

Supporting Information
Photochemical α -amination of carbonyl groups with
iodinanes

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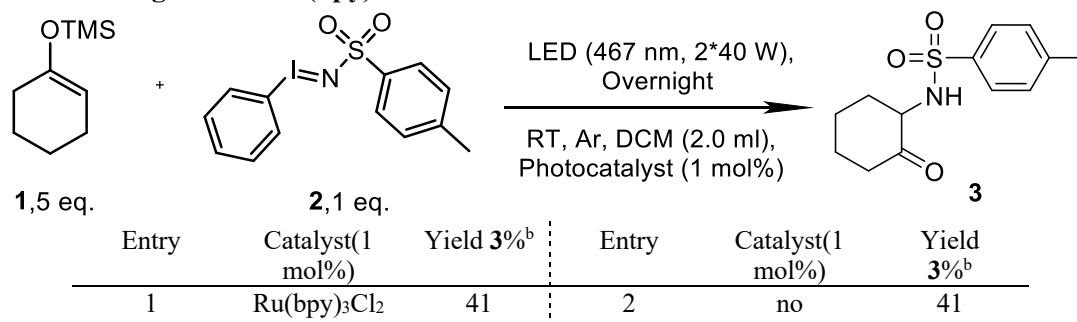
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1. General Information

Unless otherwise noted, all commercially available compounds were used as provided without further purification. Chemicals used in this manuscript were purchased from Sigma Aldrich, BLD pharm, Tokyo Chemical Industry (TCI), abcr., Alfa Aesar, Chempur, Fluorochem, Activate Scientific and Carl Roth. Solvents used in reactions were p.A. grade. Solvents for chromatography were technical grade and distilled prior to use. Analytical thin-layer chromatography (TLC) was performed on Macherey-Nagel silica gel aluminium plates with F-254 indicator, visualized by irradiation with UV light. Column chromatography was performed using silica gel Merck 60 (particle size 0.063 – 0.2 mm). Solvent mixtures are understood as volume/volume. $^1\text{H-NMR}$, $^{19}\text{F-NMR}$ and $^{13}\text{C-NMR}$ were recorded on a Varian AV600/AV400 or an Agilent DD2 400 NMR spectrometer in CDCl_3 or $\text{DMSO-}d_6$. Data are reported in the following order: chemical shift (δ) in ppm; multiplicities are indicated brs (broadened singlet), s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet); coupling constants (J) are in Hertz (Hz). HRMS data were recorded on a ThermoFisher Scientific LTQ Orbitrap XL using ESI ionization or on a Finnigan MAT 95 using EI ionization at 70 eV. IR spectra were recorded on a Perkin Elmer-100 spectrometer and are reported in terms of frequency of absorption (cm^{-1}). Blue LEDs used in this manuscript were purchased from Kessil: 40 W, 467 nm. Reactions were irradiated from 1.5 cm, temperature was set to ambient and cooling was realized with a fan.

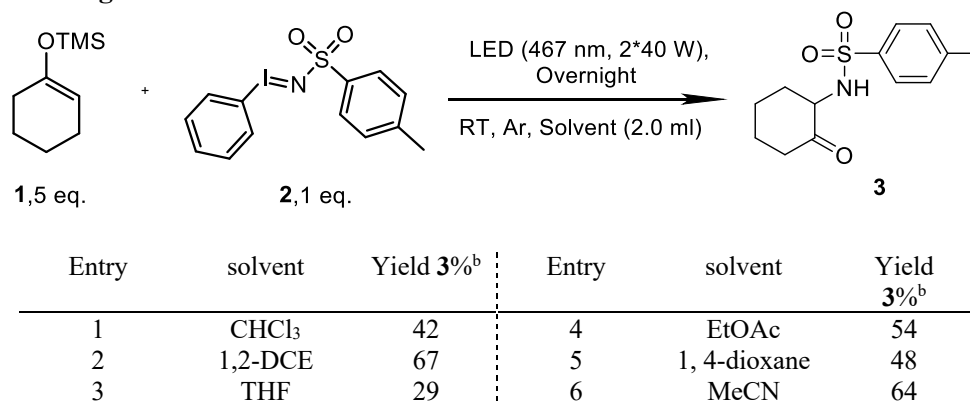
2. Reaction Optimization

Table S1. Investigation of Ru(bpy)₃Cl₂ for the reaction.



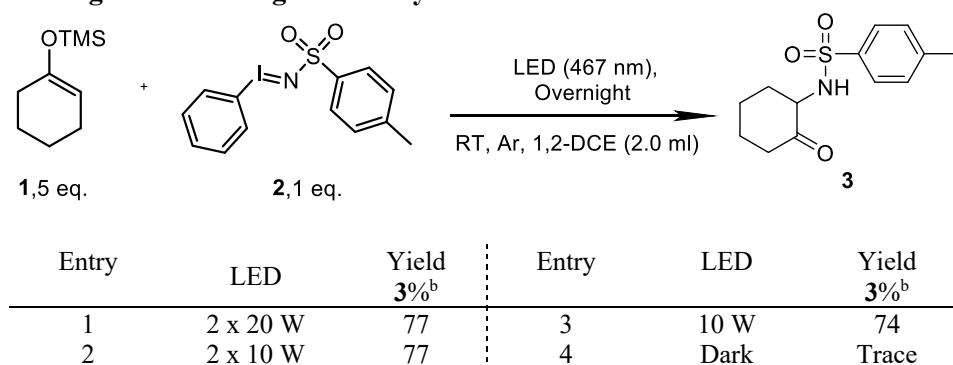
Reaction conditions: [a] 0.2 mmol **2** (1 eq.), 1.0 mmol **1** (5 eq.) were taken in a reaction tube and 2.0 mL DCM was added to the reaction mixture under argon atmosphere and irradiated with blue LED (2 x 40 W, 467 nm LEDs from Kessil) overnight. Further changes of the reaction conditions have been noted in the table S1. [b] Isolated yield.

Table S2. Investigation of solvent for the reaction.

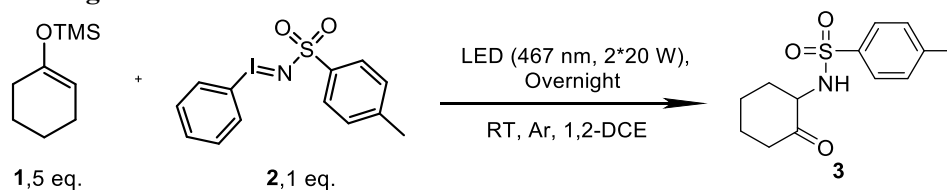


Reaction conditions: [a] 0.2 mmol **2** (1 eq.), 1.0 mmol **1** (5 eq.) were taken in a reaction tube and 2.0 mL solvent was added to the reaction mixture under argon atmosphere and irradiated with blue LED (2 x 40 W, 467 nm LEDs from Kessil) overnight. Further changes of the reaction conditions have been noted in the table S2. [b] Isolated yield.

Table S3. Investigation of UV light intensity for the reaction.

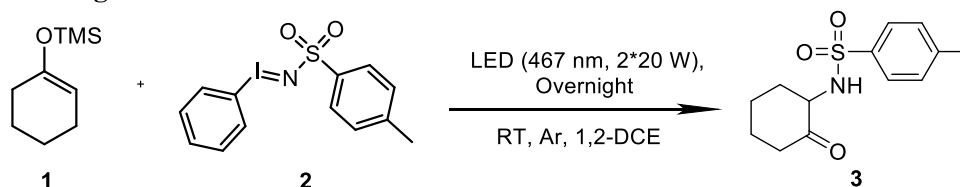


Reaction conditions: [a] 0.2 mmol **2** (1 eq.), 1.0 mmol **1** (5 eq.) were taken in a reaction tube and 2.0 mL 1,2-DCE was added to the reaction mixture under argon atmosphere and irradiated with blue LED (467 nm LEDs from Kessil) overnight. Further changes of the reaction conditions have been noted in the table S3. [b] Isolated yield.

Table S4. Investigation of reactant concentration for the reaction.

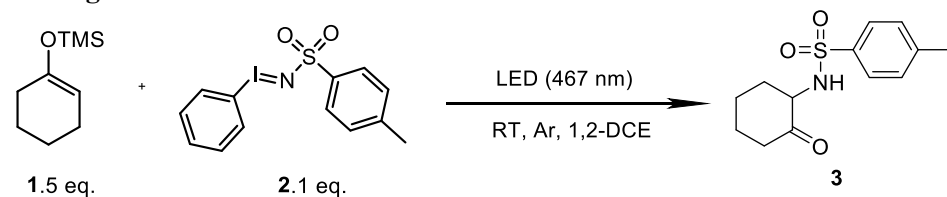
Entry	Amount of solvent	Yield 3% ^b	Entry	Amount of solvent	Yield 3% ^b
1	0.5 mL	66	4	3.0 mL	60
2	1.0 mL	70	5	4.0 mL	63
3	2.0 mL	77			

Reaction conditions: [a] 0.2 mmol **2** (1 eq.), 1.0 mmol **1** (5 eq.) were taken in a reaction tube and 1,2-DCE was added to the reaction mixture under argon atmosphere and irradiated with blue LED (2 x 20 W, 467 nm LEDs from Kessil) overnight. Further changes of the reaction conditions have been noted in the table S4. [b] Isolated yield.

Table S5. Investigation of feed ratio for the reaction.

Entry	Ratio (<i>n</i> : <i>m</i>)	Yield 3% ^b	Entry	Ratio (<i>n</i> : <i>m</i>)	Yield 3% ^b
1	1/5	77	4	2/1	39
2	1/10	72	5	5/1	60
3	1/2	50			

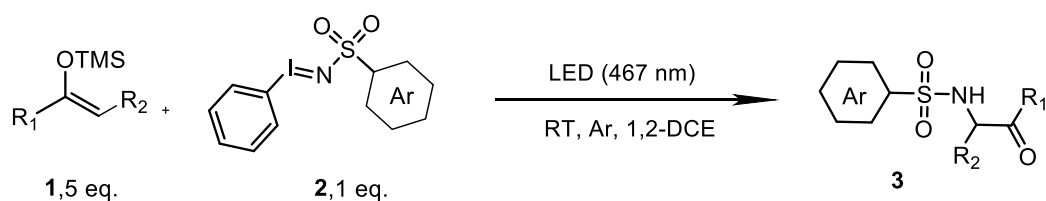
Reaction conditions: [a] **2** and **1** were taken in a reaction tube and 2 mL 1,2-DCE was added to the reaction mixture under argon atmosphere and irradiated with blue LED (2 x 20 W, 467 nm LEDs from Kessil) overnight. Further changes of the reaction conditions have been noted in the table S5. [b] Isolated yield. *n* = amount of substance.

Table S6. Investigation of time for the reaction.

Entry	Time(h)	Yield 3% ^b	Entry	Time(h)	Yield 3% ^b
1	0.5	73	4	4.0	80
2	1.0	70	5	8.0	74
3	2.0	70			

Reaction conditions: [a] 0.2 mmol **2** (1 eq.), 1.0 mmol **1** (5 eq.) were taken in a reaction tube and 2 mL 1,2-DCE was added to the reaction mixture under argon atmosphere and irradiated with blue LED (2 x 20 W, 467 nm LEDs from Kessil). Further changes of the reaction conditions have been noted in the table S6. [b] Isolated yield.

3. General Procedure (GP-1) Photo C-N Functionalization

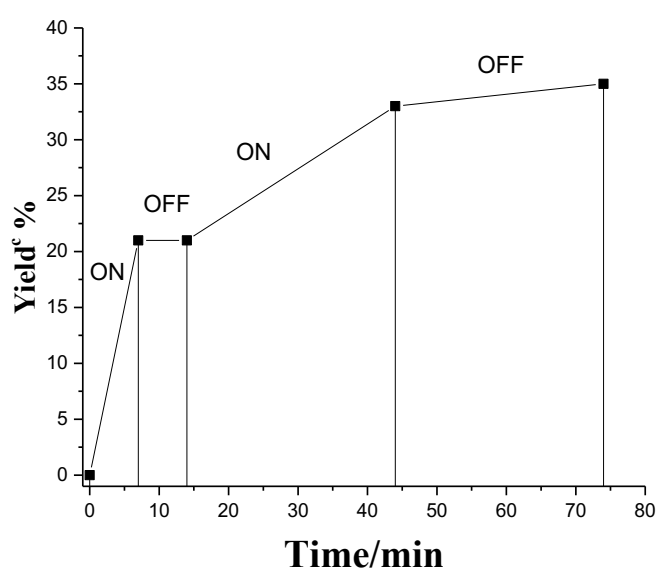
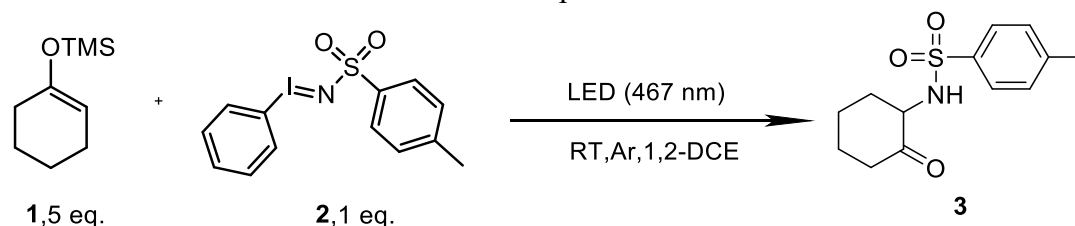


In a sealed transparent glass reaction vessel, PhINTs **2** (1.0 eq., 0.2 mmol) was added and the reaction vessel was evacuated and refilled with argon for three times. 1-Cyclohexenyloxy-trimethylsilan **1** (5.0 eq., 1.0 mmol) was added to the reaction vessel after being dissolved in 2.0 mL 1,2-DCE. The resulting solution was stirred under two 20 W LED lights irradiation for 4 hours. After the reaction finished, the solvent was removed by distillation under reduced pressure, and the product is purified by column chromatography to afford the target product.

4. Scale Up Experiment

In a sealed transparent glass reaction vessel, PhINTs **2** (1.0 eq., 1.0 mmol) was added and the reaction vessel was evacuated and refilled with argon for three times. 1-Cyclohexenyloxy-trimethylsilan (5.0 eq., 5.0 mmol) was added to the reaction vessel after being dissolved in 20 mL 1,2-DCE. The resulting solution was stirred under two 40 W LED lights irradiation for 4 hours. After the reaction finished, the solvent was removed by distillation under reduced pressure, and the product is purified by column chromatography using 20:1~4:1 n-hexanes: EtOAc as eluent to afford the target product (67%, 178.4 mg).

ON/OFF experiments.



Reaction conditions: [a] 0.6 mmol **2** (1 eq.), 3.0 mmol **1** (5 eq.) were taken in a reaction tube and 6 mL 1,2-DCE was added to the reaction mixture under argon atmosphere and irradiated with blue LED (2 x 40 W, 467 nm LEDs from Kessil). Further changes of the reaction conditions have been noted in the graph. [b] Isolated yield. [c] ¹H NMR yield using mesitylene as the internal standard.

Control experiments.

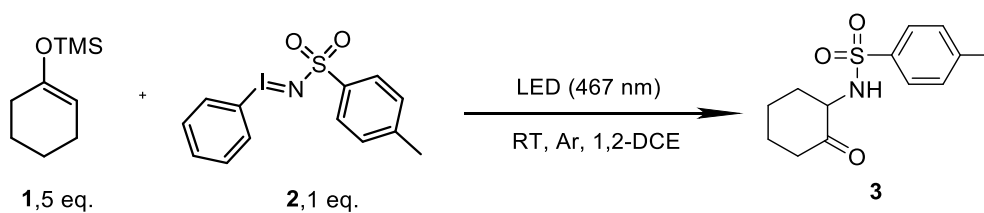


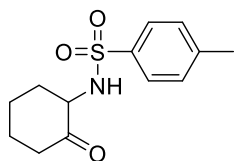
Table S6. Investigation of additives for the reaction.

Entry	Additive	Yield 3 (%) ^c	Entry	Additive	Yield 3 (%) ^c
1	2.0 equiv. of triethylamine / DABCO	n.r./n.r.	3	0.1 / 0.5 / 2.0 equiv. of TEMPO	40/29/n.r.
2	2.0 equiv. of H ₂ O	36	4	2.0 equiv. of DMPO	n.r.

Reaction conditions: [a] 0.2 mmol **2** (1 eq.), 1.0 mmol **1** (5 eq.) were taken in a reaction tube and 2 mL 1,2-DCE was added to the reaction mixture under argon atmosphere and irradiated with blue LED (2 x 20 W, 467 nm LEDs from Kessil). Further changes of the reaction conditions have been noted in the graph. [b] Isolated yield. [c] ¹H NMR yield using mesitylene as the internal standard. n.r. = no reaction.

Physical Data

4-Methyl-N-(2-oxocyclohexyl)benzenesulfonamide (3)



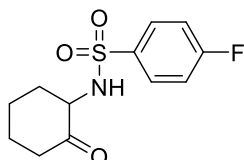
The title compound **3** was synthesized according to the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a colorless solid (80%, 40.5 mg).

¹H NMR (400 MHz, Chloroform-*d*): δ = 7.72 (d, *J* = 8.3 Hz, 2H), 7.28 (d, *J* = 8.2 Hz, 2H), 5.77 (d, *J* = 4.7 Hz, 1H), 3.79 – 3.69 (m, 1H), 2.58 – 2.42 (m, 2H), 2.41 (s, 3H), 2.27 – 2.17 (m, 1H), 2.12 – 2.02 (m, 1H), 1.90 – 1.81 (m, 1H), 1.74 – 1.64 (m, 1H), 1.64 – 1.59 (m, 1H), 1.55 – 1.46 (m, 1H) ppm.

¹³C NMR (101 MHz, Chloroform-*d*): δ = 205.7, 143.5, 137.0, 129.7, 127.0, 60.6, 40.8, 36.9, 27.4, 23.9, 21.5. ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. For C₁₃H₁₇NO₃SNa⁺: 290.08268; Found: 290.08235 .

4-fluoro-N-(2-oxocyclohexyl)benzenesulfonamide (6)



The title compound **6** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a colorless oil (76% yield, 41.4 mg).

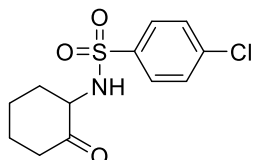
¹H NMR (400 MHz, Chloroform-*d*) δ = 7.86 (dd, *J* = 8.7, 5.2 Hz, 2H), 7.16 (t, *J* = 8.5 Hz, 2H), 5.81 (d, *J* = 5.0 Hz, 1H), 3.85 – 3.72 (m, 1H), 2.57 – 2.42 (m, 2H), 2.31 – 2.15 (m, 1H), 2.12 – 2.02 (m, 1H), 1.87 (dd, *J* = 13.4, 2.9 Hz, 1H), 1.75 – 1.58 (m, 2H), 1.55 – 1.46 (m, 1H) ppm.

¹⁹F NMR (376 MHz, Chloroform-*d*) δ = -105.29 ppm.

¹³C NMR (101 MHz, Chloroform-*d*): δ = 205.6, 165.0 (d, ¹*J*_{C-F} = 254.8 Hz), 136.1, 129.7 (d, ³*J*_{C-F} = 9.3 Hz), 116.3 (d, ²*J*_{C-F} = 22.6 Hz), 60.6, 40.7, 36.8, 27.3, 23.9 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₂H₁₄FNO₃SNa⁺: 294.05761; Found: 294.05708.

4-chloro-N-(2-oxocyclohexyl)benzenesulfonamide (7)



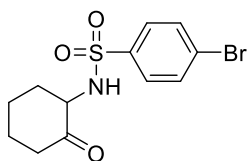
The title compound **7** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (49% yield, 28.1 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.78 (dd, *J* = 8.6, 1.4 Hz, 2H), 7.46 (dd, *J* = 8.6, 1.4 Hz, 2H), 5.83 (d, *J* = 5.0 Hz, 1H), 3.84 – 3.66 (m, 1H), 2.50 (d, *J* = 13.4 Hz, 2H), 2.34 – 2.15 (m, 1H), 2.15 – 1.98 (m, 1H), 1.93 – 1.82 (m, 1H), 1.74 – 1.46 (m, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 205.6, 139.2, 138.6, 129.4, 128.4, 60.6, 40.8, 36.8, 27.3, 23.9 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₂H₁₄ClNO₃SNa⁺: 310.02806; Found: 310.02744.

4-bromo-N-(2-oxocyclohexyl)benzenesulfonamide (**8**)



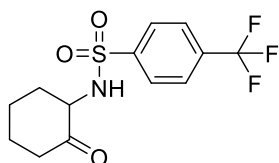
The title compound **8** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (51% yield, 34.0 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.71 (d, *J* = 8.6 Hz, 2H), 7.62 (d, *J* = 8.6 Hz, 2H), 5.83 (d, *J* = 4.9 Hz, 1H), 3.83 – 3.72 (m, 1H), 2.56 – 2.45 (m, 2H), 2.31 – 2.19 (m, 1H), 2.13 – 2.01 (m, 1H), 1.93 – 1.82 (m, 1H), 1.77 – 1.36 (m, 4H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 205.6, 139.1, 132.4, 128.5, 127.7, 60.6, 40.8, 36.8, 27.3, 23.9 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₂H₁₄BrNO₃SNa⁺: 353.97754; Found: 353.97713.

N-(2-oxocyclohexyl)-4-(trifluoromethyl)benzenesulfonamide (**9**)



The title compound **9** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (46% yield, 29.8 mg).

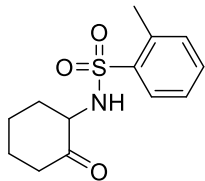
¹H NMR (400 MHz, Chloroform-*d*) δ = 7.98 (d, *J* = 8.1 Hz, 2H), 7.76 (d, *J* = 8.2 Hz, 2H), 5.90 (d, *J* = 4.9 Hz, 1H), 3.88 – 3.76 (m, 1H), 2.56 – 2.47 (m, 2H), 2.32 – 2.19 (m, 1H), 2.14 – 2.04 (m, 1H), 1.94 – 1.83 (m, 1H), 1.77 – 1.46 (m, 4H) ppm.

¹⁹F NMR (564 MHz, Chloroform-*d*) δ = -63.16 ppm .

¹³C NMR (151 MHz, Chloroform-*d*): δ = 205.4, 143.8, 134.4 (q, ²*J*_{C-F} = 32.8 Hz), 127.5, 126.3 (q, ³*J*_{C-F} = 3.8 Hz), 123.2 (q, ¹*J*_{C-F} = 273.1 Hz), 60.7, 40.7, 36.8, 27.3, 23.9 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₃H₁₄F₃NO₃SNa⁺: 344.05442; Found: 344.05408.

2-methyl-N-(2-oxocyclohexyl)benzenesulfonamide (10)



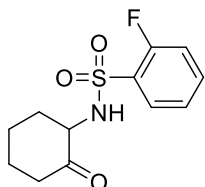
The title compound **10** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (49% yield, 26.3 mg).

¹H NMR (600 MHz, Chloroform-*d*) δ = 7.93 (dd, *J* = 8.2, 1.5 Hz, 1H), 7.44 (td, 1H), 7.30 (t, *J* = 7.3 Hz, 2H), 5.86 (d, *J* = 4.6 Hz, 1H), 3.78 – 3.69 (m, 1H), 2.68 (s, 3H), 2.52 – 2.43 (m, 2H), 2.27 – 2.20 (m, 1H), 2.10 – 2.04 (m, 1H), 1.86 – 1.80 (m, 1H), 1.70 – 1.60 (m, 2H), 1.60 – 1.52 (m, 1H), 1.51 – 1.45 (m, 1H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 205.9, 137.8, 137.3, 132.8, 132.7, 129.1, 126.0, 60.7, 40.8, 36.8, 27.4, 23.9, 20.1 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₃H₁₇NO₃SNa⁺: 290.33197; Found: 290.08197.

2-fluoro-N-(2-oxocyclohexyl)benzenesulfonamide (11)



The title compound **11** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (34% yield, 18.7 mg).

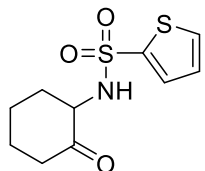
¹H NMR (400 MHz, Chloroform-*d*) δ = 7.87 (t, *J* = 6.6 Hz, 1H), 7.60 – 7.46 (m, 1H), 7.25 (t, *J* = 7.7 Hz, 1H), 7.22 – 7.15 (m, 1H), 5.99 (d, *J* = 5.3 Hz, 1H), 3.98 – 3.82 (m, 1H), 2.60 – 2.46 (m, 2H), 2.32 – 2.20 (m, 1H), 2.12 – 2.02 (m, 1H), 1.92 – 1.83 (m, 1H), 1.79 – 1.45 (m, 4H).

¹⁹F NMR (564 MHz, Chloroform-*d*) δ = -109.36 (ddd, *J* = 10.1, 7.1, 5.0 Hz) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 205.5, 158.9 (d, ¹*J*_{C-F} = 254.7 Hz), 135.0 (d, ¹*J*_{C-F} = 8.5 Hz), 129.9, 128.2 (d, ³*J*_{C-F} = 13.6 Hz), 124.3 (d, ⁴*J*_{C-F} = 3.8 Hz), 117.1 (d, ²*J*_{C-F} = 21.2 Hz), 61.0, 40.8, 37.0, 27.4, 24.0 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₂H₁₄FNO₃SNa⁺: 294.05761; Found: 294.05701.

N-(2-oxocyclohexyl)thiophene-2-sulfonamide (12)



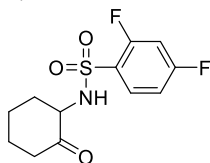
The title compound **12** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (29% yield, 15.1 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.57 (dd, *J* = 8.2, 4.3 Hz, 2H), 7.06 (t, *J* = 4.4 Hz, 1H), 5.88 (d, *J* = 4.9 Hz, 1H), 3.93 – 3.81 (m, 1H), 2.64 – 2.55 (m, 1H), 2.55 – 2.48 (m, 1H), 2.34 – 2.23 (m, 1H), 2.15 – 2.05 (m, 1H), 1.95 – 1.85 (m, 1H), 1.79 – 1.51 (m, 4H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 205.5, 140.9, 132.1, 132.0, 127.4, 61.0, 40.8, 36.8, 27.4, 23.9 ppm.

HRMS (ESI): *m/z*: [M] Calcd. for C₁₀H₁₃NO₃S₂: 259.03369; Found: 259.03314.

2, 4-difluoro-N-(2-oxocyclohexyl)benzenesulfonamide (13)



The title compound **13** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (24% yield, 13.9 mg).

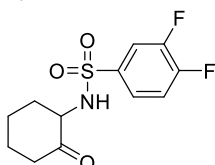
¹H NMR (400 MHz, Chloroform-*d*) δ = 7.92 – 7.84 (m, 1H), 7.01 – 6.90 (m, 2H), 5.95 (d, *J* = 5.5 Hz, 1H), 3.90 (dt, *J* = 12.0, 5.9 Hz, 1H), 2.57 – 2.48 (m, 2H), 2.27 (td, *J* = 13.6, 6.3 Hz, 1H), 1.90 (s, 1H), 1.71 – 1.52 (m, 4H) ppm.

¹⁹F NMR (564 MHz, Chloroform-*d*) δ = -100.51 (dd, *J* = 13.0, 6.6 Hz), -104.13 (dt, *J* = 12.1, 8.6 Hz) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 205.6, 165.8 (q, $^1J_{C-F}$ = 245.6 Hz), 159.7 (q, $^1J_{C-F}$ = 245.2 Hz), 131.6 (q, $^3J_{C-F}$ = 10.4 Hz), 111.8 (d, $^4J_{C-F}$ = 3.6 Hz) 111.6 (d, $^4J_{C-F}$ = 3.6 Hz), 105.8 (t, $^2J_{C-F}$ = 24.5 Hz), 61.0, 40.8, 37.0, 27.4, 24.0. ppm.

HRMS (ESI): *m/z*: [M] Calcd. for C₁₂H₁₃F₂NO₃SNa⁺: 312.04819; Found: 312.04693.

3, 4-difluoro-N-(2-oxocyclohexyl)benzenesulfonamide (14)



The title compound **14** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (40% yield, 23.1 mg).

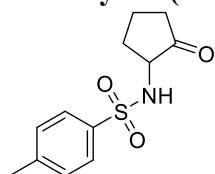
¹H NMR (400 MHz, Chloroform-*d*) δ = 7.74 – 7.66 (m, 1H), 7.63 (d, *J* = 8.7 Hz, 1H), 7.33 – 7.26 (m, 1H), 5.83 (d, *J* = 4.9 Hz, 1H), 3.85 – 3.75 (m, 1H), 2.52 (d, *J* = 13.5 Hz, 2H), 2.32 – 2.22 (m, 1H), 2.14 – 2.02 (m, 1H), 1.89 (d, *J* = 13.2 Hz, 1H), 1.67 – 1.46 (m, 3H) ppm.

¹⁹F NMR (564 MHz, Chloroform-*d*) δ = -129.31 – -129.41 (m), -133.38 – -133.47 (m) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 205.5, 151.7 (q, $^1J_{C-F}$ = 256.0 Hz), 151.6 (q, $^1J_{C-F}$ = 256.32 Hz), 137.0, 124.0 (q, $^3J_{C-F}$ = 3.9 Hz), 118.2 (d, $^2J_{C-F}$ = 18.4 Hz), 117.0 (d, $^2J_{C-F}$ = 19.8 Hz), 60.7, 40.8, 36.8, 27.3, 23.9 ppm.

HRMS (ESI): *m/z*: [M] Calcd. for C₁₂H₁₃F₂NO₃SNa⁺: 312.04819; Found: 312.04685.

4-methyl-N-(2-oxocyclopentyl)benzenesulfonamide (15)



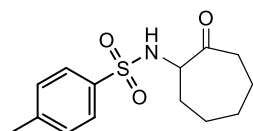
The title compound **15** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (28% yield, 14.2 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.76 (d, *J* = 8.3 Hz, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 5.10 (s, 1H), 3.51 – 3.34 (m, 1H), 2.60 – 2.50 (m, 1H), 2.42 (s, 3H), 2.38 – 2.30 (m, 1H), 2.18 – 2.06 (m, 1H), 2.06 – 1.97 (m, 1H), 1.83 – 1.72 (m, 1H), 1.70 – 1.62 (m, 1H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 213.1, 143.8, 136.2, 129.8, 127.3, 60.3, 34.3, 31.0, 21.5, 17.7 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₂H₁₅NO₃SNa⁺: 276.06703; Found: 276.06655.

4-methyl-N-(2-oxocycloheptyl)benzenesulfonamide (16)



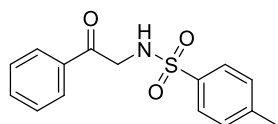
The title compound **16** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a colorless solid (26% yield, 14.7 mg).

¹H NMR (600 MHz, Chloroform-*d*) δ = 7.70 (d, *J* = 8.3 Hz, 2H), 7.28 (d, *J* = 8.2 Hz, 2H), 5.80 (d, *J* = 5.3 Hz, 1H), 3.99 – 3.90 (m, 1H), 2.46 – 2.41 (m, 1H), 2.40 (s, 3H), 2.39 – 2.32 (m, 1H), 2.13 – 2.06 (m, 1H), 1.84 – 1.76 (m, 1H), 1.74 – 1.66 (m, 3H), 1.66 – 1.58 (m, 3H) ppm.

¹³C NMR (101 MHz, Chloroform-*d*): δ = 208.7, 143.5, 136.7, 129.7, 127.0, 61.9, 40.9, 33.3, 29.0, 26.8, 23.6, 21.5 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₄H₁₉NO₃SNa⁺: 304.09833; Found: 304.09753.

4-methyl-N-(2-oxo-2-phenylethyl)benzenesulfonamide (17)



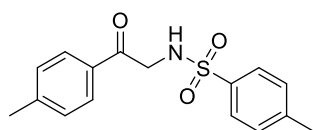
The title compound **17** was synthesized according to the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : ethyl acetate 4: 1) as a colorless solid (77%, 44.6 mg).

¹H NMR (600 MHz, Chloroform-*d*): δ = 7.84 (dd, *J* = 8.4, 1.3 Hz, 2H), 7.78 (d, *J* = 8.4 Hz, 2H), 7.60 (t, *J* = 7.5 Hz, 1H), 7.49 – 7.44 (t, *J* = 7.8 Hz, 2H), 7.28 (d, *J* = 7.9 Hz, 2H), 5.68 (t, *J* = 4.6 Hz, 1H), 4.46 (d, *J* = 4.6 Hz, 2H), 2.39 (s, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 192.4, 143.7, 136.0, 134.4, 133.7, 129.8, 128.9, 127.8, 127.1, 48.6, 21.1 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₅H₁₅NO₃SNa⁺: 312.06703; Found: 312.06644.

4-methyl-N-(2-oxo-2-(p-tolyl)ethyl)benzenesulfonamide (18)



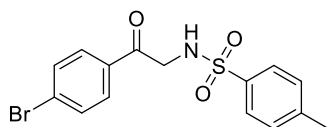
The title compound **18** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (80% yield, 48.8 mg).

¹H NMR (600 MHz, Chloroform-*d*) δ = 7.76 (dd, 4H), 7.30 – 7.24 (m, 4H), 5.64 (t, 1H), 4.42 (d, 2H), 2.41 (s, 3H), 2.39 (s, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 192.0, 145.6, 143.7, 136.1, 131.3, 129.8, 129.6, 127.9, 127.2, 48.5, 21.8, 21.5 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₆H₁₇NO₃SNa⁺: 326.08268; Found: 326.08208.

N-(2-(4-bromophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (19)



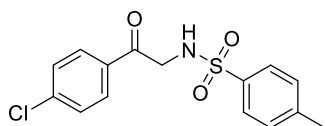
The title compound **19** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (55% yield, 40.8 mg).

¹H NMR (600 MHz, Chloroform-*d*) δ = 7.77 (d, *J* = 8.4 Hz, 2H), 7.71 (d, *J* = 8.6 Hz, 2H), 7.61 (d, *J* = 8.5 Hz, 2H), 7.29 (d, *J* = 8.2 Hz, 2H), 5.62 (t, *J* = 4.5 Hz, 1H), 4.42 (d, *J* = 4.6 Hz, 2H), 2.39 (s, 3H) ppm.

¹³C NMR (101 MHz, Chloroform-*d*): δ = 191.7, 143.8, 136.0, 132.5, 132.3, 129.83, 129.76, 129.3, 127.1, 48.6, 21.5 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₅H₁₄BrNO₃SNa⁺: 391.23427; Found: 391.97486.

N-(2-(4-chlorophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (20)



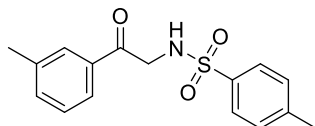
The title compound **20** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (67%, 43.2 mg).

¹H NMR (600 MHz, Chloroform-*d*) δ = 7.77 (d, *J* = 8.4 Hz, 2H), 7.71 (d, *J* = 8.7 Hz, 2H), 7.61 (d, *J* = 8.7 Hz, 2H), 7.29 (d, *J* = 7.7 Hz, 2H), 5.62 (t, *J* = 4.7 Hz, 1H), 4.42 (d, *J* = 4.6 Hz, 2H), 2.40 (s, 3H) ppm.

¹³C NMR (101 MHz, Chloroform-*d*): δ = 191.7, 143.9, 136.1, 132.5, 132.4, 129.9, 129.8, 129.3, 127.2, 48.6, 21.5 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₅H₁₄ClNO₃SNa⁺: 346.02806; Found: 346.02749.

4-methyl-N-(2-oxo-2-(*m*-tolyl)ethyl)benzenesulfonamide (21)



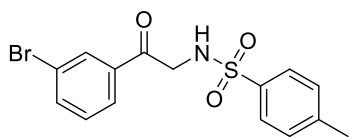
The title compound **21** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (75% yield, 45.8 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.72 (d, *J* = 8.3 Hz, 2H), 7.58 (d, *J* = 9.2 Hz, 2H), 7.35 (d, *J* = 7.7 Hz, 1H), 7.29 (d, *J* = 7.6 Hz, 1H), 7.22 (d, *J* = 8.1 Hz, 2H), 5.63 (t, *J* = 4.5 Hz, 1H), 4.38 (d, *J* = 4.5 Hz, 2H), 2.33 (s, 6H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 192.6, 143.7, 138.9, 135.2, 133.8, 129.8, 128.8, 128.4, 127.2, 127.2, 125.0, 48.6, 21.5, 21.3 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₆H₁₇NO₃SNa⁺: 326.08268; Found: 326.08201.

N-(2-(3-bromophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (**22**)



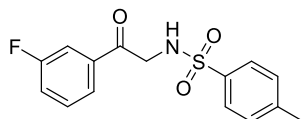
The title compound **22** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a colorless powder (41% yield, 30.0 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.96 (s, 1H), 7.77 (d, *J* = 8.4 Hz, 2H), 7.72 (d, *J* = 9.0 Hz, 1H), 7.35 (t, *J* = 7.9 Hz, 1H), 7.29 (d, *J* = 7.8 Hz, 2H), 5.61 (t, 1H), 4.43 (d, *J* = 4.6 Hz, 2H), 2.40 (s, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 191.5, 143.9, 137.2, 136.0, 135.4, 130.9, 130.6, 129.9, 127.2, 126.4, 123.3, 48.8, 21.5 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₅H₁₄BrNO₃Na⁺: 391.23427; Found: 391.97433.

N-(2-(3-fluorophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (**23**)



The title compound **23** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (89% yield, 54.7 mg).

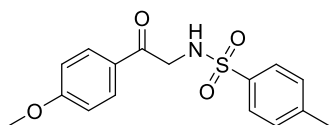
¹H NMR (400 MHz, Chloroform-*d*) δ = 7.78 (d, *J* = 8.0 Hz, 2H), 7.63 (d, *J* = 7.8 Hz, 1H), 7.53 (d, *J* = 9.0 Hz, 1H), 7.49 – 7.42 (m, 1H), 7.29 (d, *J* = 8.1 Hz, 3H), 5.63 (t, *J* = 4.6 Hz, 1H), 4.44 (d, *J* = 4.5 Hz, 2H), 2.40 (s, 3H) ppm.

¹⁹F NMR (376 MHz, Chloroform-*d*) δ = -110.64 (q, *J* = 7.8 Hz) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 191.6, 162.8 (d, ¹*J*_{C-F} = 249.6 Hz), 143.9, 136.0, 135.7 (d, ³*J*_{C-F} = 6.3 Hz), 130.8 (d, ³*J*_{C-F} = 7.6 Hz), 129.9, 127.2, 123.6 (t, ⁴*J*_{C-F} = 2.8 Hz), 121.5 (d, ²*J*_{C-F} = 21.5 Hz), 114.7 (d, ²*J*_{C-F} = 22.7 Hz), 48.9, 21.5 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₅H₁₄FNO₃Na⁺: 330.05761; Found: 330.05717.

N-(2-(4-methoxyphenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (**24**)



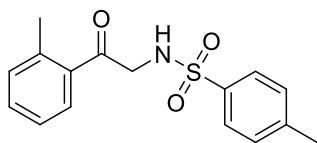
The title compound **24** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (39%, 25.0 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.78 (dd, 4H), 7.26 (d, 2H), 6.90 (d, 2H), 5.66 (t, 1H), 4.37 (d, 2H), 3.84 (s, 3H), 2.37 (s, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 190.8, 164.5, 143.7, 136.2, 130.2, 129.8, 127.2, 126.8, 114.2, 55.6, 48.2, 21.5. ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₆H₁₇NO₄Na⁺: 342.0776; Found: 342.07733.

4-methyl-N-(2-oxo-2-(*o*-tolyl)ethyl)benzenesulfonamide (**25**)



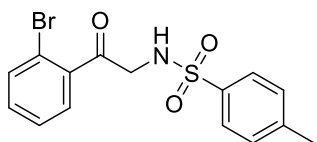
The title compound **25** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (80% yield, 48.5 mg).

¹H NMR (600 MHz, Chloroform-*d*) δ = 7.77 (d, *J* = 8.4 Hz, 2H), 7.55 (d, *J* = 7.7 Hz, 1H), 7.41 (t, *J* = 7.5 Hz, 1H), 7.28 (d, *J* = 8.3 Hz, 2H), 7.26 – 7.22 (m, 2H), 5.68 (s, 1H), 4.36 (d, *J* = 4.6 Hz, 2H), 2.41 (s, 3H), 2.39 (s, 3H) ppm.

¹³C NMR (101 MHz, Chloroform-*d*): δ = 195.1, 143.7, 139.7, 136.2, 133.5, 132.9, 132.5, 129.8, 128.5, 127.2, 126.0, 50.1, 21.51, 21.48 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₆H₁₇NO₃SNa⁺: 326.08268 ; Found: 326.08218.

N-(2-(2-bromophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (**26**)



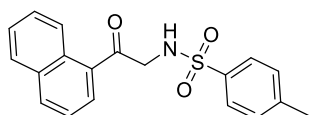
The title compound **26** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a colorless oil (20% yield, 14.8 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.77 (d, *J* = 8.4 Hz, 2H), 7.61 (d, *J* = 8.0 Hz, 1H), 7.35 (t, 3H), 7.30 (d, *J* = 7.9 Hz, 2H), 5.52 (t, *J* = 5.0 Hz, 1H), 4.40 (d, *J* = 4.9 Hz, 2H), 2.41 (s, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 196.2, 143.9, 137.4, 136.1, 134.2, 133.0, 129.8, 129.2, 127.6, 127.3, 119.4, 51.4, 21.5 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₅H₁₄BrNO₃SNa⁺: 391.23427; Found: 391.97428.

4-methyl-N-(2-(naphthalen-1-yl)-2-oxoethyl)benzenesulfonamide (**27**)



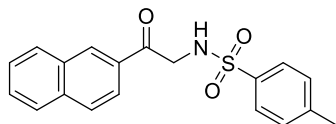
The title compound **27** was synthesized according to the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : ethyl acetate 4: 1) as a colorless solid (48%, 32.8 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 8.49 (d, *J* = 7.6 Hz, 1H), 8.02 (d, *J* = 8.2 Hz, 1H), 7.85 (d, *J* = 7.2 Hz, 1H), 7.78 (d, *J* = 8.3 Hz, 3H), 7.64 – 7.41 (m, 4H), 7.27 (s, 1H), 5.77 (t, *J* = 4.7, 4.7 Hz, 1H), 4.50 (d, *J* = 4.8 Hz, 2H), 2.36 (s, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 195.51, 143.79, 136.14, 134.53, 133.93, 131.41, 130.11, 129.84, 128.67, 128.60, 128.35, 127.26, 126.89, 125.38, 124.25, 50.38, 21.51 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₉H₁₇NO₃SNa⁺: 362.08268; Found: 362.08215.

4-methyl-N-(2-(naphthalen-2-yl)-2-oxoethyl)benzenesulfonamide (28)



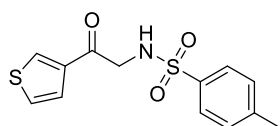
The title compound **28** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a colorless solid (49% yield, 33.2 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 8.36 (s, 1H), 7.94 (d, *J* = 8.1 Hz, 1H), 7.87 (d, *J* = 11.6 Hz, 3H), 7.81 (d, *J* = 8.3 Hz, 2H), 7.63 (t, *J* = 7.5 Hz, 1H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.29 (d, *J* = 8.4 Hz, 2H), 5.75 (t, *J* = 4.6 Hz, 1H), 4.60 (d, *J* = 4.5 Hz, 2H), 2.38 (s, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 192.5, 143.8, 136.12, 136.06, 132.3, 131.0, 129.9, 129.8, 129.6, 129.2, 128.9, 127.9, 127.2, 127.2, 123.0, 48.7, 21.5 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₉H₁₇NO₃SNa⁺: 362.08268; Found: 362.08230.

4-methyl-N-(2-oxo-2-(thiophen-3-yl)ethyl)benzenesulfonamide (29)



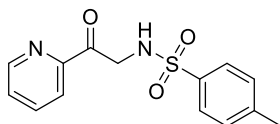
The title compound **29** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (69% yield, 41.0 mg).

¹H NMR (600 MHz, Chloroform-*d*) δ = 8.07 (dd, *J* = 2.9, 1.3 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 2H), 7.46 (dd, *J* = 5.2, 1.3 Hz, 1H), 7.34 (dd, *J* = 5.1, 2.9 Hz, 1H), 7.28 (d, *J* = 7.7 Hz, 2H), 5.62 (t, *J* = 4.7 Hz, 1H), 4.35 (d, *J* = 4.6 Hz, 2H), 2.39 (s, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 186.7, 143.8, 138.5, 136.0, 132.9, 129.8, 127.2, 127.2, 126.2, 49.2, 21.5 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₃H₁₃NO₃S₂Na⁺: 318.02345; Found: 318.02286.

4-methyl-N-(2-oxo-2-(pyridin-2-yl)ethyl)benzenesulfonamide (30)



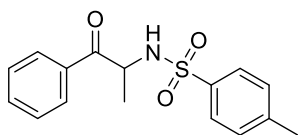
The title compound **30** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (46% yield, 26.8 mg).

¹H NMR (600 MHz, Chloroform-*d*) δ = 8.63 (d, *J* = 4.8 Hz, 1H), 7.95 (d, *J* = 7.8 Hz, 1H), 7.83 (td, *J* = 7.7, 1.7 Hz, 1H), 7.78 (d, *J* = 8.2 Hz, 2H), 7.50 (dd, *J* = 6.4, 4.7 Hz, 1H), 7.27 (s, 1H), 7.26 (s, 1H), 5.60 (t, *J* = 4.9 Hz, 1H), 4.69 (d, *J* = 4.9 Hz, 2H), 2.38 (s, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 194.6, 150.9, 149.3, 143.6, 137.1, 136.3, 129.7, 128.2, 127.3, 122.1, 49.0, 21.5 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₄H₁₄N₂O₃SNa⁺: 313.06228; Found: 313.06179.

4-methyl-N-(1-oxo-1-phenylpropan-2-yl)benzenesulfonamide (31)



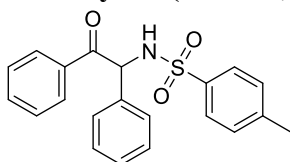
The title compound **31** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (64% yield, 38.6 mg).

¹H NMR (600 MHz, Chloroform-*d*) δ = 7.77 (d, *J* = 1.1 Hz, 1H), 7.75 (d, *J* = 1.3 Hz, 1H), 7.69 (d, *J* = 8.5 Hz, 2H), 7.58 (t, *J* = 7.5 Hz, 1H), 7.44 (t, *J* = 7.9 Hz, 2H), 7.16 (d, *J* = 8.5 Hz, 2H), 5.81 (s, 1H), 4.97 – 4.88 (m, 1H), 2.31 (s, 3H), 1.39 (d, *J* = 7.1 Hz, 3H) ppm.

¹³C NMR (101 MHz, Chloroform-*d*): δ = 198.1, 143.5, 137.0, 134.1, 133.4, 129.6, 128.8, 128.4, 127.0, 53.3, 21.4, 21.1 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for : C₁₆H₁₇NO₃SNa⁺: 326.08268; Found: 326.08213.

4-methyl-N-(2-oxo-1, 2-diphenylethyl)benzenesulfonamide (32)



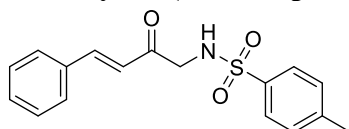
The title compound **32** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (35% yield, 25.5 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.80 (d, *J* = 7.9 Hz, 2H), 7.52 (d, *J* = 8.1 Hz, 2H), 7.48 (d, *J* = 7.3 Hz, 1H), 7.35 (t, *J* = 7.7 Hz, 2H), 7.18 (s, 5H), 7.06 (d, *J* = 7.9 Hz, 2H), 6.23 (d, *J* = 7.4 Hz, 1H), 5.99 (d, *J* = 7.4 Hz, 1H), 2.29 (s, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 194.6, 143.1, 137.4, 135.7, 133.9, 133.8, 129.3, 129.1, 128.9, 128.7, 128.5, 128.1, 126.9, 61.7, 21.4 ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₂₁H₁₉NO₃SNa⁺: 388.09833; Found: 388.09788.

4-methyl-N-(2-oxo-4-phenylbut-3-en-1-yl)benzenesulfonamide (33)

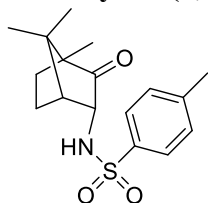


The title compound **33** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane : dichloromethane : acetone 20:1:1-4:1:1) as a white solid (25% yield, 15.6 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.77 (d, *J* = 8.3 Hz, 2H), 7.58 (d, *J* = 16.2 Hz, 1H), 7.52 (dd, *J* = 7.7, 1.8 Hz, 2H), 7.44 – 7.37 (m, 3H), 7.31 (s, 1H), 7.29 (s, 1H), 6.64 (d, *J* = 16.2 Hz, 1H), 5.53 (t, *J* = 4.7 Hz, 1H), 4.12 (d, *J* = 4.6 Hz, 2H), 2.40 (s, 3H) ppm.

HRMS (ESI): *m/z*: [M + Na]⁺ Calcd. for C₁₇H₁₇NO₃SNa⁺: 338.08268; Found: 338.08207.

4-methyl-N-(4, 7, 7-trimethyl-3-oxobicyclo[2.2.1]heptan-2-yl)benzenesulfonamide (34)



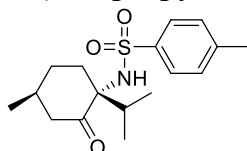
The title compound **34** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (54% yield, 35.0 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.75 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 4.72 (d, *J* = 2.9 Hz, 1H), 3.07 (d, *J* = 2.9 Hz, 1H), 2.43 (s, 3H), 2.39 (d, *J* = 4.5 Hz, 1H), 2.06 – 1.95 (m, 1H), 1.71 – 1.60 (m, 1H), 1.48 – 1.37 (m, 1H), 1.36 – 1.27 (m, 1H), 0.92 (s, 3H), 0.88 (s, 6H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 215.0, 143.9, 135.5, 129.8, 127.5, 62.4, 56.7, 47.9, 47.0, 28.1, 26.6, 21.6, 20.8, 20.2, 9.1 ppm.

HRMS (ESI): *m/z*: [M] Calcd. for C₁₇H₂₃NO₃SNa⁺: 344.12963; Found: 344.12922.

N-(1-isopropyl-4-methyl-2-oxocyclohexyl)-4-methylbenzenesulfonamide (35)



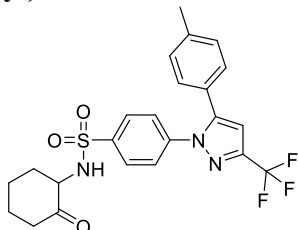
The title compound **35** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (37% yield, 23.7 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 7.73 (d, *J* = 8.4 Hz, 2H), 7.27 (s, 1H), 7.25 (s, 1H), 5.73 (s, 1H), 2.58 (dd, *J* = 13.9, 5.9 Hz, 1H), 2.39 (s, 3H), 2.36 – 2.31 (m, 1H), 2.31 – 2.15 (m, 3H), 1.95 – 1.84 (m, 1H), 1.78 – 1.68 (m, 1H), 1.45 – 1.35 (m, 1H), 1.08 (d, *J* = 6.6 Hz, 3H), 0.67 (d, *J* = 6.8 Hz, 3H), 0.58 (d, *J* = 7.1 Hz, 3H) ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 209.5, 142.8, 140.4, 129.5, 126.8, 70.3, 44.7, 31.4, 31.2, 26.8, 26.0, 21.4, 18.6, 16.3, 16.1 ppm.

HRMS (ESI): *m/z*: [M] Calcd. for C₁₇H₂₅NO₃SNa⁺: 346.14528; Found: 346.14500.

N-(4-oxotetrahydro-2H-pyran-3-yl)-4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)benzenesulfonamide (36)



The title compound **36** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (51% yield, 49.0 mg).

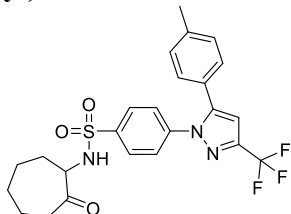
¹H NMR (400 MHz, Chloroform-*d*) δ = 7.83 (d, *J* = 8.6 Hz, 2H), 7.45 (d, *J* = 8.6 Hz, 2H), 7.17 (d, *J* = 7.9 Hz, 2H), 7.08 (d, *J* = 8.1 Hz, 2H), 6.74 (s, 1H), 5.85 (d, *J* = 4.7 Hz, 1H), 3.79 – 3.68 (m, 1H), 2.55 – 2.46 (m, 2H), 2.38 (s, 3H), 2.30 – 2.19 (m, 1H), 2.13 – 2.03 (m, 1H), 1.91 – 1.83 (m, 1H), 1.71 – 1.58 (m, 2H), 1.56 (s, 3H), 1.54 – 1.45 (m, 1H) ppm.

¹⁹F NMR (376 MHz, Chloroform-*d*) δ = -62.5 ppm.

^{13}C NMR (101 MHz, Chloroform-*d*): δ = 205.4, 145.3, 143.9, 142.5, 139.8, 139.4, 129.7, 128.7, 128.0, 125.6, 122.3, 119.7, 106.2, 60.6, 40.7, 36.8, 27.3, 23.9, 21.3 ppm.

HRMS (ESI): m/z : $[\text{M} + \text{Na}]^+$ Calcd. for $\text{C}_{23}\text{H}_{22}\text{F}_3\text{N}_3\text{O}_3\text{SNa}^+$: 500.12317; Found: 500.12218.

N-(2-oxocycloheptyl)-4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)benzenesulfonamide (37)



The title compound **37** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (46% yield, 44.8 mg).

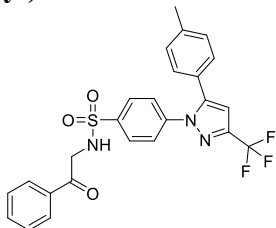
^1H NMR (400 MHz, Chloroform-*d*) δ = 7.87 (dd, J = 13.4, 8.4 Hz, 4H), 7.63 (t, J = 7.4 Hz, 1H), 7.51 – 7.42 (m, 4H), 7.12 (d, J = 8.0 Hz, 2H), 7.07 (d, J = 8.0 Hz, 2H), 6.72 (s, 1H), 5.80 (d, J = 4.6 Hz, 1H), 4.48 (d, J = 4.1 Hz, 2H), 2.35 (s, 3H) ppm.

^{19}F NMR (376 MHz, Chloroform-*d*) δ = -62.49 ppm.

^{13}C NMR (151 MHz, Chloroform-*d*): δ = 208.4, 145.3, 144.2, 144.0, 142.5, 139.8, 139.2, 129.7, 128.7, 128.1, 125.6, 121.9, 106.3, 62.0, 40.9, 33.3, 29.0, 26.8, 23.6, 21.3 ppm.

HRMS (ESI): m/z : $[\text{M}]$ Calcd. for $\text{C}_{24}\text{H}_{24}\text{F}_3\text{N}_3\text{O}_3\text{SNa}^+$: 514.13882; Found: 514.13774.

N-(2-oxo-2-phenylethyl)-4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)benzenesulfonamide (38)



The title compound **38** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (67% yield, 67.2 mg).

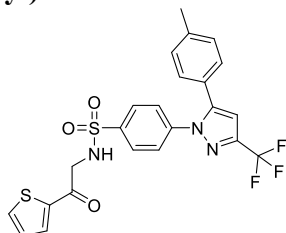
^1H NMR (400 MHz, Chloroform-*d*) δ = 7.81 (d, J = 8.6 Hz, 2H), 7.45 (d, J = 8.5 Hz, 2H), 7.16 (d, J = 7.8 Hz, 2H), 7.08 (d, J = 8.0 Hz, 2H), 6.74 (s, 1H), 5.90 (d, J = 5.0 Hz, 1H), 3.99 – 3.88 (m, 1H), 2.54 – 2.44 (m, 1H), 2.43 – 2.33 (m, 4H), 2.14 – 2.05 (m, 1H), 1.86 – 1.65 (m, 5H), 1.40 – 1.17 (m, 2H) ppm.

^{19}F NMR (376 MHz, Chloroform-*d*) δ = -62.49 ppm.

^{13}C NMR (151 MHz, Chloroform-*d*): δ = 192.3, 145.2, 144.2, 143.9, 142.7, 139.8, 138.6, 134.6, 133.6, 129.7, 129.1, 128.7, 128.2, 127.9, 125.6, 121.9, 106.3, 48.6, 21.3 ppm.

HRMS (ESI): m/z : $[\text{M}]$ Calcd. for $\text{C}_{25}\text{H}_{20}\text{F}_3\text{N}_3\text{O}_3\text{SNa}^+$: 522.10751; Found: 522.10645.

N-(2-oxo-2-phenylethyl)-4-(5-(p-tolyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)benzenesulfonamide (39)



The title compound **39** was synthesized following the general procedure (GP-1), and was obtained after silica column chromatography (n-hexane: ethyl acetate 20:1~4:1) as a white solid (63% yield, 63.5 mg).

¹H NMR (400 MHz, Chloroform-*d*) δ = 8.10 – 8.03 (m, 1H), 7.87 (d, *J* = 8.7 Hz, 2H), 7.45 (d, *J* = 8.6 Hz, 3H), 7.37 (dd, *J* = 5.3, 2.7 Hz, 1H), 7.14 (d, *J* = 7.8 Hz, 2H), 7.08 (d, *J* = 8.1 Hz, 2H), 6.73 (s, 1H), 5.67 (d, *J* = 4.6 Hz, 1H), 4.36 (d, *J* = 4.4 Hz, 2H), 2.37 (s, 3H) ppm.

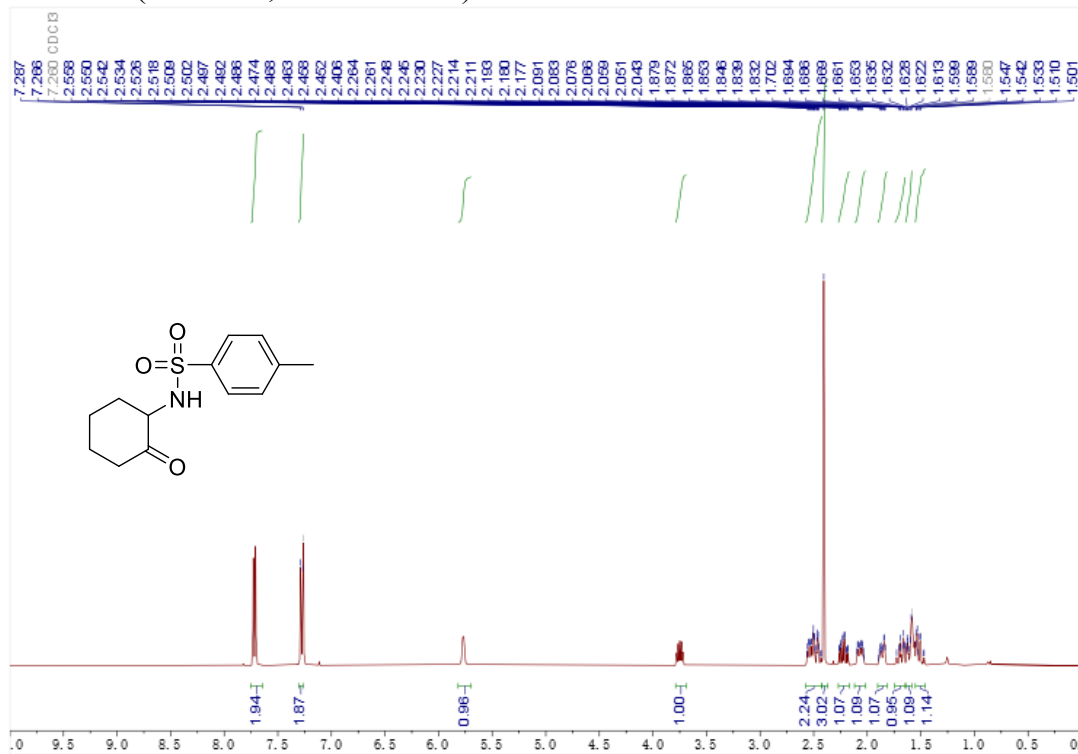
¹⁹F NMR (376 MHz, Chloroform-*d*) δ = -62.51 ppm.

¹³C NMR (151 MHz, Chloroform-*d*): δ = 186.4, 145.2, 144.2, 144.0, 142.7, 139.8, 138.5, 138.3, 133.1, 129.7, 128.7, 128.2, 127.4, 126.2, 125.6, 120.1, 106.3, 49.1, 21.3 ppm.

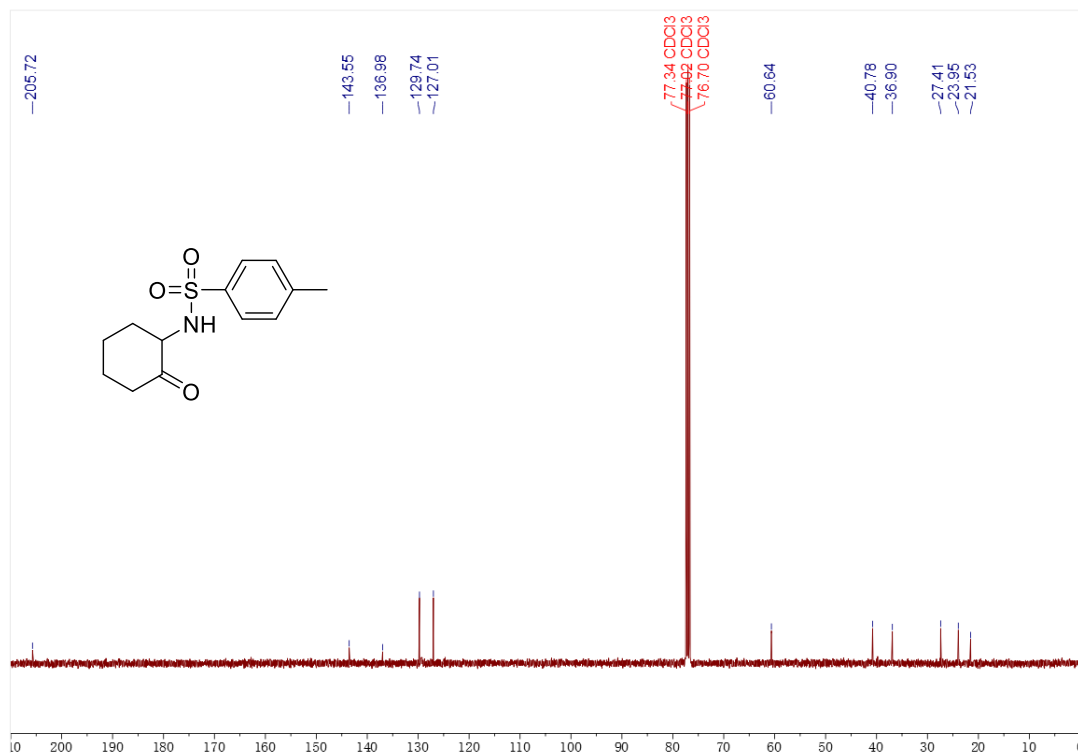
HRMS (ESI): *m/z*: [M] Calcd. For C₂₃H₁₈F₃N₃O₃S₂Na⁺: 528.06394; Found: 528.06277.

4-methyl-N-(2-oxocyclohexyl)benzenesulfonamide (3)

^1H NMR (400 MHz, Chloroform-*d*)

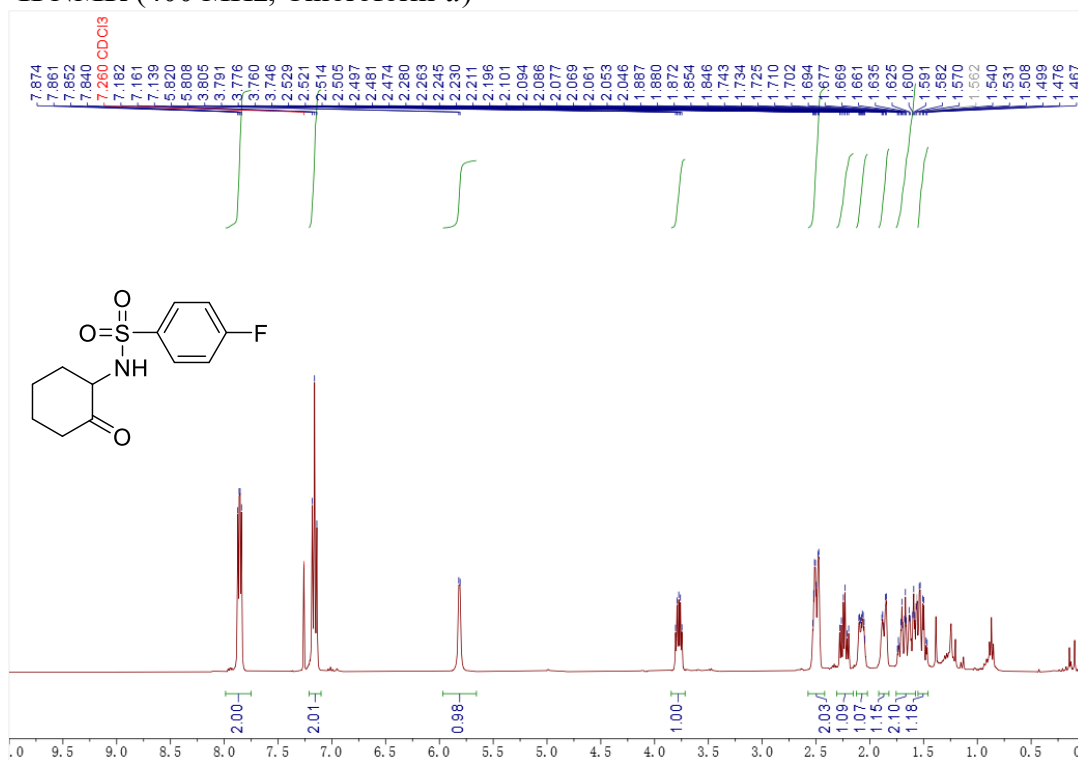


^{13}C NMR (101 MHz, Chloroform-*d*)

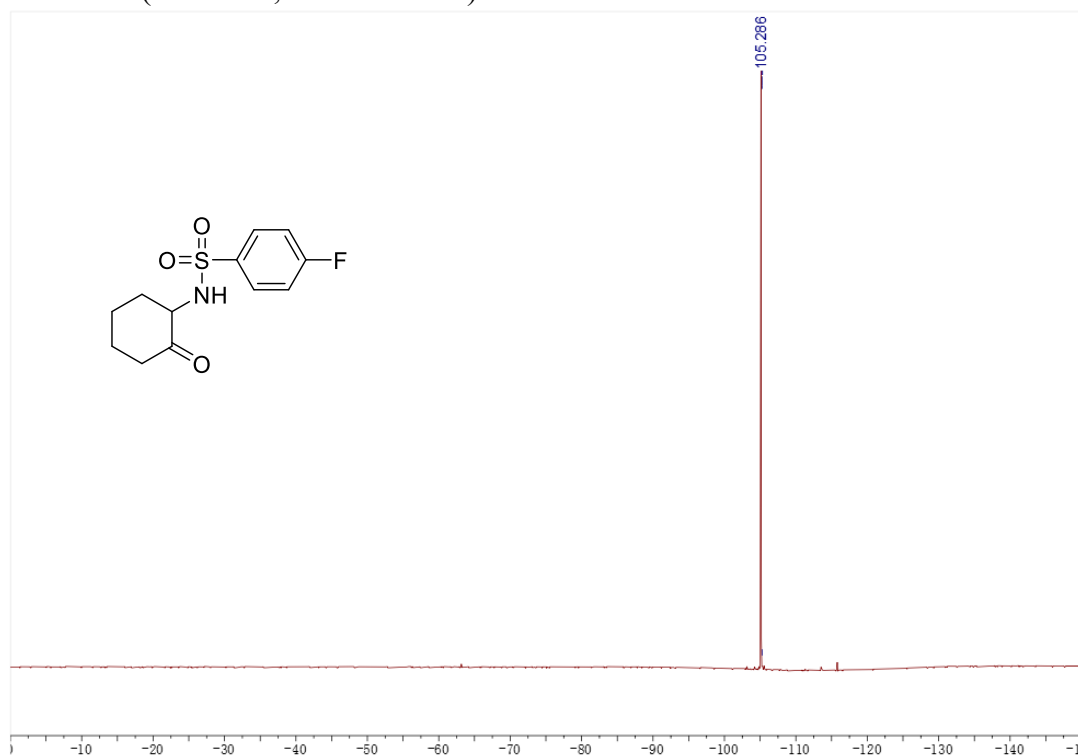


4-fluoro-N-(2-oxocyclohexyl)benzenesulfonamide (6)

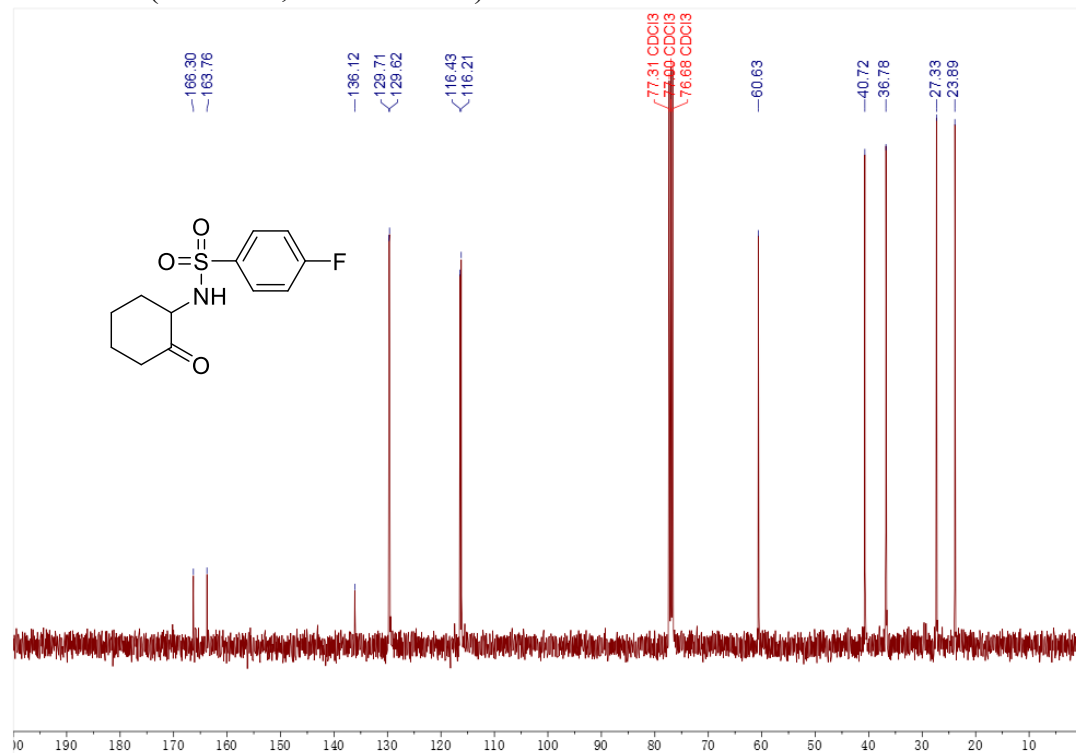
^1H NMR (400 MHz, Chloroform-*d*)



^{19}F NMR (376 MHz, Chloroform-*d*)

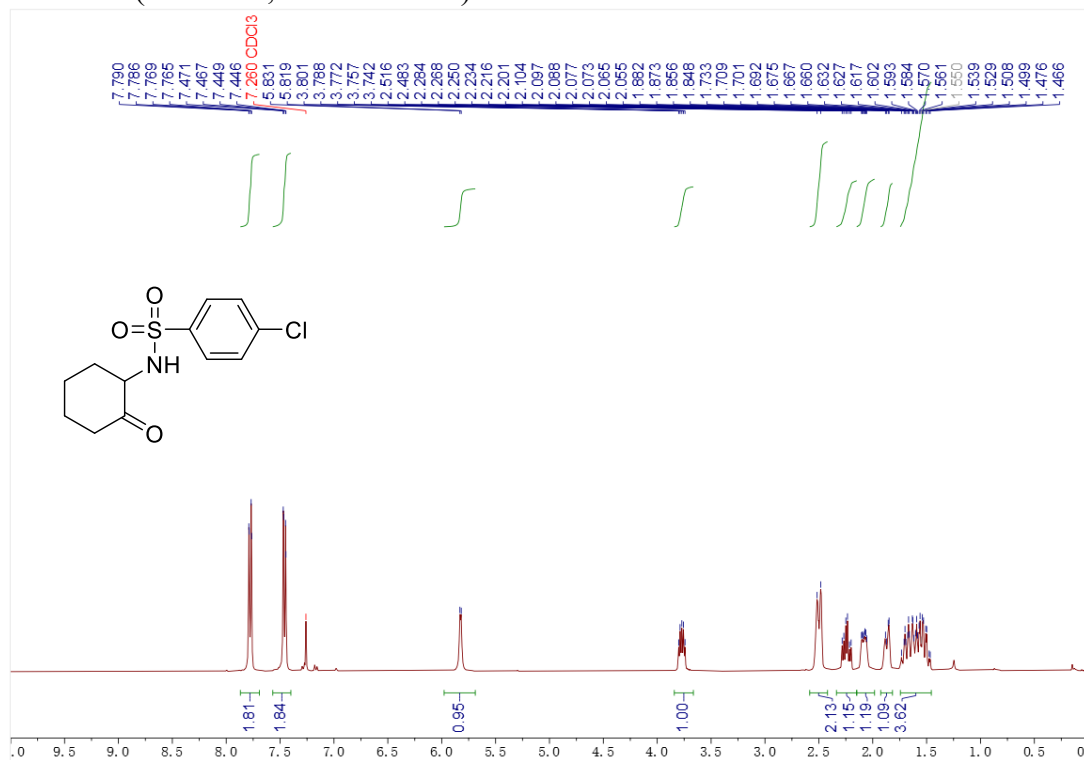


¹³C NMR (101 MHz, Chloroform-*d*)

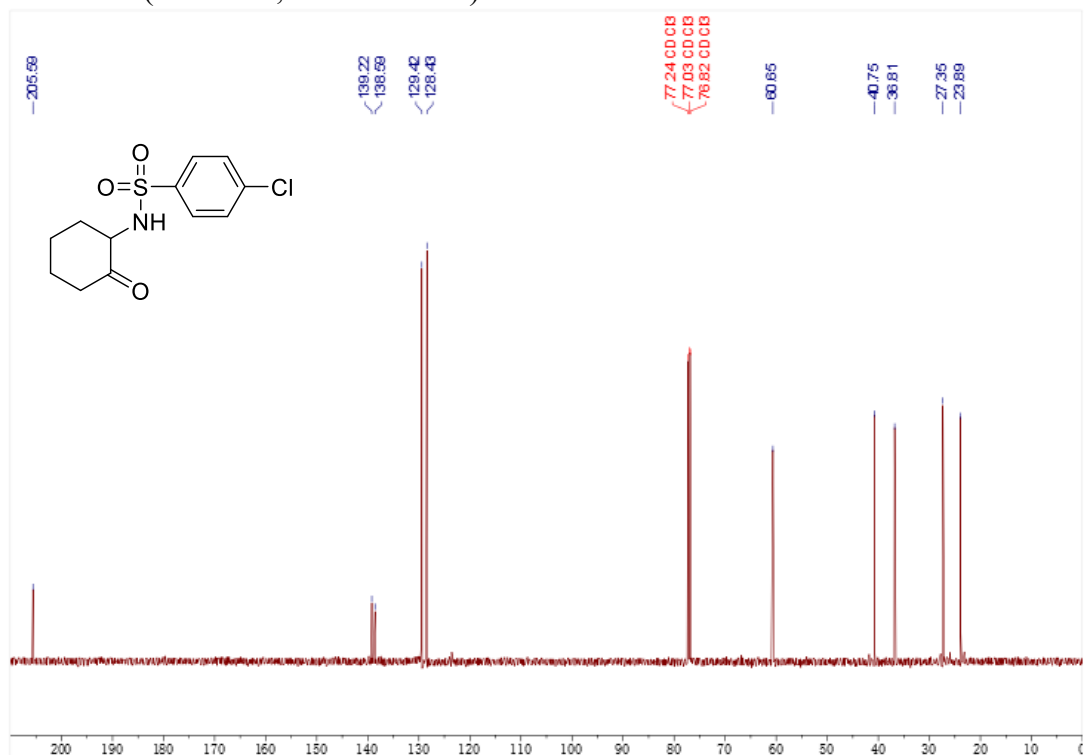


4-chloro-N-(2-oxocyclohexyl)benzenesulfonamide (7)

^1H NMR (400 MHz, Chloroform-*d*)

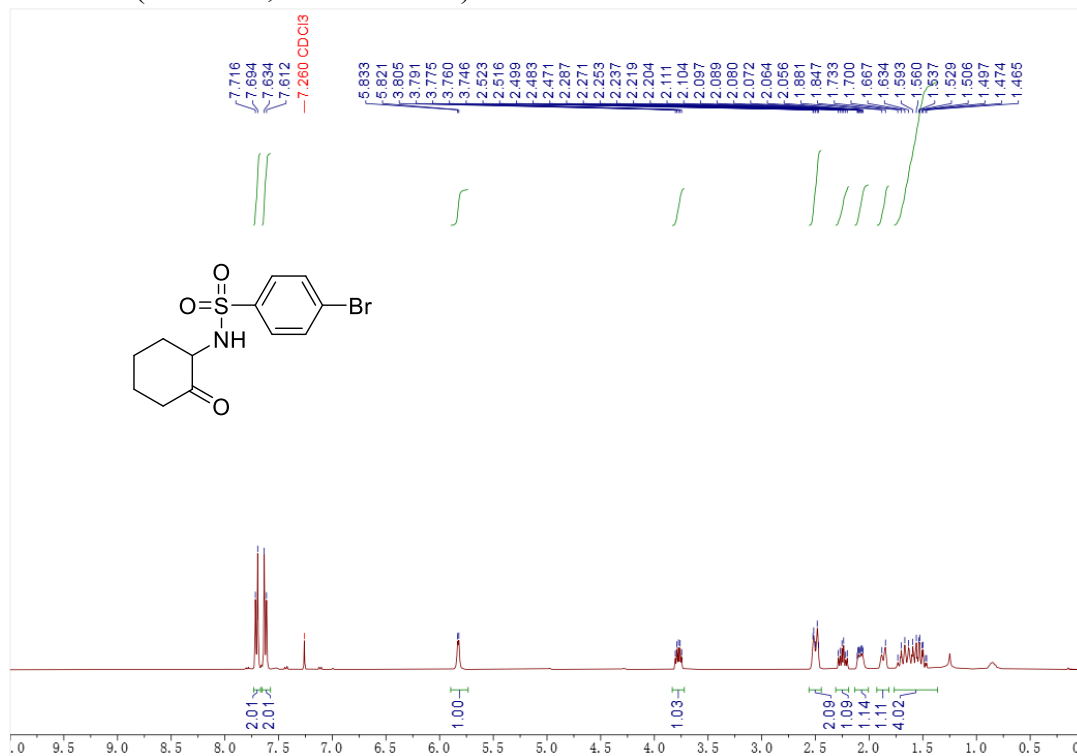


^{13}C NMR (151 MHz, Chloroform-*d*)

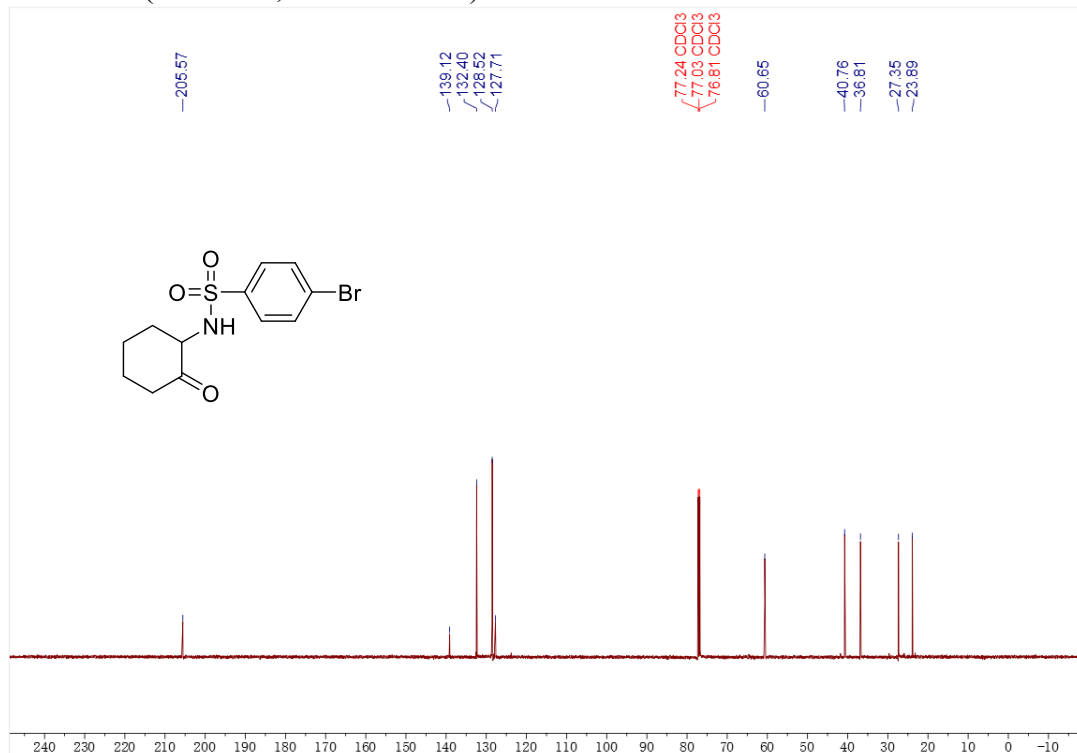


4-bromo-N-(2-oxocyclohexyl)benzenesulfonamide (8)

^1H NMR (400 MHz, Chloroform-*d*)

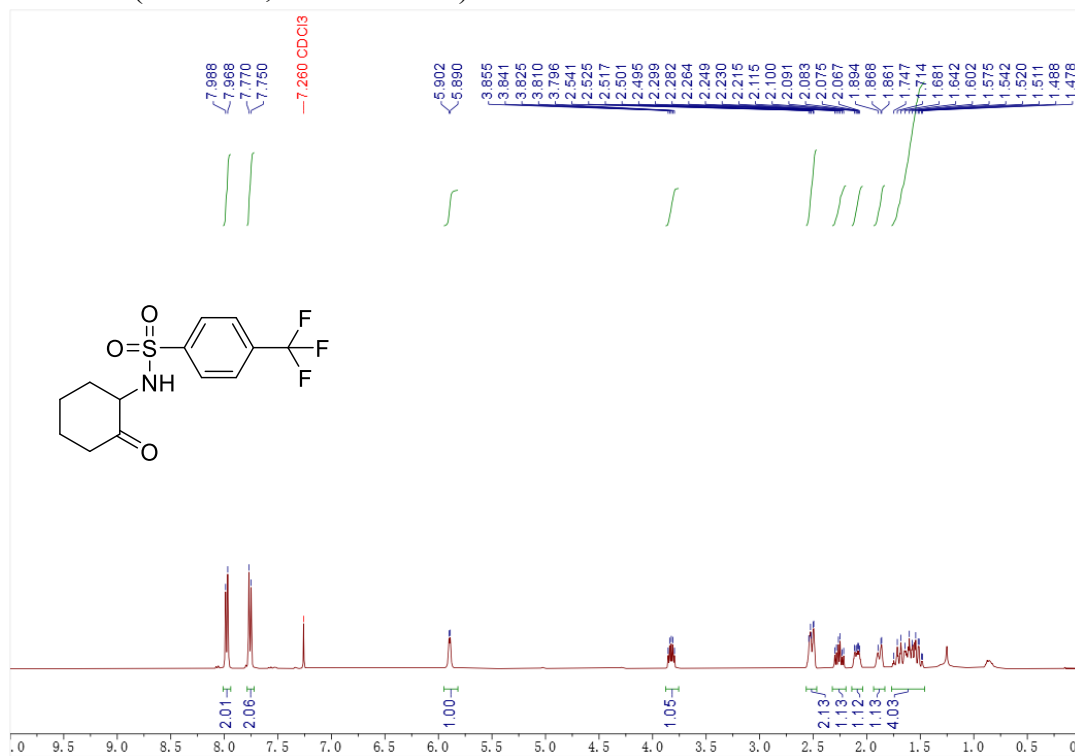


^{13}C NMR (151 MHz, Chloroform-*d*)

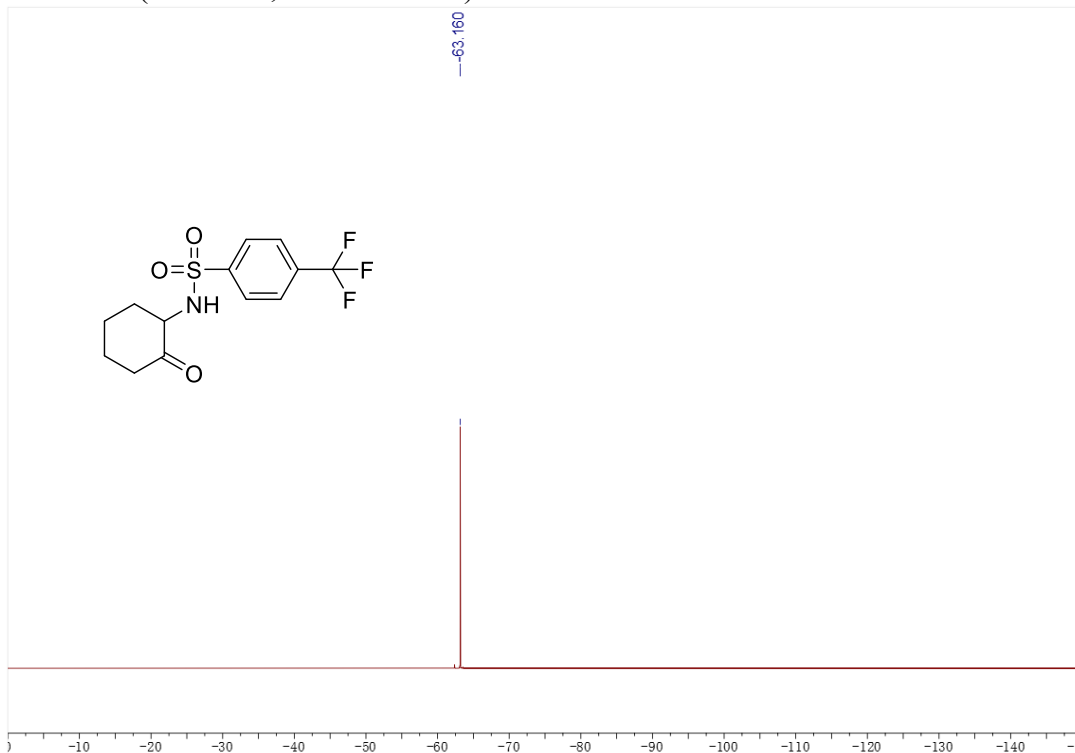


N-(2-oxocyclohexyl)-4-(trifluoromethyl)benzenesulfonamide (9)

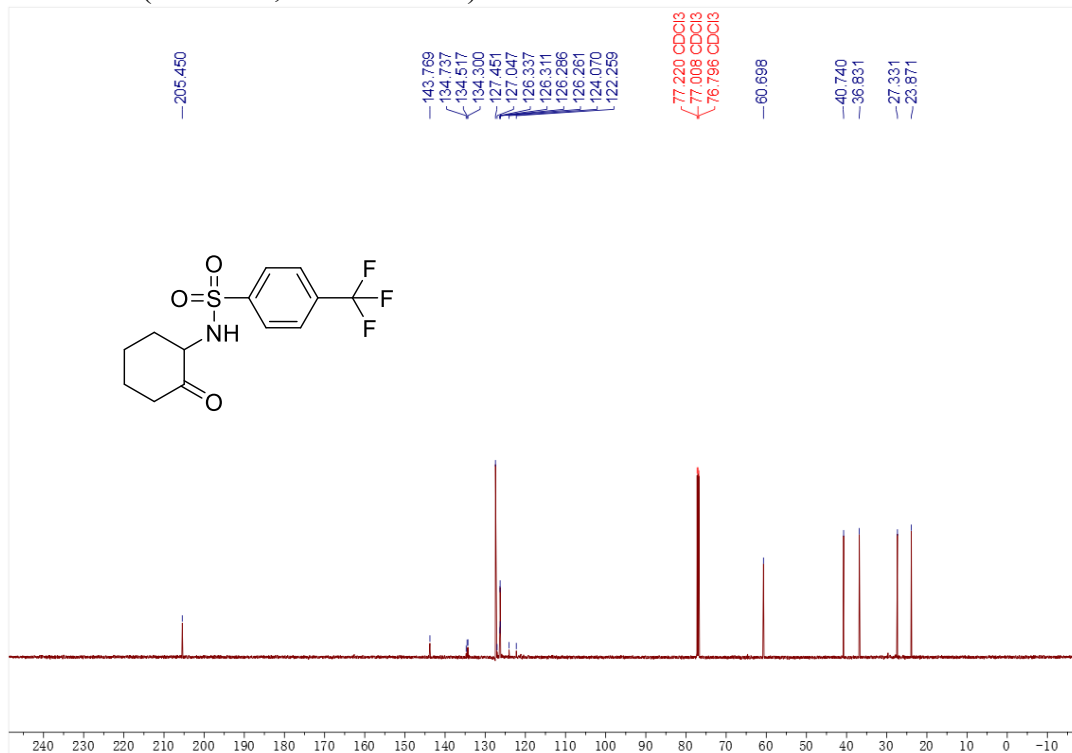
¹H NMR (400 MHz, Chloroform-*d*)



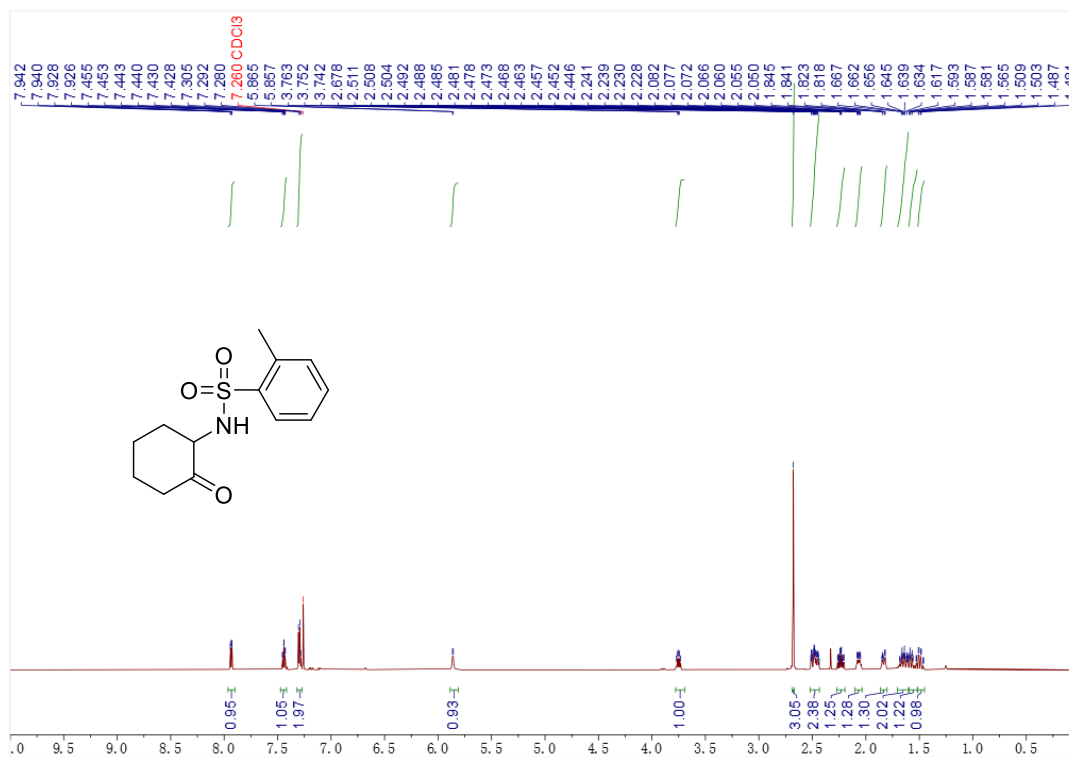
¹⁹F NMR (564 MHz, Chloroform-*d*)



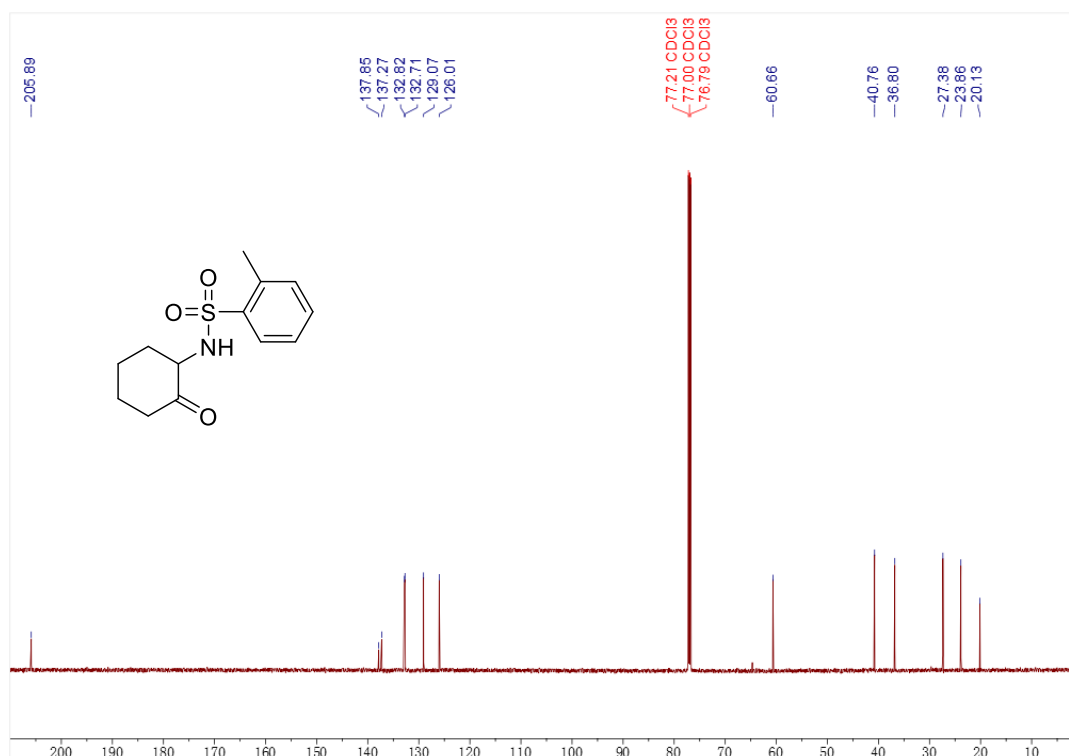
¹³C NMR (151 MHz, Chloroform-*d*)



2-methyl-N-(2-oxocyclohexyl)benzenesulfonamide (10)
¹H NMR (600 MHz, Chloroform-*d*)

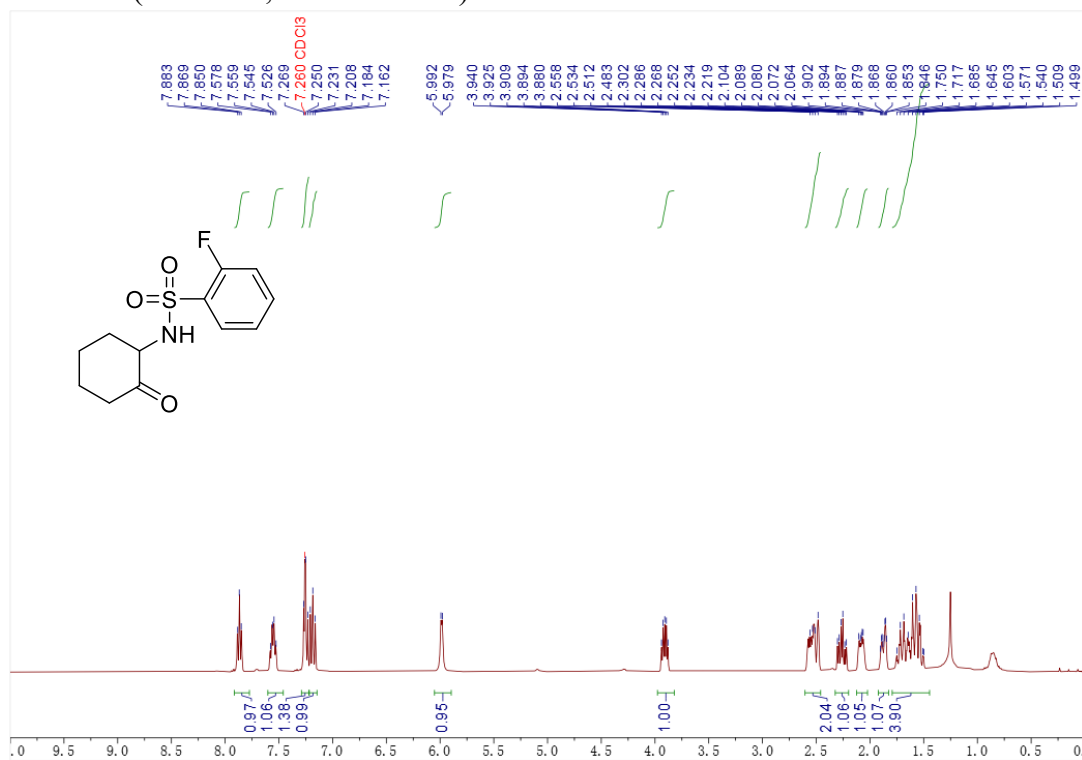


¹³C NMR (151 MHz, Chloroform-*d*)

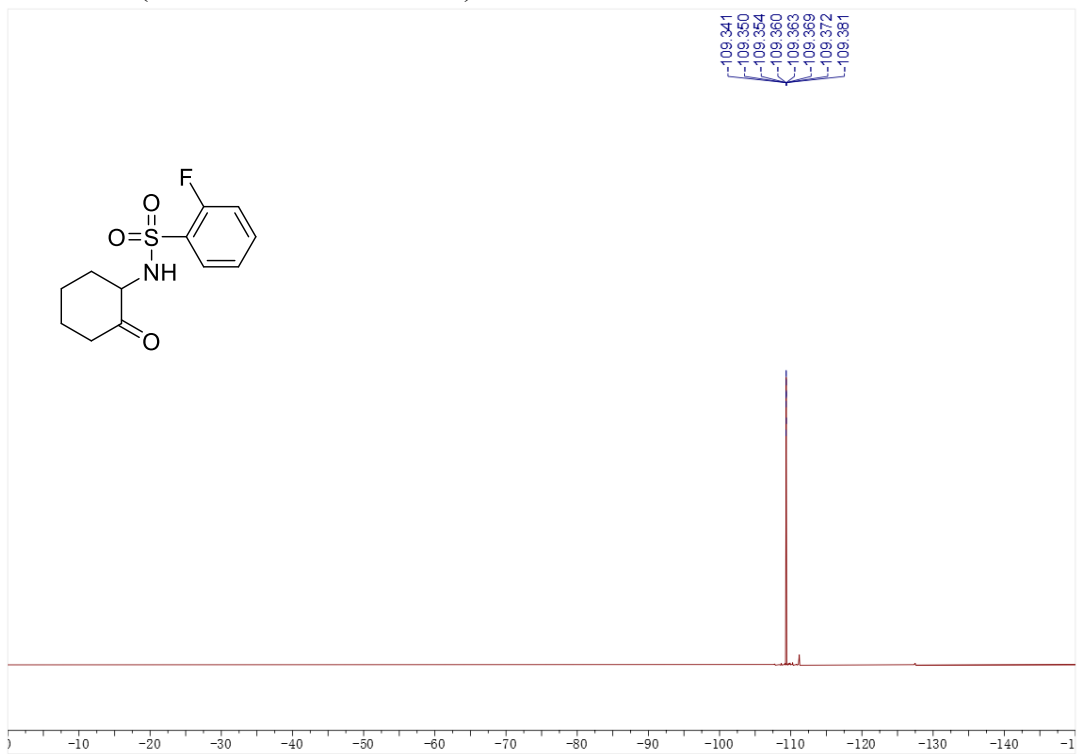


2-fluoro-N-(2-oxocyclohexyl)benzenesulfonamide (11)

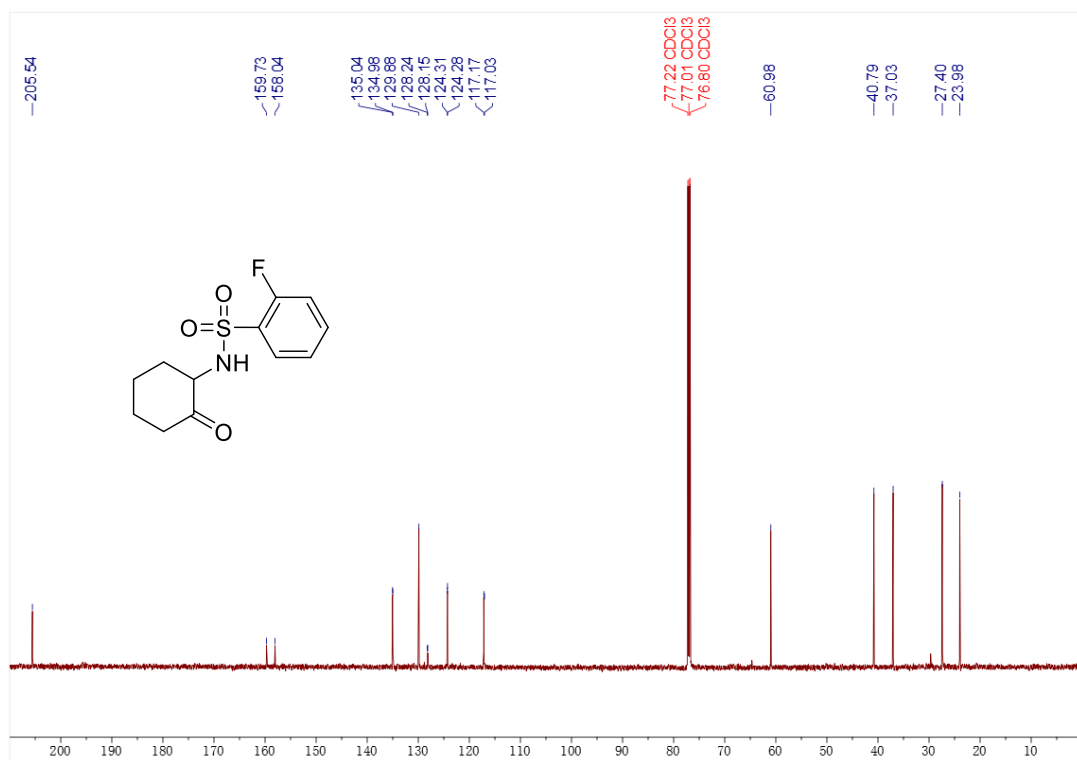
^1H NMR (400 MHz, Chloroform-*d*)



^{19}F NMR (564 MHz, Chloroform-*d*)

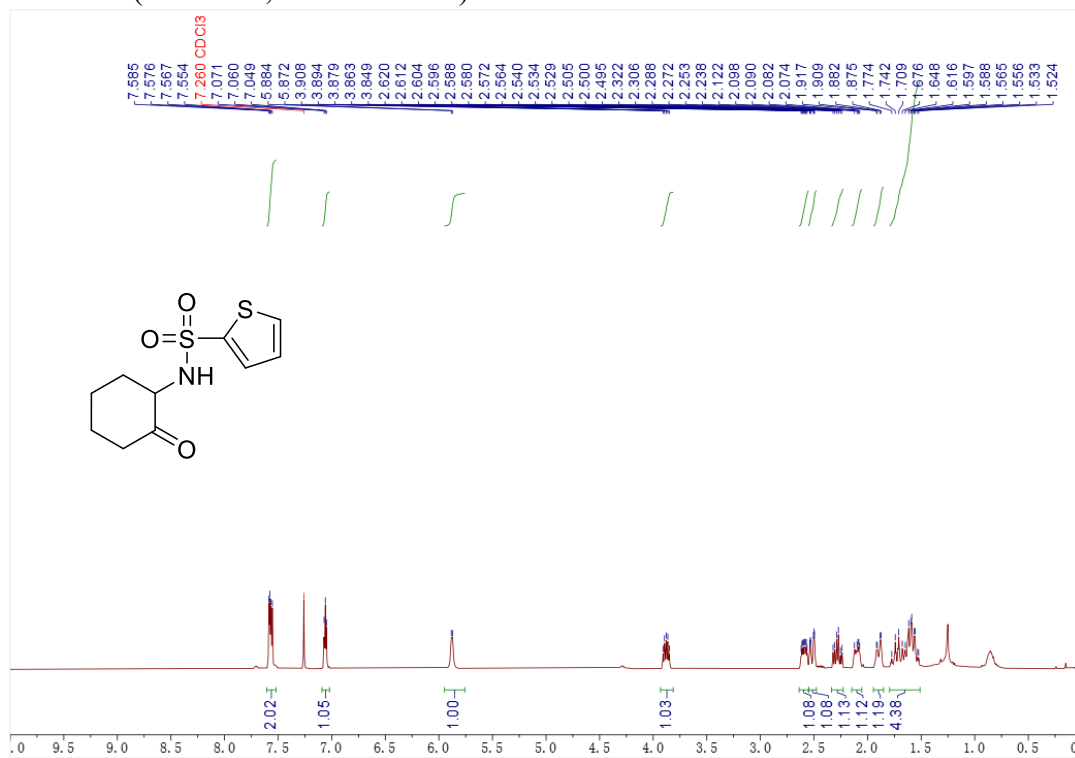


^{13}C NMR (151 MHz, Chloroform-*d*)

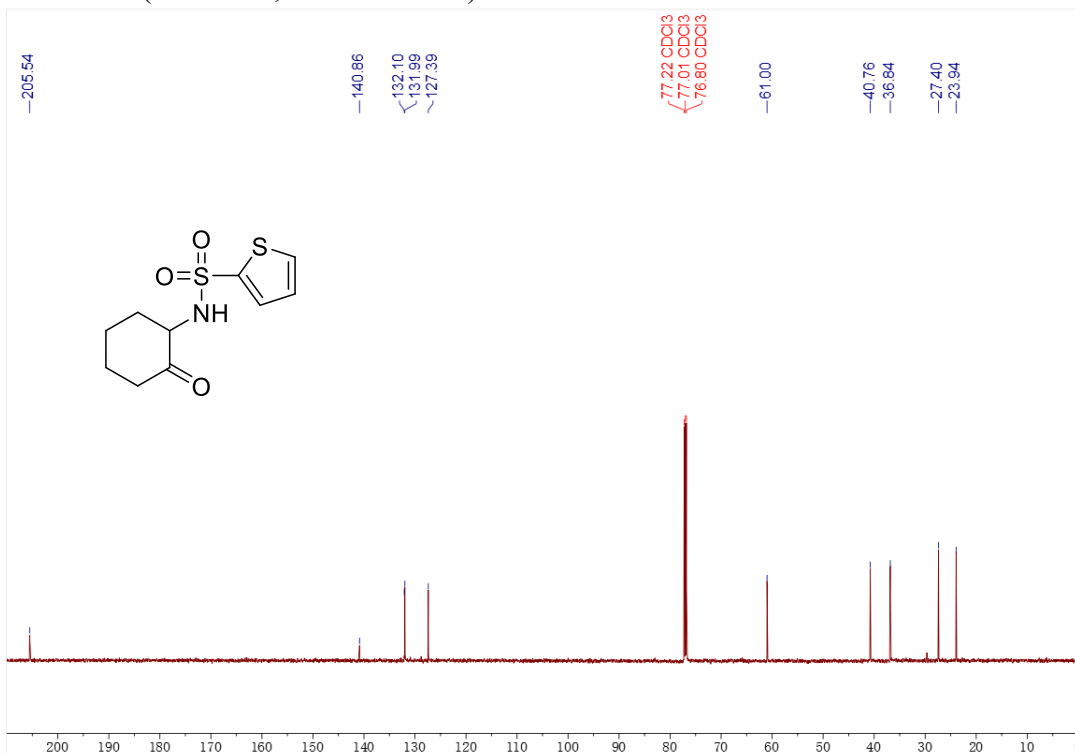


N-(2-oxocyclohexyl)thiophene-2-sulfonamide (12)

¹H NMR (400 MHz, Chloroform-*d*)

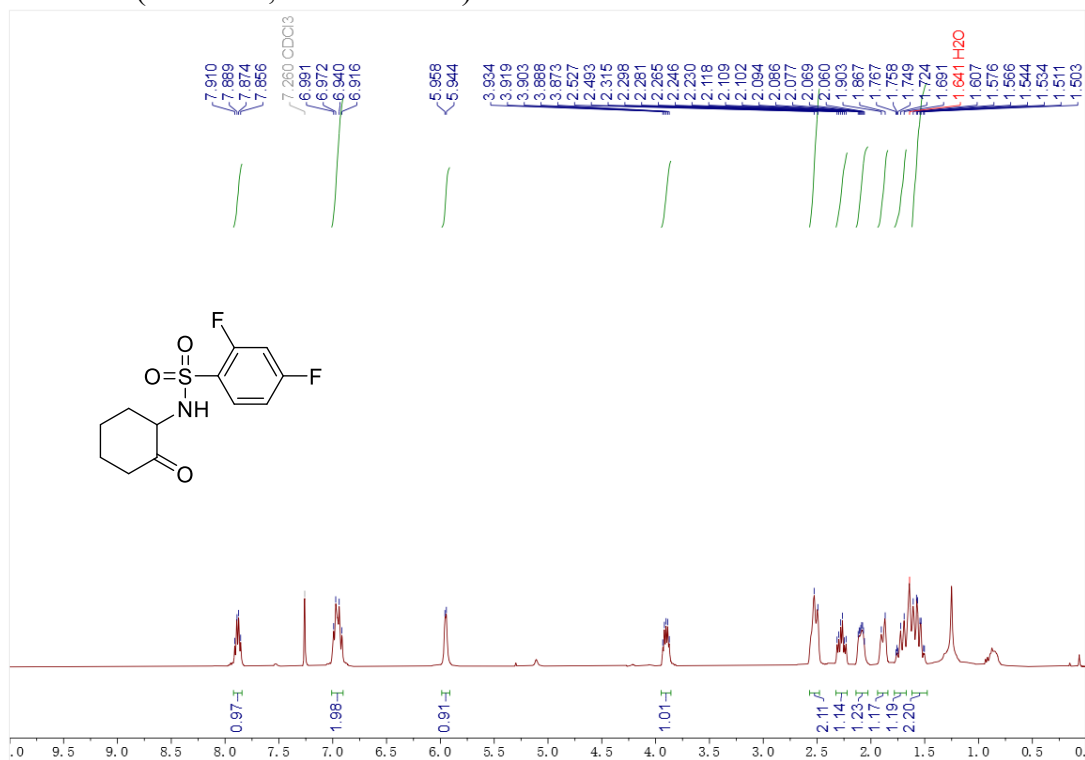


¹³C NMR (151 MHz, Chloroform-*d*)

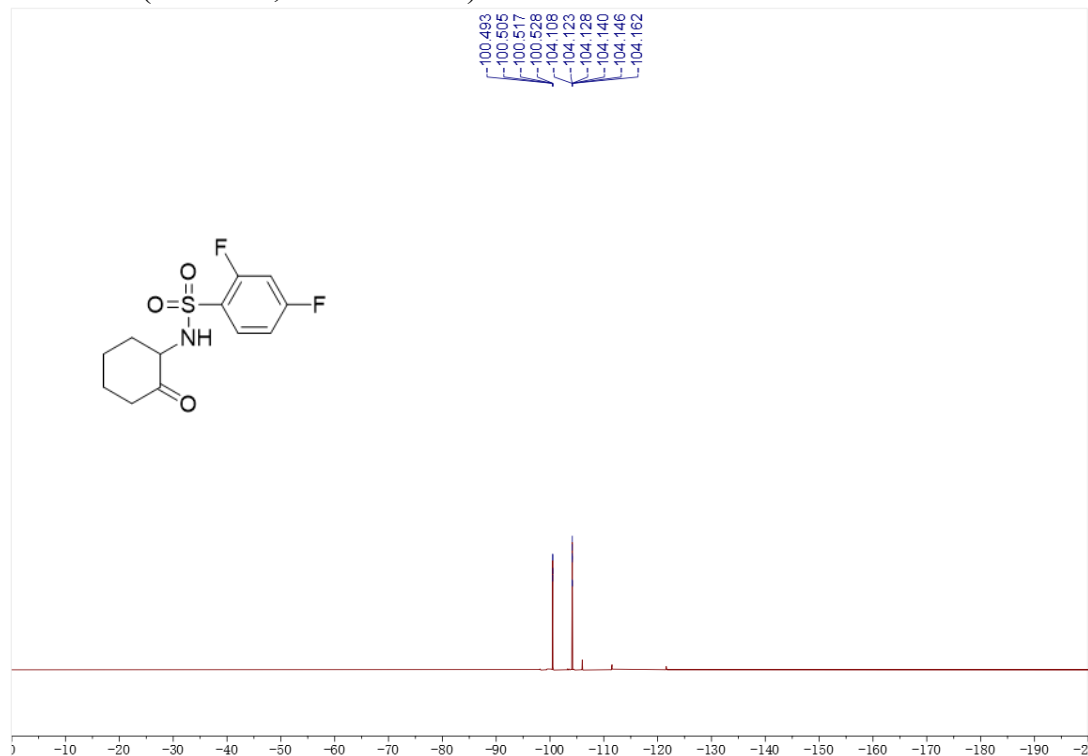


2, 4-difluoro-N-(2-oxocyclohexyl)benzenesulfonamide (13)

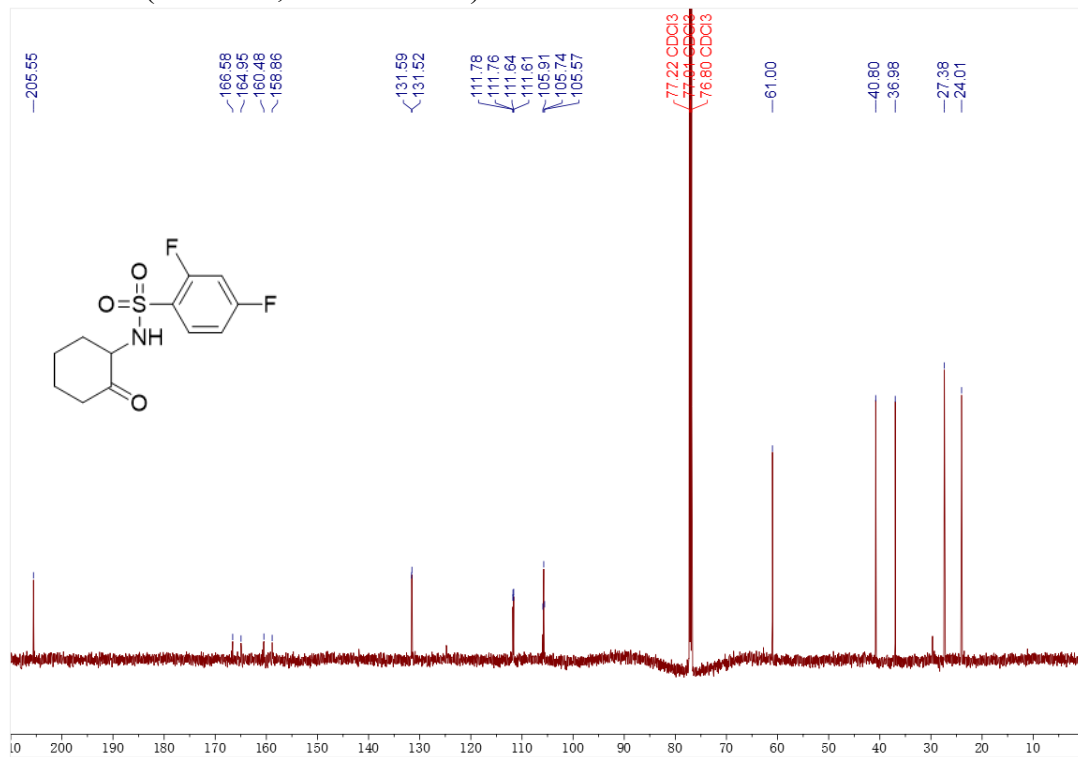
^1H NMR (400 MHz, Chloroform-*d*)



^{19}F NMR (564 MHz, Chloroform-*d*)

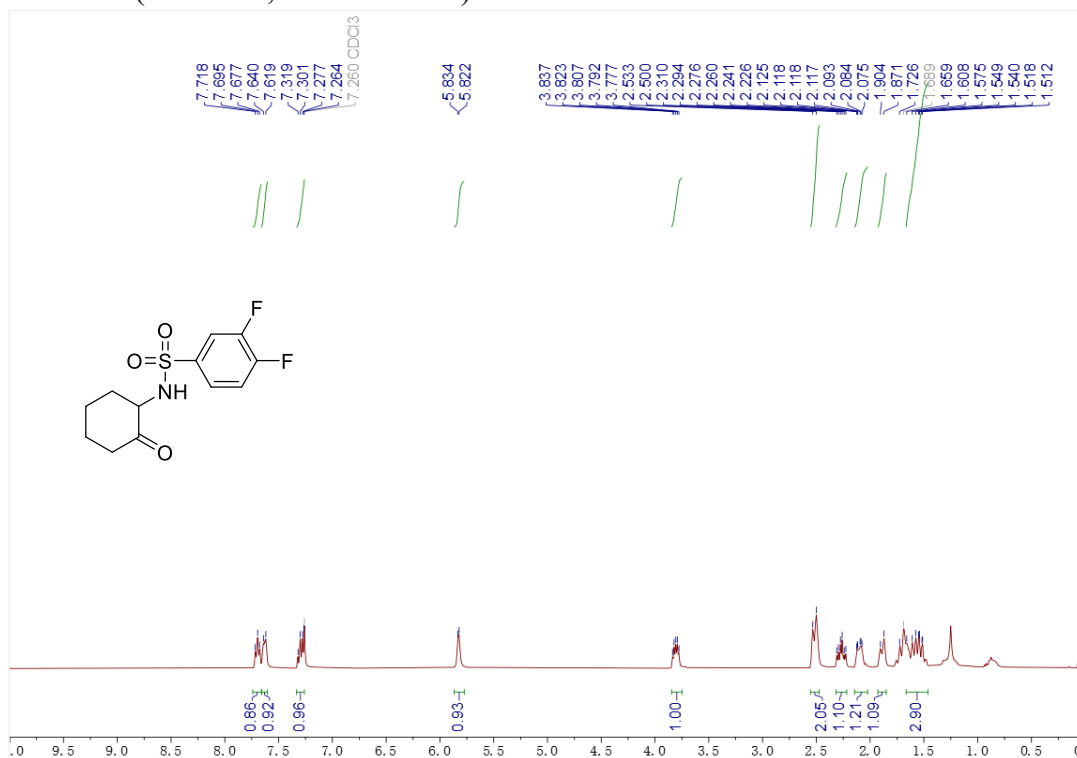


¹³C NMR (151 MHz, Chloroform-*d*)

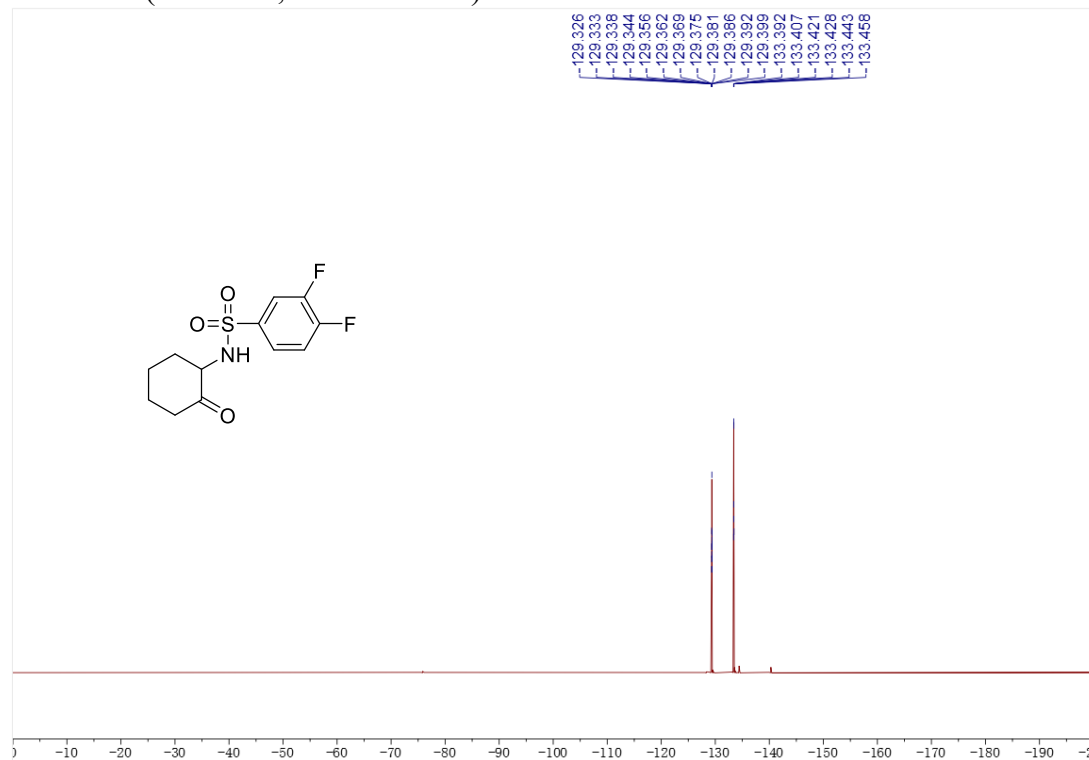


3, 4-difluoro-N-(2-oxocyclohexyl)benzenesulfonamide (14)

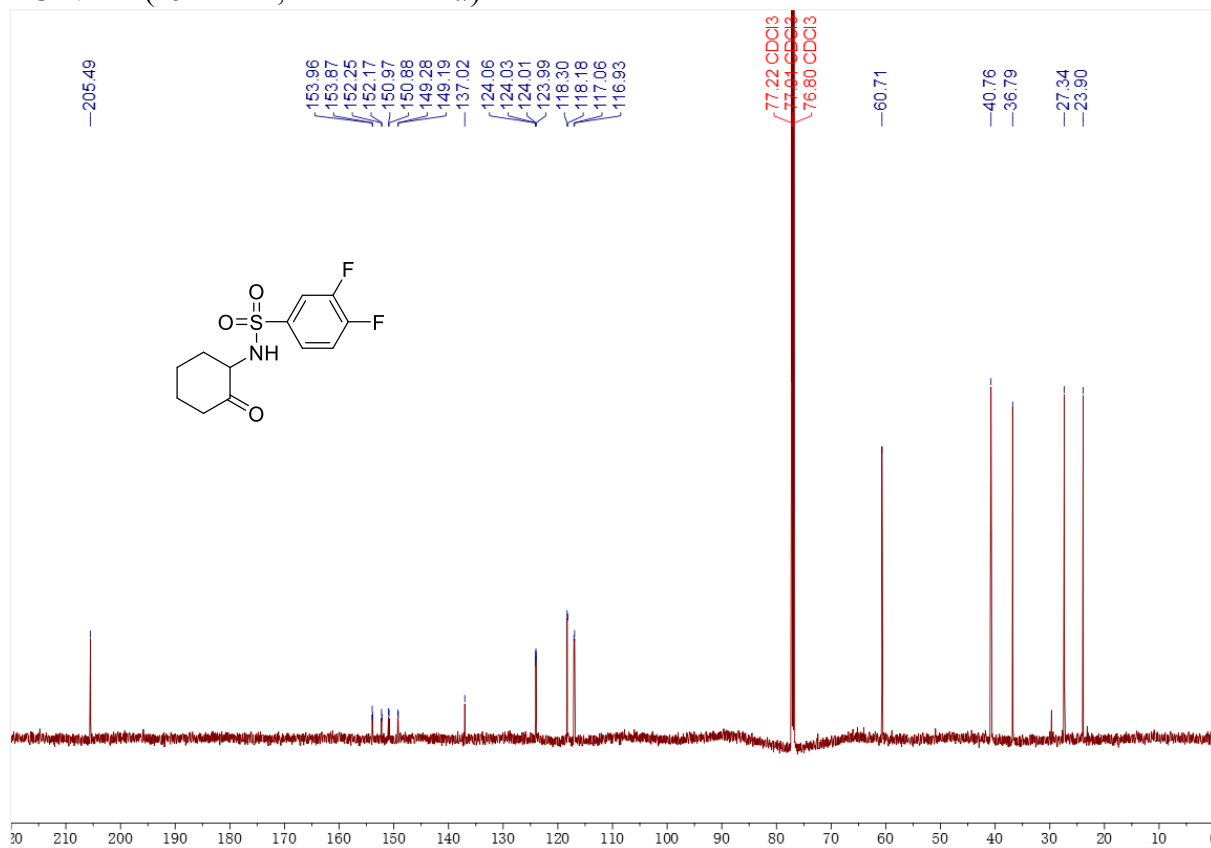
¹H NMR (400 MHz, Chloroform-d)



¹⁹F NMR (376 MHz, Chloroform-d)

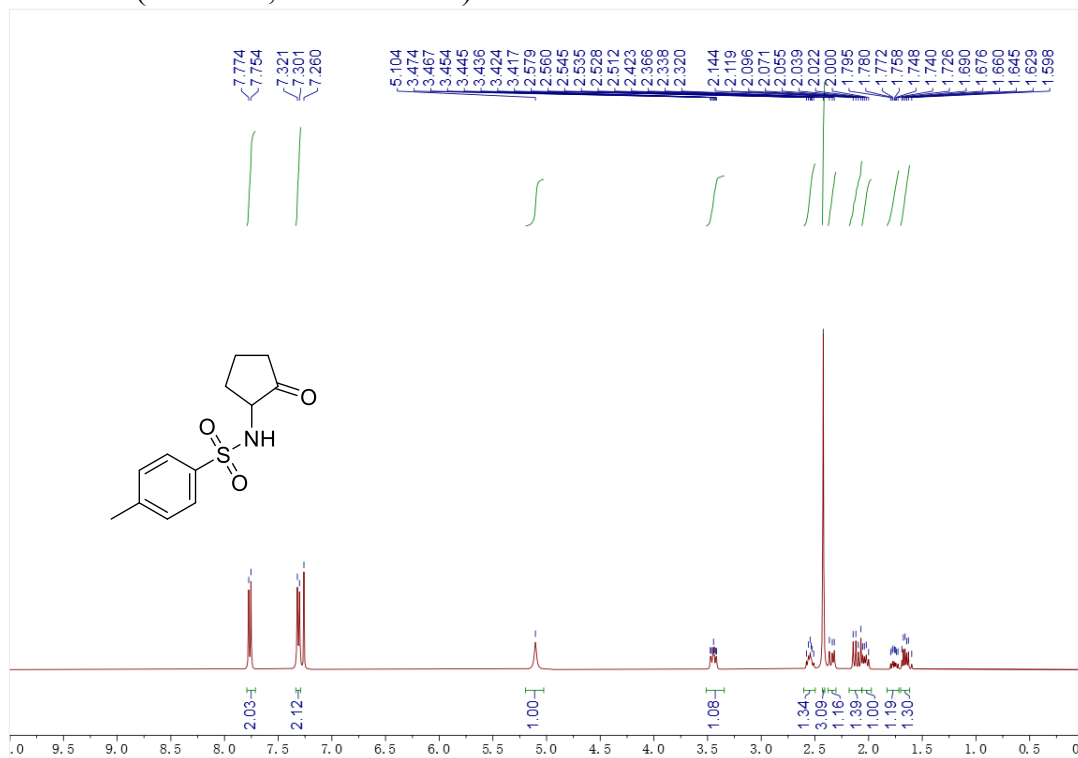


¹³C NMR (151 MHz, Chloroform-*d*)

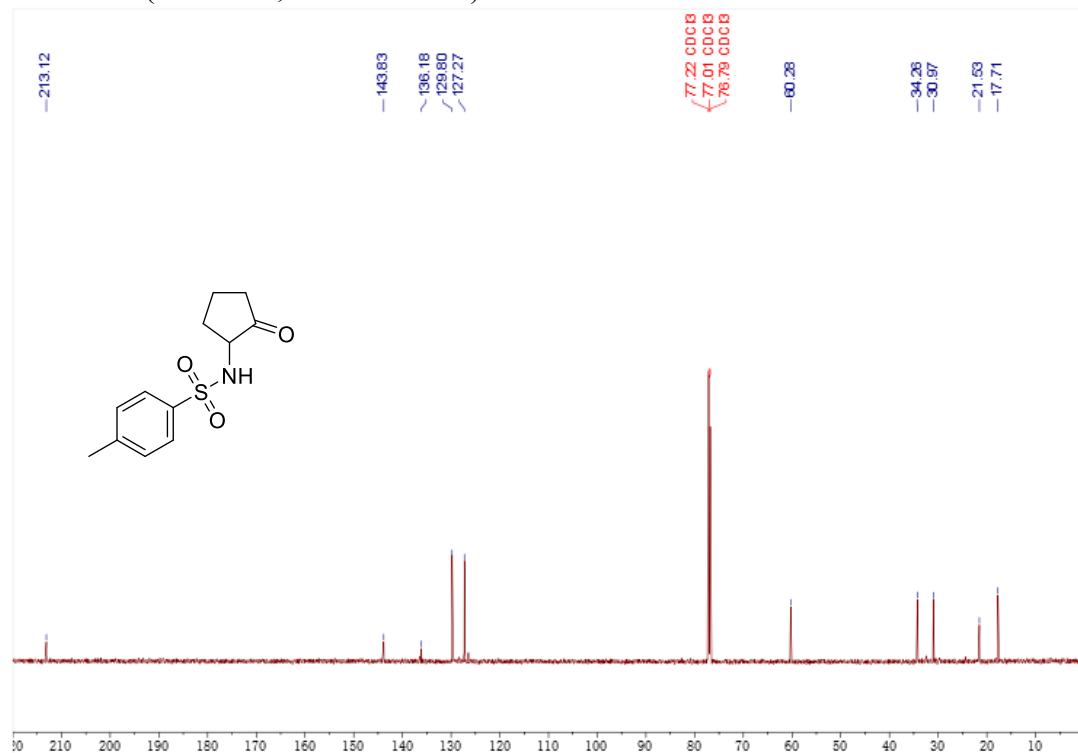


4-methyl-N-(2-oxocyclopentyl)benzenesulfonamide (15)

¹H NMR (400 MHz, Chloroform-*d*)

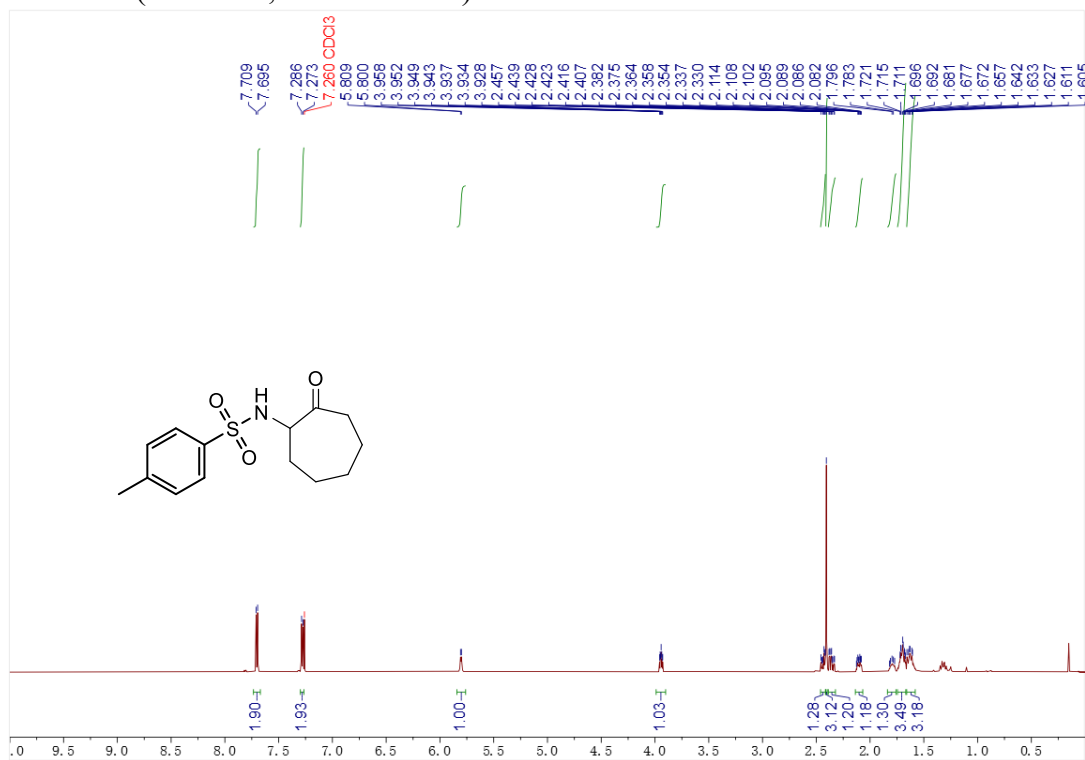


¹³C NMR (151 MHz, Chloroform-*d*)

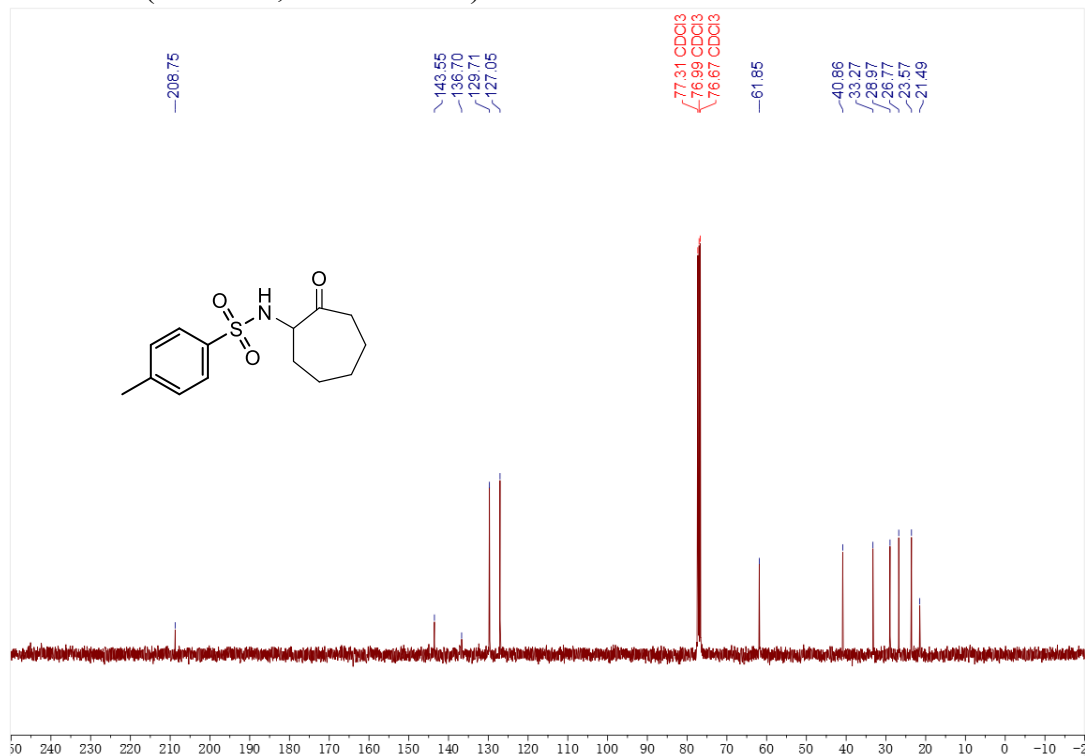


4-methyl-N-(2-oxo-2-(thiophen-3-yl)ethyl)benzenesulfonamide (16)

¹H NMR (600 MHz, Chloroform-*d*)

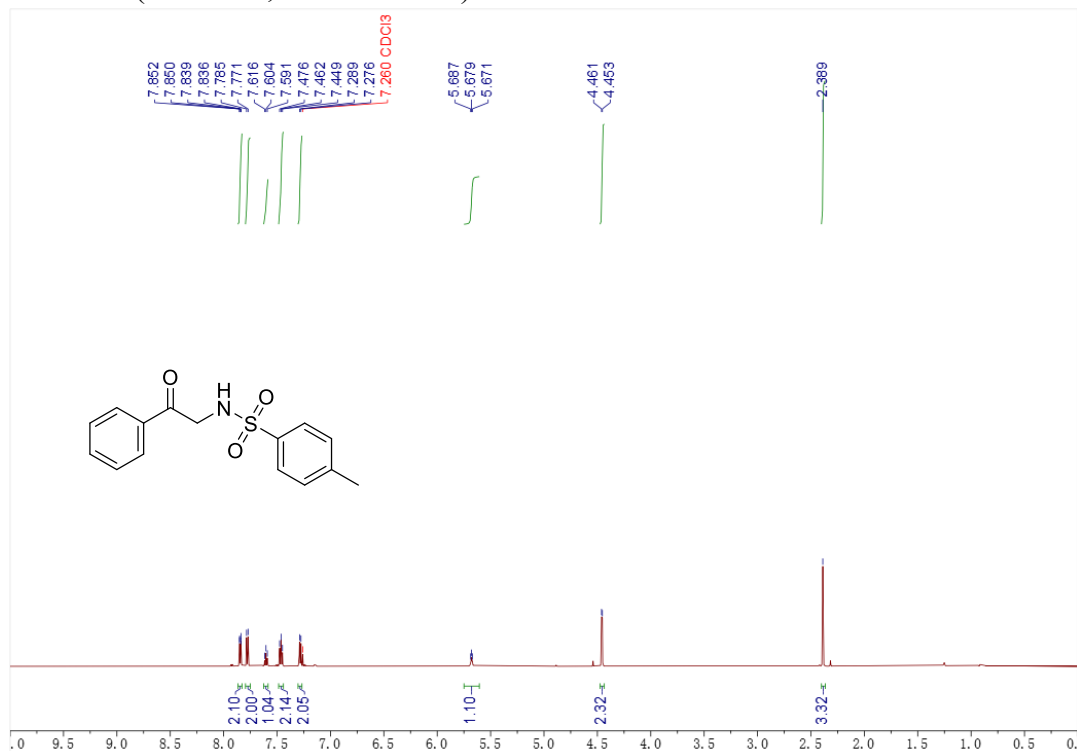


¹³C NMR (101 MHz, Chloroform-*d*)

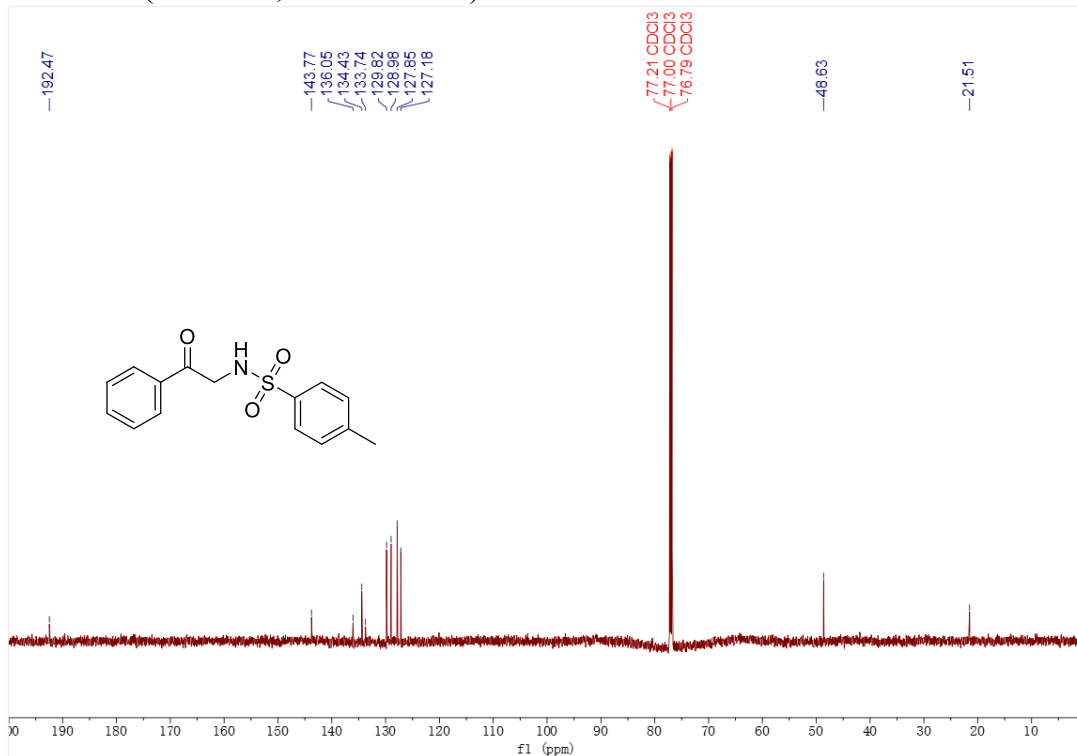


4-methyl-N-(2-oxo-2-phenylethyl)benzenesulfonamide (17)

^1H NMR (600 MHz, Chloroform-*d*)



^{13}C NMR (151 MHz, Chloroform-*d*)

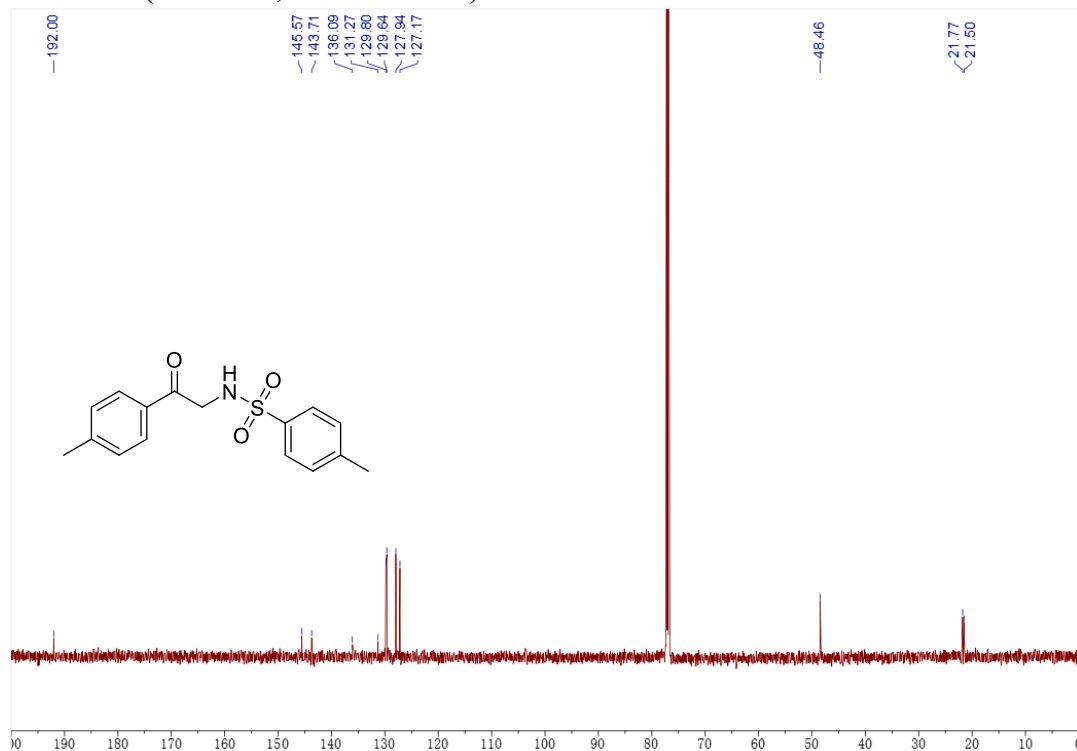


4-methyl-N-(2-oxo-2-phenylethyl)benzenesulfonamide (18)

^1H NMR (600 MHz, Chloroform-*d*)

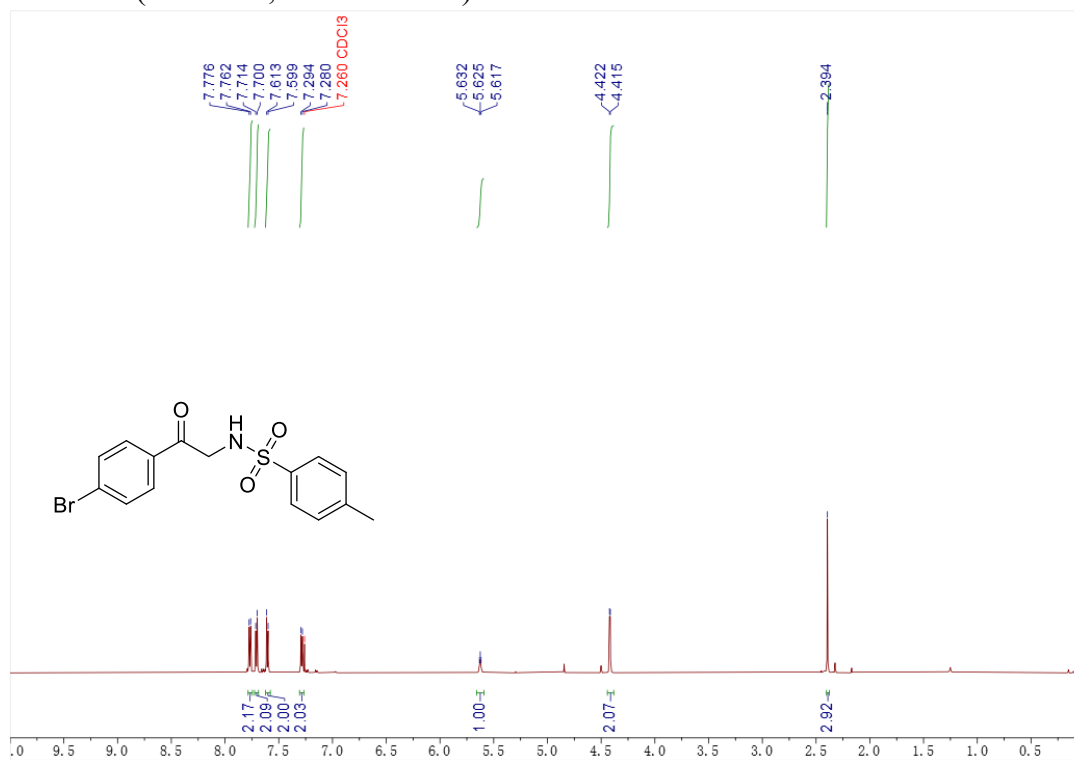


^{13}C NMR (101 MHz, Chloroform-*d*)

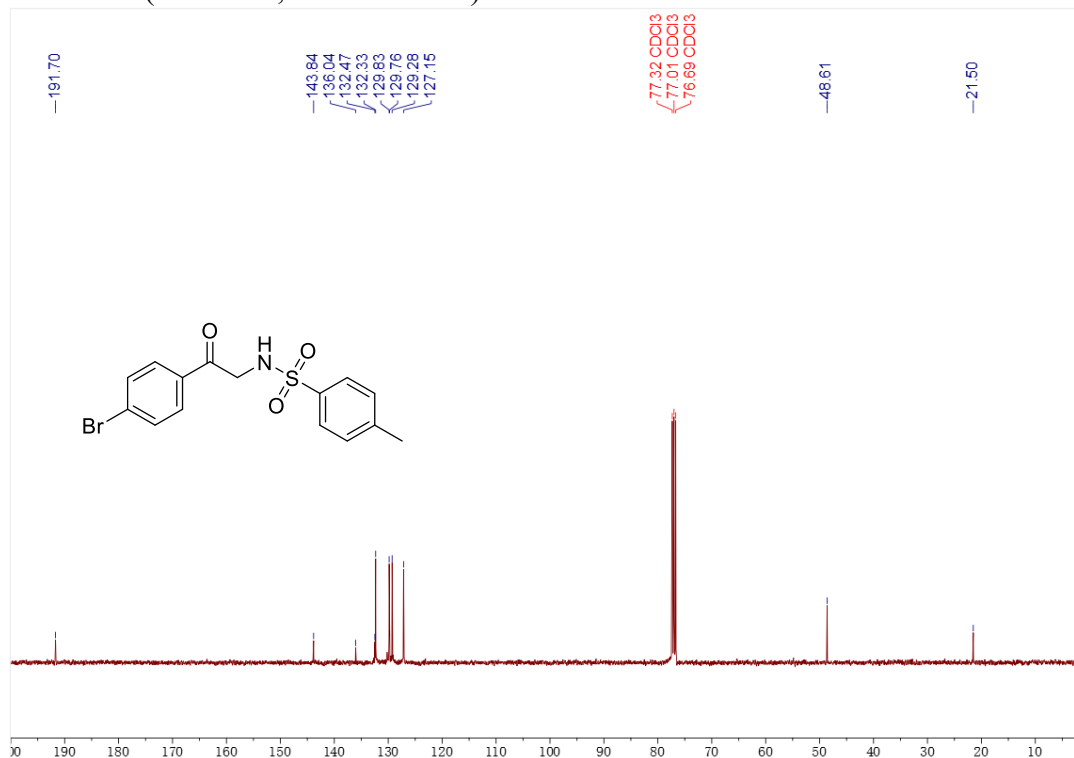


N-(2-(4-bromophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (19)

^1H NMR (600 MHz, Chloroform-*d*)

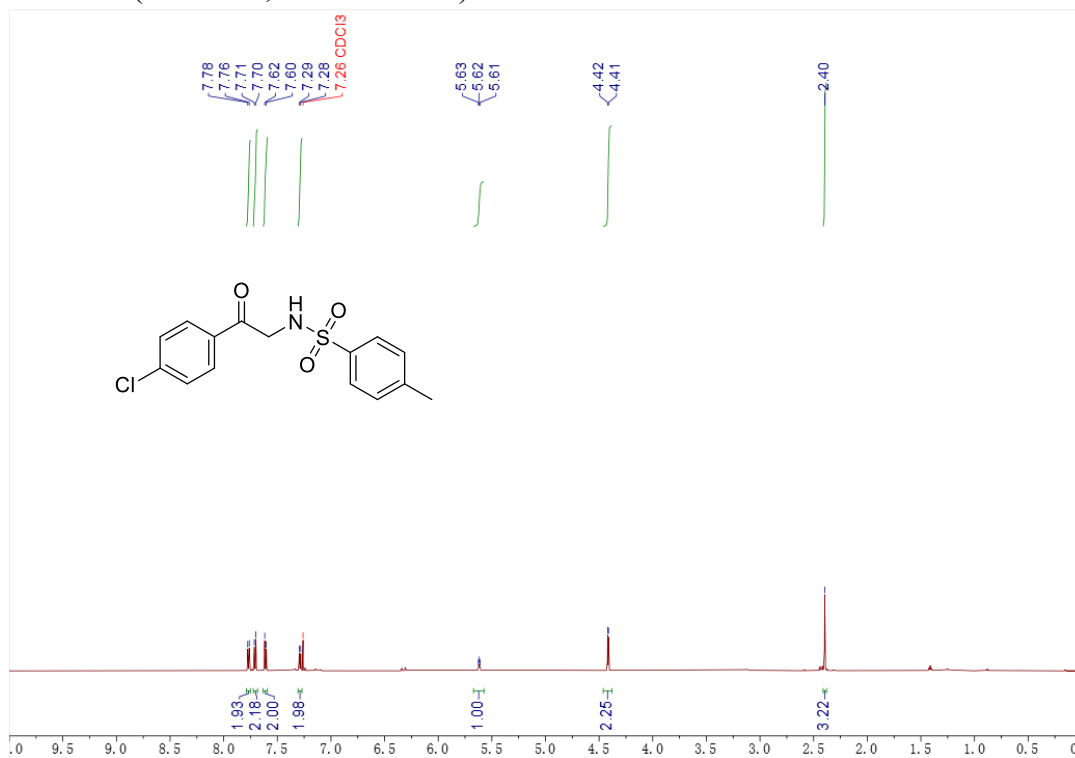


^{13}C NMR (101 MHz, Chloroform-*d*)

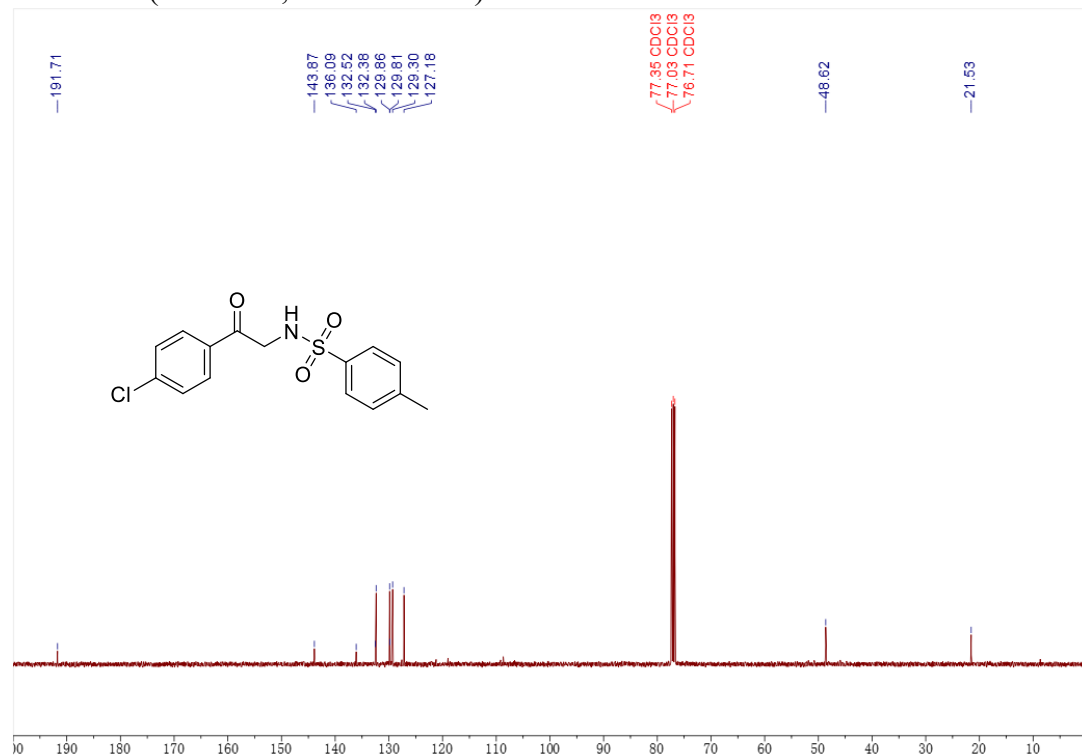


N-(2-(4-chlorophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (20)

¹H NMR (400 MHz, Chloroform-*d*)

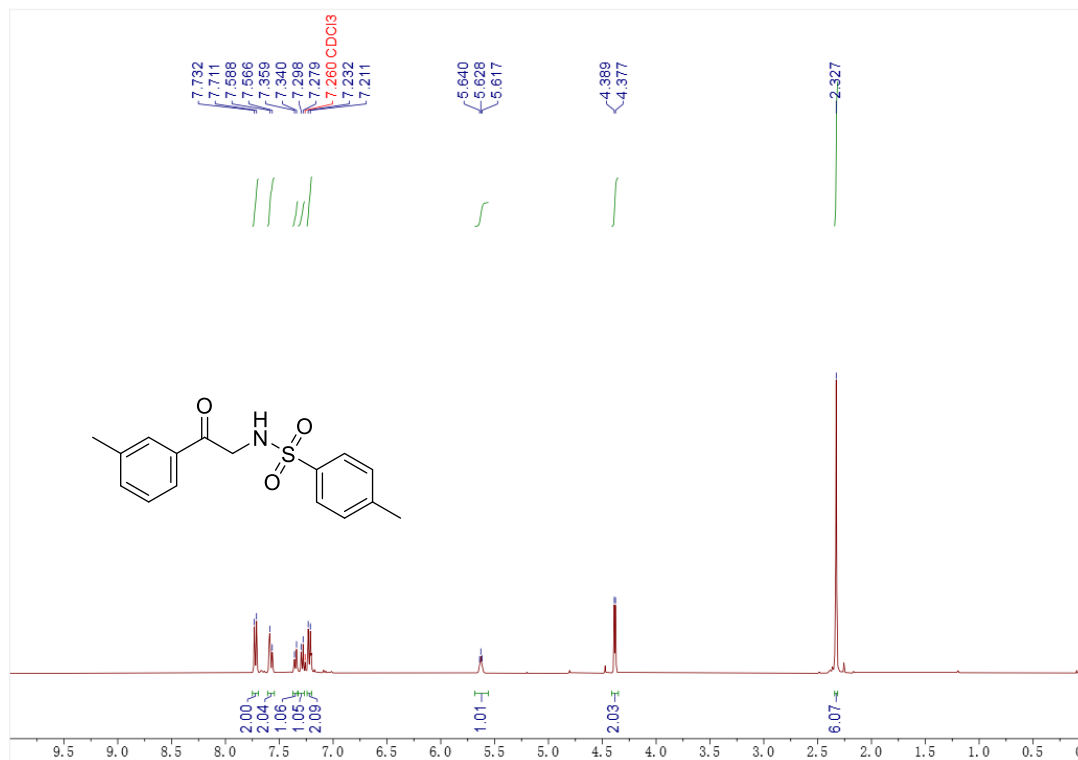


¹³C NMR (151 MHz, Chloroform-*d*)

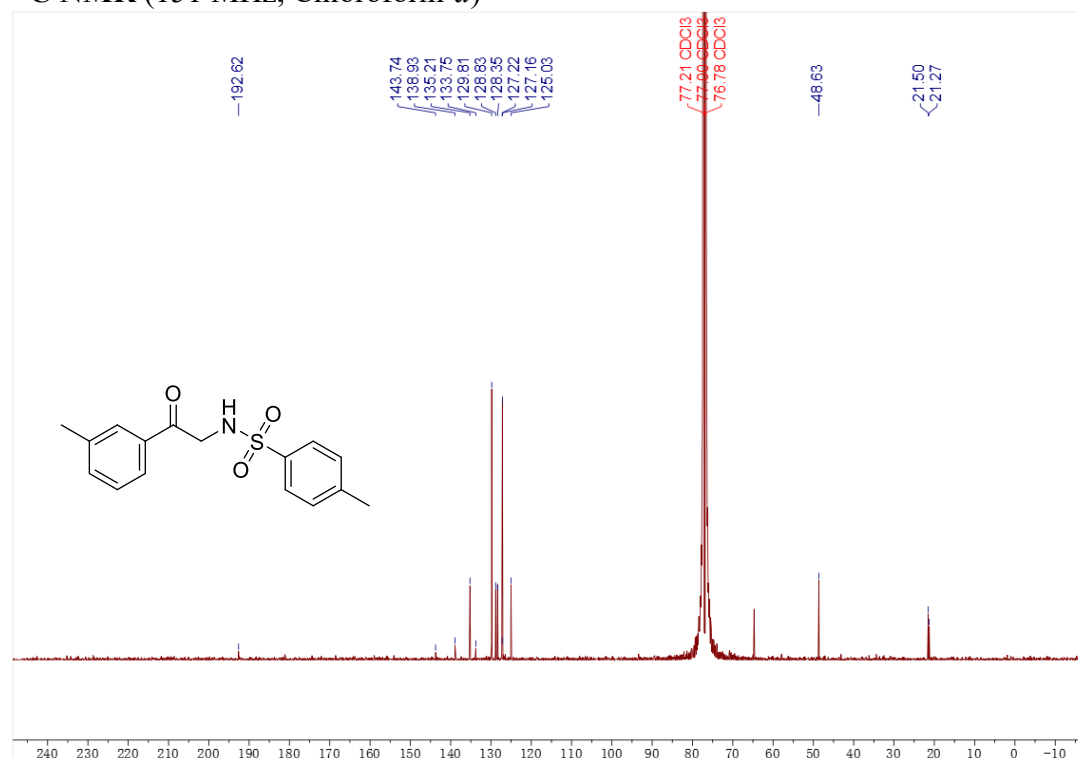


4-methyl-N-(2-oxo-2-(m-tolyl)ethyl)benzenesulfonamide (21)

¹H NMR (400 MHz, Chloroform-*d*)

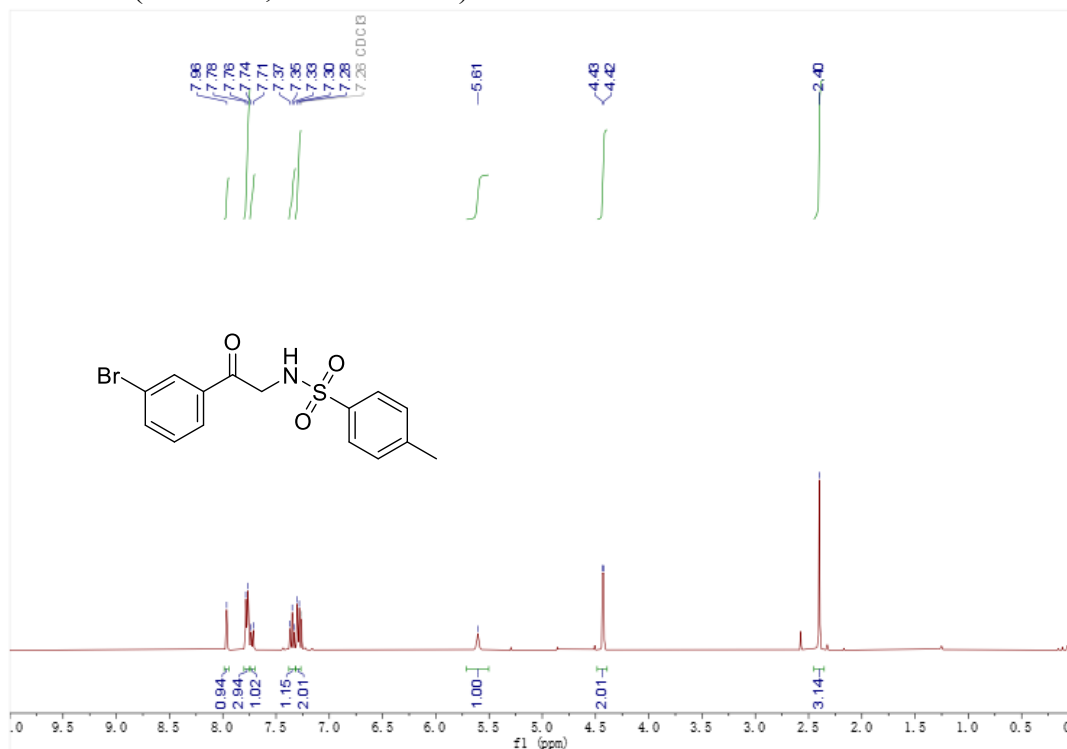


¹³C NMR (151 MHz, Chloroform-*d*)

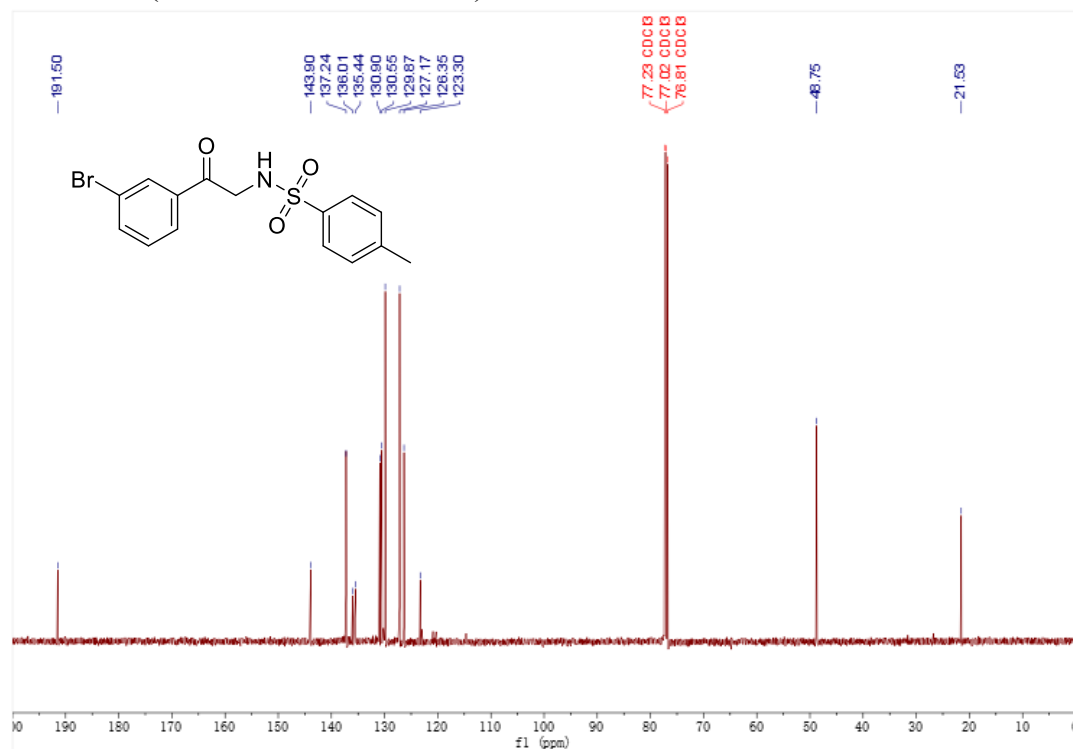


N-(2-(3-bromophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (22)

¹H NMR (400 MHz, Chloroform-*d*)

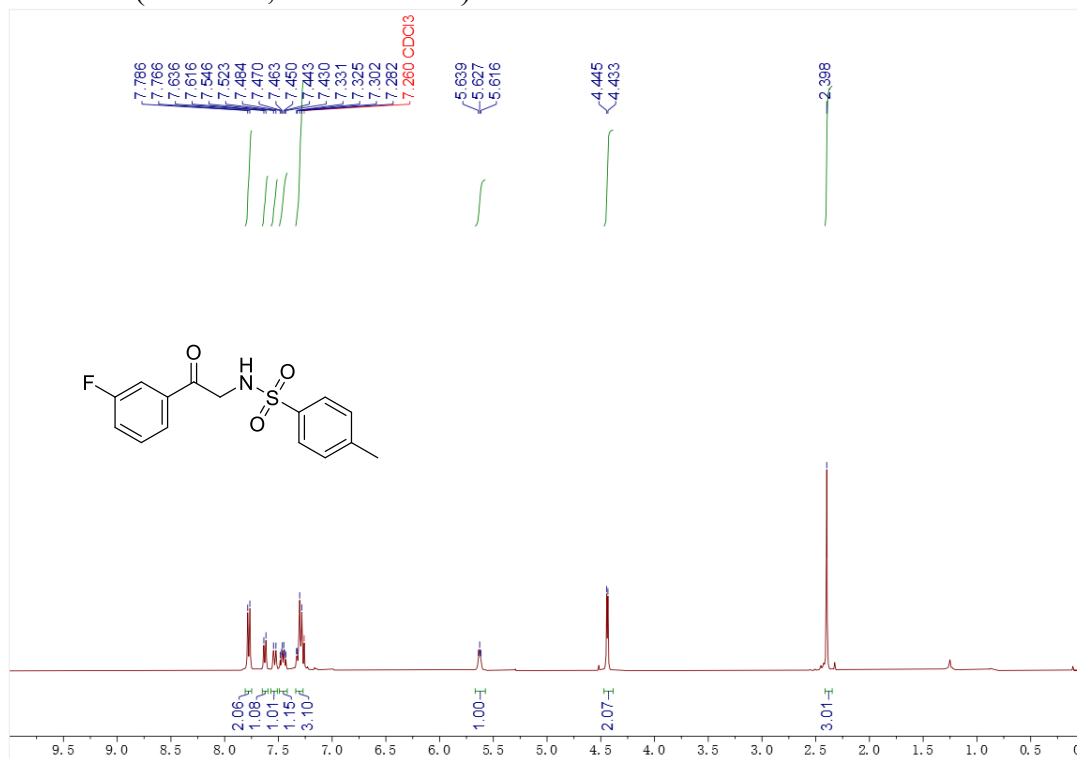


¹³C NMR (151 MHz, Chloroform-*d*)

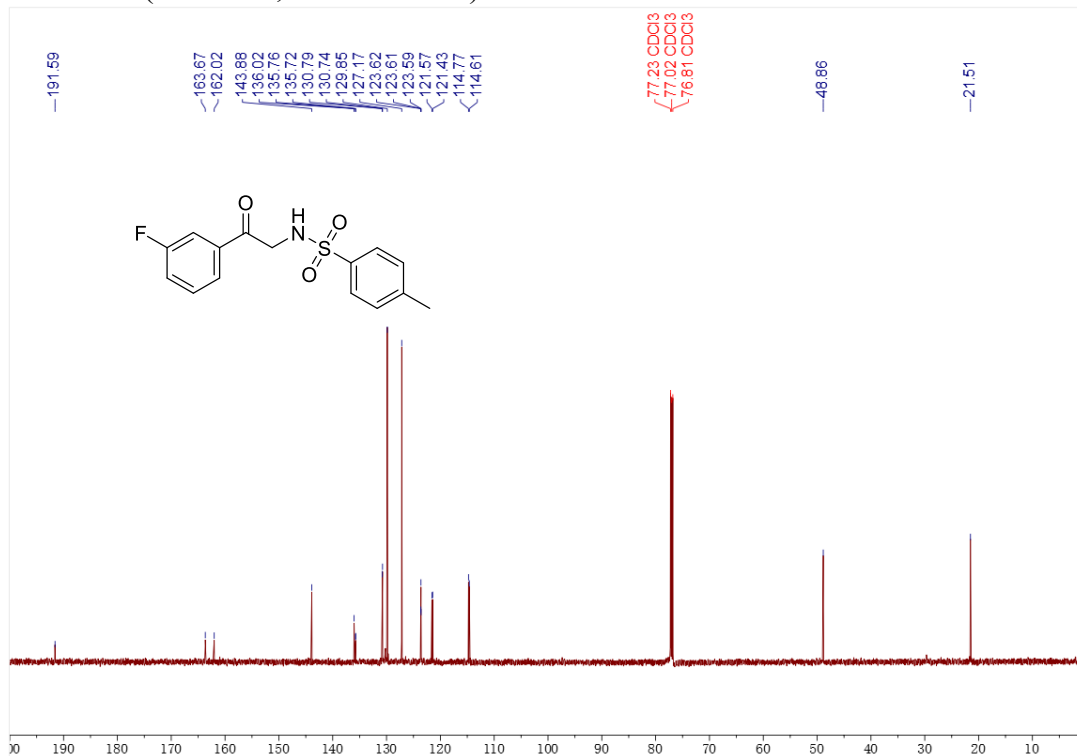


N-(2-(3-fluorophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (23)

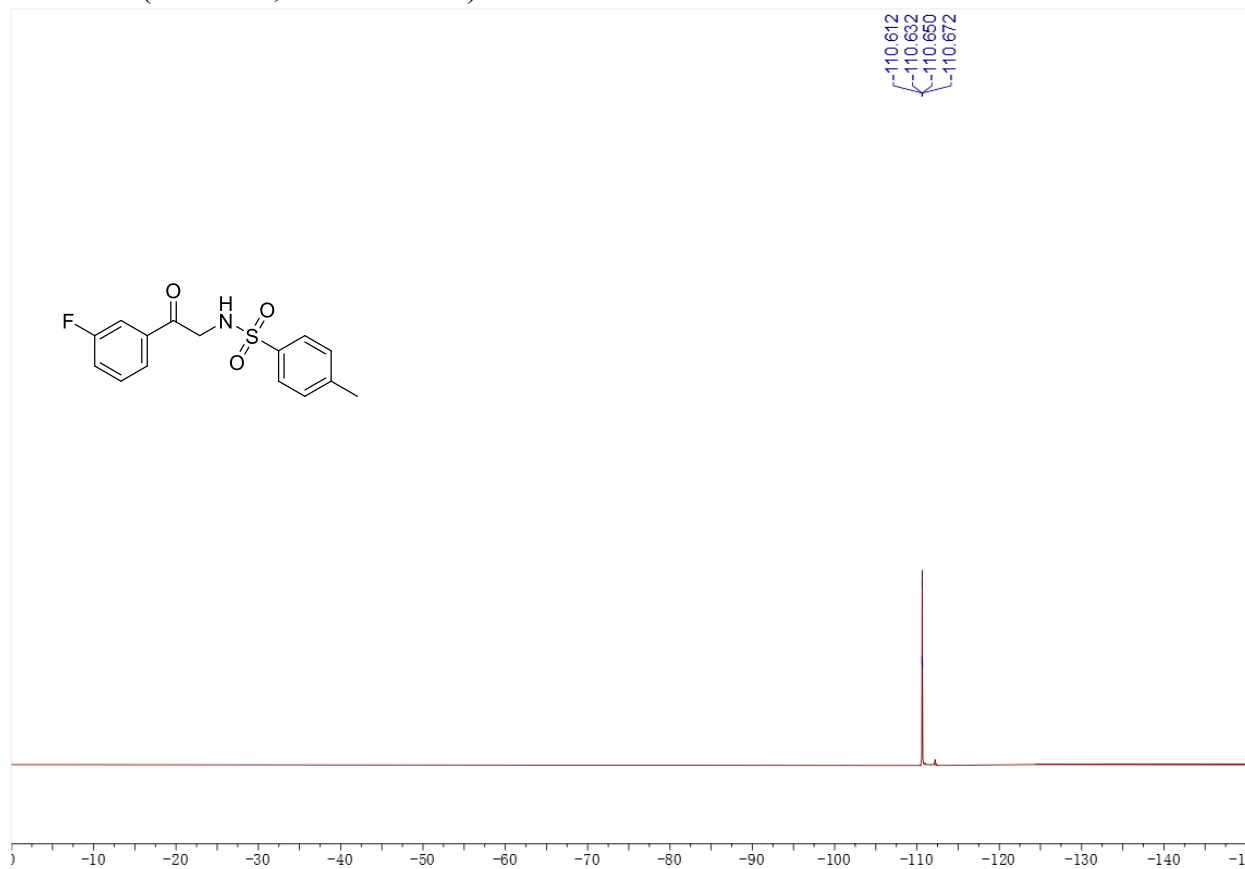
¹H NMR (400 MHz, Chloroform-d)



¹³C NMR (151 MHz, Chloroform-d)

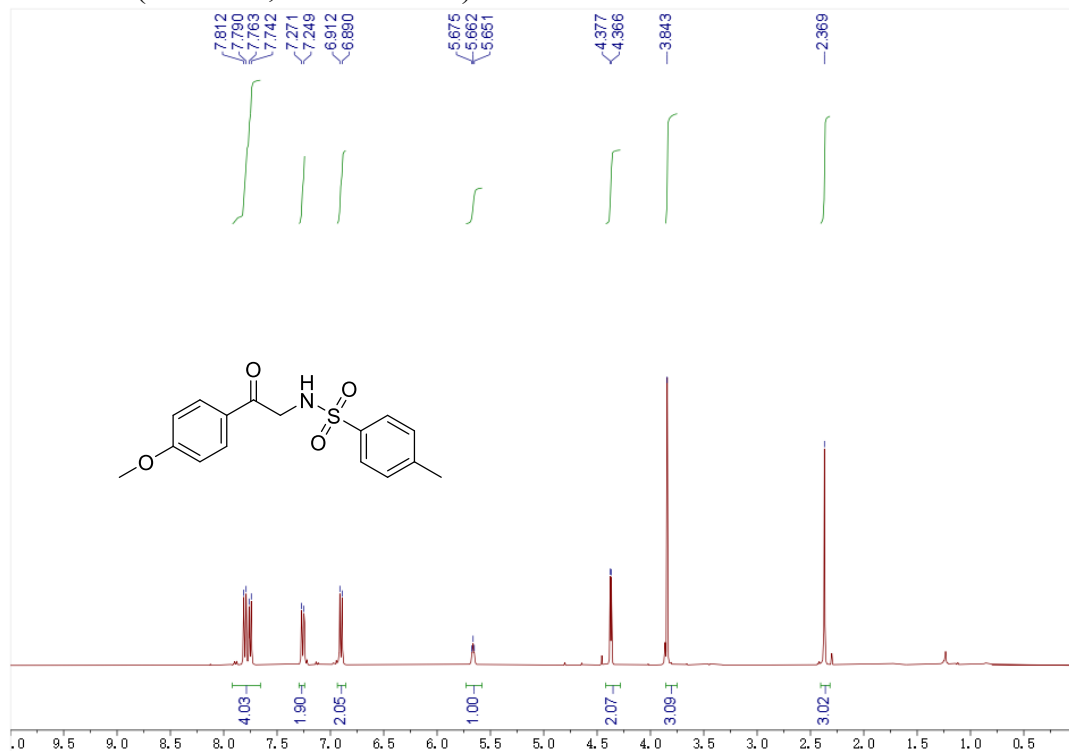


¹⁹F NMR (376 MHz, Chloroform-*d*)

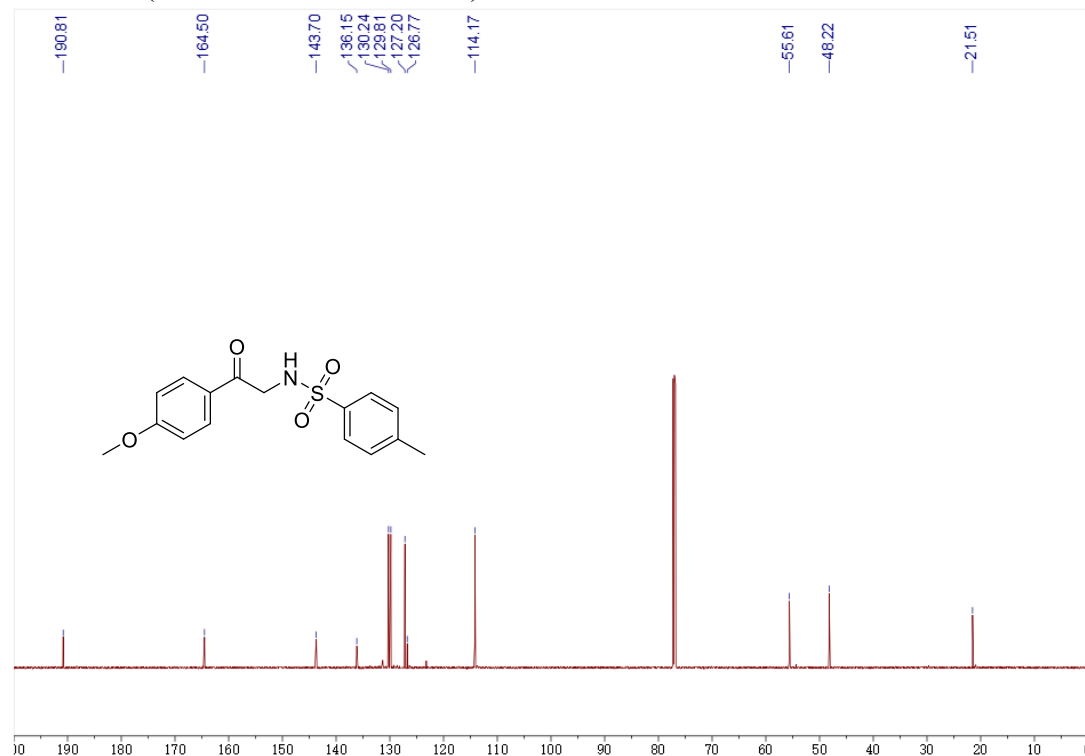


N-(2-(4-methoxyphenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (24)

¹H NMR (400 MHz, Chloroform-*d*)

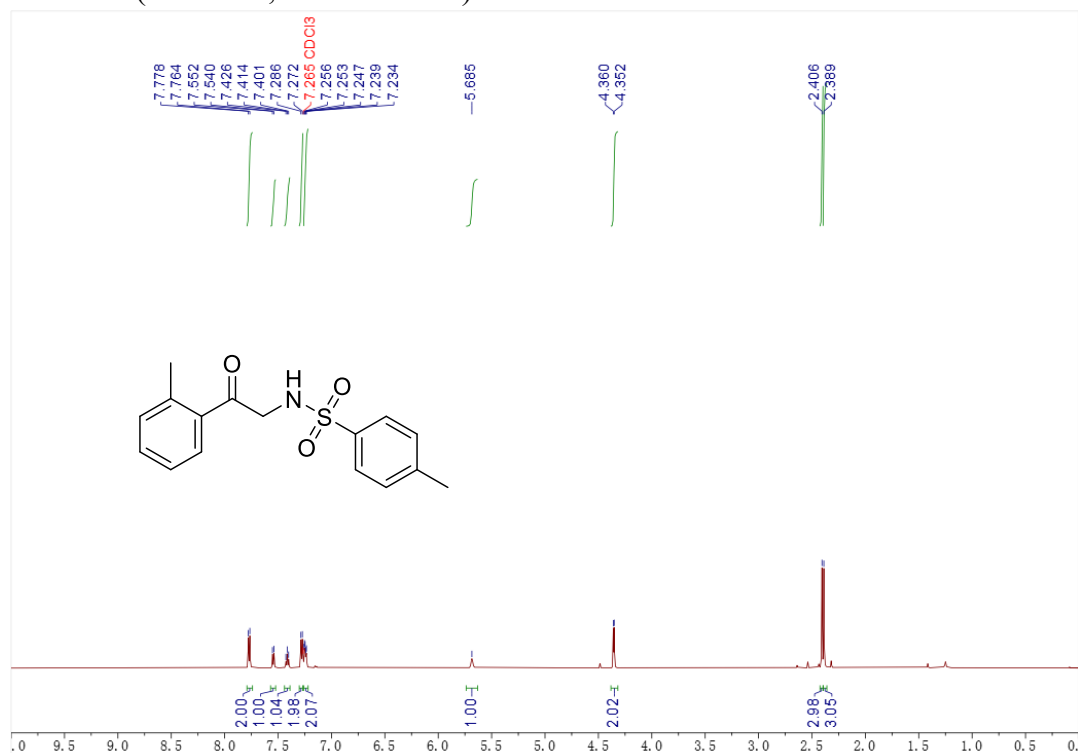


¹³C NMR (151 MHz, Chloroform-*d*)

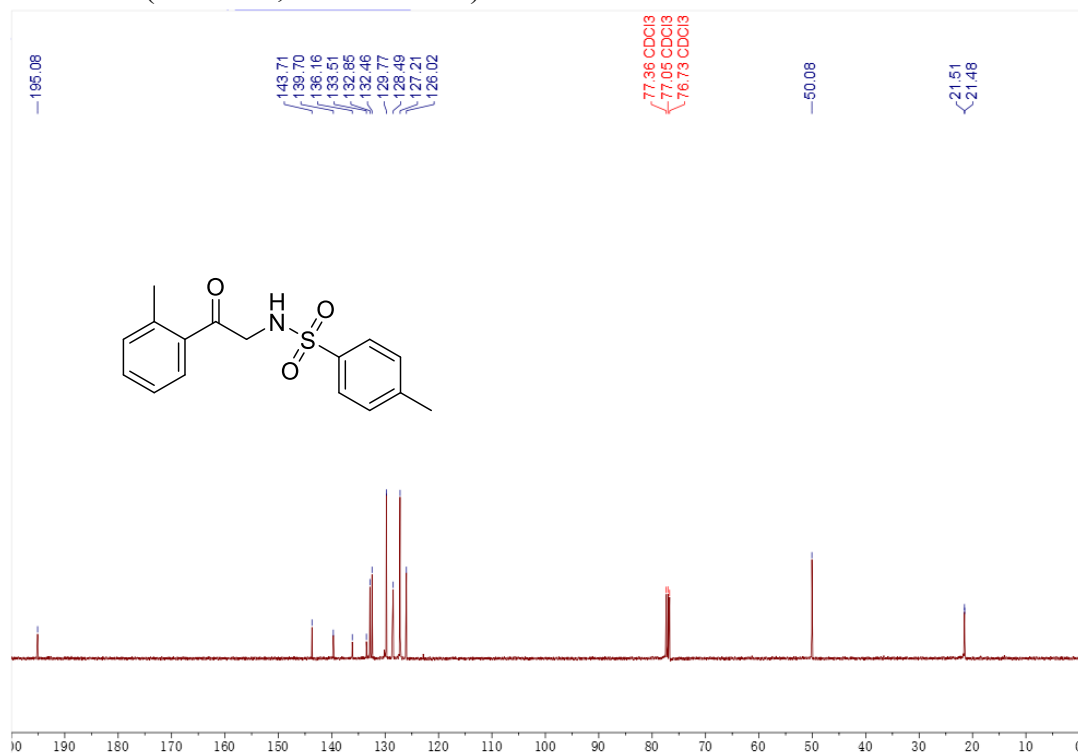


4-methyl-N-(2-oxo-2-(o-tolyl)ethyl)benzenesulfonamide (25)

^1H NMR (600 MHz, Chloroform-*d*)

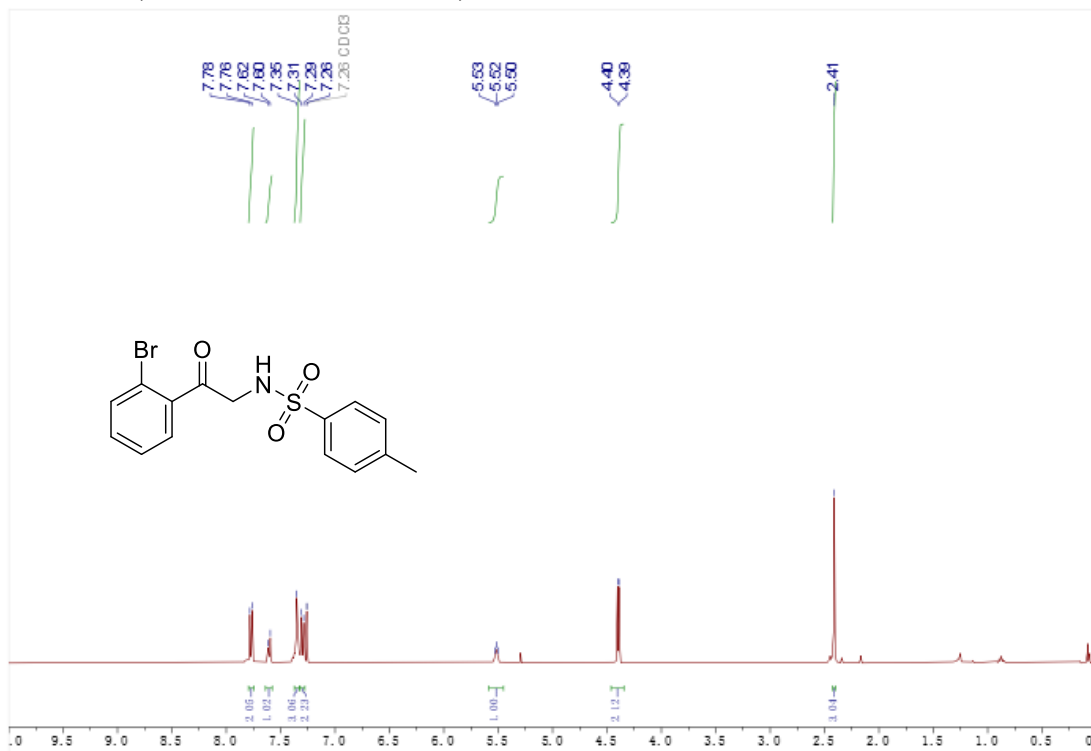


^{13}C NMR (101 MHz, Chloroform-*d*)

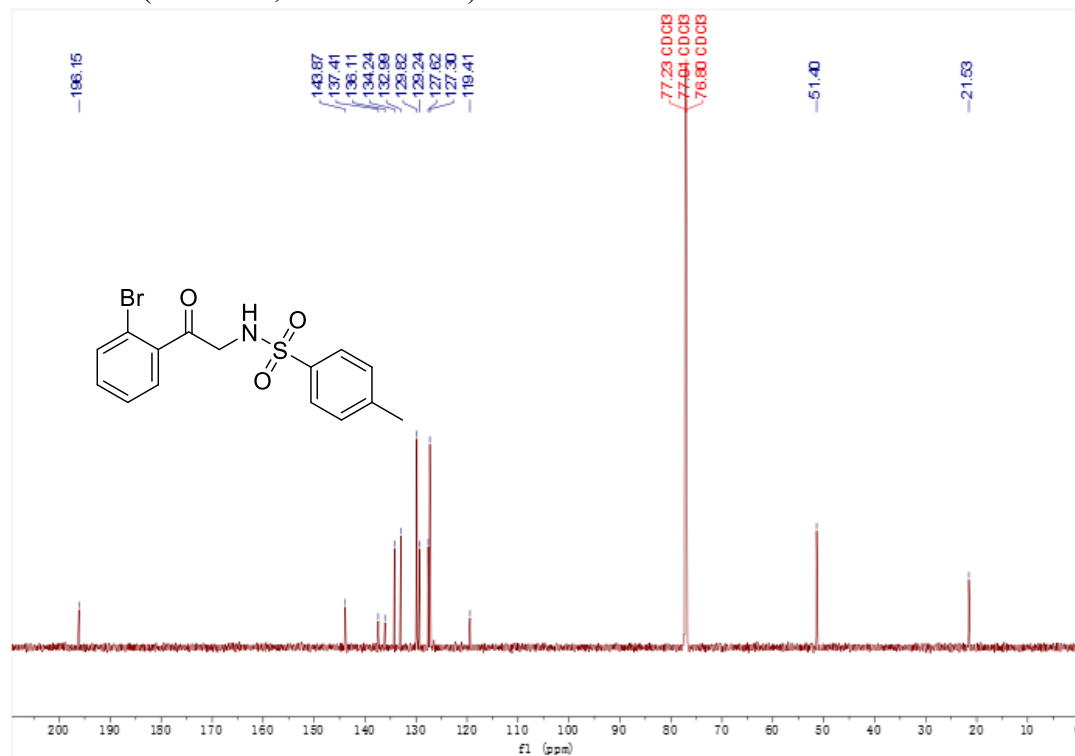


N-(2-(2-bromophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (26)

¹H NMR (400 MHz, Chloroform-*d*)

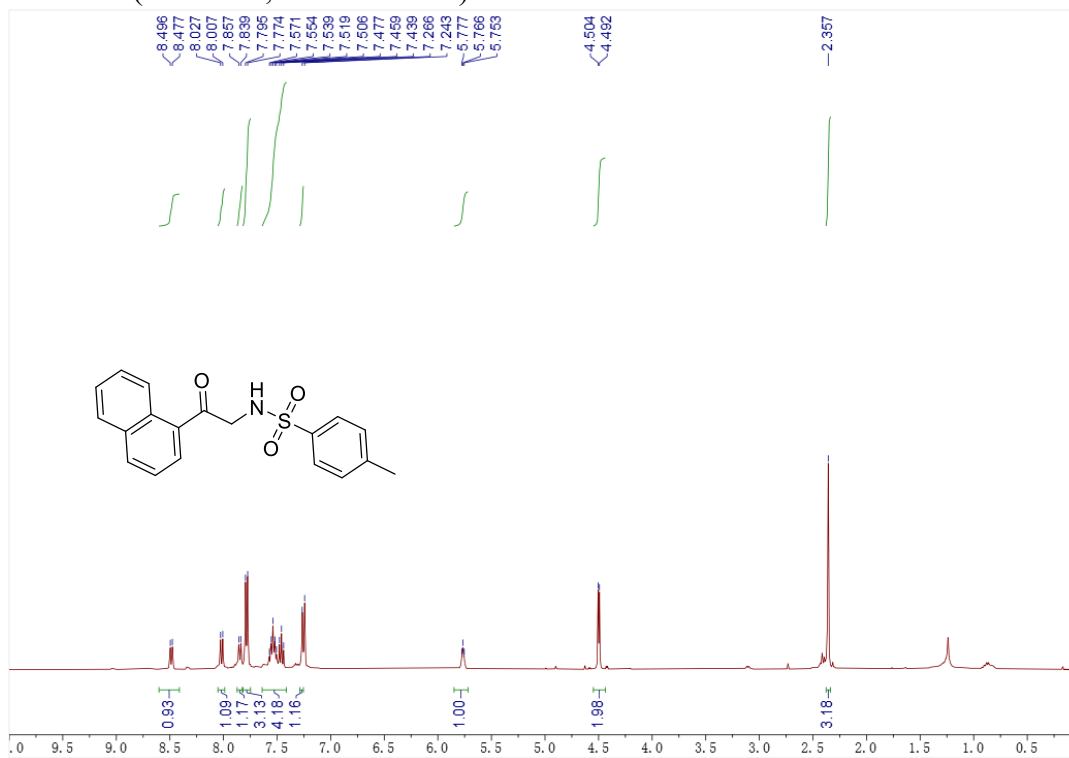


¹³C NMR (151 MHz, Chloroform-*d*)

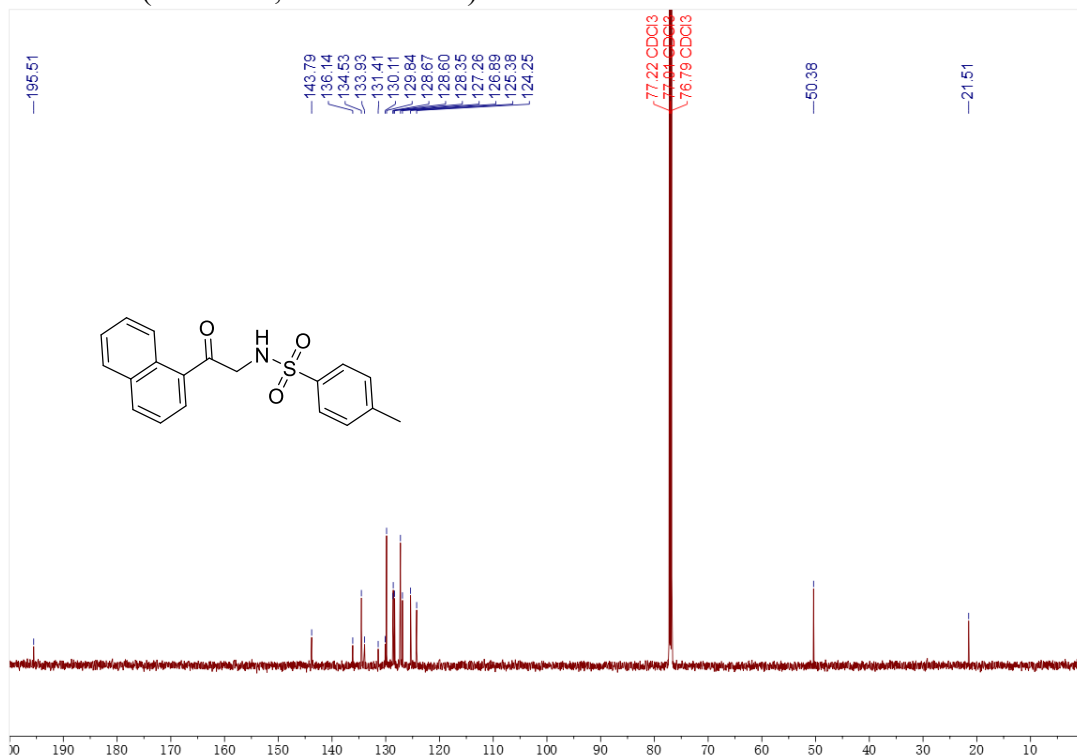


4-methyl-N-(2-(naphthalen-1-yl)-2-oxoethyl)benzenesulfonamide (27)

¹H NMR (400 MHz, Chloroform-*d*)

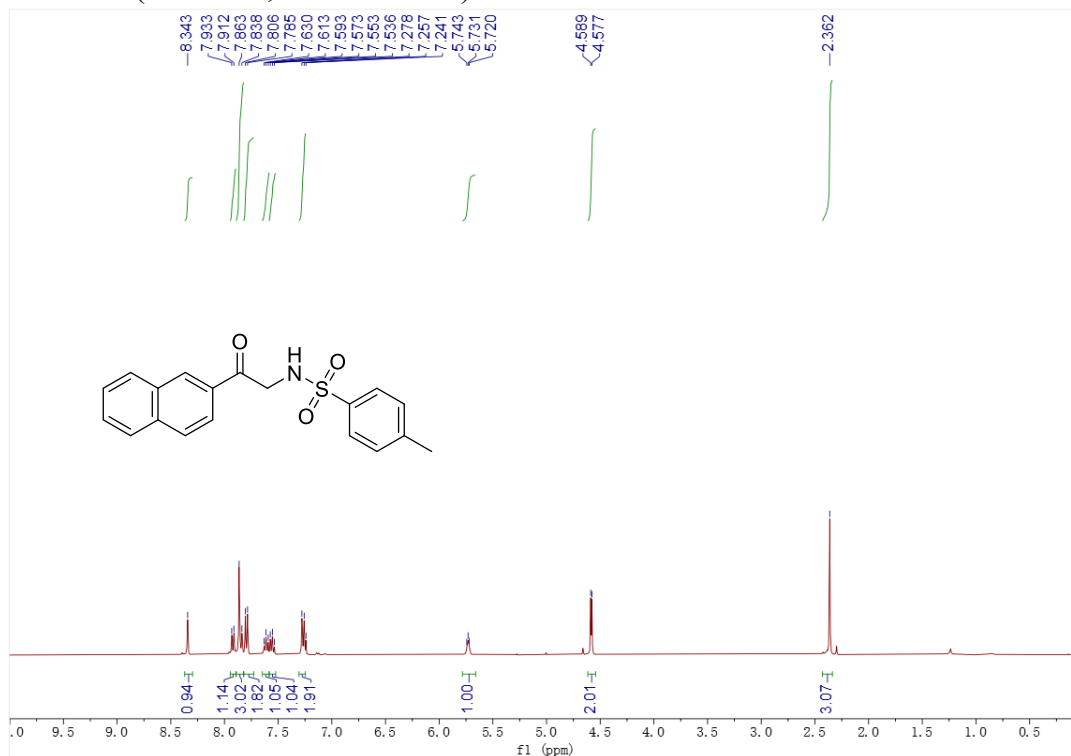


¹³C NMR (151 MHz, Chloroform-*d*)

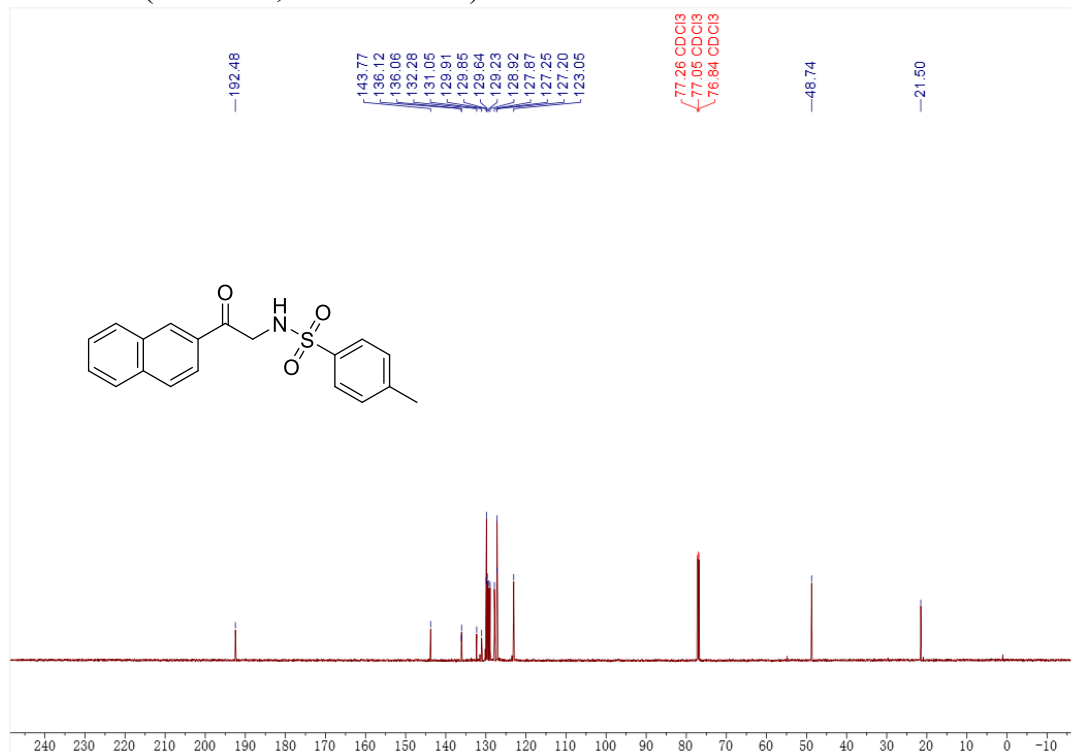


4-methyl-N-(2-(naphthalen-2-yl)-2-oxoethyl)benzenesulfonamide (28)

^1H NMR (400 MHz, Chloroform-*d*)

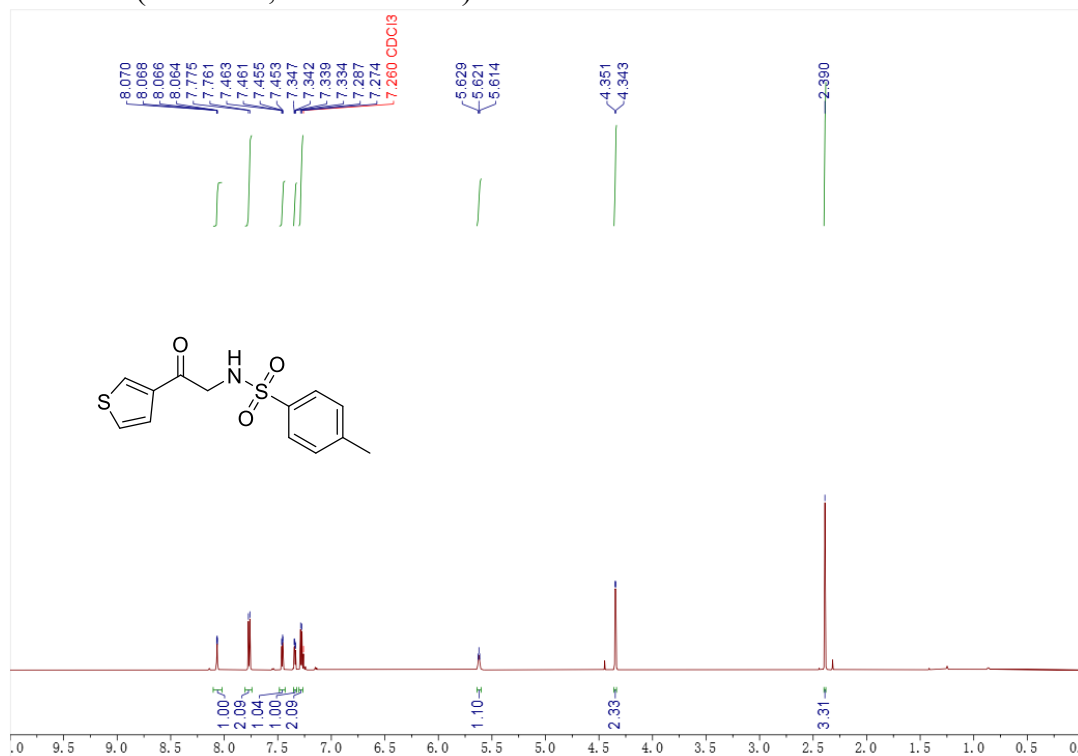


^{13}C NMR (151 MHz, Chloroform-*d*)

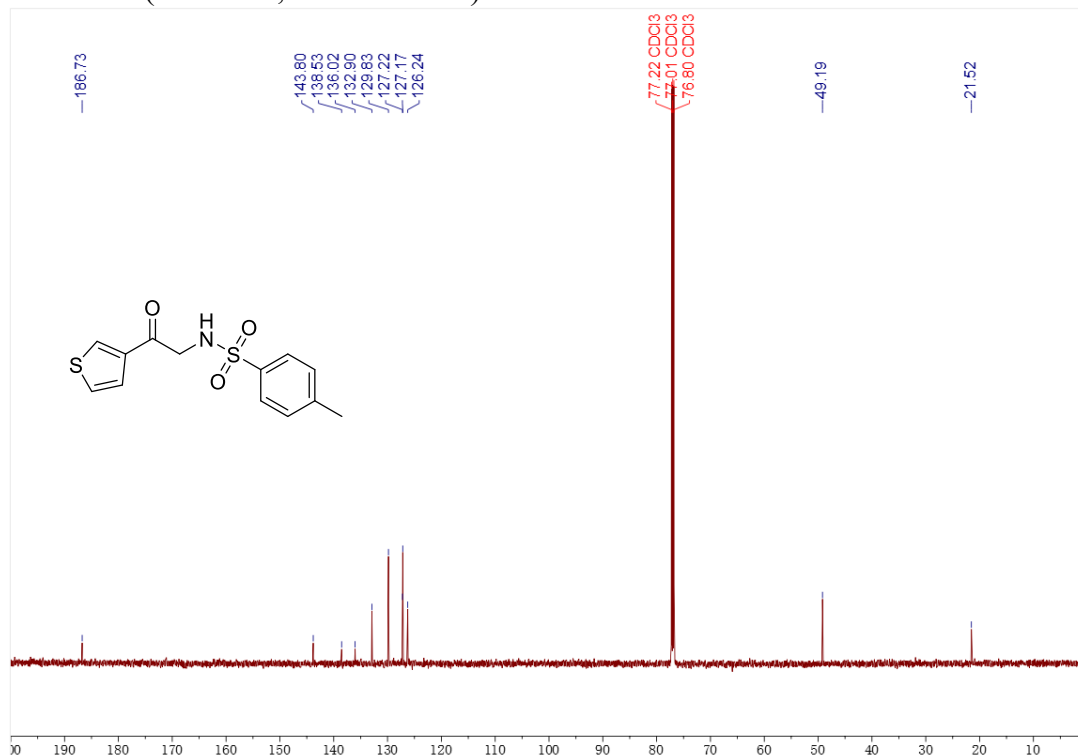


4-methyl-N-(2-oxo-2-(thiophen-3-yl)ethyl)benzenesulfonamide (29)

¹H NMR (600 MHz, Chloroform-*d*)

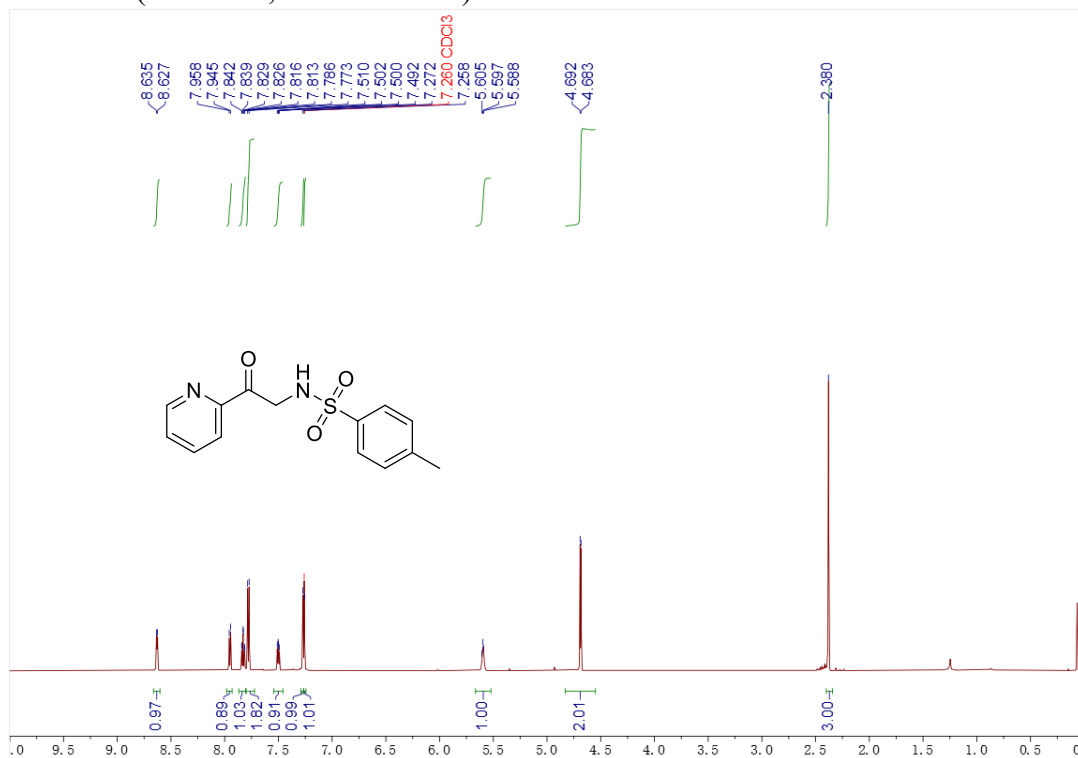


¹³C NMR (151 MHz, Chloroform-*d*)

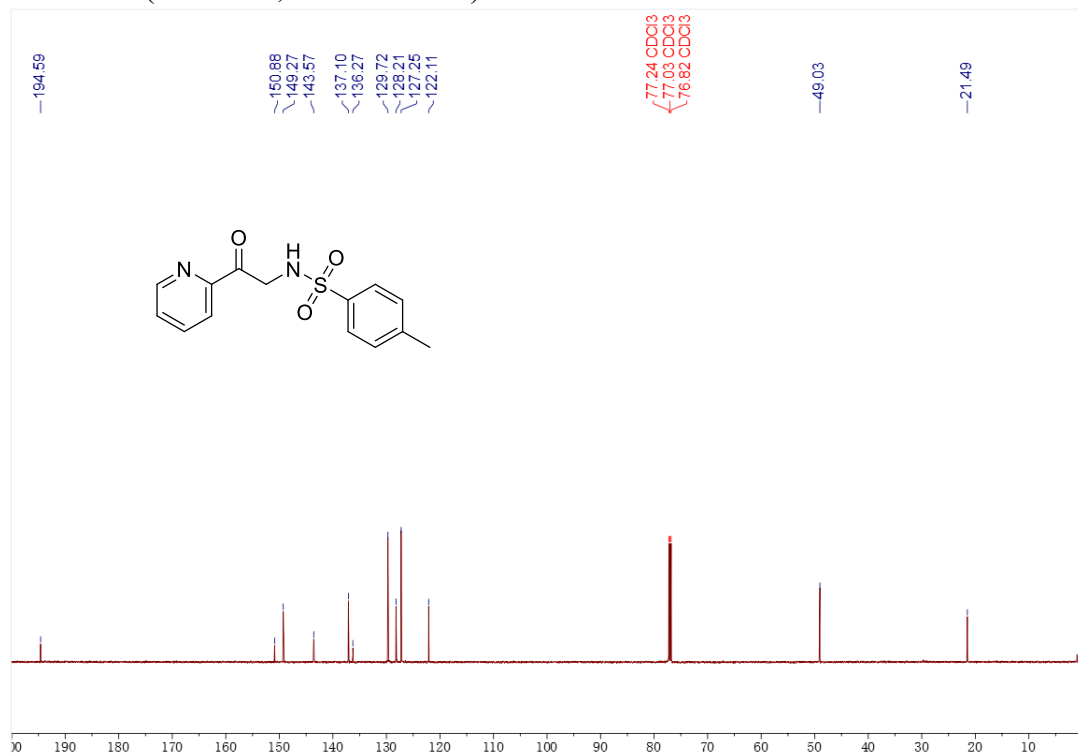


4-methyl-N-(2-oxo-2-(pyridin-2-yl)ethyl)benzenesulfonamide (30)

^1H NMR (600 MHz, Chloroform-*d*)

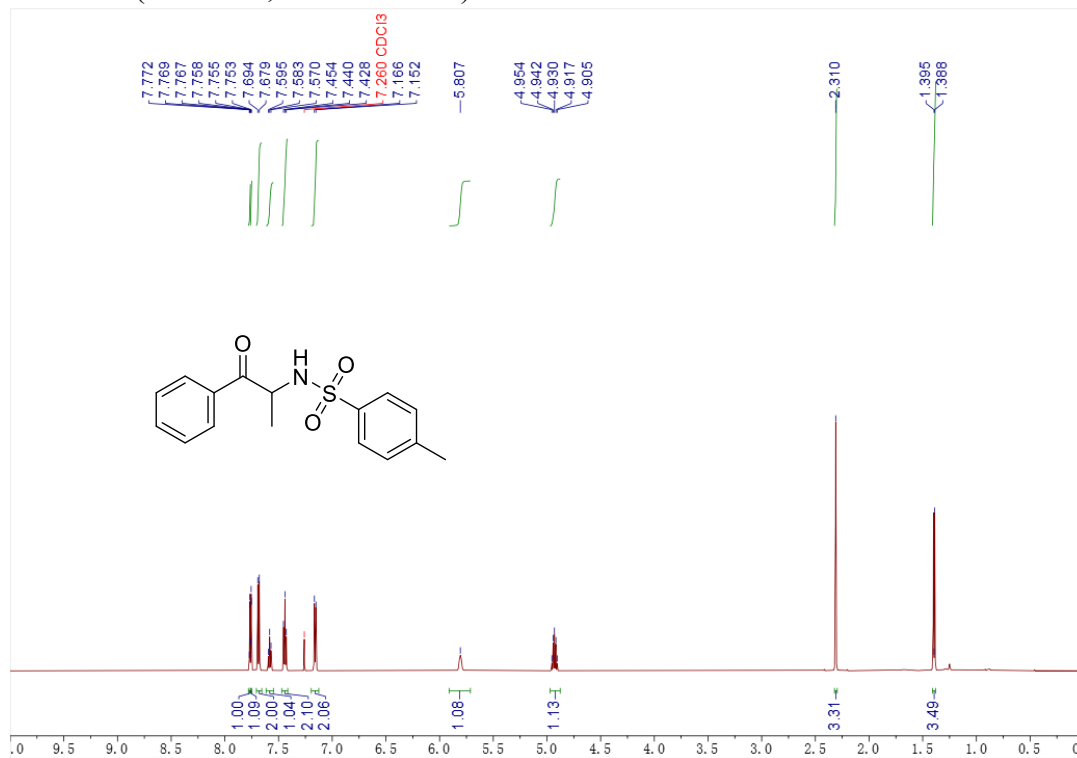


^{13}C NMR (151 MHz, Chloroform-*d*)

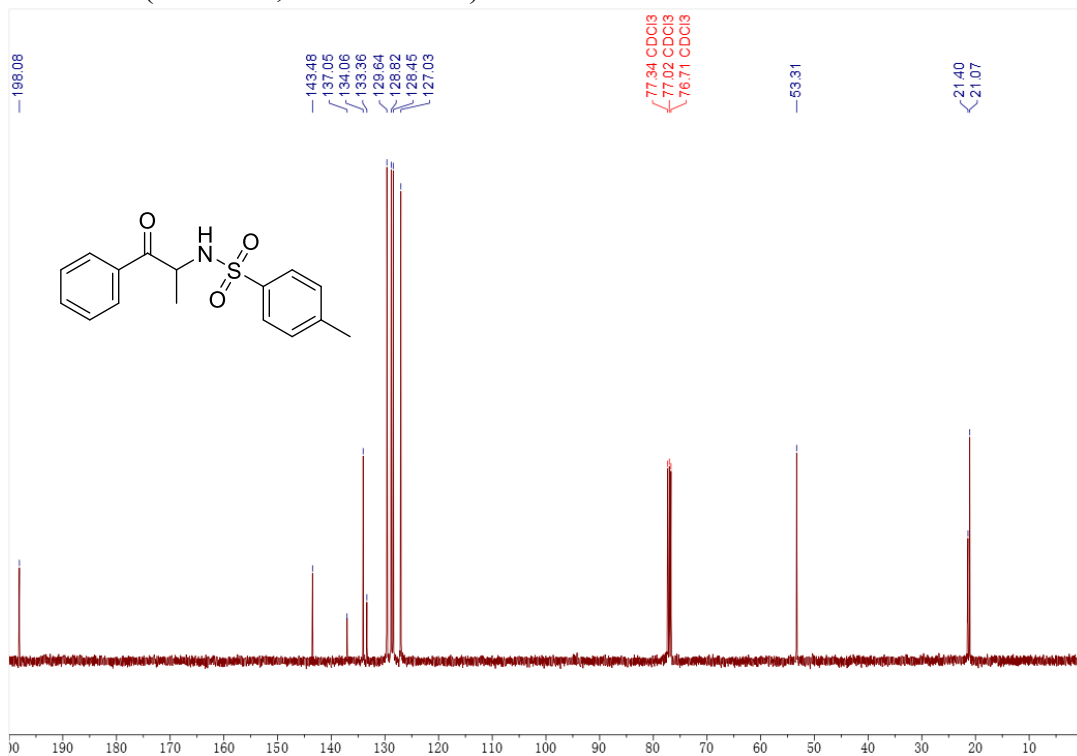


4-methyl-N-(1-oxo-1-phenylpropan-2-yl)benzenesulfonamide (31)

^1H NMR (600 MHz, Chloroform-*d*)

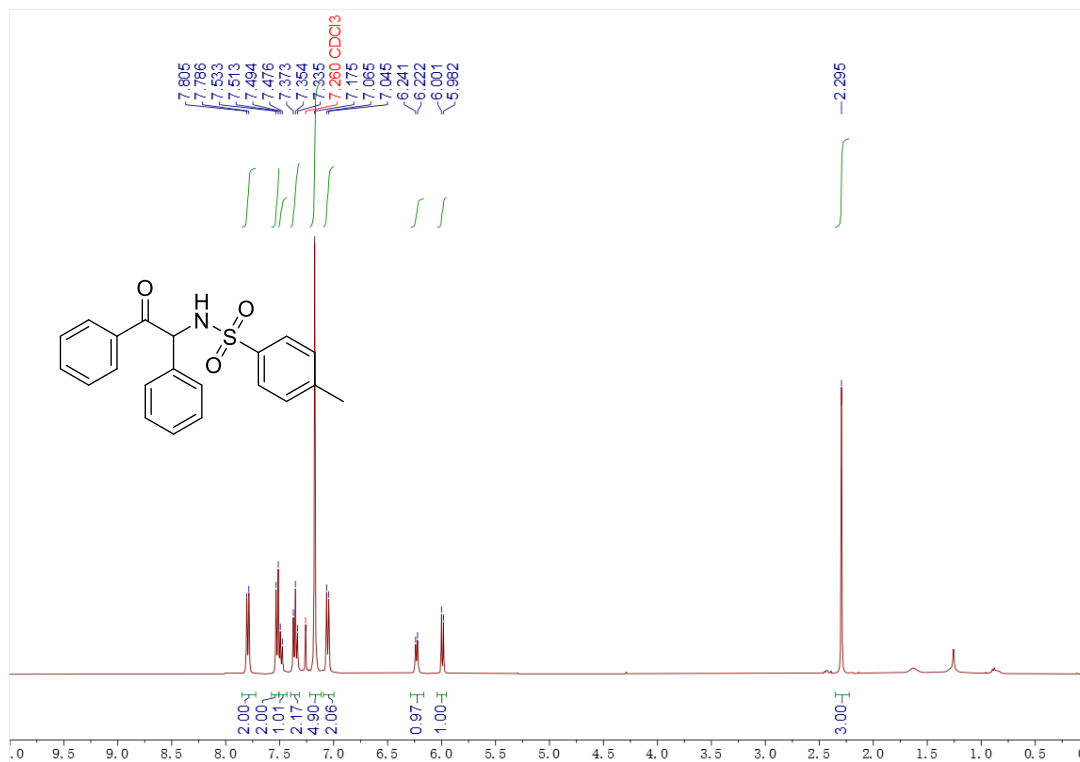


^{13}C NMR (101 MHz, Chloroform-*d*)

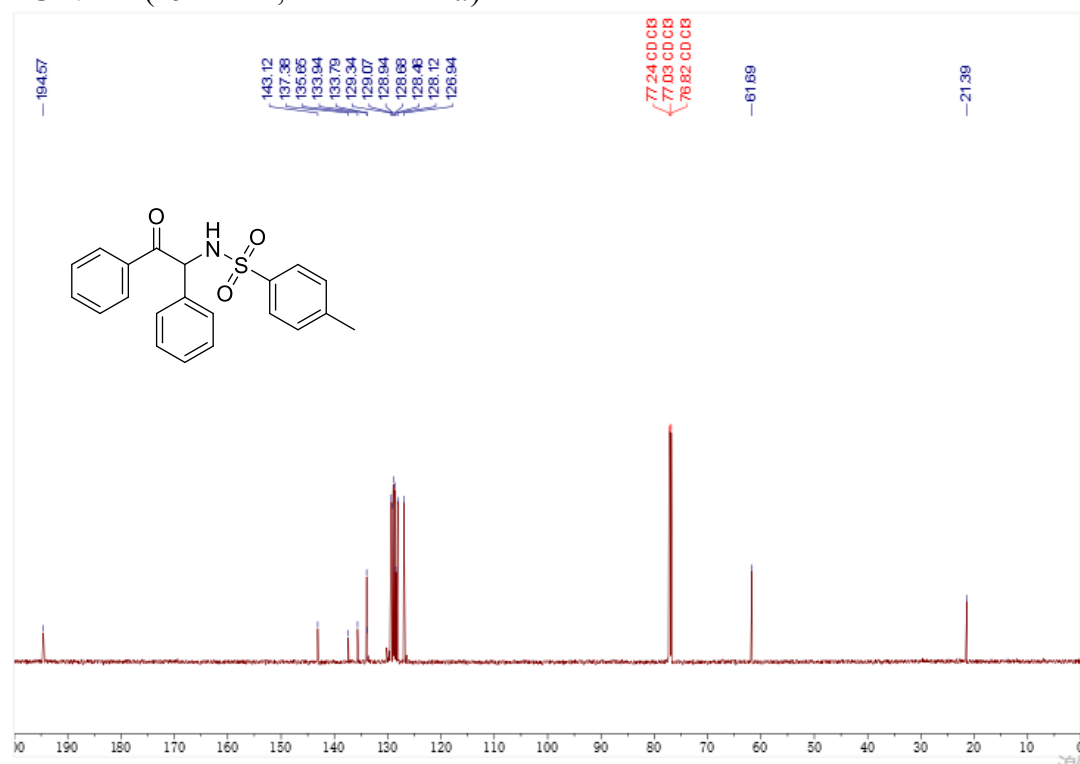


4-methyl-N-(2-oxo-1, 2-diphenylethyl)benzenesulfonamide (32)

¹H NMR (400 MHz, Chloroform-*d*)

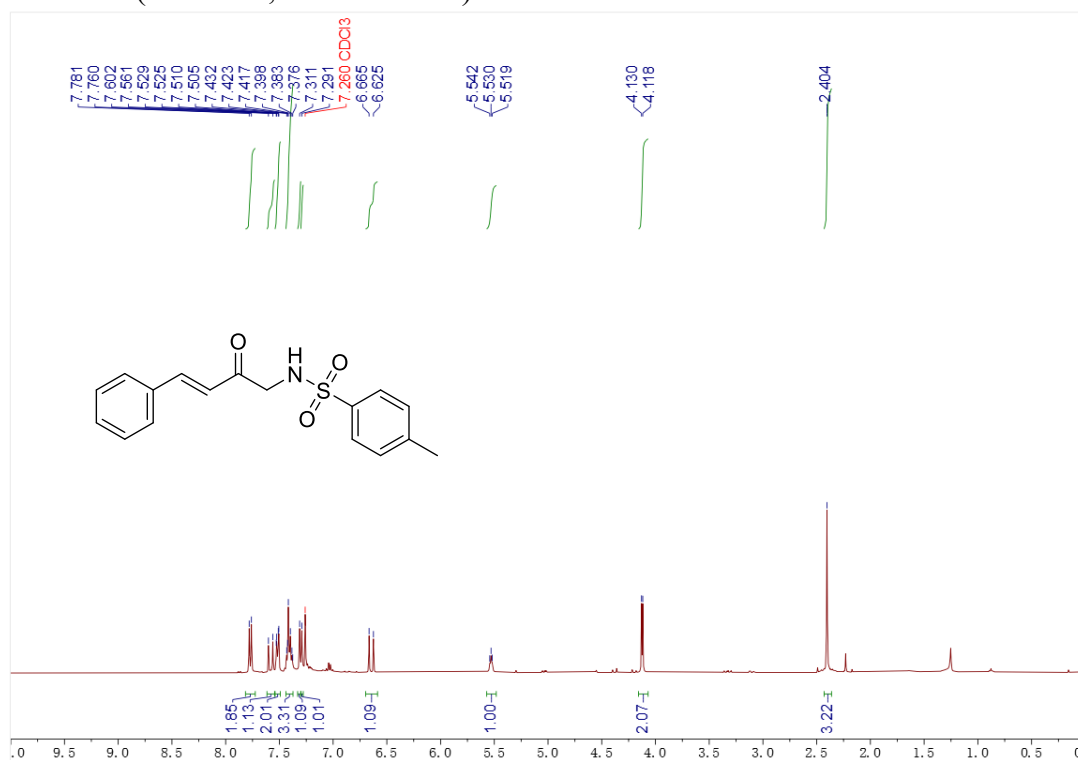


¹³C NMR (151 MHz, Chloroform-*d*)



methyl-N-(2-oxo-4-phenylbut-3-en-1-yl)benzenesulfonamide (33)

¹H NMR (400 MHz, Chloroform-*d*)

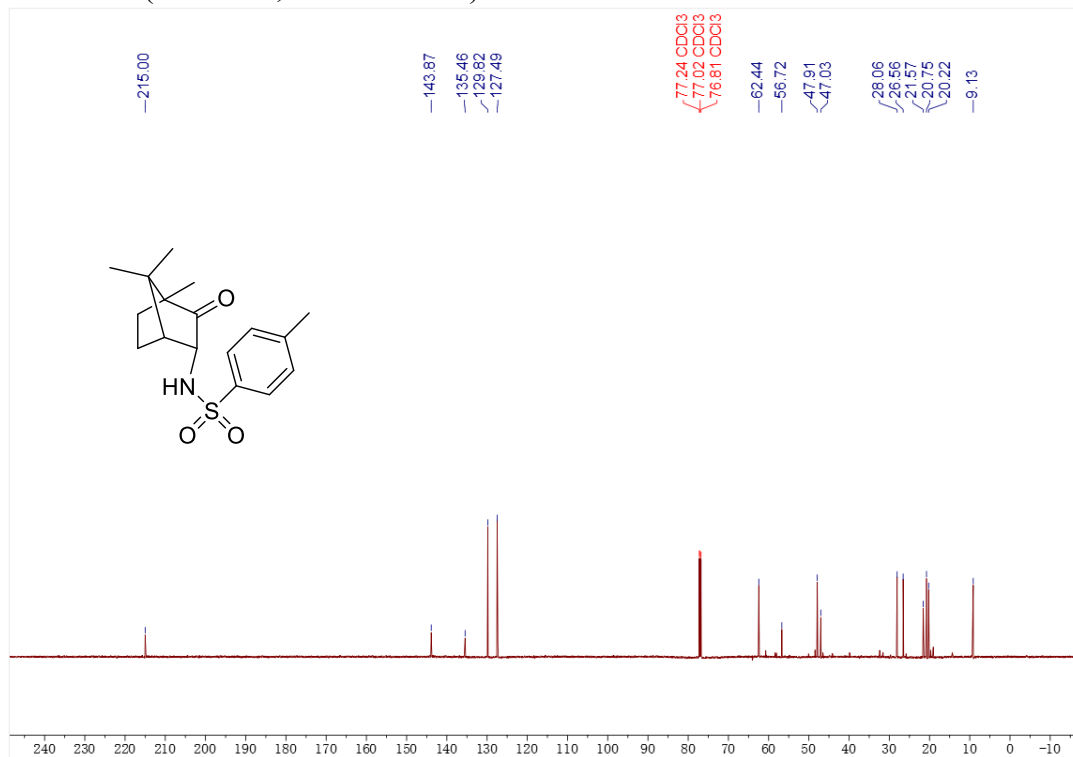


4-methyl-N-(4, 7, 7-trimethyl-3-oxobicyclo[2.2.1]heptan-2-yl)benzenesulfonamide (34)

¹H NMR (400 MHz, Chloroform-*d*)

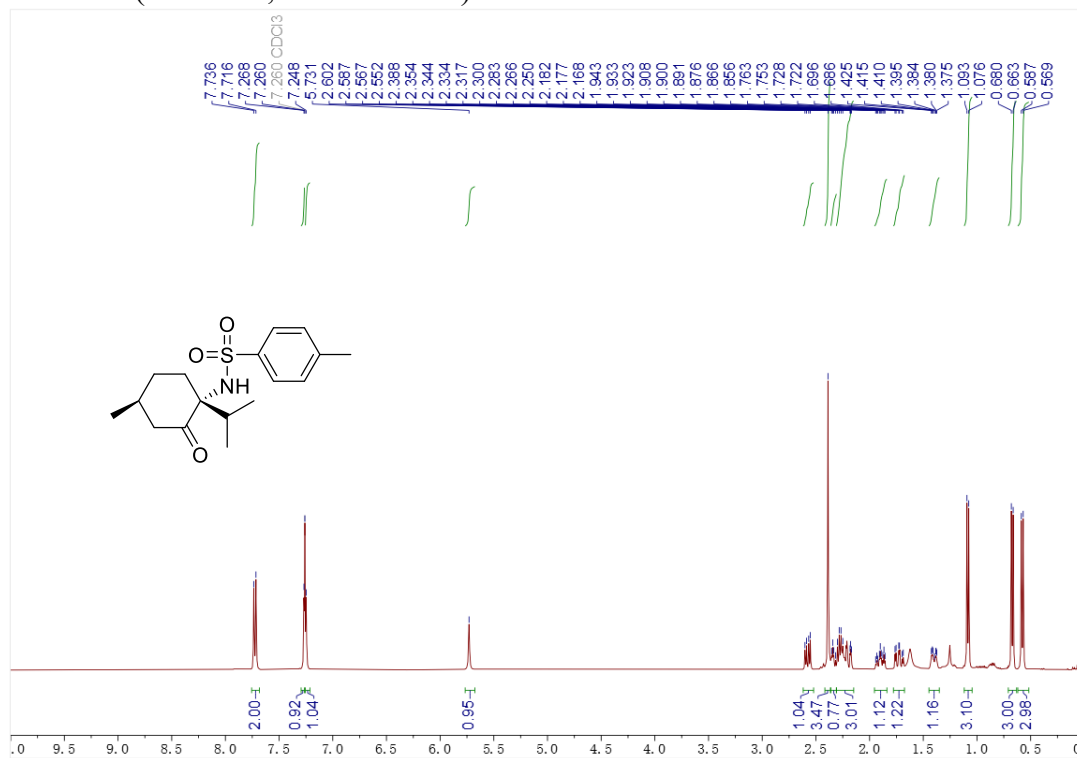


¹³C NMR (151 MHz, Chloroform-*d*)

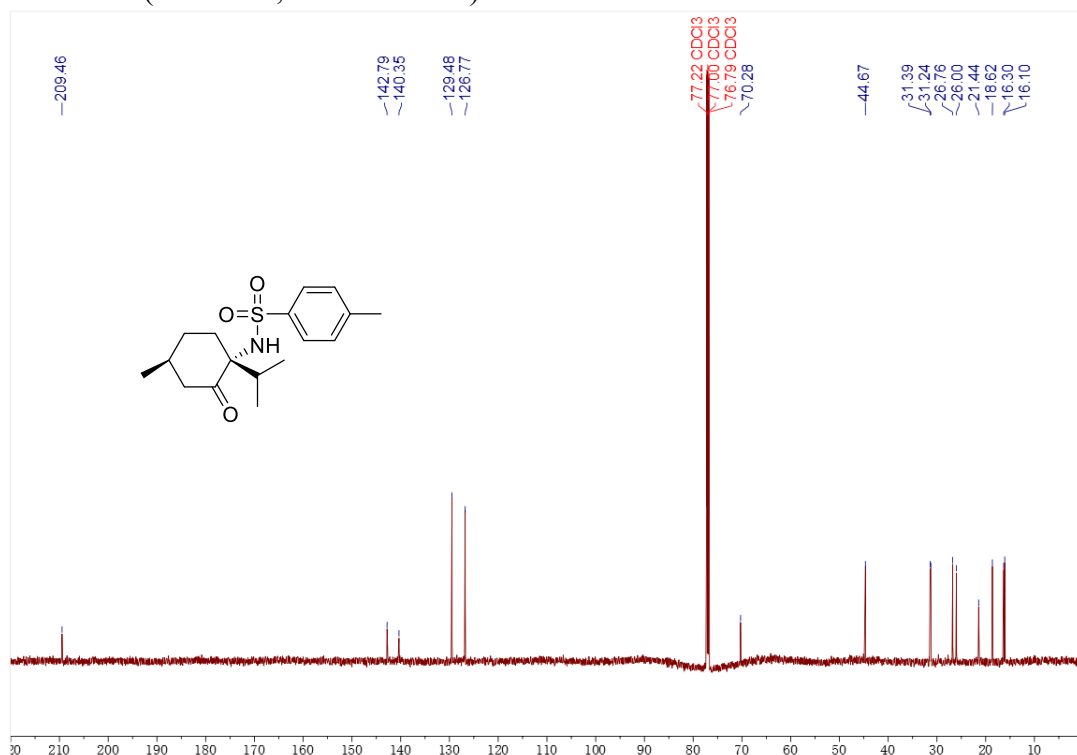


N-(1-isopropyl-4-methyl-2-oxocyclohexyl)-4-methylbenzenesulfonamide (35)

¹H NMR (400 MHz, Chloroform-*d*)

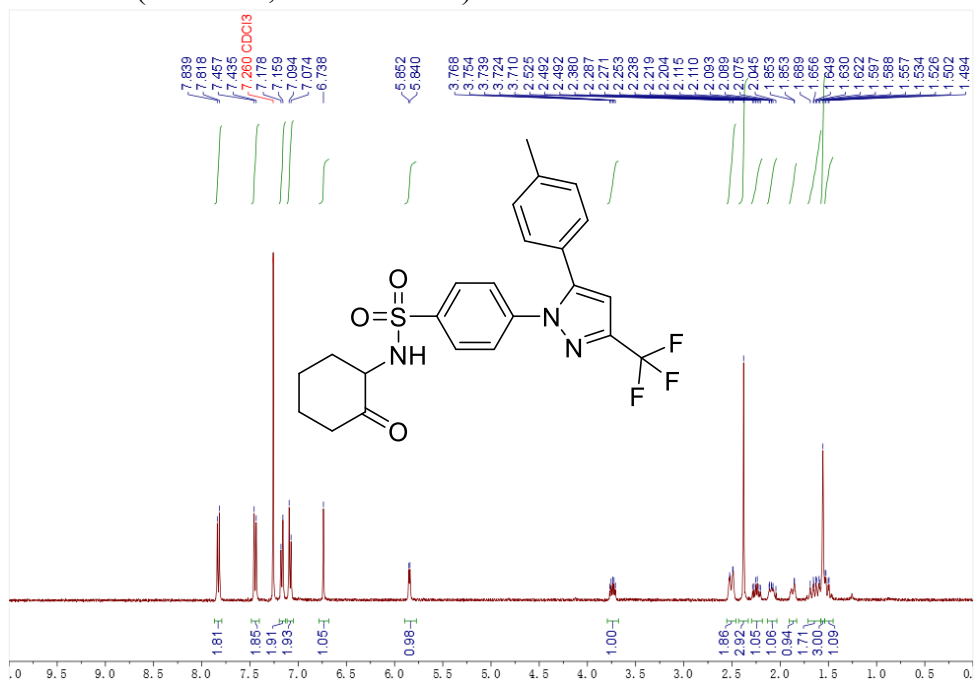


¹³C NMR (151 MHz, Chloroform-*d*)

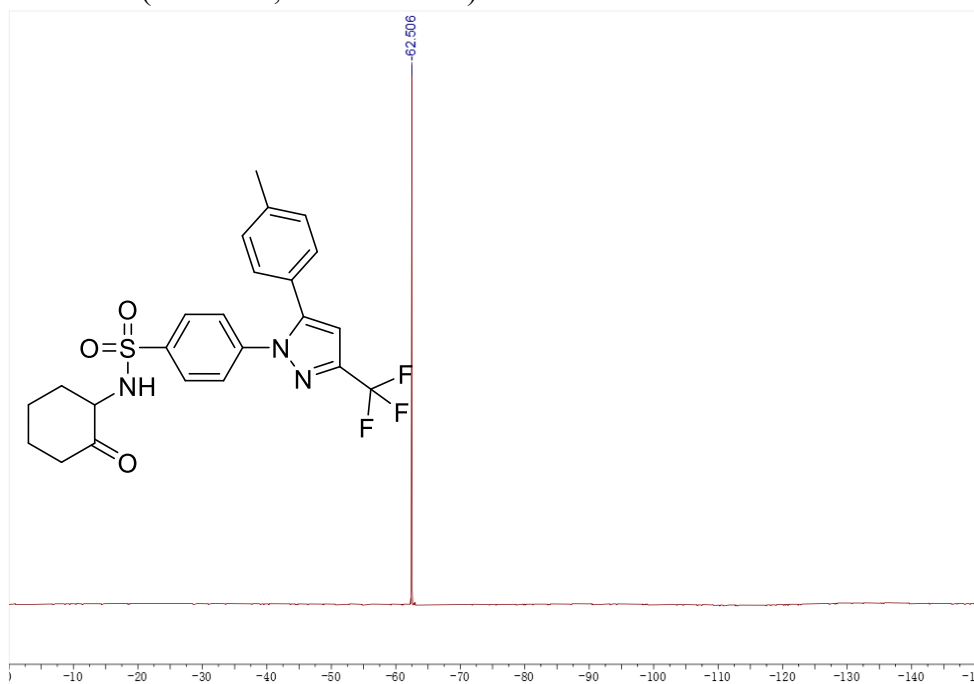


N-(4-oxotetrahydro-2H-pyran-3-yl)-4-(5-(p-tolyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)benzenesulfonamide (36)

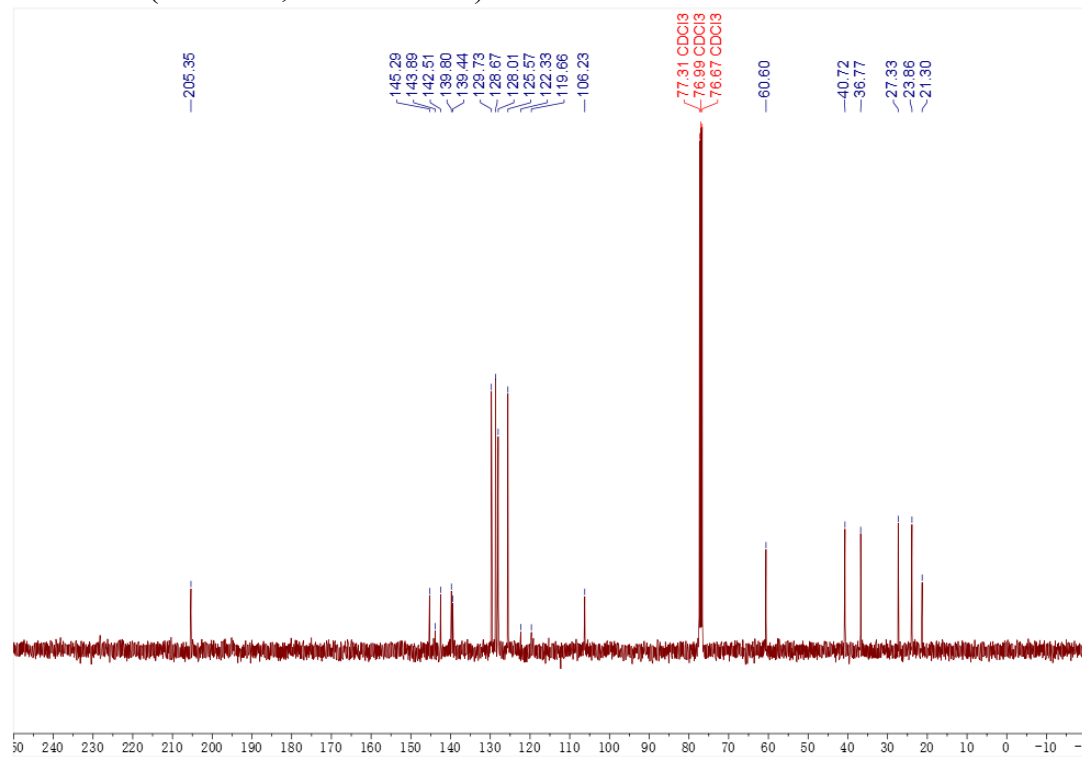
¹H NMR (400 MHz, Chloroform-*d*)



¹⁹F NMR (376 MHz, Chloroform-*d*)

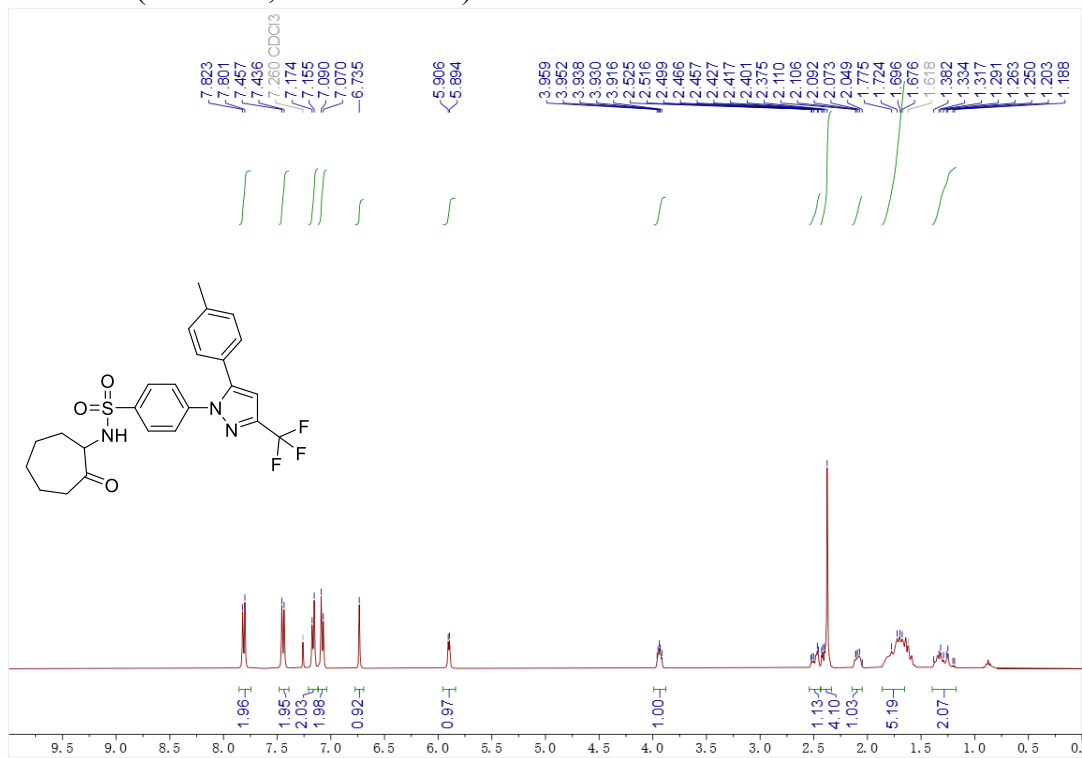


¹³C NMR (101 MHz, Chloroform-*d*)

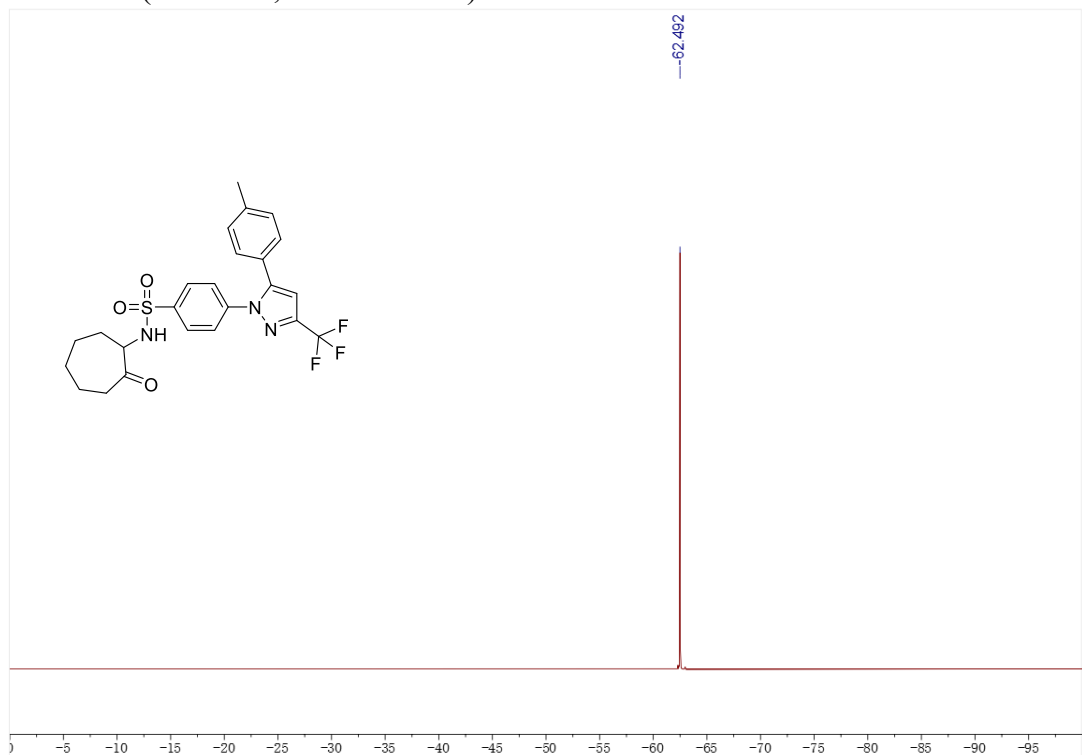


N-(2-oxocycloheptyl)-4-(5-(p-tolyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)benzenesulfonamide (37)

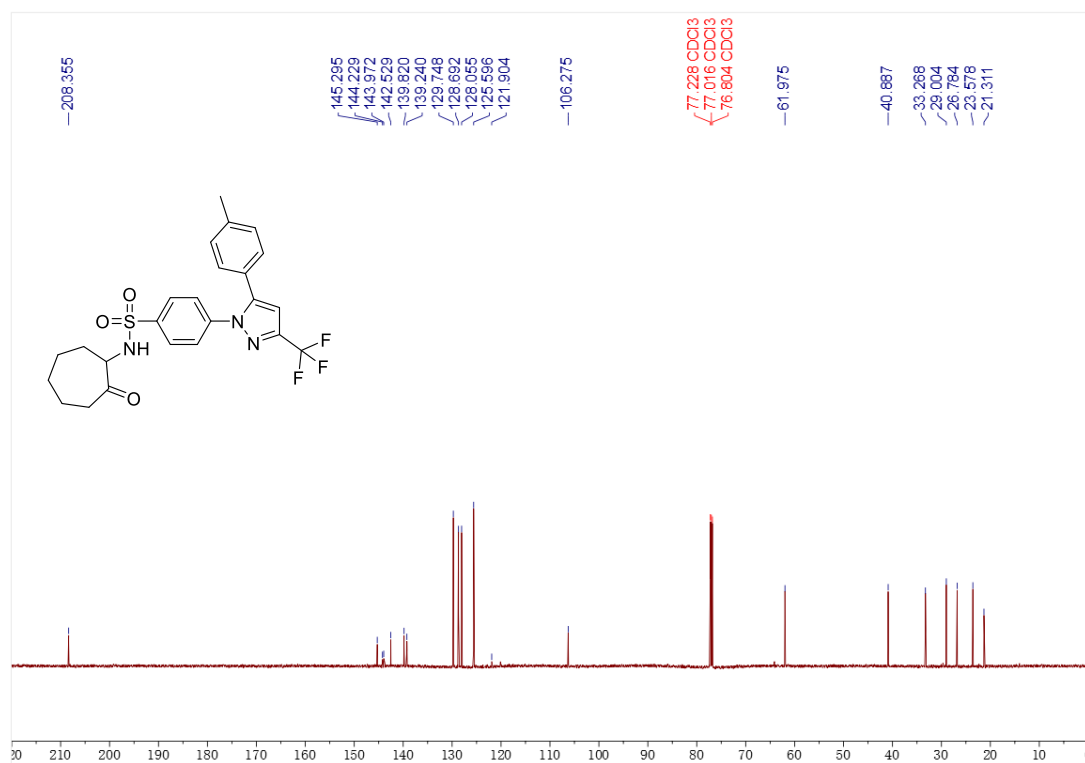
¹H NMR (400 MHz, Chloroform-*d*)



¹⁹F NMR (376 MHz, Chloroform-*d*)

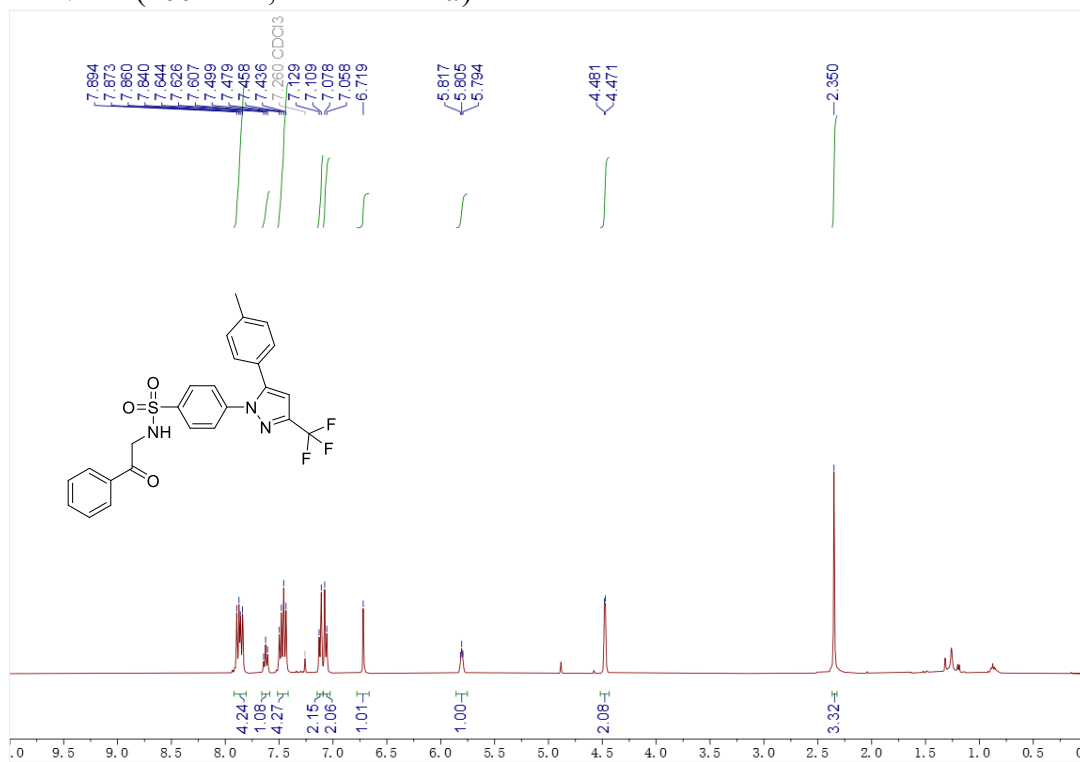


¹³C NMR (151 MHz, Chloroform-*d*)

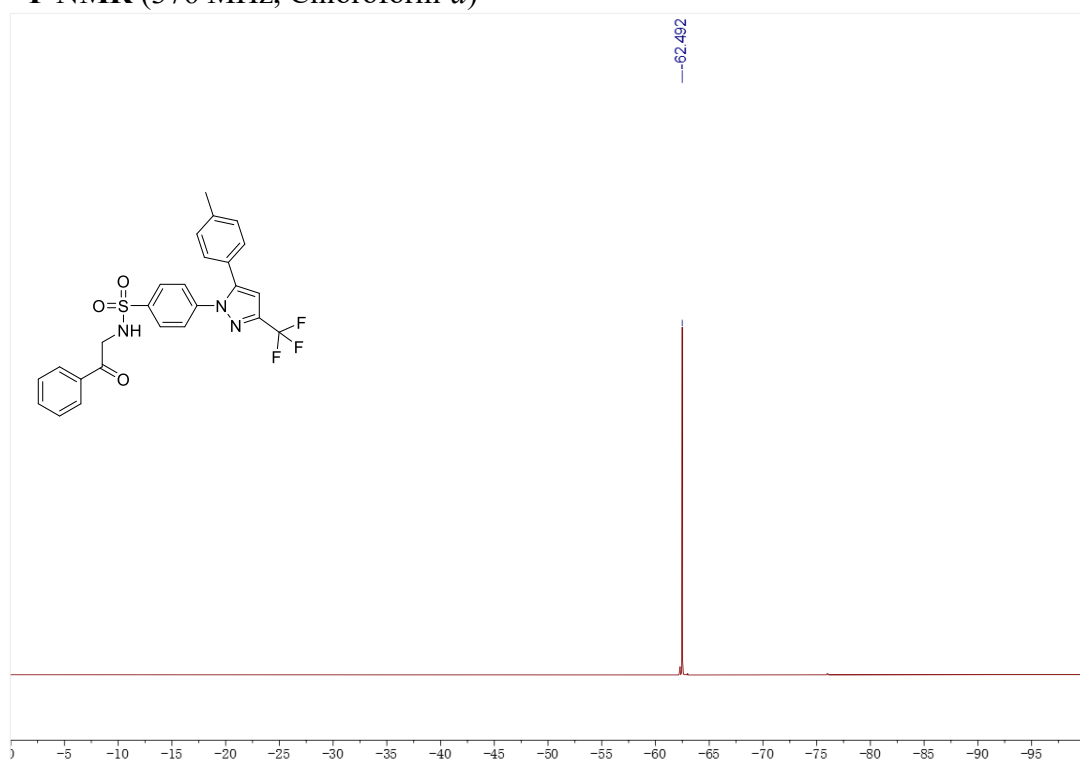


N-(2-oxo-2-phenylethyl)-4-(5-(p-tolyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)benzenesulfonamide (38)

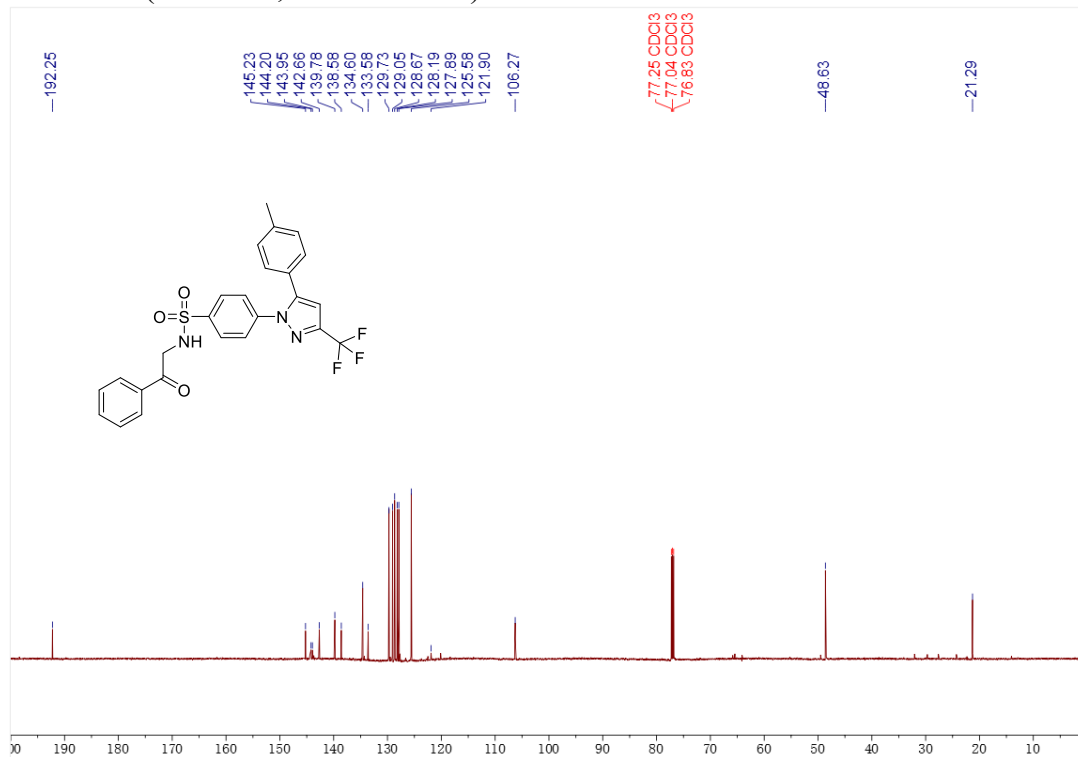
¹H NMR (400 MHz, Chloroform-*d*)



¹⁹F NMR (376 MHz, Chloroform-*d*)

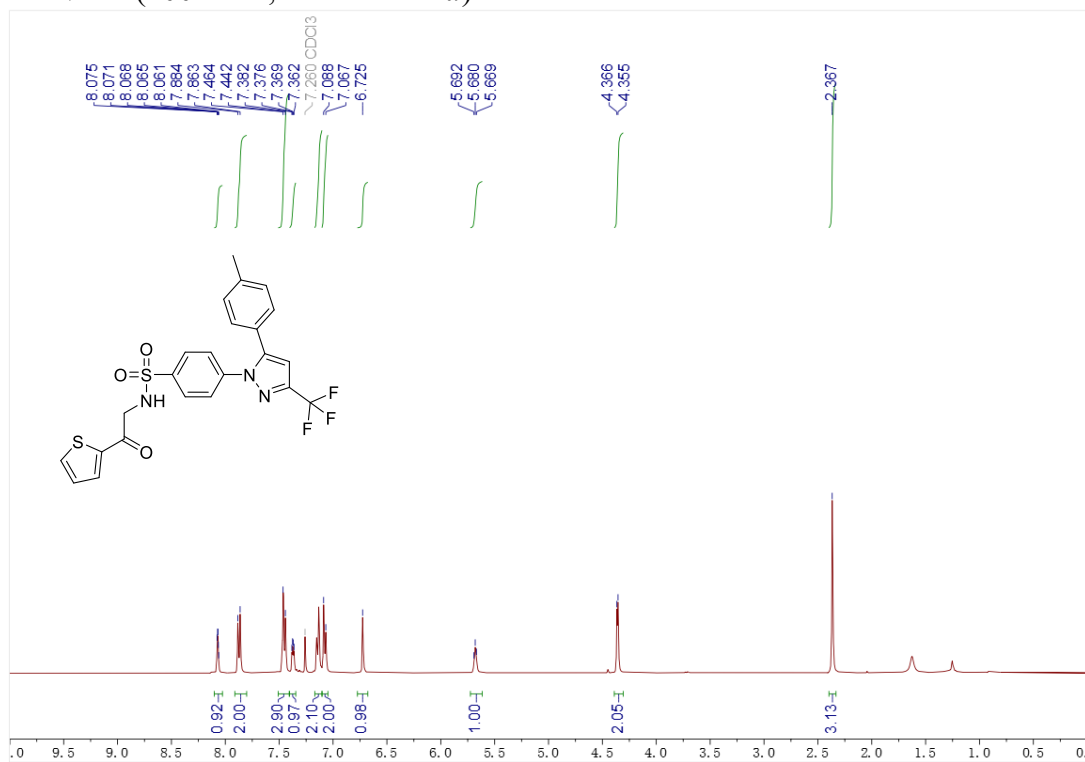


¹³C NMR (151 MHz, Chloroform-*d*)

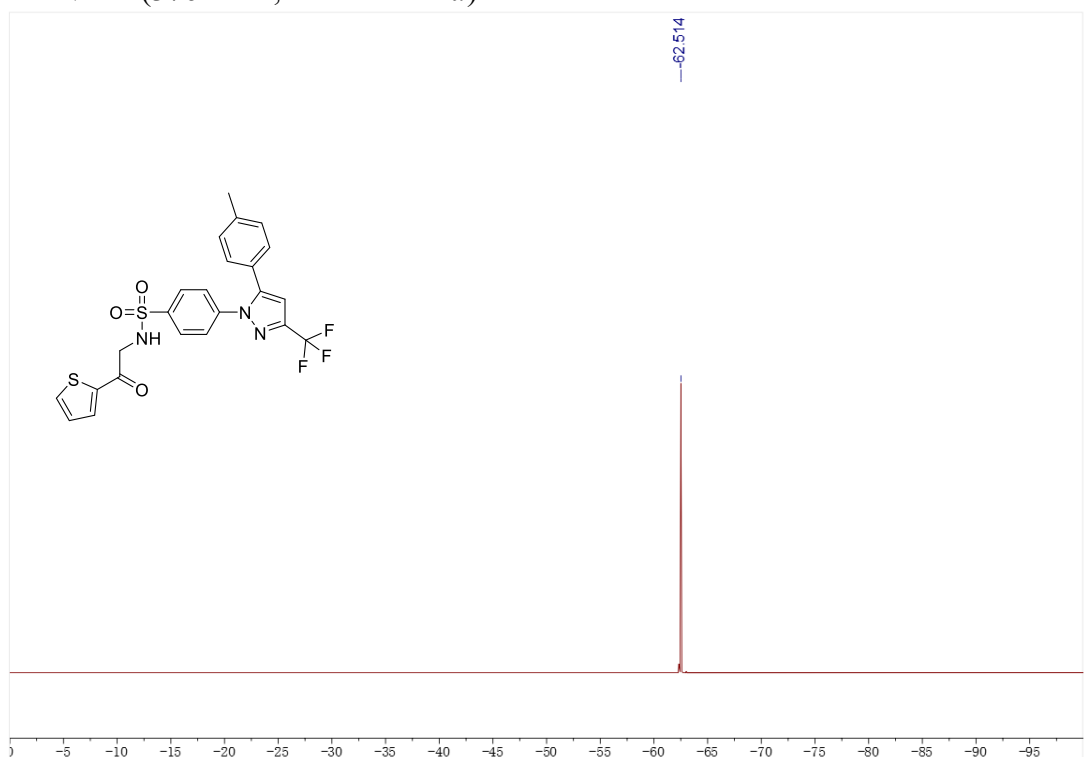


N-(2-oxo-2-phenylethyl)-4-(5-(p-tolyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)benzenesulfonamide (39)

¹H NMR (400 MHz, Chloroform-*d*)



¹⁹F NMR (376 MHz, Chloroform-*d*)



¹³C NMR (151 MHz, Chloroform-*d*)

