Support information

Electrochemical Sulfonylation/Heteroarylation of Alkenes via Distal Heteroaryl *ipso*-Migration

Ming-Wei Zheng,[† ‡] Xin Yuan,[† ‡] Yu-Sheng Cui,[†] Jiang-Kai Qiu,[†] Guigen Li,[‡ §] Kai Guo*[†]

[†] Biotechnology and Pharmaceutical Engineering, Nanjing Tech University, Nanjing 211816, P. R. China

[‡] Institute of Chemistry & Biomedical Sciences, Nanjing University, Nanjing 210093, P. R. China

[§]Department of Chemistry and Biochemistry, Texas Tech University, Lubbock, Texas 79409-1061, United States

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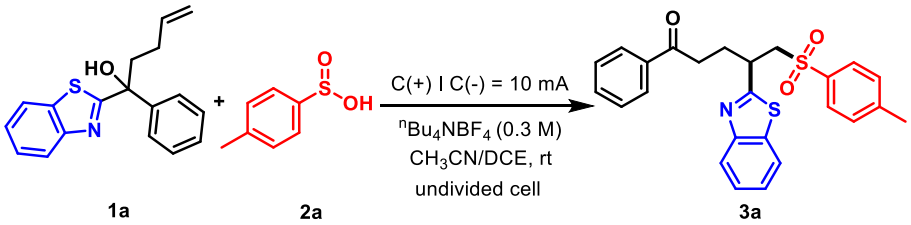
1. General information

Unless otherwise indicated, all commercially available chemicals were used without purification. ^{1}H NMR (400MHz), ^{13}C NMR (100MHz) and ^{19}F NMR (376MHz) were measured on 400M spectrometer. Chemical shifts are recorded in parts per million (ppm) with respect to the residual solvent peak. Coupling constants are reported as Hertz (Hz), signal shapes and splitting patterns are indicated as follows: s = singlet; d = doublet; t = triplet; q = quartet; m = multiplet. High-resolution mass spectra (HRMS) were obtained on an Agilent mass spectrometer using ESI-TOF (electrospray ionization-time of flight). X-Ray crystallographic analysis was performed with a Siemens SMART CCD and a Siemens P4 diffractometer. Column chromatography was generally performed on silica gel (300-400 mesh) and reactions were monitored with thin-layer chromatography (TLC) using 254 nm UV light. The melting points were measured with digital melting point detector. The reactions were studied on the ElectraSyn 2.0 pro.

2. General procedure



A 10 mL vial was charged with substrate **1a** (0.25 mmol), **2a** (0.75 mmol, 3.0 equiv), $^{n}Bu_4NBF_4$ (3.0 mmol, 0.3 M), CH_3CN/DCE (10 mL, v/v = 9/1) and a magnetic stir bar. The vial was equipped with two graphite SK-50 electrodes as the cathode and anode. The whole cell was undivided cell. The reaction mixture was stirred and electrolyzed at a constant current of 10 mA without reference electrode under room temperature for 3.0 hours. After completing reaction, it was monitored with TLC. The solution was quenched with saturated $NaHCO_3$ and the aqueous layer was extracted with CH_2Cl_2 (3×10 mL) and washed with water. The combined organic layers were dried (Na_2SO_4) and concentrated under reduced pressure. The crude product was purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 4/1).

Figure S1. ElectraSyn 2.0 pro

Large scale reaction: A 20 mL vial was charged with substrate **1a** (0.3 mg, 1.0 mmol), **2a** (0.47 g, 3.0 equiv), $^{n}Bu_4NBF_4$ (1.97 g, 0.3M), CH_3CN/DCE (20 mL, v/v = 9/1) and a magnetic stir bar. The vial was equipped with two graphite SK-50 electrodes as the cathode and anode. The whole cell was undivided cell. The reaction mixture was

stirred and electrolyzed at a constant current of 10 mA without reference electrode under room temperature. The reaction was terminated upon full consumption of **3a** as determined by TLC analysis. The solution was quenched with saturated $NaHCO_3$ and the aqueous layer was extracted with CH_2Cl_2 (3×30 mL) and washed with water. The combined organic layers were dried (Na_2SO_4) and concentrated under reduced pressure. The crude product was purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 4/1) to give **3a** (305.7 mg, 68%) as a white solid.

X-ray crystallography structure of compound 3a：

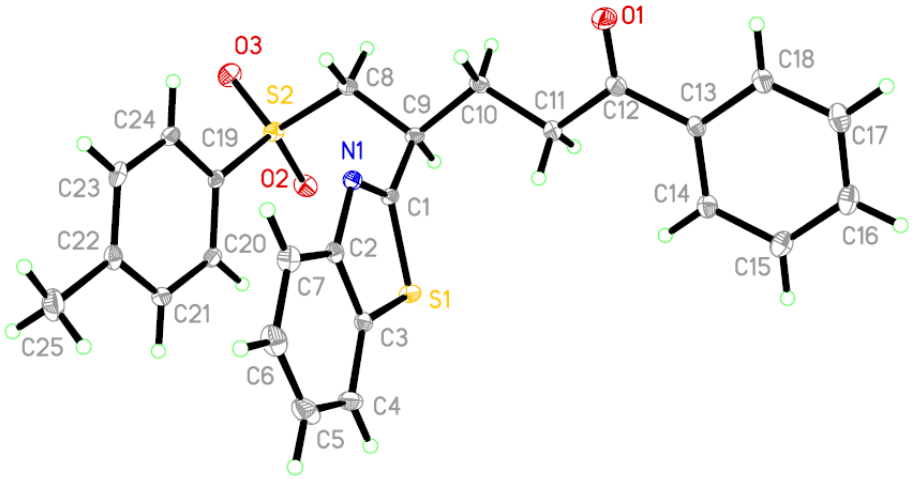
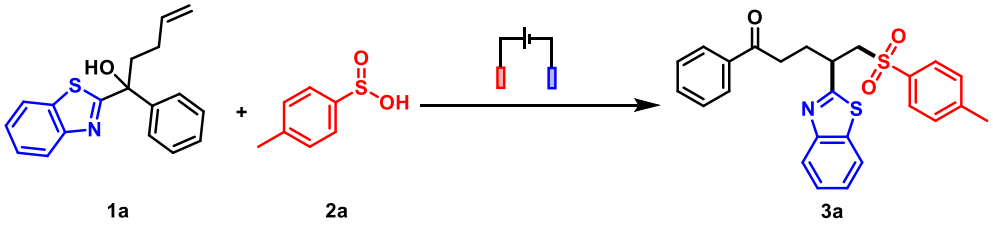


Figure S2. X-ray structure of **3a**

3. More optimization of reaction conditions

Table S1. Screen of catalyst[a]

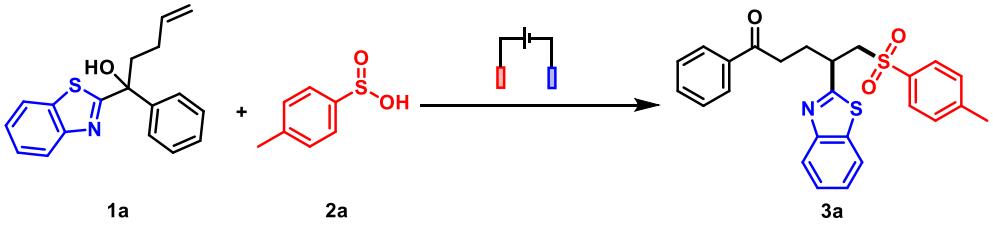


1a **2a** **3a**

entry	catalyst	yield (%) [b]
1	NH_4I	trace
2	TBAI	trace

[a]Reaction conditions: graphite SK-50 electrodes, constant current = 10 mA, **1a** (0.25 mmol), **2a** (0.75 mmol), $LiClO_4$ (3.0 mmol) in CH_3CN/DCE (10.0 mL, v/v = 9/1) under air at room temperature for 3.0 h. [b]Isolated yield is based on **1a**.

Table S2. Screen of electrolyte[a]

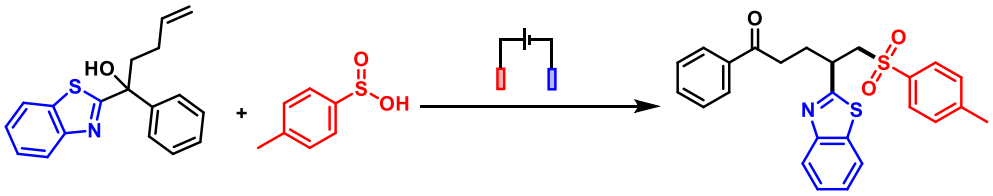


1a **2a** **3a**

entry	electrolyte	yield (%) [b]
1	$LiClO_4$	49
2	$^nBu_4ClO_4$	48
3	$^nBu_4NBF_4$	70
4	Et_4NBF_4	30
5	$^nBu_4NPF_6$	48
6	Et_4NPF_6	25
7	Et_4NOTs	18
8	NH_4I	trace

[a]Reaction conditions: graphite SK-50 electrodes, constant current = 10 mA, **1a** (0.25 mmol), **2a** (0.75 mmol), electrolyte (3.0 mmol) in CH_3CN/DCE (10.0 mL, v/v = 9/1) under air at room temperature for 3.0 h. [b]Isolated yield is based on **1a**.

Table S3. Screen of the concentration of the electrolyte[a]

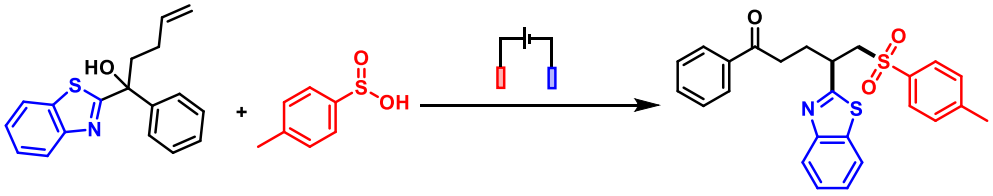


1a 2a 3a

entry	electrolyte（mmol）	yield (%) [b]
1	1.0	54
2	2.0	65
3	4.0	62
4	5.0	46

[a]Reaction conditions: graphite SK-50 electrodes, constant current = 10 mA, **1a** (0.25 mmol), **2a** (0.75 mmol), $^{n}Bu_4NBF_4$ in CH_3CN/DCE (10.0 mL, v/v = 9/1) under air at room temperature for 3.0 h. [b]Isolated yield is based on **1a**.

Table S4. Screen of current[a]

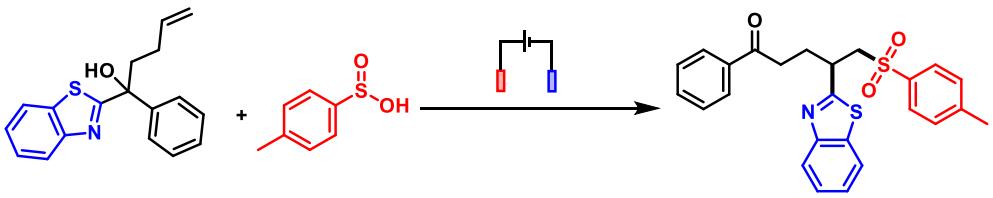


1a 2a 3a

entry	current (mA)	time (h)	yield (%) [b]
1	5.0	6.0	57
2	7.0	4.3	60
3	10.0	3.0	70
3	15.0	2.0	59
4	20.0	1.5	32
5	30.0	1.0	26

[a]Reaction conditions: graphite SK-50 electrodes, **1a** (0.25 mmol), **2a** (0.75 mmol), $^{n}Bu_4NBF_4$ (3.0 mmol) in CH_3CN/DCE (10.0 mL, v/v = 9/1) under air at room temperature. [b]Isolated yield is based on **1a**.

Table S5. Screen of solvent[a]

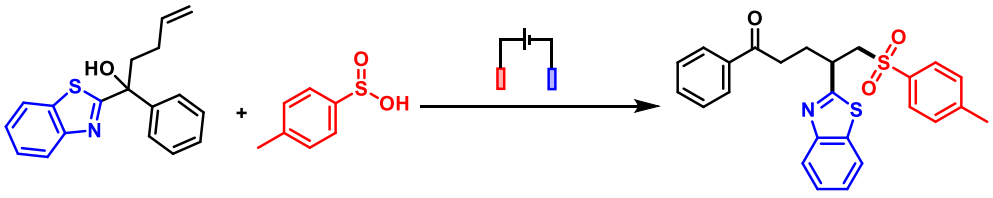


1a 2a 3a

entry	solvent (mL)	yield (%) [b]
1	CH_3CN	65
2	DCE	31
3	CH_3CN/DCE (v/v = 9/1)	70
4	CH_3CN/H_2O (v/v = 4/1)	47

[a]Reaction conditions: graphite SK-50 electrodes, constant current = 10 mA, **1a** (0.25 mmol), **2a** (0.75 mmol), $^nBu_4NBF_4$ (3.0 mmol) in solvent (10.0 mL) under air at room temperature for 3.0 h. [b]Isolated yield is based on **1a**.

Table S6. Screen of electrode material[a]

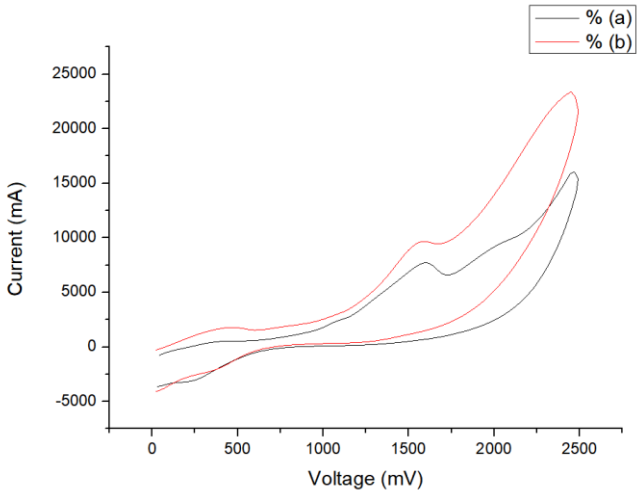


1a 2a 3a

entry	anode	cathode	yield (%) [b]
1	platinum plated electrode	graphite SK-50 electrode,	29
2	graphite SK-50 electrode,	platinum plated electrode	41
3	graphite SK-50 electrode,	graphite SK-50 electrode,	70
4	platinum plated electrode	platinum plated electrode	26

[a]Reaction conditions: electrodes, constant current = 10 mA, **1a** (0.25 mmol), **2a** (0.75 mmol), $^nBu_4NBF_4$ (3.0 mmol) in CH_3CN/DCE (10.0 mL, v/v = 9/1) under air at room temperature for 3.0 h. [b]Isolated yield is based on **1a**.

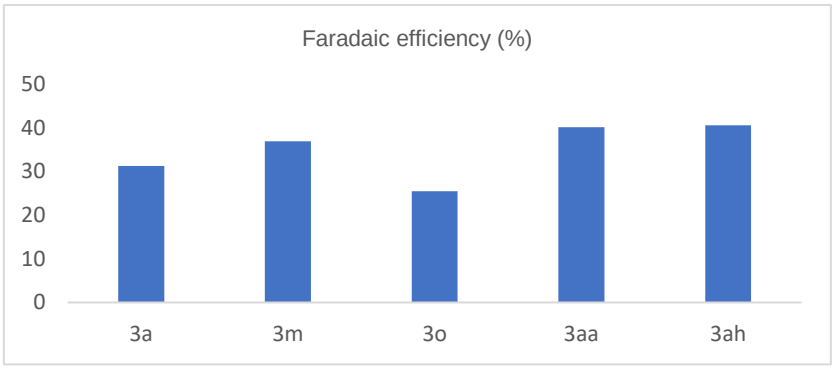
4. Cyclic voltammetry experiment



(a) To a 10 mL vial equipped with electrodes included a Pt working electrode, a Pt counter electrode and a silver/silver chloride electrode, **2a** (0.75 mmol), $^{n}Bu_4NBF_4$ (3 mmol), CH_3CN (10 mL) were added. Then the N_2 was blown into the reaction solution for 15.0 min and allowed to stand for 15.0 min. Potential sweep rate was 100 mV/s. (b) To a 10 mL vial equipped with electrodes included a Pt working electrode, a Pt counter electrode and a silver/silver chloride electrode, **1a** (0.25 mmol), **2a** (0.75 mmol), $^{n}Bu_4NBF_4$ (3 mmol), CH_3CN (10 mL) were added. Then the N_2 was blown into the reaction solution for 15.0 min and allowed to stand for 15.0 min. Potential sweep rate was 100 mV/s.

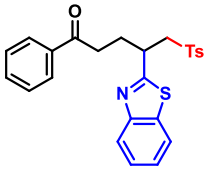
5. Faradaic efficiency

$$\eta = \frac{\text{moles of product (measured by isolated)}}{j(\text{mA / cm}^2) \times \text{t(s)} / \text{nF}} \times 100\%$$



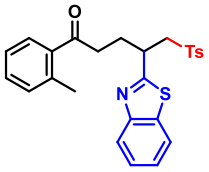
6. Characterization data

4-(benzo[*d*]thiazol-2-yl)-1-phenyl-5-tosylpentan-1-one (**3a**)



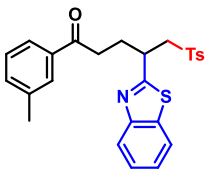
78.7 mg (70%); White solid, mp: 138.3-139.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.87 – 7.82 (m, 2H), 7.79 (d, *J* = 8.2 Hz, 2H), 7.64 (d, *J* = 8.2 Hz, 2H), 7.53 (t, *J* = 7.4 Hz, 1H), 7.42 (q, *J* = 7.4 Hz, 3H), 7.37 – 7.32 (m, 1H), 7.06 (d, *J* = 8.1 Hz, 2H), 4.07 (m, 1H), 3.91 (m, 1H), 3.57 (dd, *J* = 14.4, 4.6 Hz, 1H), 2.98 (m, 2H), 2.45 – 2.28 (m, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.4, 170.8, 152.8, 144.7, 136.7, 136.3, 134.8, 133.3, 129.6, 128.7, 128.1, 126.1, 125.3, 122.9, 121.7, 60.5, 39.0, 35.2, 30.3, 21.5. HRMS (ESI) m/z: calcd for $C_{25}H_{23}NO_3S_2Na$ $[M+Na]^+$: 472.1012; found: 472.1030.

4-(benzo[*d*]thiazol-2-yl)-1-(o-tolyl)-5-tosylpentan-1-one (**3b**)



64.9 mg (56%); White solid, mp: 127.6-128.3 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.79 (m, 2H), 7.64 (d, *J* = 8.2 Hz, 2H), 7.50 (d, *J* = 7.7 Hz, 1H), 7.45 – 7.40 (m, 1H), 7.37 – 7.30 (m, 2H), 7.22 – 7.16 (m, 2H), 7.07 (d, *J* = 8.1 Hz, 2H), 4.06 (m, 1H), 3.89 (m, 1H), 3.55 (dd, *J* = 14.4, 4.6 Hz, 1H), 2.90 (t, *J* = 7.4 Hz, 2H), 2.43 (s, 3H), 2.33 (m, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 202.3, 170.8, 152.8, 144.7, 138.3, 137.5, 136.4, 134.8, 132.1, 131.6, 129.6, 128.6, 128.1, 126.2, 125.8, 125.3, 122.9, 121.7, 60.5, 39.0, 38.0, 30.5, 21.5, 21.4. HRMS (ESI) m/z: calcd for $C_{26}H_{25}NO_3S_2Na$ $[M+Na]^+$: 486.1168; found: 486.1190.

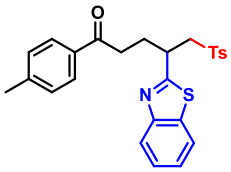
4-(benzo[*d*]thiazol-2-yl)-1-(m-tolyl)-5-tosylpentan-1-one (**3c**)



71.4 mg (62%); White solid, mp: 133.6-134.6 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 (t, *J* = 7.1 Hz, 2H), 7.68 – 7.61 (m, 4H), 7.43 (t, *J* = 7.3 Hz, 1H), 7.37 – 7.31 (m, 2H), 7.27 (d, *J* = 7.3 Hz, 1H), 7.06 (d, *J* = 8.1 Hz, 2H), 4.07 (dd, *J* = 14.4, 8.3 Hz, 1H), 3.91 (m, 1H), 3.57 (dd, *J* = 14.4, 4.5 Hz, 1H), 2.96 (m, 2H), 2.42 – 2.37 (m, 1H), 2.36 (s, 3H), 2.35 – 2.29 (m, 1H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.7, 170.9, 152.7, 144.7, 138.5,

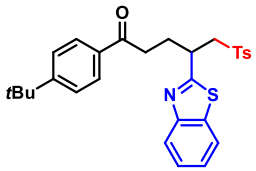
136.7, 136.3, 134.8, 134.1, 129.6, 128.6, 128.5, 128.1, 126.2, 125.3, 122.9, 121.7, 60.5, 39.0, 35.3, 30.4, 21.5, 21.4. HRMS (ESI) m/z: calcd for $C_{26}H_{25}NO_3S_2Na$ $[M+Na]^+$: 486.1168; found: 486.1189.

4-(benzo[*d*]thiazol-2-yl)-1-(p-tolyl)-5-tosylpentan-1-one (**3d**)



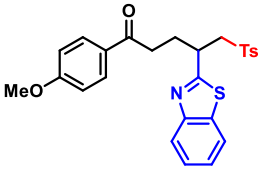
74.2 mg (64%); White solid, mp: 151.0-151.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.78 (t, *J* = 7.9 Hz, 2H), 7.73 (d, *J* = 8.1 Hz, 2H), 7.63 (d, *J* = 8.2 Hz, 2H), 7.41 (t, *J* = 7.3 Hz, 1H), 7.32 (t, *J* = 7.6 Hz, 1H), 7.17 (d, *J* = 8.0 Hz, 2H), 7.04 (d, *J* = 8.0 Hz, 2H), 4.07 (m, 1H), 3.89 (m, 1H), 3.56 (dd, *J* = 14.4, 4.3 Hz, 1H), 3.00 – 2.86 (m, 2H), 2.39 (m, 1H), 2.35 (s, 3H), 2.31 (m, 1H), 2.17 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.0, 170.8, 152.8, 144.6, 144.0, 136.3, 134.8, 134.1, 129.5, 129.3, 128.1, 128.0, 126.1, 125.2, 122.9, 121.6, 60.4, 39.0, 35.1, 30.4, 21.7, 21.4. HRMS (ESI) m/z: calcd for $C_{26}H_{25}NO_3S_2Na$ $[M+Na]^+$: 486.1168; found: 486.1187.

4-(benzo[*d*]thiazol-2-yl)-1-(4-(tert-butyl)phenyl)-5-tosylpentan-1-one (**3e**)



93.5 mg (74%); White solid, mp: 160.2-161.1 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 – 7.75 (m, 4H), 7.65 (d, *J* = 8.0 Hz, 2H), 7.41 (m, 3H), 7.35 (m, 1H), 7.07 (d, *J* = 7.9 Hz, 2H), 4.08 (m, 1H), 3.91 (m, 1H), 3.56 (dd, *J* = 14.4, 4.4 Hz, 1H), 2.96 (t, *J* = 7.5 Hz, 2H), 2.36 (m, 2H), 2.20 (s, 3H), 1.31 (s, 9H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.2, 170.95, 157.1, 152.8, 144.7, 136.4, 134.9, 134.1, 129.6, 128.15, 128.1, 126.2, 125.65, 125.3, 122.9, 121.7, 60.5, 39.1, 35.2 (4), 35.2 (0), 31.2, 30.5, 21.5. HRMS (ESI) m/z: calcd for $C_{29}H_{31}NO_3S_2Na$ $[M+Na]^+$: 528.1638; found: 528.1660.

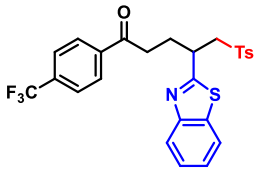
4-(benzo[*d*]thiazol-2-yl)-1-(4-methoxyphenyl)-5-tosylpentan-1-one (**3f**)



72.5 mg (60%); White solid, mp: 161.4-162.1 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.82 – 7.73 (m, 4H), 7.61 (d, *J* = 8.0 Hz, 2H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.30 (t, *J* = 7.5 Hz, 1H), 7.02 (d, *J* = 7.9 Hz, 2H), 6.83 (d, *J* = 8.6 Hz, 2H), 4.06 (m, 1H), 3.88 (m, 1H), 3.79 (s,

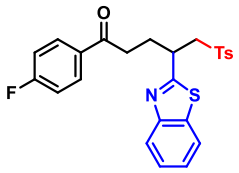
3H), 3.55 (dd, *J* = 14.4, 4.3 Hz, 1H), 2.90 (t, *J* = 7.3 Hz, 2H), 2.32 (m, 2H), 2.16 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 196.9, 170.8, 163.5, 152.7, 144.5, 136.2, 134.7, 130.2, 129.6, 129.5, 128.0, 126.0, 125.1, 122.8, 121.6, 113.7, 60.3, 55.5, 39.0, 34.8, 30.4, 21.4. HRMS (ESI) m/z: calcd for $C_{26}H_{25}NO_4S_2Na$ $[M+Na]^+$: 502.1117; found: 502.1064.

4-(benzo[*d*]thiazol-2-yl)-5-tosyl-1-(4-(trifluoromethyl)phenyl)pentan-1-one (**3g**)



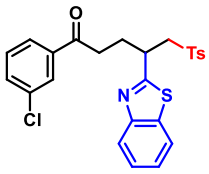
55.6 mg (43%); White solid, mp: 175.9-176.4 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.94 (d, *J* = 8.1 Hz, 2H), 7.80 (m, 2H), 7.70 – 7.63 (m, 4H), 7.44 (t, *J* = 7.6 Hz, 1H), 7.36 (t, *J* = 7.6 Hz, 1H), 7.10 (d, *J* = 8.0 Hz, 2H), 4.05 (m, 1H), 3.94 (m, 1H), 3.57 (dd, *J* = 14.2, 4.8 Hz, 1H), 3.02 (t, *J* = 7.2 Hz, 2H), 2.41 (m, 2H), 2.23 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 197.6, 170.6, 152.8, 144.8, 139.3, 136.3, 134.8, 134.7, 134.4, 129.7, 128.4, 128.1, 126.3, 125.8 (q, *J* = 3.7 Hz),125.4, 123.0, 121.7, 60.4, 38.8, 35.6, 30.1, 21.5. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -105.00. HRMS (ESI) m/z: calcd for $C_{26}H_{22}F_3NO_3S_2Na$ $[M+Na]^+$: 540.0885; found: 540.0906.

4-(benzo[*d*]thiazol-2-yl)-1-(4-fluorophenyl)-5-tosylpentan-1-one (**3h**)



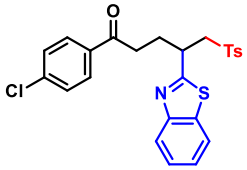
67.8 mg (58%); White solid, mp: 127.6-128.2 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.87 (m, 2H), 7.79 (m, 2H), 7.64 (d, *J* = 8.1 Hz, 2H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.34 (t, *J* = 7.6 Hz, 1H), 7.06 (t, *J* = 8.4 Hz, 4H), 4.05 (m, 1H), 3.91 (m, 1H), 3.56 (dd, *J* = 14.3, 4.7 Hz, 1H), 2.95 (t, *J* = 7.3 Hz, 2H), 2.36 (m, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 196.9, 170.8, 167.1, 164.6, 152.8, 144.7, 136.3, 134.8, 133.1(d, *J* = 3.0 Hz), 130.8, 130.7, 129.6, 128.1, 126.2, 125.3, 122.9, 121.7, 115.9, 115.8, 60.4, 38.9, 35.2, 30.3, 21.5. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -63.15. HRMS (ESI) m/z: calcd for $C_{25}H_{22}FNO_3S_2Na$ $[M+Na]^+$: 490.0917; found: 490.0934.

4-(benzo[*d*]thiazol-2-yl)-1-(3-chlorophenyl)-5-tosylpentan-1-one (**3i**)



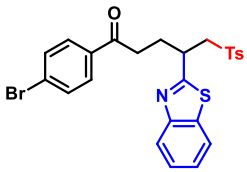
72.6 mg (60%); White solid, mp: 113.7-114.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.83 – 7.76 (m, 3H), 7.70 (d, *J* = 7.8 Hz, 1H), 7.65 (d, *J* = 8.2 Hz, 2H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.34 (m, 2H), 7.08 (d, *J* = 8.0 Hz, 2H), 4.05 (m, 1H), 3.91 (m, 1H), 3.56 (dd, *J* = 14.3, 4.7 Hz, 1H), 2.95 (t, *J* = 7.2 Hz, 2H), 2.36 (m, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 197.2, 170.7, 152.7, 144.8, 138.1, 136.3, 135.0, 134.8, 133.2, 130.0, 129.7, 128.2, 128.1, 126.2 (4), 126.1 (8), 125.4, 122.9, 121.7, 60.4, 38.8, 35.3, 30.1, 21.5. HRMS (ESI) m/z: calcd for $C_{25}H_{22}ClNO_3S_2Na$ $[M+Na]^+$: 506.0622; found: 506.0638.

4-(benzo[*d*]thiazol-2-yl)-1-(4-chlorophenyl)-5-tosylpentan-1-one (**3j**)



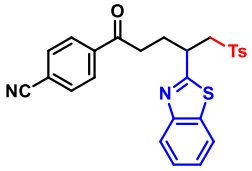
89.5 mg (74%); White solid, mp: 149.7-150.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.1 Hz, 1H), 7.79 (t, *J* = 7.4 Hz, 3H), 7.66 (d, *J* = 8.0 Hz, 2H), 7.45 (t, *J* = 7.7 Hz, 1H), 7.38 (m, 3H), 7.10 (d, *J* = 7.9 Hz, 2H), 4.09 (m, 1H), 3.94 (m, 1H), 3.56 (dd, *J* = 14.3, 4.6 Hz, 1H), 2.96 (t, *J* = 7.3 Hz, 2H), 2.40 (m, 2H), 2.23 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 197.3, 170.8, 152.7, 144.8, 139.8, 136.3, 135.0, 134.8, 129.7, 129.5, 129.0, 128.1, 126.3, 125.4, 122.9, 121.7, 60.4, 38.9, 35.2, 30.2, 21.5. HRMS (ESI) m/z: calcd for $C_{25}H_{22}ClNO_3S_2Na$ $[M+Na]^+$: 506.0622; found: 506.0636.

4-(benzo[*d*]thiazol-2-yl)-1-(4-bromophenyl)-5-tosylpentan-1-one (**3k**)



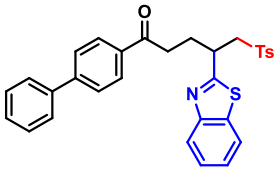
76.6 mg (58%); White solid, mp: 184.4-184.9 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.79 (m, 2H), 7.70 (d, *J* = 8.6 Hz, 2H), 7.65 (d, *J* = 8.2 Hz, 2H), 7.53 (d, *J* = 8.6 Hz, 2H), 7.46 – 7.40 (m, 1H), 7.38 – 7.32 (m, 1H), 7.08 (d, *J* = 8.0 Hz, 2H), 4.05 (m, 1H), 3.91 (m, 1H), 3.56 (dd, *J* = 14.3, 4.8 Hz, 1H), 2.94 (t, *J* = 7.3 Hz, 2H), 2.44 – 2.31 (m, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 197.5, 170.8, 152.7, 144.8, 136.3, 135.4, 134.8, 132.0, 129.7, 129.6, 128.5, 128.1, 126.3, 125.4, 122.9, 121.7, 60.4, 38.8, 35.2, 30.2, 21.5. HRMS (ESI) m/z: calcd for $C_{25}H_{22}BrNO_3S_2Na$ $[M+Na]^+$: 550.0117; found: 550.0116.

4-(4-(benzo[*d*]thiazol-2-yl)-5-tosylpentanoyl)benzonitrile (**3l**)



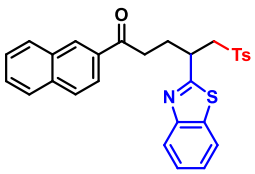
42.7 mg (36%); White solid, mp:155.9-156.1 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92 (d, *J* = 8.3 Hz, 2H), 7.79 (d, *J* = 8.1 Hz, 2H), 7.70 (d, *J* = 8.3 Hz, 2H), 7.65 (d, *J* = 8.2 Hz, 2H), 7.43 (t, *J* = 7.6 Hz, 1H), 7.35 (t, *J* = 7.6 Hz, 1H), 7.10 (d, *J* = 8.1 Hz, 2H), 4.01 (m, 1H), 3.93 (m, 1H), 3.57 (dd, *J* = 14.1, 4.9 Hz, 1H), 3.01 (t, *J* = 7.3 Hz, 2H), 2.46 – 2.35 (m, 2H), 2.23 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 197.2, 170.5, 152.8, 144.9, 139.6, 136.3, 134.8, 132.6, 129.7, 128.5, 128.1, 126.3, 125.4, 123.0, 121.8, 118.0, 116.6, 60.4, 38.7, 35.6, 29.9, 21.6. HRMS (ESI) m/z: calcd for $C_{26}H_{22}N_2O_3S_2Na$ $[M+Na]^+$: 497.0964; found: 497.0980.

1-([1,1'-biphenyl]-4-yl)-4-(benzo[*d*]thiazol-2-yl)-5-tosylpentan-1-one (**3m**)



109.1 mg (83%); White solid, mp: 183.3-184.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.91 (d, *J* = 8.3 Hz, 2H), 7.80 (t, *J* = 7.7 Hz, 2H), 7.69 – 7.57 (m, 6H), 7.48 – 7.31 (m, 5H), 7.07 (d, *J* = 8.1 Hz, 2H), 4.09 (m, 1H), 3.94 (m, 1H), 3.59 (dd, *J* = 14.4, 4.5 Hz, 1H), 3.01 (t, *J* = 7.2 Hz, 2H), 2.40 (m, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.1, 170.8, 152.8, 146.0, 144.7, 139.9, 136.3, 135.3, 134.8, 129.6, 129.1, 128.7, 128.4, 128.1, 127.3 (4), 127.3 (1), 126.2, 125.3, 122.9, 121.7, 60.5, 39.0, 35.3, 30.4, 21.5. HRMS (ESI) m/z: calcd for $C_{31}H_{27}NO_3S_2Na$ $[M+Na]^+$: 548.1325; found: 548.1345.

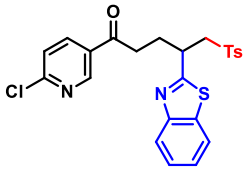
4-(benzo[*d*]thiazol-2-yl)-1-(naphthalen-2-yl)-5-tosylpentan-1-one (**3n**)



94.9 mg (76%); White solid, mp: 180.3-181.4 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.33 (s, 1H), 7.95 – 7.78 (m, 6H), 7.67 (d, *J* = 8.1 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 1H), 7.35 (t, *J* = 7.6 Hz, 1H), 7.08 (d, *J* = 8.0 Hz, 2H), 4.10 (m, 1H), 3.97 (m, 1H), 3.61 (dd, *J* = 14.3, 4.5 Hz, 1H), 3.12 (t, *J* = 7.3 Hz, 2H), 2.44 (m, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.5, 171.0, 152.7, 144.7, 136.3, 135.8, 134.8, 134.0, 132.6, 129.8, 129.7, 129.7, 128.6 (4), 128.5 (8), 128.2, 127.9, 126.9,

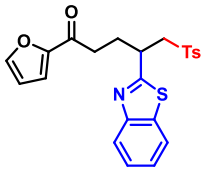
126.3, 125.4, 123.8, 122.9, 121.8, 60.5, 39.0, 35.3, 30.6, 21.5. HRMS (ESI) m/z: calcd for $C_{29}H_{25}NO_3S_2Na$ $[M+Na]^+$: 522.1168; found: 522.1183.

4-(benzo[*d*]thiazol-2-yl)-1-(6-chloropyridin-3-yl)-5-tosylpentan-1-one (**3o**)



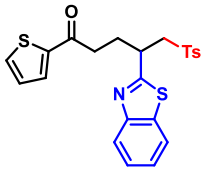
69.1 mg (57%); White solid, mp: 132.2-133.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.80 (d, *J* = 2.4 Hz, 1H), 8.08 (dd, *J* = 8.3, 2.4 Hz, 1H), 7.79 (d, *J* = 8.1 Hz, 2H), 7.66 (d, *J* = 8.2 Hz, 2H), 7.38 (m, 3H), 7.10 (d, *J* = 8.2 Hz, 2H), 4.01 (m, 1H), 3.92 (m, 1H), 3.56 (dd, *J* = 14.1, 4.9 Hz, 1H), 2.98 (t, *J* = 7.2 Hz, 2H), 2.49 – 2.36 (m, 2H), 2.23 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 196.2, 170.4, 155.9, 152.8, 149.9, 144.9, 138.1, 136.3, 134.8, 130.8, 129.7, 128.1, 126.3, 125.5, 124.6, 123.0, 121.8, 60.4, 38.7, 35.6, 29.8, 21.6. HRMS (ESI) m/z: calcd for $C_{24}H_{21}ClN_2O_3S_2Na$ $[M+Na]^+$: 507.0574; found: 507.0587.

4-(benzo[*d*]thiazol-2-yl)-1-(furan-2-yl)-5-tosylpentan-1-one (**3p**)



57.1 mg (52%); White solid, mp: 133.7-134.3 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.14 (d, *J* = 8.0 Hz, 1H), 7.95 (d, *J* = 7.8 Hz, 1H), 7.69 (d, *J* = 8.1 Hz, 2H), 7.54 (m, 2H), 7.26 (d, *J* = 7.8 Hz, 2H), 7.16 (s, 1H), 6.14 (s, 1H), 6.01 (d, *J* = 3.0 Hz, 1H), 3.61 (m, 1H), 3.51 (m, 1H), 3.41 (dd, *J* = 13.9, 5.6 Hz, 1H), 3.24 – 3.11 (m, 2H), 2.39 (s, 3H), 2.35 – 2.27 (m, 1H), 2.24 – 2.14 (m, 1H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 194.0, 166.1, 153.5, 153.0, 144.5, 142.0, 137.3, 136.6, 129.8, 128.0, 127.8, 127.1, 125.5, 122.5, 110.3, 107.6, 59.7, 35.9, 33.8, 28.2, 21.7. HRMS (ESI) m/z: calcd for $C_{23}H_{21}NO_4S_2Na$ $[M+Na]^+$: 507.0574; found: 507.0587.

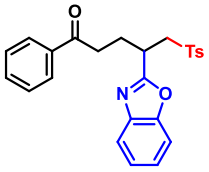
4-(benzo[*d*]thiazol-2-yl)-1-(thiophen-2-yl)-5-tosylpentan-1-one (**3q**)



78.6 mg (69%); White solid, mp: 129.4-130.2 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.13 (d, *J* = 7.9 Hz, 1H), 7.95 (d, *J* = 7.7 Hz, 1H), 7.69 (d, *J* = 7.8 Hz, 2H), 7.53 (m, 2H), 7.25 (d, *J* = 7.9 Hz, 2H), 7.10 (d, *J* = 4.8 Hz, 1H), 6.80 (m, 2H), 3.80 – 3.68 (m, 1H), 3.50 (d, *J* = 6.4 Hz, 2H), 3.18 (m, 2H), 2.52 – 2.43 (m, 1H), 2.39 (s, 3H), 2.18 – 2.08 (m, 1H). ^{13}C NMR (100 MHz,

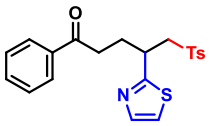
Chloroform-*d*) δ 194.2, 166.0, 153.5, 144.7, 144.6, 137.3, 136.8, 129.9, 128.0, 127.8, 127.1, 126.9, 125.7, 125.5, 124.5, 122.5, 63.2, 36.1, 35.7, 31.3, 21.7. HRMS (ESI) m/z: calcd for $C_{23}H_{21}NO_3S_3Na$ $[M+Na]^+$: 478.0576; found: 478.0590.

4-(benzo[*d*]oxazol-2-yl)-1-phenyl-5-tosylpentan-1-one (**3r**)



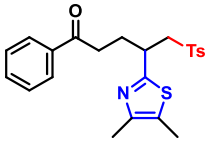
64.9 mg (62%); White solid, mp: 134.8-135.4 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 – 7.79 (m, 2H), 7.65 (d, *J* = 8.2 Hz, 2H), 7.56 – 7.48 (m, 2H), 7.43 – 7.33 (m, 3H), 7.28 – 7.25 (m, 2H), 7.06 (d, *J* = 8.0 Hz, 2H), 4.00 (m, 1H), 3.75 (m, 1H), 3.52 (dd, *J* = 14.4, 4.0 Hz, 1H), 3.08 – 2.90 (m, 2H), 2.33 (m, 2H), 2.17 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.2, 165.3, 150.5, 144.9, 140.8, 136.6, 135.6, 133.3, 129.7, 128.7, 128.2, 128.1, 125.1, 124.5, 119.9, 110.7, 58.9, 35.3, 35.0, 28.3, 21.5. HRMS (ESI) m/z: calcd for $C_{25}H_{23}NO_4SNa$ $[M+Na]^+$: 456.1240; found: 456.1250.

1-phenyl-4-(thiazol-2-yl)-5-tosylpentan-1-one (**3s**)



64.9 mg (65%); White solid, mp: 137.5-138.1 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 (d, *J* = 7.5 Hz, 2H), 7.71 (d, *J* = 8.2 Hz, 2H), 7.59 (d, *J* = 3.3 Hz, 1H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.43 (t, *J* = 7.7 Hz, 2H), 7.28 (d, *J* = 2.7 Hz, 2H), 7.18 (d, *J* = 3.3 Hz, 1H), 3.95 – 3.85 (m, 2H), 3.55 – 3.49 (m, 1H), 2.92 (t, *J* = 7.3 Hz, 2H), 2.41 (s, 3H), 2.38 – 2.23 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.6, 170.2, 144.7, 142.7, 136.7, 136.6, 133.3, 129.9, 128.7, 128.1 (4), 128.0 (9), 118.9, 60.8, 37.8, 35.3, 30.6, 21.7. HRMS (ESI) m/z: calcd for $C_{21}H_{21}NO_3S_2Na$ $[M+Na]^+$: 422.0855; found: 422.0868.

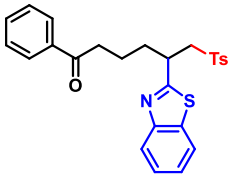
4-(4,5-dimethylthiazol-2-yl)-1-phenyl-5-tosylpentan-1-one (**3t**)



82.3 mg (77%); White solid, mp: 134.2-134.9 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 (d, *J* = 7.5 Hz, 2H), 7.66 (d, *J* = 8.1 Hz, 2H), 7.53 (t, *J* = 7.4 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.23 (d, *J* = 8.1 Hz, 2H), 3.87 (m, 1H), 3.67 (m, 1H), 3.45 (dd, *J* = 14.3, 5.1 Hz, 1H), 2.97 – 2.86 (m, 2H), 2.40 (s, 3H), 2.29 (m, 1H), 2.23 (s, 3H), 2.21 – 2.14 (m, 1H), 2.11 (s, 3H). ^{13}C

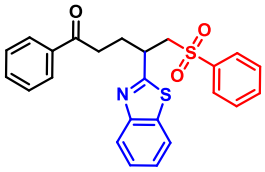
NMR (100 MHz, Chloroform-*d*) δ 198.8, 164.9, 148.0, 144.5, 136.8, 136.7, 133.2, 129.7, 128.7, 128.2, 128.1, 60.8, 38.0, 35.5, 30.5, 21.7, 14.6, 11.3. HRMS (ESI) m/z: calcd for $C_{23}H_{25}NO_3S_2Na$ $[M+Na]^+$: 450.1168; found: 450.1182.

5-(benzo[*d*]thiazol-2-yl)-1-phenyl-6-tosylhexan-1-one (**3w**)



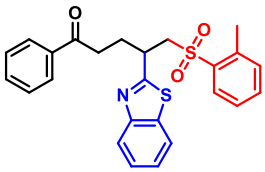
62.6 mg (54%); Yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.88 (d, *J* = 7.8 Hz, 2H), 7.78 (t, *J* = 7.4 Hz, 2H), 7.63 (d, *J* = 8.2 Hz, 2H), 7.52 (t, *J* = 7.3 Hz, 1H), 7.41 (t, *J* = 7.8 Hz, 3H), 7.33 (d, *J* = 7.8 Hz, 1H), 7.06 (d, *J* = 8.1 Hz, 2H), 4.00 (m, 1H), 3.84 – 3.77 (m, 1H), 3.54 (dd, *J* = 14.4, 4.8 Hz, 1H), 2.94 (m, 2H), 2.19 (s, 3H), 2.02 (q, *J* = 7.6 Hz, 2H), 1.73 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 199.3, 171.1, 152.8, 144.6, 136.8, 136.4, 134.8, 133.1, 129.6, 128.7, 128.0 (4),128.0 (1), 126.0, 125.1, 122.8, 121.6, 60.2, 39.6, 37.8, 35.5, 21.51, 21.1. HRMS (ESI) m/z: calcd for $C_{26}H_{25}NO_3S_2Na$ $[M+Na]^+$: 486.1168; found: 486.1181.

4-(benzo[*d*]thiazol-2-yl)-1-phenyl-5-(phenylsulfonyl)pentan-1-one (**3aa**)



98.0 mg (90%); White solid, mp: 139.9-140.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.88 – 7.73 (m, 6H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.45 – 7.28 (m, 7H), 4.08 (m, 1H), 3.94 (m, 1H), 3.60 (dd, *J* = 14.3, 4.8 Hz, 1H), 2.98 (t, *J* = 7.3 Hz, 2H), 2.38 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.4, 170.8, 152.8, 139.3, 136.6, 134.8, 133.5, 133.3, 129.0, 128.6, 128.0, 126.2, 125.3, 123.0, 121.7, 60.4, 38.8, 35.2, 30.3. HRMS (ESI) m/z: calcd for $C_{24}H_{21}NO_3S_2Na$ $[M+Na]^+$: 458.0855; found: 458.0864.

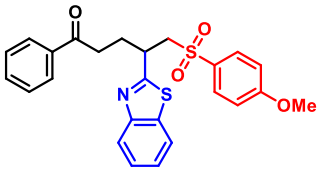
4-(benzo[*d*]thiazol-2-yl)-1-phenyl-5-(o-tolylsulfonyl)pentan-1-one (**3ab**)



61.8 mg (55%); White solid, mp: 117.9-118.9 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.87 – 7.80 (m, 4H), 7.75 (d, *J* = 7.9 Hz, 1H), 7.55 – 7.50 (m, 1H), 7.44 – 7.38 (m, 3H), 7.35 – 7.31 (m, 1H), 7.20 (m, 1H), 7.11 – 7.04 (m, 2H), 4.21 (m, 1H), 3.95 – 3.88 (m, 1H), 3.58 (dd, *J* = 14.4, 4.5 Hz, 1H), 3.00 (t, *J* = 7.4 Hz, 2H), 2.67 (s, 3H), 2.38 (m, 2H). ^{13}C NMR (100

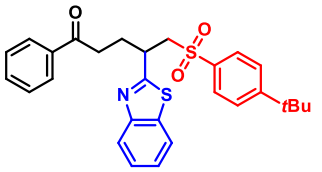
MHz, Chloroform-*d*) δ 198.5, 170.9, 152.7, 138.1, 137.2, 136.7, 134.8, 133.5, 133.3, 132.4, 130.2, 128.7, 128.1, 126.3, 126.2, 125.3, 122.9, 121.7, 59.4, 38.8, 35.3, 30.4, 20.5. HRMS (ESI) m/z: calcd for $C_{25}H_{23}NO_3S_2Na$ $[M+Na]^+$: 472.1012; found: 472.1024.

4-(benzo[*d*]thiazol-2-yl)-5-((4-methoxyphenyl)sulfonyl)-1-phenylpentan-1-one (**3ac**)



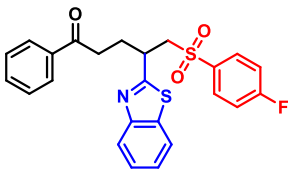
79.1 mg (68%); White solid, mp: 118.0-118.7 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.88 – 7.75 (m, 4H), 7.67 (d, *J* = 8.8 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.45 – 7.31 (m, 4H), 6.70 (d, *J* = 8.8 Hz, 2H), 4.06 (m, 1H), 3.90 (m, 1H), 3.64 (s, 3H), 3.56 (dd, *J* = 14.4, 4.4 Hz, 1H), 3.04 – 2.91 (m, 2H), 2.44 – 2.29 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.5, 170.9, 163.6, 152.8. 136.6, 134.8, 133.3, 130.7, 130.3, 128.7, 128.1, 126.2, 125.2, 122.9, 121.7, 114.2, 60.7, 55.6, 39.1, 35.3, 30.4. HRMS (ESI) m/z: calcd for $C_{25}H_{23}NO_4S_2Na$ $[M+Na]^+$: 488.0961; found: 488.0970.

4-(benzo[*d*]thiazol-2-yl)-5-((4-(tert-butyl)phenyl)sulfonyl)-1-phenylpentan-1-one (**3ad**)



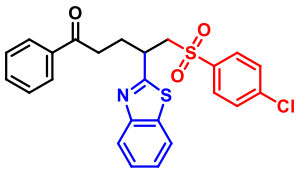
79.9 mg (65%); White solid, mp: 142.5-143.1 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 7.6 Hz, 2H), 7.80 (d, *J* = 8.1 Hz, 1H), 7.76 (d, *J* = 7.9 Hz, 1H), 7.68 (d, *J* = 8.5 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.40 (t, *J* = 7.6 Hz, 3H), 7.33 (t, *J* = 7.5 Hz, 1H), 7.28 (d, *J* = 8.5 Hz, 2H), 4.11 (m, 1H), 3.94 (m, 1H), 3.58 (dd, *J* = 14.4, 4.4 Hz, 1H), 2.98 (m, 2H), 2.37 (m, 2H), 1.16 (s, 9H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.5, 170.9, 157.6, 152.9, 136.7, 136.2, 134.8, 133.3, 128.7, 128.1, 128.0, 126.2, 126.0, 125.3, 123.0, 121.7, 60.5, 39.0, 35.3, 35.2, 31.0, 30.5. HRMS (ESI) m/z: calcd for $C_{28}H_{29}NO_3S_2Na$ $[M+Na]^+$: 514.1481; found: 514.1497.

4-(benzo[*d*]thiazol-2-yl)-5-((4-fluorophenyl)sulfonyl)-1-phenylpentan-1-one (**3ae**)



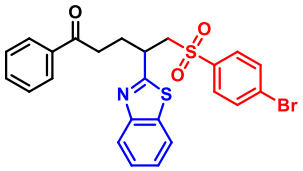
90.7 mg (80%); White solid, mp: 148.9-149.4 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.87 – 7.82 (m, 2H), 7.82 – 7.73 (m, 4H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.45 – 7.33 (m, 4H), 7.01 – 6.86 (m, 2H), 4.10 (m, 1H), 3.93 (m, 1H), 3.60 (dd, *J* = 14.4, 4.5 Hz, 1H), 2.99 (t, *J* = 7.5 Hz, 2H), 2.46 – 2.28 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.4, 170.5, 166.9, 164.4, 152.8, 136.6, 135.4 (d, *J* = 3.2 Hz), 134.7, 133.3, 131.0, 130.9, 128.7, 128.1, 126.3, 125.5, 122.9, 121.7, 116.3, 116.1, 60.6, 38.9, 35.2, 30.3. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -103.58. HRMS (ESI) m/z: calcd for $C_{24}H_{20}FNO_3S_2Na$ $[M+Na]^+$: 476.0761; found: 476.0774.

4-(benzo[*d*]thiazol-2-yl)-5-((4-chlorophenyl)sulfonyl)-1-phenylpentan -1-one (**3af**)



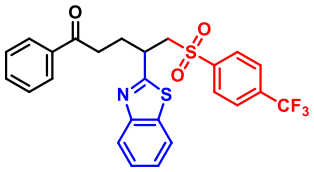
97.5 mg (83%); White solid, mp: 117.4-118.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 – 7.82 (m, 2H), 7.79 (m, 2H), 7.66 (d, *J* = 8.6 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.46 – 7.34 (m, 4H), 7.20 (d, *J* = 8.6 Hz, 2H), 4.12 (m, 1H), 3.92 (m, 1H), 3.59 (dd, *J* = 14.5, 4.3 Hz, 1H), 2.99 (t, *J* = 6.9 Hz, 2H), 2.36 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.4, 170.3, 152.7, 140.4, 137.8, 136.6, 134.6, 133.4, 129.5, 129.2, 128.7, 128.1, 126.5, 125.5, 122.9, 121.7, 60.5, 38.9, 35.2, 30.3. HRMS (ESI) m/z: calcd for $C_{24}H_{20}ClNO_3S_2Na$ $[M+Na]^+$: 492.0465; found: 490.0477.

4-(benzo[*d*]thiazol-2-yl)-5-((4-bromophenyl)sulfonyl)-1-phenylpentan -1-one (**3ag**)



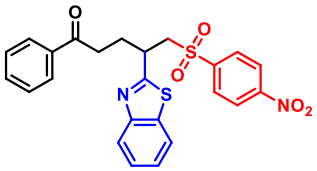
113.2 mg (88%); White solid, mp: 139.5-140.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 7.4 Hz, 2H), 7.82 – 7.75 (m, 2H), 7.57 (d, *J* = 8.5 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.48 – 7.33 (m, 6H), 4.12 (m, 1H), 3.91 (m, 1H), 3.59 (dd, *J* = 14.5, 4.2 Hz, 1H), 3.05 – 2.91 (m, 2H), 2.35 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.4, 170.2, 152.7, 138.3, 136.6, 134.6, 133.4, 132.2, 129.5, 129.0, 128.7, 128.1, 126.5, 125.6, 122.9, 121.7, 60.5, 39.0, 35.2, 30.3. HRMS (ESI) m/z: calcd for $C_{24}H_{20}BrNO_3S_2Na$ $[M+Na]^+$: 535.9960; found: 535.9972.

4-(benzo[*d*]thiazol-2-yl)-1-phenyl-5-((4-(trifluoromethyl)phenyl)sulfonyl)pentan-1-one (**3ah**)



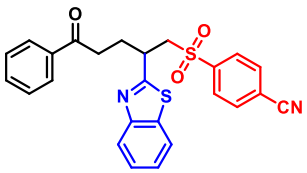
114.6 mg (91%); White solid, mp: 144.0-145.2 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (t, *J* = 7.2 Hz, 4H), 7.76 (d, *J* = 7.7 Hz, 1H), 7.70 (d, *J* = 8.2 Hz, 1H), 7.53 (t, *J* = 7.4 Hz, 1H), 7.46 – 7.39 (m, 5H), 7.37 – 7.33 (m, 1H), 4.21 (m, 1H), 3.95 (m, 1H), 3.63 (dd, *J* = 14.6, 3.9 Hz, 1H), 3.03 – 2.95 (m, 2H), 2.44 – 2.29 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.3, 169.9, 152.6, 142.8, 136.6, 135.2, 134.8, 134.5, 133.4, 128.8, 128.7, 128.1, 126.5, 125.9 (q, *J* = 3.6 Hz), 125.7, 122.8, 121.7, 60.5, 39.0, 35.1, 30.4. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -63.27. HRMS (ESI) m/z: calcd for $C_{25}H_{20}F_3NO_3S_2Na$ $[M+Na]^+$: 526.0729; found: 526.0746.

4-(benzo[*d*]thiazol-2-yl)-5-((4-nitrophenyl)sulfonyl)-1-phenylpentan-1-one (**3ai**)



87.7 mg (73%); White solid, mp: 152.3-152.9 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.96 (d, *J* = 8.8 Hz, 2H), 7.85 (m, 4H), 7.78 – 7.74 (m, 1H), 7.65 (d, *J* = 7.5 Hz, 1H), 7.54 (t, *J* = 7.4 Hz, 1H), 7.44 – 7.33 (m, 4H), 4.27 (m, 1H), 3.99 – 3.91 (m, 1H), 3.66 (dd, *J* = 14.7, 3.6 Hz, 1H), 3.00 (t, *J* = 7.0 Hz, 2H), 2.34 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.3, 169.7, 152.3, 150.1, 144.8, 136.5, 134.4, 133.5, 129.4, 128.8, 128.1, 126.6, 126.0, 123.7, 122.7, 121.8, 60.6, 39.0, 35.0, 30.3. HRMS (ESI) m/z: calcd for $C_{24}H_{20}N_2O_5S_2Na$ $[M+Na]^+$: 503.0706; found: 503.0719.

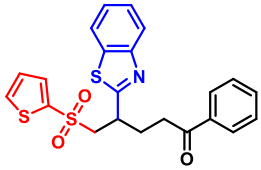
4-((2-(benzo[*d*]thiazol-2-yl)-5-oxo-5-phenylpentyl)sulfonyl)benzonitrile (**3aj**)



95.6 mg (83%); White solid, mp: 161.7-163.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 7.5 Hz, 2H), 7.78 (d, *J* = 8.3 Hz, 3H), 7.70 (d, *J* = 8.1 Hz, 1H), 7.53 (m, 1H), 7.43 (m, 6H), 4.22 (m, 1H), 3.93 (m, 1H), 3.64 (dd, *J* = 14.6, 3.7 Hz, 1H), 2.99 (t, *J* = 7.0 Hz, 2H), 2.42 – 2.28 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.3, 169.8, 152.4, 143.3, 136.5, 134.4, 133.5, 132.3, 128.8, 128.7, 128.1, 126.7, 126.0, 122.8, 121.8,

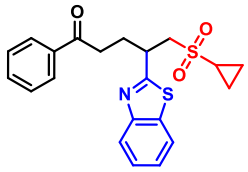
116.9, 116.9, 60.5, 39.0, 35.0, 30.3. HRMS (ESI) m/z: calcd for $C_{25}H_{20}N_2O_3S_2Na$ $[M+Na]^+$: 483.0808; found: 483.0822.

4-(benzo[*d*]thiazol-2-yl)-1-phenyl-5-(thiophen-2-ylsulfonyl)pentan-1-one (**3ak**)



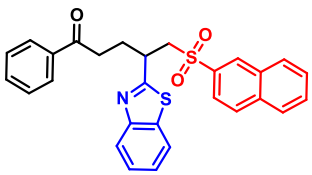
79.5 mg (72%); White solid, mp: 121.9-122.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.90 – 7.79 (m, 4H), 7.58 (dd, *J* = 3.8, 1.3 Hz, 1H), 7.56 – 7.49 (m, 2H), 7.46 – 7.34 (m, 4H), 6.90 (m, 1H), 4.18 (m, 1H), 3.97 (m, 1H), 3.70 (dd, *J* = 14.5, 5.0 Hz, 1H), 3.00 (t, *J* = 7.3 Hz, 2H), 2.41 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.5, 170.8, 152.9, 140.2, 136.6, 134.9, 134.7, 134.3, 133.3, 128.7, 128.1, 127.8, 126.3, 125.4, 123.0, 121.8, 61.8, 39.0, 35.2, 30.2. HRMS (ESI) m/z: calcd for $C_{22}H_{19}NO_3S_3Na$ $[M+Na]^+$: 464.0419; found: 464.0427.

4-(benzo[*d*]thiazol-2-yl)-5-(cyclopropylsulfonyl)-1-phenylpentan-1-one (**3al**)



66.9 mg (67%); Colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.97 (d, *J* = 8.1 Hz, 1H), 7.85 (d, *J* = 7.5 Hz, 3H), 7.49 (m, 2H), 7.38 (q, *J* = 7.2 Hz, 3H), 4.10 – 3.97 (m, 2H), 3.58 – 3.44 (m, 1H), 3.04 (t, *J* = 7.3 Hz, 2H), 2.45 (m, 2H), 2.25 (m, 1H), 1.17 (m, 2H), 0.89 – 0.80 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.5, 171.4, 152.9, 136.6, 134.8, 133.2, 128.6, 128.0, 126.4, 125.4, 123.0, 121.9, 58.2, 38.5, 35.2, 30.5, 30.3, 5.1, 5.0. HRMS (ESI) m/z: calcd for $C_{21}H_{21}NO_3S_2Na$ $[M+Na]^+$: 422.0855; found: 422.0860.

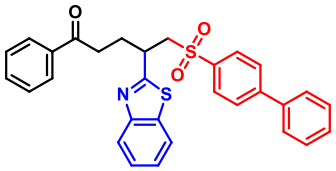
4-(benzo[*d*]thiazol-2-yl)-5-(naphthalen-2-ylsulfonyl)-1-phenylpentan-1-one (**3am**)



34.0 mg (28%); White solid, mp: 138.7-139.3°C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.30 (s, 1H), 7.83 (d, *J* = 7.5 Hz, 2H), 7.78 (d, *J* = 8.0 Hz, 1H), 7.74 (s, 2H), 7.70 (d, *J* = 8.1 Hz, 1H), 7.64 – 7.49 (m, 5H), 7.39 (t, *J* = 7.7 Hz, 2H), 7.25 – 7.17 (m, 2H), 4.19 (m, 1H), 3.97 (m, 1H), 3.66 (dd, *J* = 14.5, 4.5 Hz, 1H), 3.01 – 2.94 (m, 2H), 2.46 – 2.32 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.5, 170.5, 152.7, 136.7, 136.2, 135.2, 134.7, 133.3, 132.0, 130.1, 129.4, 129.4, 129.2, 128.7, 128.1, 127.9, 127.6, 126.1, 125.3,

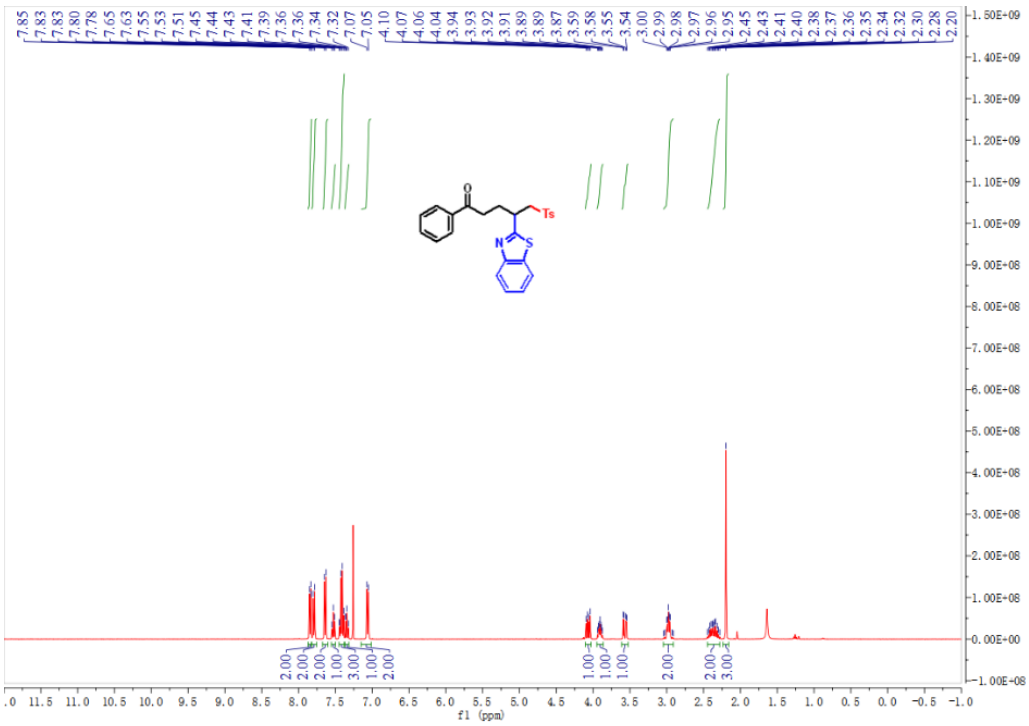
122.7, 122.6, 121.5, 60.5, 39.1, 35.3, 30.4. HRMS (ESI) m/z: calcd for $C_{28}H_{23}NO_3S_2Na$ $[M+Na]^+$: 508.1012; found: 508.1027.

5-([1,1'-biphenyl]-4-ylsulfonyl)-4-(benzo[*d*]thiazol-2-yl)-1-phenylpent an-1-one (**3an**)

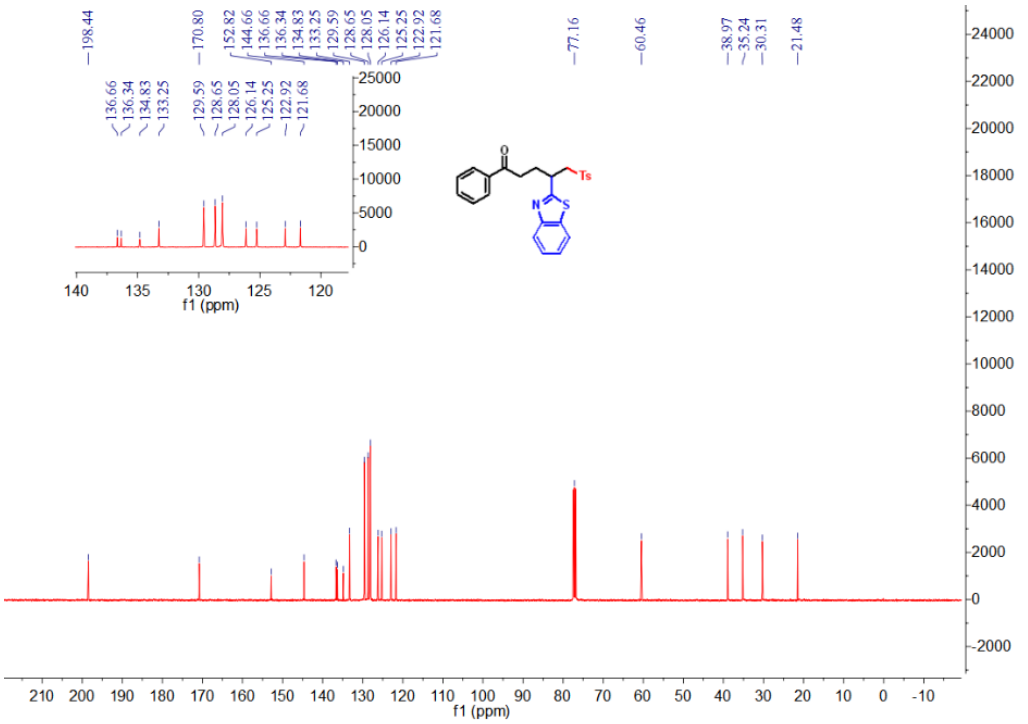


38.4 mg (30%); White solid, mp: 138.4-139.1°C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.87 – 7.74 (m, 6H), 7.54 – 7.50 (m, 1H), 7.47 – 7.30 (m, 11H), 4.18 (m, 1H), 3.98 (m, 1H), 3.63 (dd, *J* = 14.5, 4.4 Hz, 1H), 3.05 – 2.92 (m, 2H), 2.47 – 2.31 (m, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.5, 170.8, 152.7, 146.7, 139.1, 137.8, 136.7, 134.7, 133.4, 129.0, 128.7, 128.7, 128.6, 128.1, 127.6, 127.5, 126.4, 125.4, 122.9, 121.7, 60.5, 39.0, 35.3, 30.5. HRMS (ESI) m/z: calcd for $C_{30}H_{25}NO_3S_2Na$ $[M+Na]^+$: 534.1168; found: 534.1186.

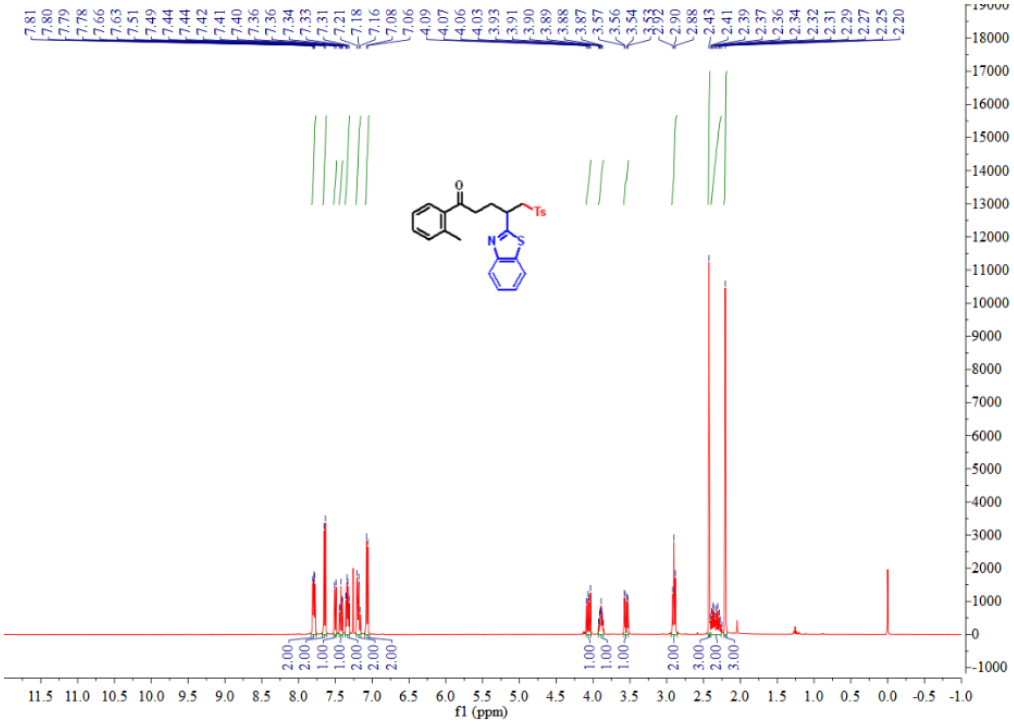
7. Copies of ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for the products



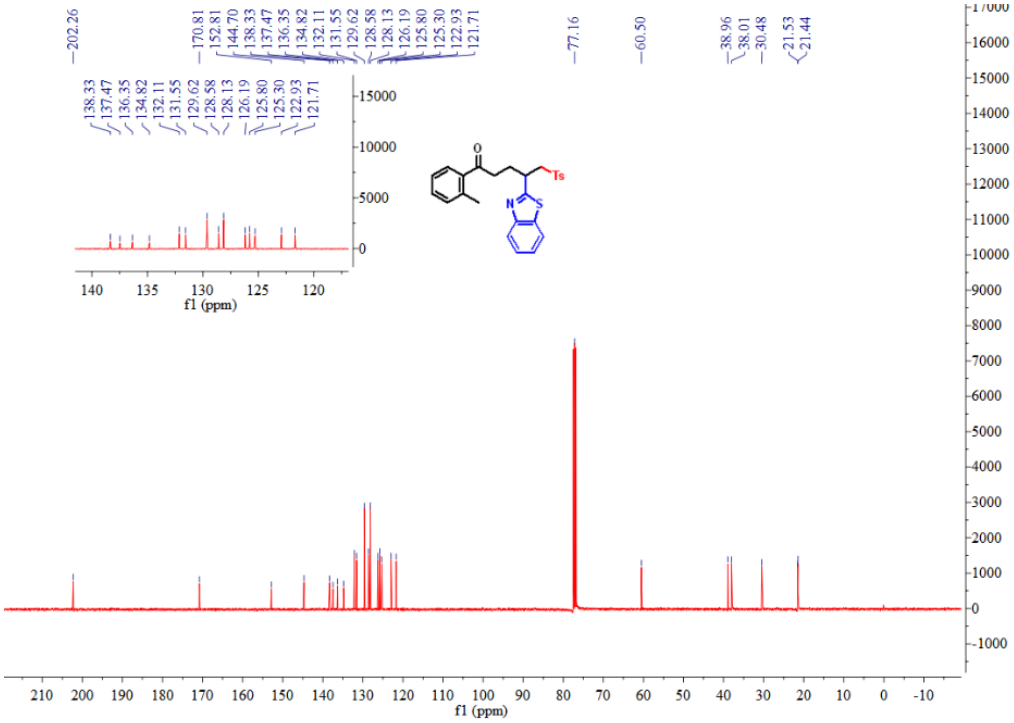
^{1}H NMR Spectrum of Compound **3a** (400 Hz, $CDCl_3$)



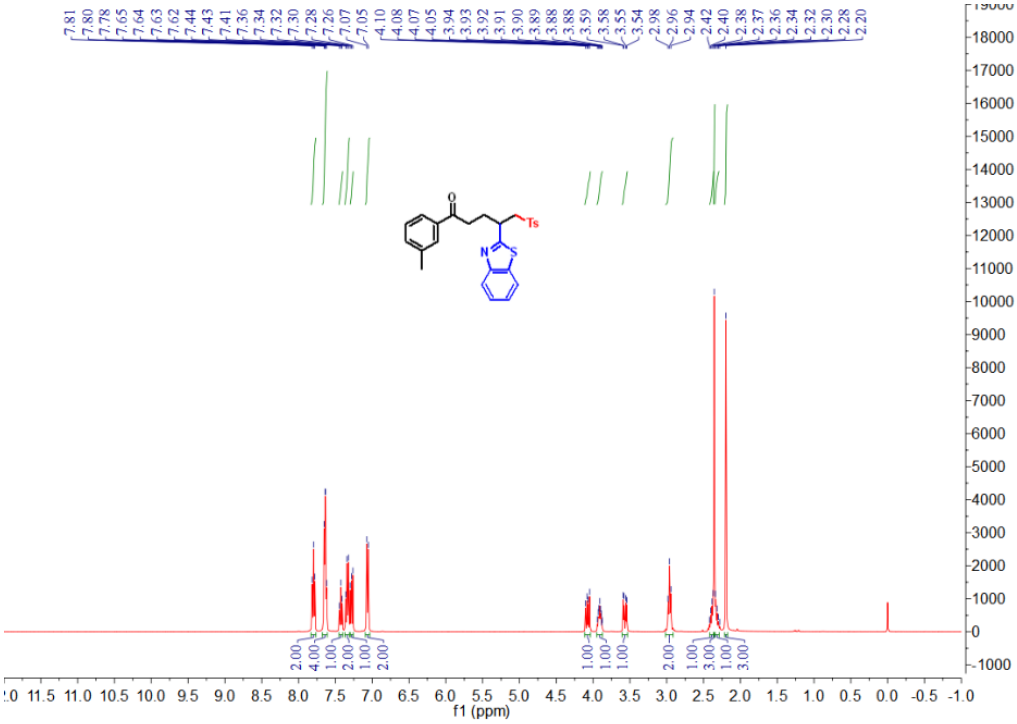
^{13}C NMR Spectrum of Compound **3a** (100 Hz, $CDCl_3$)



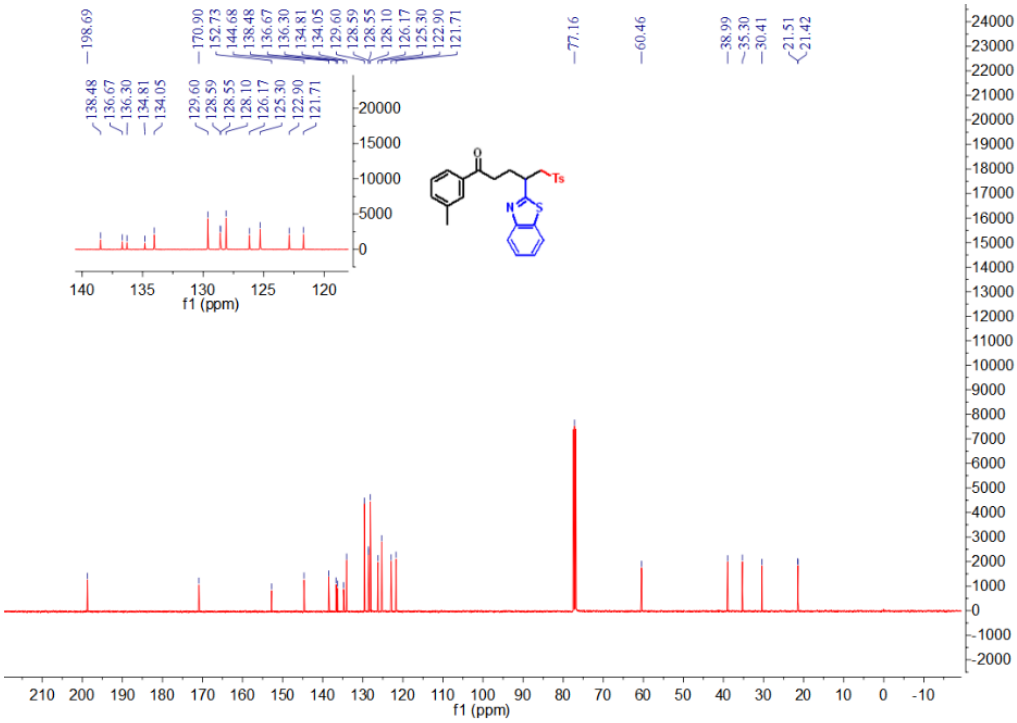
^{1}H NMR Spectrum of Compound **3b** (400 Hz, $CDCl_3$)



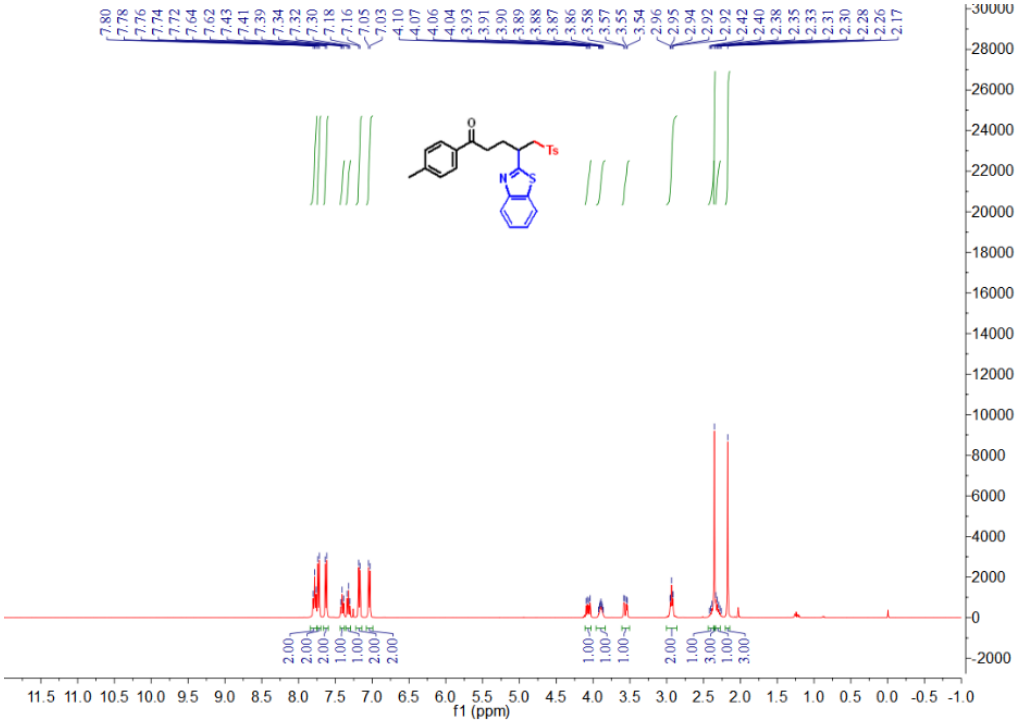
^{13}C NMR Spectrum of Compound **3b** (100 Hz, $CDCl_3$)



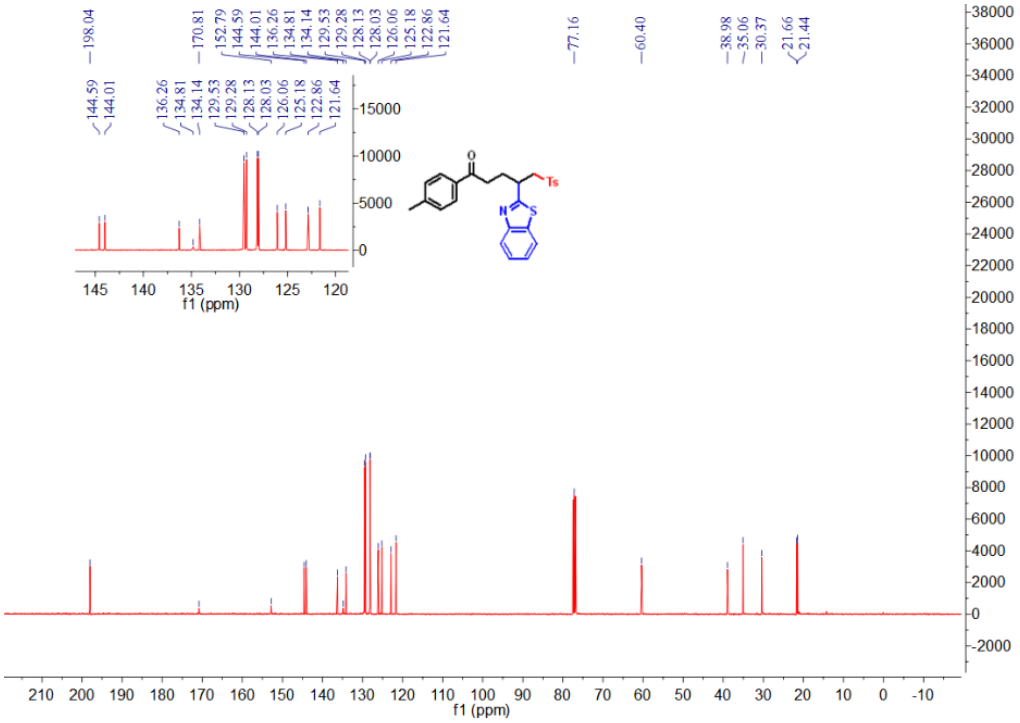
^{1}H NMR Spectrum of Compound **3c** (400 Hz, $CDCl_3$)



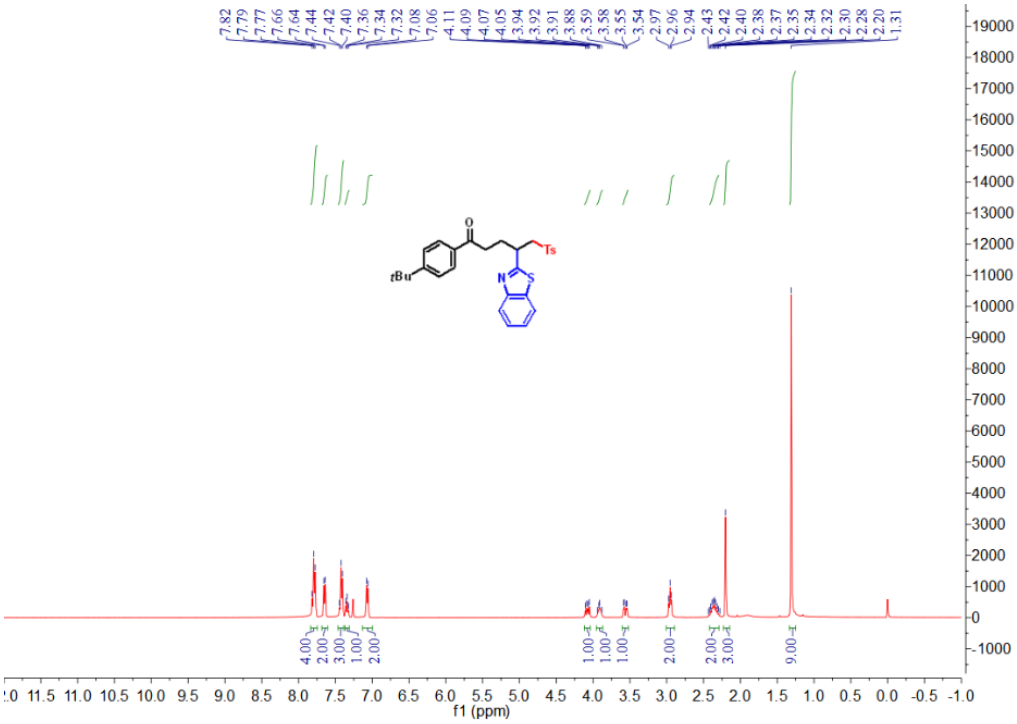
^{13}C NMR Spectrum of Compound **3c** (100 Hz, $CDCl_3$)



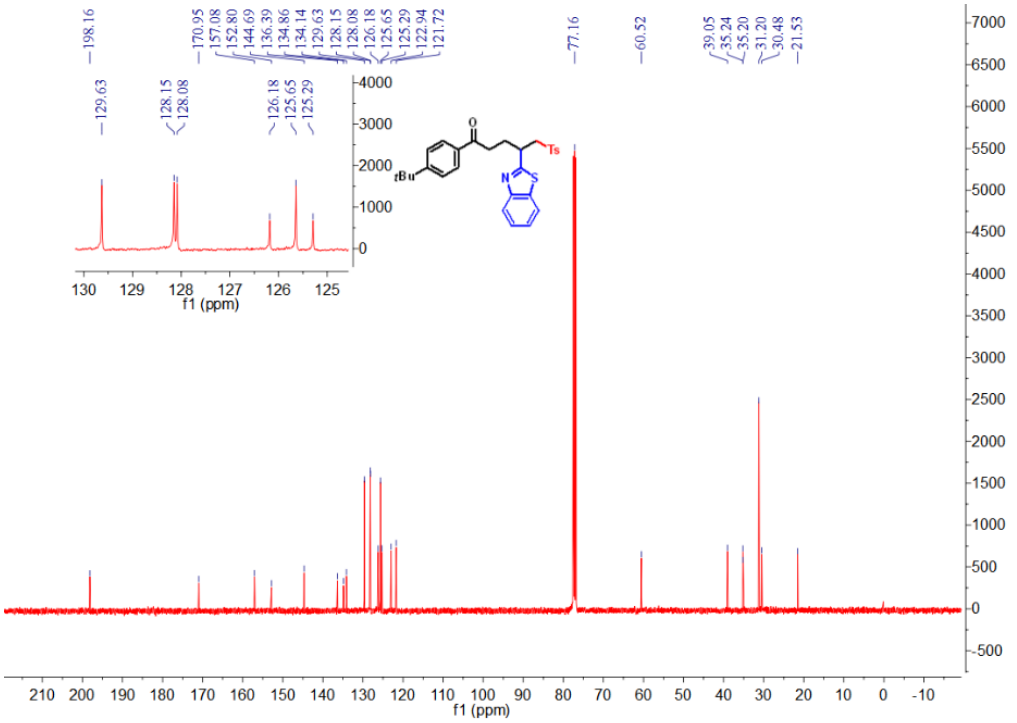
^{1}H NMR Spectrum of Compound **3d** (400 Hz, $CDCl_3$)



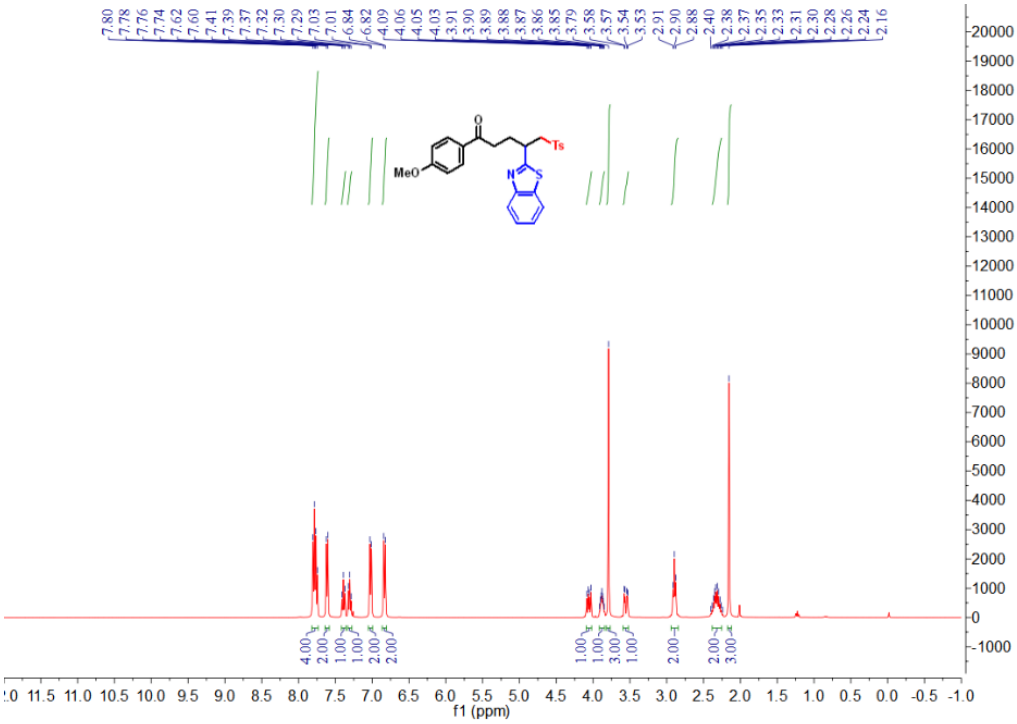
^{13}C NMR Spectrum of Compound **3d** (100 Hz, $CDCl_3$)



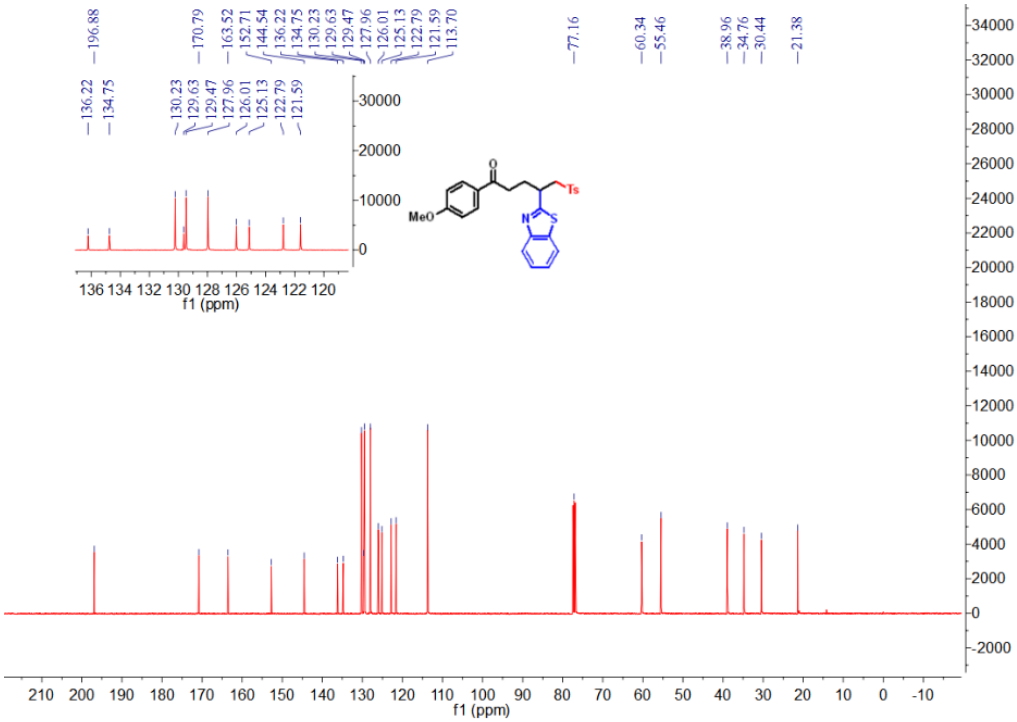
^{1}H NMR Spectrum of Compound **3e** (400 Hz, $CDCl_3$)



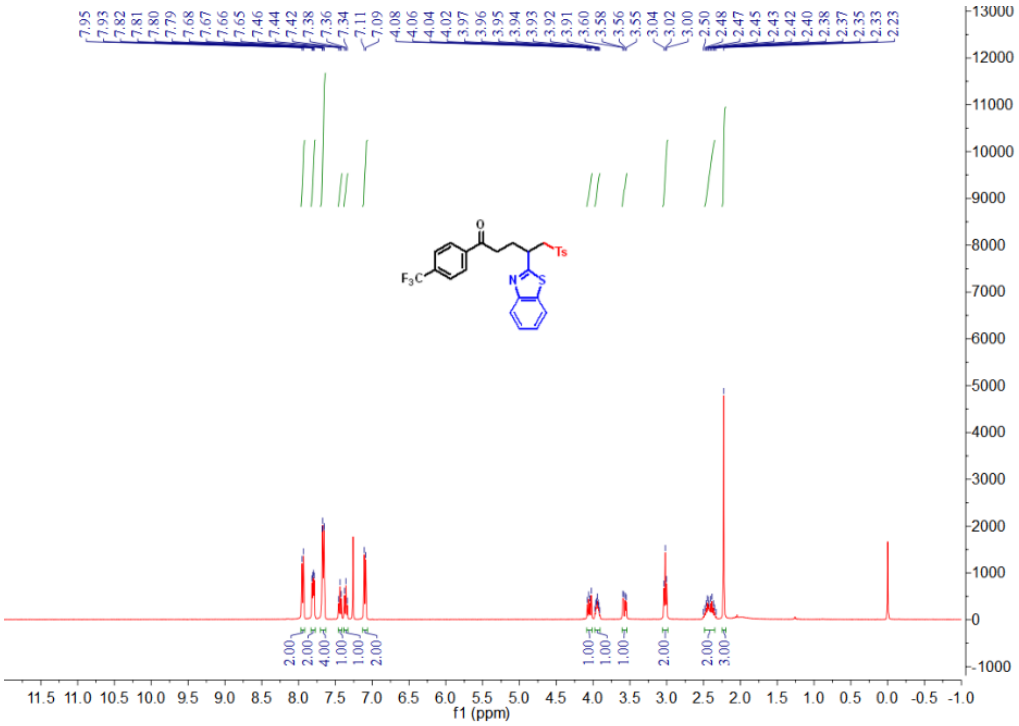
^{13}C NMR Spectrum of Compound **3e** (100 Hz, $CDCl_3$)



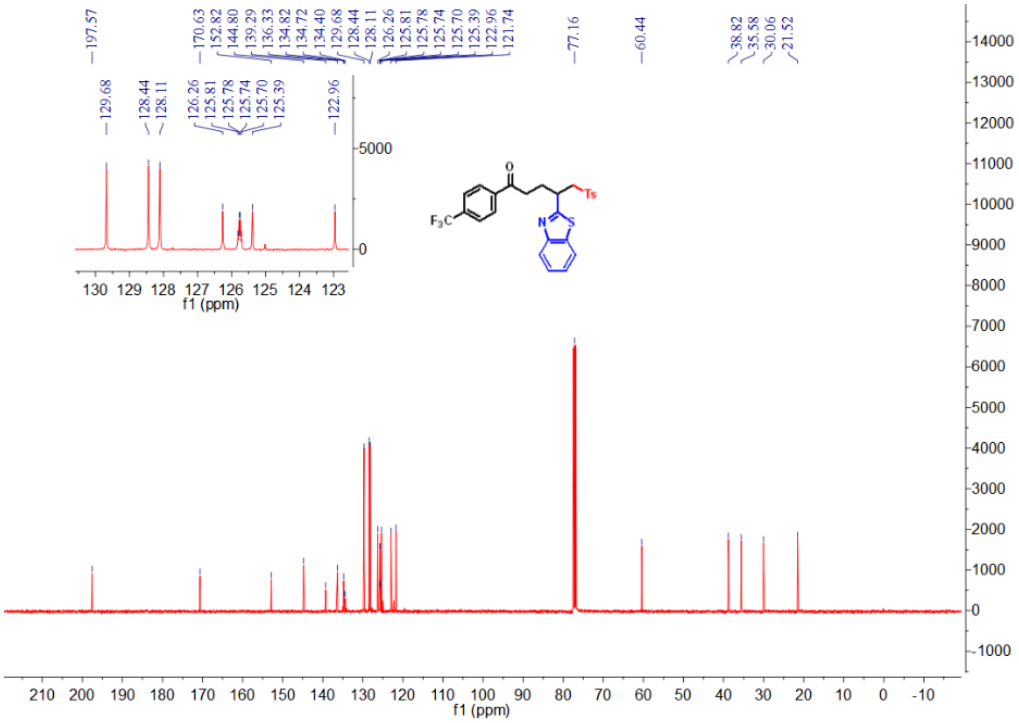
^{1}H NMR Spectrum of Compound **3f** (400 Hz, $CDCl_3$)



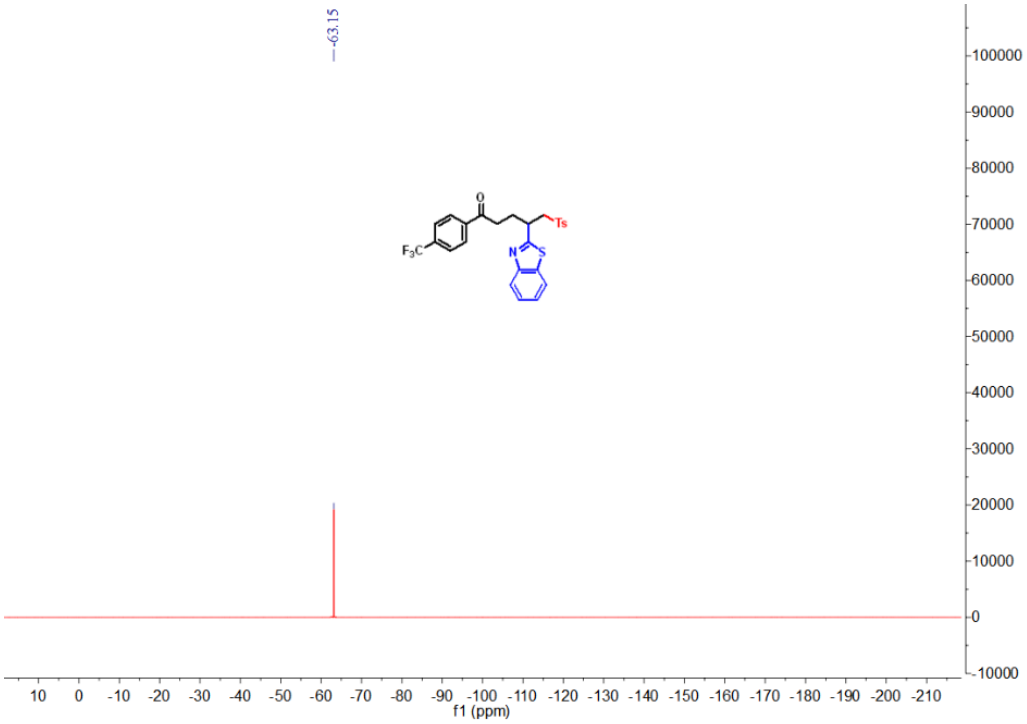
^{13}C NMR Spectrum of Compound **3f** (100 Hz, $CDCl_3$)



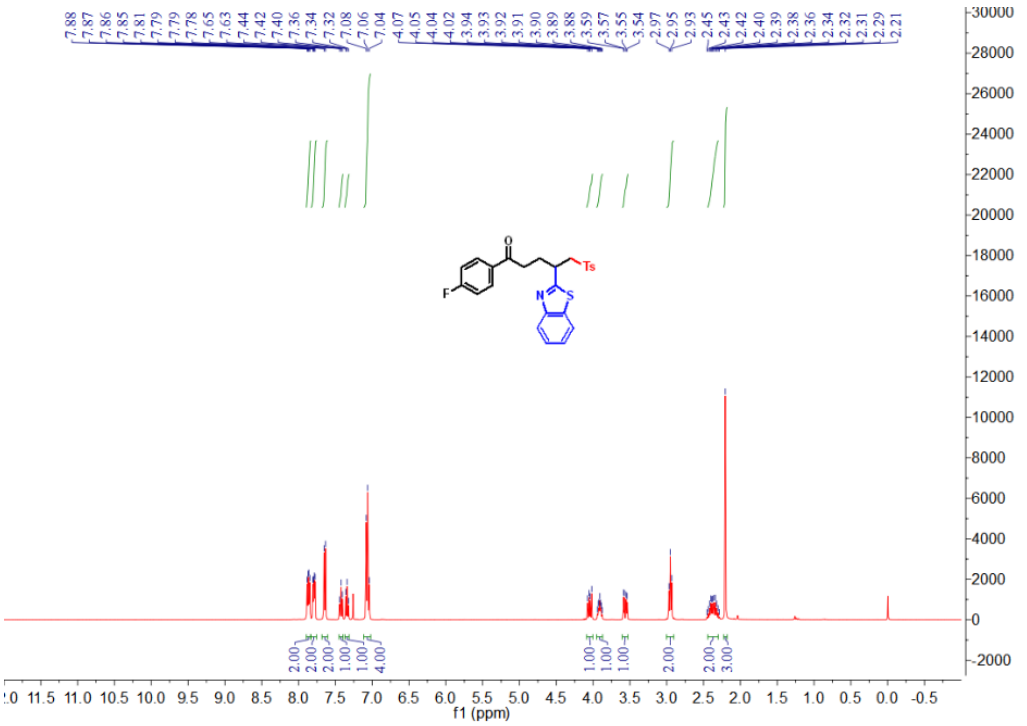
^{1}H NMR Spectrum of Compound **3g** (400 Hz, $CDCl_3$)



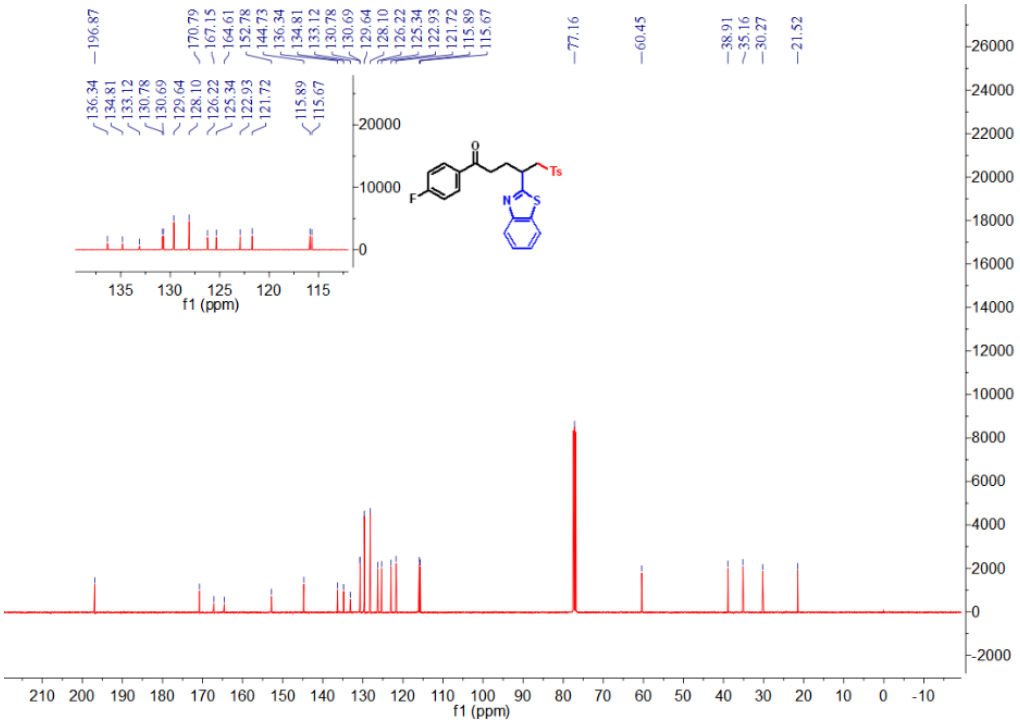
^{13}C NMR Spectrum of Compound **3g** (100 Hz, $CDCl_3$)



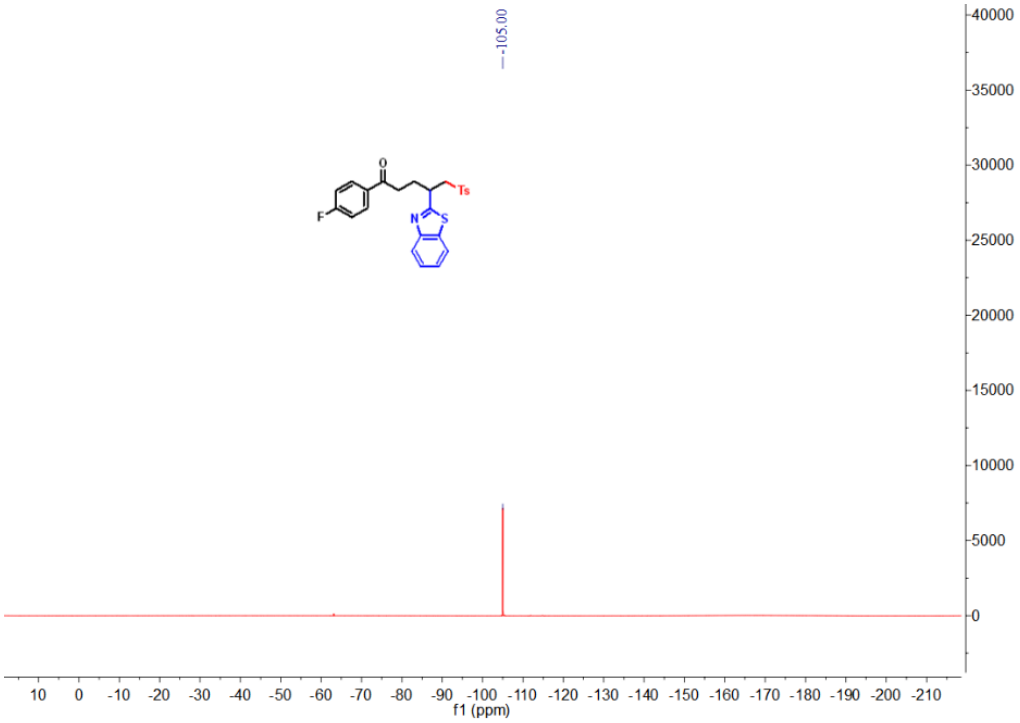
^{19}FNMR Spectrum of Compound **3g** (376 Hz, $CDCl_3$)



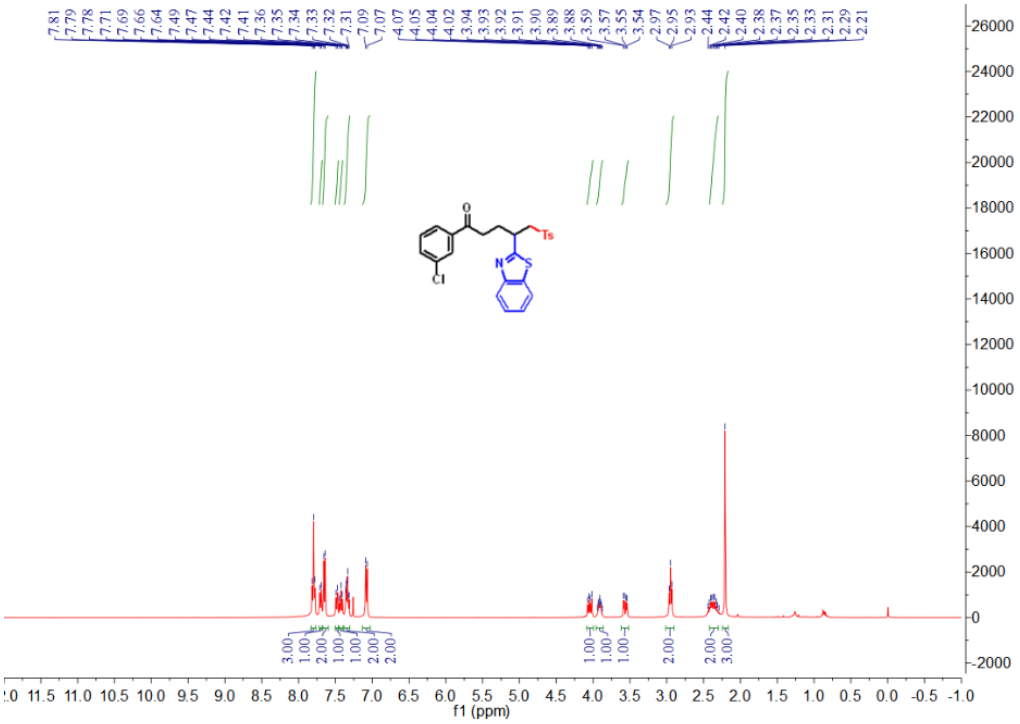
^{1}H NMR Spectrum of Compound **3h** (400 Hz, $CDCl_3$)



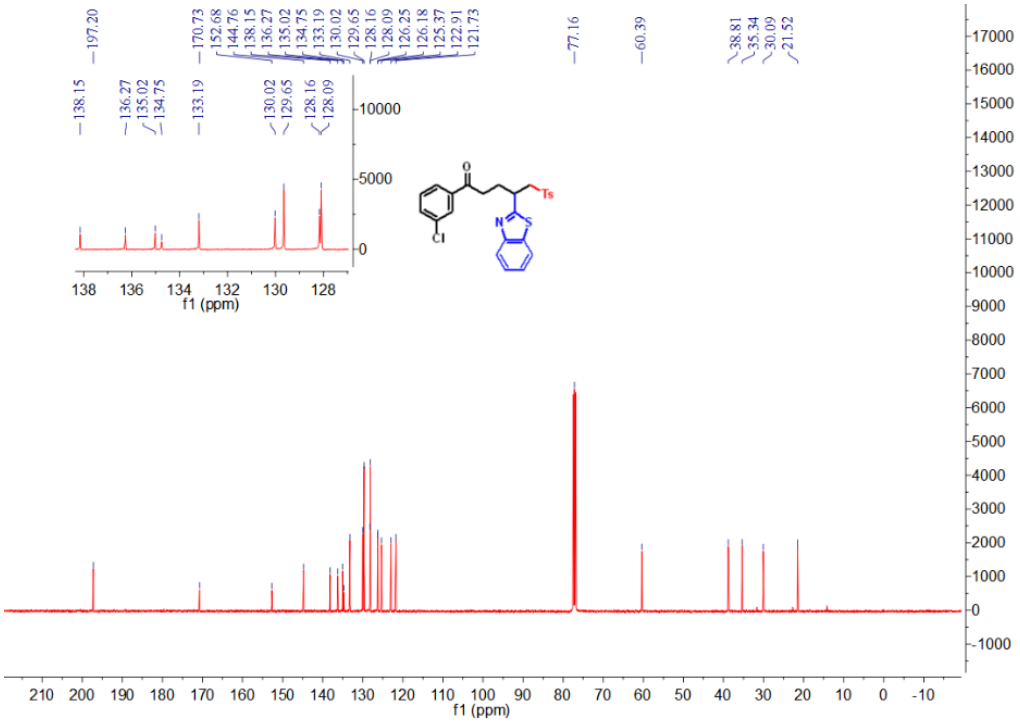
^{13}C NMR Spectrum of Compound **3h** (100 Hz, $CDCl_3$)



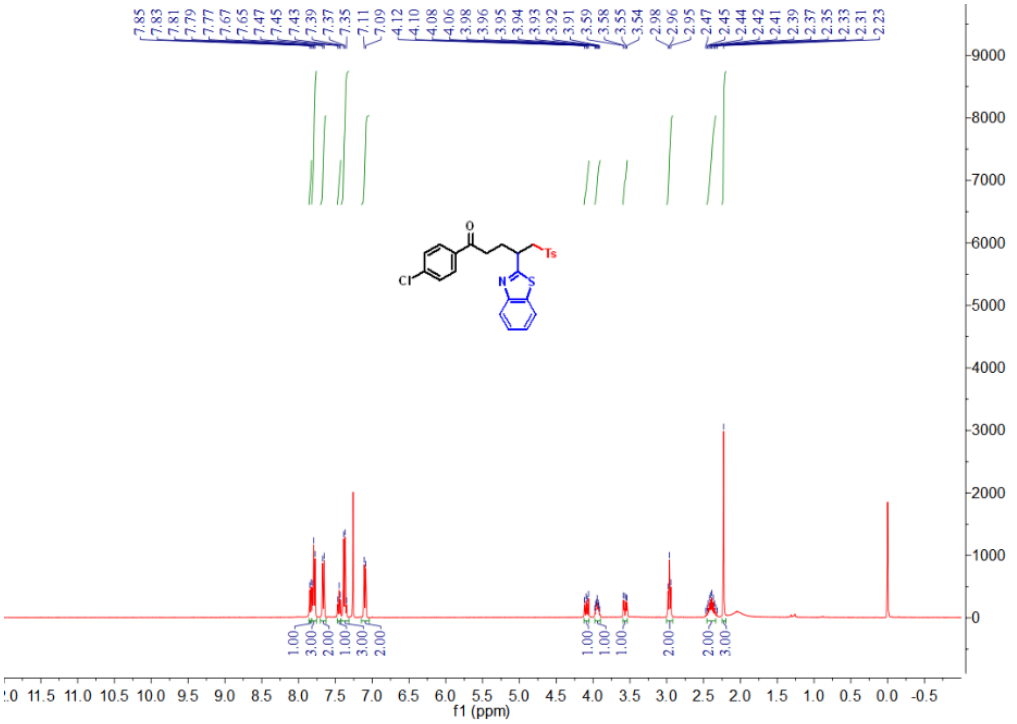
^{19}FNMR Spectrum of Compound **3h** (376 Hz, $CDCl_3$)



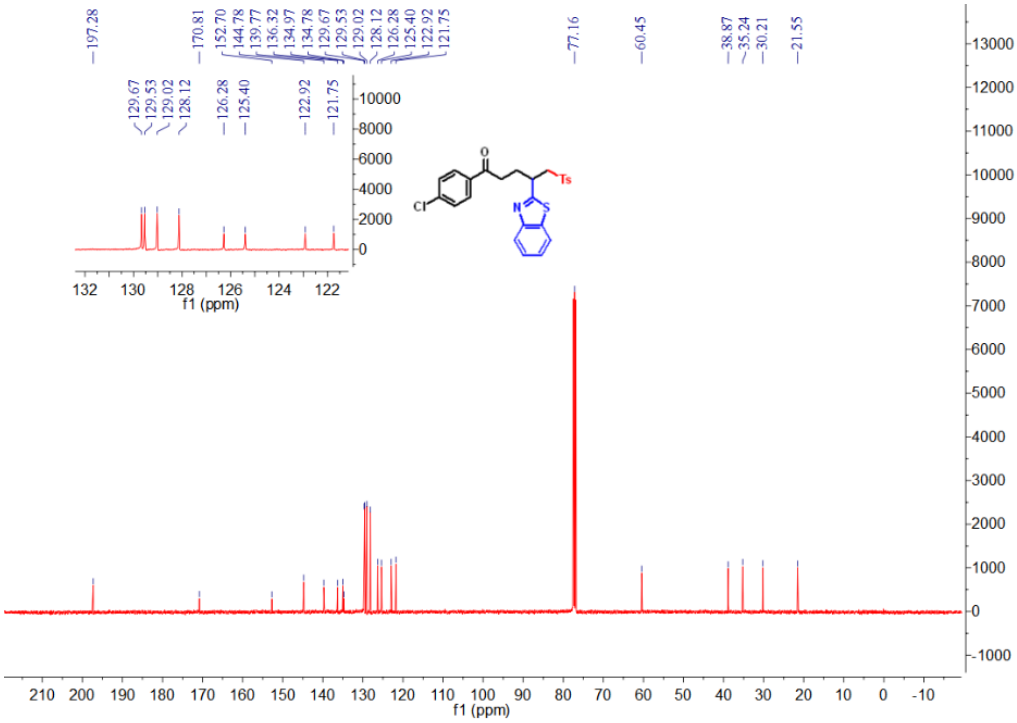
^{1}H NMR Spectrum of Compound **3i** (400 Hz, $CDCl_3$)



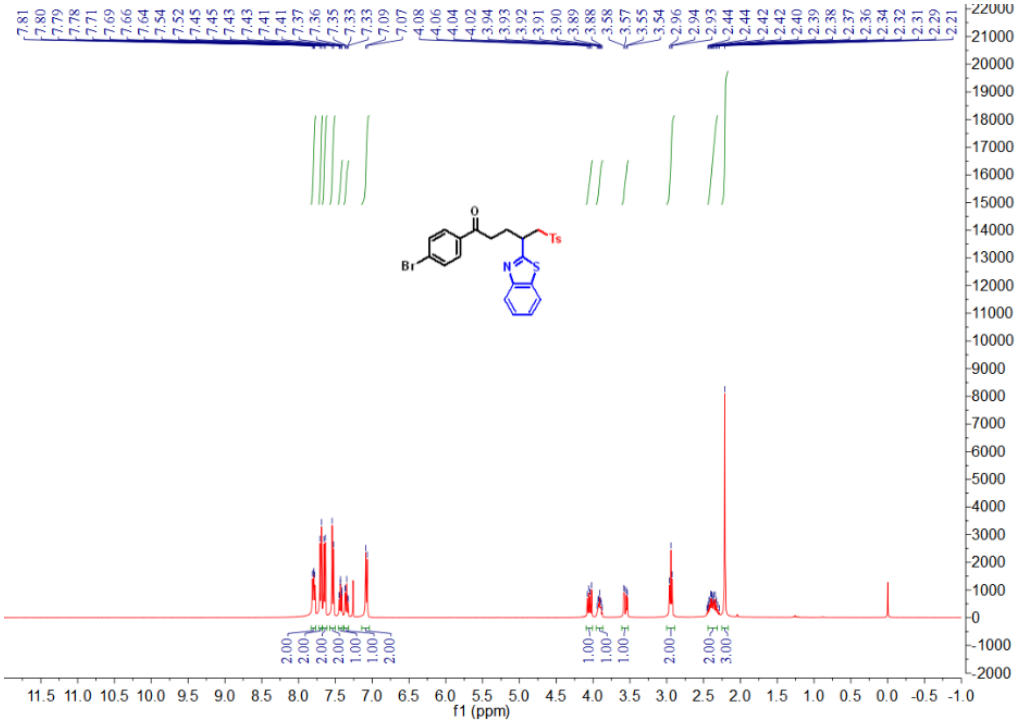
^{13}C NMR Spectrum of Compound **3i** (100 Hz, $CDCl_3$)



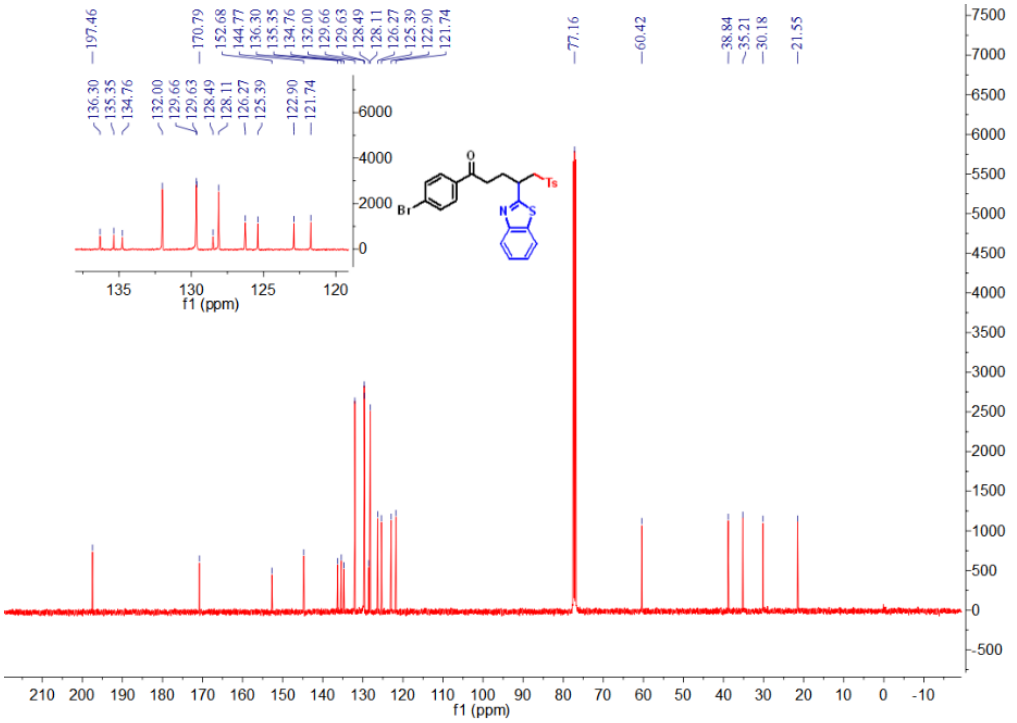
^{1}H NMR Spectrum of Compound **3j** (400 Hz, $CDCl_3$)



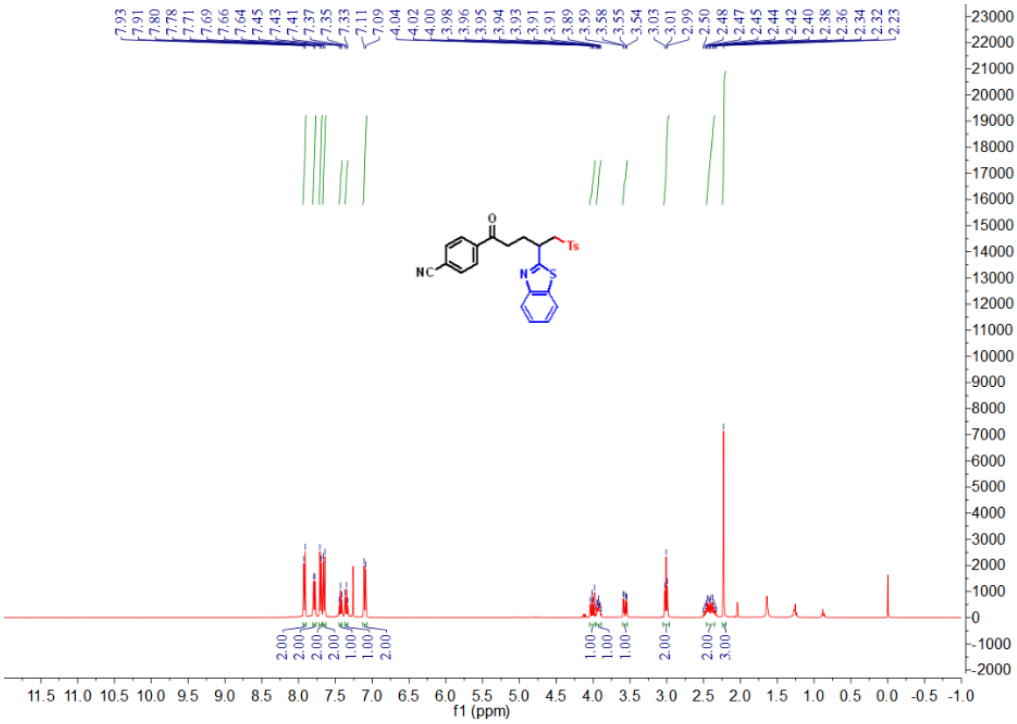
^{13}C NMR Spectrum of Compound **3j** (100 Hz, $CDCl_3$)



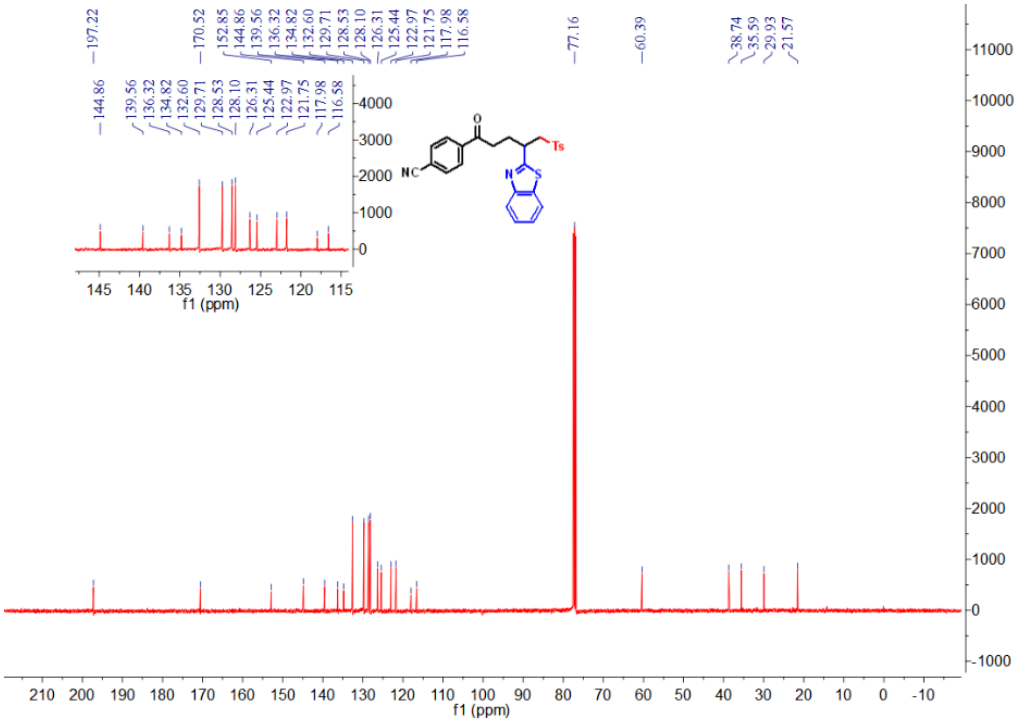
^{1}H NMR Spectrum of Compound **3k** (400 Hz, $CDCl_3$)



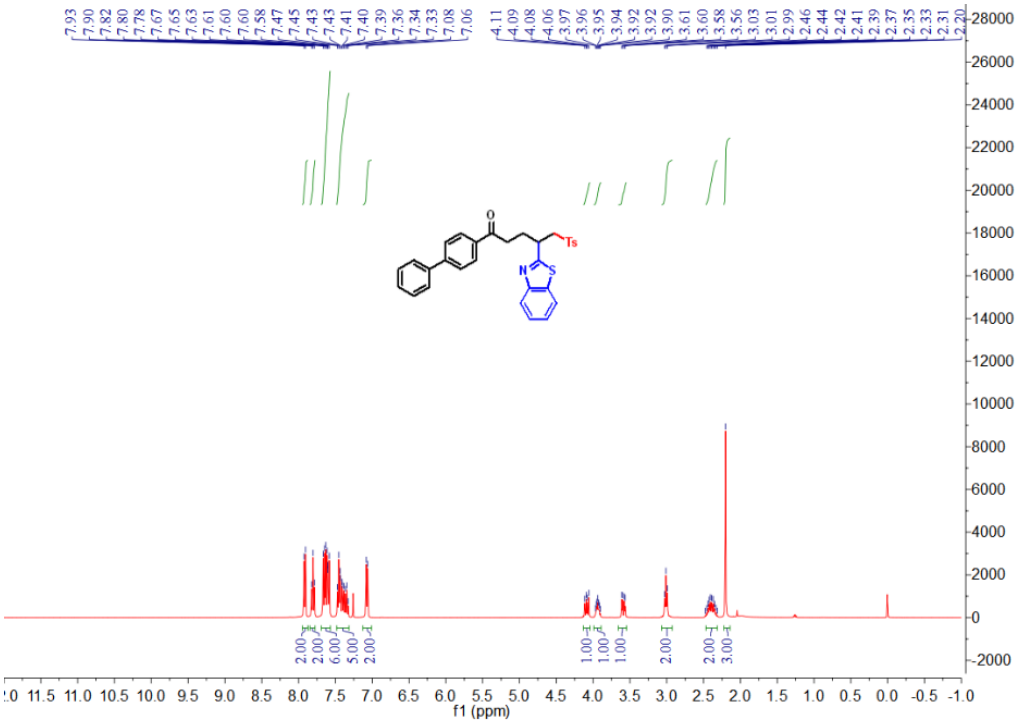
^{13}C NMR Spectrum of Compound **3k** (100 Hz, $CDCl_3$)



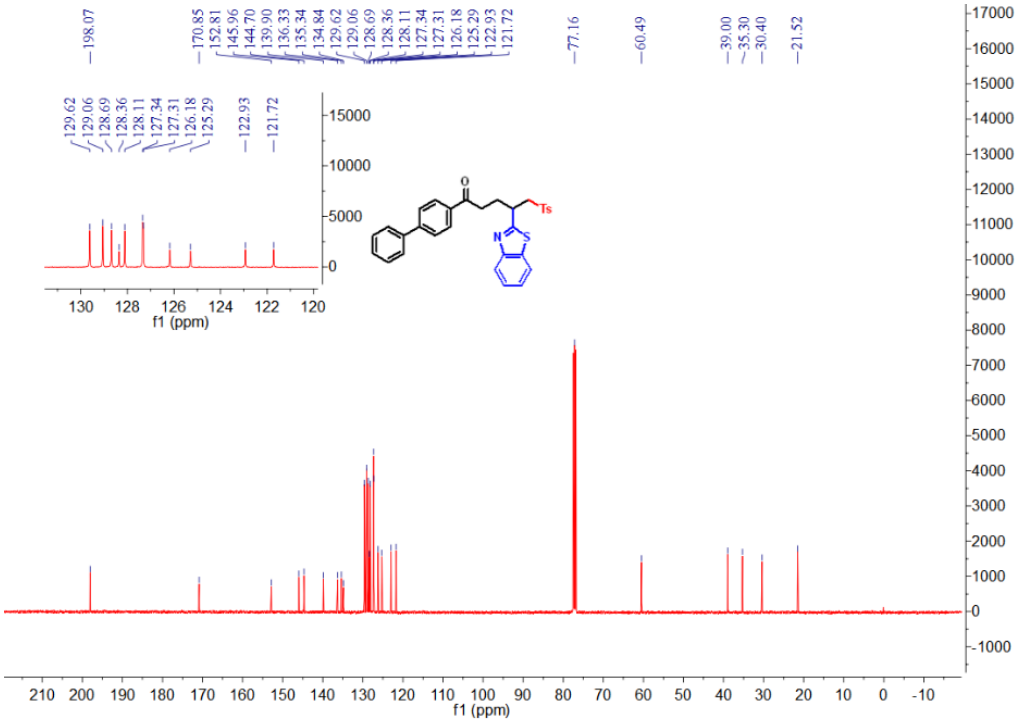
1H NMR Spectrum of Compound **3l** (400 Hz, CDCl3)



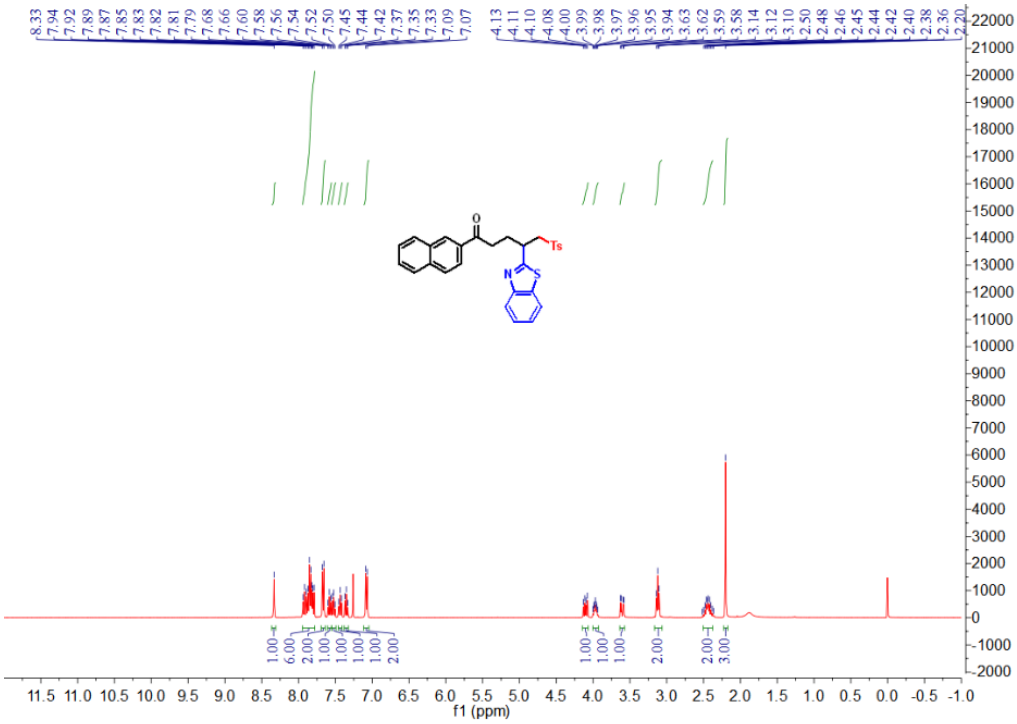
^{13}C NMR Spectrum of Compound **3l** (100 Hz, $CDCl_3$)



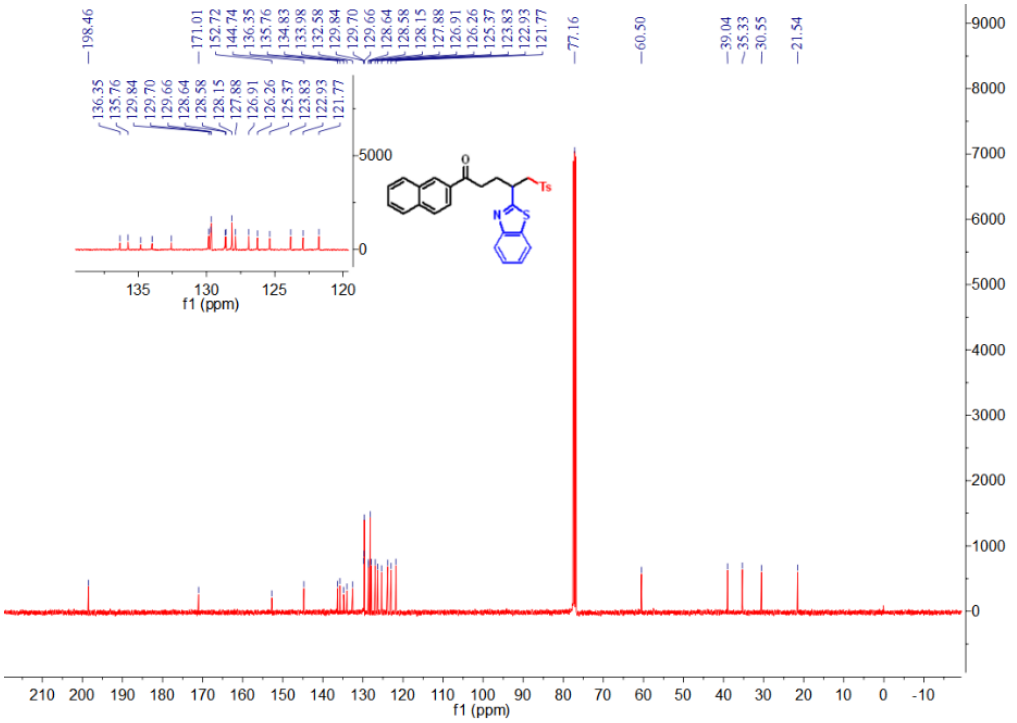
^{1}H NMR Spectrum of Compound **3m** (400 Hz, $CDCl_3$)



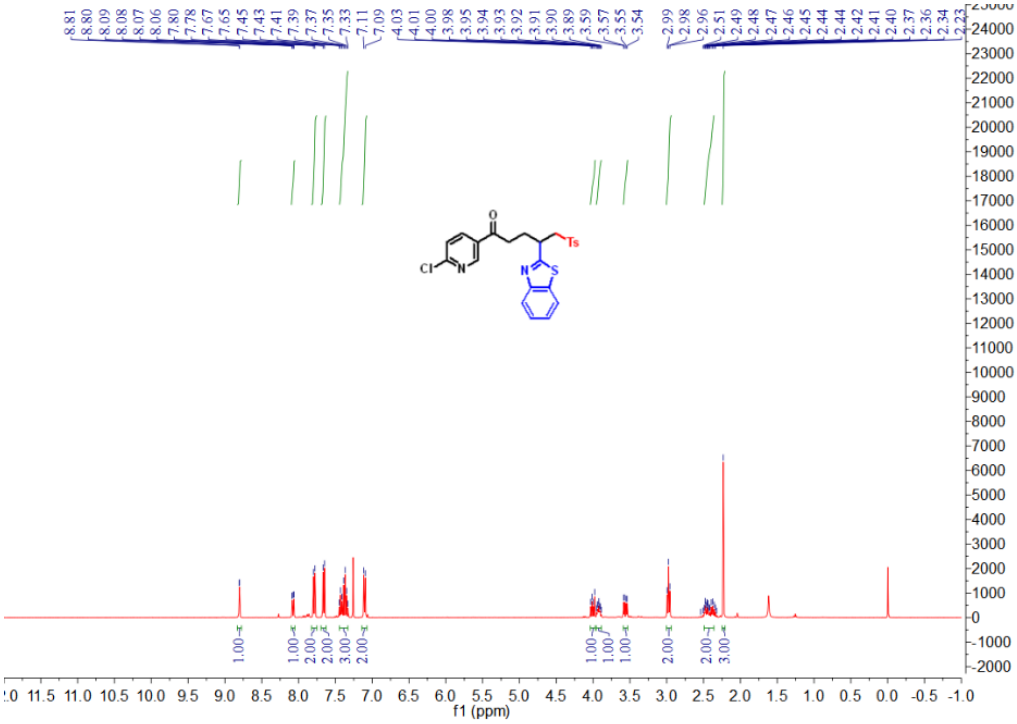
^{13}C NMR Spectrum of Compound **3m** (100 Hz, $CDCl_3$)



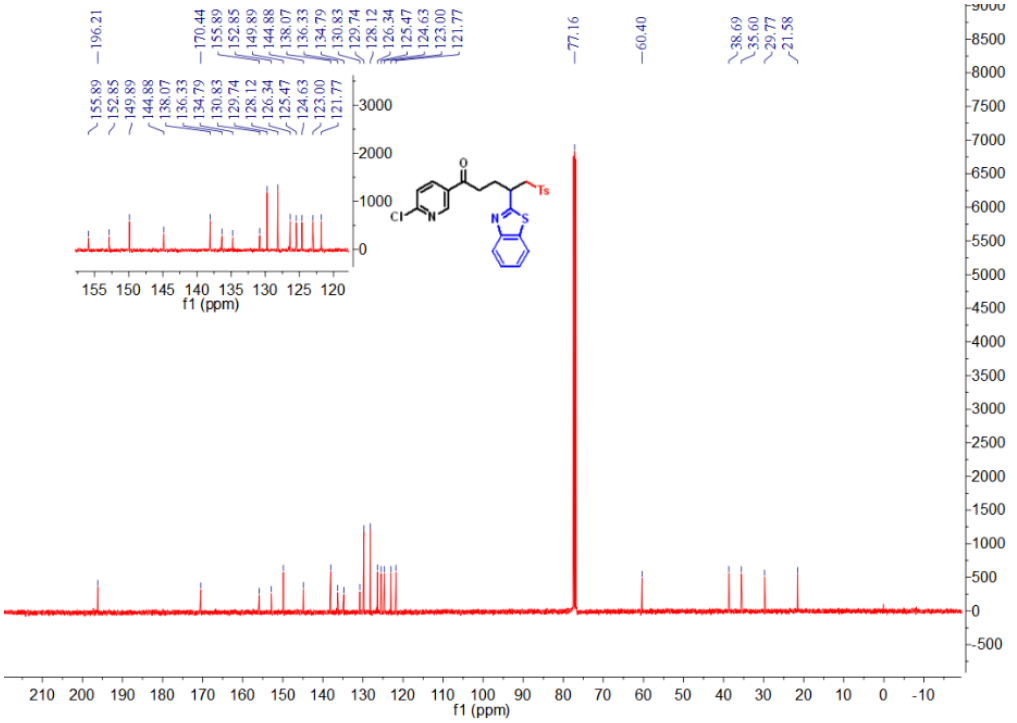
^{1}H NMR Spectrum of Compound **3n** (400 Hz, $CDCl_3$)



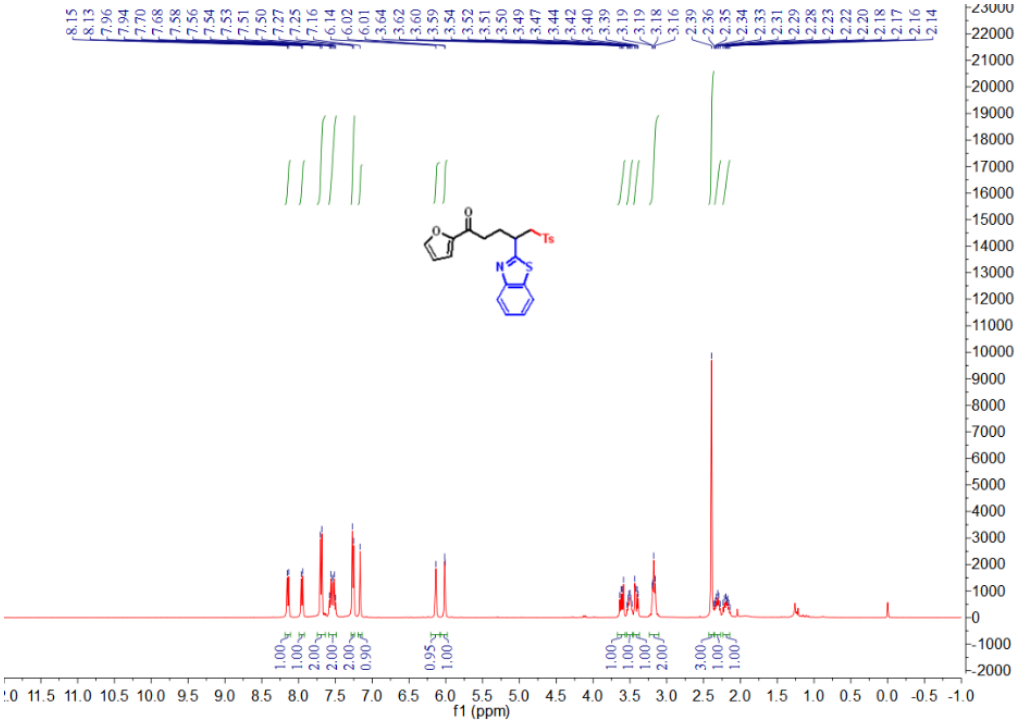
^{13}C NMR Spectrum of Compound **3n** (100 Hz, $CDCl_3$)



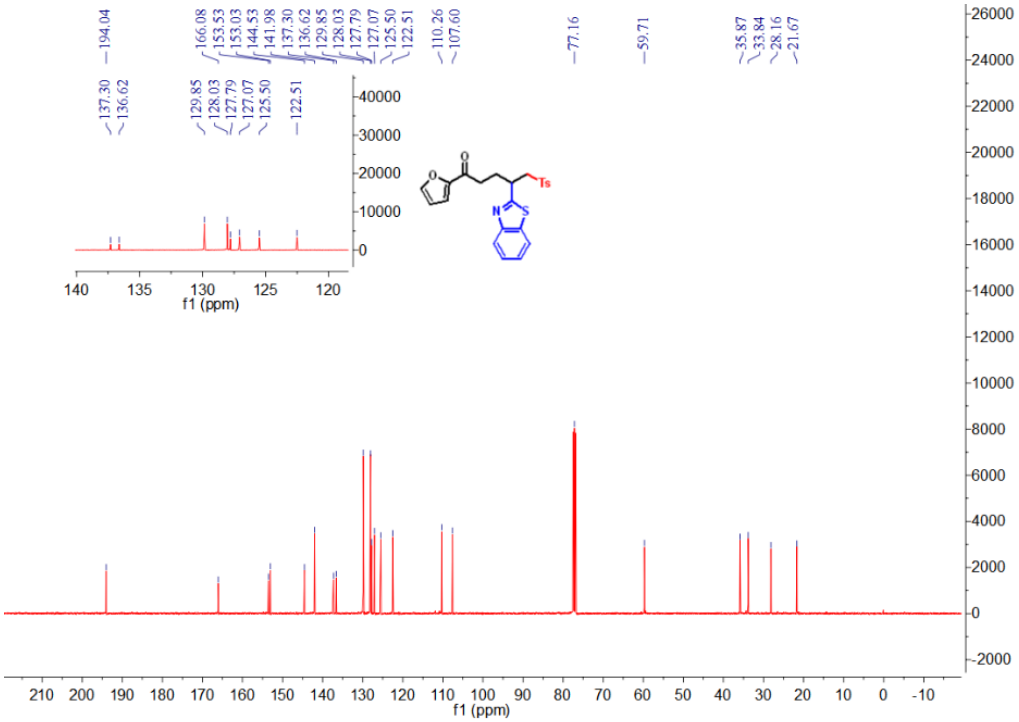
^{1}H NMR Spectrum of Compound **3o** (400 Hz, $CDCl_3$)



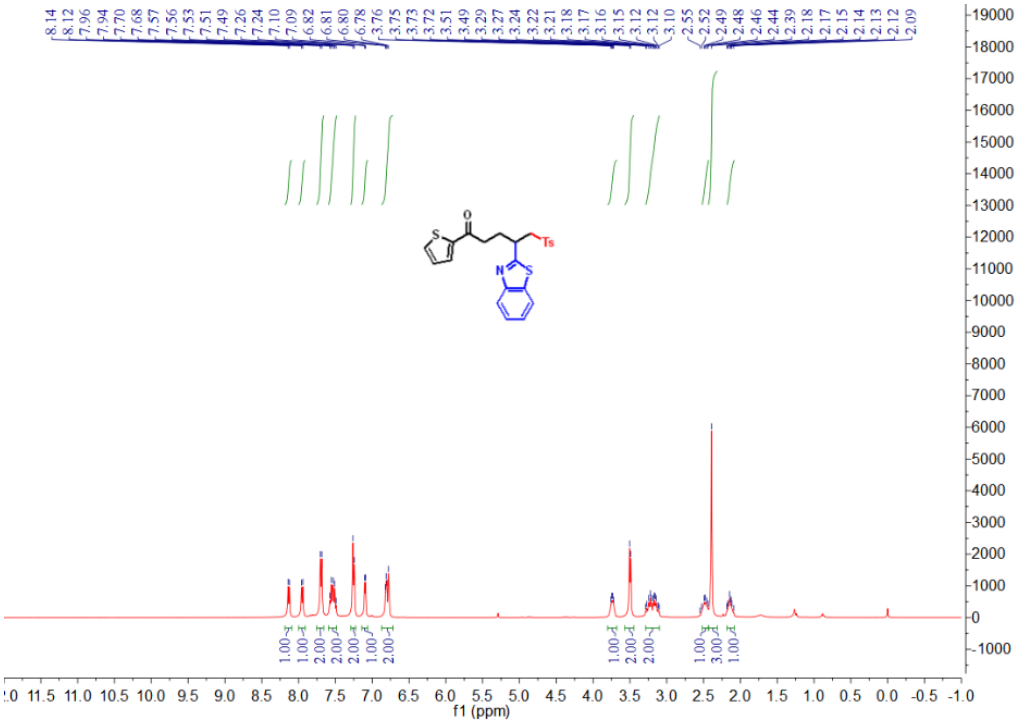
^{13}C NMR Spectrum of Compound **3o** (100 Hz, $CDCl_3$)



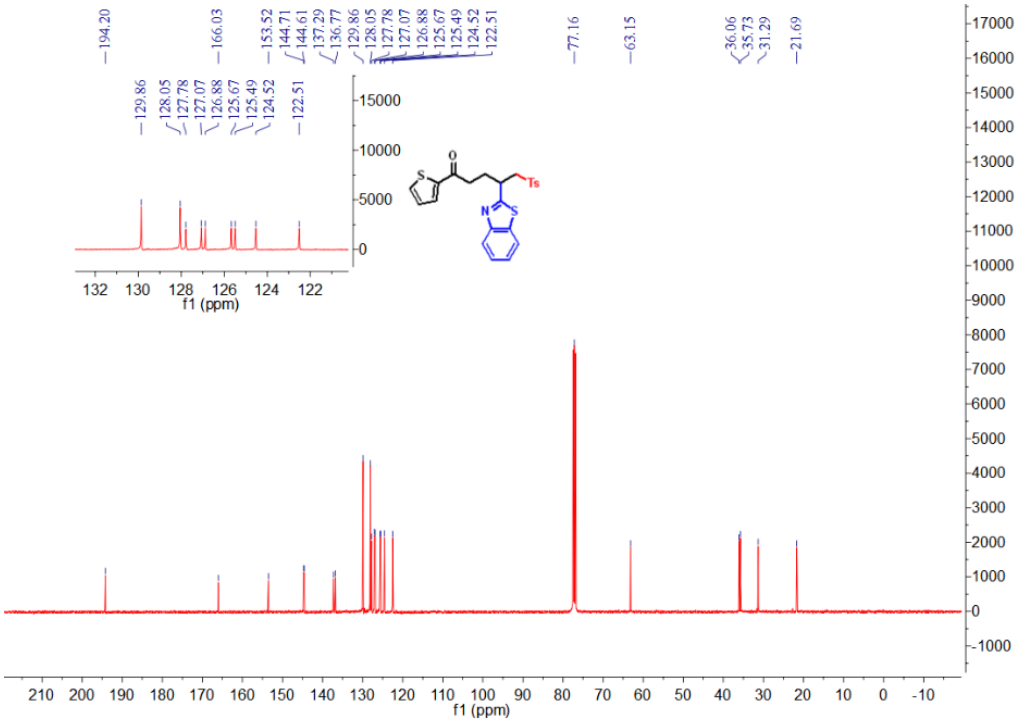
^{1}H NMR Spectrum of Compound **3p** (400 Hz, $CDCl_3$)



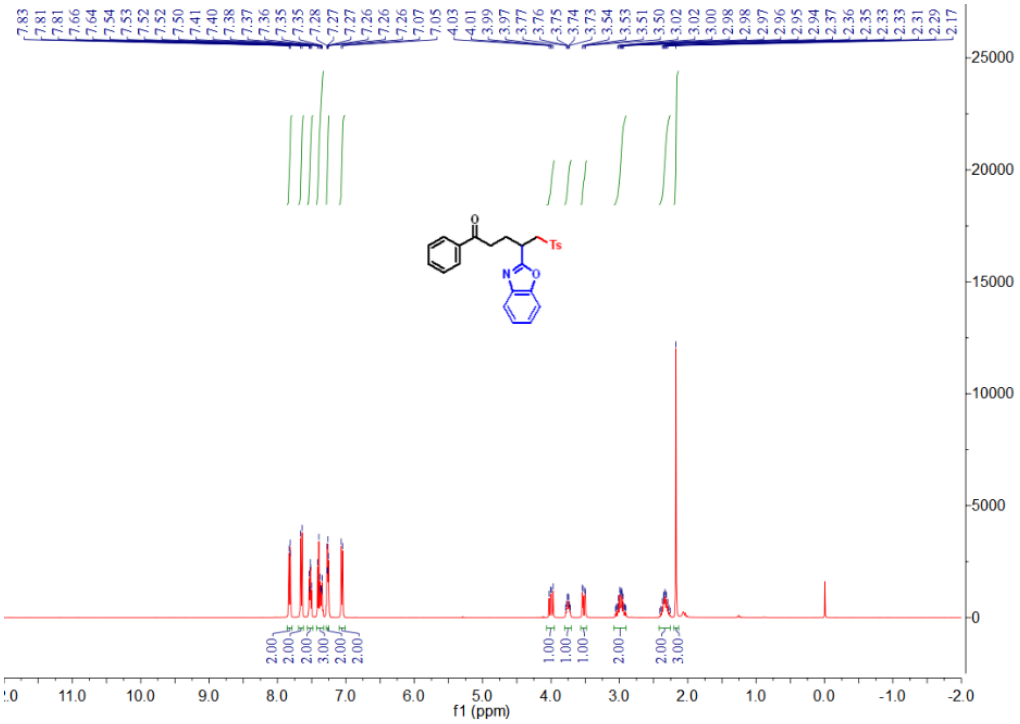
^{13}C NMR Spectrum of Compound **3p** (100 Hz, $CDCl_3$)



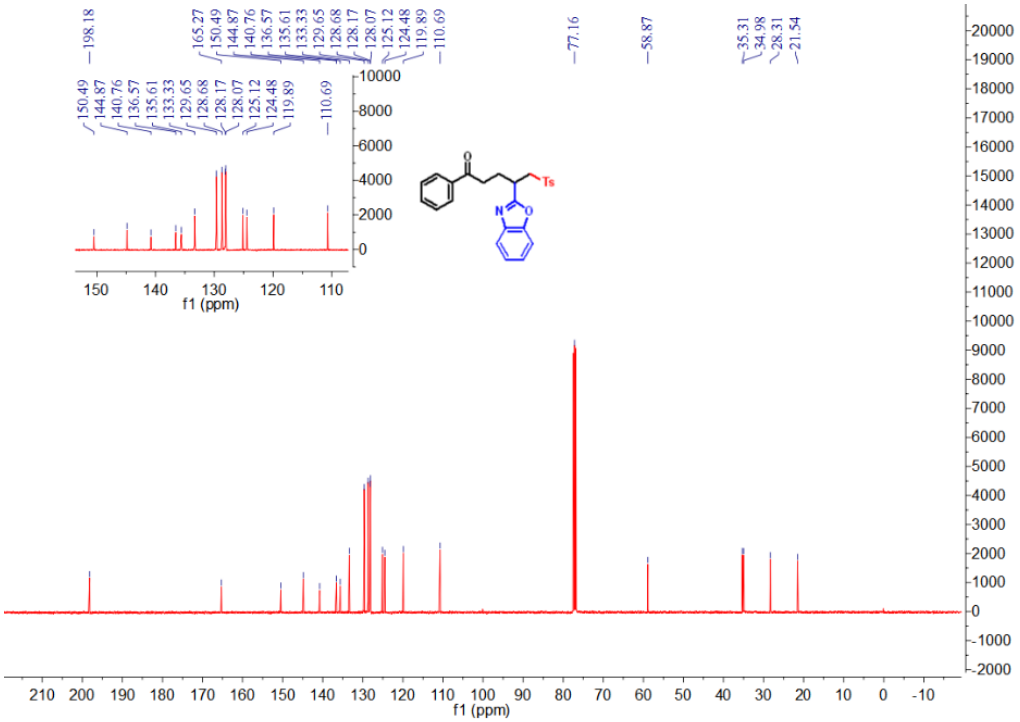
^{1}H NMR Spectrum of Compound **3q** (400 Hz, $CDCl_3$)



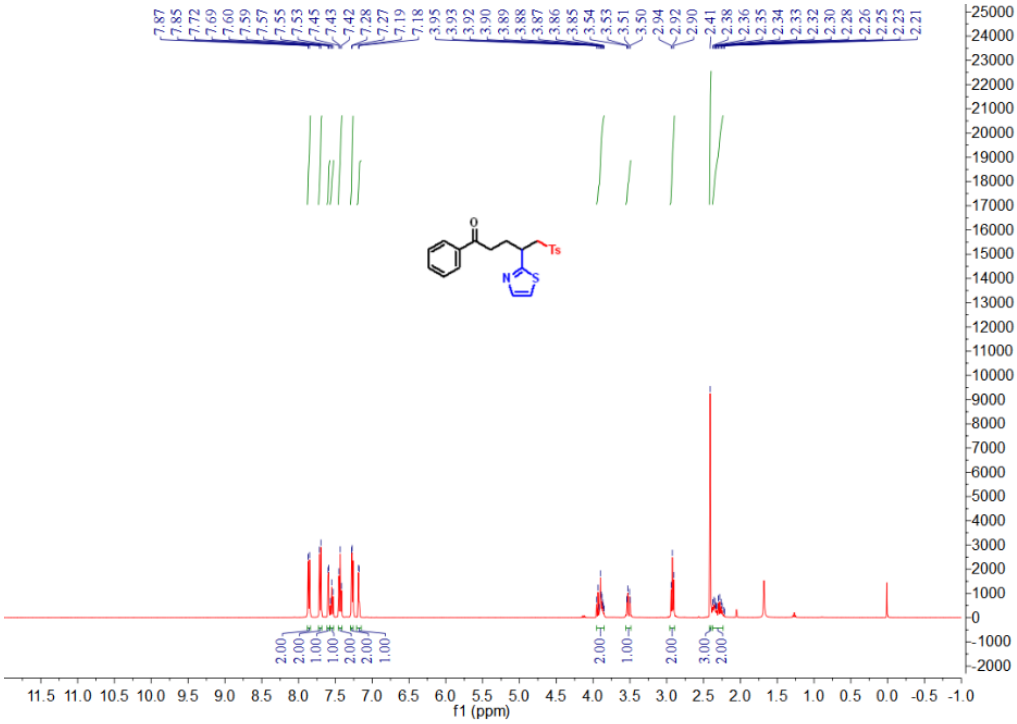
^{13}C NMR Spectrum of Compound **3q** (100 Hz, $CDCl_3$)



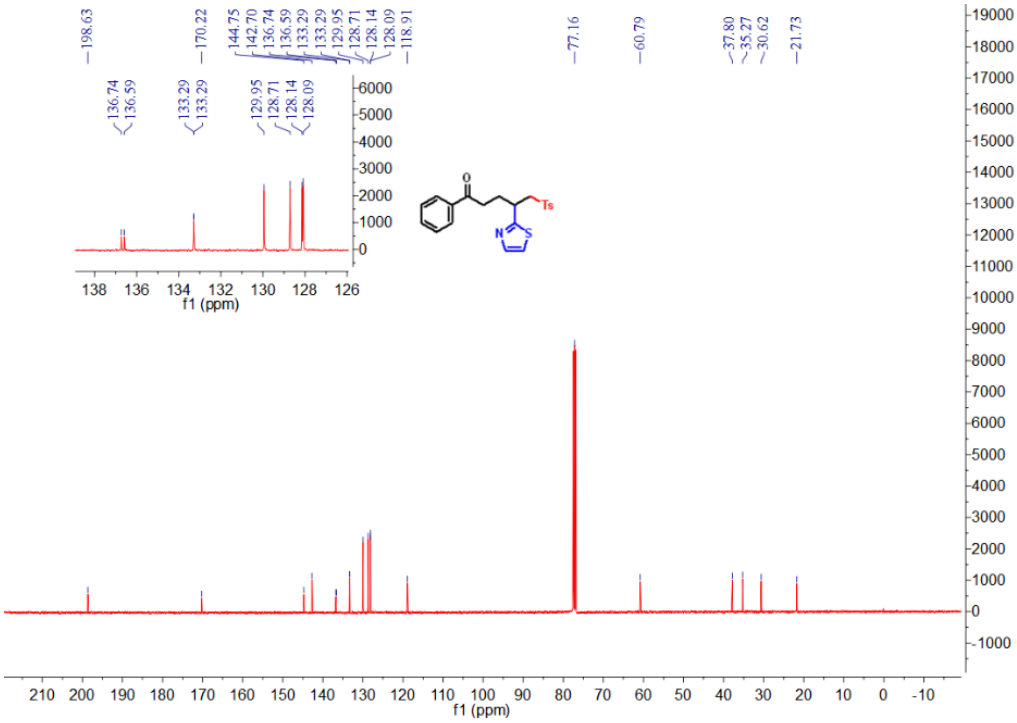
^{1}H NMR Spectrum of Compound **3r** (400 Hz, $CDCl_3$)



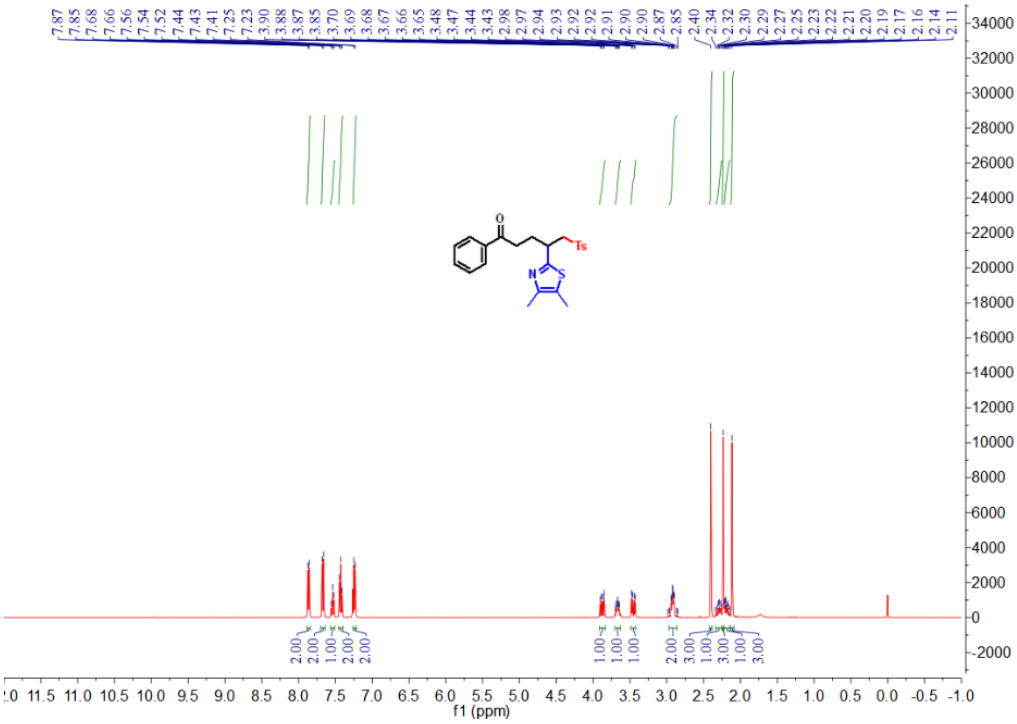
^{13}C NMR Spectrum of Compound **3r** (100 Hz, $CDCl_3$)



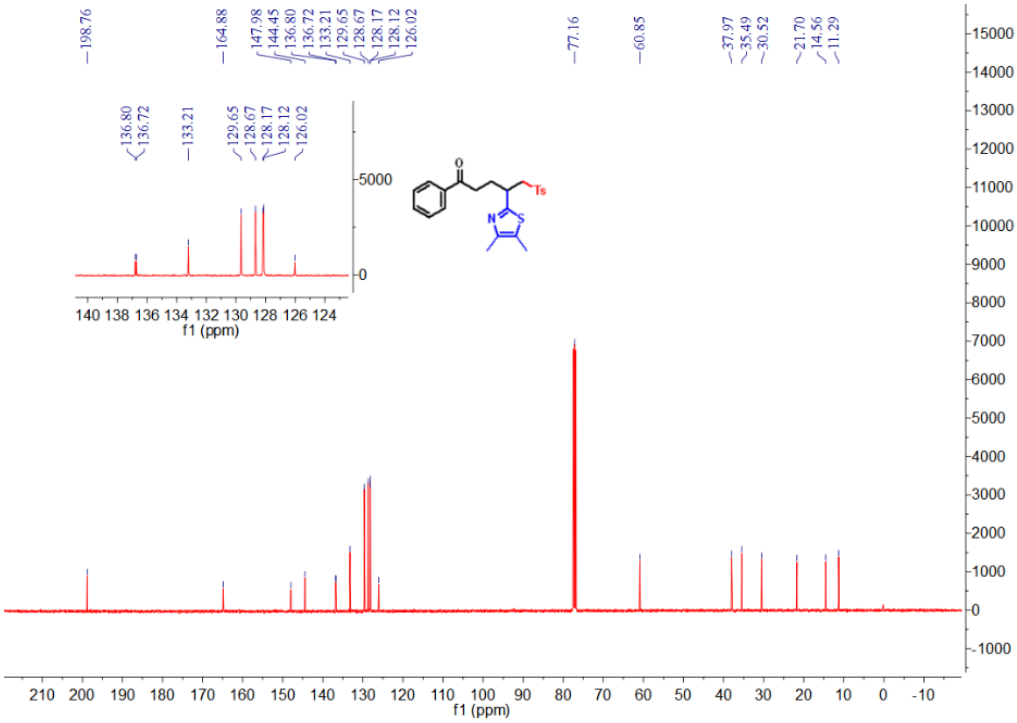
^{1}H NMR Spectrum of Compound **3s** (400 Hz, $CDCl_3$)



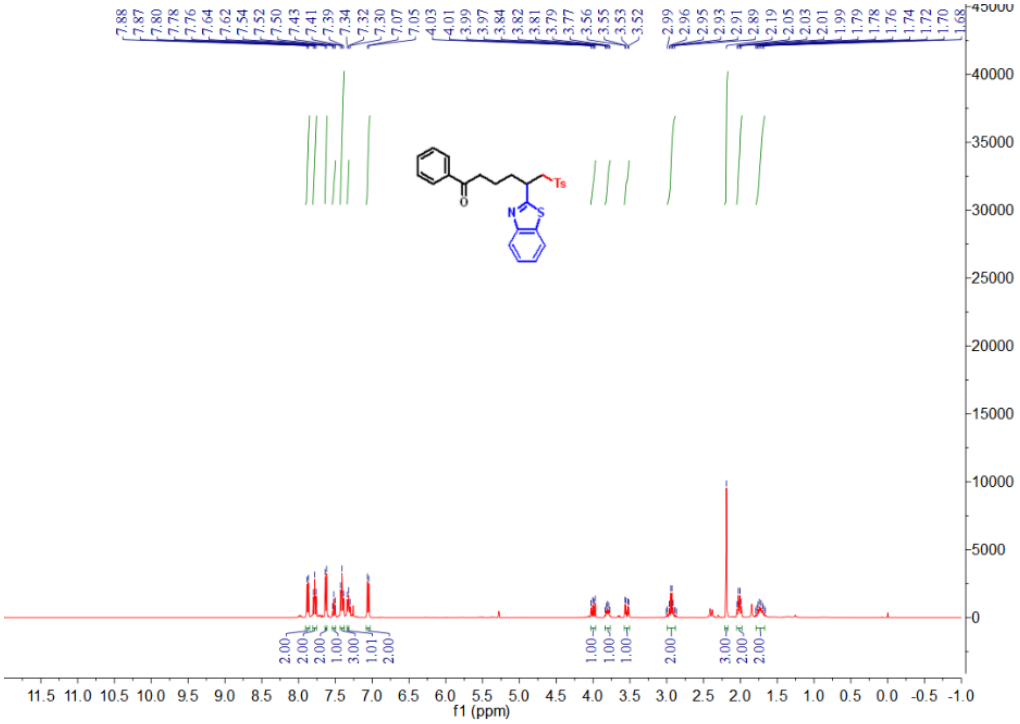
^{13}C NMR Spectrum of Compound **3s** (100 Hz, CDCl3)



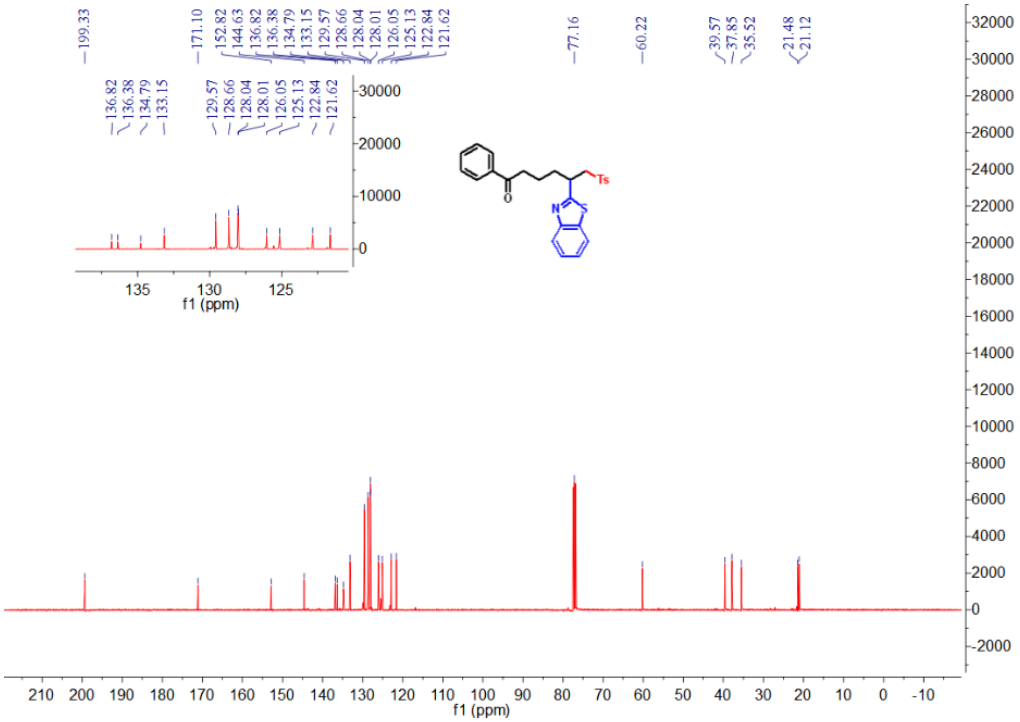
^{1}H NMR Spectrum of Compound **3t** (400 Hz, $CDCl_3$)



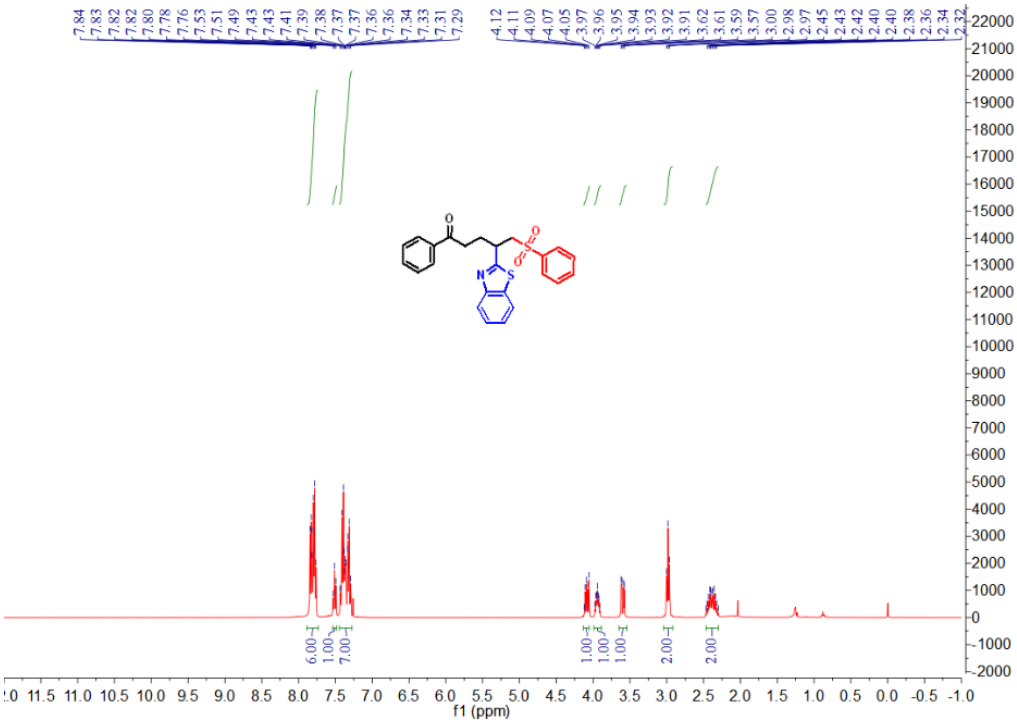
^{13}C NMR Spectrum of Compound **3t** (100 Hz, $CDCl_3$)



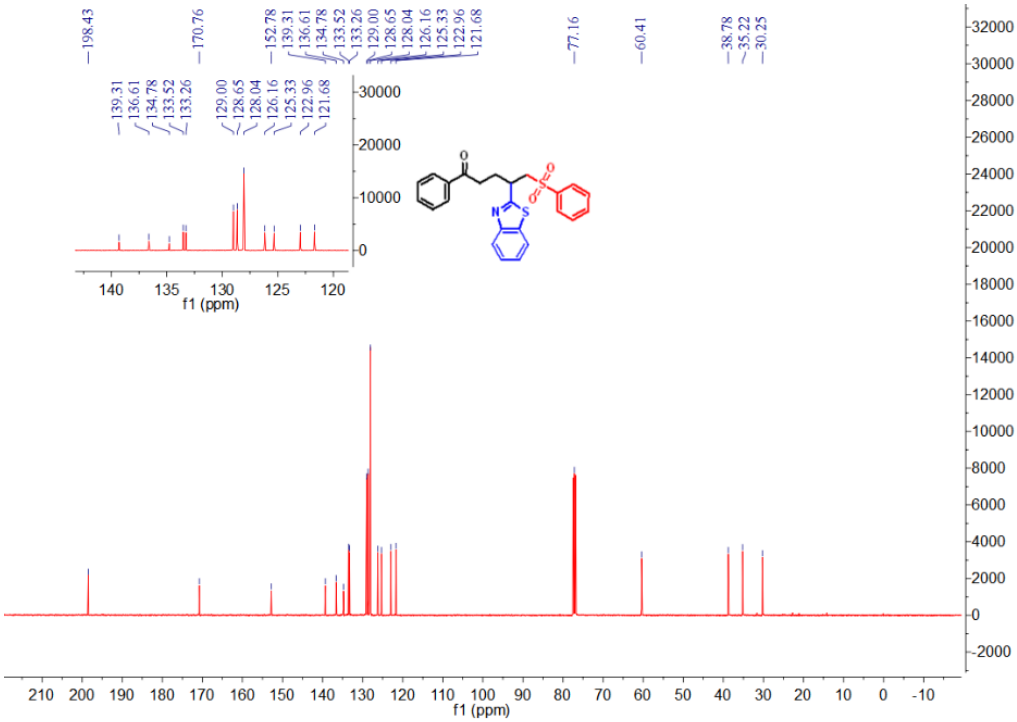
^{1}H NMR Spectrum of Compound **3w** (400 Hz, $CDCl_3$)



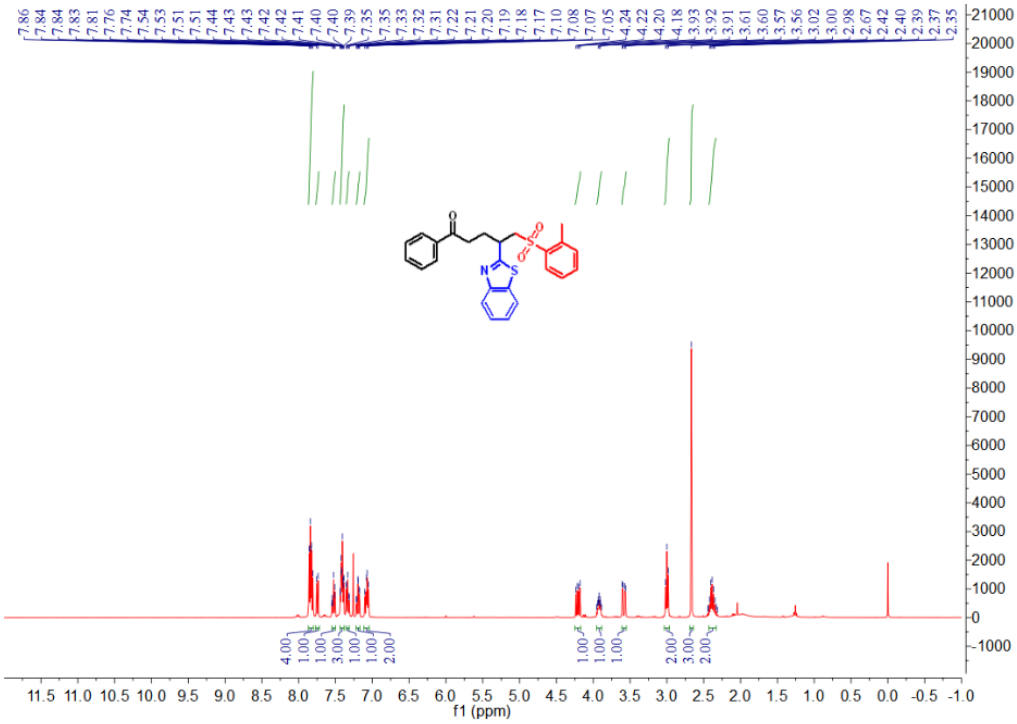
^{13}C NMR Spectrum of Compound **3w** (100 Hz, $CDCl_3$)



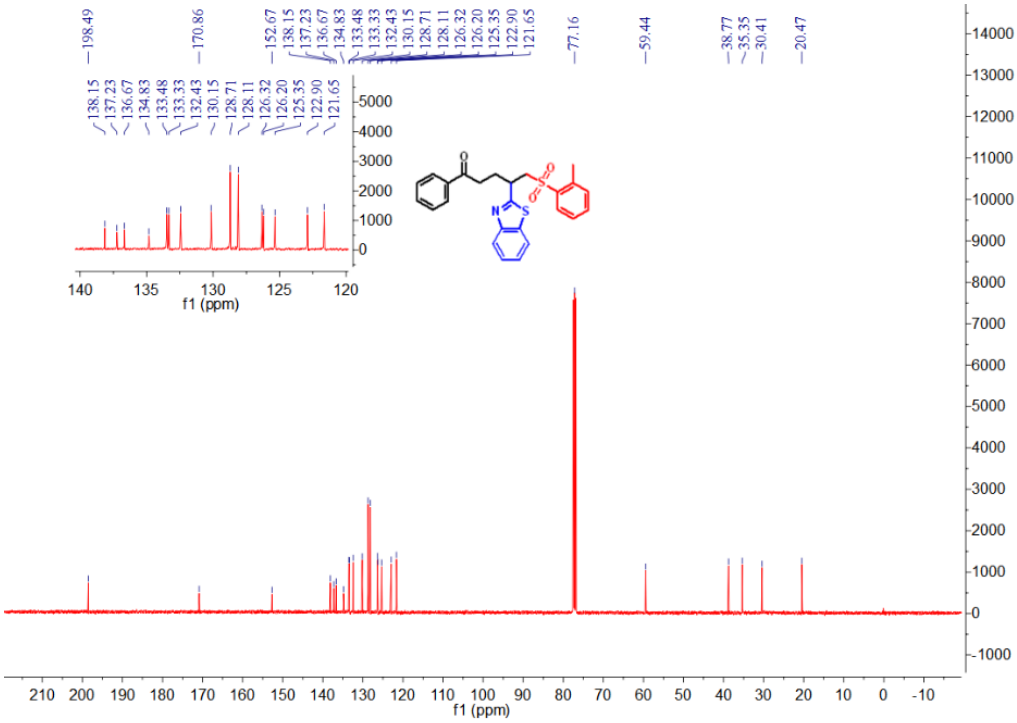
^{1}H NMR Spectrum of Compound **3aa** (400 Hz, $CDCl_3$)



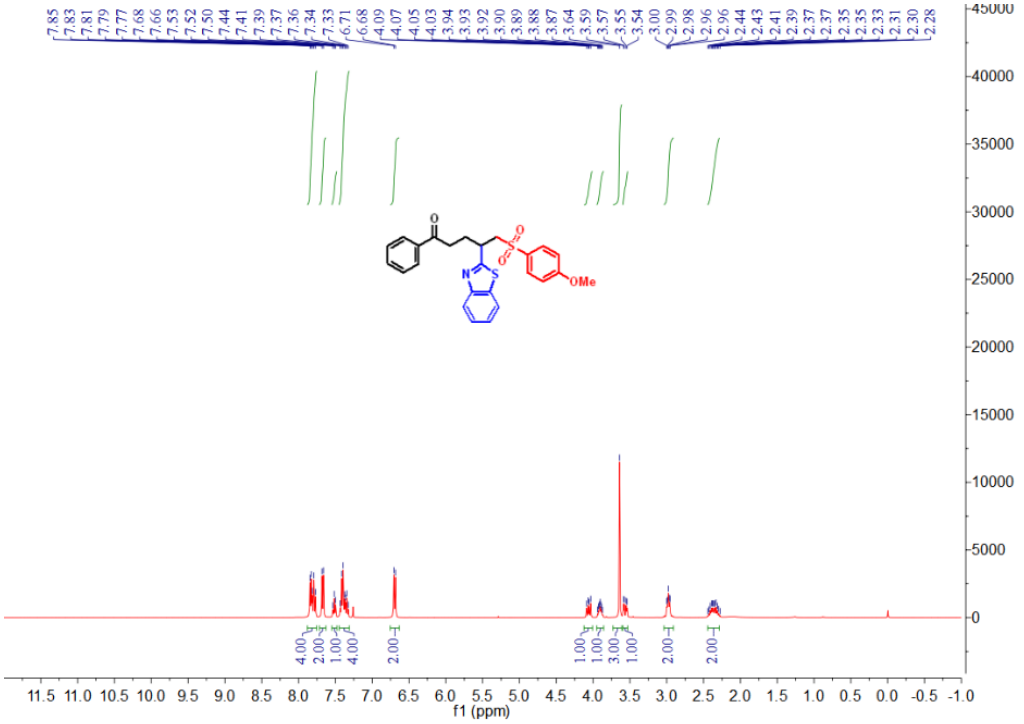
^{13}C NMR Spectrum of Compound **3aa** (100 Hz, $CDCl_3$)



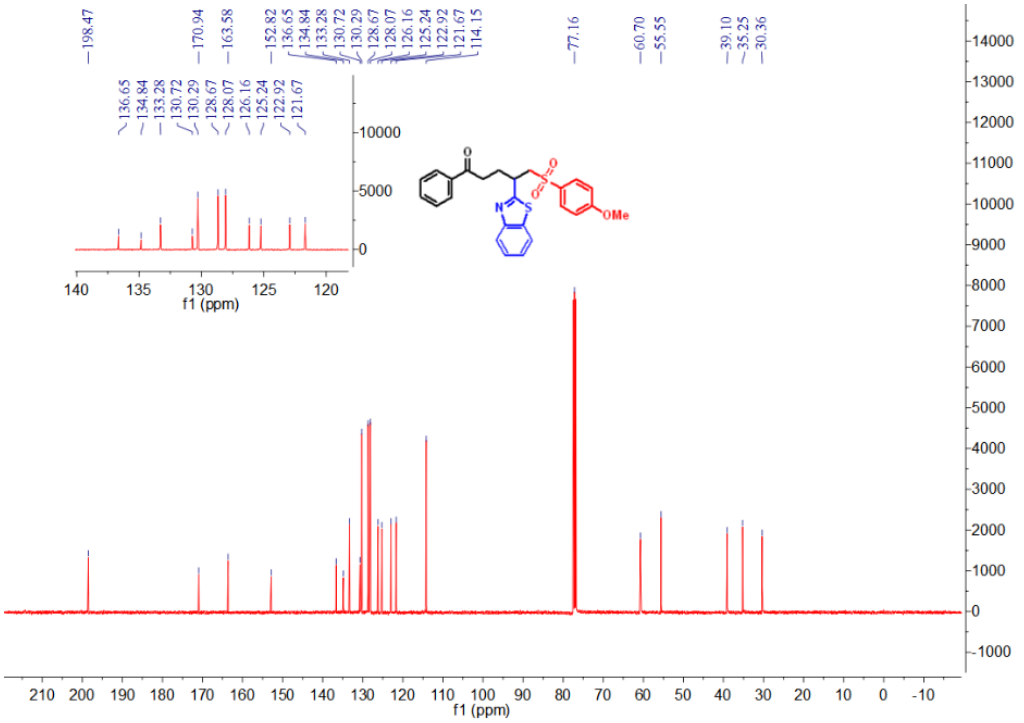
^{1}H NMR Spectrum of Compound **3ab** (400 Hz, $CDCl_3$)



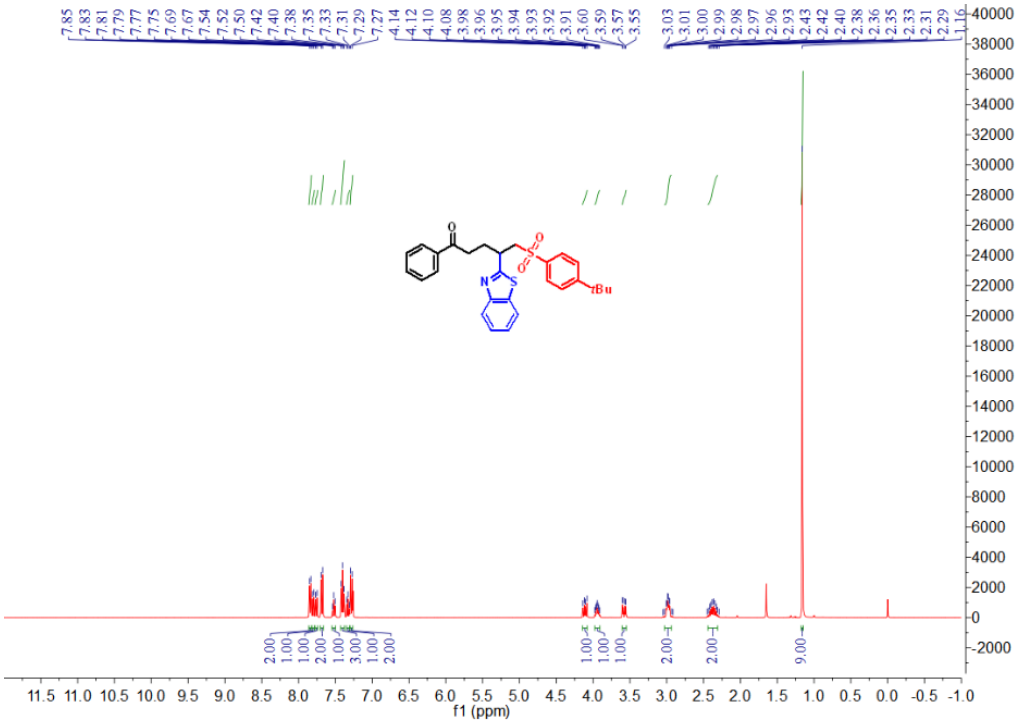
^{13}C NMR Spectrum of Compound **3ab** (100 Hz, $CDCl_3$)



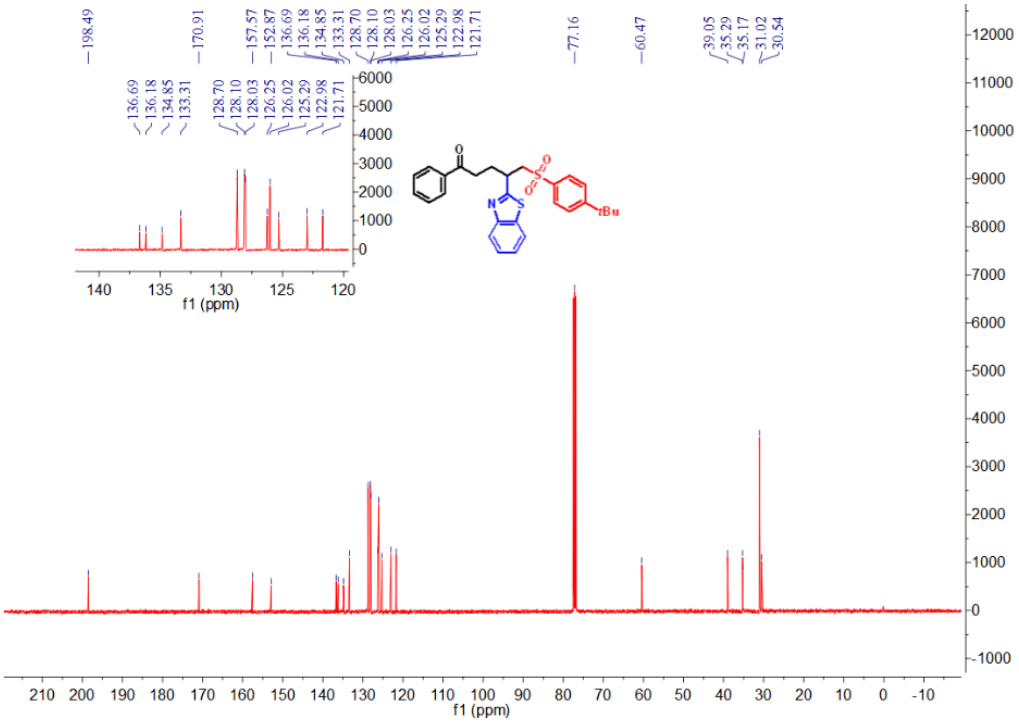
^{1}H NMR Spectrum of Compound **3ac** (400 Hz, $CDCl_3$)



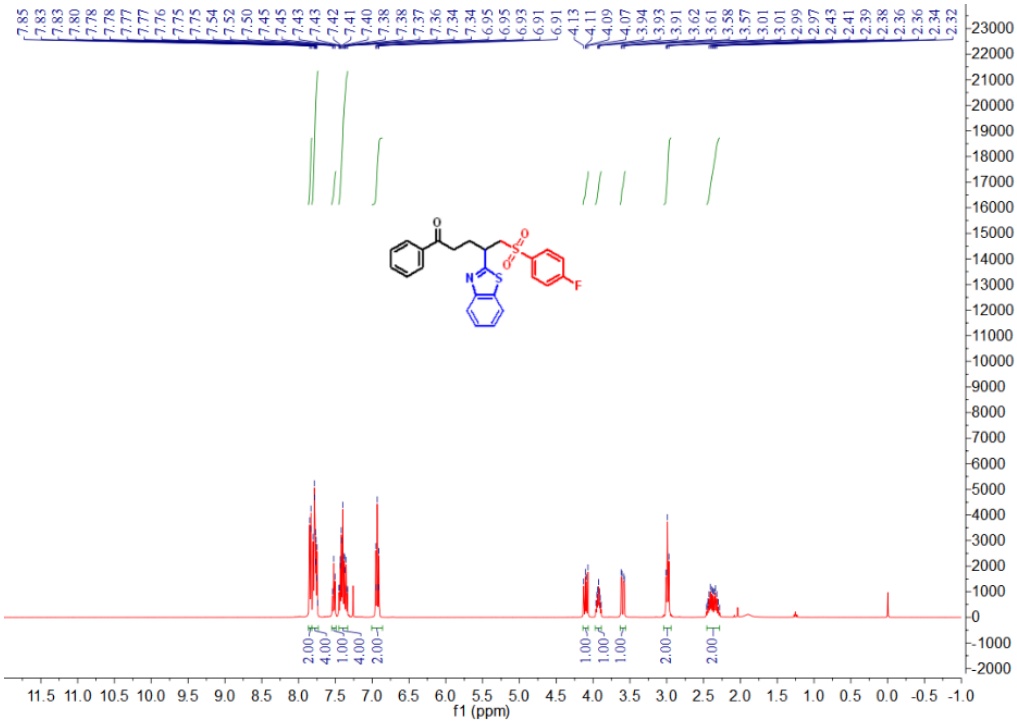
^{13}C NMR Spectrum of Compound **3ac** (100 Hz, $CDCl_3$)



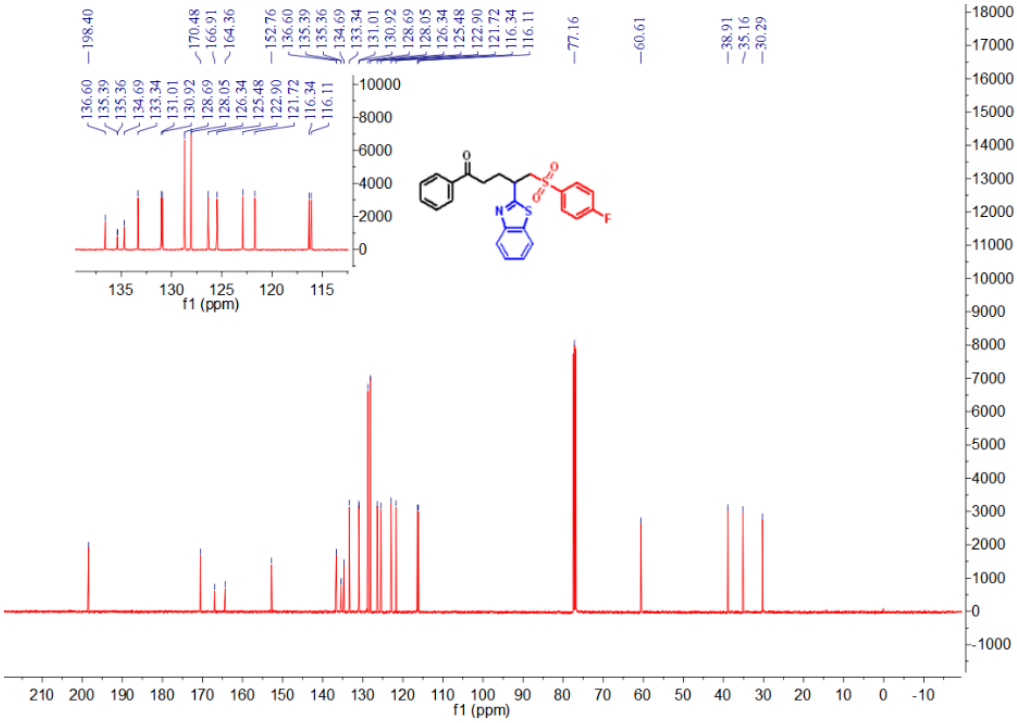
^{1}H NMR Spectrum of Compound **3ad** (400 Hz, $CDCl_3$)



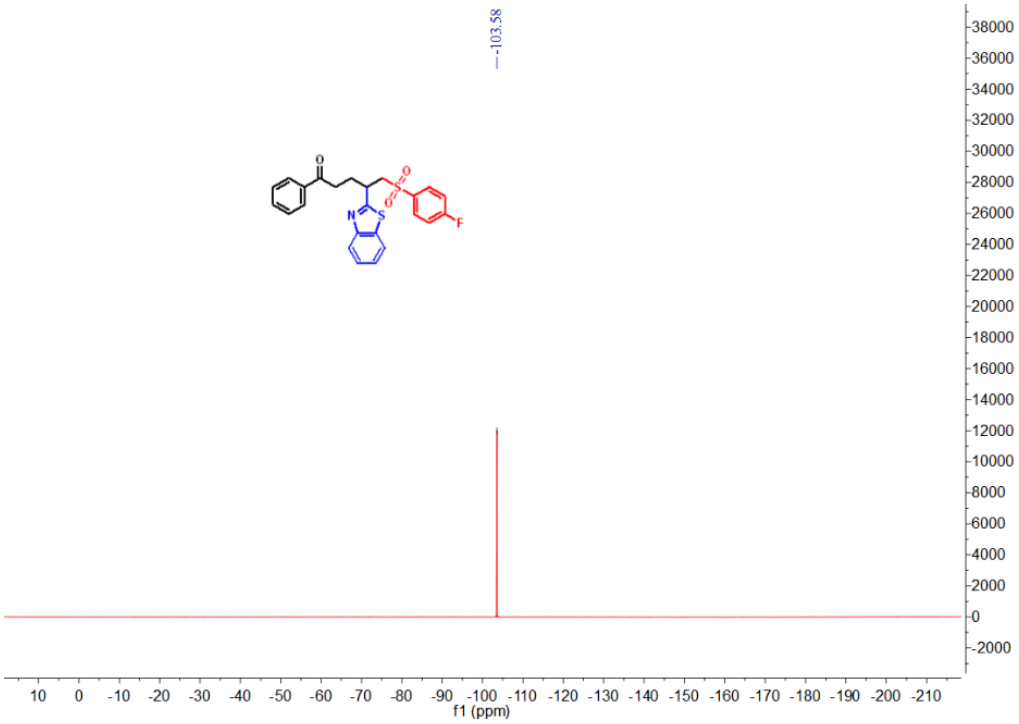
^{13}C NMR Spectrum of Compound **3ad** (100 Hz, $CDCl_3$)



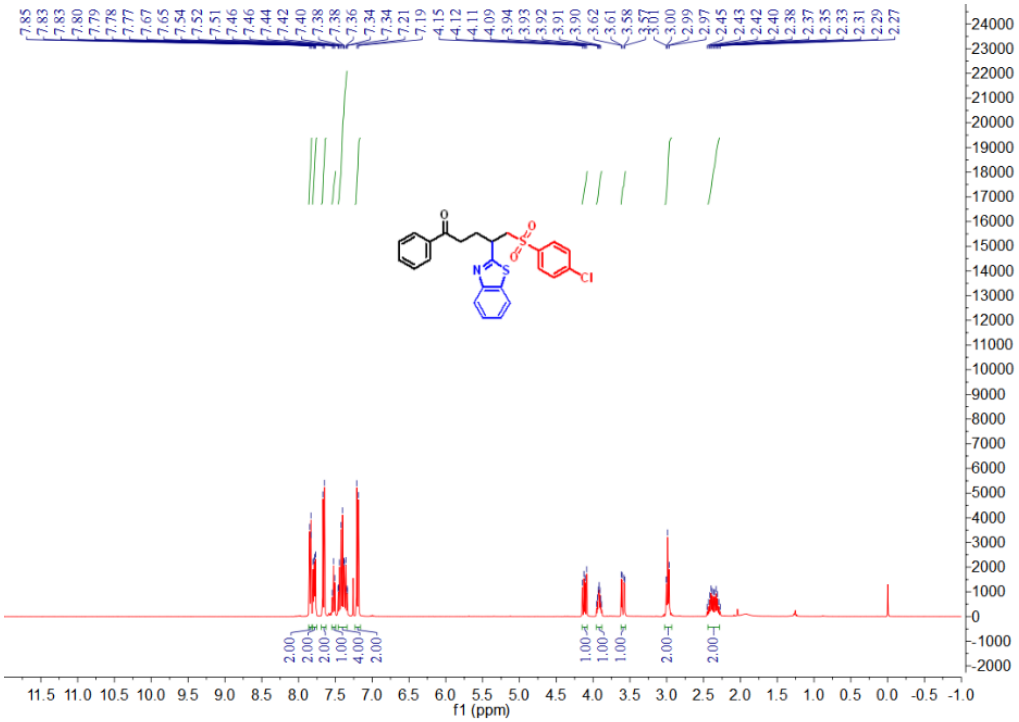
^{1}H NMR Spectrum of Compound **3ae** (400 Hz, $CDCl_3$)



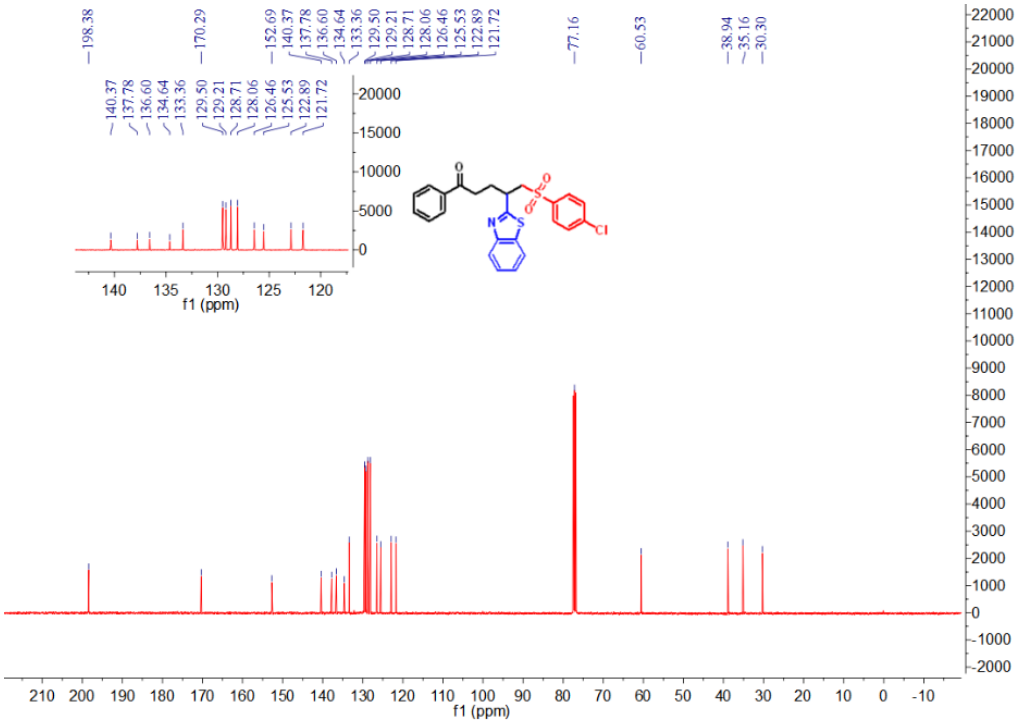
^{13}C NMR Spectrum of Compound **3ae** (100 Hz, $CDCl_3$)



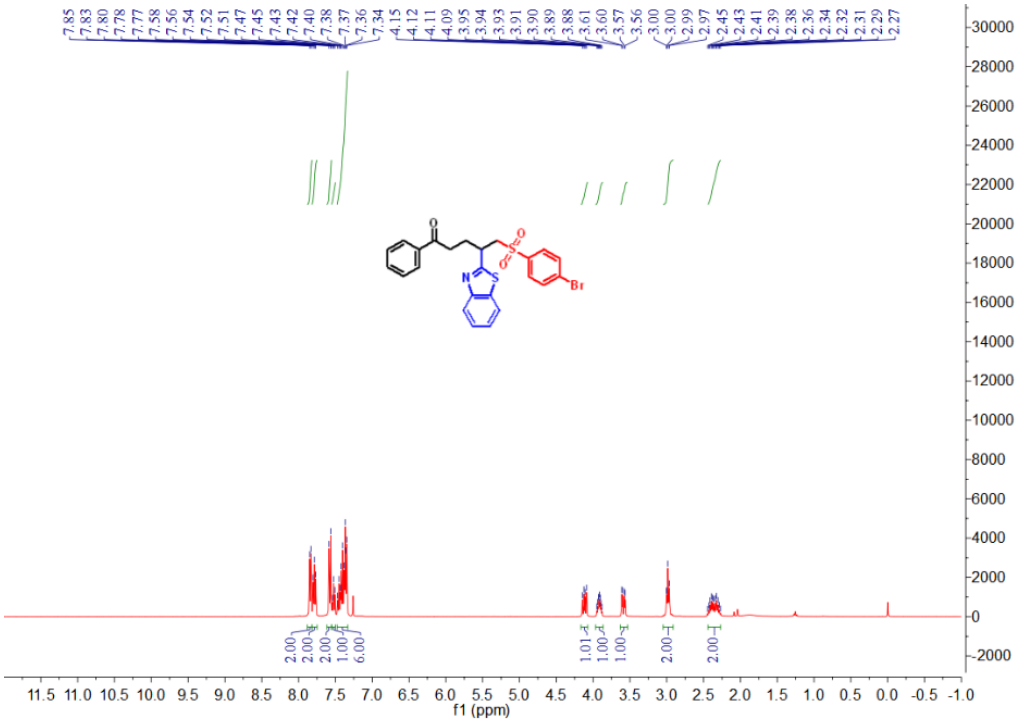
^{19}FNMR Spectrum of Compound **3ae** (376 Hz, $CDCl_3$)



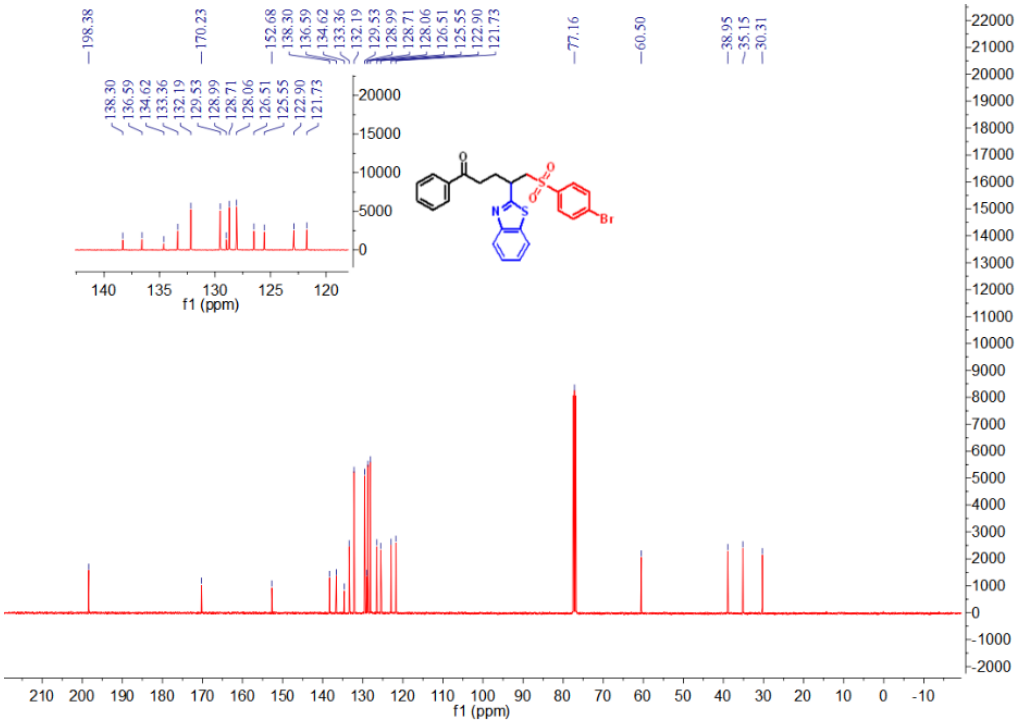
^{1}H NMR Spectrum of Compound **3af** (400 Hz, $CDCl_3$)



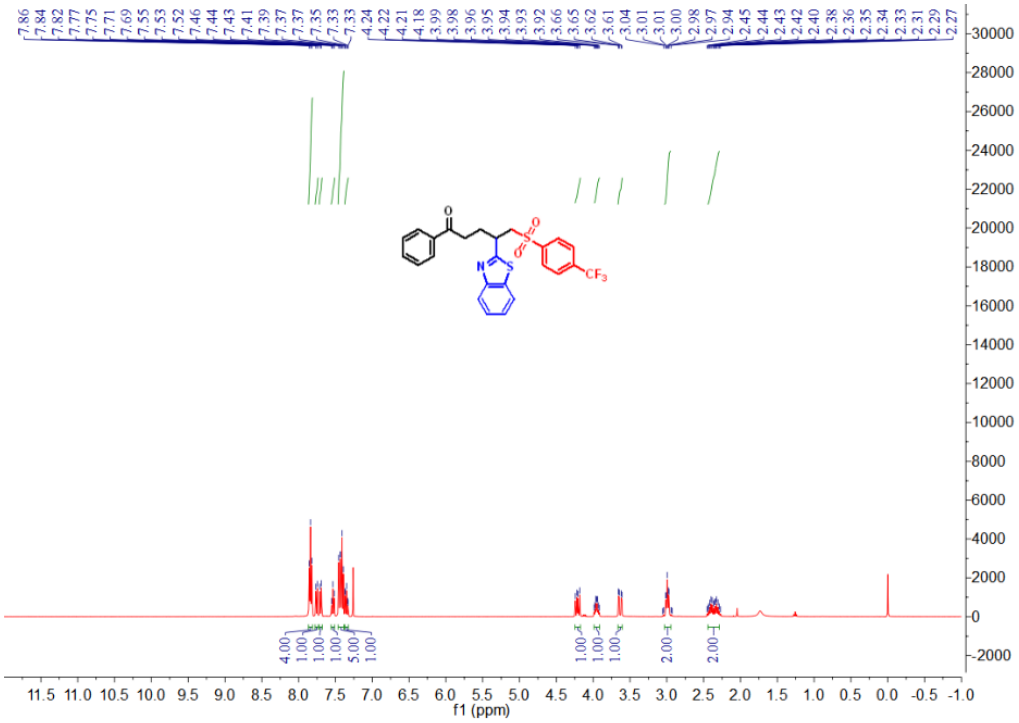
^{13}C NMR Spectrum of Compound **3af** (100 Hz, $CDCl_3$)



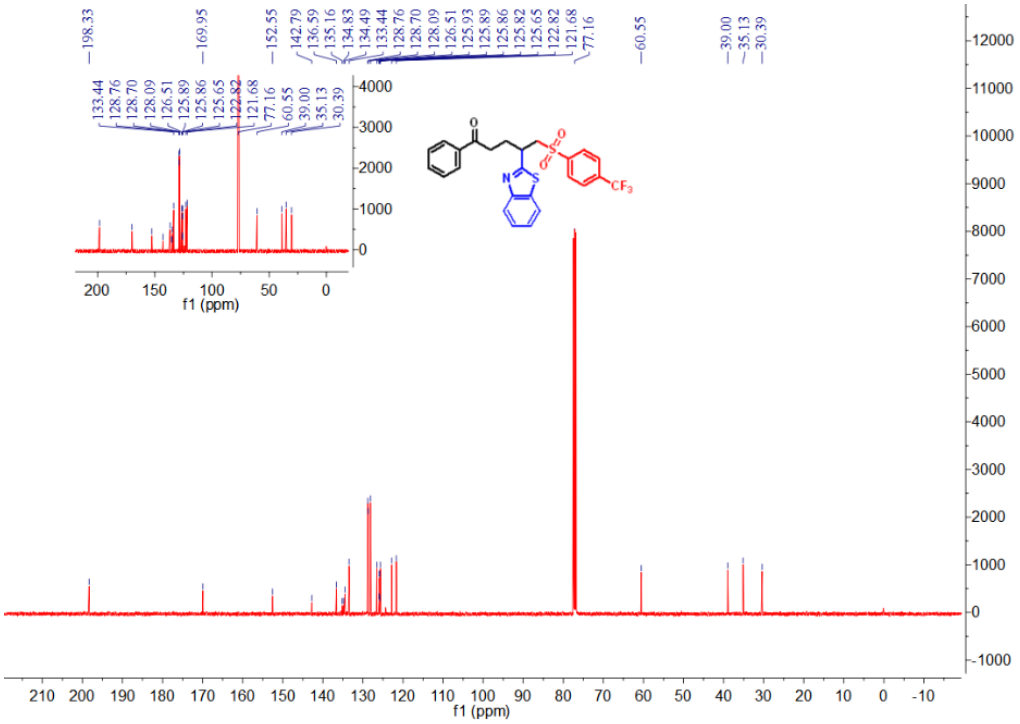
^{1}H NMR Spectrum of Compound **3ag** (400 Hz, $CDCl_3$)



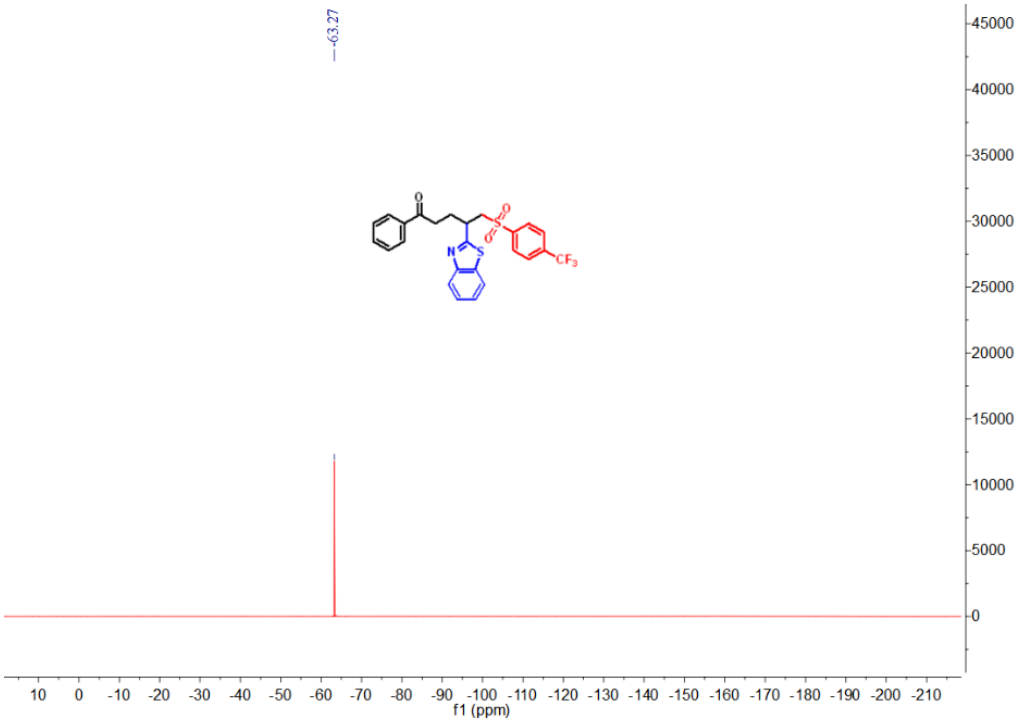
^{13}C NMR Spectrum of Compound **3ag** (100 Hz, $CDCl_3$)



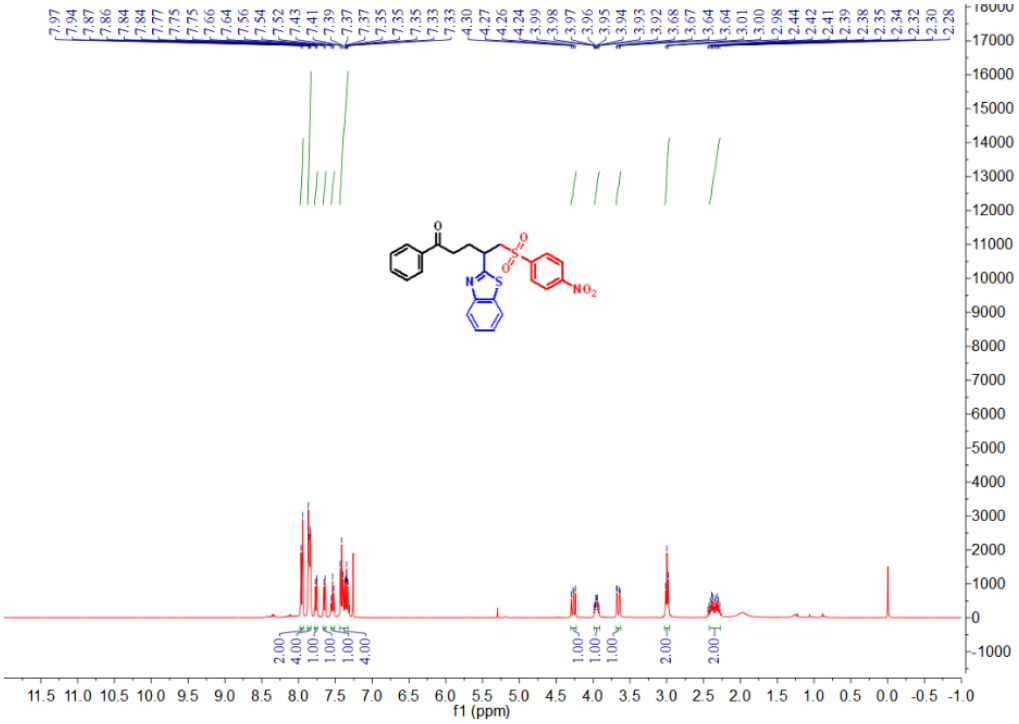
^{1}H NMR Spectrum of Compound **3ah** (400 Hz, $CDCl_3$)



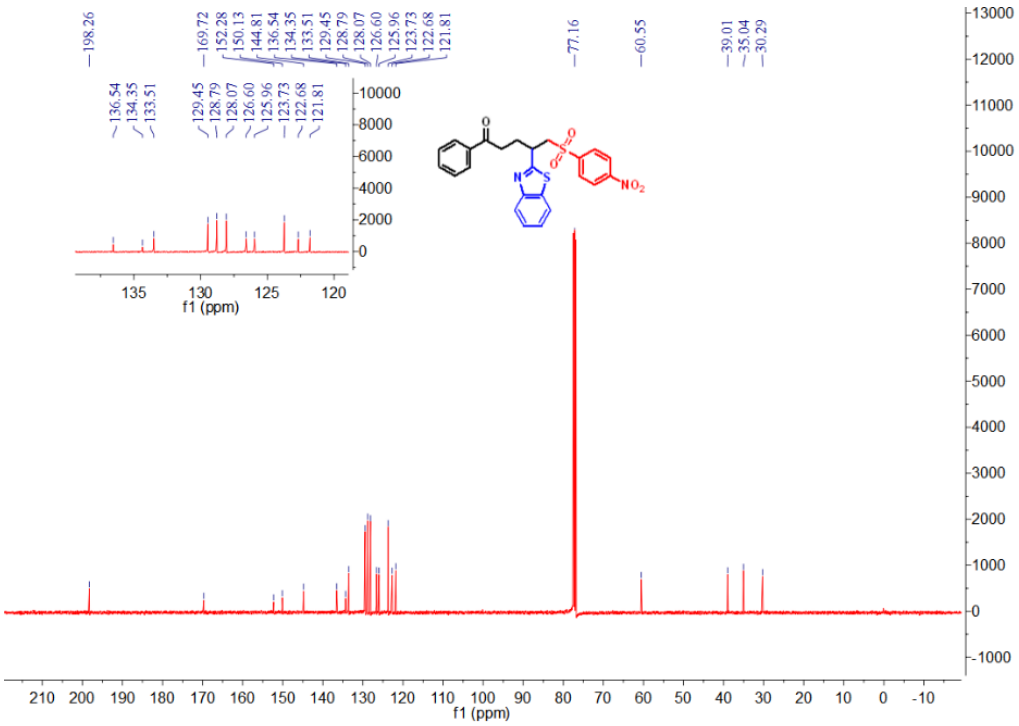
^{13}C NMR Spectrum of Compound **3ah** (100 Hz, $CDCl_3$)



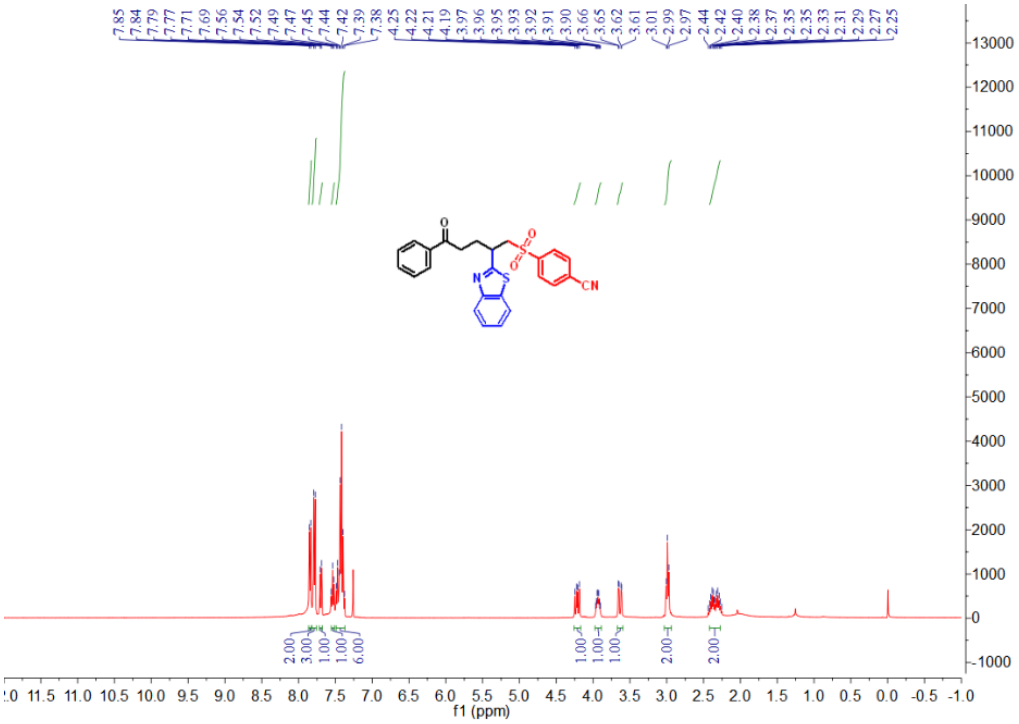
^{19}FNMR Spectrum of Compound **3ah** (376 Hz, $CDCl_3$)



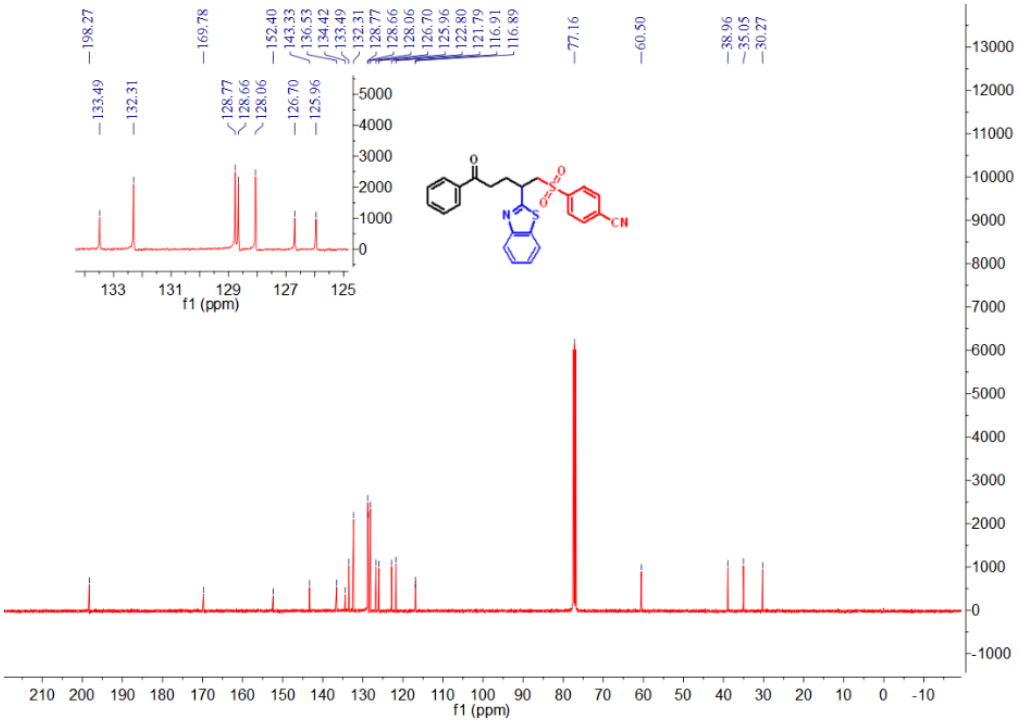
^{1}H NMR Spectrum of Compound **3ai** (400 Hz, $CDCl_3$)



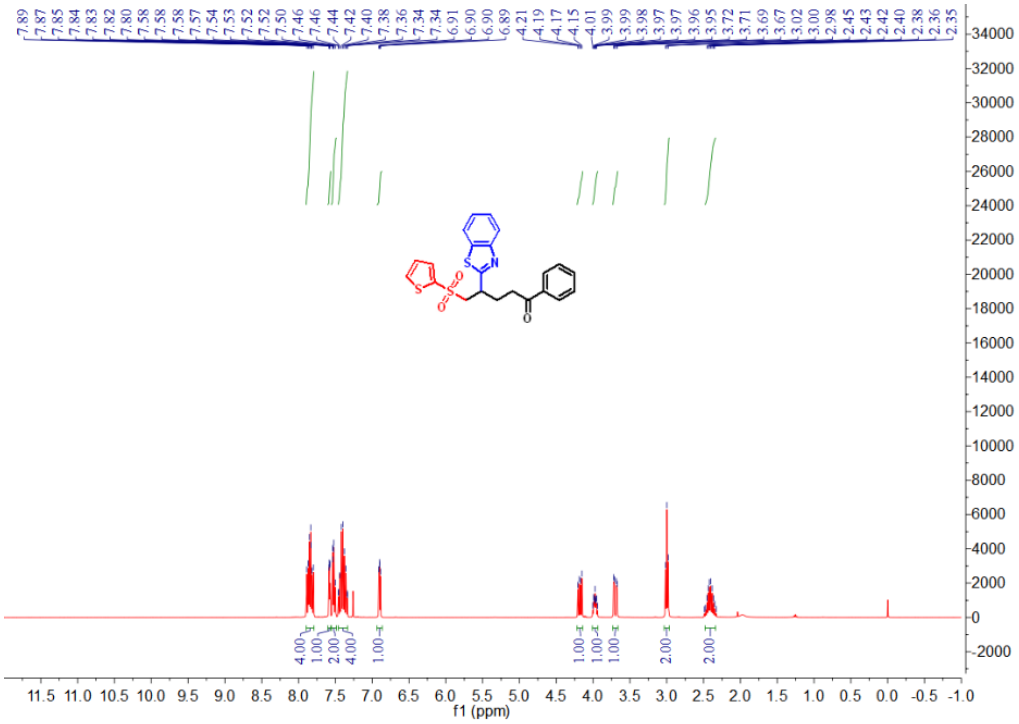
^{13}C NMR Spectrum of Compound **3ai** (100 Hz, $CDCl_3$)



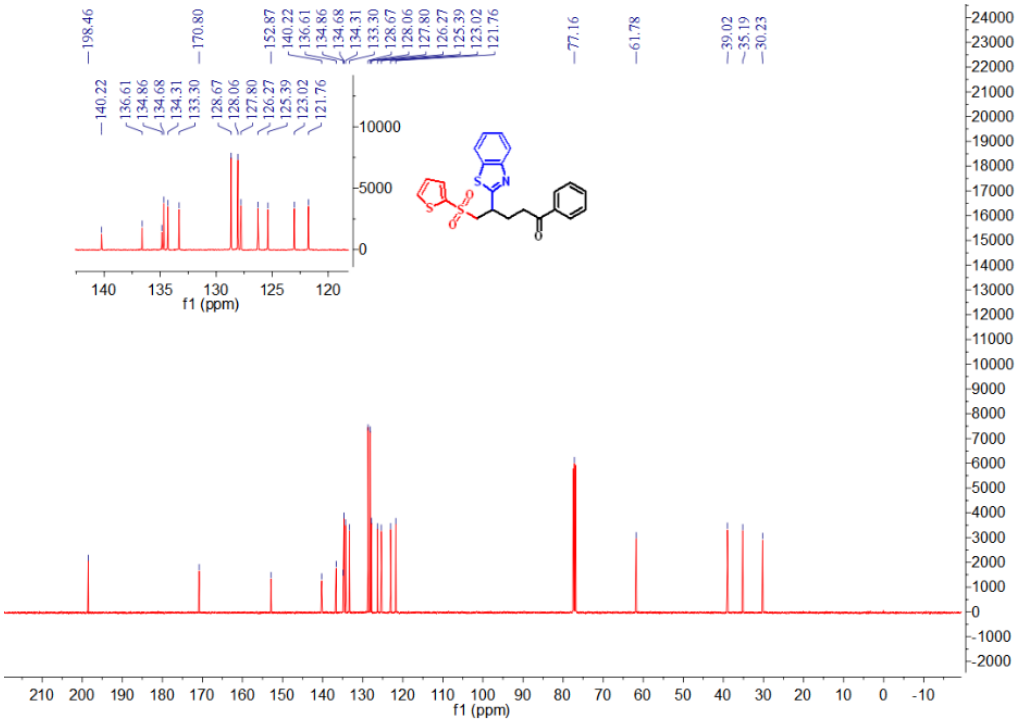
^{1}H NMR Spectrum of Compound **3aj** (400 Hz, $CDCl_3$)



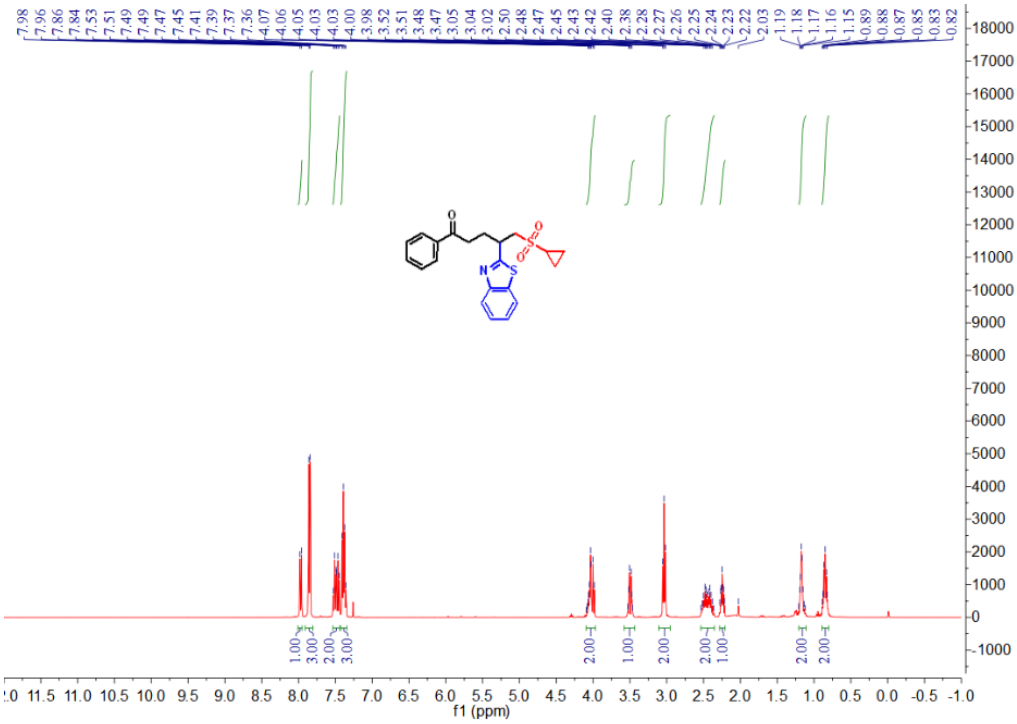
^{13}C NMR Spectrum of Compound **3aj** (100 Hz, $CDCl_3$)



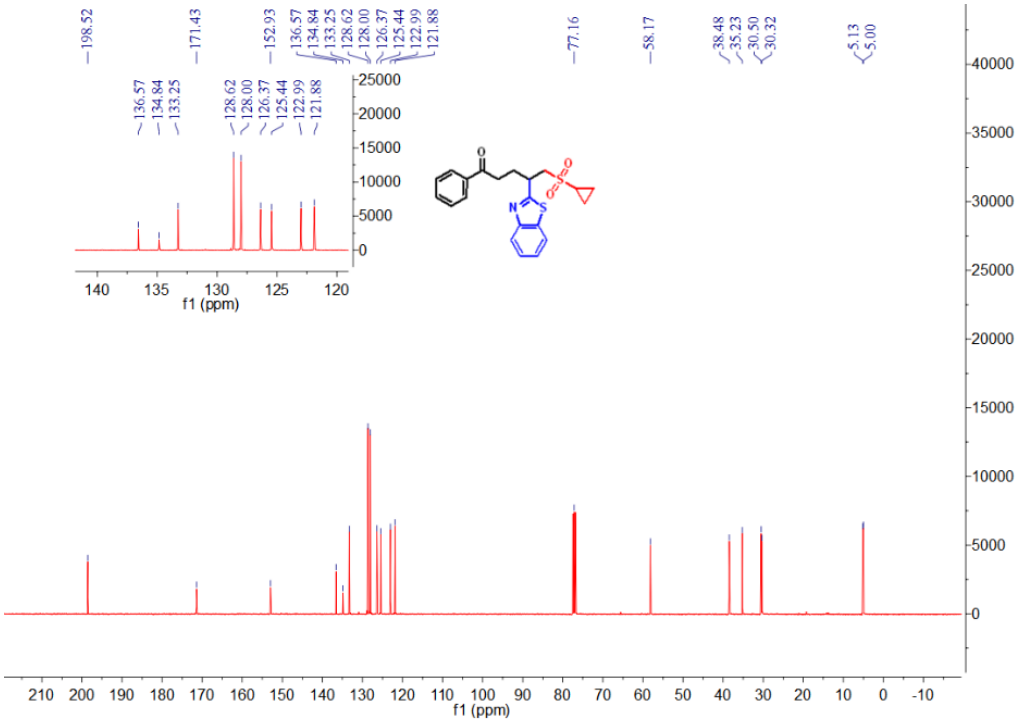
^{1}H NMR Spectrum of Compound **3ak** (400 Hz, $CDCl_3$)



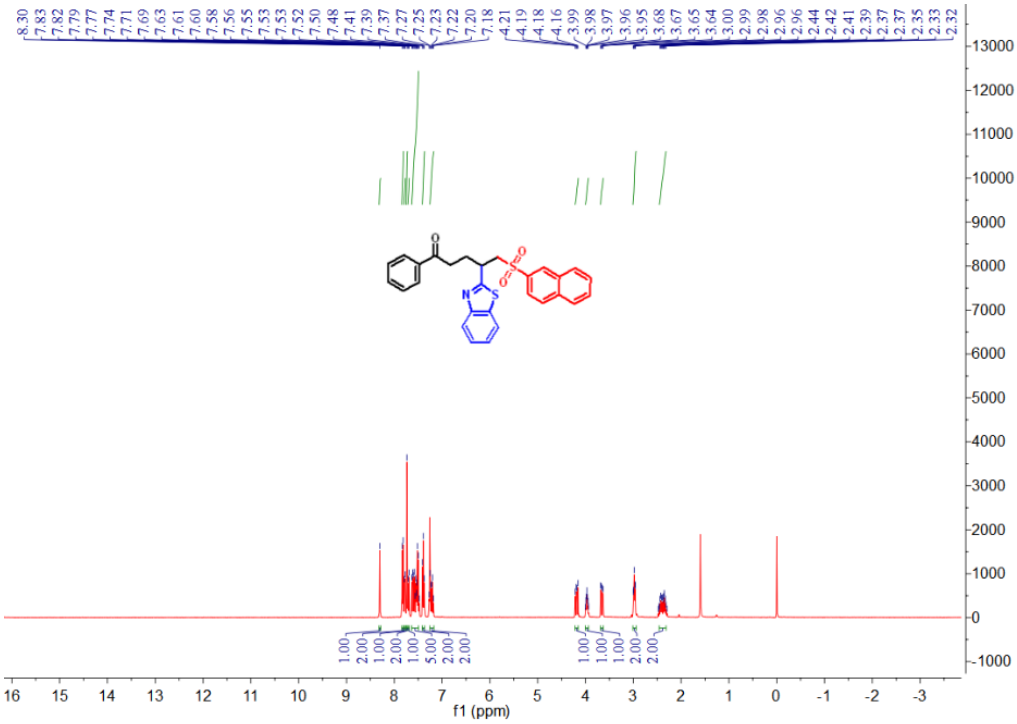
^{13}C NMR Spectrum of Compound **3ak** (100 Hz, $CDCl_3$)



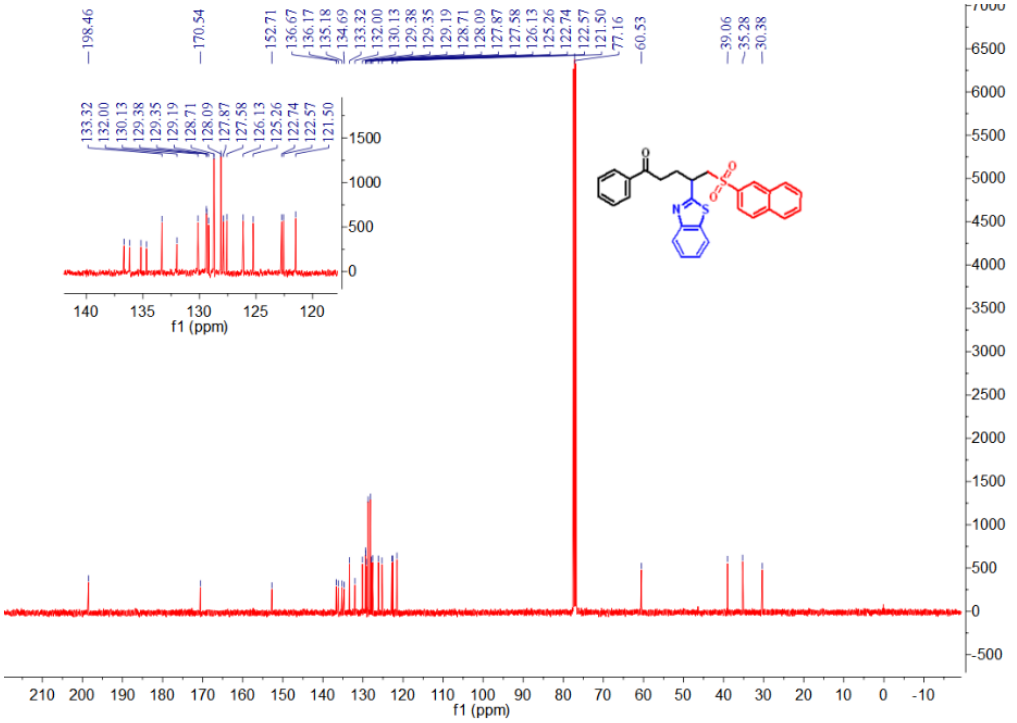
^{1}H NMR Spectrum of Compound **3al** (400 Hz, $CDCl_3$)



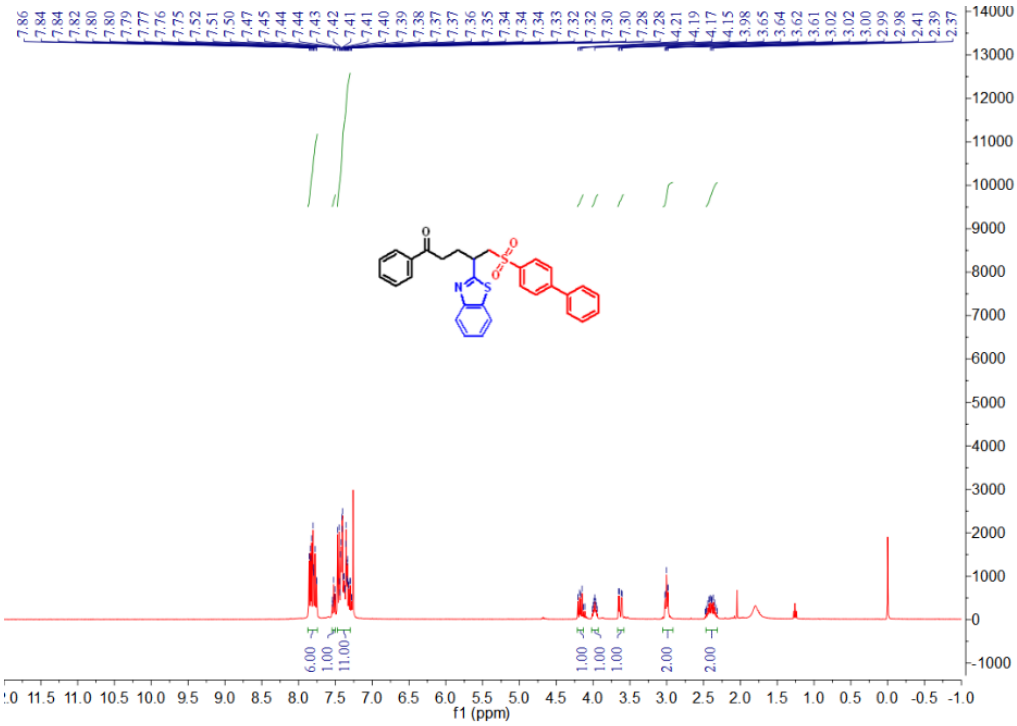
^{13}C NMR Spectrum of Compound **3al** (100 Hz, $CDCl_3$)



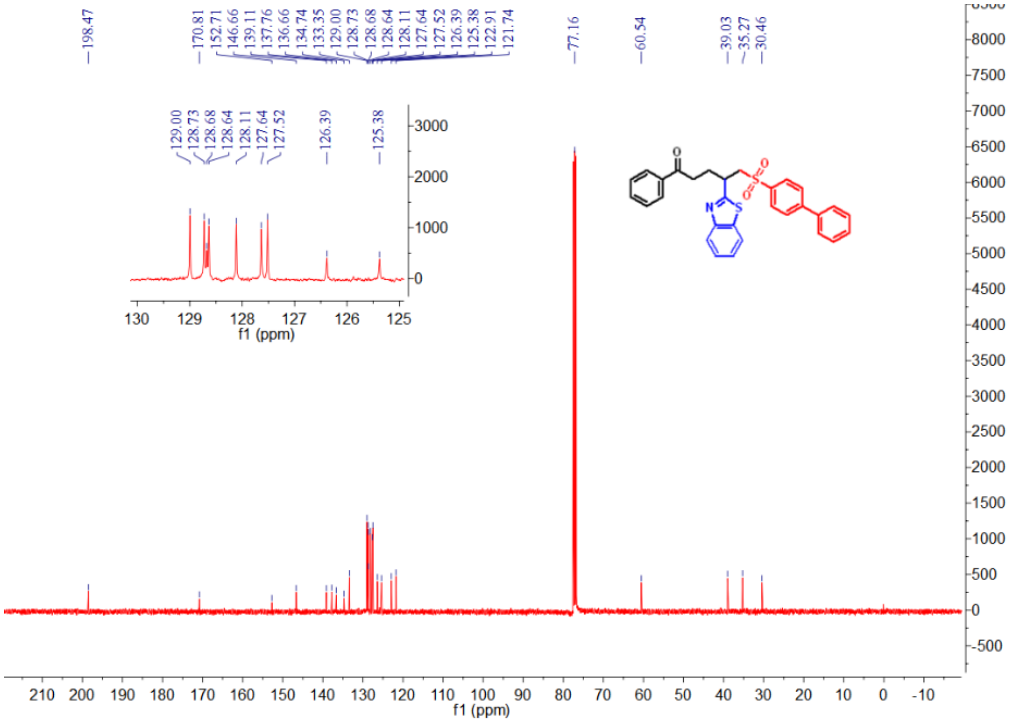
^{1}H NMR Spectrum of Compound **3am** (400 Hz, $CDCl_3$)



^{13}C NMR Spectrum of Compound **3am** (100 Hz, $CDCl_3$)



^{1}H NMR Spectrum of Compound **3an** (400 Hz, $CDCl_3$)



^{13}C NMR Spectrum of Compound **3an** (100 Hz, $CDCl_3$)