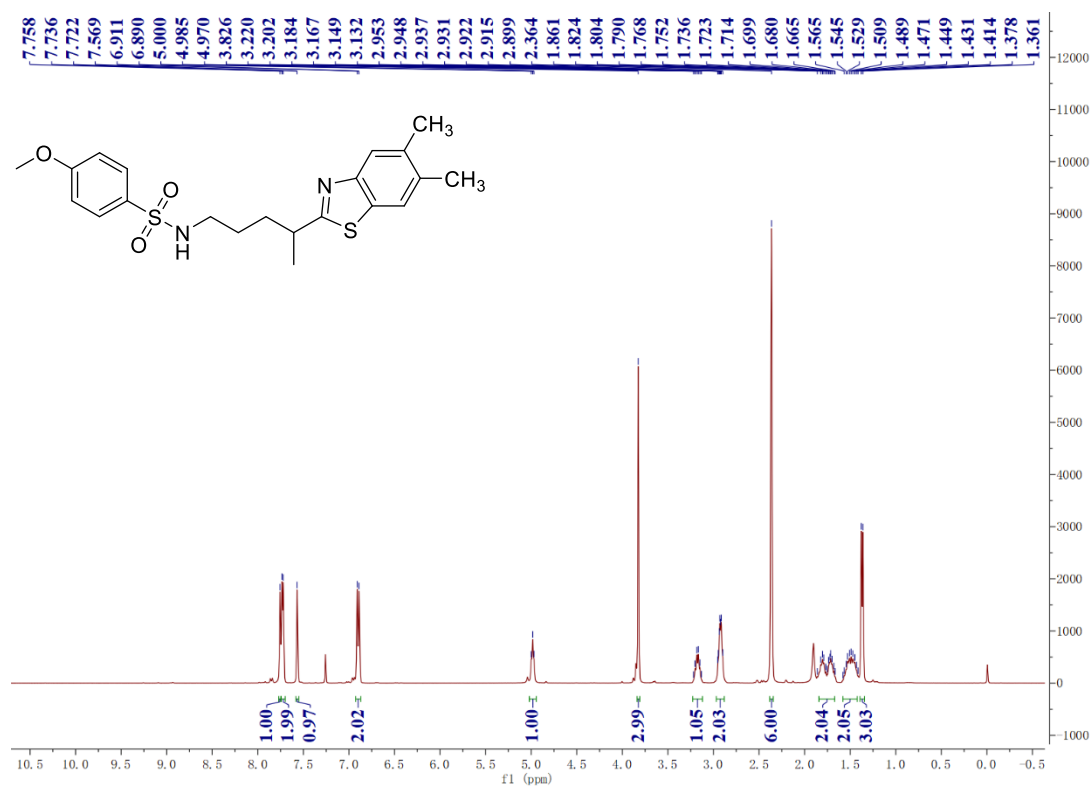
***N*-(4-(5-chlorobenzo[d]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (20)**



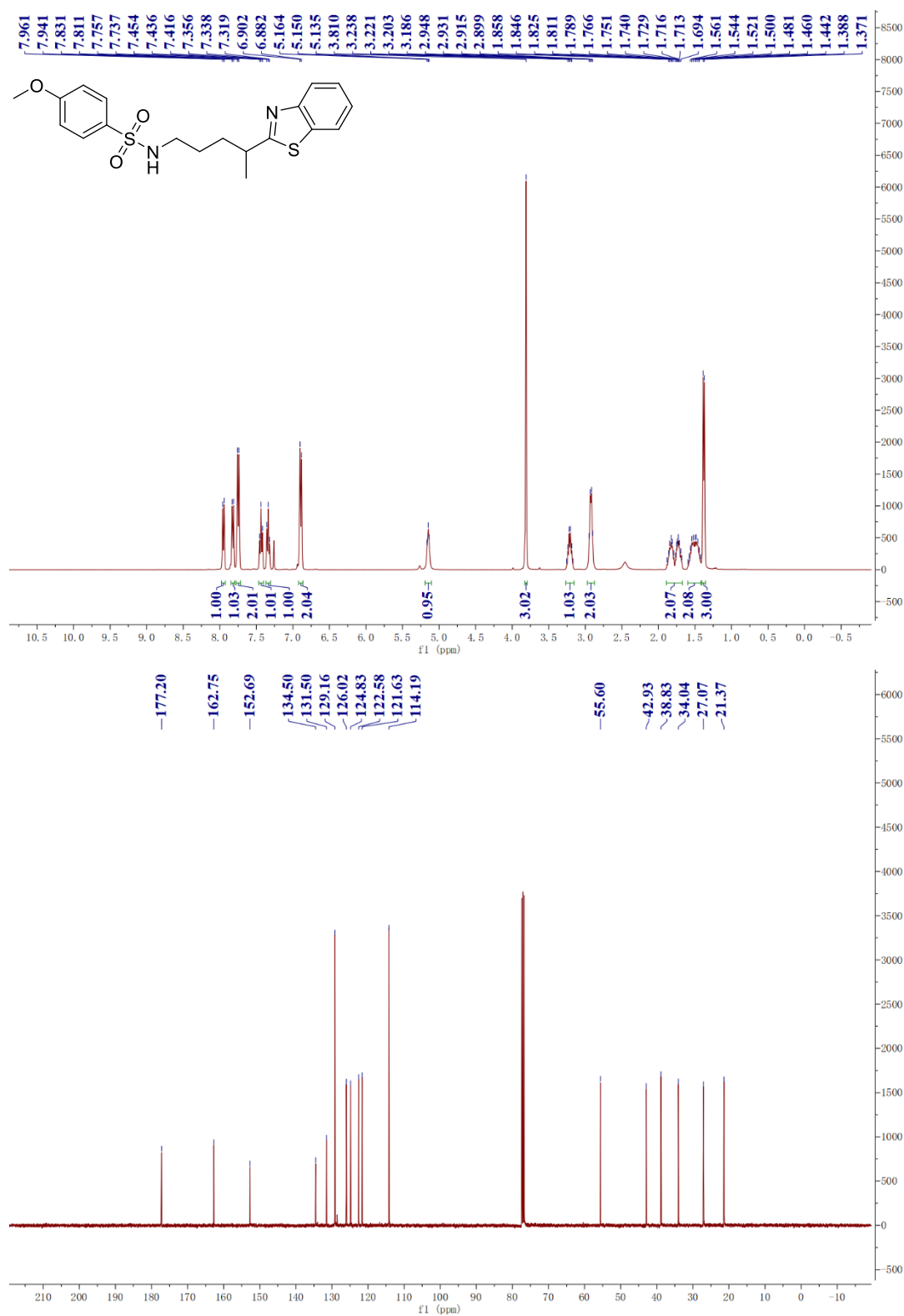
***N*-(4-(5-bromobenzo[*d*]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (21)**



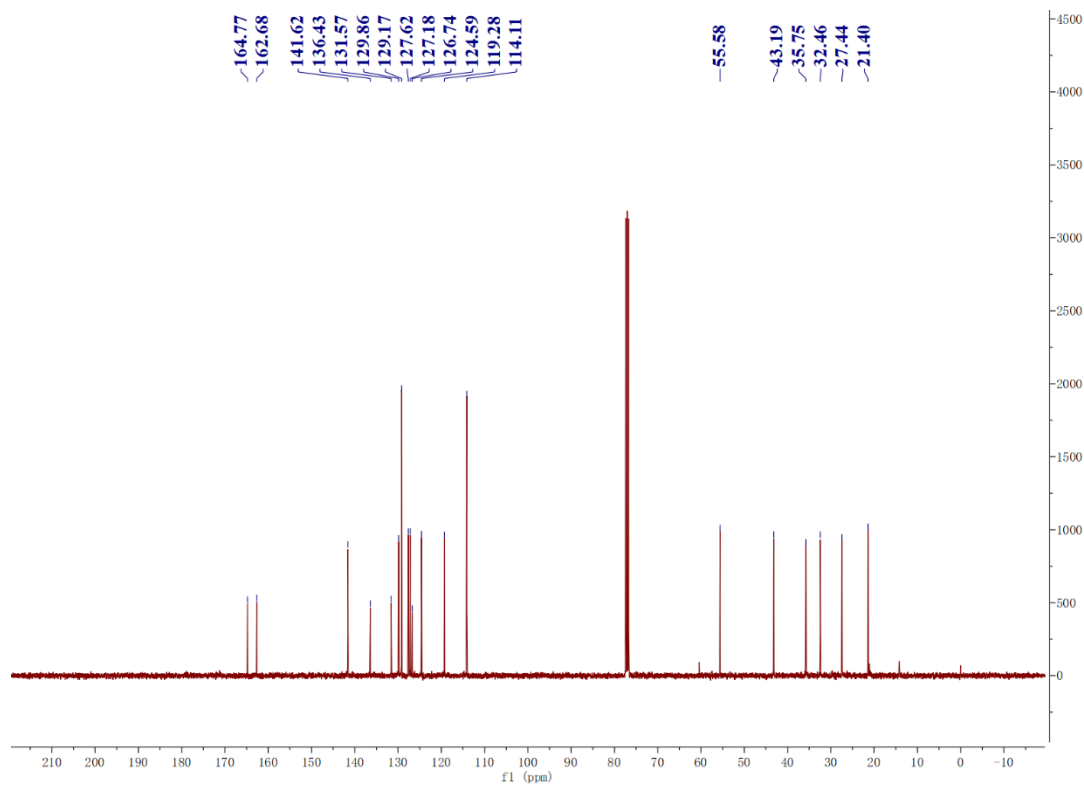
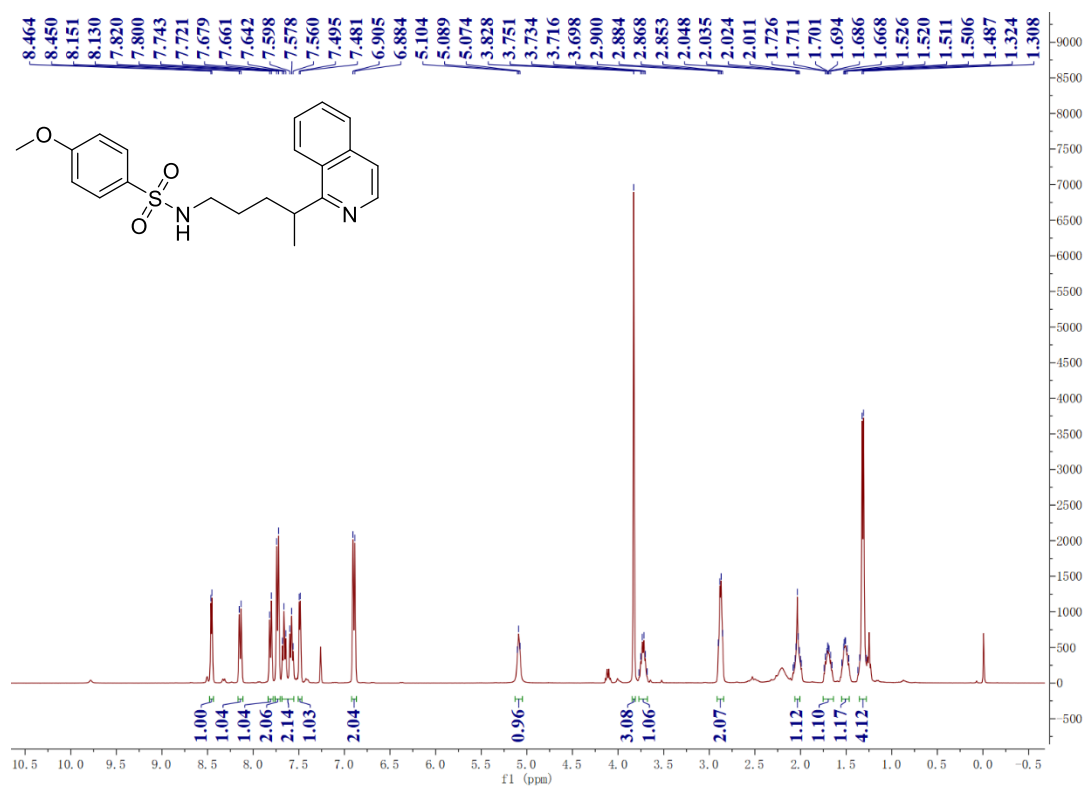
***N*-(4-(5,6-dimethylbenzo[*d*]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (22)**



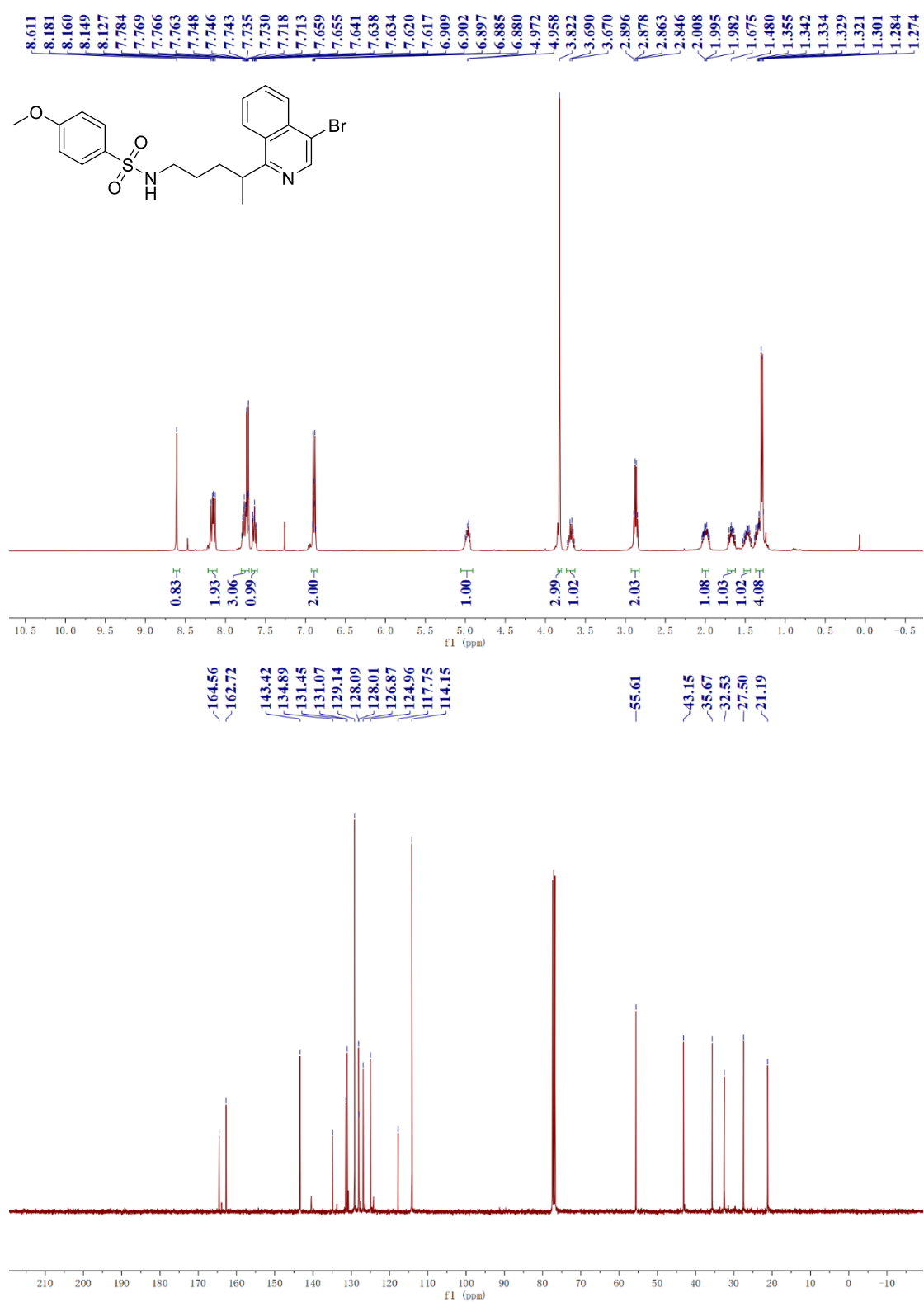
***N*-(4-(benzo[*d*]thiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (23)**



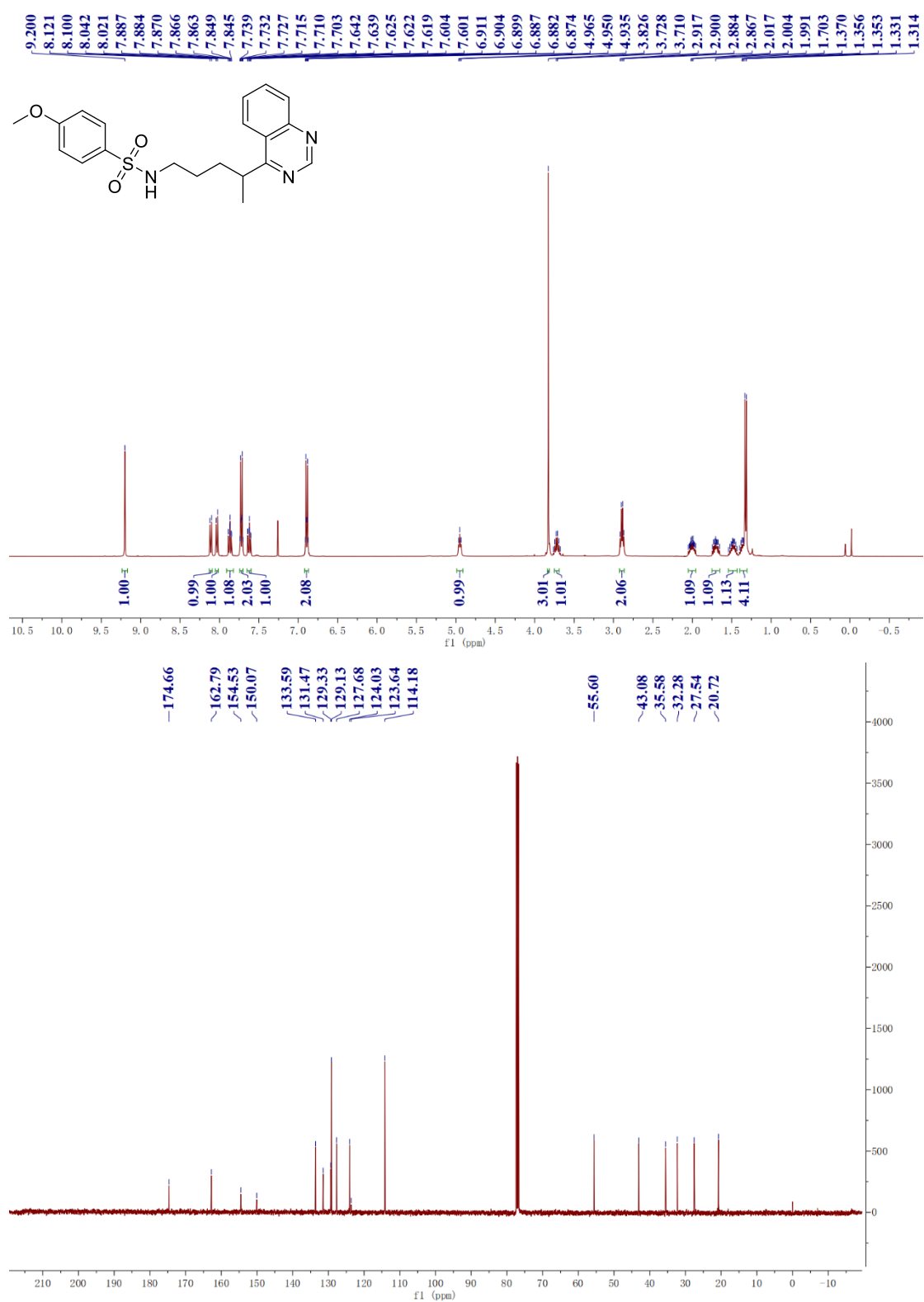
***N*-(4-(isoquinolin-1-yl)pentyl)-4-methoxybenzenesulfonamide (24)**



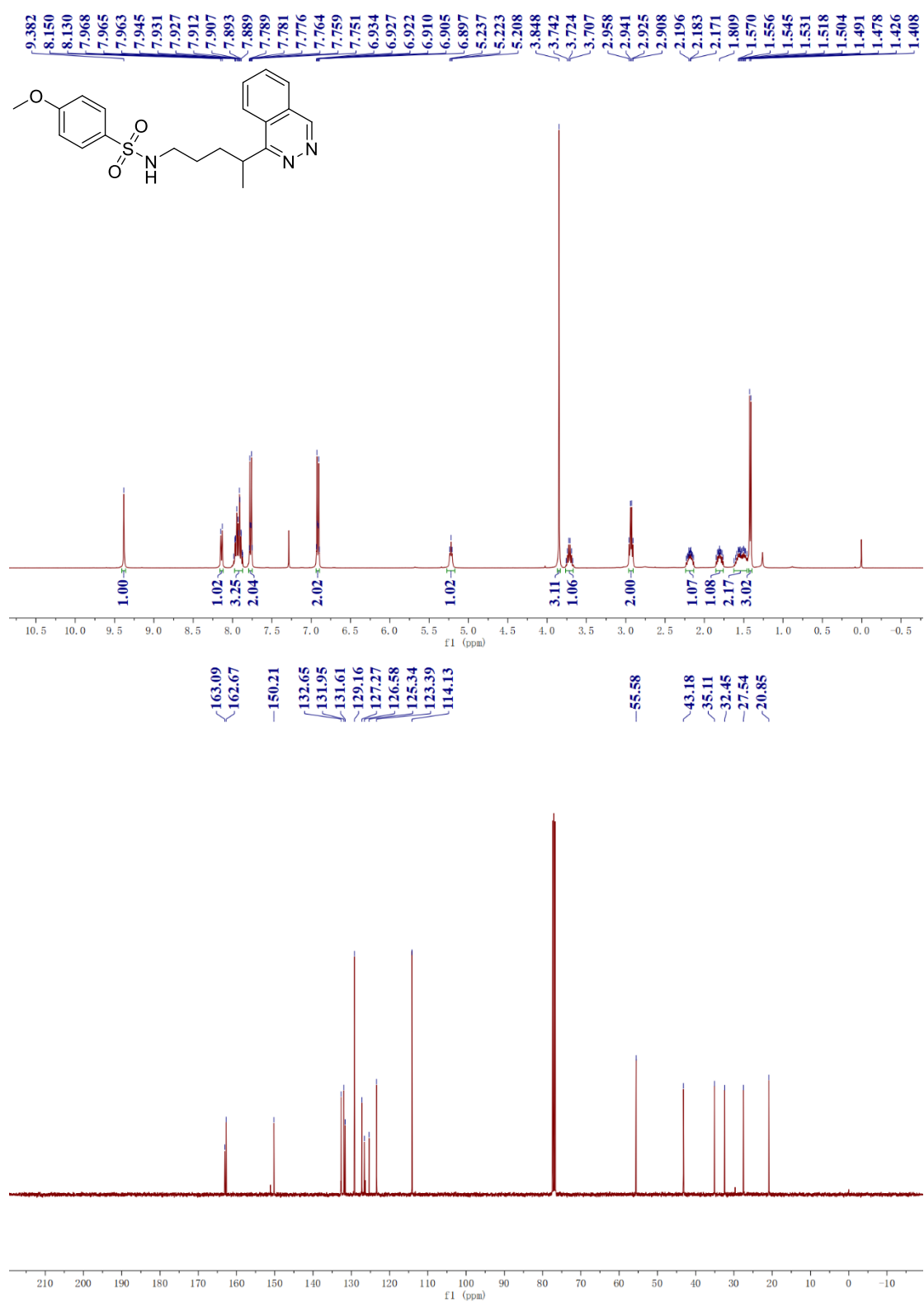
***N*-(4-(4-bromoisoquinolin-1-yl)pentyl)-4-methoxybenzenesulfonamide (25)**



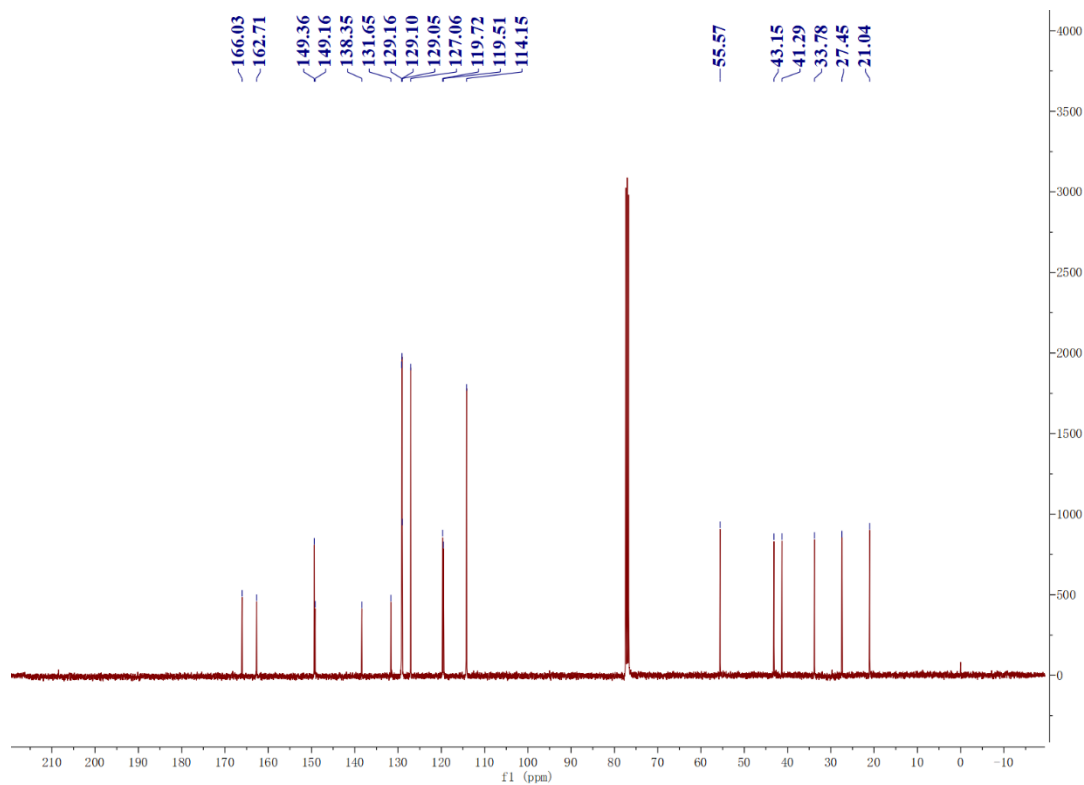
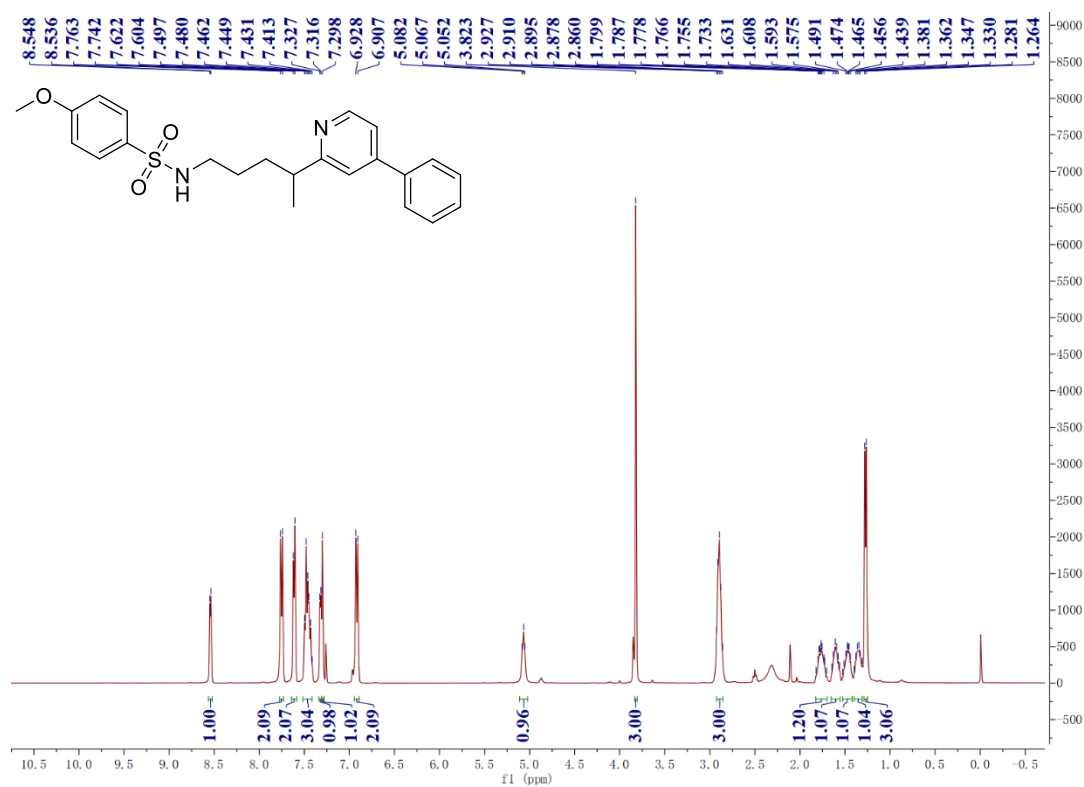
4-methoxy-*N*-(4-(quinazolin-4-yl)pentyl)benzenesulfonamide (26)



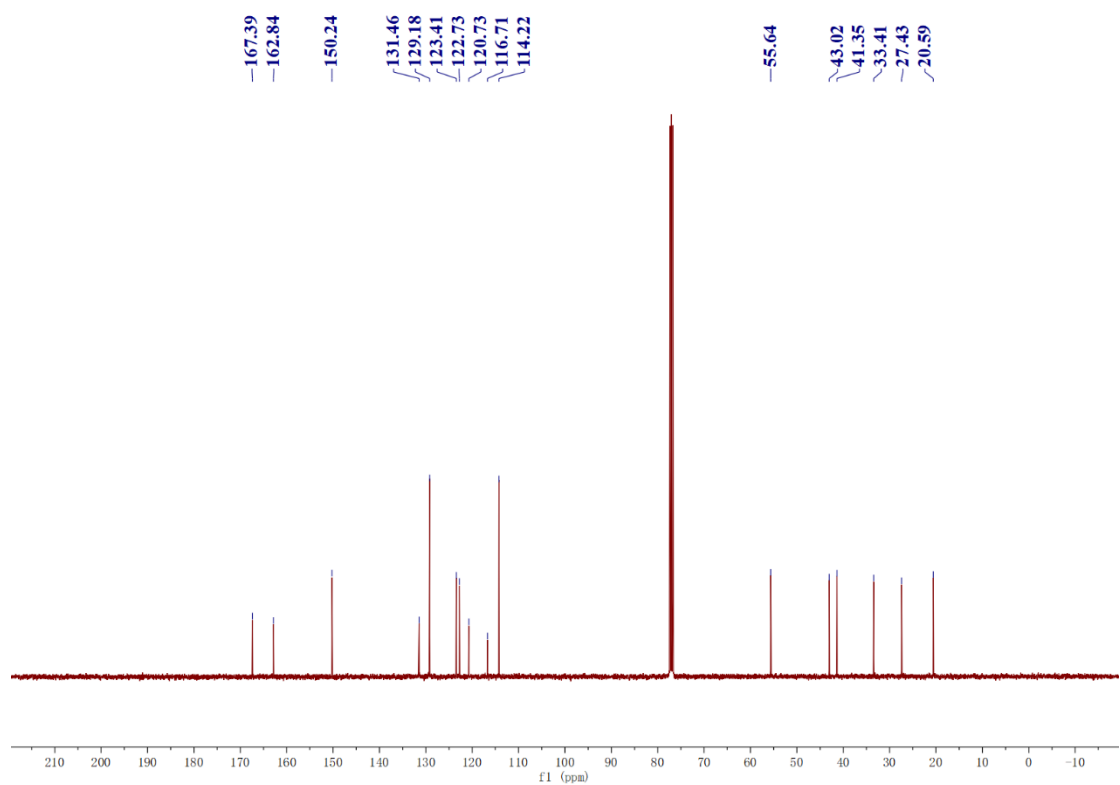
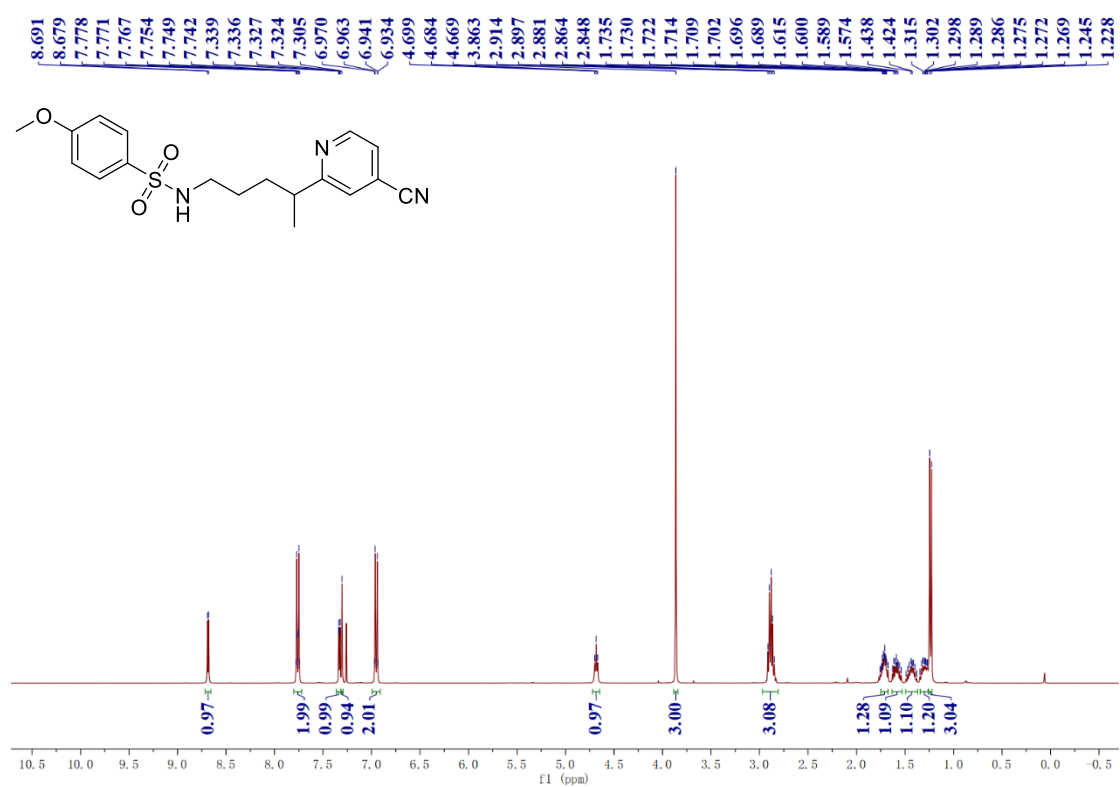
4-methoxy-*N*-(4-(phthalazin-1-yl)pentyl)benzenesulfonamide (27)



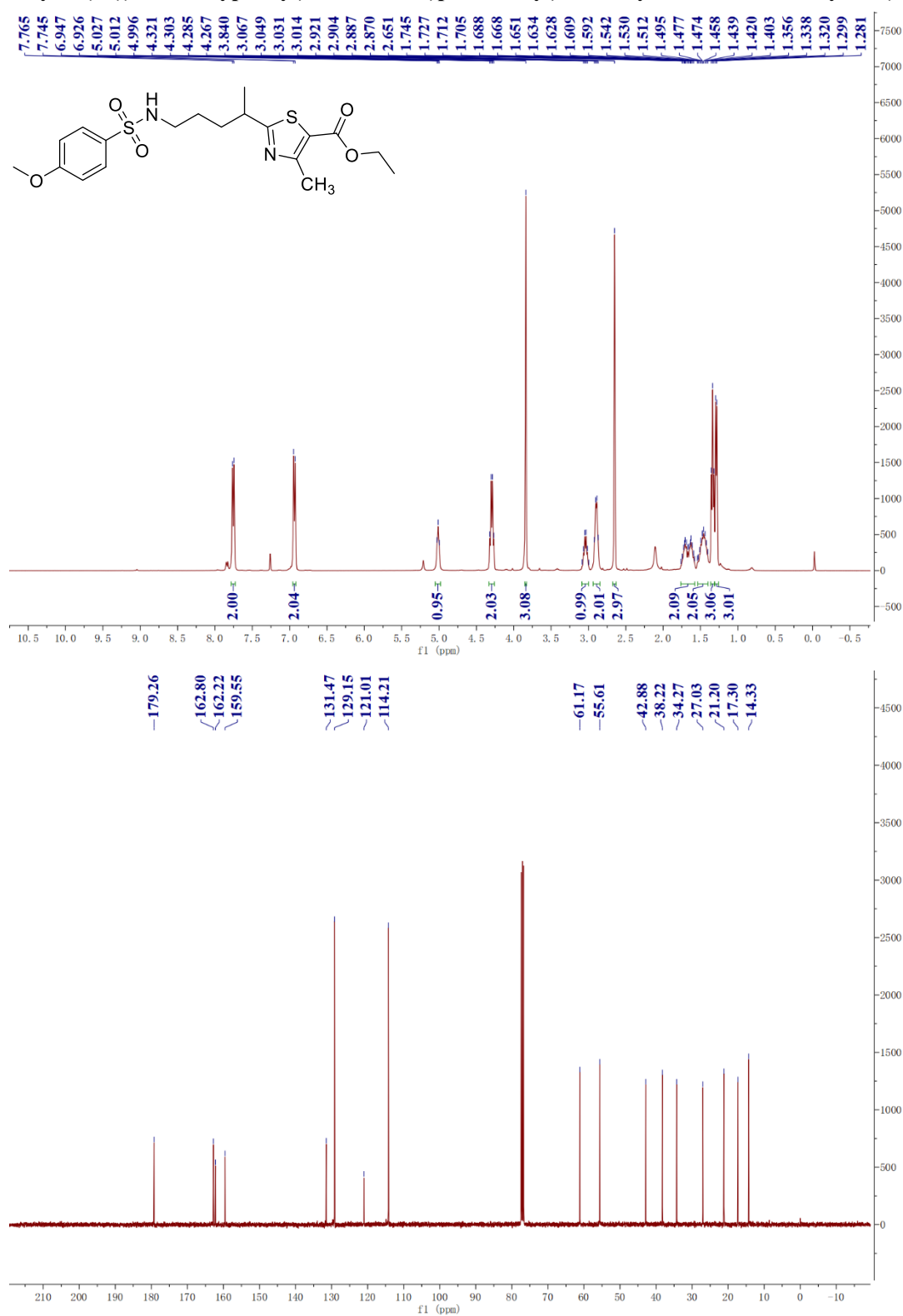
4-methoxy-N-(4-(4-phenylpyridin-2-yl)pentyl)benzenesulfonamide (28)



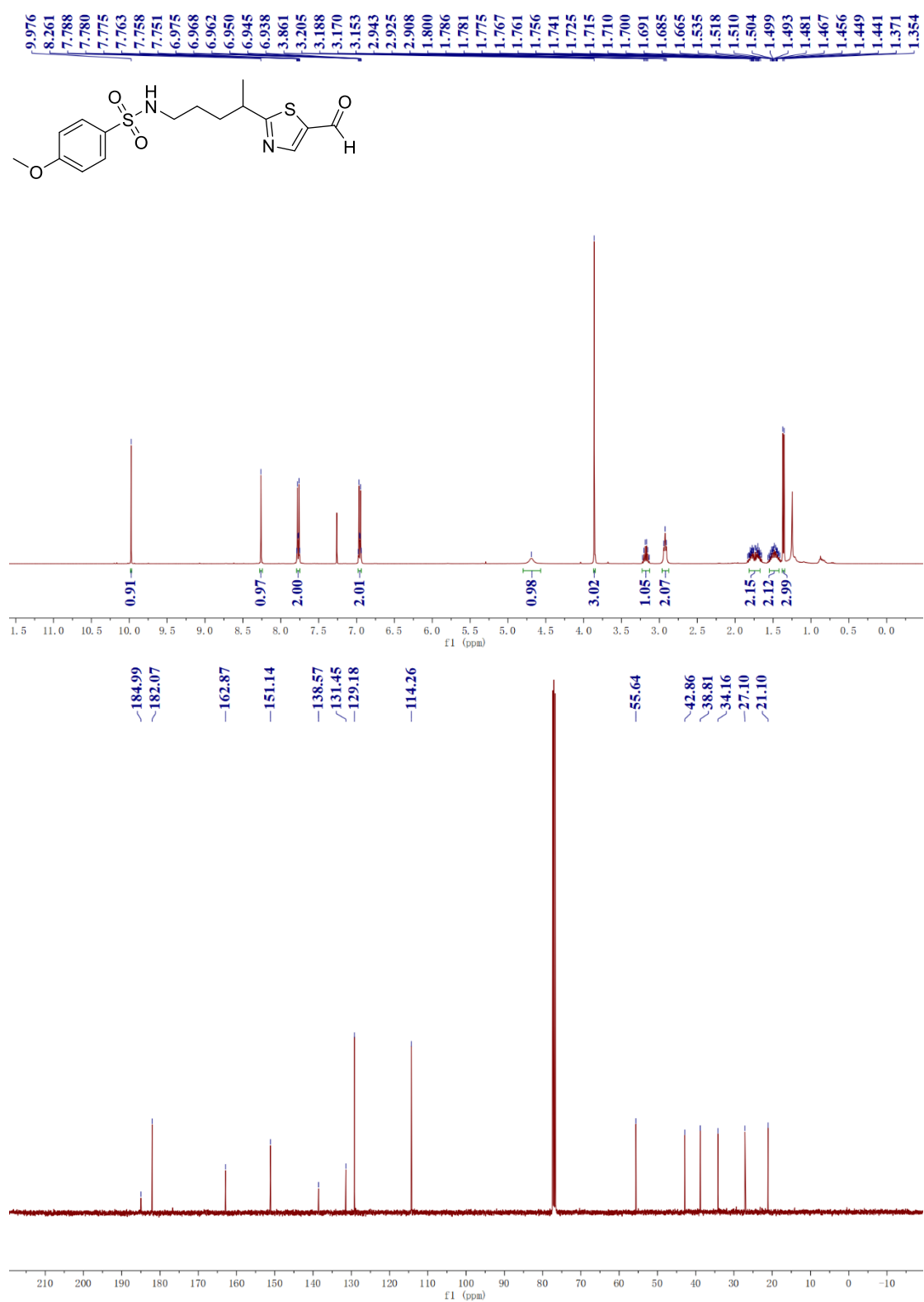
***N*-(4-(4-cyanopyridin-2-yl)pentyl)-4-methoxybenzenesulfonamide (29)**



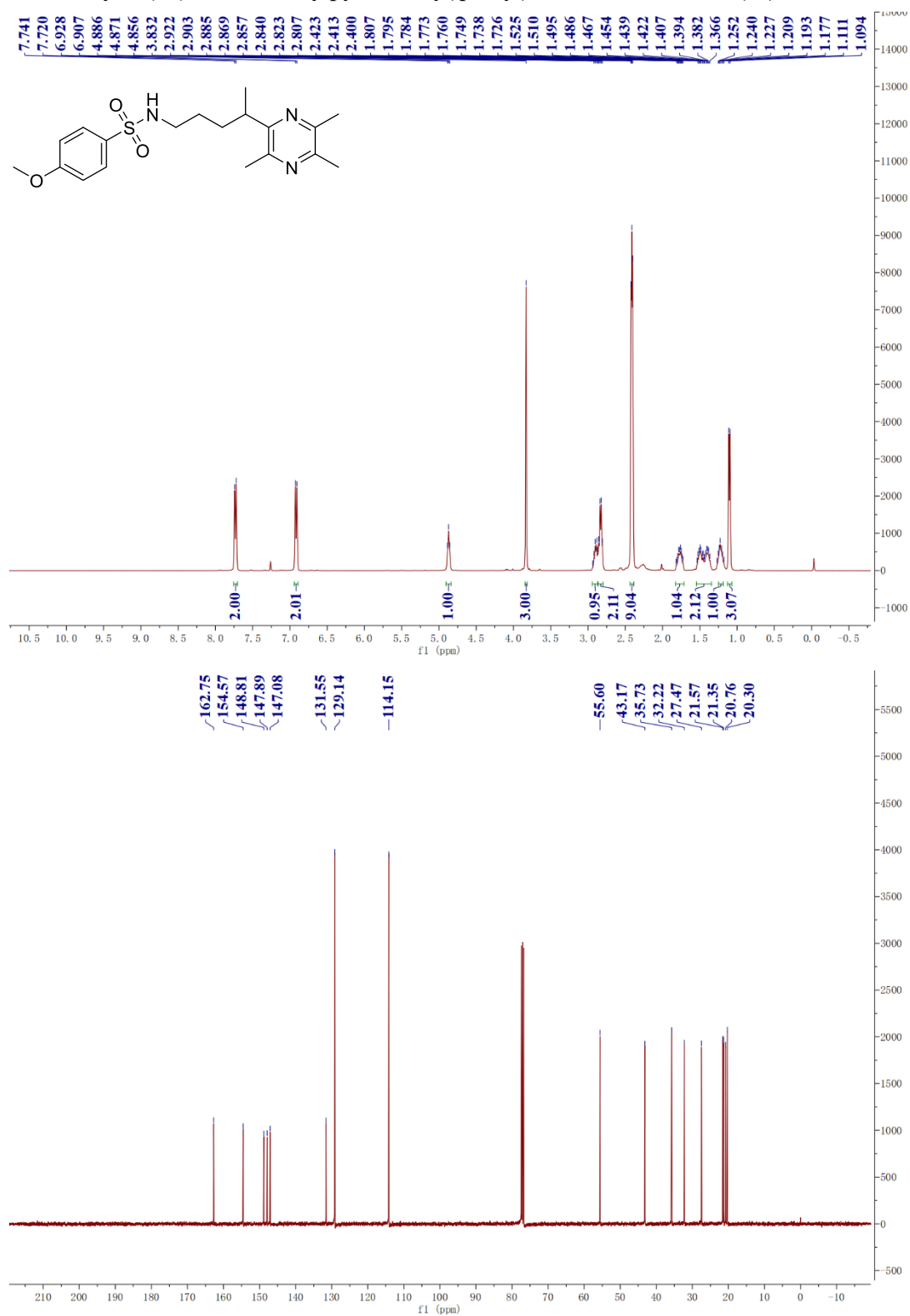
ethyl 2-(5-((4-methoxyphenyl)sulfonamido)pentan-2-yl)-4-methylthiazole-5-carboxylate (30)



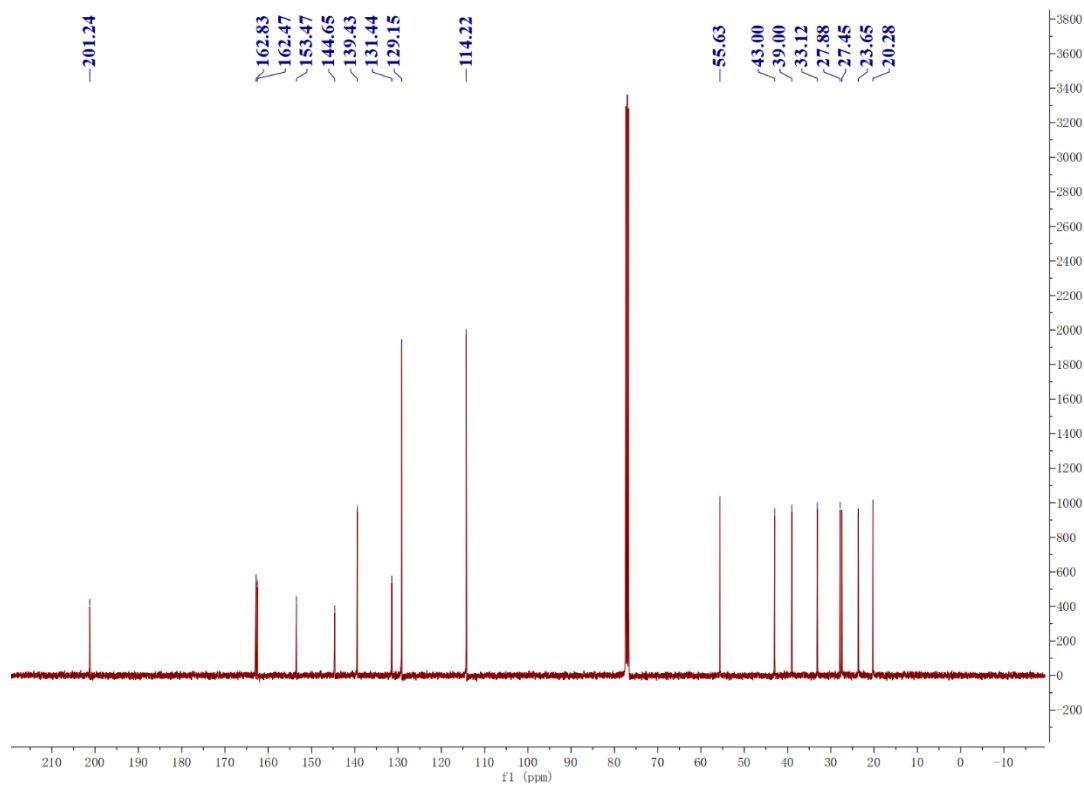
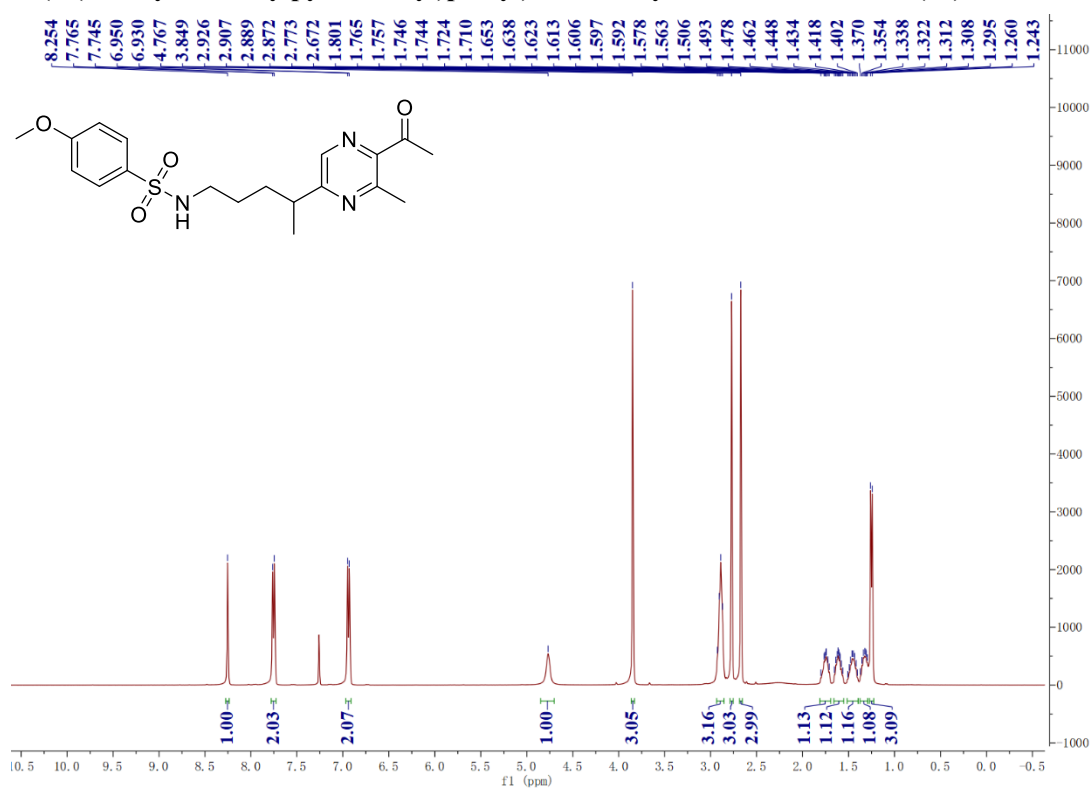
***N*-(4-(5-formylthiazol-2-yl)pentyl)-4-methoxybenzenesulfonamide (31)**



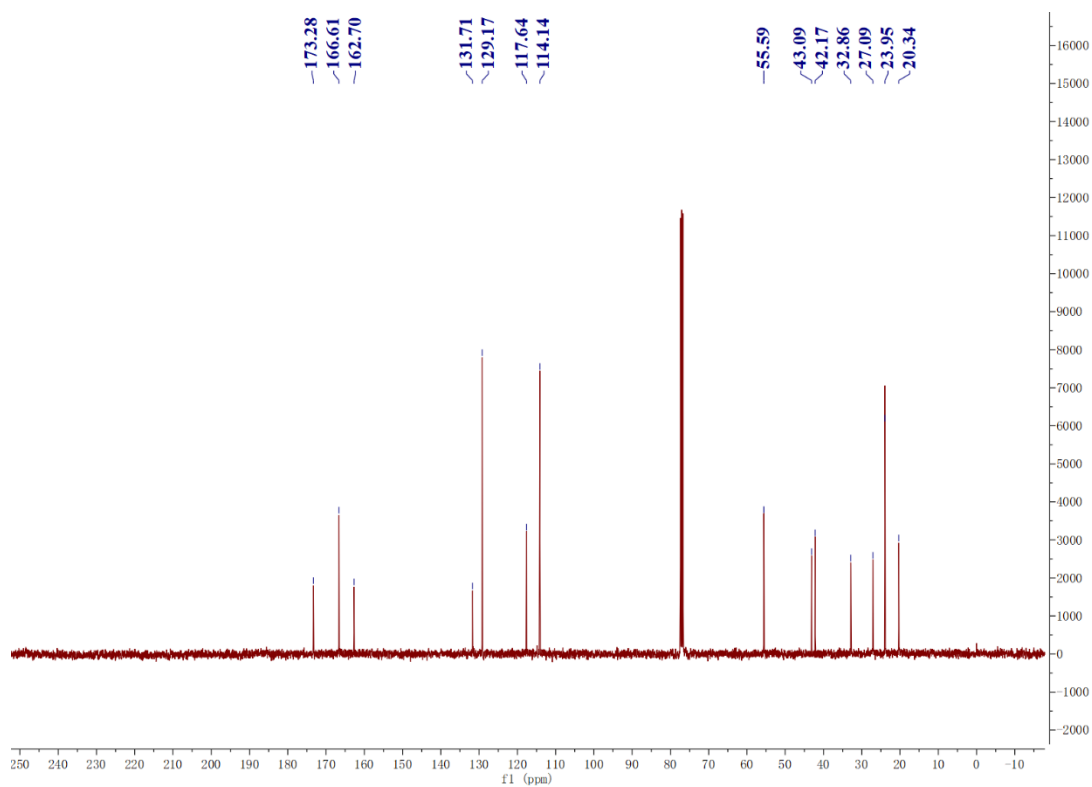
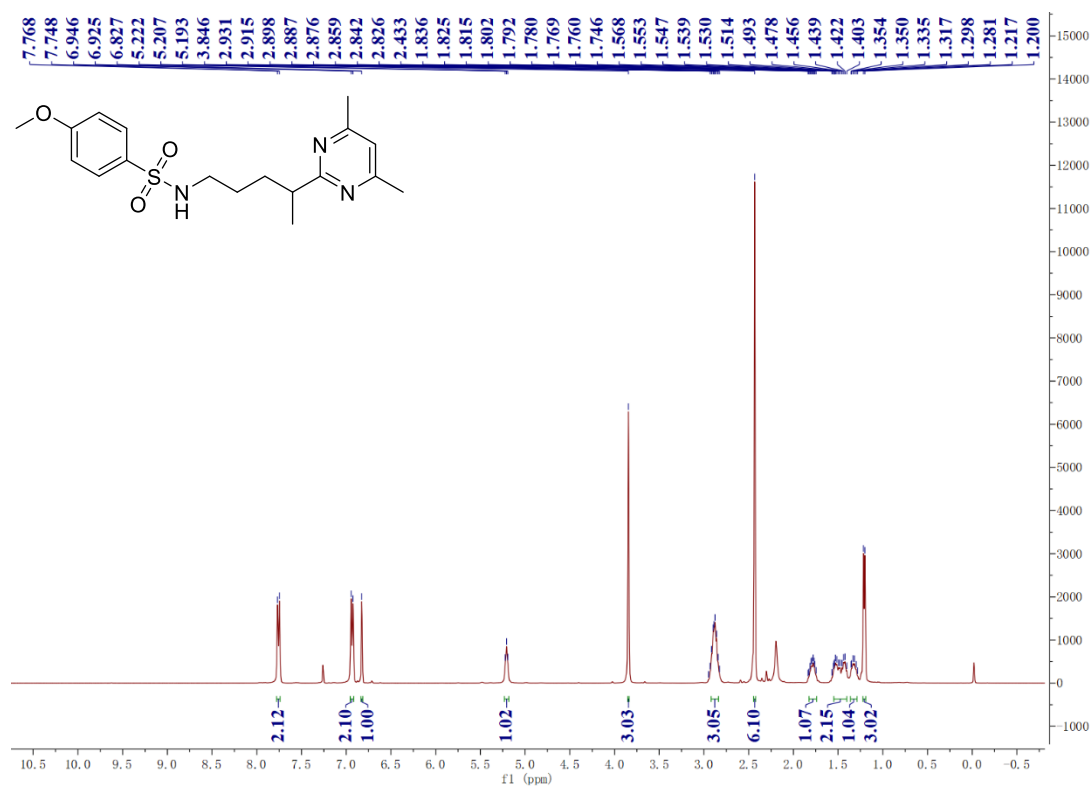
4-methoxy-N-(4-(3,5,6-trimethylpyrazin-2-yl)pentyl)benzenesulfonamide (32)



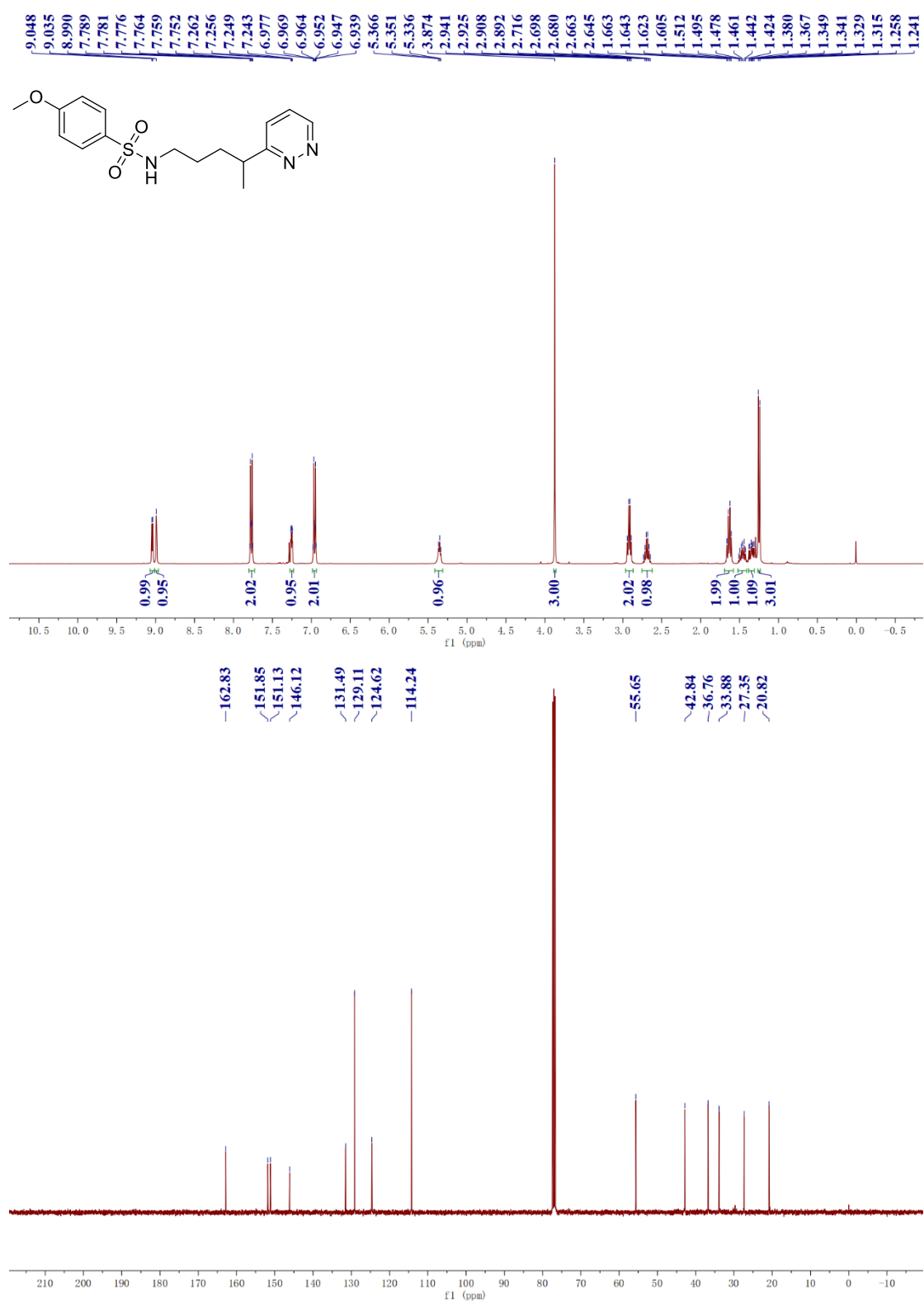
***N*-(4-(5-acetyl-6-methylpyrazin-2-yl)pentyl)-4-methoxybenzenesulfonamide (33)**



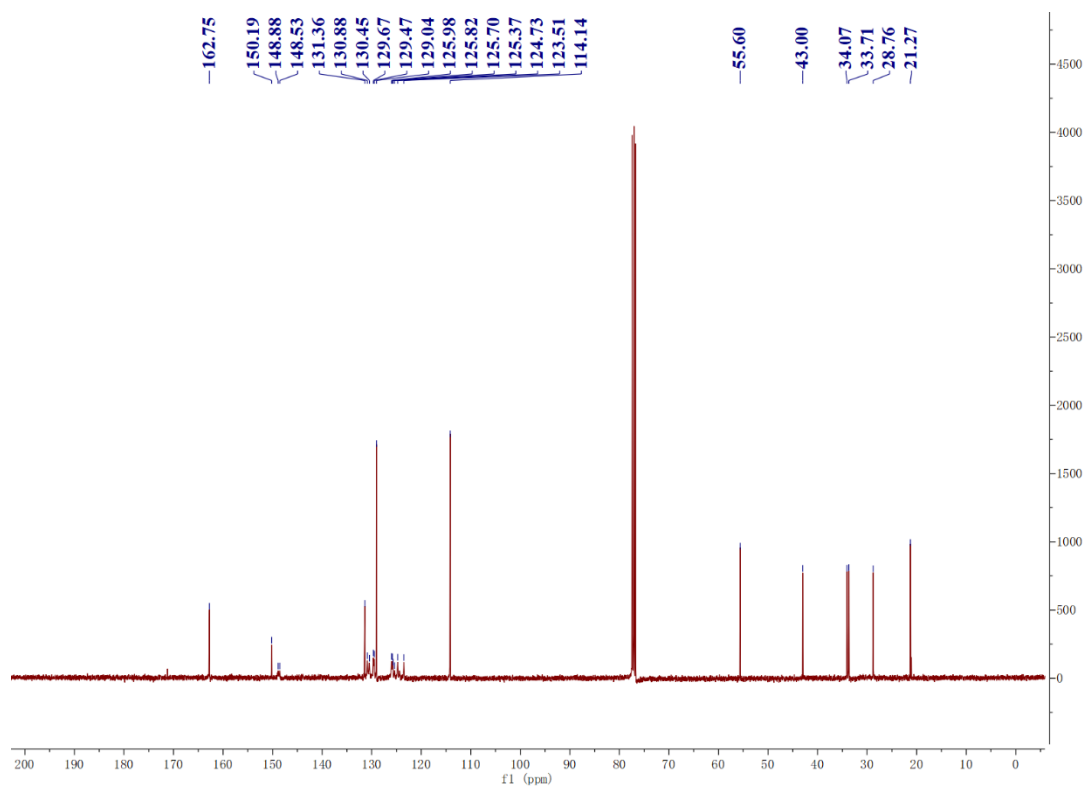
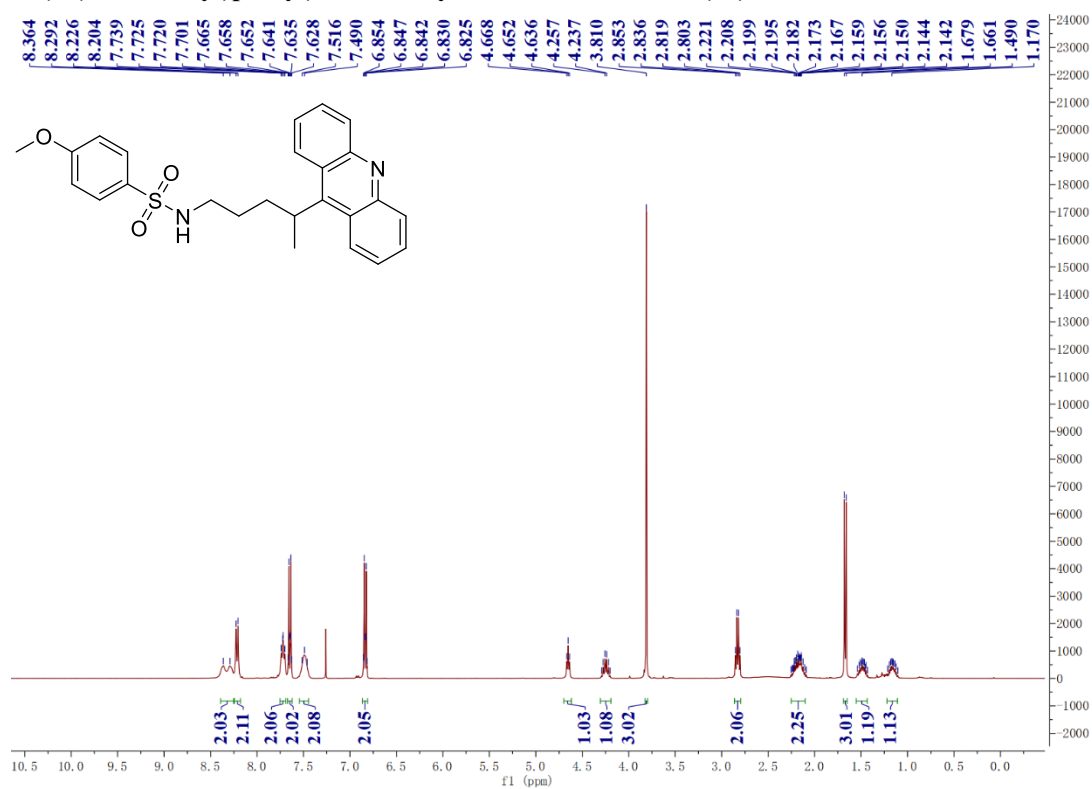
***N*-(4-(4,6-dimethylpyrimidin-2-yl)pentyl)-4-methoxybenzenesulfonamide (34)**



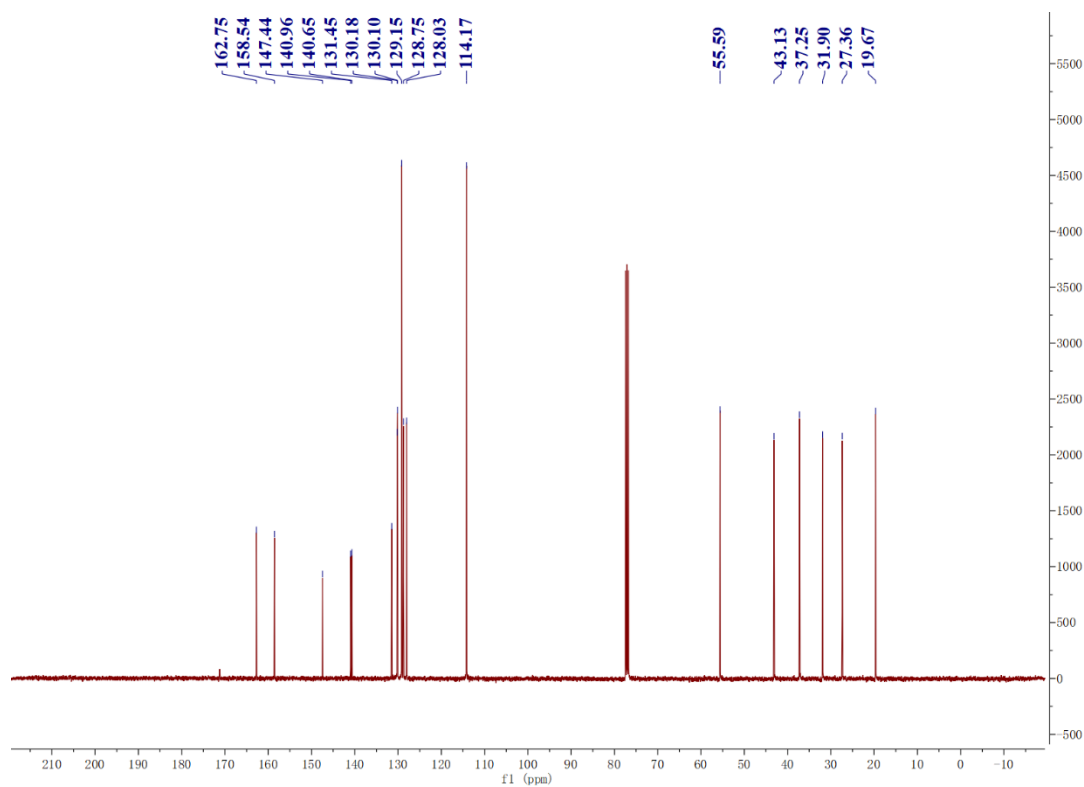
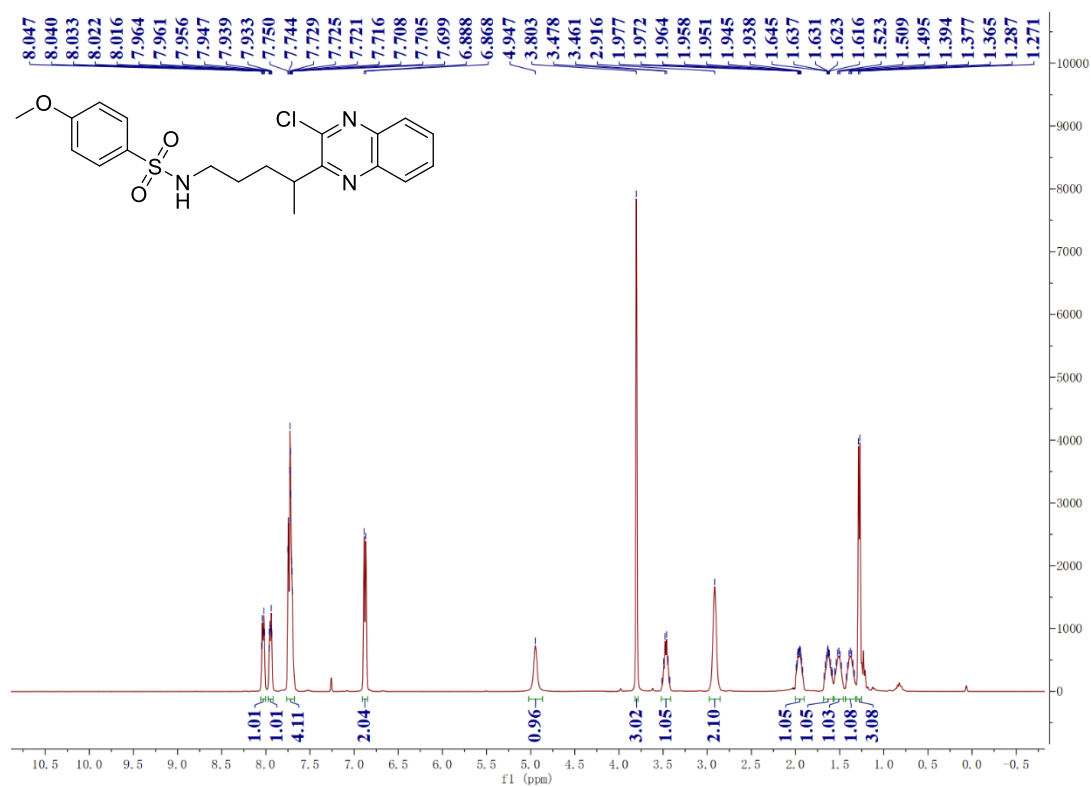
4-methoxy-*N*-(4-(pyridazin-3-yl)pentyl)benzenesulfonamide (35)



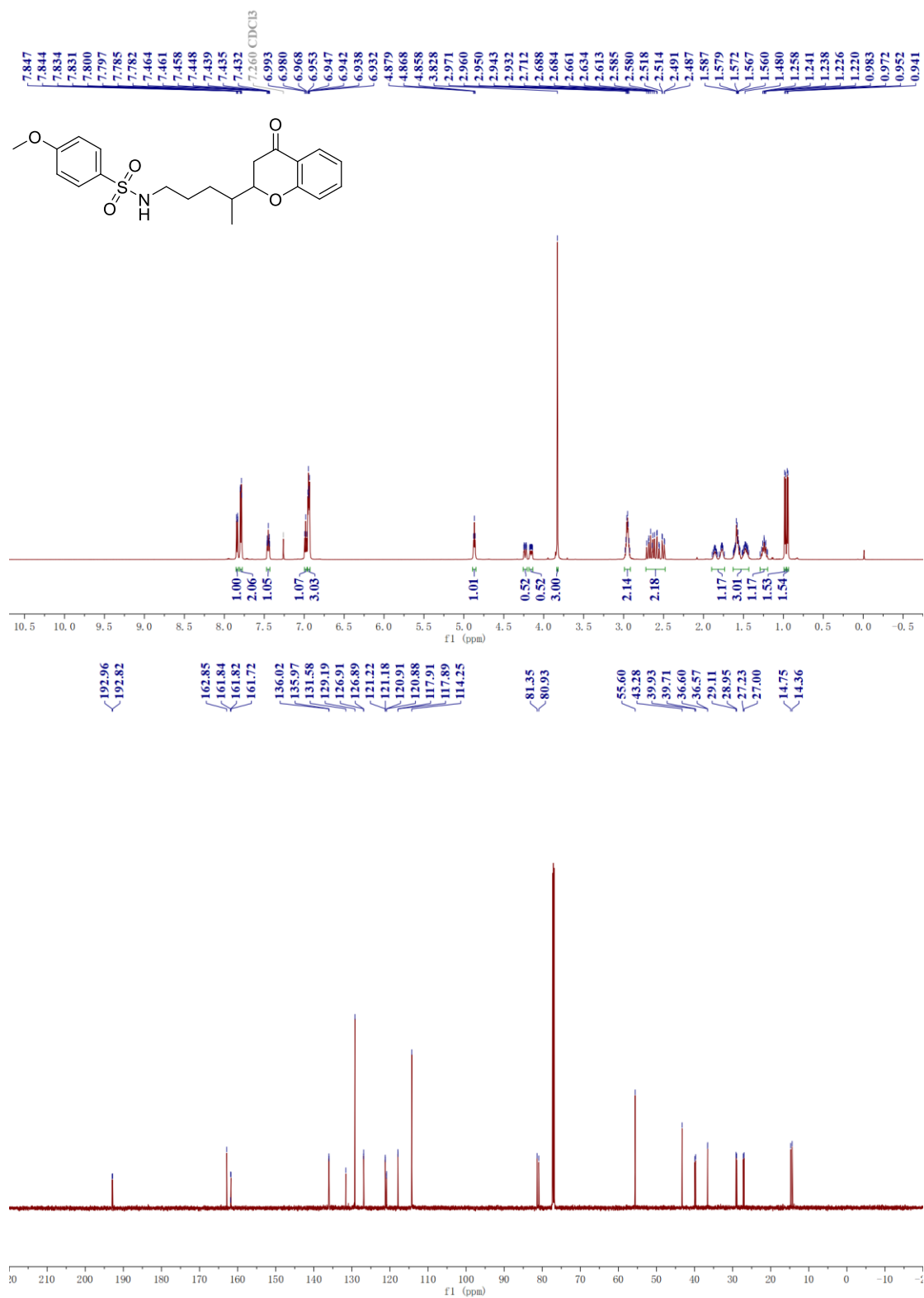
***N*-(4-(acridin-9-yl)pentyl)-4-methoxybenzenesulfonamide (36)**



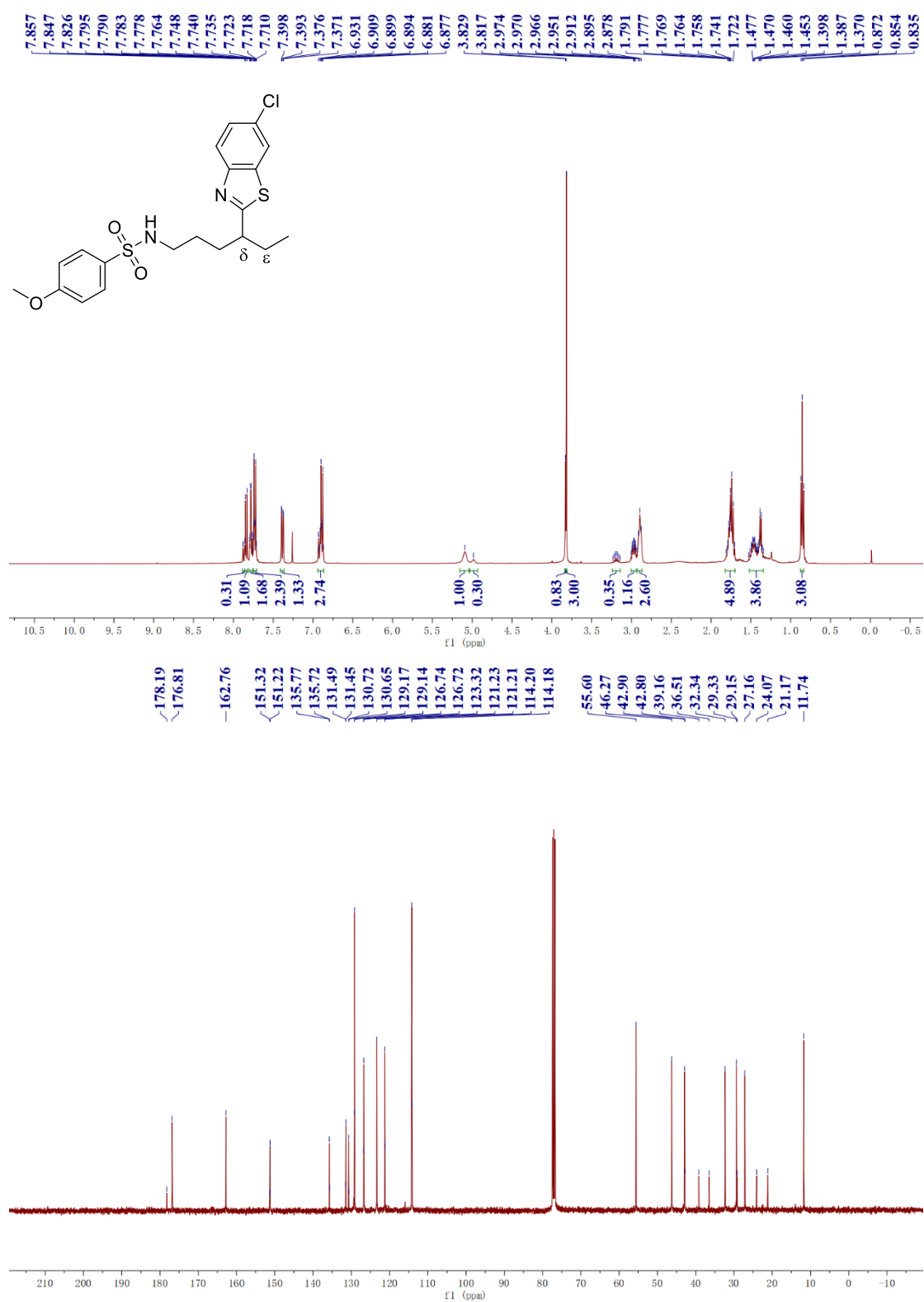
***N*-(4-(3-chloroquinoxalin-2-yl)pentyl)-4-methoxybenzenesulfonamide (37)**



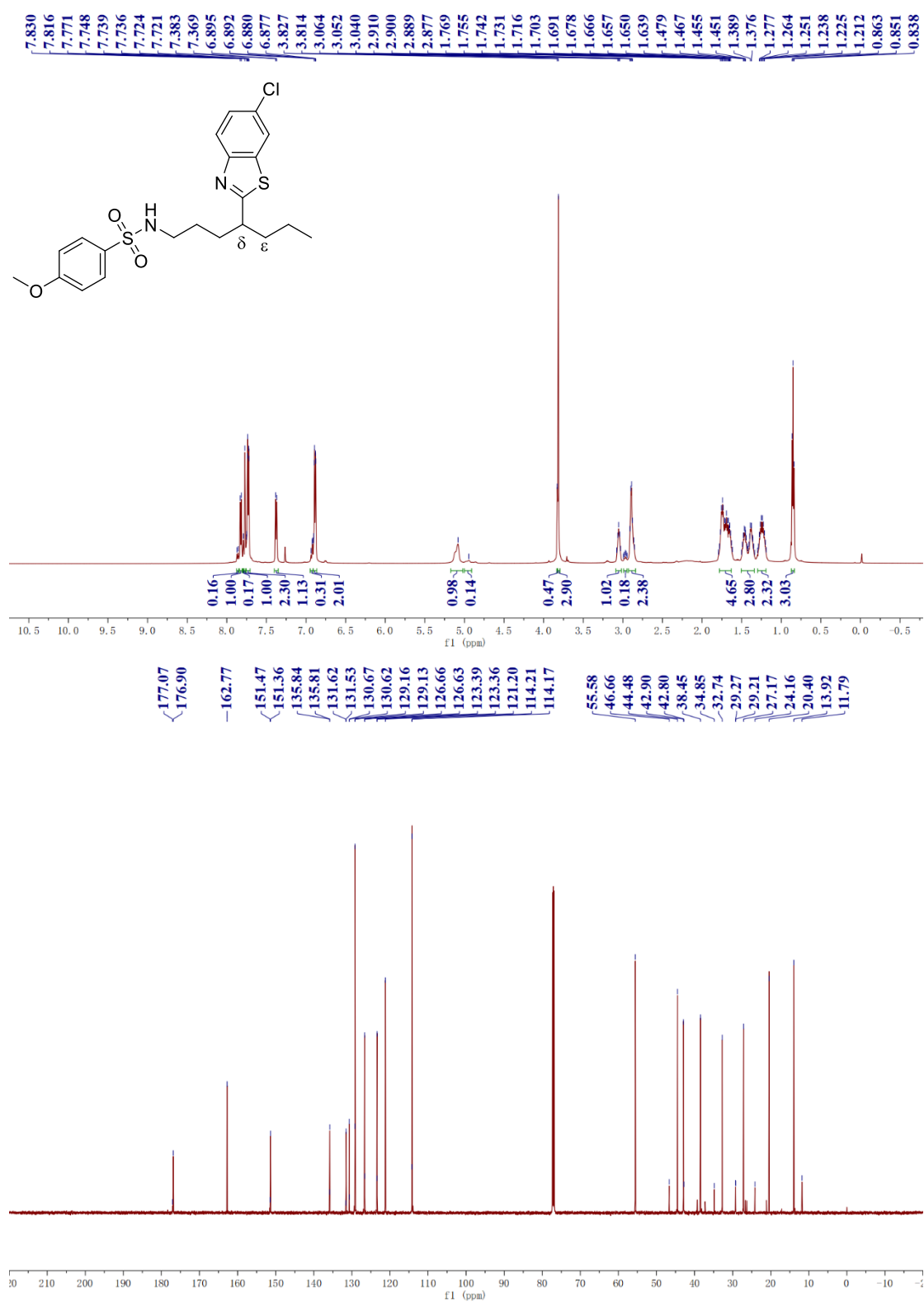
4-methoxy-*N*-(4-(4-oxochroman-2-yl)pentyl)benzenesulfonamide (38)



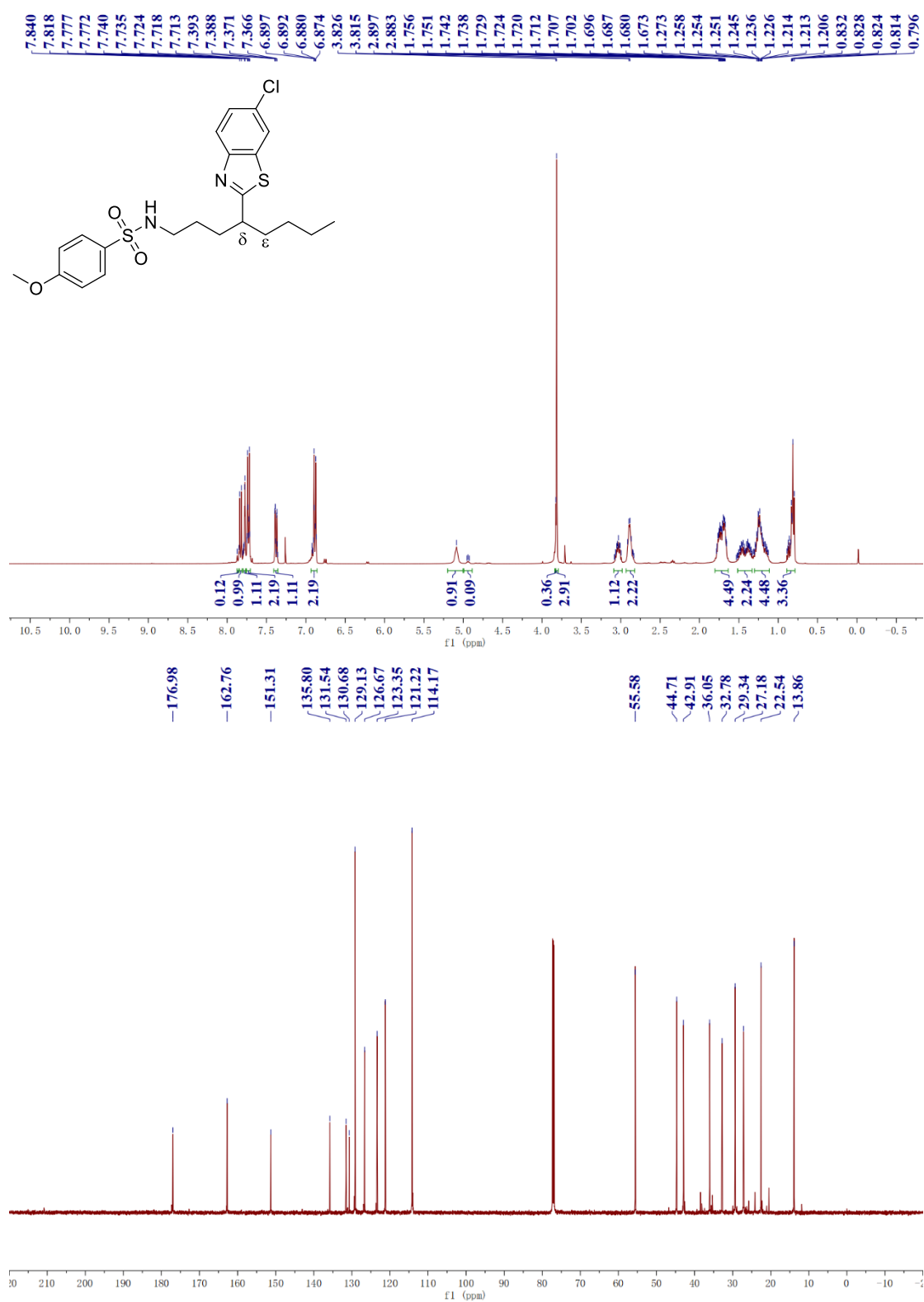
***N*-(4-(6-chlorobenzo[d]thiazol-2-yl)hexyl)-4-methoxybenzenesulfonamide (39)**



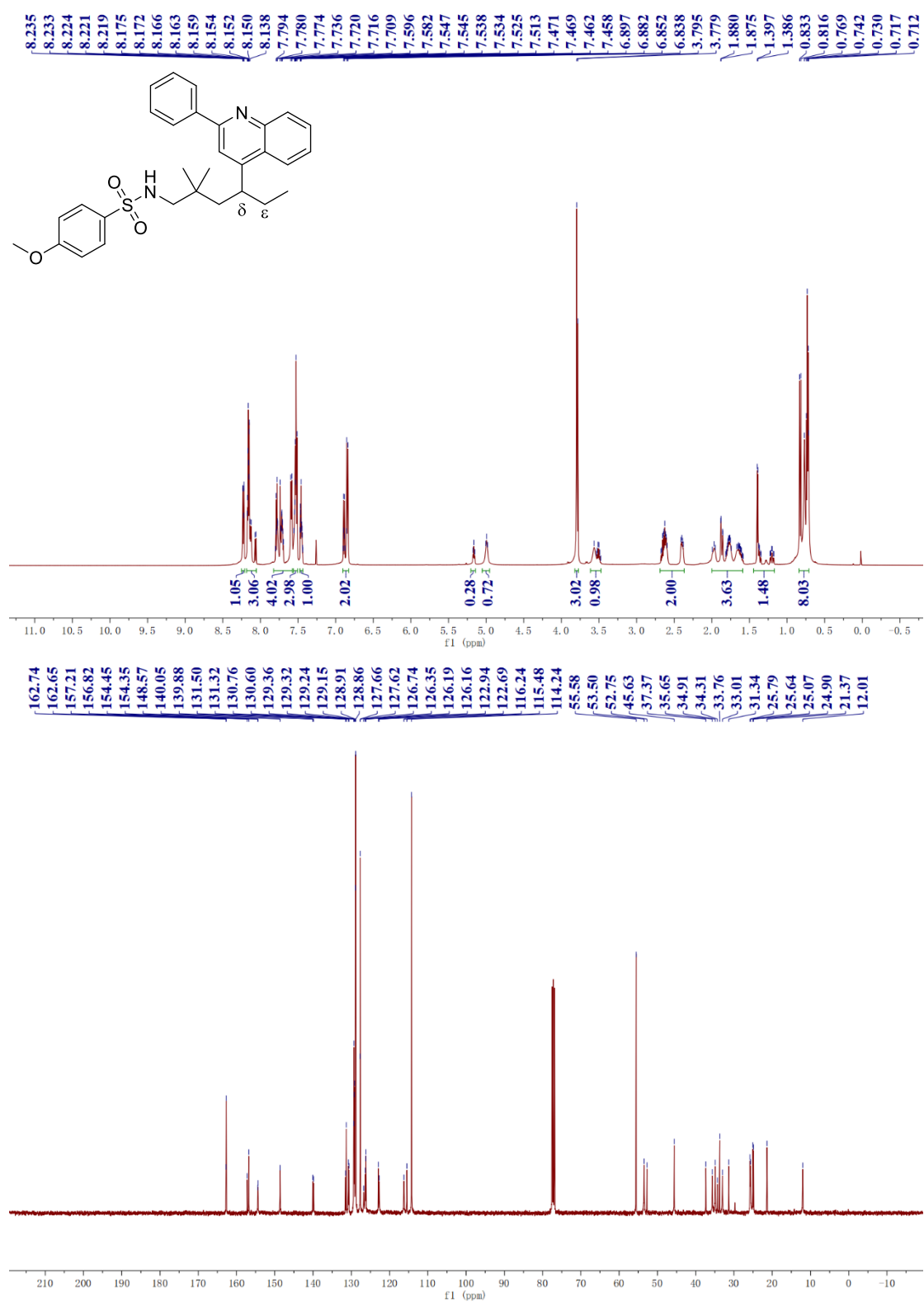
***N*-(4-(6-chlorobenzo[d]thiazol-2-yl)heptyl)-4-methoxybenzenesulfonamide (40)**



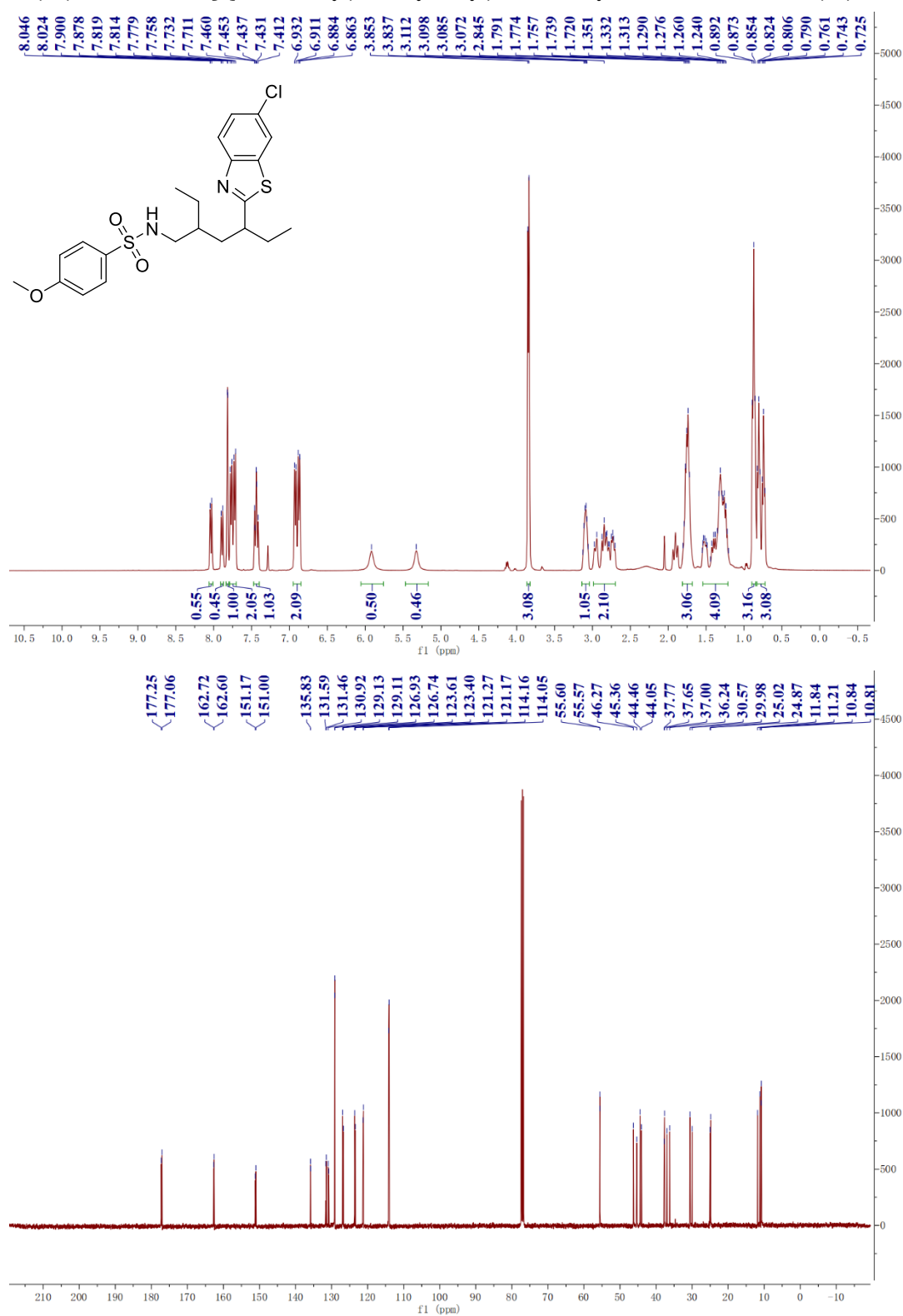
***N*-(4-(6-chlorobenzo[d]thiazol-2-yl)octyl)-4-methoxybenzenesulfonamide (41)**



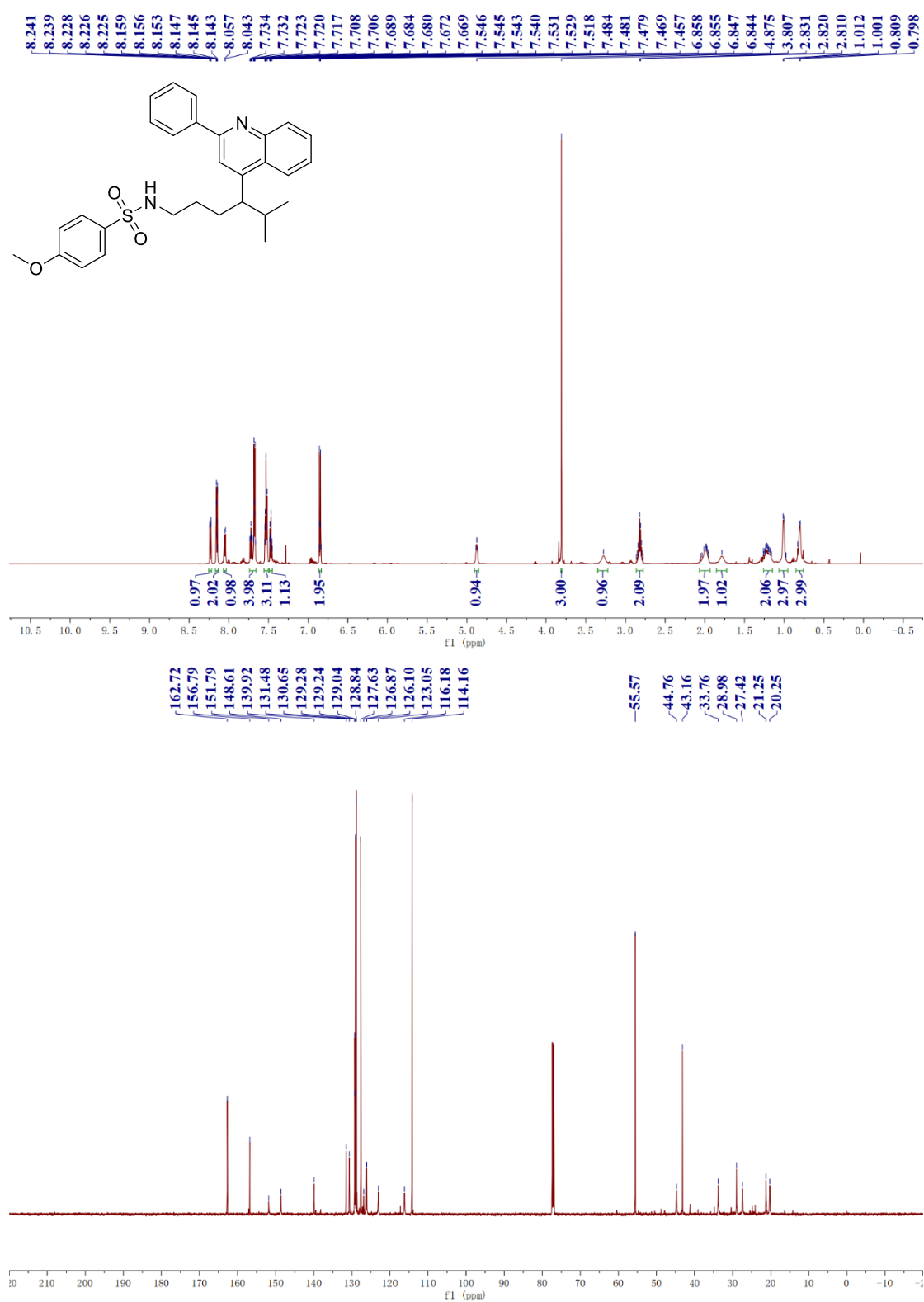
***N*-(2,2-dimethyl-4-(2-phenylquinolin-4-yl)hexyl)-4-methoxybenzenesulfonamide (42)**



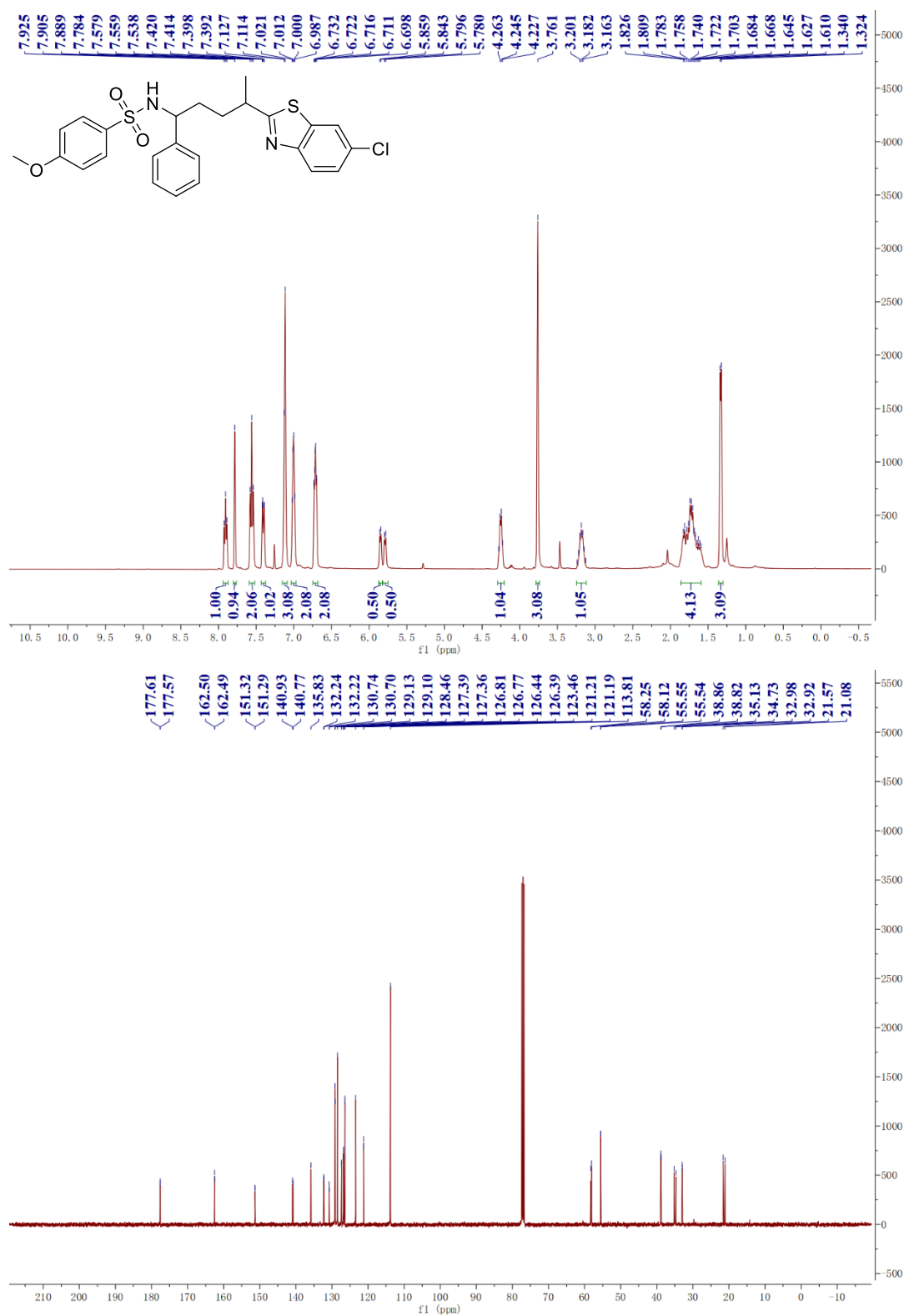
***N*-(4-(6-chlorobenzo[d]thiazol-2-yl)-2-ethylhexyl)-4-methoxybenzenesulfonamide (43)**



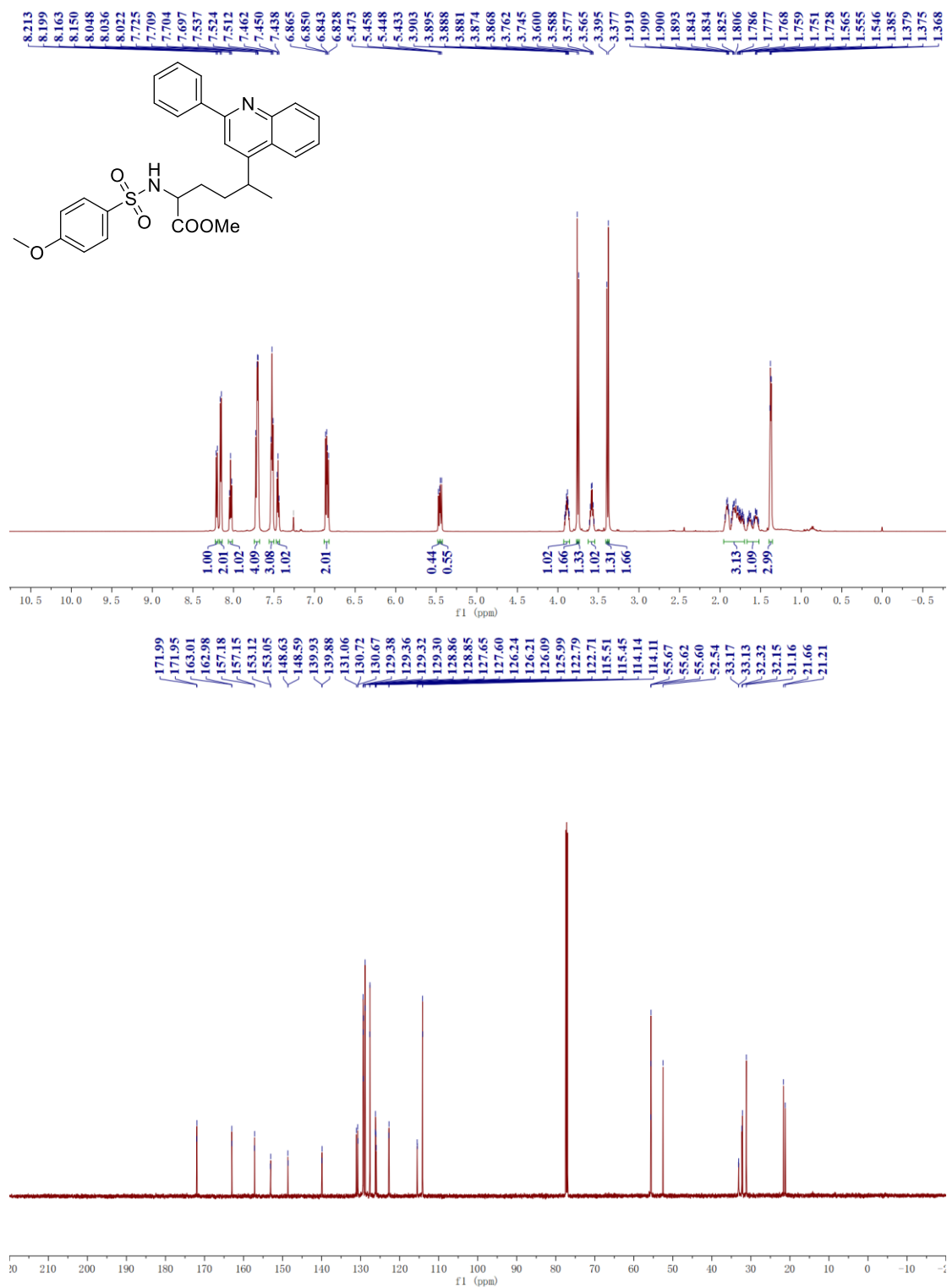
4-methoxy-*N*-(5-methyl-4-(2-phenylquinolin-4-yl)hexyl)benzenesulfonamide (44)



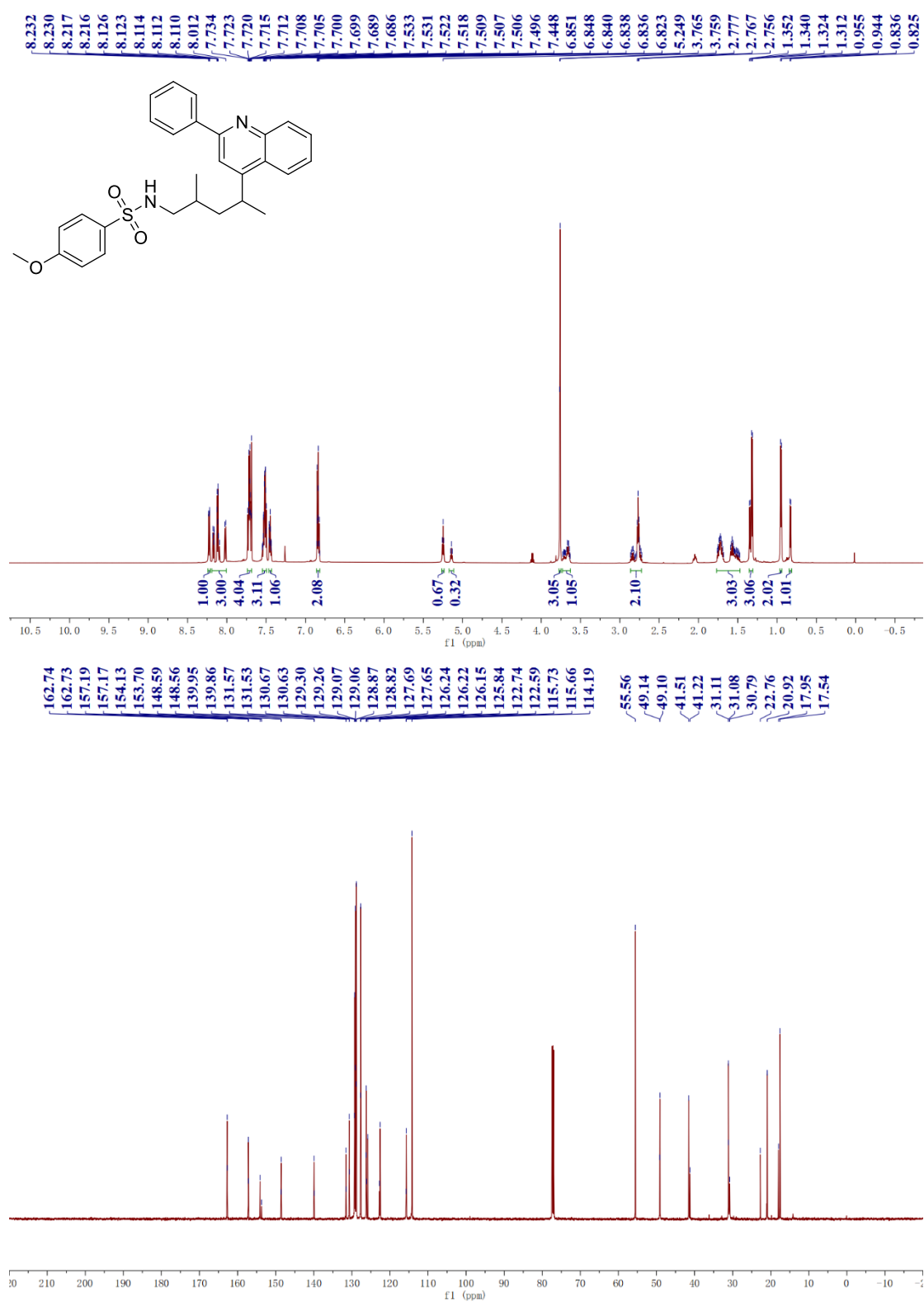
***N*-(4-(6-chlorobenzo[d]thiazol-2-yl)-1-phenylpentyl)-4-methoxybenzenesulfonamide (45)**



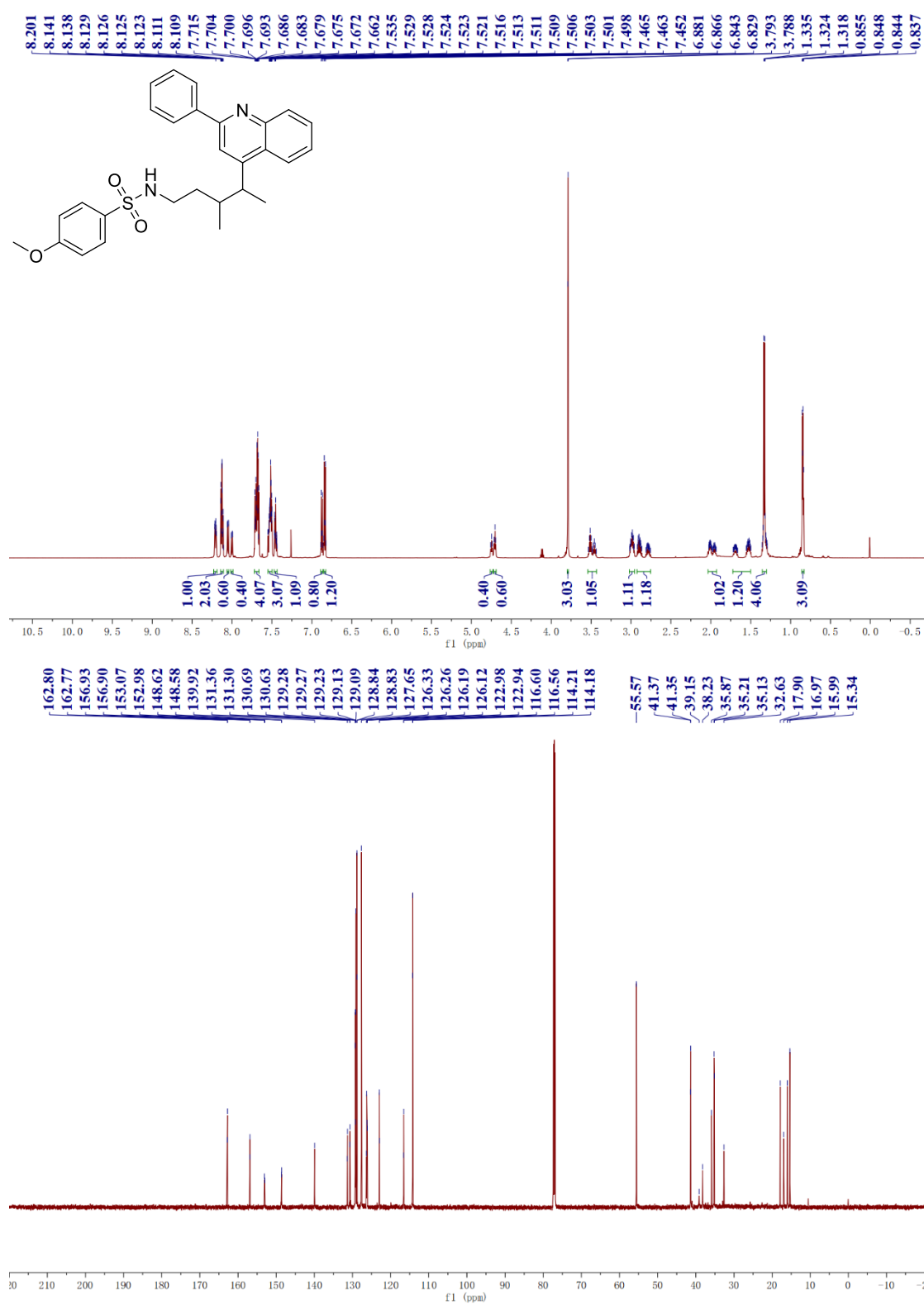
methyl (2*R*)-2-((4-methoxyphenyl)sulfonamido)-5-(2-phenylquinolin-4-yl)hexanoate (46)



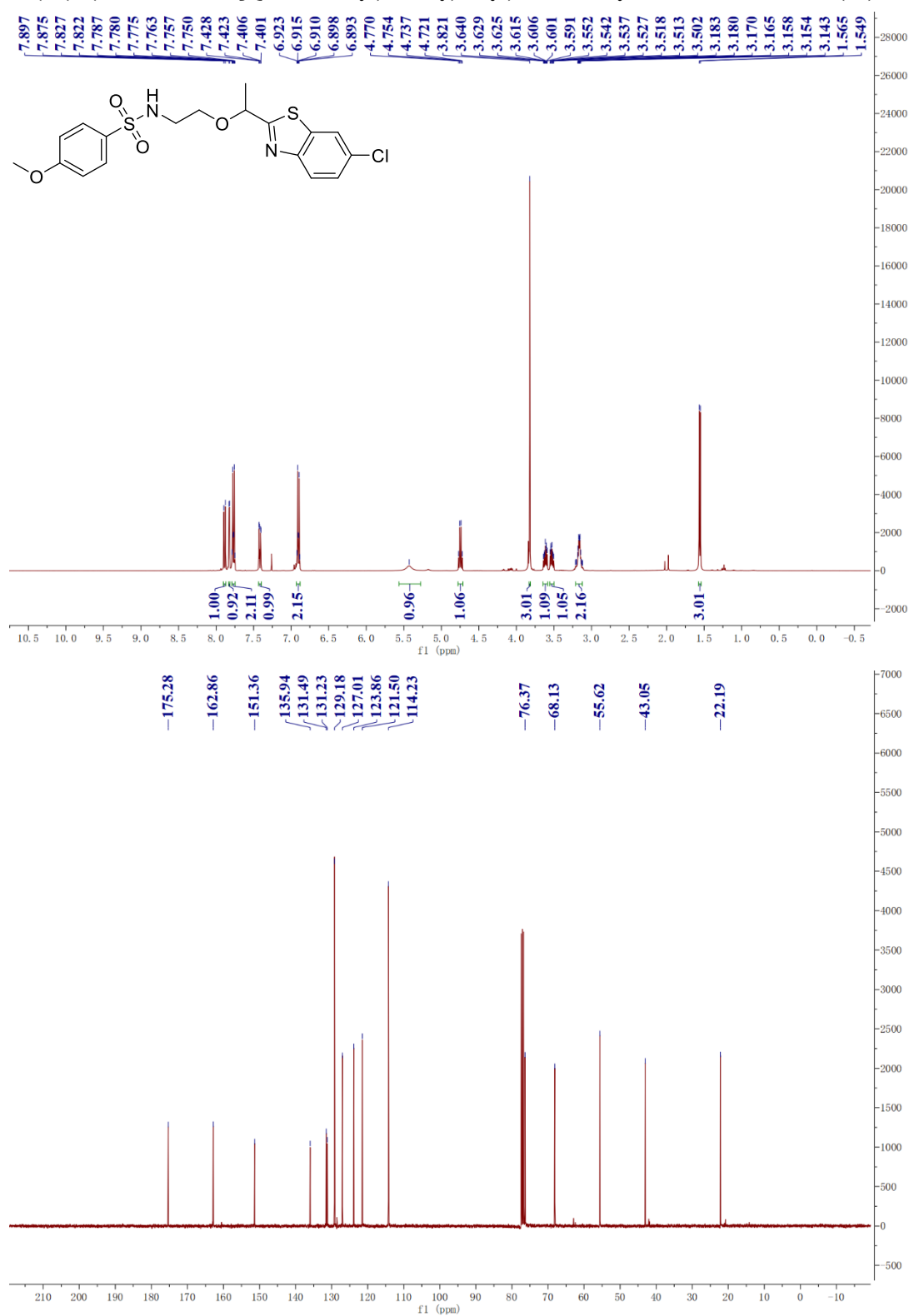
4-methoxy-N-(2-methyl-4-(2-phenylquinolin-4-yl)pentyl)benzenesulfonamide (47)



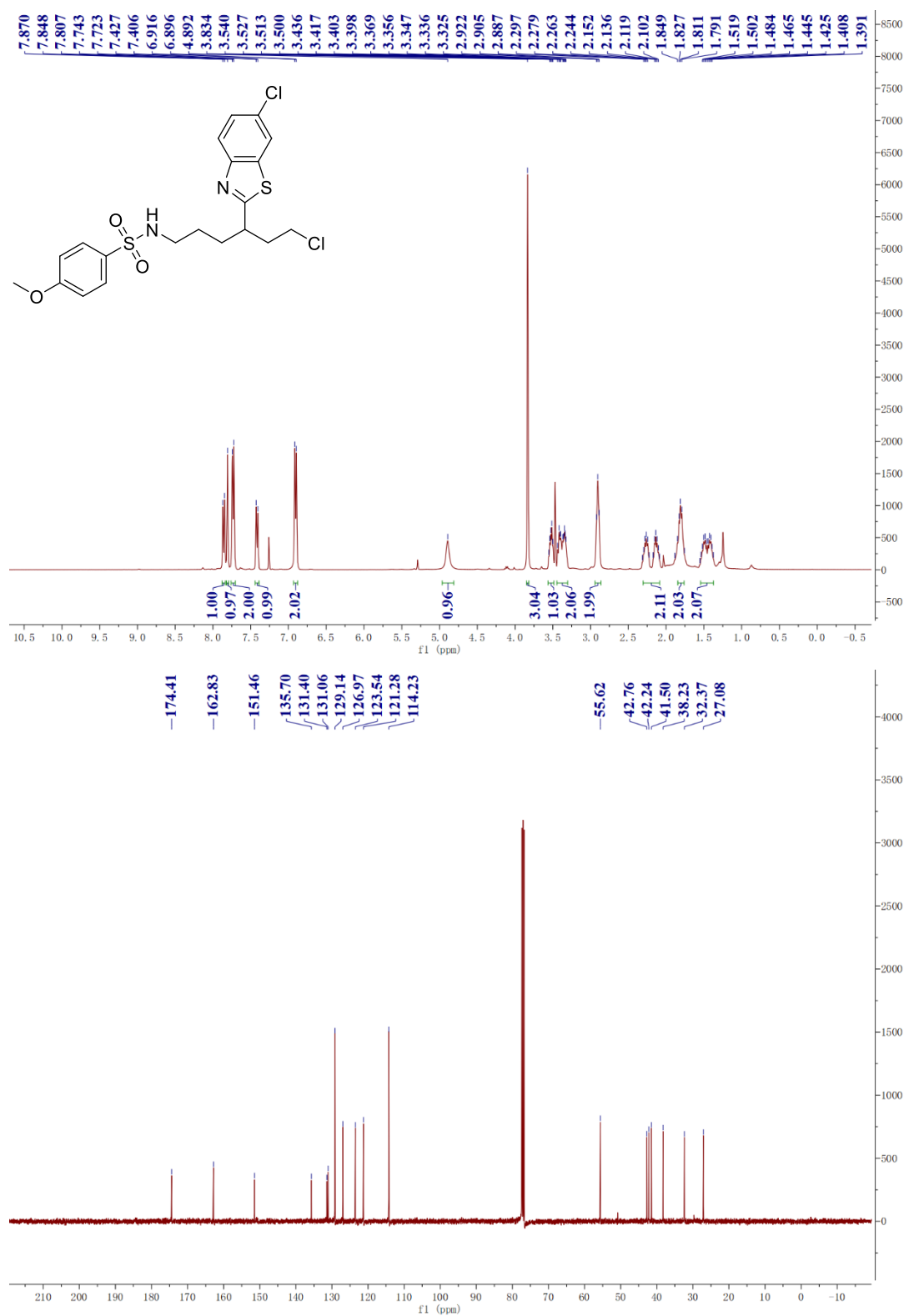
4-methoxy-N-(3-methyl-4-(2-phenylquinolin-4-yl)pentyl)benzenesulfonamide (48)



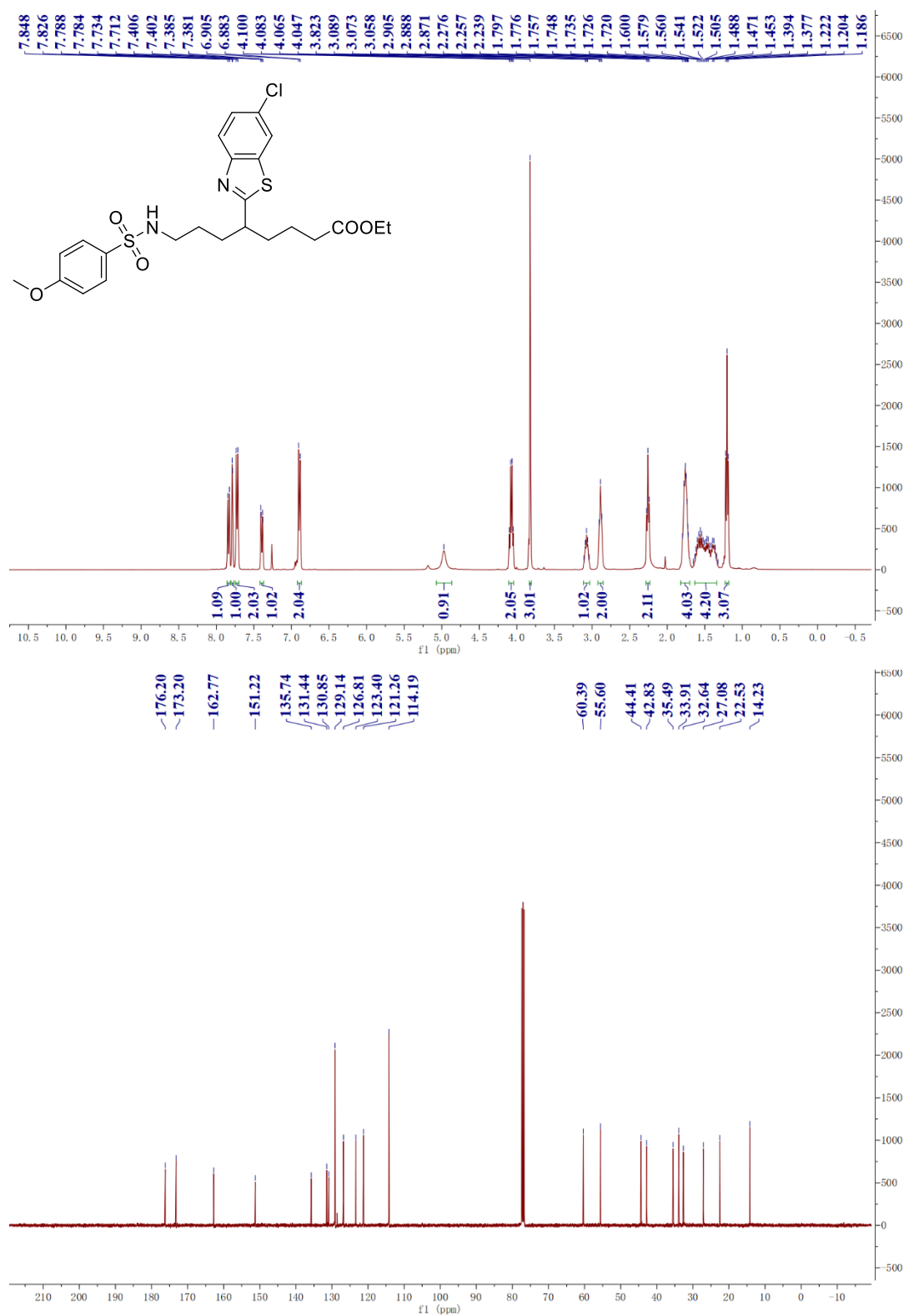
***N*-(2-(1-(6-chlorobenzo[d]thiazol-2-yl)ethoxy)ethyl)-4-methoxybenzenesulfonamide (49)**



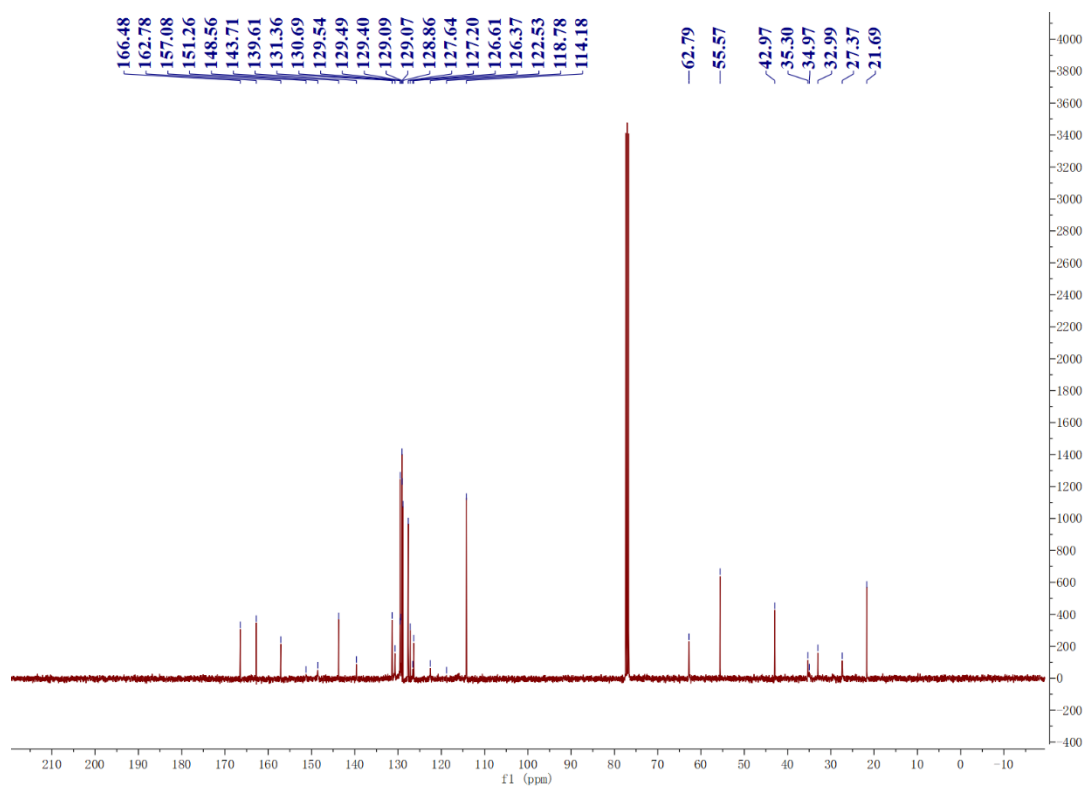
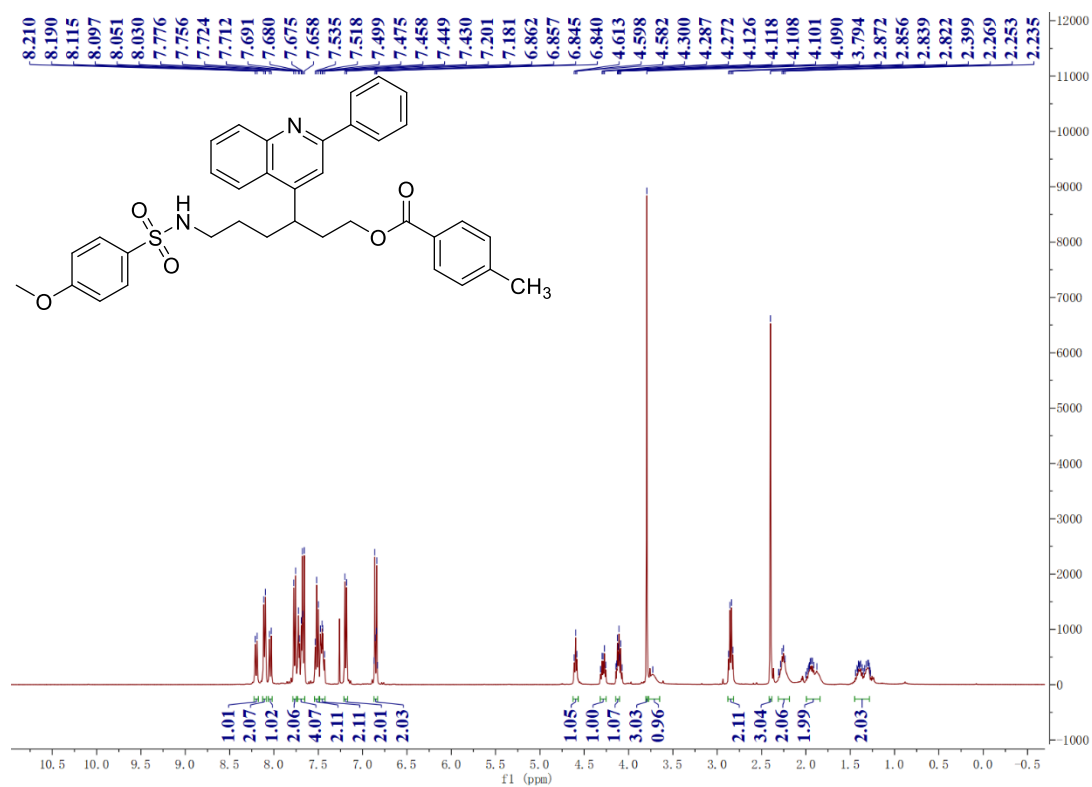
***N*-(6-chloro-4-(6-chlorobenzo[*d*]thiazol-2-yl)hexyl)-4-methoxybenzenesulfonamide (50)**



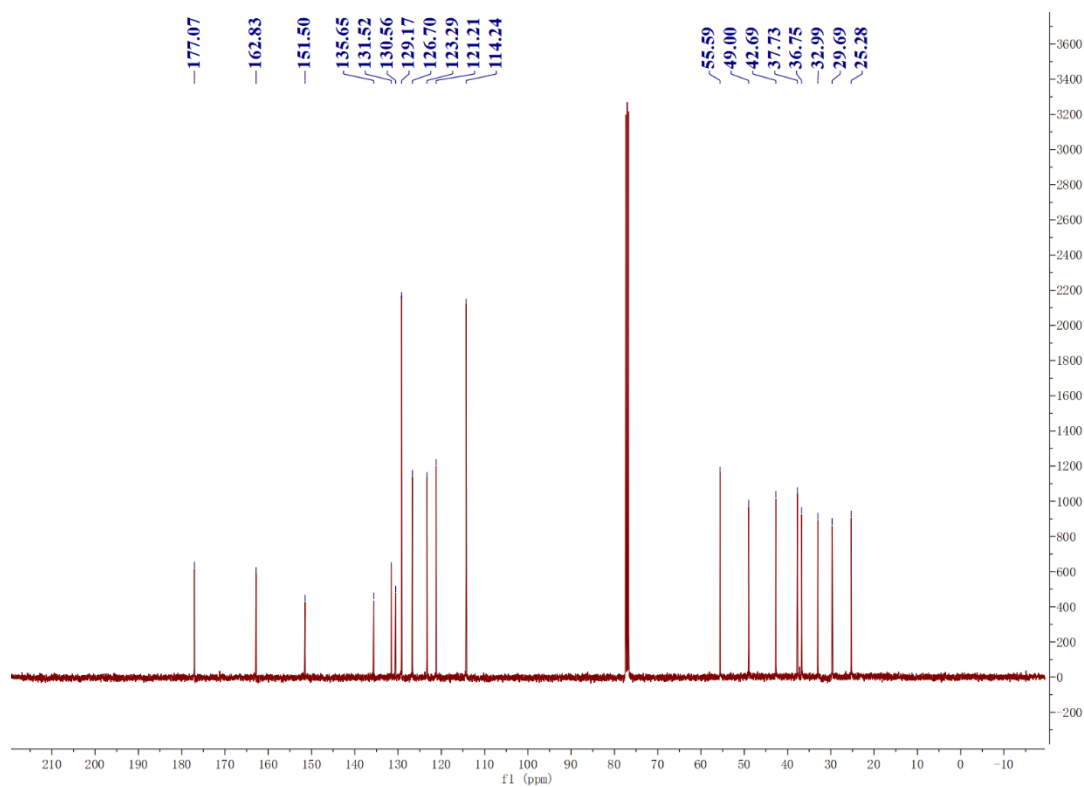
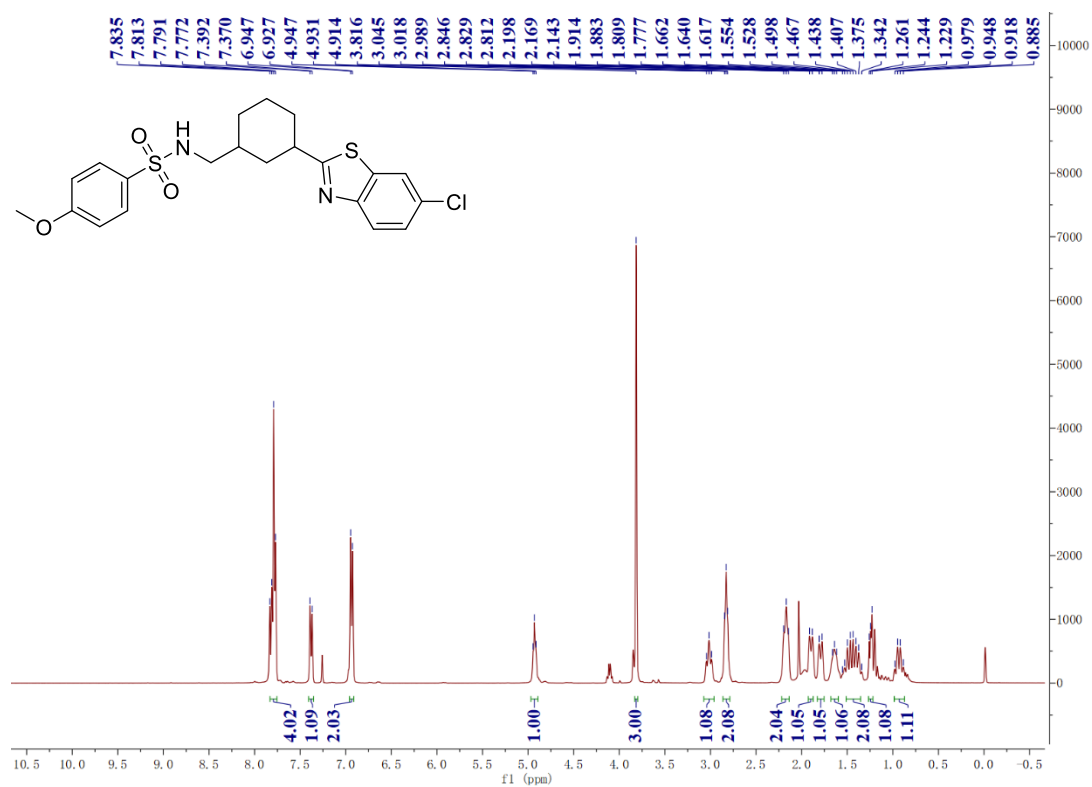
ethyl 5-(6-chlorobenzo[d]thiazol-2-yl)-8-((4-methoxyphenyl)sulfonamido)octanoate (51)



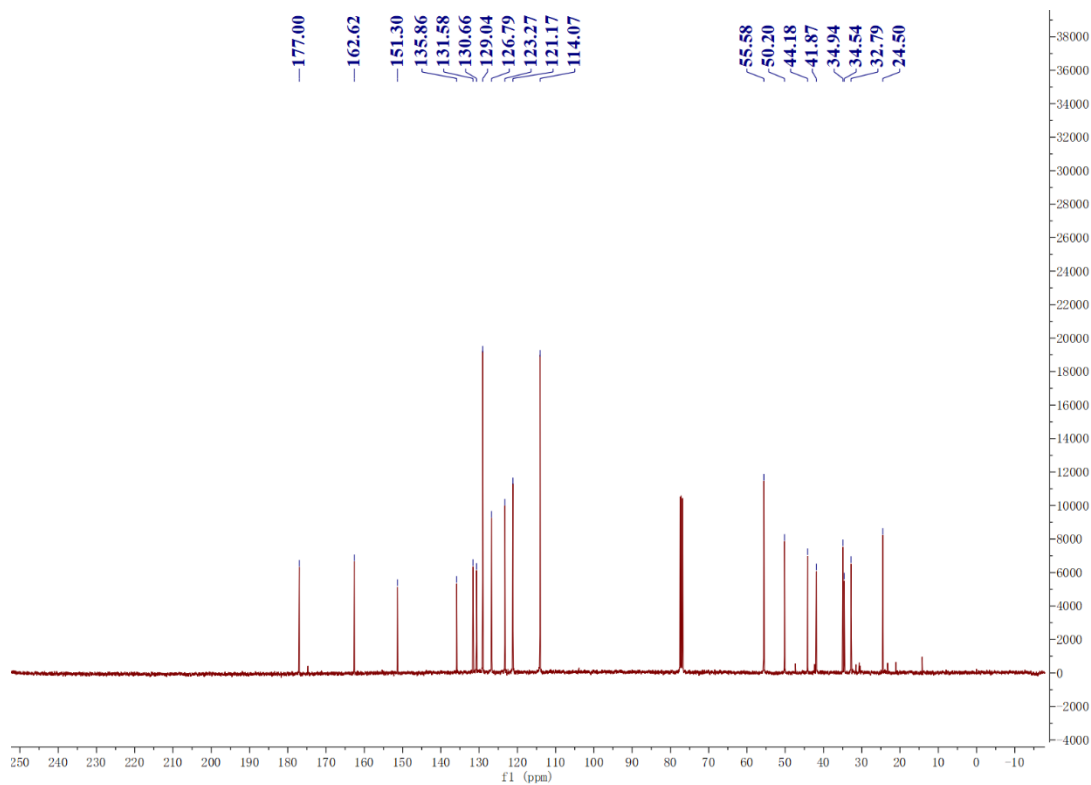
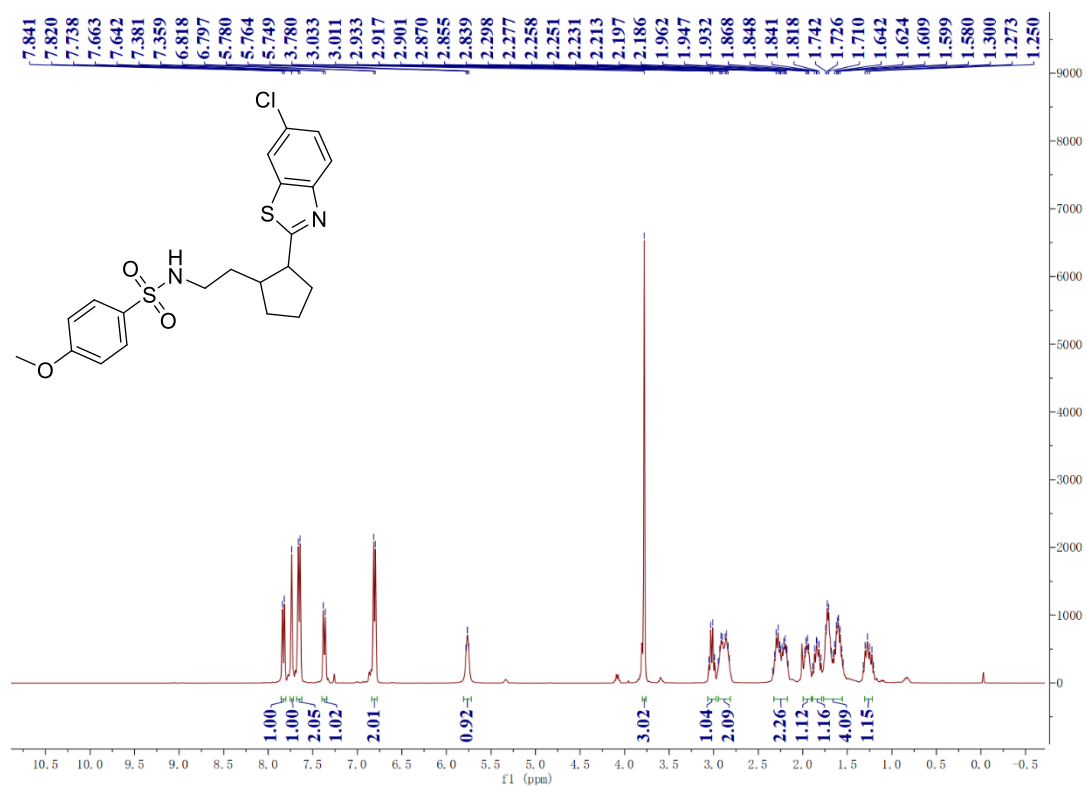
6-((4-methoxyphenyl)sulfonamido)-3-(2-phenylquinolin-4-yl)hexyl 4-methylbenzoate (52)



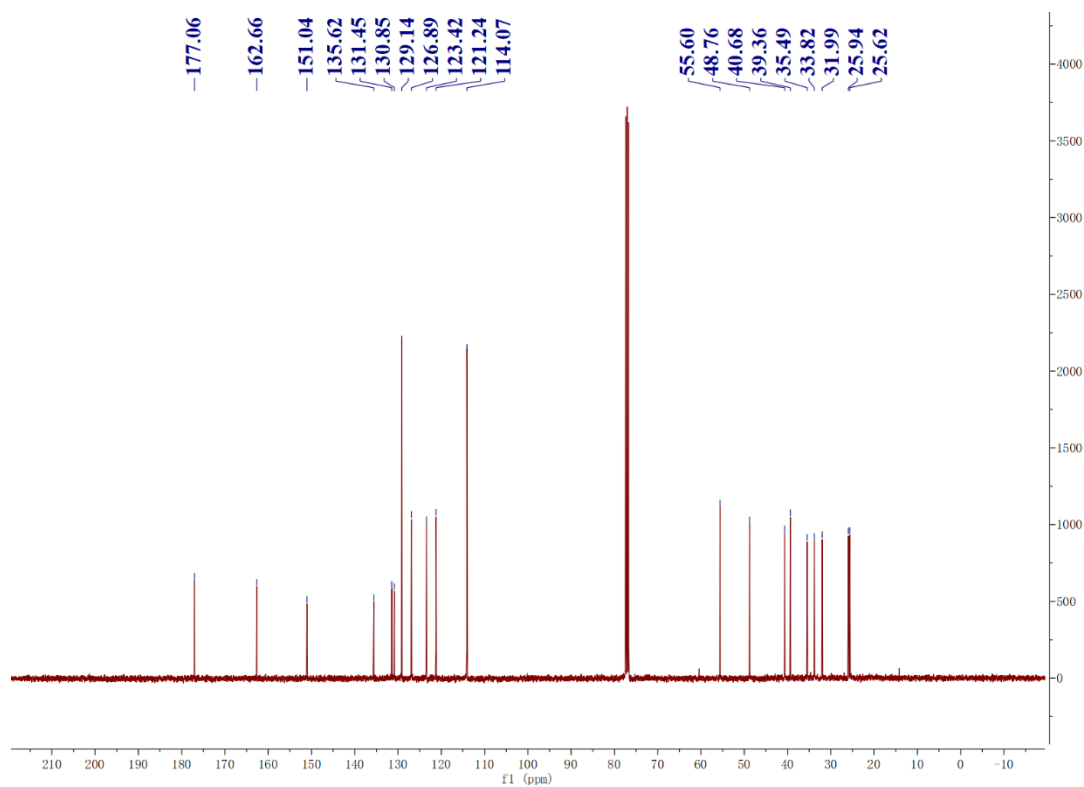
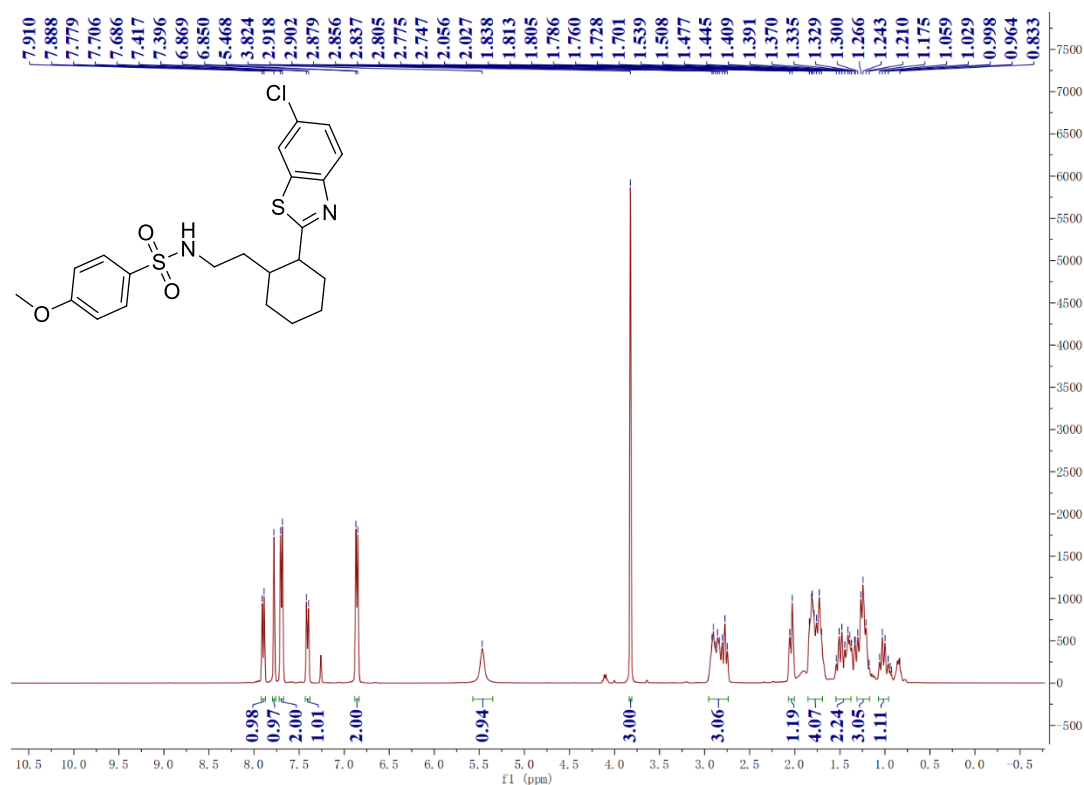
***N*-((3-(6-chlorobenzo[*d*]thiazol-2-yl)cyclohexyl)methyl)-4-methoxybenzenesulfonamide (53)**



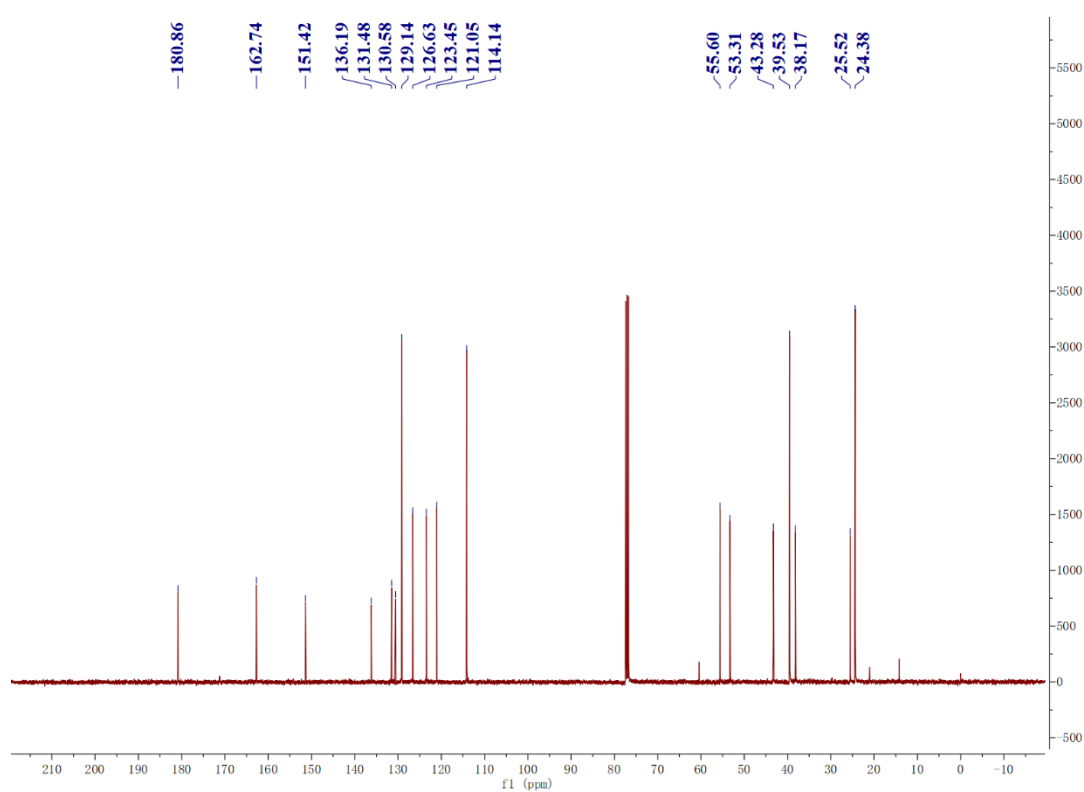
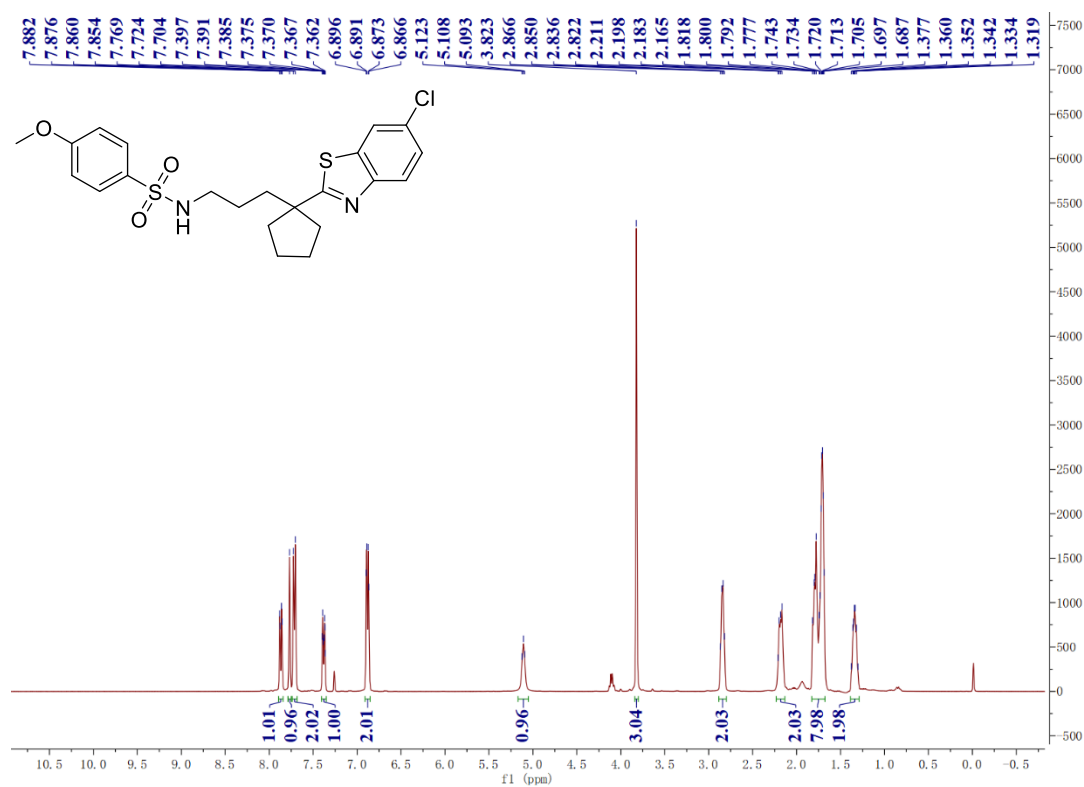
***N*-(2-(2-(6-chlorobenzo[d]thiazol-2-yl)cyclopentyl)ethyl)-4-methoxybenzenesulfonamide (54)**



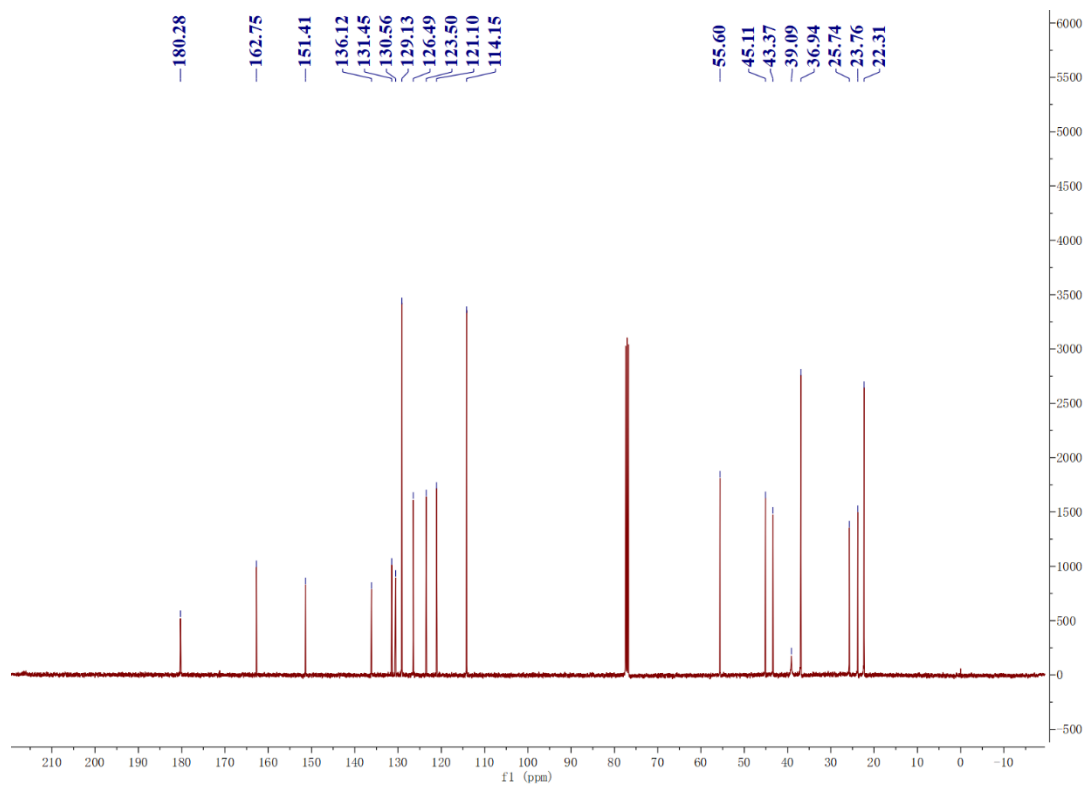
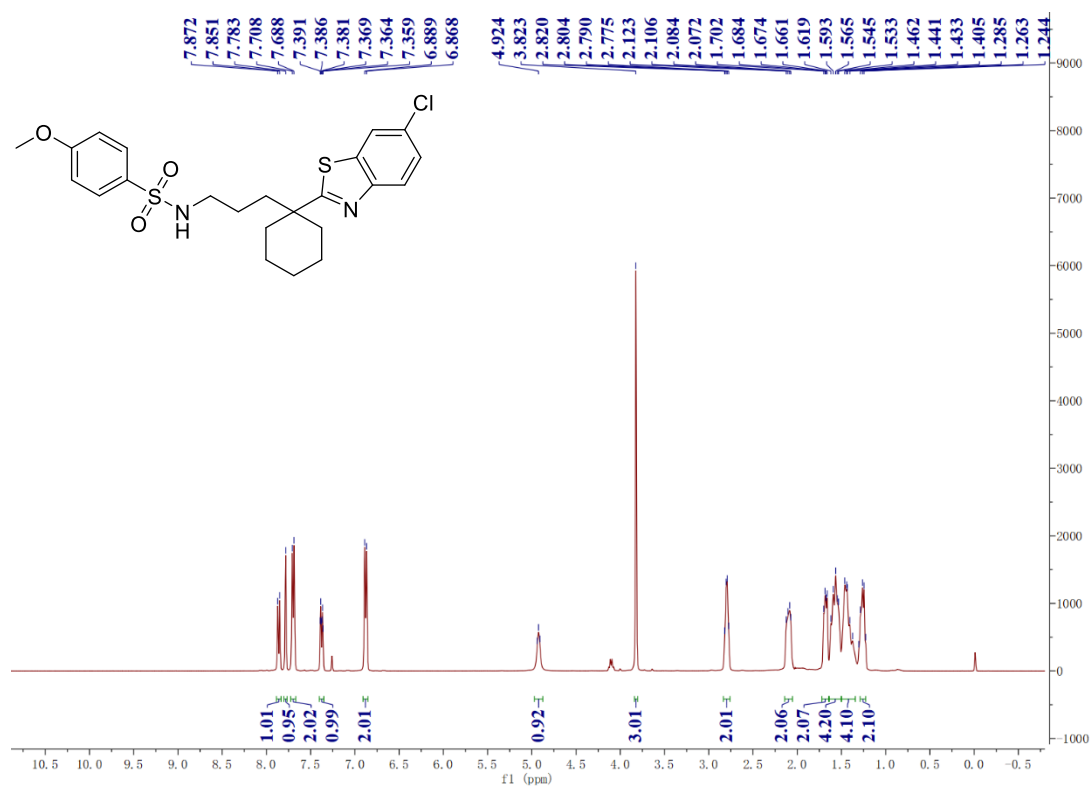
***N*-(2-(2-(6-chlorobenzo[d]thiazol-2-yl)cyclohexyl)ethyl)-4-methoxybenzenesulfonamide (55)**



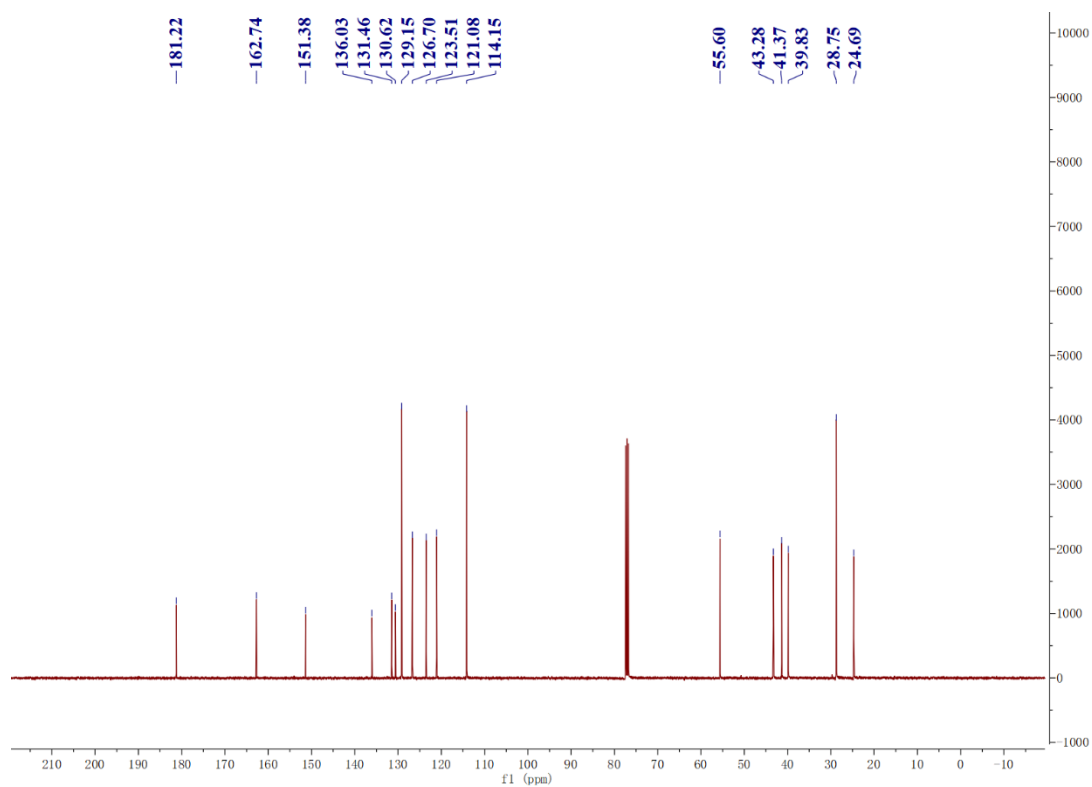
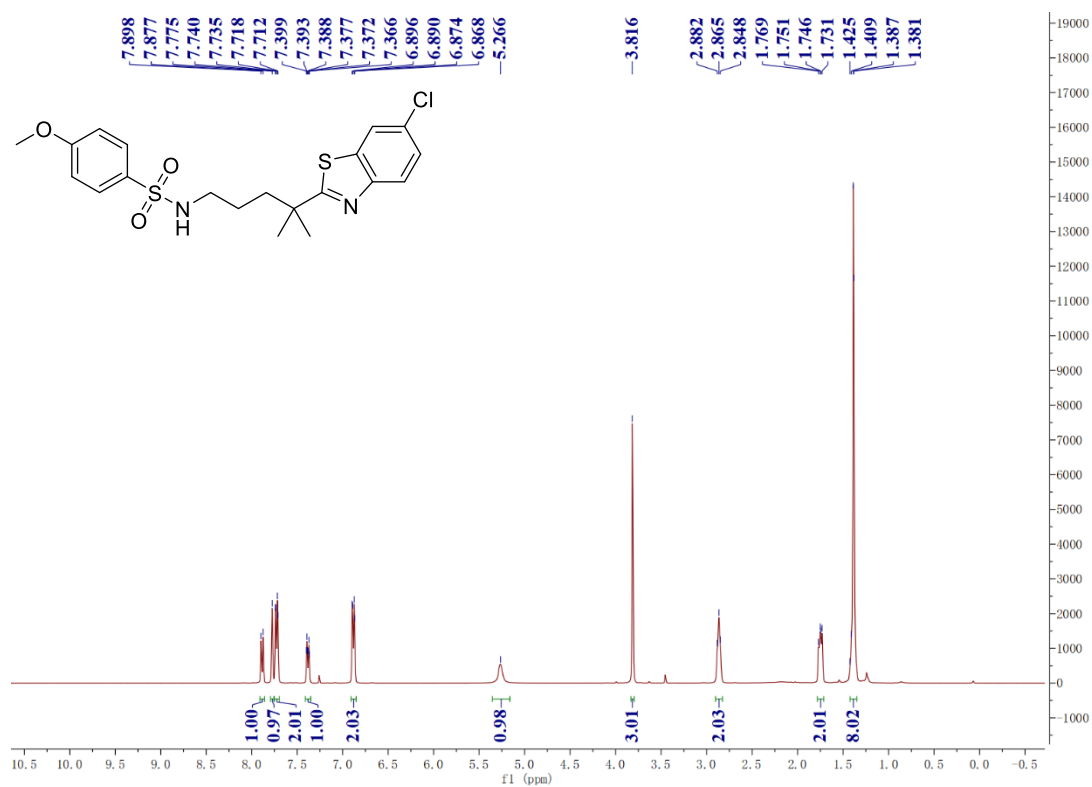
***N*-(3-(1-(6-chlorobenzo[d]thiazol-2-yl)cyclopentyl)propyl)-4-methoxybenzenesulfonamide (56)**



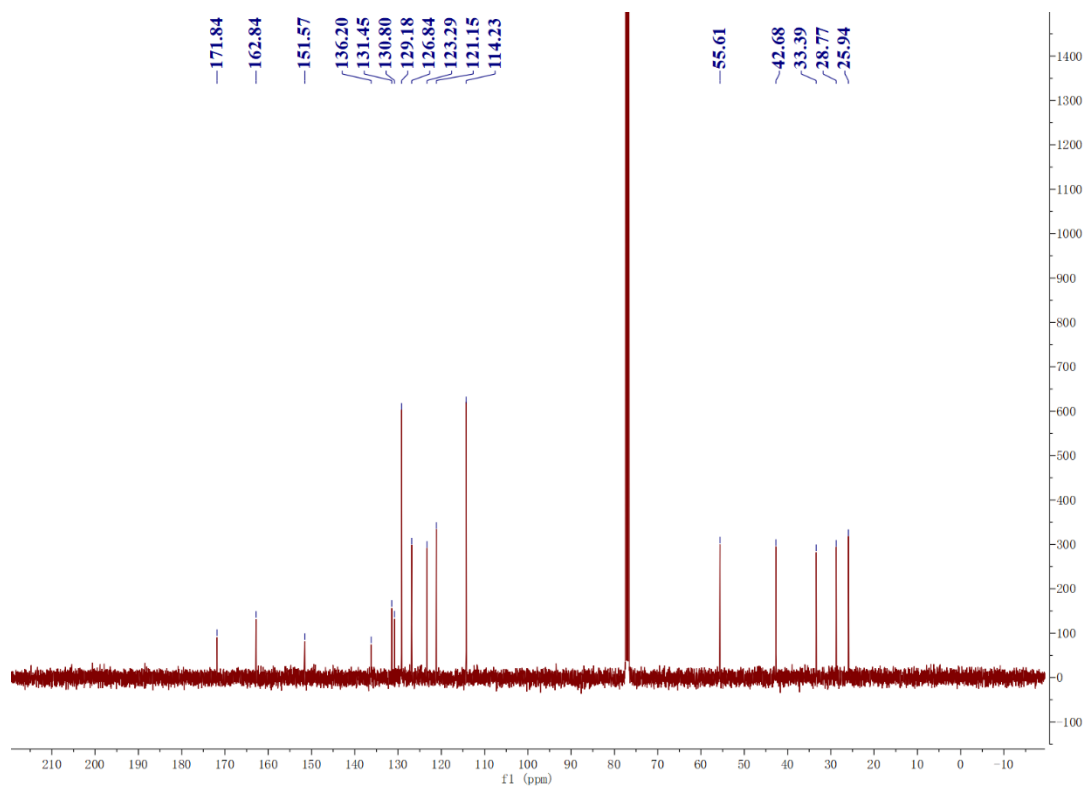
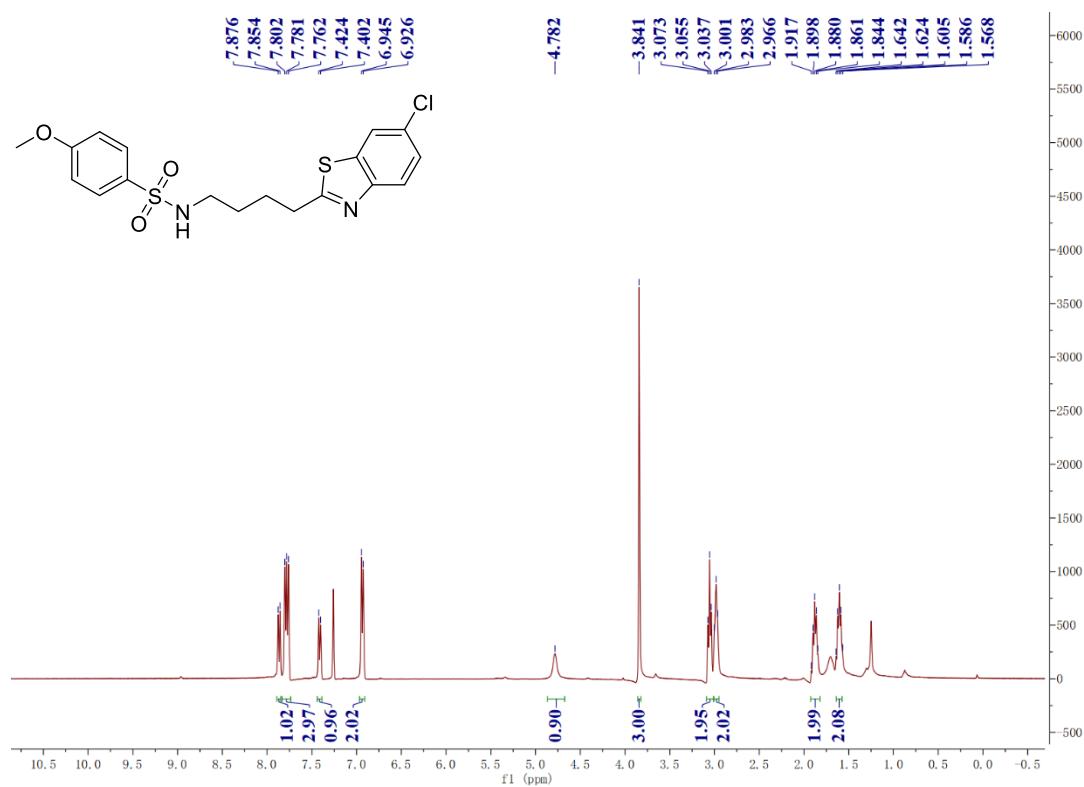
***N*-(3-(1-(6-chlorobenzo[d]thiazol-2-yl)cyclohexyl)propyl)-4-methoxybenzenesulfonamide (57)**



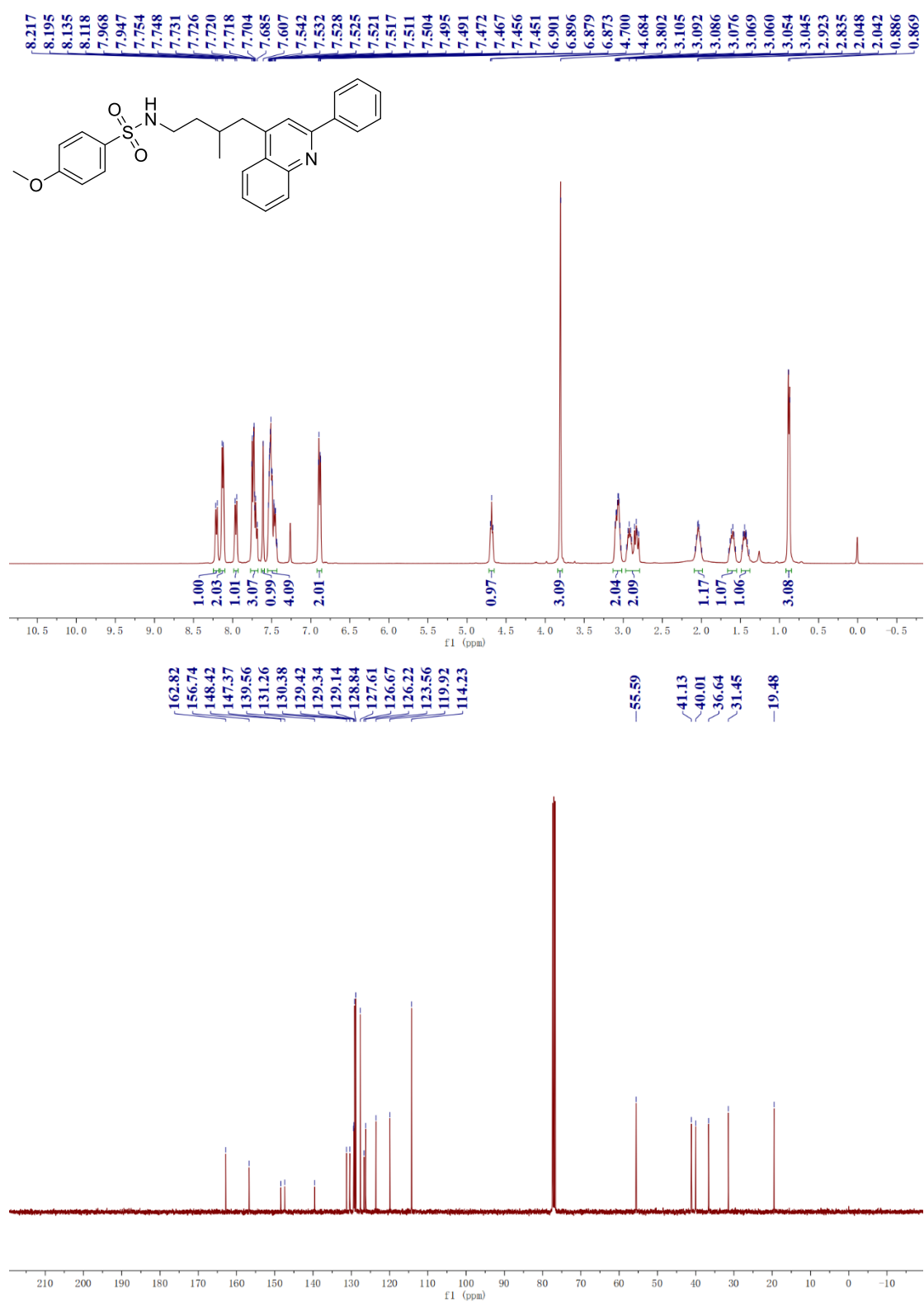
***N*-(4-(6-chlorobenzo[*d*]thiazol-2-yl)-4-methylpentyl)-4-methoxybenzenesulfonamide (58)**



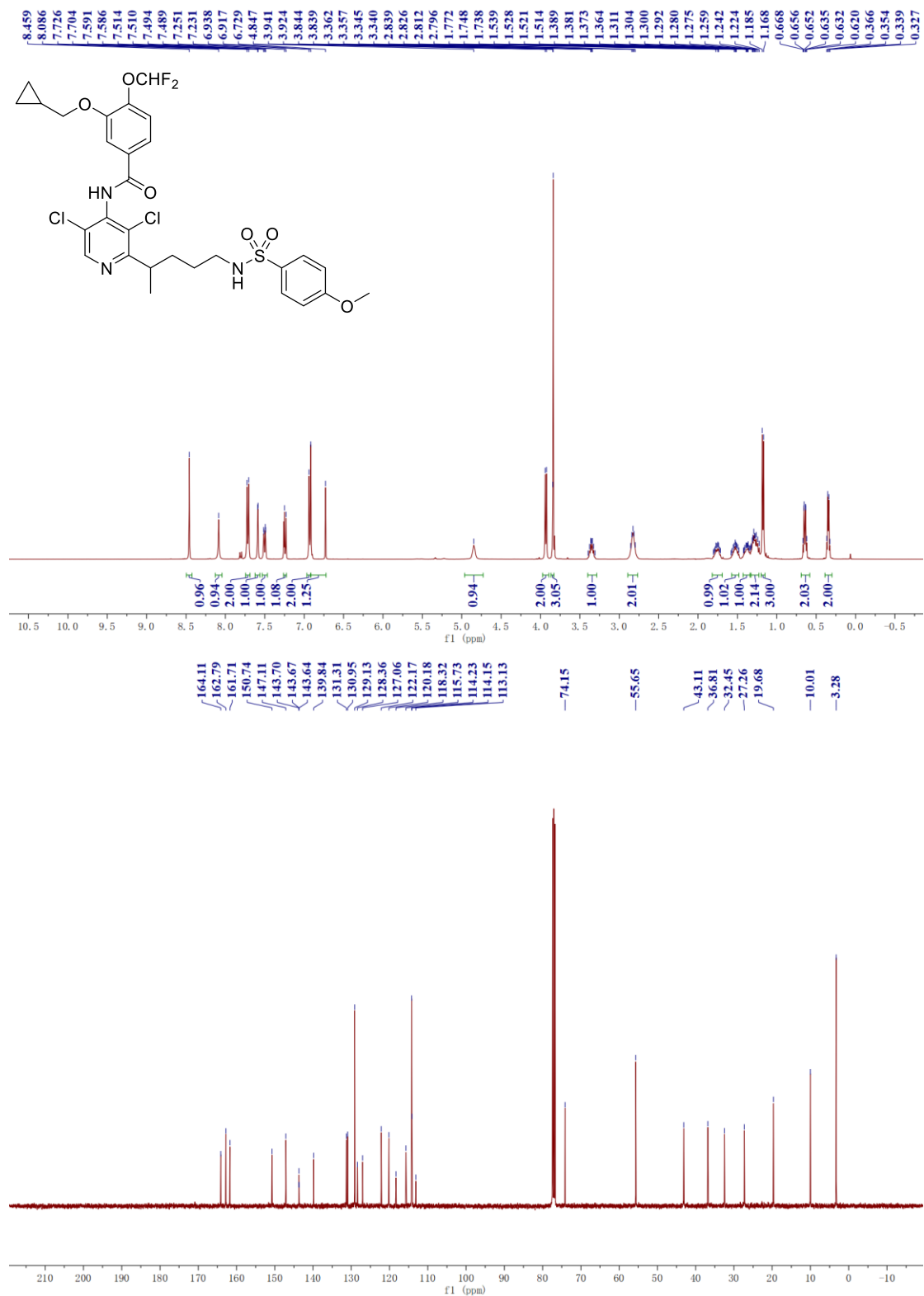
***N*-(4-(6-chlorobenzo[d]thiazol-2-yl)butyl)-4-methoxybenzenesulfonamide (59)**

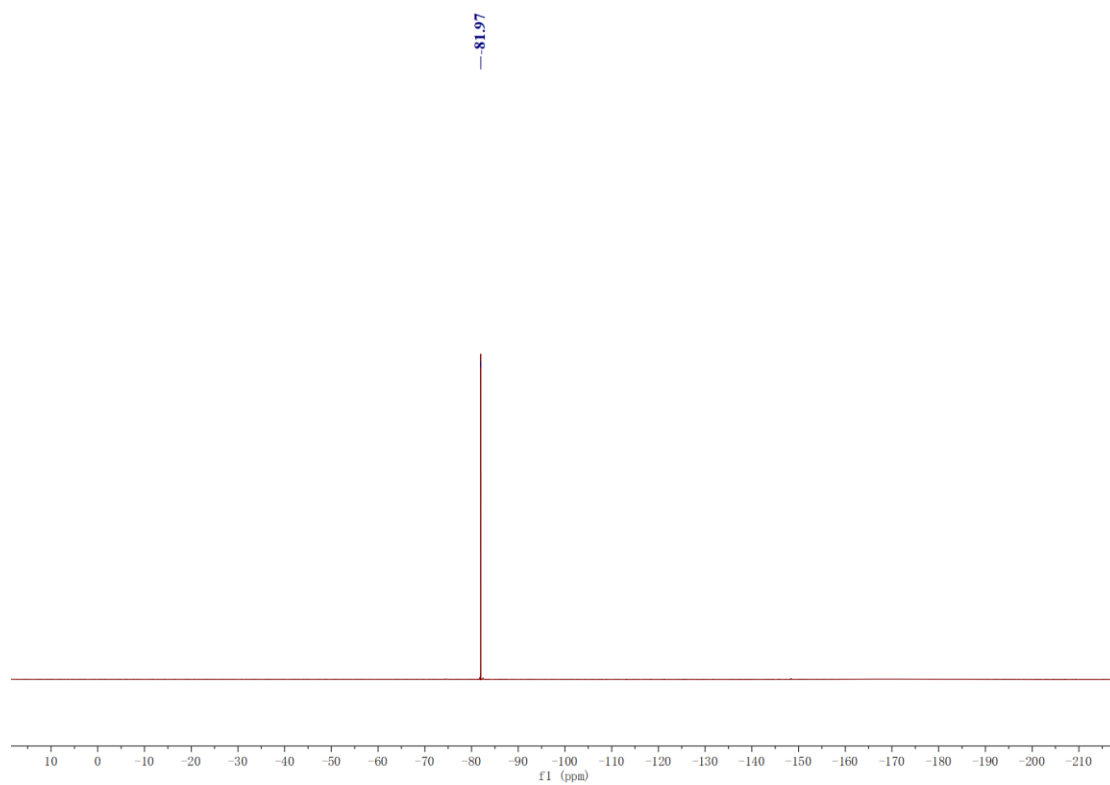


4-methoxy-*N*-(3-methyl-4-(2-phenylquinolin-4-yl)butyl)benzenesulfonamide (60)

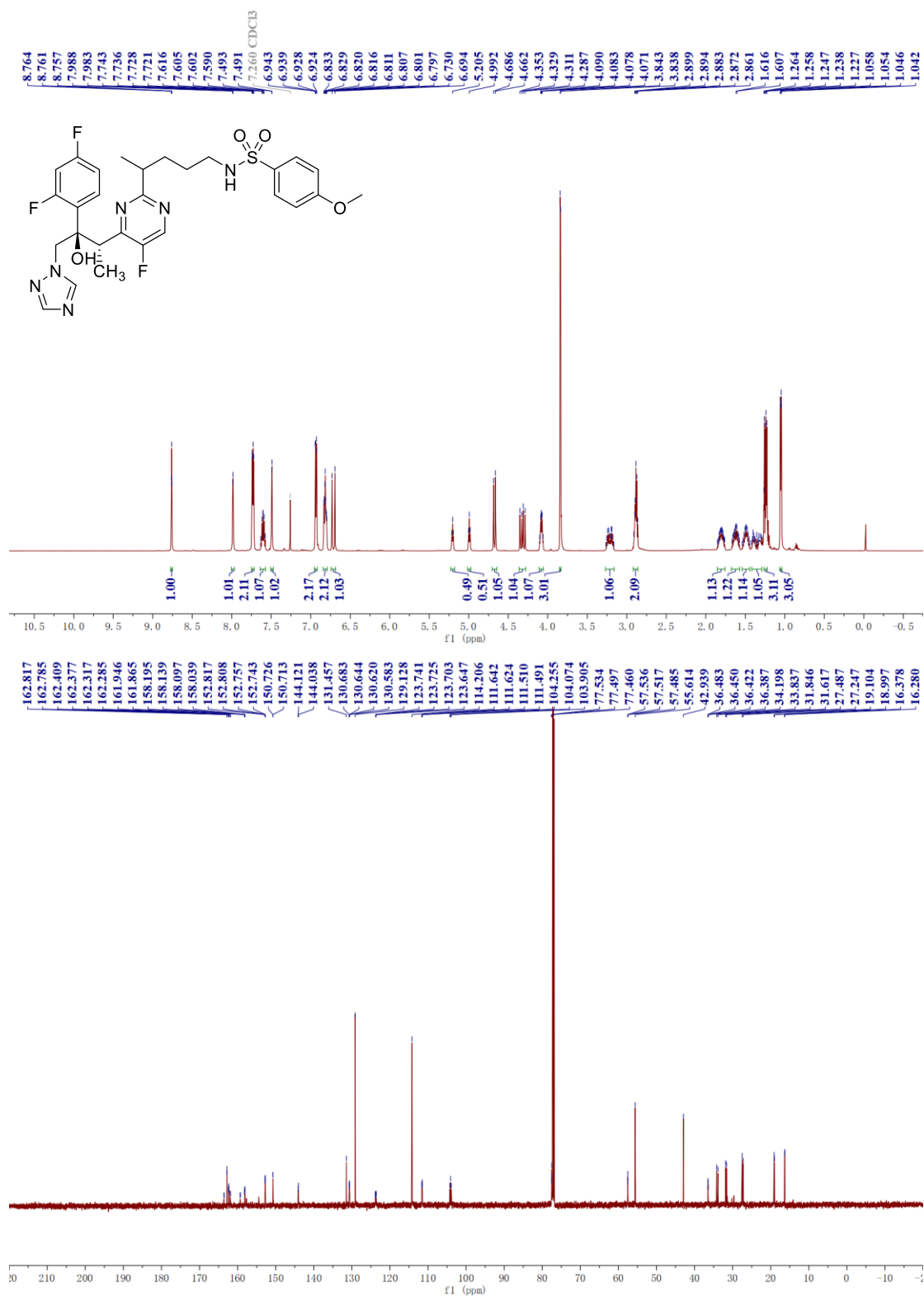


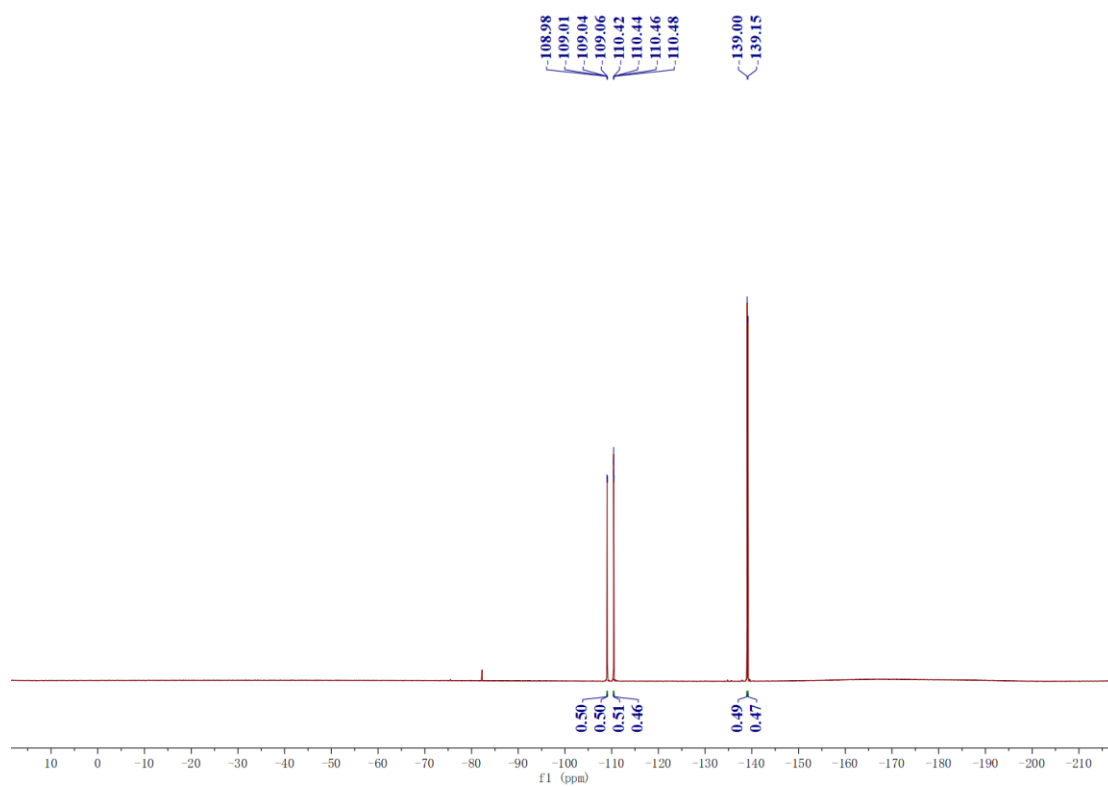
3-(cyclopropylmethoxy)-*N*-(3,5-dichloro-2-(5-((4-methoxyphenyl)sulfonamido)pentan-2-yl)pyridin-4-yl)-4-(difluoromethoxy)benzamide (61)





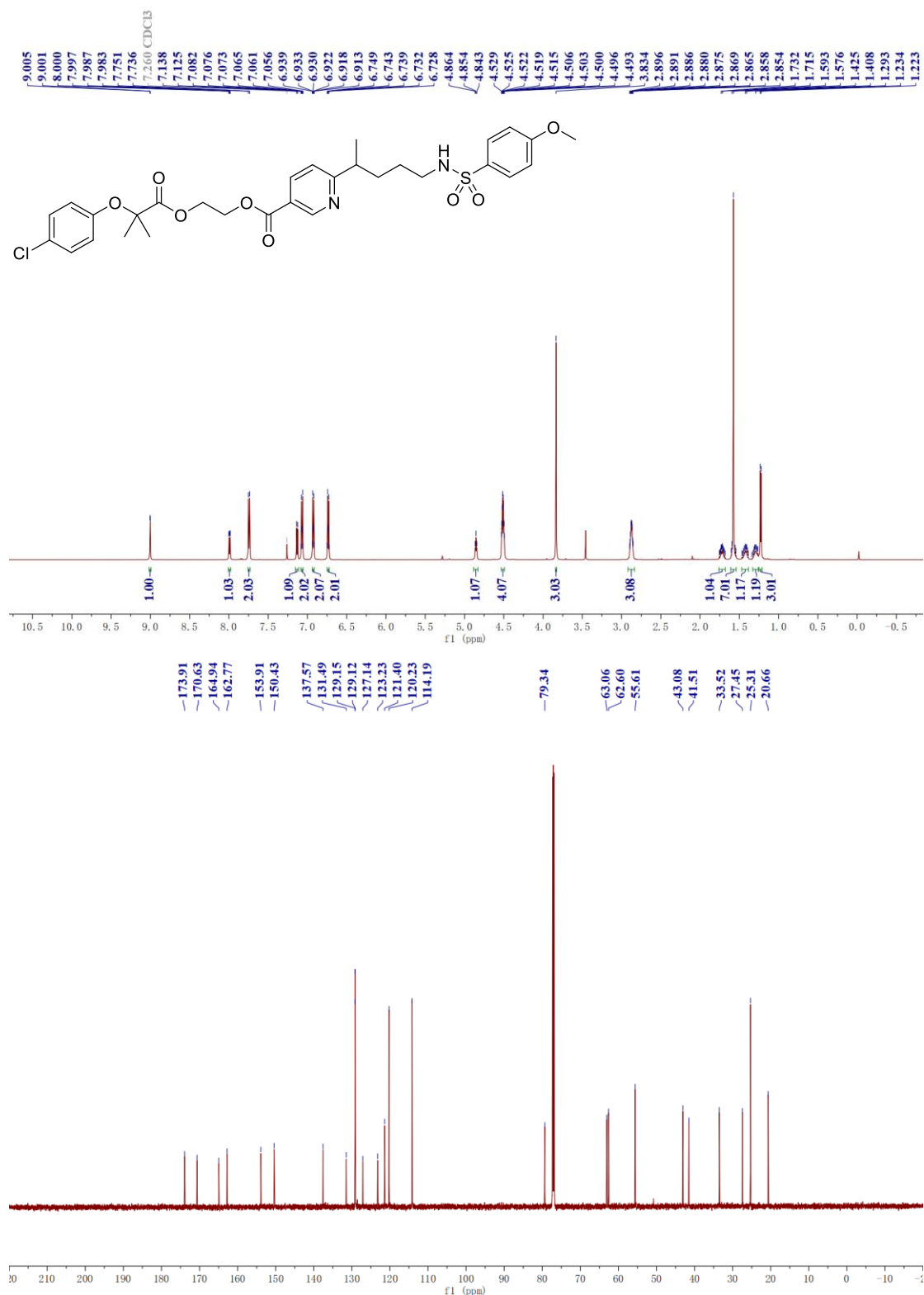
N-(4-(4-((2*S*,3*R*)-3-(2,4-difluorophenyl)-3-hydroxy-4-(1*H*-1,2,4-triazol-1-yl)butan-2-yl)-5-fluoropyrimidin-2-yl)pentyl)-4-methoxybenzenesulfonamide (62)





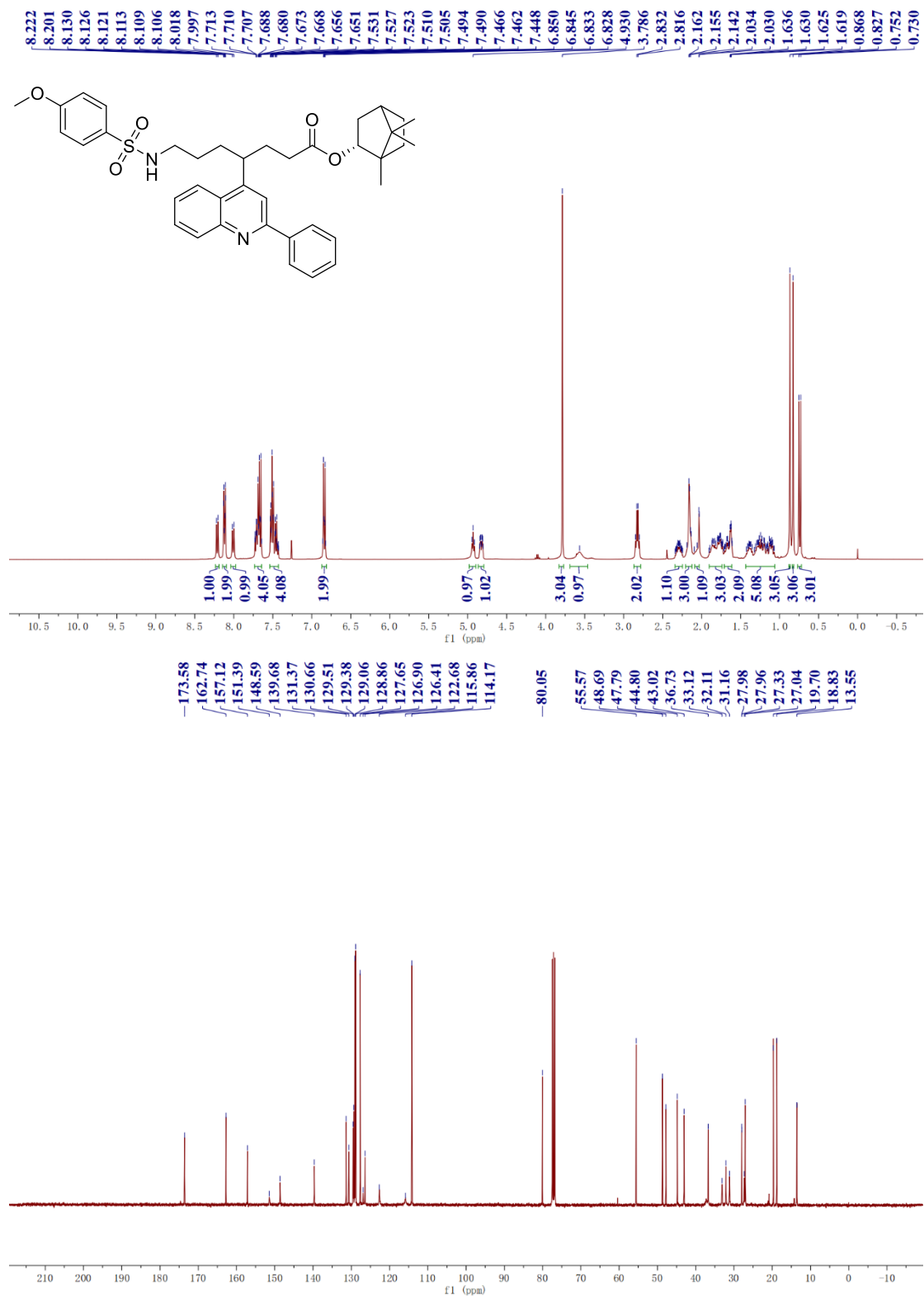
2-((2-(4-chlorophenoxy)-2-methylpropanoyl)oxy)ethyl
methoxyphenyl)sulfonamido)pentan-2-yl)nicotinate (63)

6-(5-((4-



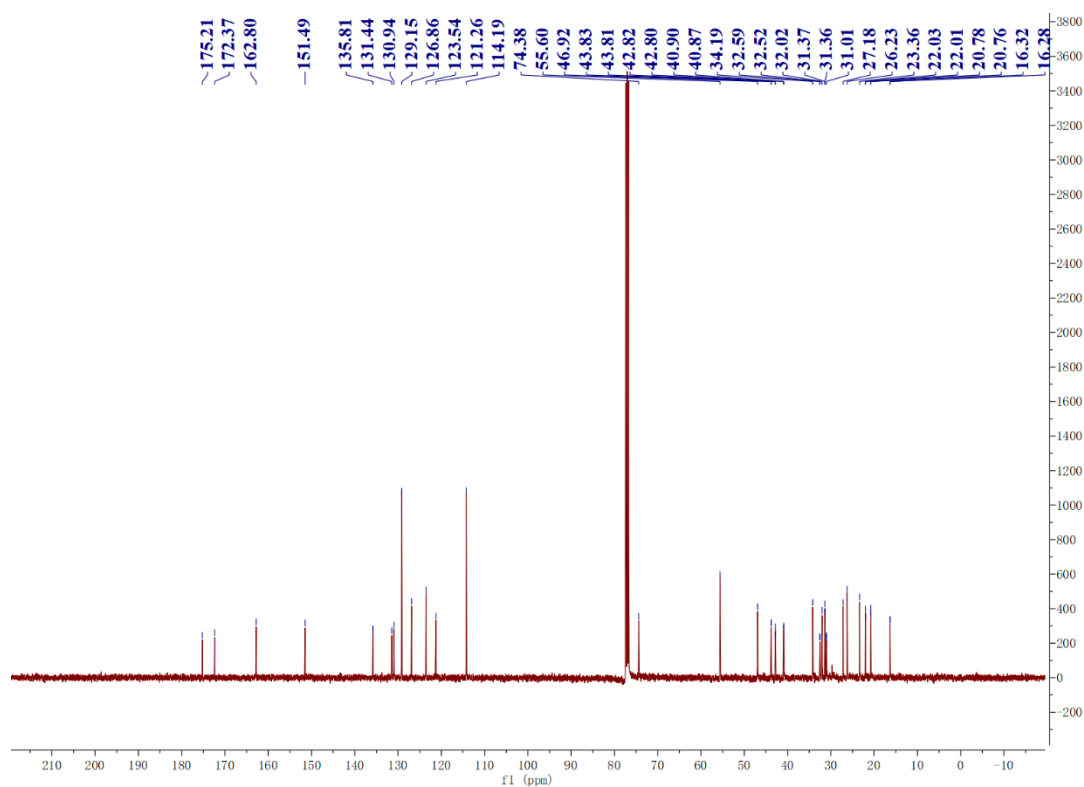
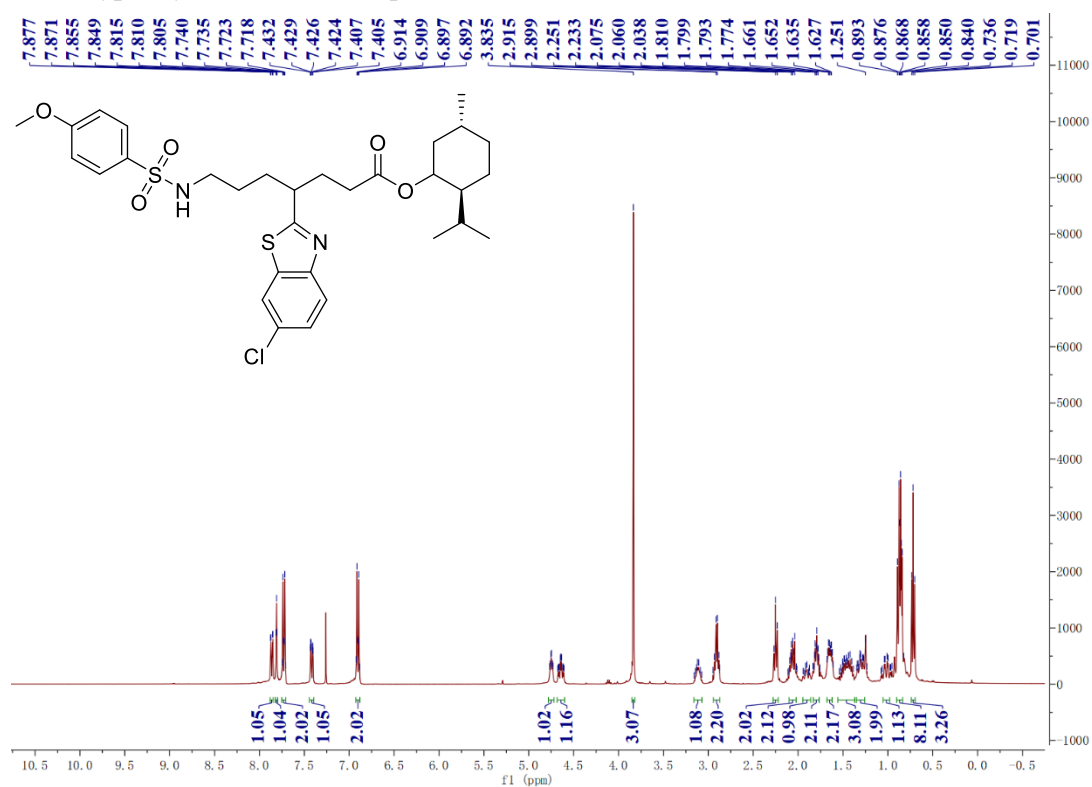
**(2R)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl
phenylquinolin-4-yl)heptanoate (64)**

7-((4-methoxyphenyl)sulfonamido)-4-(2-

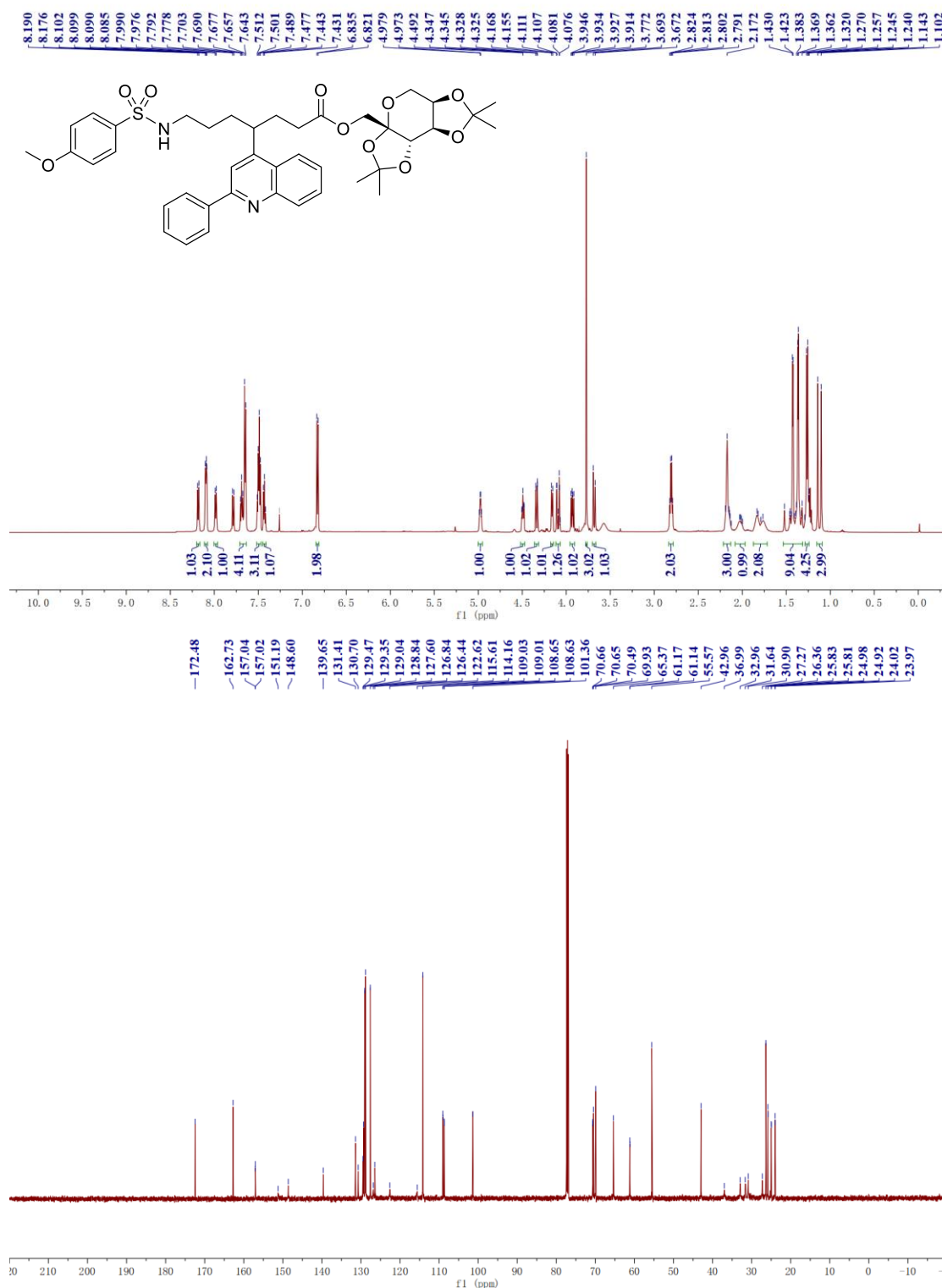


**(2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl
methoxyphenyl)sulfonamido)heptanoate (65)**

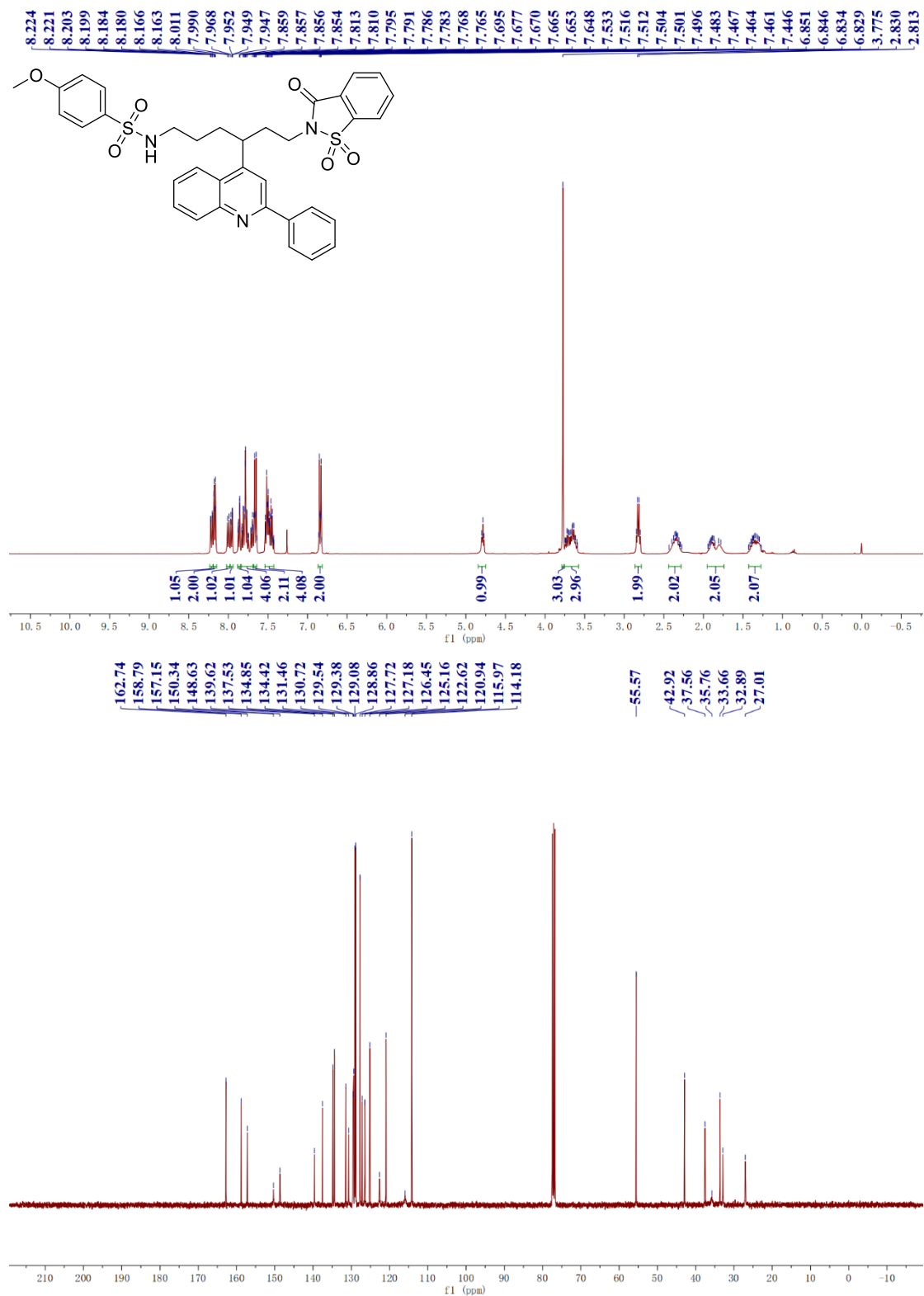
4-(6-chlorobenzo[*d*]thiazol-2-yl)-7-((4-



((3a*S*,5a*R*,8a*R*,8b*S*)-2,2,7,7-tetramethyltetrahydro-3a*H*-bis([1,3]dioxolo)[4,5-*b*:4',5'-*d*]pyran-3a-yl)methyl 7-((4-methoxyphenyl)sulfonamido)-4-(2-phenylquinolin-4-yl)heptanoate (66)

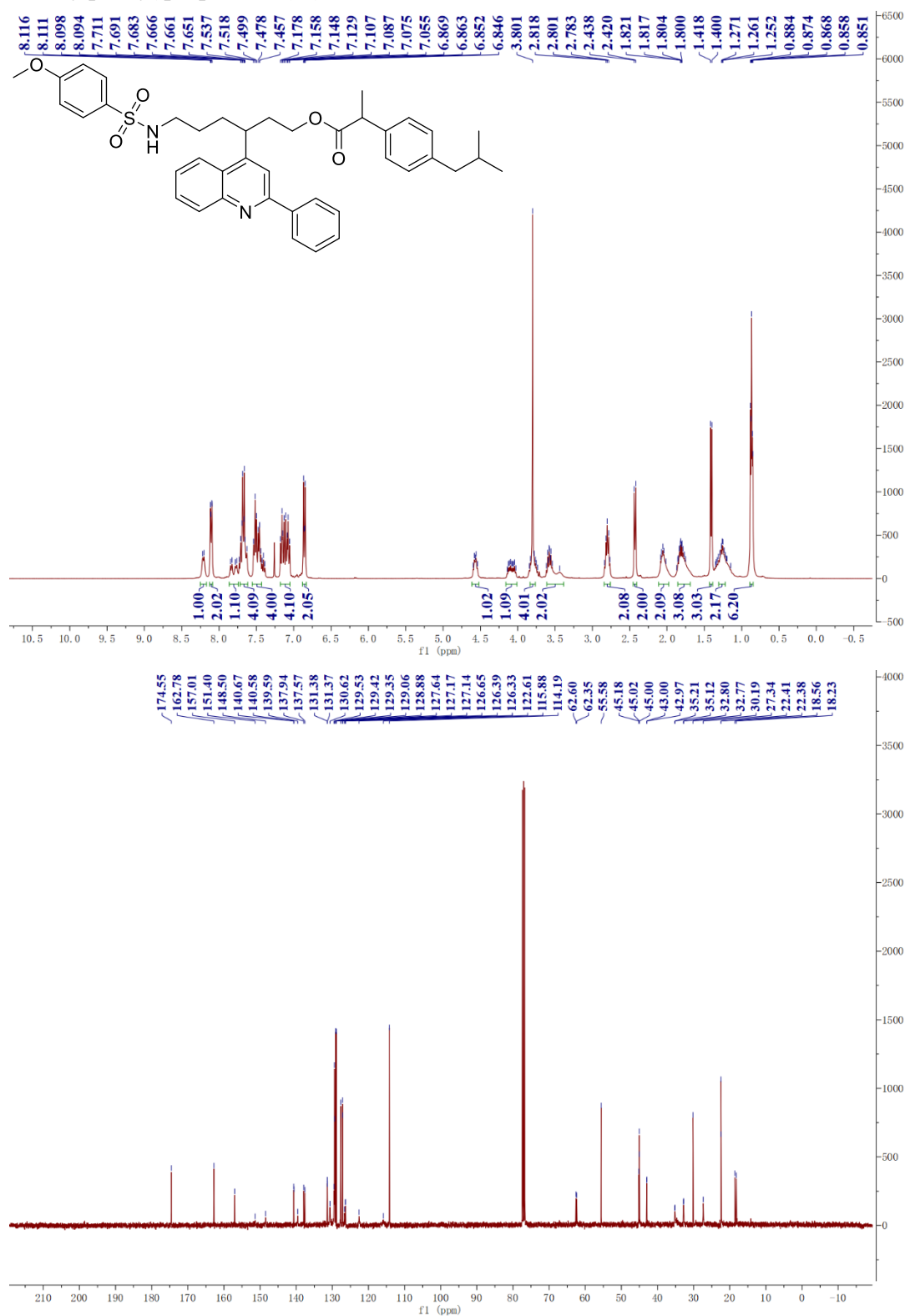


***N*-(6-(1,1-dioxido-3-oxobenzo[*d*]isothiazol-2(3*H*)-yl)-4-(2-phenylquinolin-4-yl)hexyl)-4-methoxybenzenesulfonamide (67)**

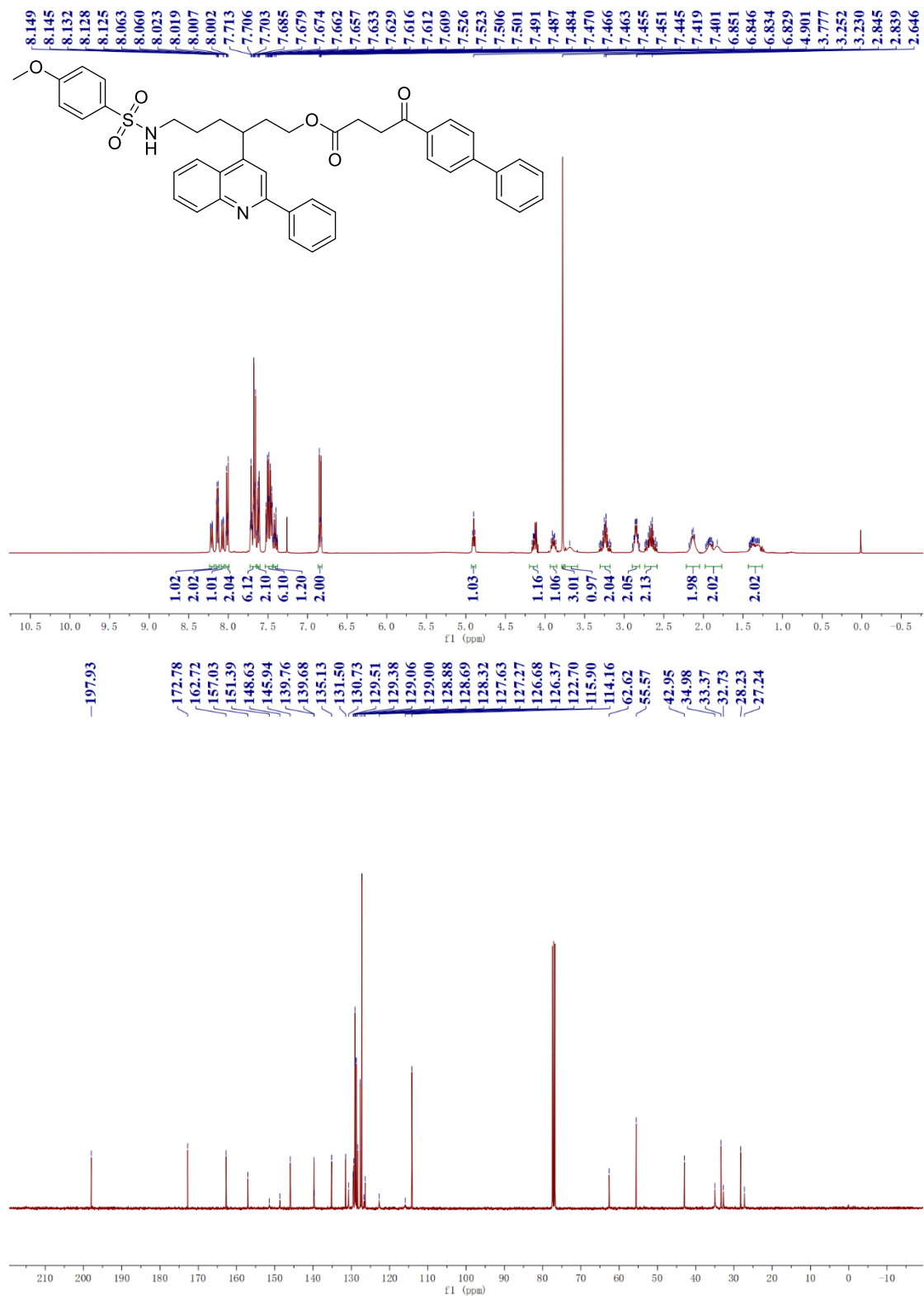


**6-((4-methoxyphenyl)sulfonamido)-3-(2-phenylquinolin-4-yl)hexyl
isobutylphenyl)propanoate (68)**

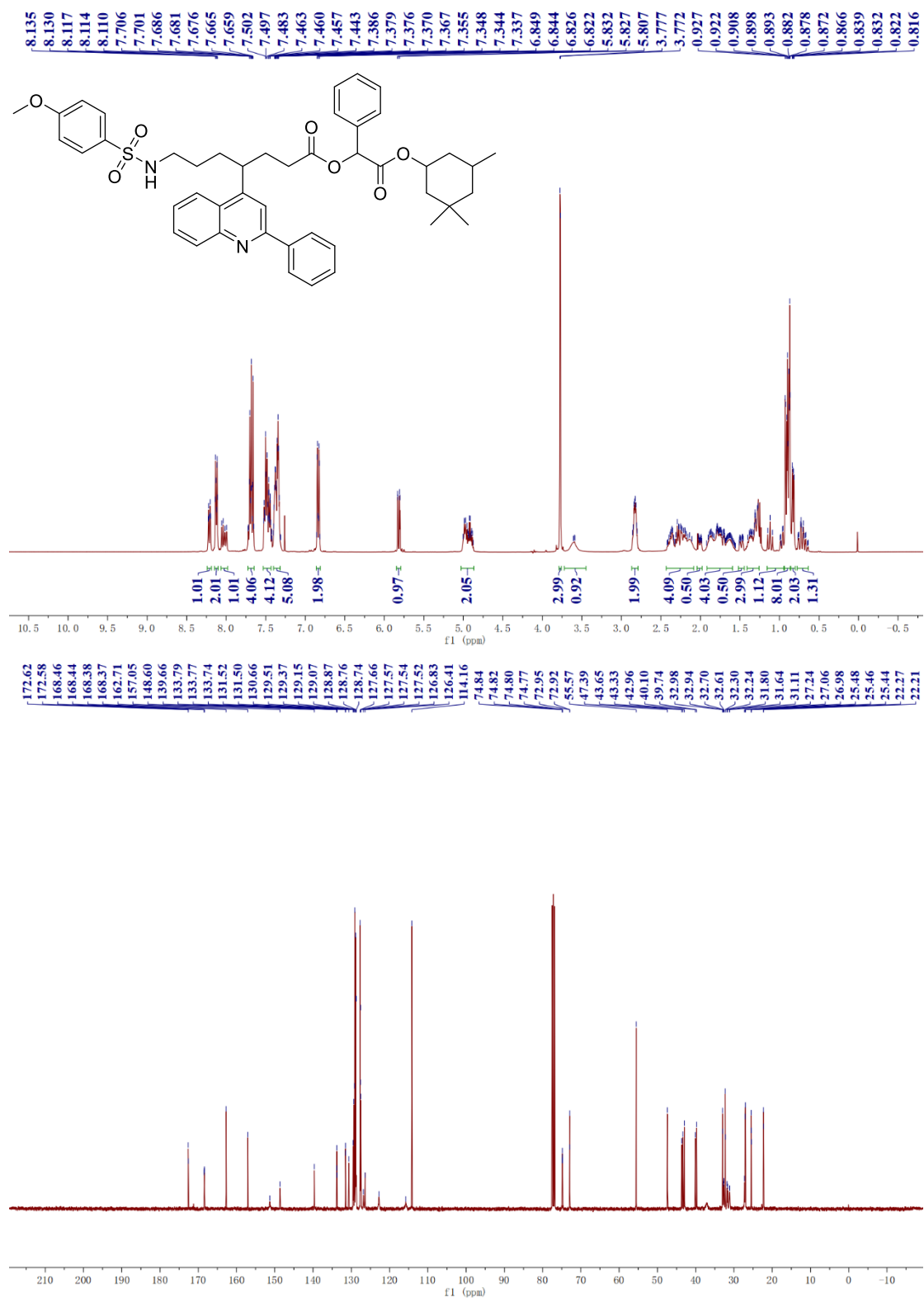
2-(4-



6-((4-methoxyphenyl)sulfonamido)-3-(2-phenylquinolin-4-yl)hexyl 4-([1,1'-biphenyl]-4-yl)-4-oxobutanoate (69)

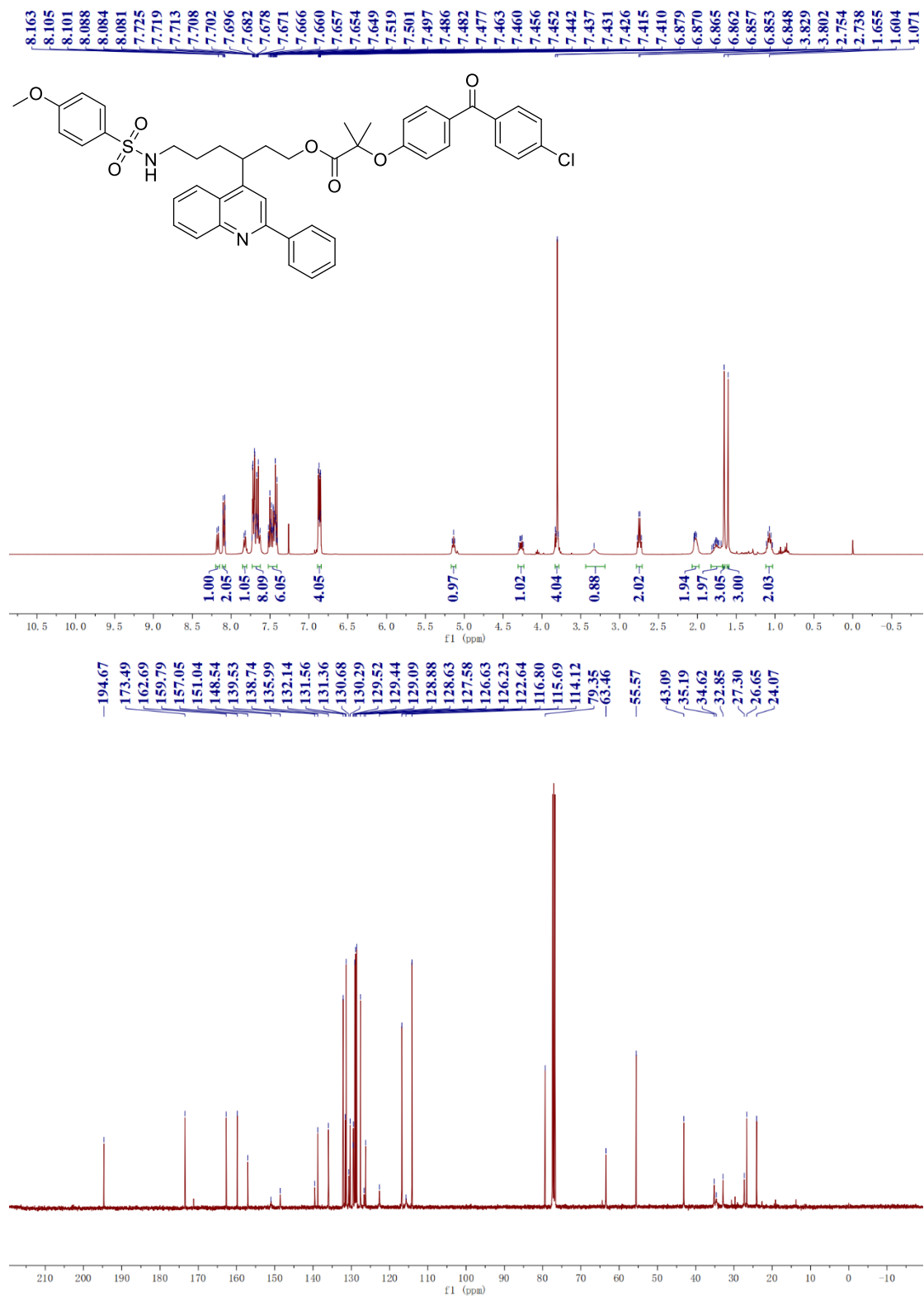


2-oxo-1-phenyl-2-((3,3,5-trimethylcyclohexyl)oxy)ethyl 7-((4-methoxyphenyl)sulfonamido)-4-(2-phenylquinolin-4-yl)heptanoate (70)

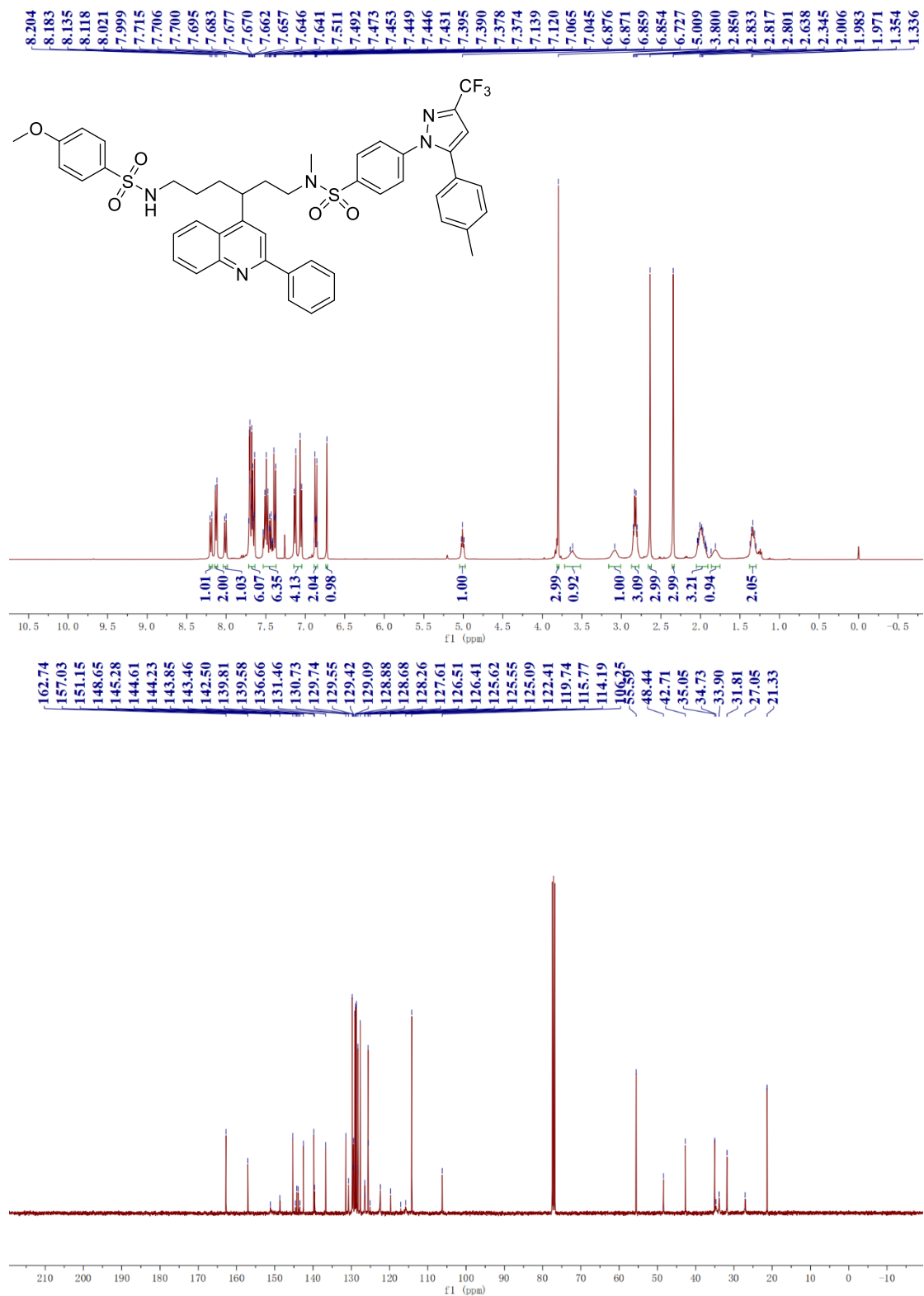


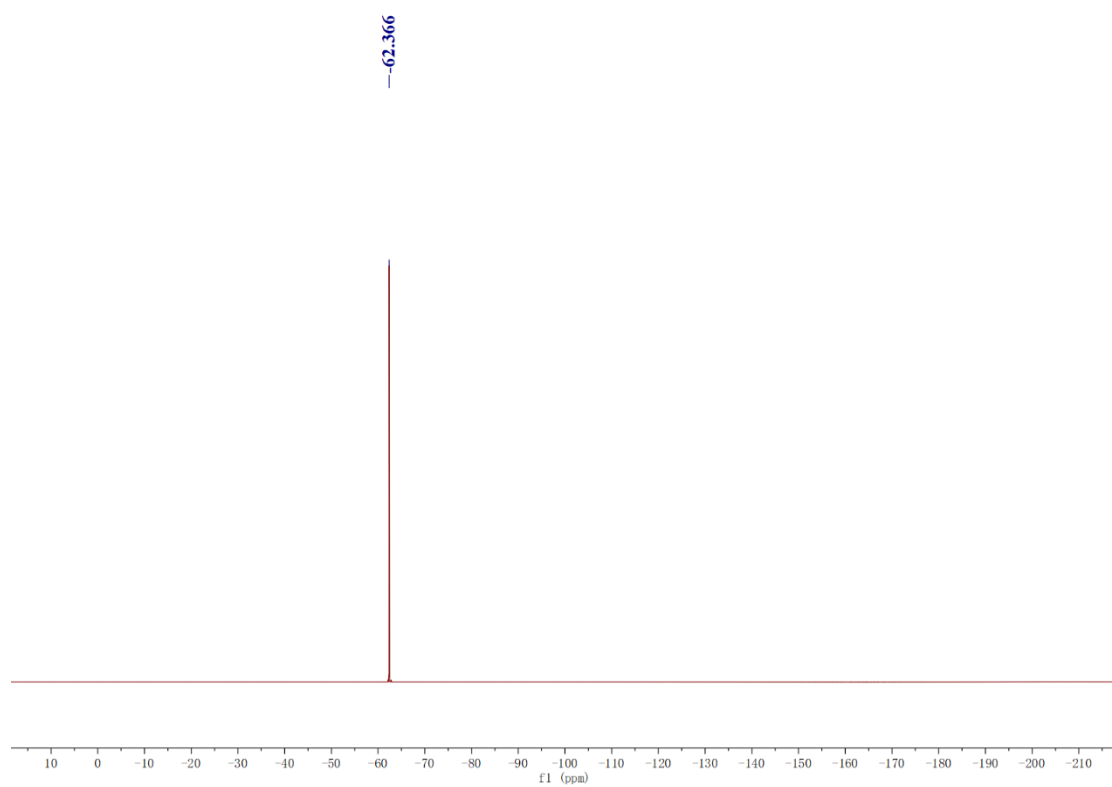
**6-((4-methoxyphenyl)sulfonamido)-3-(2-phenylquinolin-4-yl)hexyl
chlorobenzoyl)phenoxy)-2-methylpropanoate (71)**

2-(4-(4-

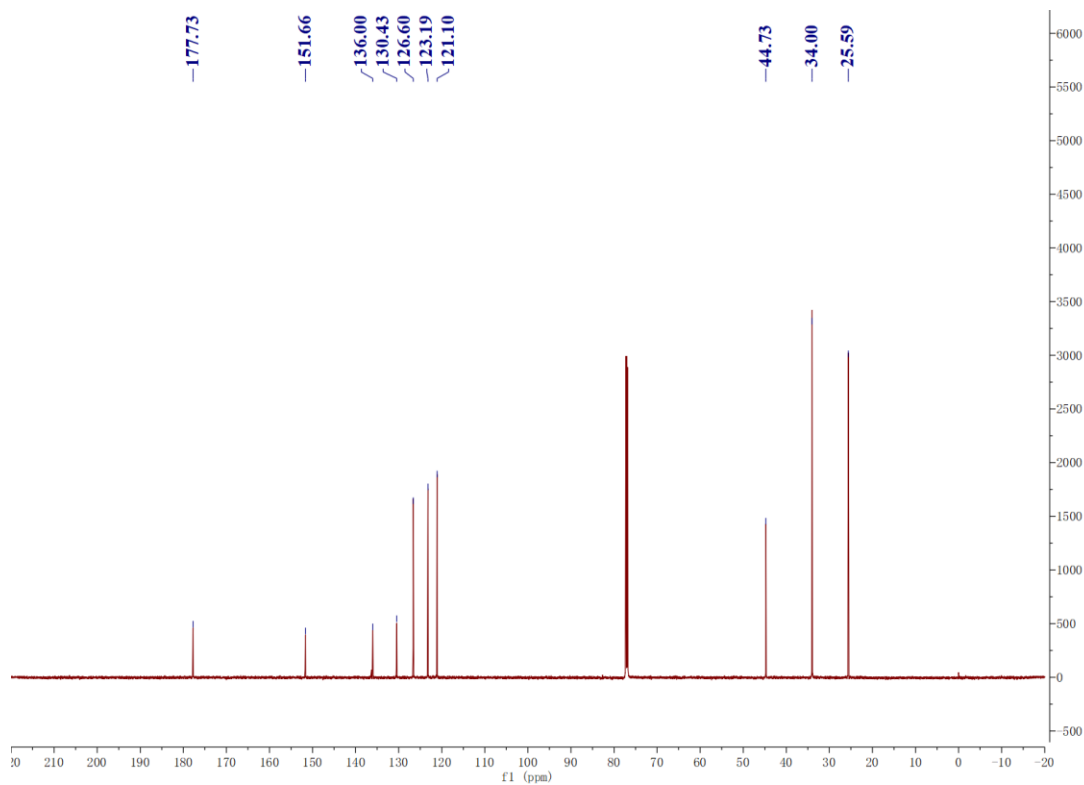
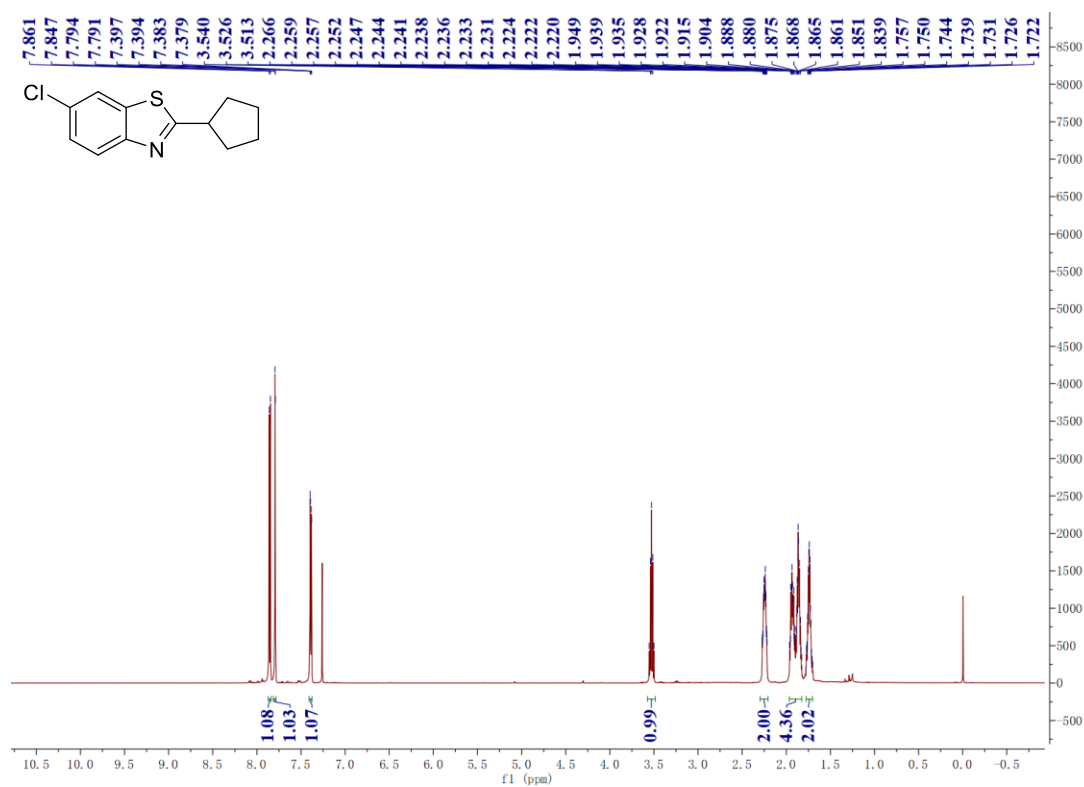


***N*-(6-((4-methoxyphenyl)sulfonamido)-3-(2-phenylquinolin-4-yl)hexyl)-*N*-methyl-4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)benzenesulfonamide (72)**

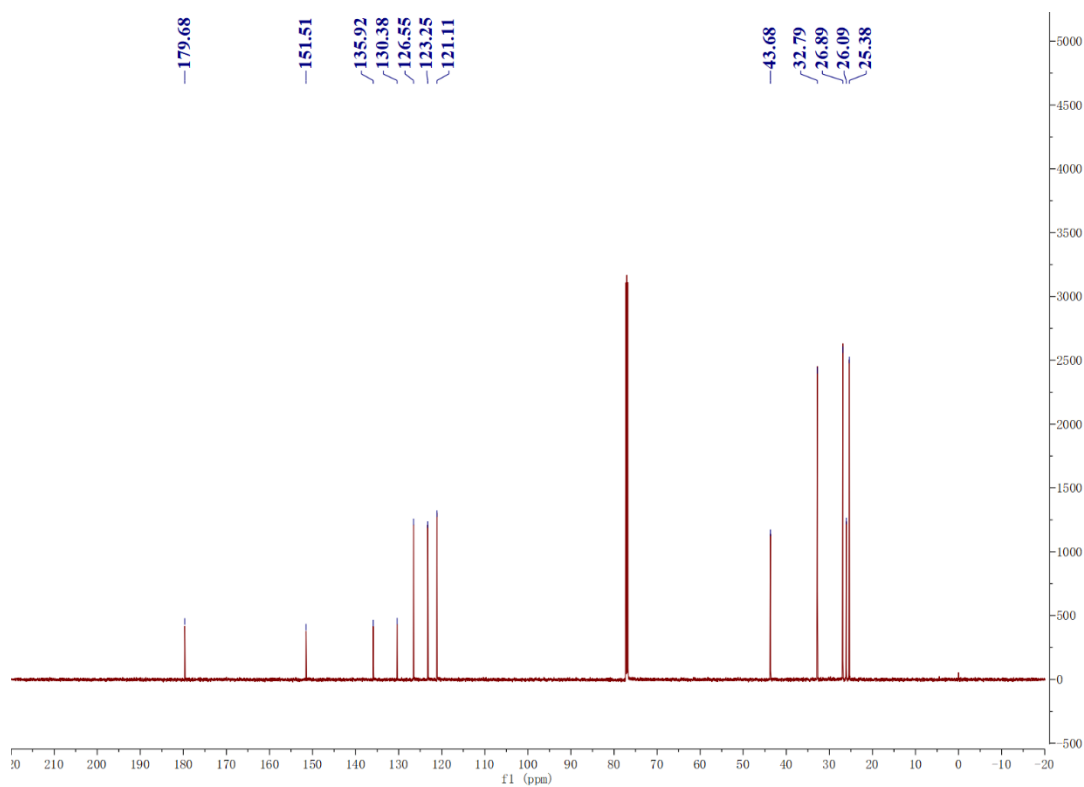
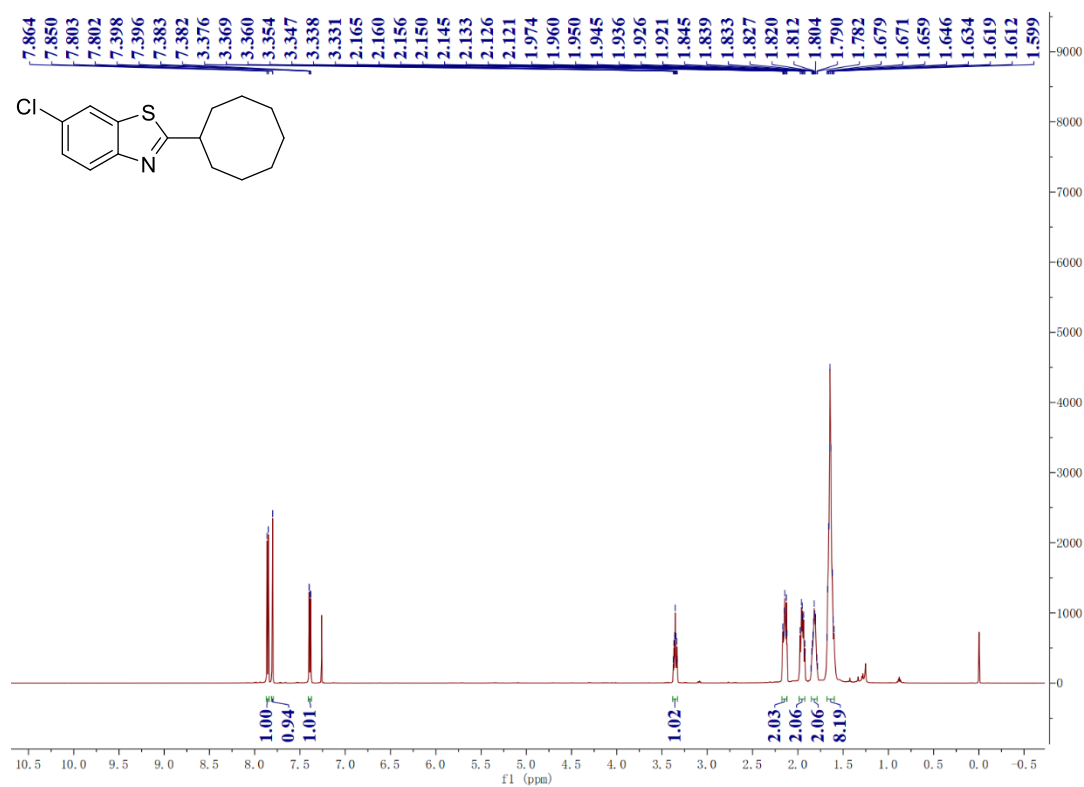




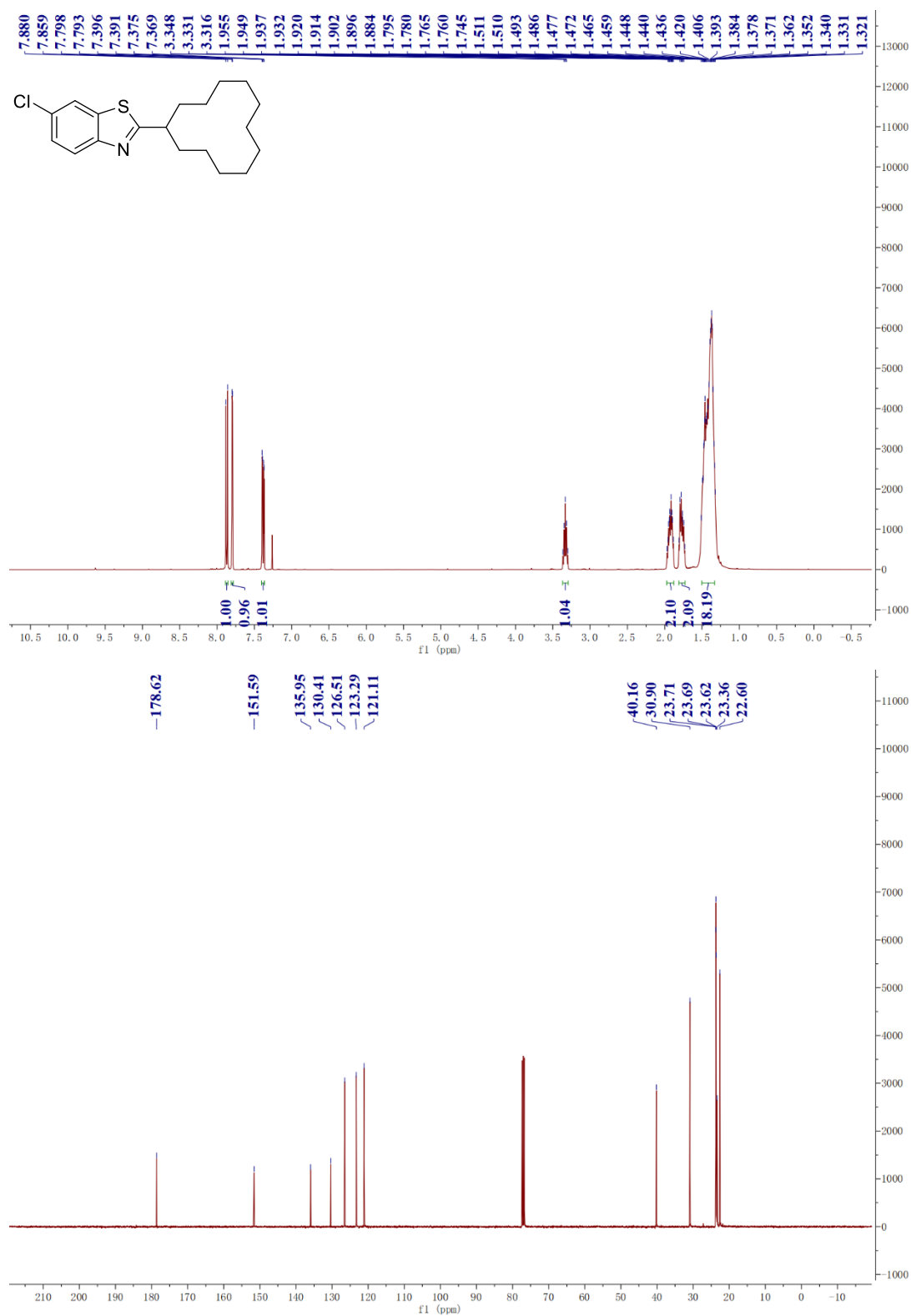
6-chloro-2-cyclopentylbenzo[d]thiazole (73)



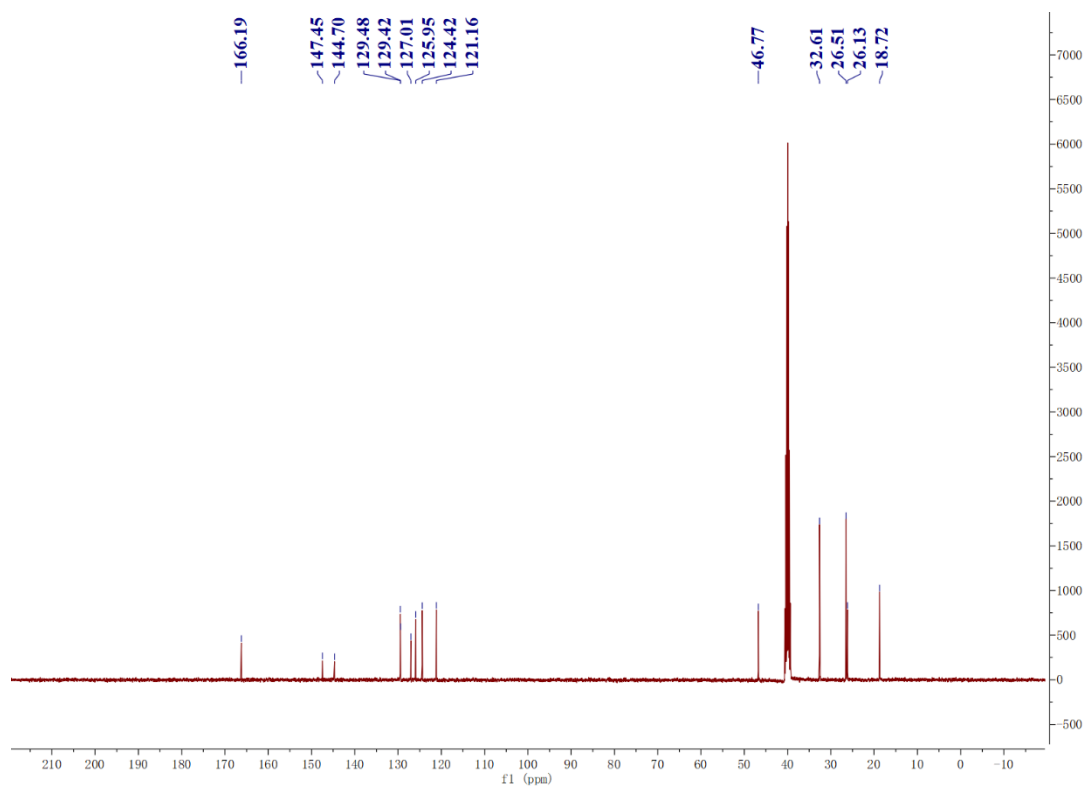
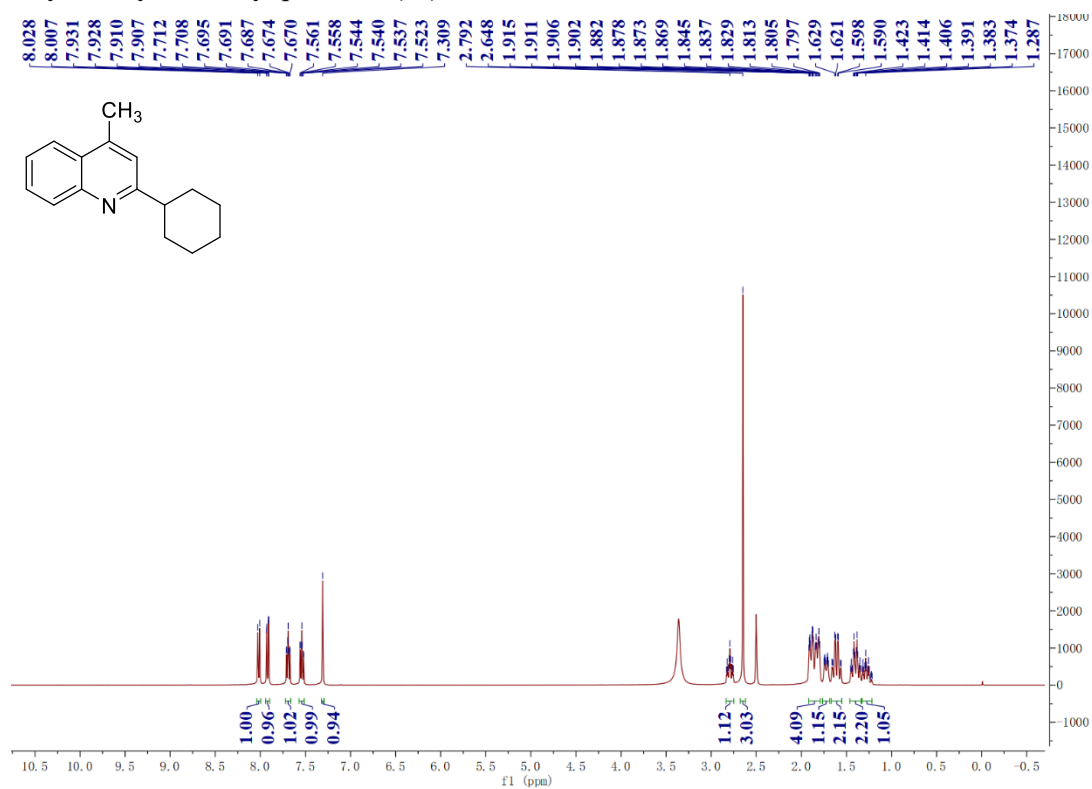
6-chloro-2-cyclooctylbenzo[d]thiazole (74)



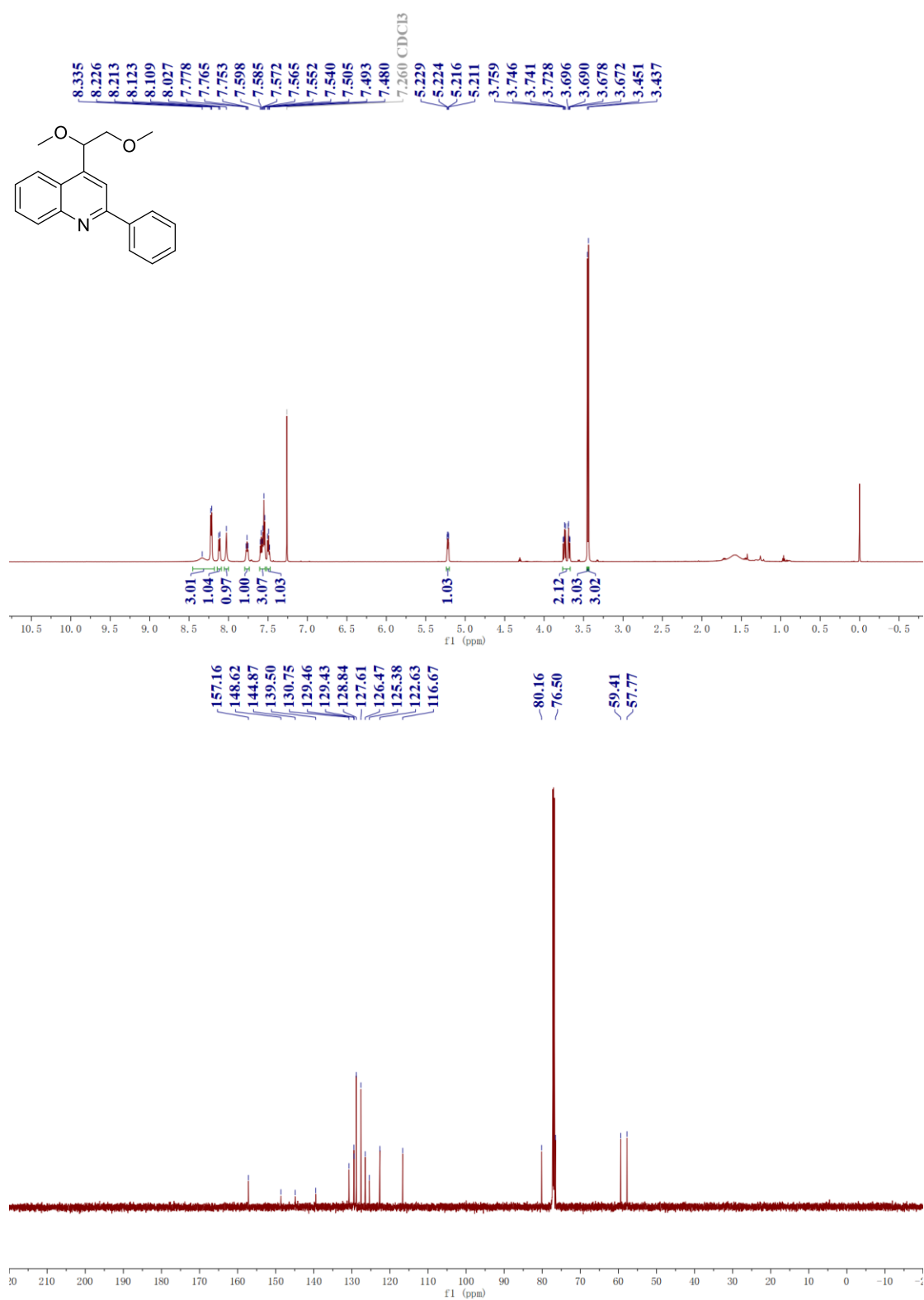
6-chloro-2-cyclododecylbenzo[d]thiazole (75)



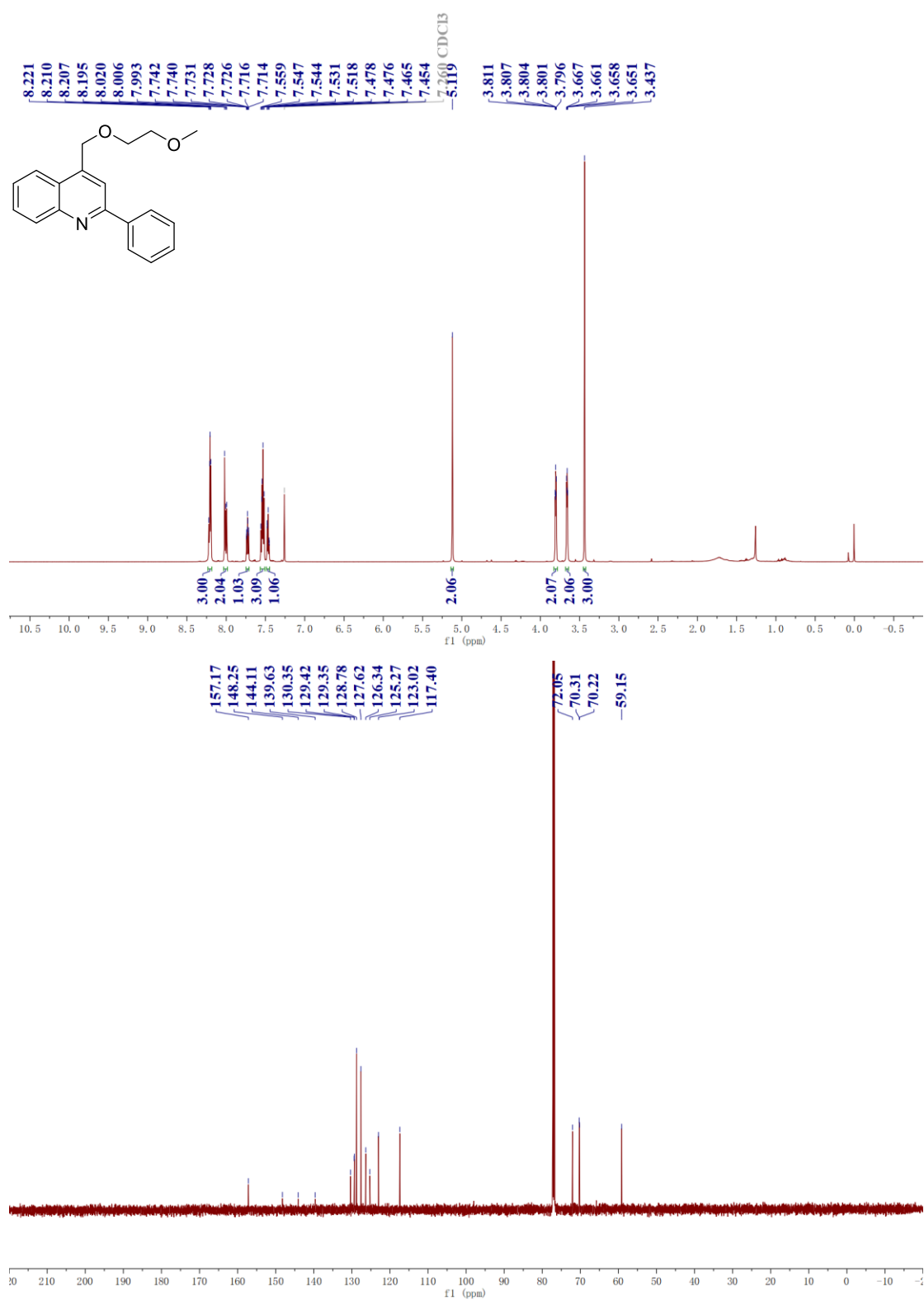
2-cyclohexyl-4-methylquinoline (76)



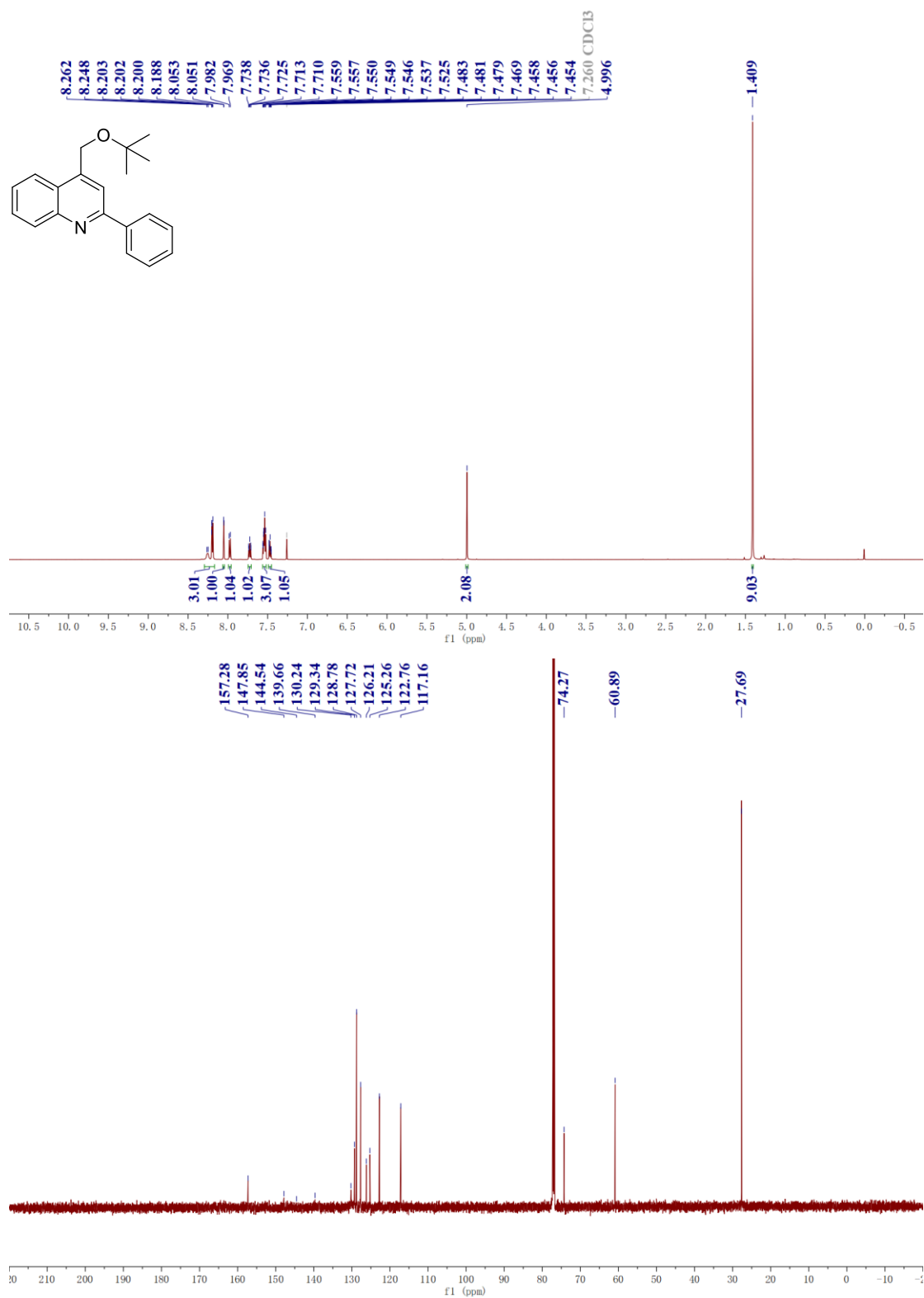
4-(1,2-dimethoxyethyl)-2-phenylquinoline (77)



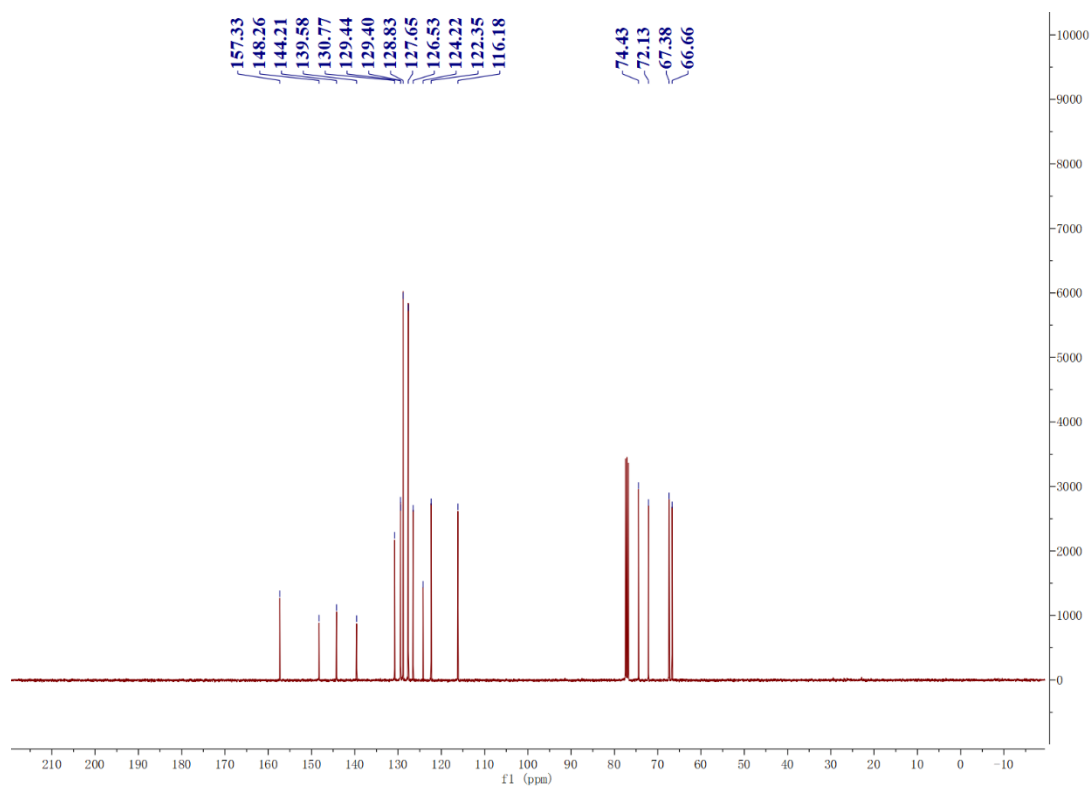
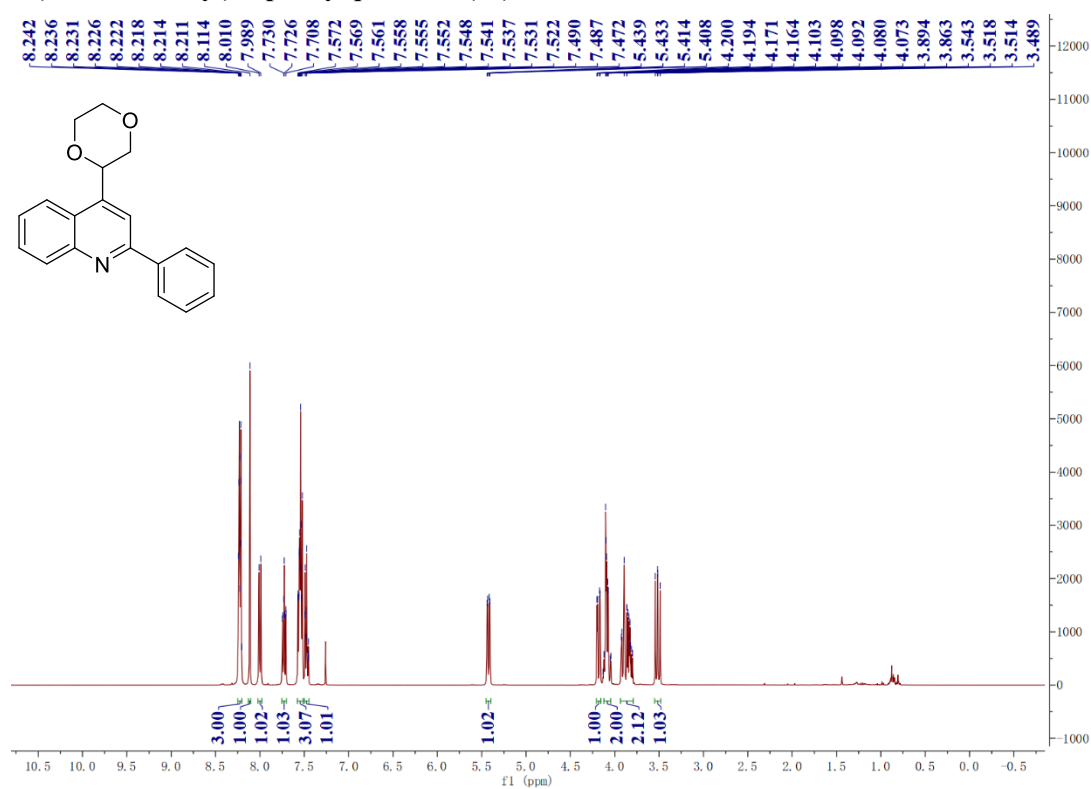
4-((2-methoxyethoxy)methyl)-2-phenylquinoline (78)



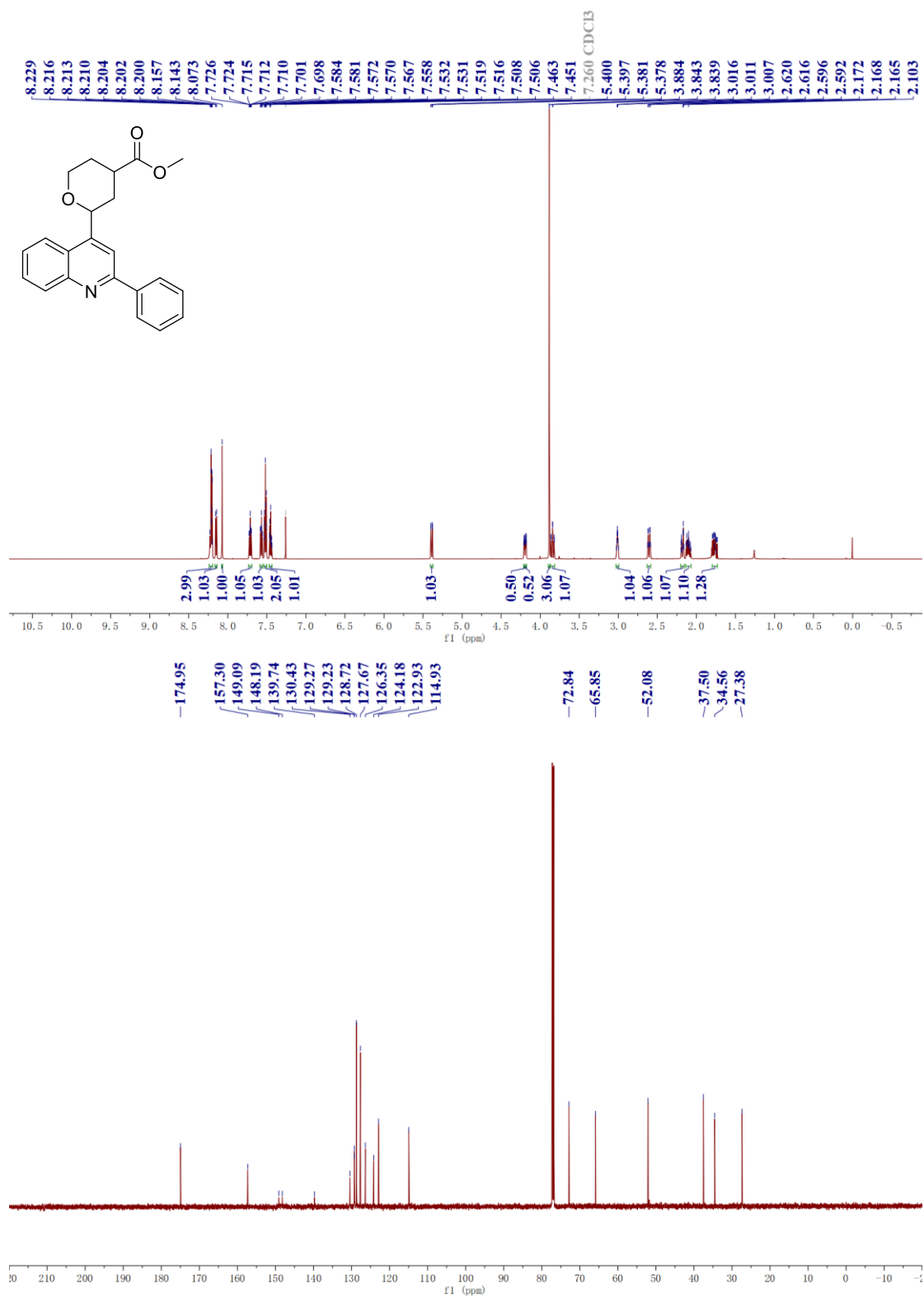
4-(*tert*-butoxymethyl)-2-phenylquinoline (79)



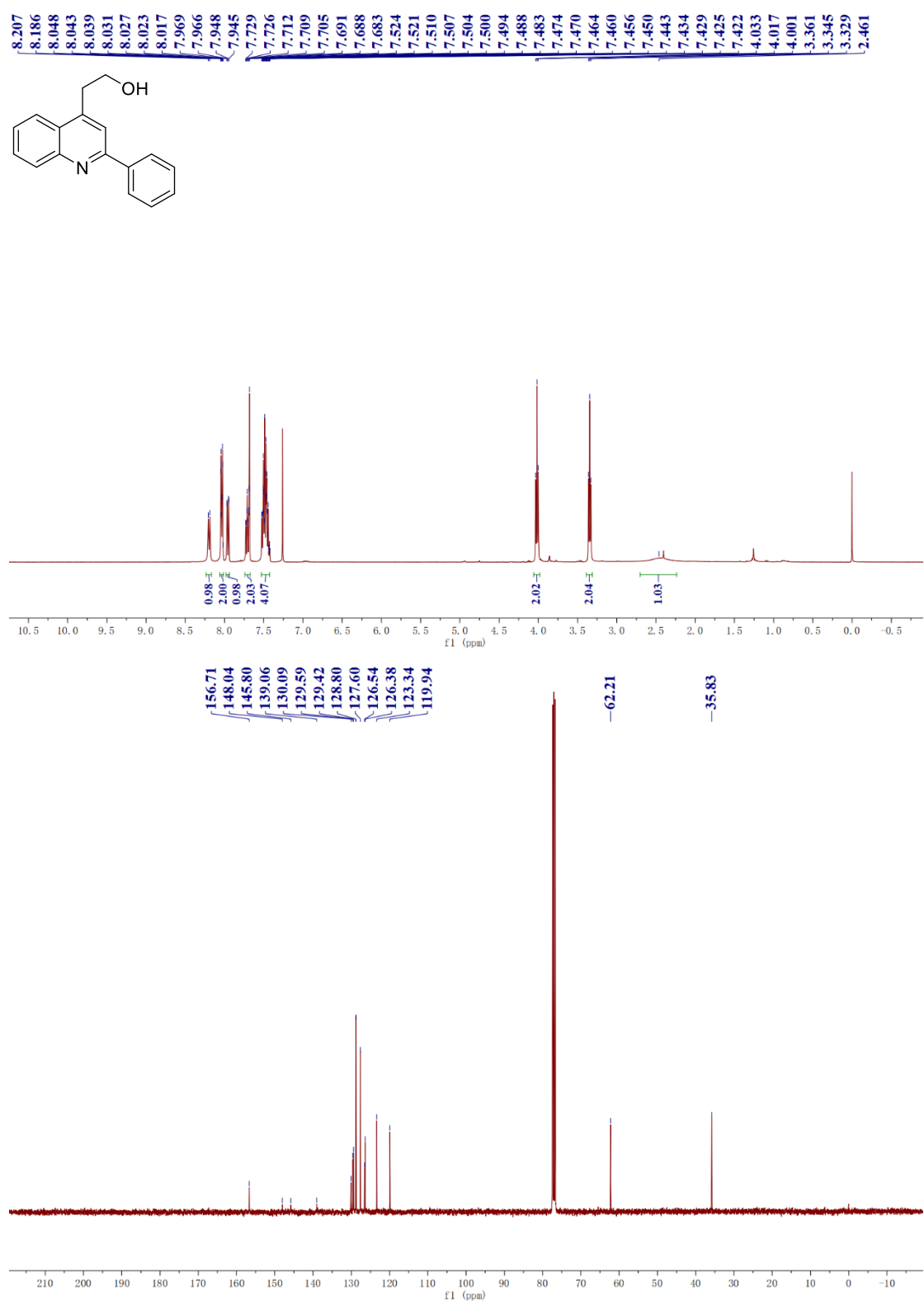
4-(1,4-dioxan-2-yl)-2-phenylquinoline (80)



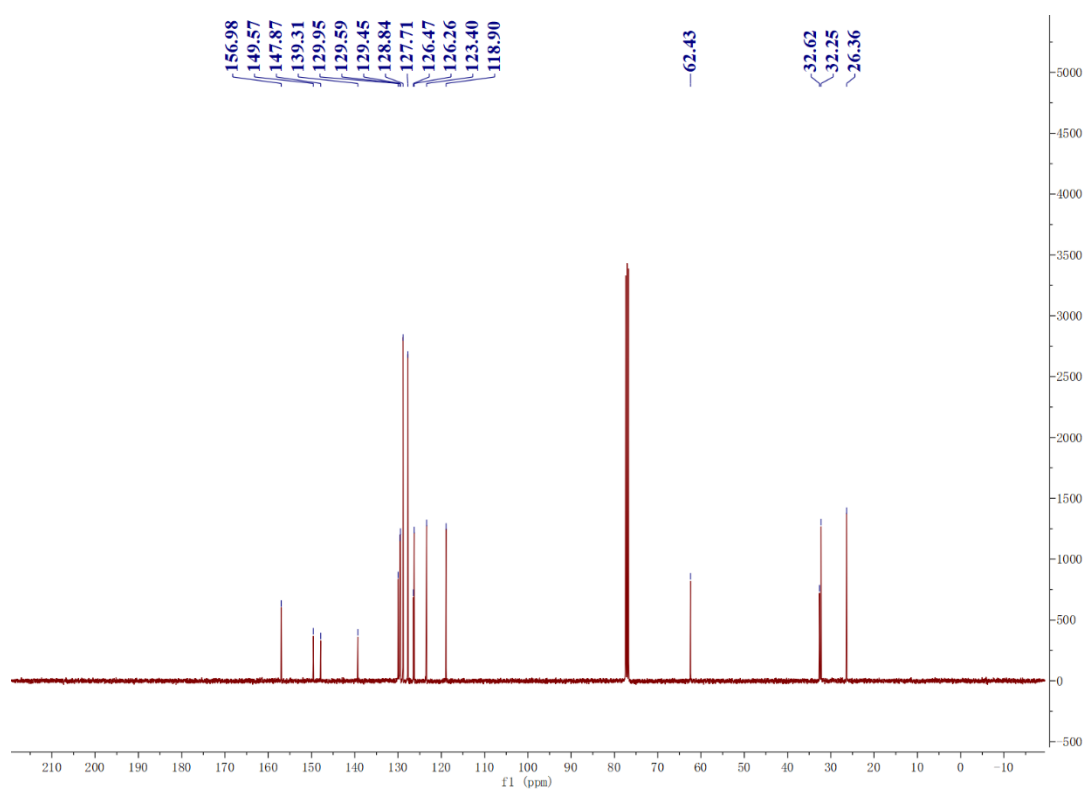
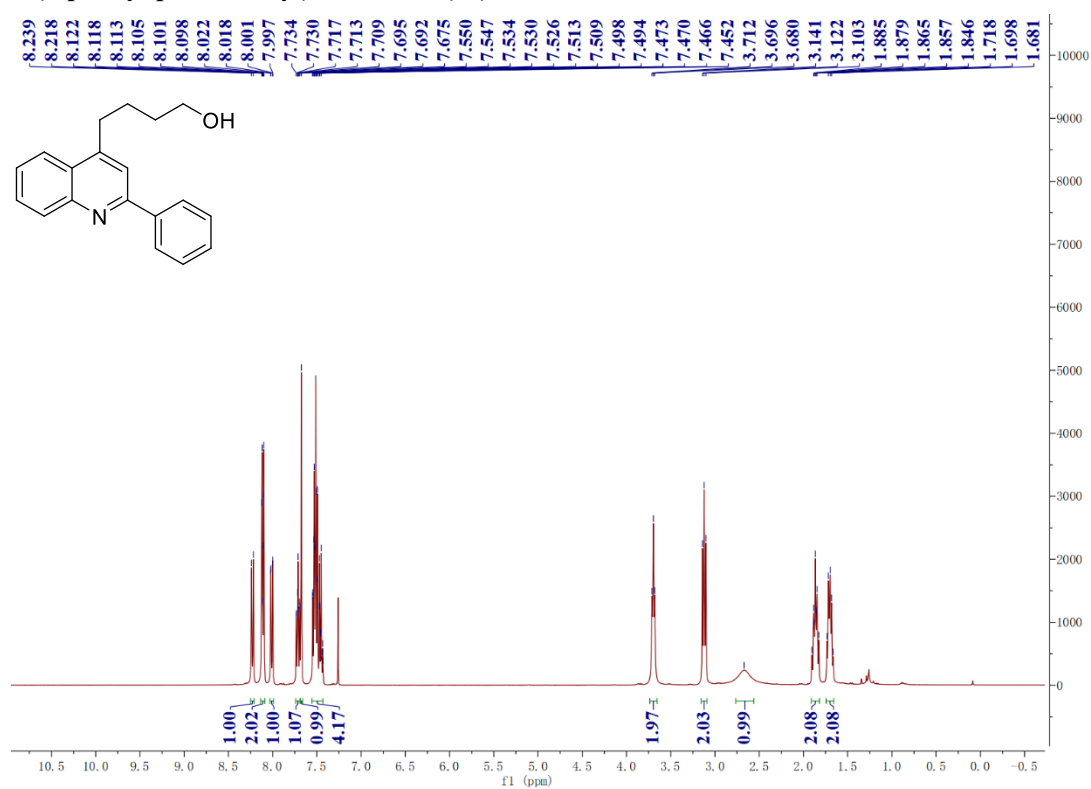
methyl 2-(2-phenylquinolin-4-yl)tetrahydro-2H-pyran-4-carboxylate (81)



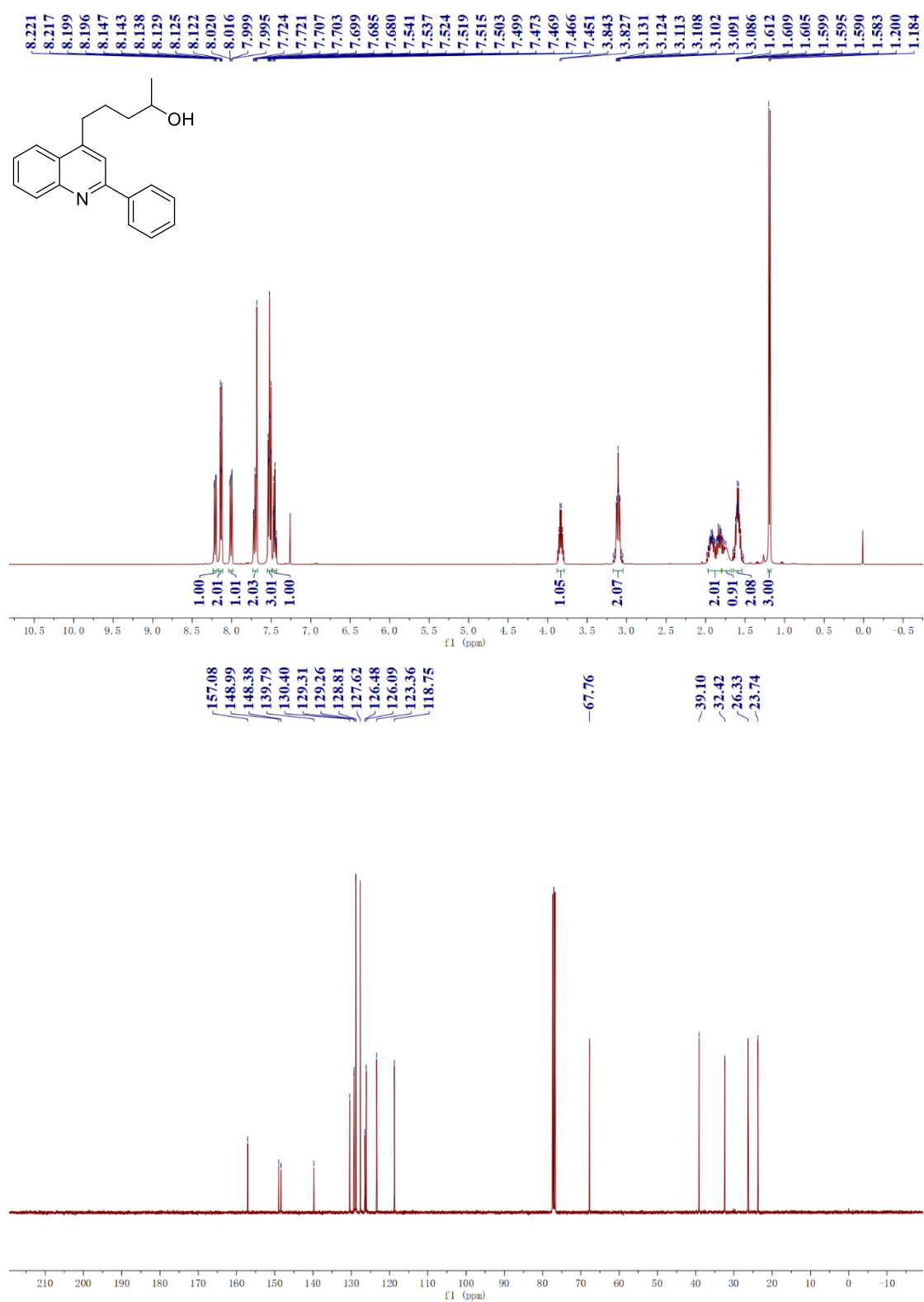
2-(2-phenylquinolin-4-yl)ethan-1-ol (82)



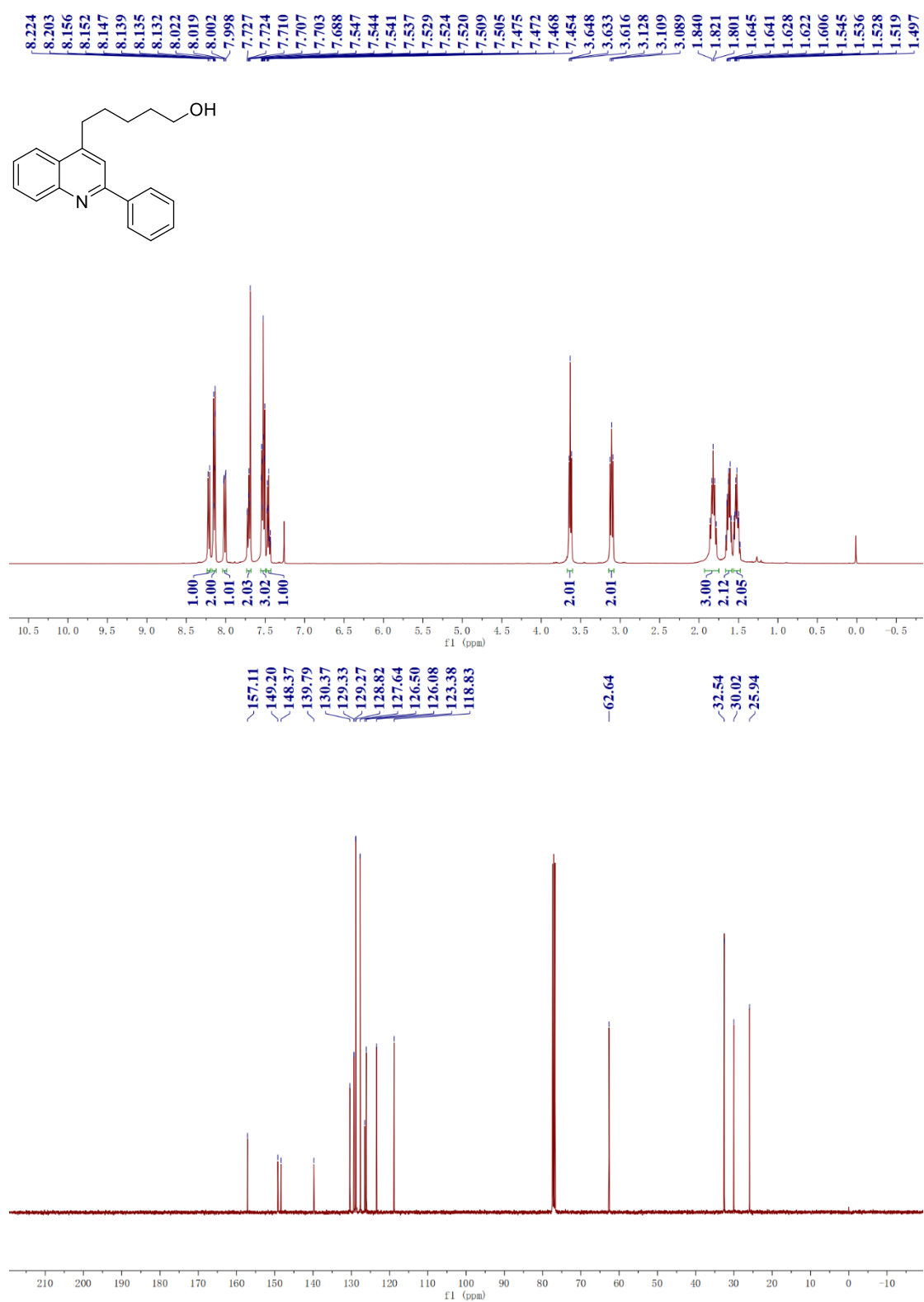
4-(2-phenylquinolin-4-yl)butan-1-ol (83)



5-(2-phenylquinolin-4-yl)pentan-2-ol (84)



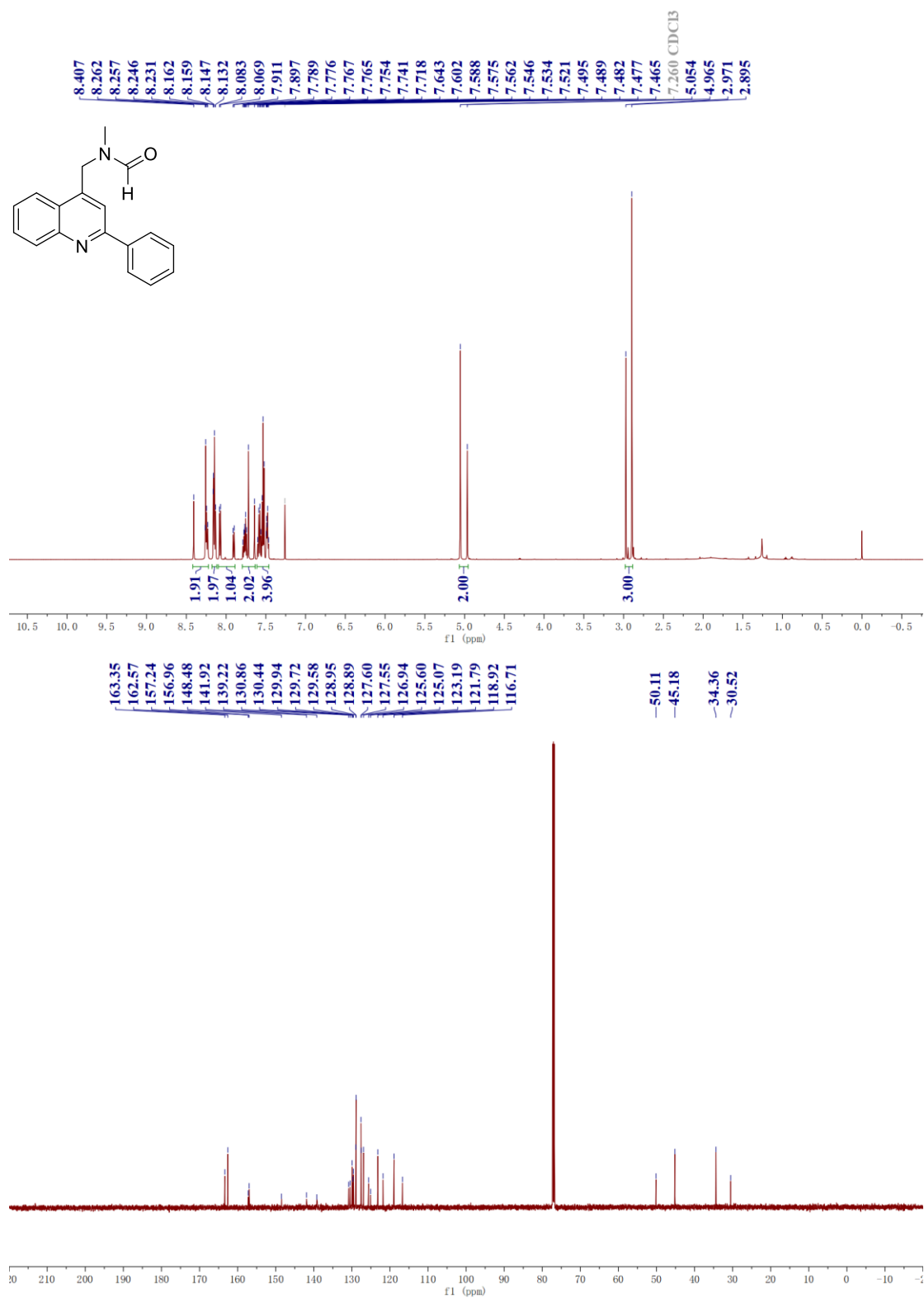
5-(2-phenylquinolin-4-yl)pentan-1-ol (85)



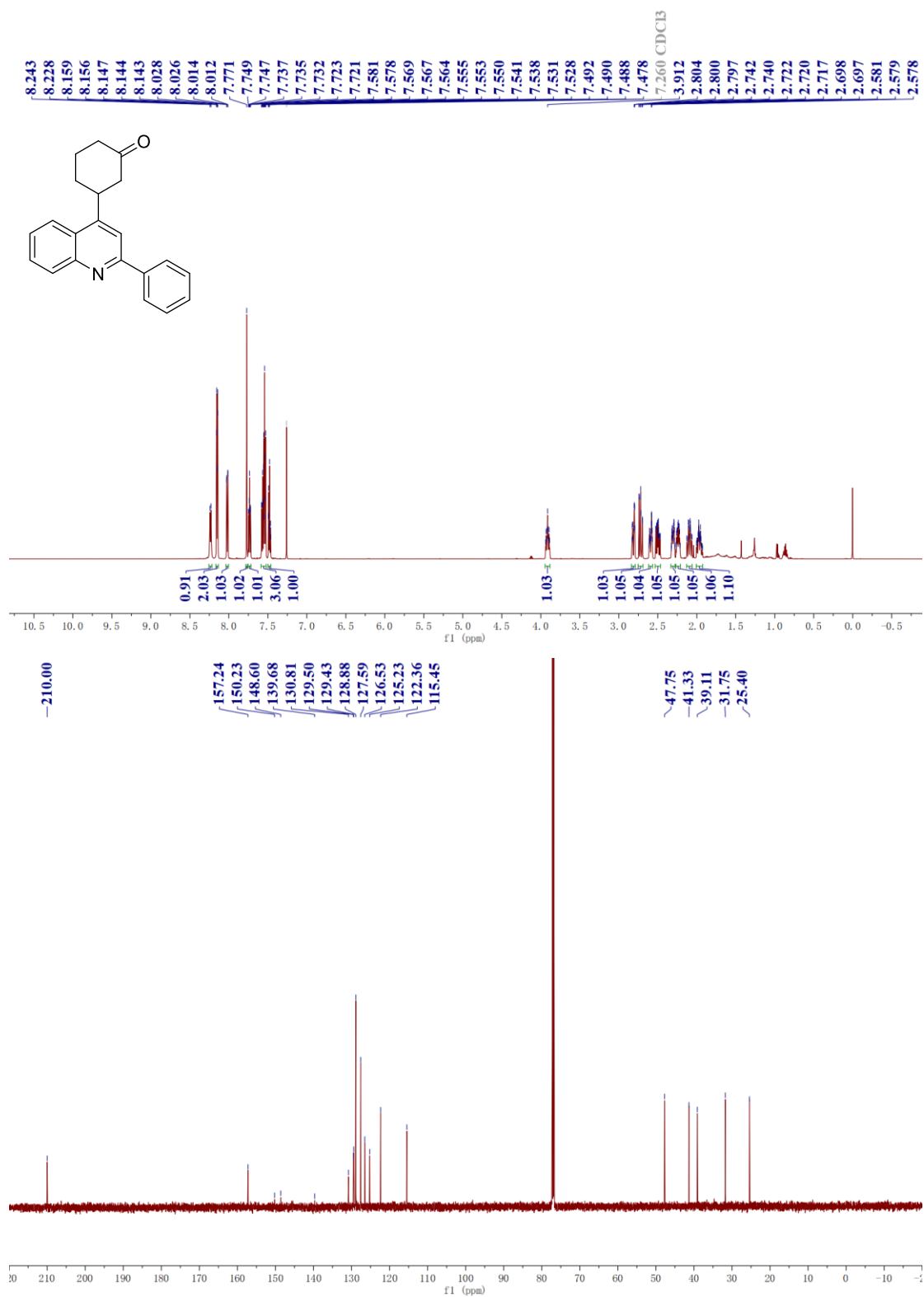
1-(2-phenylquinolin-4-yl)ethane-1,2-diol (86)



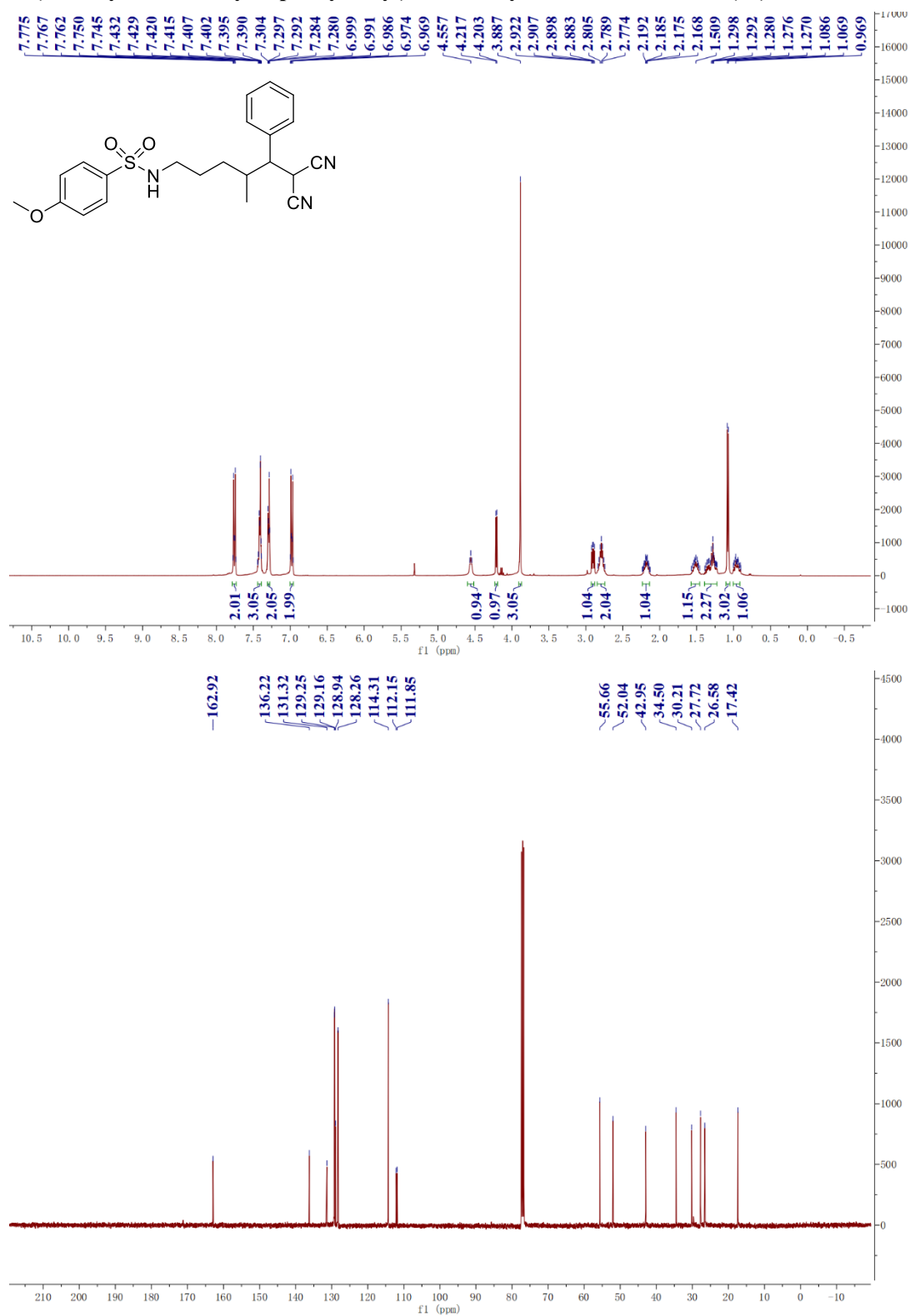
***N*-methyl-*N*-((2-phenylquinolin-4-yl)methyl)formamide (87)**



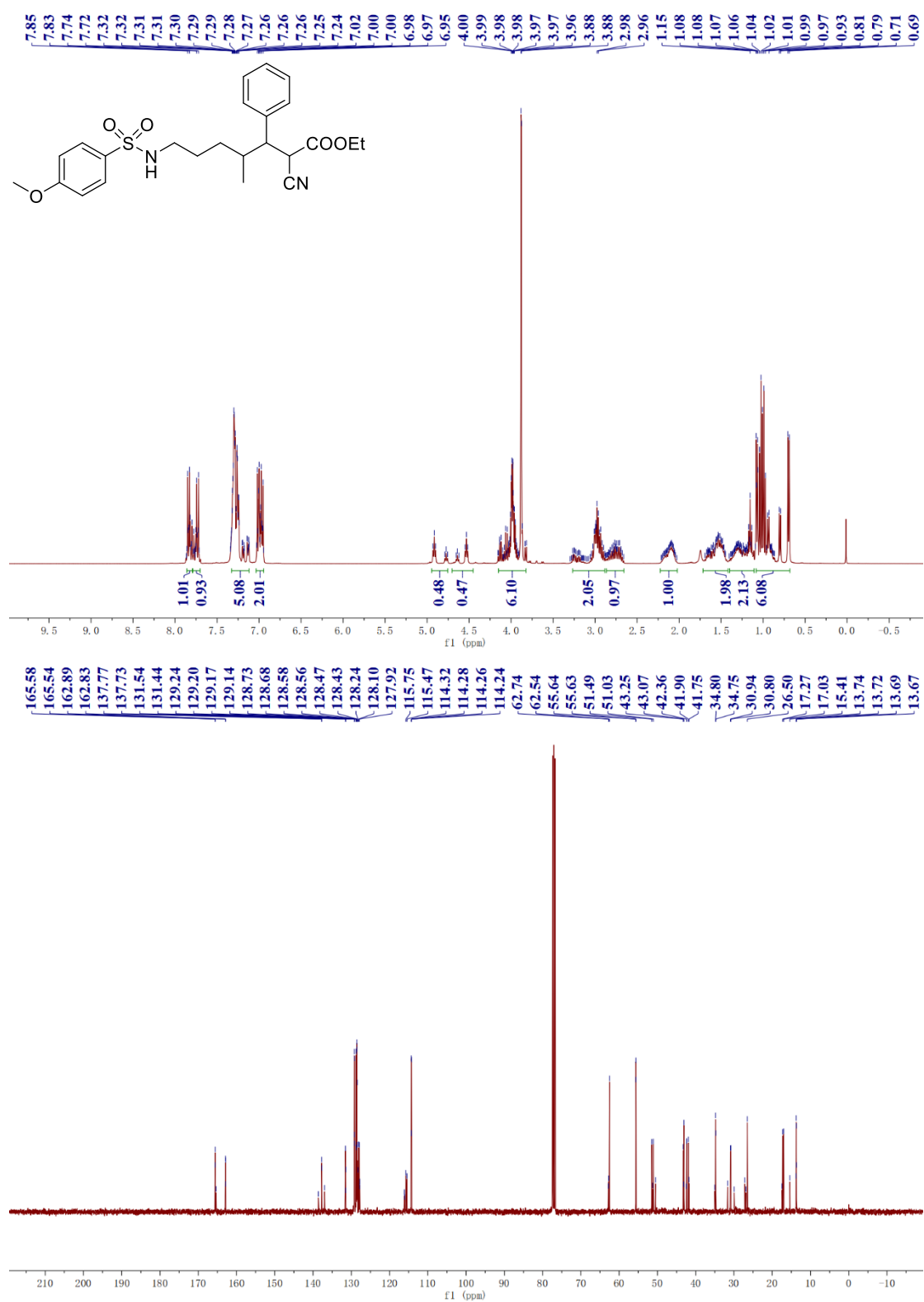
3-(2-phenylquinolin-4-yl)cyclohexan-1-one (88)



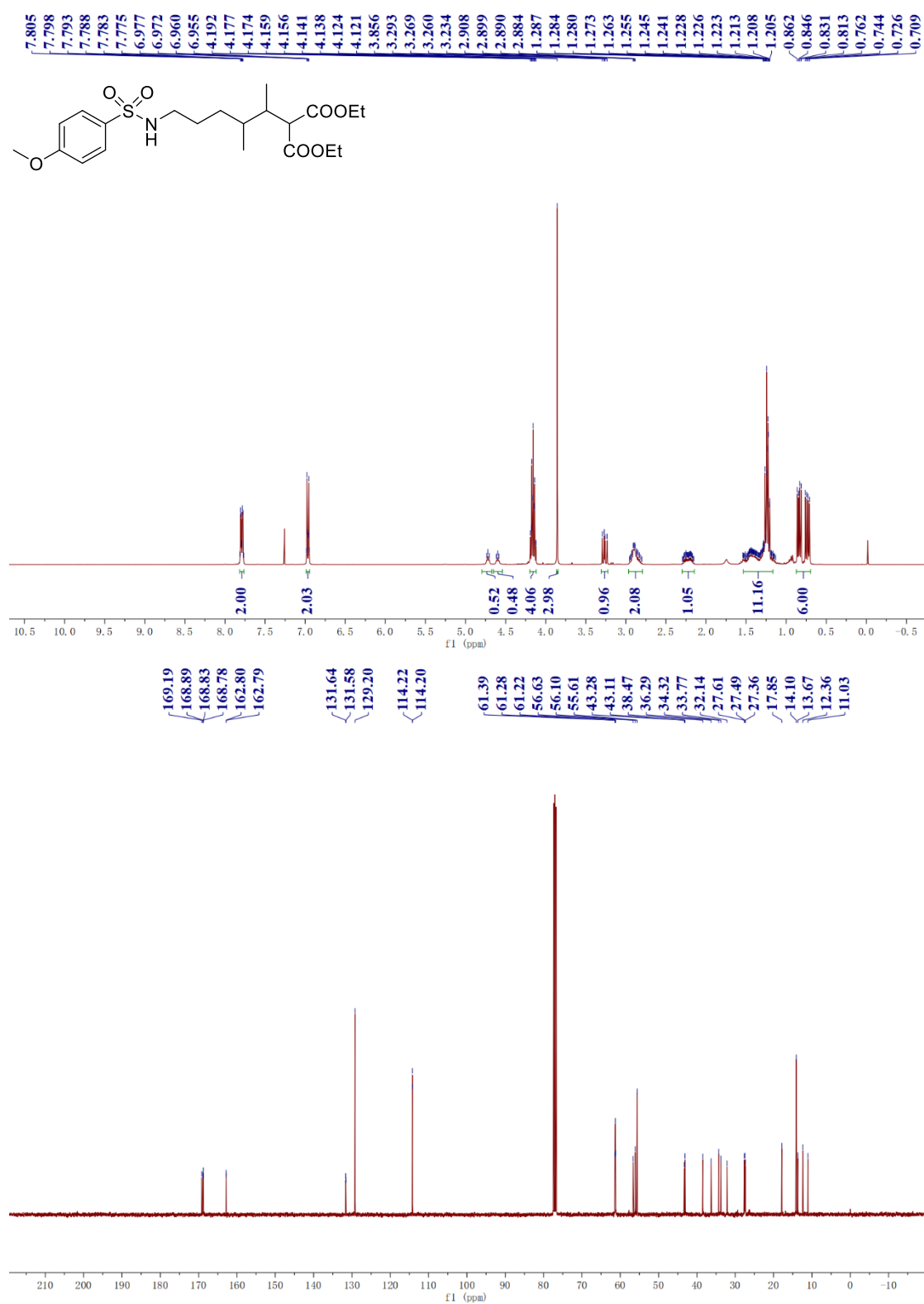
***N*-(6,6-dicyano-4-methyl-5-phenylhexyl)-4-methoxybenzenesulfonamide (89)**



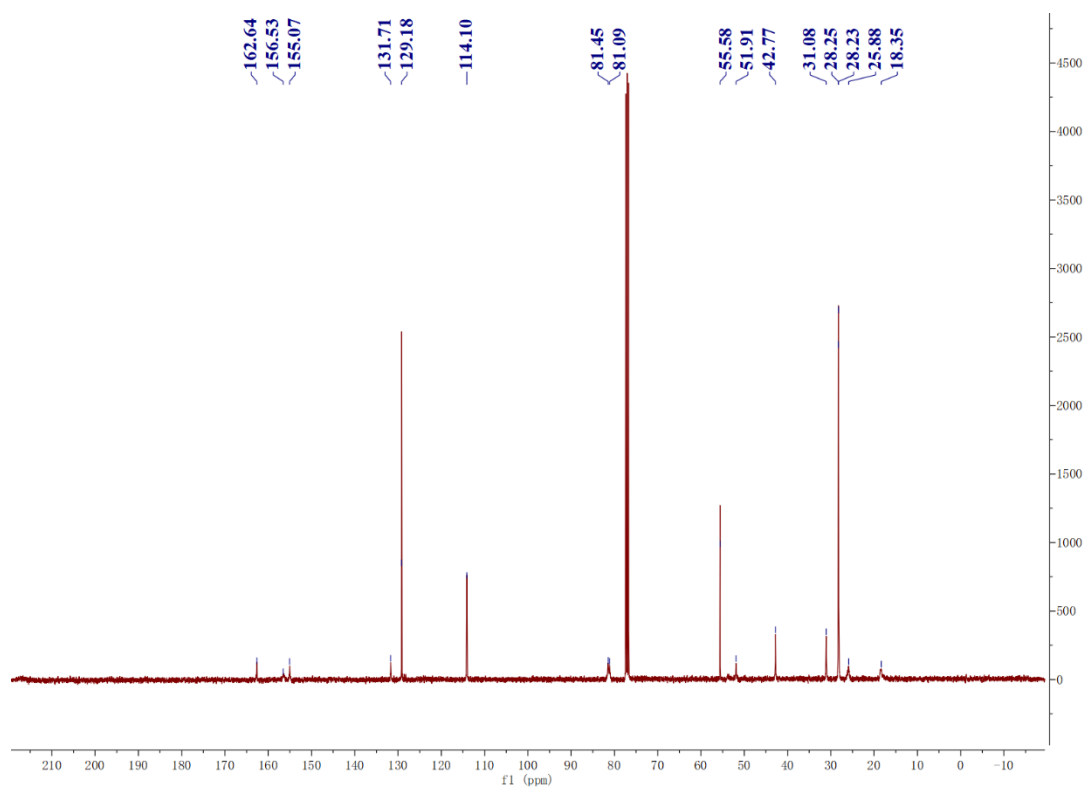
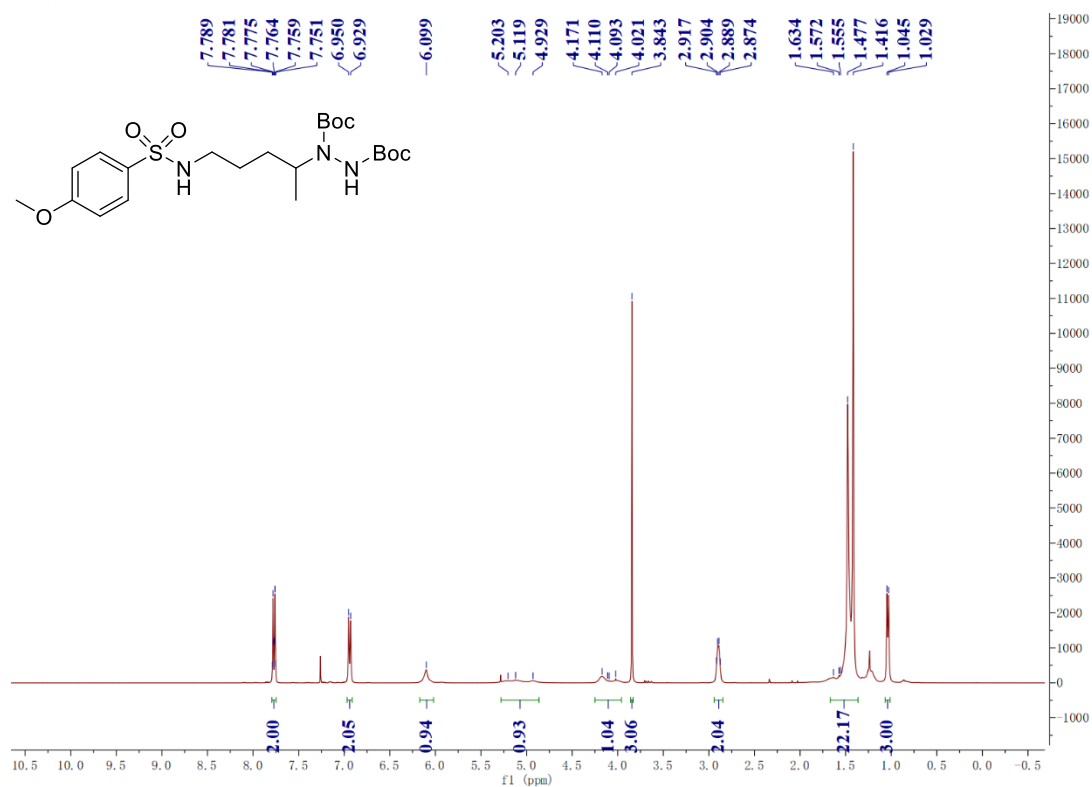
ethyl 2-cyano-7-((4-methoxyphenyl)sulfonamido)-4-methyl-3-phenylheptanoate (90)



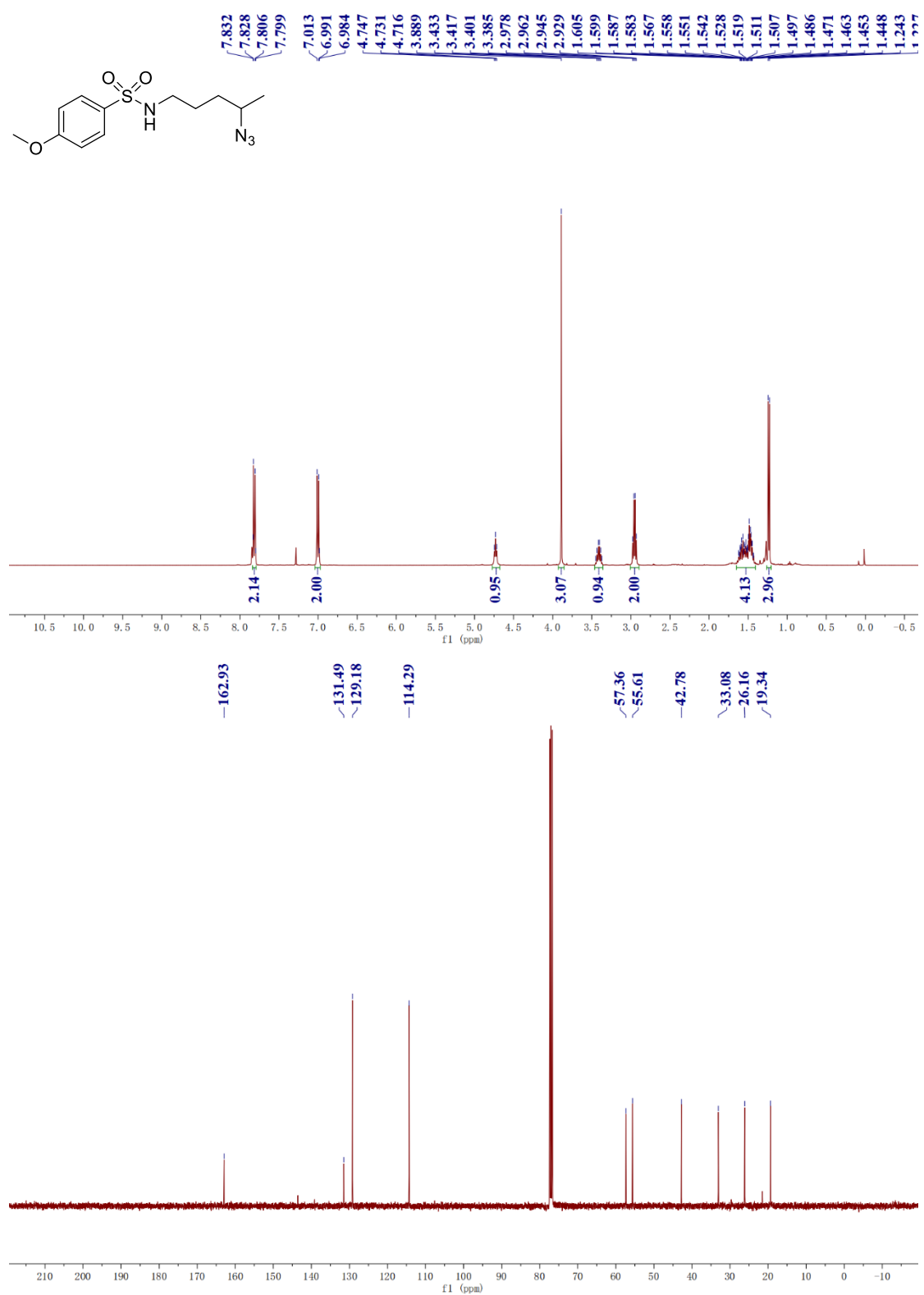
diethyl 2-((6-((4-methoxyphenyl)sulfonamido)-3-methylhexan-2-yl)malonate (91)



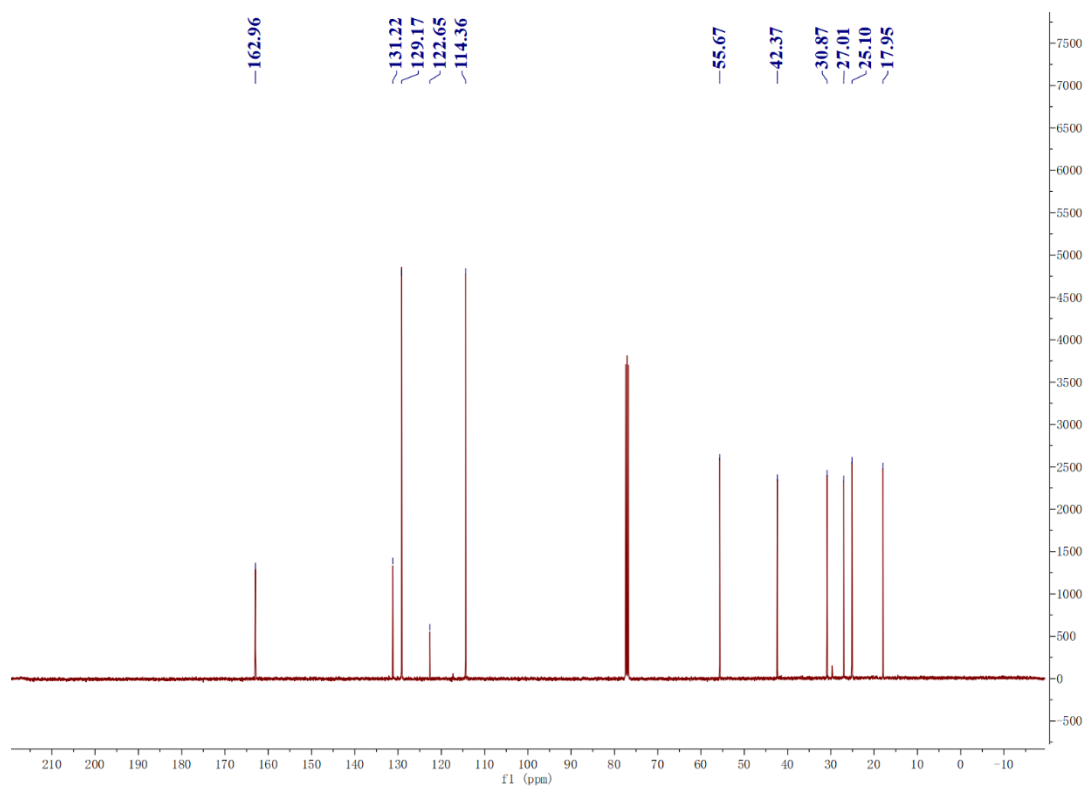
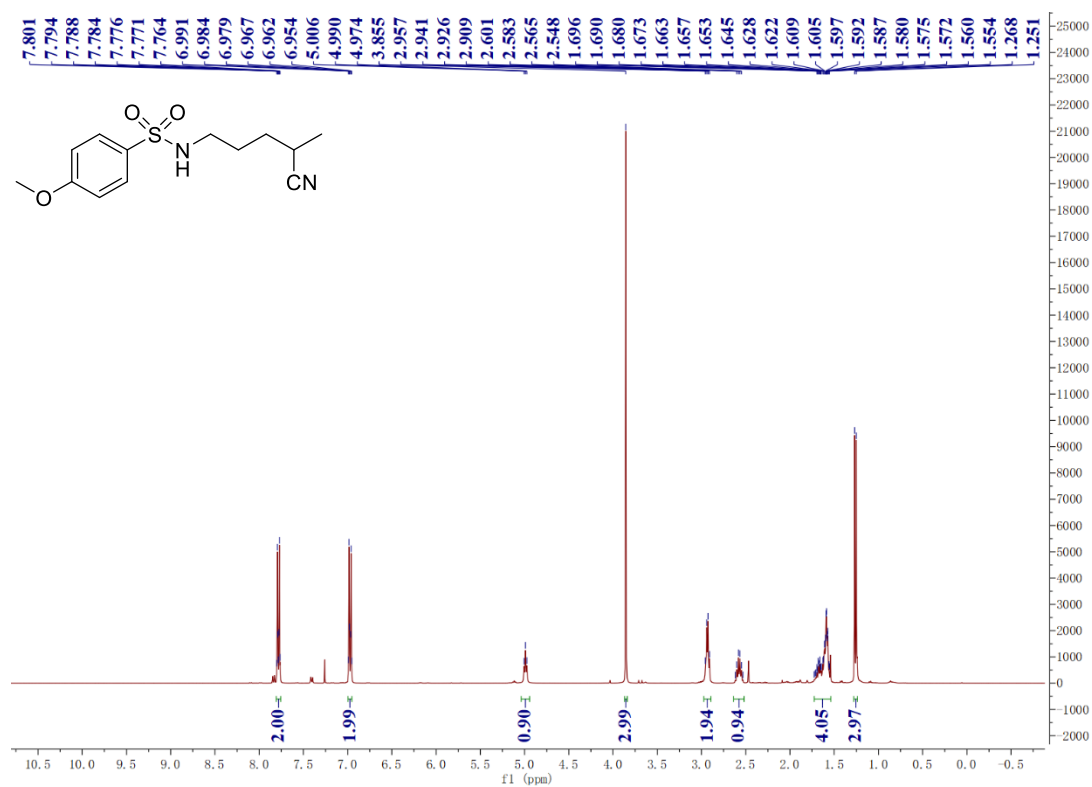
di-*tert*-butyl 1-(5-((4-methoxyphenyl)sulfonamido)pentan-2-yl)hydrazine-1,2-dicarboxylate (92)



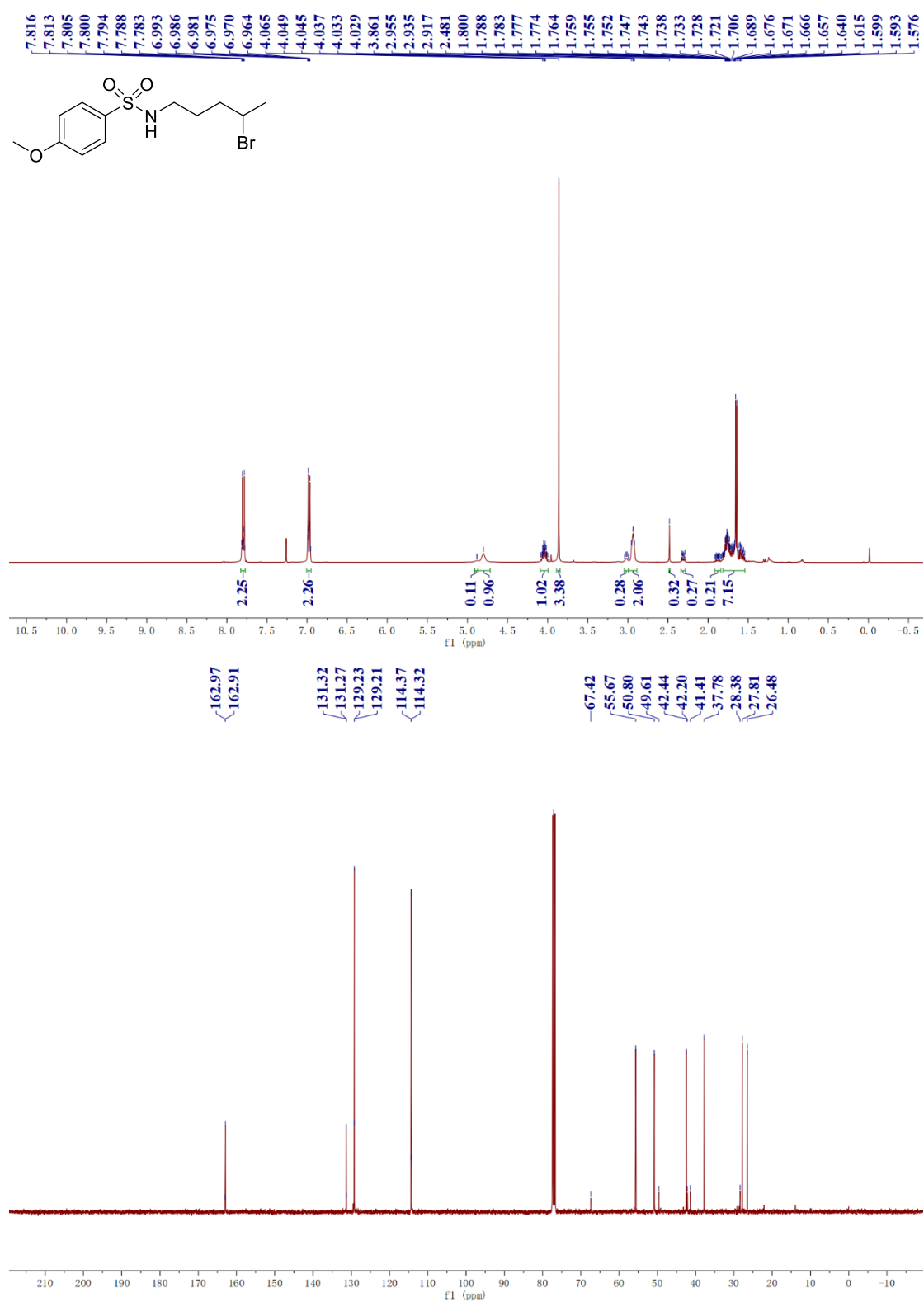
***N*-(4-azidopentyl)-4-methoxybenzenesulfonamide (93)**



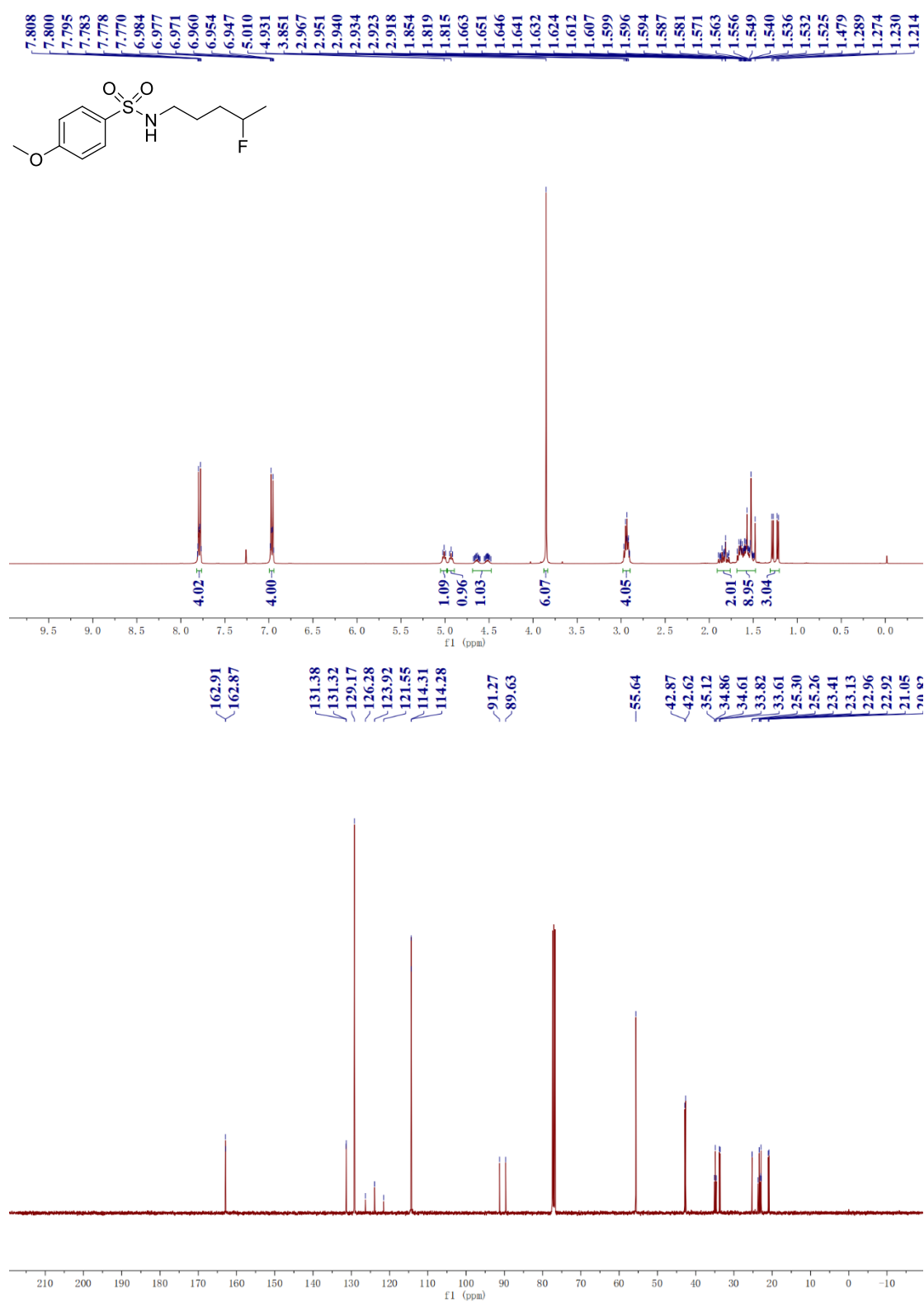
***N*-(4-cyanopentyl)-4-methoxybenzenesulfonamide (94)**

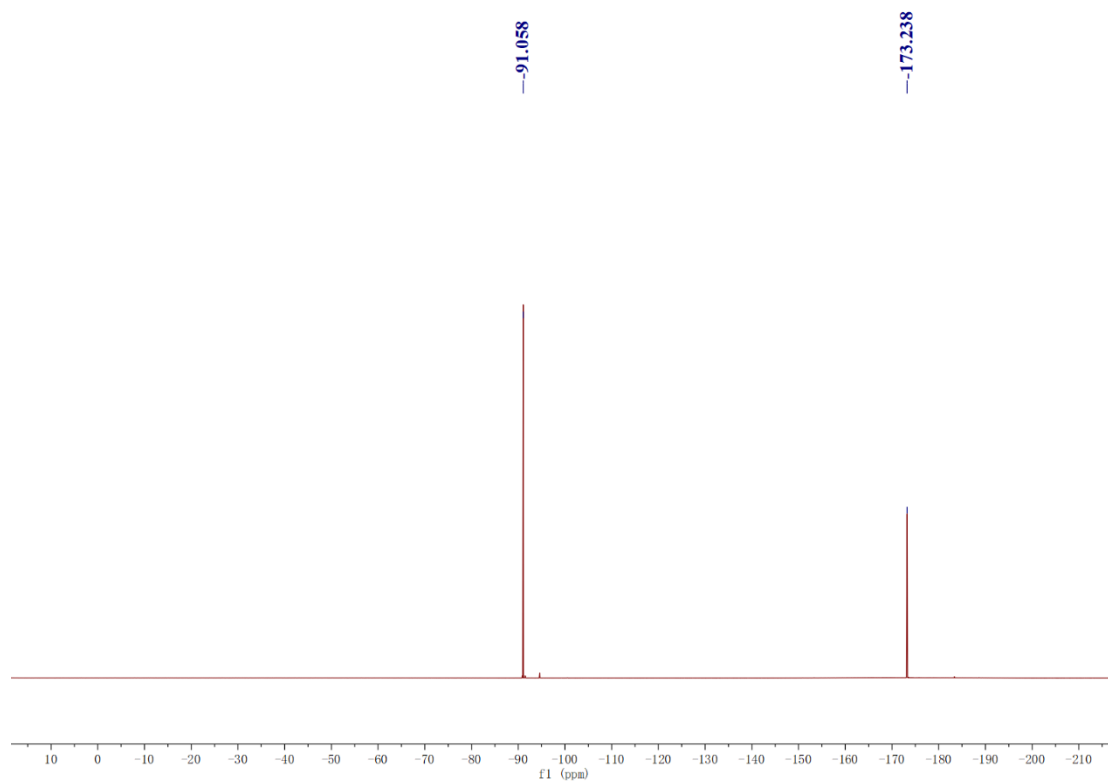


***N*-(4-bromopentyl)-4-methoxybenzenesulfonamide (95)**

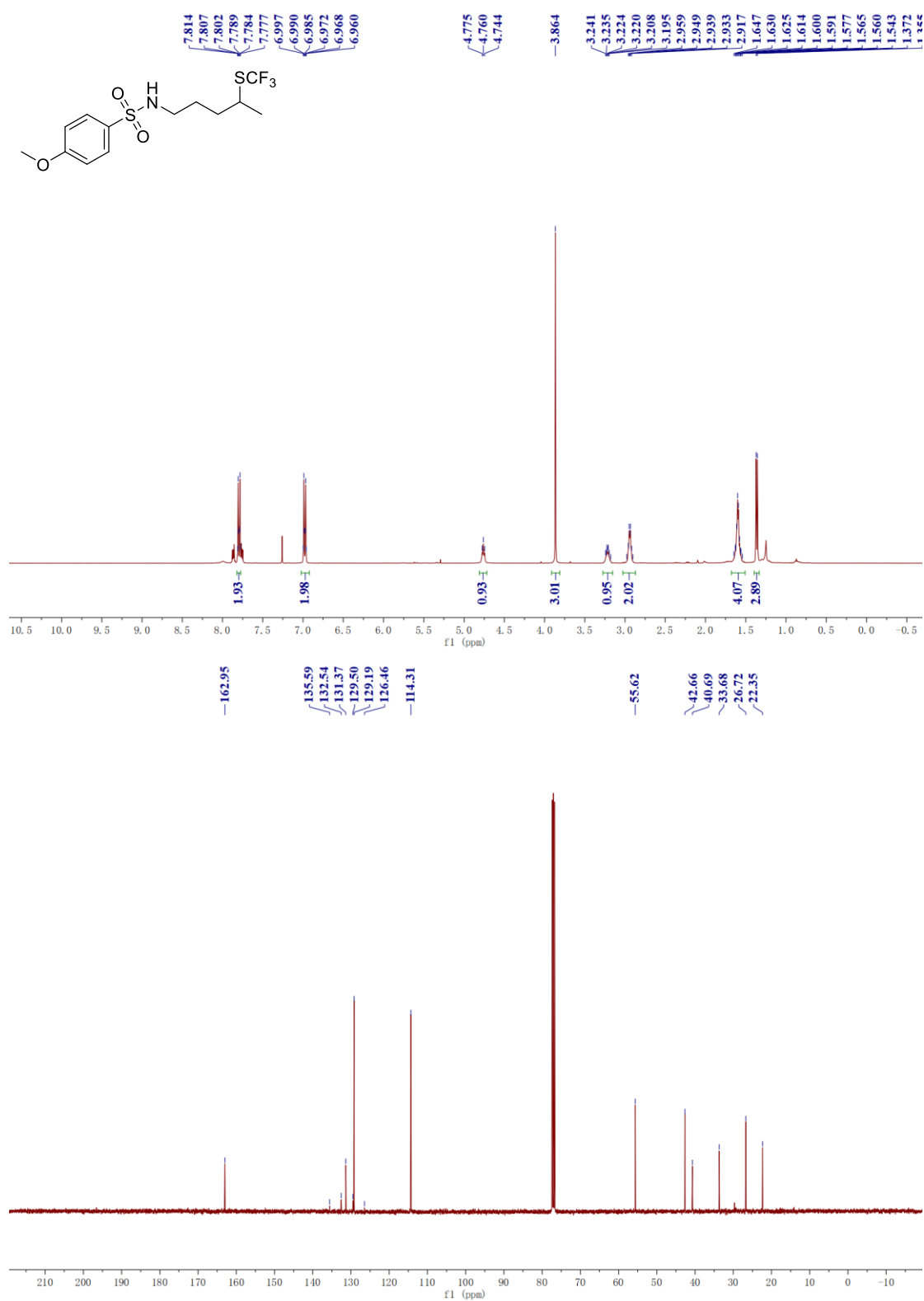


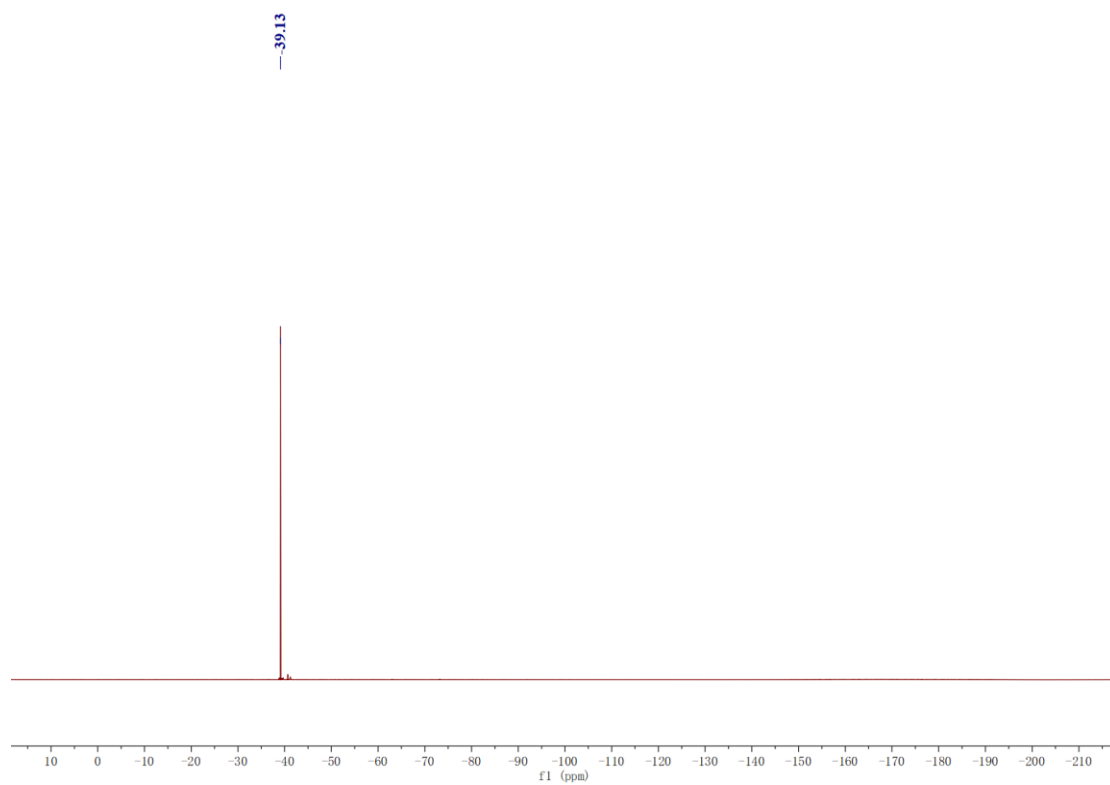
***N*-(4-fluoropentyl)-4-methoxybenzenesulfonamide (96)**



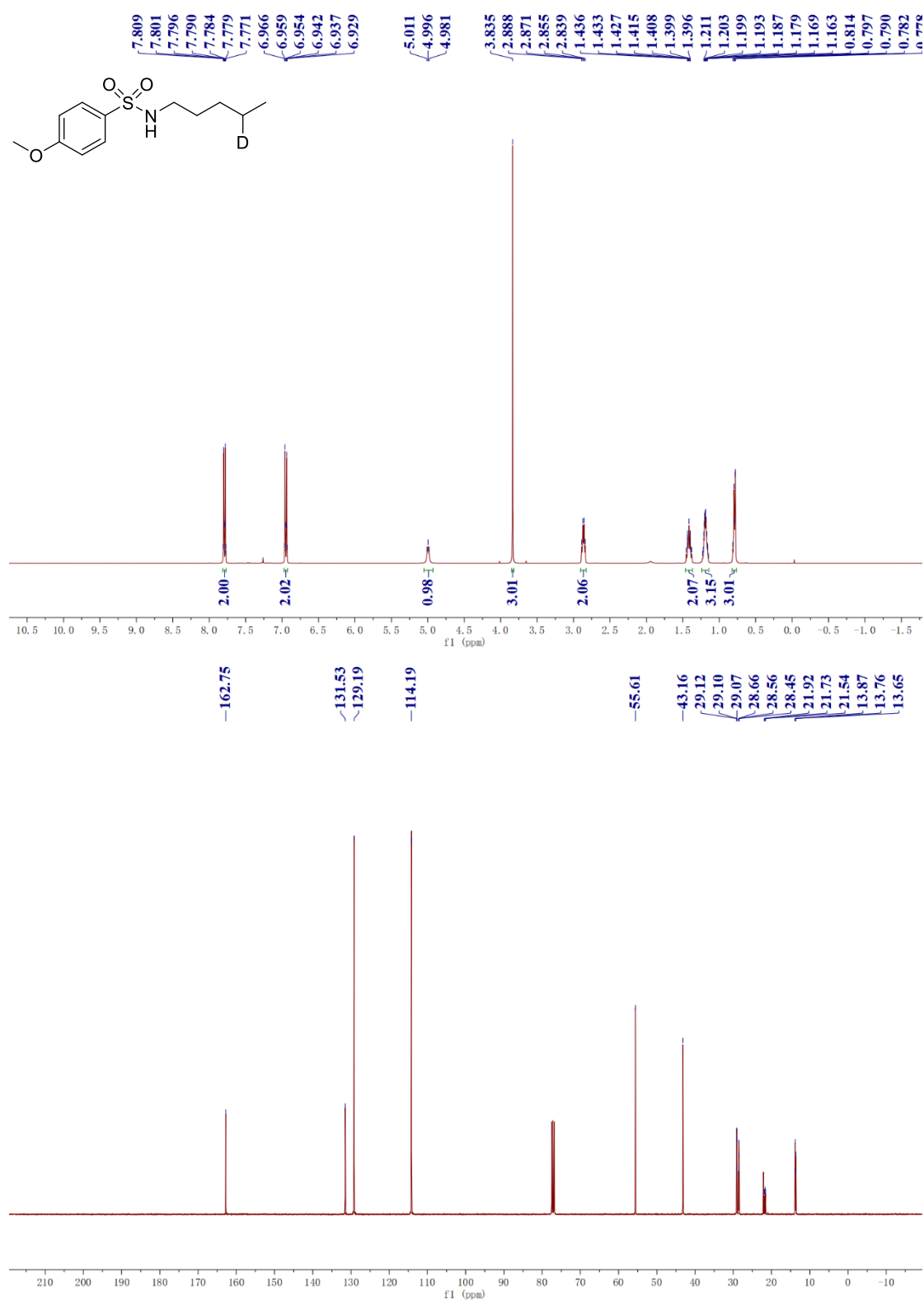


4-methoxy-*N*-(4-((trifluoromethyl)thio)pentyl)benzenesulfonamide (97)

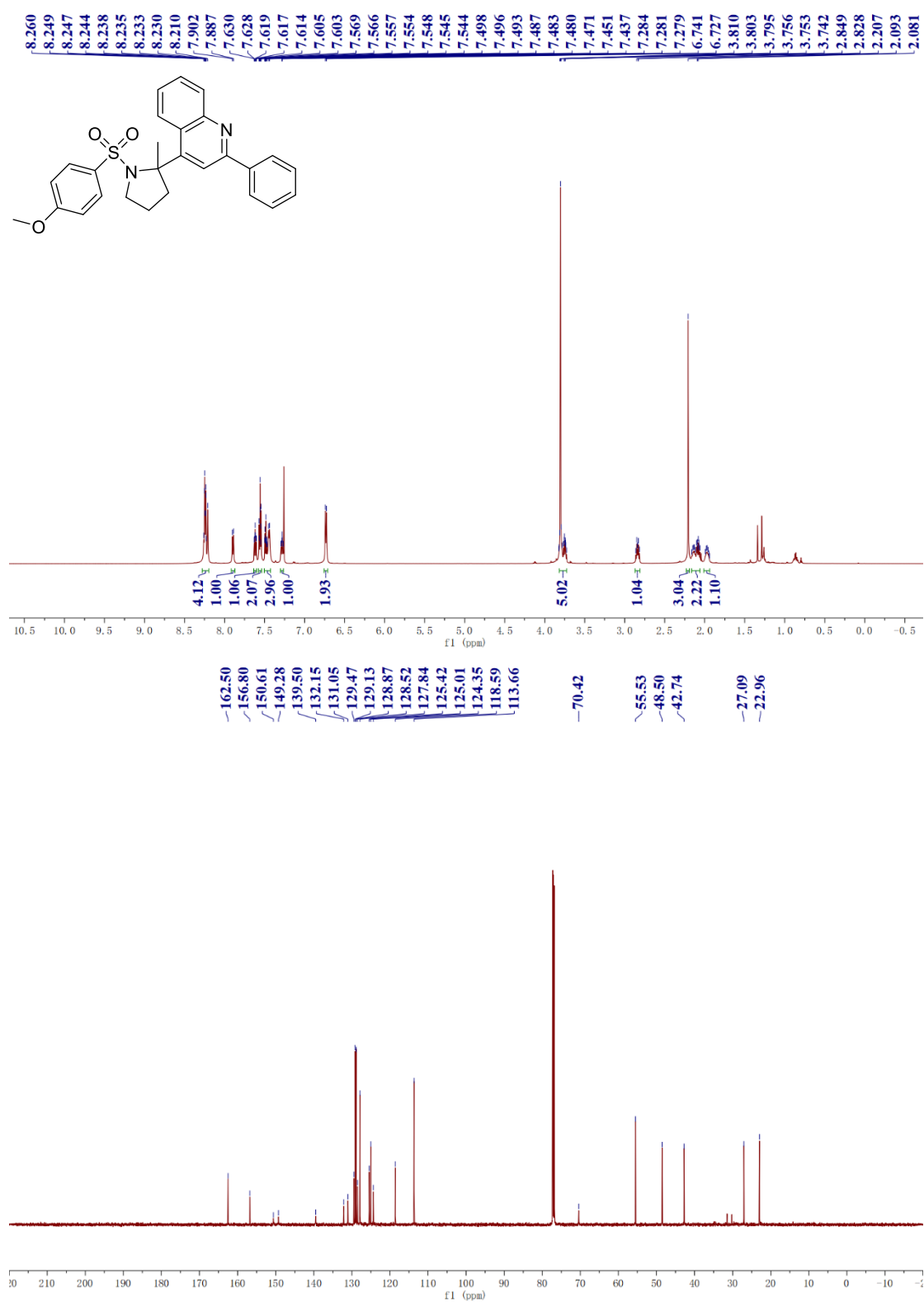




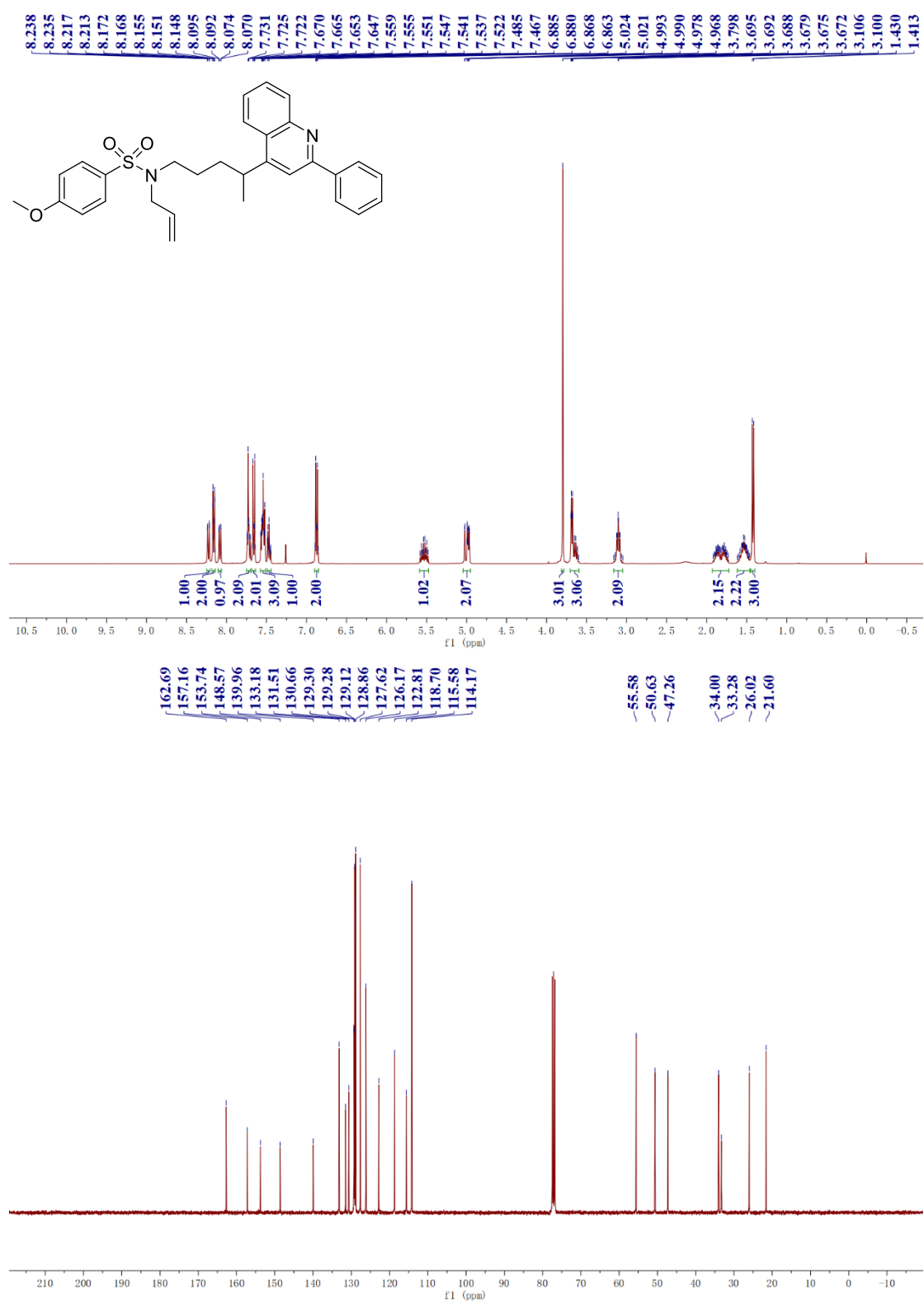
4-methoxy-*N*-(pentyl-4-*d*)benzenesulfonamide (98)



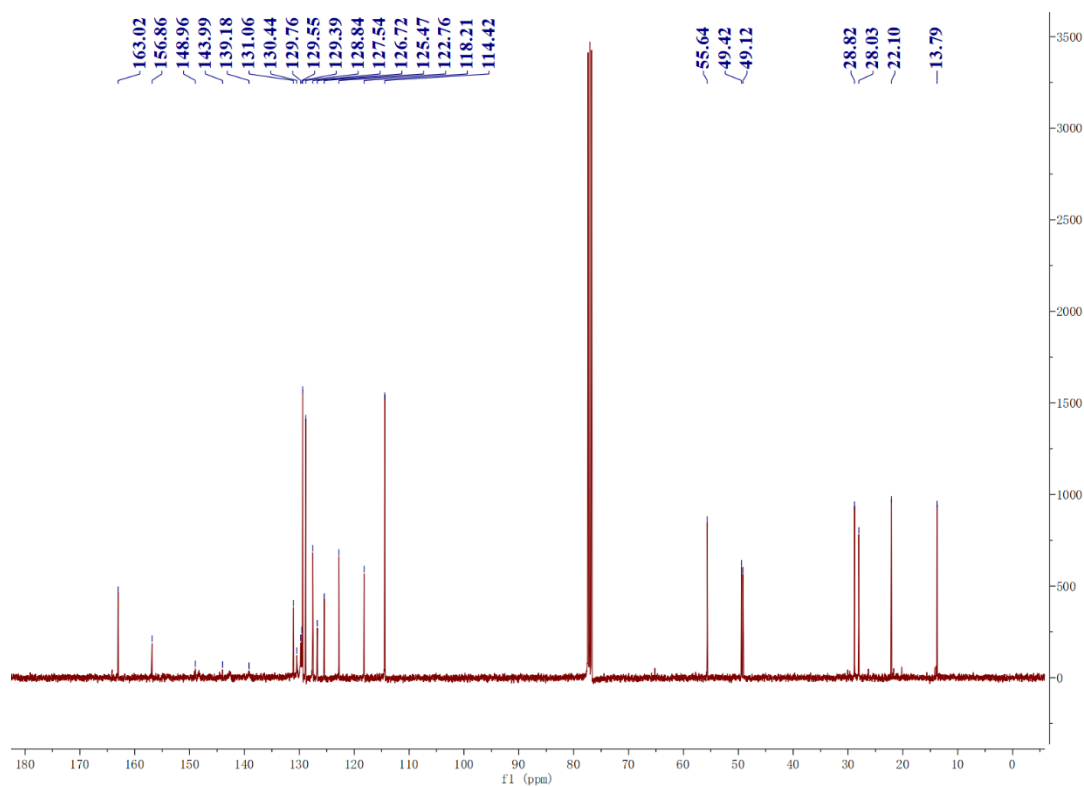
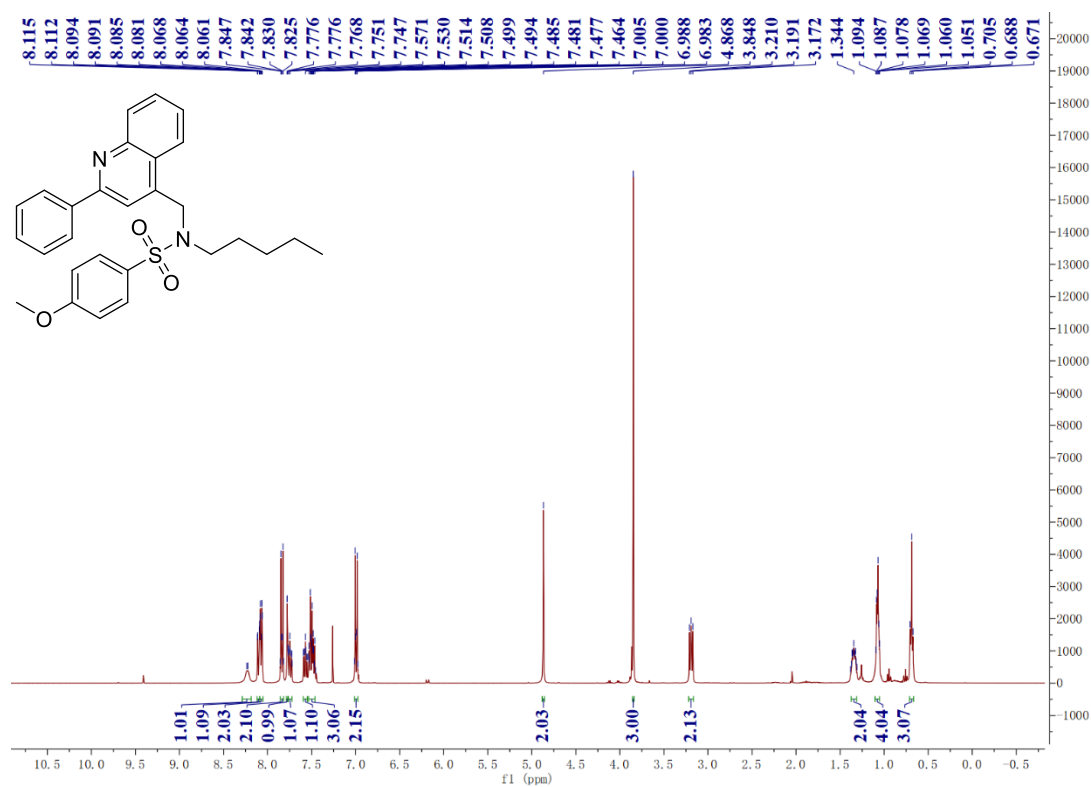
4-(1-((4-methoxyphenyl)sulfonyl)-2-methylpyrrolidin-2-yl)-2-phenylquinoline (99)



***N*-allyl-4-methoxy-*N*-(4-(2-phenylquinolin-4-yl)pentyl)benzenesulfonamide (100)**



4-methoxy-*N*-pentyl-*N*-((2-phenylquinolin-4-yl)methyl)benzenesulfonamide (103)



9. Supplementary References

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