Supplementary Information

Alkylsulfonylation of Alkenes Involving Copper Carbenes Coupling: Access to Alkyl-Alkyl Sulfones

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(A) General Information

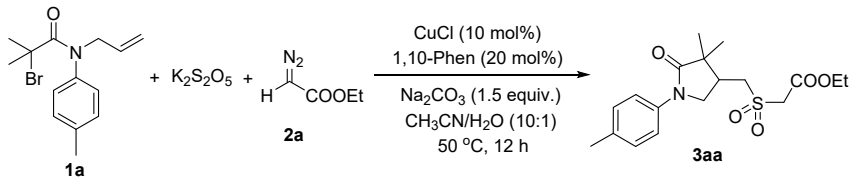
^{1}H NMR and ^{13}C NMR spectra were recorded on a Bruker 400 MHz advance spectrometer at room temperature in $CDCl_3$ with tetramethylsilane as internal standard. High-resolution mass spectra (HRMS) were recorded on an electrospray ionization (ESI) apparatus using time-of-flight (TOF) mass spectrometry. All products were identified by ^{1}H and ^{13}C NMR, and products were identified by HRMS. Unless otherwise noted, all reactions were carried out using standard Schlenk techniques, and all starting materials and solvents were commercially available and were used without further purification. Reactions were monitored by thin-layer chromatography or GC-MS analysis. Column chromatography (petroleum ether/ethyl acetate) was performed on silica gel (200-300 mesh).

(B) Experimental section

(a) Substrates 1 were prepared according to the literatures.[1-3]

(b) Substrates 2 were prepared according to the literatures.[4-5]

(c) Typical experimental procedure for the synthesis of compound 3aa:

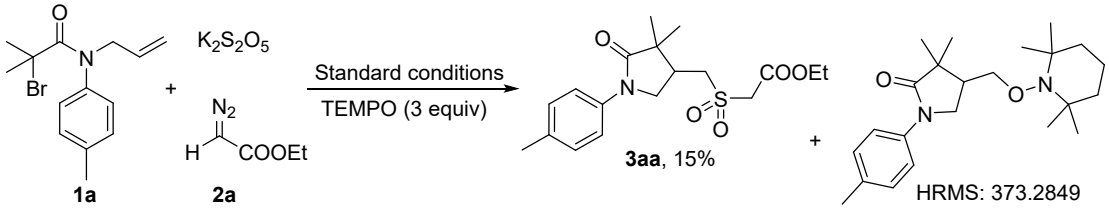


To a Schlenk tube were added **1a** (0.2 mmol), **2a** (2.0 equiv; 0.4 mmol), $K_2S_2O_5$ (1.5 equiv; 0.3 mmol), CuCl (10 mol%; 0.02 mmol), 1,10-Phen (20 mol%; 0.04 mmol), Na_2CO_3 (1.5 equiv; 0.3 mmol) and CH_3CN/H_2O (10 : 1, 2 mL). Then the tube was stirred at 50 ^{o}C (oil bath temperature) under an argon atmosphere for 12 h until complete consumption of starting material as monitored by TLC and/or GC-MS analysis. After the reaction was finished, the reaction mixture was filtered. Then removal of the solvent, the crude product was purified by column chromatography (petroleum ether/ethyl acetate, 2:1) to provide the desired product **3aa** in 89% yield.

(d) General procedure for the 1 mmol scale synthesis of 3aa:

To a Schlenk tube were added **1a** (1 mmol), **2a** (2.0 equiv; 2 mmol), $K_2S_2O_5$ (1.5 equiv; 1.5 mmol), CuCl (10 mol%; 0.1 mmol), 1,10-Phen (20 mol%; 0.2 mmol), Na_2CO_3 (1.5 equiv; 1.5 mmol) and CH_3CN/H_2O (10:1, 10 mL). Then the tube was stirred at 50 °C (oil bath temperature) under an argon atmosphere for 24 h until complete consumption of starting material as monitored by TLC and/or GC-MS analysis. After the reaction was finished, the reaction mixture was filtered. Then removal of the solvent, the crude product was purified by column chromatography (petroleum ether/ethyl acetate, 2:1) to provide the desired product **3aa** in 82% yield.

(e) Radical trapping experiments with TEMPO



To a Schlenk tube were added **1a** (0.2 mmol), **2a** (2.0 equiv; 0.4 mmol), $K_2S_2O_5$ (1.5 equiv; 0.3 mmol), CuCl (10 mol%; 0.02 mmol), 1,10-Phen (20 mol%; 0.04 mmol), Na_2CO_3 (1.5 equiv; 0.3 mmol), TEMPO (3.0 equiv; 0.6 mmol) and CH_3CN/H_2O (10 : 1, 2 mL). Then the tube was stirred at 50 °C (oil bath temperature) under an argon atmosphere for 12 h until complete consumption of starting material as monitored by TLC and/or GC-MS analysis. The desired product **3aa** was obtained in 15% yield. In addition, the lactam derivative from alkyl radical combined with TEMPO was detected by HMRS.

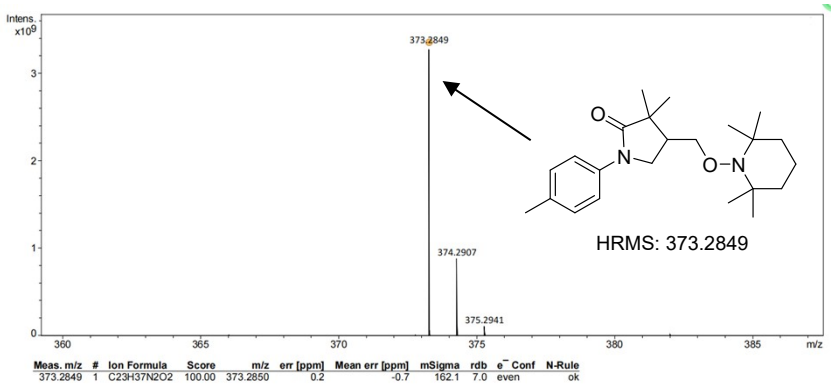
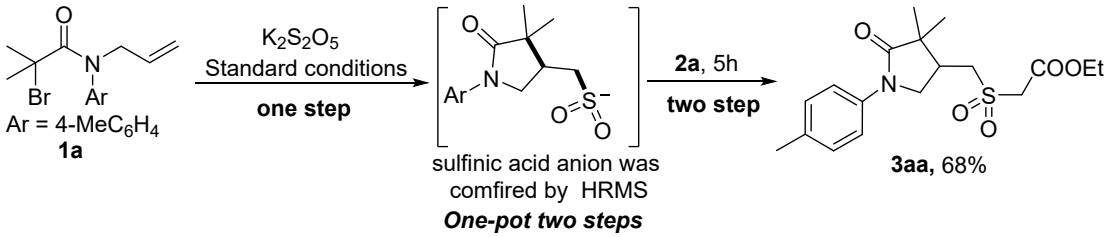


Figure S1. HRMS spectra of lactam derivative

(f) One-pot two steps strategy for the synthesis of compound 3aa



To a Schlenk tube were added **1a** (0.2 mmol), $K_2S_2O_5$ (1.5 equiv; 0.3 mmol), CuCl (10 mol%; 0.02 mmol), 1,10-Phen (20 mol%; 0.04 mmol), Na_2CO_3 (1.5 equiv; 0.3 mmol) and CH_3CN/H_2O (10 : 1, 2 mL). Then the tube was stirred at 50 °C (oil bath temperature) under an argon atmosphere for 12 h until complete consumption of starting material as monitored by TLC analysis. After the reaction solution cools down, added substrate **2a** (2.0 equiv; 0.4 mmol) to the Schlenk tube and the mixture was further stirred at 100 °C for 5 h. Then removal of the solvent, the crude product was purified by column chromatography (petroleum ether/ethyl acetate, 2:1) to provide the desired product **3aa** in 68% yield. It is worth mentioning that the HRMS of the sulfinic acid anion was detected from the first step of this process.

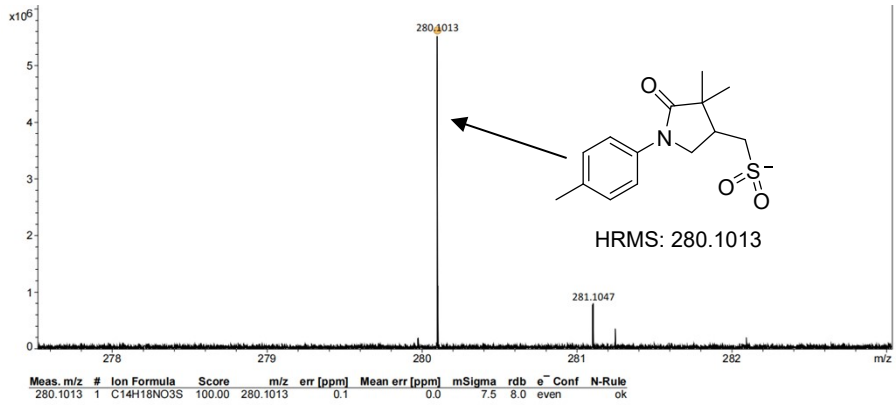
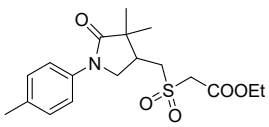


Figure 2. HRMS spectra of sulfinic acid anion

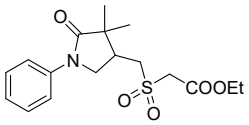
(C) Analytical data

ethyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3aa):



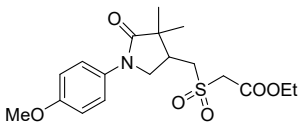
64.2 mg, 89% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.41 (d, *J* = 8.0 Hz, 2H), 7.08 (d, *J* = 8.0 Hz, 2H), 4.22 (q, *J* = 7.2 Hz, 2H), 4.04-3.91 (m, 3H), 3.62 (t, *J* = 10.0 Hz, 1H), 3.51 (d, *J* = 13.2 Hz, 1H), 3.24 (t, *J* = 12.8 Hz, 1H), 2.71 (q, *J* = 9.2 Hz, 1H), 2.24 (s, 3H), 1.28-1.23 (m, 6H), 1.00 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 163.0, 136.6, 134.5, 129.4, 120.0, 62.9, 58.4, 52.7, 50.3, 44.5, 37.0, 23.4, 20.8, 18.9, 14.0; HRMS *m/z* (ESI) calcd for $C_{18}H_{26}NO_5S$ ([M+H]$^+$) 368.1526, found 368.1526.

ethyl 2-(((4,4-dimethyl-5-oxo-1-phenylpyrrolidin-3-yl)methyl)sulfonyl)acetate (3ba):



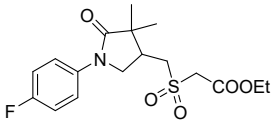
57.3 mg, 80% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.55 (d, *J* = 8.0 Hz, 2H), 7.29 (t, *J* = 8.0 Hz, 2H), 7.07 (t, *J* = 7.2 Hz, 1H), 4.23 (q, *J* = 7.2 Hz, 2H), 4.08-3.92 (m, 3H), 3.66 (t, *J* = 10.0 Hz, 1H), 3.52 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.26 (t, *J* = 12.4 Hz, 1H), 2.78-2.69 (m, 1H), 1.28-1.24 (m, 6H), 1.02 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.7, 163.0, 139.0, 128.9, 124.8, 119.9, 63.0, 58.4, 52.7, 50.2, 44.6, 36.9, 23.4, 18.9, 14.0; HRMS *m/z* (ESI) calcd for $C_{17}H_{24}NO_5S$ ([M+H]$^+$) 354.1370, found 354.1369.

ethyl 2-(((1-(4-methoxyphenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3ca):



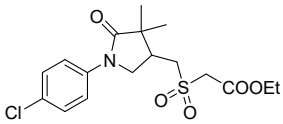
63.5 mg, 87% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 1:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.51 (d, *J* = 8.8 Hz, 2H), 6.89 (d, *J* = 8.8 Hz, 2H), 4.30 (q, *J* = 7.2 Hz, 2H), 4.10-3.99 (m, 3H), 3.79 (s, 3H), 3.70 (t, *J* = 10.0 Hz, 1H), 3.59 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.32 (t, *J* = 12.4 Hz, 1H), 2.83-2.76 (m, 1H), 1.34 (t, *J* = 7.2 Hz, 3H), 1.30 (s, 3H), 1.08 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.3, 163.0, 156.7, 132.2, 121.7, 114.1, 62.9, 58.4, 55.5, 52.7, 50.6, 44.3, 37.0, 23.4, 18.9, 14.0; HRMS *m/z* (ESI) calcd for $C_{18}H_{26}NO_6S$ ([M+H]$^+$) 384.1475, found 384.1475.

ethyl 2-(((1-(4-fluorophenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3da):



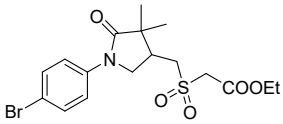
62.9 mg, 85% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.60-7.57(m, 2H), 7.05 (t, *J* = 8.8 Hz, 2H), 4.30 (q, *J* = 7.2 Hz, 2H), 4.11-4.01 (m, 3H), 3.71 (t, *J* = 10.0 Hz, 1H), 3.60 (dd, *J* = 2.4 Hz, *J* = 13.4 Hz, 1H), 3.33 (t, *J* = 12.4 Hz, 1H), 2.85-2.77 (m, 1H), 1.36-1.31 (m, 6H), 1.09 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.6, 163.0, 159.6 (d, *J* = 243.0 Hz), 135.1 (d, *J* = 2.8 Hz), 121.7 (d, *J* = 7.9 Hz), 115.6 (d, *J* = 22.3 Hz), 63.0, 58.4, 52.6, 50.4, 44.4, 36.9, 23.4, 18.9, 14.0; HRMS *m/z* (ESI) calcd for $C_{17}H_{23}FNO_5S$ ($[M+H]^+$) 372.1275, found 372.1275.

ethyl 2-(((1-(4-chlorophenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl) acetate (3ea):



57.0 mg, 75% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.52 (d, *J* = 8.8 Hz, 2H), 7.25 (d, *J* = 8.8 Hz, 2H), 4.23 (q, *J* = 7.2 Hz, 2H), 4.05-3.93 (m, 3H), 3.63 (t, *J* = 10.0 Hz, 1H), 3.52 (dd, *J* = 2.8 Hz, *J* = 13.6 Hz, 1H), 3.25 (t, *J* = 12.4 Hz, 1H), 2.77-2.69 (m, 1H), 1.27 (t, *J* = 7.2 Hz, 3H), 1.24 (s, 3H), 1.01 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.8, 163.0, 137.6, 129.8, 128.9, 120.9, 63.0, 58.4, 52.6, 50.1, 44.5, 36.8, 23.4, 18.9, 14.0; HRMS *m/z* (ESI) calcd for $C_{17}H_{23}ClNO_5S$ ($[M+H]^+$) 388.0980, found 388.0979.

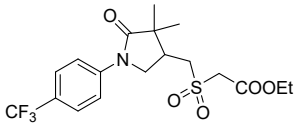
ethyl 2-(((1-(4-bromophenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl) acetate (3fa):



59.7 mg, 70% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.47 (d, *J* = 8.8 Hz, 2H), 7.39 (d, *J* = 8.8 Hz, 2H), 4.23 (q, *J* = 7.2 Hz, 2H), 4.04-3.93 (m, 3H), 3.62 (t, *J* = 10.0 Hz, 1H), 3.52 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.25 (t, *J* = 12.4 Hz, 1H), 2.77-2.69 (m, 1H), 1.27 (t, *J* = 7.2 Hz, 3H), 1.23 (s, 3H), 1.01 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.8, 163.0, 138.1, 131.8, 121.3, 117.5, 63.0, 58.4, 52.5, 50.0, 44.6, 36.7, 23.3, 18.90, 14.0; HRMS *m/z* (ESI)

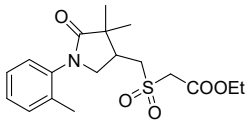
calcd for $C_{17}H_{23}BrNO_5S$ ([M+H]$^+$) 432.0475, found 432.0474.

ethyl 2-(((4,4-dimethyl-5-oxo-1-(4-(trifluoromethyl)phenyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ga):



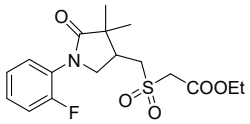
63.5 mg, 75% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.79 (d, *J* = 8.8 Hz, 2H), 7.62 (d, *J* = 8.4 Hz, 2H), 4.31 (q, *J* = 7.2 Hz, 2H), 4.17 (dd, *J* = 8.0 Hz, *J* = 10.0 Hz, 1H), 4.11-4.02 (m, 2H), 3.75 (t, *J* = 10.0 Hz, 1H), 3.62 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.35 (t, *J* = 12.4 Hz, 1H), 2.88-2.80 (m, 1H), 1.36-1.33 (m, 6H), 1.11 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 177.2, 163.0, 141.9, 126.1 (q, *J* = 3.7 Hz), 125.9 (d, *J* = 106.8 Hz), 122.7, 119.3, 63.0, 58.4, 52.5, 49.9, 44.7, 36.7, 23.3, 18.9, 14.0; HRMS *m/z* (ESI) calcd for $C_{18}H_{23}F_3NO_5S$ ([M+H]$^+$) 422.1244, found 422.1244.

ethyl 2-(((4,4-dimethyl-5-oxo-1-(o-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ha):



43.5 mg, 58% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.23-7.20 (m, 3H), 7.10 (t, *J* = 4.4 Hz, 1H), 4.29 (q, *J* = 7.2 Hz, 2H), 4.07-3.97 (m, 2H), 3.92 (dd, *J* = 8.0 Hz, *J* = 10.0 Hz, 1H), 3.65 (t, *J* = 10.0 Hz, 1H), 3.58 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.36 (d, *J* = 12.4 Hz, 1H), 2.93-2.86 (m, 1H), 2.20 (s, 3H), 1.35-1.32 (m, 6H), 1.15 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.6, 163.0, 136.9, 135.5, 131.2, 128.0, 126.9, 126.6, 62.9, 58.5, 52.7, 52.3, 43.5, 38.1, 23.4, 18.9, 17.9, 14.0; HRMS *m/z* (ESI) calcd for $C_{18}H_{26}NO_5S$ ([M+H]$^+$) 368.1526, found 368.1526.

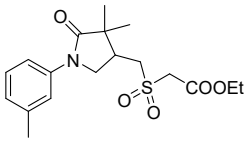
ethyl 2-(((1-(2-fluorophenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3ia):



41.3 mg, 61% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.37 (t, *J* = 7.6 Hz, 1H), 7.27-7.23 (m, 1H), 7.17-7.10 (m,

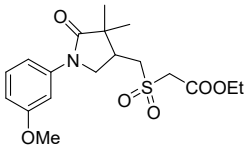
2H), 4.30 (q, *J* = 7.2 Hz, 2H), 4.08-3.98 (m, 3H), 3.76 (t, *J* = 10.0 Hz, 1H), 3.59 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.34 (t, *J* = 12.4 Hz, 1H), 2.91-2.84 (m, 1H), 1.36-1.32 (m, 6H), 1.14 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 177.3, 163.0, 157.2 (d, *J* = 248.7 Hz), 128.6 (d, *J* = 7.9 Hz), 128.0 (d, *J* = 1.6 Hz), 125.9 (d, *J* = 11.8 Hz), 124.5 (d, *J* = 3.6 Hz), 116.6 (d, *J* = 19.8 Hz), 62.9, 58.4, 52.6, 51.7 (d, *J* = 4.0 Hz), 43.3, 37.9, 23.2, 18.8, 14.0; HRMS *m/z* (ESI) calcd for $C_{17}H_{23}FNO_5S$ ($[M+H]^+$) 372.1275, found 372.1274.

ethyl 2-(((4,4-dimethyl-5-oxo-1-(m-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ja):



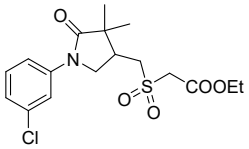
53.8 mg, 74% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.46 (s, 1H), 7.40 (d, *J* = 8.0 Hz, 1H), 7.24 (t, *J* = 8.0 Hz, 1H), 6.96 (d, *J* = 7.6 Hz, 1H), 4.30 (q, *J* = 7.2 Hz, 2H), 4.13-3.99 (m, 3H), 3.72 (t, *J* = 10.0 Hz, 1H), 3.59 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.32 (t, *J* = 12.4 Hz, 1H), 2.83-2.75 (m, 1H), 2.35 (s, 3H), 1.36-1.31 (m, 6H), 1.08 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.7, 163.0, 139.0, 138.8, 128.7, 125.6, 120.6, 117.0, 63.0, 58.4, 52.7, 50.3, 44.5, 36.9, 23.4, 21.6, 18.9, 14.0; HRMS *m/z* (ESI) calcd for $C_{18}H_{26}NO_5S$ ($[M+H]^+$) 368.1526, found 368.1525.

ethyl 2-(((1-(3-methoxyphenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3ka):



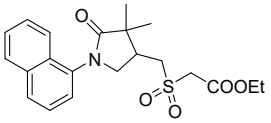
62.1 mg, 81% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 1:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.42 (s, 1H), 7.25 (t, *J* = 8.0 Hz, 1H), 7.08 (d, *J* = 8.0 Hz, 1H), 6.71 (dd, *J* = 1.6 Hz, *J* = 8.4 Hz, 1H), 4.30 (q, *J* = 7.2 Hz, 2H), 4.12 (dd, *J* = 8.0 Hz, *J* = 10.0 Hz, 1H), 4.09-3.99 (m, 2H), 3.81 (s, 3H), 3.71 (t, *J* = 10.0 Hz, 1H), 3.59 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.32 (t, *J* = 12.4 Hz, 1H), 2.83-2.76 (m, 1H), 1.36-1.32 (m, 6H), 1.09 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.8, 163.0, 160.0, 140.2, 129.5, 111.8, 110.8, 105.8, 63.0, 58.4, 55.4, 52.7, 50.3, 44.7, 36.8, 23.4, 18.9, 14.0; HRMS *m/z* (ESI) calcd for $C_{18}H_{26}NO_6S$ ($[M+H]^+$) 384.1475, found 384.1474.

ethyl 2-(((1-(3-chlorophenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl) acetate (3la):



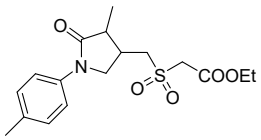
51.8 mg, 68% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.72 (s, 1H), 7.52 (d, *J* = 7.6 Hz, 1H), 7.30-7.26 (m, 1H), 7.12 (d, *J* = 8.0 Hz, 1H), 4.31 (q, *J* = 7.2 Hz, 2H), 4.14-4.00 (m, 3H), 3.70 (t, *J* = 10.0 Hz, 1H), 3.60 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.33 (t, *J* = 12.4 Hz, 1H), 2.85-2.77 (m, 1H), 1.36-1.32 (m, 6H), 1.09 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.9, 163.0, 140.1, 134.6, 129.9, 124.7, 119.8, 117.6, 63.0, 58.4, 52.6, 50.0, 44.6, 36.8, 23.3, 18.9, 14.0; HRMS *m/z* (ESI) calcd for $C_{17}H_{23}ClNO_5S$ ($[M+H]^+$) 388.0980, found 388.0980.

ethyl 2-(((4,4-dimethyl-1-(naphthalen-1-yl)-5-oxopyrrolidin-3-yl)methyl)sulfonyl) acetate (3ma):



34.1 mg, 42% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.88 (d, *J* = 8.8 Hz, 1H), 7.83 (d, *J* = 8.0 Hz, 1H), 7.66 (d, *J* = 7.6 Hz, 1H), 7.54-7.46 (m, 3H), 7.33 (d, *J* = 7.2 Hz, 1H), 4.29 (q, *J* = 7.2 Hz, 2H), 4.10-3.96 (m, 3H), 3.80 (t, *J* = 10.0 Hz, 1H), 3.63 (dd, *J* = 2.0 Hz, *J* = 13.6 Hz, 1H), 3.42 (t, *J* = 12.4 Hz, 1H), 3.09-3.01 (m, 1H), 1.40 (s, 3H), 1.33 (t, *J* = 7.2 Hz, 3H), 1.26 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 177.6, 163.0, 134.9, 134.6, 129.7, 128.6, 128.5, 126.9, 126.4, 125.6, 124.7, 122.4, 63.0, 58.5, 53.4, 52.8, 43.7, 38.2, 23.5, 19.1, 14.0; HRMS *m/z* (ESI) calcd for $C_{21}H_{26}NO_5S$ ($[M+H]^+$) 404.1526, found 404.1525.

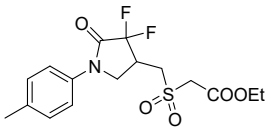
ethyl 2-(((4-methyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3oa):



30.9 mg, 45% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.47 (d, *J* = 8.4 Hz, 2H), 7.16 (d, *J* = 8.0 Hz, 2H), 4.30 (q,

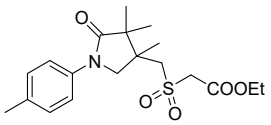
J = 7.2 Hz, 2H); 4.12 (dd, *J* = 8.0 Hz, *J* = 10.0 Hz, 1H), 4.07-3.97 (m, 2H), 3.75-3.70 (m, 2H), 3.40 (t, *J* = 13.6 Hz, 1H), 2.71-2.63 (m, 1H), 2.46-2.38 (m, 1H), 2.32 (s, 3H), 1.36-1.32 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 173.4, 163.0, 136.4, 134.5, 129.4, 120.0, 63.0, 58.5, 56.0, 51.9, 43.6, 34.6, 20.8, 14.3, 14.0; HRMS *m/z* (ESI) calcd for $C_{17}H_{24}NO_5S$ ($[M+H]^+$) 354.1370, found 354.1369.

ethyl 2-(((4,4-difluoro-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3pa):



27.4 mg, 36% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.50 (d, *J* = 8.4 Hz, 2H), 7.21 (d, *J* = 8.4 Hz, 2H), 4.31 (q, *J* = 7.2 Hz, 2H), 4.20 (t, *J* = 9.6 Hz, 1H), 4.14-4.05 (m, 2H), 3.92-3.83 (m, 2H), 3.54 (t, *J* = 14.0 Hz, 1H), 3.41-3.31 (m, 1H), 2.35 (s, 3H), 1.34 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.6, 160.5 (t, *J* = 31.3 Hz), 136.6, 134.9, 129.8, 120.1, 116.5 (dd, *J* = 248.0 Hz, *J* = 256.4 Hz), 63.2, 58.7, 49.9 (d, *J* = 7.2 Hz), 48.0 (d, *J* = 5.6 Hz), 34.3 (t, *J* = 22.0 Hz), 21.0, 14.0; HRMS *m/z* (ESI) calcd for $C_{16}H_{20}F_2NO_5S$ ($[M+H]^+$) 376.1025, found 376.1023.

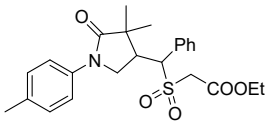
ethyl 2-(((3,4,4-trimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3qa):



58.2 mg, 76% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.50 (d, *J* = 8.0 Hz, 2H), 7.16 (d, *J* = 8.0 Hz, 2H), 4.28 (q, *J* = 7.2 Hz, 2H), 4.10 (d, *J* = 10.4 Hz, 1H), 4.06-3.97 (m, 2H), 3.78 (d, *J* = 10.4 Hz, 1H), 3.63 (d, *J* = 13.6 Hz, 1H), 3.42 (d, *J* = 13.6 Hz, 1H), 2.32 (s, 3H), 1.45 (s, 3H), 1.33 (t, *J* = 7.2 Hz, 3H), 1.15 (s, 3H), 1.13 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.3, 163.2, 136.8, 134.4, 129.4, 119.9, 62.9, 60.4, 57.6, 56.1, 49.5, 41.0, 20.9, 20.9, 20.6, 18.3, 14.0; HRMS *m/z* (ESI) calcd for $C_{19}H_{28}NO_5S$ ($[M+H]^+$) 382.1683, found 382.1679.

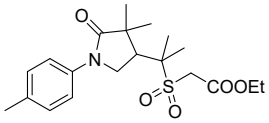
ethyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)(phenyl)methyl)sulfonyl)

acetate (3ra) (dr > 20:1):



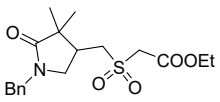
32.5 mg, 37% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.77 (s, 1H), 7.53 (d, *J* = 8.4 Hz, 2H), 7.47-7.41 (m, 4H), 7.16 (d, *J* = 8.4 Hz, 2H), 4.85 (d, *J* = 11.6 Hz, 1H), 4.28-4.20 (m, 3H), 4.04 (t, *J* = 10.4 Hz, 1H), 3.50 (s, 2H), 3.25-3.17 (m, 1H), 2.33 (s, 2.87H), 2.28 (s, 0.13H), 1.31 (t, *J* = 7.2 Hz, 3H), 1.15 (s, 0.13H), 1.10 (s, 2.87H), 0.59 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 163.1, 136.5, 134.3, 131.9, 130.1, 129.3, 120.0, 68.8, 62.6, 55.0, 49.5, 45.5, 43.0, 24.5, 20.8, 18.3, 14.0; HRMS *m/z* (ESI) calcd for $C_{24}H_{30}NO_5S$ ($[M+H]^+$) 444.1839, found 444.1832.

ethyl 2-((2-(4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)propan-2-yl)sulfonyl) acetate (3sa):



28.6 mg, 35% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.44 (d, *J* = 8.4 Hz, 2H), 7.08 (d, *J* = 8.0 Hz, 2H), 4.21 (q, *J* = 7.2 Hz, 2H), 4.01-3.94 (m, 3H), 3.73 (t, *J* = 10.8 Hz, 1H), 2.80 (dd, *J* = 7.6 Hz, *J* = 10.8 Hz, 1H), 2.25 (s, 3H), 1.60 (s, 3H), 1.58 (s, 3H), 1.40 (s, 3H), 1.24 (t, *J* = 7.2 Hz, 3H), 1.17 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.1, 162.4, 136.5, 134.5, 129.4, 120.0, 68.0, 62.9, 53.3, 47.2, 46.7, 45.1, 26.9, 22.7, 20.8, 20.6, 18.1, 14.0; HRMS *m/z* (ESI) calcd for $C_{20}H_{30}NO_5S$ ($[M+H]^+$) 396.1839, found 396.1839.

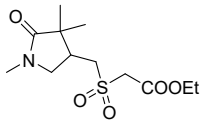
ethyl 2-(((1-benzyl-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3ta):



55.3 mg, 77% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.34-7.27 (m, 3H), 7.20 (d, *J* = 6.8 Hz, 2H), 4.58 (d, *J* = 14.8 Hz, 1H), 4.33-4.23 (m, 3H), 4.02-3.91 (m, 2H), 3.55-3.48 (m, 2H), 3.18 (t, *J* = 12.4 Hz, 1H), 3.09 (t, *J* = 10.0 Hz, 1H), 2.68-2.61 (m, 1H), 1.31 (t, *J* = 7.2 Hz, 3H),

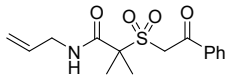
1.25 (s, 3H), 1.00 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 177.5, 162.9, 136.2, 128.8, 128.1, 127.7, 62.9, 58.4, 52.7, 48.6, 46.7, 43.3, 37.3, 23.2, 18.7, 14.0; HRMS *m/z* (ESI) calcd for $C_{18}H_{26}NO_5S$ ($[M+H]^+$) 368.1526, found 368.1525.

ethyl 2-(((1,4,4-trimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3ua):



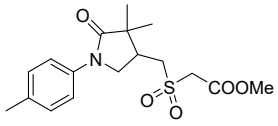
43.5 mg, 75% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 4.30 (q, *J* = 7.2 Hz, 2H), 4.08 (d, *J* = 15.2 Hz, 1H), 4.01 (d, *J* = 15.2 Hz, 1H), 3.64 (dd, *J* = 8.0 Hz, *J* = 10.4 Hz, 1H), 3.53 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.30-3.23 (m, 2H), 2.86 (s, 3H), 2.71-2.63 (m, 1H), 1.34 (t, *J* = 7.2 Hz, 3H), 1.21 (s, 3H), 0.99 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 177.5, 163.0, 62.8, 58.3, 52.7, 51.2, 43.1, 37.3, 29.8, 23.3, 18.8, 14.0; HRMS *m/z* (ESI) calcd for $C_{12}H_{22}NO_5S$ ($[M+H]^+$) 292.1213, found 292.1212.

***N*-allyl-2-methyl-2-((2-oxo-2-phenylethyl)sulfonyl)propanamide (3vk):**



19.2 mg, 31% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 3:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.01 (d, *J* = 7.6 Hz, 2H), 7.64 (d, *J* = 7.2 Hz, 1H), 7.51 (d, *J* = 7.6 Hz, 2H), 6.73 (s, 1H), 5.87-5.78 (m, 1H), 5.26-5.16 (m, 2H), 4.73 (s, 2H), 3.92 (t, *J* = 5.2 Hz, 2H), 1.74 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 187.1, 167.6, 136.1, 134.5, 133.0, 129.5, 128.9, 117.2, 69.2, 56.0, 42.7, 19.8; HRMS *m/z* (ESI) calcd for $C_{15}H_{20}NO_4S$ ($[M+H]^+$) 310.1108, found 310.1107.

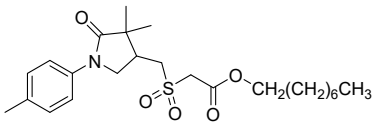
methyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ab):



60.5 mg, 88% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.52 (d, *J* = 8.4 Hz, 2H), 7.18 (d, *J* = 8.4 Hz, 2H), 4.15-4.03 (m, 3H), 3.88 (s, 3H), 3.73 (t, *J* = 10.0 Hz, 1H), 3.61 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.34 (t, *J* = 12.4 Hz, 1H), 2.86-2.78 (m, 1H), 2.34 (s, 3H), 1.34 (s, 3H), 1.11 (s,

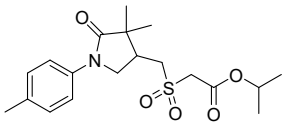
3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 163.5, 136.5, 134.5, 129.4, 119.9, 58.2, 53.6, 52.7, 50.3, 44.5, 37.0, 23.4, 20.9, 18.9; HRMS *m/z* (ESI) calcd for $C_{17}H_{24}NO_5S$ ($[M+H]^+$) 354.1370, found 354.1370.

octyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ac):



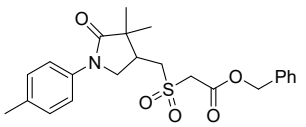
67.8 mg, 75% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.49 (d, *J* = 8.0 Hz, 2H), 7.15 (d, *J* = 8.0 Hz, 2H), 4.22 (t, *J* = 6.4 Hz, 2H), 4.11-4.00 (m, 3H), 3.70 (t, *J* = 10.0 Hz, 1H), 3.58 (d, *J* = 12.0 Hz, 1H), 3.32 (t, *J* = 12.4 Hz, 1H), 2.79 (q, *J* = 8.8 Hz, 1H), 2.32 (s, 3H), 1.72-1.65 (m, 2H), 1.36-1.28 (m, 13H), 1.07 (s, 3H), 0.88 (t, *J* = 6.8 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 163.1, 136.5, 134.4, 129.4, 119.9, 67.1, 58.4, 52.7, 50.3, 44.5, 36.9, 31.7, 29.1, 28.4, 25.7, 23.4, 22.6, 20.8, 18.9, 14.1; HRMS *m/z* (ESI) calcd for $C_{24}H_{38}NO_5S$ ($[M+H]^+$) 452.2465, found 452.2465.

isopropyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl) acetate (3ad):



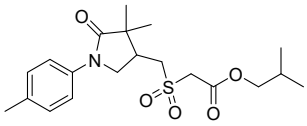
60.4 mg, 83% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 3:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.49 (d, *J* = 8.4 Hz, 2H), 7.15 (d, *J* = 8.4 Hz, 2H), 5.16-5.10 (m, 1H), 4.09 (dd, *J* = 7.6 Hz, *J* = 10.0 Hz, 1H), 4.06-3.96 (m, 2H), 3.70 (t, *J* = 10.0 Hz, 1H), 3.59 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.31 (t, *J* = 12.4 Hz, 1H), 2.83-2.75 (m, 1H), 2.32 (s, 3H), 1.33 (s, 3H), 1.31 (s, 6H), 1.08 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 162.5 136.5, 134.4, 129.4, 119.9, 71.1, 58.7, 52.7, 50.3, 44.5, 36.9, 23.4, 21.6, 20.8, 18.9; HRMS *m/z* (ESI) calcd for $C_{19}H_{28}NO_5S$ ($[M+H]^+$) 382.1683, found 382.1682.

benzyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ae):



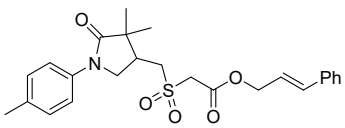
69.5 mg, 84% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.41 (d, *J* = 8.4 Hz, 2H), 7.31-7.28 (m, 5H), 7.09 (d, *J* = 8.4 Hz, 2H), 5.19 (dd, *J* = 12.0 Hz, *J* = 14.8 Hz, 2H), 4.05-3.95 (m, 3H), 3.56 (t, *J* = 10.0 Hz, 1H), 3.42 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.17 (t, *J* = 12.4 Hz, 1H), 2.71-2.63 (m, 1H), 2.52 (s, 3H), 1.16 (s, 3H), 0.92 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.4, 162.7, 136.5, 134.5, 134.2, 129.4, 129.1 128.9, 128.7, 119.9, 68.6, 58.6, 52.8, 50.2, 44.4, 36.9, 23.3, 20.8, 18.8; HRMS *m/z* (ESI) calcd for $C_{23}H_{28}NO_5S$ ($[M+H]^+$) 430.1683, found 430.1682.

isobutyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl) acetate (3af):



58.8 mg, 78% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.49 (d, *J* = 8.0 Hz, 2H), 7.15 (d, *J* = 8.0 Hz, 2H), 4.12-4.02 (m, 5H), 3.70 (t, *J* = 10.0 Hz, 1H), 3.58 (dd, *J* = 1.6 Hz, *J* = 13.6 Hz, 1H), 3.32 (t, *J* = 12.4 Hz, 1H), 2.83-2.76 (m, 1H), 2.32 (s, 3H), 2.03-1.95 (m, 1H), 1.30 (s, 3H), 1.07 (s, 3H), 0.97 (d, *J* = 6.8 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 163.1, 136.5, 134.5, 129.4, 120.0, 72.8, 58.4, 52.7, 50.3, 44.5, 36.9, 27.6, 23.4, 20.8, 18.9, 18.8; HRMS *m/z* (ESI) calcd for $C_{20}H_{30}NO_5S$ ($[M+H]^+$) 396.1839, found 396.1839.

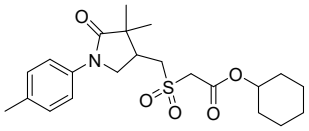
cinnamyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl) acetate (3ag):



69.7 mg, 78% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.47 (d, *J* = 8.4 Hz, 2H), 7.38 (d, *J* = 7.2 Hz, 2H), 7.33-7.25 (m, 3H), 7.14 (d, *J* = 8.4 Hz, 2H), 6.72 (d, *J* = 15.6 Hz, 1H), 6.30-6.23 (m, 1H), 4.87 (d, *J* = 6.8 Hz, 2H), 4.13-4.03 (m, 3H), 3.66 (t, *J* = 10.0 Hz, 1H), 3.57 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.31 (t, *J* = 12.4 Hz, 1H), 2.81-2.73 (m, 1H), 2.31 (s, 3H),

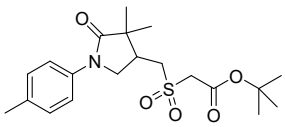
1.26 (s, 3H), 1.02 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 162.8, 136.5, 136.1, 135.6, 134.5, 129.4, 128.8, 128.6, 126.7, 121.2, 119.9, 67.3, 58.4, 52.8, 50.3, 44.4, 36.9, 23.4, 20.8, 18.8; HRMS *m/z* (ESI) calcd for $C_{25}H_{30}NO_5S$ ($[M+H]^+$) 456.1839, found 456.1839.

cyclohexyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl) acetate (3ah):



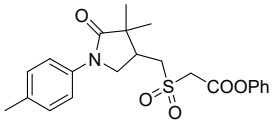
74.1 mg, 87% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 3:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.49 (d, *J* = 8.4 Hz, 2H), 7.15 (d, *J* = 8.0 Hz, 2H), 4.93-4.86 (m, 1H), 4.12-3.98 (m, 3H), 3.70 (t, *J* = 10.0 Hz, 1H), 3.58 (dd, *J* = 2.0 Hz, *J* = 13.6 Hz, 1H), 3.32 (t, *J* = 12.4 Hz, 1H), 2.83-2.76 (m, 1H), 2.32 (s, 3H), 1.91-1.88 (m, 2H), 1.74 (s, 2H), 1.57-1.46 (m, 3H), 1.40-1.25 (m, 6H), 1.07 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 162.4, 136.5, 134.4, 129.4, 119.9, 75.9, 58.8, 52.7, 50.3, 44.5, 36.9, 31.3, 25.1, 23.5, 23.4, 20.8, 18.9; HRMS *m/z* (ESI) calcd for $C_{22}H_{32}NO_5S$ ($[M+H]^+$) 422.1996, found 422.1996.

***tert*-butyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl) acetate (3ai):**



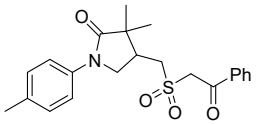
43.7 mg, 72% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.50 (d, *J* = 8.0 Hz, 2H), 7.16 (d, *J* = 8.0 Hz, 2H), 4.11 (t, *J* = 8.4 Hz, 1H), 4.00-3.90 (m, 2H), 3.71 (t, *J* = 10.0 Hz, 1H), 3.58 (d, *J* = 13.6 Hz, 1H), 3.30 (t, *J* = 12.4 Hz, 1H), 2.83-2.76 (m, 1H), 2.32 (s, 3H), 1.53 (s, 9H), 1.32 (s, 3H), 1.09 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 162.0, 136.5, 134.5, 129.4, 119.9, 84.7, 59.5, 52.6, 50.3, 44.5, 36.9, 27.9, 23.4, 20.9, 18.9; HRMS *m/z* (ESI) calcd for $C_{20}H_{30}NO_5S$ ($[M+H]^+$) 396.1839, found 396.1838.

phenyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3aj):



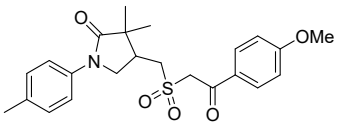
70.6 mg, 87% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.48 (d, *J* = 8.4 Hz, 2H), 7.41 (t, *J* = 8.4 Hz, 2H), 7.29 (t, *J* = 7.2 Hz, 1H), 7.15 (d, *J* = 6.4 Hz, 4H), 4.33-4.23 (m, 2H), 4.11 (dd, *J* = 7.6 Hz, *J* = 10.0 Hz, 1H), 3.70 (t, *J* = 10.0 Hz, 1H), 3.62 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 1H), 3.37 (t, *J* = 12.4 Hz, 1H), 2.85-2.78 (m, 1H), 2.31 (s, 3H), 1.28 (s, 3H), 1.05 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.4, 161.9, 150.0, 136.5, 134.5, 129.8, 129.4, 126.9, 121.1, 120.0, 58.4, 53.0, 50.3, 44.5, 37.0, 23.4, 20.8, 18.8; HRMS *m/z* (ESI) calcd for $C_{22}H_{26}NO_5S$ ($[M+H]^+$) 416.1526, found 416.1526.

3,3-dimethyl-4-(((2-oxo-2-phenylethyl)sulfonyl)methyl)-1-(p-tolyl)pyrrolidin-2-one (3ak):



74.1 mg, 93% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 3:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.00 (d, *J* = 8.0 Hz, 2H), 7.66 (t, *J* = 7.2 Hz, 1H), 7.54-7.47 (m, 4H), 7.13 (d, *J* = 8.0 Hz, 2H), 4.73-4.59 (m, 2H), 4.07 (dd, *J* = 8.4 Hz, *J* = 10.0 Hz, 1H), 3.69 (t, *J* = 10.0 Hz, 1H), 3.58 (dd, *J* = 2.0 Hz, *J* = 13.6 Hz, 1H), 3.33 (t, *J* = 12.4 Hz, 1H), 2.80 (dd, *J* = 8.4 Hz, *J* = 17.6 Hz, 1H), 2.30 (s, 3H), 1.30 (s, 3H), 1.07 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 189.2, 176.6, 136.6, 135.6, 134.9, 134.4, 129.4, 129.3, 129.1, 119.9, 60.4, 53.0, 50.3, 44.5, 37.0, 23.4, 20.8, 18.9; HRMS *m/z* (ESI) calcd for $C_{22}H_{26}NO_4S$ ($[M+H]^+$) 400.1577, found 400.1576.

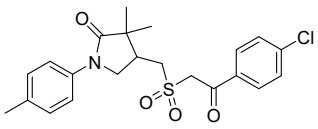
4-(((2-(4-methoxyphenyl)-2-oxoethyl)sulfonyl)methyl)-3,3-dimethyl-1-(p-tolyl)pyrrolidin-2-one (3al):



75.5 mg, 88% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 1:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.99 (d, *J* = 8.8 Hz, 2H), 7.49 (d, *J* = 8.4 Hz, 2H), 7.15 (d, *J* = 8.4 Hz, 2H), 6.99 (d, *J* = 8.8 Hz, 2H), 4.65 (d, *J* = 14.8 Hz, 1H), 4.54 (d, *J* = 14.8 Hz, 1H), 4.09 (t, *J* = 8.0 Hz, 1H), 3.90 (s, 3H), 3.70 (t, *J* = 10.0 Hz, 1H), 3.57 (d, *J* =

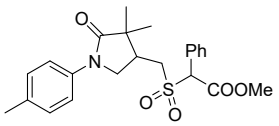
13.6 Hz, 1H), 3.32 (t, *J* = 12.4 Hz, 1H), 2.85-2.78 (m, 1H), 2.31 (s, 3H), 1.32 (s, 3H), 1.08 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 187.2, 176.6, 165.1, 136.6, 134.4, 131.9, 129.4, 128.6, 119.9, 114.4, 55.7, 52.8, 50.3, 44.5, 37.0, 23.4, 20.9, 18.9; HRMS *m/z* (ESI) calcd for $C_{23}H_{28}NO_5S$ ($[M+H]^+$) 430.1683, found 430.1683.

4-(((2-(4-chlorophenyl)-2-oxoethyl)sulfonyl)methyl)-3,3-dimethyl-1-(p-tolyl)pyrrolidin-2-one (3am):



74.5 mg, 86% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.94 (d, *J* = 8.4 Hz, 2H), 7.48 (t, *J* = 8.0 Hz, 4H), 7.14 (d, *J* = 8.0 Hz, 2H), 4.69 (d, *J* = 14.4 Hz, 1H), 4.59 (d, *J* = 14.8 Hz, 1H), 4.06 (dd, *J* = 8.0 Hz, *J* = 9.2 Hz, 1H), 3.69 (t, *J* = 10.0 Hz, 1H), 3.55 (d, *J* = 12.4 Hz, 1H), 3.32 (t, *J* = 12.0 Hz, 1H), 2.83-2.76 (m, 1H), 2.30 (s, 3H), 1.31 (s, 3H), 1.07 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 188.1, 176.6, 141.7, 136.5, 134.5, 133.9, 130.7, 129.5, 129.4, 119.9, 60.4, 52.9, 50.3, 44.5, 36.9, 23.4, 20.9, 18.9; HRMS *m/z* (ESI) calcd for $C_{22}H_{25}ClNO_4S$ ($[M+H]^+$) 434.1187, found 434.1187.

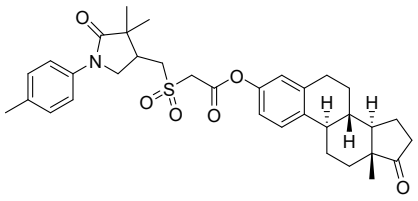
methyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)-2-phenylacetate (3an) (dr = 1: 1):



21.3 mg, 26% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.53-7.51 (m, 2H), 7.40-7.35 (m, 5H), 7.06 (t, *J* = 7.6 Hz, 2H), 5.01 (s, 1H), 3.97 (dd, *J* = 7.6 Hz, *J* = 10.4 Hz, 0.5H), 3.90 (dd, *J* = 7.6 Hz, *J* = 10.4 Hz, 0.5H), 3.81 (s, 1.5H), 3.80 (s, 1.5H), 3.59-3.53 (m, 1H), 3.40 (t, *J* = 10.0 Hz, 0.5H), 3.25 (t, *J* = 12.0 Hz, 0.5H), 3.15 (dd, *J* = 2.8 Hz, *J* = 13.6 Hz, 0.5H), 2.88 (t, *J* = 12.0 Hz, 0.5H), 2.75-2.67 (m, 0.5H), 2.48-2.41 (m, 0.5H), 2.24 (s, 1.5H), 2.23 (s, 1.5H), 1.20 (s, 1.5H), 1.05 (s, 1.5H), 0.91 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.6, 176.5, 165.9, 165.8, 136.5, 134.4, 134.3, 130.3, 130.2, 129.7, 129.6, 129.4, 129.4, 129.4, 129.3, 127.4, 127.2, 119.9, 119.8, 74.1, 53.6, 50.4, 50.2, 49.2, 49.1, 44.5,

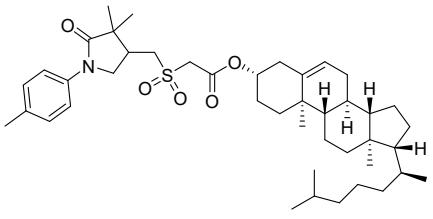
44.4, 36.6, 36.4, 23.4, 23.3, 20.8, 18.8, 18.7; HRMS *m/z* (ESI) calcd for $C_{23}H_{28}NO_5S$ ($[M+H]^+$) 430.1683, found 430.1682.

(8S,9R,13R,14R)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[a]phenanthren-3-yl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)me -thyl)sulfonyl)acetate (3ao):



99.2 mg, 95% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 1:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.49 (d, *J* = 8.4 Hz, 2H), 7.31 (d, *J* = 8.4 Hz, 1H), 7.15 (d, *J* = 8.4 Hz, 2H), 6.91 (d, *J* = 8.8 Hz, 1H), 6.87 (s, 1H), 4.29 (q, *J* = 14.8 Hz, 2H), 4.11 (dd, *J* = 8.0 Hz, *J* = 10.0 Hz, 1H), 3.71 (t, *J* = 10.0 Hz, 1H), 3.64 (d, *J* = 12.4 Hz, 1H), 3.38 (t, *J* = 12.4 Hz, 1H), 2.92-2.90 (m, 2H), 2.85-2.78 (m, 1H), 2.54-2.47 (m, 1H), 2.40-2.37 (m, 1H), 2.31 (s, 3H), 2.27-2.25 (m, 1H), 2.19-1.94 (m, 4H), 1.65-1.42 (m, 6H), 1.28 (s, 3H), 1.04 (s, 3H), 0.90 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 220.6, 176.5, 162.2, 147.8, 138.7, 138.6, 136.5, 134.5, 129.4, 126.8, 121.1, 119.9, 118.2, 58.3, 52.9, 50.4, 50.3, 47.9, 44.5, 44.1, 37.9, 37.0, 35.8, 31.5, 29.4, 26.2, 25.7, 23.4, 21.6, 20.9, 18.9, 13.8; HRMS *m/z* (ESI) calcd for $C_{34}H_{42}NO_6S$ ($[M+H]^+$) 592.2727, found 592.2725.

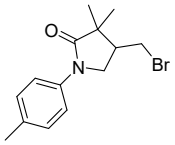
(3S,8S,9S,10R,13R,14S,17R)-10,13-dimethyl-17-((S)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 2- (((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ap):



79.4 mg, 58% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.50 (d, *J* = 8.4 Hz, 2H), 7.16 (d, *J* = 8.4 Hz, 2H), 5.40 (s, 1H), 4.78-4.70 (m, 1H), 4.10 (dd, *J* = 8.0 Hz, *J* = 10.0 Hz, 1H), 4.06-3.96 (m, 2H), 3.71 (t, *J* = 10.0 Hz, 1H), 3.59 (d, *J* = 13.6 Hz, 1H), 3.32 (t, *J* = 12.4 Hz, 1H), 2.83-2.76 (m, 1H), 2.39 (d, *J* = 8.4 Hz, 2H), 2.32 (s, 3H), 2.03-1.85 (m, 5H), 1.65-1.43 (m,

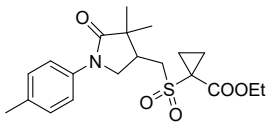
8H), 1.41-1.26 (m, 8H), 1.17-1.06 (m, 9H), 1.05-0.99 (m, 5H), 0.92 (d, *J* = 6.4 Hz, 3H), 0.87 (d, *J* = 6.4 Hz, 6H), 0.68 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 162.4, 138.8, 136.5, 134.5, 129.4, 123.5, 119.9, 58.7, 56.7, 56.2, 52.7, 50.3, 50.0, 44.5, 42.3, 39.7, 39.5, 37.8, 37.0, 36.8, 36.7 36.2, 35.8, 31.9, 31.8, 28.2, 28.0, 27.6, 24.3, 23.8, 23.5, 22.8, 22.6, 21.0, 20.9, 19.3, 18.9, 18.7, 11.9; HRMS *m/z* (ESI) calcd for $C_{43}H_{66}NO_5S$ ($[M+H]^+$) 708.4656, found 708.4657.

4-(bromomethyl)-3,3-dimethyl-1-(p-tolyl)pyrrolidin-2-one (5a)[6]:



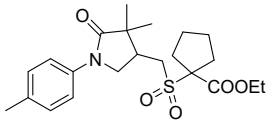
44.6 mg, 75% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 10:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.46 (d, *J* = 8.0 Hz, 2H), 7.11 (d, *J* = 8.0 Hz, 2H), 3.91 (dd, *J* = 7.2 Hz, *J* = 10.0 Hz, 1H), 3.54-3.45 (m, 2H), 3.33 (t, *J* = 10.4 Hz, 1H), 2.56-2.48 (m, 1H), 2.27 (s, 3H), 1.25 (s, 3H), 1.03 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 177.4, 136.8, 134.3, 129.4, 119.8, 50.7, 45.5, 45.2, 31.3, 24.4, 20.8, 18.5.

ethyl 1-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)cyclopropane-1-carboxylate (4aaa):



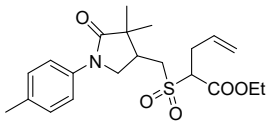
55.8 mg, 71% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.51 (d, *J* = 8.4 Hz, 2H), 7.16 (d, *J* = 8.0 Hz, 2H), 4.27 (q, *J* = 6.8 Hz, 2H), 4.09 (dd, *J* = 8.0 Hz, *J* = 10.0 Hz, 1H), 3.76-3.68 (m, 2H), 3.44 (t, *J* = 12.0 Hz, 1H), 2.86-2.79 (m, 1H), 2.32 (s, 3H), 1.87-1.79 (m, 2H), 1.74-1.72 (m, 2H), 1.34-1.29 (m, 6H), 1.08 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.7, 167.1, 136.6, 134.4, 129.4, 119.9, 62.6, 52.3, 50.5, 44.5, 42.7, 36.9, 23.4, 20.9, 18.9, 16.1, 15.0, 14.1; HRMS *m/z* (ESI) calcd for $C_{20}H_{28}NO_5S$ ($[M+H]^+$) 394.1683, found 394.1683.

ethyl 1-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)cyclopentane-1-carboxylate (4aab):



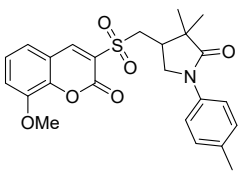
64.0 mg, 76% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.50 (d, *J* = 8.4 Hz, 2H), 7.15 (d, *J* = 8.4 Hz, 2H), 4.30 (q, *J* = 7.2 Hz, 2H), 4.12 (dd, *J* = 8.0 Hz, *J* = 9.6 Hz, 1H), 3.69 (t, *J* = 10.0 Hz, 1H), 3.50 (dd, *J* = 2.0 Hz, *J* = 13.2 Hz, 1H), 3.29 (t, *J* = 12.0 Hz, 1H), 2.88-2.81 (m, 1H), 2.49-2.45 (m, 2H), 2.41-2.36 (m, 2H), 2.32 (s, 3H), 1.90-1.86 (m, 2H), 1.77-1.74 (m, 2H), 1.35-1.30 (m, 6H), 1.08 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.8, 169.6, 136.5, 134.4, 129.4, 119.9, 78.1, 62.9, 50.6, 49.3, 44.6, 36.7, 32.0, 31.9, 25.8, 25.7, 23.4, 20.8, 19.0, 14.0; HRMS *m/z* (ESI) calcd for $C_{22}H_{32}NO_5S$ ($[M+H]^+$) 422.1996, found 422.1996.

ethyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)pent-4-enoate (4aac) (d.r. = 1: 1):



55.3 mg, 68% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 2:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.50 (d, *J* = 7.2 Hz, 2H), 7.16 (d, *J* = 8.0 Hz, 2H), 5.82-5.71 (m, 1H), 5.26-5.18 (m, 2H), 4.31 (q, *J* = 7.2 Hz, 2H), 4.13-4.08 (m, 1H), 3.94-3.89 (m, 1H), 3.71-3.65 (m, 1H), 3.50 (dd, *J* = 2.4 Hz, *J* = 13.6 Hz, 0.5H), 3.37-3.26 (m, 1H), 3.14 (t, *J* = 12.4 Hz, 0.5H), 2.91-2.81 (m, 3H), 2.32 (s, 3H), 1.35-1.30 (m, 6H), 1.08 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.5, 166.0, 165.8, 136.5, 134.4, 131.5, 131.4, 129.4, 119.9, 119.8, 119.6, 119.5, 68.4, 62.9, 50.4, 50.3, 50.2, 44.5, 36.6, 36.4, 30.8, 30.1, 23.5, 23.4, 20.9, 18.9, 14.1; HRMS *m/z* (ESI) calcd for $C_{21}H_{30}NO_5S$ ($[M+H]^+$) 408.1839, found 408.1839.

4-(((8-methoxy-2-oxo-2*H*-chromen-3-yl)sulfonyl)methyl)-3,3-dimethyl-1-(*p*-tolyl)pyrrolidin-2-one (4aad):



64.6 mg, 71% yield; Colorless oil; eluent: petroleum ether/ethyl acetate = 1:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (s, 1H), 7.49 (d, *J* = 8.4 Hz, 2H), 7.35 (t, *J* = 8.0 Hz, 1H), 7.26 (t, *J* = 7.2 Hz, 2H), 7.15 (d, *J* = 8.4 Hz, 2H), 4.09 (dd, *J* = 8.0 Hz, *J* = 10.0 Hz, 1H), 3.99 (s, 3H), 3.83-3.74 (m, 2H), 3.50 (t, *J* = 12.0 Hz, 1H), 2.72-2.65 (m, 1H), 2.31 (s, 3H), 1.26 (s, 3H), 1.07 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.6, 155.5, 149.0, 147.4, 145.0, 136.6, 134.4, 129.4, 126.3, 125.7, 121.4, 119.9, 117.7, 117.3, 56.5, 52.7, 50.4, 44.5, 37.4, 23.4, 20.9, 18.9; HRMS *m/z* (ESI) calcd for $C_{24}H_{26}NO_6S$ ($[M+H]^+$) 456.1475, found 456.1476.

(D) References

[1] M. Li, Y. Li, W.-Y. Jia, G.-Q. Sun, F. Gao, G.-X. Zhao, Y.-F. Qiu, X.-C. Wang, Y.-M. Liang, Z.-J. Quan, *Org. Lett.*, **2022**, *24*, 2738.

[2] Q. Shen, X. Zheng, L. Li, T. Zhong, C. Yin, C. Yu, *Org. Lett.*, **2022**, *24*, 2556.

[3] X. Zhuang, X. Shi, R. Zhu, B. Sun, W. Su, C. Jin, *Org. Chem. Front.*, **2021**, *8*, 736.

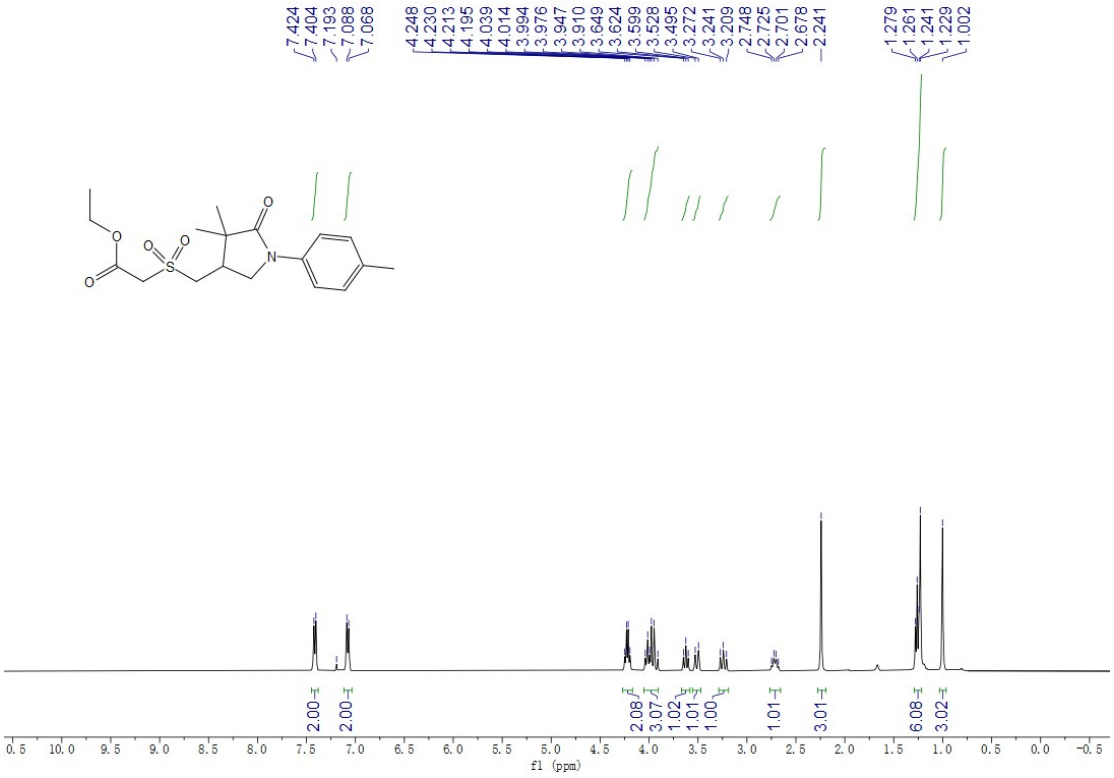
[4] T. Toma, J. Shimokawa, T. Fukuyama, *Org. Lett.*, **2007**, *9*, 3195.

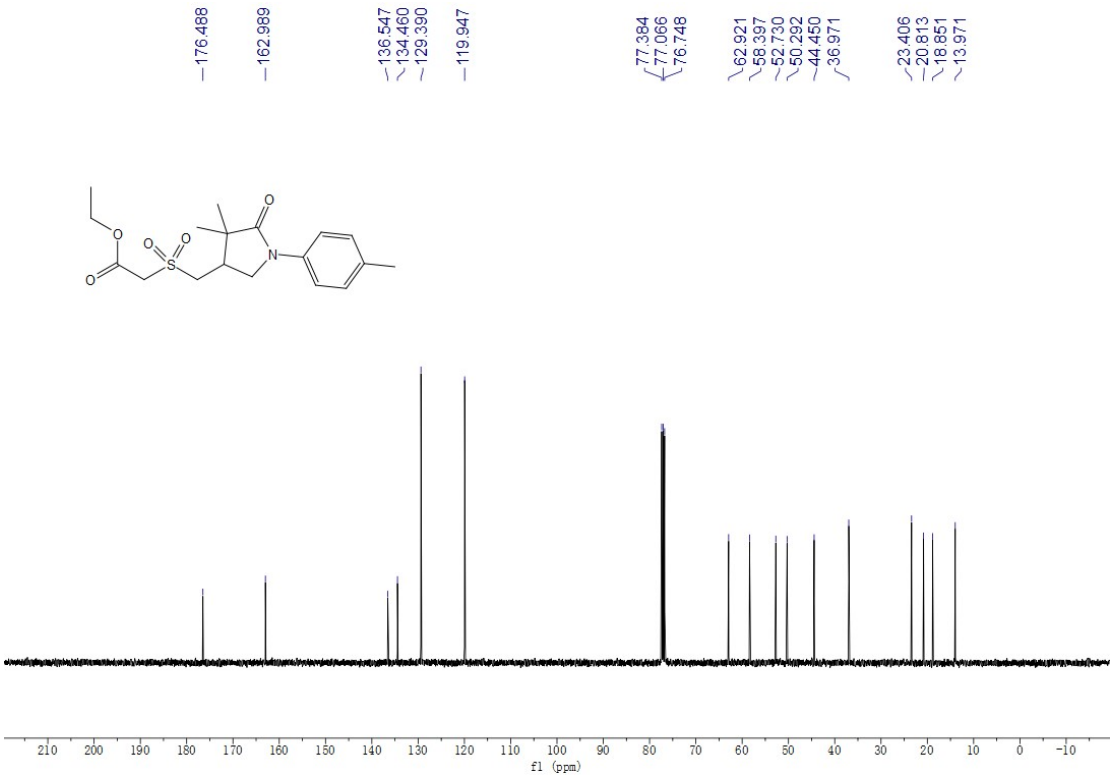
[5] D. P. Hari, J. Waser, *J. Am. Chem. Soc.*, **2017**, *139*, 8420.

[6] N. Tsuchiya, Y. Nakashima, G. Hirata and T. Nishikata, *Tetrahedron Letters*, **2021**, *69*, 152952.

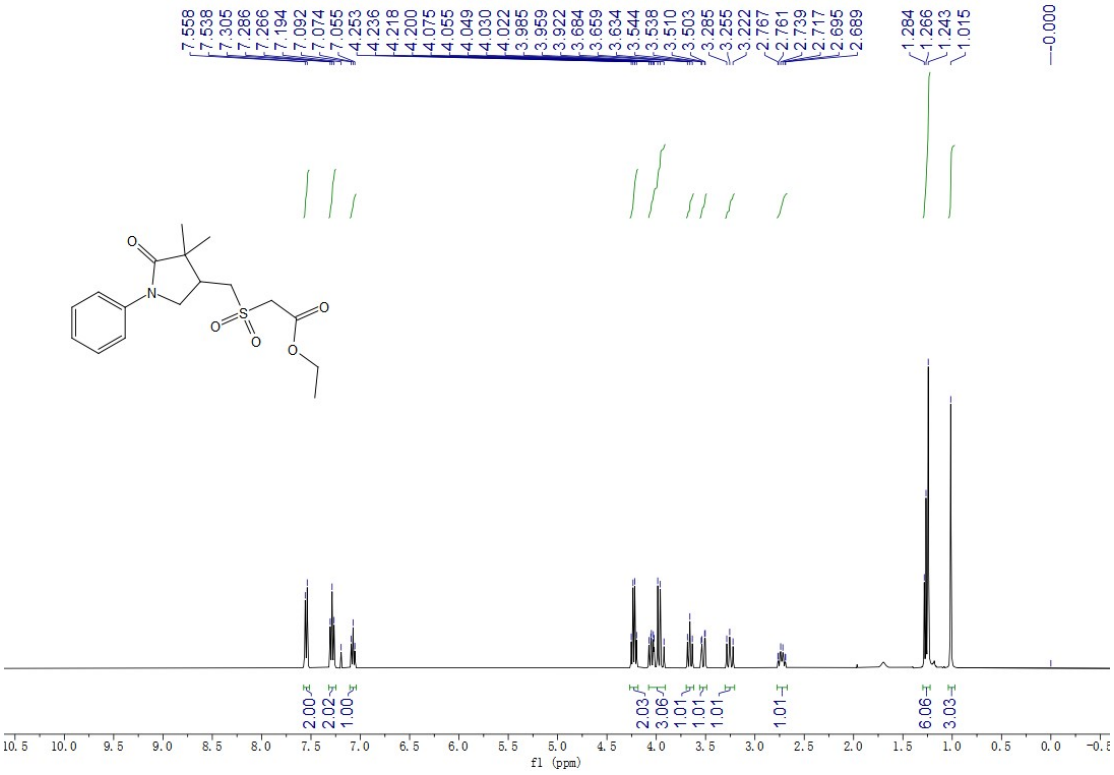
(E) Spectra

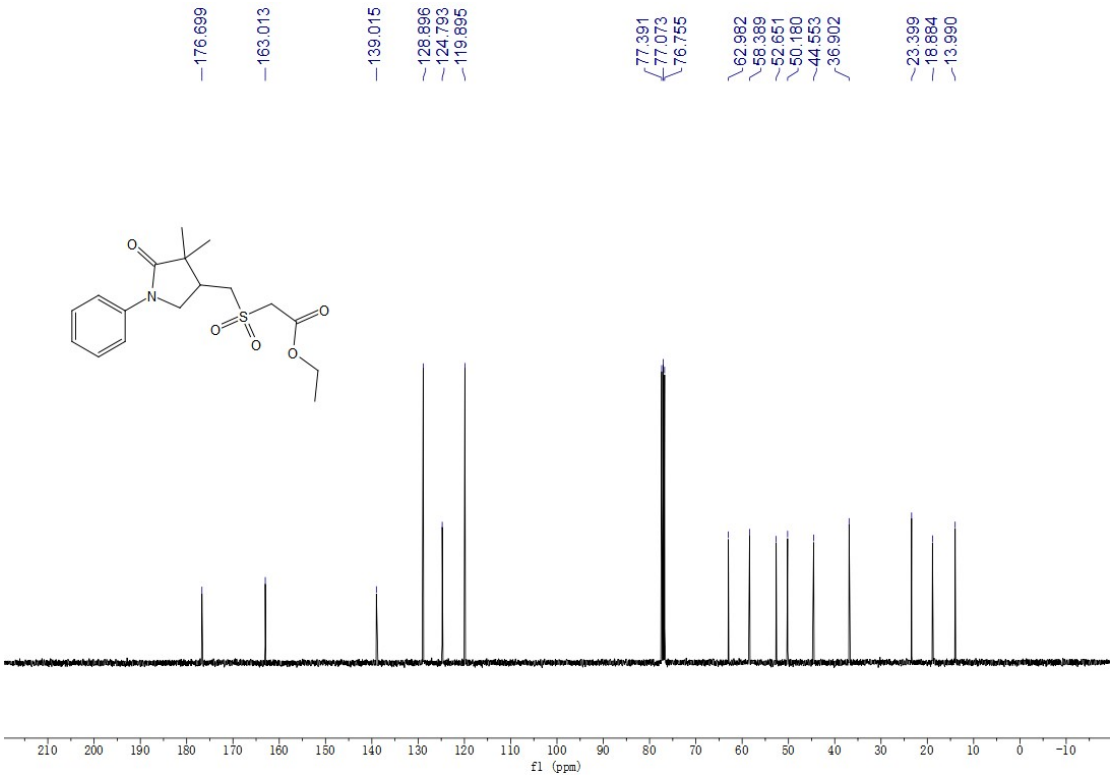
ethyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3aa):



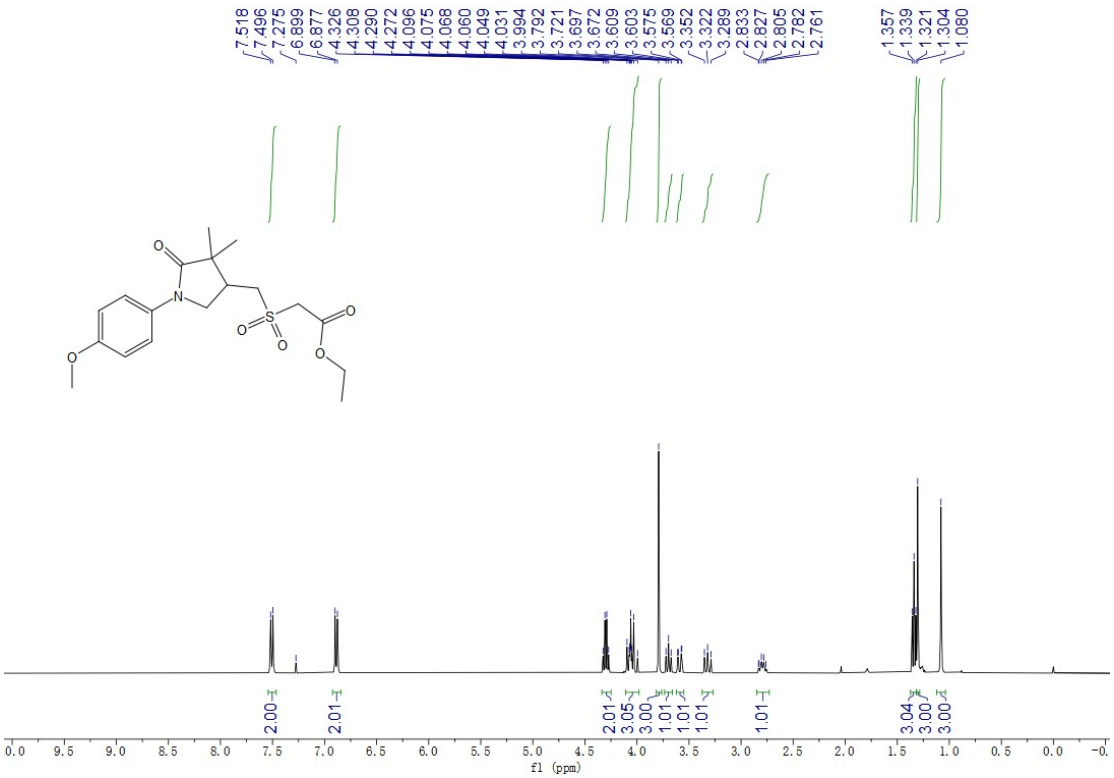


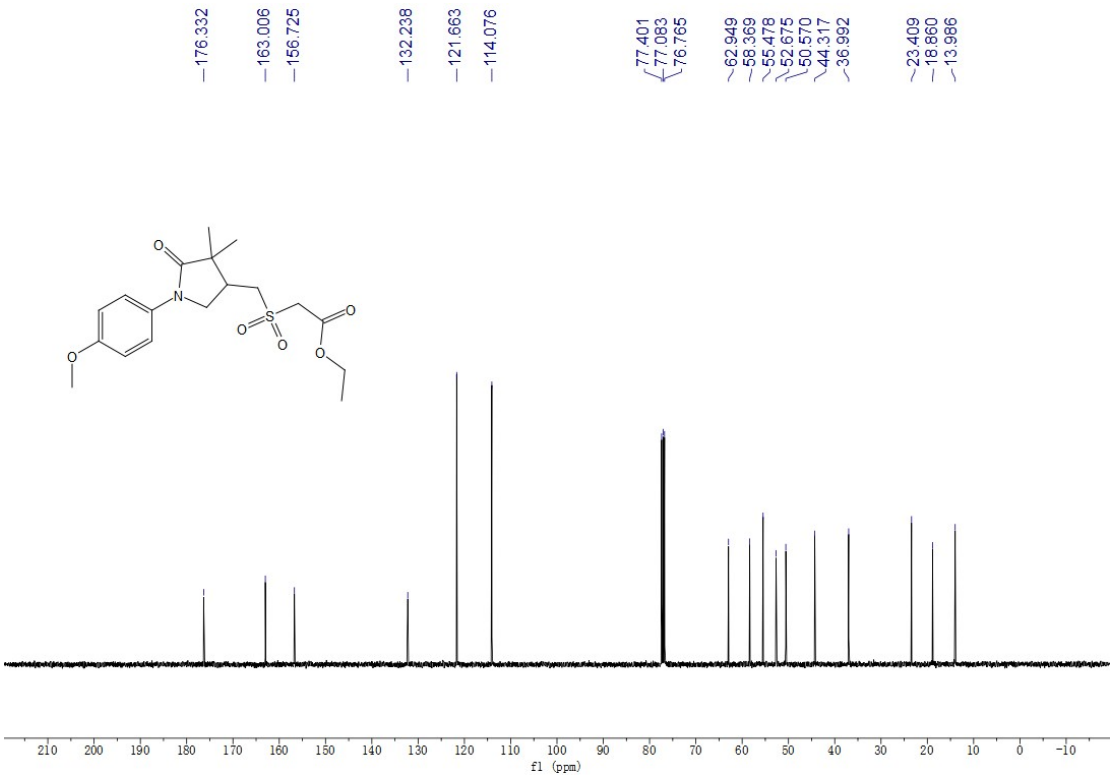
ethyl 2-(((4,4-dimethyl-5-oxo-1-phenylpyrrolidin-3-yl)methyl)sulfonyl)acetate (3ba):



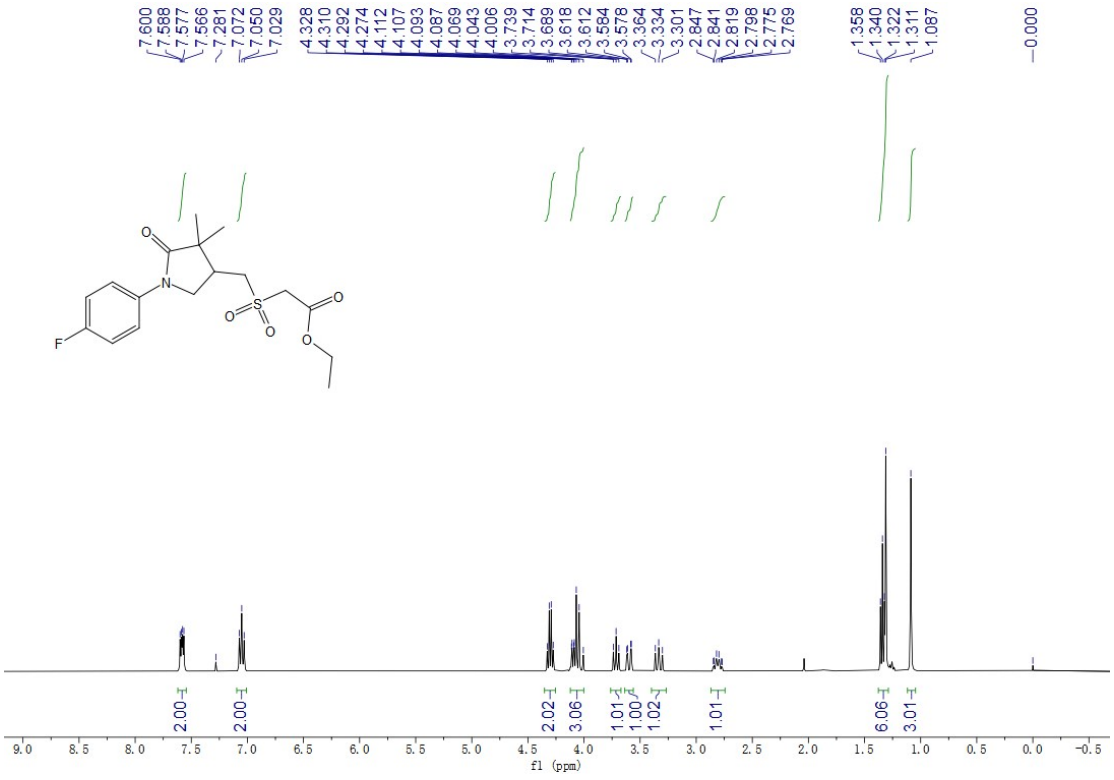


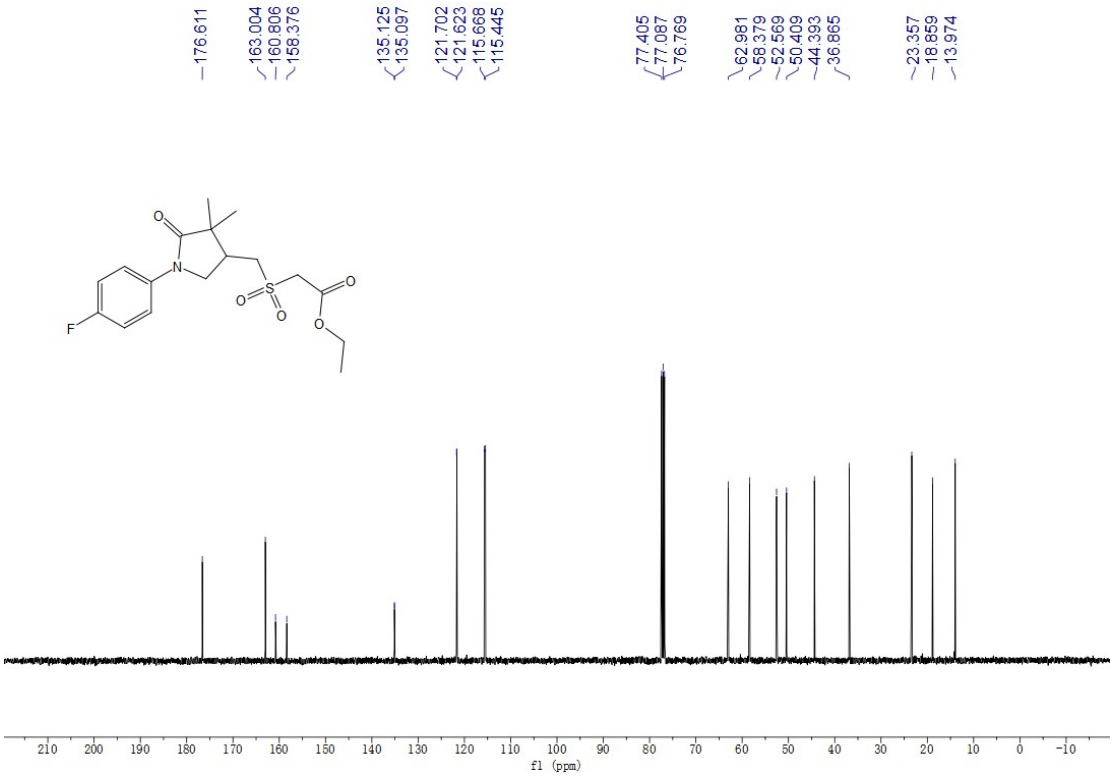
ethyl 2-(((1-(4-methoxyphenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3ca):



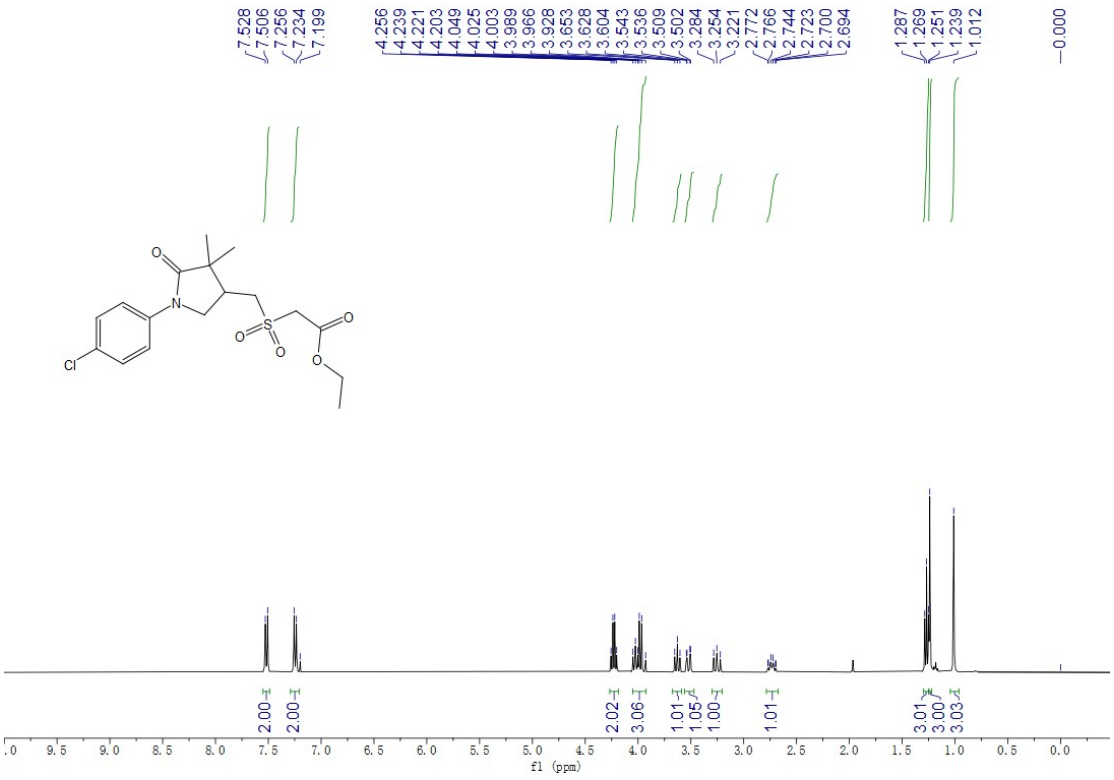


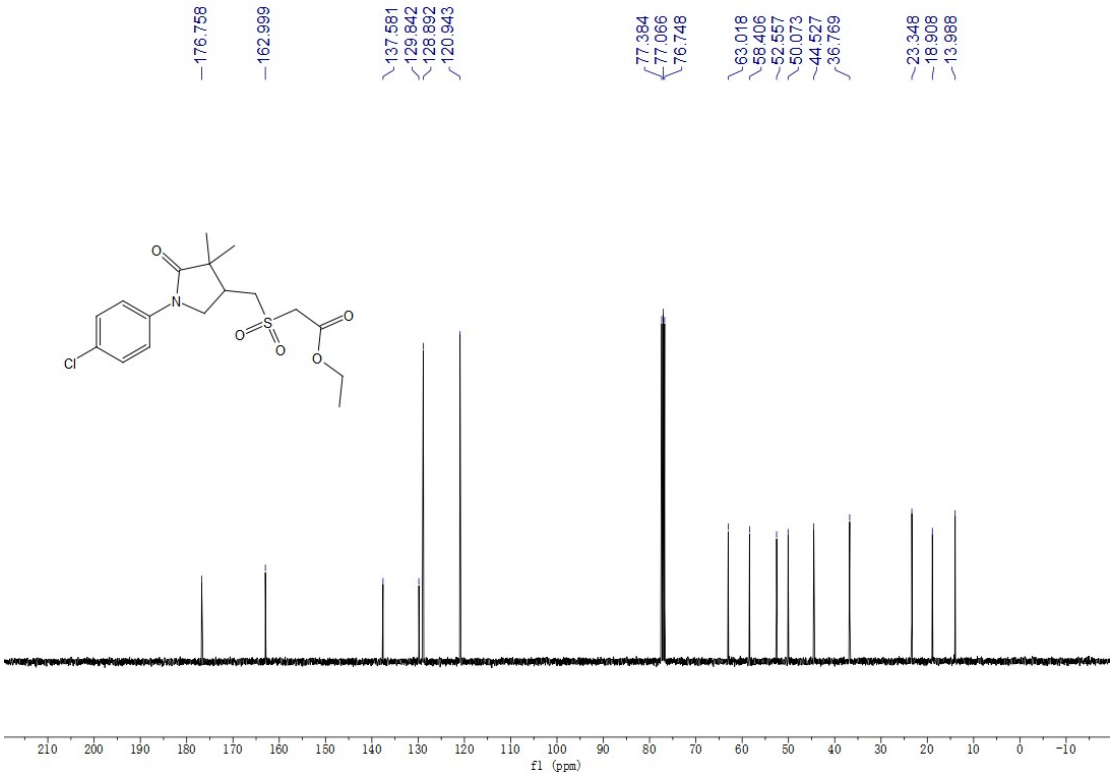
ethyl 2-(((1-(4-fluorophenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl) acetate (3da):



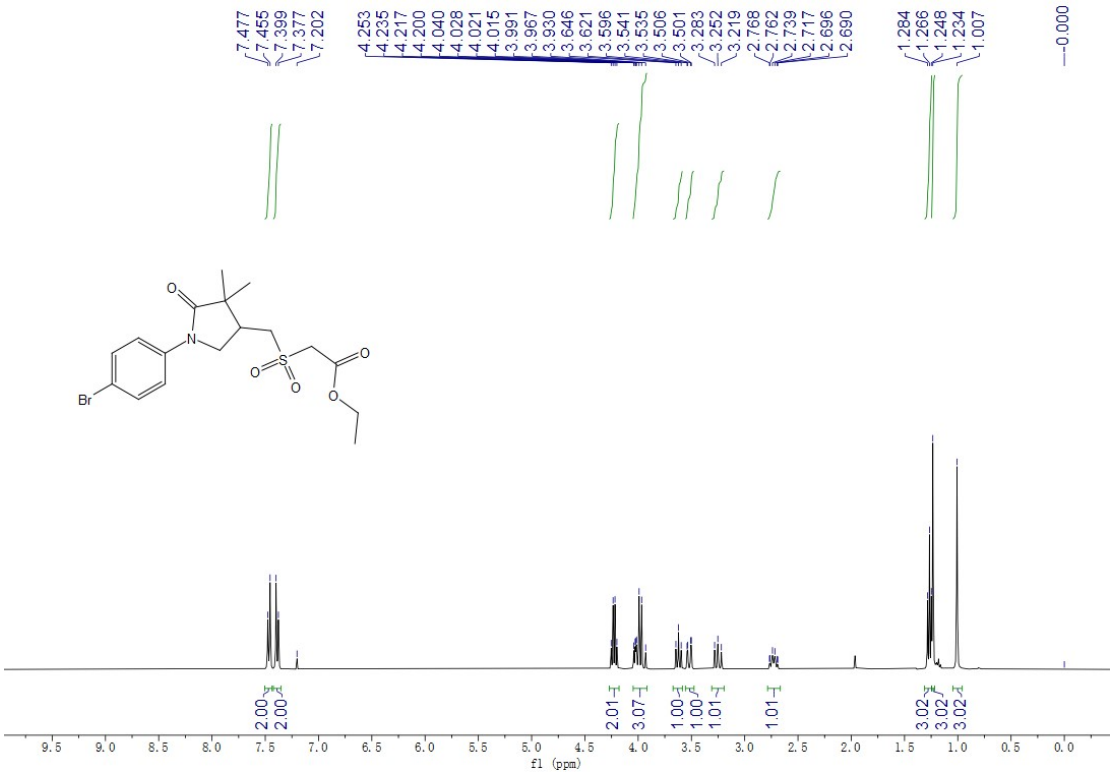


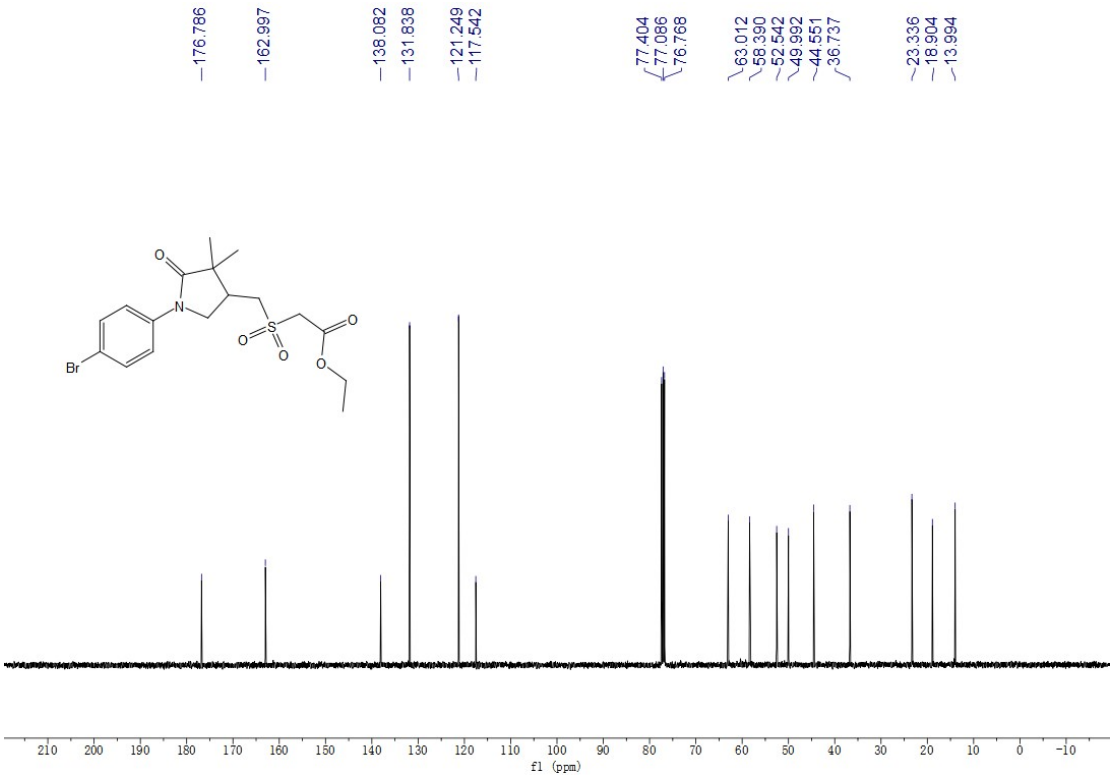
ethyl 2-(((1-(4-chlorophenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl) acetate (3ea):



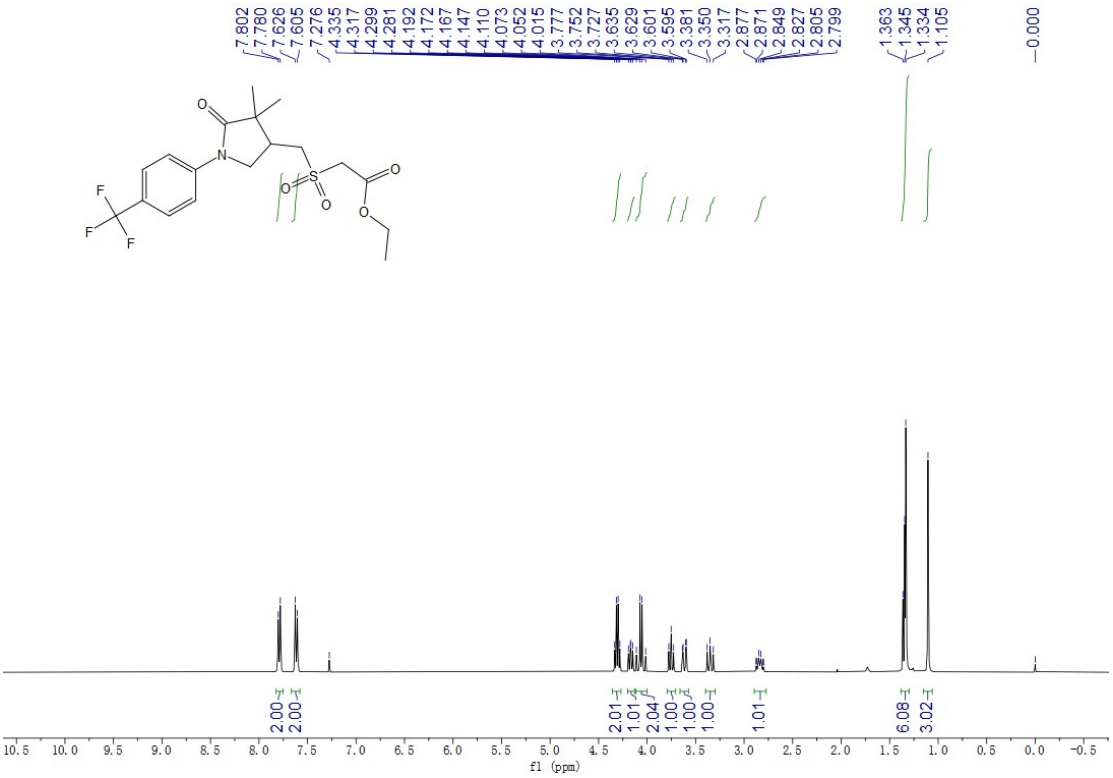


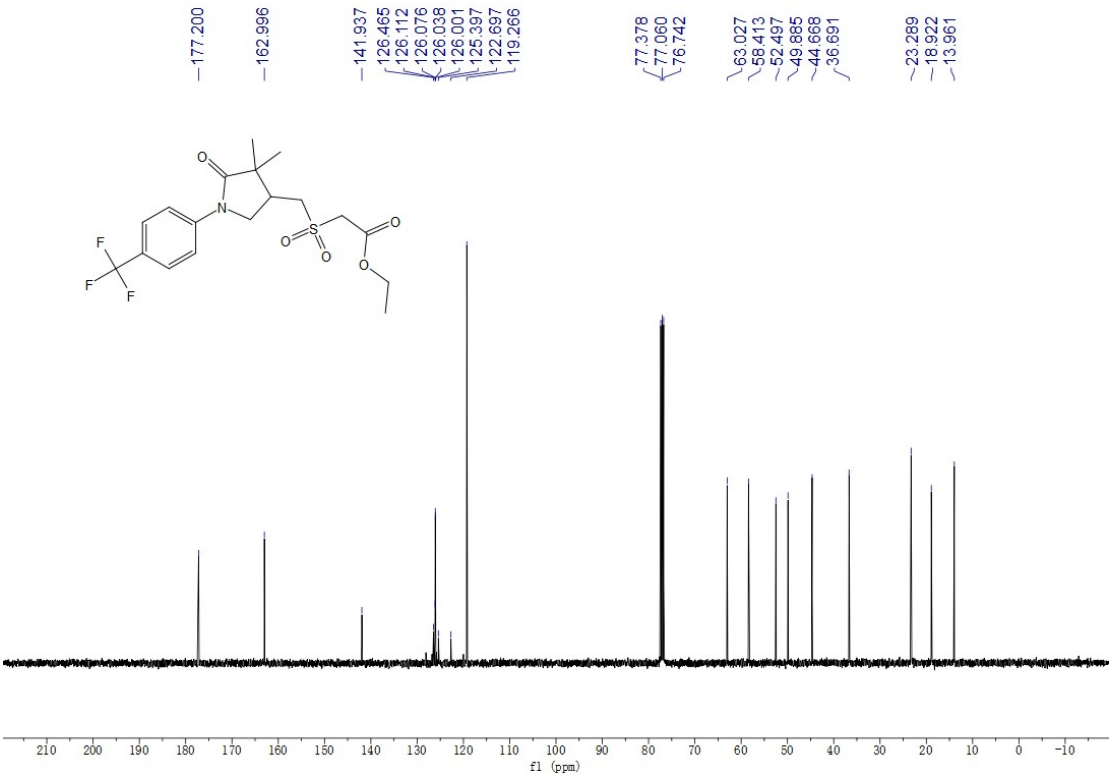
ethyl 2-(((1-(4-bromophenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl) acetate (3fa):



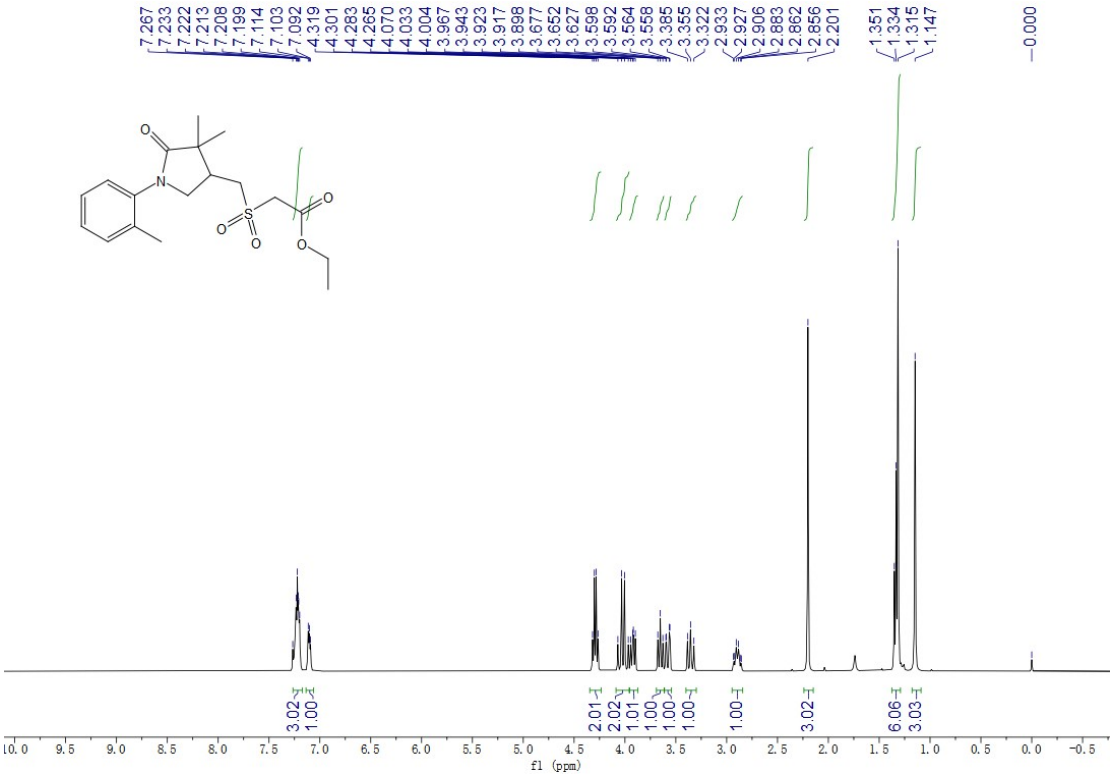


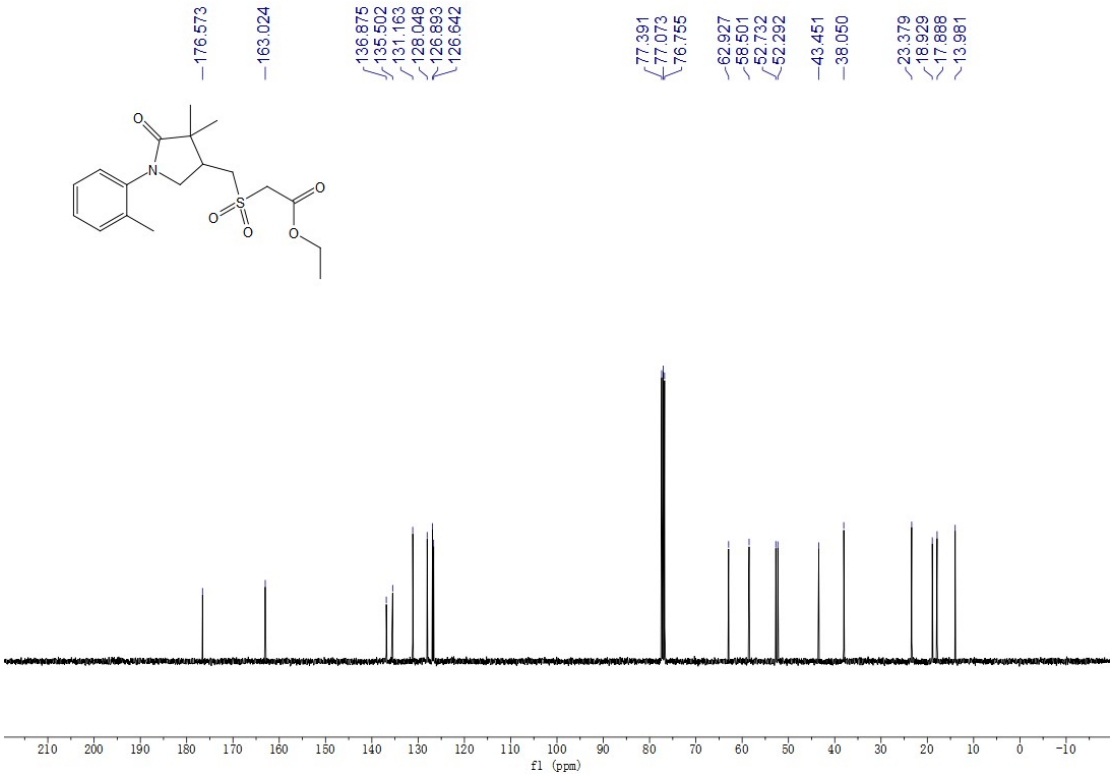
ethyl 2-(((4,4-dimethyl-5-oxo-1-(4-(trifluoromethyl)phenyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ga):


7.802
7.780
7.626
7.605
7.276
4.335
4.317
4.299
4.281
4.192
4.172
4.167
4.147
4.110
4.073
4.052
4.015
3.777
3.752
3.727
3.635
3.629
3.601
3.595
3.381
3.350
3.317
2.877
2.871
2.849
2.827
2.805
2.799
1.363
1.345
1.334
1.105
0.000
2.00
2.00
2.01
1.01
2.04
1.00
1.00
1.01
6.08
3.02
f1 (ppm)

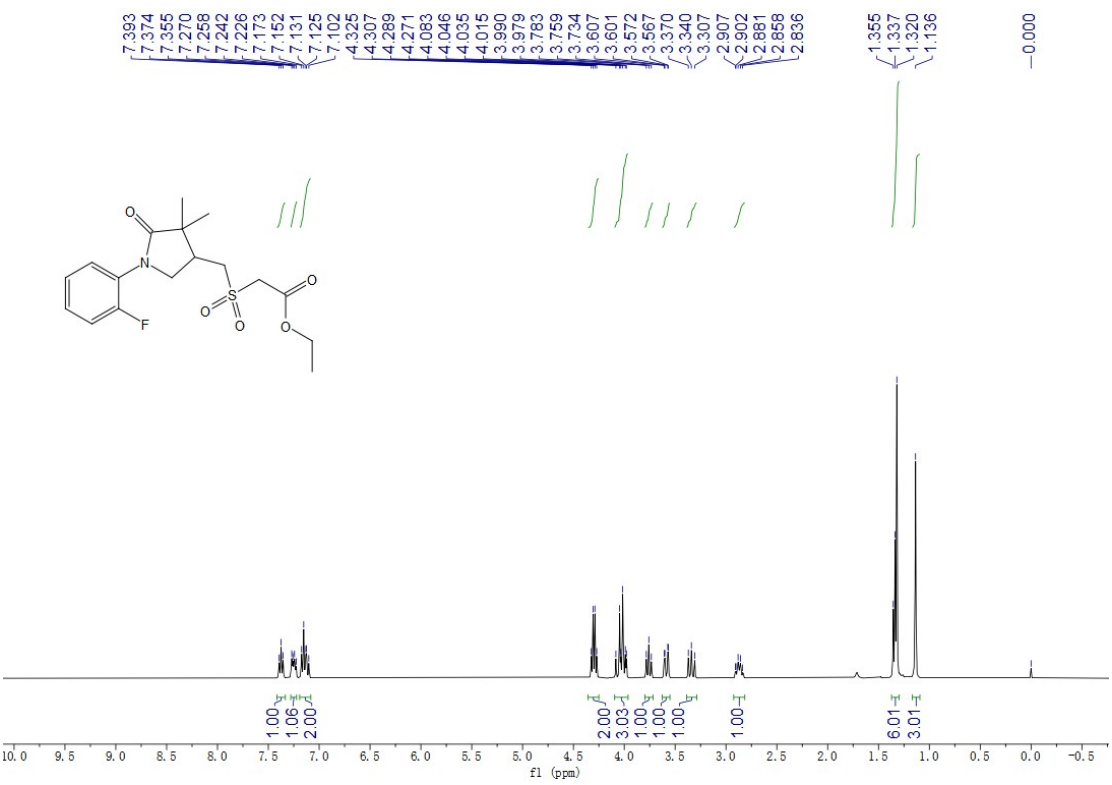

177.200
162.996
141.937
126.465
126.112
126.076
126.038
126.001
125.397
122.697
119.266
77.378
77.060
76.742
63.027
58.413
52.497
49.885
44.668
36.691
23.289
18.922
13.961
f1 (ppm)

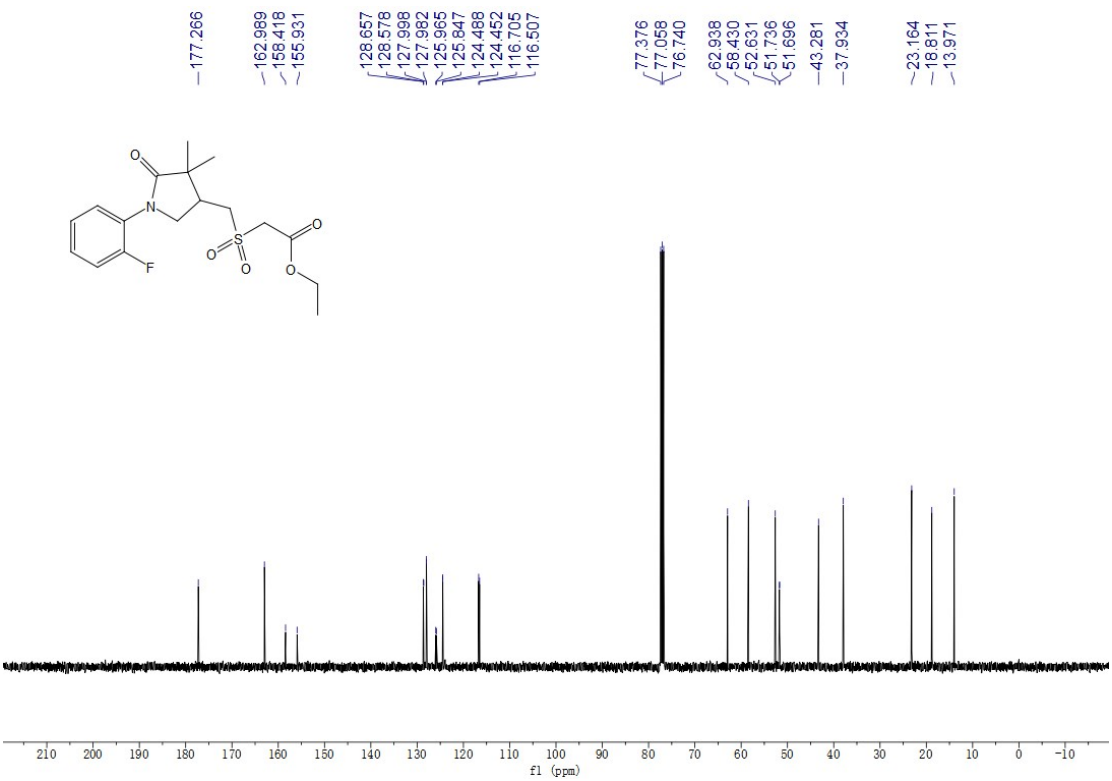
ethyl 2-(((4,4-dimethyl-5-oxo-1-(o-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ha):



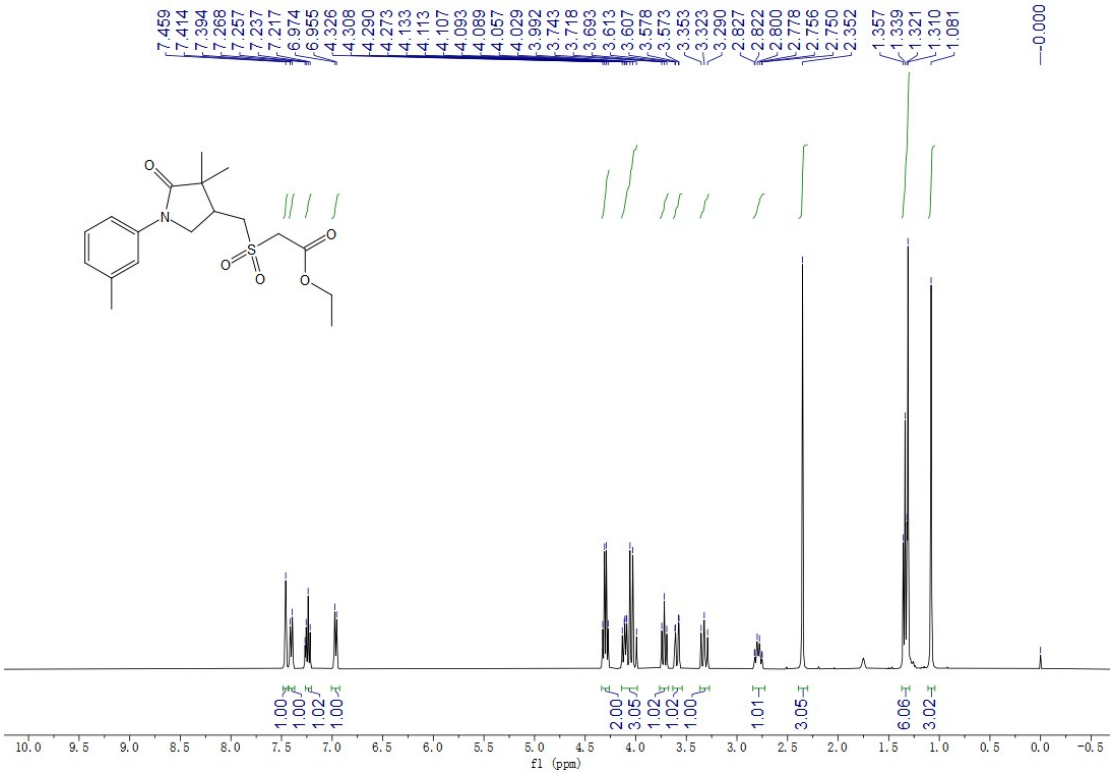


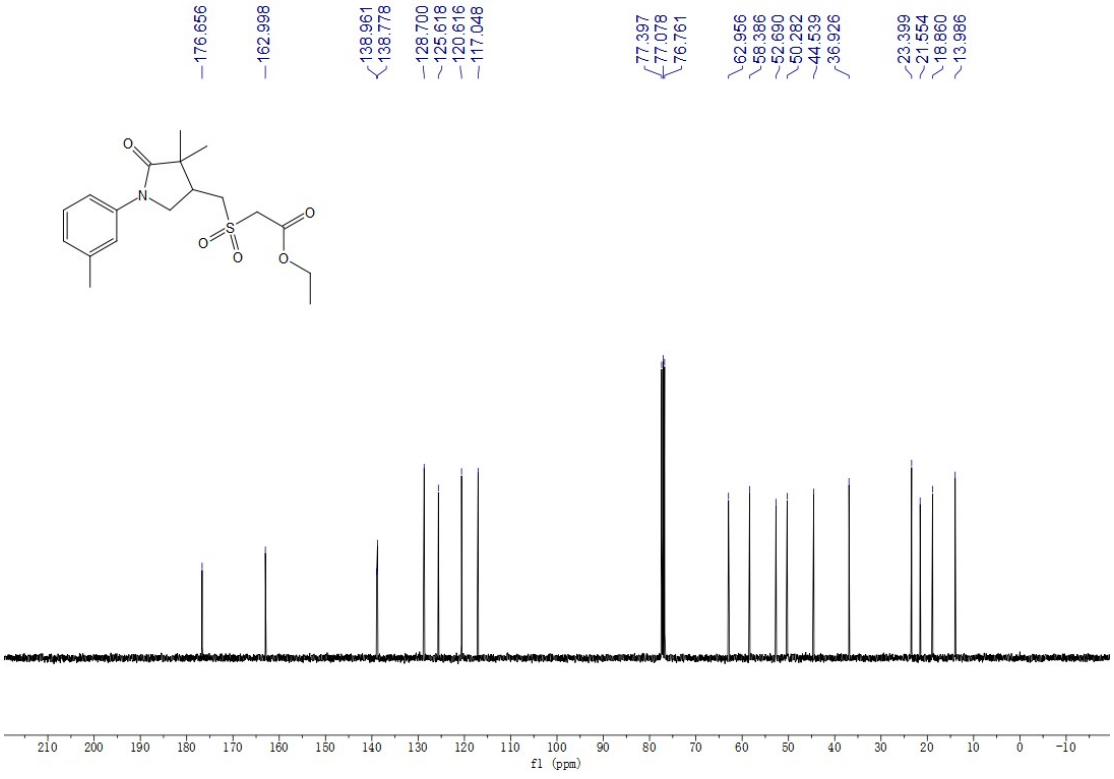
ethyl 2-(((1-(2-fluorophenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl) acetate (3ia):



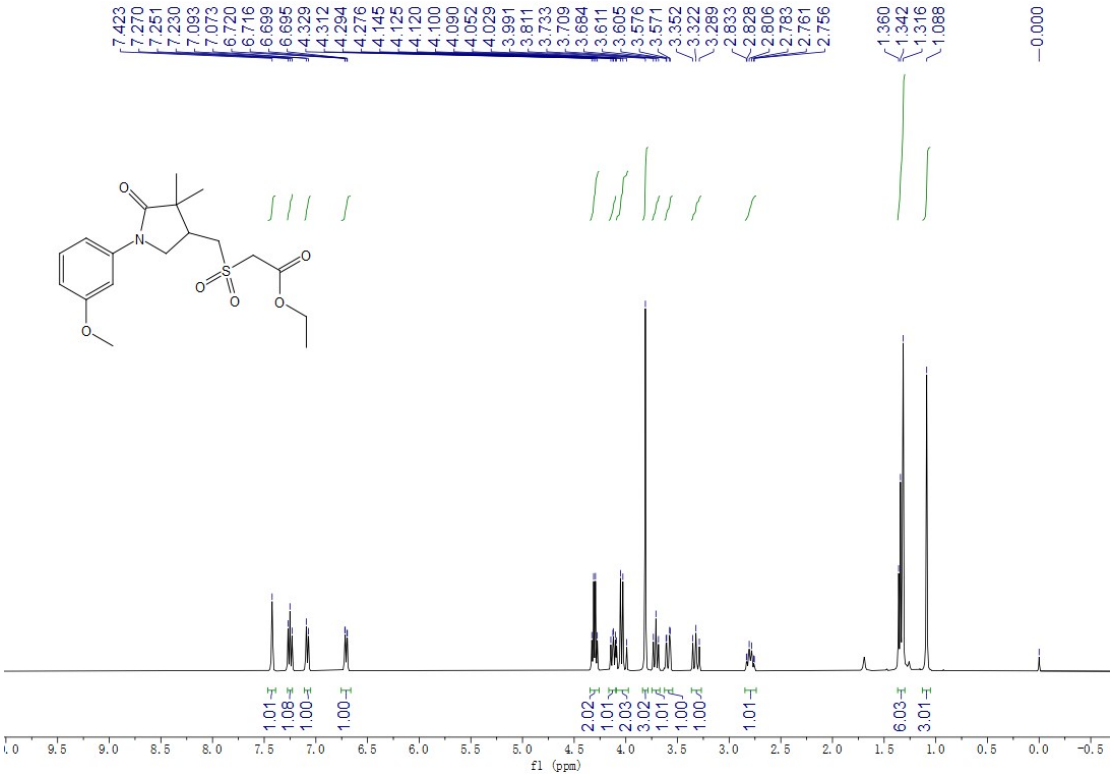


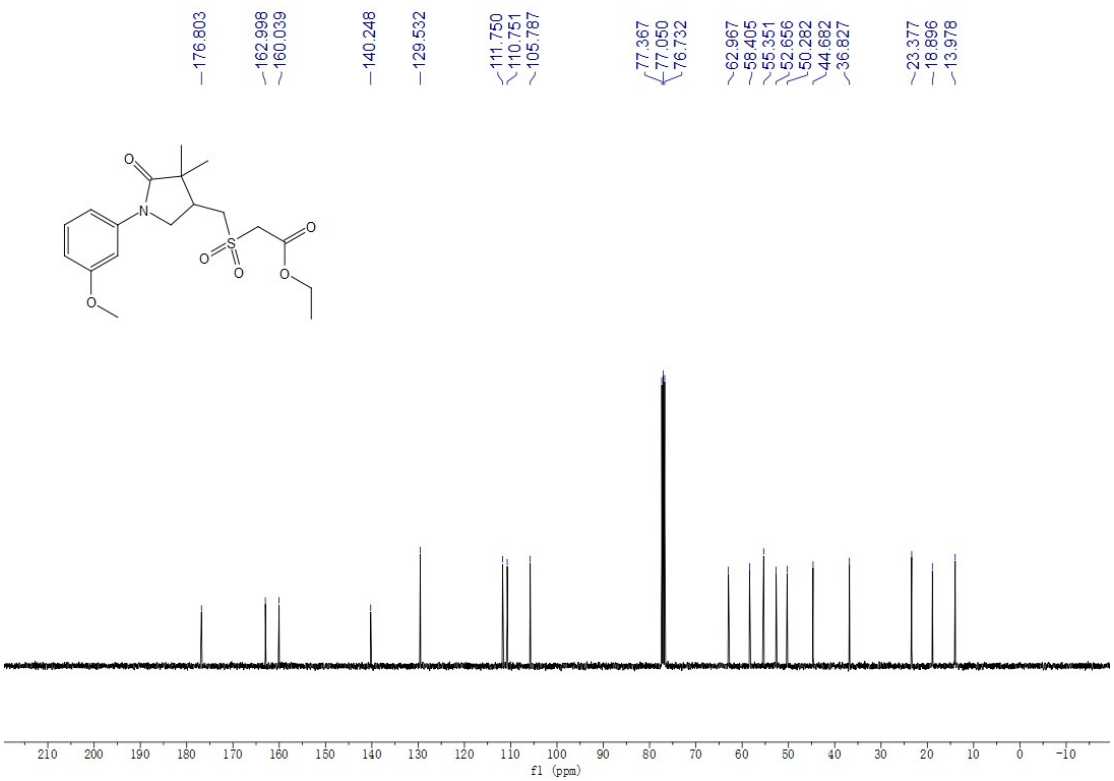
ethyl 2-(((4,4-dimethyl-5-oxo-1-(m-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ja):



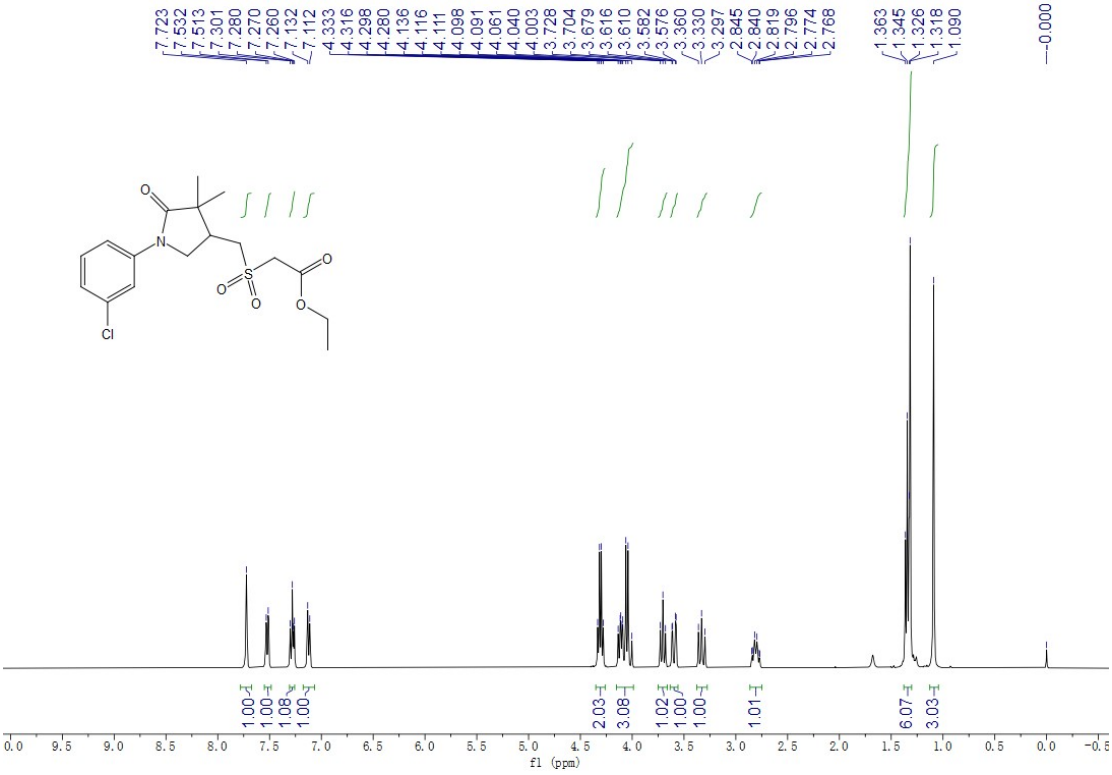


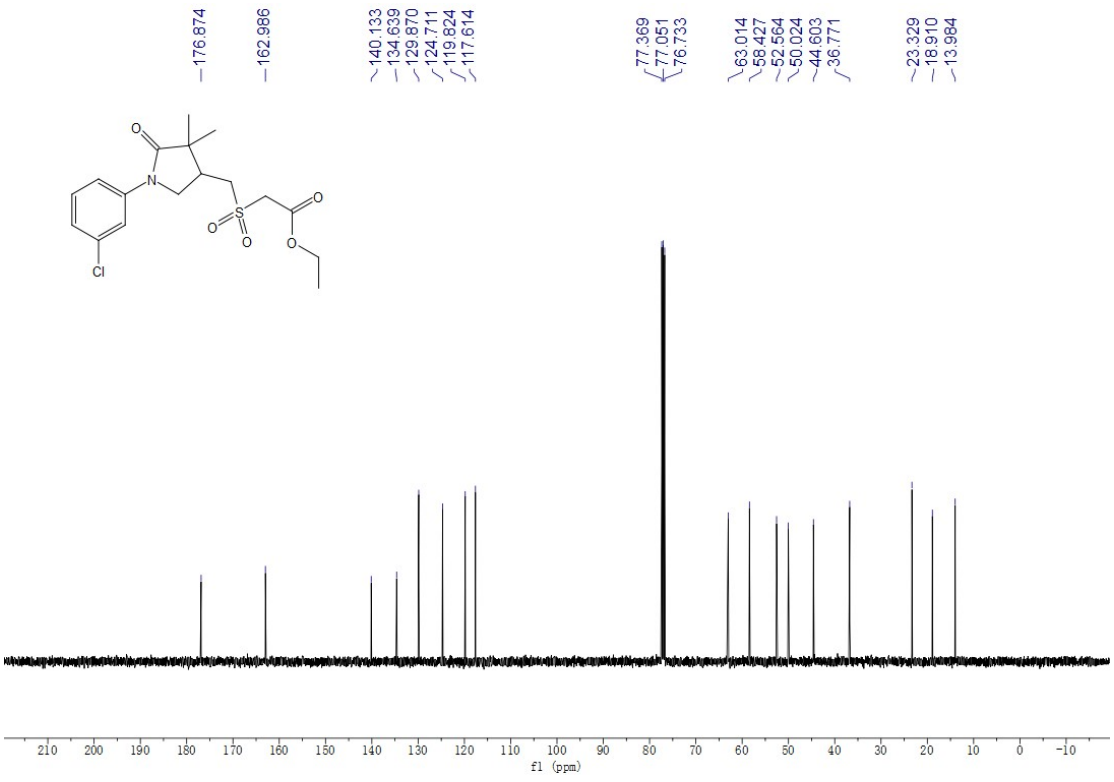
ethyl 2-(((1-(3-methoxyphenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3ka):



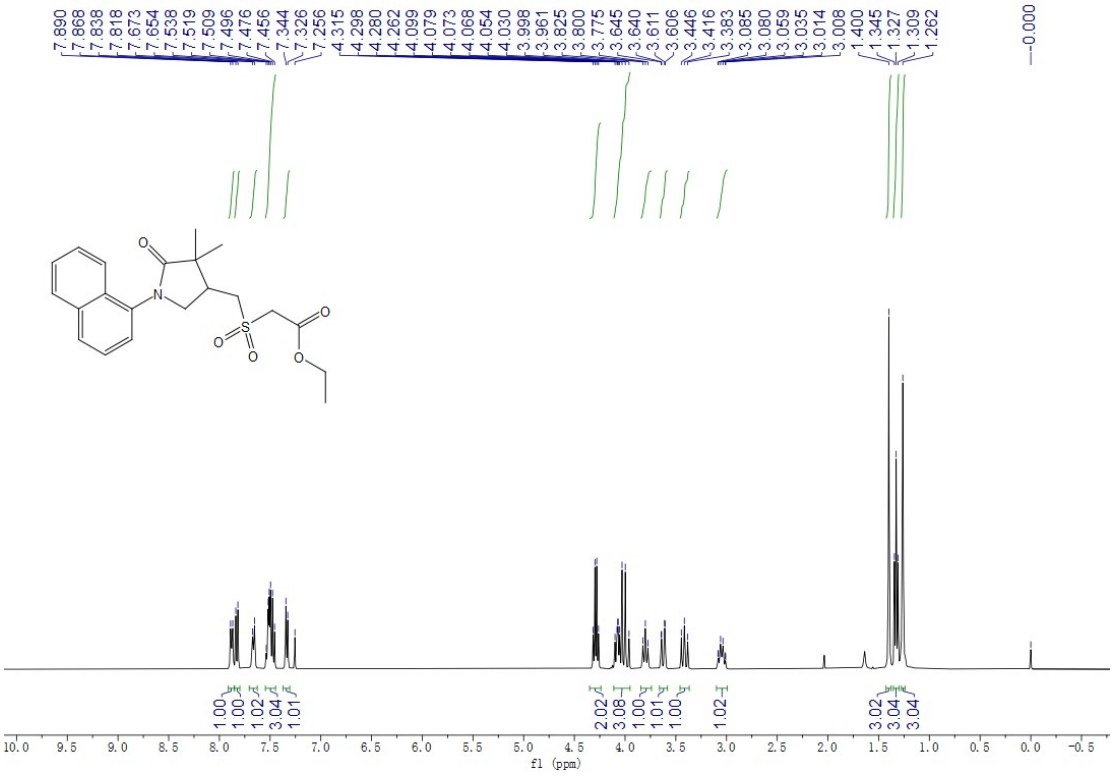


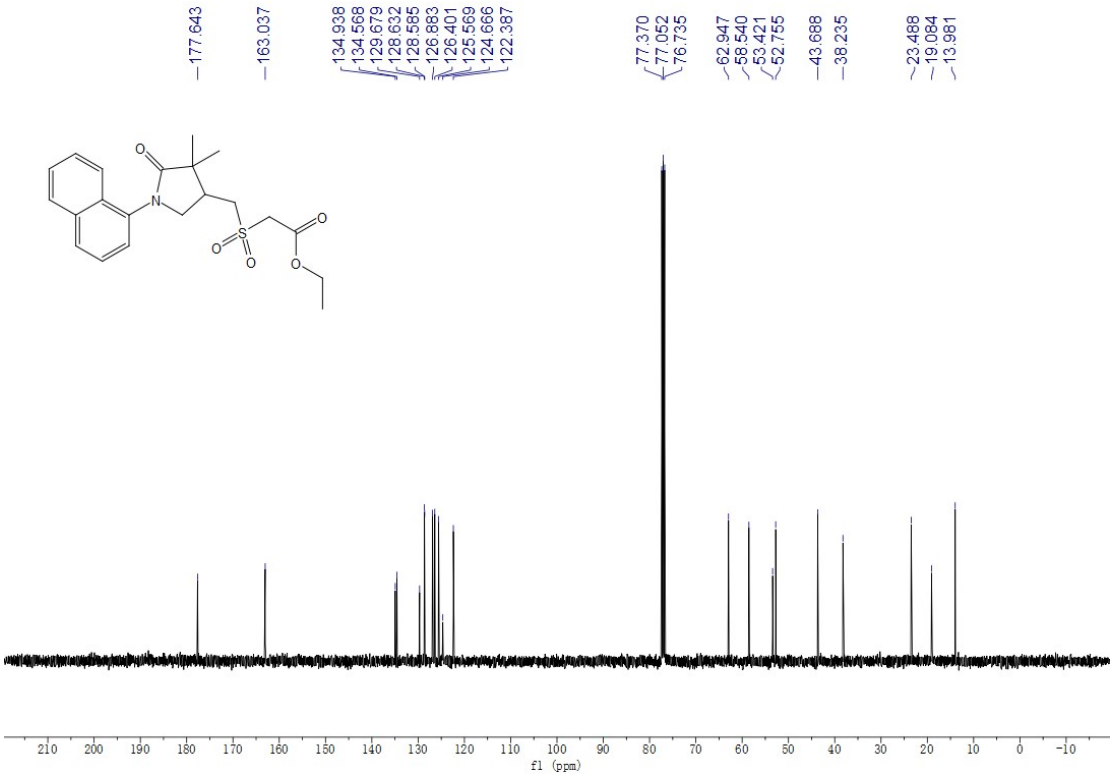
ethyl 2-(((1-(3-chlorophenyl)-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl) acetate (3la):



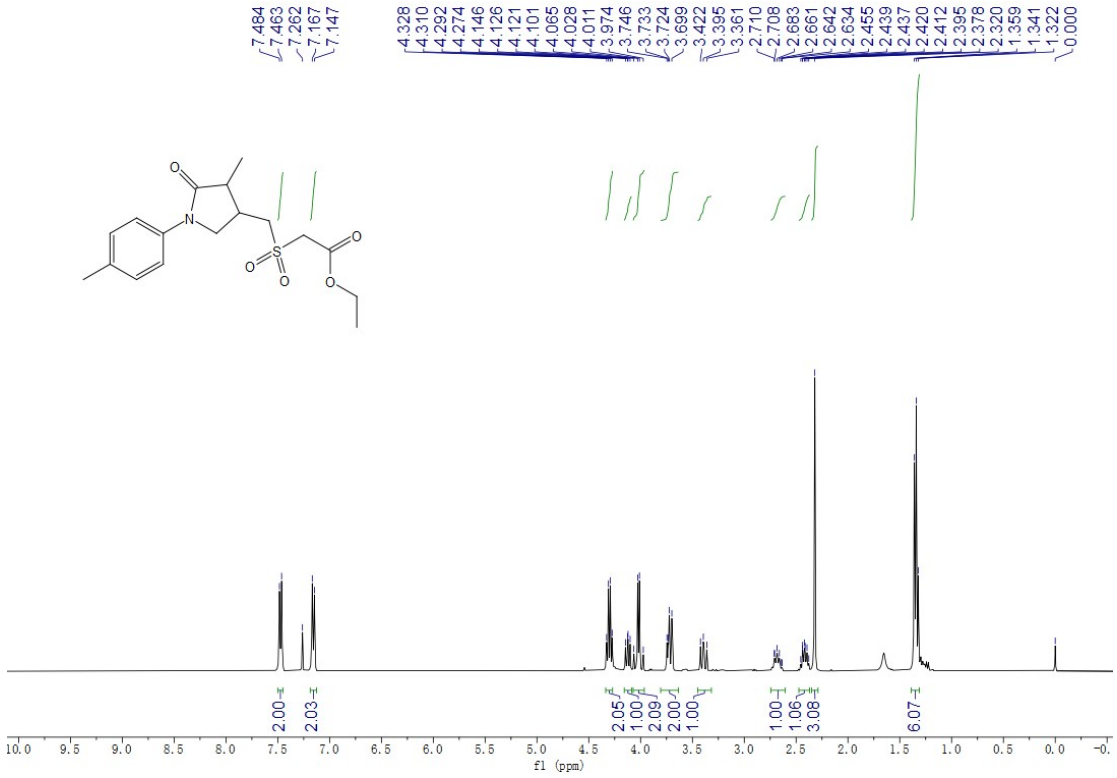


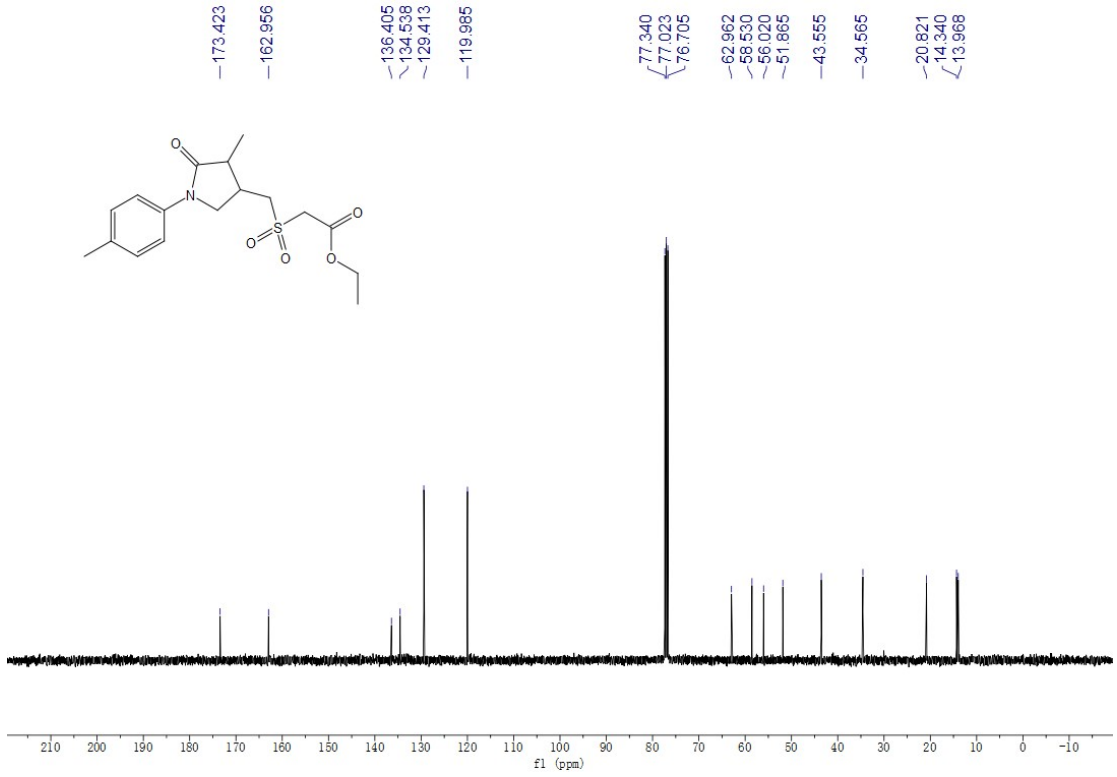
ethyl 2-(((4,4-dimethyl-1-(naphthalen-1-yl)-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3ma):



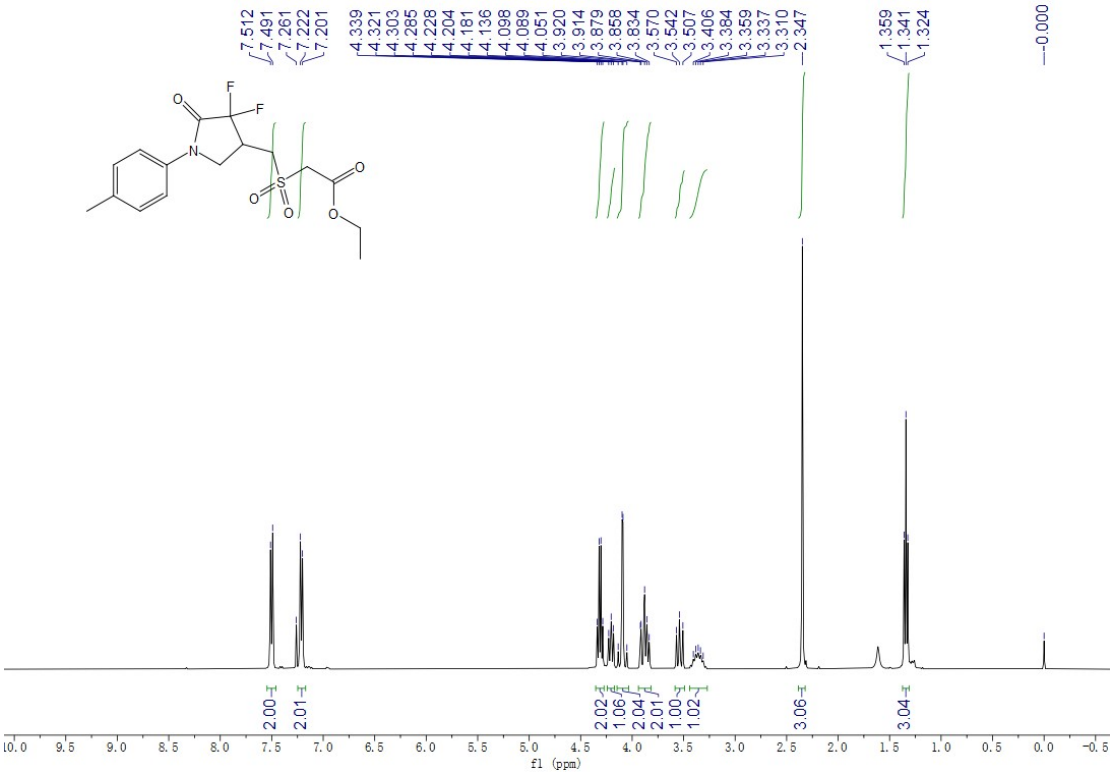


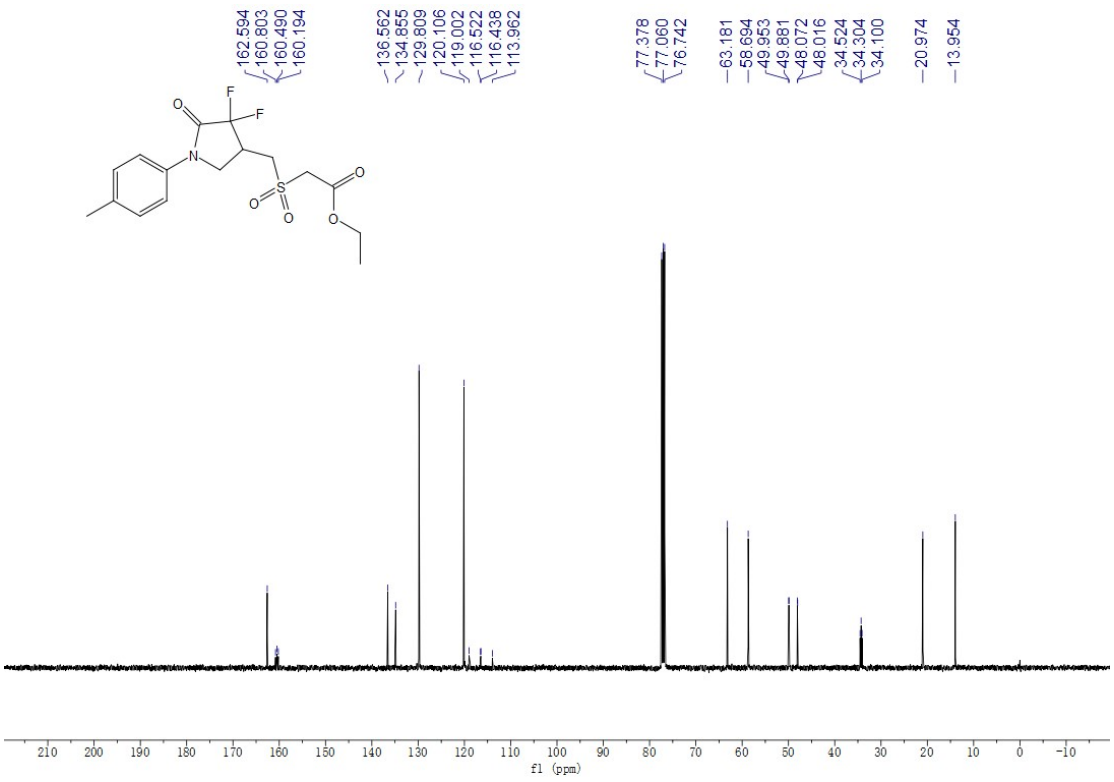
ethyl 2-(((4-methyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate 3(oa):



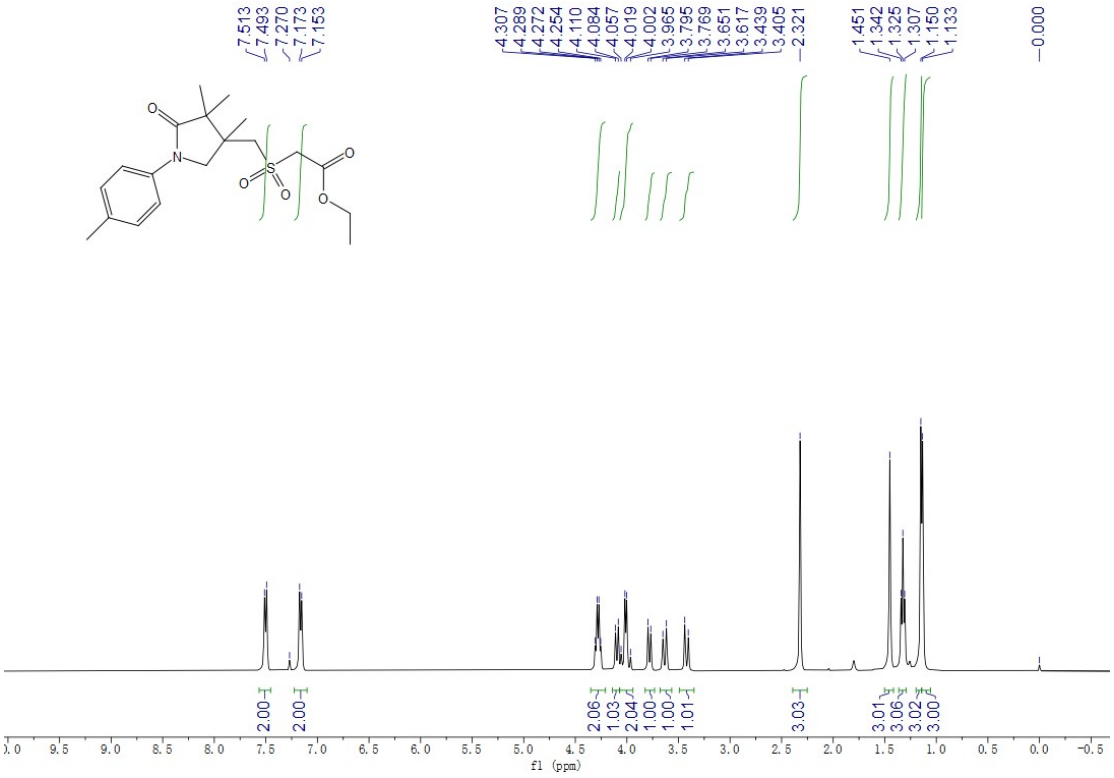


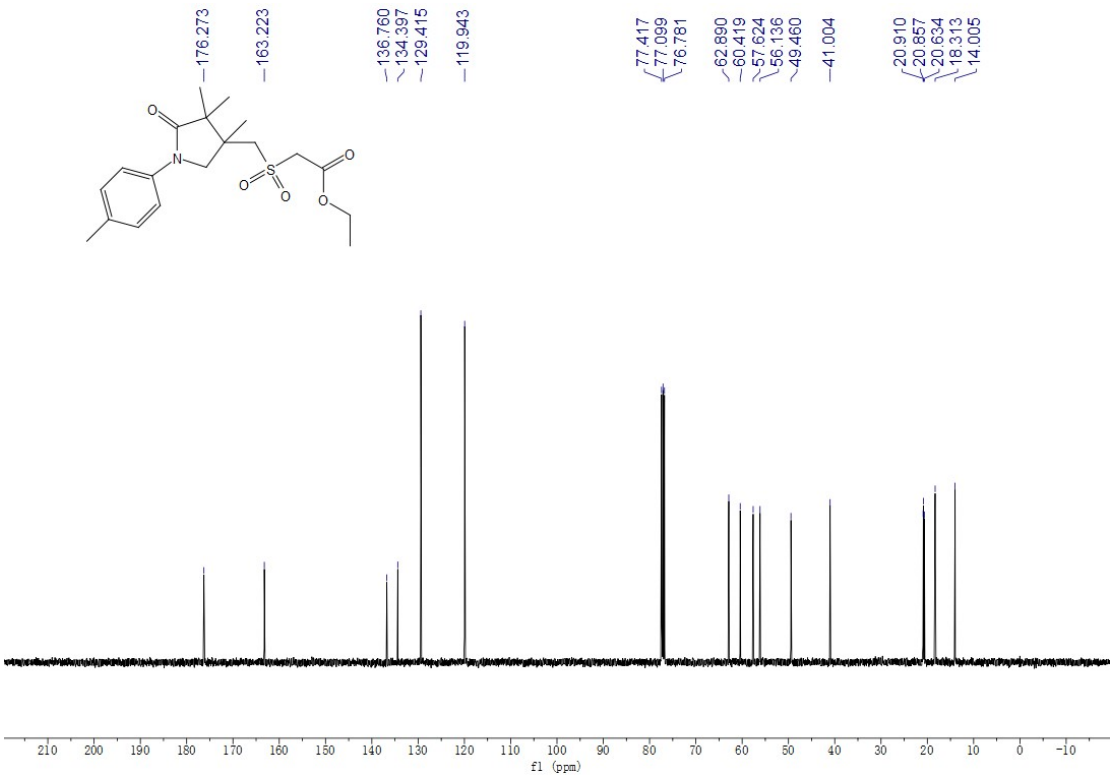
ethyl 2-(((4,4-difluoro-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3pa):



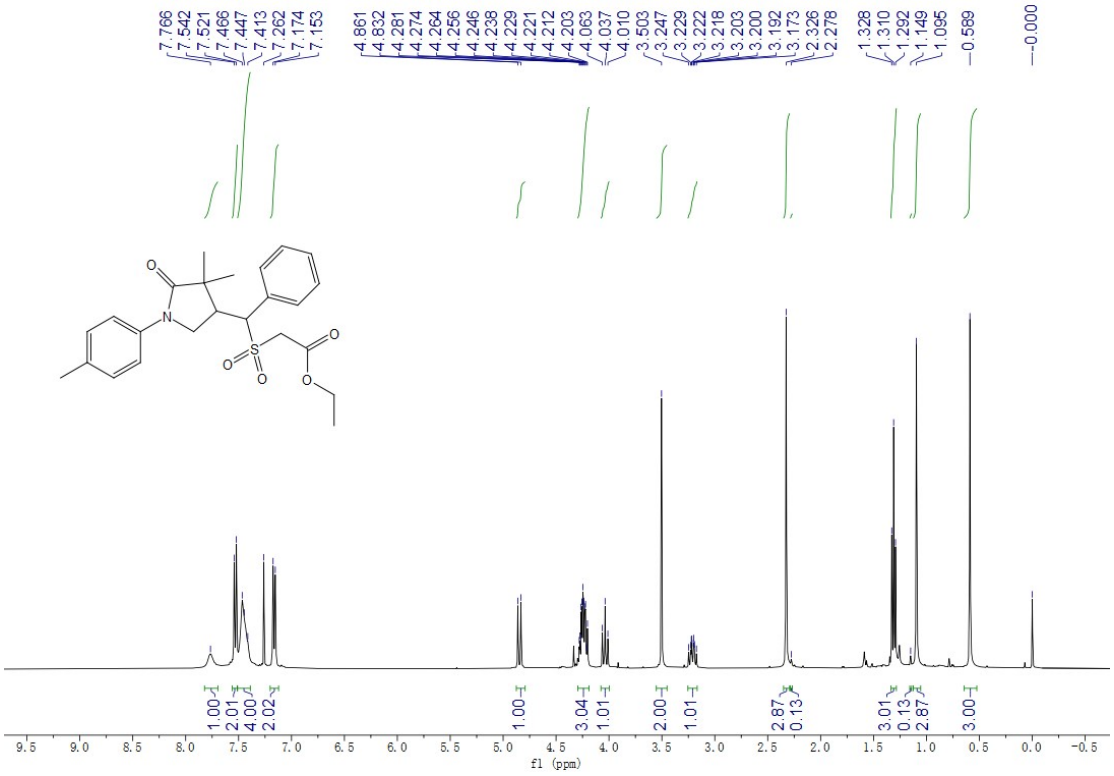


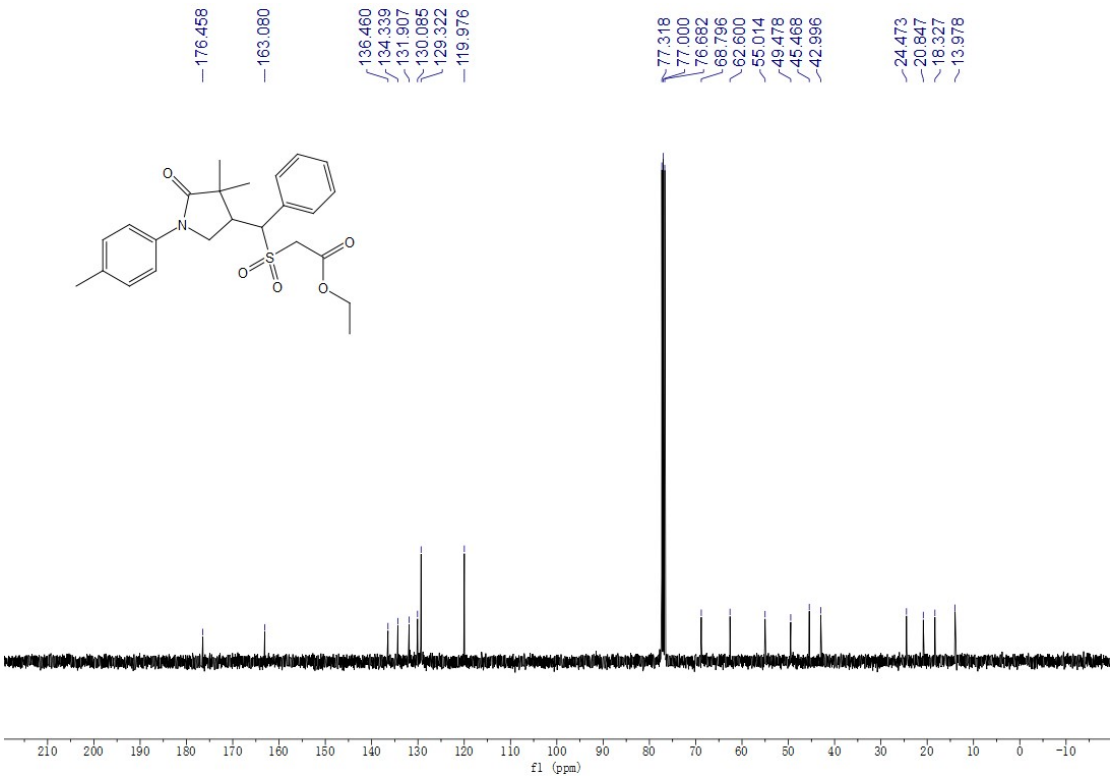
ethyl 2-(((3,4,4-trimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetat-e (3qa):



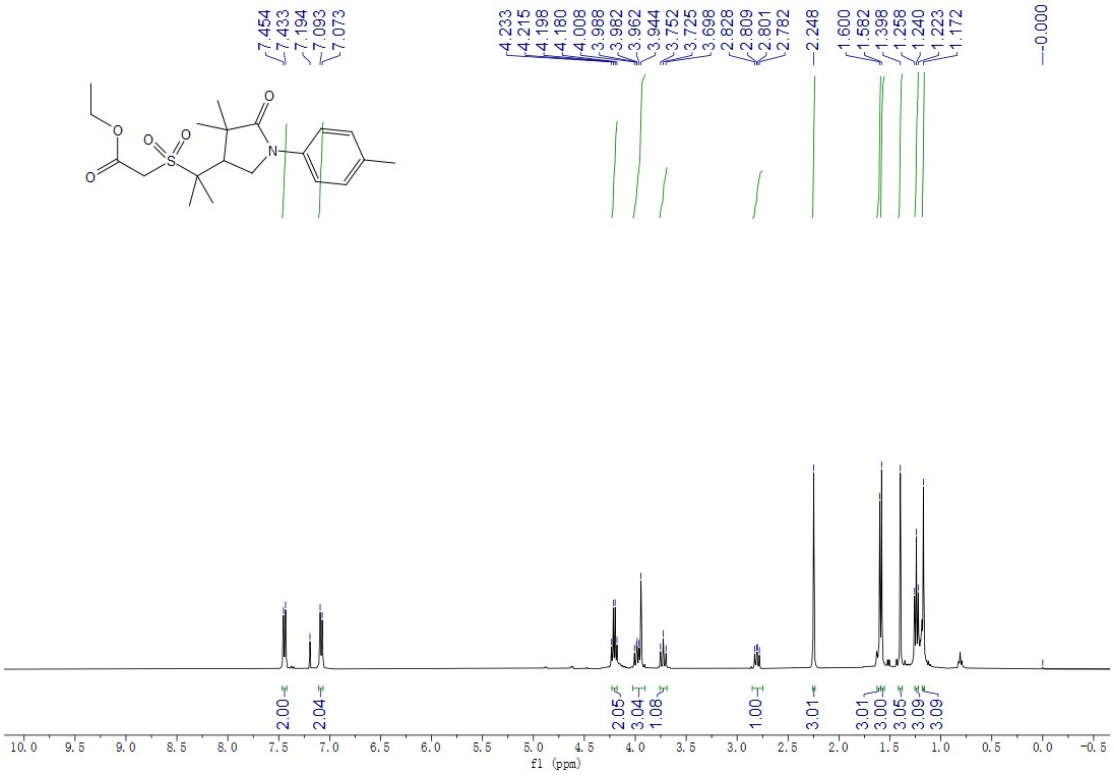


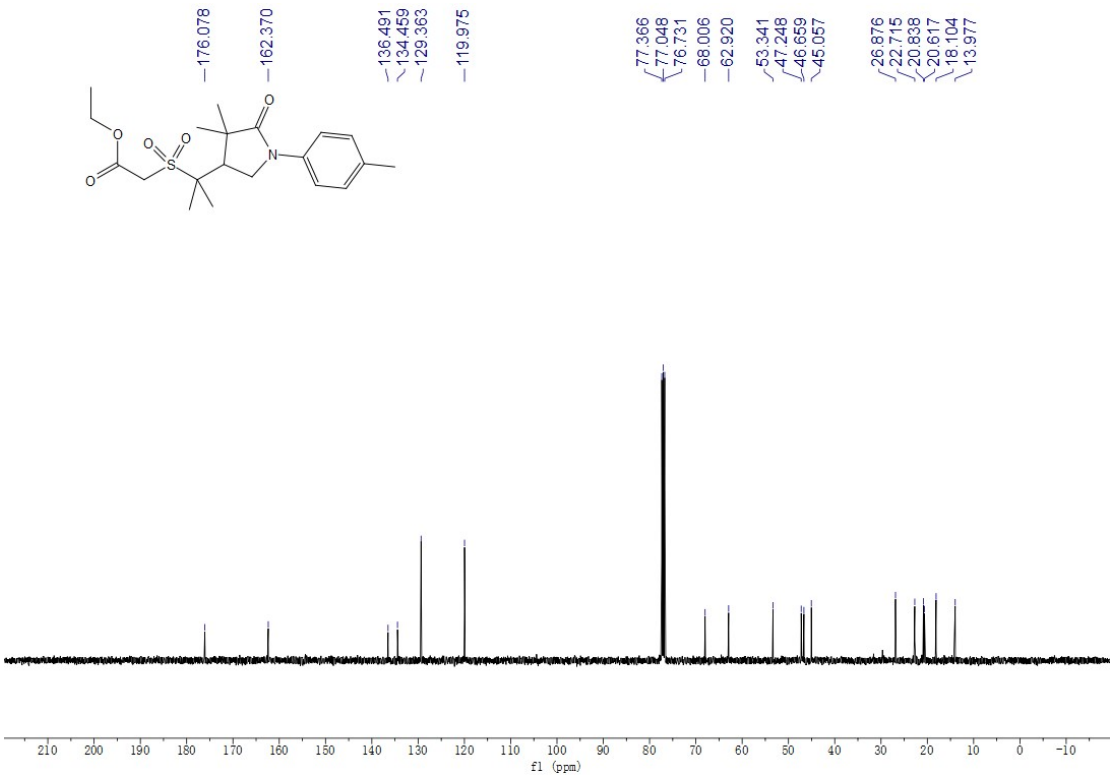
ethyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)(phenyl)methyl)sulfonyl) acetate (3ra) (dr > 20:1):



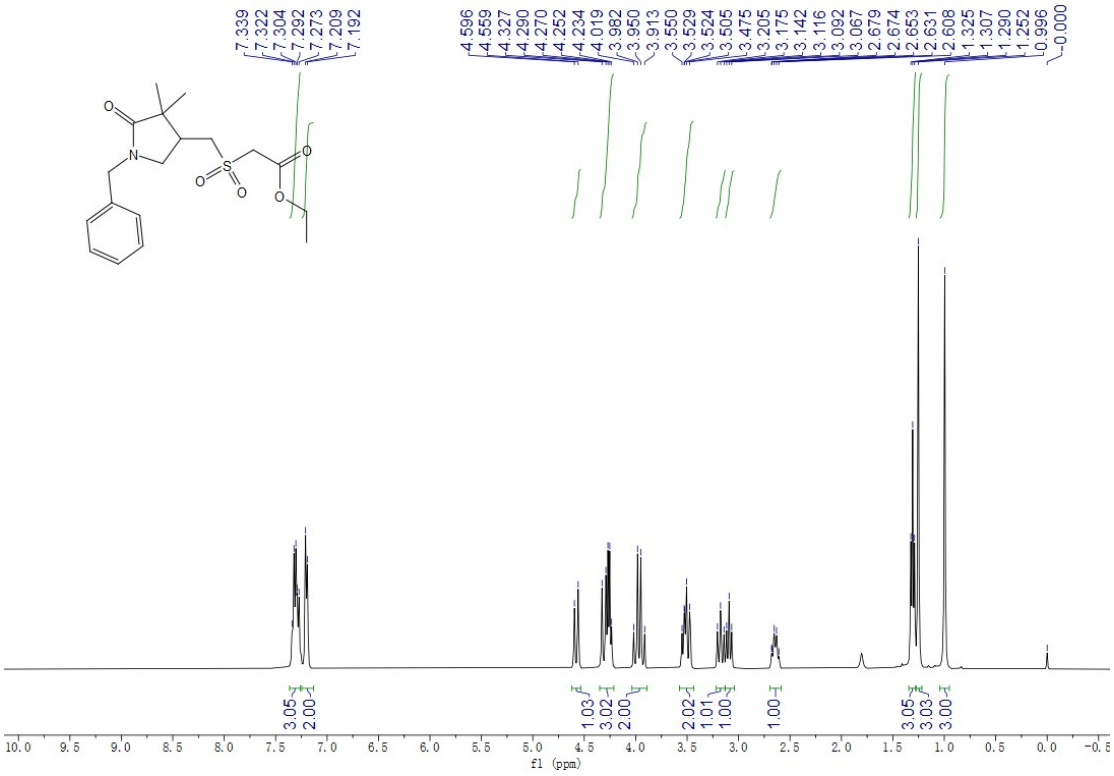


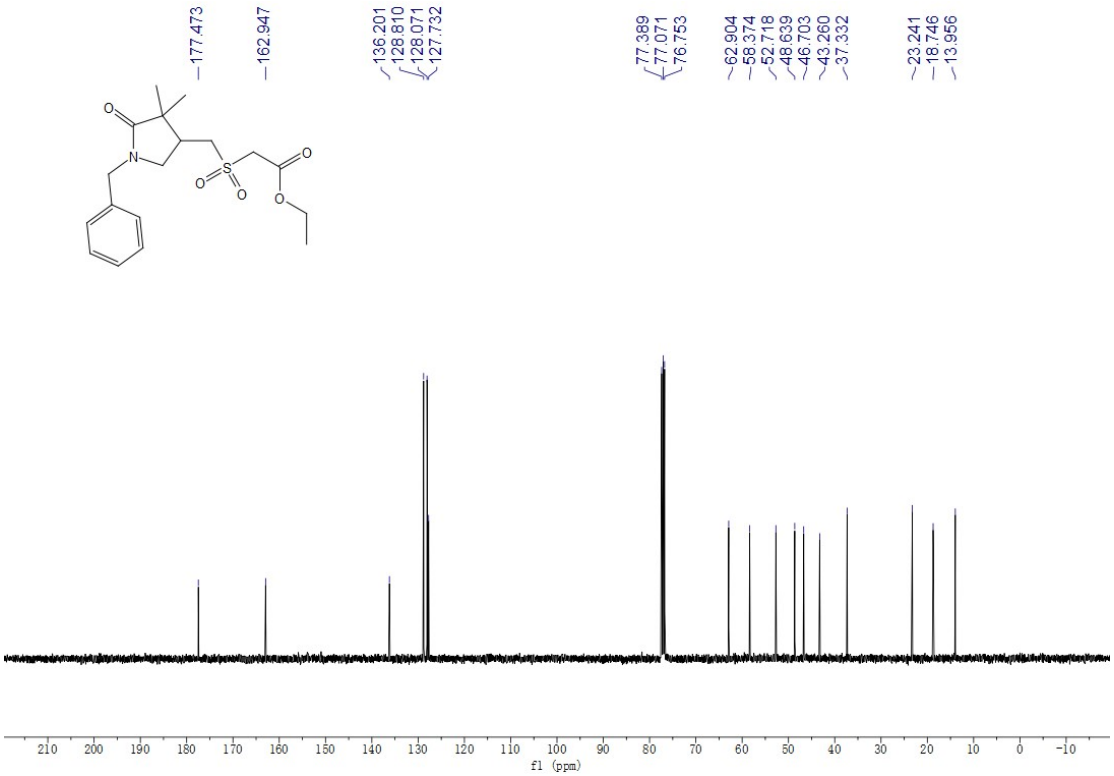
ethyl 2-((2-(4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)propan-2-yl)sulfonyl) acetate (3sa):



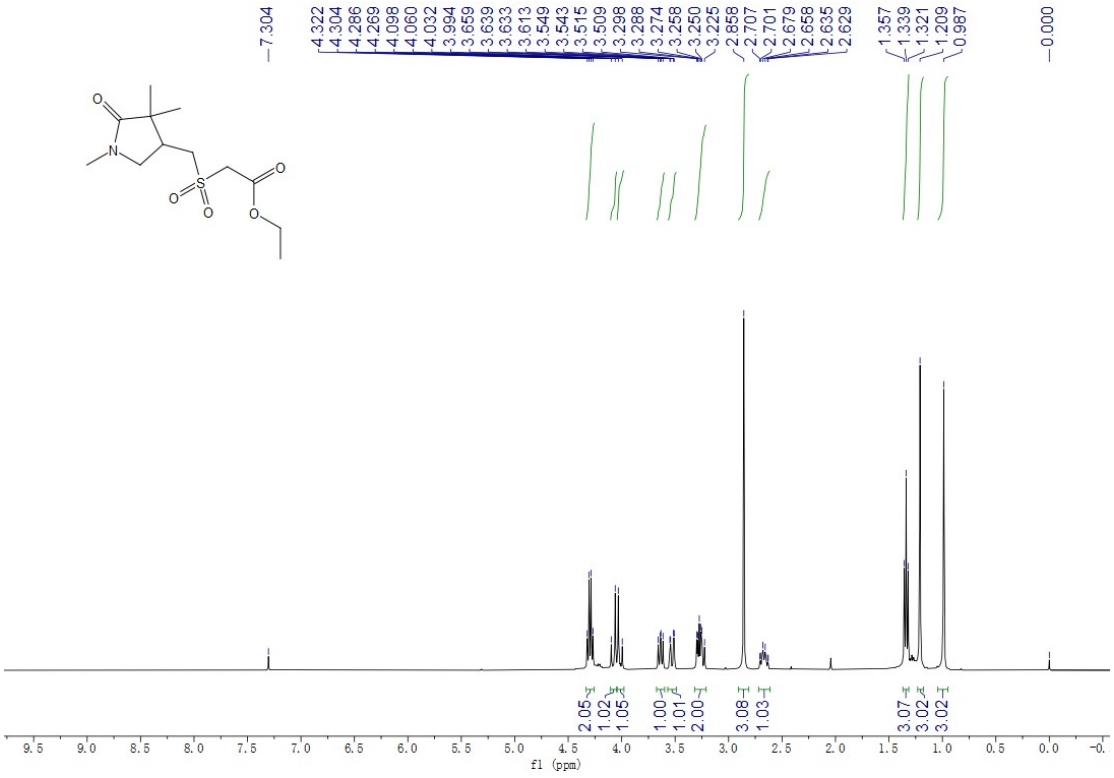


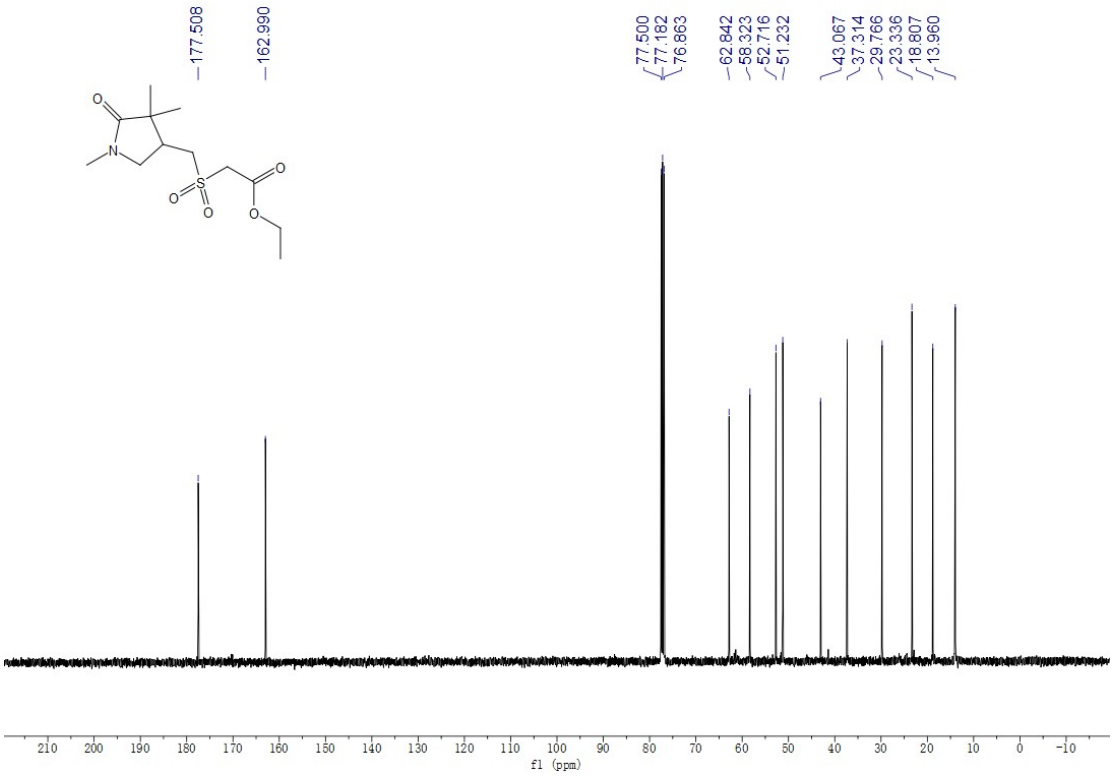
ethyl 2-(((1-benzyl-4,4-dimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3ta):



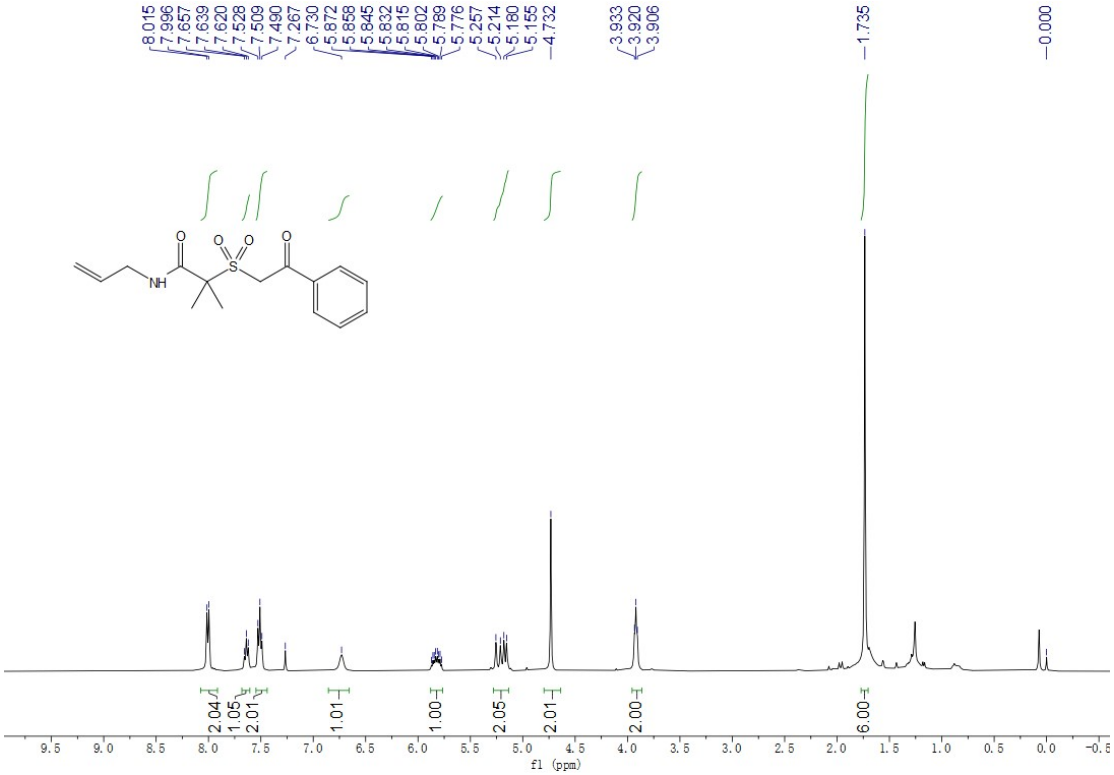


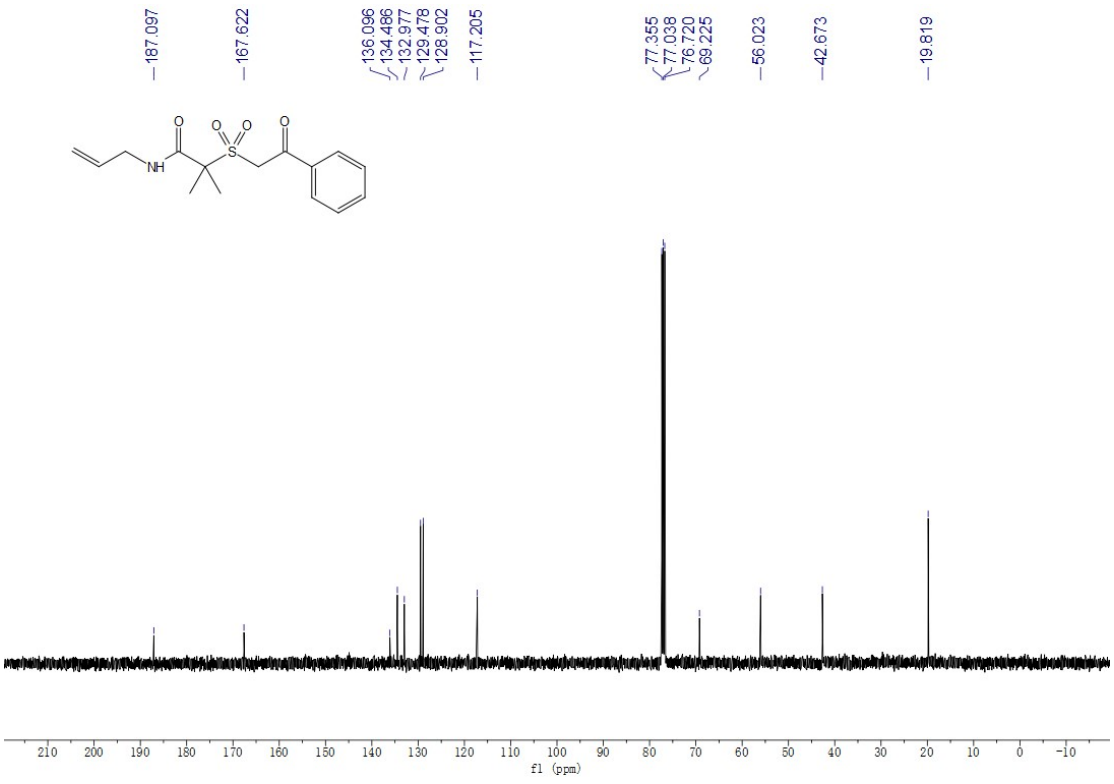
ethyl 2-(((1,4,4-trimethyl-5-oxopyrrolidin-3-yl)methyl)sulfonyl)acetate (3ua):



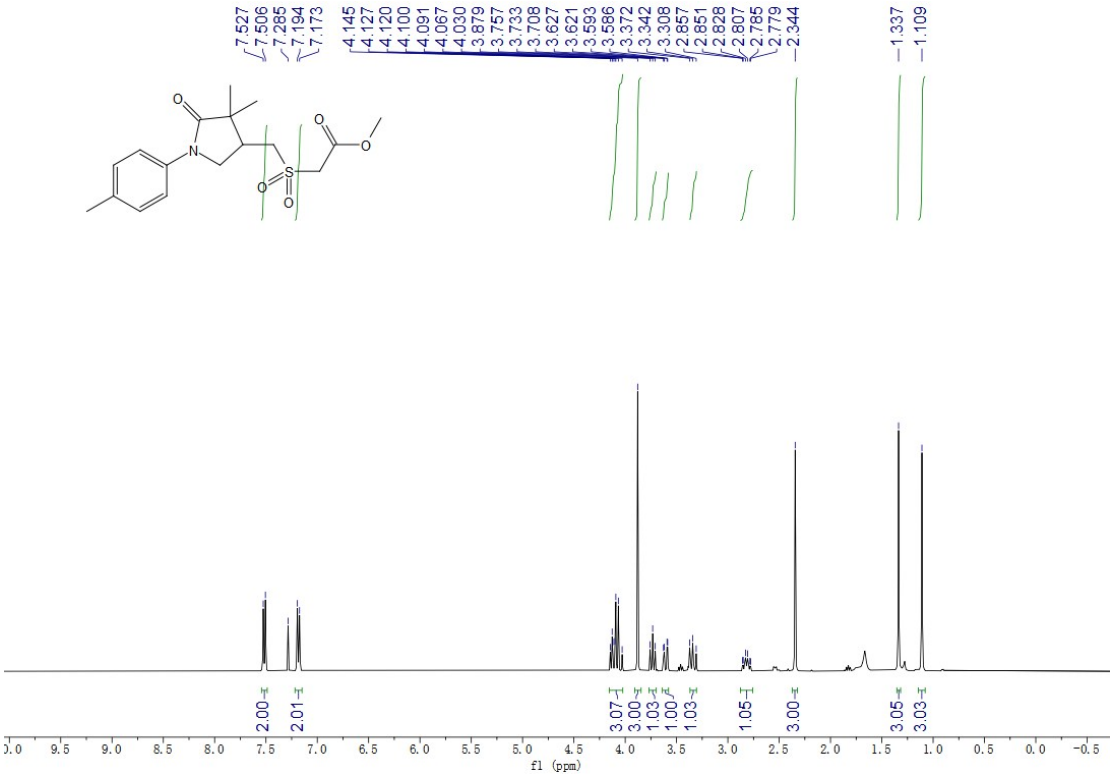


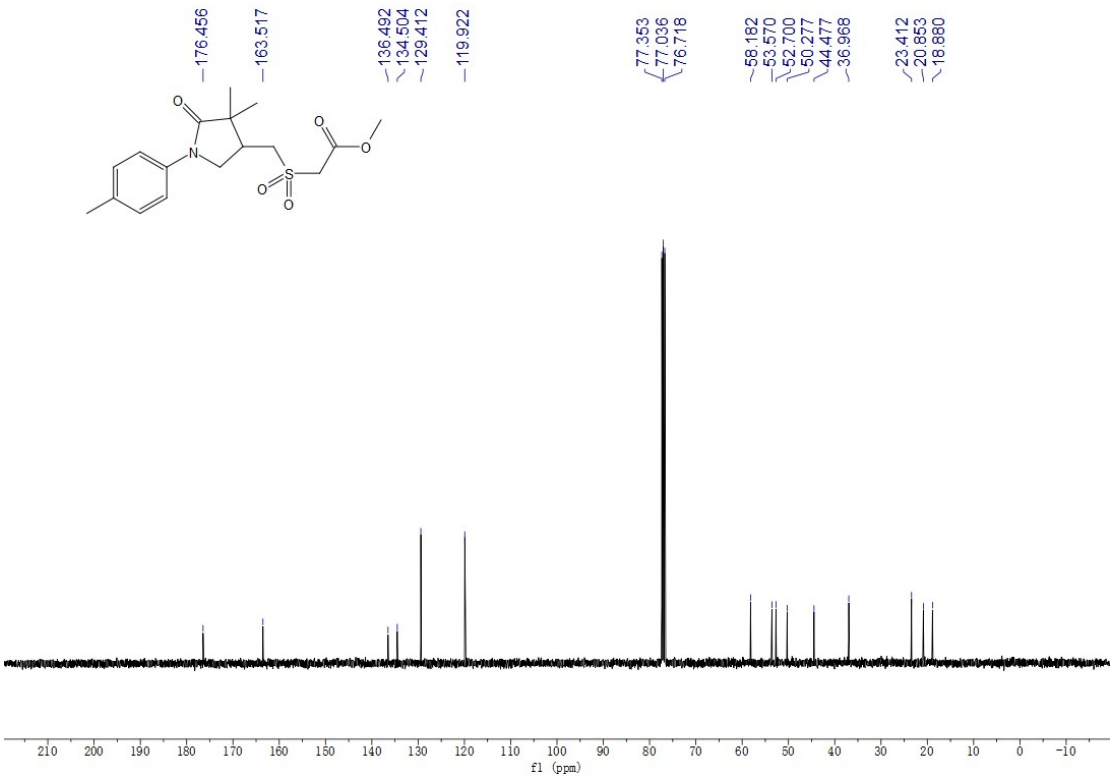
***N*-allyl-2-methyl-2-((2-oxo-2-phenylethyl)sulfonyl)propanamide (3vk):**



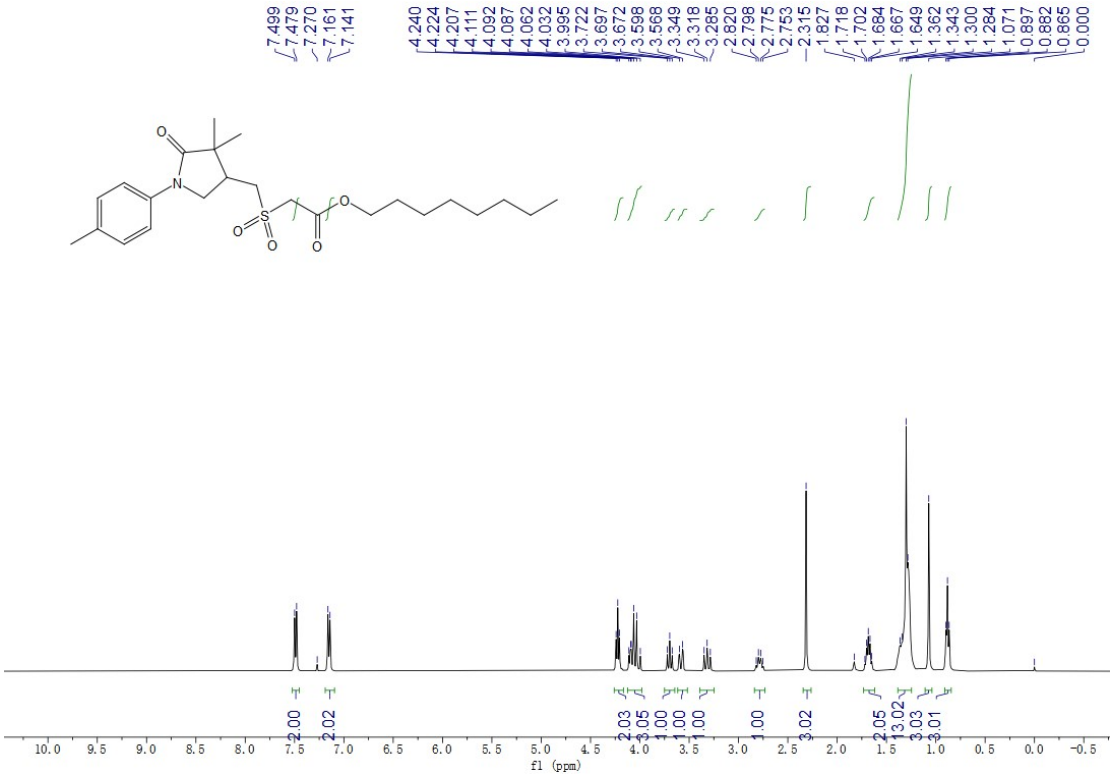


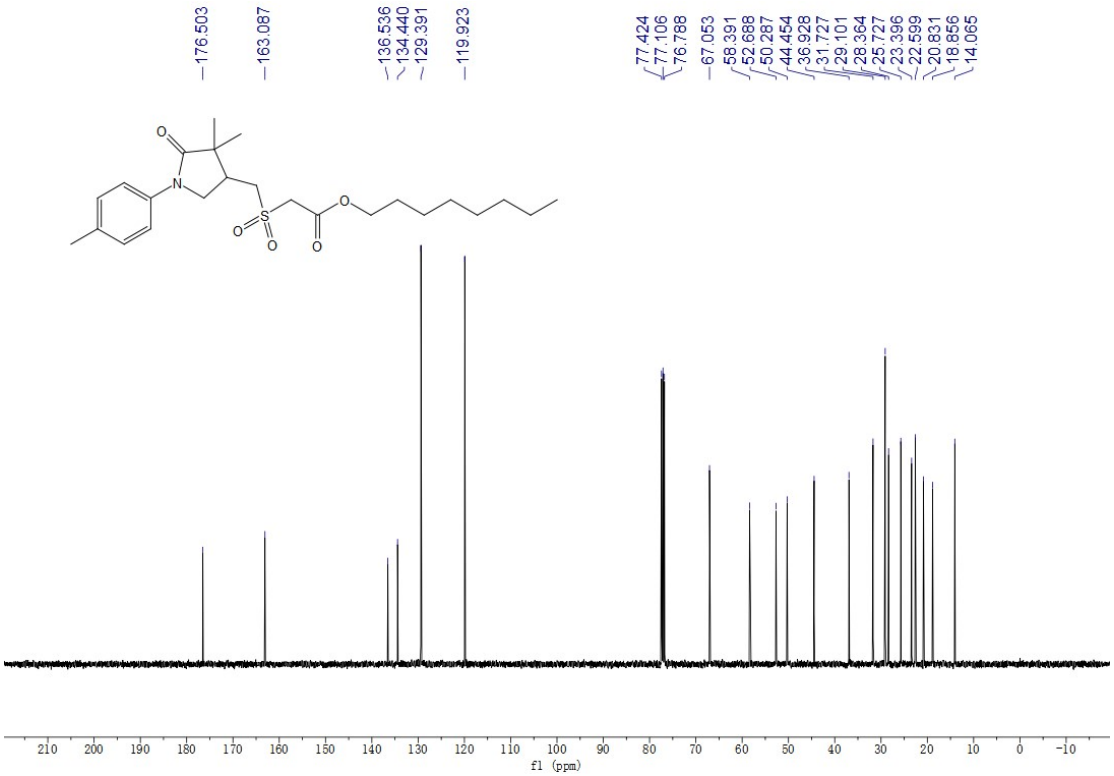
methyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ab):



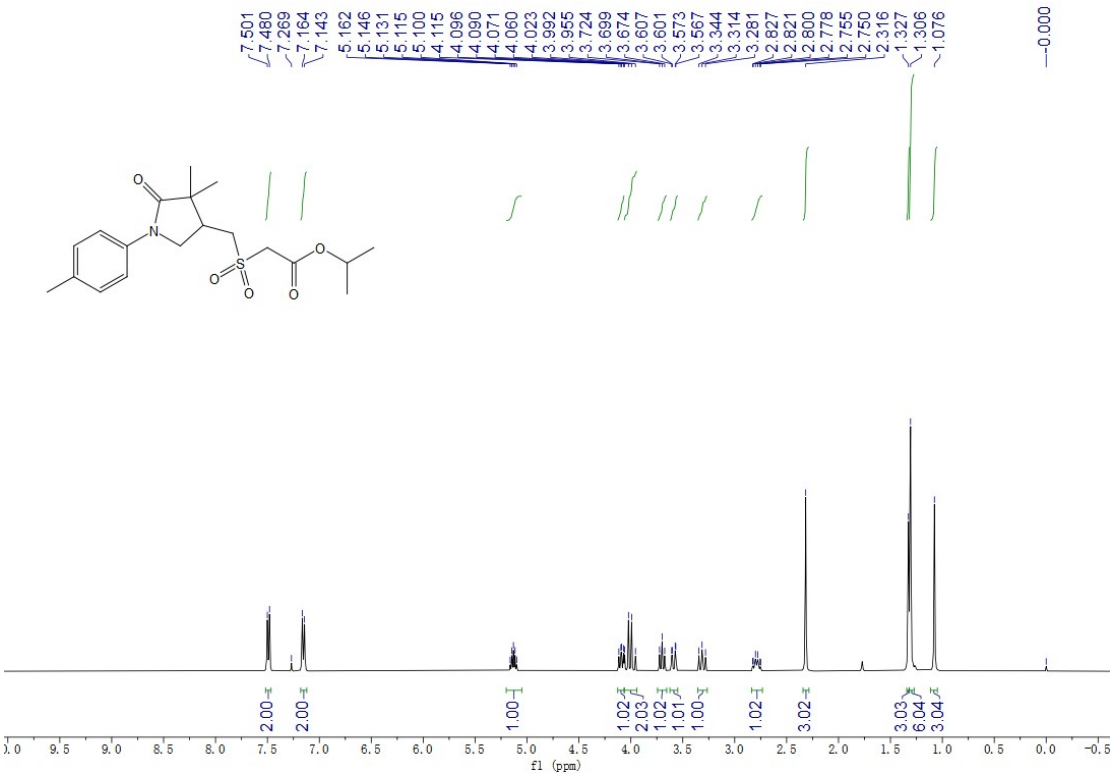


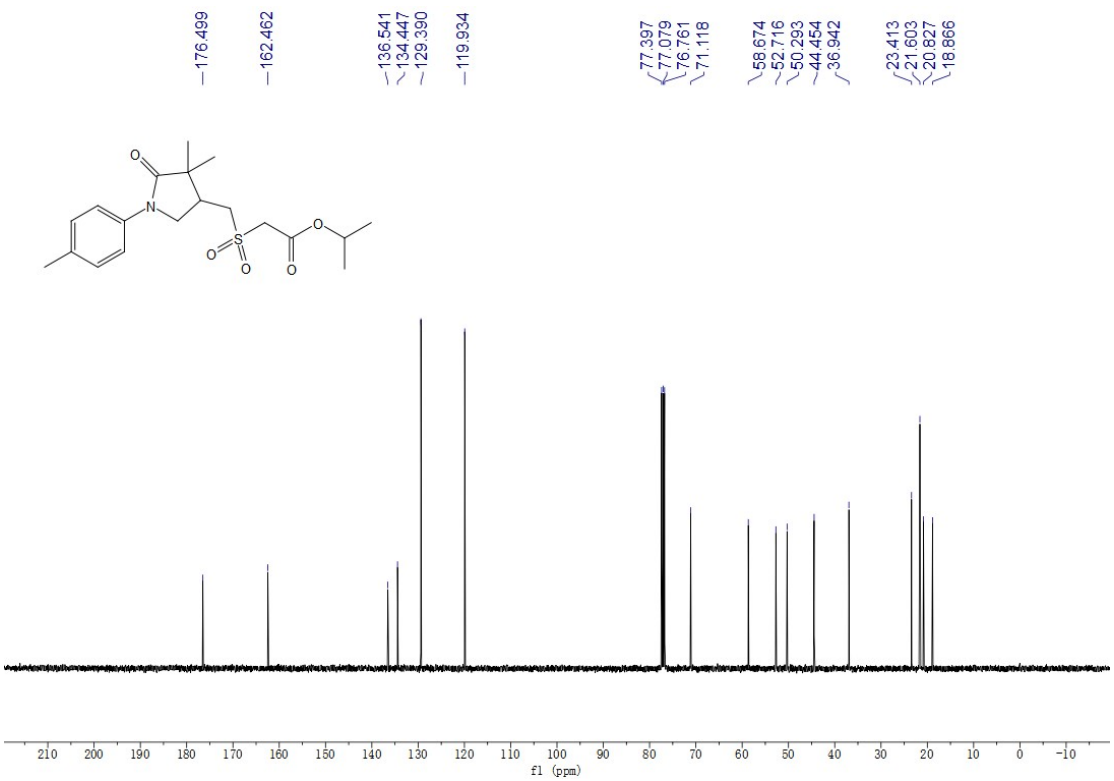
octyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ac):



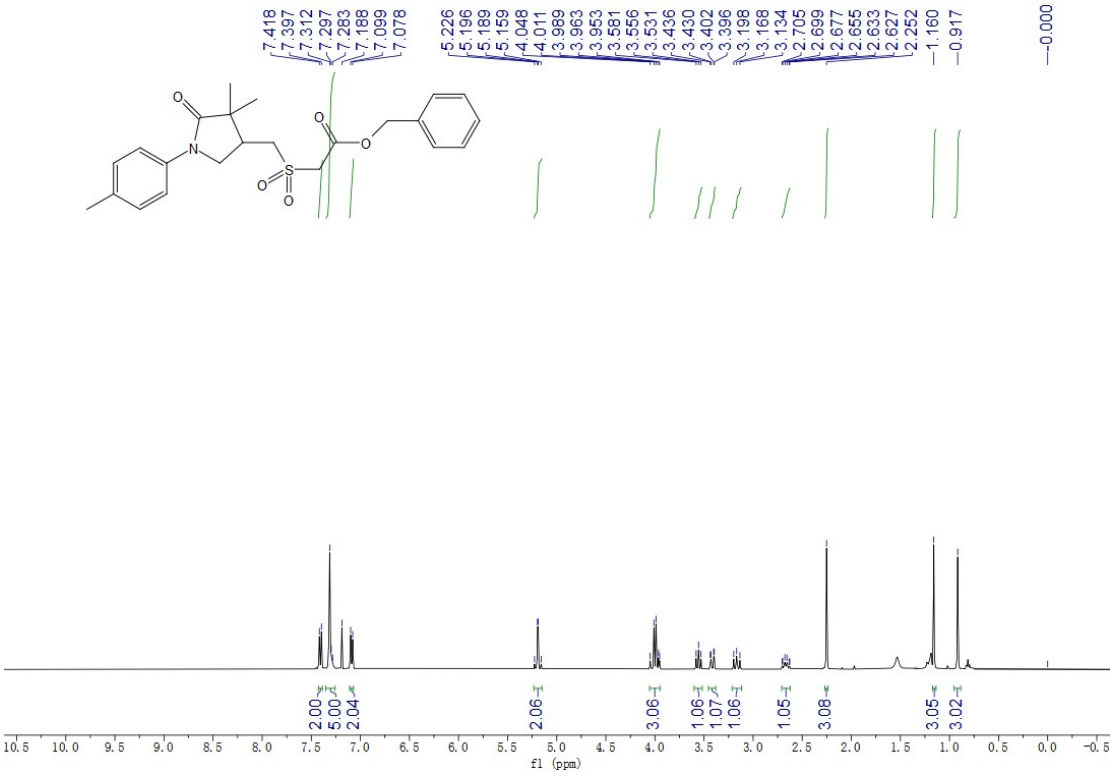


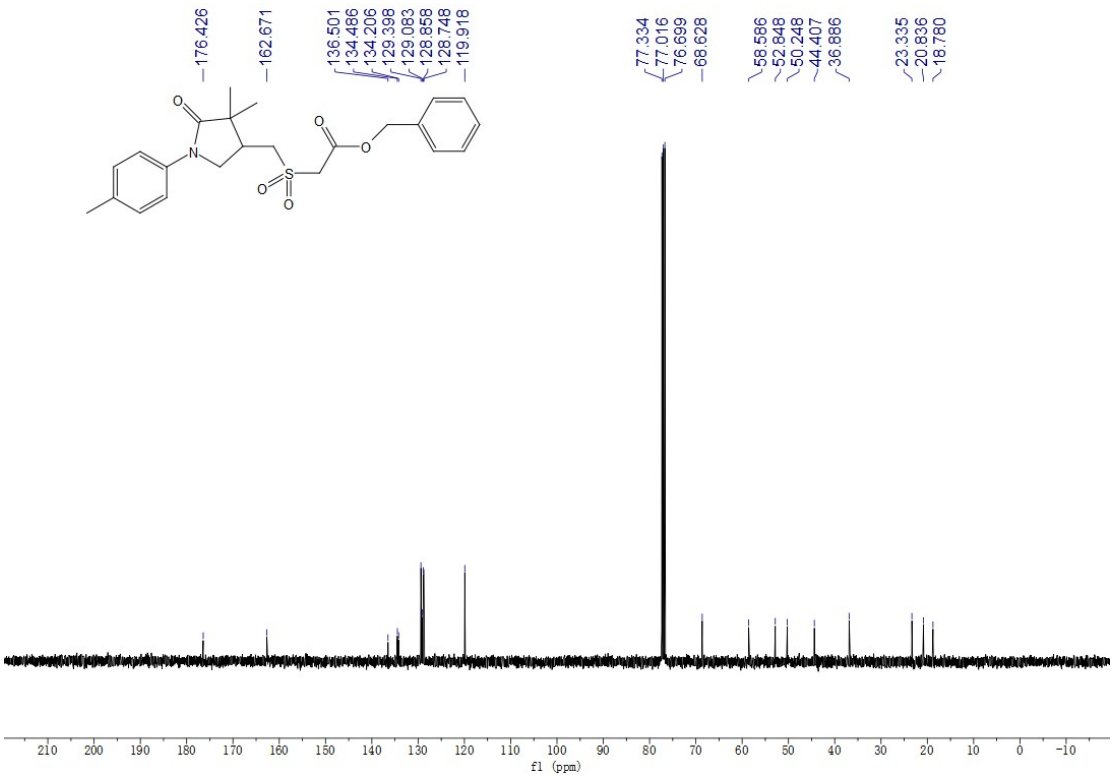
isopropyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl) acetate (3ad):



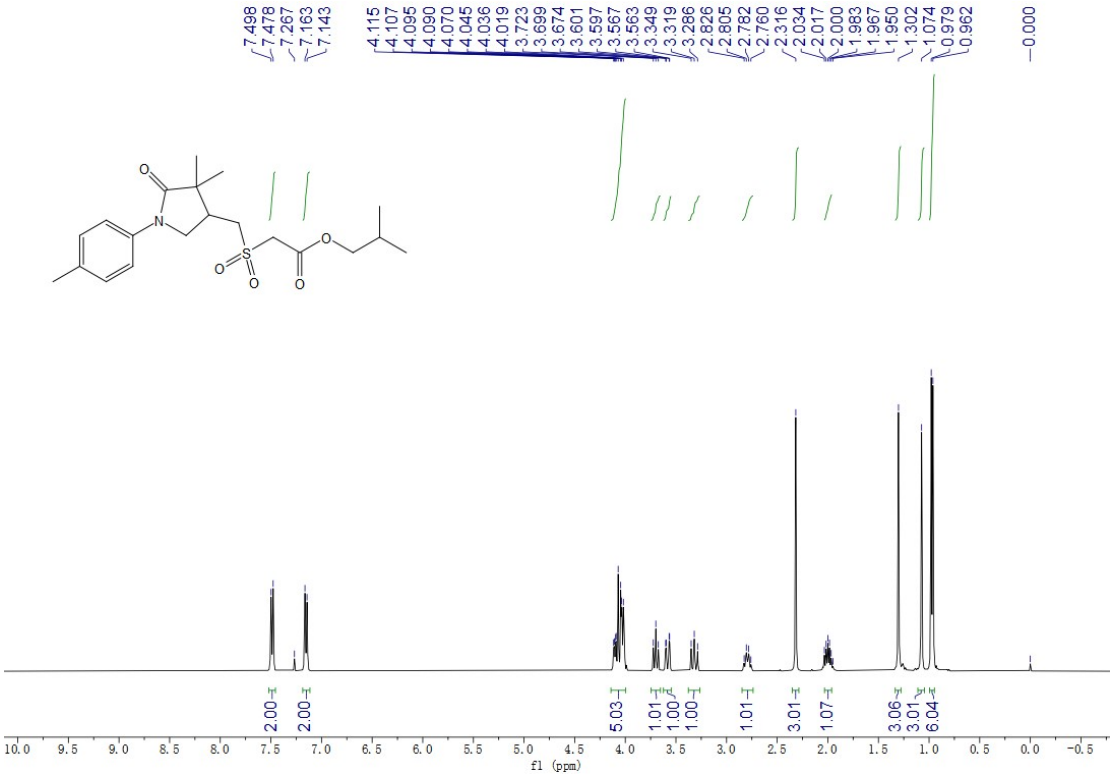


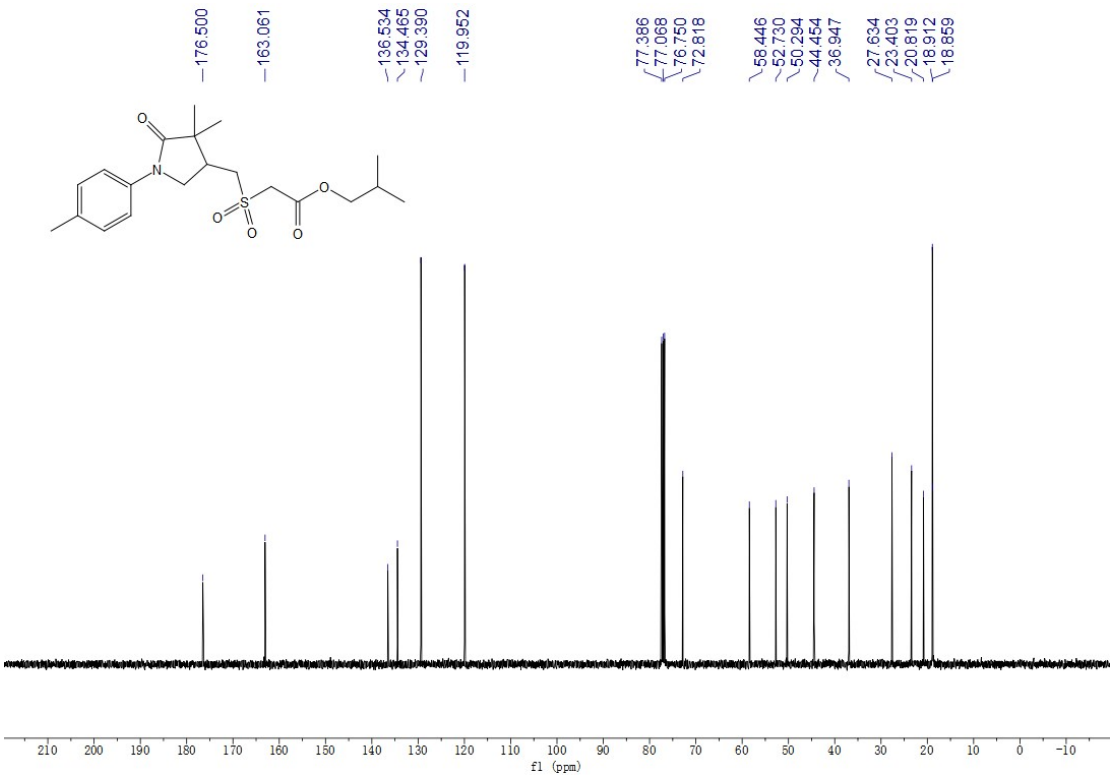
benzyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ae):



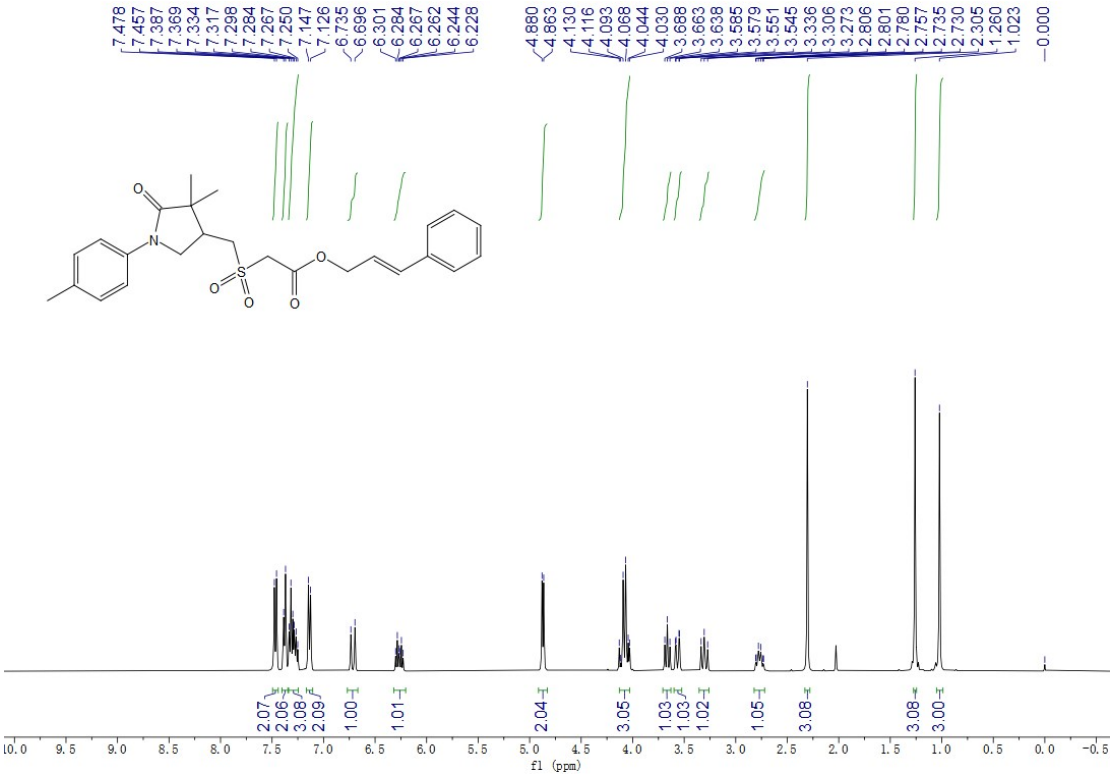


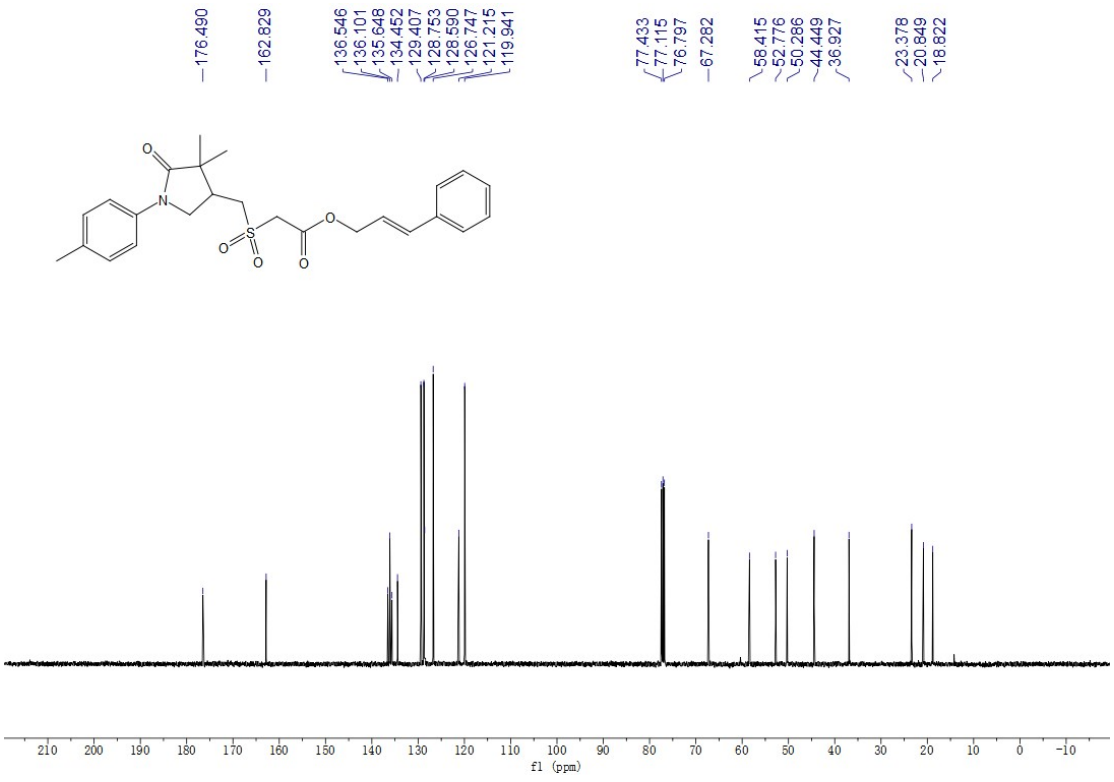
isobutyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl) acetate (3af):



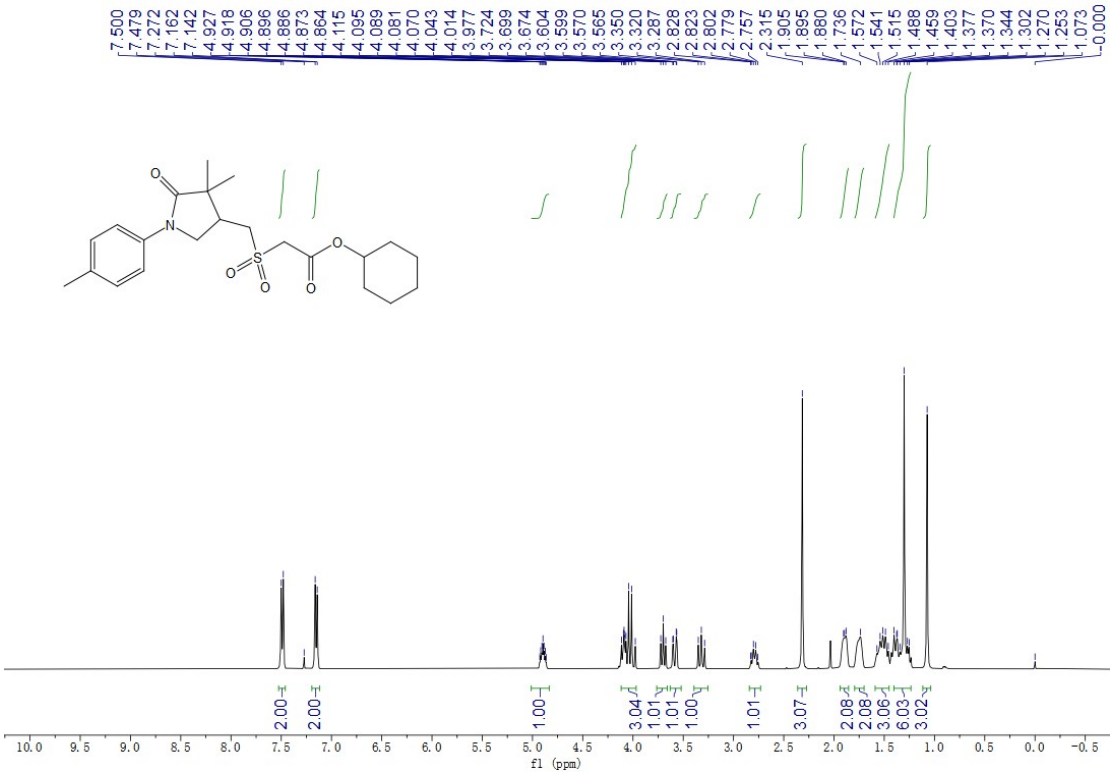


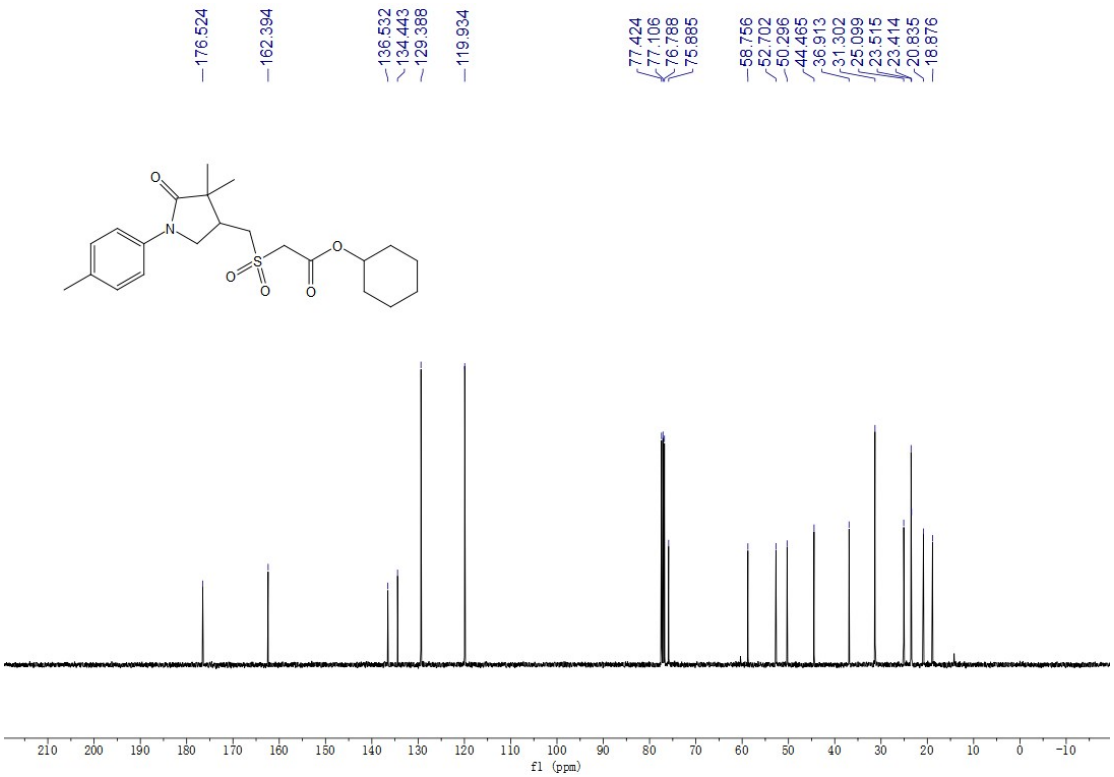
cinnamyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl) acetate (3ag):



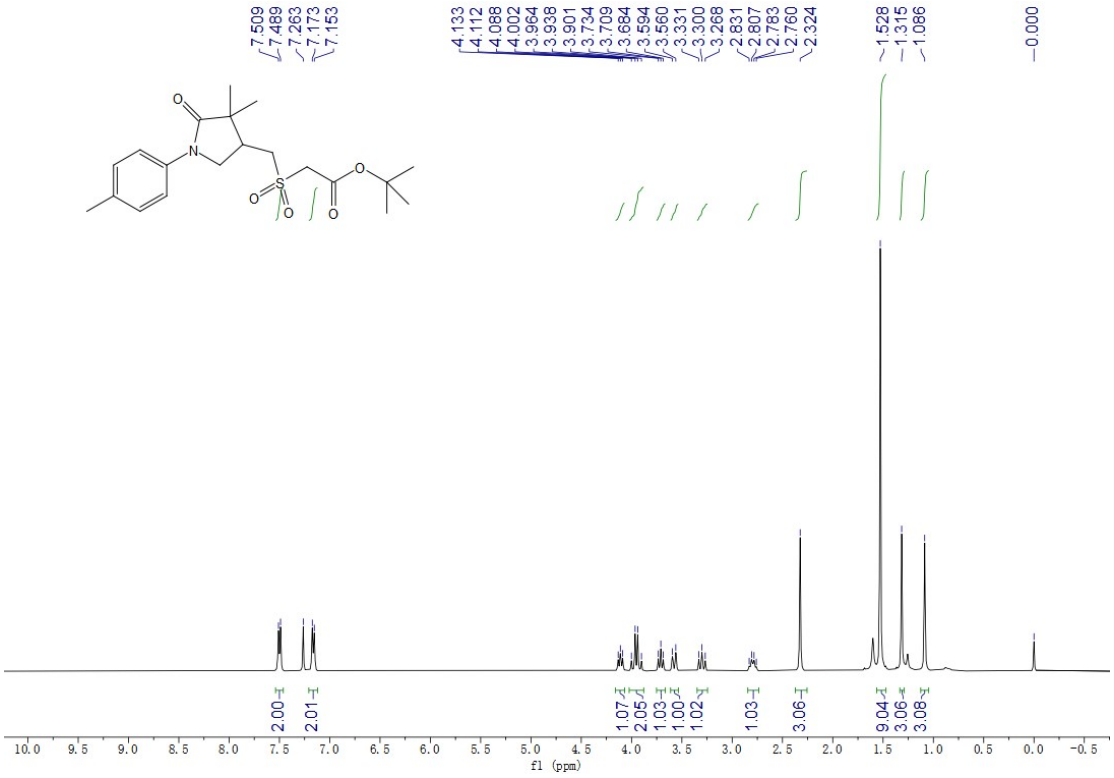


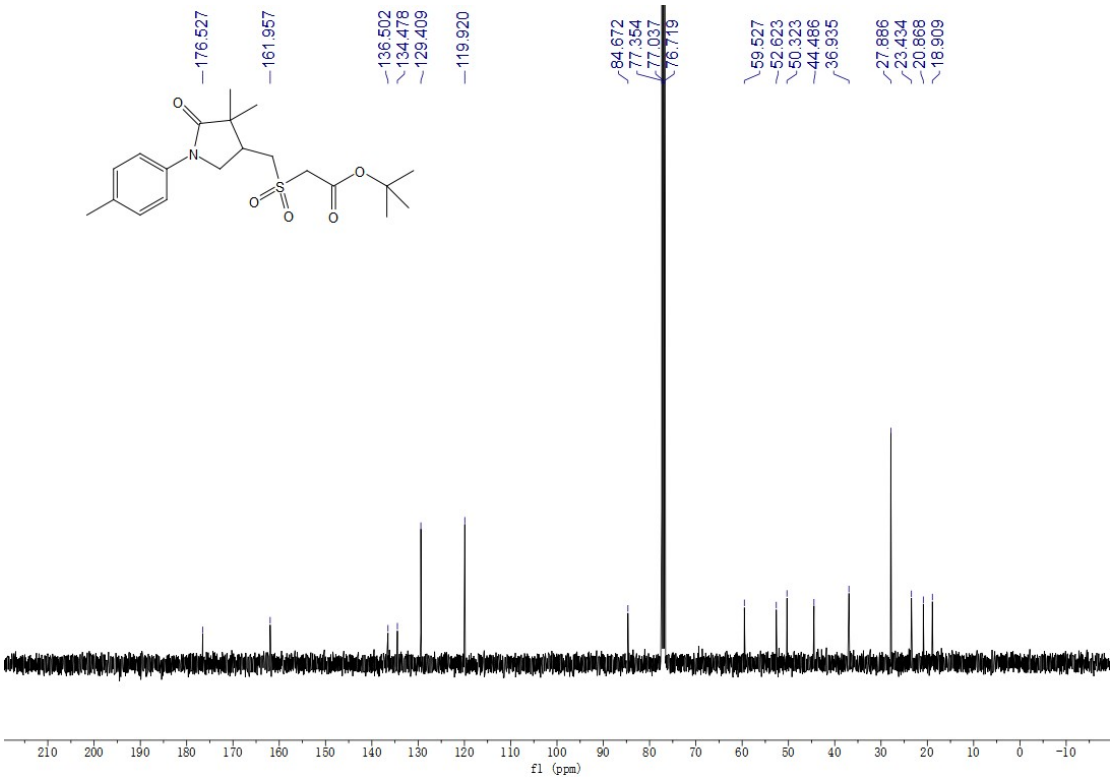
cyclohexyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl) acetate (3ah):



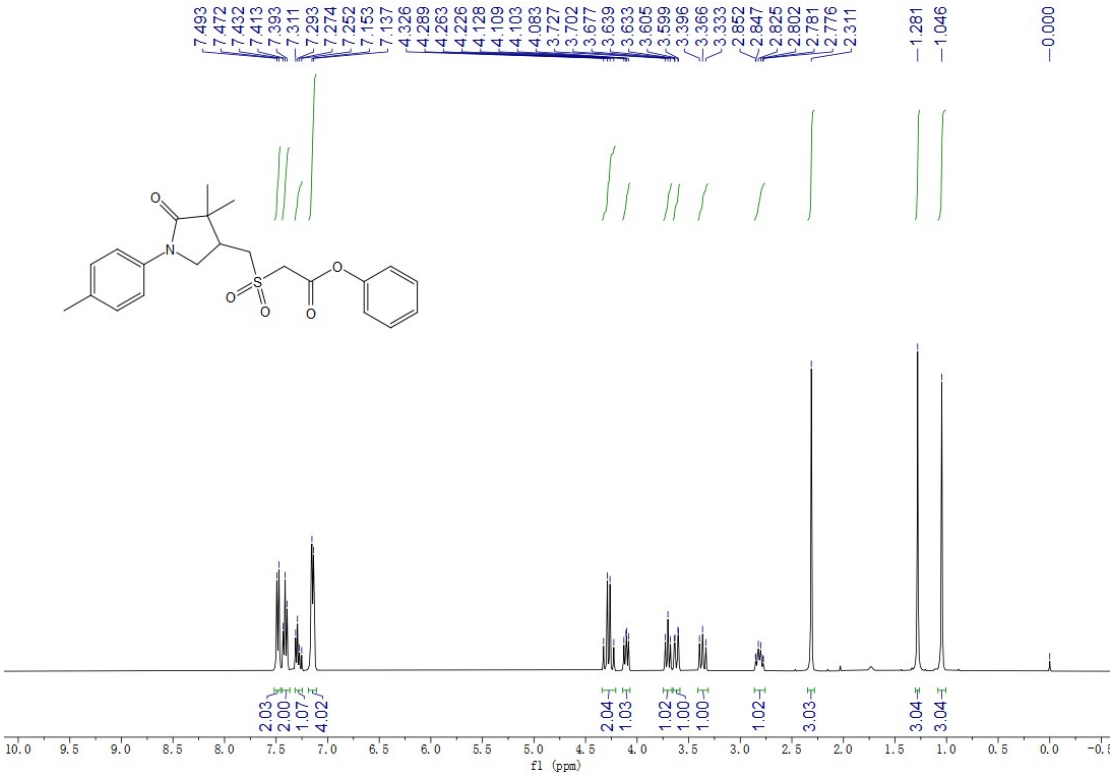


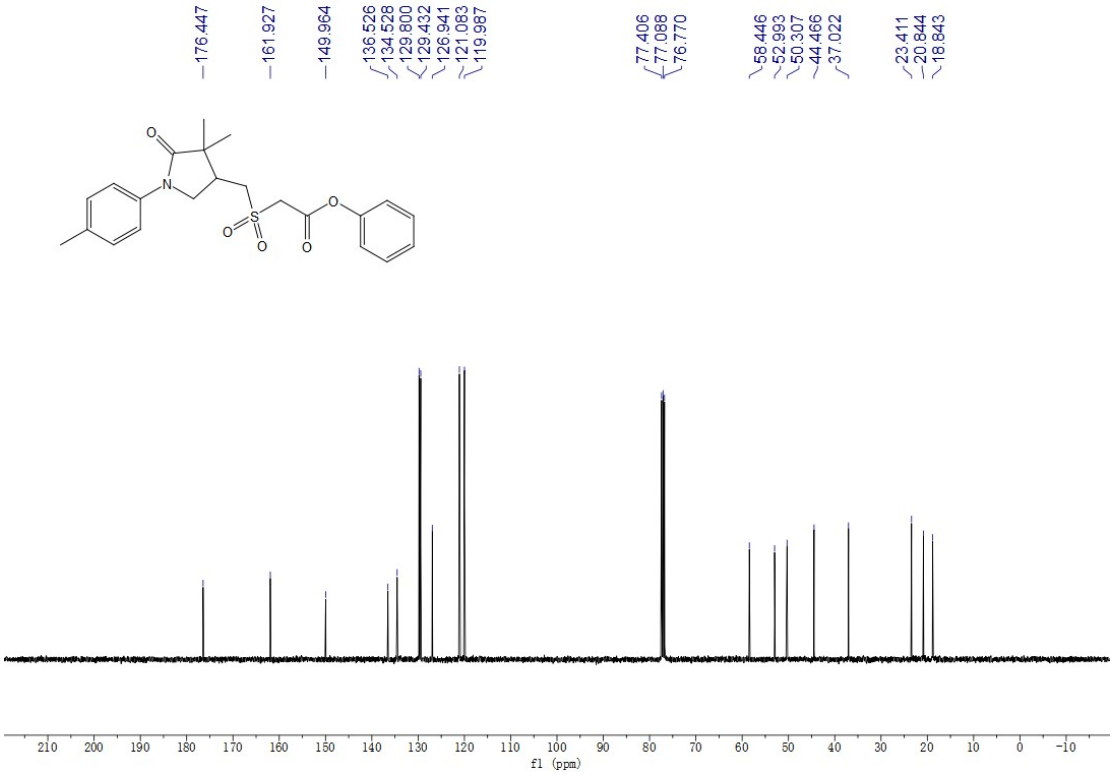
***tert*-butyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl) acetate (3ai):**



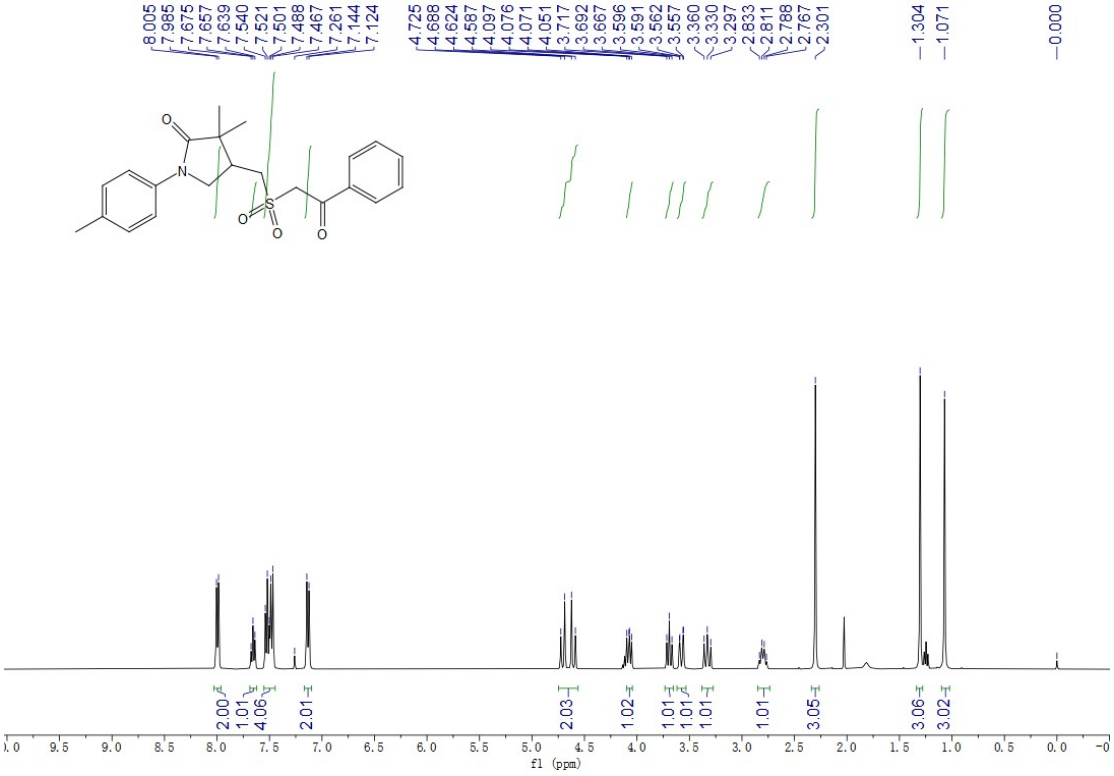


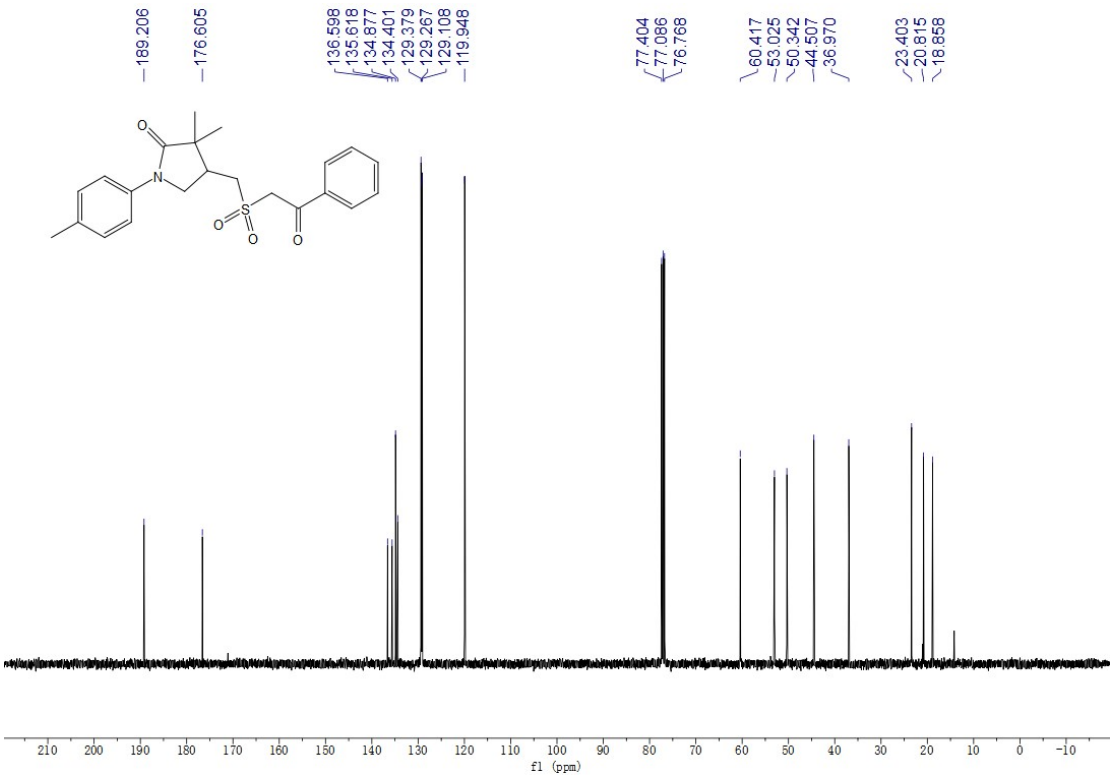
phenyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3aj):



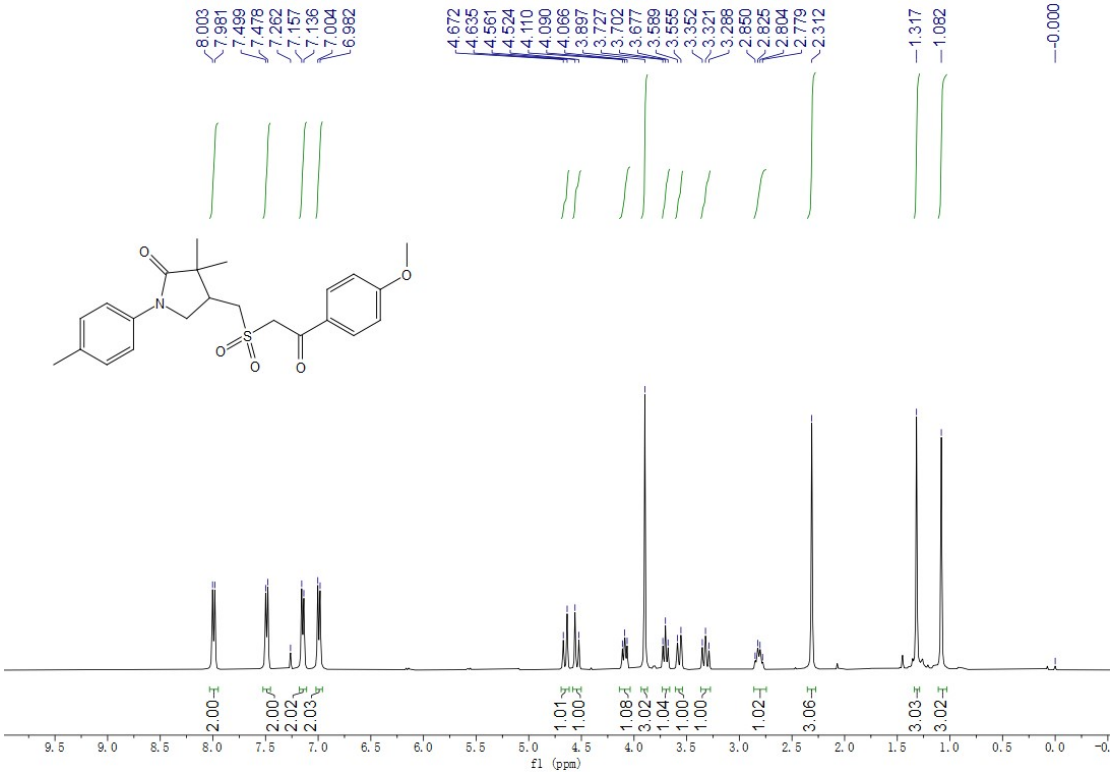


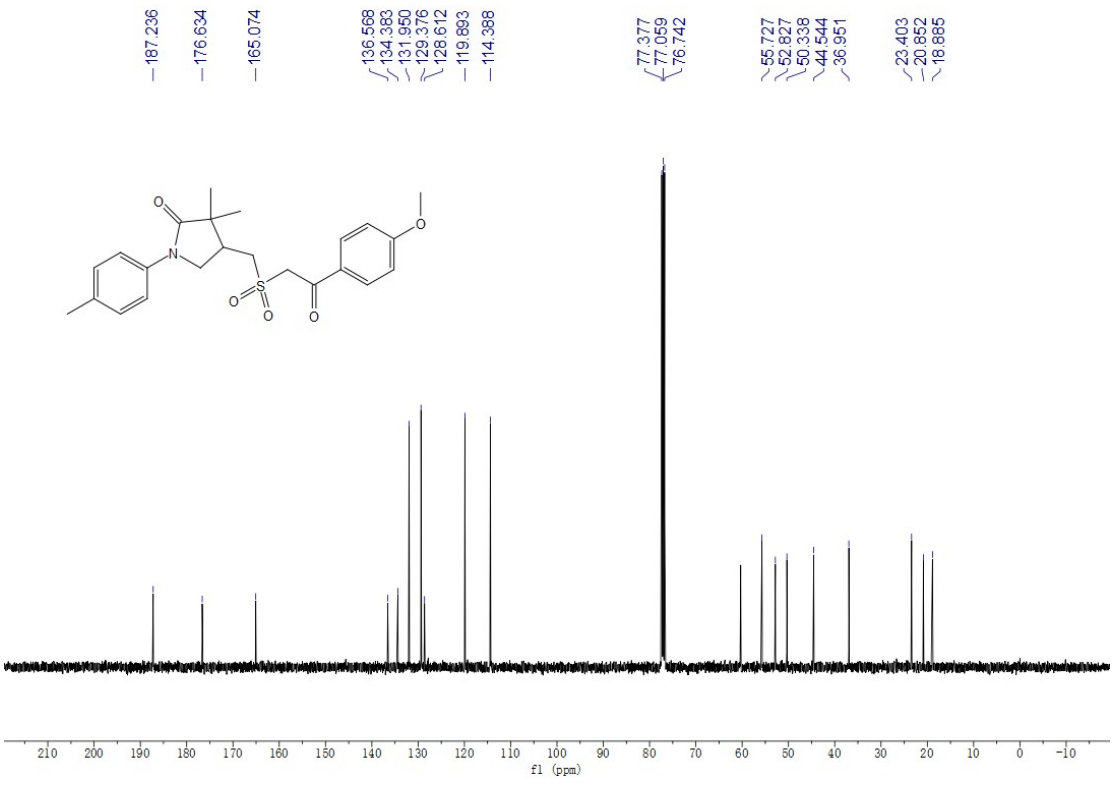
3,3-dimethyl-4-(((2-oxo-2-phenylethyl)sulfonyl)methyl)-1-(p-tolyl)pyrrolidin-2-one (3ak):



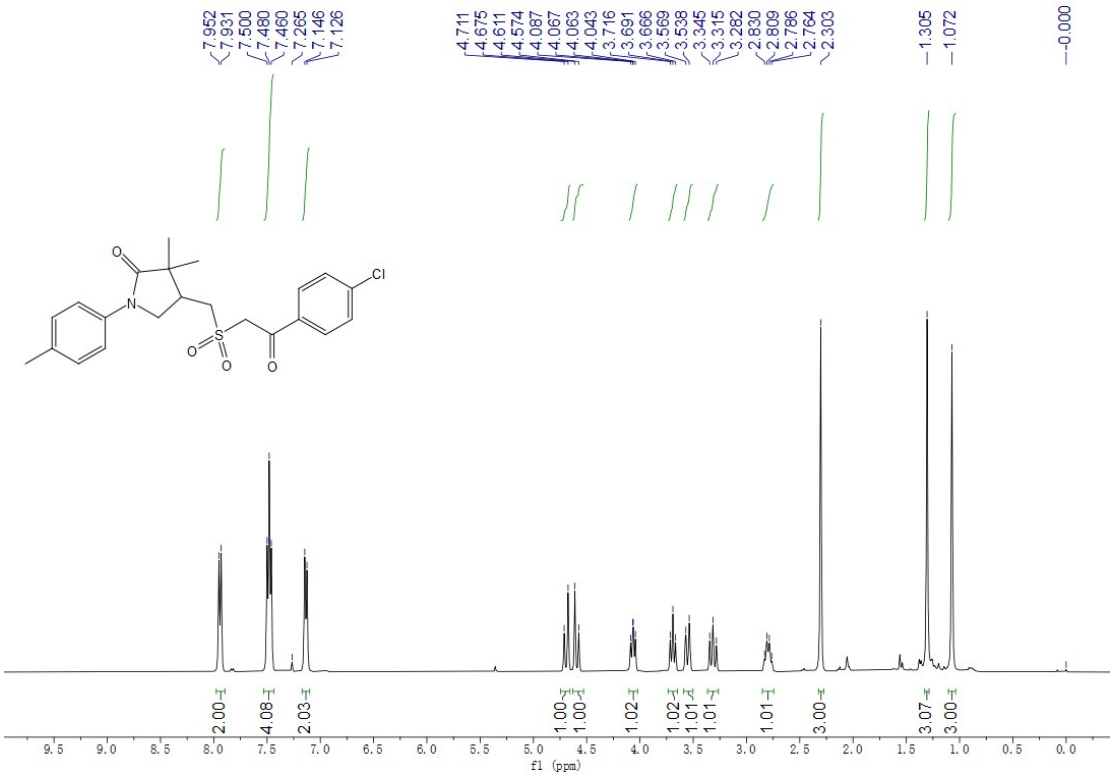


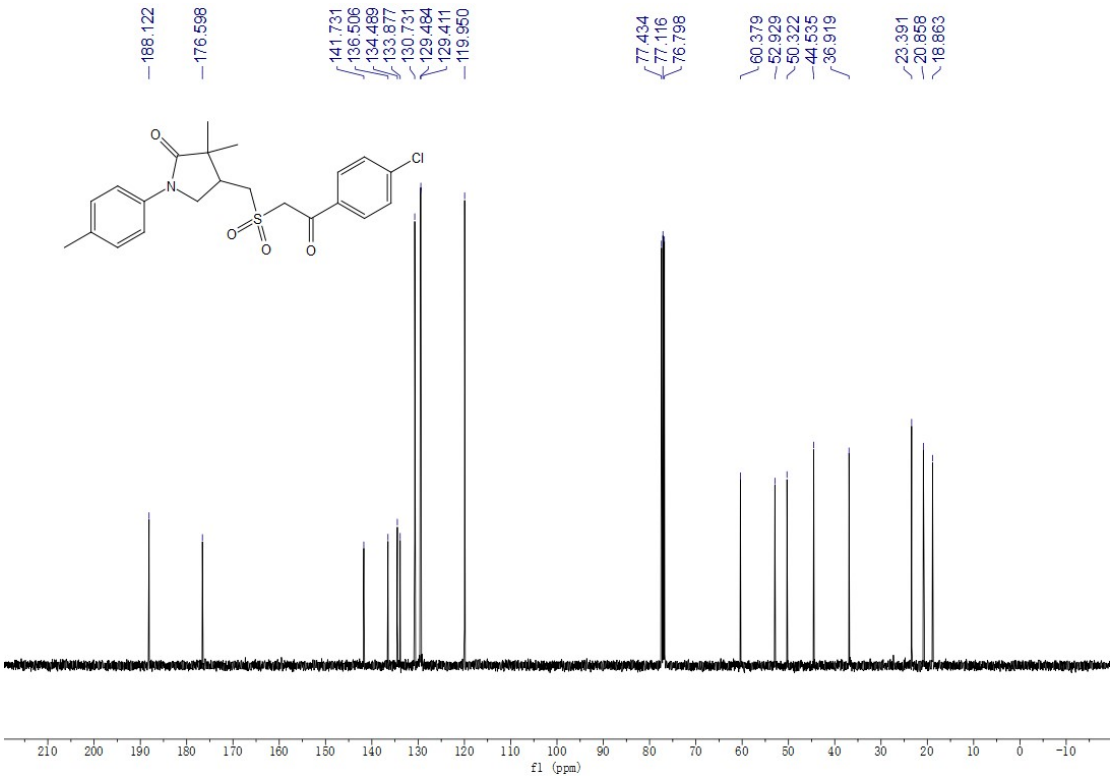
4-(((2-(4-methoxyphenyl)-2-oxoethyl)sulfonyl)methyl)-3,3-dimethyl-1-(p-tolyl)pyrrolidin-2-one (3al):



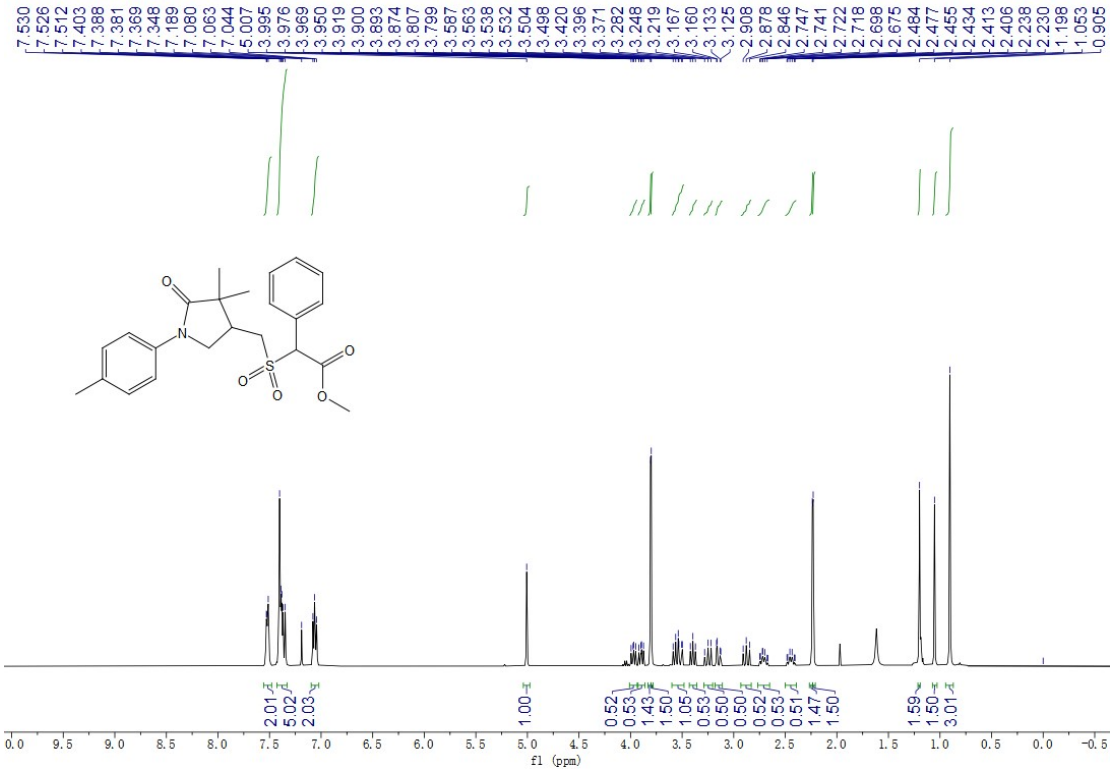


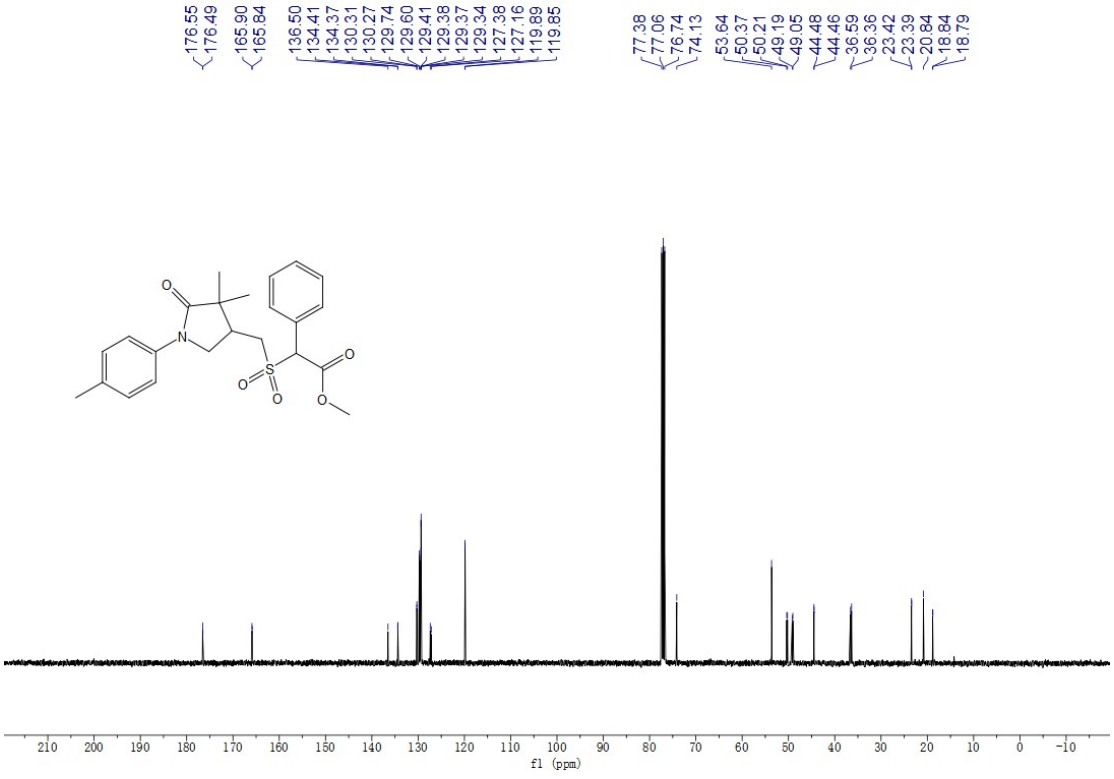
4-(((2-(4-chlorophenyl)-2-oxoethyl)sulfonyl)methyl)-3,3-dimethyl-1-(p-tolyl)pyrrolidin-2-one (3am):



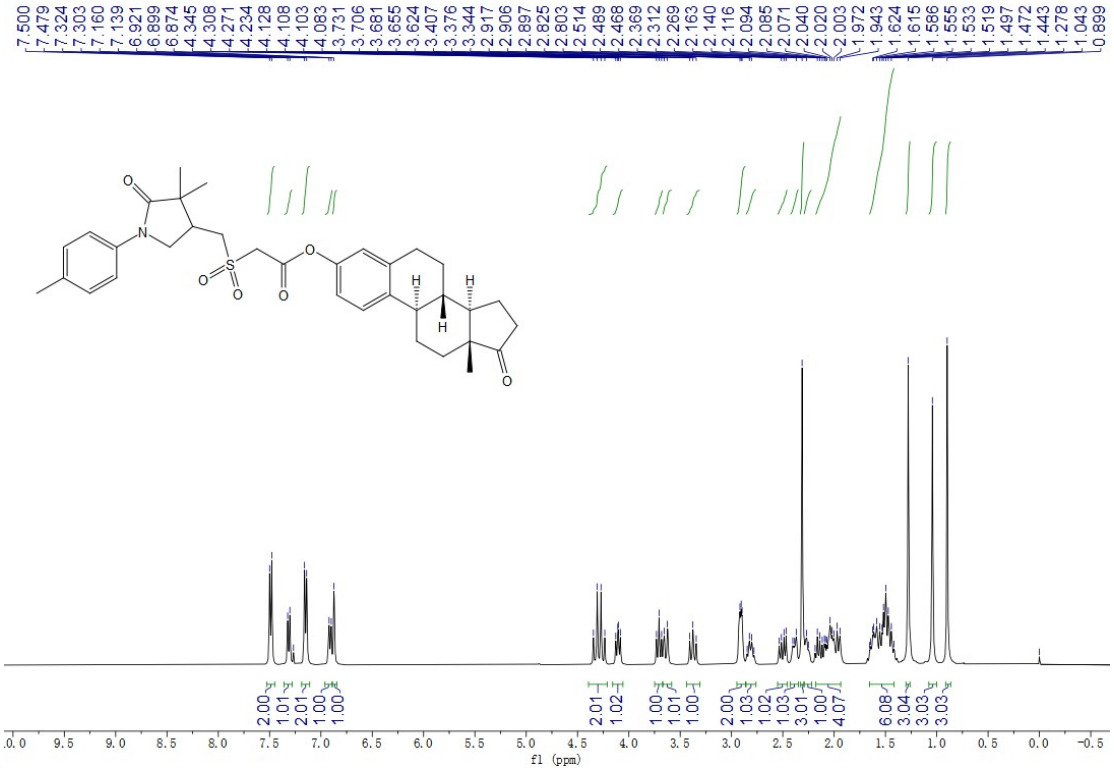


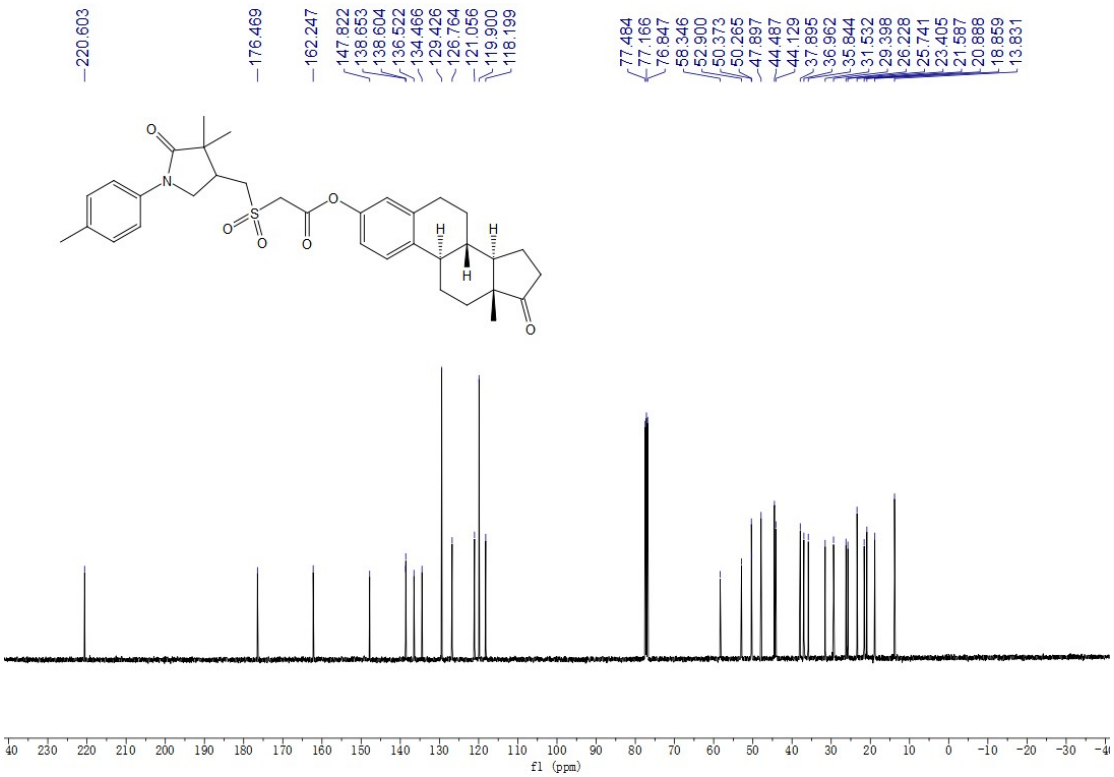
methyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)-2-phenylacetate (3an) (d.r. = 1: 1):



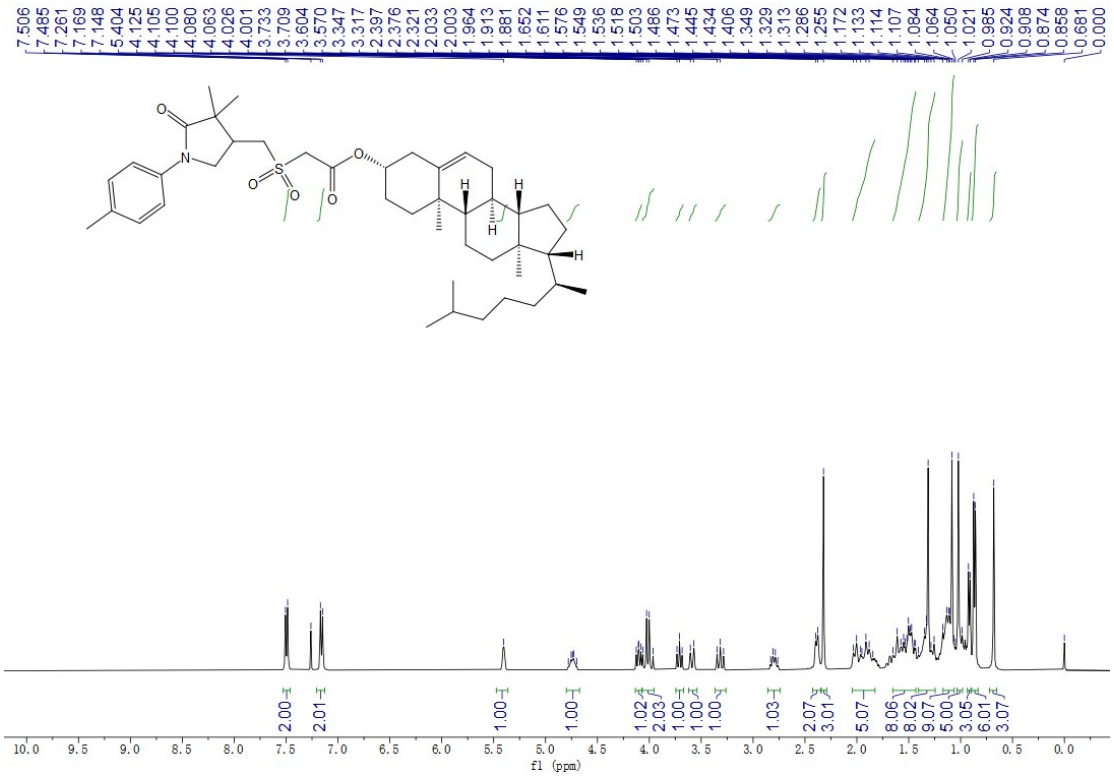


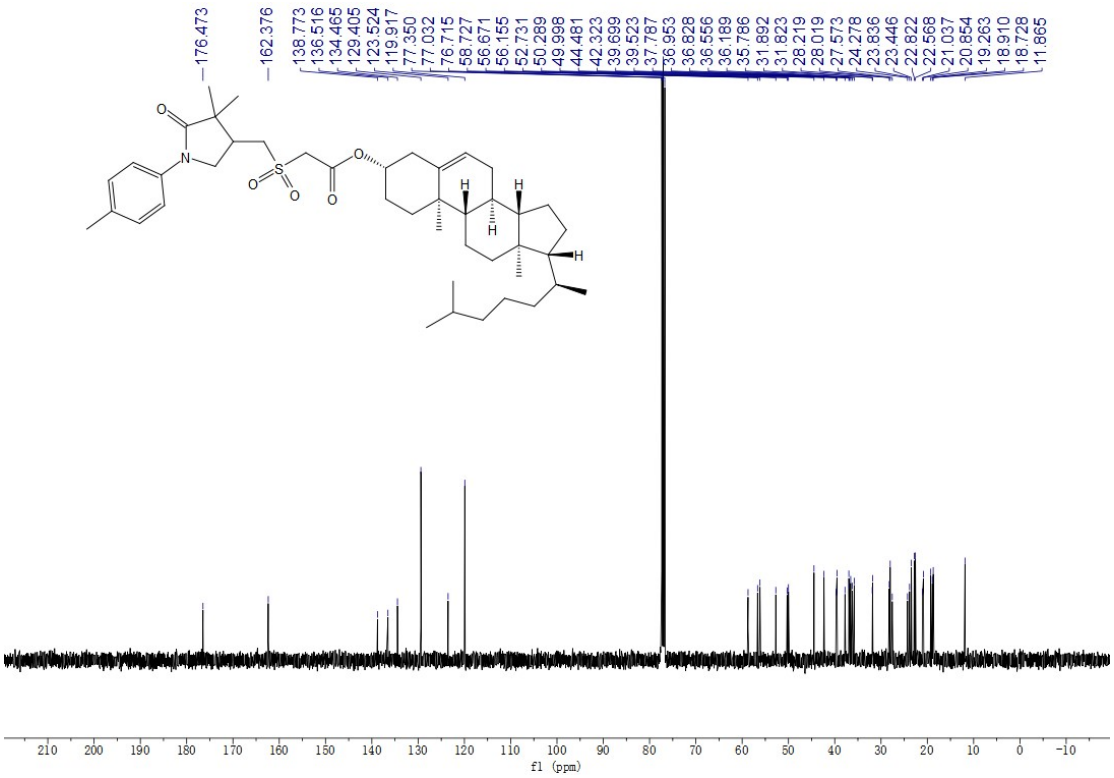
(8S,9R,13R,14R)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[a]phenanthren-3-yl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)me -thyl)sulfonyl)acetate (3ao):



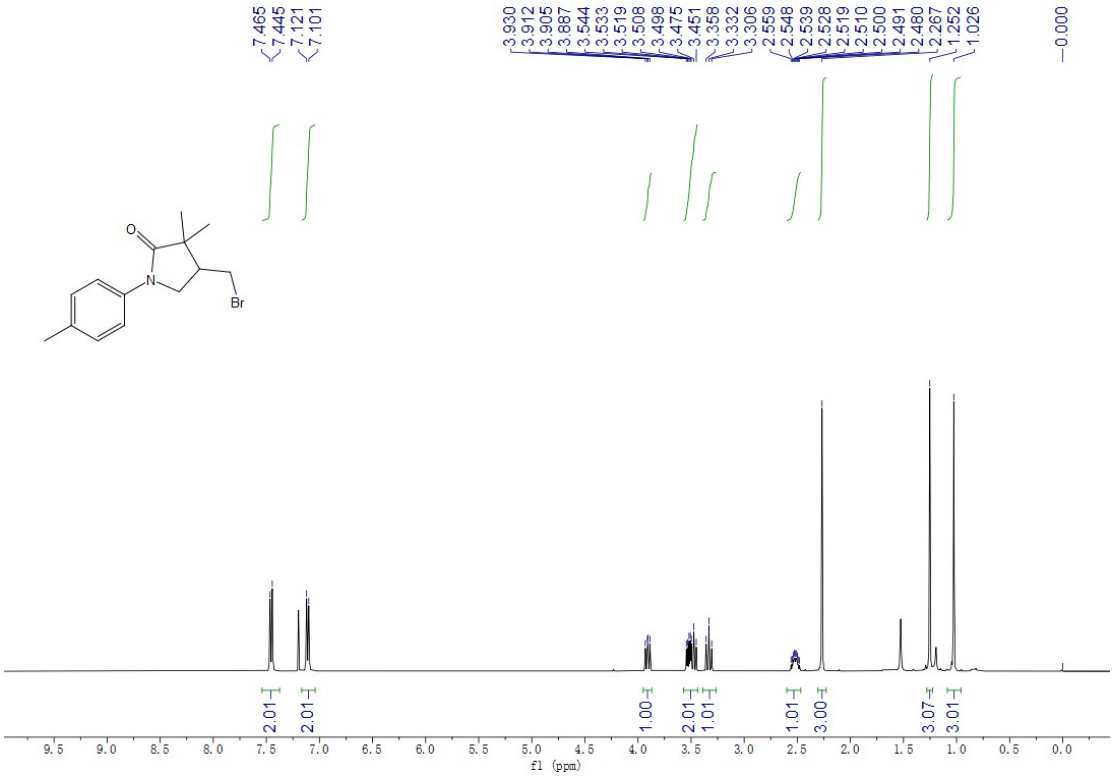


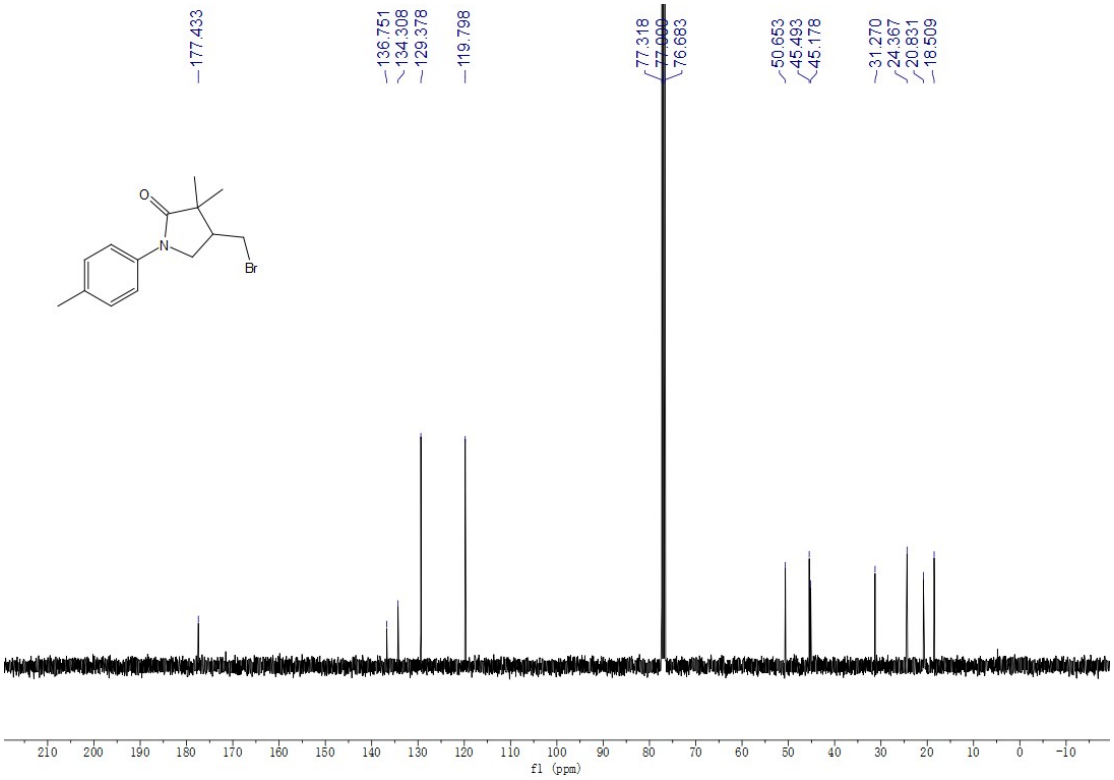
(3S,8S,9S,10R,13R,14S,17R)-10,13-dimethyl-17-((S)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 2- (((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)acetate (3ap):



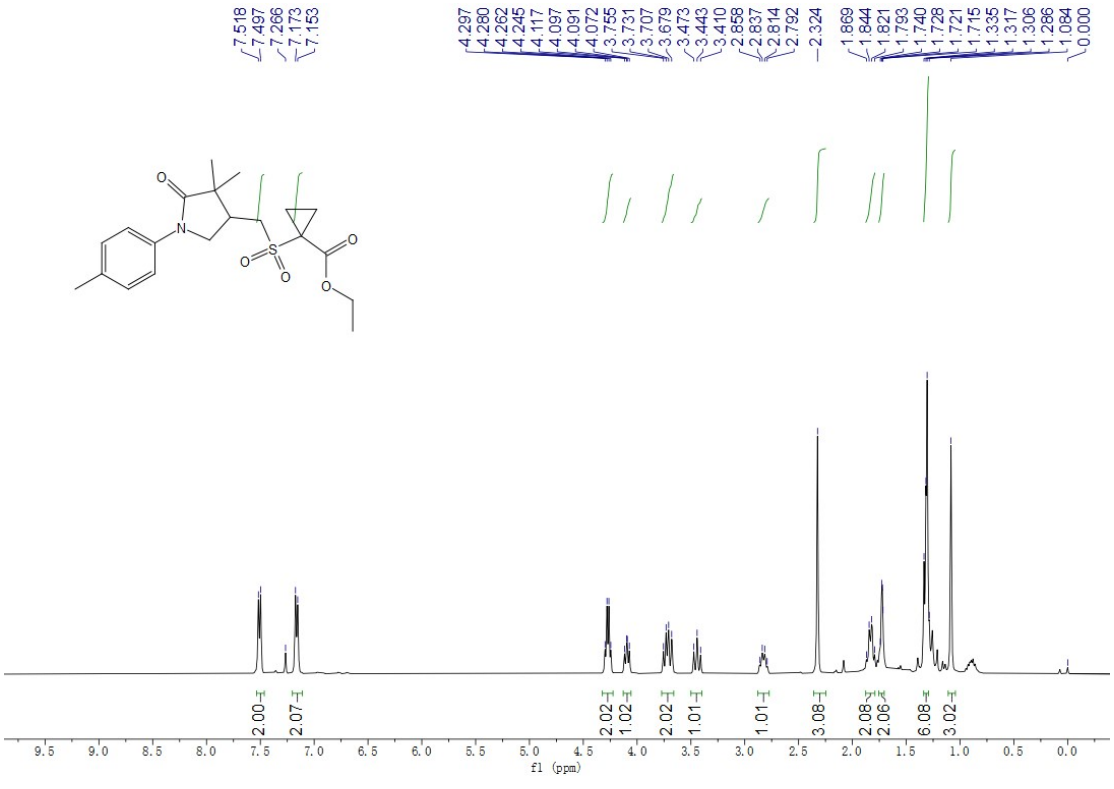


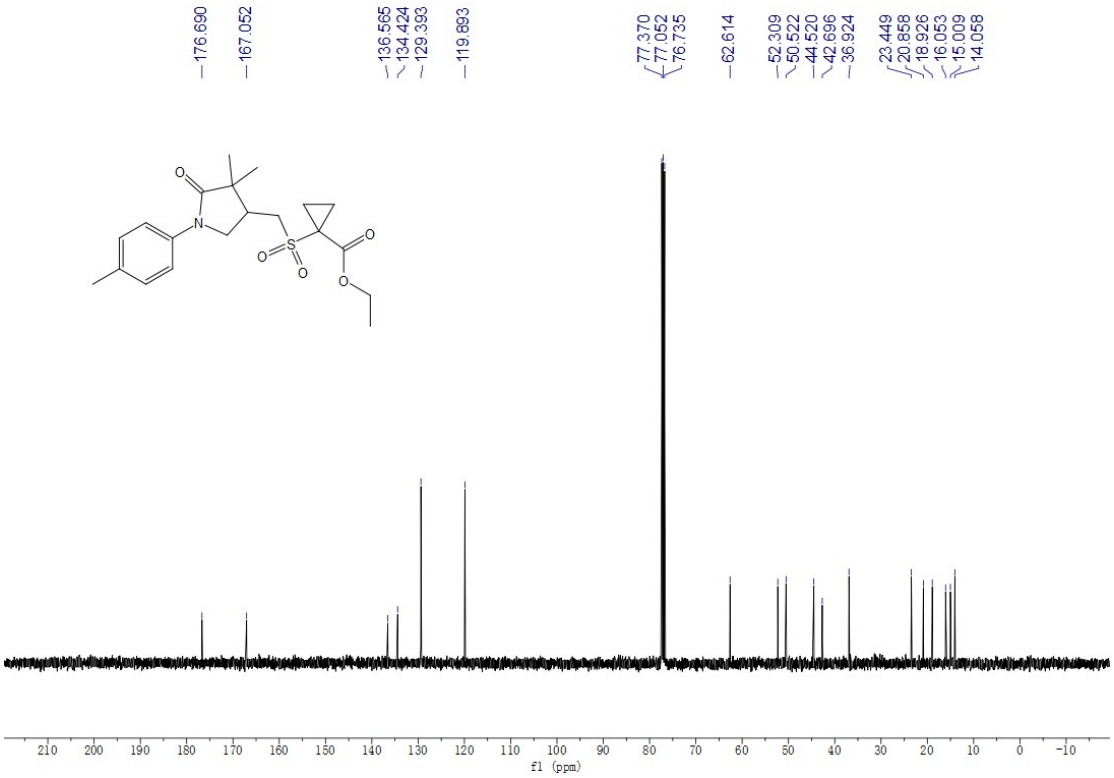
4-(bromomethyl)-3,3-dimethyl-1-(p-tolyl)pyrrolidin-2-one (5a):



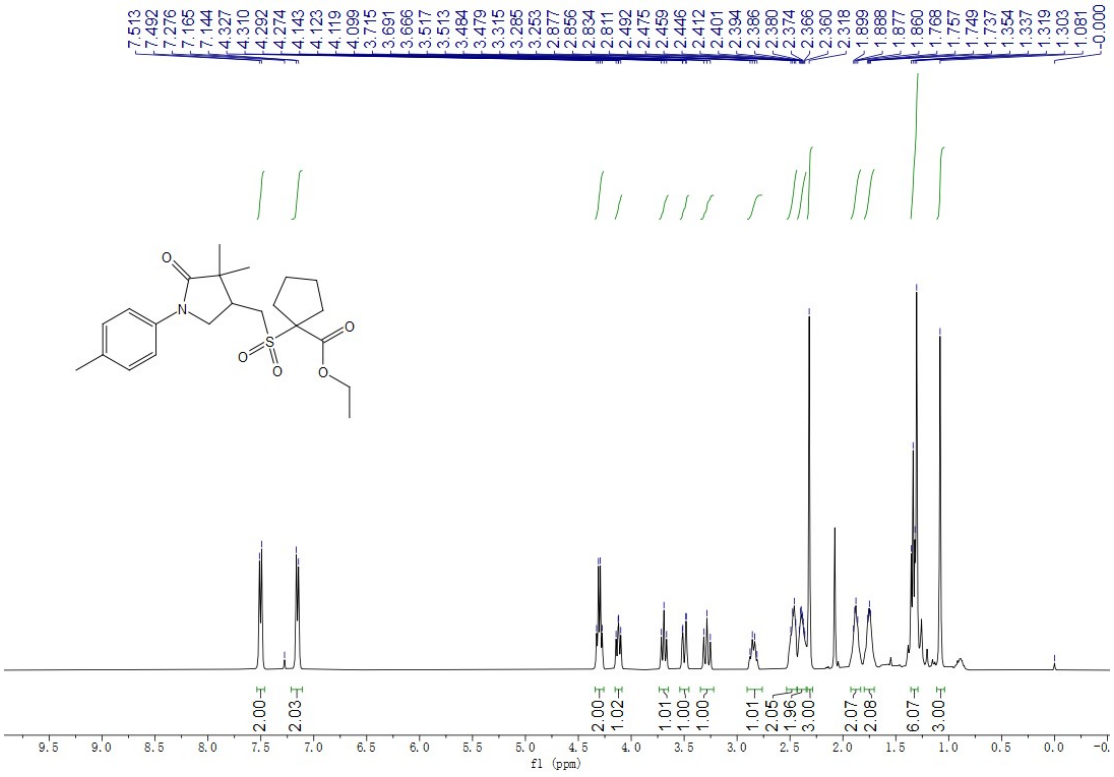


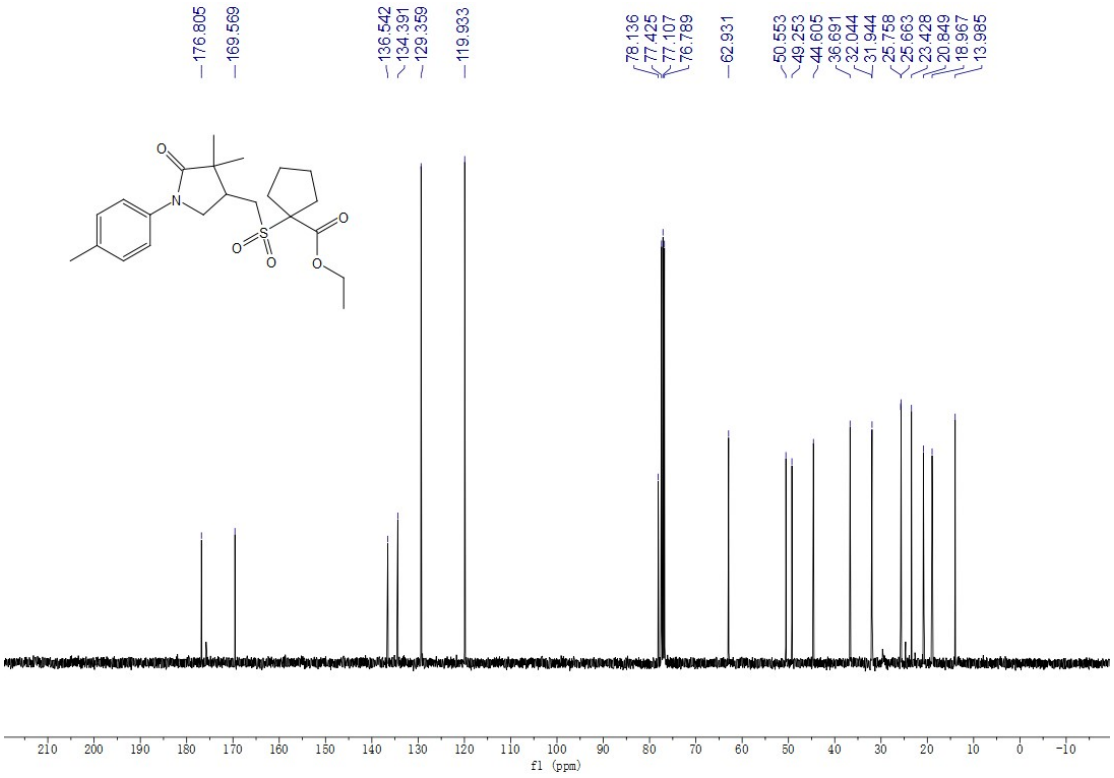
ethyl 1-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)cyclopropane-1-carboxylate (4aaa):



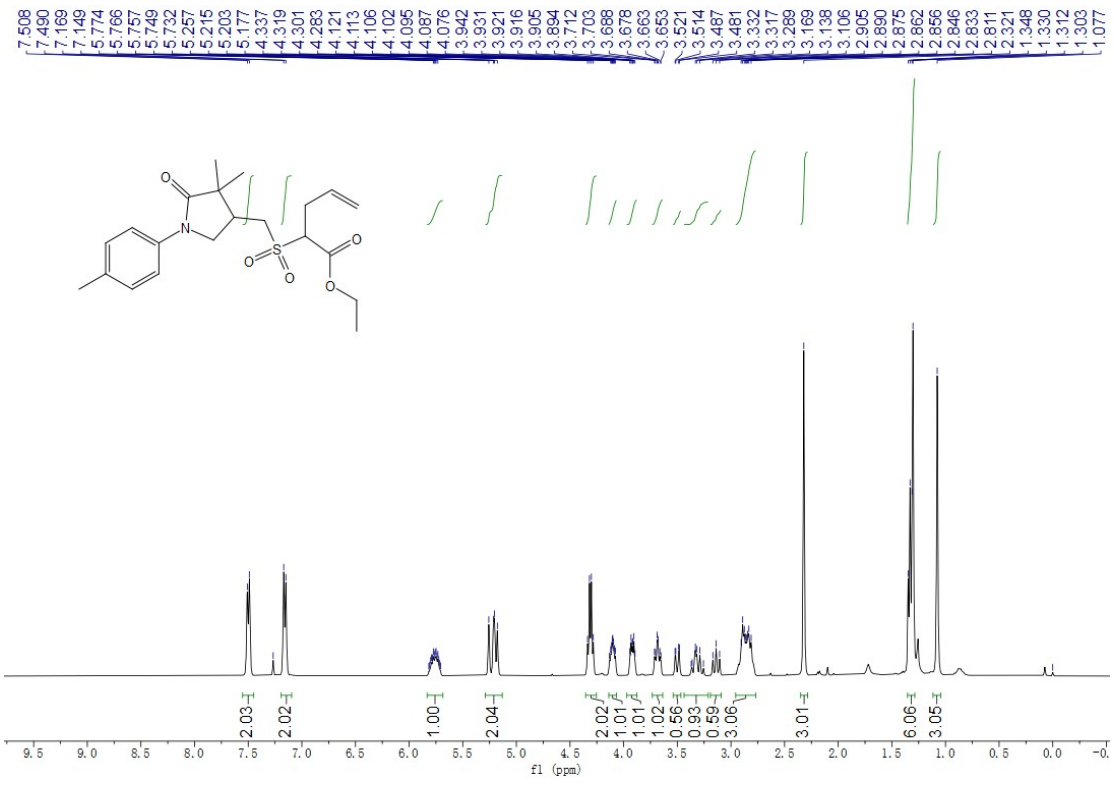


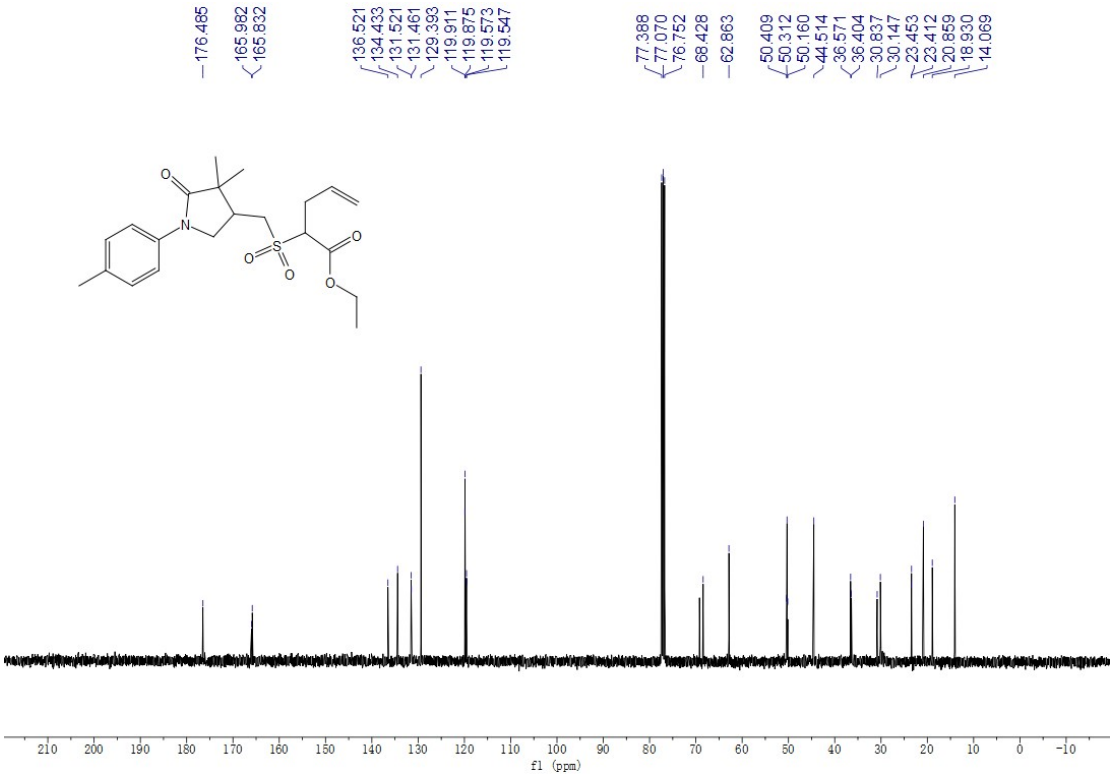
ethyl 1-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)cyclopentane-1-carboxylate (4aab):



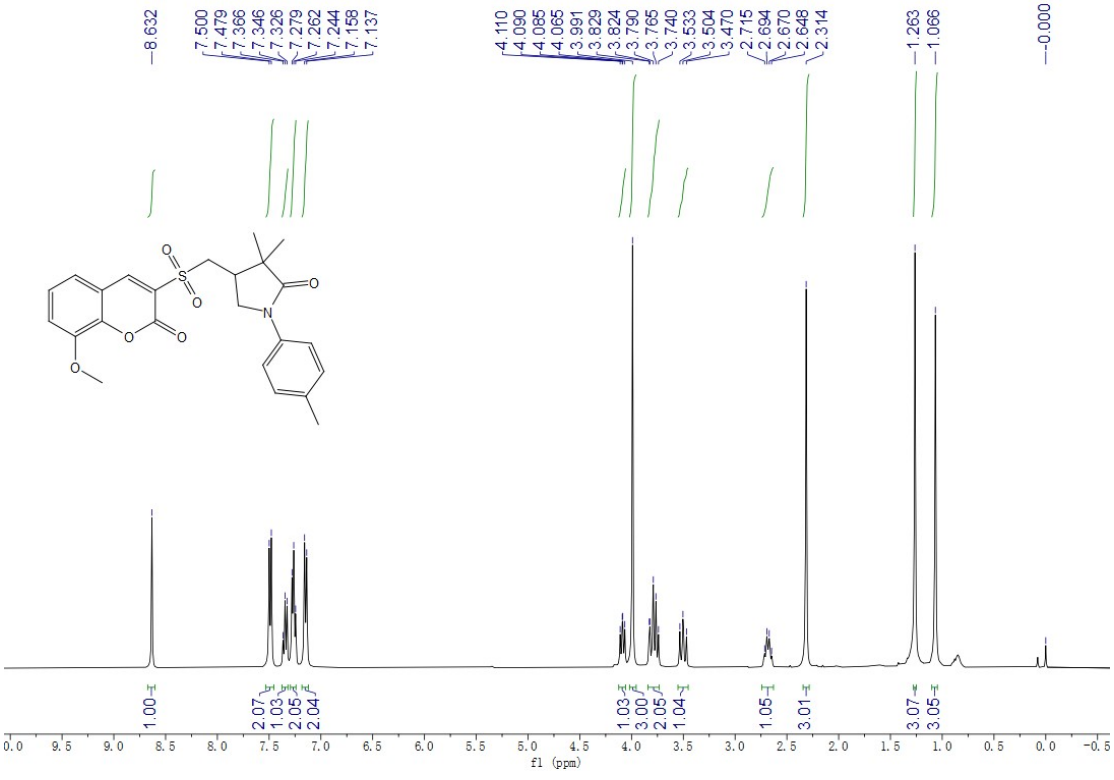


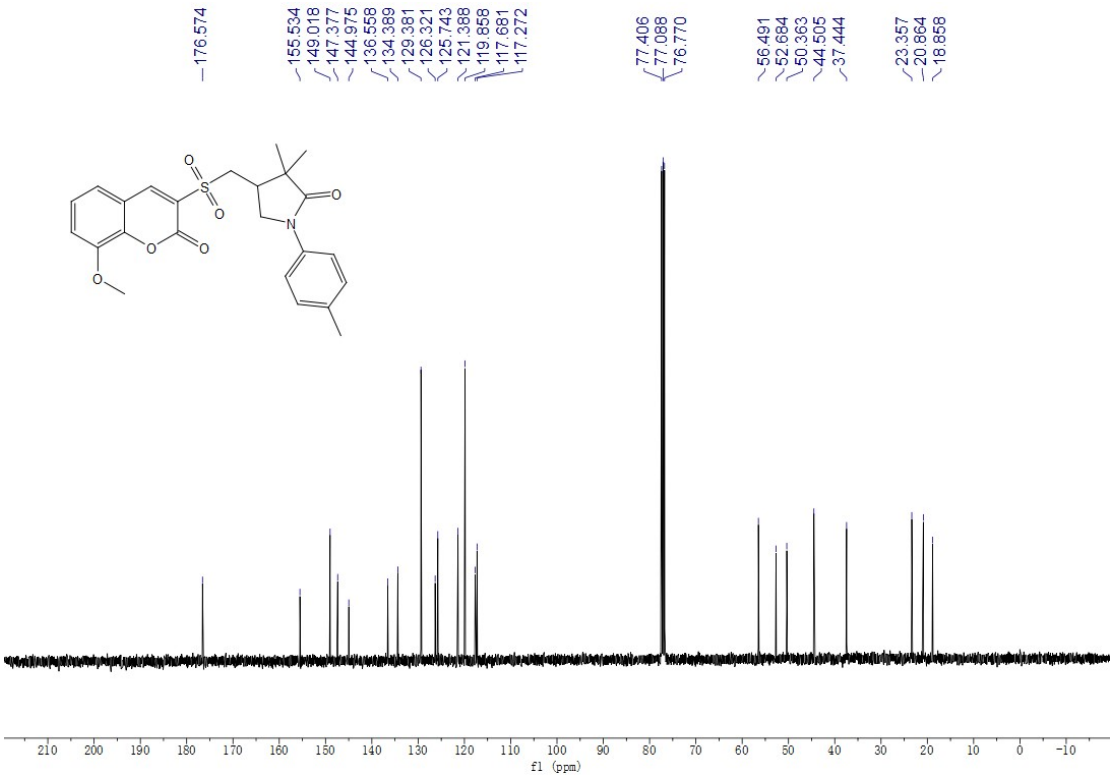
ethyl 2-(((4,4-dimethyl-5-oxo-1-(p-tolyl)pyrrolidin-3-yl)methyl)sulfonyl)pent-4-enoate (4aac) (d.r. = 1: 1):





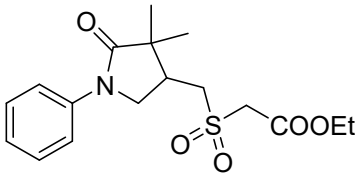
4-(((8-methoxy-2-oxo-2*H*-chromen-3-yl)sulfonyl)methyl)-3,3-dimethyl-1-(*p*-tolyl)pyrrolidin-2-one (4aad):



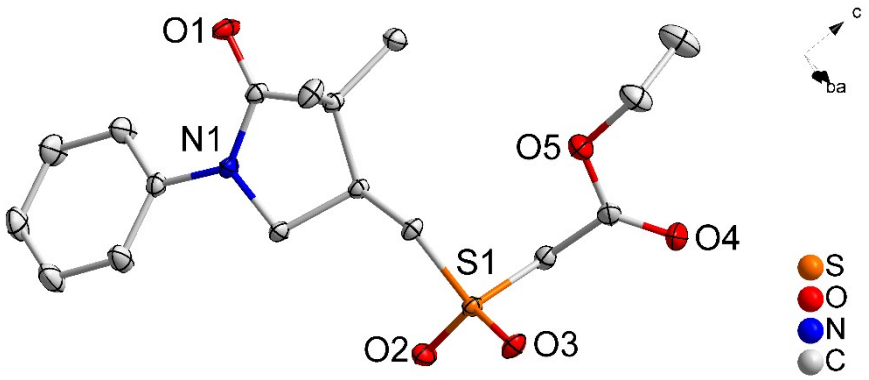


(F) The X-ray single-crystal diffraction analysis of compound 3ba

Product **3ba** was dissolved in 3 ml of mixed solvent (DCM/PE: 1 : 2), and then slowly volatilized at room temperature. Several days later, the crystal was grown at room temperature. A suitable crystal was selected on a SuperNova, Dual, Cu at zero, AtlasS2 diffractometer. The crystal was kept at 199.99(10) K during data collection.



compound 3ba



CCDC: 2294732

Figure S5. ORTEP drawing of 3ba with 30% thermal ellipsoids. H atoms are omitted for clarity.

Table 1 Crystal data and structure refinement for exp_10772 (3ab).

Identification code	exp_10772
Empirical formula	$C_{17}H_{23}NO_5S$
Formula weight	353.42
Temperature/K	179.99(10)
Crystal system	monoclinic
Space group	$P2_1/c$
a/Å	11.4749(4)
b/Å	7.8987(3)
c/Å	19.8163(7)
α /°	90
β /°	92.543(3)
γ /°	90
Volume/Å^3	1794.32(11)
Z	4
ρ_{calc}g/cm^3	1.308
μ /mm^{-1}	0.206
F(000)	752.0
Crystal size/mm^3	0.15 × 0.13 × 0.09
Radiation	Mo Kα (λ = 0.71073)

2Θ range for data collection/°	5.552 to 54.958
Index ranges	$-14 \leqslant h \leqslant 14, -10 \leqslant k \leqslant 10, -25 \leqslant l \leqslant 25$
Reflections collected	18944
Independent reflections	4097 [R_{int} = 0.0241, R_{sigma} = 0.0199]
Data/restraints/parameters	4097/0/220
Goodness-of-fit on F^2	1.048
Final R indexes [I>=2 σ (I)]	R_1 = 0.0355, wR_2 = 0.0943
Final R indexes [all data]	R_1 = 0.0394, wR_2 = 0.0966
Largest diff. peak/hole / e $Å^{-3}$	0.38/-0.32