# Supporting Information

# Visible-Light Photoinduced Charge-Transfer Complex Promoted the Ring Opening of N-Alkyl-4-Piperidinols

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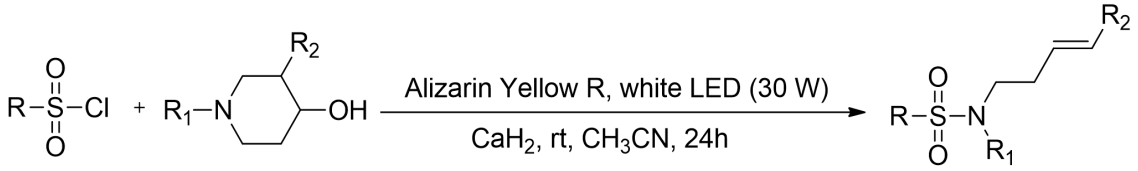
**Contents**

## 1. General Experimental Information

All reactions were carried out in oven-dried glasswares and under a nitrogen atmosphere unless otherwise stated. All photochemical reactions were carried out using visible light emitting diodes (LEDs) as the light source (30W E27 LED bulbs). The solvent was purchased in anhydrous quality and used as received. All reagents were purchased from commercial sources and used as such. Analytical thin layer chromatography (TLC) was performed on precoated glass backed silica gel plates, Visualization was achieved at 254 nm UV light. Purification of products was conducted by column chromatography on silica gel (300-400 mesh from Qingdao, China). $^{1}$H NMR and $^{13}$C NMR were recorded on a Bruker 600 NMR spectrometer, using $CDCl_3$ as solvent unless otherwise specified. Data are reported as follows: chemical shift, integration, multiplicity (s = singlet, d = doublet, t = triplet, q =quartet, quint = quintet, sx = sextet, sept = septet, m = multiplet and br = broad). All coupling constants, *J*, are quoted in Hz and reported to the nearest 0.1 Hz as observed in the spectra. All $^{1}$H NMR and $^{13}$C NMR chemical shifts were referenced to TMS (0 ppm). High resolution mass spectra (HRMS) were performed using a 6520B Q-TOF high-resolution mass spectrometer. ESR experiments were conducted using Bruker A300-9.5/12 electron paramagnetic resonance spectrometer.

## 2. Details of experimental procedures

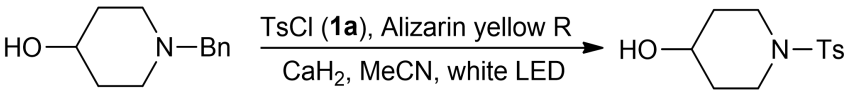
### 2.1 General procedure for photochemical reaction of sulfonyl chlorides and N-alkylpiperidinols




To a 10 mL Schlenk tube equipped with a stir bar was added sulfonyl chloride (1.0 equiv, 1.0 mmol), N-alkylpiperidinol (1.2 equiv, 1.2 mmol), alizarin yellow R (7 mg, 2 mol%), $CaH_2$ (40 mg) and acetonitrile (2.0 mL). After stirred and irradiated with white LED (30 W) under nitrogen for 24 hours at room temperature, the reaction mixtures were quenched with aqueous $NHCl_4$ solution. 10 mL of ethyl acetate was added and the organic layers were separated, washed with brine, dried over anhydrous $Na_2SO_4$, and concentrated in reduced pressure to afford crude homoallylamine product. The pure product was obtained after silica gel chromatography using 5-10% ethyl acetate/petroleum ether as the eluent.

## 3. Mechanism screenings

### 3.1. Reaction of TsCl with 1-benzylpiperidin-4-ol




**5** **6** (67%)

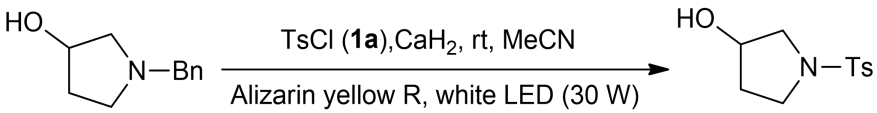
According to general procedure 2.1, the reaction of 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) with N-benzylpiperidin-4-ol (229 mg, 1.2 mmol) in acetonitrile (2 mL) for 24 hours to produce 171 mg, 67% isolated yield of product **6**.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.64 (d, *J* = 8.3 Hz, 2H), 7.32 (d, *J* = 8.2 Hz, 2H), 3.79 – 3.71 (m, 1H), 3.35 – 3.28 (m, 2H), 2.88 – 2.80 (m, 2H), 2.43 (s, 3H), 1.96 – 1.88 (m, 2H), 1.69 – 1.60 (m, 2H), 1.59 (s, 1H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 143.5, 133.3, 129.6, 127.6, 65.9, 43.1, 33.27, 21.5.

**HRMS (ESI)** *m/z*: Calcd. for $C_{12}H_{18}NO_3S$ $[M+H]^+$: 256.1001. Found: 256.1002.

### 3.2. Reaction of TsCl with 1-benzylpyrrolidin-3-ol




**7** **8** (70%)

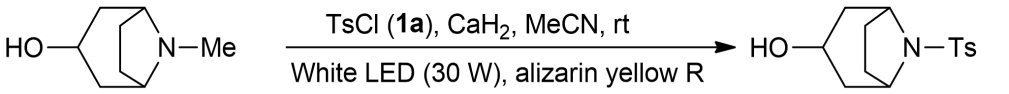
According to general procedure 2.1, the reaction of 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) and 1-benzylpyrrolidin-3-ol (502 mg, 1.2 mmol), carried out in acetonitrile (2 mL) for 24 hours under white light irradiation, produced **8** in 70% (169 mg) yield.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.64 (d, *J* = 8.2 Hz, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 3.80 – 3.70 (m, 1H), 3.35 – 3.25 (m, 2H), 2.90 – 2.80 (m, 2H), 2.43 (s, 3H), 1.95-1.90 (m, 2H), 167 – 1.63 (m, 2H), 1.86 – 1.79 (m, 1H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 143.5, 133.3, 129.6, 127.6, 65.9, 43.1, 33.3, 29.6, 21.5.

**HRMS (ESI)** *m/z*: Calcd. for $C_{11}H_{16}NO_3S$ $[M+H]^+$: 242.0845. Found: 242.0842.

### 3.3. Reaction of TsCl with 8-methyl-8-azabicyclo[3.2.1]octan-3-ol




**9** **10** (58%)

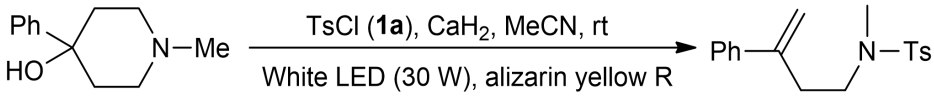
According to the general procedure 2.1, the reaction of 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) and 8-methyl-8-azabicyclo[3.2.1]octan-3-ol (169 mg, 1.2 mmol), carried out in acetonitrile (2 mL) for 24 hours under light, produced compound **10** in 58% (163 mg) isolated yield.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.74 (d, *J* = 8.2 Hz, 2H), 7.27 (d, *J* = 6.6 Hz, 2H), 4.21 (d, *J* = 2.5 Hz, 2H), 4.12 (t, *J* = 5.1 Hz, 1H), 2.42 (s, 3H), 2.23 – 2.16 (m, 2H), 2.04 (d, *J* = 7.7 Hz, 2H), 1.84 – 1.75 (m, 2H), 1.52 – 1.47 (m, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 144.8, 137.5, 129.5, 127.3, 64.7, 55.9, 40.7, 28.2, 21.5.

**HRMS (ESI)** *m/z*: Calcd. for $C_{14}H_{19}NO_3SNa$ $[M+Na]^+$: 304.0977. Found: 304.0979.

### 3.4. Reaction of TsCl with 1-methyl-4-phenylpiperidin-4-ol




**11** **12** (73%)

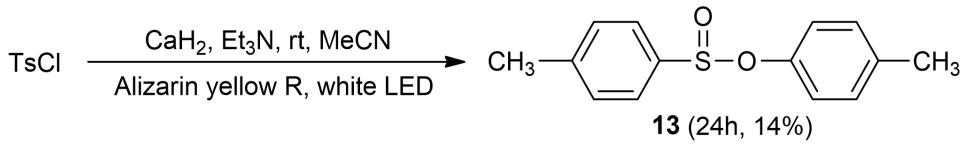
According to general procedure 2.1, the reaction of 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) and N-methyl-4-phenylpiperidin-4-ol (229 mg, 1.2 mmol), carried out in acetonitrile (2 mL) for 24 hours under light, produced 230 mg, 73% yield of compound **12**.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.64 (d, *J* = 8.3 Hz, 1H), 7.38 (d, *J* = 7.9 Hz, 2H), 7.33 (t, *J* = 7.5 Hz, 2H), 7.30 – 7.26 (m, 2H), 5.36 (s, 1H), 5.12 (s, 1H), 3.15 – 3.09 (m, 2H), 2.78 (d, *J* = 7.8 Hz, 2H), 2.76 (s, 3H), 2.41 (s, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 144.8, 143.2, 140.1, 138.5, 129.60, 128.5, 127.7, 127.3, 125.9, 114.4, 49.5, 35.2, 34.2, 21.4.

**HRMS (ESI)** *m/z*: Calcd. for $C_{18}H_{22}NO_2S$ $[M+H]^+$: 316.1365. Found: 316.1365.

### 3.5. Visible light promoted decomposition of TsCl




**13** (24h, 14%)

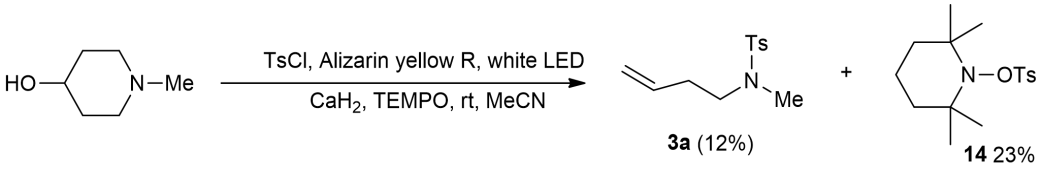
According to the general procedure 2.1, the reaction of 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol), $Et_3N$ (280 μL, 2.0 mmol) were stirred in acetonitrile (2 mL) for 24 hours under light to produce 17 mg (14%) yield of compound **13**.

**$^1$H NMR** (400 MHz, $CDCl_3$) δ (ppm): 7.46 (d, *J* = 8.4 Hz, 2H), 7.24 (d, *J* = 8.3 Hz, 2H), 7.23 – 7.20 (m, 2H), 7.16 – 7.13 (m, 2H), 2.42 (s, 3H), 2.38 (s, 3H).

**$^{13}$C NMR** (101 MHz, $CDCl_3$) δ (ppm): 144.4, 141.9, 140.3, 136.3, 130.0, 129.2, 127.4, 124.4, 21.5, 21.3.

**HRMS (ESI)** *m/z*: Calcd. for $C_{14}H_{15}O_2S$ $[M+H]^+$: 247.0787. Found: 247.0789.

### 3.6. Reaction of TsCl with 1-methyl-4-phenylpiperidin-4-ol in the presence of TEMPO




**3a** (12%) **14** 23%

According to the general procedure 2.1, the reaction of 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol), N-benzylpiperidin-4-ol (229 mg, 1.2 mmol) and 2,2,6,6-tetramethylpiperidine-1-oxyl (312.5mg, 2.0 mmol) were stirred in acetonitrile (2 mL) for 24 hours under 30 W LED irradiation to produce **3a** and compound **14** in 12% (28.7 mg) and 23% (71.5 mg) yield respectively.

The $^1$H NMR data for compound **14** are:

$^1$H NMR (600 MHz, $CDCl_3$) δ (ppm): 7.48 (d, *J* = 8.0 Hz, 2H), 7.12 (d, *J* = 7.8 Hz, 2H), 2.29 (s, 3H), 1.72-1.62 (m, 2H), 1.57-1.49 (m, 4H), 1.34 (s, 12H).

$^{13}$C NMR (151 HMz, DMSO-$d_6$) δ (ppm): 145.5, 138.4, 128.6, 125.9, 56.1, 34.6, 27.1, 21.2, 16.3.

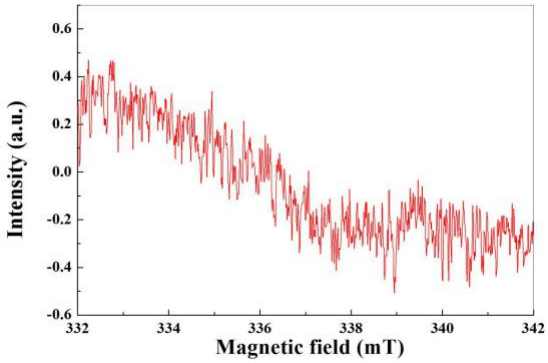
### 3.7 EPR experiments

The ESR spectra were recorded on a Bruker A300-9.5/12, X-band electron spin resonance spectrometer operating at 9.055 GHz.

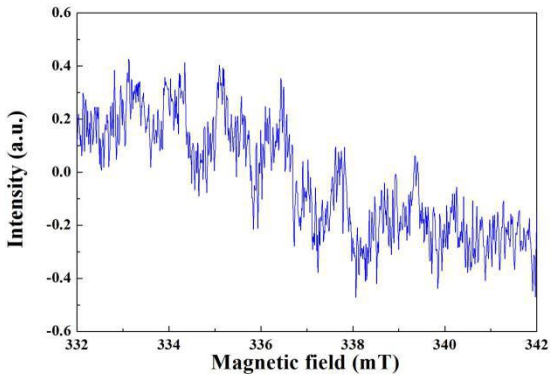
**Typical spectrometer parameters**: scan range, 100 G; center field, 3364 G; Static field, 3314G; time constant, 163.84 ms; comversion time 50ms; scan time, 4.0 min; modulation amplitude 0.6 G; modulation frequency 100 kHz; receiver gain $1.00\times10^4$; microwave power, 24.64 mW.

**Typical procedure of analysis:** In a 5 mL glassy flask, **1a** (191 mg, 1.0 mmol, 1.0 equiv.), N-methyl-4-piperidinol (138 mg, 140 μL, 1.2 mmol, 1.2 equivuiv.), $CaH_2$ (40 mg), alizarin yellow R (7.0 mg, 2 mol%) and DMPO (10.0 μl, 0.10 mmol, 0.2 equiv.) were dissolved in MeCN (2.0 mL), and the flask was closed. After irradiated under 30W white LED at room temperature for 10 min, small amount of the reaction mixture was encased into a capillary tube (diameter 1.0 mm) and measured the EPR signal on a Bruker A300-9.5/12 electron paramagnetic resonance spectrometer at room temperature. Each case was measured for 4 scans, and each scan was measured for 20 s. The magnetic field scanning region was centered by g = 2 with 100 G (or 10 mT) width. The EPR spectra were simulated using the EasySpin-5.2.25 running in Matlab (R2014a).

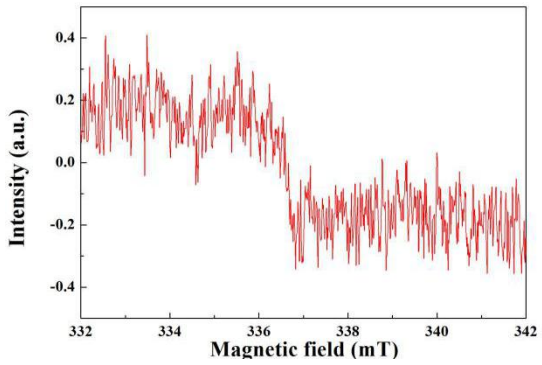
**(1) No signal was detected upon reacting TsCl alone with spin-trap DMPO.**



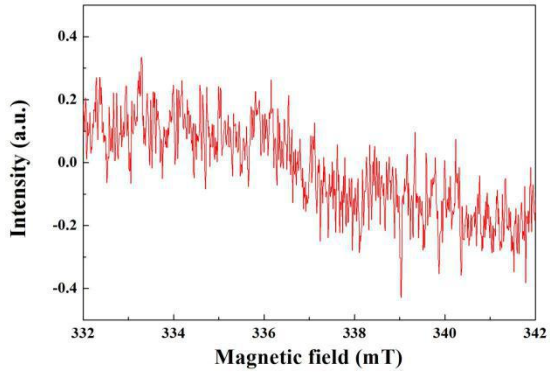

**(2) No signal was detected upon reacting MeCN alone with spin-trap DMPO.**



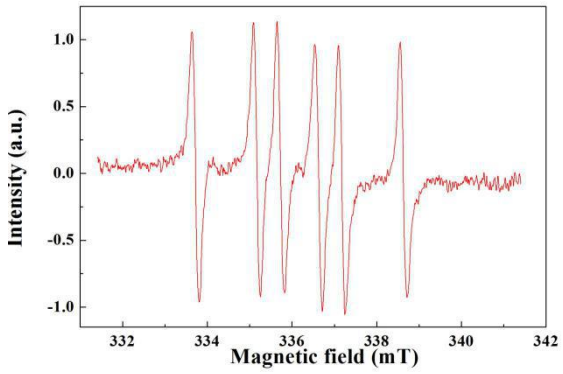

**(3) No signal was detected upon reacting of TsCl and N-methylpiperidin-4-ol without spin-trap DMPO.**



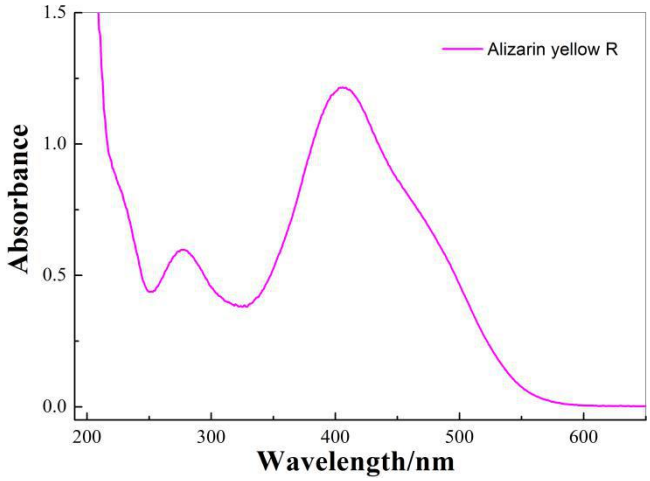

**(4) No signal was detected upon reacting of TsCl and N-methylpiperidin-4-ol with spin-trap DMPO without white-LED irradiation.**




**(5) Signals appeared when a mistures of TsCl, N-methylpiperidin-4-ol and DMPO were irradiated under 30 W white LED at room temperature for 10 min, signal with typical methoxyl radical-DMPO adduct resonance at g = 2.0019 with $\alpha_N$ = 14.57G, $\alpha_H$ = 20.17G was recorded after reaction for 20 min at 60 oC (Figure S3). Such signal was proved to be sustainable during experimental period (less than 12 min) (Q. Guo, S. Y. Qian, R. P. Mason, J. Am. Soc. Mass. Spectrom. 2003, 14, 862-871)**

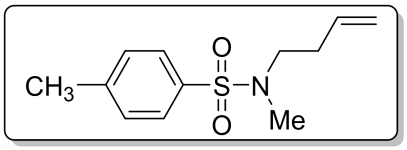



### 3.8 Uv-vis spectrum of alizarin yellow R in MeCN (0.1 mM)




## 4. Compound characterization data

### N-(But-3-en-1-yl)-N,4-dimethylbenzenesulfonamide (3a)



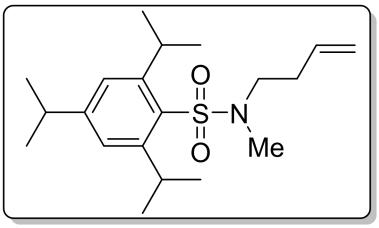

According to the general procedure 2.1, **3a** was prepared from 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 75% isolated yield (179 mg) as colourless oil.

**$^{1}$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.67 (d, *J* = 8.1 Hz, 2H), 7.31 (d, *J* = 8.1 Hz, 2H), 5.80 – 5.72 (m, 1H), 5.11 – 5.03 (m, 2H), 3.07 (t, *J* = 7.4 Hz, 2H), 2.73 (s, 3H), 2.43 (s, 3H), 2.29 (q, *J* = 7.1 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 143.2, 134.6, 129.6, 127.4, 117.1, 49.6, 34.8, 32.3, 21.5.

**HRMS (ESI)** *m/z*: Calcd. for $C_{12}H_{18}NO_2S$ $[M+H]^+$: 240.1053. Found: 240.1052.

### N-(But-3-en-1-yl)-2,4,6-triisopropyl-N-methylbenzenesulfonamide (3b)




According to the general procedure 2.1, **3b** was prepared from 2,4,6-triisopropylbenzenesulfonyl chloride (303 mg, 1.0

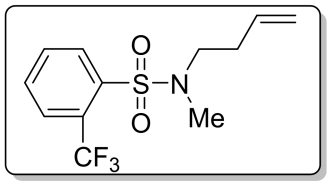
mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 63% isolated yield (212 mg) as yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.16 (s, 2H), 5.75 (ddt, *J* = 17.0, 10.2, 6.8 Hz, 1H), 5.12 – 5.01 (m, 2H), 4.20 – 4.12 (m, 2H), 3.25 (dd, *J* = 8.6, 6.7 Hz, 2H), 2.90 (s, 1H), 2.74 (s, 3H), 2.37 (q, *J* = 7.0 Hz, 2H), 1.25 (t, *J* = 7.2 Hz, 18H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 153., 151.5, 134.9, 130.8, 123.8, 116.9, 47.8, 34.2, 33.3, 31.9, 29.2, 24.8, 23.6.

**HRMS (ESI)** *m/z*: Calcd. for $C_{20}H_{34}NO_2S$ $[M+H]^+$: 352.2305. Found: 352.2304.

**N-(But-3-en-1-yl)-N-methyl-2-(trifluoromethyl)benzenesulfonamide (3c)**




According to the general procedure 2.1, **3c** was prepared from 2-(trifluoromethyl)benzenesulfonyl chloride (360 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 71% isolated yield (208 mg) as colourless oil.

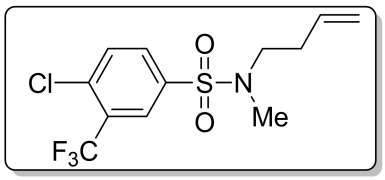
**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 8.11 – 8.03 (m, 1H), 7.90 – 7.87 (m, 1H), 7.69 (td, *J* = 5.3, 4.6, 2.1 Hz, 2H), 5.77 – 5.68 (m, 1H), 5.12 – 5.02 (m, 2H), 3.33 – 3.30 (m, 2H), 2.88 (s, 3H), 2.36 (q, *J* = 7.1 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 138.7, 134.3, 132.4, 132.1, 131.2, 128.5 (q, *J* = 6.4 Hz), 128.0 (q, *J* = 33.2 Hz), 122.5 (q, *J* = 274.0 Hz), 117.3, 49.5, 34.3, 32.3.

**$^{19}$F NMR** (376 MHz, $CDCl_3$) δ (ppm): -58.39.

**HRMS (ESI)** *m/z*: Calcd. for $C_{12}H_{15}F_3NO_2S$ $[M+H]^+$: 294.0770. Found: 294.0768.

**N-(But-3-en-1-yl)-4-chloro-N-methyl-3-(trifluoromethyl)benzenesulfonamide (3d)**




According to the general procedure 2.1, **3d** was prepared from 4-chloro-3-(trifluoromethyl)benzenesulfonyl chloride (279 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 77% isolated yield (252 mg, 77%) as yellowish oil.

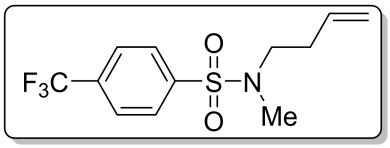
**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 8.09 (d, *J* = 1.9 Hz, 1H), 7.90 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.68 (d, *J* = 8.4 Hz, 1H), 5.79 – 5.69 (m, 1H), 5.13 – 5.04 (m, 2H), 3.15 (t, *J* = 7.3 Hz, 2H), 2.80 (s, 3H), 2.33 (q, *J* = 7.0 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 137.5, 137.1, 134.1, 132.5, 131.4, 129.5 (q, *J* = 32.4 Hz), 126.6 (q, *J* = 5.4 Hz), 122.0 (q, *J* = 274.0 Hz), 117.6, 49.6, 34.7, 32.3.

**$^{19}$F NMR** (376 MHz, $CDCl_3$) δ (ppm): -63.43.

**HRMS (ESI)** *m/z*: Calcd. for $C_{12}H_{14}ClF_3NO_2S$ $[M+H]^+$: 328.0380. Found: 328.0380.

**(But-3-en-1-yl)-N-methyl-4- (trifluoromethyl)benzenesulfonamide (3e)**



According to the general procedure 2.1, **3e** was prepared from 4- (trifluoromethyl)benzenesulfonyl chloride (244 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 81% yield (238 mg, 81 %) as a colorless oil.

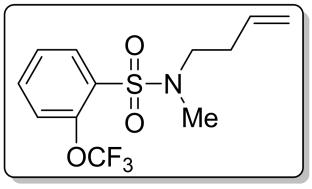
**$^{1}$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.92 (d, *J* = 8.2 Hz, 2H), 7.80 (d, *J* = 8.3 Hz, 2H), 5.79 – 5.70 (m, 1H), 5.13 – 5.03 (m, 2H), 3.13 (t, *J* = 7.3 Hz, 2H), 2.79 (s, 3H), 2.32 (q, *J* = 7.0 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 141.6, 134.2 (q, *J* = 33.1 Hz), 134.2, 127.7, 126.2 (d, *J* = 3.8 Hz), 123.2 (q, *J* = 272.9 Hz), 117.4, 49.6, 34.7, 32.3.

**$^{19}$F NMR** (376 MHz, $CDCl_3$) δ (ppm): -63.51.

**HRMS (ESI)** *m/z*: Calcd. for $C_{12}H_{15}F_3NO_2S$ $[M+H]^+$: 294.0770. Found: 294.0776.

**N-(But-3-en-1-yl)-N-methyl-2- (trifluoromethoxy)benzenesulfonamide (3f)**



According to the general procedure 2.1, compound **3f** was prepared from 2- (trifluoromethoxy)benzenesulfonyl chloride (261 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 73% isolated yield (226 mg) was obtained as a colourless oil.

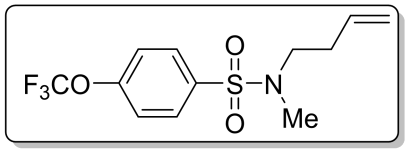
**$^{1}$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 8.04 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.62 – 7.57 (m, 1H), 7.42 – 7.36 (m, 2H), 5.77 – 5.68 (m, 1H), 5.10 – 5.01 (m, 2H), 3.29 – 3.22 (m, 2H), 2.88 (s, 3H), 2.32 (d, *J* = 7.5 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 146.0, 134.4, 134.1, 131.9, 131.5, 126.3, 120.2 (q, *J* = 261.2 Hz, 1C), 120.1 (q, *J* = 1.9 Hz, 1C), 117.15, 49.37, 34.36, 32.43.

**$^{19}$F NMR** (376 MHz, $CDCl_3$) δ (ppm): -56.37.

**HRMS (ESI)** *m/z*: Calcd. for $C_{12}H_{15}F_3NO_3S$ $[M+H]^+$: 310.0719. Found: 310.0720.

**N-(But-3-en-1-yl)-N-methyl-4-(trifluoromethoxy)benzenesulfonamide (3g)**



According to the general procedure 2.1, **3g** was prepared

from 4- (trifluoromethoxy)benzenesulfonyl chloride (261 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 80% isolated yield (247 mg) as a yellowish oil.

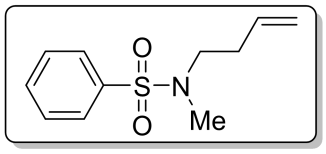
**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.84 (d, *J* = 8.8 Hz, 2H), 7.35 (d, *J* = 8.1 Hz, 2H), 5.75 (ddt, *J* = 17.0, 10.2, 6.8 Hz, 1H), 5.14 – 5.02 (m, 2H), 3.15 – 3.07 (m, 2H), 2.78 (s, 3H), 2.32 (q, *J* = 6.9 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 152.0 (q, *J* = 1.8 Hz), 136.4, 134.3, 129.4, 120.9, 120.2 (q, *J* = 259.4 Hz), 117.3, 49.6, 34.7, 32.3.

**$^{19}$F NMR** (376 MHz, $CDCl_3$) δ (ppm): -58.14.

**HRMS (ESI)** *m/z*: Calcd. for $C_{12}H_{15}F_3NO_3S$ $[M+H]^+$: 310.0719. Found: 310.0719.

**N-(But-3-en-1-yl)-N-methylbenzenesulfonamide (3h)**



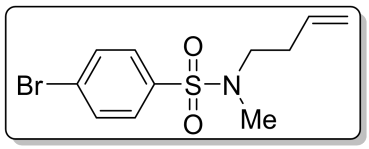
According to the general procedure 2.1, compound **3h** was prepared from benzenesulfonyl chloride (177 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 70% isolated yield (158 mg) was obtained as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.79 (d, *J* = 7.4 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 2H), 5.80 – 5.71 (m, 1H), 5.12 – 5.03 (m, 2H), 3.10 (t, *J* = 7.4 Hz, 2H), 2.76 (s, 3H), 2.30 (q, *J* = 7.0 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 137.7, 134.5, 132.5, 129.0, 127.3, 117.1, 49.6, 34.8, 32.3.

**HRMS (ESI)** *m/z*: Calcd. for $C_{11}H_{16}NO_2S$ $[M+H]^+$: 226.0896. Found: 226.0896.

**4-Bromo-N-(but-3-en-1-yl)-N-methylbenzenesulfonamide (3i)**



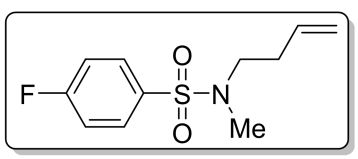
According to the general procedure 2.1, compound **3i** was prepared from 4-bromobenzenesulfonyl chloride (256 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 76% isolated yield (231 mg) as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.66 (d, *J* = 2.5 Hz, 4H), 5.79 – 5.70 (m, 1H), 5.12 – 5.04 (m, 2H), 3.12 – 3.05 (m, 2H), 2.76 (s, 3H), 2.31 (q, *J* = 7.0 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 136.9, 134.3, 132.3, 128.8, 127.5, 117.3, 49.6, 34.7, 32.3.

**HRMS (ESI)** *m/z*: Calcd. for $C_{11}H_{15}BrNO_2S$ $[M+H]^+$: 304.0001. Found: 304.0001.

**N-(But-3-en-1-yl)-4-fluoro-N-methylbenzenesulfonamide (3j)**



According to the general procedure 2.1, compound **3j** was

prepared from 4-fluorobenzenesulfonyl chloride (195 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 63% isolated yield (153 mg) as a yellowish oil.

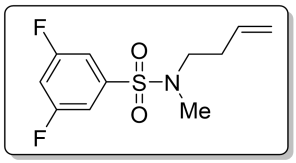
**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.80 (dd, *J* = 8.5, 5.2 Hz, 2H), 7.19 (t, *J* = 8.5 Hz, 2H), 5.79 – 5.69 (m, 1H), 5.11 – 5.02 (m, 2H), 3.08 (t, *J* = 7.4 Hz, 2H), 2.75 (s, 3H), 2.30 (q, *J* = 7.1 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 165.8, 164.2, 134.3, 130.0, 129.9, 117.2, 116.3, 116.2, 49.6, 34.7, 32.3.

**$^{19}$F NMR** (376 MHz, $CDCl_3$) δ (ppm): -105.96 – -106.09 (m).

**HRMS (ESI)** *m/z*: Calcd. for $C_{11}H_{15}FNO_2S$ $[M+H]^+$: 244.0802. Found: 244.0802.

**N-(But-3-en-1-yl)-3,5-difluoro-N-methylbenzenesulfonamide (3k)**




According to the general procedure 2.1, 3k was prepared from 3,5-difluorobenzenesulfonyl chloride (213 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 74% isolated yield (193 mg) as a colourless oil.

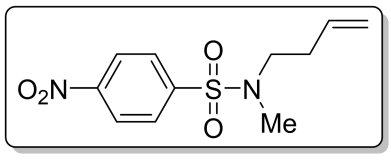
**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.35 – 7.30 (m, 2H), 7.06 – 7.02 (m, 1H), 5.79 – 5.71 (m, 1H), 5.14 – 5.06 (m, 2H), 3.14 (t, *J* = 7.3 Hz, 2H), 2.80 (s, 3H), 2.33 (q, *J* = 7.1 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 162.9 (dd, *J* = 255.2, 11.6 Hz), 141.4 (t, *J* = 7.6 Hz), 134.1, 117.5, 111.07 (dd, *J* = 21.1, 6.0 Hz), 108.1 (t, *J* = 25.1 Hz), 49.7, 34.7, 32.3.

**$^{19}$F NMR** (376 MHz, $CDCl_3$) δ (ppm): -106.10 (dd, *J* = 8.3, 5.6 Hz).

**HRMS (ESI)** *m/z*: Calcd. for $C_{11}H_{14}F_2NO_2S$ $[M+H]^+$: 262.0708. Found: 262.0707.

**N-(But-3-en-1-yl)-N-methyl-4-nitrobenzenesulfonamide (3l)**



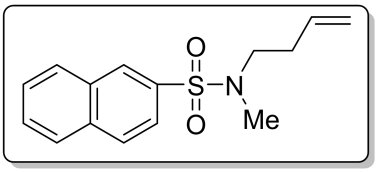

According to the general procedure 2.1, compound **3l** was prepared from 4-nitrobenzenesulfonyl chloride (222 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 66% isolated yield (178 mg) as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 8.40 – 8.35 (m, 2H), 7.99 – 7.96 (m, 2H), 5.79 – 5.70 (m, 1H), 5.13 – 5.05 (m, 2H), 3.16 (t, *J* = 7.3 Hz, 2H), 2.82 (s, 3H), 2.33 (q, *J* = 6.7 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 150.0, 144.0, 134.0, 128.4, 124.3, 117.6, 49.7, 34.7, 32.3.

**HRMS (ESI)** *m/z*: Calcd. for $C_{11}H_{15}N_2O_4S$ $[M+H]^+$: 271.0747. Found: 271.0747.

**N-(But-3-en-1-yl)-N-methylnaphthalene-2-sulfonamide (3m)**



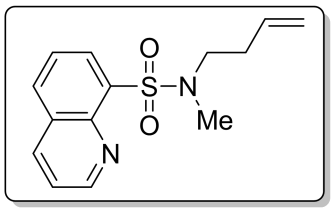
According to the general procedure 2.1, compound **3m** was prepared from naphthalene-2-sulfonyl chloride (227 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol)in 83% isolated yield (228 mg) as a colourless oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 8.36 (s, 1H), 7.99 – 7.94 (m, 2H), 7.91 (d, *J* = 8.0 Hz, 1H), 7.77 (dd, *J* = 8.6, 1.4 Hz, 1H), 7.67 – 7.59 (m, 2H), 5.81 – 5.71 (m, 1H), 5.12 – 5.02 (m, 2H), 3.16 (t, *J* = 7.4 Hz, 2H), 2.80 (s, 3H), 2.32 (q, *J* = 7.2 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 134.9, 134.7, 134.5, 132.2, 129.2, 129.2, 128.7, 128.6, 127.9, 127.5, 122.7, 117.2, 49.7, 34.8, 32.4.

**HRMS (ESI)** *m/z*: Calcd. for $C_{15}H_{18}NO_2S$ $[M+H]^+$: 276.1053. Found: 276.1050.

**N-(But-3-en-1-yl)-N-methylquinoline-8-sulfonamide (3n)**



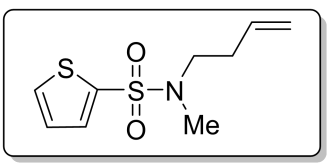
According to the general procedure 2.1, compound **3n** was prepared from quinoline-8-sulfonyl chloride (228 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol)in 79% isolated yield (218 mg) as a brownish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 9.06 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.49 (dd, *J* = 7.3, 1.4 Hz, 1H), 8.23 (dd, *J* = 8.3, 1.7 Hz, 1H), 8.02 (dd, *J* = 8.2, 1.3 Hz, 1H), 7.64 – 7.58 (m, 1H), 7.51 (dd, *J* = 8.3, 4.2 Hz, 1H), 5.76 (ddt, *J* = 17.1, 10.2, 6.8 Hz, 1H), 5.09 – 4.94 (m, 2H), 3.46 – 3.42 (m, 2H), 3.00 (s, 3H), 2.35 – 2.31 (m, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 151.0, 144.2, 137.5, 136.3, 135.1, 133.1, 133.0, 128.9, 125.4, 121.9, 116.7, 50.3, 35.3, 33.0.

**HRMS (ESI)** *m/z*: Calcd. for $C_{14}H_{17}N_2O_2S$ $[M+H]^+$: 277.1005. Found: 277.1003.

**N-(But-3-en-1-yl)-N-methylthiophene-2-sulfonamide (3o)**



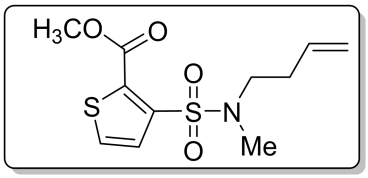
According to the general procedure 2.1, compound **3o** was prepared from thiophene-2-sulfonyl chloride (183 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 76% isolated yield (176 mg) as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.59 (dd, *J* = 5.0, 1.2 Hz, 1H), 7.55 (dd, *J* = 3.7, 1.2 Hz, 1H), 7.13 (dd, *J* = 4.9, 3.8 Hz, 1H), 5.81 – 5.73 (m, 1H), 5.13 – 5.05 (m, 2H), 3.13 – 3.09 (m, 2H), 2.79 (s, 3H), 2.33 (q, *J* = 6.9 Hz, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 137.9, 134.4, 131.8, 131.6, 127.5, 117.2, 49.8, 35.0, 32.3.

**HRMS (ESI)** *m/z*: Calcd. for $C_9H_{14}NO_2S_2$ $[M+H]^+$: 232.0460. Found: 232.0460.

**Methyl 3-(N-(But-3-en-1-yl)-N-methylsulfamoyl)thiophene-2-carboxylate (3p)**



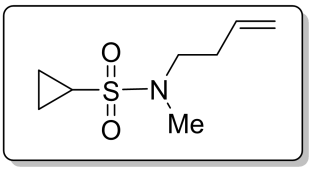
According to the general procedure 2.1, compound **3p** was prepared from methyl 3-(chlorosulfonyl)thiophene-2-carboxylate (241 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 64% isolated yield (185 mg) as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.48 (d, *J* = 5.2 Hz, 1H), 7.45 (d, *J* = 5.2 Hz, 1H), 5.78 – 5.70 (m, 1H), 5.11 – 5.03 (m, 2H), 3.92 (s, 3H), 3.37 – 3.33 (m, 2H), 2.94 (s, 3H), 2.36 – 2.31 (m, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 160.1, 142.0, 134.6, 133.4, 131.2, 129.0, 117.1, 52.9, 49.7, 34.8, 32.5.

**HRMS (ESI)** *m/z*: Calcd. for $C_{11}H_{16}NO_4S_2$ $[M+H]^+$: 290.0515. Found: 290.0515.

**N-(But-3-en-1-yl)-N-methylcyclopropanesulfonamide (3r)**



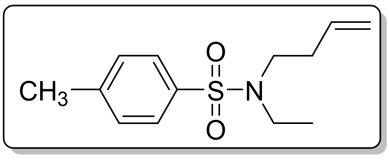
According to the general procedure 2.1, compound **3r** was prepared from cyclopropanesulfonyl chloride (141 mg, 1.0 mmol) and 1-methylpiperidin-4-ol (138 mg, 1.2 mmol) in 64% isolated yield (120 mg) as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 5.86 – 5.73 (m, 1H), 5.16 – 5.06 (m, 2H), 3.32 – 3.23 (m, 2H), 2.90 (s, 3H), 2.37 (q, *J* = 7.0 Hz, 2H), 2.29 (tt, *J* = 8.0, 4.9 Hz, 1H), 1.19 – 1.15 (m, 2H), 0.98 – 0.94 (m, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 134.6, 117.1, 49.6, 34.8, 32.6, 27.1, 4.5.

**HRMS (ESI)** *m/z*: Calcd. for $C_8H_{16}NO_2S$ $[M+H]^+$: 190.0896. Found: 190.0895.

**N-(But-3-en-1-yl)-N-ethyl-4-methylbenzenesulfonamide (4a)**



According to the general procedure 2.1, compound **4a** was prepared from 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) and 1-ethylpiperidin-4-ol (155 mg, 1.2 mmol) in 78%

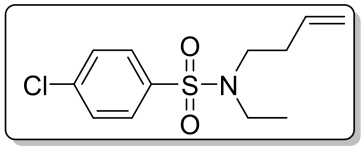
isolated yield (197 mg) as a colourless oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.69 (d, *J* = 8.2 Hz, 2H), 7.29 (d, *J* = 8.0 Hz, 2H), 5.73 (ddt, *J* = 17.1, 10.2, 6.8 Hz, 1H), 5.09 – 5.00 (m, 2H), 3.26 – 3.16 (m, 4H), 2.42 (s, 3H), 2.34 – 2.27 (m, 2H), 1.11 (t, *J* = 7.1 Hz, 3H).

**$^{13}$C NMR** (101 MHz, $CDCl_3$) δ (ppm): 140.7, 134.9, 132.4, 127.3, 124.7, 114.6, 44.6, 40.4, 31.0, 19.1, 11.6.

**HRMS (ESI)** *m/z*: Calcd. for $C_{13}H_{20}NO_2S$ $[M+H]^+$: 254.1209. Found: 254.1209.

**N-(But-3-en-1-yl)-4-chloro-N-ethylbenzenesulfonamide (4b)**



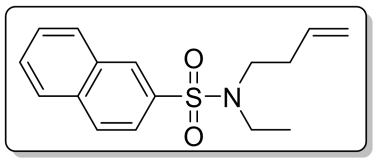
According to the general procedure 2.1, compound **4b** was prepared from 4-chlorobenzenesulfonyl chloride (211 mg, 1.0 mmol) and 1-ethylpiperidin-4-ol (155 mg, 1.2 mmol) in 86% isolated yield (235 mg) as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.75 (d, *J* = 8.6 Hz, 2H), 7.47 (d, *J* = 8.6 Hz, 2H), 5.72 (ddt, *J* = 17.1, 10.3, 6.8 Hz, 1H), 5.11 – 5.02 (m, 2H), 3.28 – 3.18 (m, 4H), 2.35 – 2.28 (m, 2H), 1.12 (t, *J* = 7.2 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 138.8, 138.7, 134.4, 129.3, 128.4, 117.2, 46.9, 42.8, 33.3, 13.9.

**HRMS (ESI)** *m/z*: Calcd. for $C_{12}H_{17}ClNO_2S$ $[M+H]^+$: 274.0663. Found: 274.0663.

**N-(But-3-en-1-yl)-N-ethylnaphthalene-2-sulfonamide (4c)**



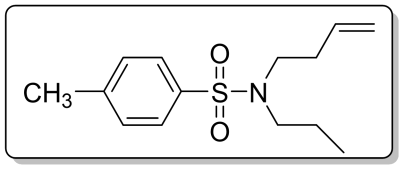
According to the general procedure 2.1, compound **4c** was prepared from naphthalene-2-sulfonyl chloride (227 mg, 1.0 mmol) and 1-ethylpiperidin-4-ol (155 mg, 1.2 mmol) in 80% isolated yield (231 mg) as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 8.39 (d, *J* = 1.3 Hz, 1H), 7.95 (t, *J* = 8.8 Hz, 2H), 7.90 (d, *J* = 7.9 Hz, 1H), 7.79 (dd, *J* = 8.6, 1.9 Hz, 1H), 7.66 – 7.57 (m, 2H), 5.74 (ddt, *J* = 17.0, 10.2, 6.8 Hz, 1H), 5.09 – 5.00 (m, 2H), 3.33 – 3.26 (m, 4H), 2.35 – 2.30 (m, 2H), 1.13 (t, *J* = 7.1 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 137.2, 134.7, 132.2, 129.3, 129.1, 128.6, 128.2, 127.8, 127.4, 122.5, 117.1, 46.9, 42.8, 33.4, 14.0.

**HRMS (ESI)** *m/z*: Calcd. for $C_{16}H_{20}NO_2S$ $[M+H]^+$: 290.1209. Found: 290.1207.

**N-(But-3-en-1-yl)-4-methyl-N-propylbenzenesulfonamide (4d)**



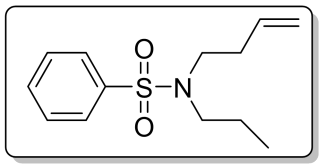
According to the general procedure 2.1, compound **4d** was prepared from 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) and 1-propylpiperidin-4-ol (171 mg, 1.2 mmol) in 80% isolated yield (213 mg) as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.69 (d, *J* = 8.3 Hz, 2H), 7.29 (d, *J* = 8.0 Hz, 2H), 5.71 (ddt, *J* = 17.0, 10.2, 6.7 Hz, 1H), 5.08 – 4.99 (m, 2H), 3.20 – 3.14 (m, 2H), 3.11 – 3.05 (m, 2H), 2.42 (s, 3H), 2.32 – 2.25 (m, 2H), 1.60 – 1.51 (m, 2H), 0.88 (t, *J* = 7.4 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 142.9, 137.2, 134.7, 129.5, 127.1, 116.9, 50.1, 47.6, 33.3, 21.9, 21.4, 11.1.

**HRMS (ESI)** *m/z*: Calcd. for $C_{14}H_{22}NO_2S$ $[M+H]^+$: 268.1366. Found: 268.1366.

**N-(But-3-en-1-yl)-N-propylbenzenesulfonamide (4e)**



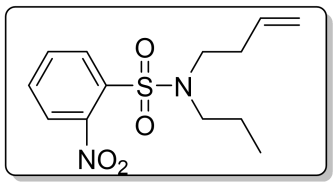
According to the general procedure 2.1, compound **4e** was prepared from benzenesulfonyl chloride (177 mg, 1.0 mmol) and 1-propylpiperidin-4-ol (171 mg, 1.2 mmol) in 77% isolated yield (195 mg) as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.84 – 7.79 (m, 2H), 7.59 – 7.53 (m, 1H), 7.53 – 7.47 (m, 2H), 5.71 (ddt, *J* = 17.1, 10.2, 6.8 Hz, 1H), 5.08 – 5.00 (m, 2H), 3.22 – 3.16 (m, 2H), 3.14 – 3.08 (m, 2H), 2.33 – 2.25 (m, 2H), 1.60 – 1.52 (m, 2H), 0.88 (t, *J* = 7.4 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 140.1, 134.6, 132.3, 129.0, 127.0, 117.0, 50.0, 47.6, 33.3, 21.9, 11.1.

**HRMS (ESI)** *m/z*: Calcd. for $C_{13}H_{20}NO_2S$ $[M+H]^+$: 254.1209. Found: 254.1209.

**N-(But-3-en-1-yl)-2-nitro-N-propylbenzenesulfonamide (4f)**



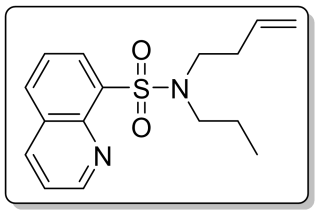
According to the general procedure 2.1, compound **4f** was prepared from 2-nitrobenzenesulfonyl chloride (222 mg, 1.0 mmol) and 1-propylpiperidin-4-ol (171 mg, 1.2 mmol) in 56% isolated yield as a brown oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 8.04 – 7.99 (m, 1H), 7.71 – 7.63 (m, 2H), 7.64 – 7.59 (m, 1H), 5.69 (ddt, *J* = 17.0, 10.1, 6.8 Hz, 1H), 5.09 – 4.99 (m, 2H), 3.39 – 3.33 (m, 2H), 3.31 – 3.25 (m, 2H), 2.35 – 2.24 (m, 2H), 1.62 – 1.53 (m, 2H), 0.86 (t, *J* = 7.4 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 148.0, 134.2, 133.2, 131.5, 130.7, 124.1, 117.3, 49.0, 46.5, 32.7, 21.3, 11.0.

**HRMS (ESI)** *m/z*: Calcd. for $C_{13}H_{19}N_2O_4S$ $[M+H]^+$: 299.1060. Found: 299.1060.

**N-(But-3-en-1-yl)-N-propylquinoline-8-sulfonamide (4g)**



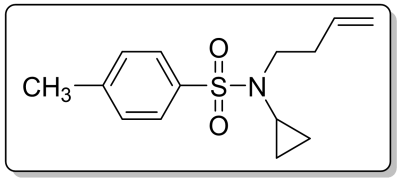
According to the general procedure 2.1, compound **4g** was prepared from quinoline-8-sulfonyl chloride (228 mg, 1.0 mmol) and 1-propylpiperidin-4-ol (171 mg, 1.2 mmol) in 83% isolated yierld (252 mg) as a colourless oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 9.05 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.50 (dd, *J* = 7.4, 1.4 Hz, 1H), 8.22 (dd, *J* = 8.3, 1.8 Hz, 1H), 8.00 (dd, *J* = 8.2, 1.5 Hz, 1H), 7.60 (dd, *J* = 8.2, 7.3 Hz, 1H), 7.50 (dd, *J* = 8.3, 4.2 Hz, 1H), 5.67 (ddt, *J* = 17.0, 10.2, 6.8 Hz, 1H), 5.00 – 4.89 (m, 2H), 3.56 – 3.50 (m, 2H), 3.43 – 3.37 (m, 2H), 2.30 – 2.22 (m, 2H), 1.51 (h, *J* = 7.4 Hz, 2H), 0.81 (t, *J* = 7.4 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 150.9, 144.1, 138.7, 136.3, 135.2, 133.0, 132.6, 128.9, 125.4, 121.8, 116.5, 50.2, 47.8, 33.6, 22.0, 11.1.

**HRMS (ESI)** *m/z*: Calcd. for $C_{16}H_{21}N_2O_2S$ $[M+H]^+$: 305.1318. Found: 305.1318.

**N-(But-3-en-1-yl)-N-cyclopropyl-4-methylbenzenesulfonamide (4h)**



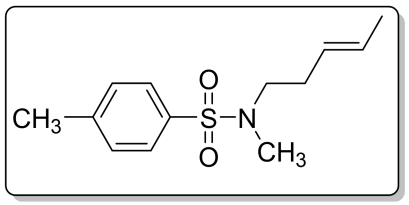
According to the general procedure 2.1, compound **4h** was prepared from 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) and 1-cyclopropylpiperidin-4-ol (169 mg, 1.2 mmol) in 77% isolated yield (204 mg) as a yellowish oil.

**$^1$H NMR** (400 MHz, $CDCl_3$) δ (ppm): 7.74 (d, *J* = 8.2 Hz, 2H), 7.31 (d, *J* = 7.7 Hz, 2H), 5.74 (ddt, *J* = 17.1, 10.3, 6.8 Hz, 1H), 5.11 – 4.99 (m, 2H), 3.28 – 3.20 (m, 2H), 2.43 (s, 3H), 2.41 – 2.30 (m, 2H), 2.04 (tt, *J* = 7.0, 3.7 Hz, 1H), 0.90 – 0.81 (m, 2H), 0.72 – 0.67 (m, 2H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 143.2, 135.8, 135.1, 129.5, 127.6, 116.8, 50.6, 33.0, 30.4, 21.5, 7.3.

**HRMS (ESI)** *m/z*: Calcd. for $C_{14}H_{20}NO_2S$ $[M+H]^+$: 266.1209. Found: 266.1209.

**N,4-dimethyl-N- (pent-3-en-1-yl)benzenesulfonamide (4i)**



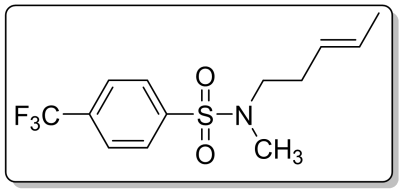
According to the general procedure 2.1, compound **4i** was prepared from 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) and 1,3-dimethylpiperidin-4-ol (155 mg, 1.2 mmol) in 76% (192 mg) isolated yield as a colourless oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.66 (d, *J* = 8.3 Hz, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 5.54 – 5.44 (m, 1H), 5.38 – 5.30 (m, 1H), 3.04 – 2.99 (m, 2H), 2.72 (s, 3H), 2.42 (s, 3H), 2.25 – 2.17 (m, 2H), 1.64 (dq, *J* = 6.4, 1.4 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 143.1, 134.8, 129.6, 127.7, 127.4, 127.0, 50.1, 34.7, 31.2, 21.5, 17.9.

**HRMS (ESI)** *m/z*: Calcd. for $C_{13}H_{20}NO_2S$ $[M+H]^+$: 254.1209. Found: 254.1208.

**N-methyl-N- (pent-3-en-1-yl)-4- (trifluoromethyl)benzenesulfonamide (4j)**



According to the general procedure 2.1, compound **4j** was prepared from 4- (trifluoromethyl)benzenesulfonyl chloride (244 mg, 1.0 mmol) and 1,3-dimethylpiperidin-4-ol (155 mg, 1.2 mmol) in 85% (258 mg) isolated yield as a yellowish oil.

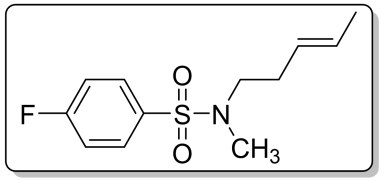
**$^1$H NMR** (400 MHz, $CDCl_3$) δ (ppm): 7.92 (d, *J* = 8.3 Hz, 2H), 7.79 (d, *J* = 8.1 Hz, 2H), 5.57 – 5.44 (m, 1H), 5.39 – 5.26 (m, 1H), 3.12 – 3.03 (m, 2H), 2.78 (s, 3H), 2.24 (q, *J* = 7.1 Hz, 2H), 1.64 (dd, *J* = 6.4, 1.4 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 141.7, 134.1 (q, *J* = 31.7 Hz), 128.1, 127.7, 126.6, 126.1 (q, *J* = 4.5 Hz), 123.24 (q, *J* = 272.9 Hz), 50.09, 34.62, 31.15, 17.91.

**$^{19}$F NMR** (376 MHz, $CDCl_3$) δ (ppm): -63.5.

**HRMS (ESI)** *m/z*: Calcd. for $C_{13}H_{27}F_3NO_2S$ $[M+H]^+$: 308.0926. Found: 308.0925.

**4-Fluoro-N-methyl-N-(pent-3-en-1-yl)benzenesulfonamide (4k)**



According to the general procedure 2.1, compound **4k** was prepared from 4-fluorobenzenesulfonyl chloride (194 mg, 1.0 mmol) and 1,3-dimethylpiperidin-4-ol (155 mg, 1.2 mmol) in 61% (157 mg) isolated yield as a yellowish oil.

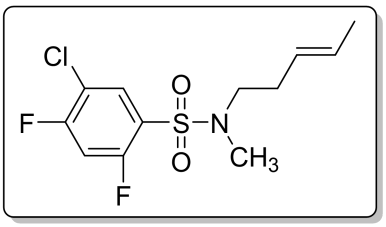
**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.80 (dd, *J* = 8.9, 5.1 Hz, 2H), 7.20 (t, *J* = 8.6 Hz, 2H), 5.54 – 5.46 (m, 1H), 5.38 – 5.29 (m, 1H), 3.06 – 3.01 (m, 2H), 2.74 (s, 3H), 2.22 (q, *J* = 7.2 Hz, 2H), 1.64 (dq, *J* = 6.4, 1.3 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 165.0 (d, *J* = 255.2 Hz), 134.0 (d, *J* = 3.0 Hz), 129.92 (d, *J* = 9.3 Hz), 127.92, 126.74, 116.2 (d, *J* = 22.7 Hz), 50.1, 34.6, 31.1, 17.9.

**$^{19}$F NMR** (376 MHz, $CDCl_3$) δ (ppm): -106.2.

**HRMS (ESI)** *m/z*: Calcd. for $C_{12}H_{17}F_1NO_2S$ $[M+H]^+$: 258.0958. Found: 258.0958.

**5-Chloro-2,4-difluoro-N-methyl-N- (pent-3-en-1-yl)benzenesulfonamide (4l)**




According to the general procedure 2.1, compound **4l** was prepared from 5-chloro-2,4-difluorobenzenesulfonyl chloride (247 mg, 1.0 mmol) and 1,3-dimethylpiperidin-4-ol (155 mg, 1.2 mmol) in 70% (217 mg) isolated yield as a colourless oil.

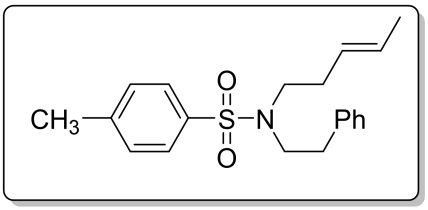
**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.97 (t, *J* = 7.5 Hz, 1H), 7.05 (t, *J* = 8.8 Hz, 1H), 5.56 – 5.47 (m, 1H), 5.36 – 5.28 (m, 1H), 3.18 (t, *J* = 7.4 Hz, 2H), 2.88 (d, *J* = 1.8 Hz, 3H), 2.29 – 2.22 (m, 2H), 1.64 (dd, *J* = 6.4, 1.5 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 160.6 (dd, *J* = 258.2, 10.6 Hz), 157.6 (dd, *J* = 258.2, 10.6 Hz), 132.5 (t, *J* = 1.5 Hz), 128.2, 126.5, 117.2 (d, *J* = 18.1 Hz), 115.3, 106.7 (dd, *J* = 27.2, 25.7 Hz), 49.9, 34.4, 31.3, 17.9.

**$^{19}$F NMR** (376 MHz, $CDCl_3$) δ (ppm): -103.0, -105.4.

**HRMS (ESI)** *m/z*: Calcd. for $C_{12}H_{15}ClF_2NO_2S$ $[M+H]^+$: 310.0475. Found: 310.0474.

**4-Methyl-N-(pent-3-en-1-yl)-N-phenethylbenzenesulfonamide (4m)**



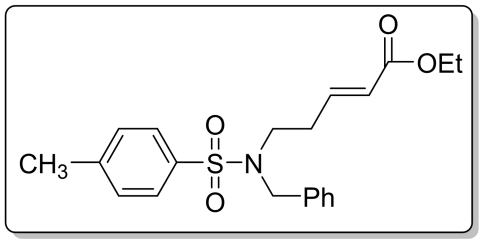

According to the general procedure 2.1, compound **4m** was prepared from 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) and 3-methyl-1-phenethylpiperidin-4-ol (263 mg, 1.2 mmol) in 79% (271 mg) isolated yield as a yellowish oil.

**$^1$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.68 (d, *J* = 8.1 Hz, 2H), 7.31 – 7.24 (m, 4H), 7.21 (t, *J* = 7.4 Hz, 1H), 7.16 (d, *J* = 7.4 Hz, 2H), 5.50 – 5.41 (m, 1H), 5.33 – 5.24 (m, 1H), 3.36 – 3.30 (m, 2H), 3.19 – 3.13 (m, 2H), 2.88 – 2.81 (m, 2H), 2.41 (s, 3H), 2.20 (q, *J* = 7.3 Hz, 2H), 1.62 (dd, *J* = 6.4, 1.5 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 143.0, 138.5, 137.1, 129.6, 128.7, 128.5, 127.7, 127.1, 127.1, 126.5, 49.8, 48.4, 35.7, 32.0, 21.4, 17.9.

**HRMS (ESI)** *m/z*: Calcd. for $C_{20}H_{26}NO_2S$ $[M+H]^+$: 344.1679. Found: 344.1678.

**Ethyl -5-((N-benzyl-4-methylphenyl)sulfonamido)pent-2-enoate (4n)**



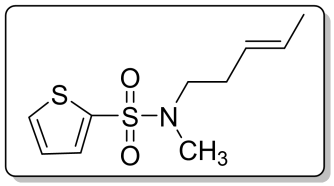

According to the general procedure 2.1, compound **4n** was prepared from 4-methylbenzenesulfonyl chloride (190 mg, 1.0 mmol) and ethyl 1-benzyl-4-hydroxypiperidine-3-carboxylate (316 mg, 1.2 mmol) in 68% (273 mg, 68%) isolated yield as a yellowish oil.

**$^{1}$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.74 (d, *J* = 8.3 Hz, 2H), 7.36 – 7.24 (m, 7H), 6.64 (dt, *J* = 15.7, 7.1 Hz, 1H), 5.62 (dt, *J* = 15.7, 1.5 Hz, 1H), 4.31 (s, 2H), 4.15 (q, *J* = 7.1 Hz, 2H), 3.21 – 3.13 (m, 2H), 2.45 (s, 3H), 2.22 (qd, *J* = 7.4, 1.5 Hz, 2H), 1.26 (d, *J* = 4.3 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 166.0, 144.4, 143.5, 136.6, 136.0, 129.8, 128.7, 128.4, 128.0, 127.2, 123.2, 60.3, 52.5, 46.5, 31.5, 21.5, 14.2.

**HRMS (ESI)** *m/z*: Calcd. for $C_{21}H_{26}NO_4S$ $[M+H]^+$: 388.1577. Found: 388.1577.

**N-Methyl-N-(pent-3-en-1-yl)thiophene-2-sulfonamide (4o)**




According to the general procedure 2.1, compound **4o** was prepared from thiophene-2-sulfonyl chloride (183 mg, 1.0 mmol) and 1,3-dimethylpiperidin-4-ol (155 mg, 1.2 mmol) in 78% (191 mg) as a colourless oil.

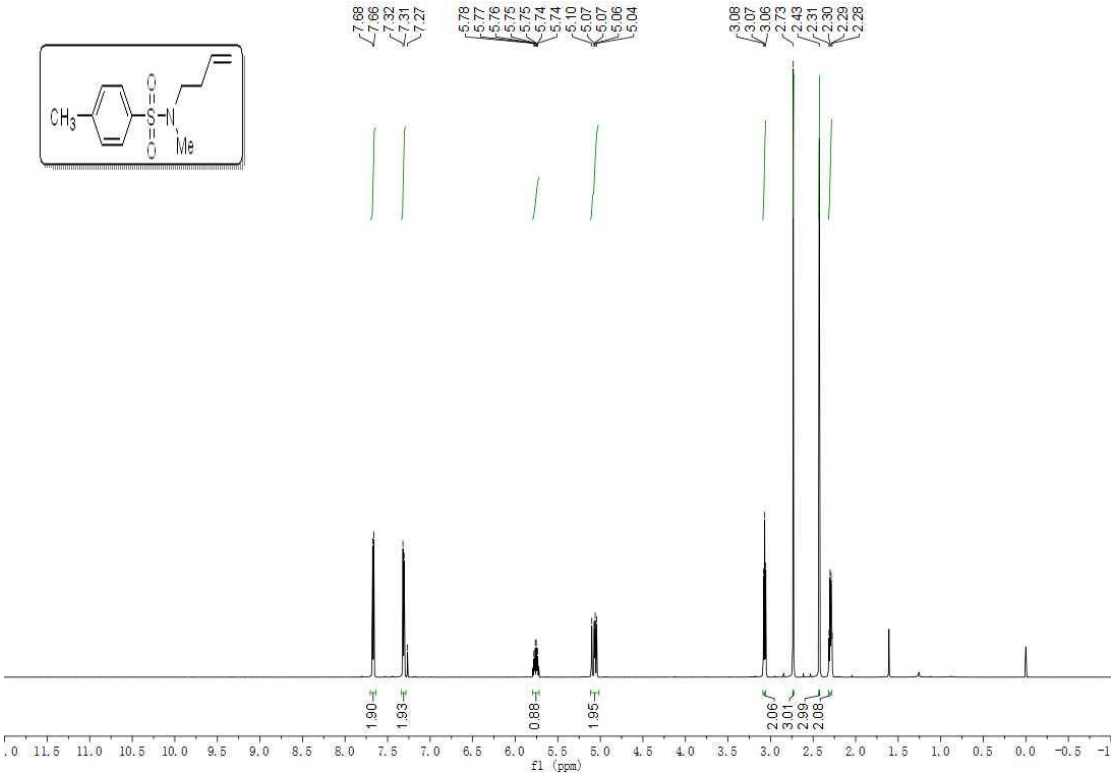
**$^{1}$H NMR** (600 MHz, $CDCl_3$) δ (ppm): 7.58 (dd, *J* = 5.0, 1.3 Hz, 1H), 7.54 (dd, *J* = 3.7, 1.3 Hz, 1H), 7.12 (dd, *J* = 5.0, 3.7 Hz, 1H), 5.56 – 5.47 (m, 1H), 5.41 – 5.32 (m, 1H), 3.05 (t, *J* = 7.8 Hz, 2H), 2.78 (s, 3H), 2.25 (q, *J* = 6.6 Hz, 2H), 1.65 (dd, *J* = 6.6, 1.4 Hz, 3H).

**$^{13}$C NMR** (151 MHz, $CDCl_3$) δ (ppm): 138.1, 131.7, 131.4, 127.9, 127.4, 126.8, 50.3, 34.9, 31.2, 18.0.

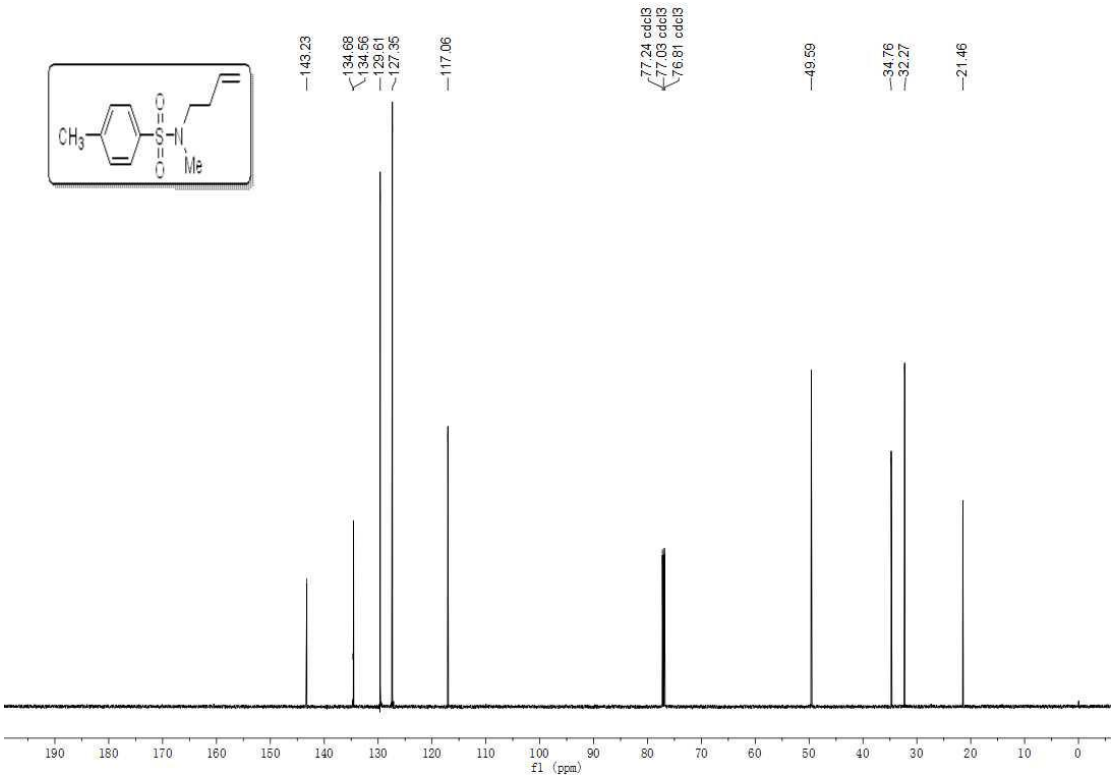
**HRMS (ESI)** *m/z*: Calcd. for $C_{10}H_{16}NO_2S_2$ $[M+H]^+$: 246.0617. Found: 246.0616.

**5. Copies of NMR spectra of compounds**

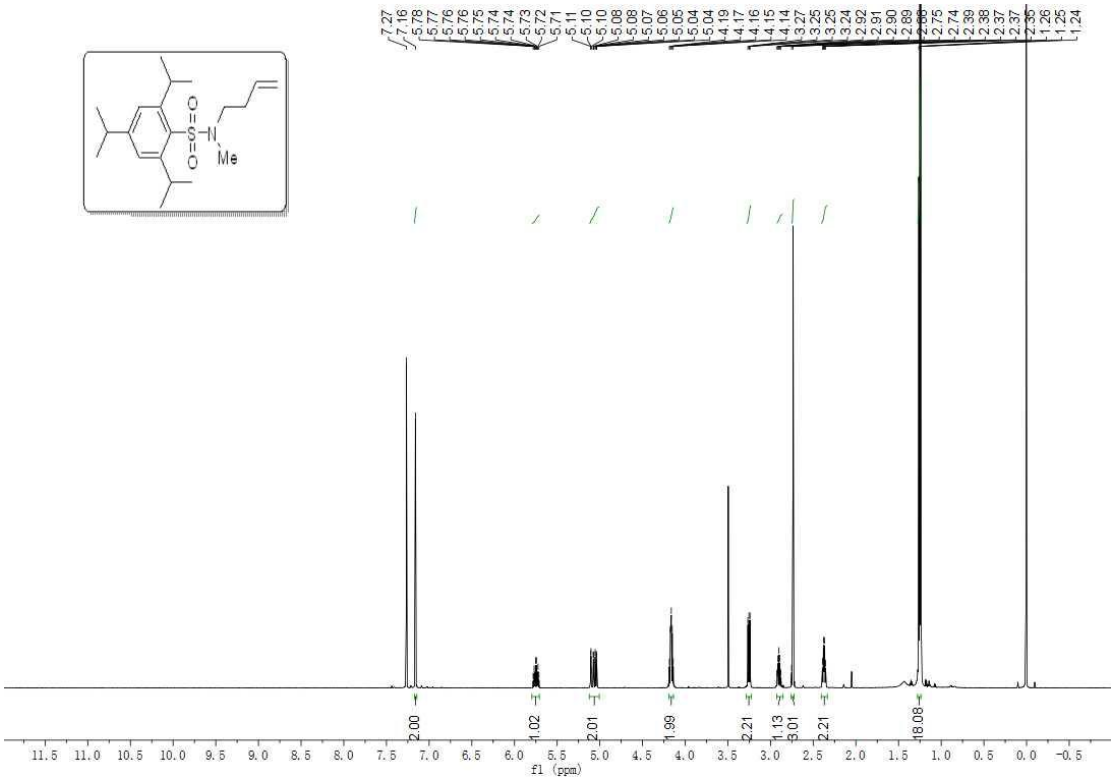
$^{1}$H NMR spectrum of **3a**



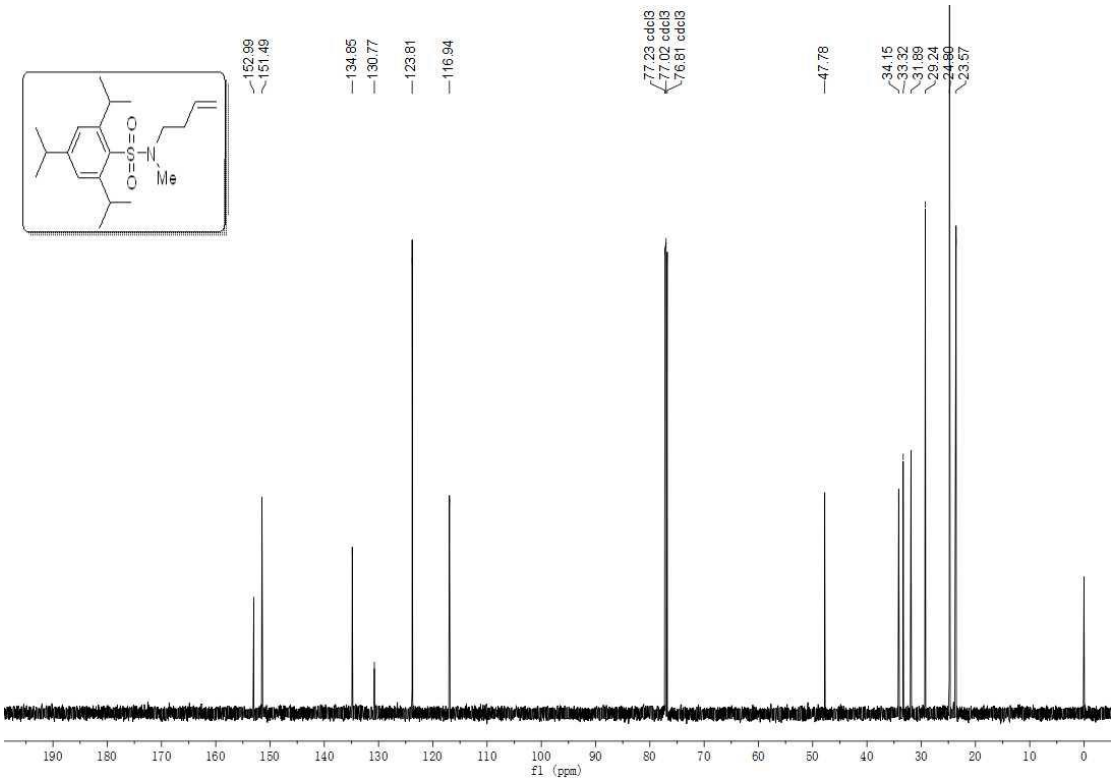

$^{13}$C NMR spectrum of **3a**



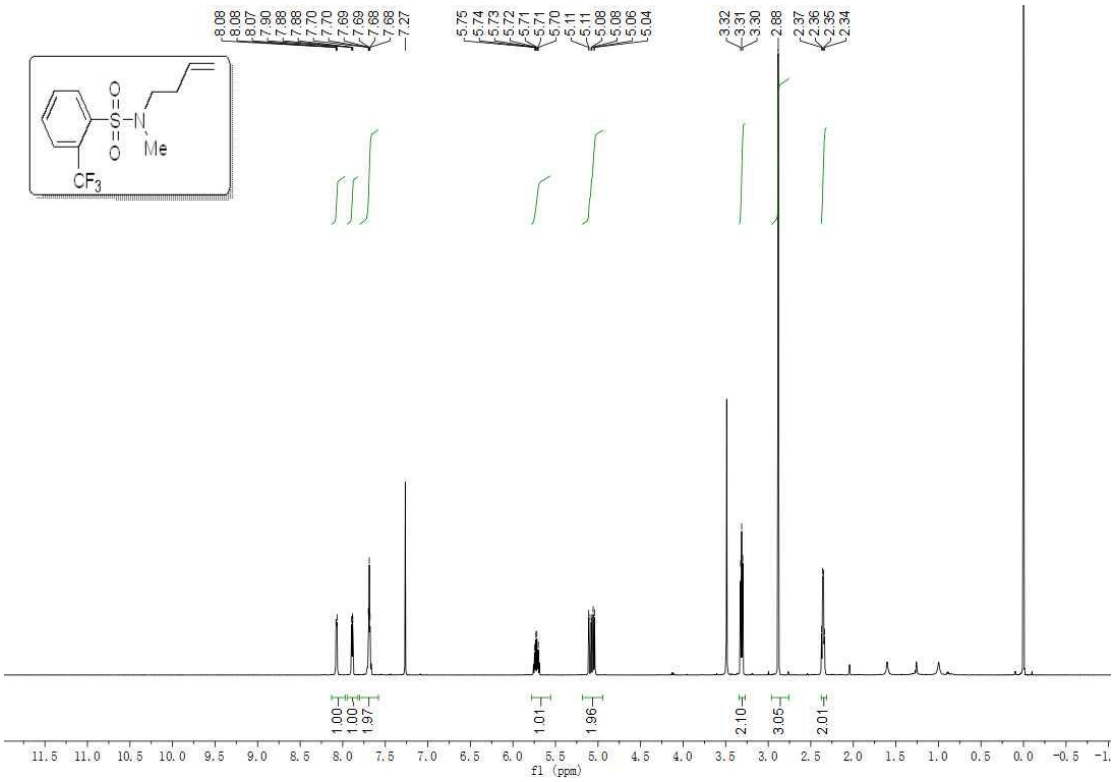
$^{1}$H NMR spectrum of **3b**



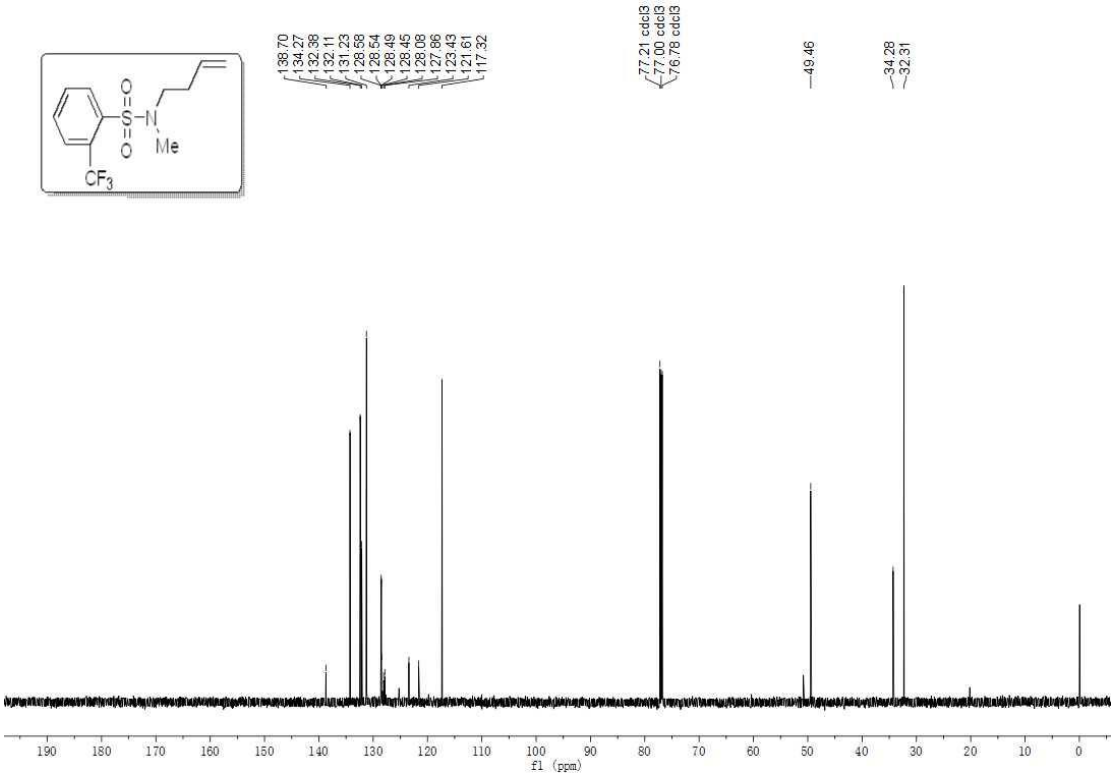

$^{13}$C NMR spectrum of **3b**



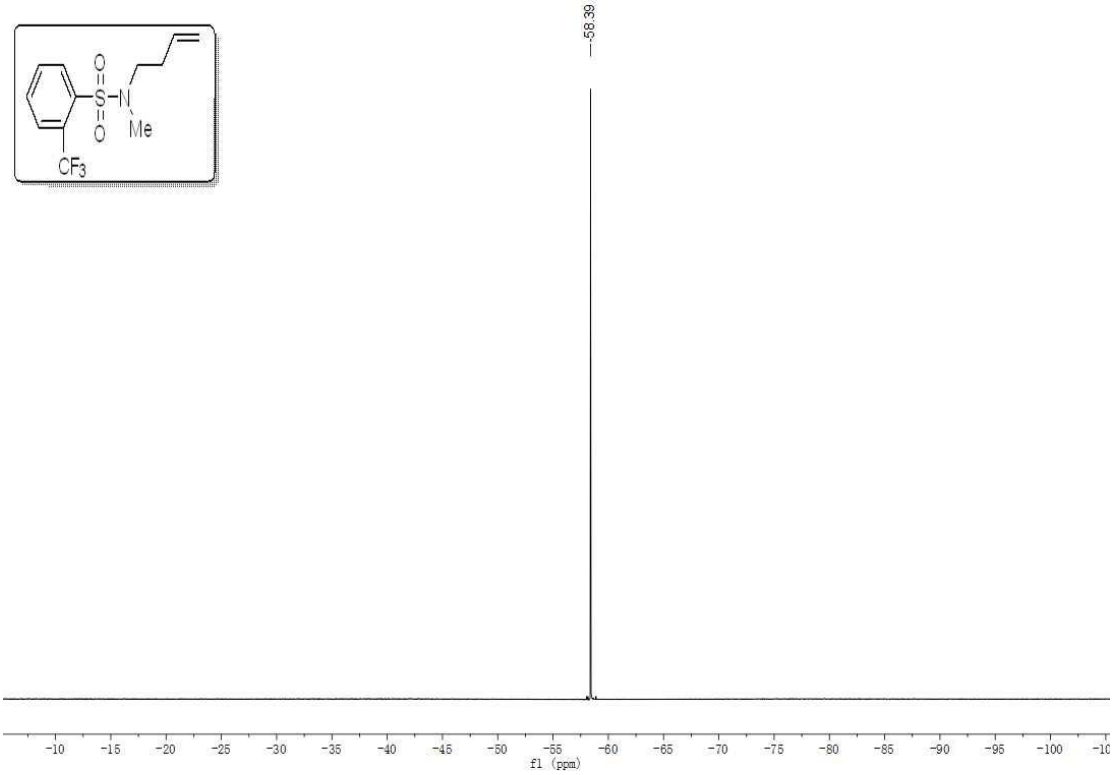
$^{1}$H NMR spectrum of **3c**




$^{13}$C NMR spectrum of **3c**




$^{19}$F NMR spectrum of **3c**


-58.39
O
S
N
Me
O
CF3
-10
-15
-20
-25
-30
-35
-40
-45
-50
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-90
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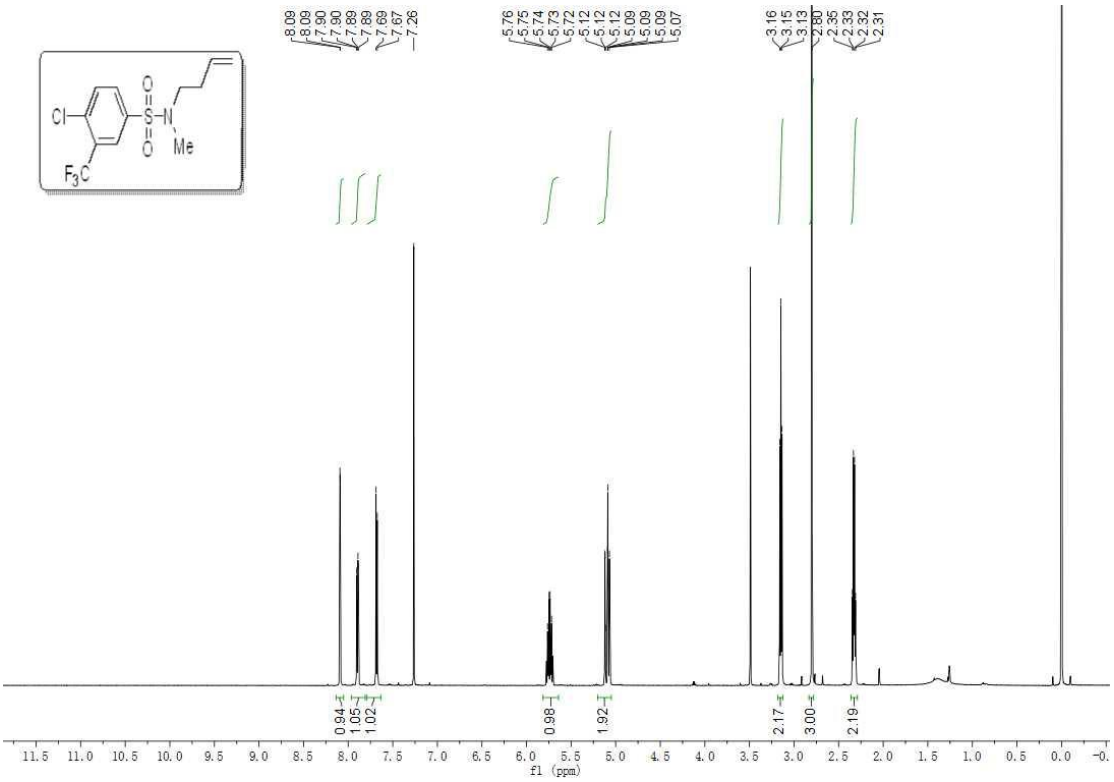
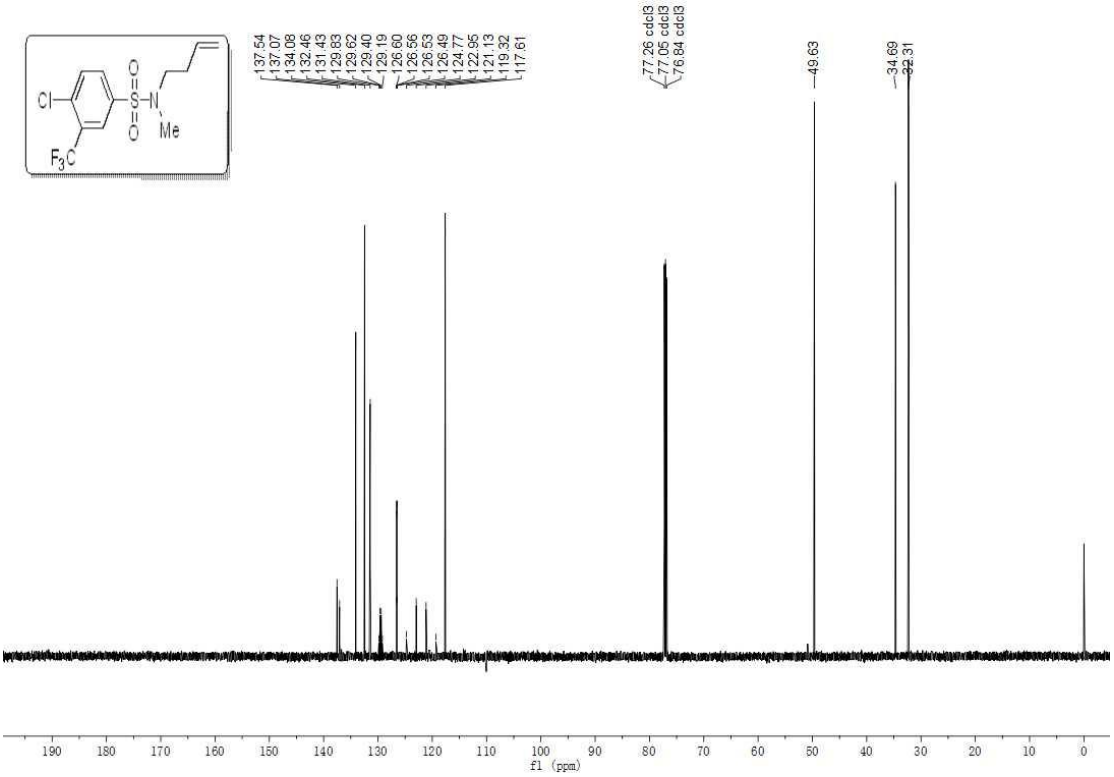
-100
f1 (ppm)

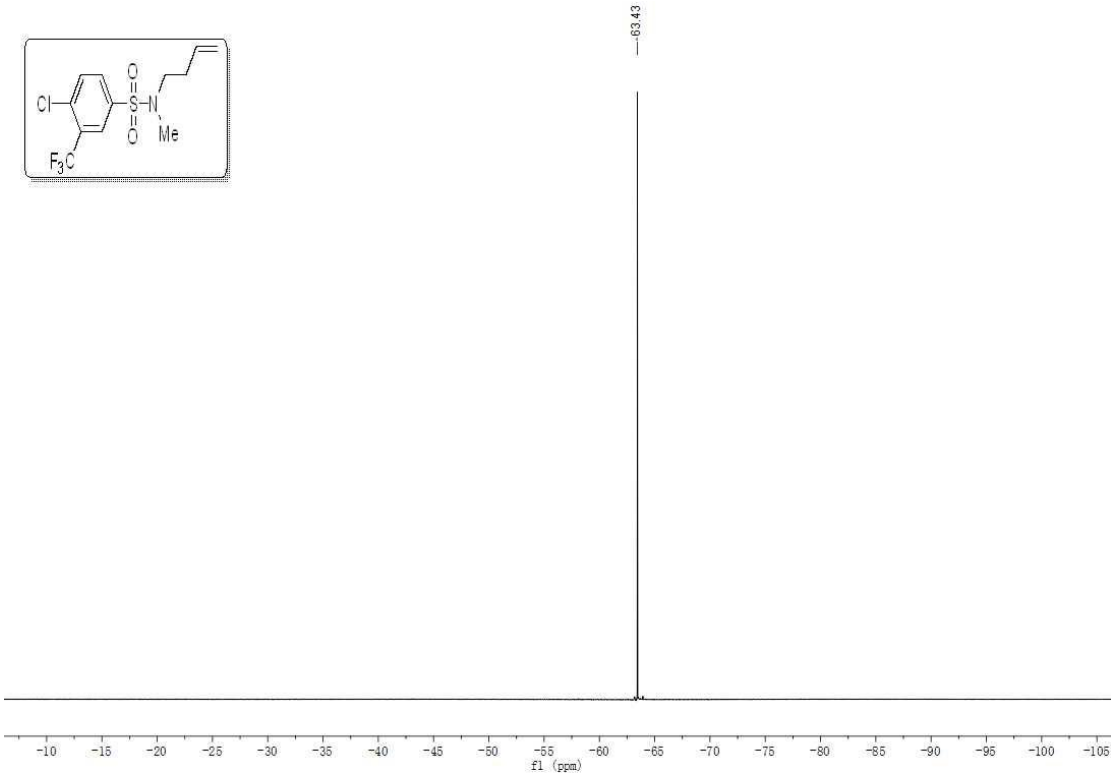
$^{1}$H NMR spectrum of **3d**



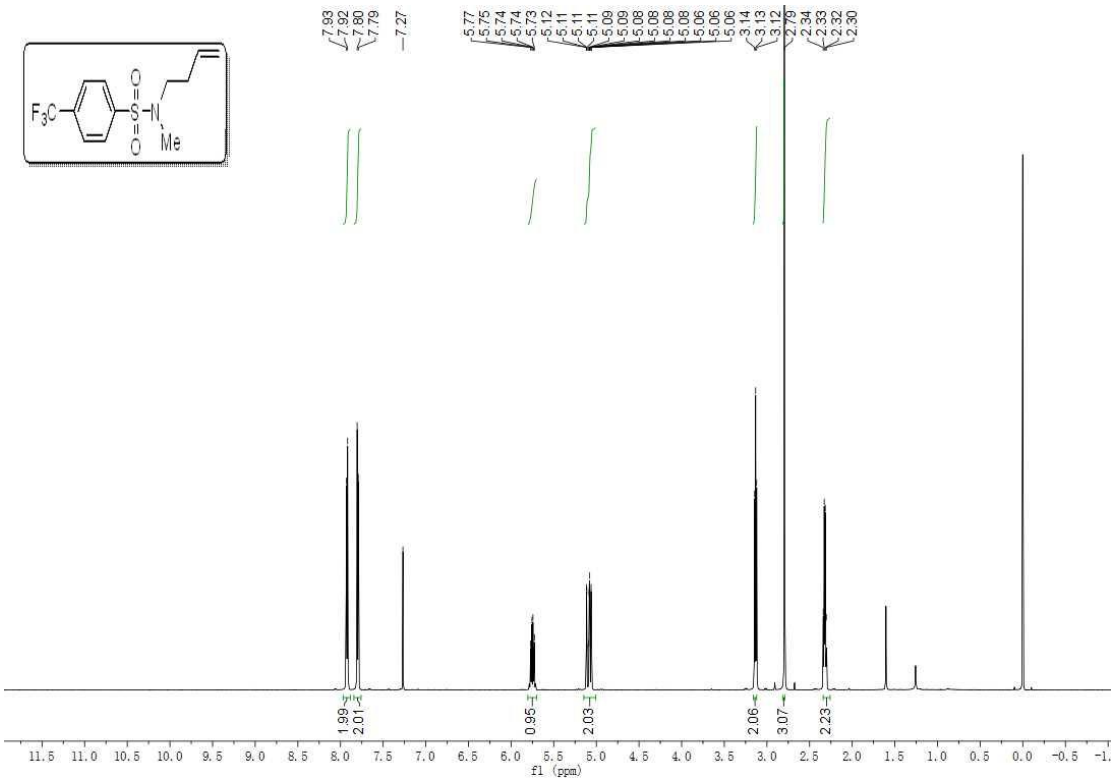

$^{13}$C NMR spectrum of **3d**



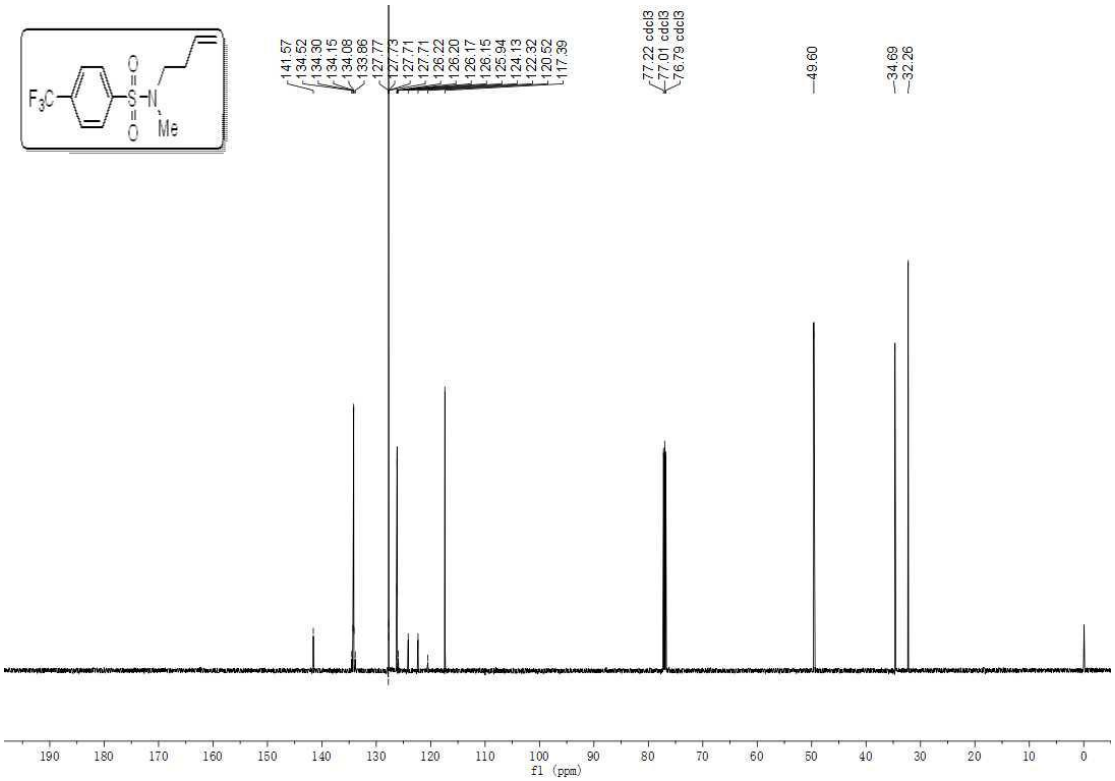
$^{19}F$ NMR spectrum of **3d**



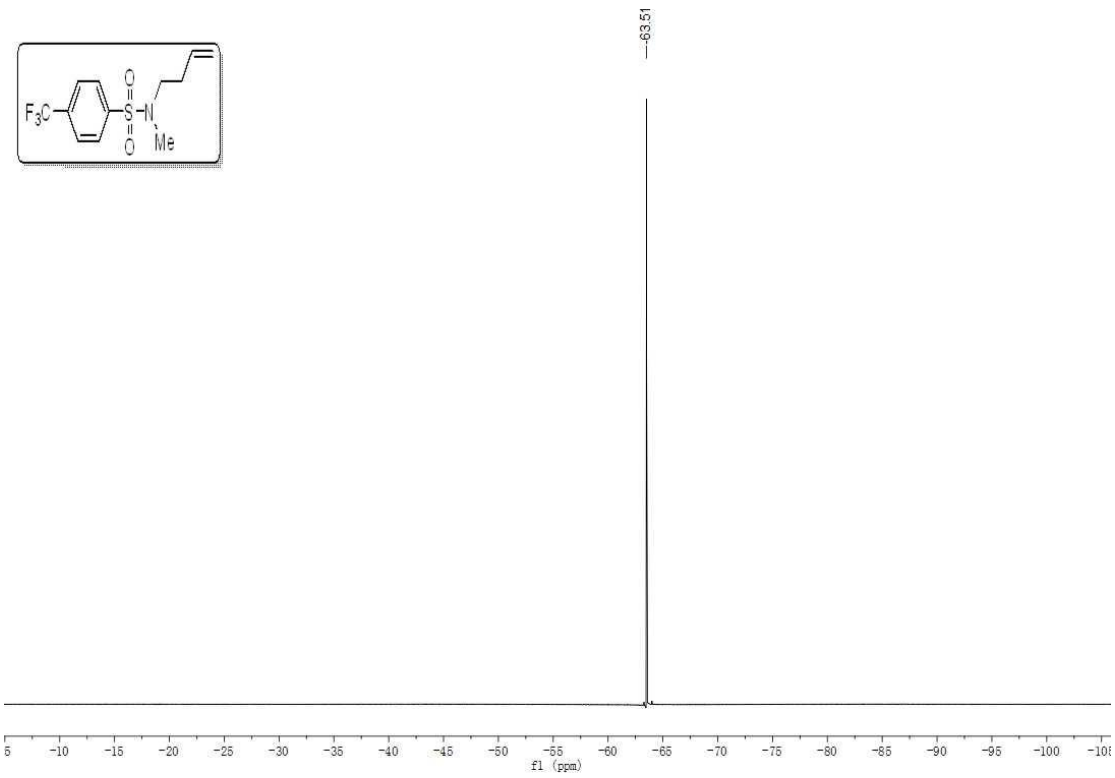
$^{1}$H NMR spectrum of **3e**



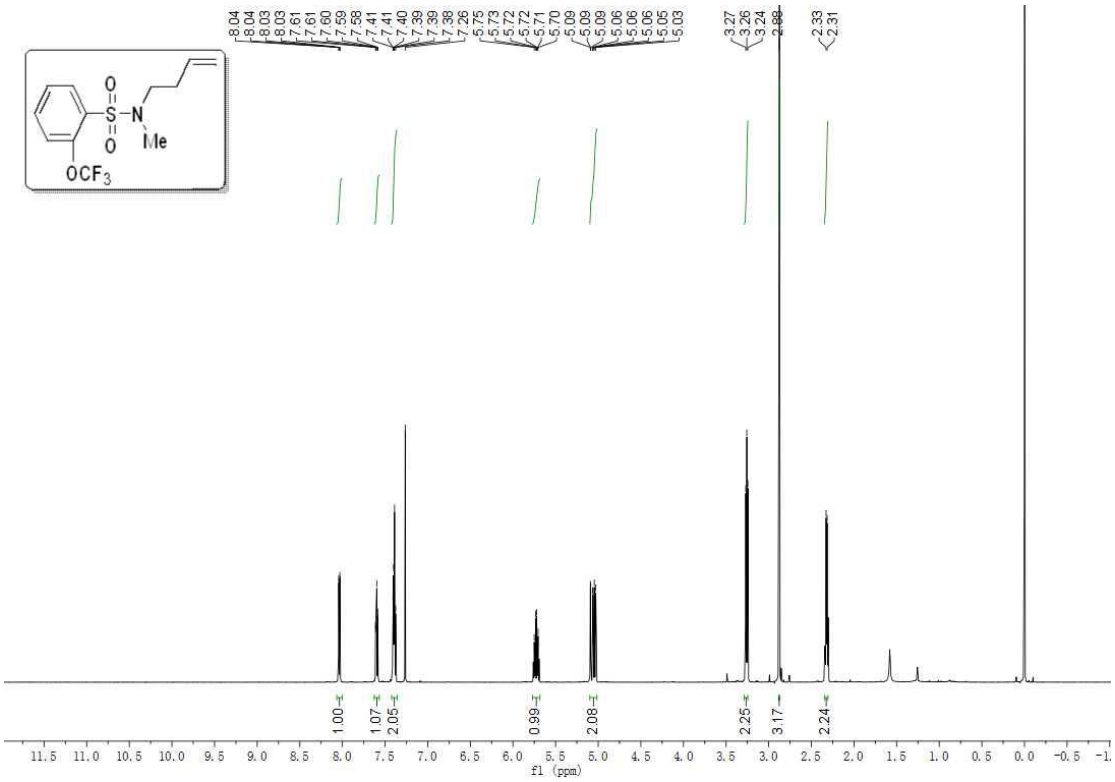

$^{13}$C NMR spectrum of **3e**



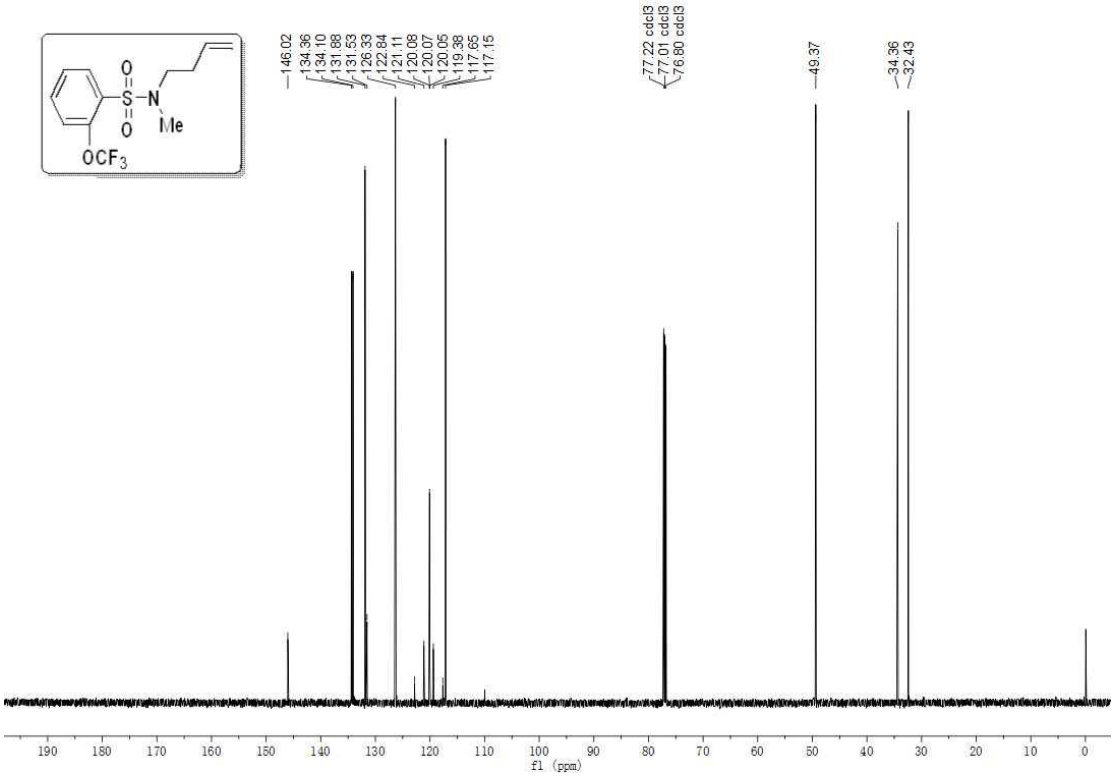
$^{19}F$ NMR spectrum of **3e**



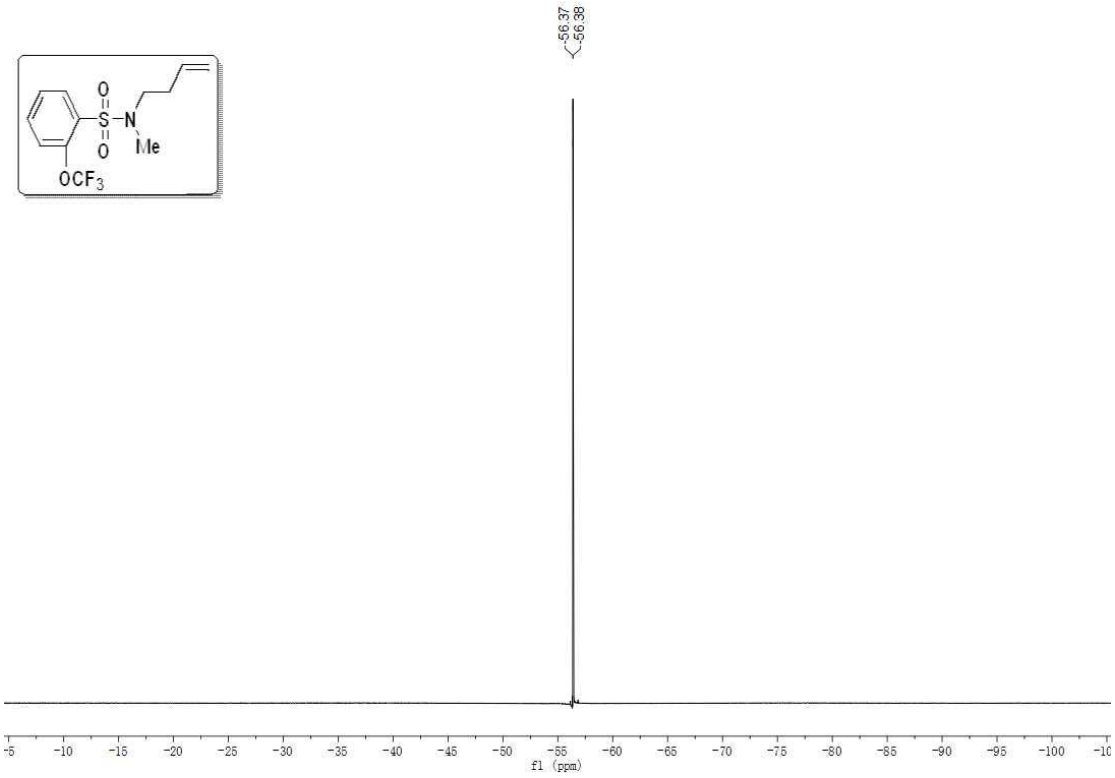
$^{1}$H NMR spectrum of **3f**



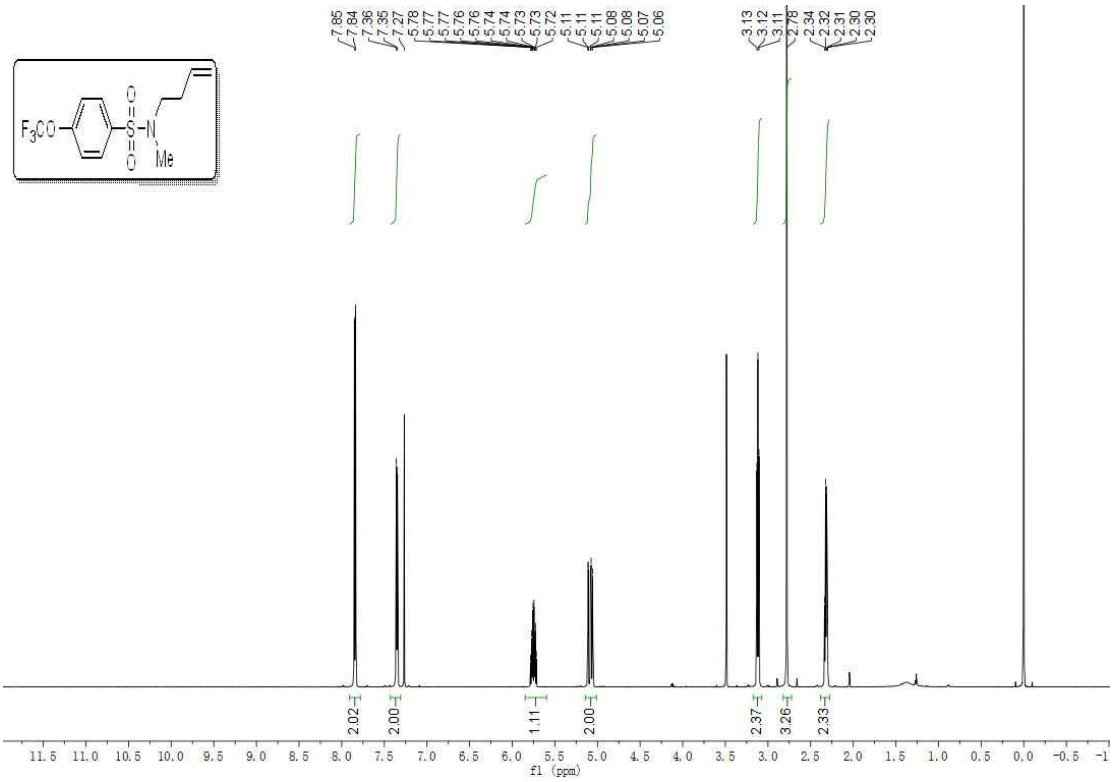

$^{13}$C NMR spectrum of **3f**



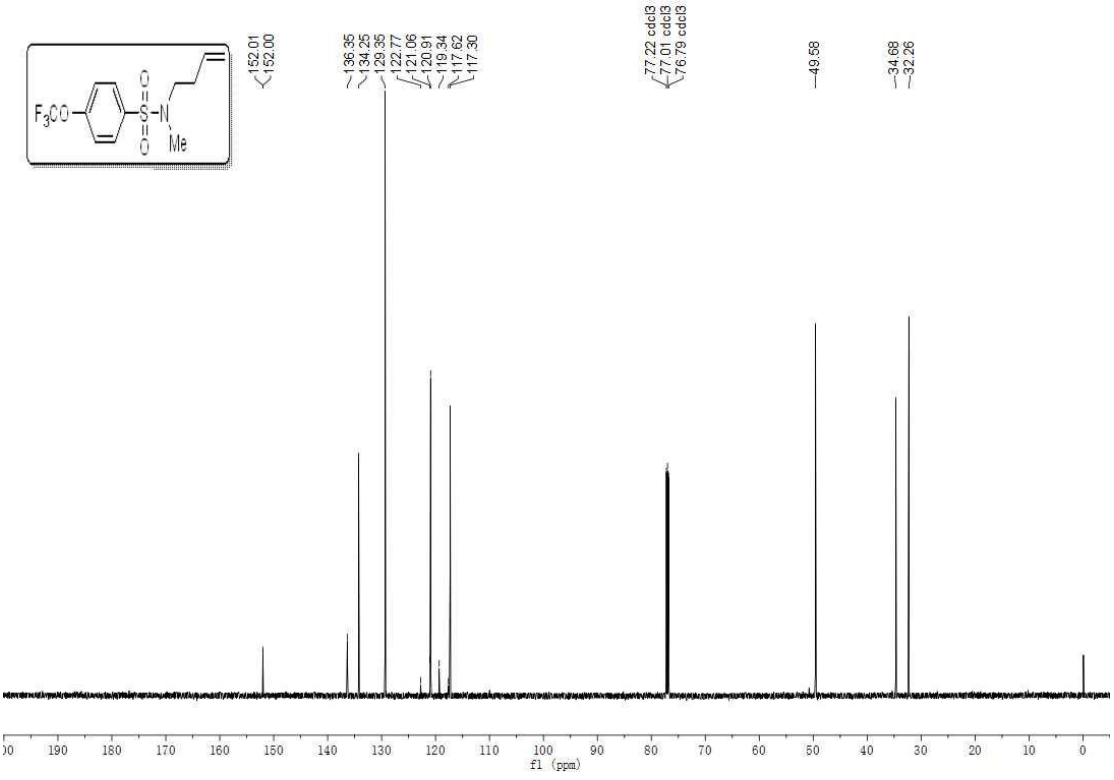
$^{19}$F NMR spectrum of **3f**



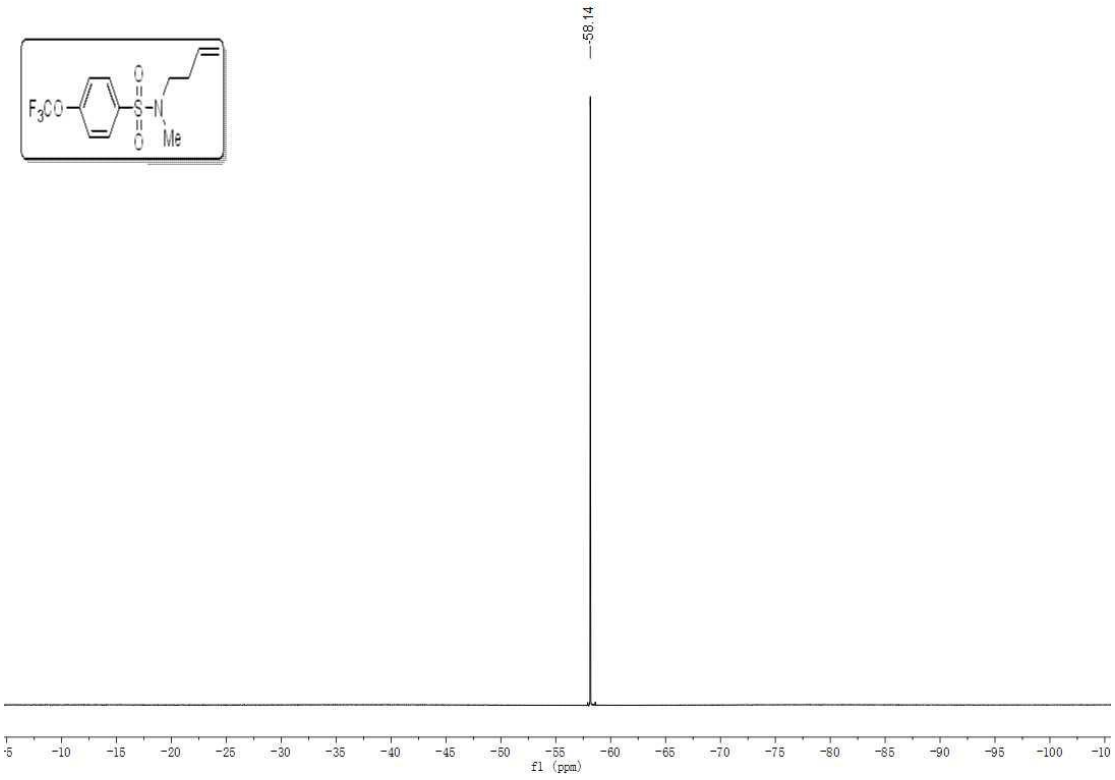
$^{1}$H NMR spectrum of **3g**



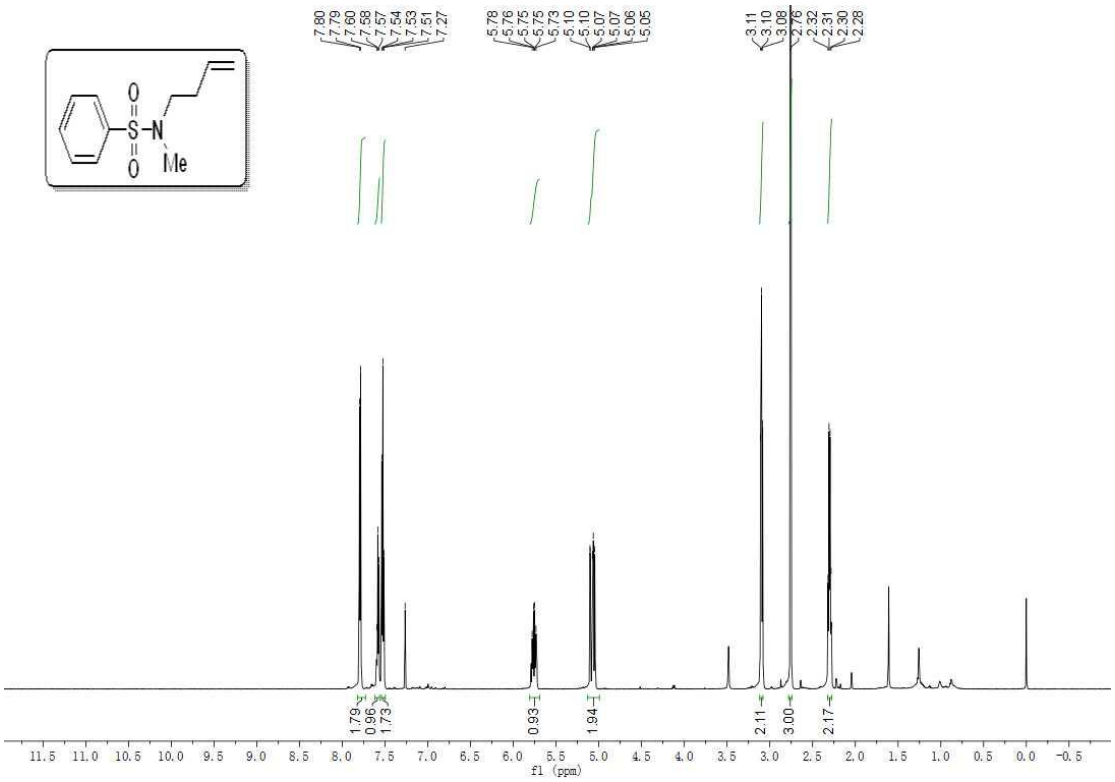

$^{13}$C NMR spectrum of **3g**



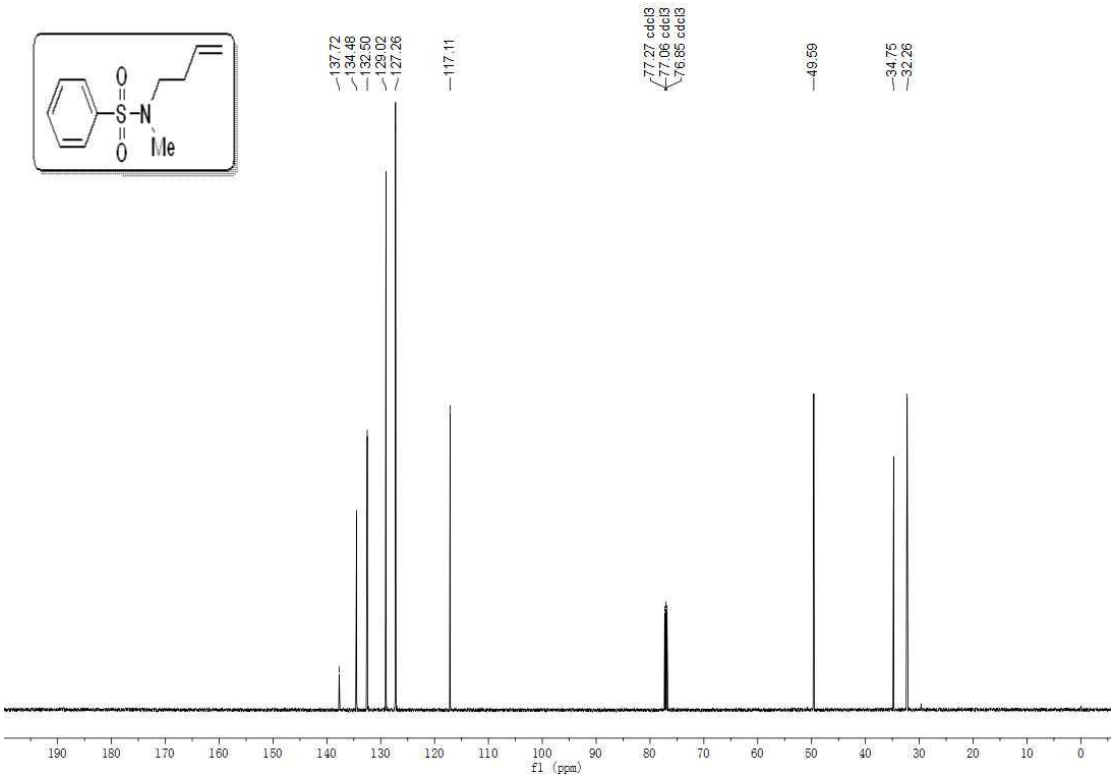
$^{19}$F NMR spectrum of **3g**



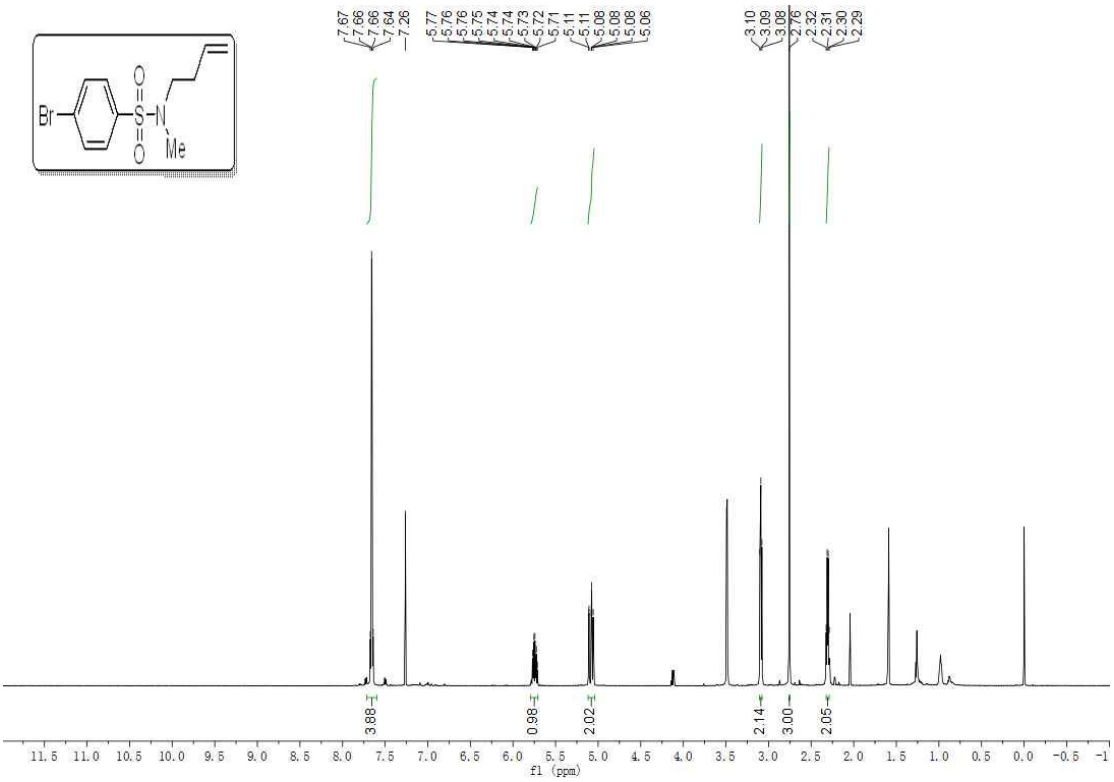
$^{1}$H NMR spectrum of **3h**



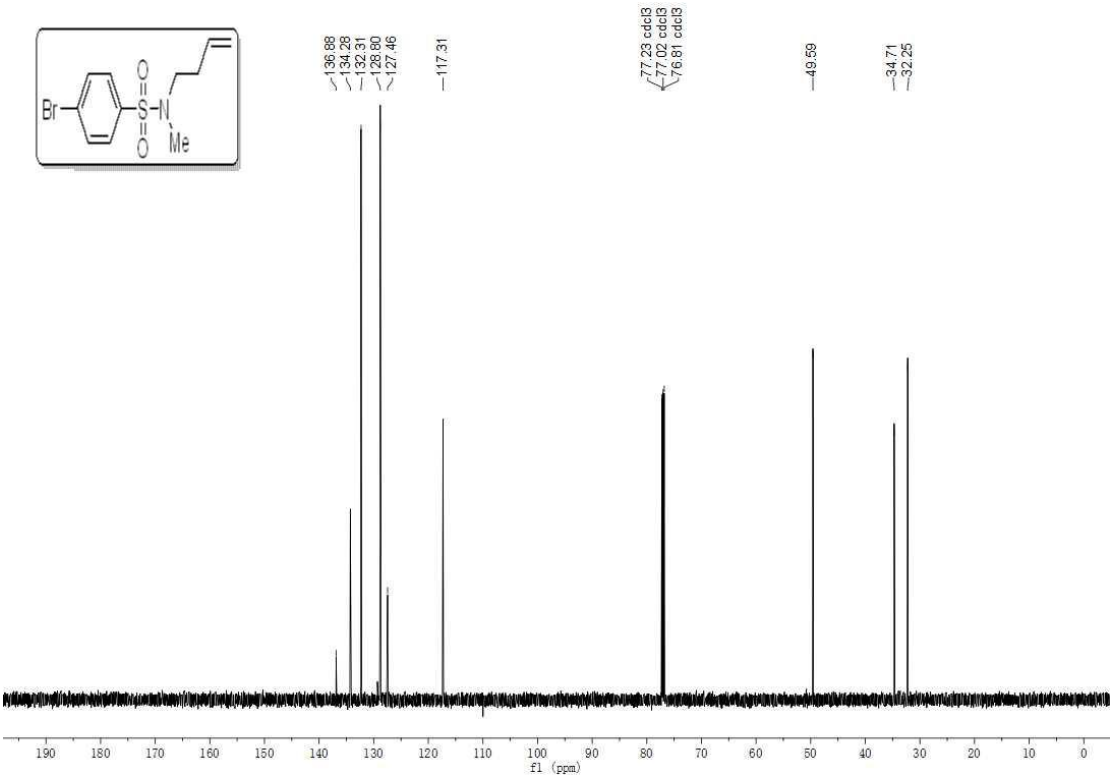

$^{13}$C NMR spectrum of **3h**



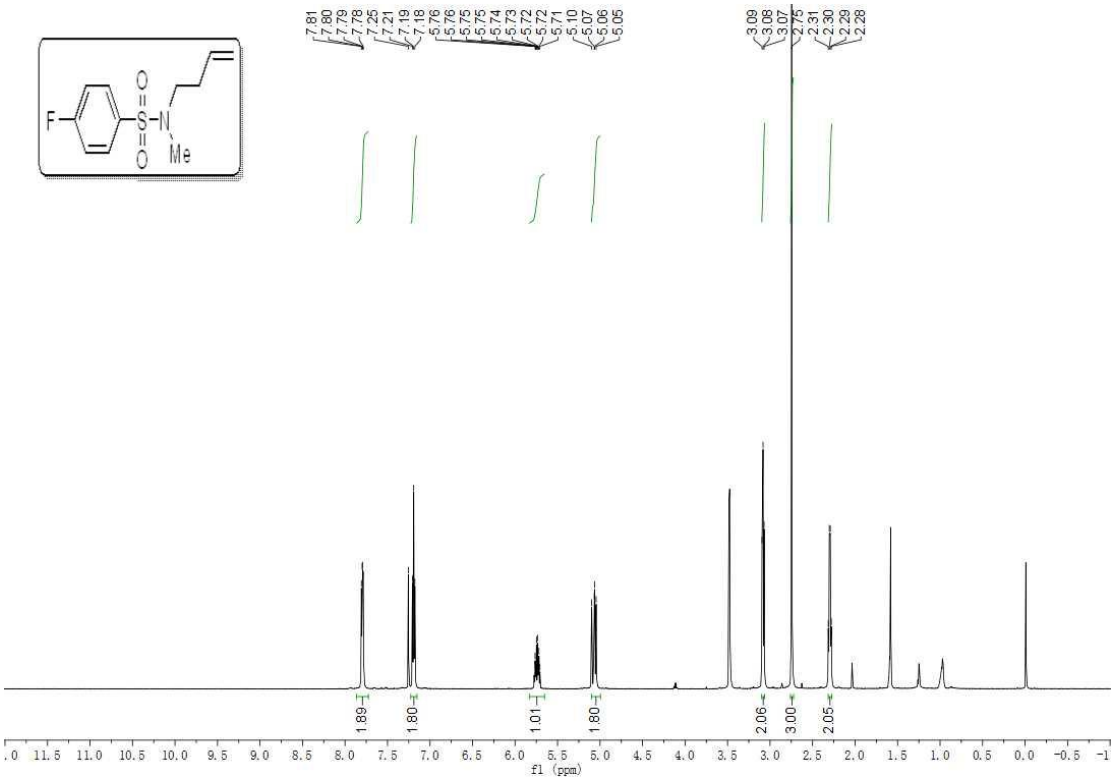
$^{1}$H NMR spectrum of **3i**



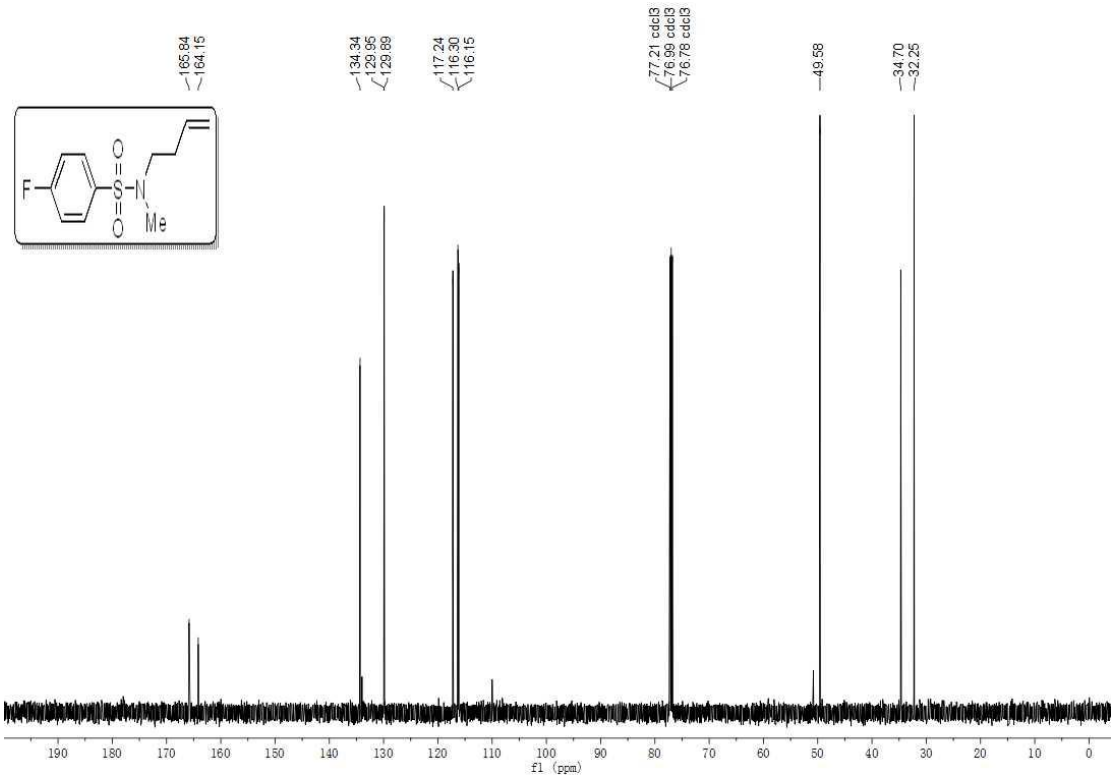

$^{13}$C NMR spectrum of **3i**



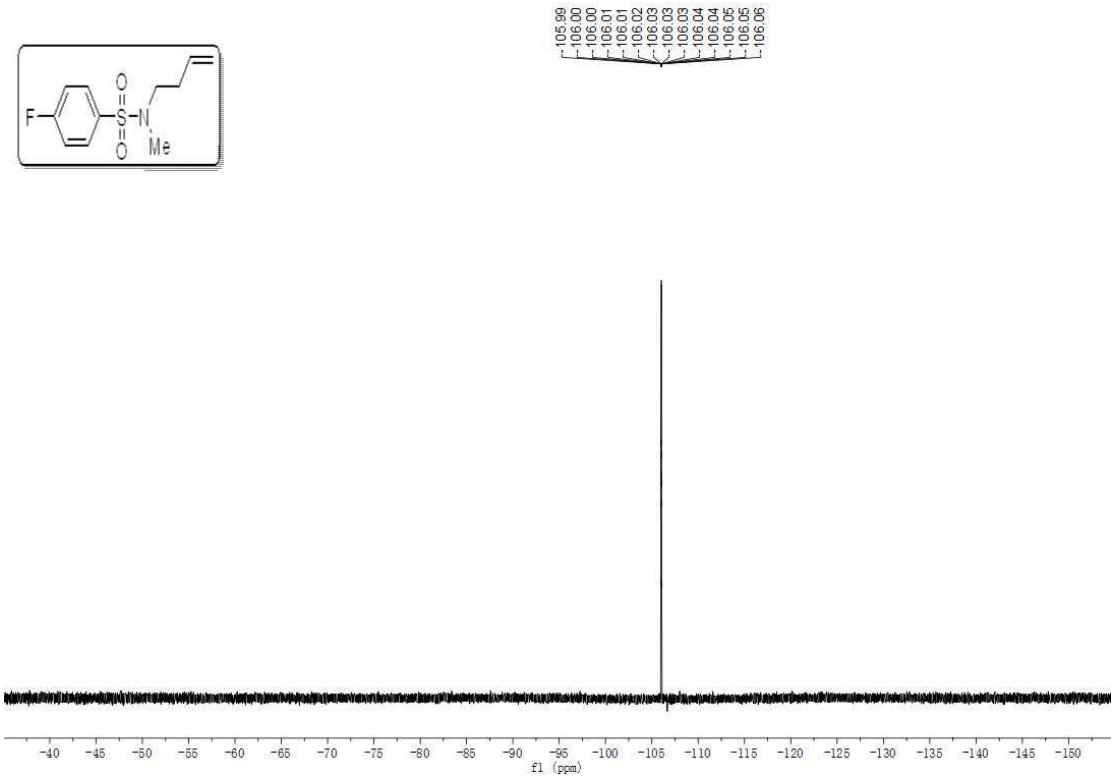
$^{1}$H NMR spectrum of **3j**



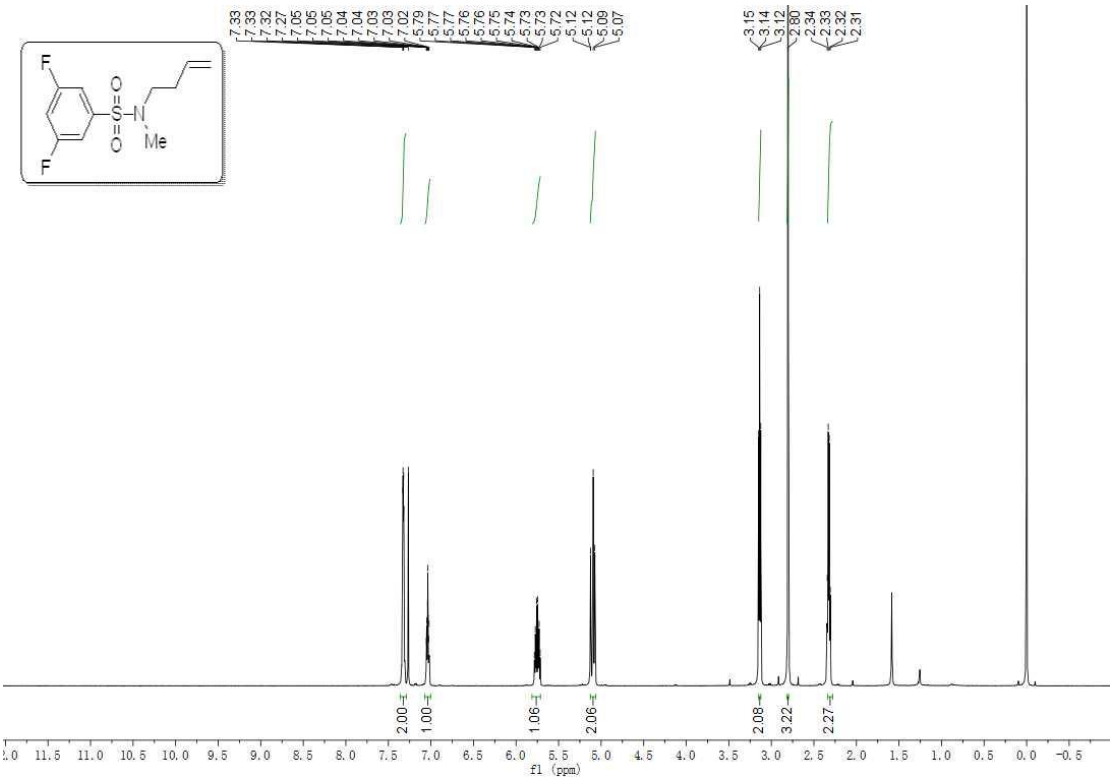

$^{13}$C NMR spectrum of **3j**



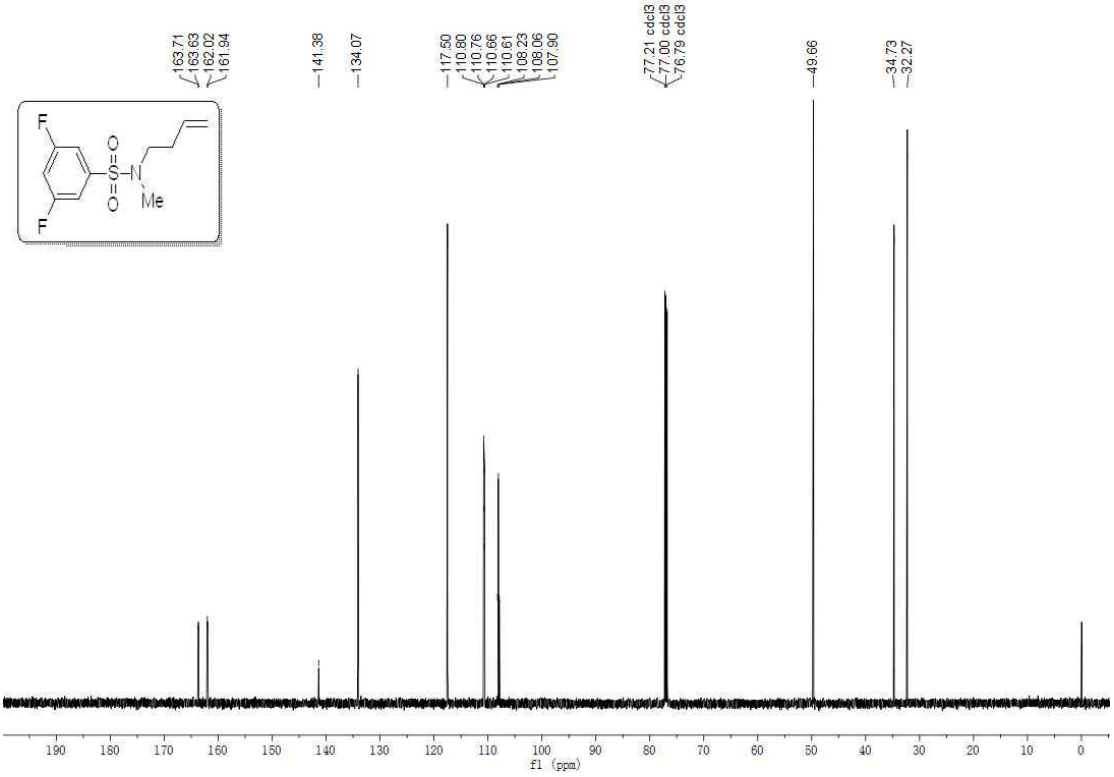
$^{19}$F NMR spectrum of **3j**



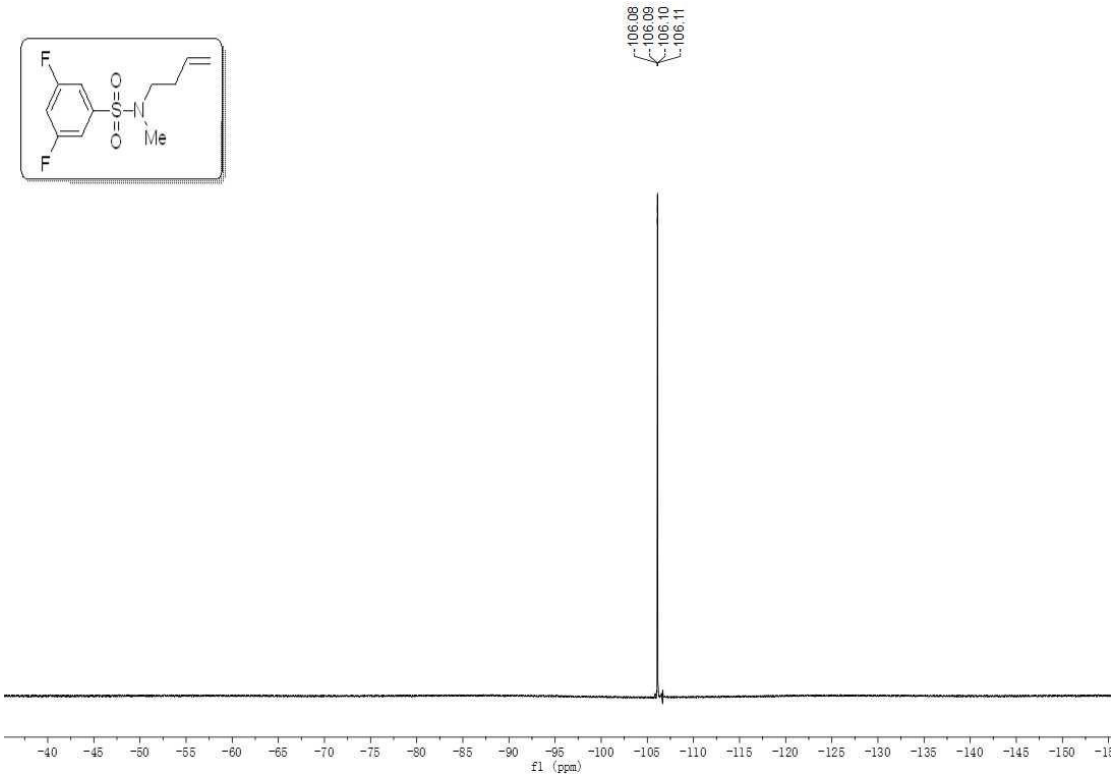
$^{1}$H NMR spectrum of **3k**



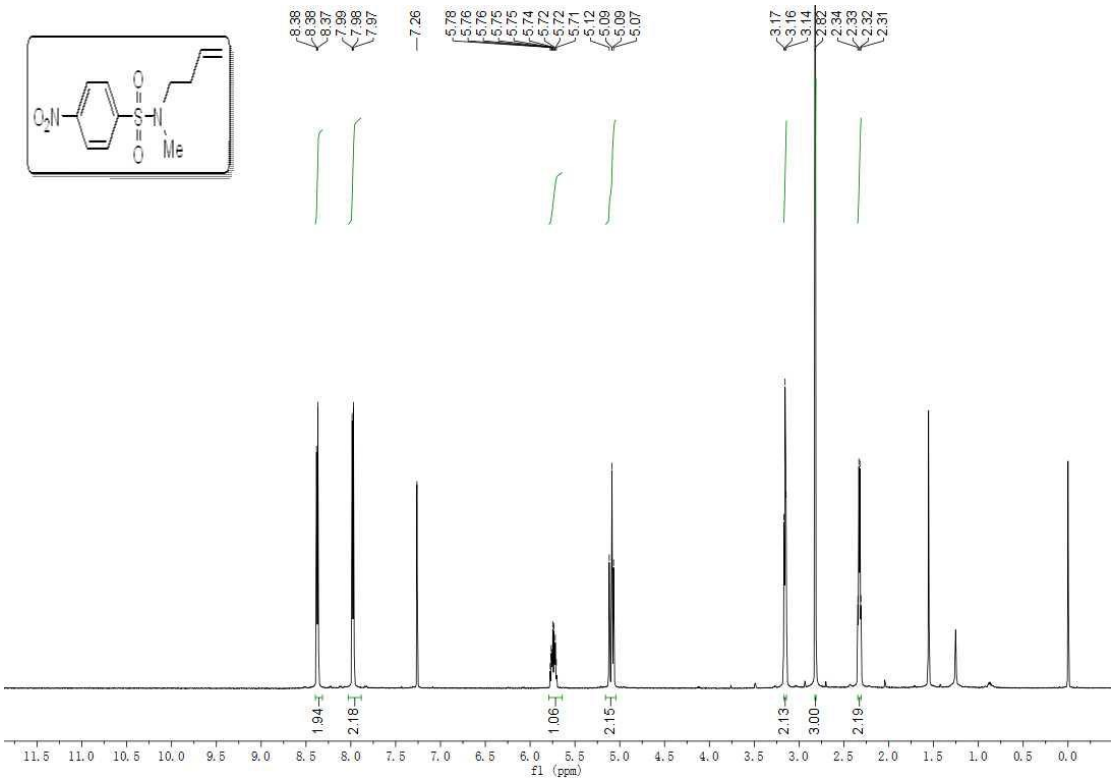

$^{13}$C NMR spectrum of **3k**



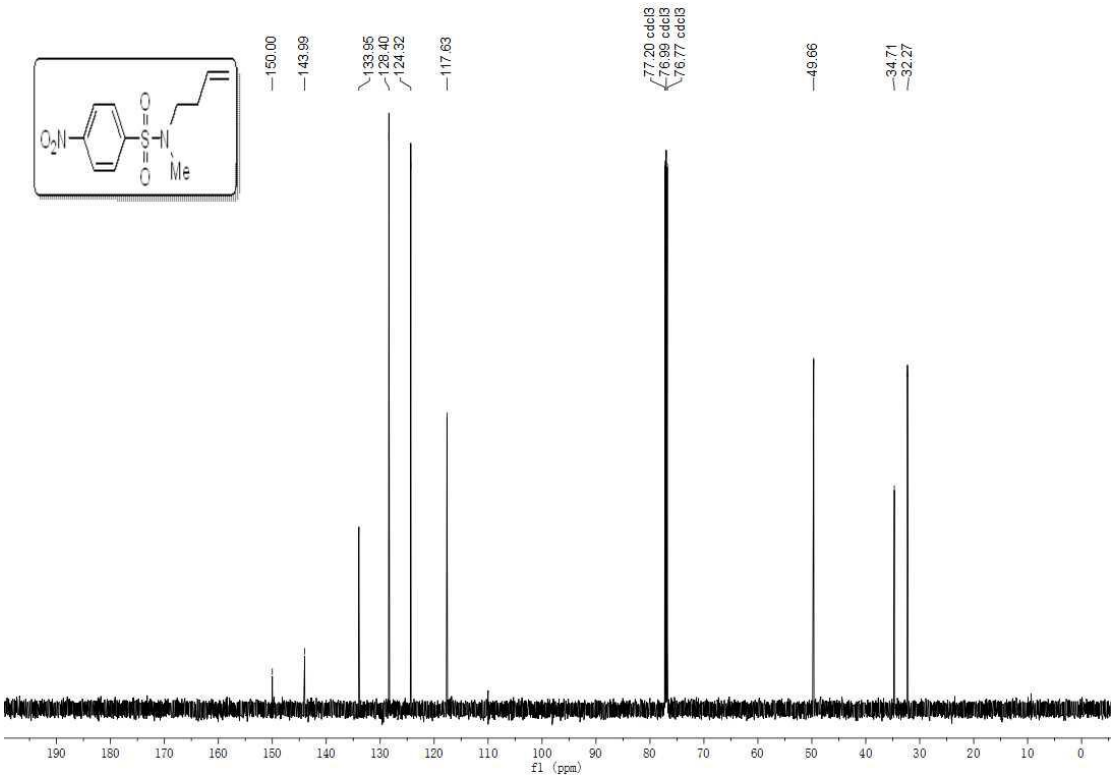
$^{19}$F NMR spectrum of **3k**



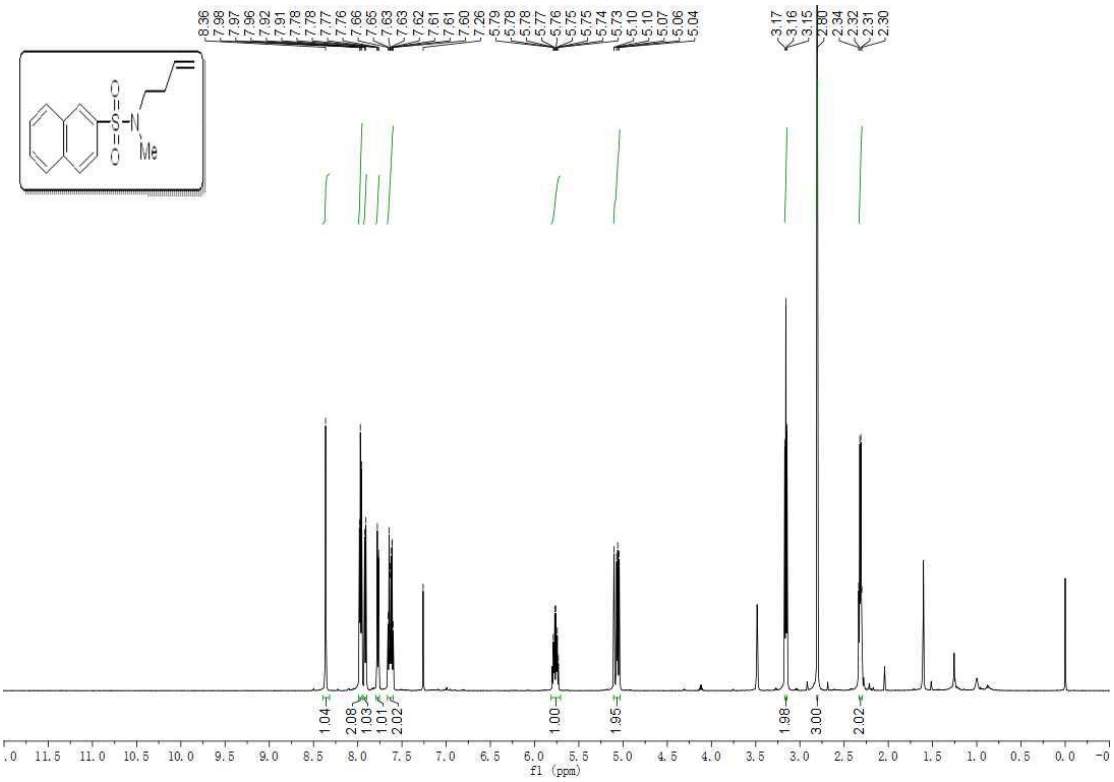
$^{1}$H NMR spectrum of **3l**



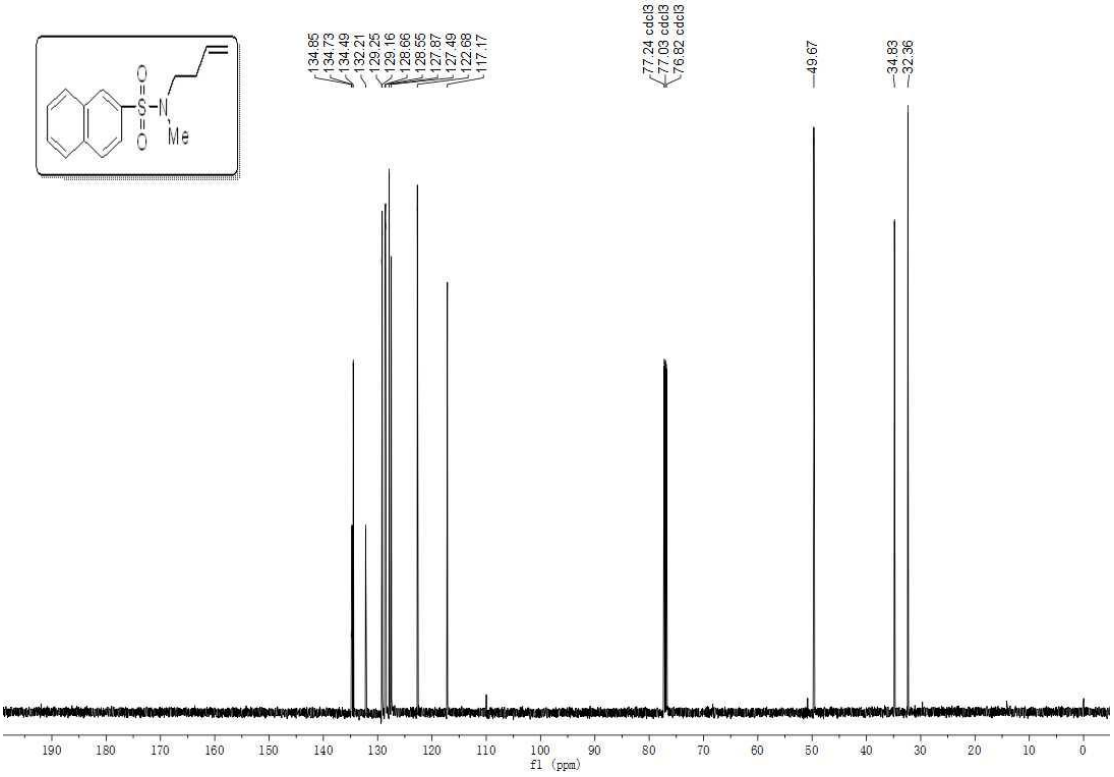

$^{13}$C NMR spectrum of **3l**



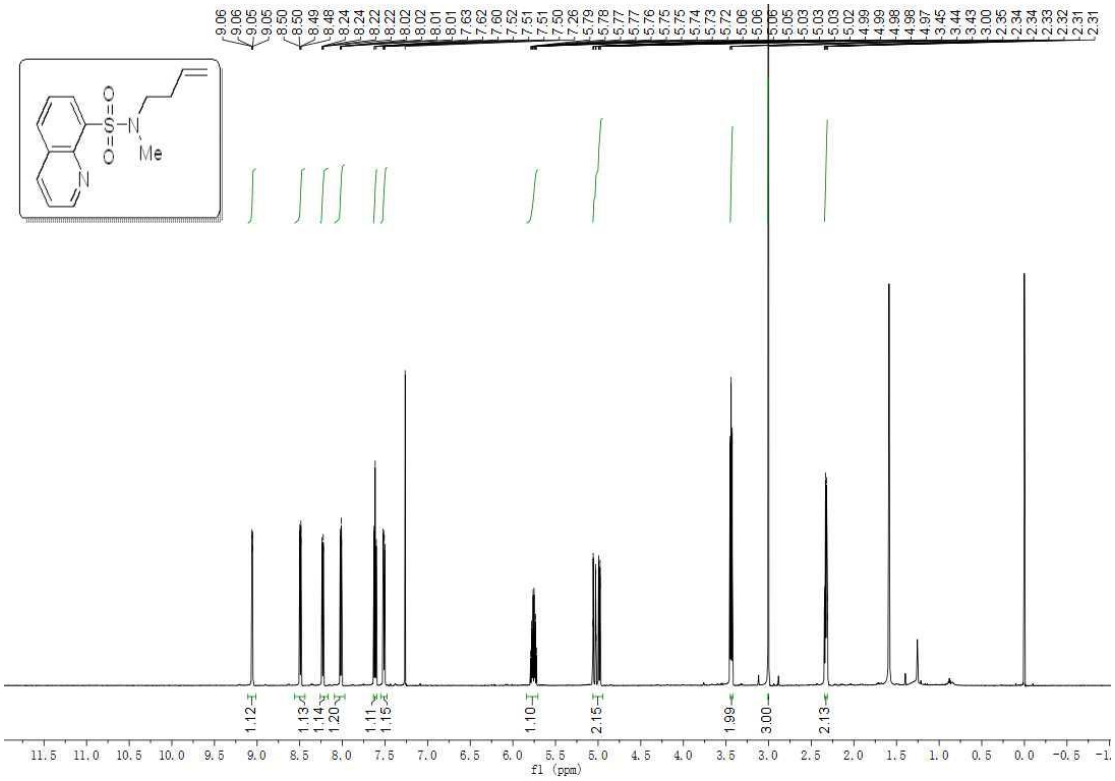
$^{1}$H NMR spectrum of **3m**



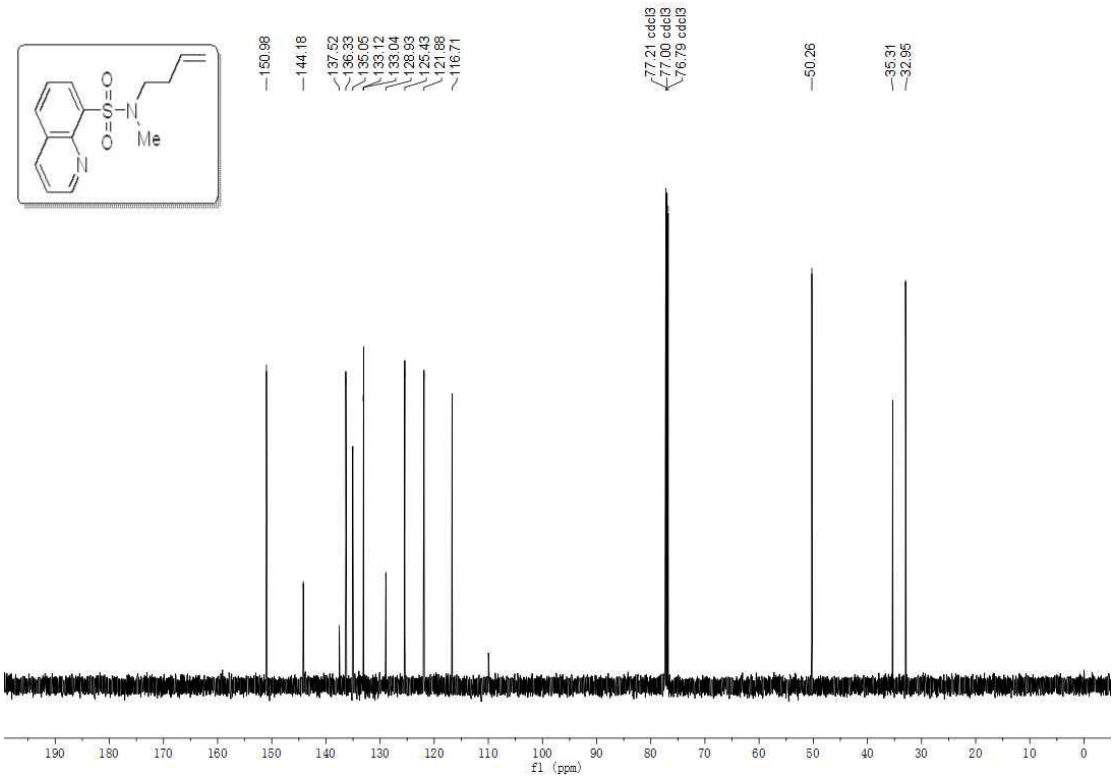
$^{13}$C NMR spectrum of **3m**



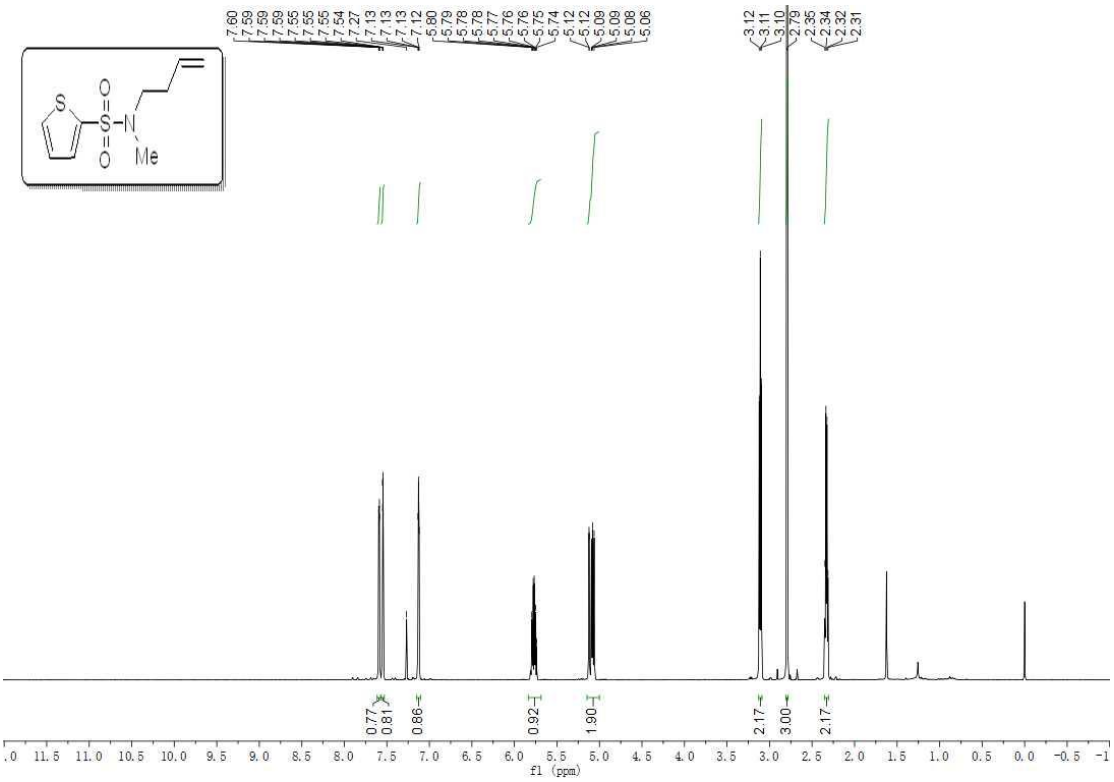
$^{1}$H NMR spectrum of **3n**



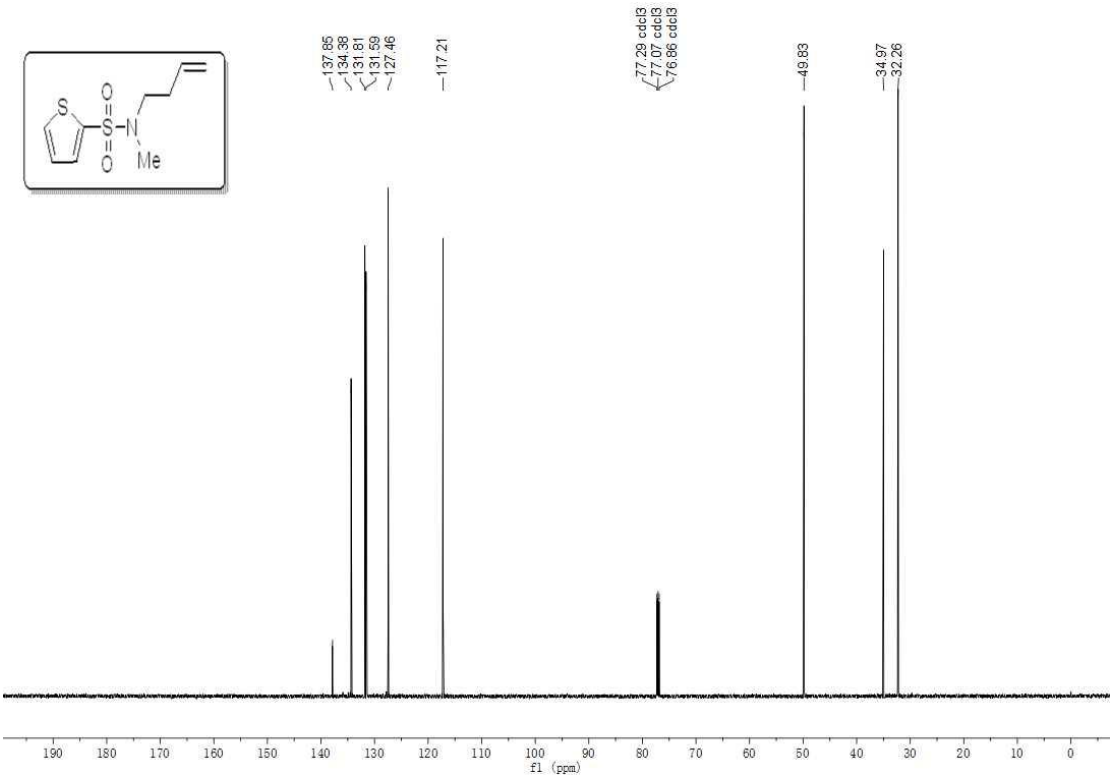
$^{13}$C NMR spectrum of **3n**



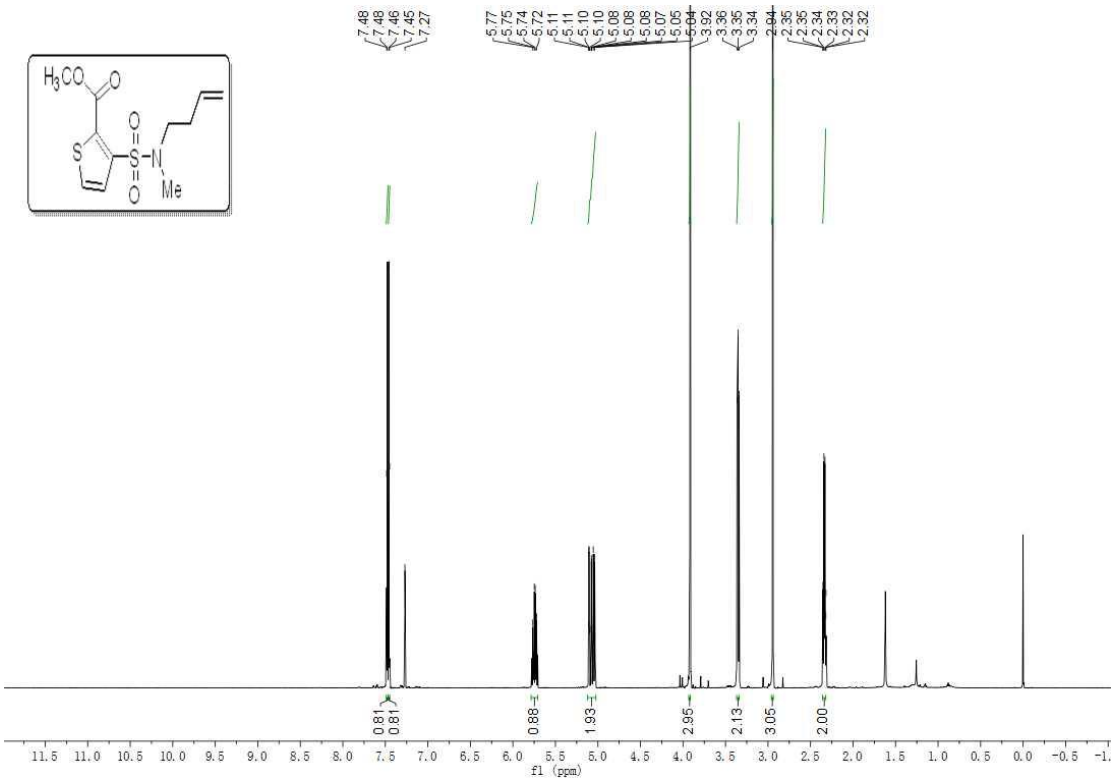
$^{1}$H NMR spectrum of **3o**



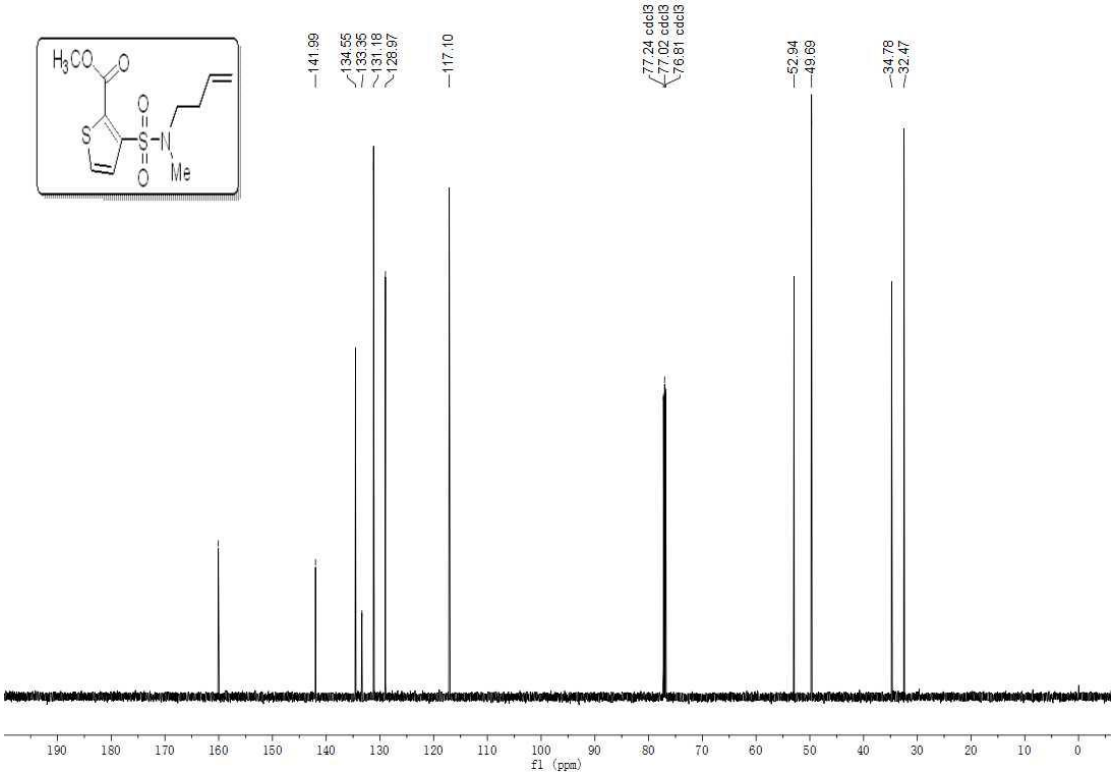

$^{13}$C NMR spectrum of **3o**



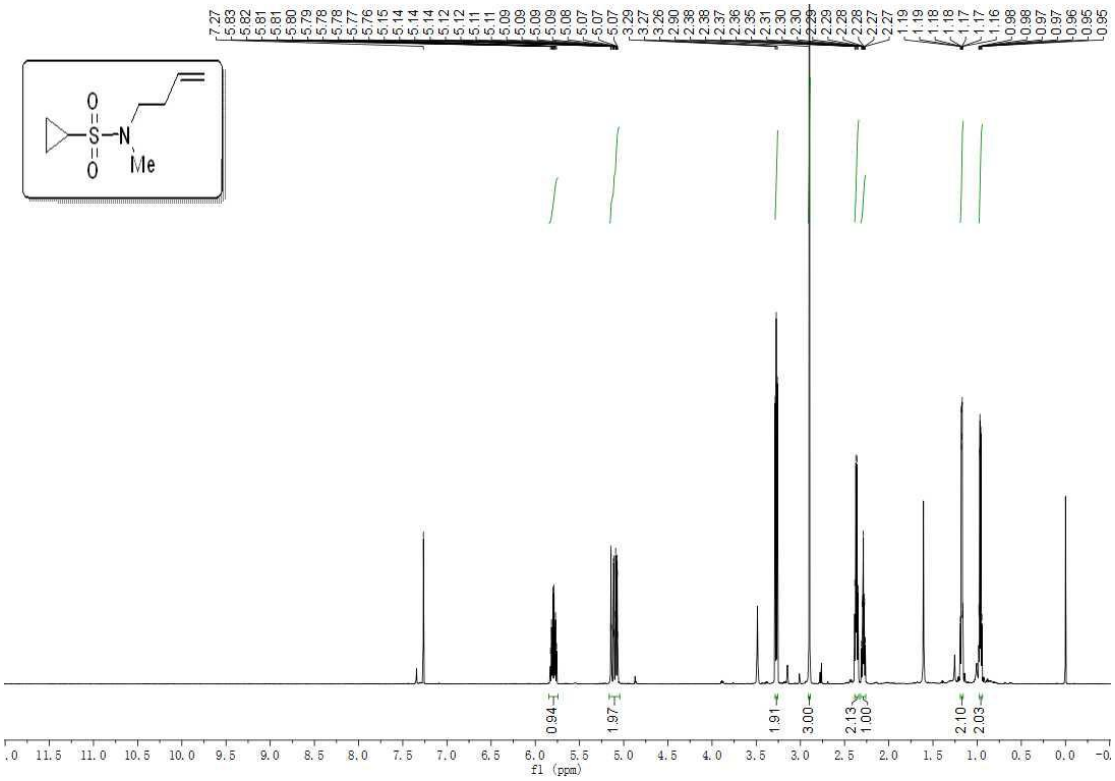
$^{1}$H NMR spectrum of **3p**



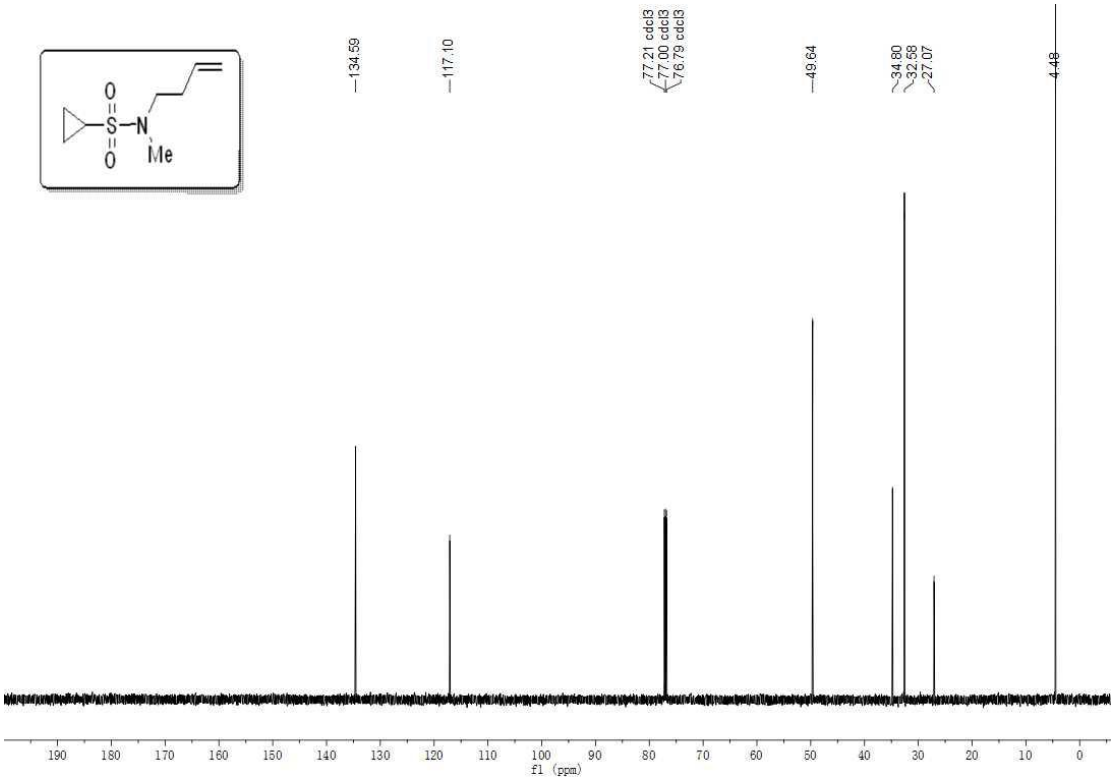

$^{13}$C NMR spectrum of **3p**



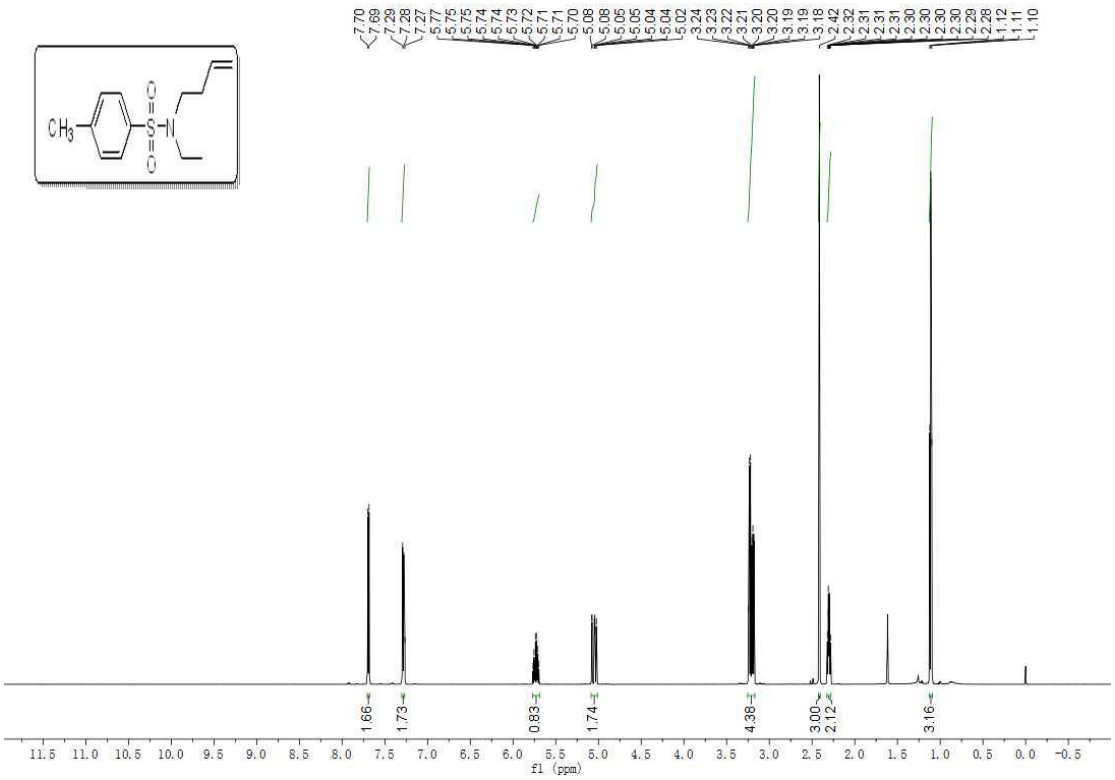
$^{1}$H NMR spectrum of **3r**



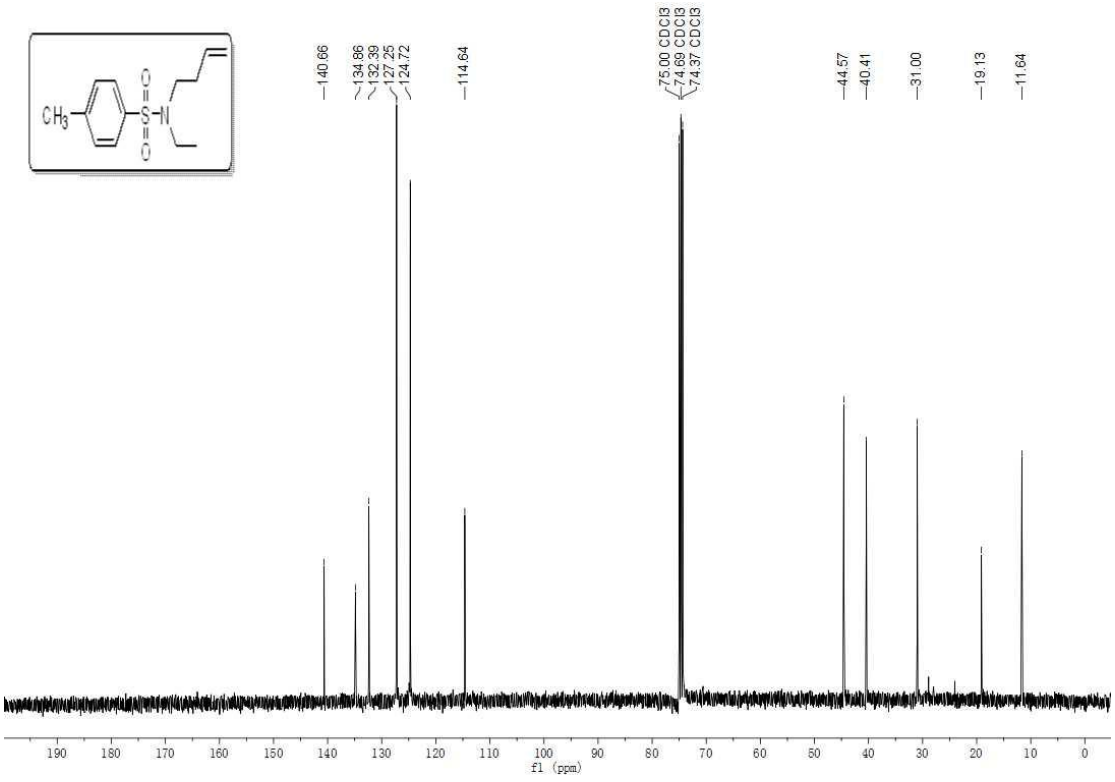
$^{13}$C NMR spectrum of **3r**



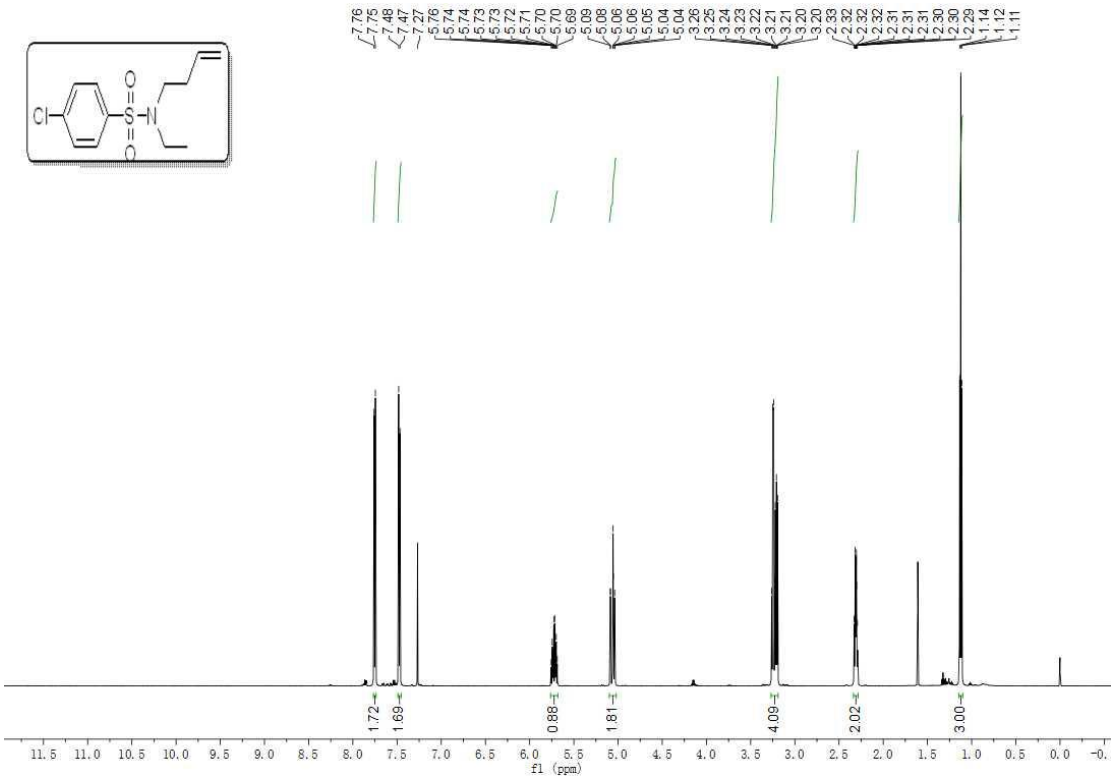
$^{1}$H NMR spectrum of **4a**



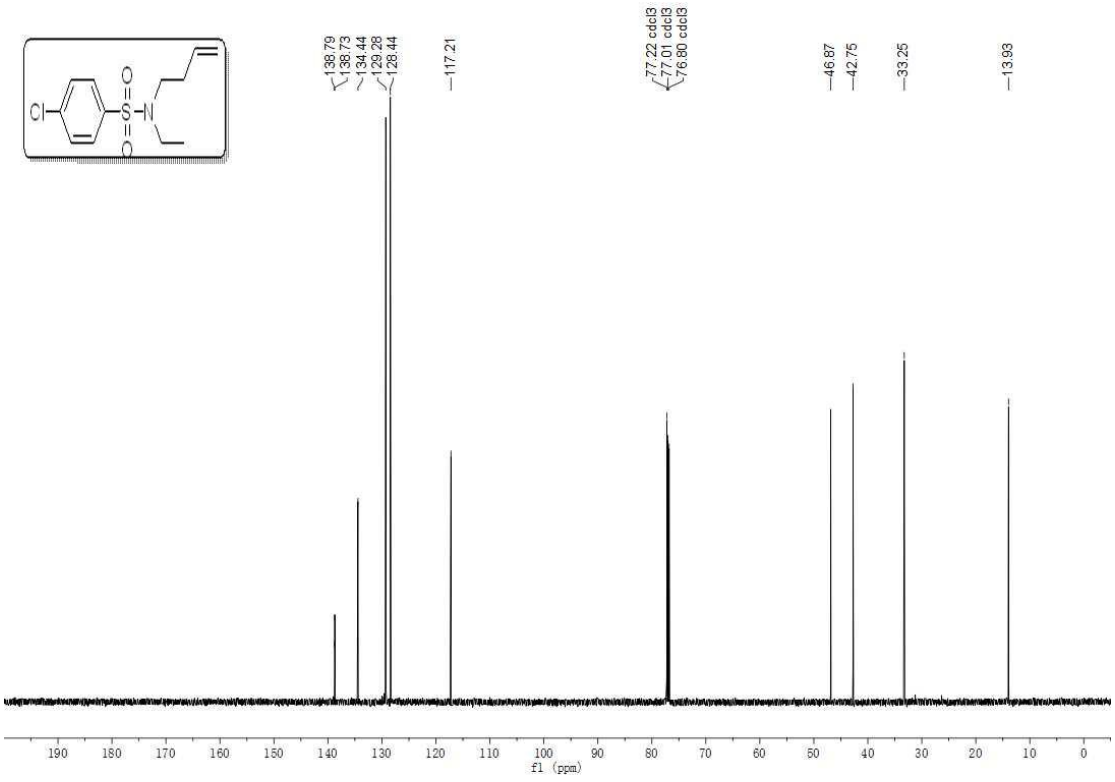
$^{13}$C NMR spectrum of **4a**



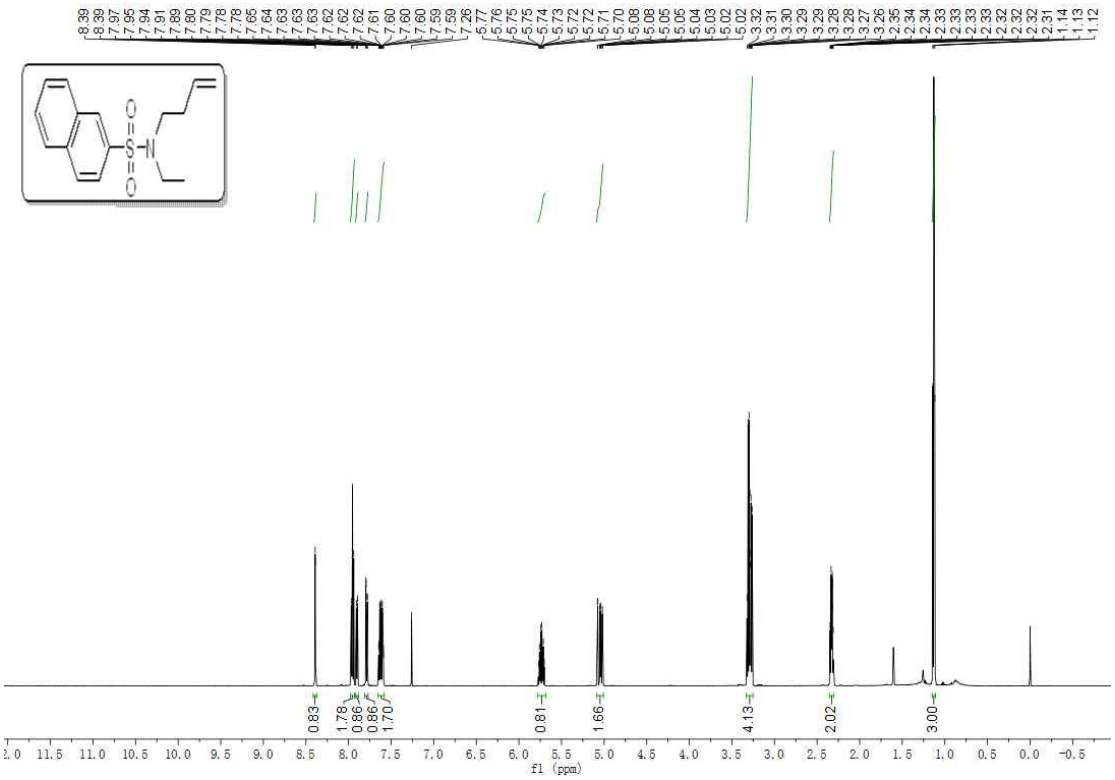
$^{1}$H NMR spectrum of **4b**



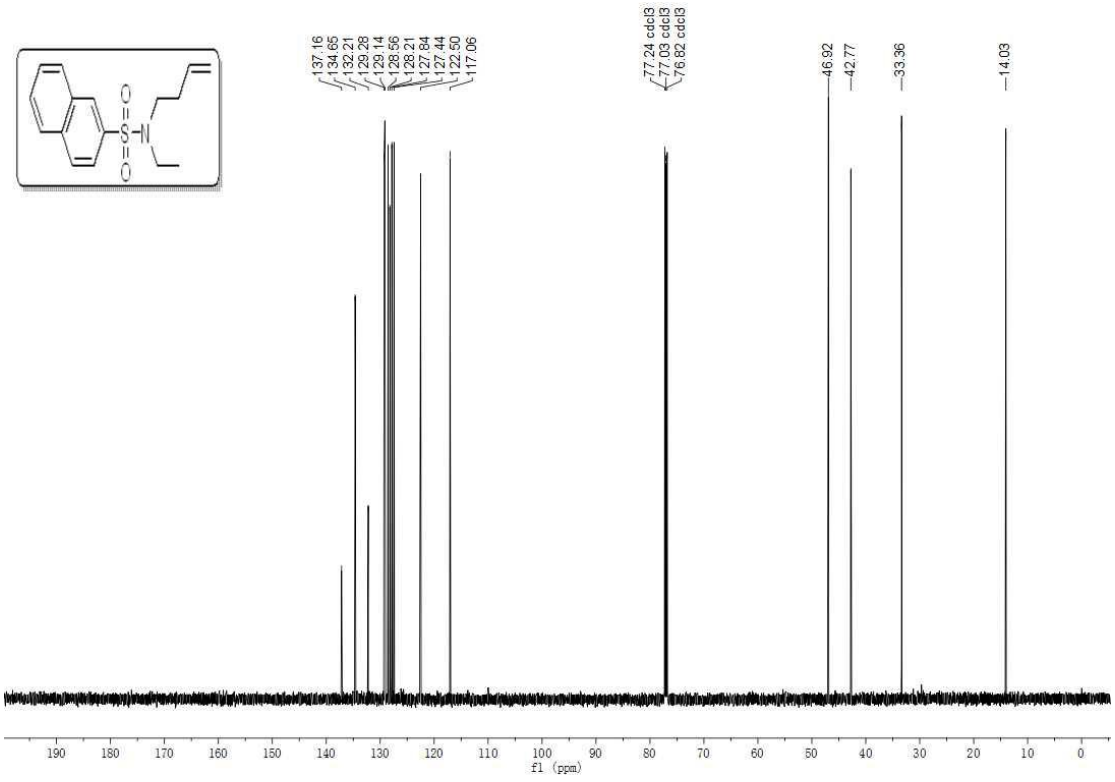

$^{13}$C NMR spectrum of **4b**



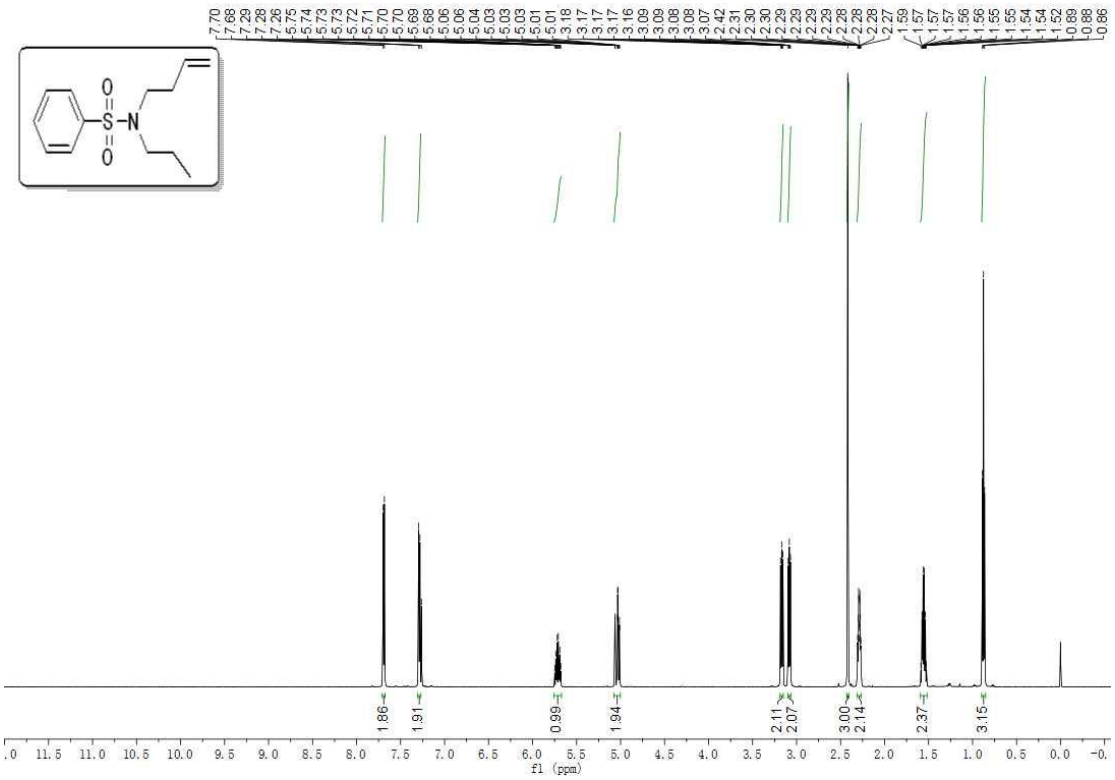
$^{1}$H NMR spectrum of **4c**



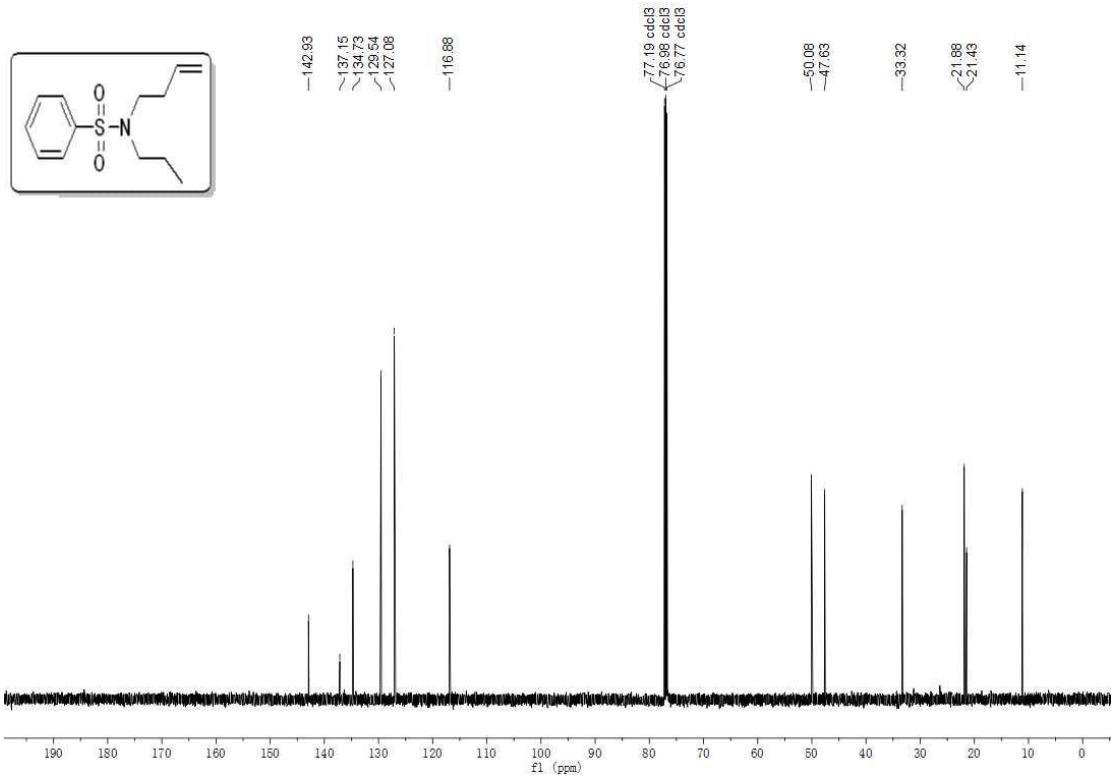
$^{13}$C NMR spectrum of **4c**



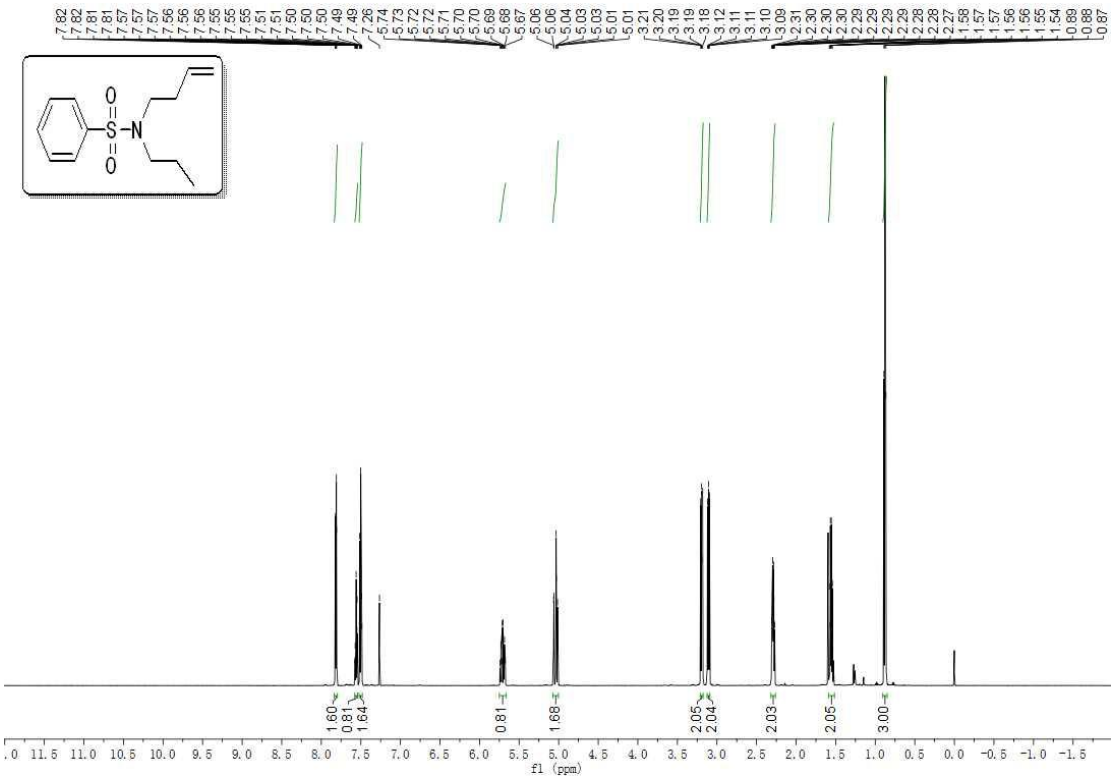
$^{1}$H NMR spectrum of **4d**



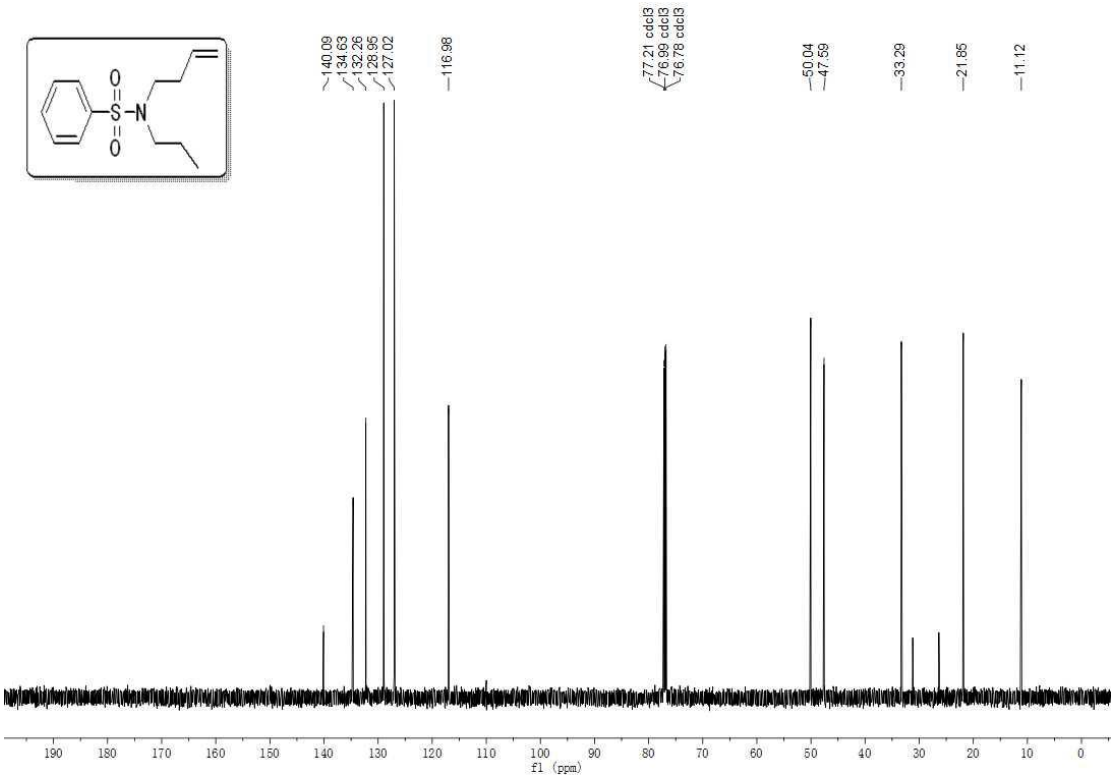
$^{13}$C NMR spectrum of **4d**



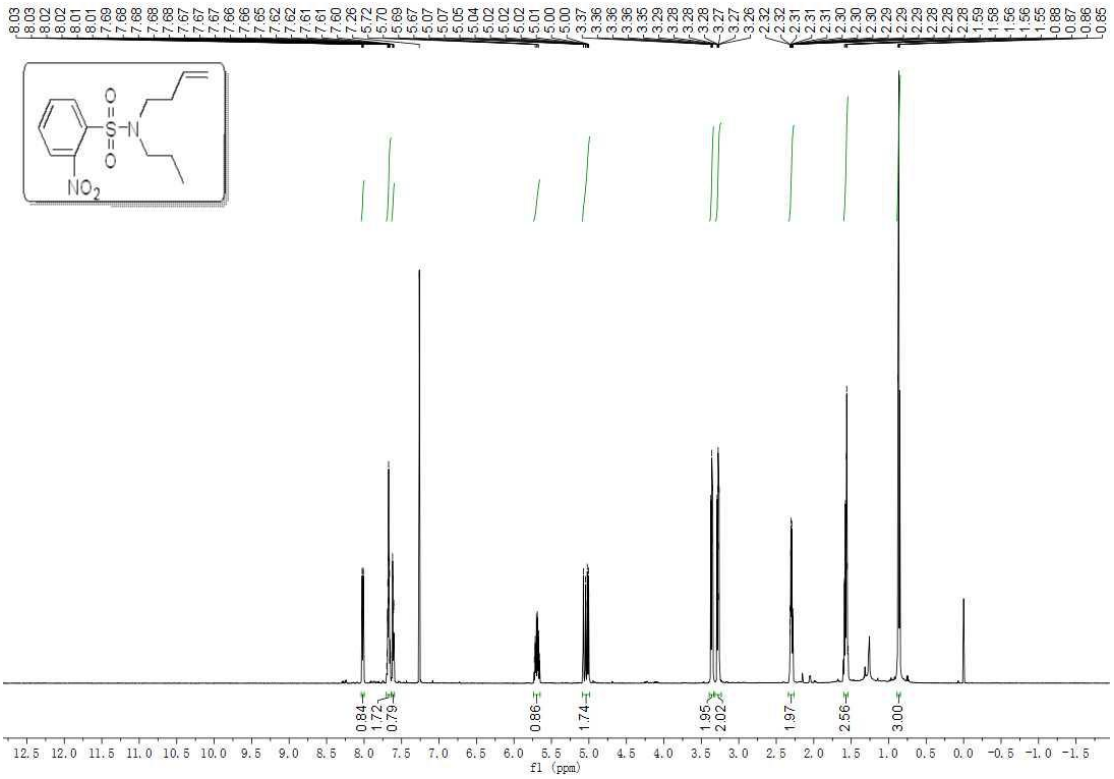
$^{1}$H NMR spectrum of **4e**



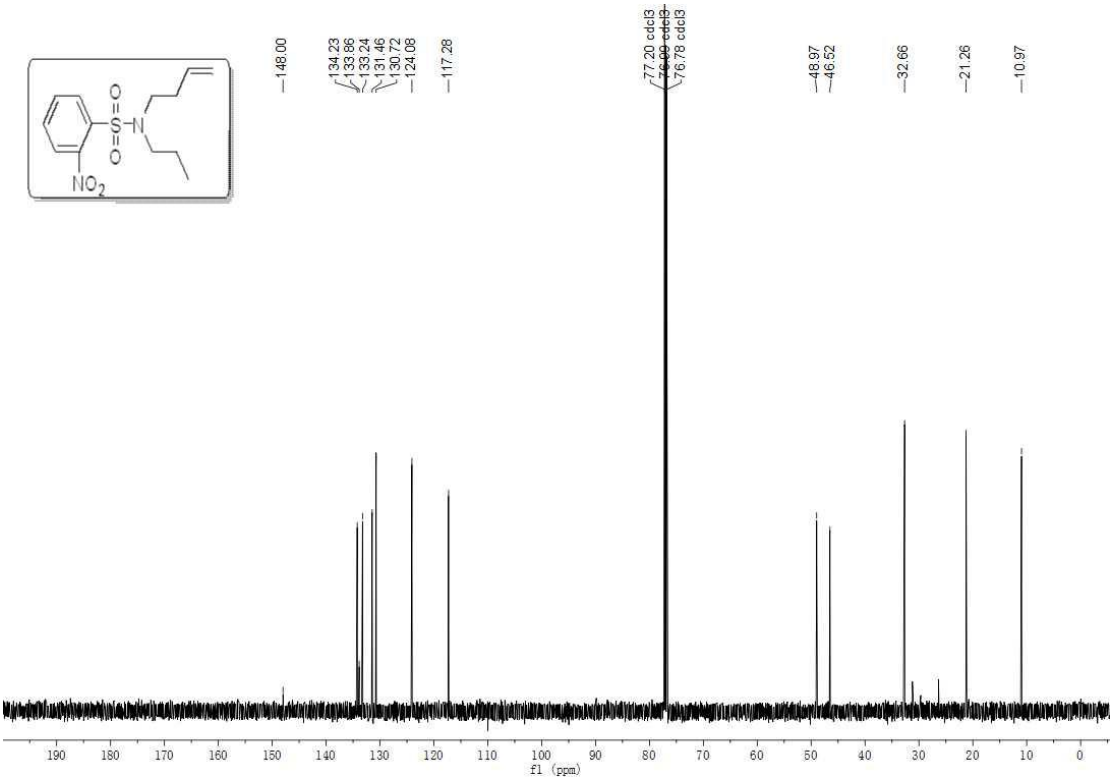
$^{13}$C NMR spectrum of **4e**



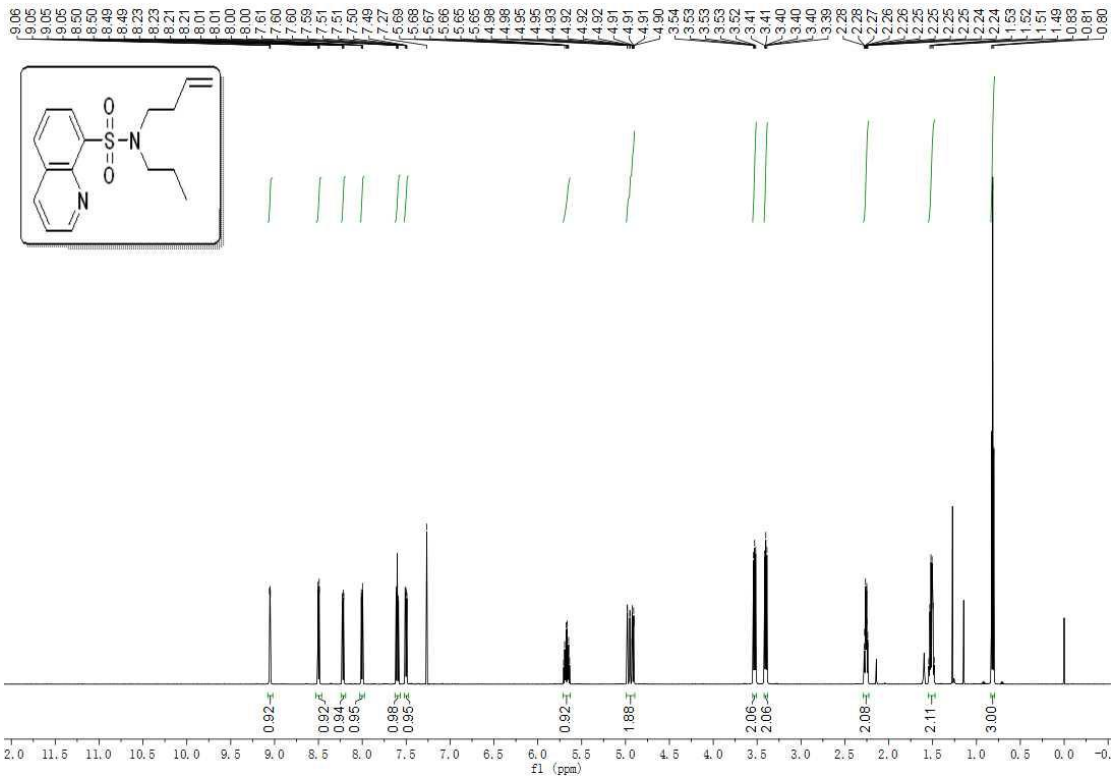
$^{1}$H NMR spectrum of **4f**



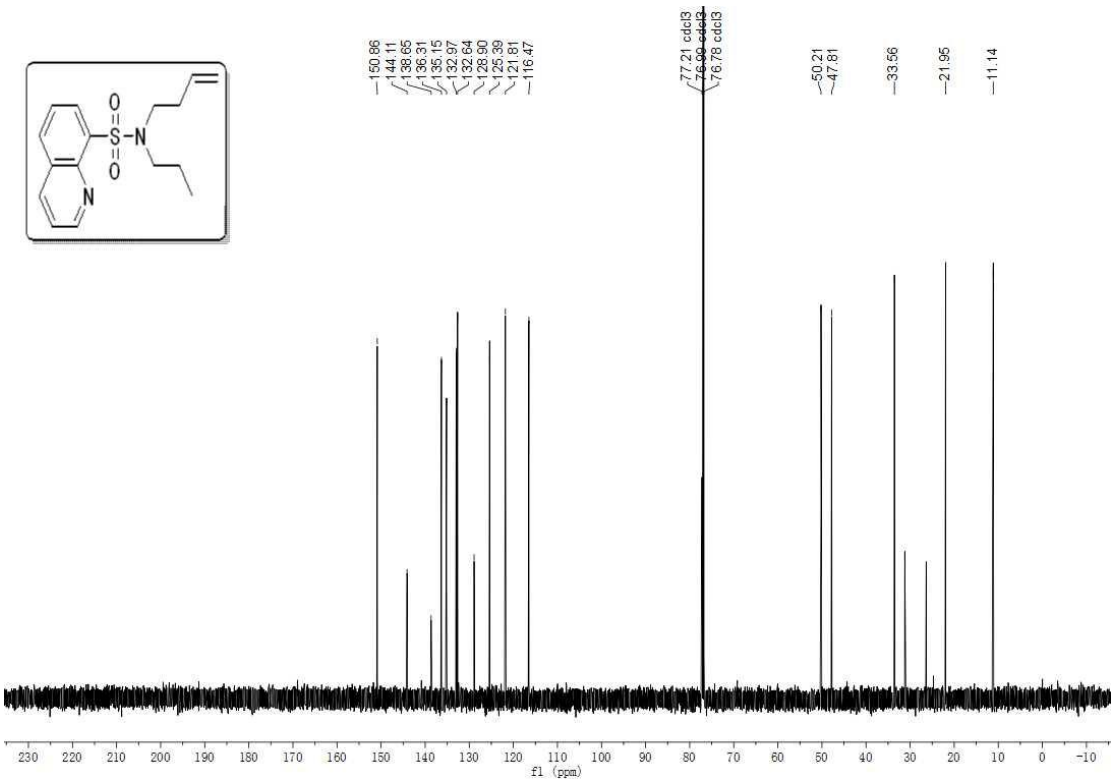
$^{13}$C NMR spectrum of **4f**



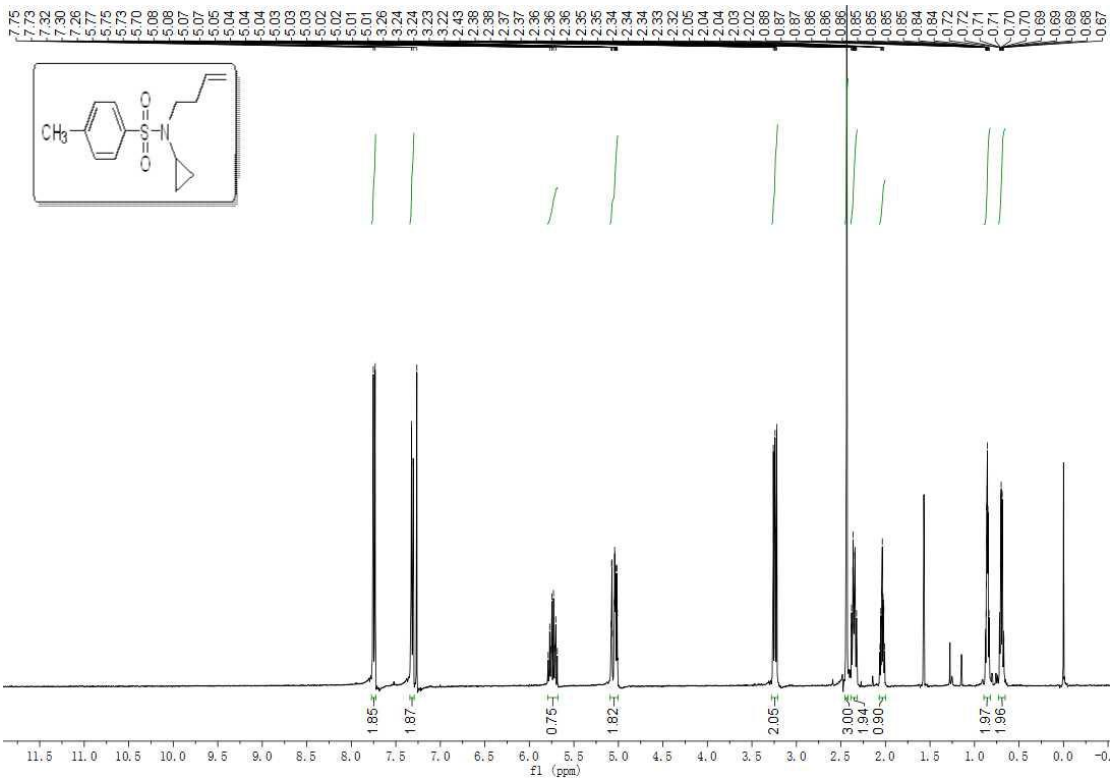
$^{1}$H NMR spectrum of **4g**



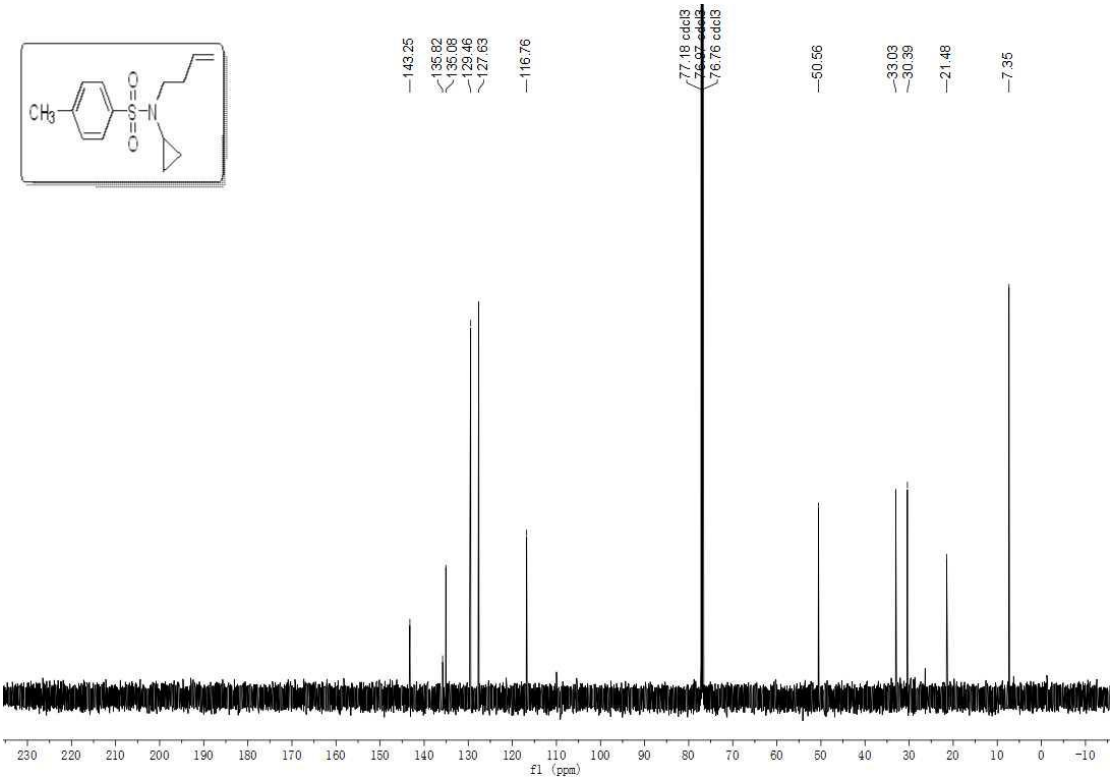
$^{13}$C NMR spectrum of **4g**



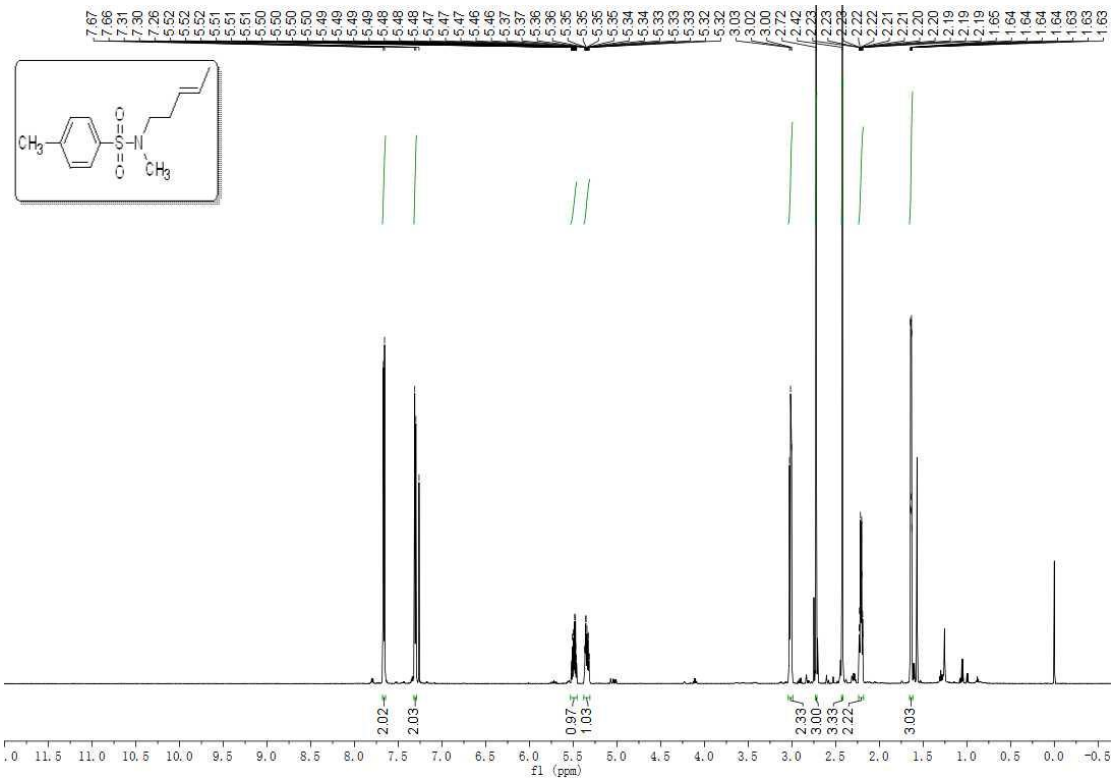
$^{1}$H NMR spectrum of **4h**



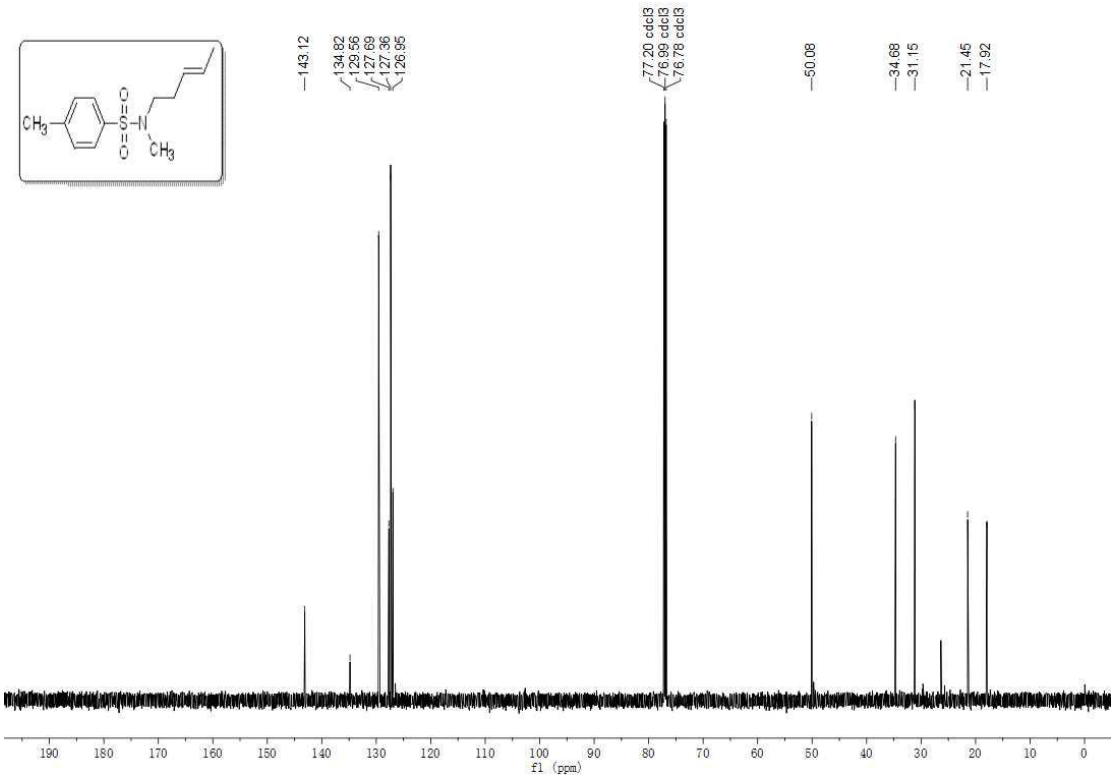
$^{13}$C NMR spectrum of **4h**



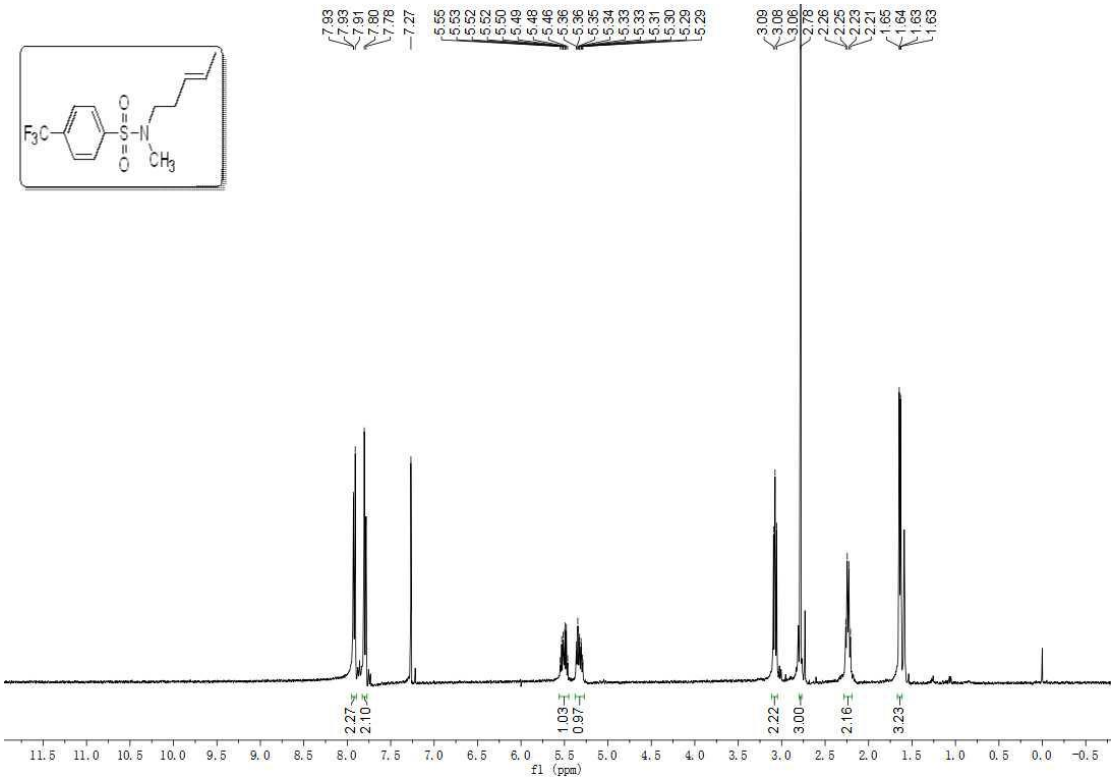
$^{1}$H NMR spectrum of **4i**



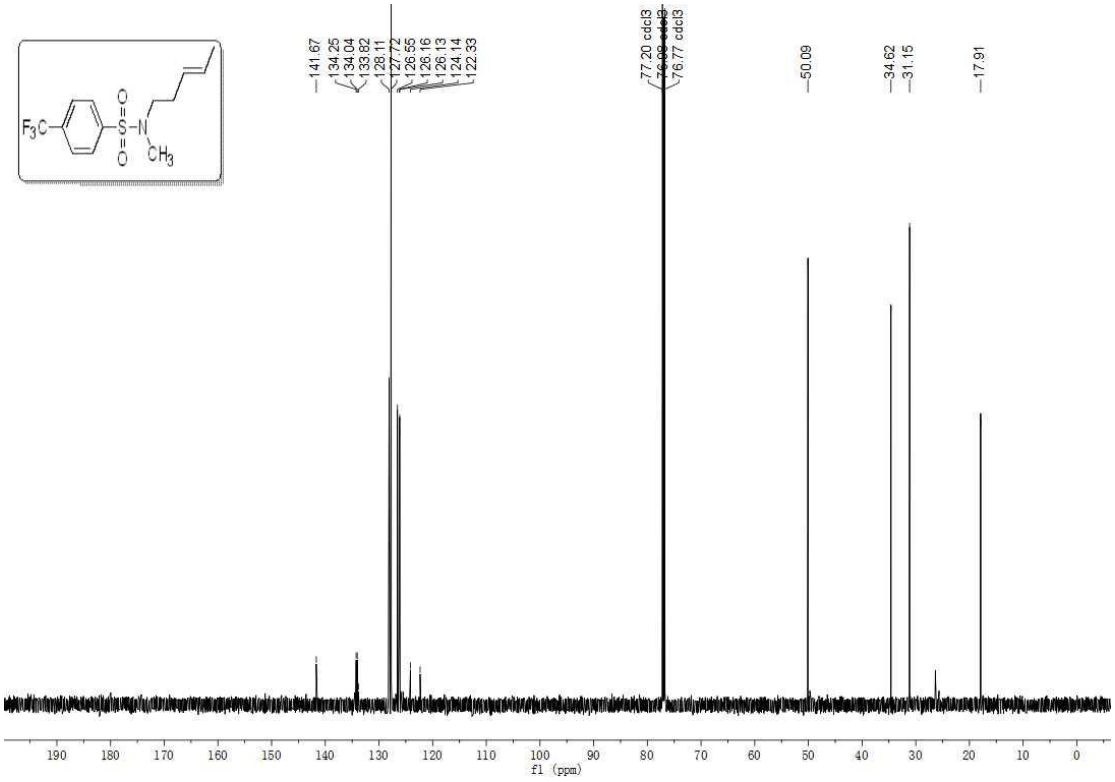
$^{13}$C NMR spectrum of **4i**



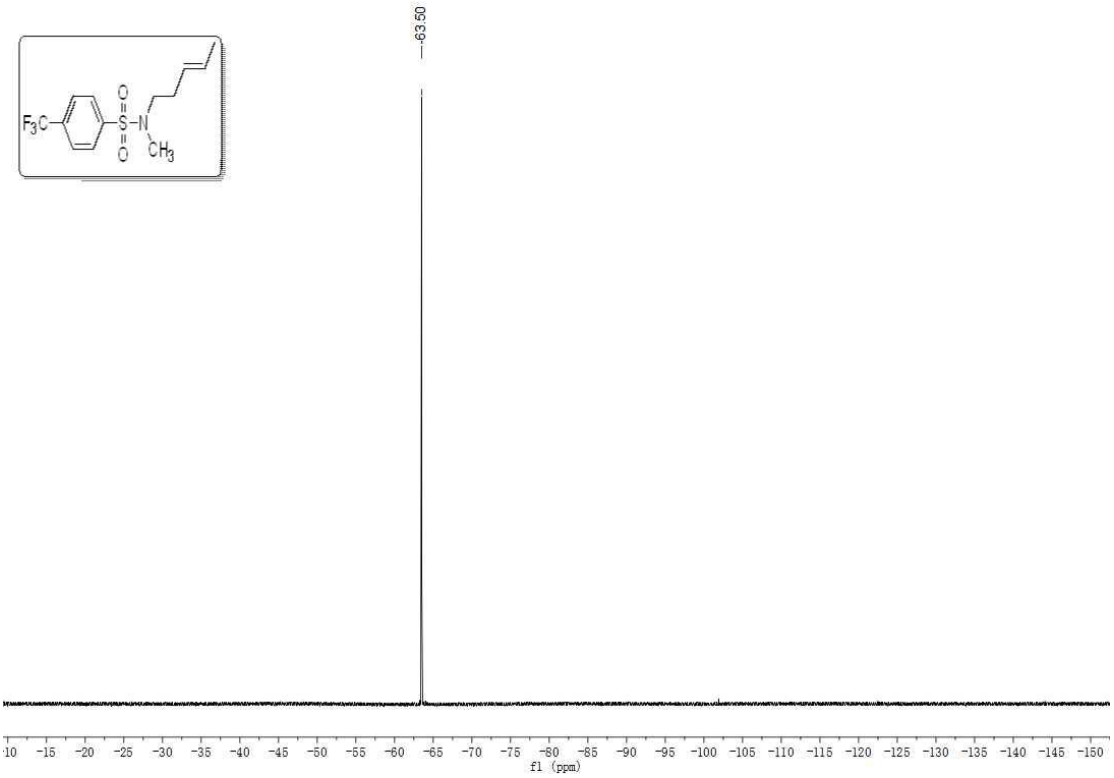
$^{1}$H NMR spectrum of **4j**



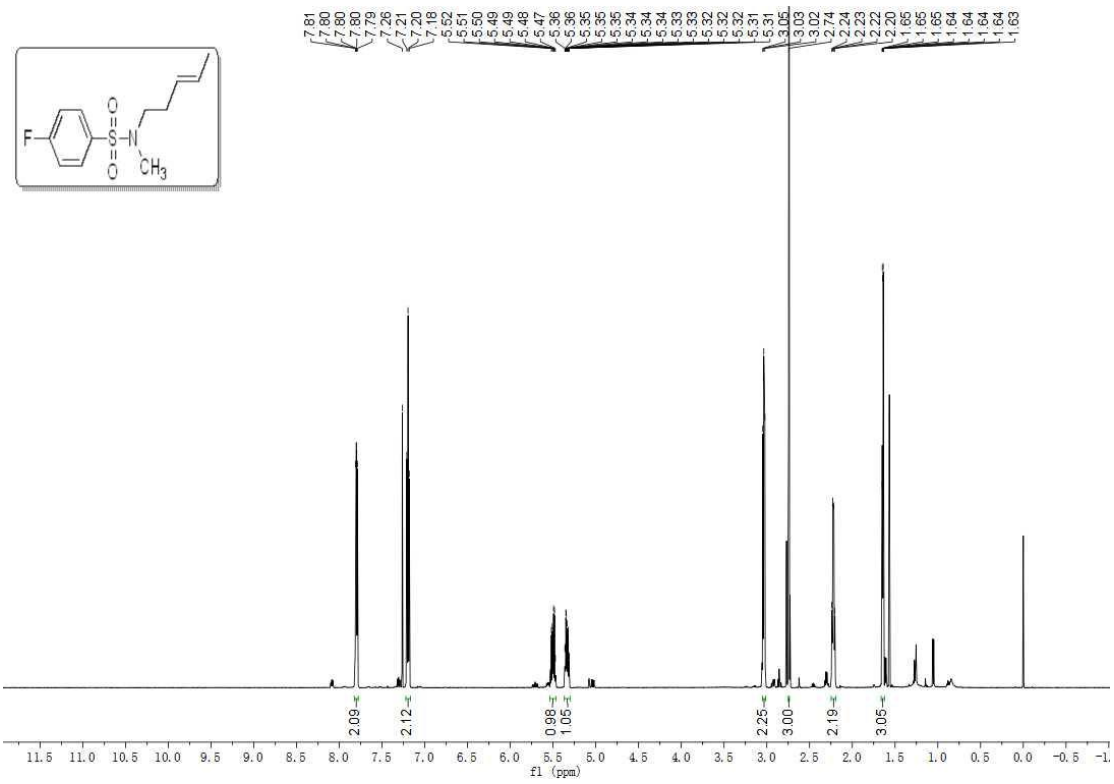

$^{13}$C NMR spectrum of **4j**



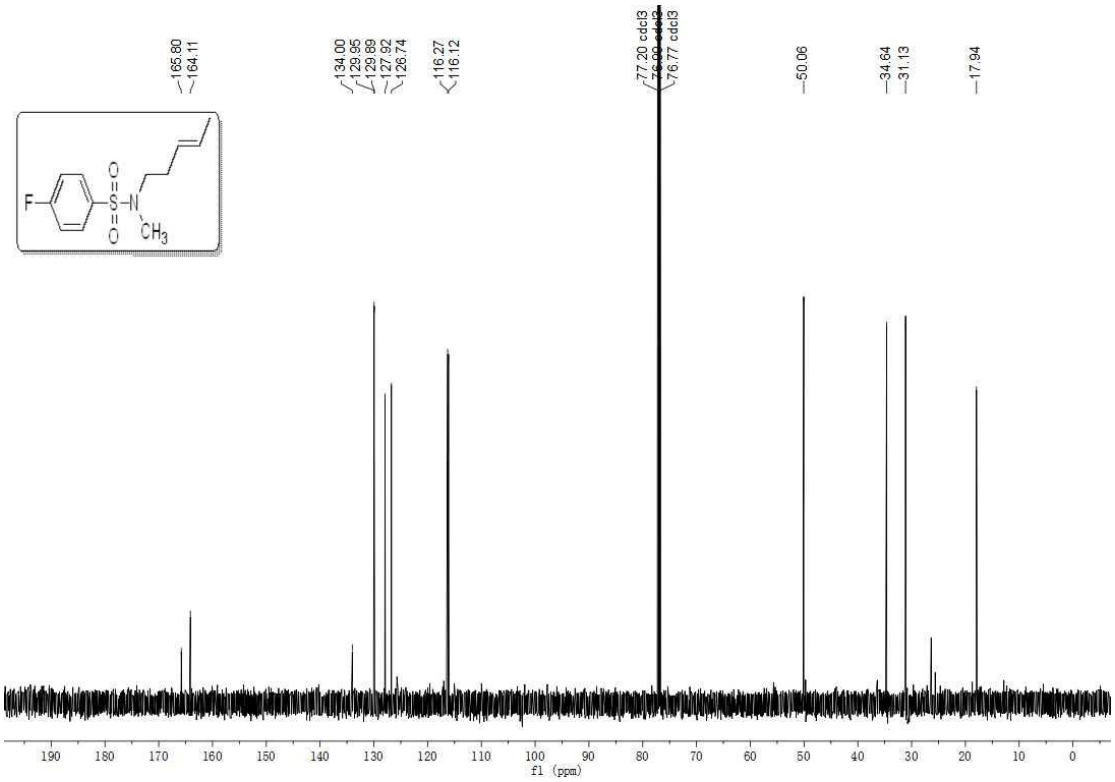
$^{19}$F NMR spectrum of **4j**



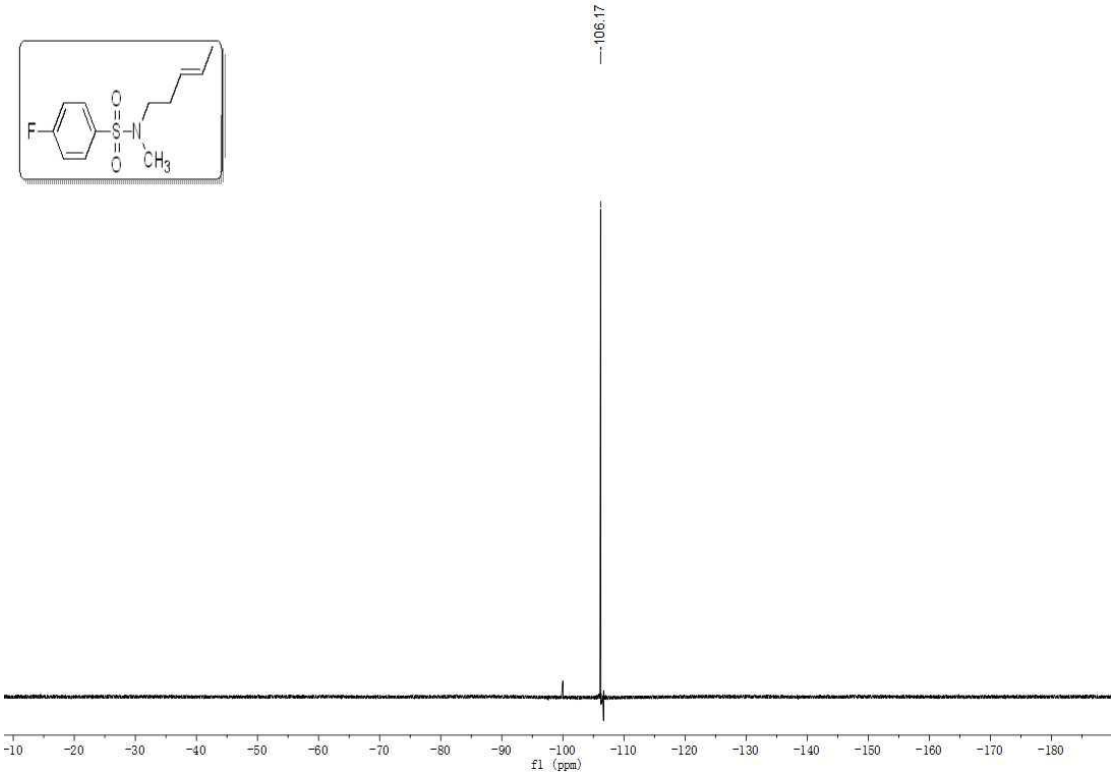

$^{1}$H NMR spectrum of **4k**



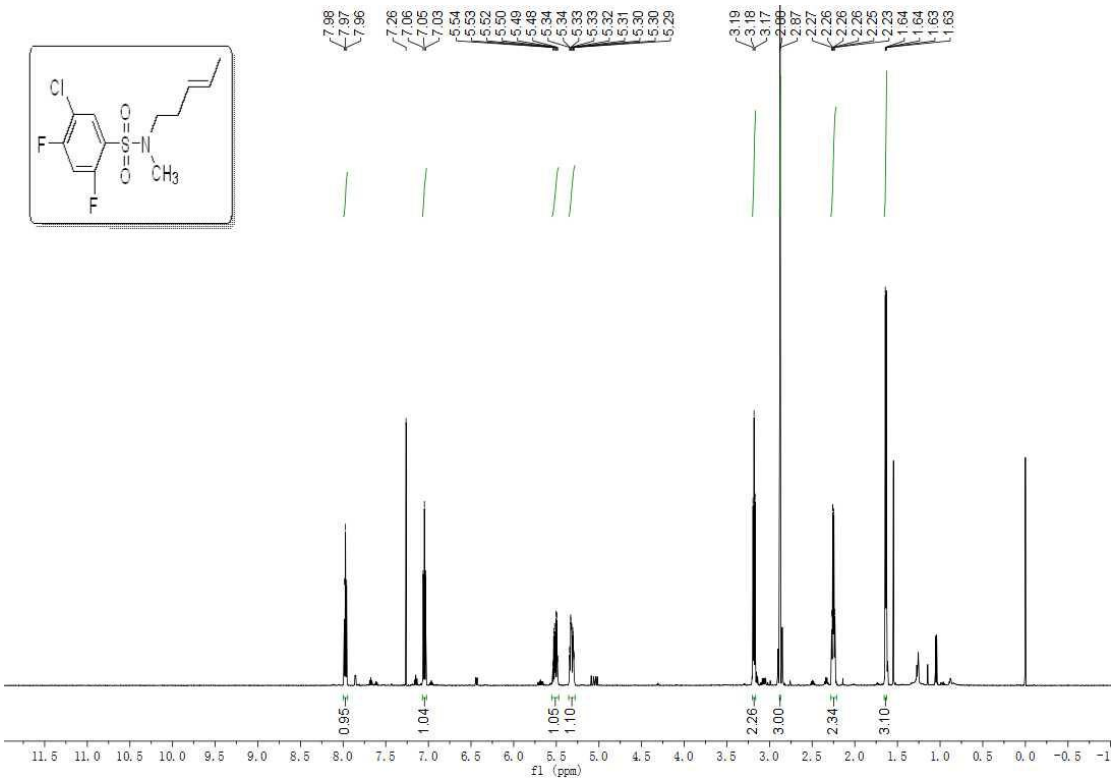
$^{13}$C NMR spectrum of **4k**



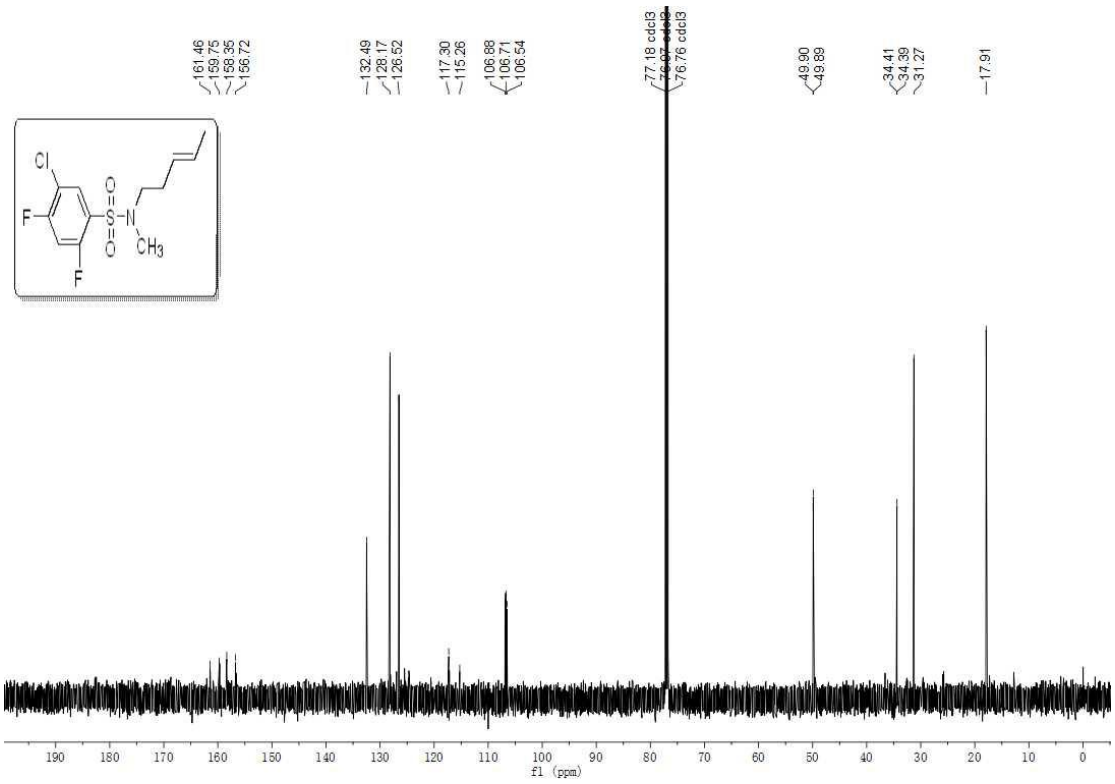

$^{19}$F NMR spectrum of **4k**



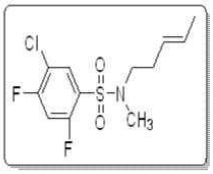
$^{1}$H NMR spectrum of **4l**



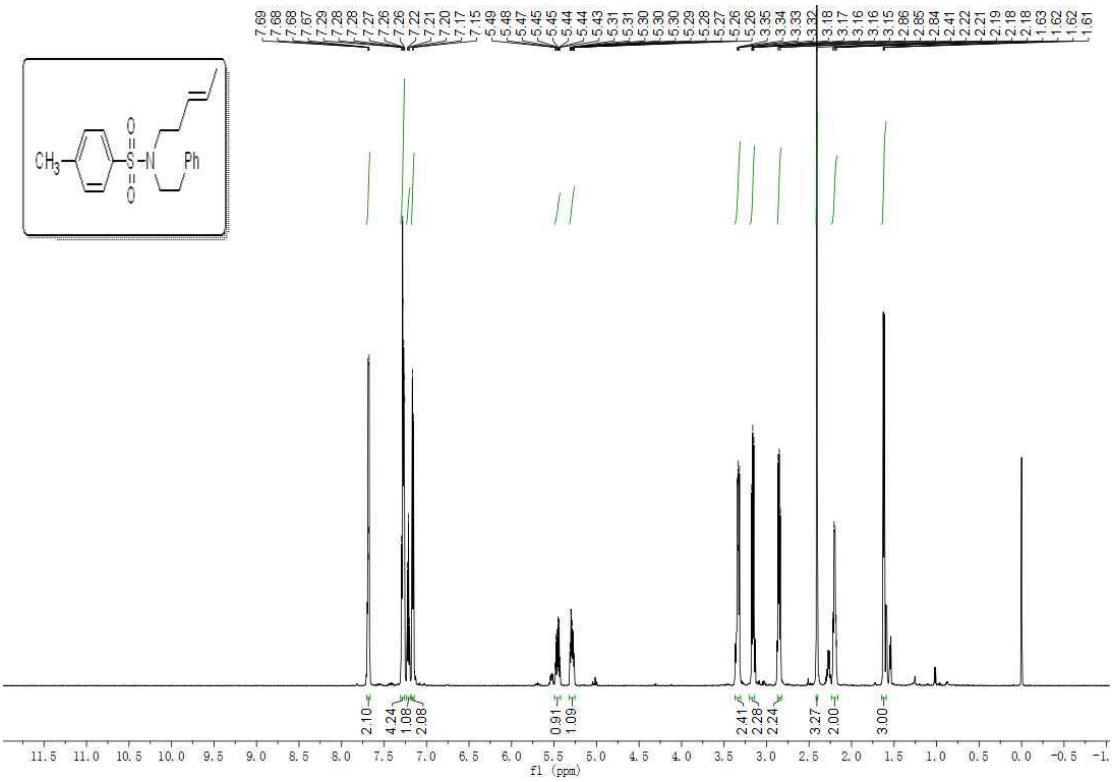

$^{13}$C NMR spectrum of **4l**



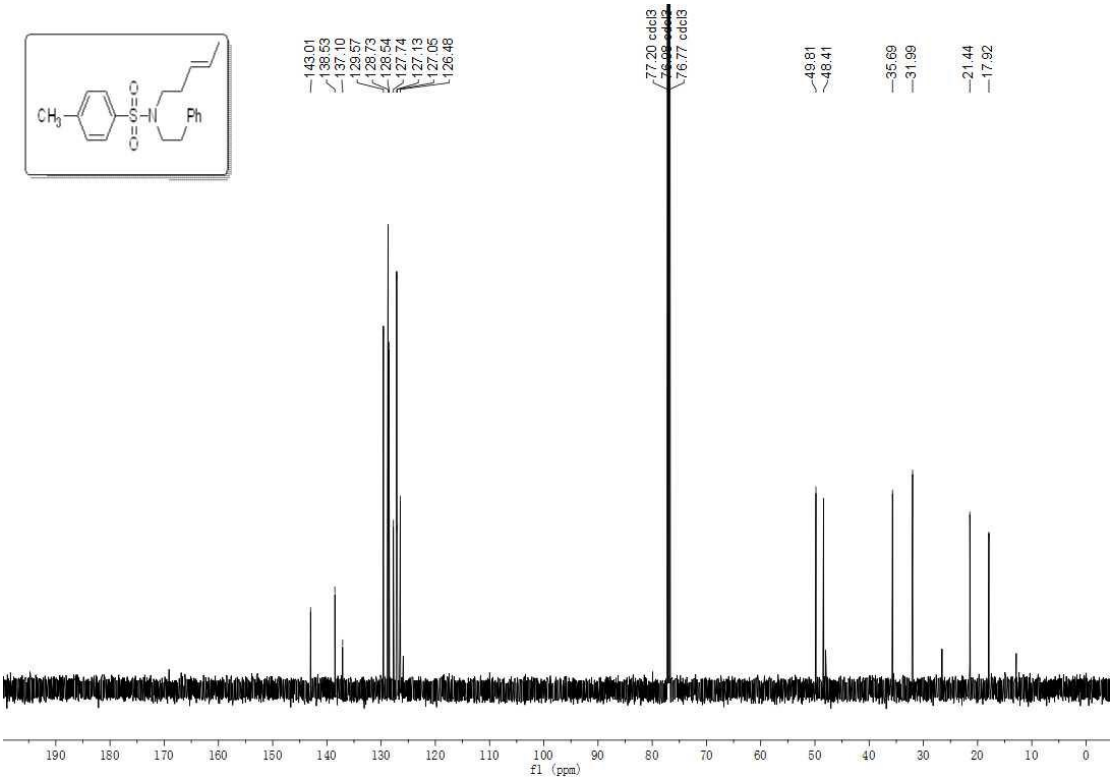
$^{19}$F NMR spectrum of **4l**



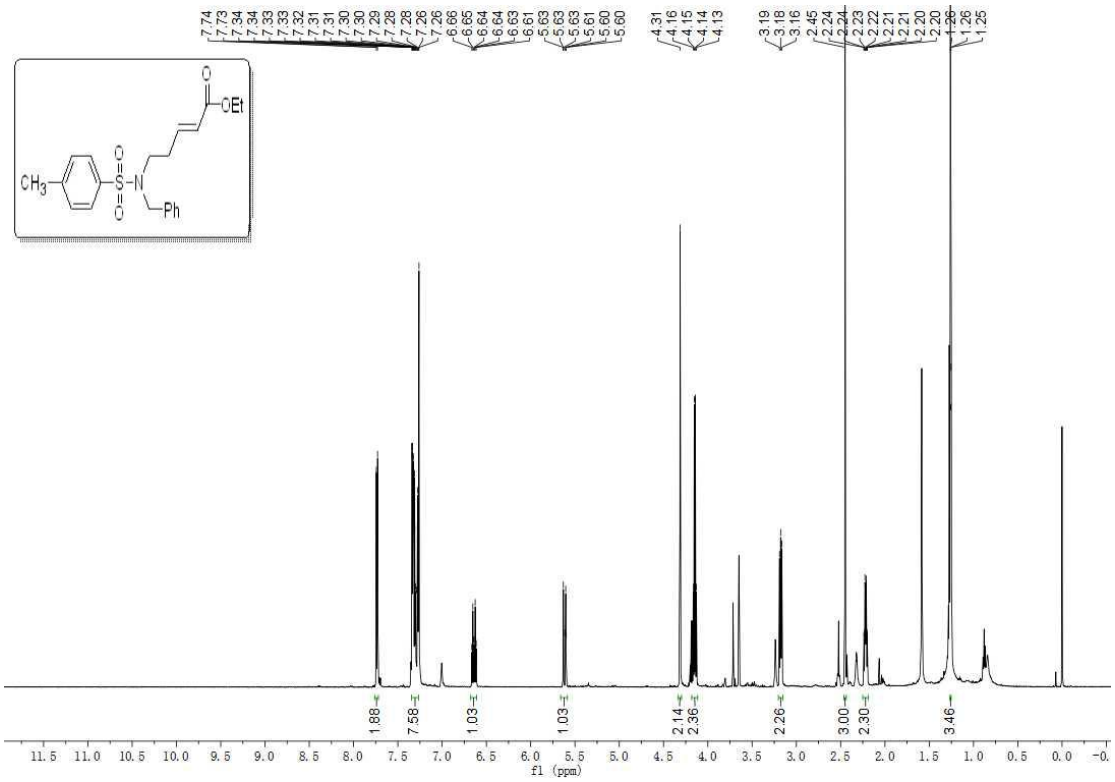
$^{1}$H NMR spectrum of **4m**



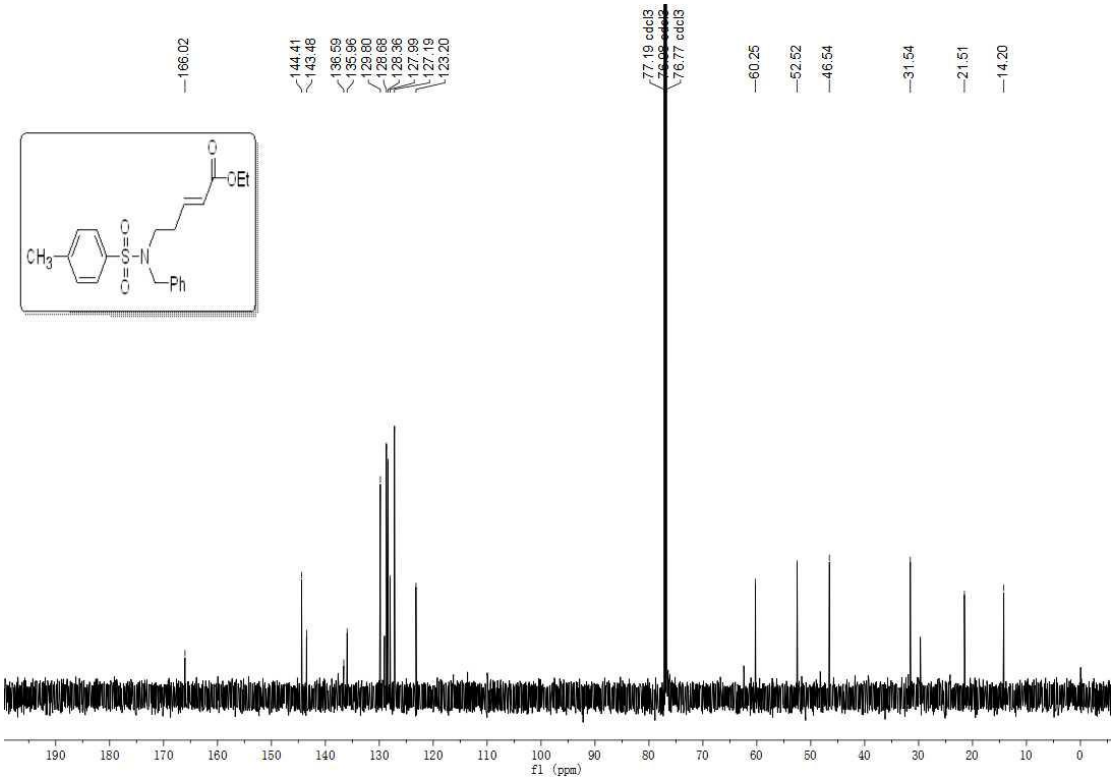
$^{13}$C NMR spectrum of **4m**



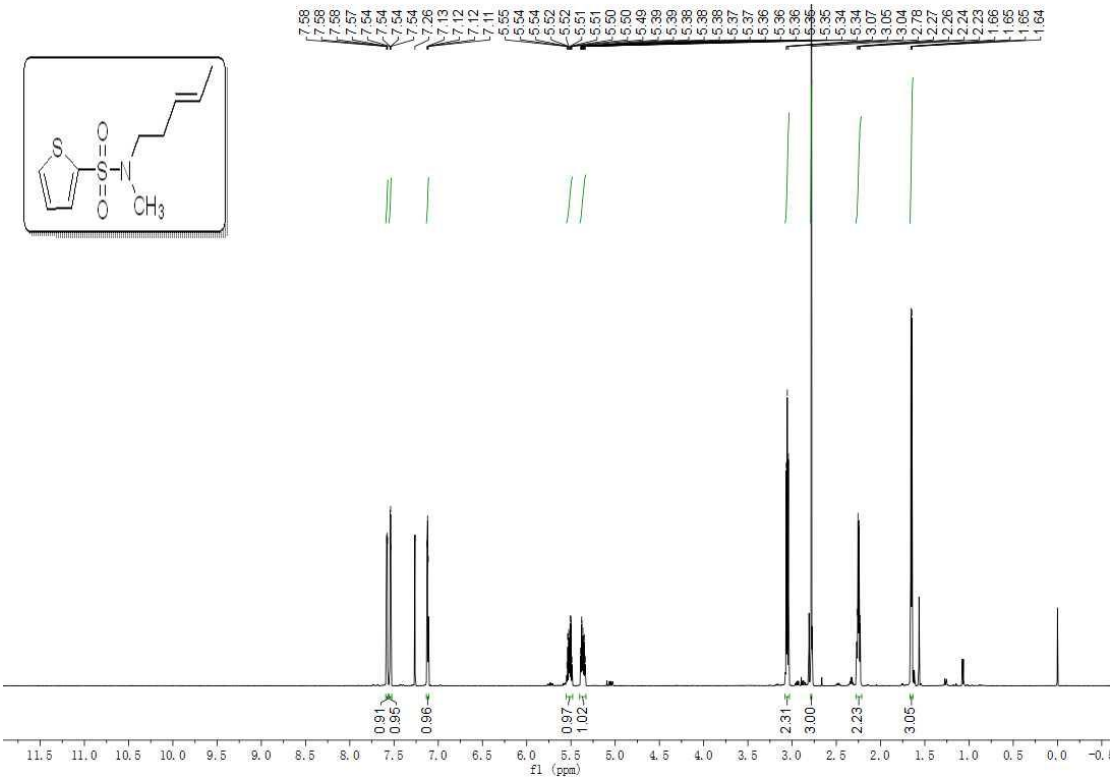
$^{1}$H NMR spectrum of **4n**



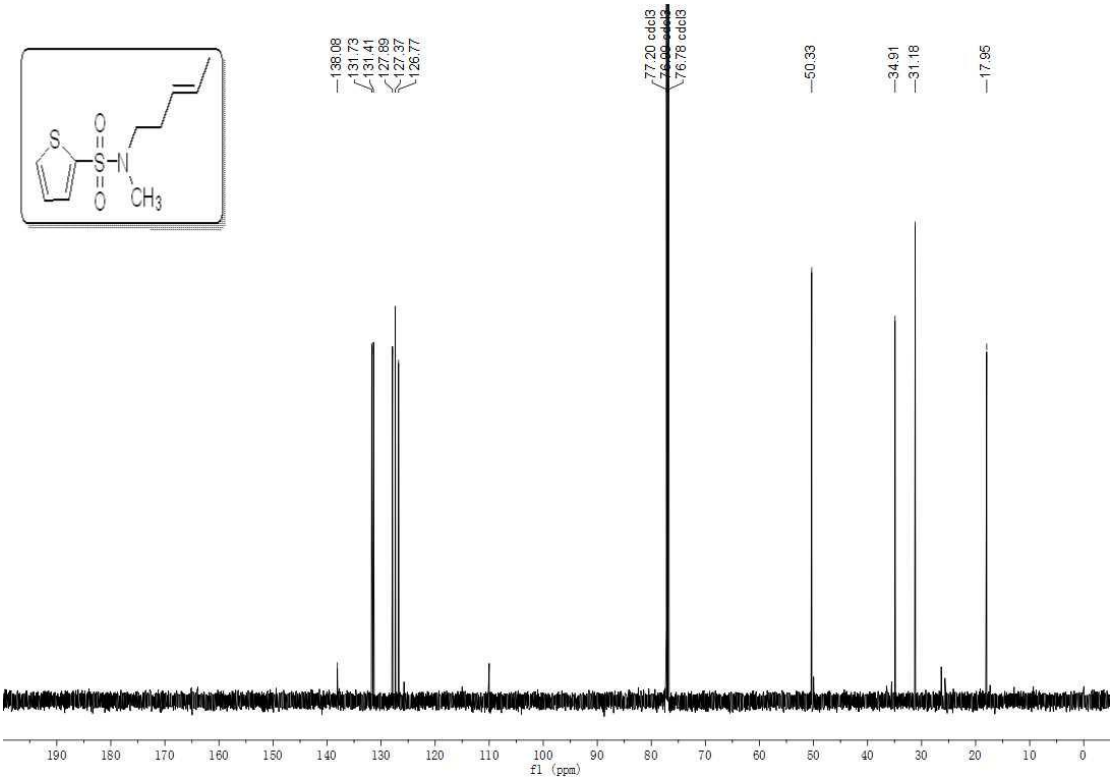
$^{13}$C NMR spectrum of **4n**



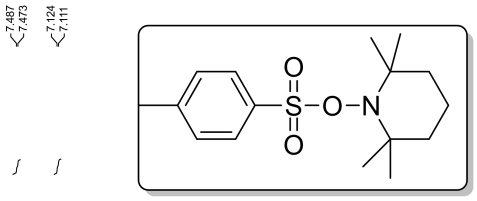
$^{1}$H NMR spectrum of **4n**

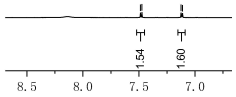


$^{13}$C NMR spectrum of **4n**



$^{1}$H NMR spectrum of **14**




$^{13}$C NMR spectrum of **14**