

Metal- and additive-free β -C(sp²)-H decarboxylative alkylsulfonylation of enamides from phenyliodine(III) dicarboxylates and sulfur dioxide

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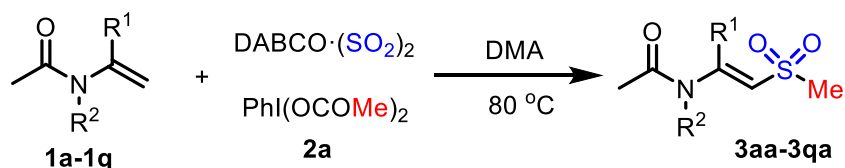
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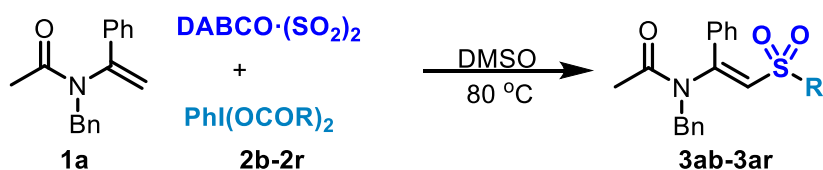
General Information

All reactions were carried out in oven dried Schlenk tubes under nitrogen atmosphere. Unless otherwise stated, all commercial reagents were used as received. All solvents were dried and distilled according to standard procedures. ^1H , ^{19}F , ^{13}C NMR spectra were recorded in CDCl_3 on Bruker Avance 400 MHz spectrometers. High resolution mass spectra (HRMS) were obtained using a commercial apparatus (ESI Source). Electrospray-ionisation HRMS data were acquired on a Q-ToF mass spectrometer (Waters SYNAPT G2-Si) LC-MS TOF. NMR spectra were taken using CDCl_3 (^1H , $\delta = 7.26$) and CDCl_3 (^{13}C , CPD $\delta = 77.0$) as the internal standards, respectively. Column chromatography was generally performed on silica gel (300-400 mesh) and reactions were monitored by thin layer chromatography (TLC) using UV light to visualize the course of the reactions.

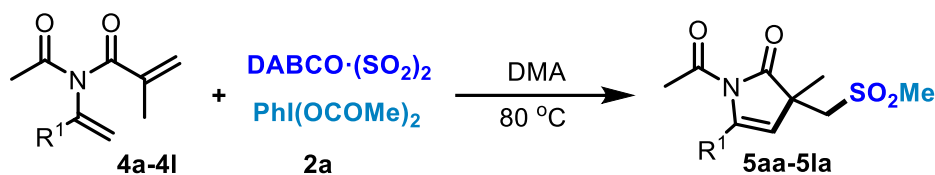
General procedures for the synthesis of alkylsulfonylated enamides and alkylsulfonylated pyrrolidones



Enamides **1a-1q** (0.3 mmol), phenyliodine(III) dicarboxylate **2a** (0.75 mmol, 2.5 equiv) and DABCO (SO_2)₂ (0.45 mmol, 1.5 equiv) were added sequentially into Schlenk tube under nitrogen. DMA (1.5 mL) was added rapidly by syringe. The resulting mixture was allowed to stir in the oil bath at $80\text{ }^\circ\text{C}$ for 1 hour. Then, the reaction mixture was poured into saturated sodium bicarbonate solution and the aqueous layer was extracted with DCM (10 mL x 3). The combined organic layer was dried over sodium sulfate, and concentrated under reduced pressure. The residue was purified by flash column chromatography to provide the target product **3aa-3qa**.

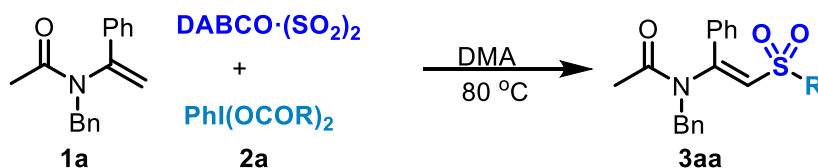


N-Acetyl-*N*-(1-phenylvinyl)methacrylamide **1a** (0.3 mmol), phenyliodine(III) dicarboxylates **2b-2r** (0.75 mmol, 2.5 equiv) and DABCO (SO_2)₂ (0.45 mmol, 1.5 equiv) were added sequentially into Schlenk tube under nitrogen. DMA (1.5 mL) was added rapidly by syringe. The resulting mixture was allowed to stir in the oil bath at $80\text{ }^\circ\text{C}$ for 1 hour. Then, the reaction mixture was poured into saturated sodium bicarbonate solution and the aqueous layer was extracted with DCM (10 mL x 3). The combined organic layer was dried over sodium sulfate, and concentrated under reduced pressure. The residue was purified by flash column chromatography to provide the target product **3ab-3ar**.



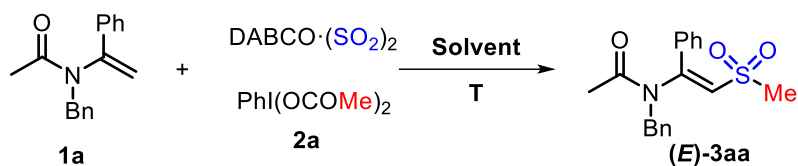
N-Methacryloyl enamides **4a-4l** (0.3 mmol), phenyliodine(III) dicarboxylate **2a** (0.75 mmol, 2.5 equiv) and DABCO (SO₂)₂ (0.45 mmol, 1.5 equiv) were added sequentially into Schlenk tube under nitrogen. DMA (1.5 mL) was added rapidly by syringe. The resulting mixture was allowed to stir in the oil bath at 80 °C for 1 hour. Then the reaction mixture was poured into saturated sodium bicarbonate solution and the aqueous layer was extracted with DCM (10 mL x 3). The combined organic layer was dried over sodium sulfate, and concentrated under reduced pressure. The residue was purified by flash column chromatography to obtain the target product **5aa-5la**.

Immol-scale synthesis of 3aa



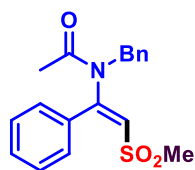
N-Acetyl-*N*-(1-phenylvinyl)methacrylamide **1a** (1.0 mmol), phenyliodine(III) dicarboxylate **2a** (2.5 mmol, 2.5 equiv) and DABCO (SO₂)₂ (1.5 mmol, 1.5 equiv) were added sequentially into Schlenk tube under nitrogen. DMA (5.0 mL) was added rapidly by syringe. The resulting mixture was allowed to stir in the oil bath at 80 °C for 1 hour. Then, the reaction mixture was poured into saturated sodium bicarbonate solution and the aqueous layer was extracted with DCM (50 mL x 3). The combined organic layer was dried over sodium sulfate, and concentrated under reduced pressure. The residue was purified by column chromatography (PE/EA = 2-1) to obtain the target product **3aa** in 75% yield.

Optimization of reaction conditions

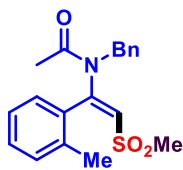


Entry	DABSO (equiv)	PhI(OCOMe) ₂ (equiv)	Solvent	T (° C)	Yield (%)
1	1.5	1.5	MeCN	80	52
2	1.5	1.5	DMF	80	47
3	1.5	1.5	DMA	80	62
4	1.5	1.5	NMP	80	49
5	1.5	1.5	DMSO	80	47
6	1.5	1.5	EA	80	trace
7	1.5	1.5	DCE	80	trace
8	1.5	1.5	Toluene	80	trace
9	1.5	1.5	1,4-dioxane	80	trace
10	1.2	1.5	DMA	80	41
11	2.0	1.5	DMA	80	42
12	1.5	1.2	DMA	80	31
13	1.5	2.0	DMA	80	75
14	1.5	2.5	DMA	80	81
15	1.5	3.0	DMA	80	76
16	1.5	2.5	DMA	60	28
17	1.5	2.5	DMA	100	65

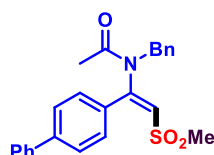
Characterization data for products



(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-phenylvinyl)acetamide (**3aa**): 78.1 mg, 79% yield, white solid. Purification by flash chromatography (PE/EA = 2-1). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 7.57-7.43 (m, 5H), 7.36 – 7.23 (m, 3H), 7.20- 7.12 (m, 2H), 6.21 (s, 1H), 4.62 (s, 2H), 2.67 (s, 3H), 2.20 (s, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ ppm 170.2, 151.9, 136.2, 132.1, 131.7, 129.8, 128.74, 128.70, 128.4, 127.9, 127.5, 50.5, 43.2, 23.2; **HRMS (ESI)** m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{18}\text{H}_{19}\text{NNaO}_3\text{S}^+$ 352.0983, found 352.0988.

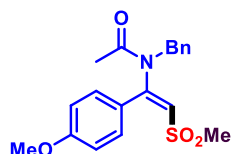


(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(*o*-tolyl)vinyl)acetamide (**3ba**): 34.0 mg, 33% yield, white solid. Purification by flash chromatography (PE/EA = 2-1). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 7.39 (td, $J = 7.5, 1.6$ Hz, 1H), 7.35 – 7.17 (m, 6H), 7.09 – 7.02 (m, 2H), 6.49 (s, 1H), 4.55 (s, 2H), 2.70 (s, 3H), 2.34 (s, 3H), 2.25 (s, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ ppm 170.8, 152.2, 137.0, 136.4, 131.3, 131.2, 130.9, 130.8, 128.7, 127.6, 127.0, 125.7, 125.3, 50.1, 43.8, 23.7, 19.7; **HRMS (ESI)** m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{19}\text{H}_{21}\text{NNaO}_3\text{S}^+$ 366.1140, found 366.1149.

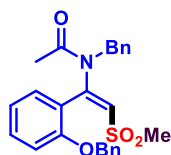


(*E*)-*N*-(1-([1,1'-biphenyl]-4-yl)-2-(methylsulfonyl)vinyl)-*N*-benzylacetamide (**3ca**): 98.6 mg, 81% yield, white solid. Purification by flash chromatography (PE/EA = 2-1). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 7.69 (d, $J = 8.3$ Hz, 2H), 7.65-7.60 (m, 2H), 7.57

(d, $J = 8.2$ Hz, 2H), 7.47 (t, $J = 7.4$ Hz, 2H), 7.43 – 7.37 (m, 1H), 7.36 – 7.24 (m, 3H), 7.23 – 7.16 (m, 2H), 6.21 (s, 1H), 4.67 (s, 2H), 2.72 (s, 3H), 2.22 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.3, 151.7, 144.5, 139.5, 136.4, 130.9, 130.5, 129.0, 128.8, 128.6, 128.3, 128.0, 127.5, 127.4, 127.2, 50.7, 43.4, 23.3; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{24}\text{H}_{23}\text{NNaO}_3\text{S}^+$ 428.1296, found 428.1298.

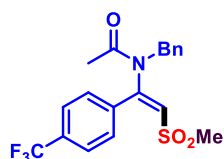


(*E*)-*N*-benzyl-*N*-(1-(4-methoxyphenyl)-2-(methylsulfonyl)vinyl)acetamide (**3da**): 87.4 mg, 81% yield, (*E/Z* = 77:23), white solid. Purification by flash chromatography (PE/EA = 1). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.50 – 7.42 (m, 1.54H for *E*-isomer), 7.36 – 7.15 (m, 5H+0.46H for *Z*-isomer), 7.02 – 6.92 (m, 2H), 6.45 (s, 0.23H for *Z*-isomer), 6.10 (s, 0.77H for *E*-isomer), 5.40 (d, $J = 14.2$ Hz, 0.23H for *Z*-isomer), 4.64 (s, 1.54H for *E*-isomer), 4.07 (d, $J = 14.2$ Hz, 0.23H for *Z*-isomer), 3.91 – 3.83 (m, 3H), 2.69 (s, 2.31H for *E*-isomer), 2.32 (s, 0.69H for *Z*-isomer), 2.22 (s, 0.69H for *Z*-isomer), 2.16 (s, 2.31H for *E*-isomer); ^{13}C NMR (100 MHz, CDCl_3) for mixture δ ppm 170.4, 162.5, 162.4, 151.8, 150.7, 136.4, 136.3, 131.7, 130.6, 129.2, 128.7, 128.6, 128.3, 128.0, 127.9, 126.1, 125.9, 124.1, 122.0, 114.9, 114.3, 55.6, 55.5, 50.7, 50.5, 43.3, 43.2, 23.3, 23.2; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{19}\text{H}_{21}\text{NNaO}_4\text{S}^+$ 382.1089, found 382.1097.

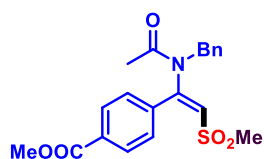


(*E*)-*N*-benzyl-*N*-(1-(2-(benzyloxy)phenyl)-2-(methylsulfonyl)vinyl)acetamide (**3ea**): yield: 86.7 mg, 66% yield, (*E/Z* = 88:12), white solid. Purification by flash chromatography (PE/EA = 2-1). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.51 – 7.14 (m, 12H), 7.07 – 6.99 (m, 2H), 6.44 (s, 0.12H for *Z*-isomer), 6.09 (s, 0.88H for *E*-isomer), 5.40 (d, $J = 14.1$ Hz, 0.12H for *Z*-isomer), 5.10 (s, 2H), 4.64 (s, 1.76H for *E*-isomer),

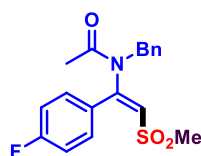
4.06 (d, $J = 14.2$ Hz, 0.12H for *Z*-isomer), 2.68 (s, 2.64H for *E*-isomer), 2.31 (s, 0.36H for *Z*-isomer), 2.22 (s, 0.36H for *Z*-isomer), 2.16 (s, 2.64H for *E*-isomer); ^{13}C NMR (100 MHz, CDCl_3) for mixture δ ppm 170.33, 170.29, 161.64, 161.56, 151.6, 150.7, 136.3, 136.2, 136.0, 135.9, 131.7, 130.6, 129.2, 128.71, 128.69, 128.5, 128.3, 128.2, 127.93, 127.88, 127.6, 127.5, 126.2, 124.3, 122.1, 115.7, 115.0, 70.3, 70.2, 50.7, 50.4, 43.23, 43.16, 23.22, 22.17; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{25}\text{H}_{25}\text{NNaO}_4\text{S}^+$ 458.1402, found 458.1405.



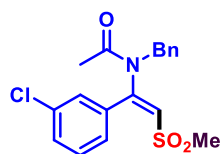
(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(4-(trifluoromethyl)phenyl)vinyl)acetamide (**3fa**): 81.1 mg, 68% yield, white solid. Purification by flash chromatography (PE/EA = 2-1). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.70 (d, $J = 8.1$ Hz, 2H), 7.57 (d, $J = 8.0$ Hz, 2H), 7.37 – 7.27 (m, 3H), 7.18 – 7.11 (m, 2H), 6.31 (s, 1H), 4.61 (s, 2H), 2.77 (s, 3H), 2.24 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.1, 150.5, 135.9, 135.7, 133.1 (q, $J = 33.0$ Hz), 130.2, 128.9, 128.22, 128.18, 128.1, 125.5 (q, $J = 3.7$ Hz), 123.43 (q, $J = 271.3$ Hz), 50.7, 43.6, 23.2; ^{19}F NMR (376 MHz, CDCl_3) δ -62.99 (s); HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{19}\text{H}_{18}\text{NNaO}_3\text{S}^+$ 420.0857, found 420.0858.



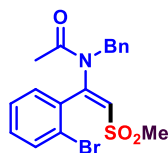
methyl (*E*)-4-(1-(*N*-benzylacetamido)-2-(methylsulfonyl)vinyl)benzoate (**3ga**): 78.2 mg, 67% yield, white solid. Purification by flash chromatography (PE/EA = 1). ^1H NMR (400 MHz, CDCl_3) δ ppm 8.11 (d, $J = 8.3$ Hz, 2H), 7.53 (d, $J = 8.3$ Hz, 2H), 7.36 – 7.27 (m, 3H), 7.18 – 7.10 (m, 2H), 6.29 (s, 1H), 4.61 (s, 2H), 3.95 (s, 3H), 2.74 (s, 3H), 2.23 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.2, 166.0, 151.0, 136.5, 136.0, 132.8, 129.9, 129.8, 128.9, 128.3, 128.14, 128.11, 52.5, 50.7, 43.6, 23.3; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{20}\text{H}_{21}\text{NNaO}_5\text{S}^+$ 410.1038, found 410.1043.



(*E*)-*N*-benzyl-*N*-(1-(4-fluorophenyl)-2-(methylsulfonyl)vinyl)acetamide (**3ha**): 67.8 mg, 65% yield, white solid. Purification by flash chromatography (PE/EA = 2-1). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.52 – 7.42 (m, 2.0 Hz, 2H), 7.38 – 7.24 (m, 3H), 7.19 – 7.10 (m, 4H), 6.21 (d, $J = 1.8$ Hz, 1H), 4.61 (s, 2H), 2.72 (s, 3H), 2.19 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.0, 164.4 (d, $J = 252.0$ Hz), 150.8, 136.1, 132.0 (d, $J = 8.0$ Hz), 128.7, 128.2, 128.0 (d, $J = 4.0$ Hz), 128.8, 127.1, 115.9 (d, $J = 22.0$ Hz), 50.4, 43.2, 23.1; ^{19}F NMR (376 MHz, CDCl_3) δ -106.55 - -106.95 (m); HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{18}\text{H}_{18}\text{NNaO}_3\text{SF}^+$ 370.0889, found 370.0891.

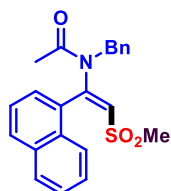


(*E*)-*N*-benzyl-*N*-(1-(3-chlorophenyl)-2-(methylsulfonyl)vinyl)acetamide (**3ia**): 51.5 mg, 47% yield, white solid. Purification by flash chromatography (PE/EA = 2-1). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.52 -7.46 (m, 1H), 7.43 – 7.25 (m, 6H), 7.21 – 7.11 (m, 2H), 6.26 (s, 1H), 4.60 (s, 2H), 2.74 (s, 3H), 2.22 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.0, 150.3, 136.0, 134.6, 133.8, 131.5, 129.8, 129.1, 128.7, 128.4, 128.2, 127.93, 127.87, 50.5, 43.4, 23.1; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{18}\text{H}_{18}^{35}\text{ClNNaO}_3\text{S}^+$ 386.0594, found 386.0596, $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{18}\text{H}_{18}^{37}\text{ClNNaO}_3\text{S}^+$ 388.0559, found 388.0567.

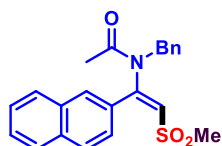


(*E*)-*N*-benzyl-*N*-(1-(2-bromophenyl)-2-(methylsulfonyl)vinyl)acetamide (**3ja**): 43.0 mg, 35% yield, light yellow solid. Purification by flash chromatography (PE/EA = 2-1). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.63 (dd, $J = 5.6, 3.6$ Hz, 1H), 7.37 – 7.25

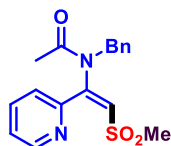
(m, 6H), 7.05 (d, $J = 6.8$ Hz, 2H), 6.50 (s, 1H), 4.87 – 4.21 (m, 2H), 2.80 (s, 3H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.9, 151.4, 136.3, 134.4, 133.2, 132.2, 132.1, 128.7, 127.6, 127.0, 126.9, 125.3, 121.8, 50.5, 43.8, 23.7. **HRMS (ESI)** m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{18}\text{H}_{18}^{79}\text{BrNNaO}_3\text{S}^+$ 430.0088, found 430.0091, $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{18}\text{H}_{18}^{81}\text{BrNNaO}_3\text{S}^+$ 432.0068, found 432.0074.



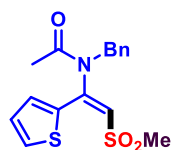
(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(naphthalen-2-yl)vinyl)acetamide (**3ka**): 53.7 mg, 47% yield, white solid. Purification by flash chromatography (PE/EA = 2-1). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.88 (dd, $J = 7.5, 1.9$ Hz, 1H), 7.85 – 7.79 (m, 1H), 7.70 – 7.63 (m, 1H), 7.49 – 7.41 (m, 2H), 7.41 – 7.32 (m, 2H), 7.25 – 7.13 (m, 3H), 6.97 – 6.90 (m, 2H), 6.74 (s, 1H), 4.35 (br, 2H), 2.50 (s, 3H), 2.31 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.9, 150.4, 136.4, 133.2, 131.4, 130.8, 130.6, 128.9, 128.7, 128.4, 127.6, 127.5, 127.3, 126.7, 126.6, 124.7, 123.7, 50.3, 43.6, 23.8.; **HRMS (ESI)** m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{22}\text{H}_{21}\text{NNaO}_3\text{S}^+$ 402.1140, found 402.1145.



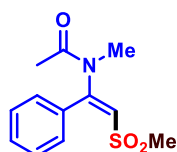
(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(naphthalen-2-yl)vinyl)acetamide (**3la**): 89.9 mg, 79% yield, white solid. Purification by flash chromatography (PE/EA = 2-1). ^1H NMR (400 MHz, CDCl_3) δ ppm 8.03 (d, $J = 1.8$ Hz, 1H), 7.95 – 7.85 (m, 3H), 7.64 – 7.54 (m, 2H), 7.51 (dd, $J = 8.5, 1.8$ Hz, 1H), 7.37 – 7.25 (m, 3H), 7.22 – 7.14 (m, 2H), 6.30 (s, 1H), 4.66 (s, 2H), 2.67 (s, 3H), 2.24 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.3, 151.9, 136.3, 134.4, 132.4, 131.0, 129.3, 128.9, 128.71, 128.68, 128.4, 128.2, 127.9, 127.78, 127.77, 127.1, 125.5, 50.7, 43.2, 23.3. **HRMS (ESI)** m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{22}\text{H}_{21}\text{NNaO}_3\text{S}^+$ 402.1140, found 402.1145.



(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(pyridin-2-yl)vinyl)acetamide (**3ma**): 50.4 mg, 51% yield (*E/Z* = 91:9), light yellow oil. Purification by flash chromatography (PE/EA = 1). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 9.11 (d, $J = 2.0$ Hz, 0.09H for *Z*-isomer), 8.70 (ddd, $J = 4.8, 1.5, 0.9$ Hz, 0.91H), 8.18 (dd, $J = 8.3, 2.3$ Hz, 0.09H for *Z*-isomer), 7.76 (td, $J = 7.8, 1.7$ Hz, 0.91H), 7.46 – 7.09 (m, 7H), 6.50 (s, 0.09H for *Z*-isomer), 6.24 (s, 0.91H), 5.30 (s, 0.09H for *Z*-isomer), 4.71 (s, 1.82H), 3.17 (s, 2.73H), 3.12 (s, 0.27H for *Z*-isomer), 2.16 (s, 2.73H), 2.01 (s, 0.27H for *Z*-isomer); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) for mixture δ ppm 170.4, 170.3, 157.6, 152.2, 151.3, 149.3, 148.6, 136.9, 136.6, 136.5, 136.0, 129.0, 128.7, 128.6, 128.34, 128.30, 127.8, 127.5, 125.1, 124.5, 122.1, 119.4, 51.1, 50.5, 45.2, 44.7, 23.0, 21.9; **HRMS (ESI)** m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{17}\text{H}_{18}\text{N}_2\text{NaO}_3\text{S}^+$ 353.0936, found 353.0940.

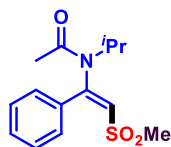


(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(thiophen-2-yl)vinyl)acetamide (**3na**): 69.4 mg, 69% yield, light yellow solid. Purification by flash chromatography (PE/EA = 2-1). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 7.87 (dd, $J = 3.0, 1.4$ Hz, 1H), 7.42 (dd, $J = 5.1, 3.0$ Hz, 1H), 7.37 – 7.17 (m, 6H), 6.13 (s, 1H), 4.71 (s, 2H), 2.69 (s, 3H), 2.10 (s, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ ppm 170.0, 146.2, 136.2, 133.5, 131.6, 128.74, 128.69, 128.0, 127.8, 127.5, 127.1, 51.0, 42.7, 23.0. **HRMS (ESI)** m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{16}\text{H}_{17}\text{NNaO}_3\text{S}_2^+$ 358.0548, found 358.0554.

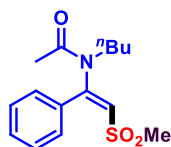


(*E*)-*N*-methyl-*N*-(2-(methylsulfonyl)-1-phenylvinyl)acetamide (**3oa**): 32.9 mg, 43% yield, light yellow oil. Purification by flash chromatography (PE/EA = 3-1). $^1\text{H NMR}$

(400 MHz, CDCl₃) δ ppm 7.64 – 7.40 (m, 5H), 6.42 (s, 1H), 3.02 (s, 3H), 2.80 (s, 3H), 2.17 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 170.5, 153.4, 132.2, 131.6, 129.6, 128.7, 125.5, 43.4, 36.0, 23.0, HRMS (ESI) m/z: [M+Na]⁺ calcd for C₁₂H₁₅NNaO₃S⁺ 276.0665, found 276.0706.



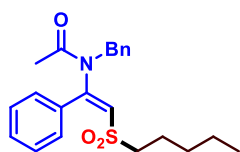
(*E*)-*N*-isopropyl-*N*-(2-(methylsulfonyl)-1-phenylvinyl)acetamide (**3pa**): 32.8 mg, 39% yield, light yellow oil. Purification by flash chromatography (PE/EA = 3-2). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.65 – 7.59 (m, 2H), 7.57 – 7.51 (m, 1H), 7.51 – 7.45 (m, 2H), 6.39 (s, 1H), 4.49 – 7.35 (m, 1H), 2.79 (s, 3H), 2.20 (s, 3H), 1.10 (d, *J* = 6.9 Hz, 6H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 169.4, 151.5, 133.6, 131.8, 130.1, 129.7, 128.6, 49.7, 42.9, 23.8, 20.7. HRMS (ESI) m/z: [M+Na]⁺ calcd for C₁₄H₁₉NNaO₃S⁺ 304.0983, found 304.09981.



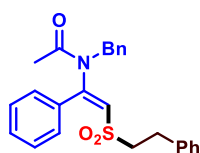
(*E*)-*N*-butyl-*N*-(2-(methylsulfonyl)-1-phenylvinyl)acetamide (**3qa**): 52.5 mg, 59% yield, light yellow oil. Purification by flash chromatography (PE/EA = 3-2). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.52 – 7.34 (m, 5H), 6.32 (s, 1H), 3.32 – 3.21 (m, 2H), 2.72 (s, 3H), 2.17 (s, 3H), 1.44 – 1.33 (m, 2H), 1.23 – 1.11 (m, 2H), 0.78 (t, *J* = 7.3 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 169.7, 152.3, 131.9, 131.5, 129.6, 128.7, 127.0, 46.6, 43.2, 30.1, 23.0, 19.8, 13.6. HRMS (ESI) m/z: [M+Na]⁺ calcd for C₁₅H₂₁NNaO₃S⁺ 318.1140, found 318.1148.



(*E*)-*N*-benzyl-*N*-(2-(ethylsulfonyl)-1-phenylvinyl)acetamide (**3ab**): 80.2 mg, 78% yield, colorless oil. Purification by flash chromatography (PE/EA = 2-1). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.52 – 7.40 (m, 5H), 7.33 – 7.23 (m, 3H), 7.18 – 7.13 (m, 2H), 6.13 (s, 1H), 4.60 (s, 2H), 2.75 (q, *J* = 7.4 Hz, 2H), 2.21 (s, 3H), 1.17 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 170.1, 152.2, 136.2, 132.1, 131.4, 129.6, 128.6, 128.5, 128.2, 127.7, 125.4, 50.4, 49.5, 23.0, 6.5; HRMS (ESI) *m/z*: [M+Na]⁺ calcd for C₁₉H₂₁NNaO₃S⁺ 366.1140, found 366.1142.

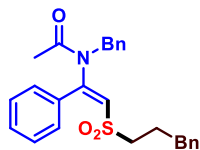


(*E*)-*N*-benzyl-*N*-(2-(pentylsulfonyl)-1-phenylvinyl)acetamide (**3ac**): 64.3 mg, 56% yield, light yellow oil. Purification by flash chromatography (PE/EA = 5-3). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.56 – 7.43 (m, 5H), 7.34 – 7.24 (m, 3H), 7.18 – 7.14 (m, 2H), 6.12 (s, 1H), 4.62 (s, 2H), 2.72 – 2.66 (m, 2H), 2.21 (s, 3H), 1.62 – 1.56 (m, 2H), 1.25 – 1.18 (m, 4H), 0.84 (t, *J* = 7.0 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 170.1, 151.9, 136.3, 132.2, 131.4, 129.7, 128.6, 128.5, 128.2, 127.7, 126.0, 55.1, 50.4, 30.2, 23.1, 21.9, 21.6, 13.6; HRMS (ESI) *m/z*: [M+Na]⁺ calcd for C₂₂H₂₇NNaO₃S⁺ 408.1609, found 408.1606.

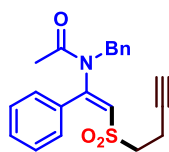


(*E*)-*N*-benzyl-*N*-(2-(phenethylsulfonyl)-1-phenylvinyl)acetamide (**3ad**): 45.5 mg, 36% yield, light yellow solid. Purification by flash chromatography (PE/EA = 4-2). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.53 – 7.43 (m, 5H), 7.32 – 7.21 (m, 6H), 7.18 – 7.14 (m, 2H), 7.04 – 6.97 (m, 2H), 6.13 (s, 1H), 4.60 (s, 2H), 3.01 – 2.95 (m, 2H), 2.93 – 2.87 (m, 2H), 2.18 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 170.2, 152.4, 137.2, 136.2, 132.2, 131.5, 129.7, 128.8, 128.7, 128.6, 128.21, 128.17, 127.8, 126.9, 125.5, 56.5, 50.6, 28.2, 23.2; HRMS (ESI) *m/z*: [M+Na]⁺ calcd for C₂₅H₂₅NNaO₃S⁺

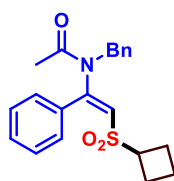
442.1453, found 442.4158.



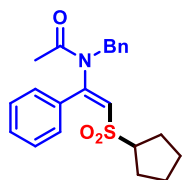
(*E*)-*N*-benzyl-*N*-(1-phenyl-2-((3-phenylpropyl)sulfonyl)vinyl)acetamide (**3ae**): 58.7 mg, 45% yield, light yellow oil. Purification by flash chromatography (PE/EA = 4-2). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 7.48 (dd, $J = 8.5, 4.3$ Hz, 1H), 7.40 (d, $J = 4.3$ Hz, 4H), 7.30 – 7.20 (m, 6H), 7.16 – 7.11 (m, 2H), 7.05 – 7.00 (m, 2H), 6.09 (s, 1H), 4.59 (s, 2H), 2.70 – 2.63 (m, 2H), 2.58 (t, $J = 7.3$ Hz, 2H), 2.15 (s, 3H), 1.98 – 1.86 (m, 2H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ ppm 170.2, 152.1, 139.6, 136.2, 132.1, 131.4, 129.6, 128.6, 128.5, 128.3, 128.2, 127.8, 126.4, 125.8, 54.3, 50.4, 33.9, 23.5, 23.1; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{26}\text{H}_{27}\text{NNaO}_3\text{S}^+$ 456.1609, found 456.1608.



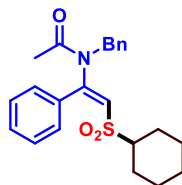
(*E*)-*N*-benzyl-*N*-(2-(but-3-yn-1-ylsulfonyl)-1-phenylvinyl)acetamide (**3af**): 14.3 mg, 13% yield, yellow oil. Purification by flash chromatography (PE/EA = 4-2). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 7.56 – 7.50 (m, 1H), 7.46 (d, $J = 4.3$ Hz, 4H), 7.36 – 7.28 (m, 3H), 7.18 – 7.14 (m, 2H), 6.21 (s, 1H), 4.64 (s, 2H), 2.96 (t, $J = 7.6$ Hz, 2H), 2.54 (td, $J = 7.6, 2.7$ Hz, 2H), 2.21 (s, 3H), 1.94 (t, $J = 2.7$ Hz, 1H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ ppm 170.4, 153.0, 136.3, 132.1, 131.6, 129.8, 128.8, 128.6, 128.1, 127.9, 124.8, 79.4, 70.9, 53.8, 50.7, 23.4, 12.7; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{21}\text{H}_{21}\text{NNaO}_3\text{S}^+$ 390.1140, found 390.1147.



(*E*)-*N*-benzyl-*N*-(2-(cyclobutylsulfonyl)-1-phenylvinyl)acetamide (**3ag**): 77.4 mg, 70% yield, light yellow oil. Purification by flash chromatography (PE/EA = 4-2). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.54 – 7.42 (m, 5H), 7.33 – 7.25 (m, 3H), 7.15 (d, *J* = 6.7 Hz, 2H), 6.03 (s, 1H), 4.57 (s, 2H), 3.49 (quint, *J* = 8.2 Hz, 1H), 2.43 – 2.31 (m, 2H), 2.18 (s, 3H), 2.07 – 1.99 (m, 2H), 1.95 – 1.85 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 169.9, 152.2, 136.2, 132.0, 131.3, 129.7, 128.5, 128.3, 128.1, 127.6, 124.5, 55.6, 50.2, 22.9, 21.8, 16.7; HRMS (ESI) *m/z*: [M+Na]⁺ calcd for C₂₁H₂₃NNaO₃S⁺ 392.1296, found 392.1297.

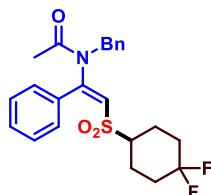


(*E*)-*N*-benzyl-*N*-(2-(cyclohexylsulfonyl)-1-phenylvinyl)acetamide (**3ah**): 42.3 mg, 37% yield, yellow oil. Purification by flash chromatography (PE/EA = 4-2). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.54 – 7.49 (m, 3H), 7.49 – 7.40 (m, 2H), 7.33 – 7.26 (m, 3H), 7.20 – 7.14 (m, 2H), 6.10 (s, 1H), 4.60 (s, 2H), 3.20 – 3.08 (m, 1H), 2.23 (s, 3H), 1.98 – 1.89 (m, 2H), 1.83 – 1.76 (m, 2H), 1.73 – 1.67 (m, 2H), 1.60 – 1.50 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 170.2, 151.9, 136.4, 132.3, 131.4, 129.8, 128.7, 128.5, 128.3, 127.8, 125.8, 63.1, 50.6, 26.7, 26.0, 23.1; HRMS (ESI) *m/z*: [M+Na]⁺ calcd for C₂₂H₂₅NNaO₃S⁺ 406.1453, found 406.1454.



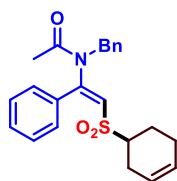
(*E*)-*N*-benzyl-*N*-(2-(cyclohexylsulfonyl)-1-phenylvinyl)acetamide (**3ai**): 75.1 mg, 63% yield, orange-yellow oil. Purification by flash chromatography (PE/EA = 4-2). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.52 – 7.40 (m, 5H), 7.34 – 7.26 (m, 3H), 7.20 – 7.14 (m, 2H), 6.06 (s, 1H), 4.62 (s, 2H), 2.52 (tt, *J* = 12.1, 3.3 Hz, 1H), 2.22 (s, 3H), 1.90 (d, *J* = 12.2 Hz, 2H), 1.84 – 1.76 (m, 2H), 1.67 – 1.59 (m, 1H), 1.31 (ddd, *J* =

24.9, 12.5, 3.3 Hz, 2H), 1.10 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.1, 151.9, 136.4, 132.2, 131.1, 129.5, 128.6, 128.2, 128.1, 127.6, 124.1, 62.8, 50.2, 24.8, 24.6, 23.0; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{23}\text{H}_{27}\text{NNaO}_3\text{S}^+$ 420.1609, found 420.1613.



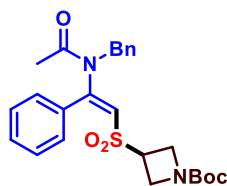
(*E*)-*N*-benzyl-*N*-(2-((4,4-difluorocyclohexyl)sulfonyl)-1-phenylvinyl)acetamide

(3aj): 46.0 mg, 35% yield, light yellow oil. Purification by flash chromatography (PE/EA = 4-2). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.51 (dt, $J = 5.4, 2.9$ Hz, 1H), 7.47 -7.41 (m, 4H), 7.31 (ddd, $J = 18.6, 11.3, 5.8$ Hz, 3H), 7.19-7.13 (m, 2H), 6.12 (s, 1H), 4.63 (s, 2H), 2.55 (t, $J = 11.2$ Hz, 1H), 2.20 (s, 3H), 1.95 (d, $J = 13.1$ Hz, 2H), 1.87-1.45 (m, 5H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.5, 152.8, 136.3, 132.1, 131.3, 129.6, 128.8, 128.4, 128.0, 127.8, 123.2, 121.6 (t, $J = 240.0$ Hz), 60.0, 50.7, 32.0 (t, $J = 25.0$ Hz), 23.3, 21.53 (d, $J = 9.2$ Hz); ^{19}F NMR (376 MHz, CDCl_3) δ ppm -94.17 (d, $J = 240.0$ Hz), -100.25 – -103.53 (m); HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{23}\text{H}_{25}\text{F}_2\text{NNaO}_3\text{S}^+$ 456.1421, found 456.1420.

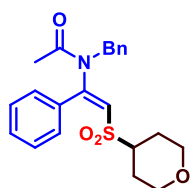


(*E*)-*N*-benzyl-*N*-(2-(cyclohex-3-en-1-ylsulfonyl)-1-phenylvinyl)acetamide (**3ak**): 32.9 mg, 28% yield, colorless oil. Purification by flash chromatography (PE/EA = 4-2). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.52 – 7.41 (m, 5H), 7.35 – 7.26 (m, 3H), 7.19 – 7.15 (m, 2H), 6.09 (s, 1H), 5.69 – 5.64 (m, 1H), 5.62 – 5.56 (m, 1H), 4.68 (d, $J = 15.1$ Hz, 1H), 4.57 (d, $J = 15.1$ Hz, 1H), 2.86 – 2.77 (m, 1H), 2.23 – 2.14 (m, 6H), 2.05 – 1.94 (m, 2H), 1.61 – 1.49 (m, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 170.3, 152.5, 136.4, 132.2, 131.3, 129.7, 128.7, 128.4, 128.2, 127.8, 126.6, 123.9, 123.3, 59.6, 50.5,

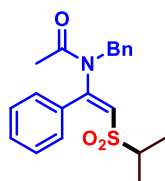
24.2, 23.8, 23.2, 21.0; **HRMS (ESI)** m/z : $[M+Na]^+$ calcd for $C_{23}H_{25}NNaO_3S^+$ 418.1453, found 418.1459.



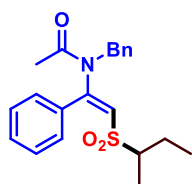
tert-butyl(*E*)-3-((2-(*N*-benzylacetamido)-2-phenylvinyl)sulfonyl)azetidine-1-carboxylate (**3al**): 76.4 mg, 54% yield, light yellow oil. Purification by flash chromatography (PE/EA = 4-2). **¹H NMR (400 MHz, CDCl₃)** δ ppm 7.59 – 7.52 (m, 1H), 7.49 – 7.43 (m, 4H), 7.36 – 7.28 (m, 3H), 7.17 – 7.12 (m, 2H), 6.11 (s, 1H), 4.63 (s, 2H), 4.02 (d, $J = 4.7$ Hz, 2H), 3.90 (t, $J = 9.0$ Hz, 2H), 3.55 (tt, $J = 8.4, 5.6$ Hz, 1H), 2.15 (s, 3H), 1.40 (s, 9H); **¹³C NMR (100 MHz, CDCl₃)** δ ppm 170.3, 155.4, 153.9, 136.1, 132.0, 131.8, 129.8, 128.8, 128.6, 128.0, 127.9, 122.7, 80.5, 50.9, 50.3, 28.1, 23.3; **HRMS (ESI)** m/z : $[M+Na]^+$ calcd for $C_{25}H_{30}N_2NaO_5S^+$ 493.1773, found 493.1779.



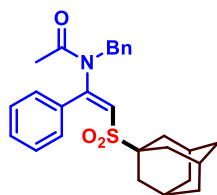
(*E*)-*N*-benzyl-*N*-(1-phenyl-2-((tetrahydro-2H-pyran-4-yl)sulfonyl)vinyl)acetamide (**3am**): 54.2 mg, 45% yield, colorless oil. Purification by flash chromatography (PE/EA = 4-2). **¹H NMR (400 MHz, CDCl₃)** δ ppm 7.55 - 7.49 (m, 1H), 7.45 (d, $J = 4.4$ Hz, 4H), 7.36 – 7.26 (m, 3H), 7.19 – 7.15 (m, 2H), 6.10 (s, 1H), 4.63 (s, 2H), 3.99 (dt, $J = 11.5, 3.3$ Hz, 2H), 3.23 – 3.15 (m, 2H), 2.80 – 2.69 (m, 1H), 2.20 (s, 3H), 1.73 – 1.67 (m, 4H); **¹³C NMR (100 MHz, CDCl₃)** δ ppm 170.4, 152.7, 136.3, 132.2, 131.3, 129.6, 128.7, 128.4, 128.0, 127.8, 123.2, 66.2, 59.9, 50.6, 24.7, 23.3; **HRMS (ESI)** m/z : $[M+Na]^+$ calcd for $C_{22}H_{25}NNaO_4S^+$ 422.1402, found 422.1407.



(*E*)-*N*-benzyl-*N*-(2-(isopropylsulfonyl)-1-phenylvinyl)acetamide (**3an**): 76.1 mg, 71% yield, light yellow solid. Purification by flash chromatography (PE/EA = 4-2). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.53 – 7.41 (m, 5H), 7.35 – 7.26 (m, 3H), 7.19 – 7.14 (m, 2H), 6.10 (s, 1H), 4.60 (s, 2H), 2.91 – 2.79 (m, 1H), 2.23 (s, 3H), 1.20 (d, *J* = 4.0 Hz, 6H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 170.2, 152.4, 136.4, 132.2, 131.2, 129.6, 128.7, 128.3, 128.1, 127.8, 123.9, 54.9, 50.5, 23.1, 15.0; HRMS (ESI) *m/z*: [M+Na]⁺ calcd for C₂₀H₂₃NNaO₃S⁺ 380.1296, found 380.1302.

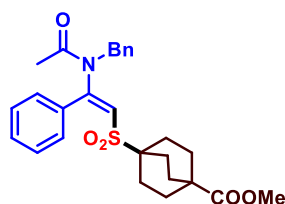


(*E*)-*N*-benzyl-*N*-(2-(sec-butylsulfonyl)-1-phenylvinyl)acetamide (**3ao**): 48.9 mg, 44% yield, colorless liquid. Purification by flash chromatography (PE/EA = 4-2). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.54 – 7.39 (m, 5H), 7.35 – 7.24 (m, 3H), 7.19 – 7.12 (m, 2H), 6.08 (s, 1H), 4.67 – 4.55 (m, 2H), 2.63 – 2.51 (m, 1H), 2.23 (s, 3H), 1.90 – 1.81 (m, 1H), 1.40 – 1.31 (m, 1H), 1.19 (d, *J* = 6.9 Hz, 3H), 0.90 (t, *J* = 7.5 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 170.3, 152.3, 136.5, 132.4, 131.3, 129.8, 128.8, 128.4, 128.2, 127.9, 124.5, 61.0, 50.6, 23.3, 22.1, 12.0, 11.1; HRMS (ESI) *m/z*: [M+Na]⁺ calcd for C₂₁H₂₅NNaO₃S⁺ 394.1453, found 394.1454.

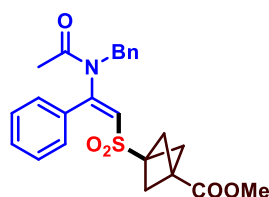


((*E*)-2-(((1*S*,3*S*,5*S*)-adamantan-1-yl)sulfonyl)-1-phenylvinyl)-*N*-benzylacetamide (**3ap**): 41.8 mg, 31% yield, colorless liquid. Purification by flash chromatography (PE/EA = 4-3). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.51 – 7.39 (m, 5H), 7.36 – 7.26 (m, 3H), 7.20 – 7.16 (m, 2H), 6.10 (s, 1H), 4.61 (s, 2H), 2.25 (s, 3H), 2.09 (s, 3H), 1.81 (d, *J* = 2.5 Hz, 6H), 1.69 (d, *J* = 12.5 Hz, 3H), 1.59 (d, *J* = 12.0 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 170.3, 152.7, 136.6, 132.2, 130.9, 129.7, 128.7,

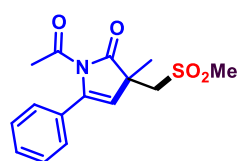
128.03, 128.02, 127.7, 120.4, 60.9, 50.3, 35.6, 34.3, 28.0, 23.1; **HRMS (ESI)** m/z: [M+Na]⁺ calcd for C₂₇H₃₁NNaO₃S⁺ 472.1922, found 472.1926.



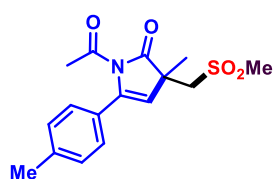
methyl(*E*)-4-((2-(*N*-benzylacetamido)-2-phenylvinyl)sulfonyl)bicyclo[2.2.2]octane-1-carboxylate (**3aq**): 43.1 mg, yield: 37%, milky white solid. Purification by flash chromatography (PE/EA = 4-2) **¹H NMR (400 MHz, CDCl₃)** δ ppm 7.49 – 7.39 (m, 5H), 7.34 (ddd, *J* = 8.6, 7.6, 6.1 Hz, 3H), 7.20 – 7.16 (m, 2H), 6.08 (s, 1H), 4.64 (s, 2H), 3.65 (s, 3H), 2.20 (s, 3H), 1.80 (dd, *J* = 10.6, 4.3 Hz, 6H), 1.73 (dd, *J* = 10.6, 4.3 Hz, 6H); **¹³C NMR (100 MHz, CDCl₃)** δ ppm 176.5, 170.3, 152.7, 136.6, 132.1, 131.0, 129.7, 128.7, 128.0, 127.9, 127.7, 120.8, 59.6, 51.9, 50.6, 38.7, 27.4, 23.7, 23.1; **HRMS (ESI)** m/z: [M+Na]⁺ calcd for C₂₇H₃₁NNaO₅S⁺ 504.1821, found 504.1816.



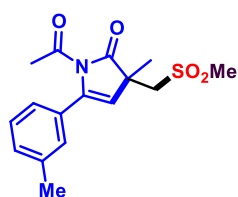
methyl(*E*)-3-((2-(*N*-benzylacetamido)-2-phenylvinyl)sulfonyl)bicyclo[1.1.1]pentane-1-carboxylate (**3ar**): 69.3 mg, 53% yield:, light yellow oil. Purification by flash chromatography (PE/EA = 4-2). **¹H NMR (400 MHz, CDCl₃)** δ ppm 7.51 (dq, *J* = 8.3, 4.3 Hz, 1H), 7.43 (d, *J* = 4.4 Hz, 4H), 7.36 – 7.27 (m, 3H), 7.16 – 7.12 (m, 2H), 6.10 (s, 1H), 4.60 (s, 2H), 3.68 (s, 3H), 2.24 (s, 3H), 2.21 (s, 6H); **¹³C NMR (100 MHz, CDCl₃)** δ ppm 170.1, 168.0, 153.5, 136.2, 131.8, 131.4, 129.7, 128.7, 128.3, 128.0, 127.8, 122.4, 52.1, 51.8, 51.3, 50.3, 36.3, 23.0. **HRMS (ESI)** m/z: [M+Na]⁺ calcd for C₂₄H₂₅NNaO₅S⁺ 462.1351, found 462.1350.



1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-phenyl-1,3-dihydro-2*H*-pyrrol-2-one (**5aa**): 80.3 mg, 87% yield, Light white oil. Purification by flash chromatography (PE/EA = 2-1). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.35 – 7.31 (m, 3H), 7.28 – 7.24 (m, 2H), 5.64 (s, 1H), 3.54 (d, *J* = 14.3 Hz, 1H), 3.39 (d, *J* = 14.2 Hz, 1H), 2.85 (s, 3H), 2.55 (s, 3H), 1.41 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 179.6, 169.2, 143.4, 132.5, 128.4, 127.8, 126.6, 114.8, 60.4, 47.2, 42.7, 25.9, 23.8. HRMS (ESI) *m/z*: [M+Na]⁺ calcd for C₁₅H₁₇NNaO₄S⁺ 330.0776, found 330.0776.

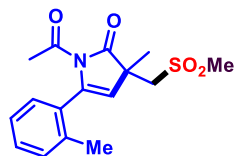


1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-(*p*-tolyl)-1,3-dihydro-2*H*-pyrrol-2-one (**5ba**): 81.5 mg, 85% yield, light white oil. Purification by flash chromatography (PE/EA = 2-1). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.15 (s, 4H), 5.61 (s, 1H), 3.54 (d, *J* = 14.2 Hz, 1H), 3.39 (d, *J* = 14.2 Hz, 1H), 2.87 (s, 3H), 2.55 (s, 3H), 2.35 (s, 3H), 1.42 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 179.7, 169.3, 143.5, 138.4, 129.6, 128.5, 126.6, 114.2, 60.5, 47.3, 42.8, 26.0, 23.9, 21.2. HRMS (ESI) *m/z*: [M+Na]⁺ calcd for C₁₆H₁₉NNaO₄S⁺ 344.0932, found 344.0935.

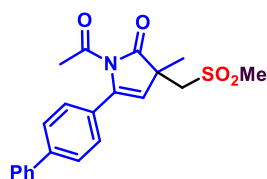


1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-(*m*-tolyl)-1,3-dihydro-2*H*-pyrrol-2-one (**5ca**): 72.7 mg, 75% yield, colorless oil. Purification by flash chromatography (PE/EA = 2-1). ¹H NMR (400 MHz, CDCl₃) δ ppm 7.24 (dd, *J* = 13.4, 5.8 Hz, 1H), 7.15 (d, *J* = 7.6 Hz, 1H), 7.10 – 7.03 (m, 2H), 5.64 (s, 1H), 3.56 (d, *J* = 14.2 Hz, 1H), 3.39 (d, *J* = 14.2 Hz, 1H), 2.89 (s, 3H), 2.56 (s, 3H), 2.35 (s, 3H), 1.43 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ ppm 179.7, 169.3, 143.7, 137.6, 132.5, 129.3, 127.7, 127.3, 123.9, 114.5, 60.5, 47.4, 42.9, 26.0, 24.0, 21.3. HRMS (ESI) *m/z*: [M+Na]⁺

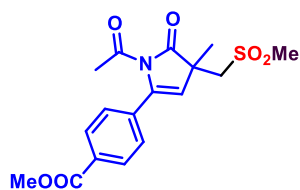
calcd for $C_{16}H_{19}NNaO_4S^+$ 344.0932, found 344.0934.



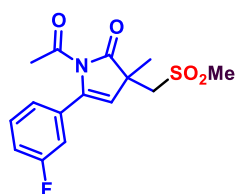
1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-(o-tolyl)-1,3-dihydro-2*H*-pyrrol-2-one (**5da**): 72.5 mg, 75% yield, light white oil. Purification by flash chromatography (PE/EA = 2-1). 1H NMR (400 MHz, $CDCl_3$) δ ppm 7.29 – 7.24 (m, 1H), 7.20 – 7.14 (m, 3H), 5.53 (s, 1H), 3.62 (d, $J = 14.1$ Hz, 1H), 3.39 (d, $J = 14.1$ Hz, 1H), 2.91 (s, 3H), 2.52 (s, 3H), 2.23 (s, 3H), 1.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 179.4, 168.9, 143.2, 132.9, 129.4, 128.6, 128.3, 125.3, 114.4, 60.2, 46.9, 42.8, 25.7, 24.6, 19.6. HRMS (ESI) m/z : $[M+Na]^+$ calcd for $C_{16}H_{19}NNaO_4S^+$ 344.0932, found 344.0936.



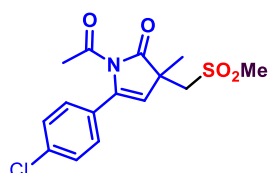
5-([1,1'-biphenyl]-4-yl)-1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-1,3-dihydro-2*H*-pyrrol-2-one (**5ea**): 73.6 mg, 64% yield, colorless oil. Purification by flash chromatography (PE/EA = 2-1). 1H NMR (400 MHz, $CDCl_3$) δ ppm 7.61 – 7.55 (m, 4H), 7.43 (t, $J = 7.5$ Hz, 2H), 7.38 – 7.32 (m, 3H), 5.70 (s, 1H), 3.57 (d, $J = 14.1$ Hz, 1H), 3.40 (d, $J = 14.2$ Hz, 1H), 2.88 (s, 3H), 2.59 (s, 3H), 1.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 179.7, 169.4, 143.3, 141.3, 140.3, 131.5, 128.7, 127.4, 127.2, 127.0, 126.5, 114.9, 60.5, 47.4, 42.9, 26.0, 23.9. HRMS (ESI) m/z : $[M+Na]^+$ calcd for $C_{21}H_{21}NNaO_4S^+$ 406.1089, found 406.1091.



methyl-4-(1-acetyl-4-methyl-4-((methylsulfonyl)methyl)-5-oxo-4,5-dihydro-1*H*-pyrrol-2-yl)benzoate (**5fa**): 40.3 mg, yield:37%, colorless oil. Purification by flash chromatography (PE/EA = 2-1). **¹H NMR (400 MHz, CDCl₃)** δ ppm 8.04 – 7.99 (m, 2H), 7.38 – 7.31 (m, 2H), 5.75 (s, 1H), 3.92 (s, 3H), 3.60 (d, *J* = 14.1 Hz, 1H), 3.42 (d, *J* = 14.0 Hz, 1H), 2.92 (s, 3H), 2.58 (s, 3H), 1.46 (s, 3H); **¹³C NMR (100 MHz, CDCl₃)** δ ppm 179.4, 169.1, 166.5, 142.7, 137.1, 129.9, 129.1, 126.8, 116.1, 60.4, 52.1, 47.5, 42.9, 25.8, 23.9; **HRMS (ESI)** *m/z*: [M+Na]⁺ calcd for C₁₇H₁₉NNaO₆S⁺ 388.0831, found 388.0838.

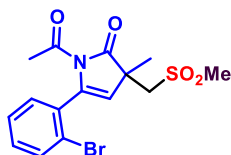


1-acetyl-5-(3-fluorophenyl)-3-methyl-3-((methylsulfonyl)methyl)-1,3-dihydro-2*H*-pyrrol-2-one(**5ga**): 44.2 mg, yield: 45%, colorless oil. Purification by flash chromatography (PE/EA = 2-1). **¹H NMR (400 MHz, CDCl₃)** δ ppm 7.32 – 7.27 (m, 1H), 7.07 – 6.96 (m, 3H), 5.70 (s, 1H), 3.58 (d, *J* = 14.0 Hz, 1H), 3.41 (d, *J* = 14.1 Hz, 1H), 2.91 (s, 3H), 2.57 (s, 3H), 1.45 (s, 3H); **¹³C NMR (100 MHz, CDCl₃)** δ ppm 179.4, 169.2, 162.1 (d, *J* = 245.0 Hz), 142.4 (d, *J* = 2.0 Hz), 134.7 (d, *J* = 9.0 Hz), 129.5 (d, *J* = 8.0 Hz), 122.6 (d, *J* = 3.0 Hz), 115.6, 115.4 (d, *J* = 21.0 Hz), 114.1 (d, *J* = 23.0 Hz), 60.5, 47.4, 42.9, 25.9, 23.9; **¹⁹F NMR (376 MHz, CDCl₃)** δ -113.12 – -113.24 (m); **HRMS (ESI)** *m/z*: [M+Na]⁺ calcd for C₁₅H₁₆FN₂O₄S⁺ 348.0682, found 348.0682.

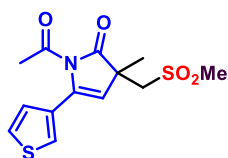


1-acetyl-5-(4-chlorophenyl)-3-methyl-3-((methylsulfonyl)methyl)-1,3-dihydro-2*H*-pyrrol-2-one (**5ha**): 45.5 mg, 44% yield, colorless oil. Purification by flash chromatography (PE/EA = 2-1). **¹H NMR (400 MHz, CDCl₃)** δ ppm 7.32 (d, *J* = 8.6

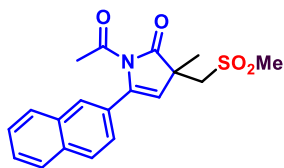
Hz, 2H), 7.21 (d, $J = 8.6$ Hz, 2H), 5.67 (s, 1H), 3.59 (d, $J = 14.0$ Hz, 1H), 3.40 (d, $J = 14.0$ Hz, 1H), 2.92 (s, 3H), 2.57 (s, 3H), 1.46 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 179.5, 169.3, 142.7, 134.5, 131.2, 128.3, 128.1, 115.3, 60.5, 47.4, 43.0, 26.0, 24.0; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{15}\text{H}_{16}^{35}\text{ClNNaO}_4\text{S}^+$ 364.0386, found 364.0386, $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{15}\text{H}_{16}^{37}\text{ClNNaO}_4\text{S}^+$ 366.0352, found 366.0361.



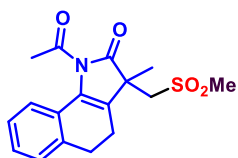
1-acetyl-5-(2-bromophenyl)-3-methyl-3-((methylsulfonyl)methyl)-1,3-dihydro-2H-pyrrol-2-one (**5ia**): 62.0 mg, 53% yield, colorless oil. Purification by flash chromatography (PE/EA = 2-1). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.53 (d, $J = 8.0$ Hz, 1H), 7.30 (dd, $J = 12.9, 6.0$ Hz, 2H), 7.25 – 7.18 (m, 1H), 5.62 (s, 1H), 3.58 (d, $J = 12.8$ Hz, 1H), 3.42 (d, $J = 14.1$ Hz, 1H), 2.92 (s, 3H), 2.53 (s, 3H), 1.46 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 178.7, 168.6, 141.7, 134.5, 131.8, 130.0, 129.8, 127.0, 122.4, 115.7, 60.0, 47.1, 42.8, 25.3, 23.7, 14.0; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{15}\text{H}_{16}^{79}\text{BrNNaO}_4\text{S}^+$ 407.9881, found 407.9884, $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{15}\text{H}_{16}^{81}\text{BrNNaO}_4\text{S}^+$ 409.9856, found 409.9865.



1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-(thiophen-3-yl)-1,3-dihydro-2H-pyrrol-2-one (**5ja**): 63.9 mg, 68% yield, light white oil. Purification by flash chromatography (PE/EA = 2-1). ^1H NMR (400 MHz, CDCl_3) δ ppm 7.32 – 7.23 (m, 2H), 7.01 (dd, $J = 5.0, 1.3$ Hz, 1H), 5.71 (s, 1H), 3.55 (d, $J = 14.1$ Hz, 1H), 3.39 (d, $J = 14.1$ Hz, 1H), 2.90 (s, 3H), 2.57 (s, 3H), 1.44 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ ppm 179.5, 169.4, 138.8, 132.8, 127.2, 124.9, 123.5, 114.5, 60.4, 47.1, 42.9, 26.1, 23.9; HRMS (ESI) m/z : $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{13}\text{H}_{15}\text{NNaO}_4\text{S}_2^+$ 336.0340, found 336.0345.



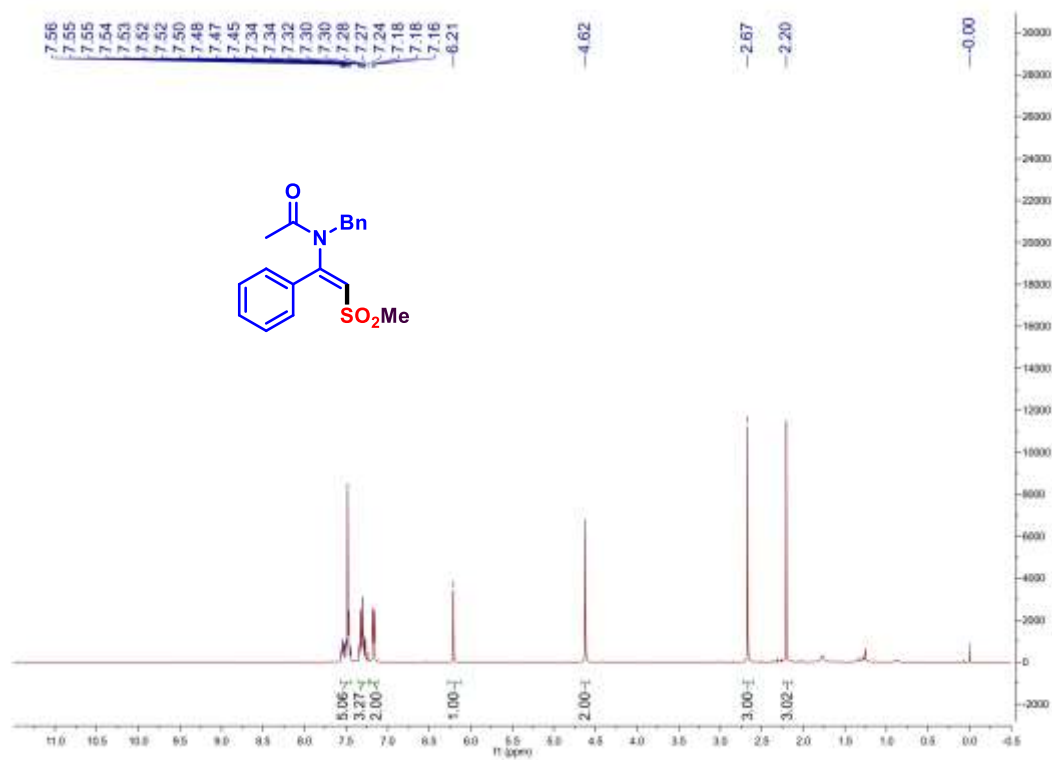
1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-(naphthalen-2-yl)-1,3-dihydro-2*H*-pyrrol-2-one (**5ka**): 67.3 mg, 63% yield, light yellow oil. Purification by flash chromatography (PE/EA = 2-1). **¹H NMR (400 MHz, CDCl₃)** δ ppm 7.80 (dt, *J* = 13.8, 6.1 Hz, 4H), 7.47 (dd, *J* = 6.2, 3.2 Hz, 2H), 7.30 (dd, *J* = 8.5, 1.8 Hz, 1H), 5.70 (s, 1H), 3.54 (d, *J* = 14.1 Hz, 1H), 3.36 (d, *J* = 14.2 Hz, 1H), 2.83 (s, 3H), 2.58 (s, 3H), 1.41 (s, 3H); **¹³C NMR (100 MHz, CDCl₃)** δ ppm 179.7, 169.3, 143.6, 133.0, 132.7, 130.3, 128.0, 127.6, 127.2, 126.4, 125.6, 115.1, 60.5, 47.4, 42.8, 26.0, 23.9; **HRMS (ESI)** *m/z*: [M+Na]⁺ calcd for C₁₉H₁₉NNaO₄S⁺ 380.0932, found 380.0936.

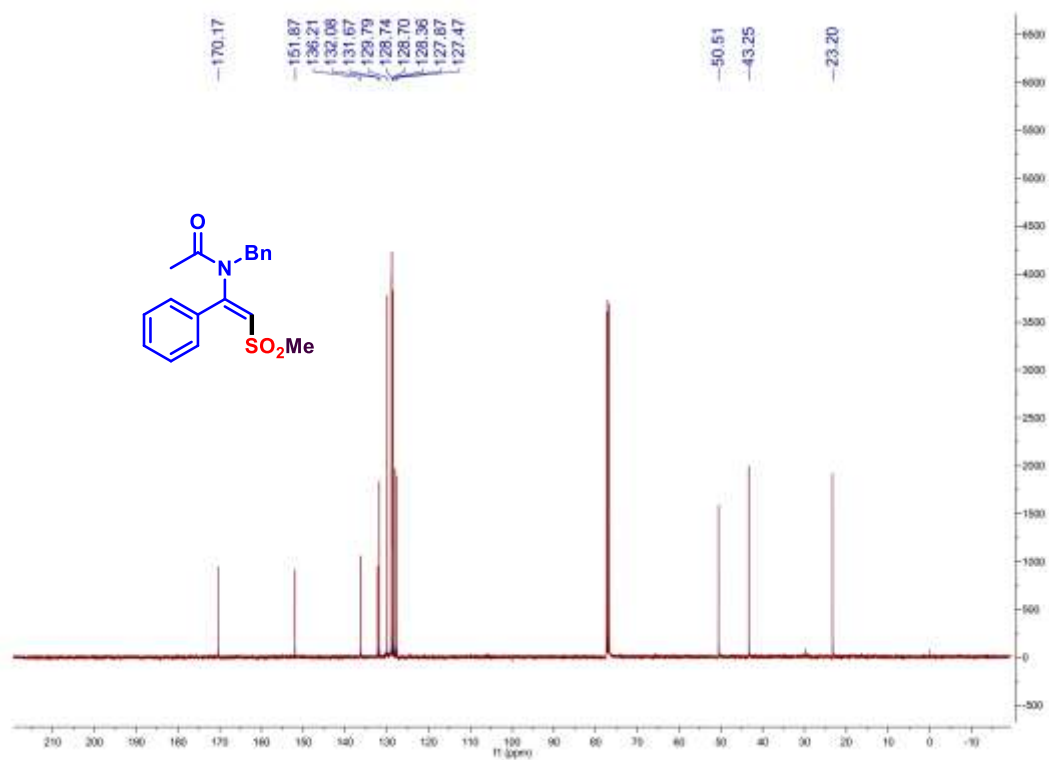


1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-1,3,4,5-tetrahydro-2*H*-benzo[*g*]indol-2-one (**5la**): 66.7 mg, 67% yield, light yellow solid. Purification by flash chromatography (PE/EA = 2-1). **¹H NMR (400 MHz, CDCl₃)** δ ppm 7.18 – 7.15 (m, 3H), 6.92 – 6.87 (m, 1H), 3.57 (d, *J* = 14.1 Hz, 1H), 3.42 (d, *J* = 14.1 Hz, 1H), 3.03 (td, *J* = 14.3, 6.7 Hz, 1H), 2.86 – 2.79 (m, 4H), 2.65 (s, 3H), 2.48 – 2.36 (m, 1H), 2.28 – 2.18 (m, 1H), 1.27 (s, 3H); **¹³C NMR (100 MHz, CDCl₃)** δ ppm 180.3, 169.6, 135.9, 135.2, 127.5, 127.4, 127.3, 126.8, 125.7, 124.0, 60.0, 48.4, 42.4, 27.9, 25.5, 22.3, 20.2; **HRMS (ESI)** *m/z*: [M+Na]⁺ calcd for C₁₇H₁₉NNaO₄S⁺ 356.0932, found 356.0937.

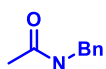
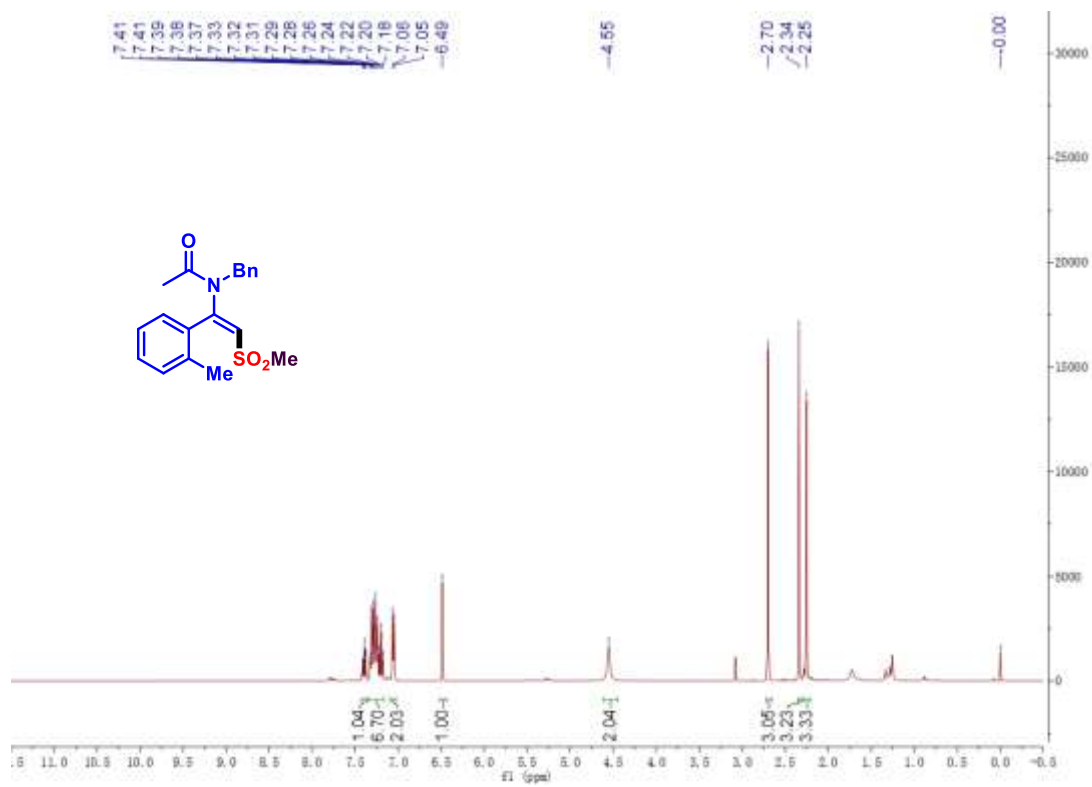
¹H, ¹³C and ¹⁹F NMR spectra of products

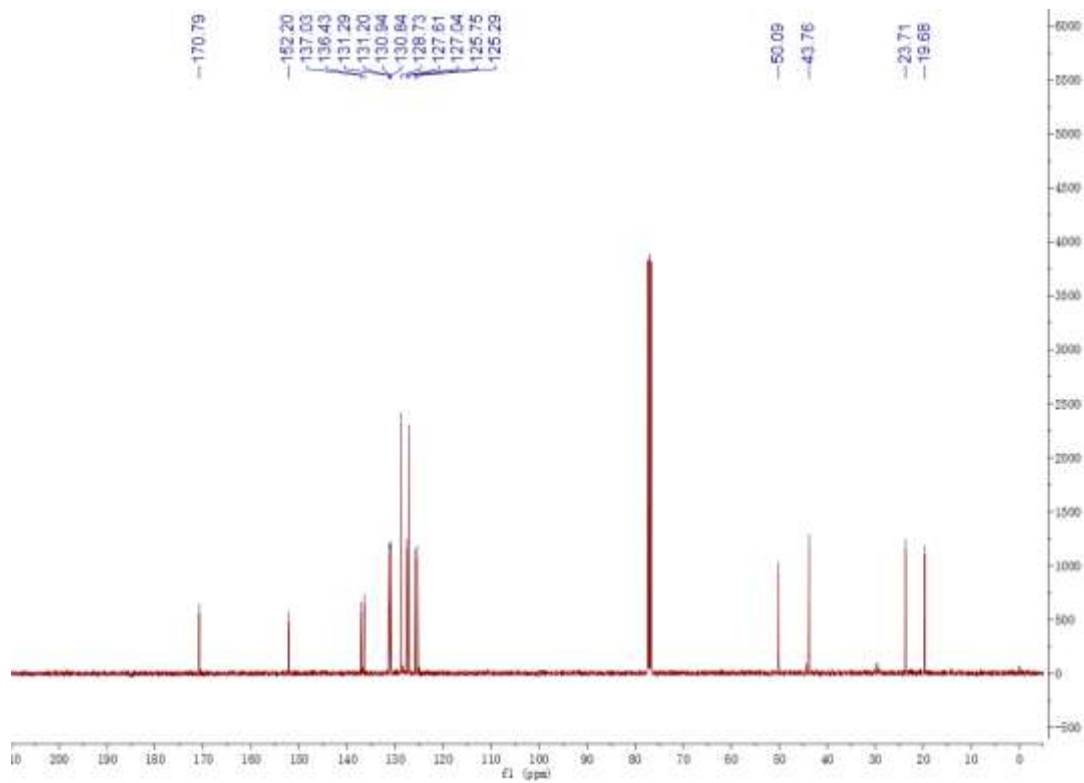
(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-phenylvinyl)acetamide (**3aa**)



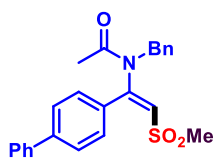
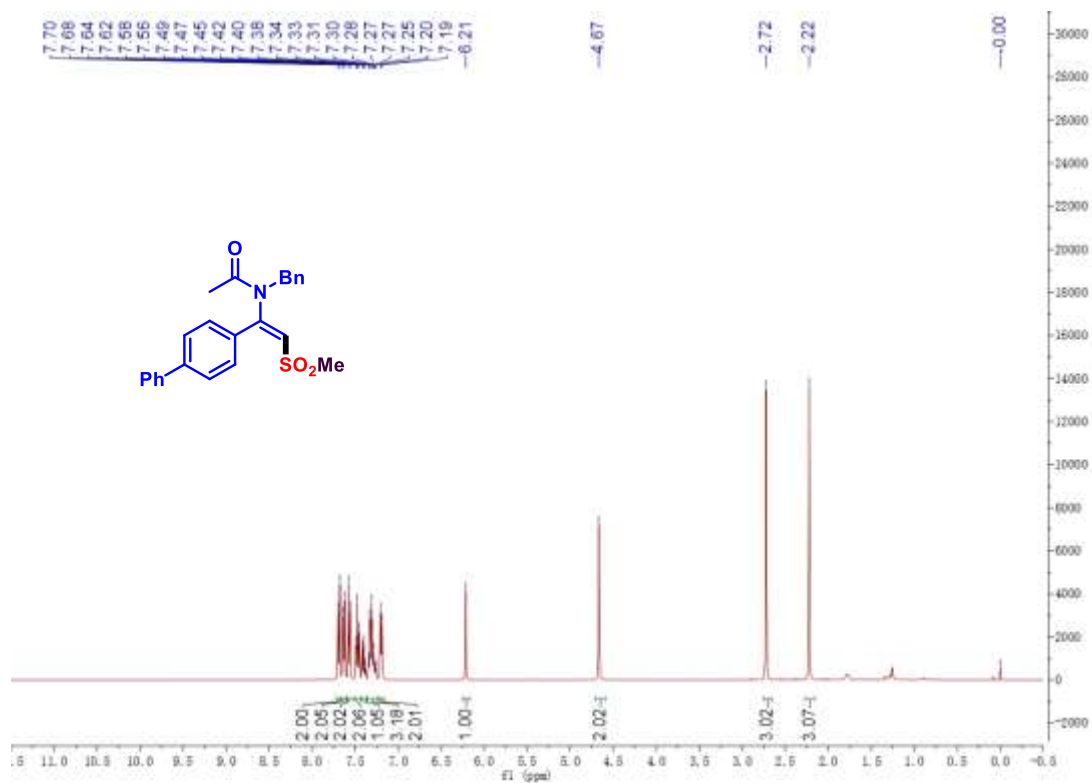


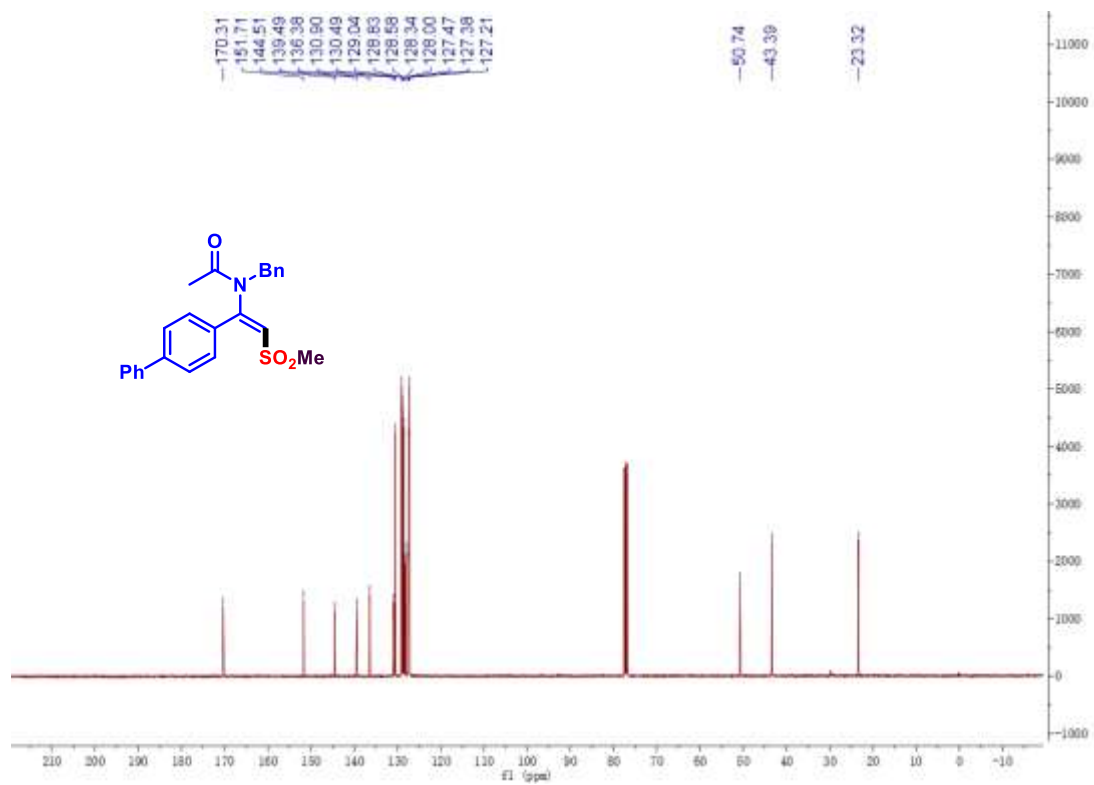
(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(*o*-tolyl)vinyl)acetamide (**3ba**)



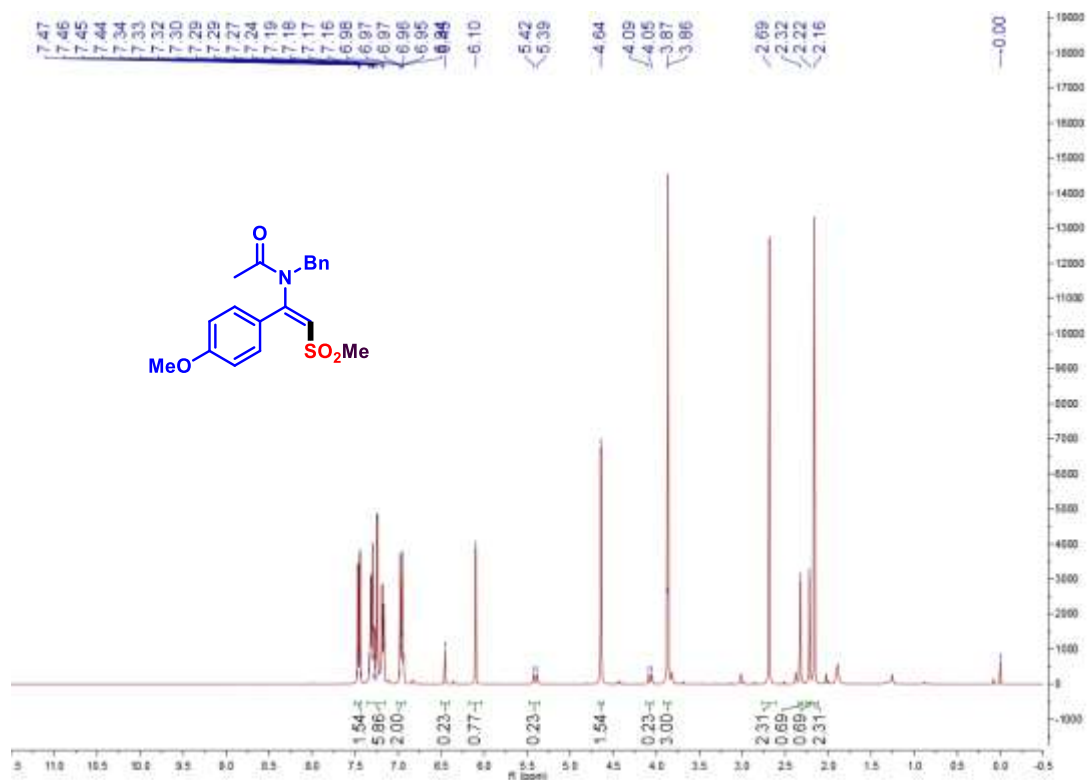


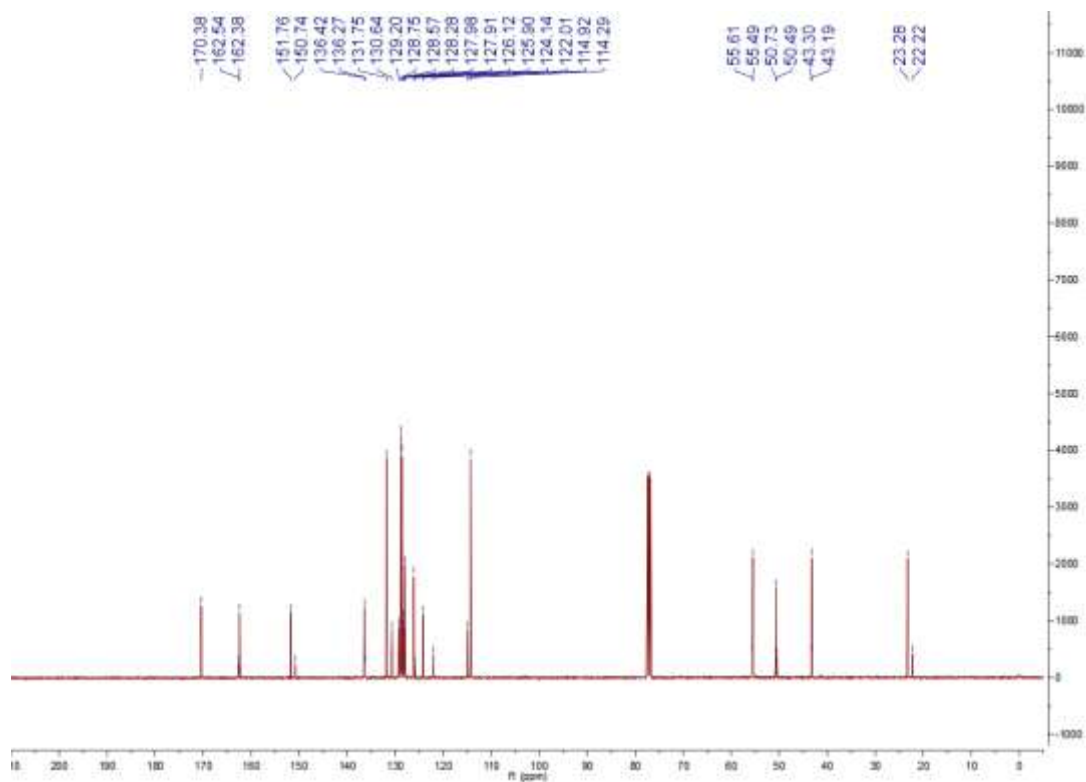
(E)-N-(1-([1,1'-biphenyl]-4-yl)-2-(methylsulfonyl)vinyl)-N-benzylacetamide (**3ca**)



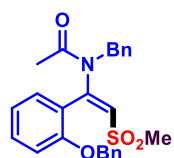
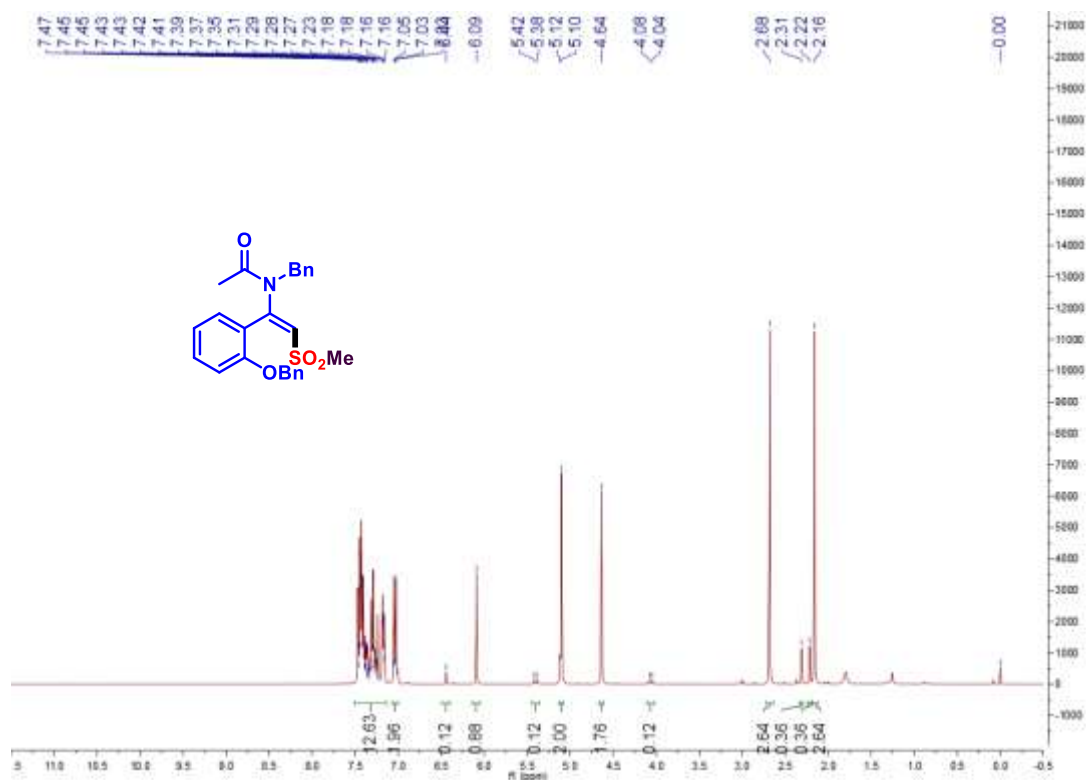


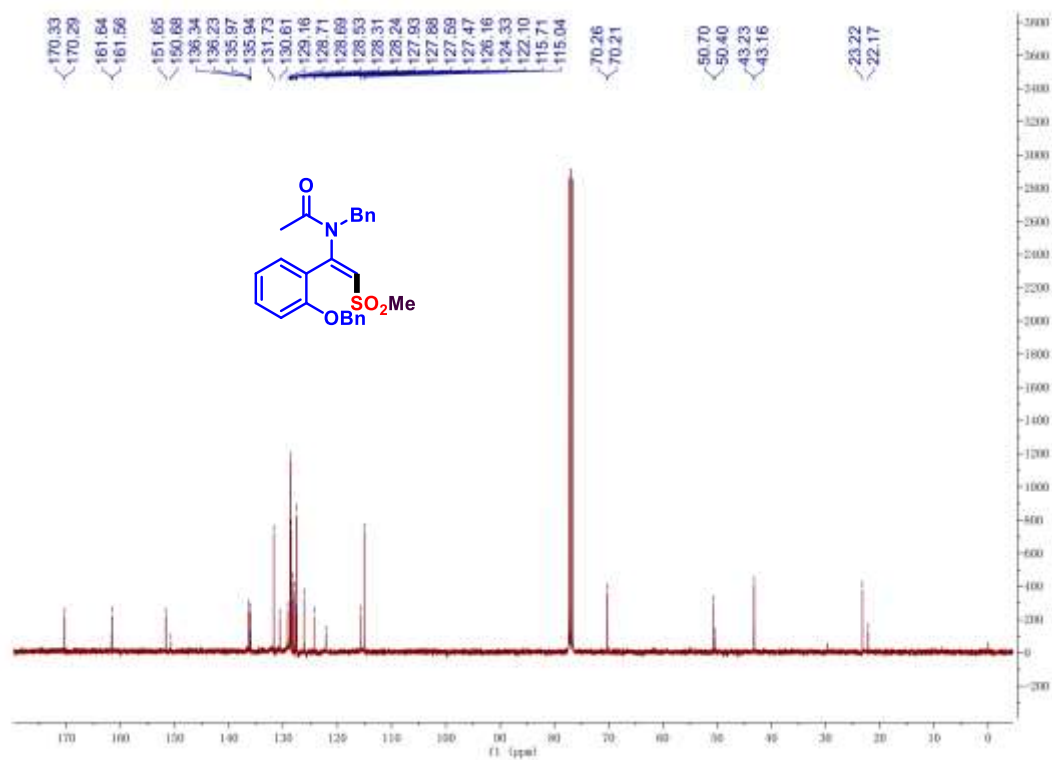
(E)-*N*-benzyl-*N*-(1-(4-methoxyphenyl)-2-(methylsulfonyl)vinyl)acetamide (**3da**)



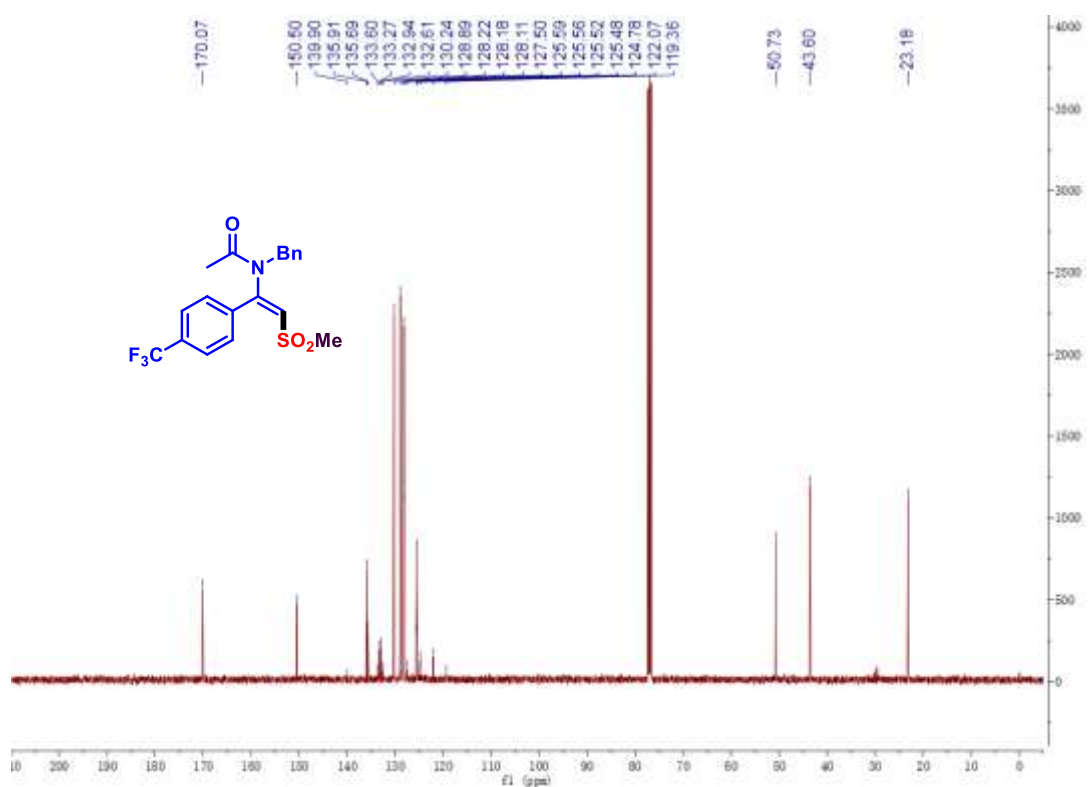
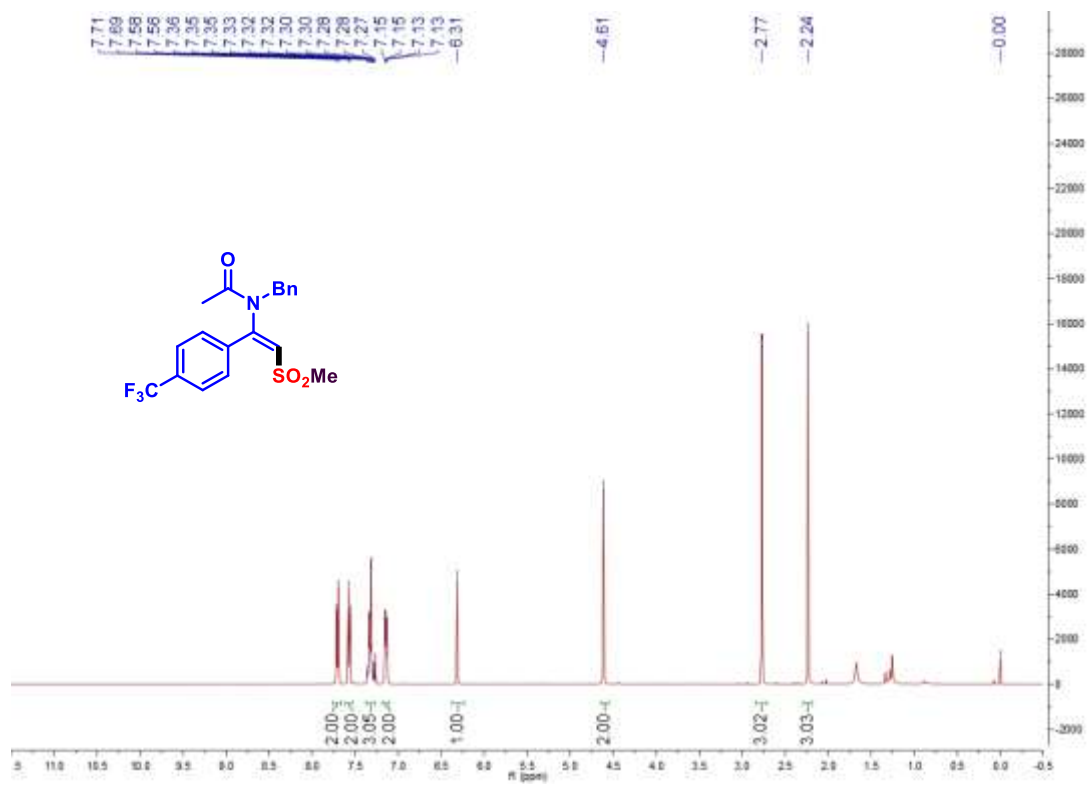


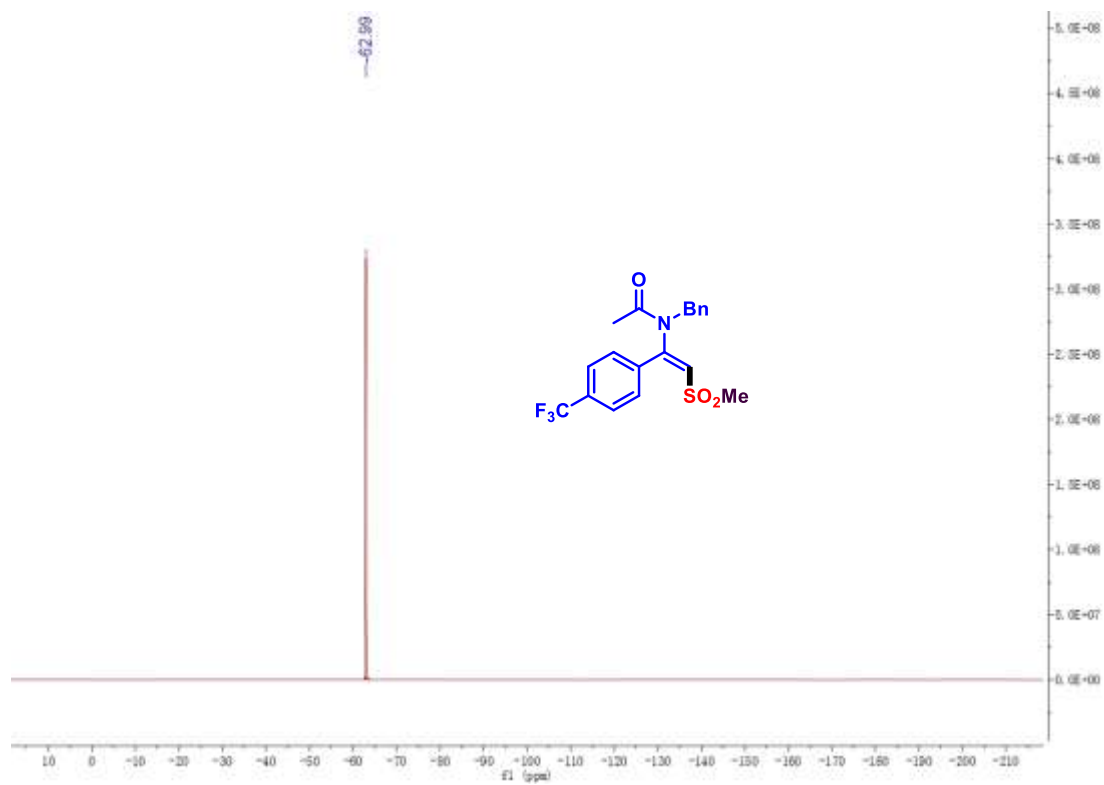
(*E*)-*N*-benzyl-*N*-(1-(2-(benzyloxy)phenyl)-2-(methylsulfonyl)vinyl)acetamide (**3ea**)



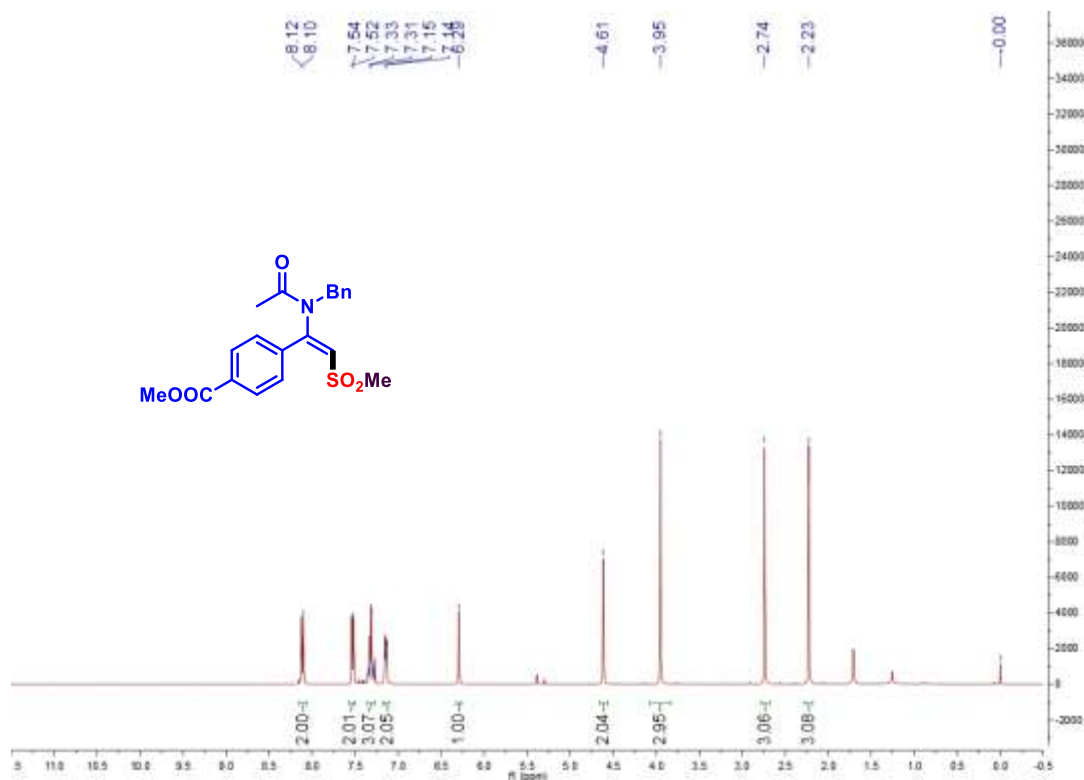


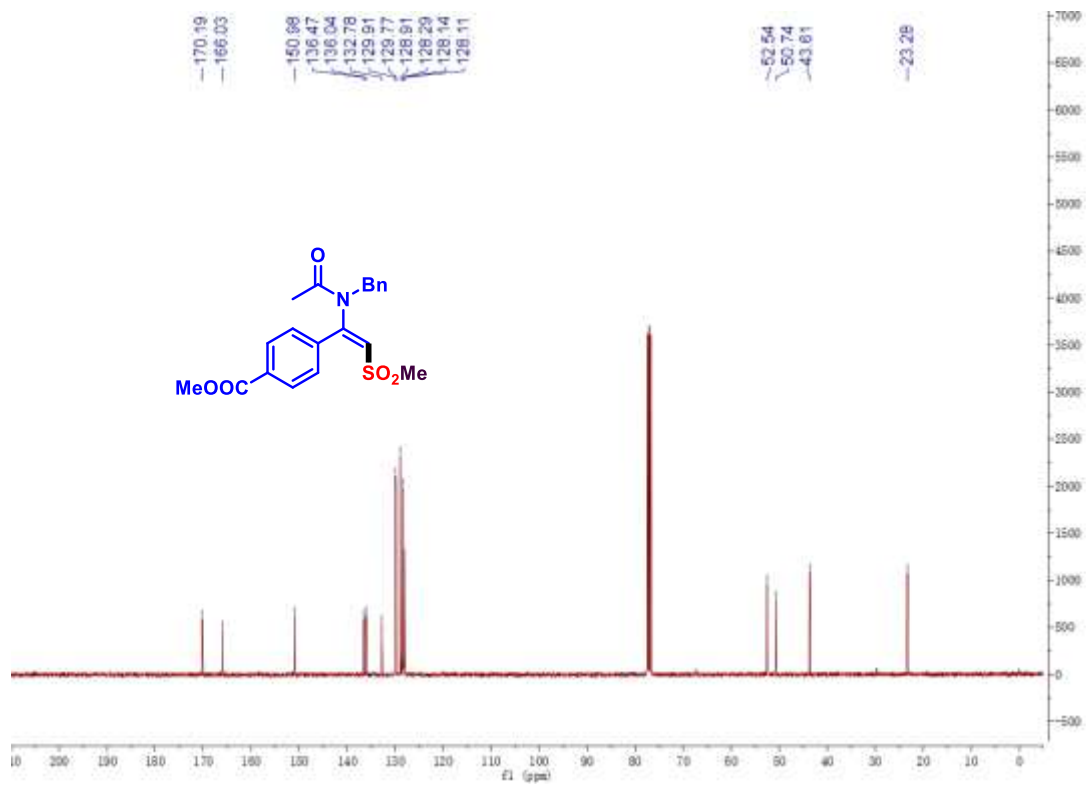
(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(4-(trifluoromethyl)phenyl)vinyl)acetamide
(3fa)



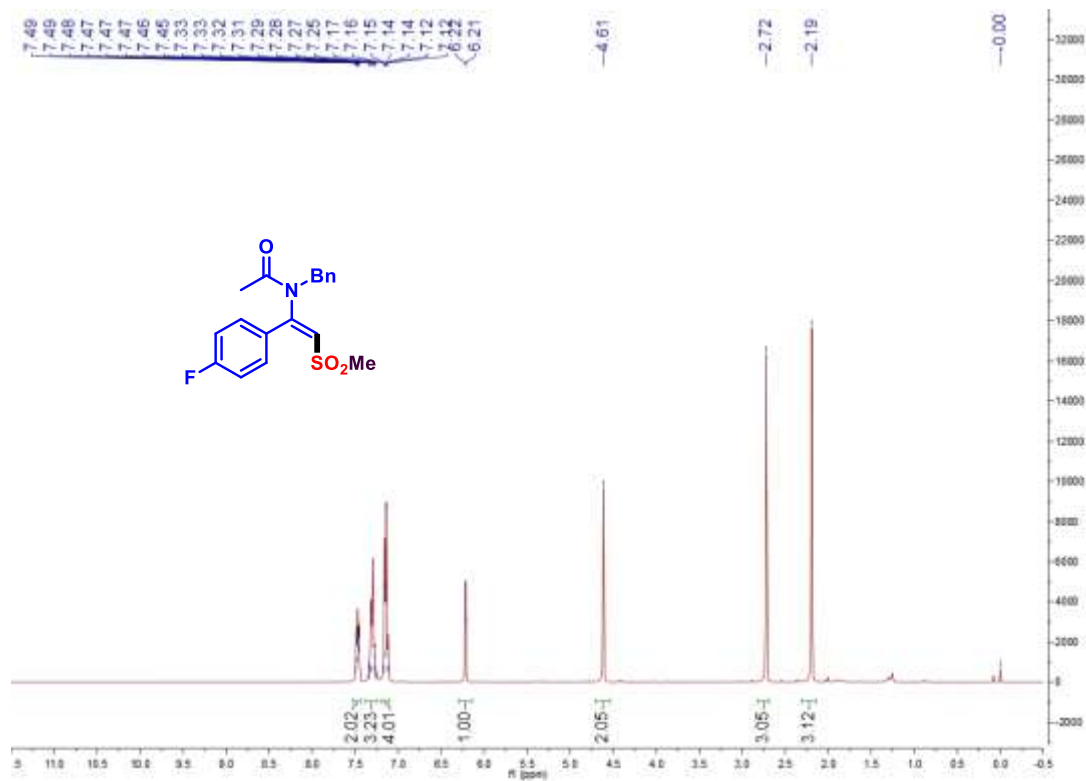


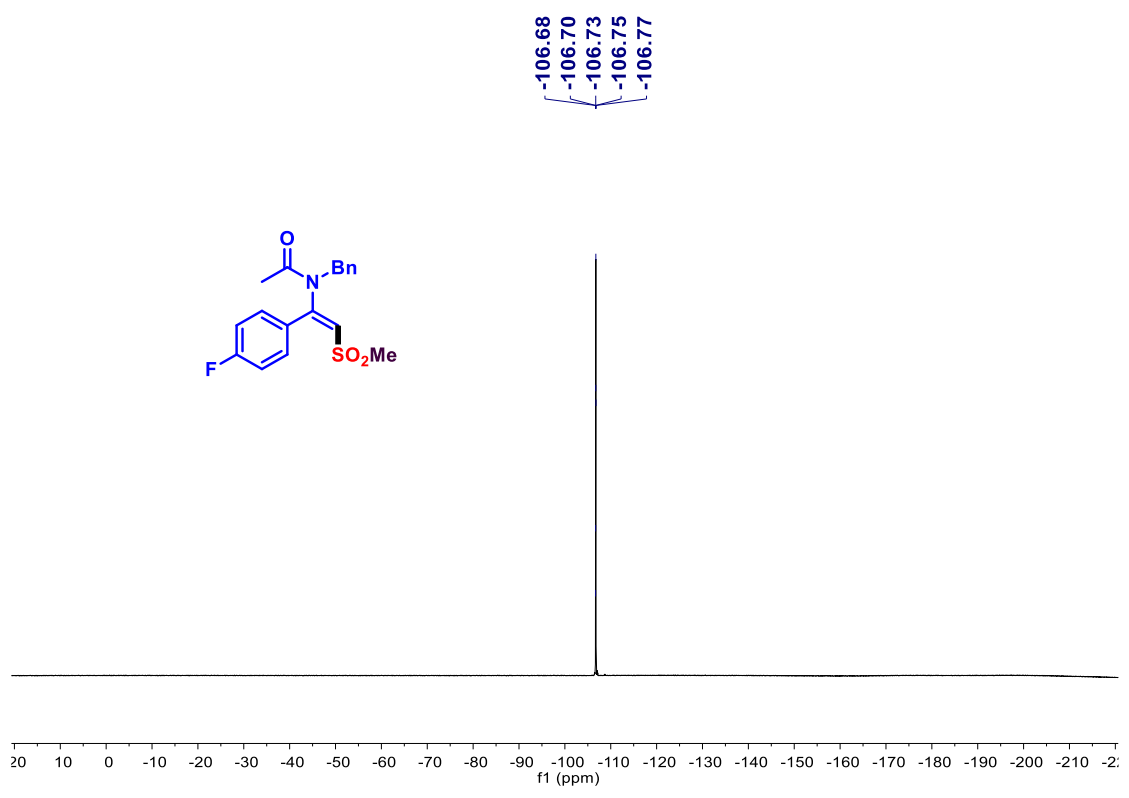
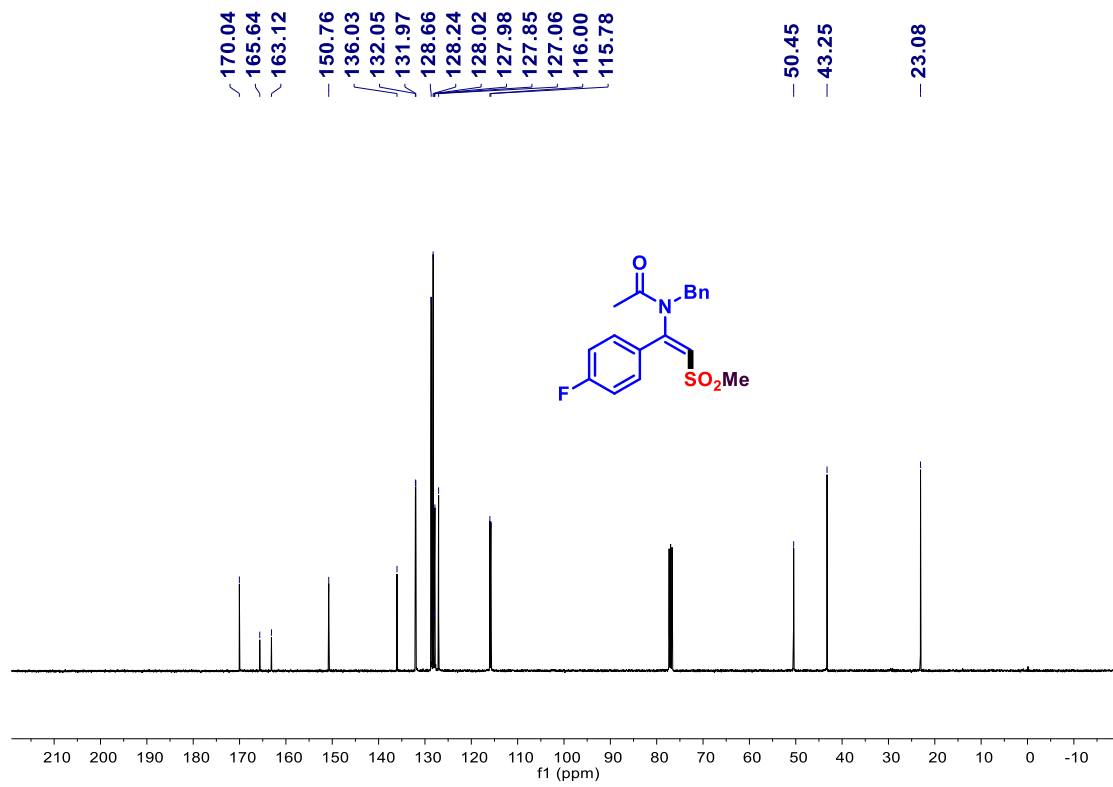
methyl (*E*)-4-(1-(*N*-benzylacetamido)-2-(methylsulfonyl)vinyl)benzoate (**3ga**)



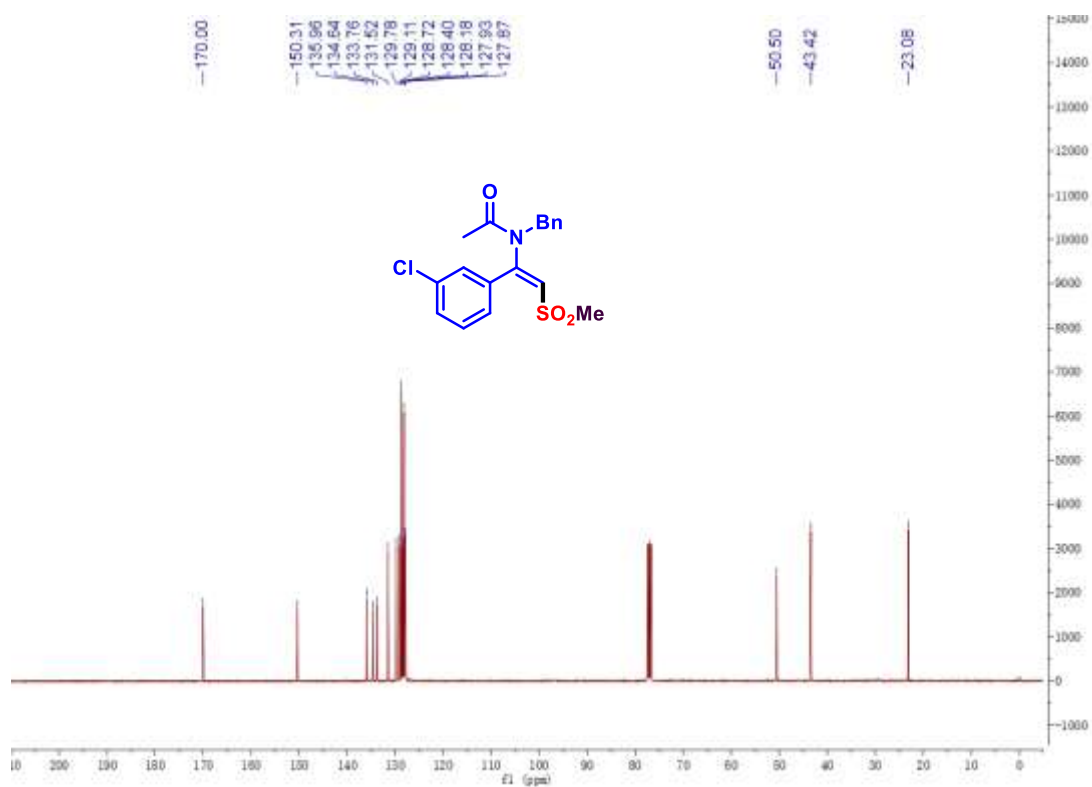
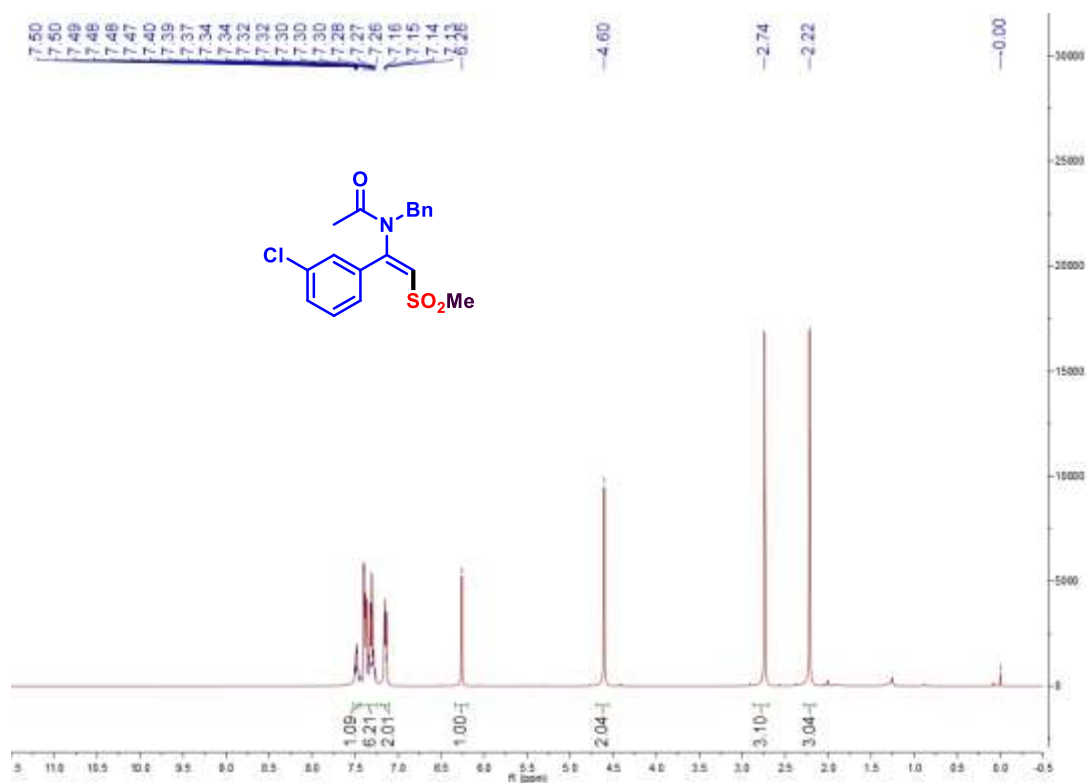


(E)-N-benzyl-N-(1-(4-fluorophenyl)-2-(methylsulfonyl)vinyl)acetamide (**3ha**)

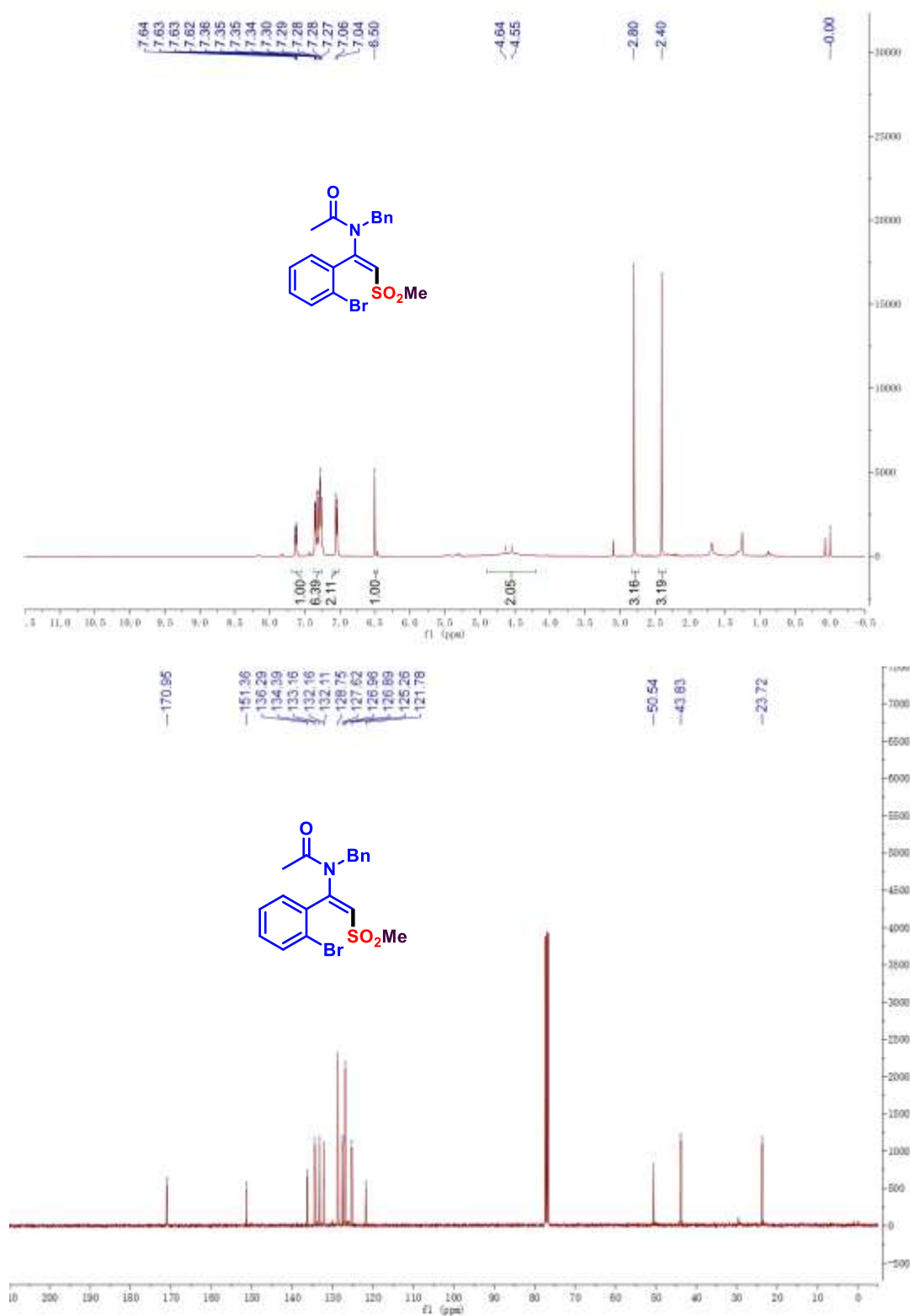




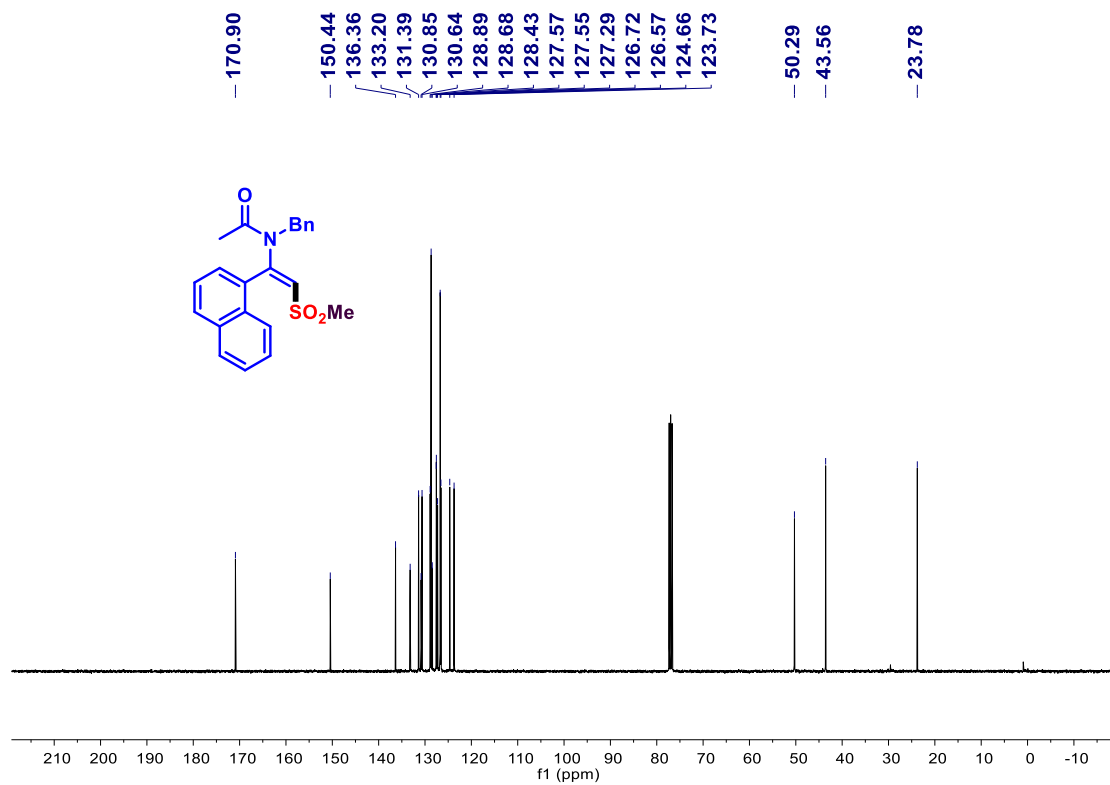
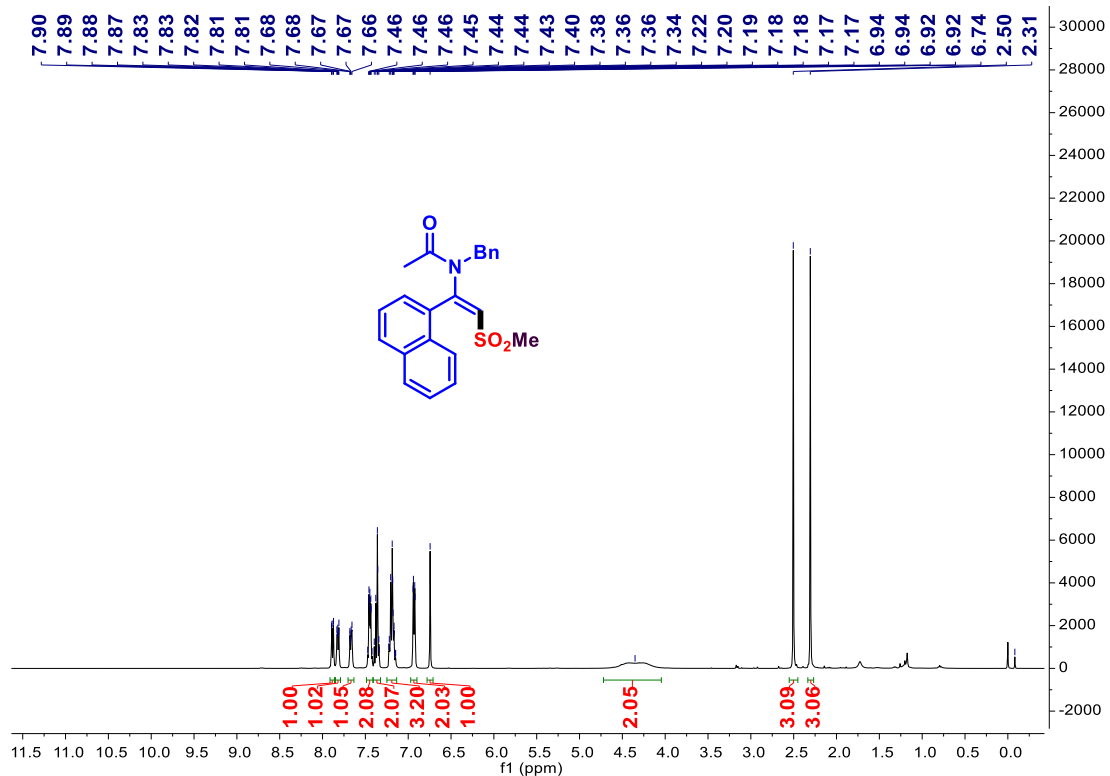
(E)-N-benzyl-N-(1-(3-chlorophenyl)-2-(methylsulfonyl)vinyl)acetamide (**3ia**)



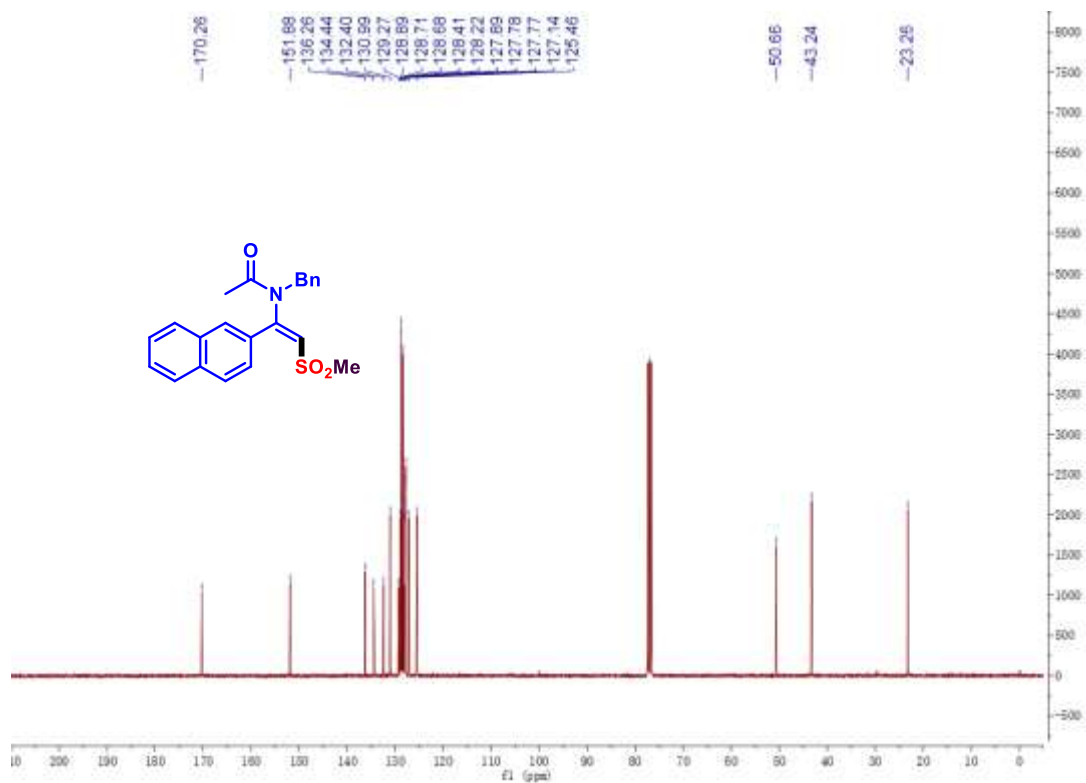
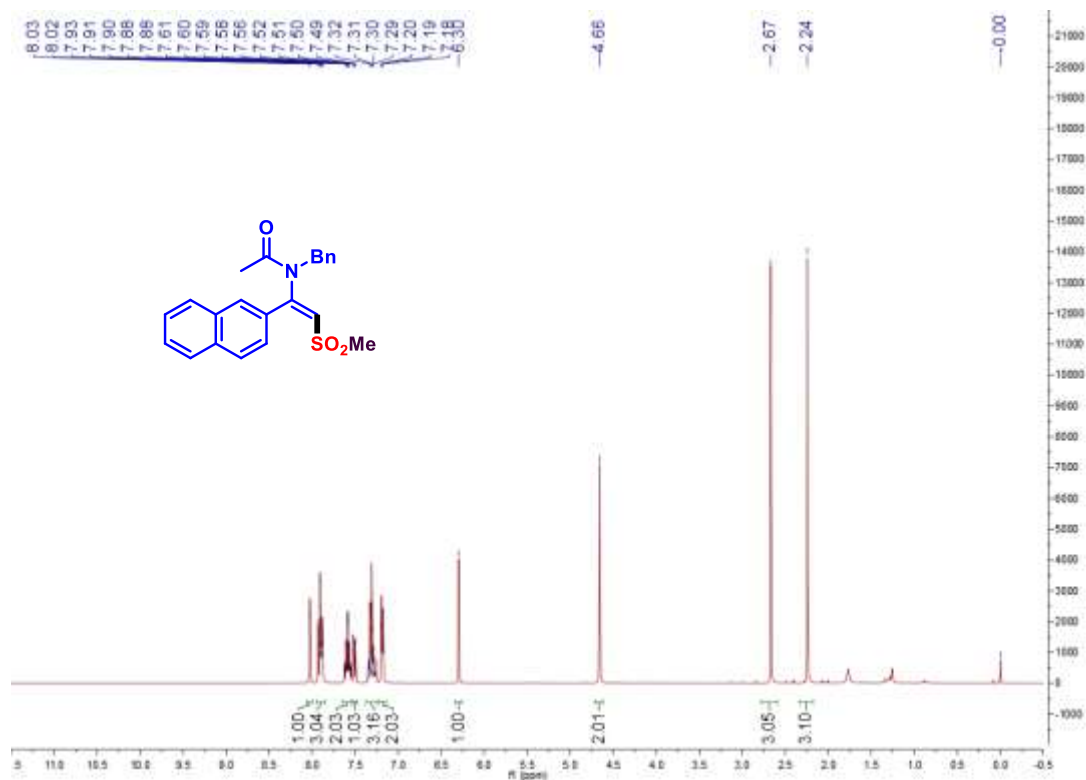
(*E*)-*N*-benzyl-*N*-(1-(2-bromophenyl)-2-(methylsulfonyl)vinyl)acetamide (**3ja**)



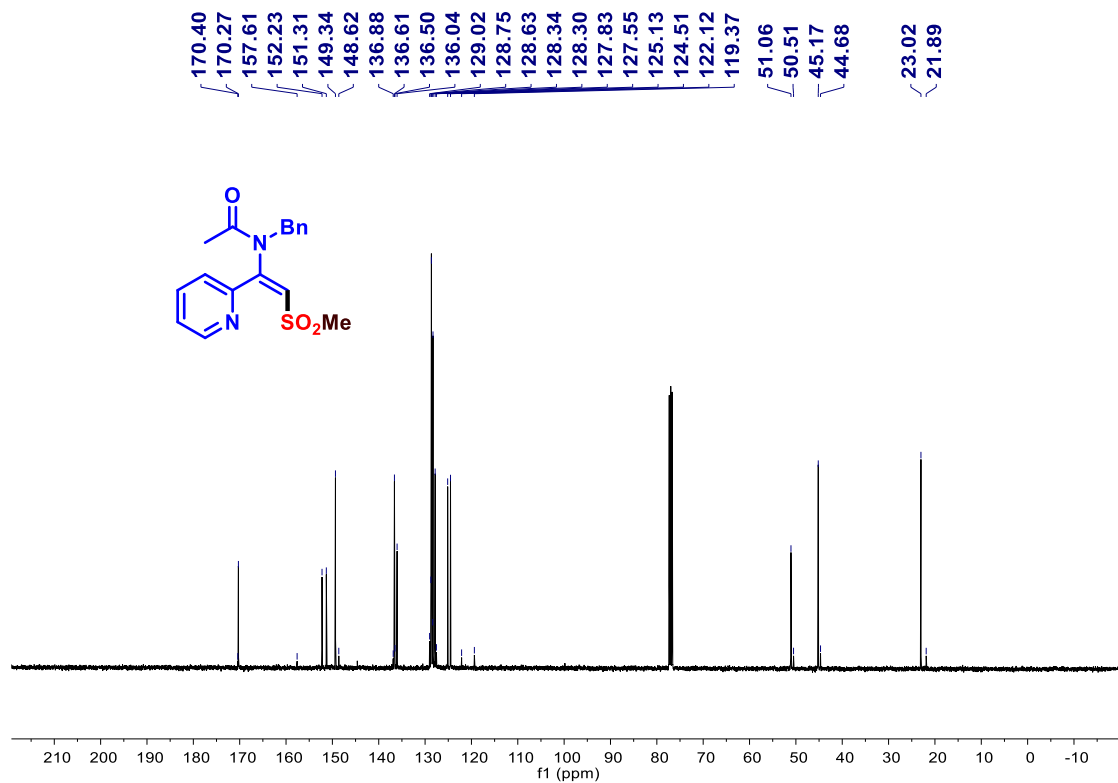
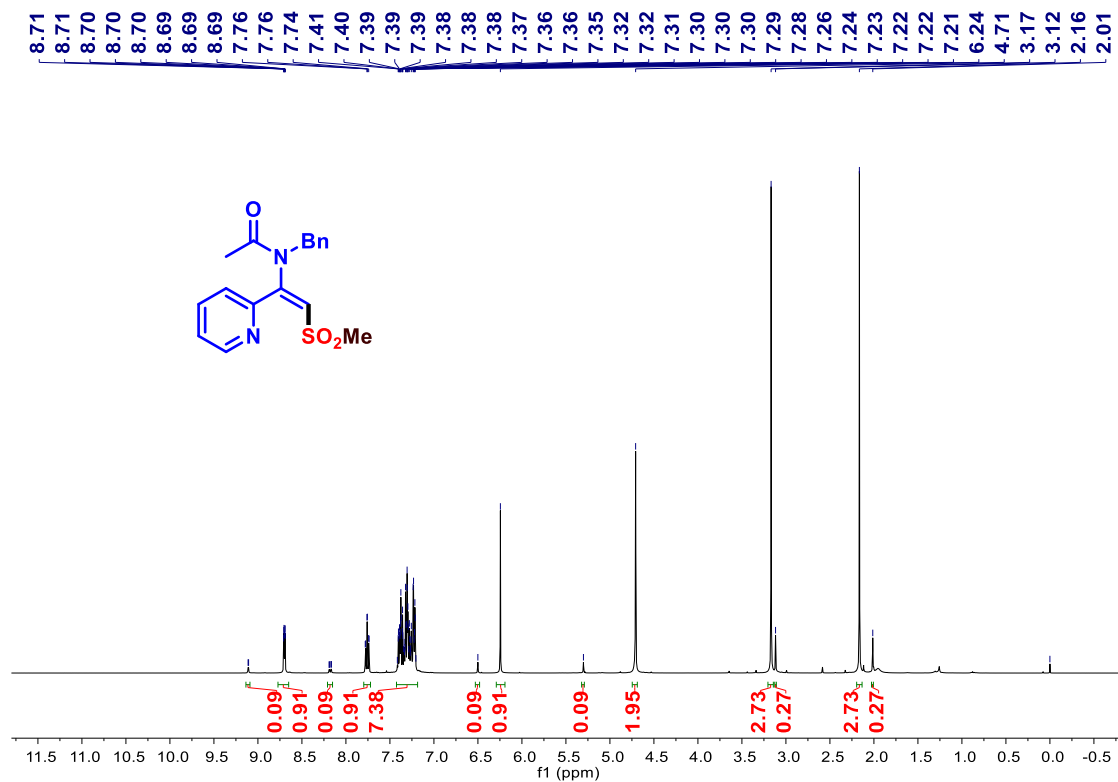
(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(naphthalen-2-yl)vinyl)acetamide (**3ka**)



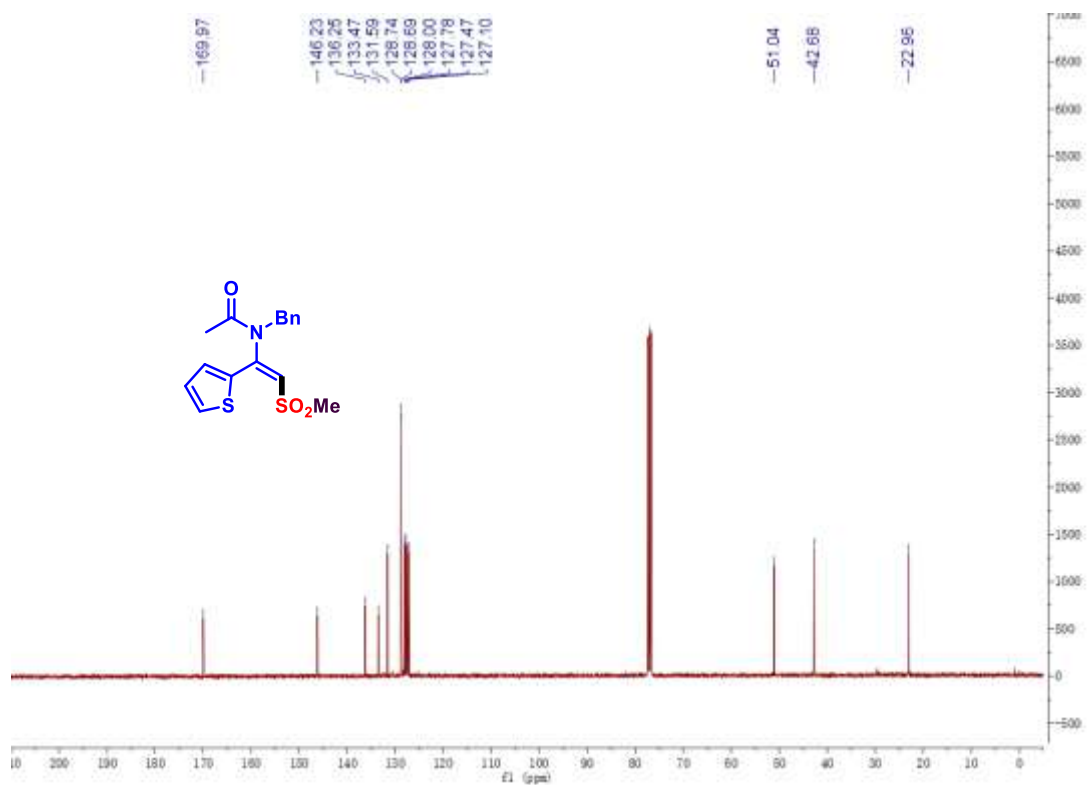
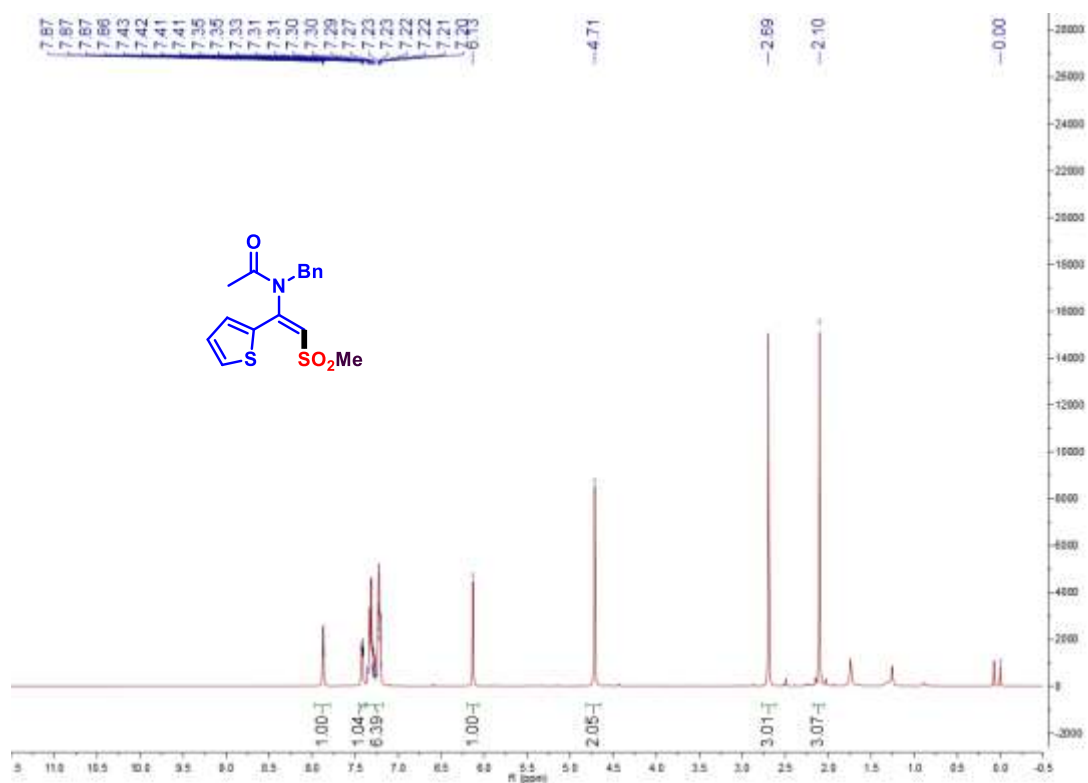
(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(naphthalen-2-yl)vinyl)acetamide (**3la**)



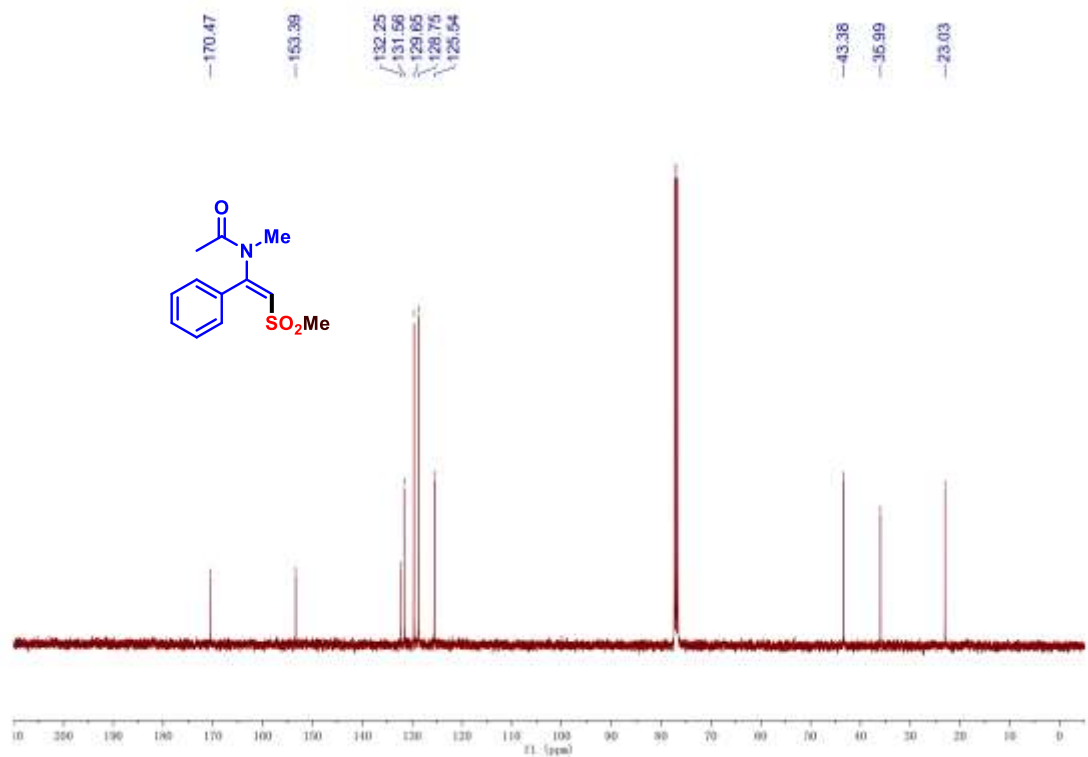
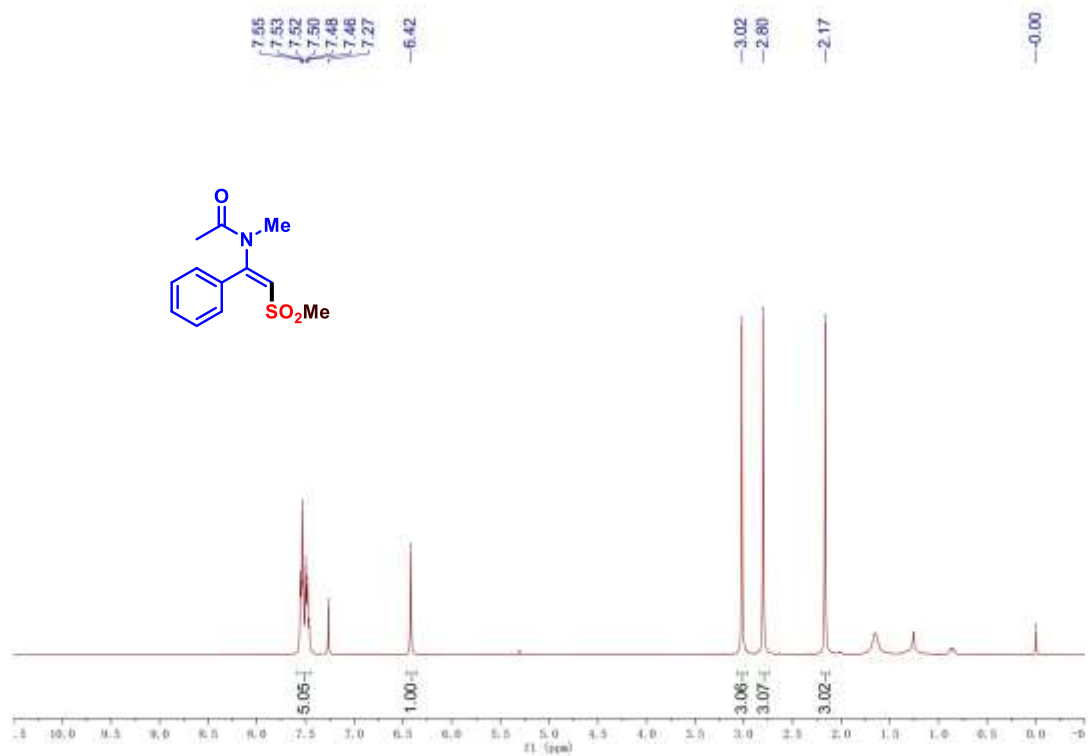
(E)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(pyridin-2-yl)vinyl)acetamide (**3ma**)



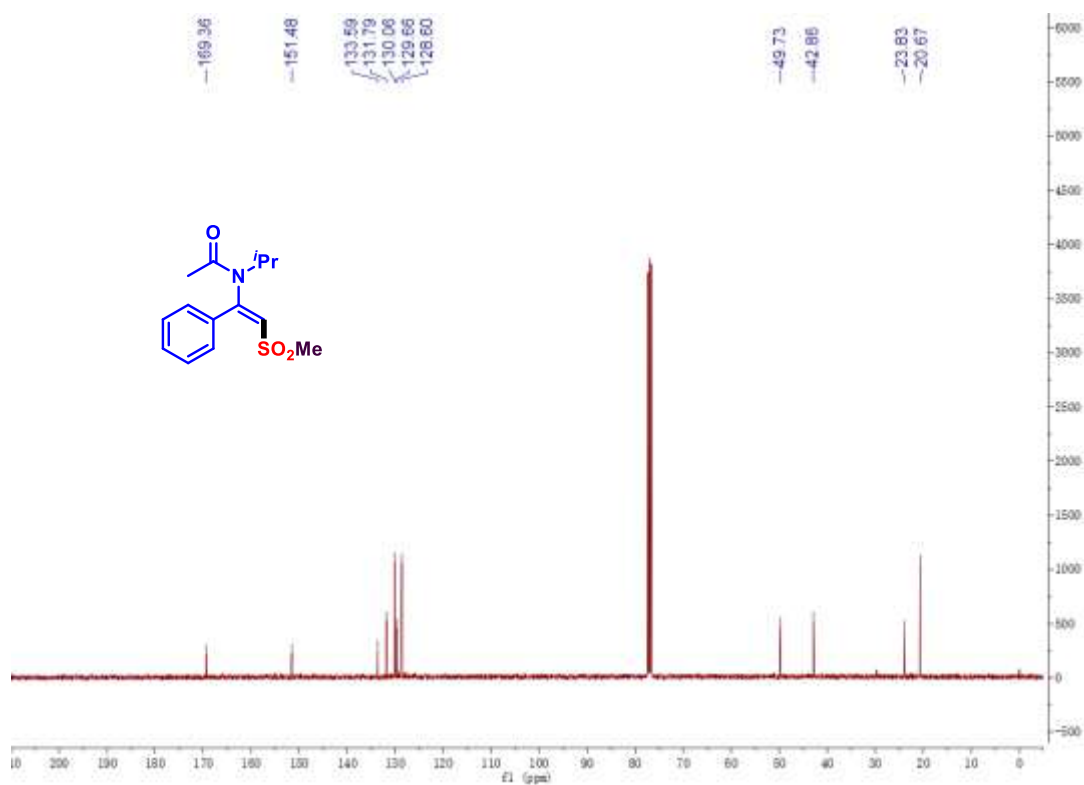
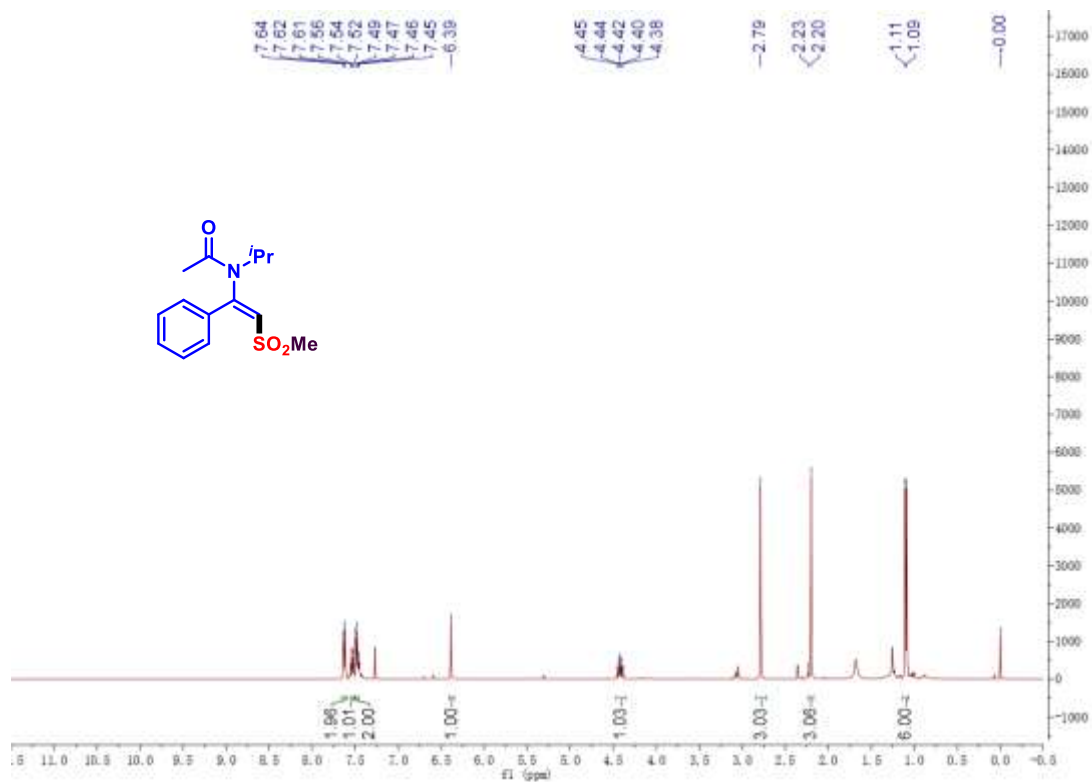
(*E*)-*N*-benzyl-*N*-(2-(methylsulfonyl)-1-(thiophen-2-yl)vinyl)acetamide (**3na**)



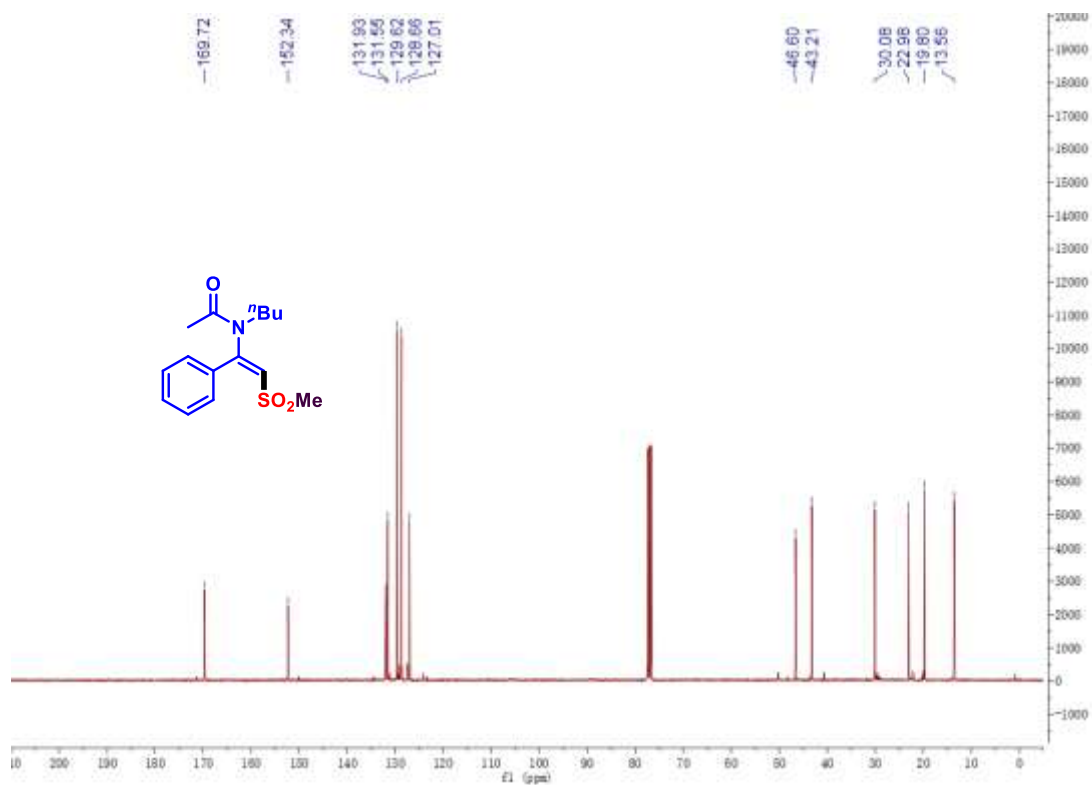
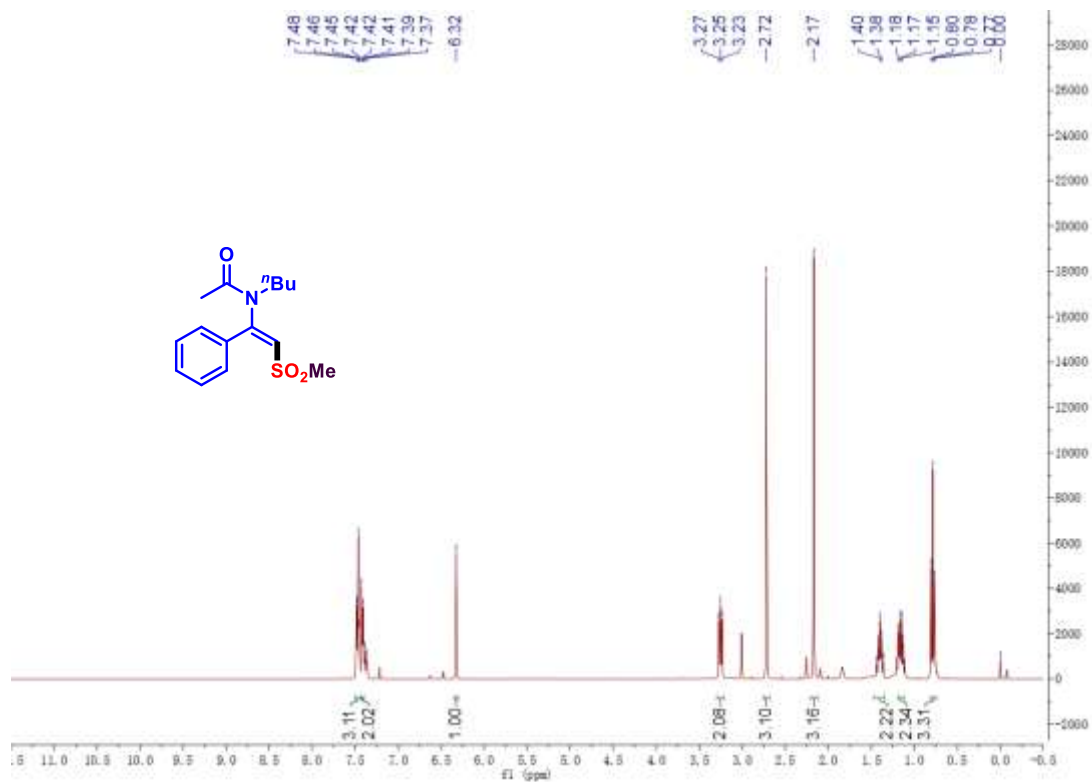
(*E*)-*N*-methyl-*N*-(2-(methylsulfonyl)-1-phenylvinyl)acetamide (**30a**)



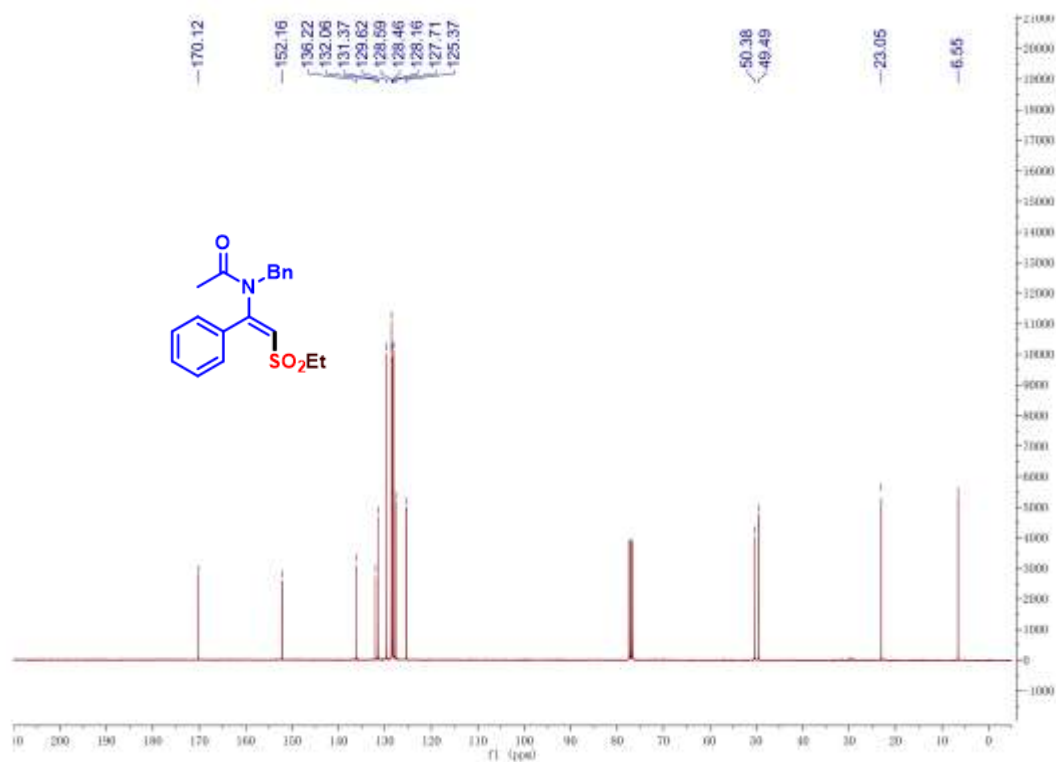
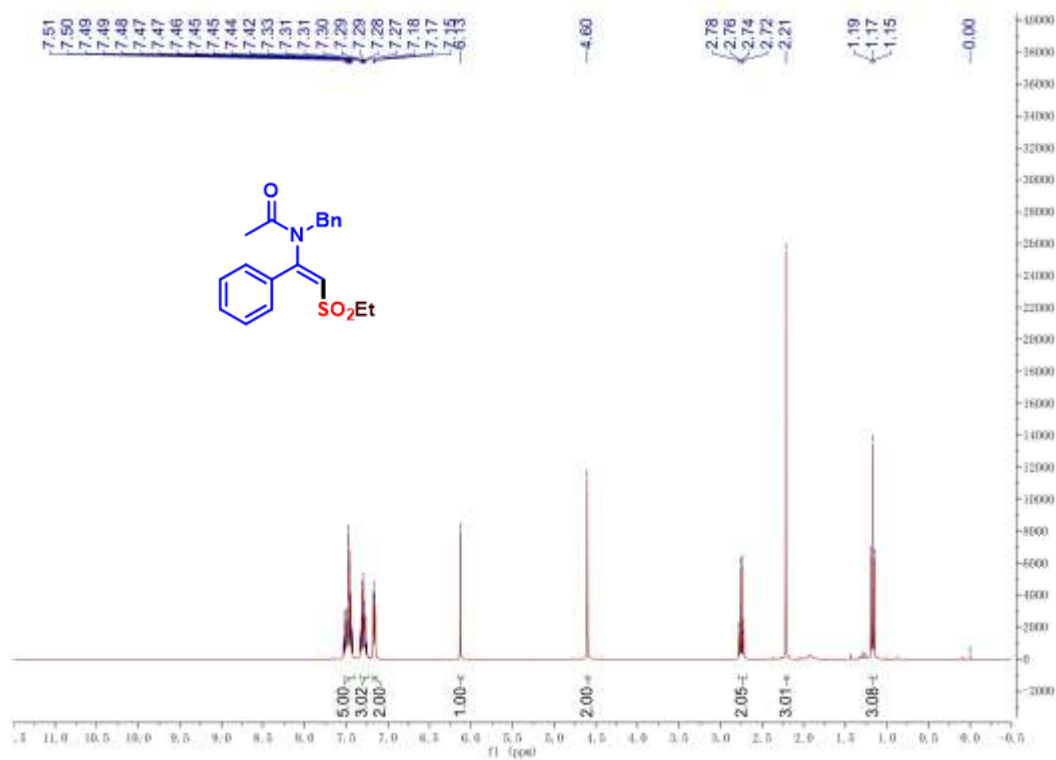
(*E*)-*N*-isopropyl-*N*-(2-(methylsulfonyl)-1-phenylvinyl)acetamide (**3pa**)



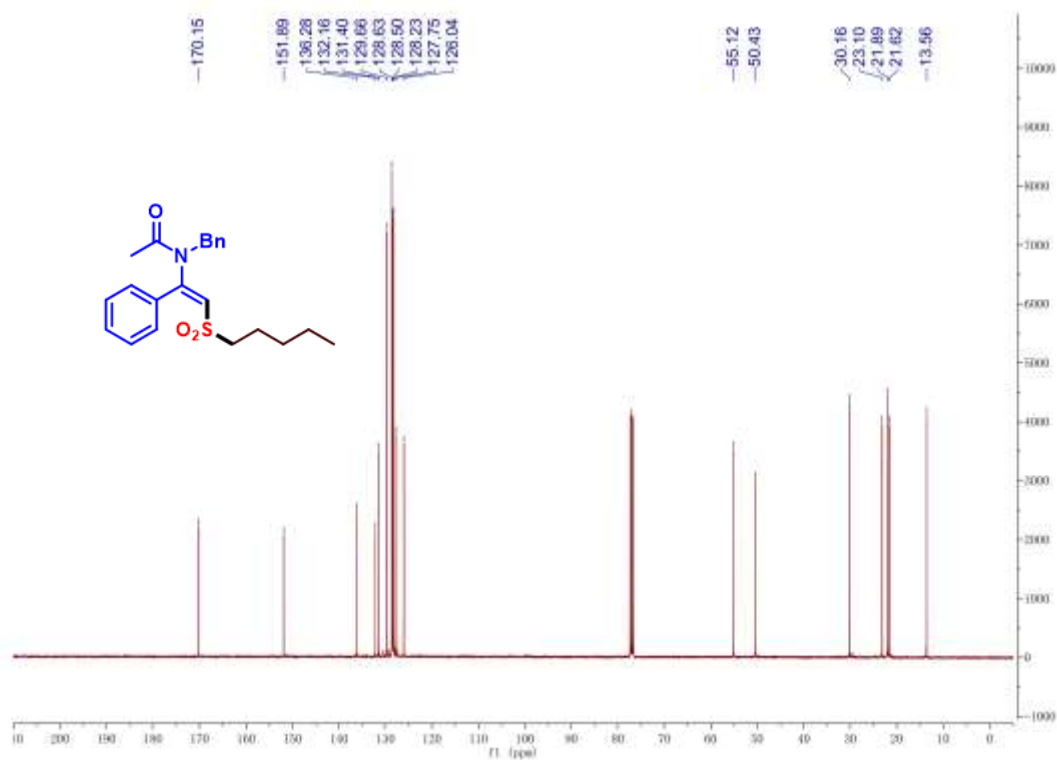
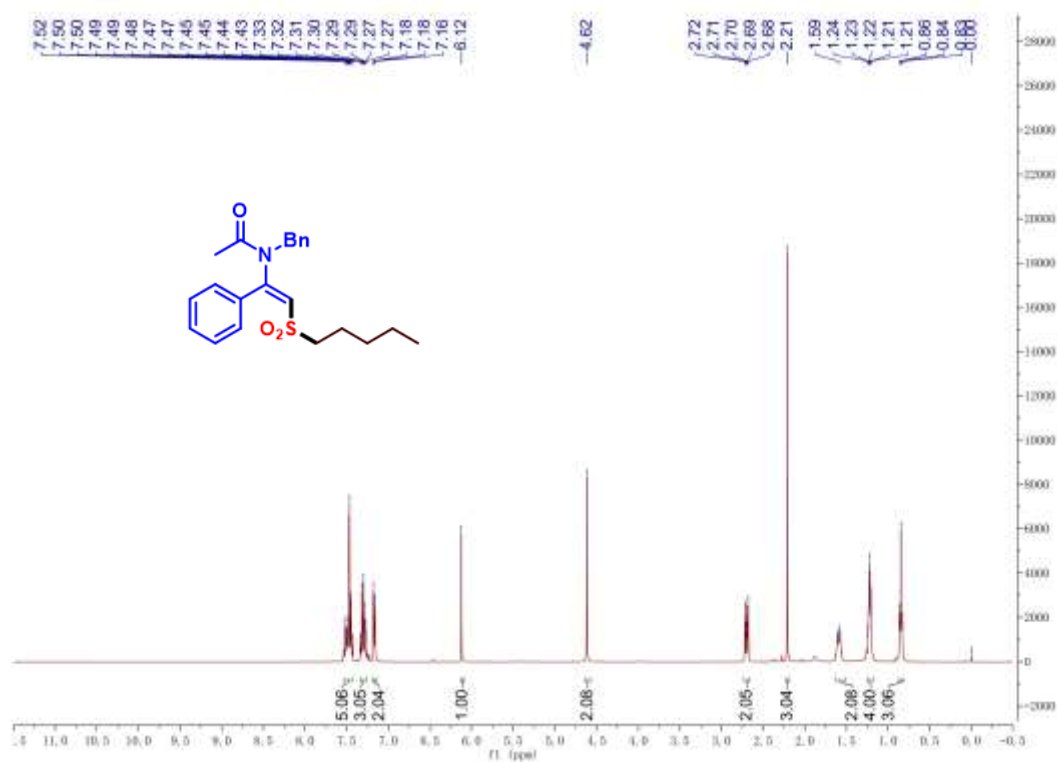
(*E*)-*N*-butyl-*N*-(2-(methylsulfonyl)-1-phenylvinyl)acetamide (**3qa**)



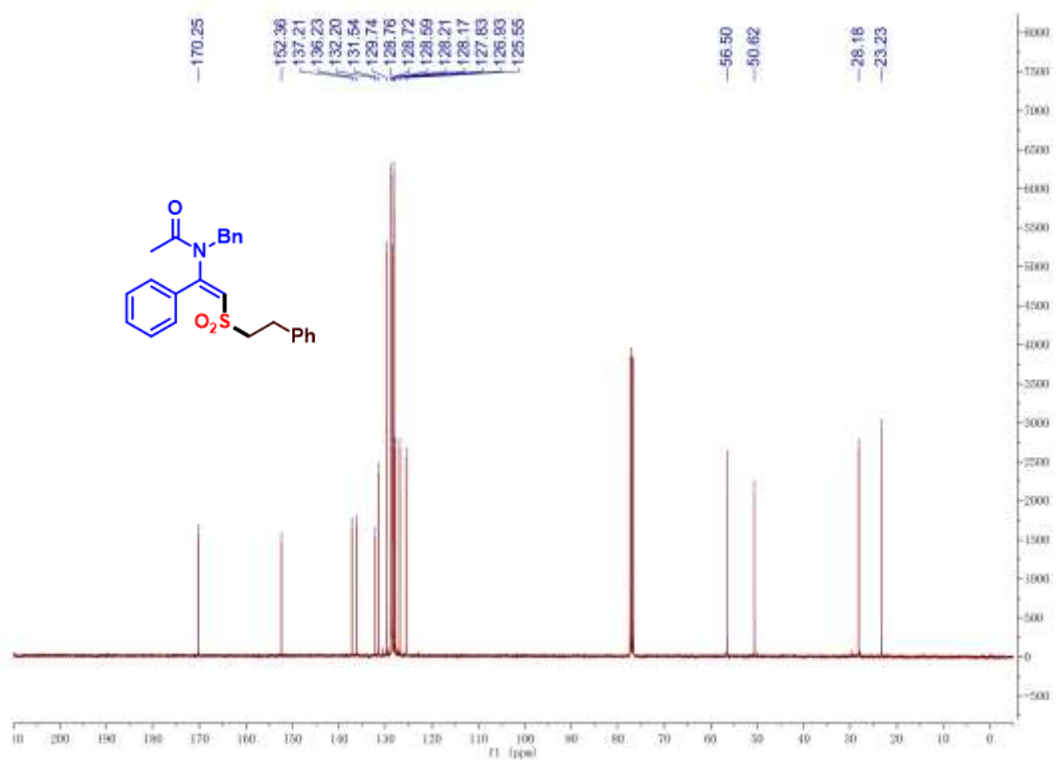
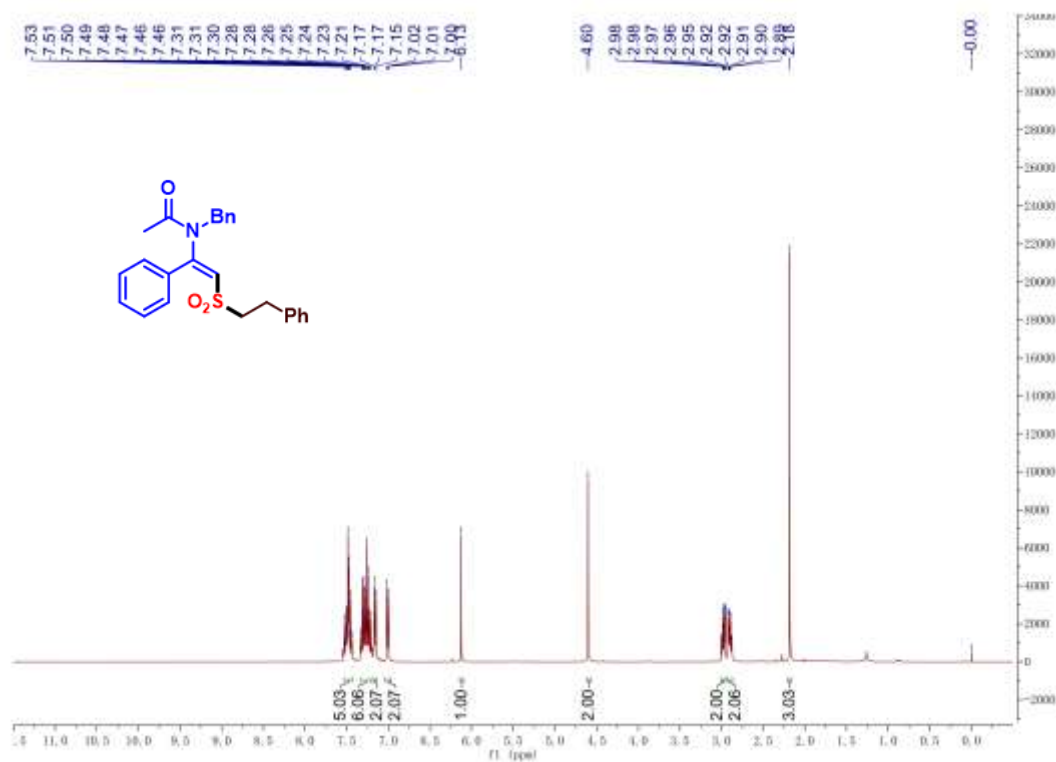
(*E*)-*N*-benzyl-*N*-(2-(ethylsulfonyl)-1-phenylvinyl)acetamide (**3ab**)



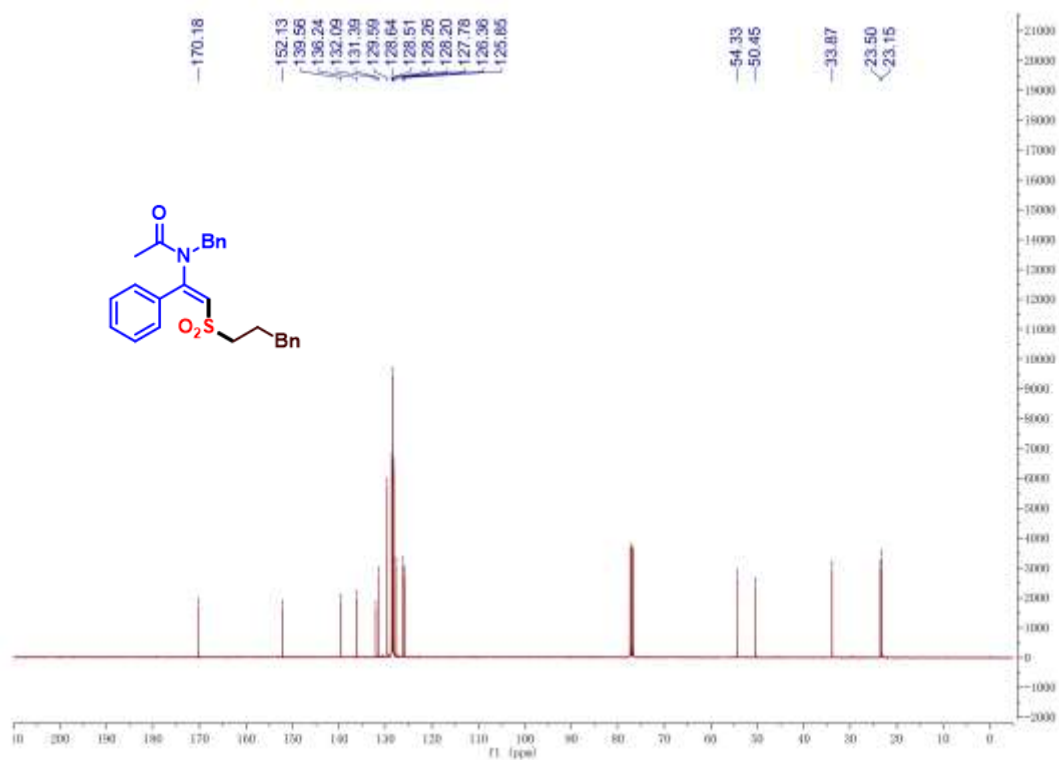
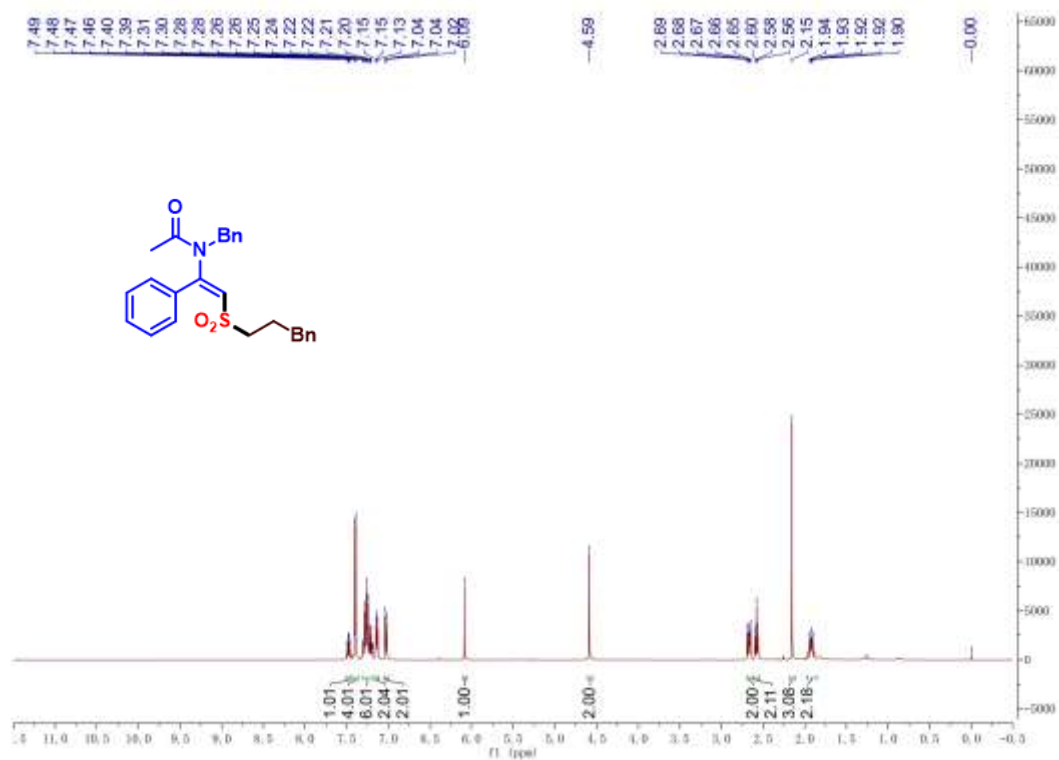
(E)-N-benzyl-N-(2-(pentylsulfonyl)-1-phenylvinyl)acetamide (**3ac**)



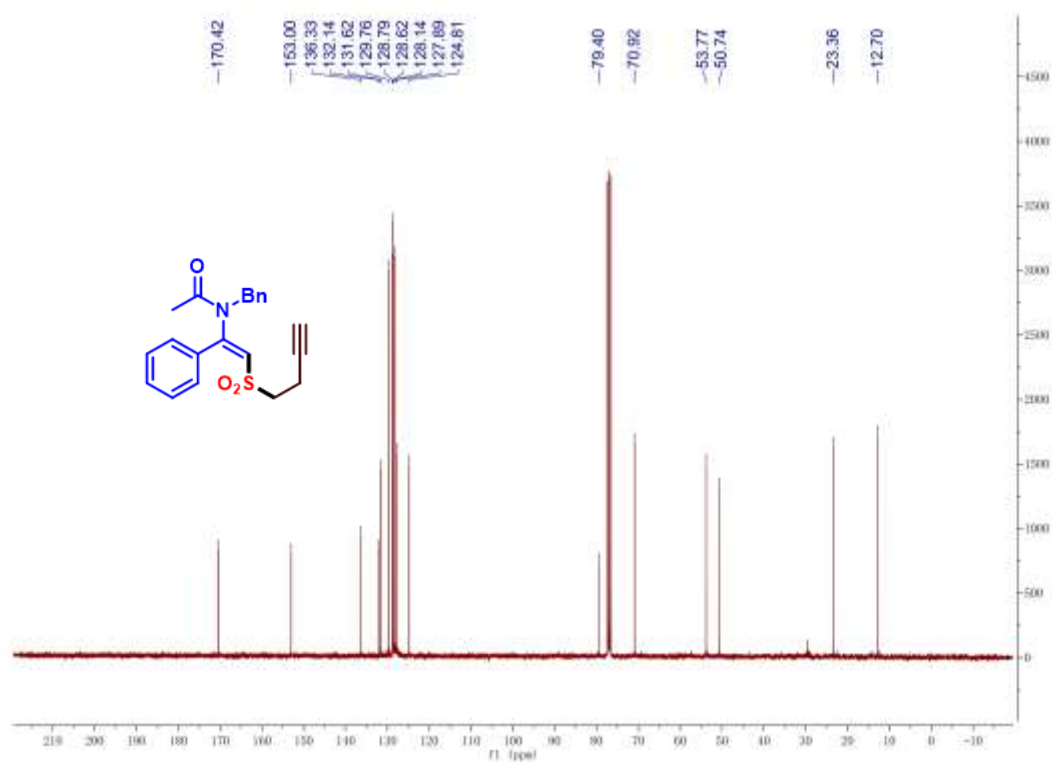
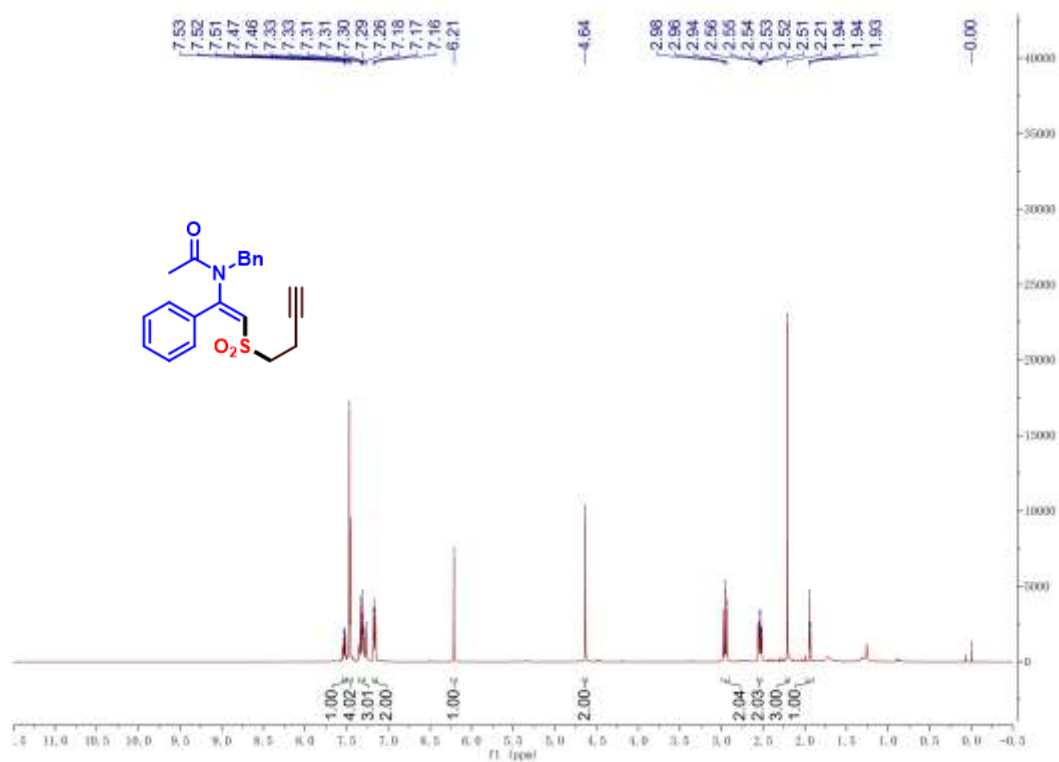
(E)-N-benzyl-N-(2-(phenethylsulfonyl)-1-phenylvinyl)acetamide (**3ad**)



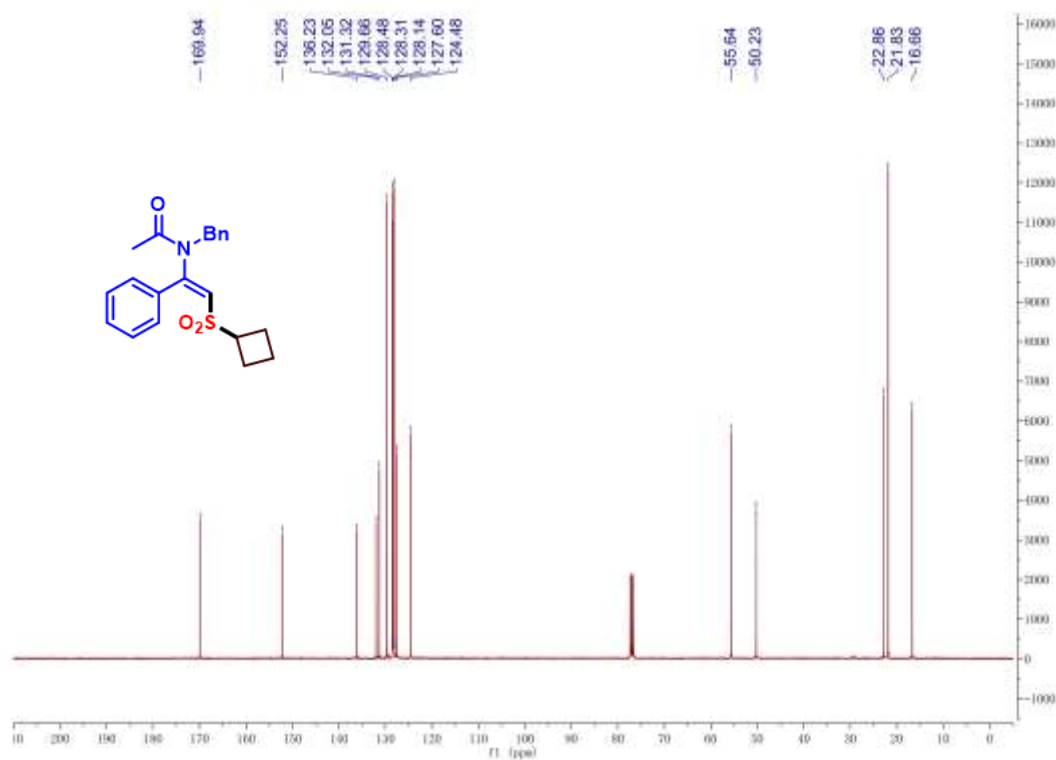
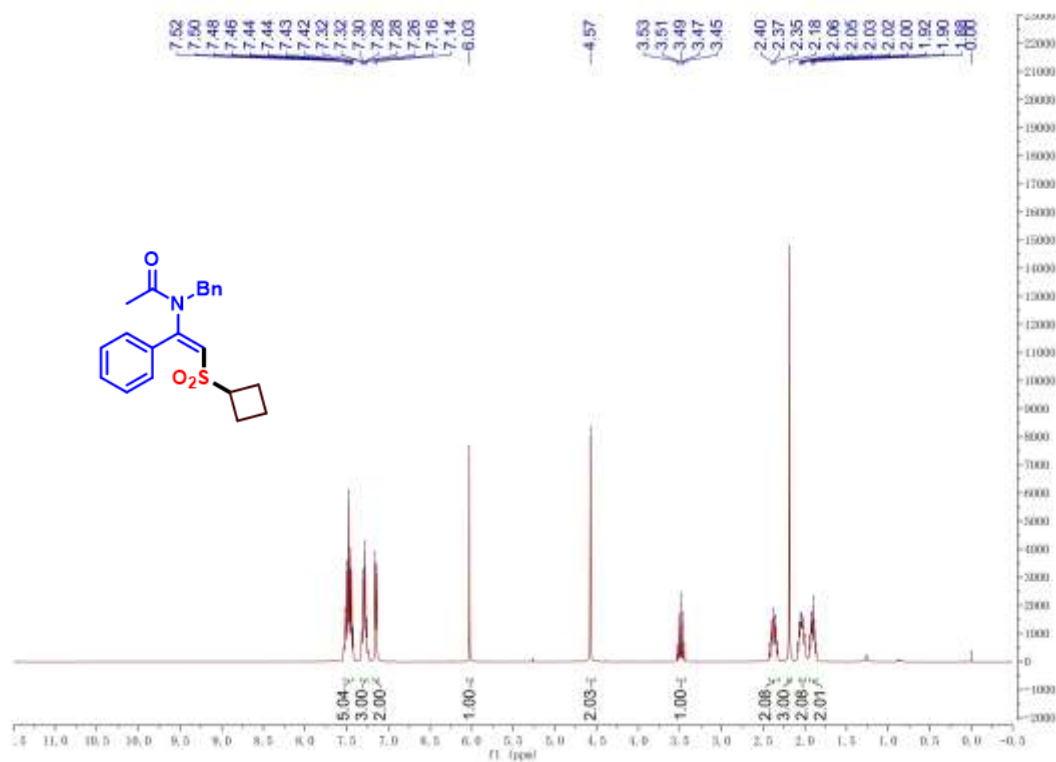
(E)-N-benzyl-N-(1-phenyl-2-((3-phenylpropyl)sulfonyl)vinyl)acetamide (**3ae**)



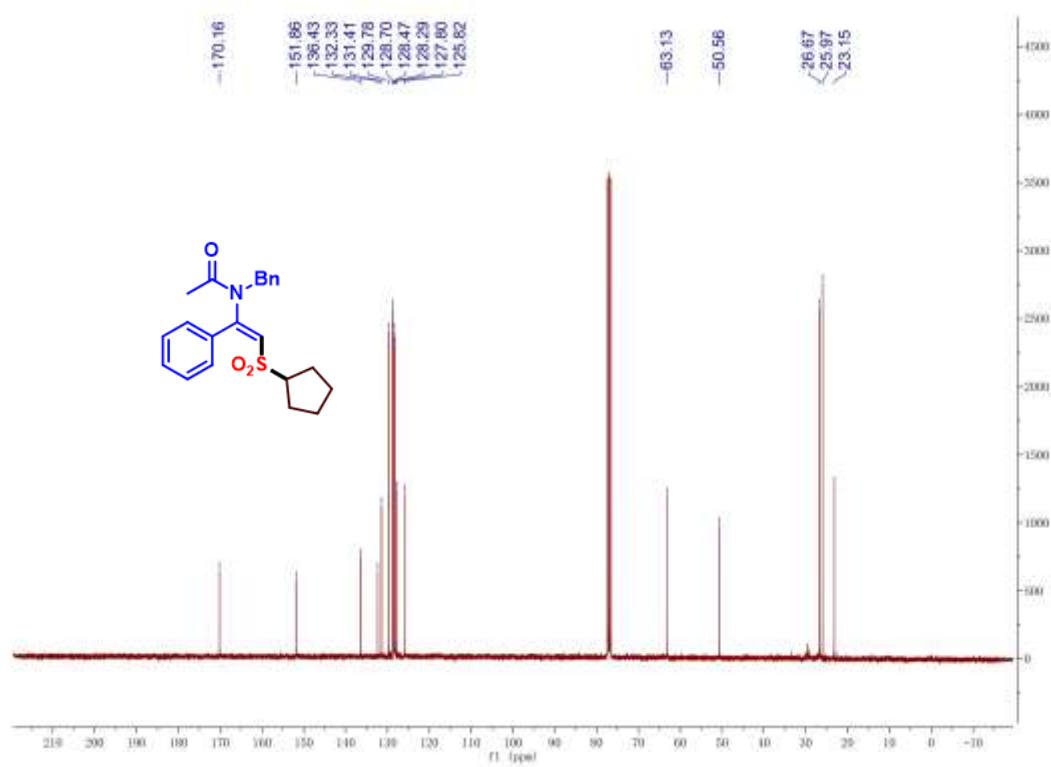
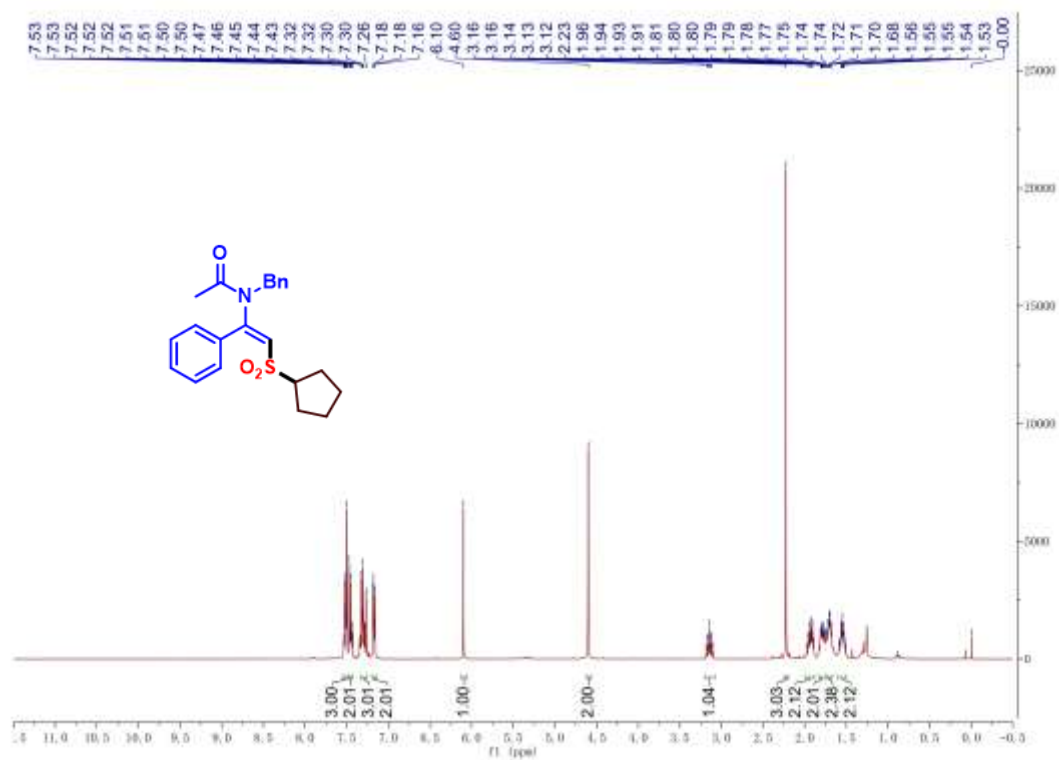
(*E*)-*N*-benzyl-*N*-(2-(but-3-yn-1-ylsulfonyl)-1-phenylvinyl)acetamide (**3af**)



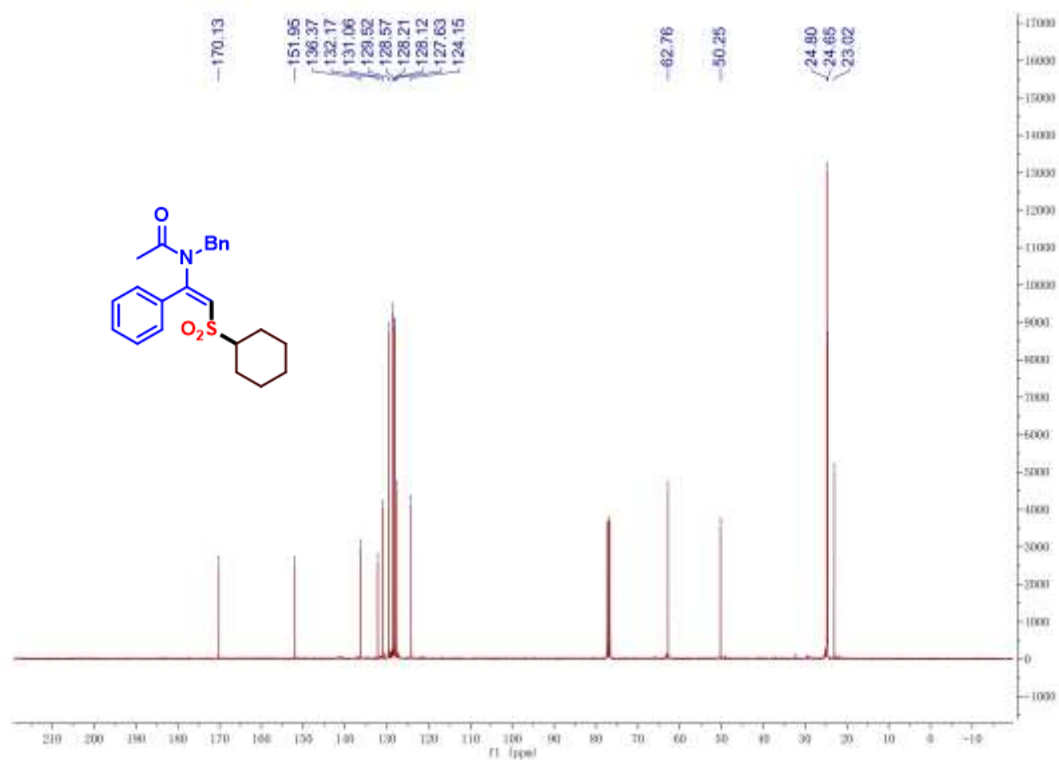
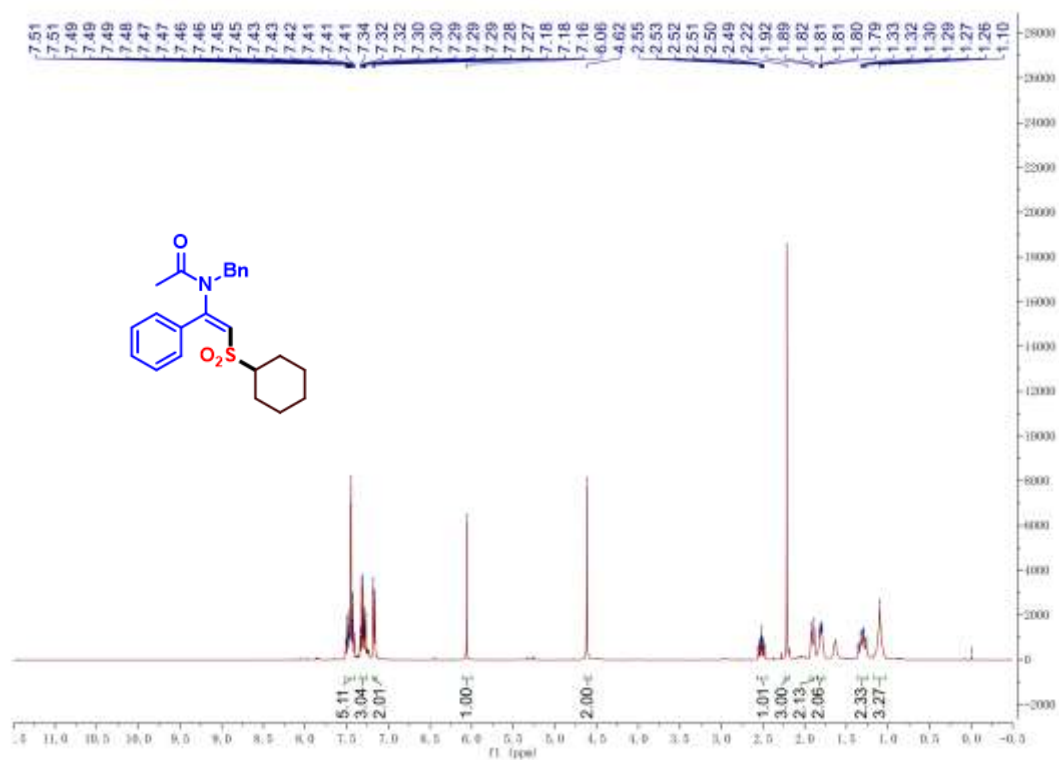
(*E*)-*N*-benzyl-*N*-(2-(cyclobutylsulfonyl)-1-phenylvinyl)acetamide (**3ag**)



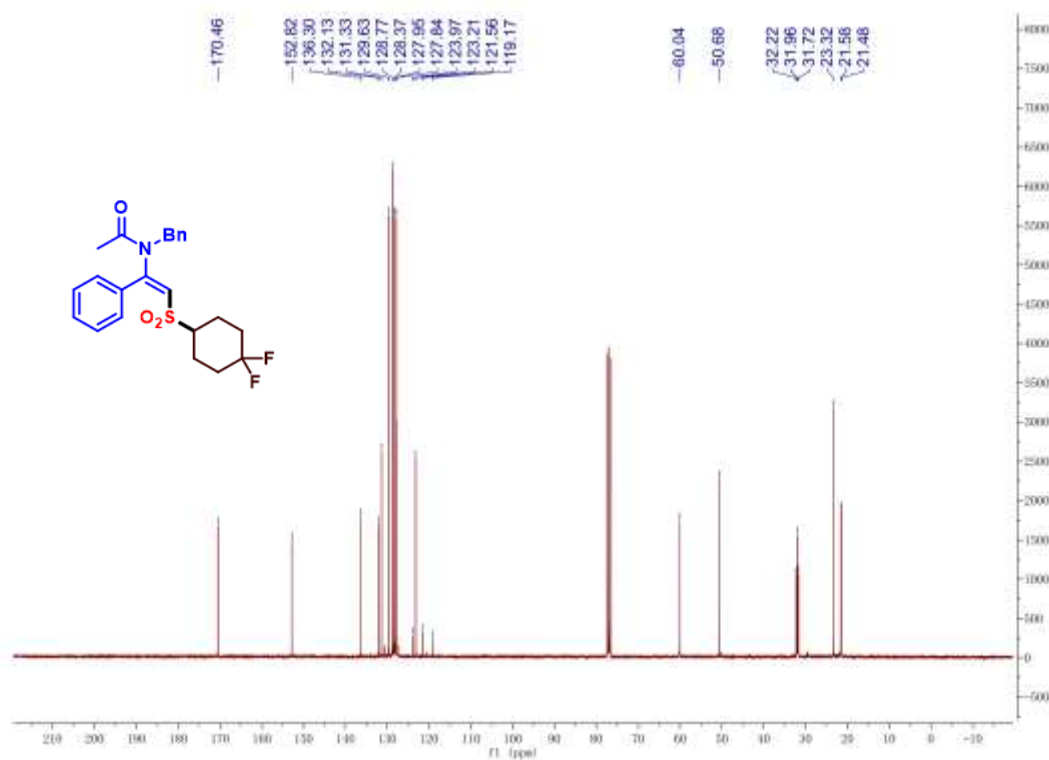
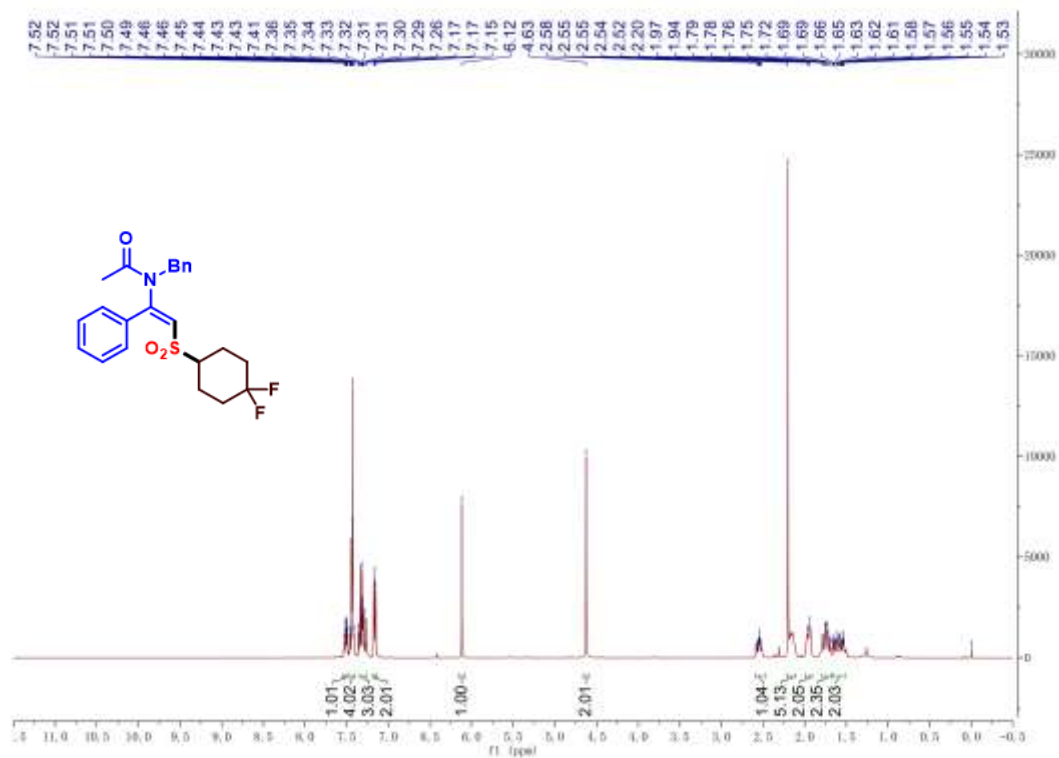
(*E*)-*N*-benzyl-*N*-(2-(cyclobutylsulfonyl)-1-phenylvinyl)acetamide (**3ah**)

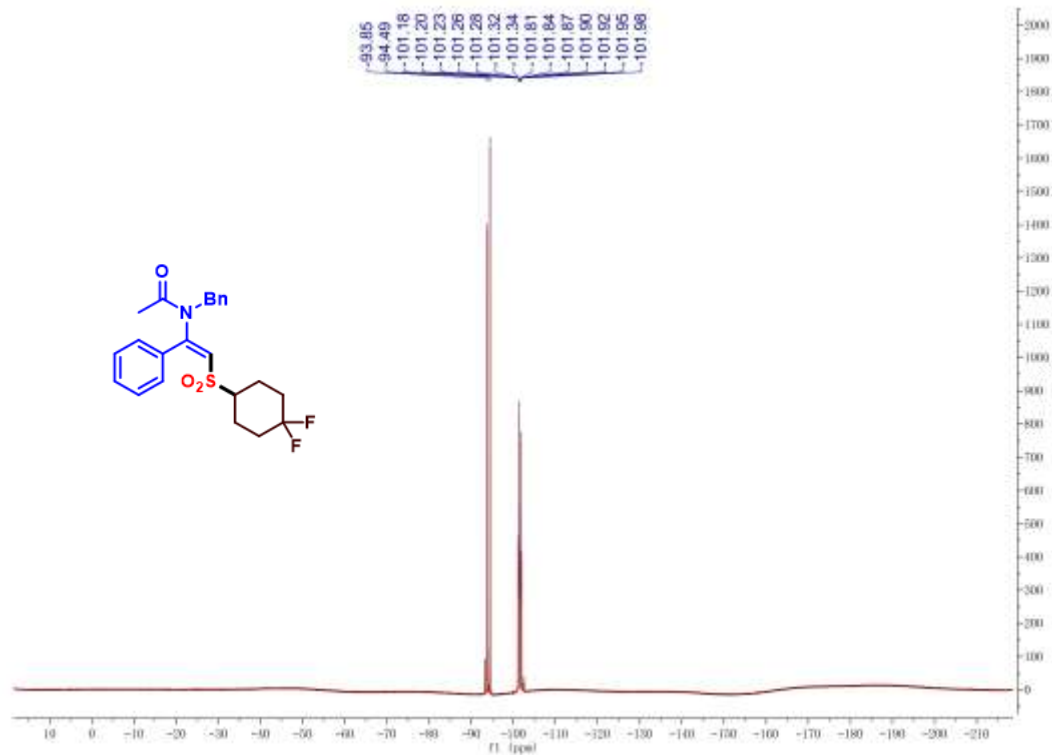


(E)-N-benzyl-N-(2-(cyclohexylsulfonyl)-1-phenylvinyl)acetamide (**3ai**)

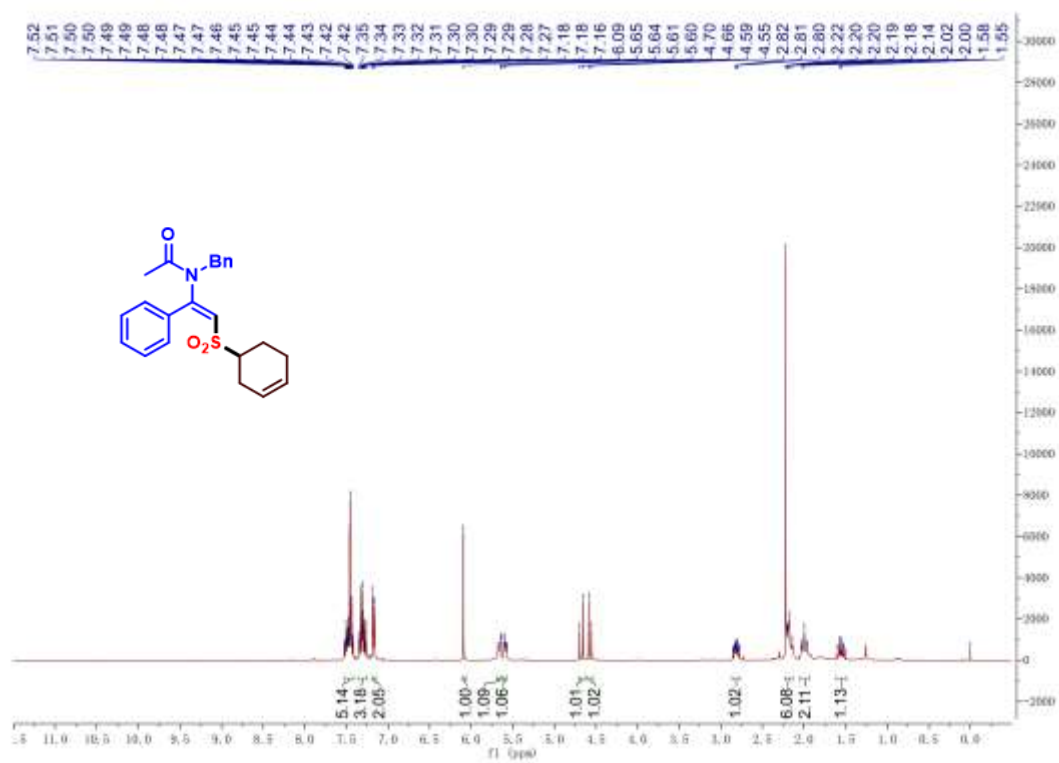


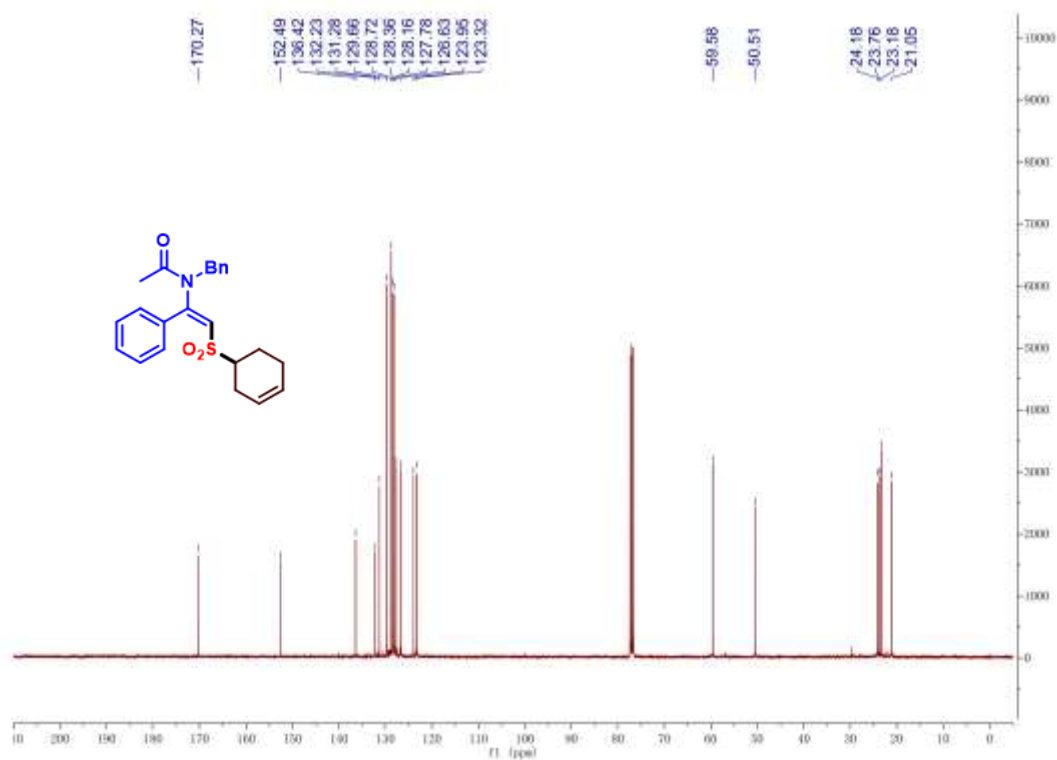
(E)-N-benzyl-N-(2-((4,4-difluorocyclohexyl)sulfonyl)-1-phenylvinyl)acetamide (**3aj**)



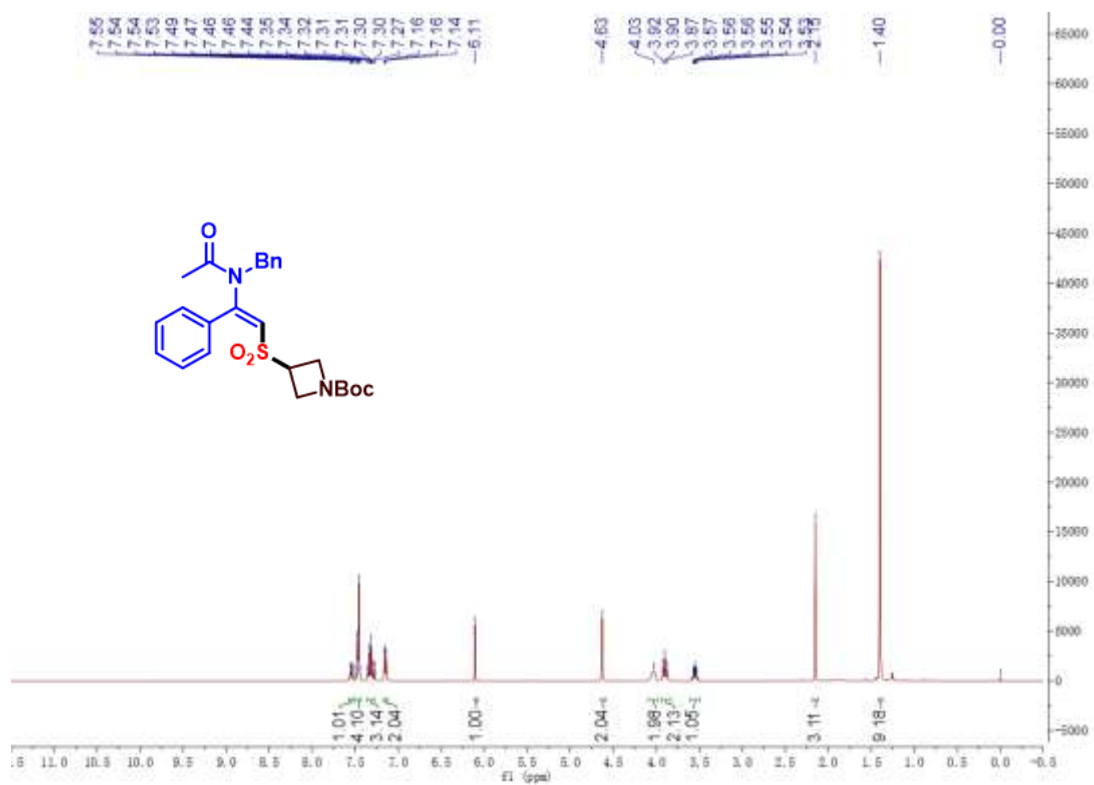


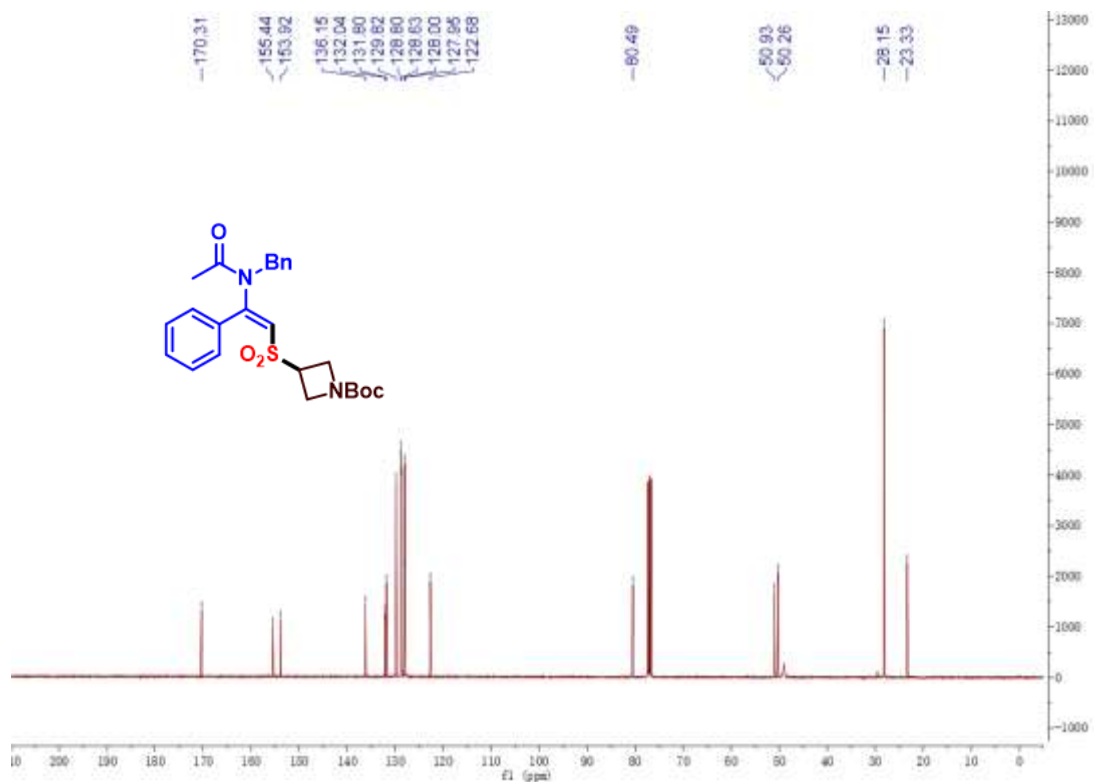
(E)-*N*-benzyl-*N*-(2-(cyclohex-3-en-1-yl)sulfonyl)-1-phenylvinylacetamide (**3ak**)



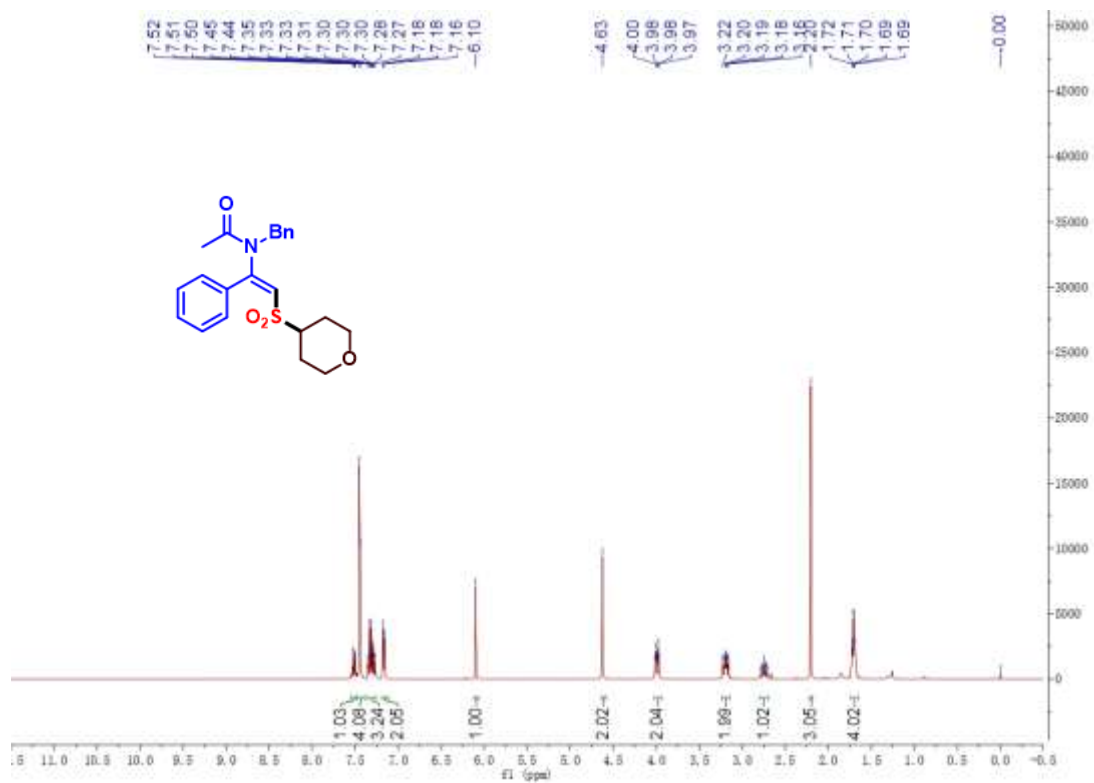


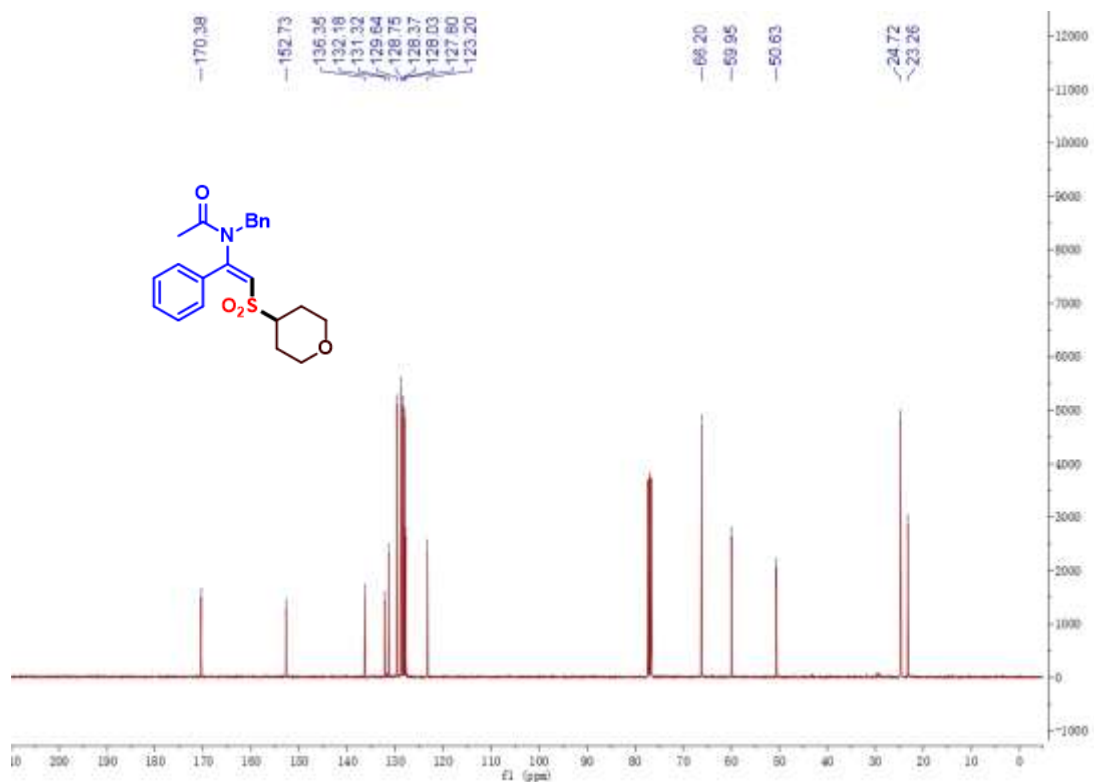
tert-butyl(*E*)-3-((2-(*N*-benzylacetamido)-2-phenylvinyl)sulfonyl)azetidine-1-carboxylate (**3a**)



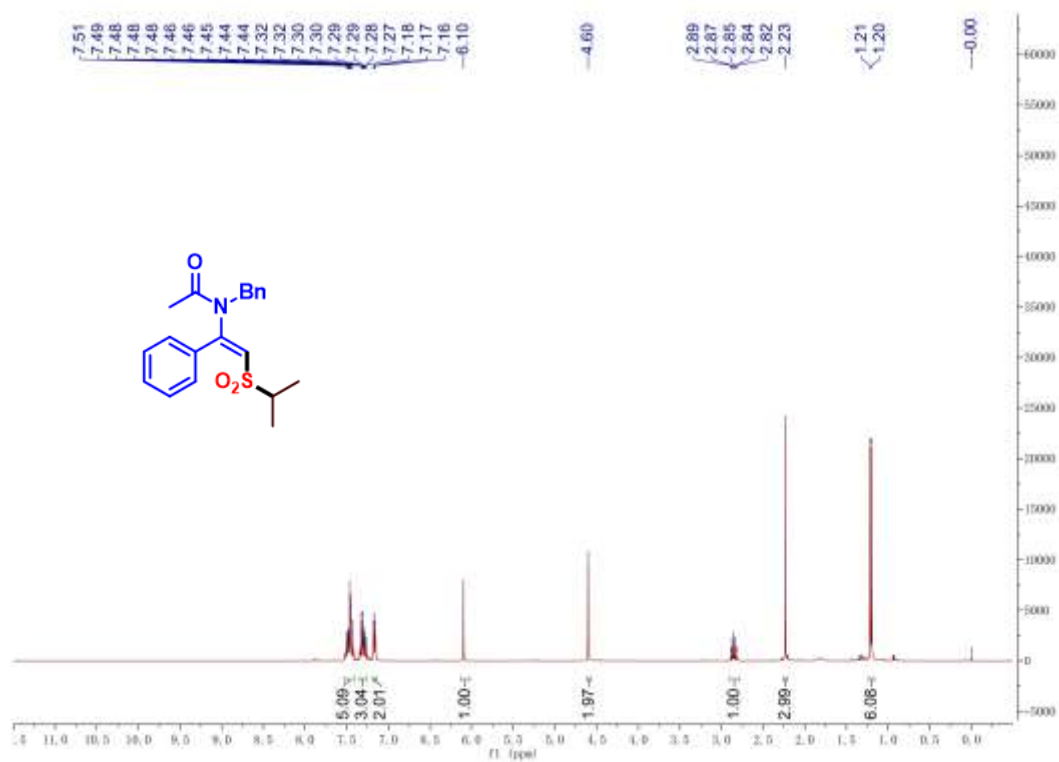


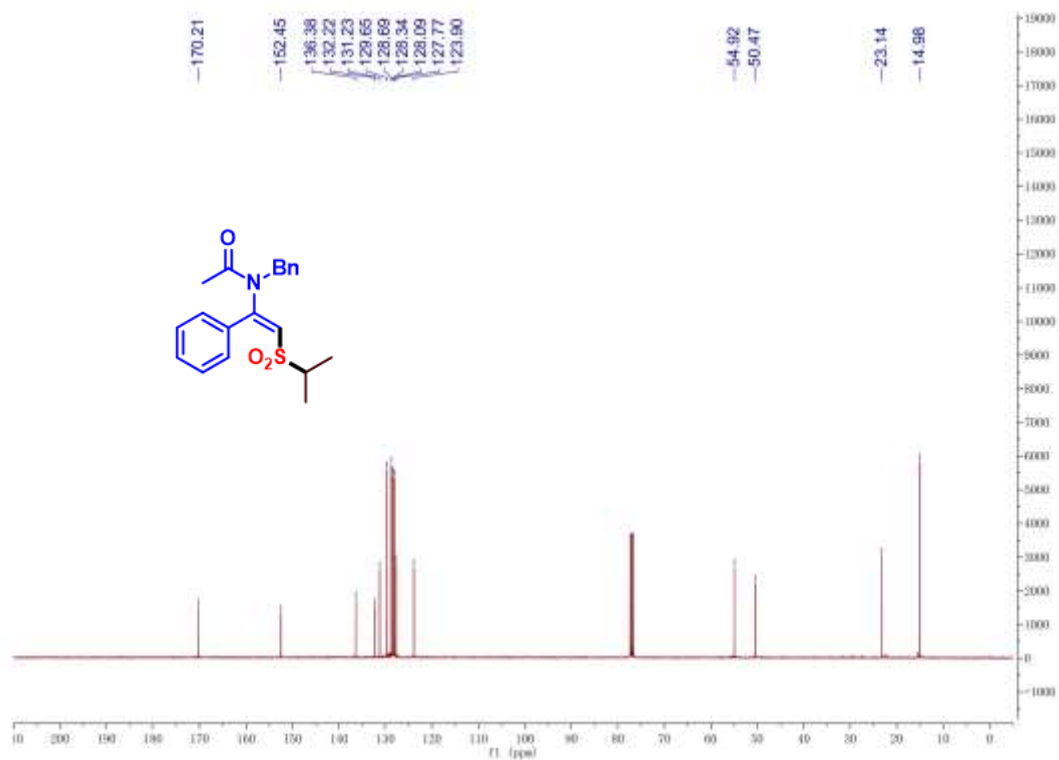
(*E*)-*N*-benzyl-*N*-(1-phenyl-2-((tetrahydro-2*H*-pyran-4-yl)sulfonyl)vinyl)acetamide
(**3am**)



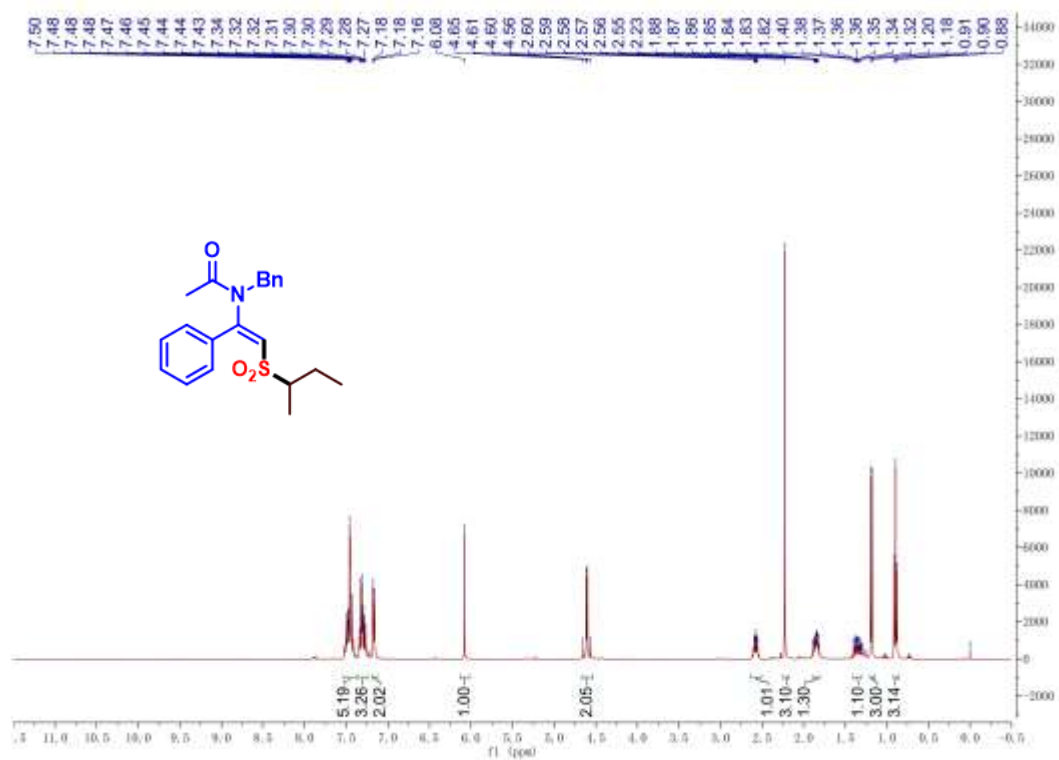


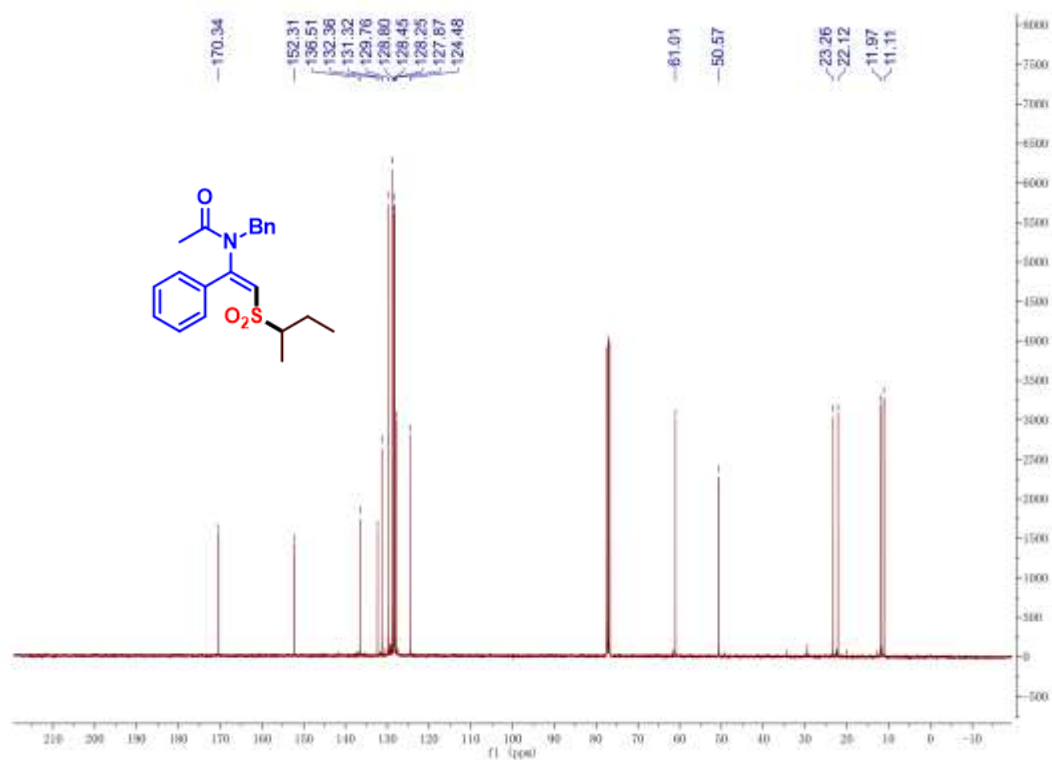
(E)-N-benzyl-N-(2-(isopropylsulfonyl)-1-phenylvinyl)acetamide (3an)



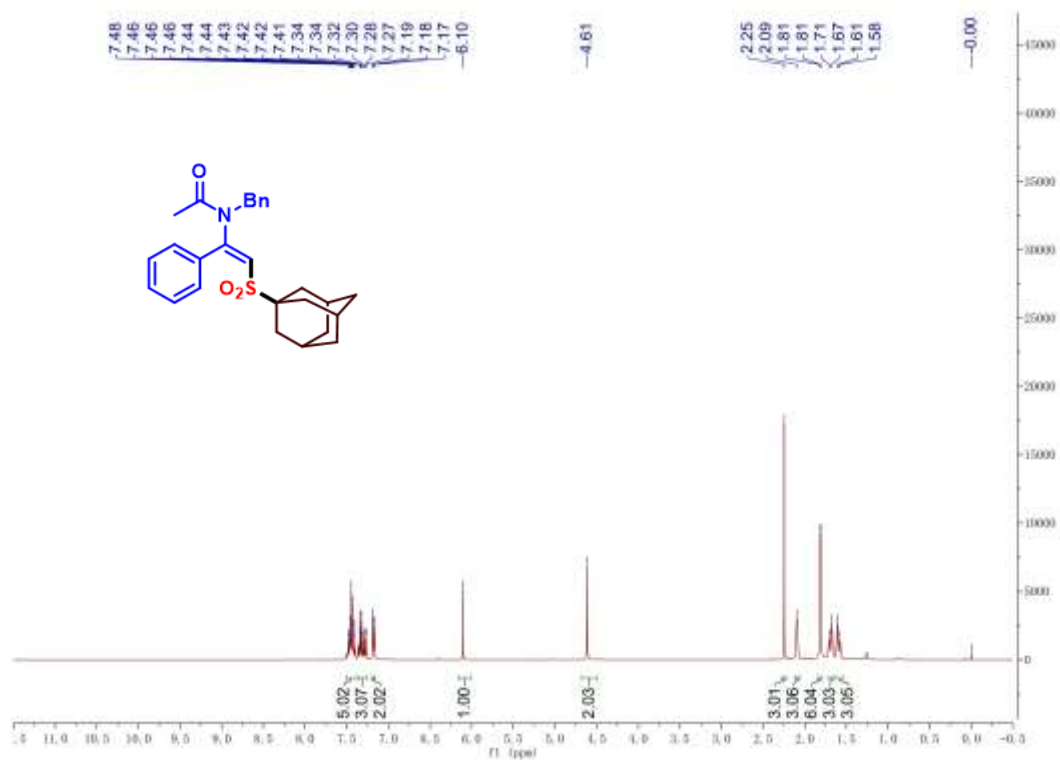


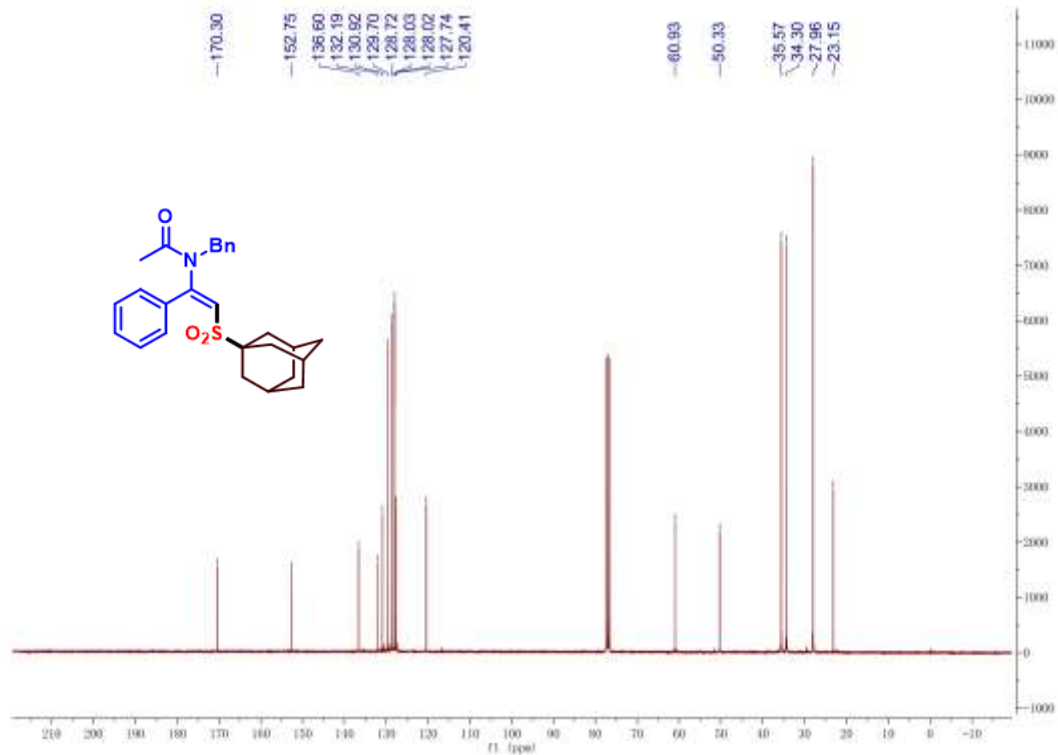
(E)-N-benzyl-N-(2-(sec-butylsulfonyl)-1-phenylvinyl)acetamide (**3ao**)



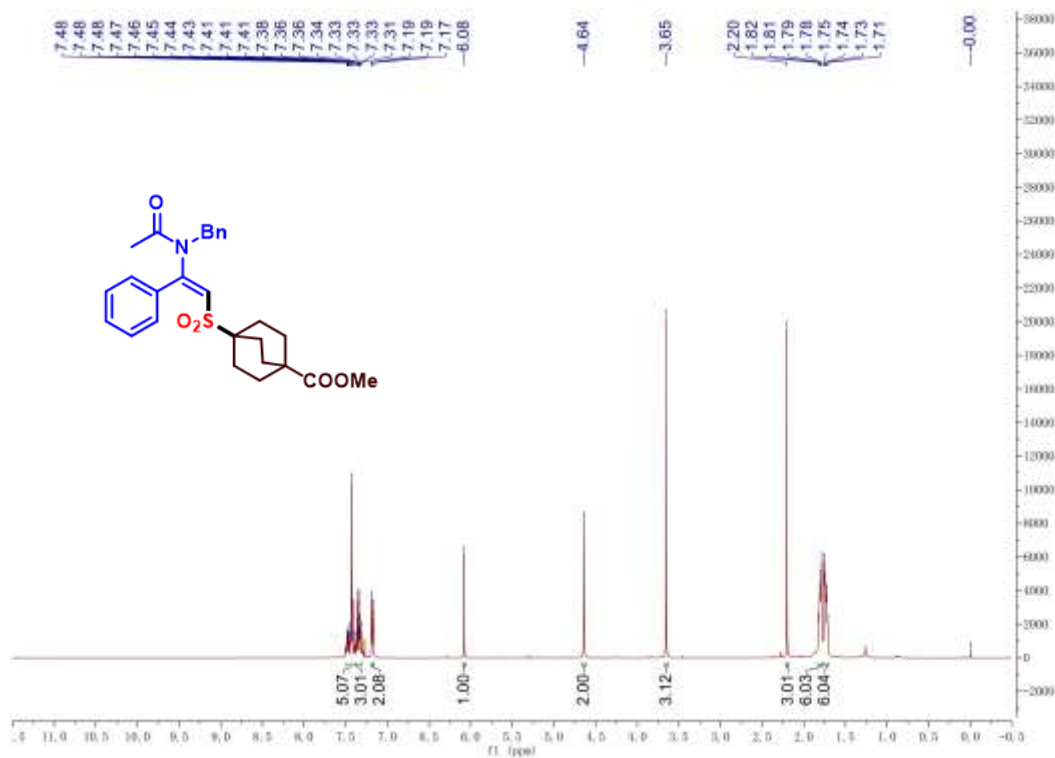


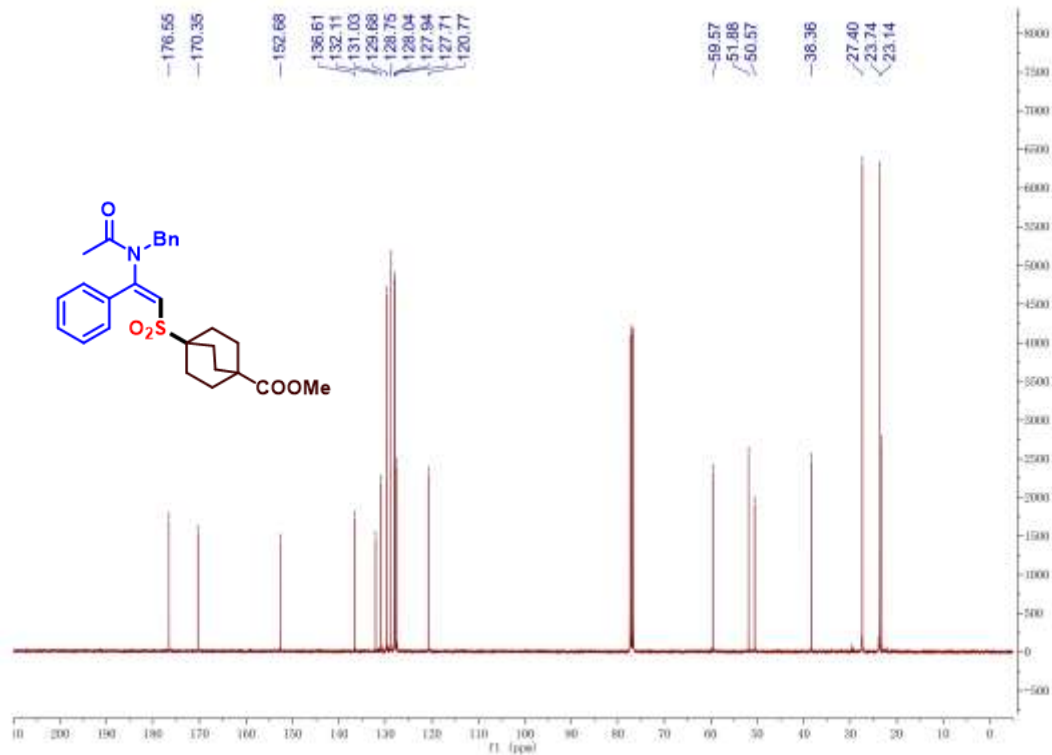
(*E*)-2-(((1*S*,3*S*,5*S*)-adamantan-1-yl)sulfonyl)-1-phenylvinyl)-*N*-benzylacetamide (3ap**)**



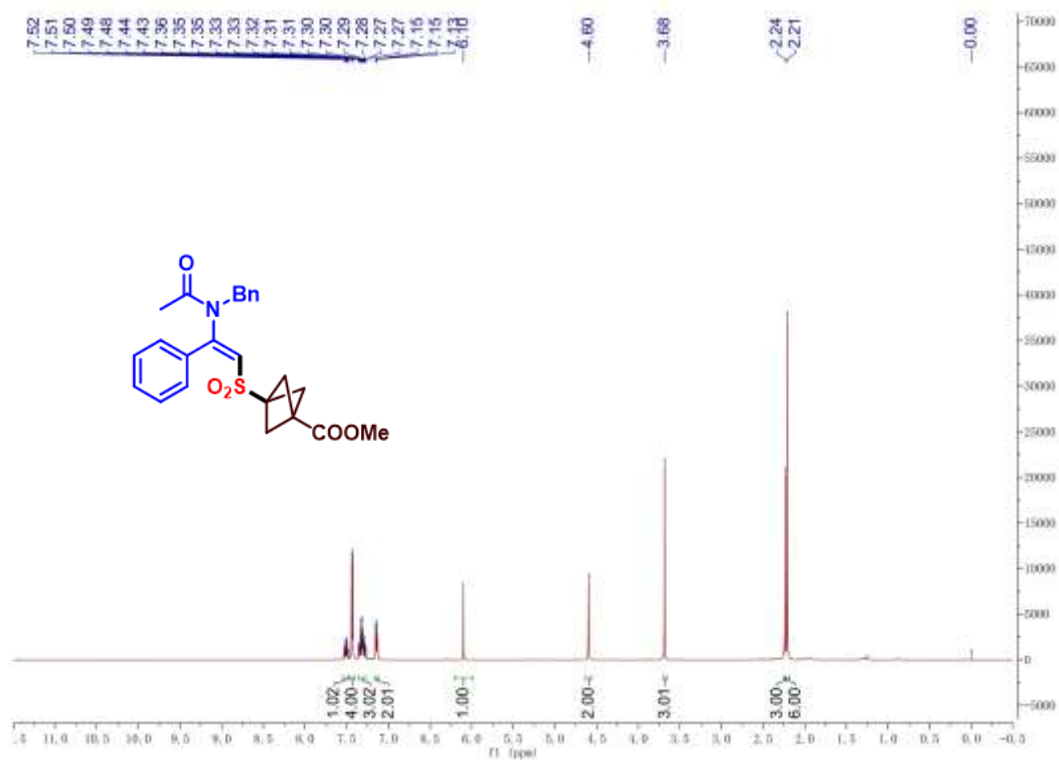


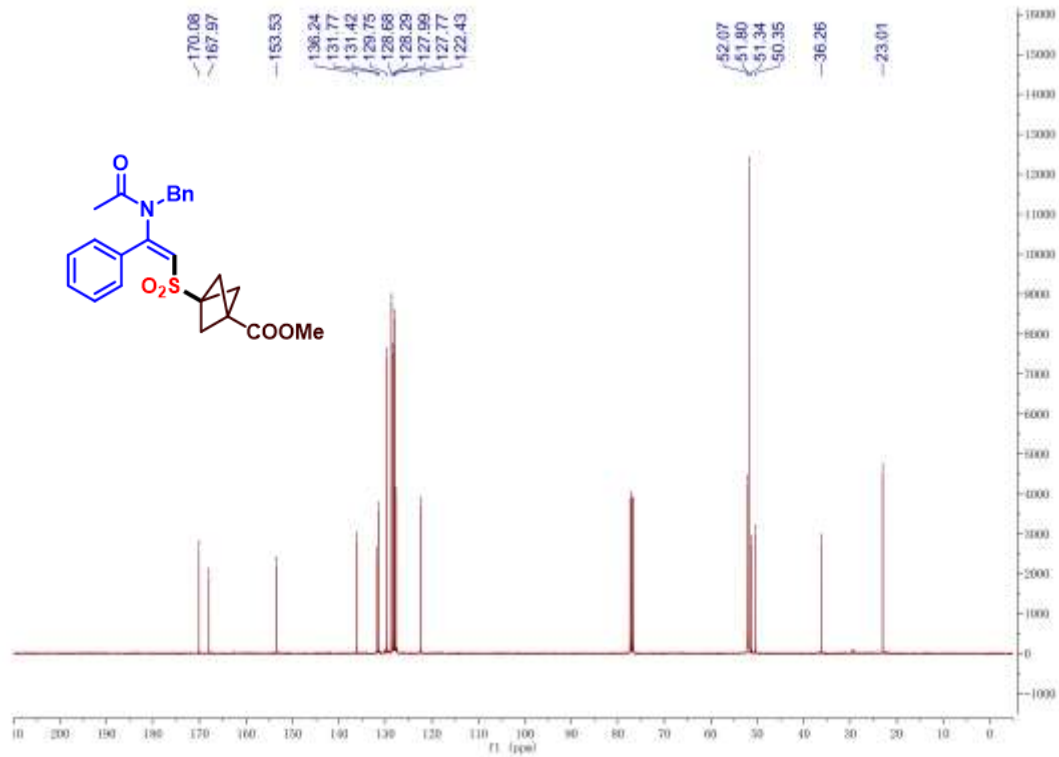
methyl(*E*)-4-((2-(*N*-benzylacetamido)-2-phenylvinyl)sulfonyl)bicyclo[2.2.2]octane-1-carboxylate (**3aq**)



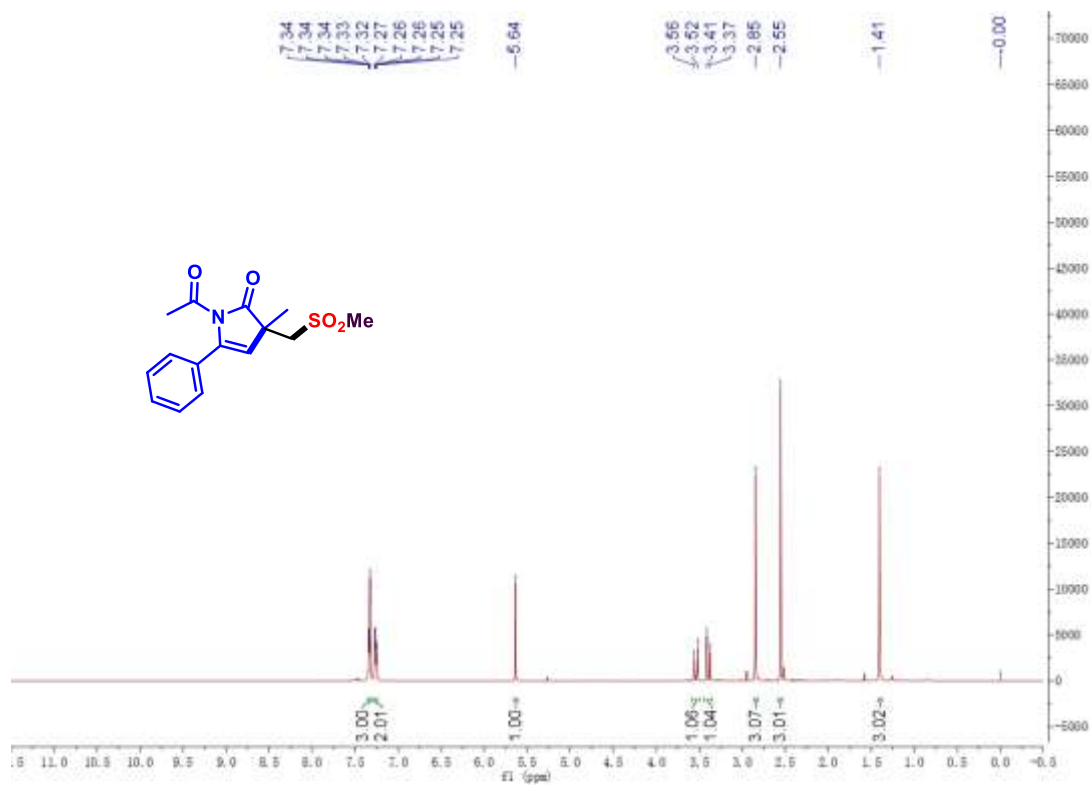


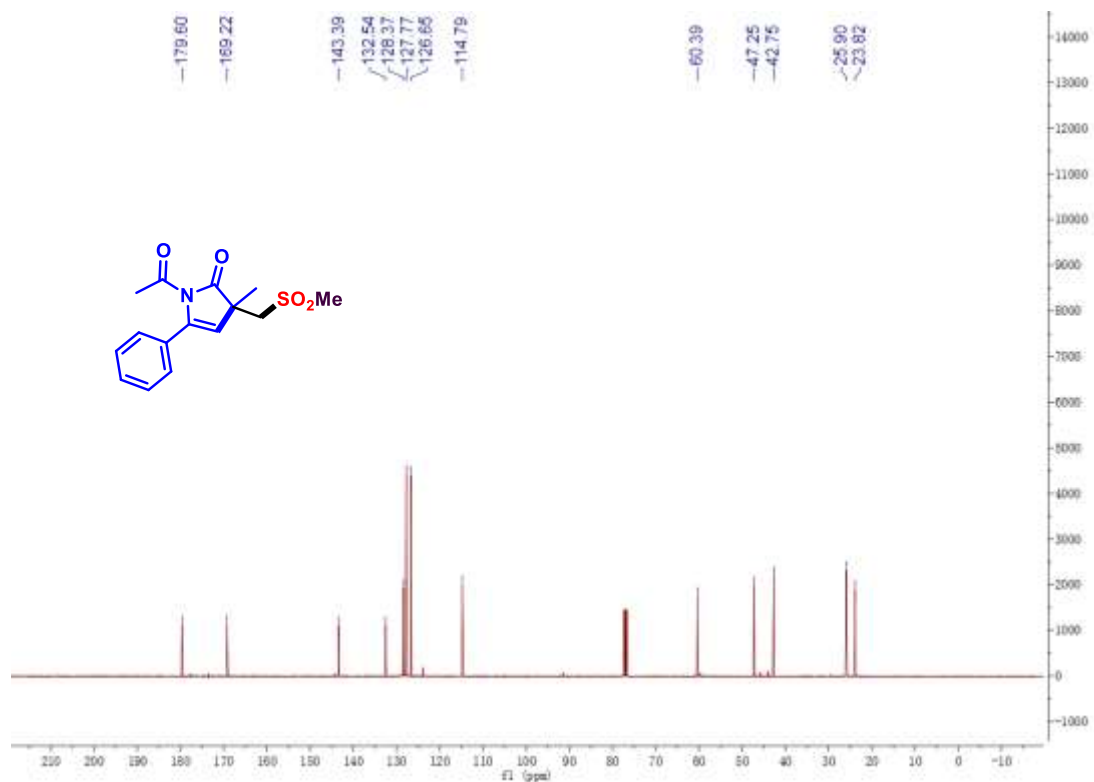
methyl(*E*)-3-((2-(*N*-benzylacetamido)-2-phenylvinyl)sulfonyl)bicyclo[1.1.1]pentane-1-carboxylate (**3ar**)



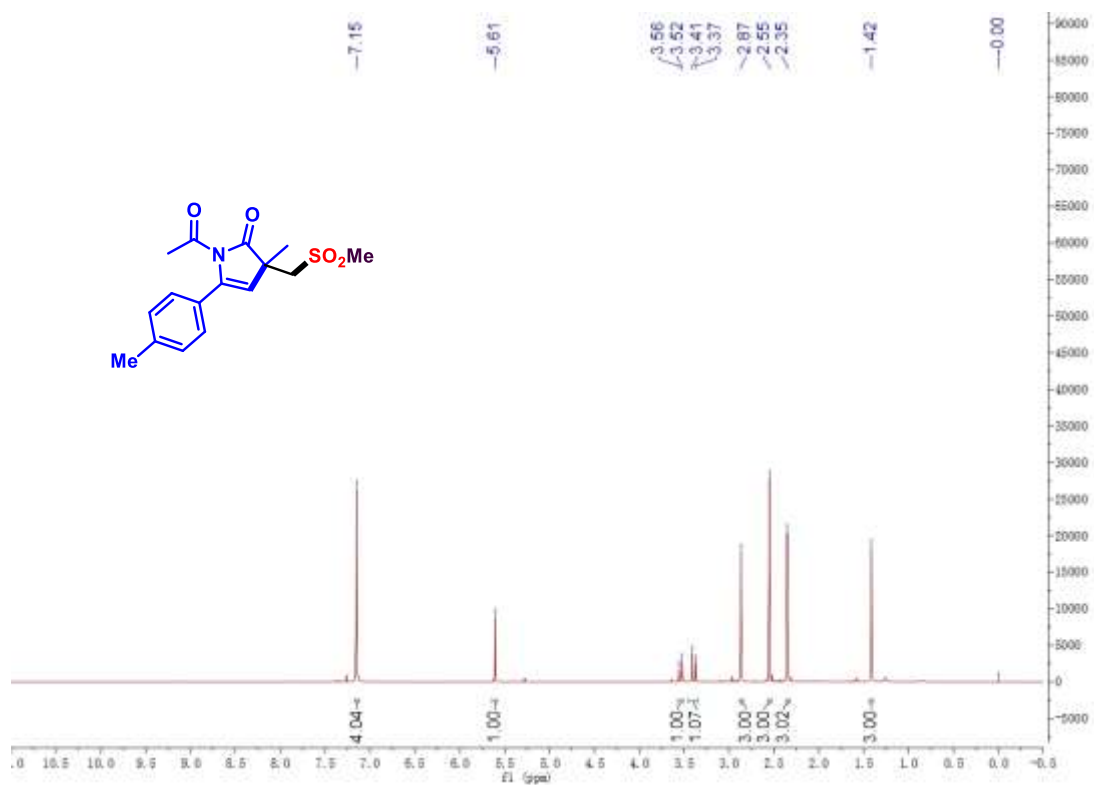


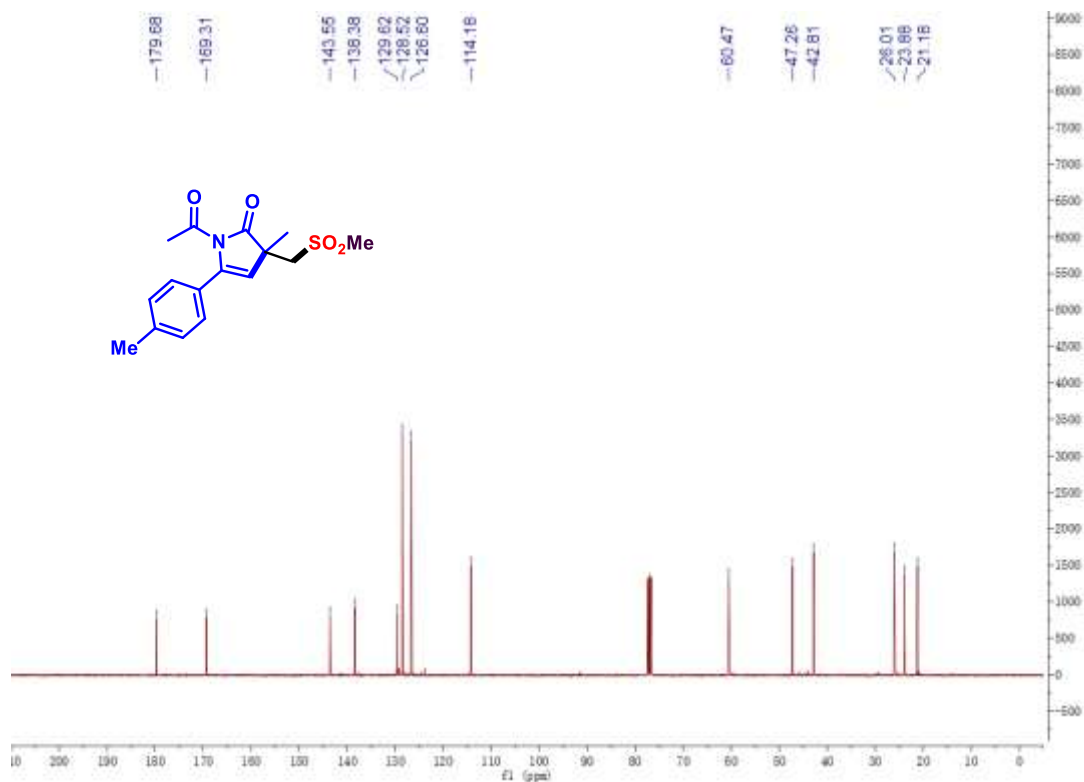
1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-phenyl-1,3-dihydro-2H-pyrrol-2-one
(5aa)



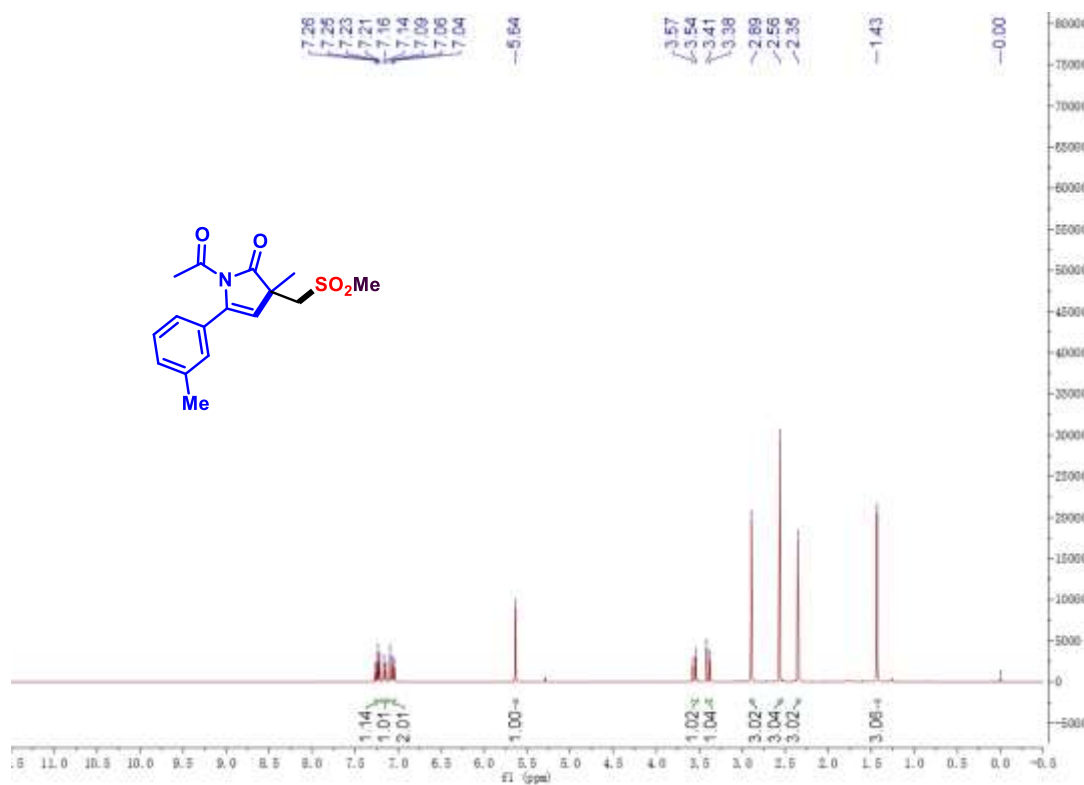


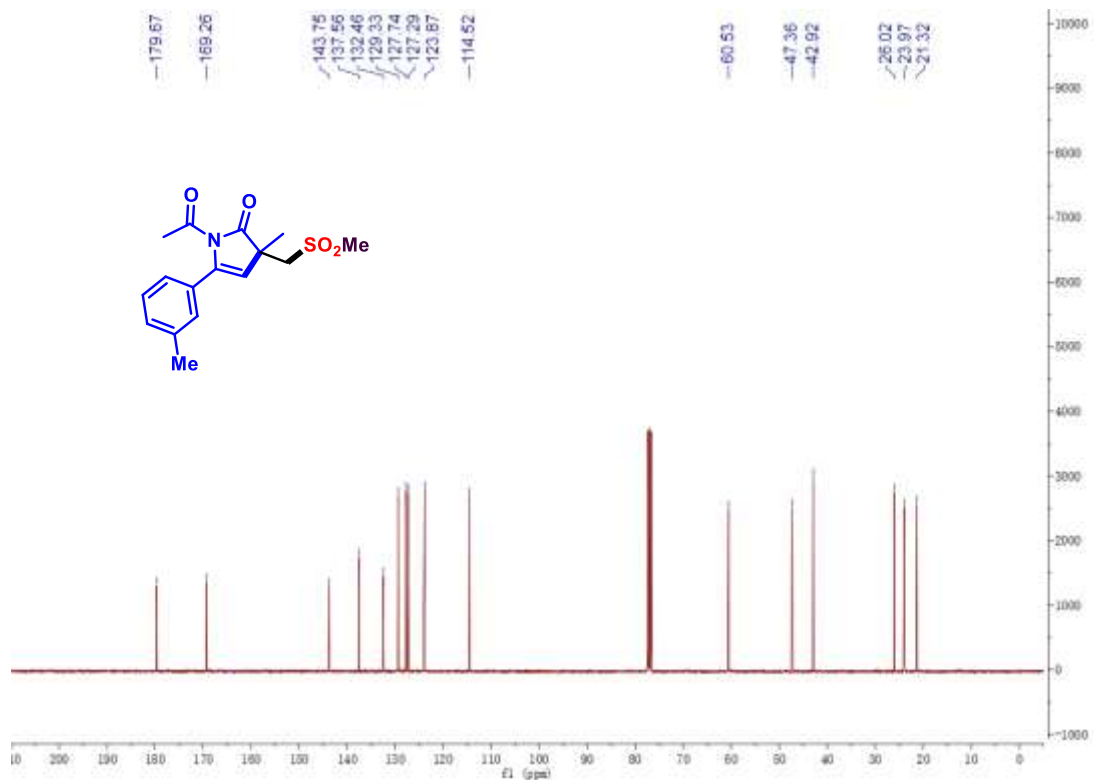
1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-(p-tolyl)-1,3-dihydro-2H-pyrrol-2-one (5ba)



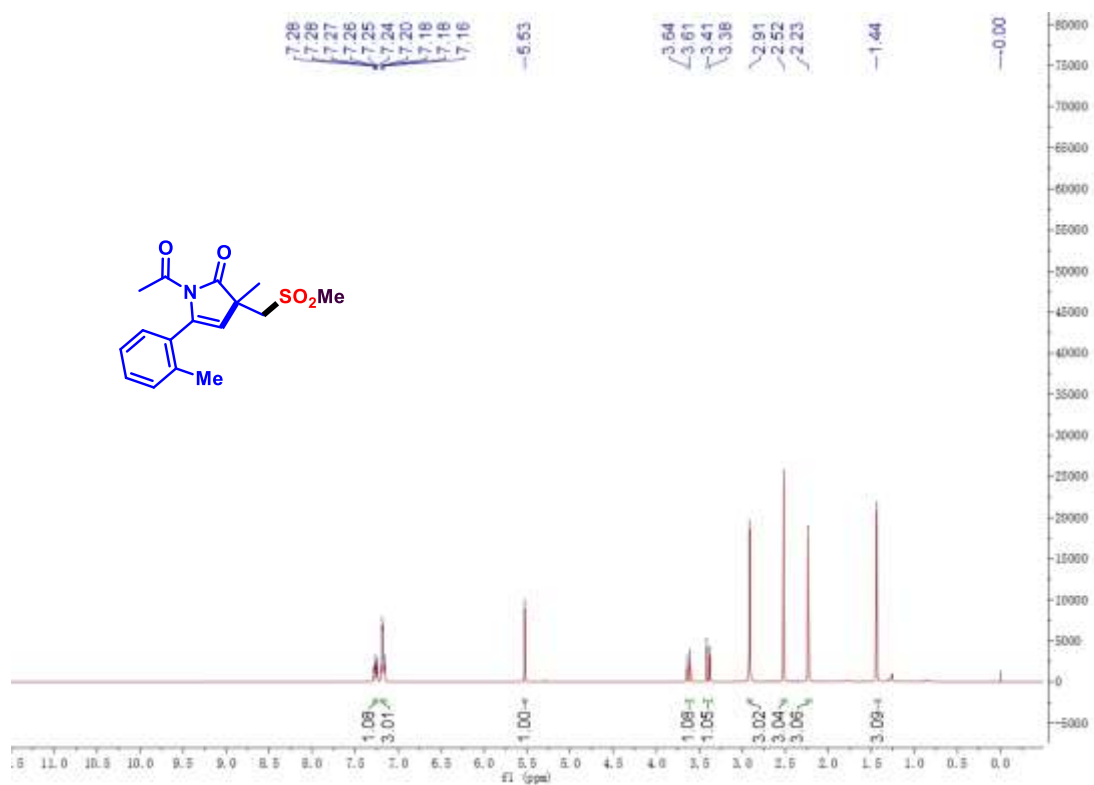


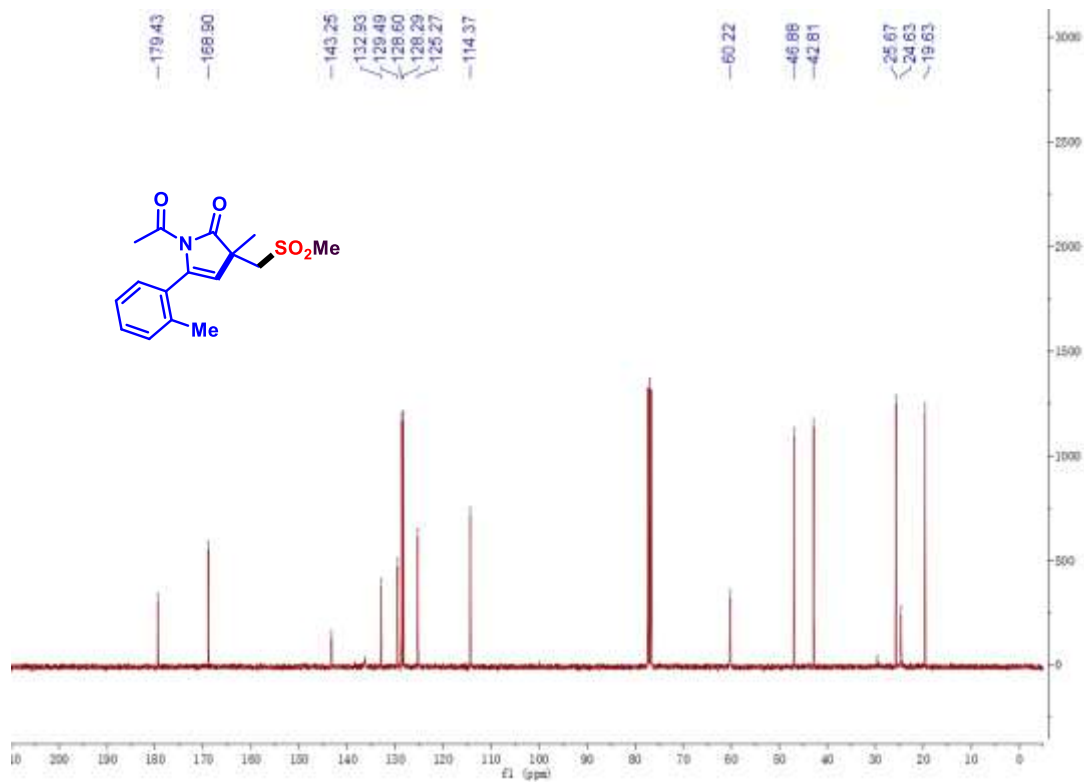
1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-(m-tolyl)-1,3-dihydro-2H-pyrrol-2-one (5ca)



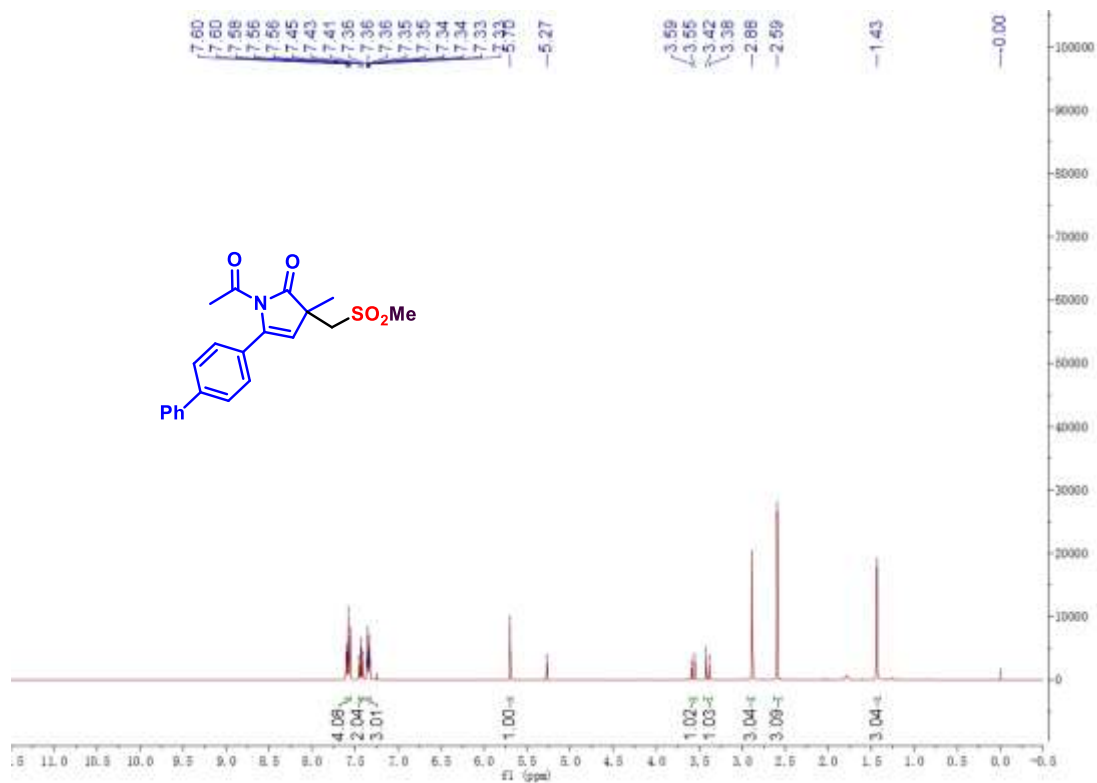


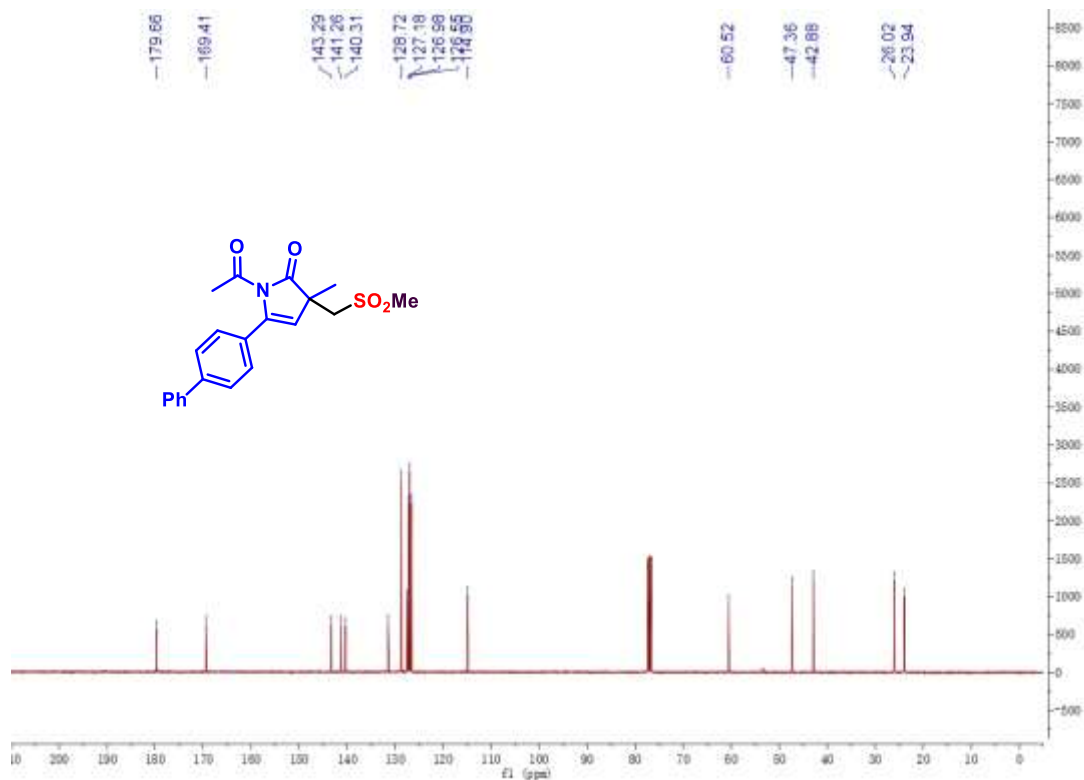
1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-(o-tolyl)-1,3-dihydro-2H-pyrrol-2-one (5da)



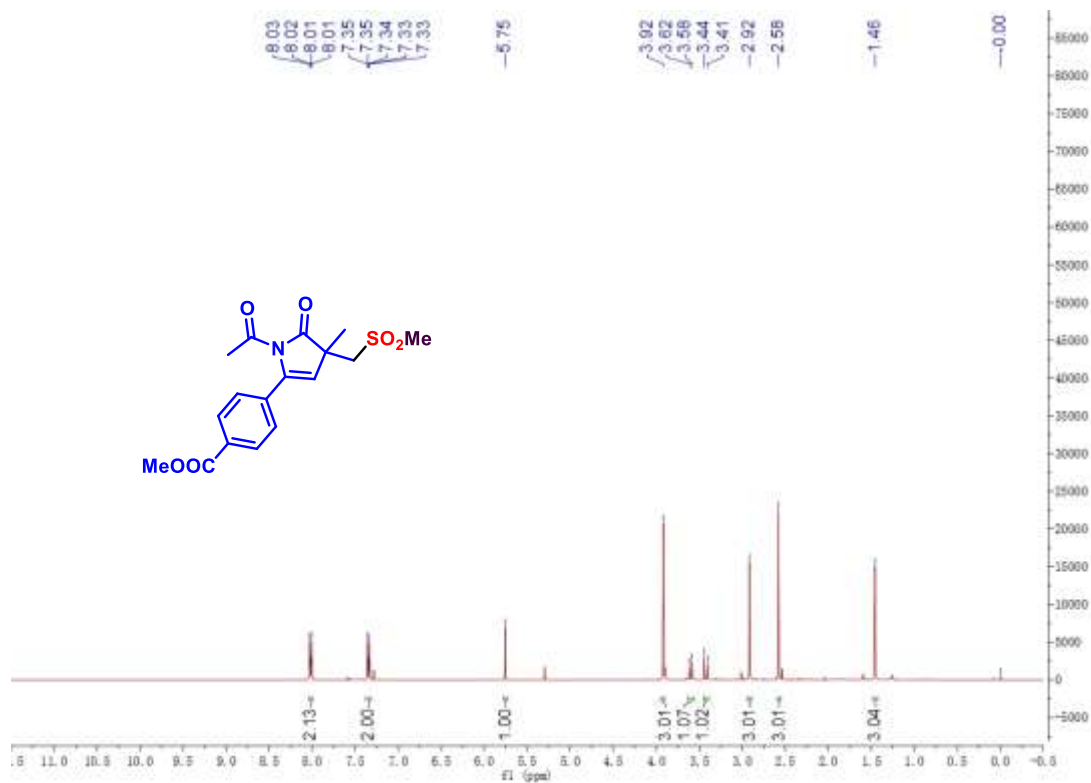


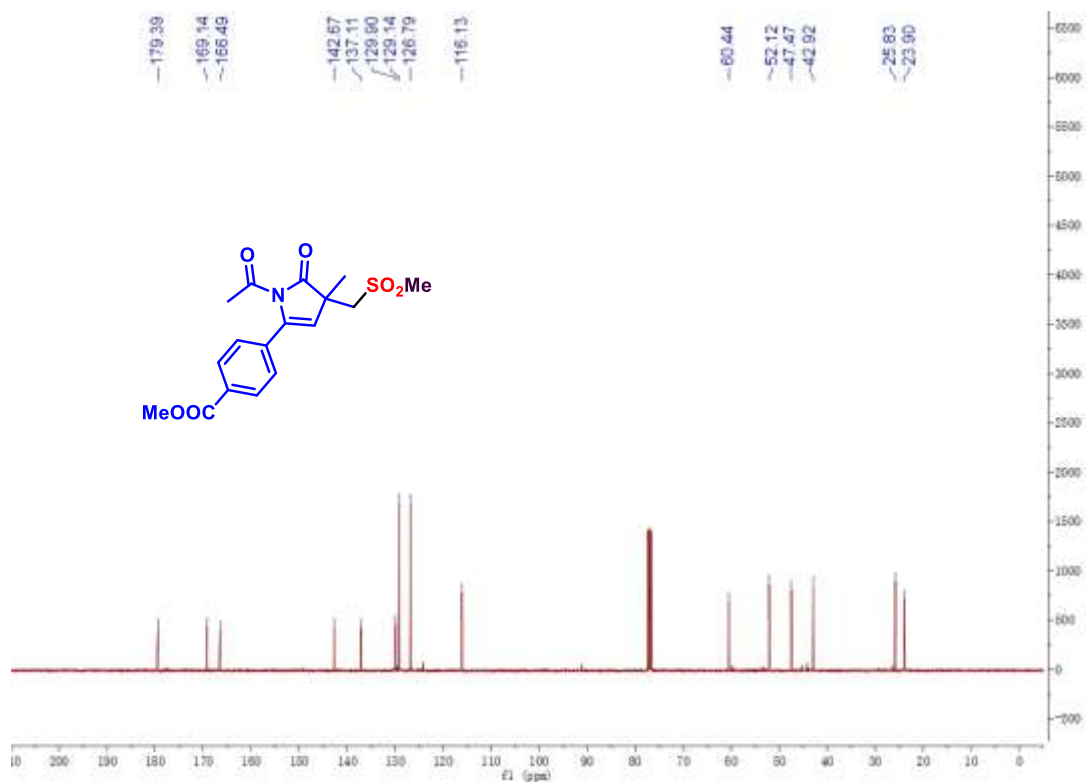
5-([1,1'-biphenyl]-4-yl)-1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-1,3-dihydro-2-*H*-pyrrol-2-one (**5ea**)



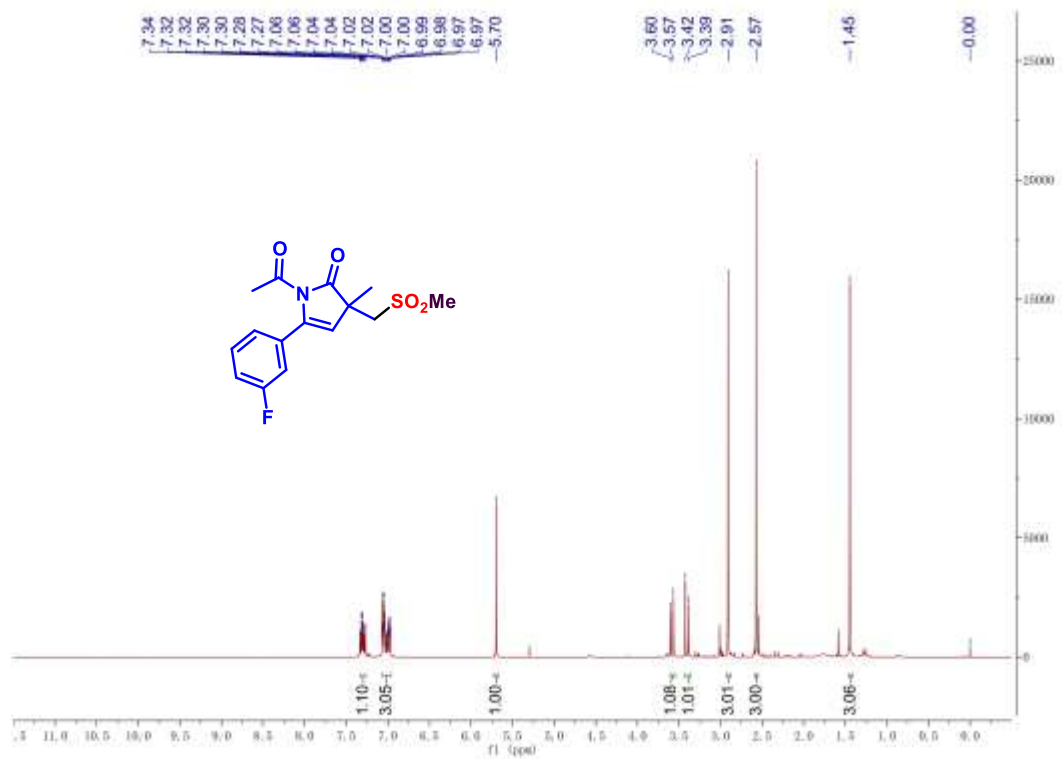


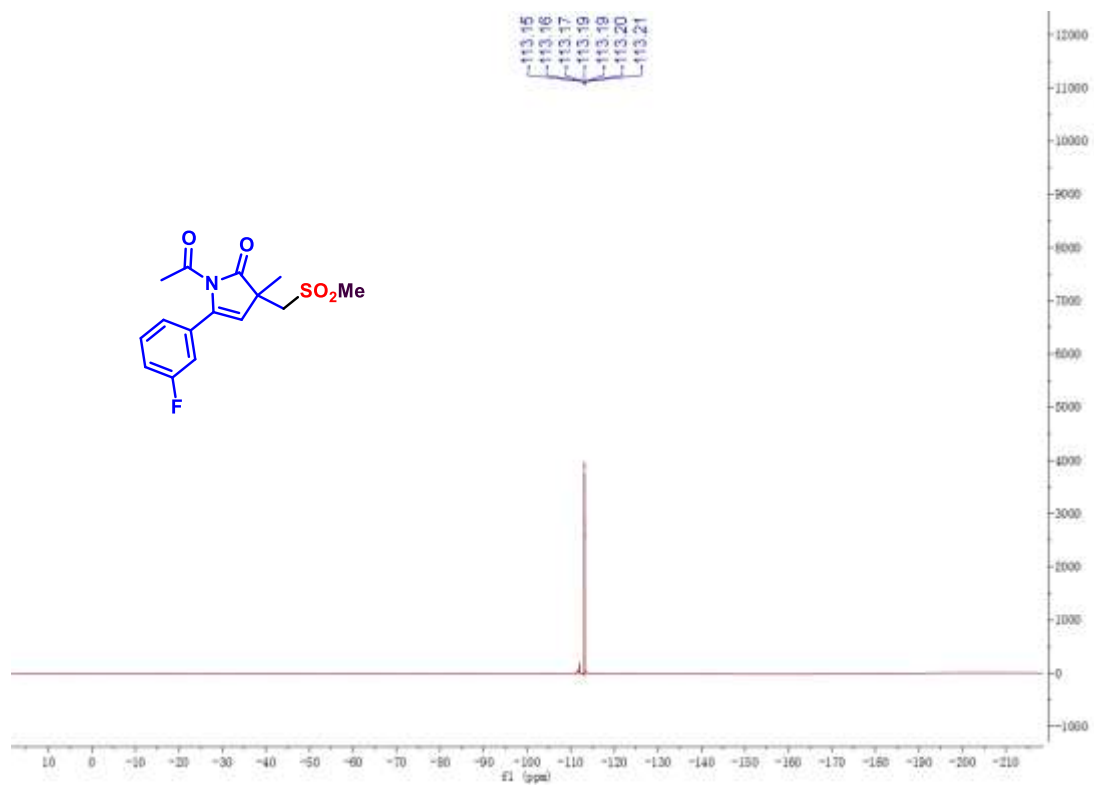
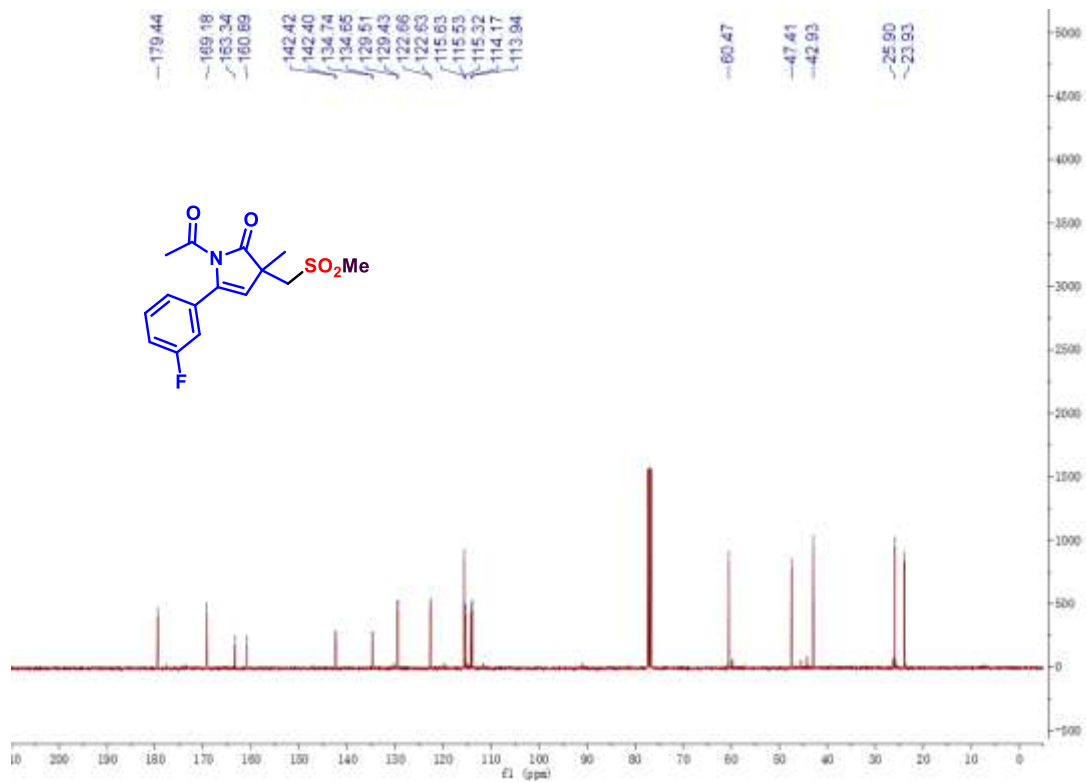
methyl 4-(1-acetyl-4-methyl-4-((methylsulfonyl)methyl)-5-oxo-4,5-dihydro-1H-pyrrol-2-yl)benzoate (**5fa**)



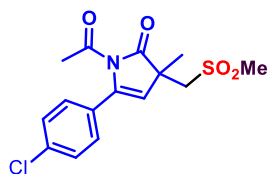
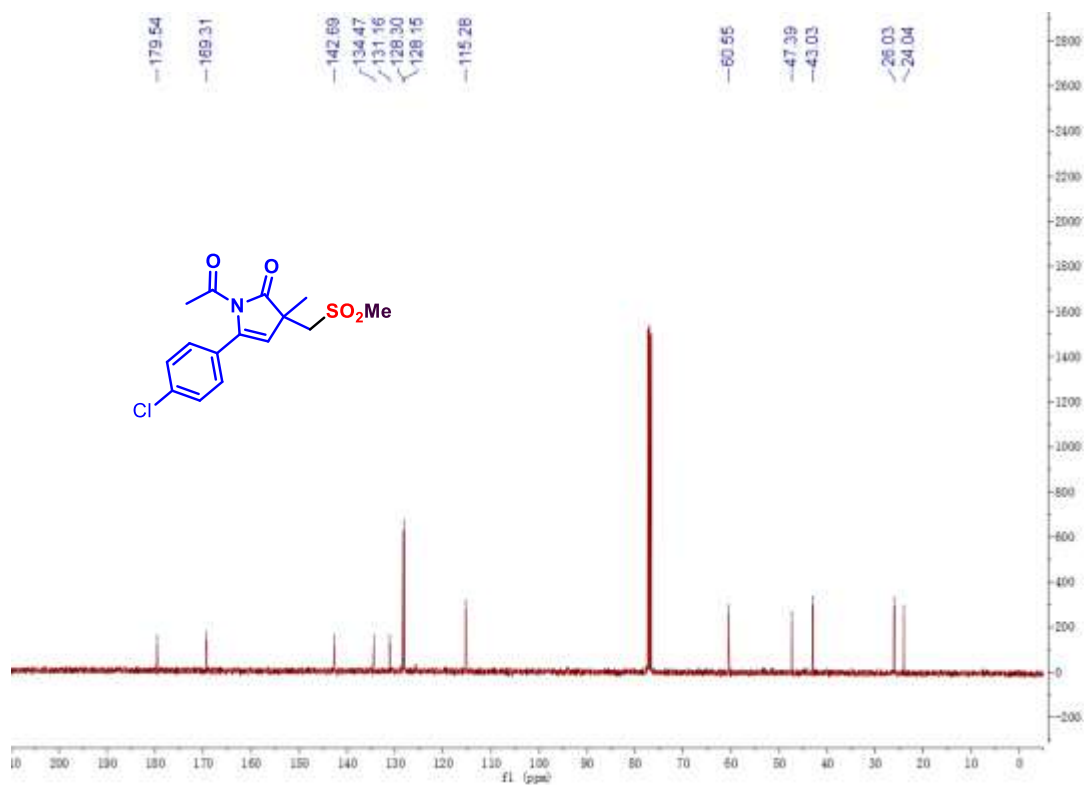
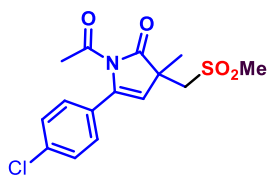
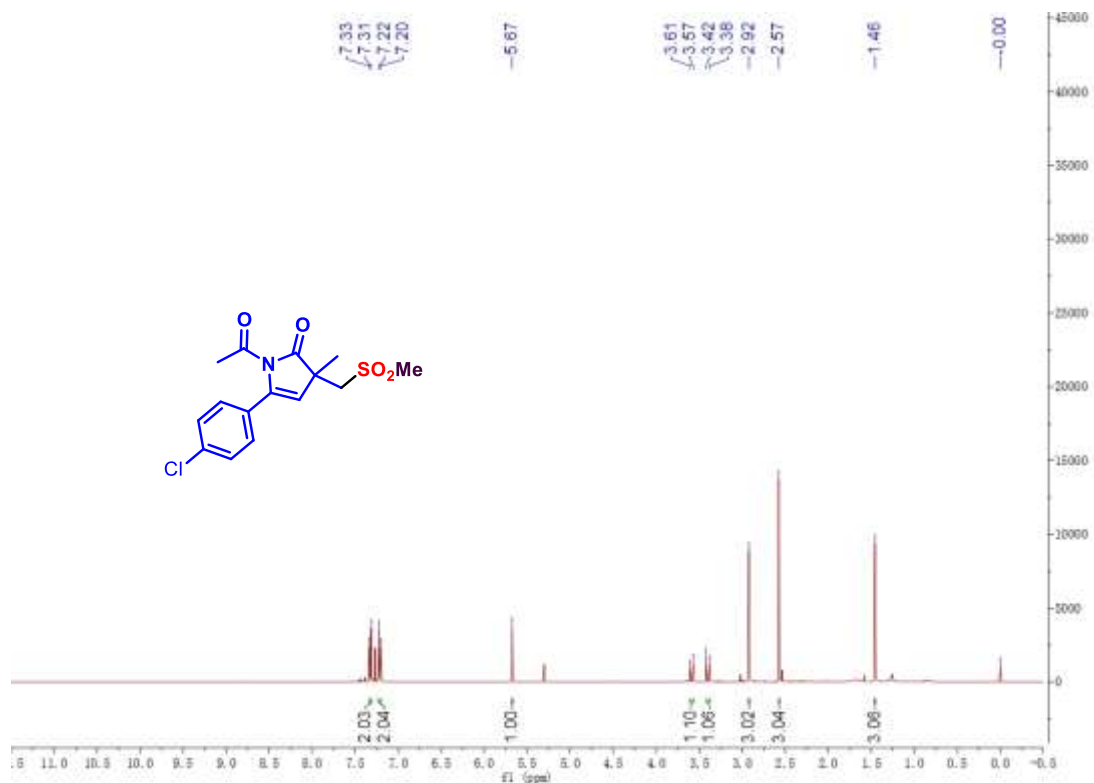


1-acetyl-5-(3-fluorophenyl)-3-methyl-3-((methylsulfonyl)methyl)-1,3-dihydro-2H-pyrol-2-one (**5ga**)

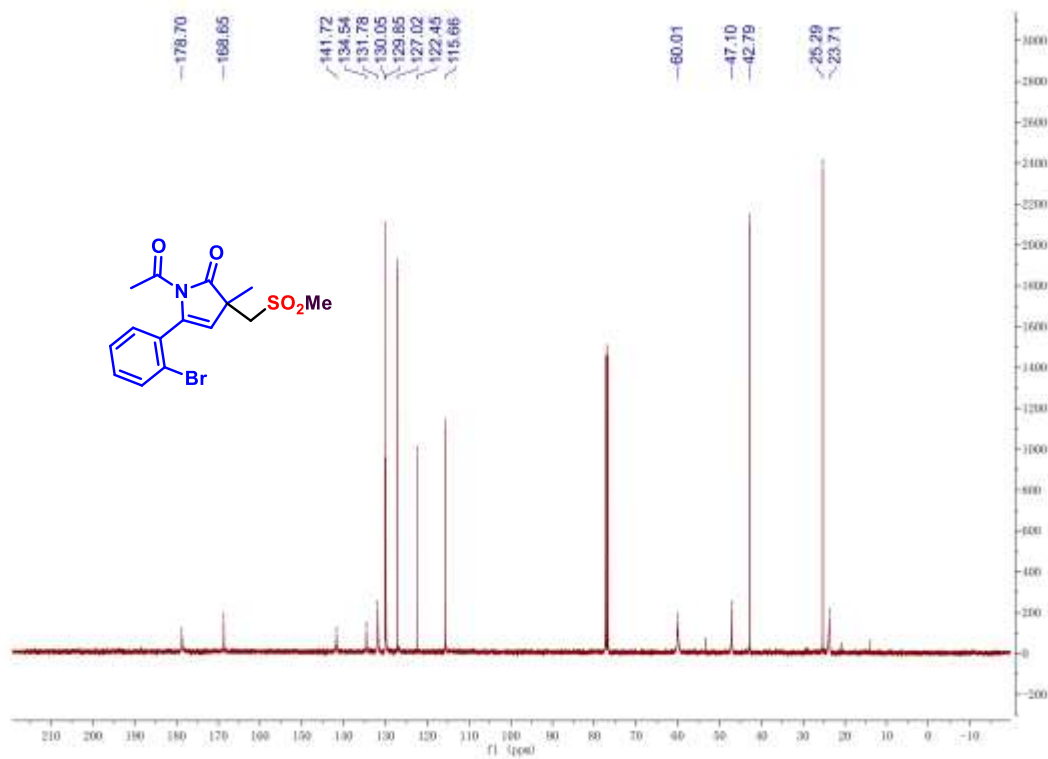
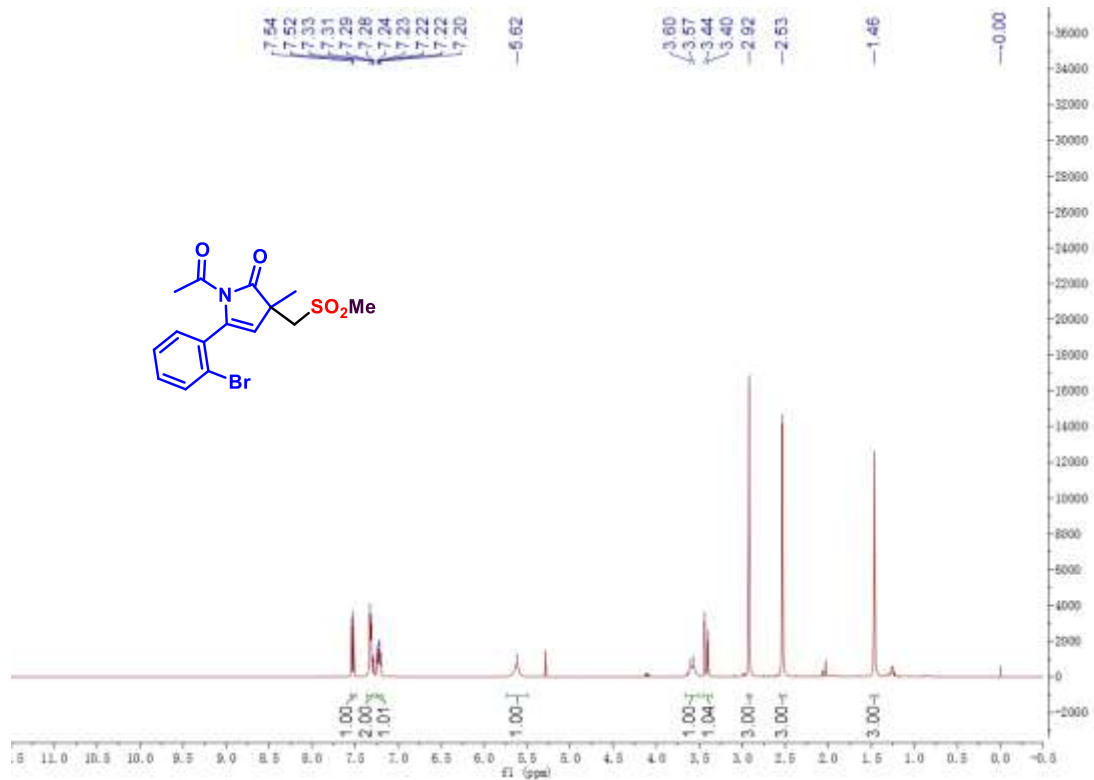




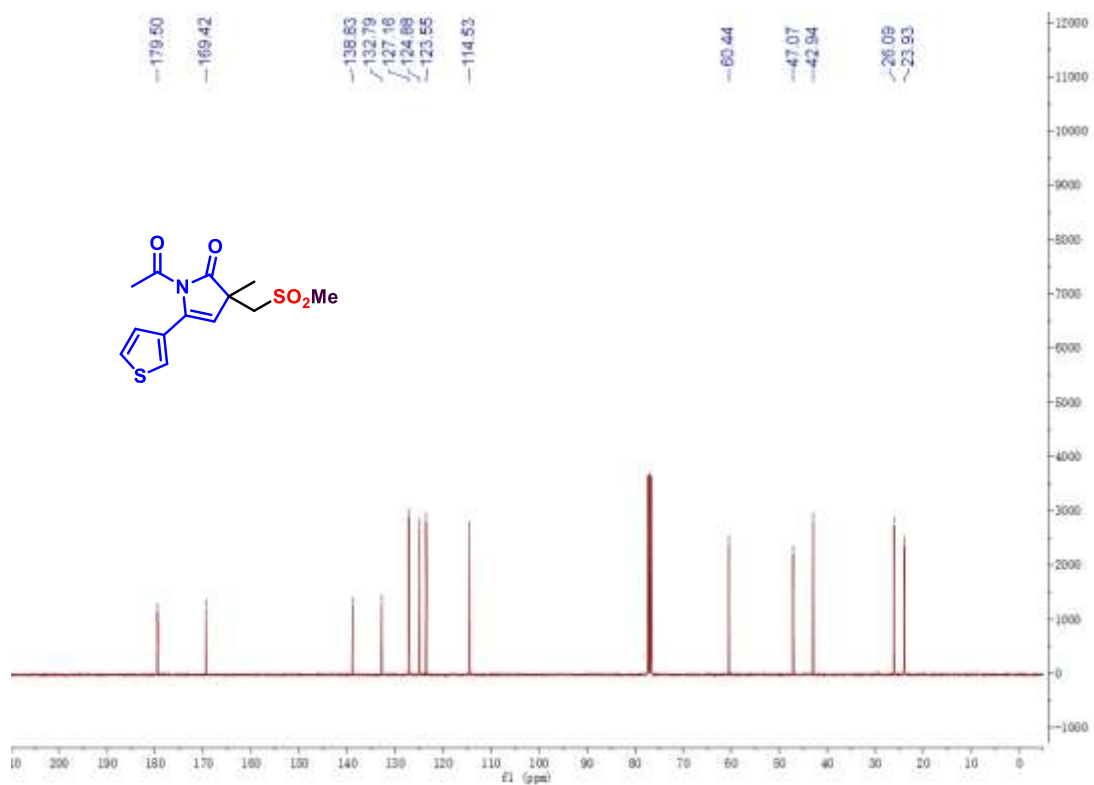
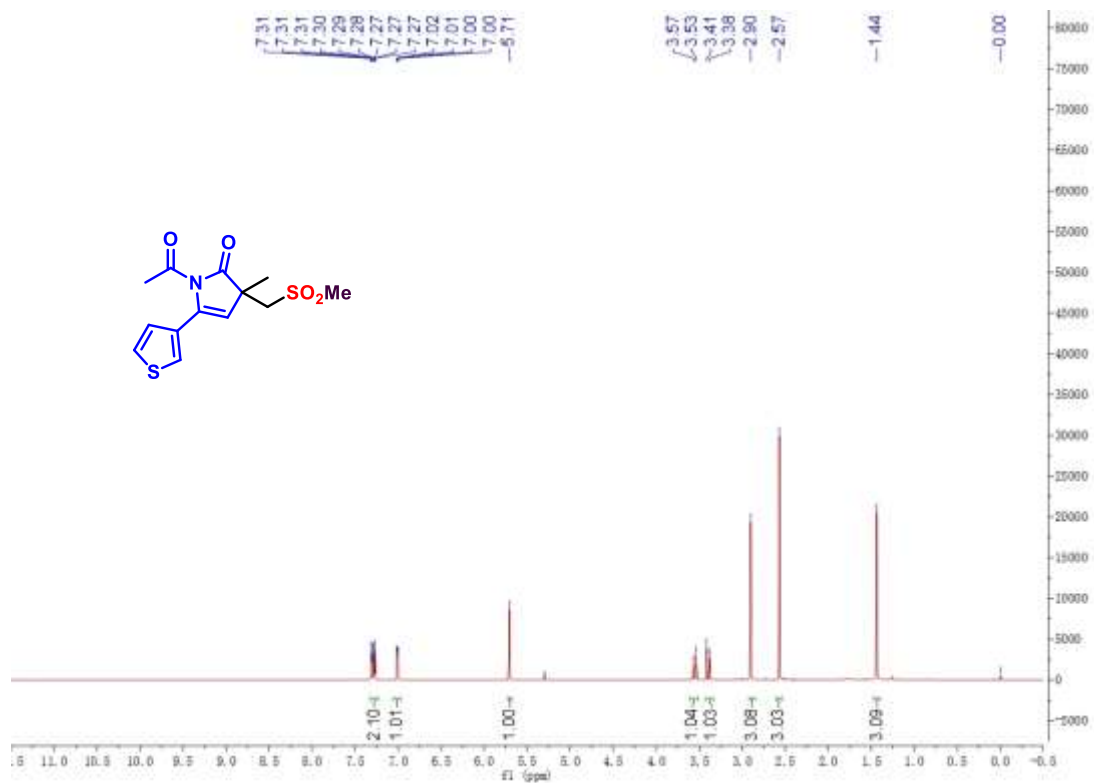
1-acetyl-5-(4-chlorophenyl)-3-methyl-3-((methylsulfonyl)methyl)-1,3-dihydro-2H-pyrrol-2-one (**5ha**)



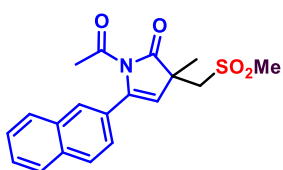
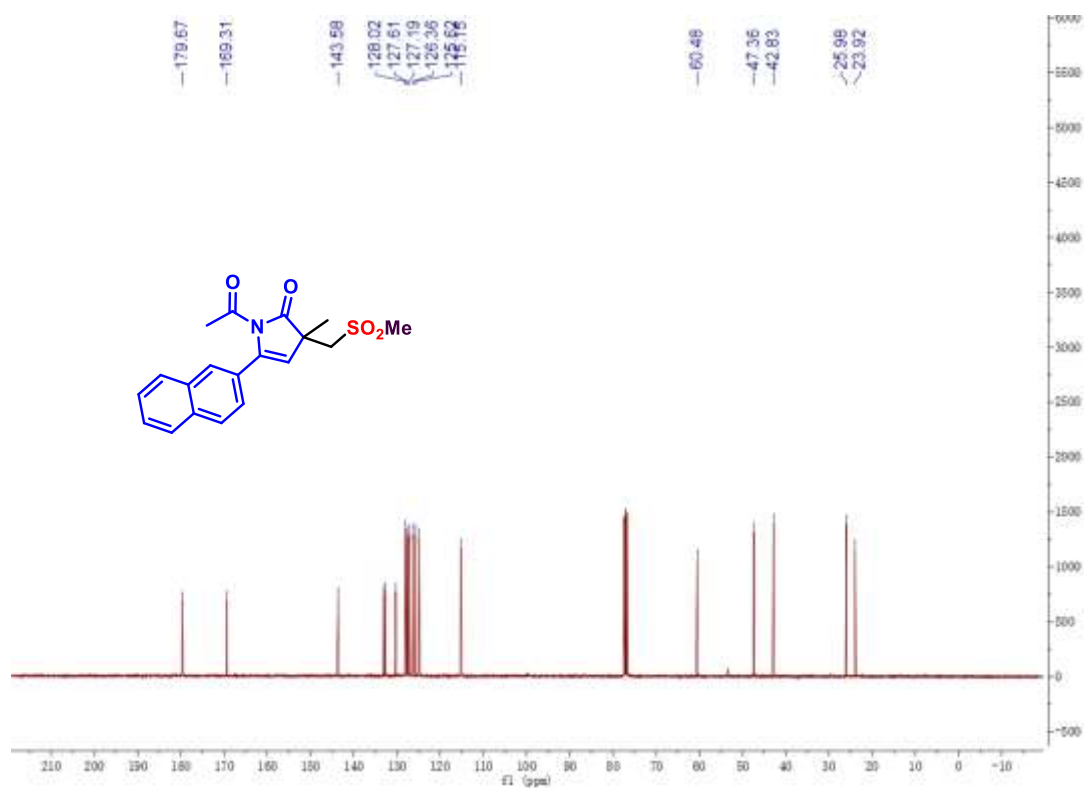
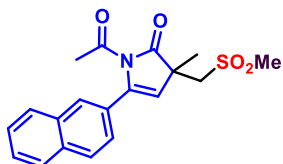
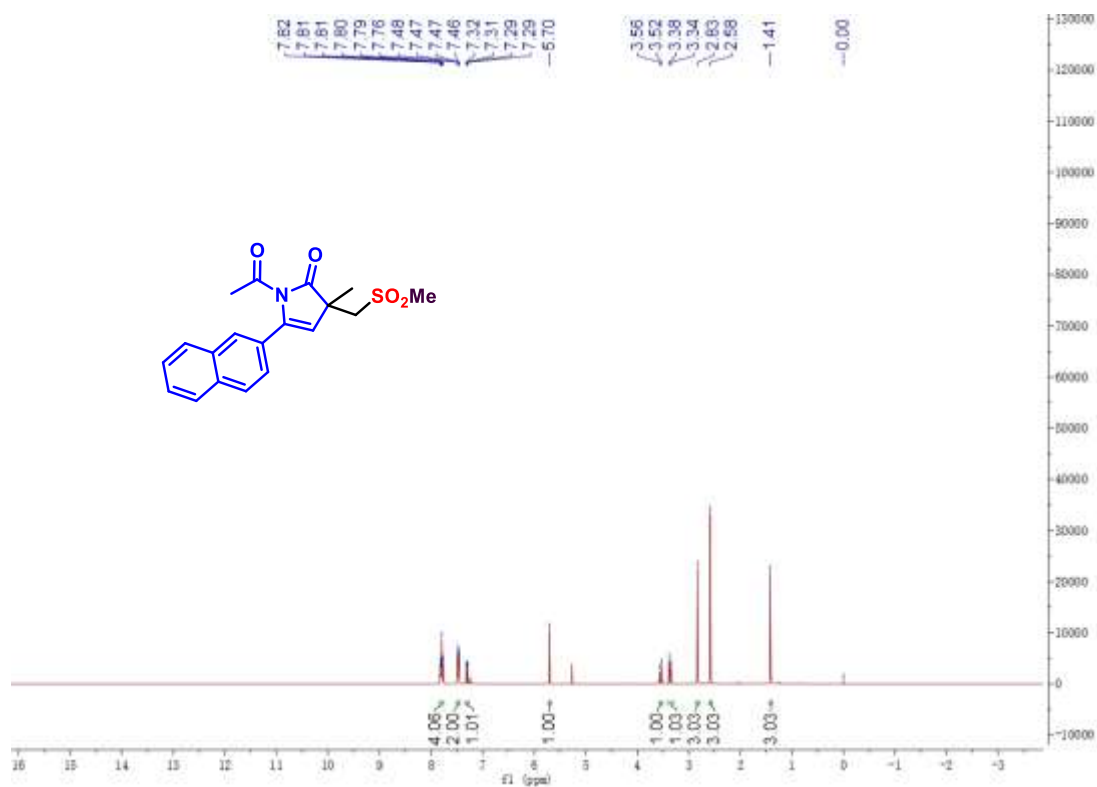
1-acetyl-5-(2-bromophenyl)-3-methyl-3-((methylsulfonyl)methyl)-1,3-dihydro-2H-pyrrol-2-one (**5ia**)



1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-(thiophen-3-yl)-1,3-dihydro-2H-pyrrol-2-one (**5ja**)



acetyl-3-methyl-3-((methylsulfonyl)methyl)-5-(naphthalen-2-yl)-1,3-dihydro-2H-pyrr
ol-2-one (**5ka**)



1-acetyl-3-methyl-3-((methylsulfonyl)methyl)-1,3,4,5-tetrahydro-2H-benzo[g]indol-2-one (51a)

