Supporting Information

Chunzhi Ju, Peng Wang, Lingkai Kong, Jisheng Cai, Guangliang Zhang* and Suoqin Zhang *

College of Chemistry, Jilin University, 2699 Qianjin Street, Changchun 130012, People's Republic of China.

Fax: (+86)-431-8515-5252;

phone: (+86)-431-8515-5252;

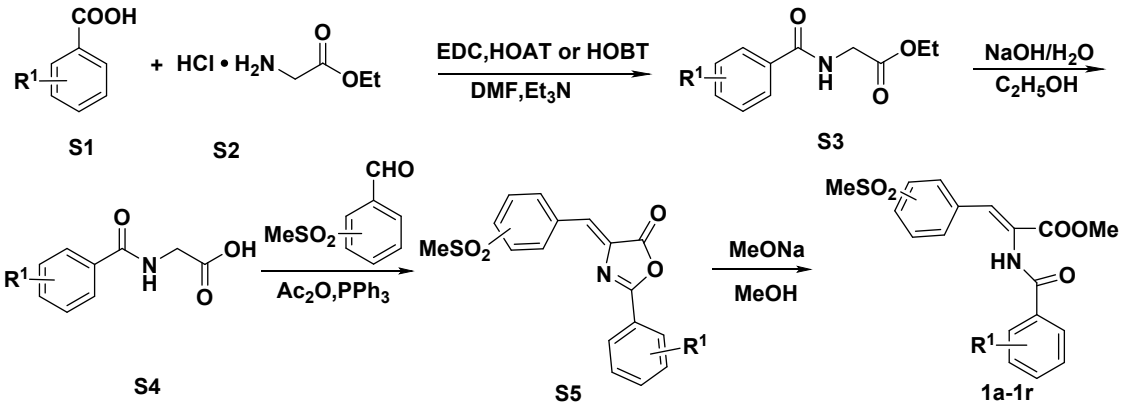
e-mail: suoqin@jlu.edu.cn.

content

1. General Experimental Information

The solvents used were purified by distillation. The alcohols were used without purification as commercially available. All reactions were monitored by thin layer chromatography and liquid chromatography. The reaction products were purified by flash column chromatography on 200-300 mesh silica gel. Optical rotations were measured using a 1.0 mL cell with a 1 cm path length on WZZ-2S digital polarimeter. ^{1}H NMR and ^{13}C NMR spectra were recorded on Bruker 400 MHz spectrometers (400 MHz for ^{1}H NMR and 101 MHz for ^{13}C NMR). The following abbreviations are used: s, singlet, d, doublet, t, triplet, m, multiplet, High-resolution mass spectral analysis (HRMS) datas were measured on a Bruker micrOTOF QII mass spectrometer based on the ion trap ESI system. Enantiomeric excess values were measured by analytical HPLC with Daicel ChiralPak OD-H and OJ-H columns.

2. Synthesis of methyl *α*-benzamidocinnamate (1a-1r)



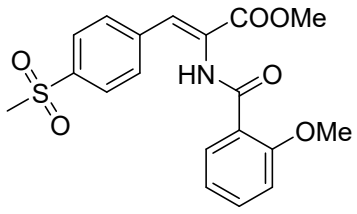
A mixture of benzoic acid derivative S1(15.00 mmol), glycine ethyl ester hydrochloride (18.00 mmol), HOAT or HOBT (19.50 mmol), triethylamine (45.00 mmol), and DMF (15 mL) was cooled to 0°C, then EDC (19.50 mmol) was added. After stirring at 0°C for 1 hour, ethyl acetate (100 mL) was added. The resulting mixture was washed with 5% hydrochloric acid and 5% $NaHCO_3$. The organic phases were

combined and concentrated. The crude product (**S3**) was used without further purification in the next step.

The S3 (19.63 mmol) was dissolved in a 50% ethanol-water solution (30 mL), and NaOH (2 equiv.) were added. The mixture was stirred at room temperature for 1 hour, followed by evaporation of the ethanol, and extracted with ethyl acetate. The aqueous phase treated with 1N HCl solution to pH< 2. resulting in the precipitation of the hippuric acid derivative (S4).

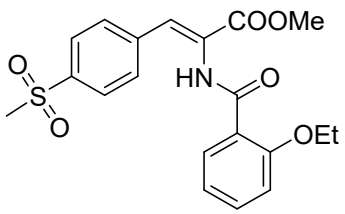
The S4 (3.87 mmol), methylsulfonylbenzaldehyde (4.46 mmol), triphenylphosphine (0.19 mmol), and acetic anhydride (3 mL) were mixed and heated to 140°C for a reaction lasting 0.5-8 h. After natural cooling to room temperature, the resulting oxazolone derivative (S5) was washed with hot water and ice-cold ethanol, then dried to constant weight.

The oxazolone derivative (S5, 1.30 mmol) was mixed with sodium methoxide (0.26 mmol) and methanol (5 mL) and stirred at room temperature for 5 minutes to 2 hours. Finally, the mixture was purified by column chromatography (petroleum ether/ethyl acetate = 1:1) to isolate the catalytic substrate (**1a-1r**).



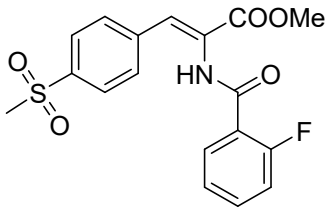
Methyl 4-methylsulfonyl-α-(2-methoxybenzamido)cinnamate (1a)

White solid (497.6 mg, 98% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.00 (s, 1H), 7.96 (s, 4H), 7.70 (d, J = 7.2 Hz, 1H), 7.55 (t, J = 7.7 Hz, 1H), 7.31 (s, 1H), 7.22 (d, J = 8.4 Hz, 1H), 7.08 (t, J = 7.5 Hz, 1H), 3.95 (s, 3H), 3.78 (s, 3H), 3.25 (s, 3H).



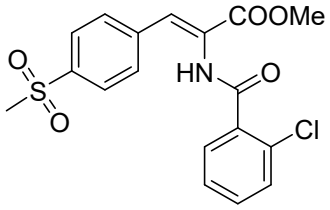
Methyl 4-methylsulfonyl-α-(2-ethoxybenzamido)cinnamate (1b)

White solid (505.1 mg, 96% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.96 (s, 1H), 7.96 – 7.86 (m, 4H), 7.75 (d, J = 7.6 Hz, 1H), 7.54 (t, J = 7.8 Hz, 1H), 7.34 (s, 1H), 7.21 (d, J = 8.4 Hz, 1H), 7.07 (t, J = 7.5 Hz, 1H), 4.23 (q, J = 6.9 Hz, 2H), 3.79 (s, 3H), 3.24 (s, 3H), 1.29 (t, J = 6.9 Hz, 3H).



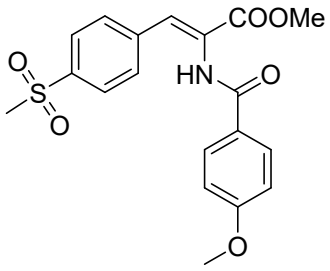
Methyl 4-methylsulfonyl-α-(2-fluorobenzamido)cinnamate (1c)

White solid (487.1 mg, 99% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.21 (s, 1H), 7.98 – 7.91 (m, 4H), 7.64 (dt, J = 30.3, 6.8 Hz, 2H), 7.45 (s, 1H), 7.35 (q, J = 8.7, 7.1 Hz, 2H), 3.78 (s, 3H), 3.25 (s, 3H).



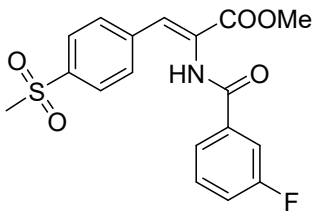
Methyl 4-methylsulfonyl-α-(2-chlorobenzamido)cinnamate (1d)

White solid (487.8 mg, 95% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.38 (s, 1H), 8.00 – 7.93 (m, 4H), 7.58 – 7.44 (m, 5H), 3.80 (s, 3H), 3.25 (s, 3H).



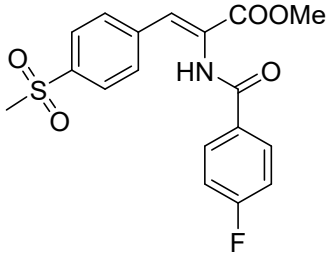
Methyl 4-methylsulfonyl-α-(4-methoxybenzamido)cinnamate (1e)

White solid (487.4 mg, 96% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.09 (s, 1H), 7.99 – 7.83 (m, 6H), 7.38 (s, 1H), 7.06 (d, J = 8.7 Hz, 2H), 3.84 (s, 3H), 3.75 (s, 3H), 3.22 (s, 3H).



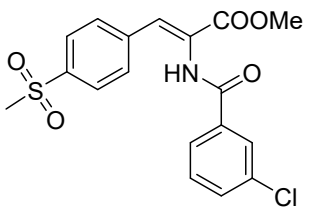
Methyl 4-methylsulfonyl-α-(3-fluorobenzamido)cinnamate (1f)

White solid (472.4 mg, 96% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.34 (s, 1H), 7.95 (d, J = 8.3 Hz, 2H), 7.88 (d, J = 8.4 Hz, 2H), 7.83 (d, J = 7.7 Hz, 1H), 7.76 (d, J = 9.6 Hz, 1H), 7.61 (q, J = 7.9 Hz, 1H), 7.48 (d, J = 10.8 Hz, 2H), 3.76 (s, 3H), 3.22 (s, 3H).



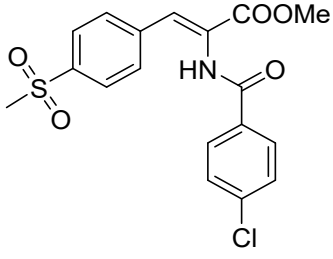
Methyl 4-methylsulfonyl-α-(4-fluorobenzamido)cinnamate (1g)

White solid (457.6 mg, 93% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.28 (s, 1H), 8.04 (dd, J = 8.2, 5.7 Hz, 2H), 7.94 (d, J = 8.4 Hz, 2H), 7.87 (d, J = 8.3 Hz, 2H), 7.44 (s, 1H), 7.38 (t, J = 8.7 Hz, 2H), 3.75 (s, 3H), 3.22 (s, 3H).



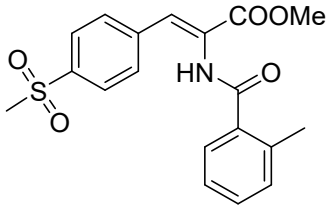
Methyl 4-methylsulfonyl-α-(3-chlorobenzamido)cinnamate (1h)

White solid (498.1 mg, 97% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.33 (s, 1H), 7.98 (d, J = 8.3 Hz, 2H), 7.96 – 7.83 (m, 4H), 7.62 (d, J = 8.4 Hz, 2H), 7.45 (s, 1H), 3.76 (s, 3H), 3.22 (s, 3H).



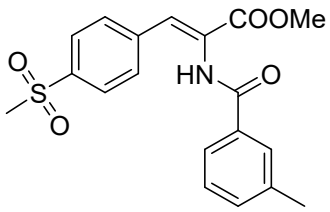
Methyl 4-methylsulfonyl-α-(4-chlorobenzamido)cinnamate (1i)

White solid (508.4 mg, 99% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.33 (s, 1H), 7.98 (d, J = 8.3 Hz, 2H), 7.96 – 7.83 (m, 4H), 7.62 (d, J = 8.4 Hz, 2H), 7.45 (s, 1H), 3.76 (s, 3H), 3.22 (s, 3H).



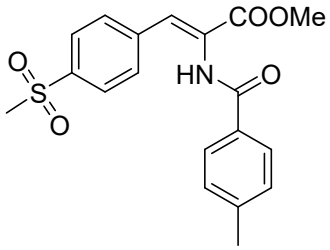
Methyl 4-methylsulfonyl-α-(2-methylbenzamido)cinnamate (1j)

White solid (482.0 mg, 99% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.15 (s, 1H), 7.98 (d, J = 8.3 Hz, 2H), 7.90 (d, J = 8.3 Hz, 2H), 7.50 (d, J = 7.4 Hz, 1H), 7.44 – 7.36 (m, 2H), 7.31 (t, J = 7.2 Hz, 2H), 3.79 (s, 3H), 3.24 (s, 3H), 2.39 (s, 3H).



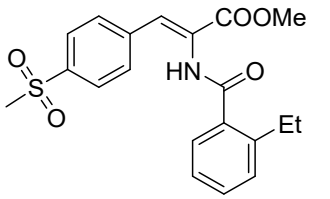
Methyl 4-methylsulfonyl-α-(3-methylbenzamido)cinnamate (1k)

White solid (472.3 mg, 97% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.21 (s, 1H), 7.94 (d, J = 8.2 Hz, 2H), 7.87 (d, J = 8.3 Hz, 2H), 7.81 – 7.73 (m, 2H), 7.42 (d, J = 3.9 Hz, 3H), 3.75 (s, 3H), 3.22 (s, 3H), 2.39 (s, 3H).



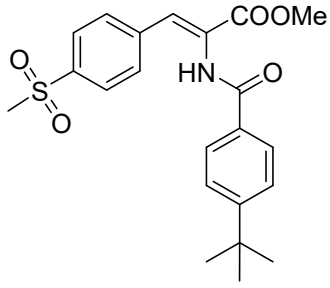
Methyl 4-methylsulfonyl-α-(4-methylbenzamido)cinnamate (1l)

White solid (462.5 mg, 95% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.16 (s, 1H), 7.93 (d, J = 8.3 Hz, 2H), 7.87 (dd, J = 7.7, 3.5 Hz, 4H), 7.41 (s, 1H), 7.34 (d, J = 7.9 Hz, 2H), 3.75 (s, 3H), 3.22 (s, 3H), 2.39 (s, 3H).



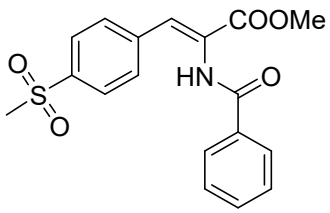
Methyl 4-methylsulfonyl-α-(2-ethylbenzamido)cinnamate (1m)

White solid (490.0 mg, 96% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.19 (s, 1H), 7.98 (d, J = 8.3 Hz, 2H), 7.91 (d, J = 8.3 Hz, 2H), 7.50 – 7.40 (m, 3H), 7.35 – 7.29 (m, 2H), 3.79 (s, 3H), 3.24 (s, 3H), 2.76 (q, J = 7.4 Hz, 2H), 1.17 (t, J = 7.5 Hz, 3H).



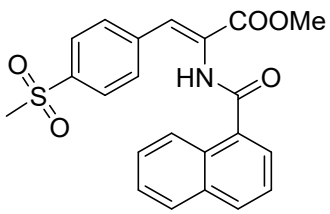
Methyl 4-methylsulfonyl-α-(4-(tert-butyl)benzamido)cinnamate (1n)

White solid (520.1 mg, 96% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.19 (s, 1H), 8.00 – 7.86 (m, 6H), 7.55 (d, J = 8.4 Hz, 2H), 7.43 (s, 1H), 3.75 (s, 3H), 3.22 (s, 3H), 1.32 (s, 9H).



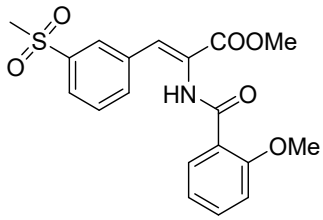
Methyl 4-methylsulfonyl-α-benzamidocinnamate (1o)

White solid (463.9 mg, 99% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.25 (s, 1H), 7.99 – 7.92 (m, 4H), 7.88 (d, J = 8.4 Hz, 2H), 7.62 (t, J = 7.2 Hz, 1H), 7.54 (t, J = 7.5 Hz, 2H), 7.44 (s, 1H), 3.76 (s, 3H), 3.22 (s, 3H).



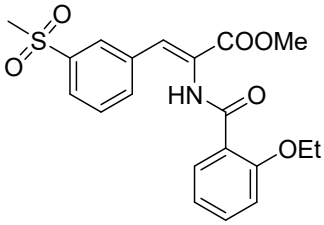
Methyl 4-methylsulfonyl-α-(1-naphthamido)cinnamate (1p)

White solid (507.1 mg, 95% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.45 (s, 1H), 8.29 (d, J = 8.3 Hz, 1H), 8.09 (d, J = 8.2 Hz, 1H), 7.99 (p, J = 9.0, 8.6 Hz, 5H), 7.79 (d, J = 6.9 Hz, 1H), 7.67 – 7.55 (m, 3H), 7.50 (s, 1H), 3.86 (s, 3H), 3.25 (s, 3H).



Methyl 3-methylsulfonyl-α-(2-methoxybenzamido)cinnamate (1q)

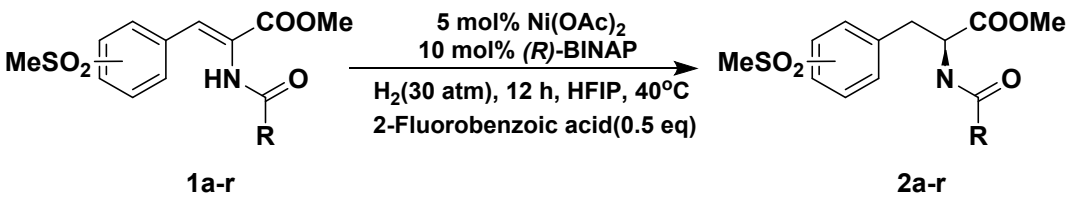
White solid (482.4 mg, 95% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.97 (s, 1H), 8.20 (s, 1H), 8.07 (d, J = 7.9 Hz, 1H), 7.90 (d, J = 7.9 Hz, 1H), 7.75 – 7.69 (m, 2H), 7.54 (d, J = 8.6 Hz, 1H), 7.39 (s, 1H), 7.21 (d, J = 8.4 Hz, 1H), 7.07 (t, J = 7.5 Hz, 1H), 3.93 (s, 3H), 3.78 (s, 3H), 3.18 (s, 3H).



Methyl 3-methylsulfonyl-α-(2-ethoxybenzamido)cinnamate (1r)

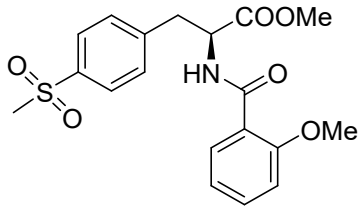
White solid (510.3 mg, 97% yield). ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.97 (s, 1H), 8.13 (s, 1H), 8.01 (d, J = 7.8 Hz, 1H), 7.90 (d, J = 7.9 Hz, 1H), 7.78 (d, J = 7.7 Hz, 1H), 7.69 (t, J = 7.8 Hz, 1H), 7.54 (t, J = 7.8 Hz, 1H), 7.41 (s, 1H), 7.22 (d, J = 8.4 Hz, 1H), 7.08 (t, J = 7.5 Hz, 1H), 4.23 (q, J = 6.9 Hz, 2H), 3.80 (s, 3H), 3.18 (s, 3H), 1.29 (t, J = 6.9 Hz, 3H).

3. Asymmetric hydrogenation of methylsulfonylcinnamic acid esters



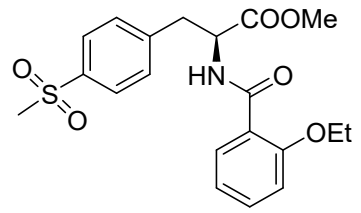
1a-r **2a-r**

$Ni(OAc)_2 \cdot 4H_2O$ (0.9 mg, 0.0050 mmol), (*R*)-BINAP (6.2 mg, 0.0100 mmol), **1** (0.1 mmol) were added to a hydrogenation reaction tube. Then, HFIP (3.0 mL) was transferred into the reaction tube, which was subsequently placed into a high-pressure reactor and charged with hydrogen gas 5 times. The reaction was carried out under H_2 (30 atm) at 40°C for 12 hours. After the reaction was complete, the gas was slowly and carefully released, the mixture was evaporated, and then purified by chromatography using a short silica column (PE: EA= 1:1). Thus, obtain the product (**2a-2r**).



Methyl (*S*)-2-(2-methoxybenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2a)

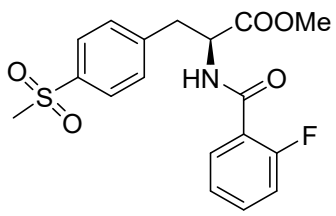
Colorless oil (36.4 mg, 93% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (d, *J* = 7.2 Hz, 1H), 8.15 (d, *J* = 7.8 Hz, 1H), 7.83 (d, *J* = 7.9 Hz, 2H), 7.45 (t, *J* = 8.0 Hz, 1H), 7.35 (d, *J* = 7.9 Hz, 2H), 7.06 (t, *J* = 7.6 Hz, 1H), 6.95 (d, *J* = 8.4 Hz, 1H), 5.11 (q, *J* = 6.3 Hz, 1H), 3.84 (s, 3H), 3.74 (s, 3H), 3.39 (dd, *J* = 13.6, 5.5 Hz, 1H), 3.29 (dd, *J* = 13.6, 5.1 Hz, 1H), 3.02 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.64, 164.84, 157.76, 143.14, 139.24, 133.36, 132.18, 130.46, 127.44, 121.34, 120.61, 111.53, 55.97, 53.57, 52.52, 44.49, 37.78. HRMS (ESI) m/z calcd for $C_{19}H_{21}NO_6S$ $[M+H]^+$ 392.1162, found: 392.1167. HPLC conditions: Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 29.7 min, t_{minor} = 25.5 min, ee = 96%. $[a]_D^{25} = +21.21$ (*c*=0.99, MeOH).



Methyl (*S*)-2-(2-ethoxybenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2b)

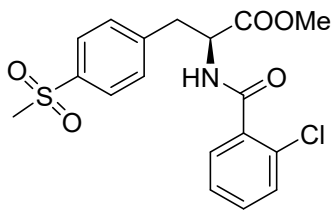
Colorless oil (40.1 mg, 99% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.74 (d, *J* = 7.0 Hz, 1H), 8.22 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.84 (d, *J* = 8.2 Hz, 2H), 7.46 (t, *J* = 8.6 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 2H), 7.08 (t, *J* = 7.6 Hz, 1H), 6.95 (d, *J* = 8.3 Hz, 1H), 5.18 (q, *J* = 5.7 Hz, 1H), 4.12 (q, *J* = 7.0 Hz, 2H), 3.75 (s, 3H), 3.43 (dd, *J* = 13.7, 6.0 Hz, 1H), 3.31 (dd, *J* = 13.7, 4.9 Hz, 1H), 3.03 (s, 3H), 1.29 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.52, 164.78, 157.34, 143.17, 139.21, 133.34, 132.22, 130.49, 127.40, 121.19, 120.43, 112.32, 64.79, 53.63, 52.43, 44.51, 37.92, 14.50. HRMS (ESI) m/z calcd for $C_{20}H_{23}NO_6S$

$[M+H]^+$ 405.1915, found: 405.1924. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 21.0 min, t_{minor} = 17.1 min, ee = 92%.$[a]_D^{25} = +39.80$ (*c*=0.98, MeOH).



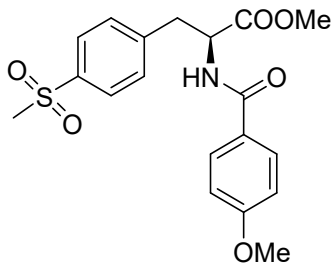
Methyl (*S*)-2-(2-fluorobenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2c)

White solid (36.8 mg, 97% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.07 (t, *J* = 7.8 Hz, 1H), 7.89 (d, *J* = 8.0 Hz, 2H), 7.52 (q, *J* = 6.9 Hz, 1H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.32 – 7.26 (m, 2H), 7.15 (dd, *J* = 12.0, 8.4 Hz, 1H), 5.16 (q, *J* = 6.0 Hz, 1H), 3.80 (s, 3H), 3.44 (dd, *J* = 13.9, 5.7 Hz, 1H), 3.32 (dd, *J* = 13.8, 5.8 Hz, 1H), 3.06 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.21, 162.92, 162.89, 142.59, 133.94, 133.85, 131.91, 130.35, 127.65, 124.94, 124.91, 116.35, 116.11, 53.58, 52.71, 44.52, 37.79.HRMS (ESI) m/z calcd for $C_{18}H_{18}FNO_5S$ $[M+H]^+$ 380.0973, found: 380.0978. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 80/20, 254 nm, 1.0 mL/min, t_{major} = 77.1 min, t_{minor} = 71.0 min, ee = 95%.$[a]_D^{25} = +14.85$ (*c*=1.01, MeOH).



Methyl (*S*)-2-(2-chlorobenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2d)

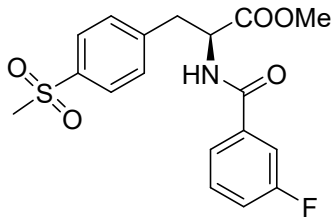
White solid (37.2 mg, 94% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 (d, *J* = 8.2 Hz, 2H), 7.61 (d, *J* = 6.9 Hz, 1H), 7.36 (dd, *J* = 25.5, 7.7 Hz, 5H), 6.81 (d, *J* = 6.8 Hz, 1H), 5.13 (q, *J* = 5.9 Hz, 1H), 3.79 (s, 3H), 3.46 (dd, *J* = 13.9, 5.8 Hz, 1H), 3.30 (dd, *J* = 13.9, 5.8 Hz, 1H), 3.03 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.18, 165.90, 142.54, 139.47, 133.92, 131.83, 130.85, 130.48, 130.45, 130.19, 127.63, 127.21, 53.66, 52.76, 44.51, 37.71. HRMS (ESI) m/z calcd for $C_{18}H_{18}ClNO_5S$ $[M+H]^+$: 396.0667. Found:396.0674. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 15.6 min, t_{minor} = 13.2 min, ee = 91%.$[a]_D^{25} = +9.00$ (*c*=1.00, MeOH).



Methyl (*S*)-2-(4-methoxybenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2e)

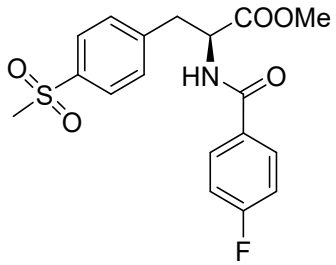
White solid (38.3 mg, 98% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.85 (d, *J* = 7.8 Hz, 2H), 7.71 (d, *J* = 8.2 Hz, 2H), 7.34 (d, *J* = 7.8 Hz, 2H), 6.92 (d, *J* = 8.2 Hz, 2H), 6.59 (d, *J* = 6.9 Hz, 1H), 5.10 (q, *J*

= 5.8 Hz, 1H), 3.85 (s, 3H), 3.78 (s, 3H), 3.41 (dd, *J* = 13.7, 5.8 Hz, 1H), 3.29 (dd, *J* = 13.7, 5.1 Hz, 1H), 3.04 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.69, 166.40, 162.66, 142.82, 139.36, 130.41, 128.87, 127.59, 125.70, 113.94, 55.47, 53.31, 52.69, 44.49, 37.82. HRMS (ESI) m/z Calcd for $C_{19}H_{21}NO_6S$ $[M+H]^+$: 392.1162. Found:392.1169. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 31.4 min, t_{minor} = 23.8 min, ee = 78%.$[a]_D^{25} = +11.22$ (*c*=0.98, MeOH).



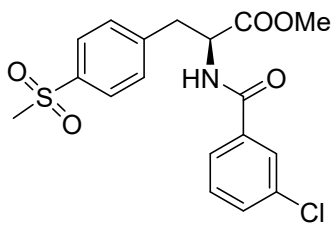
Methyl (*S*)-2-(3-fluorobenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2f)

White solid (36.0 mg, 95% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.85 (d, *J* = 8.0 Hz, 2H), 7.53 – 7.30 (m, 5H), 7.21 (t, *J* = 7.9 Hz, 1H), 6.74 (d, *J* = 7.0 Hz, 1H), 5.09 (q, *J* = 6.1 Hz, 1H), 3.78 (s, 3H), 3.41 (dd, *J* = 13.8, 5.8 Hz, 1H), 3.29 (dd, *J* = 13.8, 5.6 Hz, 1H), 3.03 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.40, 165.65, 164.02, 161.56, 142.55, 139.48, 135.75, 135.68, 130.53, 130.46, 130.35, 127.67, 122.40, 122.38, 119.25, 119.04, 114.62, 114.39, 53.45, 52.83, 44.48, 37.68. HRMS (ESI) m/z Calcd for $C_{18}H_{18}FNO_5S$ $[M+H]^+$: 380.0973. Found:380.0985. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 16.2 min, t_{minor} = 13.1 min, ee = 62%.$[a]_D^{25} = +11.00$ (*c*=1.00, MeOH).



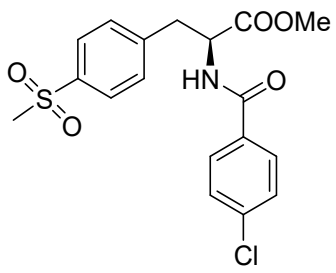
Methyl (*S*)-2-(4-fluorobenzamido)-3-(4-methylsulfonyl) phenyl)propanoate (2g)

White solid (37.6 mg, 99% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 (d, *J* = 7.8 Hz, 2H), 7.80 – 7.68 (m, 2H), 7.34 (d, *J* = 7.8 Hz, 2H), 7.12 (t, *J* = 8.3 Hz, 2H), 6.62 (d, *J* = 5.9 Hz, 1H), 5.10 (q, *J* = 5.9 Hz, 1H), 3.79 (s, 3H), 3.42 (dd, *J* = 13.8, 5.8 Hz, 1H), 3.30 (dd, *J* = 13.8, 5.1 Hz, 1H), 3.04 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.51, 165.81, 142.60, 139.52, 130.36, 129.43, 129.34, 127.65, 115.98, 115.76, 53.42, 52.77, 44.47, 37.78. HRMS (ESI) m/z calcd for $C_{18}H_{18}FNO_5S$ $[M+H]^+$: 380.0973.Found:380. 0978. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 17.6 min, t_{minor} = 14.3 min, ee = 60%.$[a]_D^{25} = +12.63$ (*c*=0.95, MeOH).



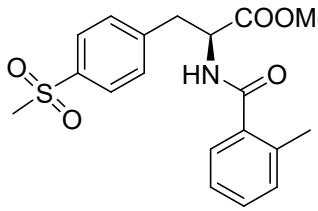
Methyl (*S*)-2-(3-chlorobenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2h)

White solid (38.0 mg, 96% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.85 (d, *J* = 8.2 Hz, 2H), 7.72 (s, 1H), 7.58 (d, *J* = 7.8 Hz, 1H), 7.49 (d, *J* = 7.4 Hz, 1H), 7.36 (dd, *J* = 16.2, 8.0 Hz, 3H), 6.72 (s, 1H), 5.08 (q, *J* = 5.8 Hz, 1H), 3.79 (s, 3H), 3.41 (dd, *J* = 13.8, 5.8 Hz, 1H), 3.29 (dd, *J* = 13.9, 5.6 Hz, 1H), 3.03 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.41, 165.61, 142.55, 139.50, 135.25, 134.97, 132.12, 130.34, 130.09, 127.67, 127.45, 125.00, 53.46, 52.82, 44.49, 37.69. HRMS (ESI) m/z calcd for $C_{18}H_{18}ClNO_5S$ $[M+H]^+$: 396.0667.Found:396.0678. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 14.8 min, t_{minor} = 11.8 min, ee = 58%.$[a]_D^{25} = +\ 11.65$ (*c*=1.03, MeOH).



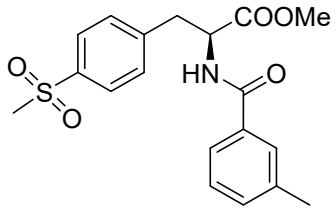
Methyl (*S*)-2-(4-chlorobenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2i)

White solid (35.6 mg, 90% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.1 Hz, 2H), 7.67 (d, *J* = 8.5 Hz, 2H), 7.40 (d, *J* = 7.7 Hz, 2H), 7.33 (d, *J* = 8.2 Hz, 2H), 6.70 (s, 1H), 5.08 (q, *J* = 5.9 Hz, 1H), 3.78 (s, 3H), 3.40 (dd, *J* = 13.8, 5.8 Hz, 1H), 3.29 (dd, *J* = 13.8, 5.5 Hz, 1H), 3.03 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.46, 165.86, 142.61, 139.48, 138.41, 131.82, 130.35, 129.03, 128.46, 127.64, 53.44, 52.80, 44.47, 37.70. HRMS (ESI) m/z calcd for $C_{18}H_{18}ClNO_5S$ $[M+H]^+$: 396.0667. Found:396.0679. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 18.3 min, t_{minor} = 14.3 min, ee = 57%.$[a]_D^{25} = +\ 8.91$ (*c*=1.01, MeOH).



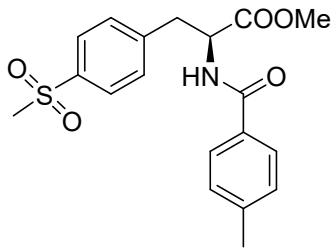
Methyl (*S*)-2-(2-methylbenzamido)-3-(4-methylsulfonyl) phenyl)propanoate (2j)

White solid (37.1 mg, 90% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.90 – 7.76 (m, 2H), 7.40 – 7.26 (m, 4H), 7.24 – 7.15 (m, 2H), 6.34 (d, *J* = 7.2 Hz, 1H), 5.11 (q, *J* = 7.5, 6.7 Hz, 1H), 3.79 (s, 3H), 3.43 (dd, *J* = 13.8, 5.5 Hz, 1H), 3.25 (dd, *J* = 13.9, 6.3 Hz, 1H), 3.02 (s, 3H), 2.36 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.52, 169.45, 142.74, 139.44, 136.47, 135.14, 131.27, 130.44, 130.37, 127.66, 126.74, 125.88, 53.11, 52.76, 44.50, 37.89, 19.80. HRMS (ESI) m/z calcd for $C_{19}H_{21}NO_5S$ $[M+H]^+$: 376.1213. Found:376.1231. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 15.8 min, t_{minor} = 12.7 min, ee = 54%.$[a]_D^{25} = +\ 9.00$ (*c*=1.00, MeOH).



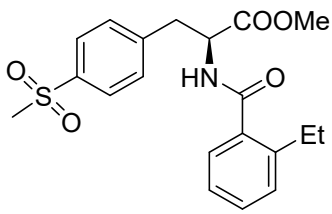
Methyl (*S*)-2-(3-methylbenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2k)

White solid (36.0 mg, 96% yield). ^{1}H NMR (400 MHz, Chloroform-d) δ 7.85 (d, J = 8.0 Hz, 2H), 7.56 (s, 1H), 7.50 (d, J = 6.2 Hz, 1H), 7.33 (q, J = 8.2, 7.6 Hz, 4H), 6.66 (d, J = 6.7 Hz, 1H), 5.11 (q, J = 5.9 Hz, 1H), 3.78 (s, 3H), 3.43 (dd, J = 13.8, 5.8 Hz, 1H), 3.30 (dd, J = 13.8, 5.2 Hz, 1H), 3.04 (s, 3H), 2.39 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-d) δ 171.60, 167.09, 142.74, 139.38, 138.69, 133.45, 132.85, 130.40, 128.63, 127.74, 127.61, 123.93, 53.36, 52.74, 44.49, 37.77, 21.37. HRMS (ESI) m/z calcd for $C_{19}H_{21}NO_5S$ $[M+H]^+$: 376.1213. Found:376.1224. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 12.7 min, t_{minor} = 10.4 min, ee = 70%. $[a]_D^{25} = +8.25$ (*c*=0.97, MeOH).



Methyl (*S*)-2-(4-methylbenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2l)

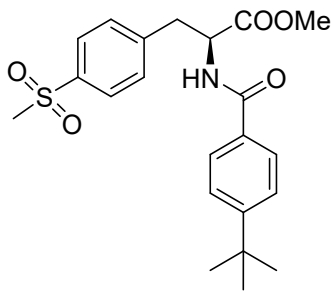
White solid (36.8 mg, 98% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.88 (d, *J* = 7.9 Hz, 2H), 7.67 (d, *J* = 7.8 Hz, 2H), 7.37 (d, *J* = 7.9 Hz, 2H), 7.29 (d, *J* = 4.7 Hz, 2H), 6.67 (d, *J* = 6.8 Hz, 1H), 5.14 (q, *J* = 5.9 Hz, 1H), 3.81 (s, 3H), 3.45 (dd, *J* = 13.8, 5.8 Hz, 1H), 3.33 (dd, *J* = 13.8, 5.2 Hz, 1H), 3.07 (s, 3H), 2.43 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.61, 166.81, 142.76, 142.66, 139.41, 130.65, 130.40, 129.41, 127.60, 126.99, 53.32, 52.69, 44.49, 37.81, 21.49. HRMS (ESI) m/z calcd for $C_{19}H_{21}NO_5S$ $[M+H]^+$: 376.1213. Found: 376.1228. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 18.9 min, t_{minor} = 14.8 min, ee = 70%. $[a]_D^{25} = +6.93$ (*c*=1.01, MeOH).



Methyl (*S*)-2-(2-ethylbenzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2m)

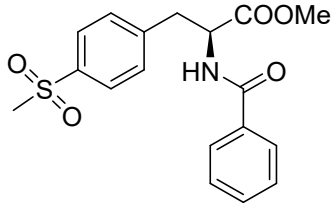
White solid (37.0 mg, 95% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.89 (d, *J* = 7.8 Hz, 2H), 7.39 (dd, *J* = 17.0, 7.8 Hz, 3H), 7.27 (d, *J* = 8.7 Hz, 2H), 7.21 (t, *J* = 7.4 Hz, 1H), 6.40 – 6.30 (m, 1H), 5.14 (q, *J* = 6.4 Hz, 1H),

3.81 (s, 3H), 3.45 (dd, *J* = 13.9, 5.6 Hz, 1H), 3.27 (dd, *J* = 13.9, 6.5 Hz, 1H), 3.05 (s, 3H), 2.73 (q, *J* = 7.5 Hz, 2H), 1.19 (t, *J* = 7.5 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.50, 169.68, 142.76, 142.73, 139.43, 134.92, 130.54, 130.34, 129.71, 127.67, 126.77, 125.87, 53.09, 52.75, 44.50, 37.86, 26.26, 15.95. HRMS (ESI) m/z calcd for $C_{20}H_{23}NO_5S$ $[M+H]^+$: 390.1370. Found: 390.1353. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 11.4 min, t_{minor} = 10.0 min, ee = 56%. $[a]_D^{25} = +17.48$ (*c*=1.03, MeOH).



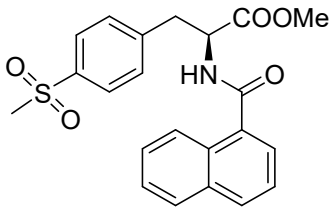
Methyl (*S*)-2-(4-(tert-butyl)benzamido)-3-(4-(methylsulfonyl) phenyl)propanoate (2n)

White solid (40.1 mg, 96% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.85 (d, *J* = 8.3 Hz, 2H), 7.68 (d, *J* = 8.4 Hz, 2H), 7.46 (d, *J* = 8.5 Hz, 2H), 7.34 (d, *J* = 8.2 Hz, 2H), 6.66 (d, *J* = 7.1 Hz, 1H), 5.12 (q, *J* = 5.7 Hz, 1H), 3.78 (s, 3H), 3.43 (dd, *J* = 13.8, 5.8 Hz, 1H), 3.30 (dd, *J* = 13.8, 5.3 Hz, 1H), 3.04 (s, 3H), 1.33 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.61, 166.84, 155.73, 142.75, 139.35, 130.57, 130.42, 127.62, 126.86, 125.73, 53.29, 52.74, 44.51, 37.77, 35.01, 31.14. HRMS (ESI) m/z calcd for $C_{22}H_{27}NO_5S$ $[M+H]^+$: 48.1730. Found: 418.1738. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 80/20, 254 nm, 1.0 mL/min, t_{major} = 32.8 min, t_{minor} = 27.8 min, ee = 66%. $[a]_D^{25} = +8.08$ (*c*=0.99, MeOH).



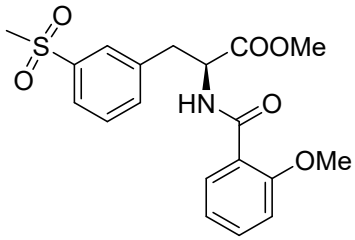
Methyl (*S*)-2-benzamido-3-(4-(methylsulfonyl)phenyl) propanoate (2o)

White solid (35.8 mg, 99% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.2 Hz, 2H), 7.73 (d, *J* = 7.3 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 2H), 7.34 (d, *J* = 8.2 Hz, 2H), 6.71 (d, *J* = 7.1 Hz, 1H), 5.11 (q, *J* = 5.8 Hz, 1H), 3.78 (s, 3H), 3.42 (dd, *J* = 13.8, 5.8 Hz, 1H), 3.29 (dd, *J* = 13.8, 5.4 Hz, 1H), 3.03 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.55, 166.94, 142.75, 139.39, 133.50, 132.09, 130.39, 128.76, 127.62, 127.01, 53.38, 52.74, 44.49, 37.74. HRMS (ESI) m/z .calcd for $C_{18}H1_9NO_5S$ $[M+H]^+$: 362.1057. Found:362.1065. Daicel Chiralcel OD-H column, *n*-hexane/ethanol = 70/30, 254 nm, 1.0 mL/min, t_{major} = 9.9 min, t_{minor} = 7.6 min, ee = 68%. $[a]_D^{25} = +13.00$ (*c*=1.00, MeOH).



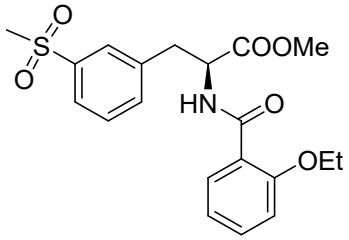
Methyl (*S*)-2-(1-naphthamido)-3-(4-(methylsulfonyl)phenyl) propanoate (2p)

White solid (39.9 mg, 99% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.22 – 8.16 (m, 1H), 7.91 (dd, *J* = 23.1, 8.1 Hz, 4H), 7.55 (t, *J* = 7.0 Hz, 3H), 7.44 (dd, *J* = 15.1, 7.8 Hz, 3H), 6.49 (d, *J* = 7.5 Hz, 1H), 5.27 (q, *J* = 6.3 Hz, 1H), 3.83 (s, 3H), 3.53 (dd, *J* = 14.0, 5.7 Hz, 1H), 3.32 (dd, *J* = 13.8, 6.3 Hz, 1H), 3.04 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.49, 169.00, 142.71, 139.48, 133.72, 133.18, 131.28, 130.43, 130.06, 130.03, 128.43, 127.71, 127.38, 126.63, 125.20, 125.10, 124.68, 53.31, 52.83, 44.50. HRMS (ESI) m/z calcd for $C_{22}H_{21}NO_5S$ $[M+H]^+$: 412.1247. Found:412.1254. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 70/30, 254 nm, 1.0 mL/min, t_{major} = 26.6 min, t_{minor} = 20.0 min, ee = 58%.$[a]_D^{25} = +12.63$ (*c*=0.95, MeOH).



Methyl (*S*)-2-(2-methoxybenzamido)-3-(3-(methylsulfonyl) phenyl)propanoate (2q)

Colorless oil (36.0 mg, 92% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.50 (d, *J* = 7.1 Hz, 1H), 8.15 (d, *J* = 7.8 Hz, 1H), 7.81 (d, *J* = 3.7 Hz, 1H), 7.73 (s, 1H), 7.48 (t, *J* = 5.7 Hz, 3H), 7.07 (t, *J* = 7.6 Hz, 1H), 6.97 (d, *J* = 8.3 Hz, 1H), 5.14 (q, *J* = 6.1 Hz, 1H), 3.89 (s, 3H), 3.78 (s, 3H), 3.42 (dd, *J* = 13.8, 5.4 Hz, 1H), 3.27 (dd, *J* = 13.8, 6.1 Hz, 1H), 2.93 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.72, 164.79, 157.82, 140.66, 138.43, 134.81, 133.36, 132.20, 129.46, 128.26, 125.94, 121.31, 120.53, 111.51, 56.06, 53.65, 52.58, 44.38, 37.88. HRMS (ESI) m/z calcd for $C_{19}H_{21}NO_6S$ $[M+H]^+$: 392.1162. Found:392.1168. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 80/20, 254 nm, 1.0 mL/min, t_{major} = 63.0 min, t_{minor} = 56.1 min, ee = 92%.$[a]_D^{25} = +19.79$ (*c*=0.96, MeOH).



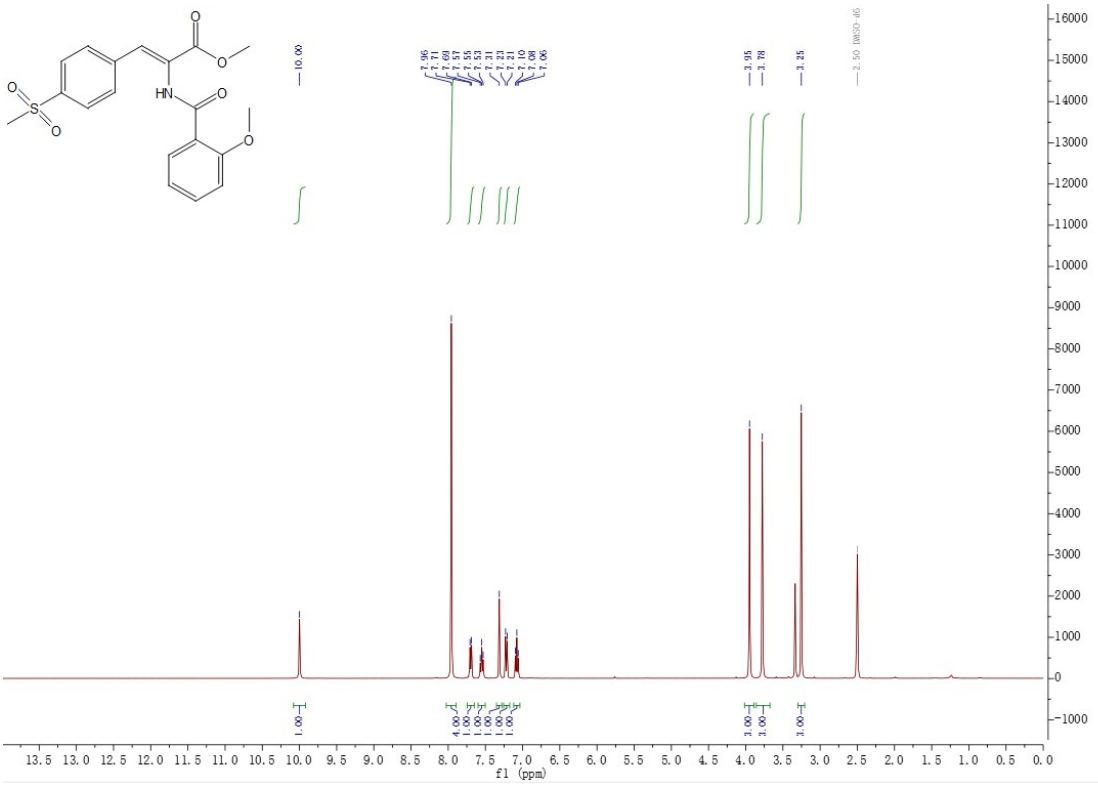
Methyl (*S*)-2-(2-ethoxybenzamido)-3-(3-(methylsulfonyl) phenyl)propanoate (2r)

Colorless oil (38.9 mg, 96% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.77 (d, *J* = 7.2 Hz, 1H), 8.19 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.81 (dt, *J* = 7.0, 1.9 Hz, 1H), 7.69 (s, 1H), 7.50 – 7.42 (m, 3H),

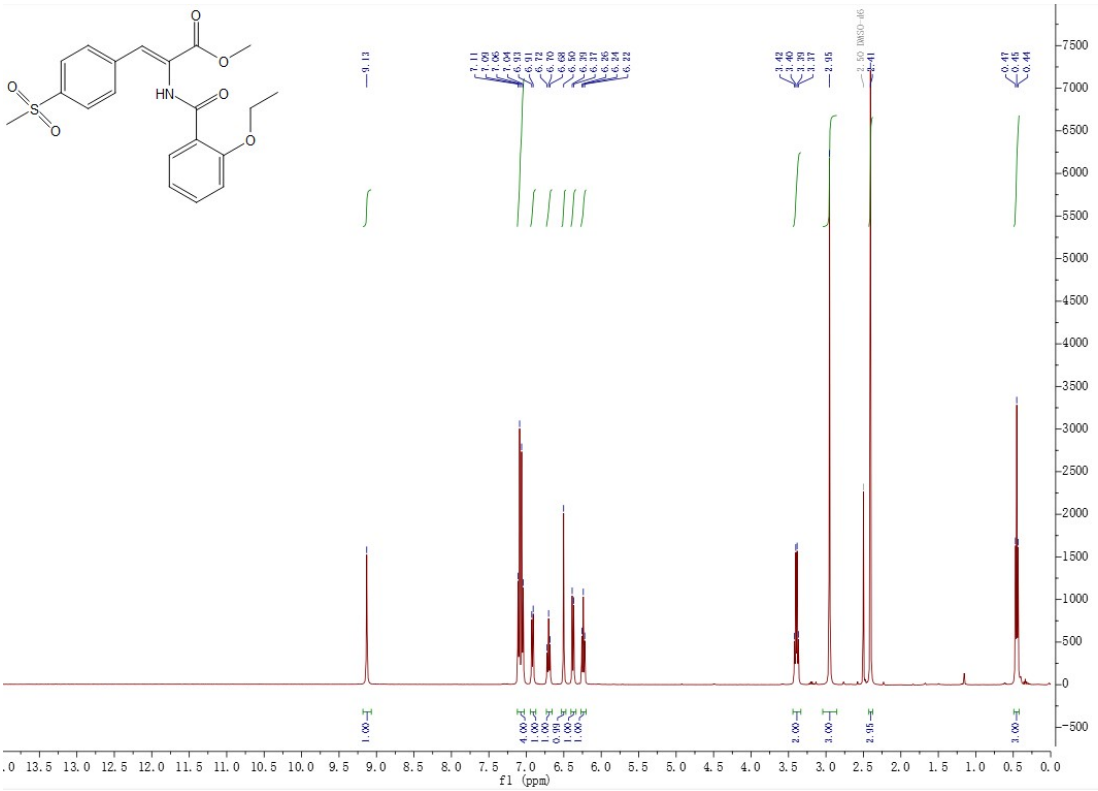
7.09 – 7.04 (m, 1H), 6.95 (d, *J* = 8.3 Hz, 1H), 5.21 – 5.15 (m, 1H), 4.13 (qd, *J* = 7.0, 1.9 Hz, 2H), 3.77 (s, 3H), 3.43 (dd, *J* = 13.8, 5.6 Hz, 1H), 3.27 (dd, *J* = 13.8, 5.4 Hz, 1H), 2.91 (s, 3H), 1.30 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.56, 164.70, 157.39, 140.62, 138.40, 134.88, 133.34, 132.20, 129.37, 128.35, 125.86, 121.13, 120.31, 112.29, 64.80, 53.67, 52.50, 44.35, 37.99, 14.50. HRMS (ESI) m/z calcd for $C_{19}H_{21}NO_6S$ $[M+H]^+$: 4051915. Found:405.1933. Daicel Chiralcel OJ-H column, *n*-hexane/ethanol = 60/40, 254 nm, 1.0 mL/min, t_{major} = 17.7 min, t_{minor} = 14.6 min, ee = 90%. $[a]_D^{25} = +30.00$ (*c*=1.10, MeOH).

4. NMR Spectra

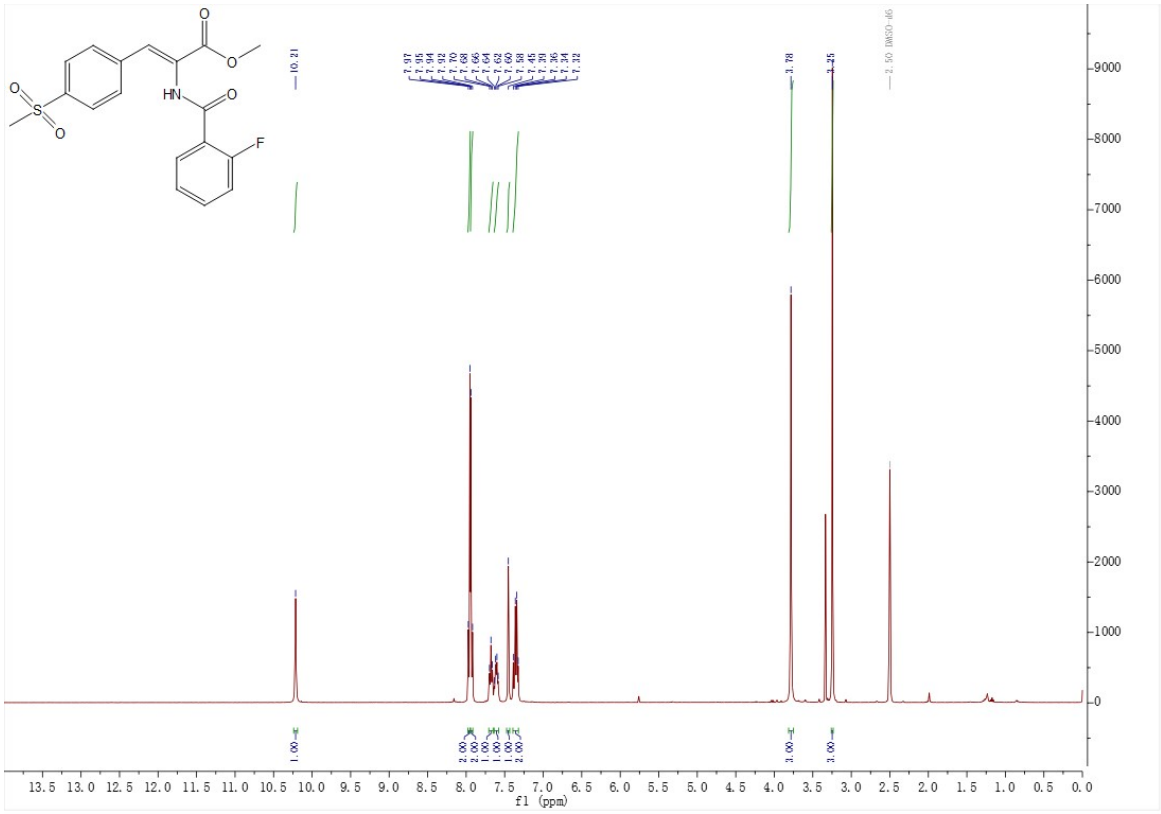
1a ^{1}H NMR (400 MHz, DMSO-d_6)



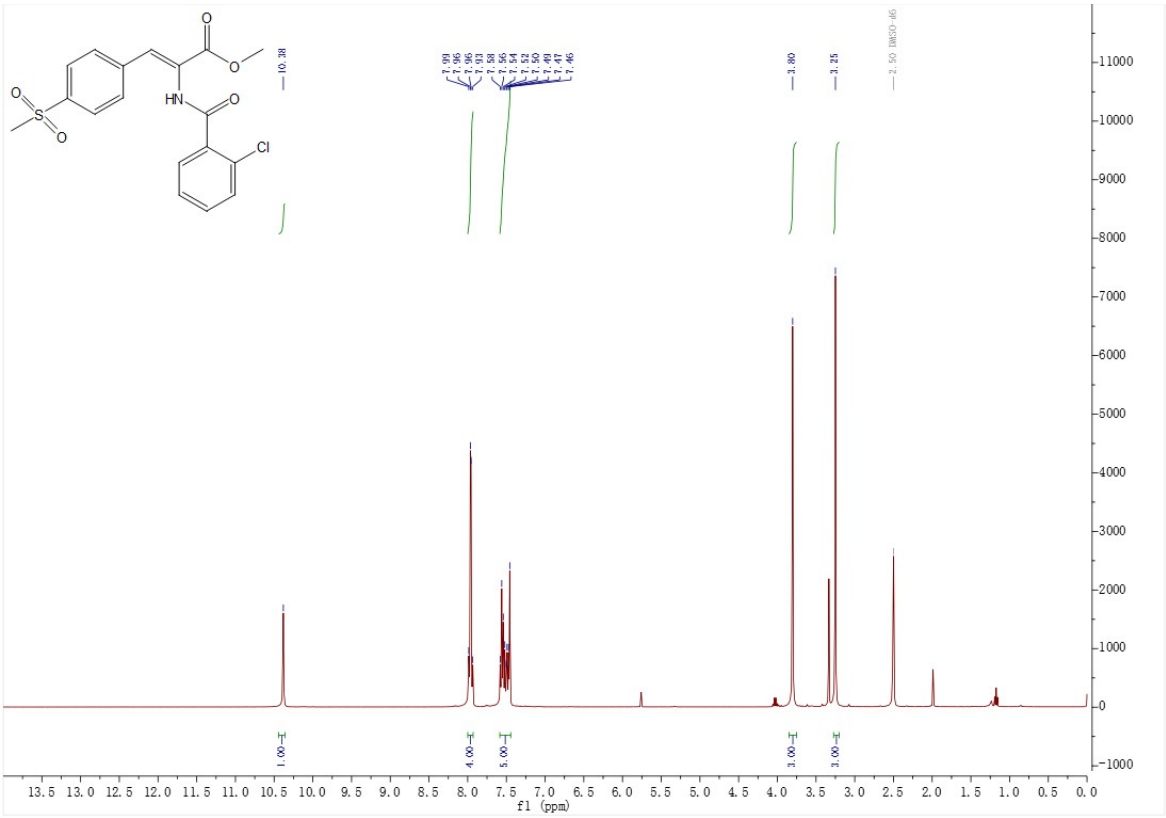
1b ^{1}H NMR (400 MHz, DMSO-d_6)



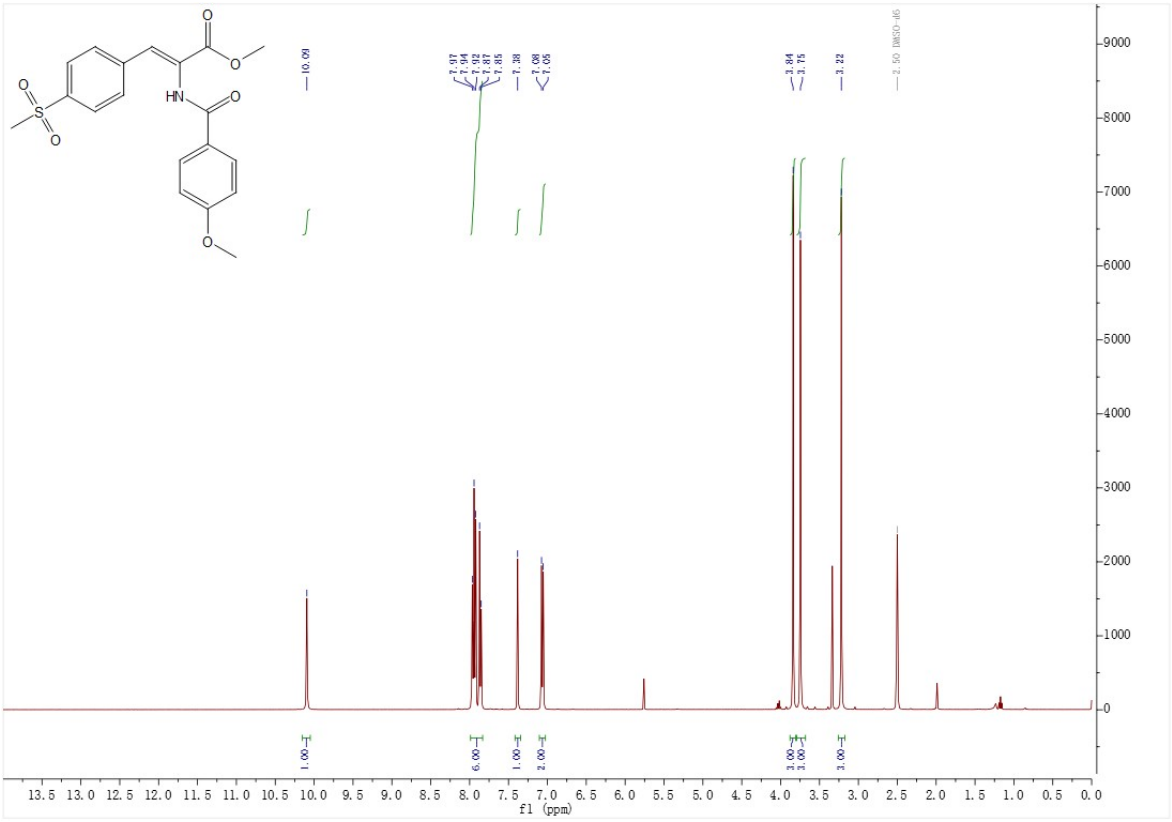
1c ^{1}H NMR (400 MHz, DMSO-d_6)



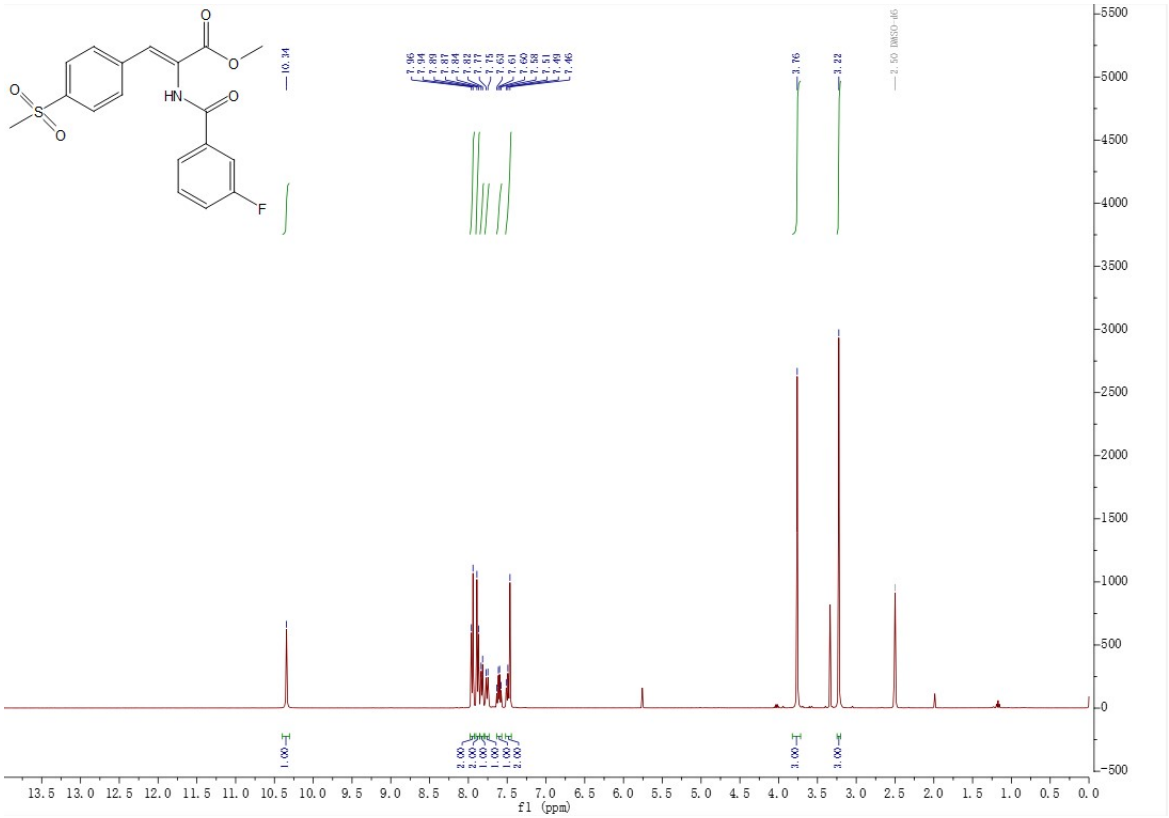
1d ^{1}H NMR (400 MHz, DMSO-d_6)



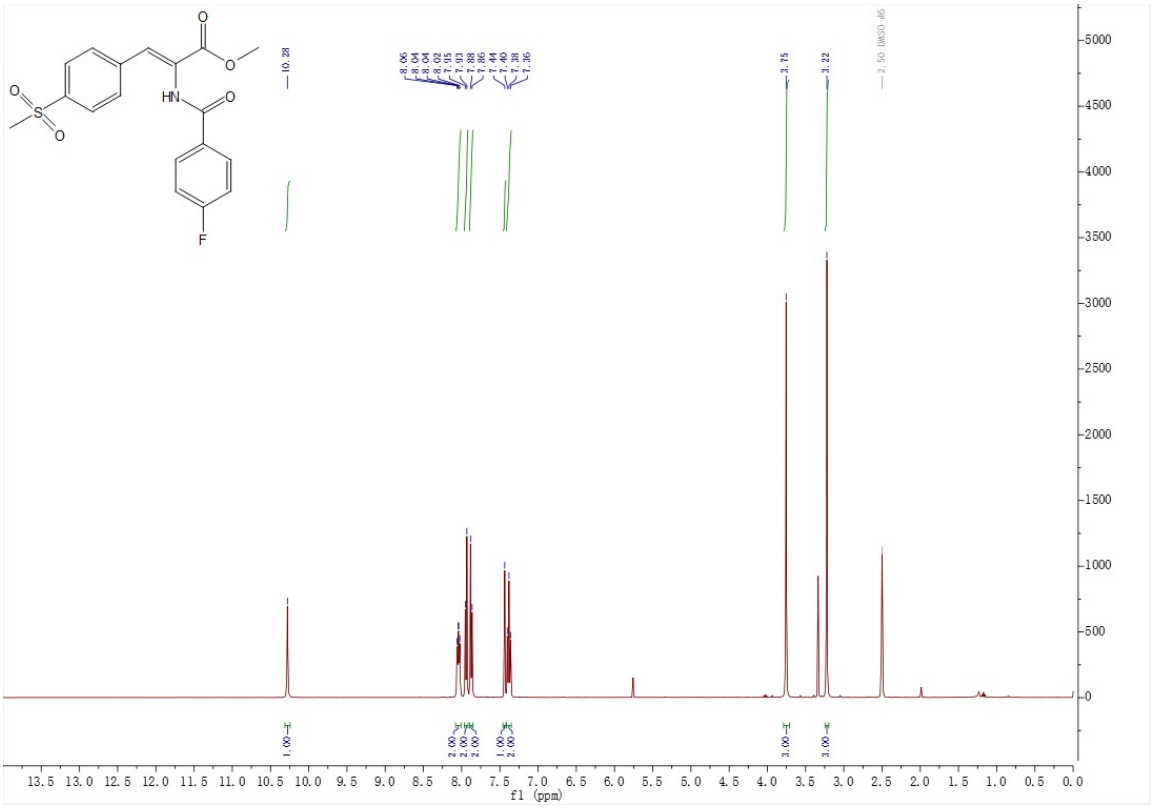
1e ^{1}H NMR (400 MHz, DMSO-d_6)



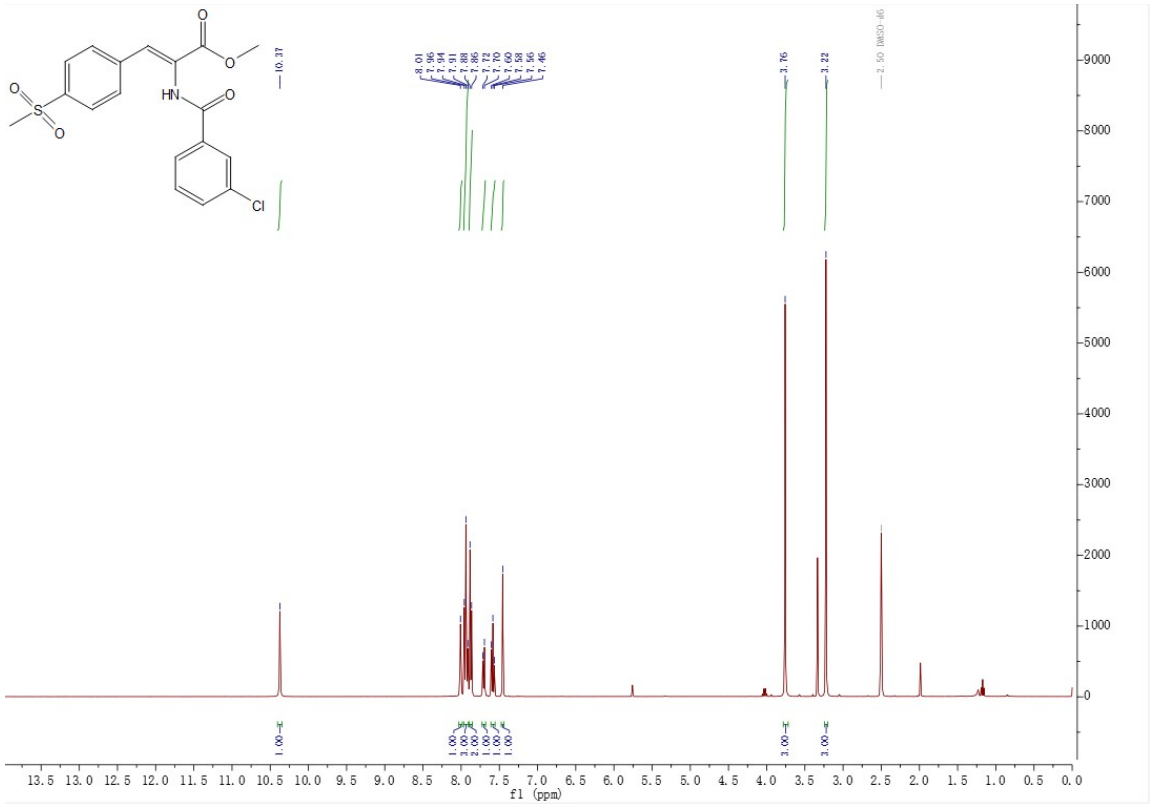
1f ^{1}H NMR (400 MHz, DMSO-d_6)



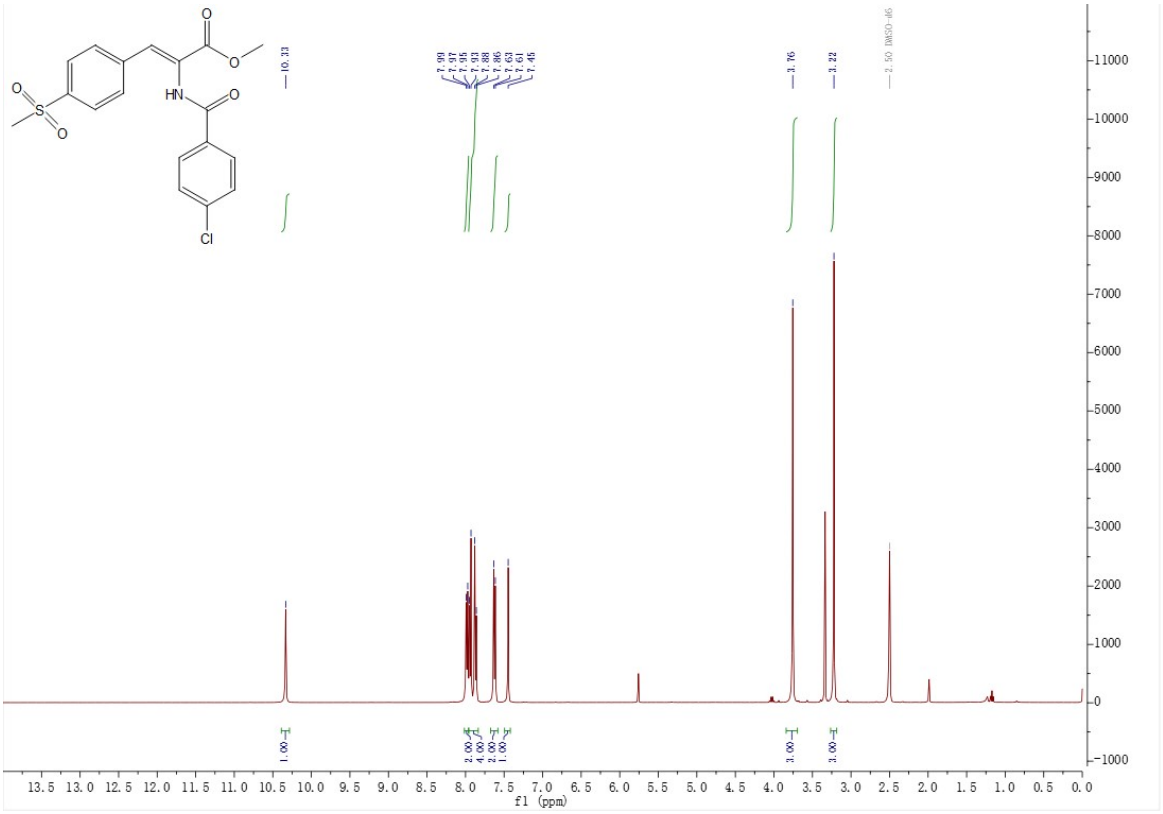
1g ^{1}H NMR (400 MHz, DMSO-d_6)



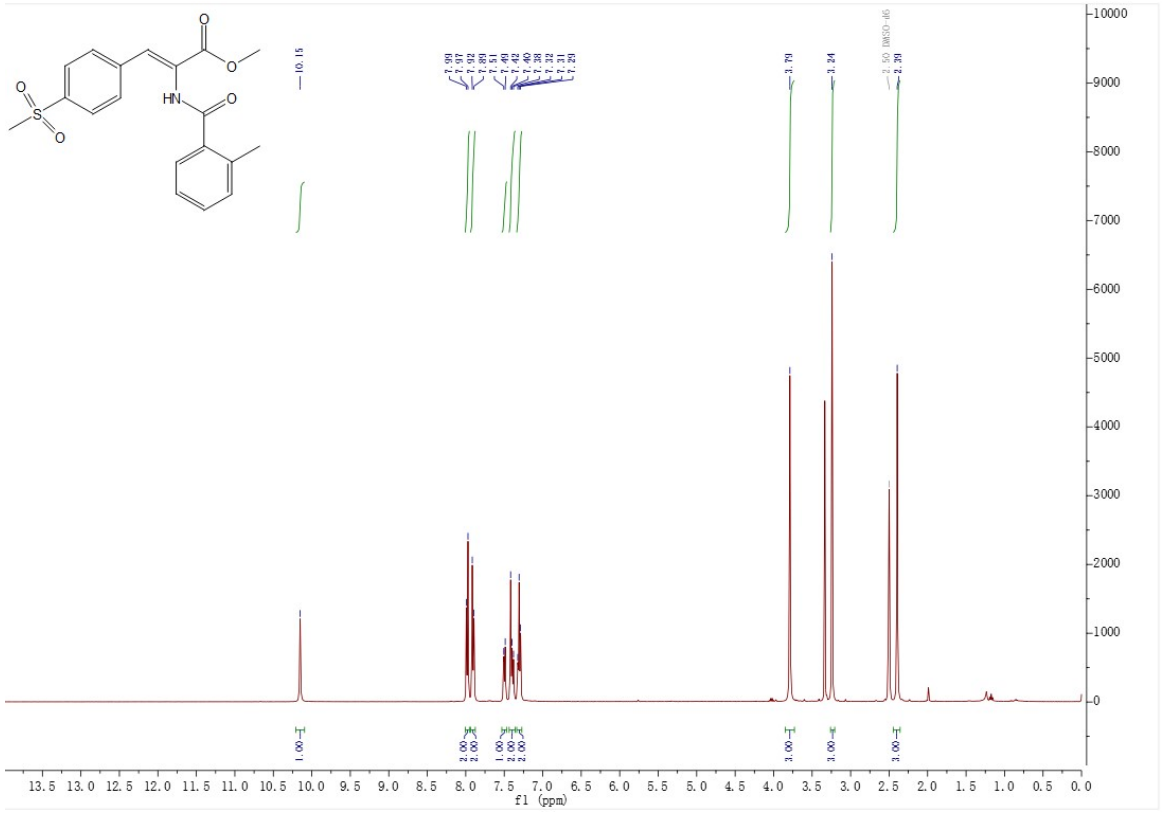
1h ^{1}H NMR (400 MHz, DMSO-d_6)



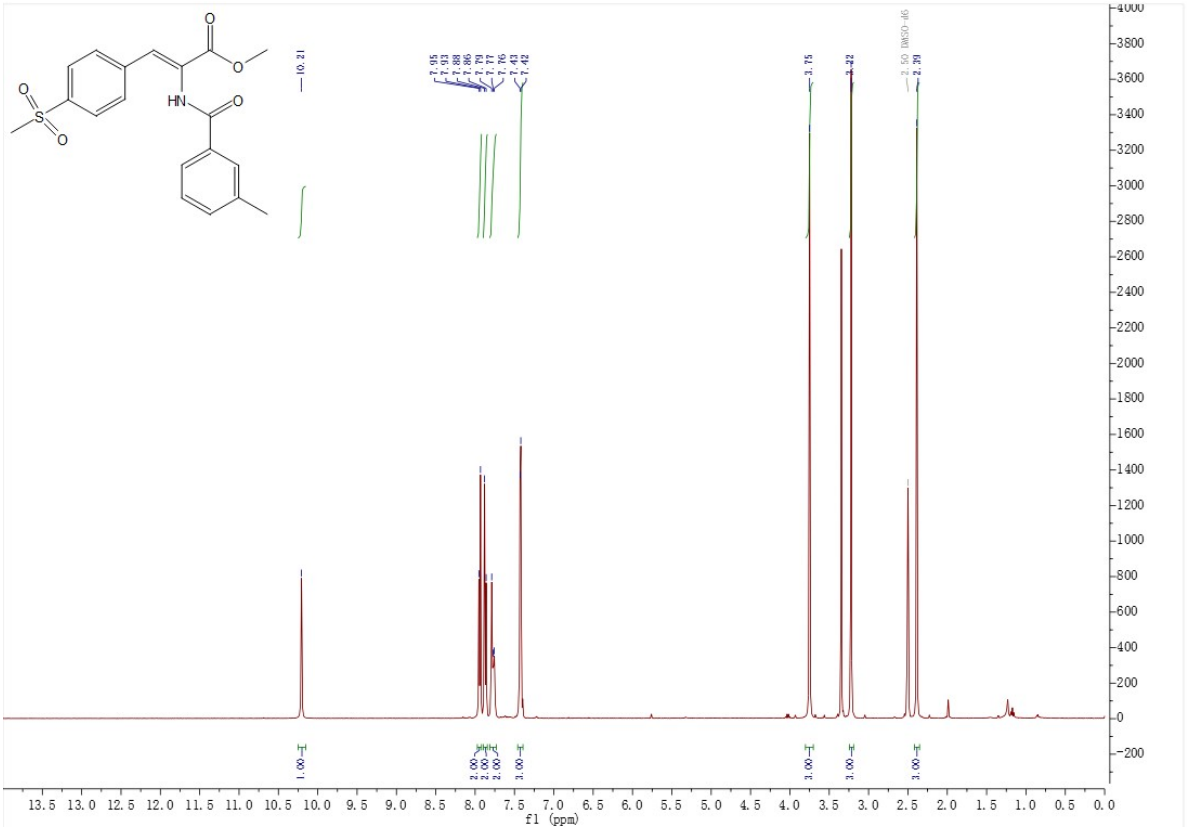
1i ^{1}H NMR (400 MHz, DMSO-d_6)



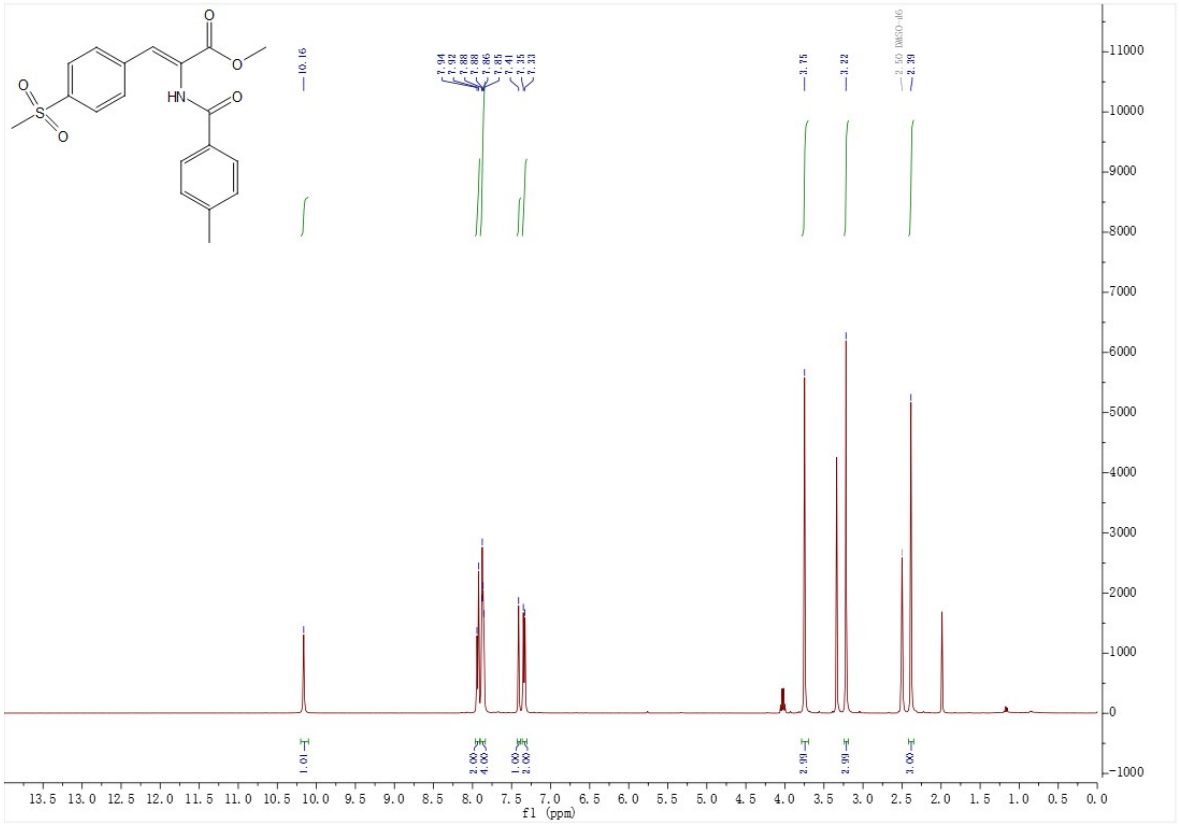
1j ^{1}H NMR (400 MHz, DMSO-d_6)



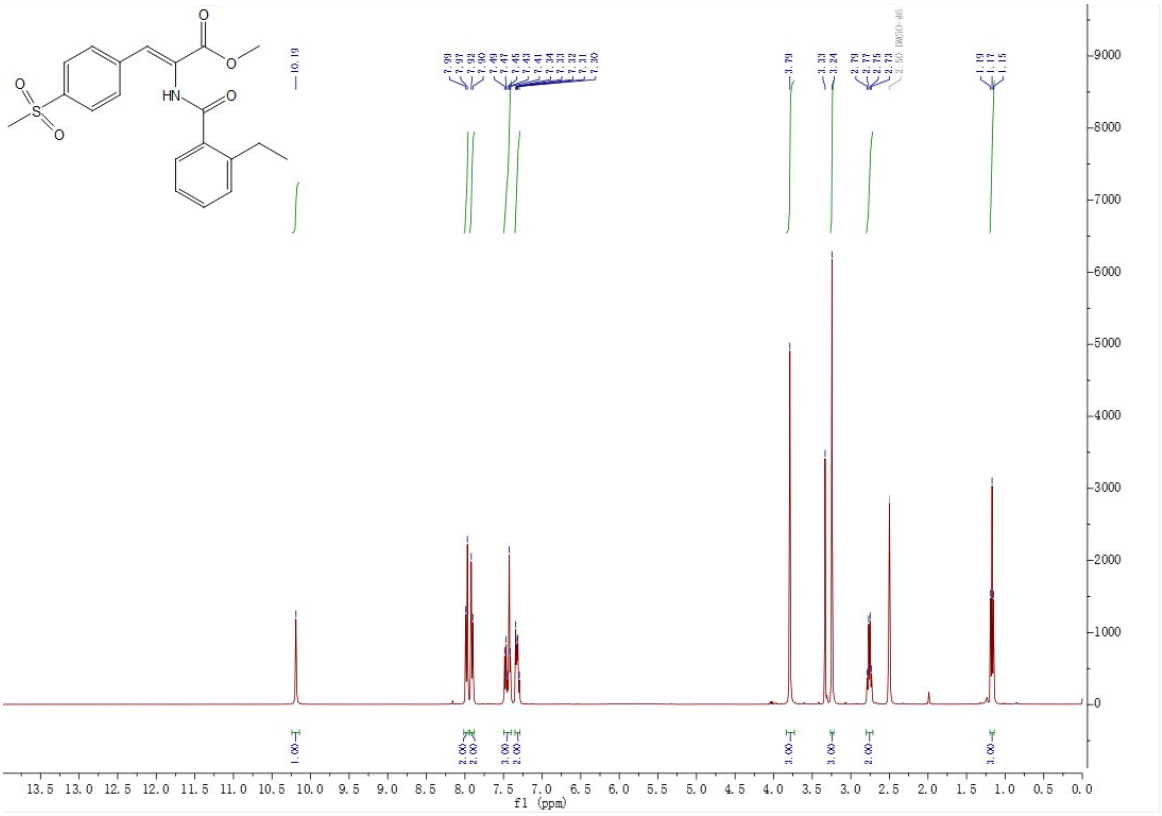
1k ^{1}H NMR (400 MHz, DMSO-d_6)



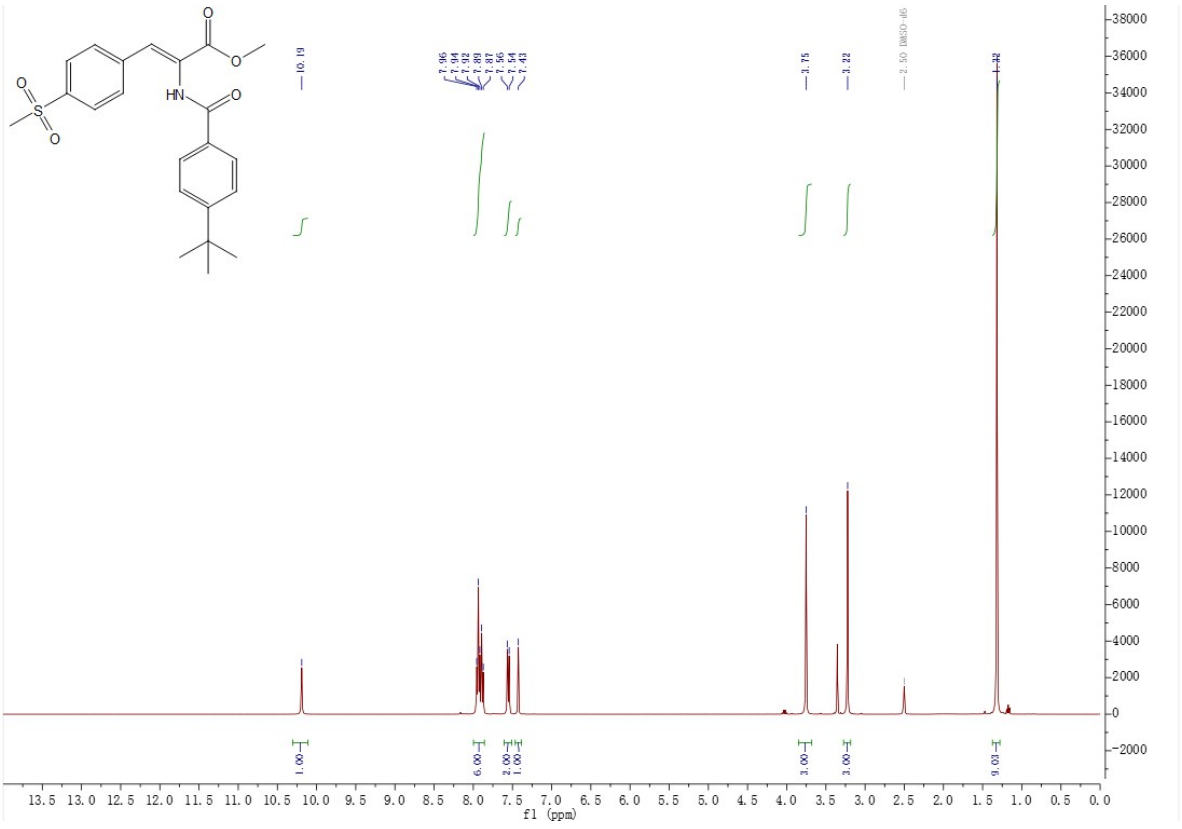
1l ^{1}H NMR (400 MHz, DMSO-d_6)



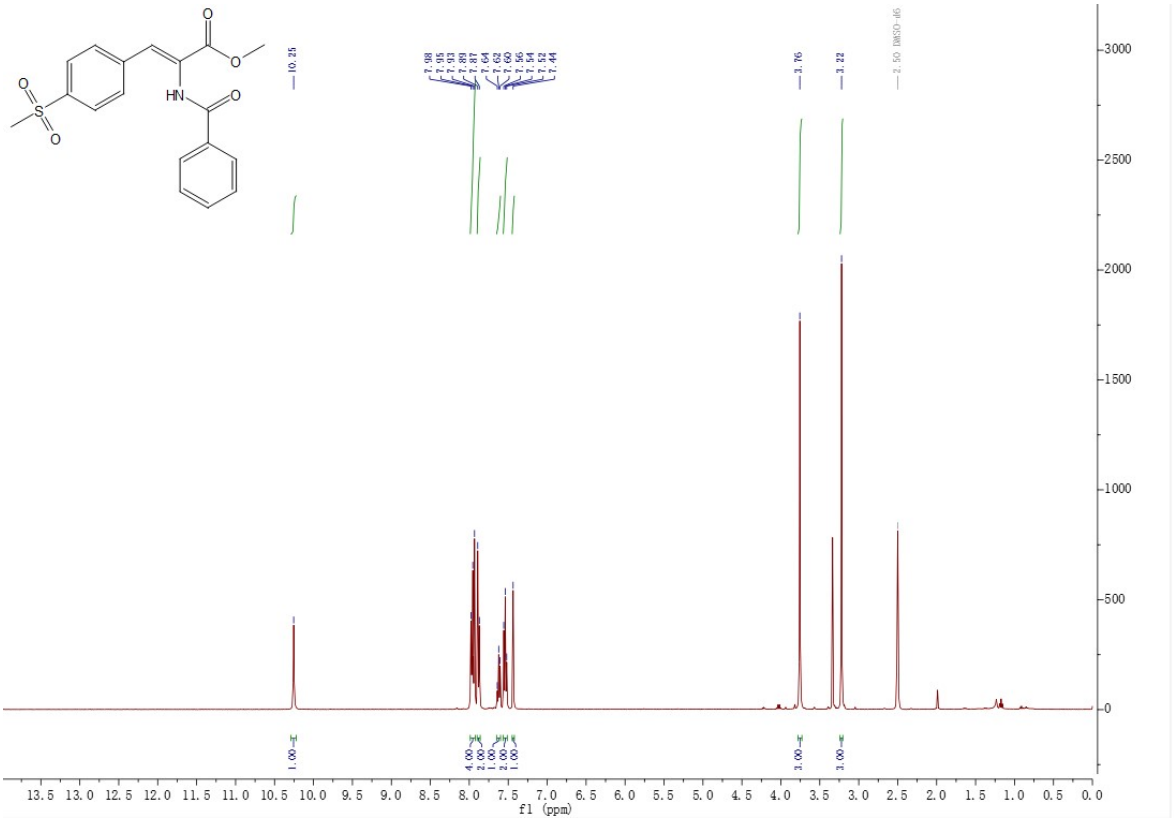
1m ^{1}H NMR (400 MHz, DMSO-d_6)



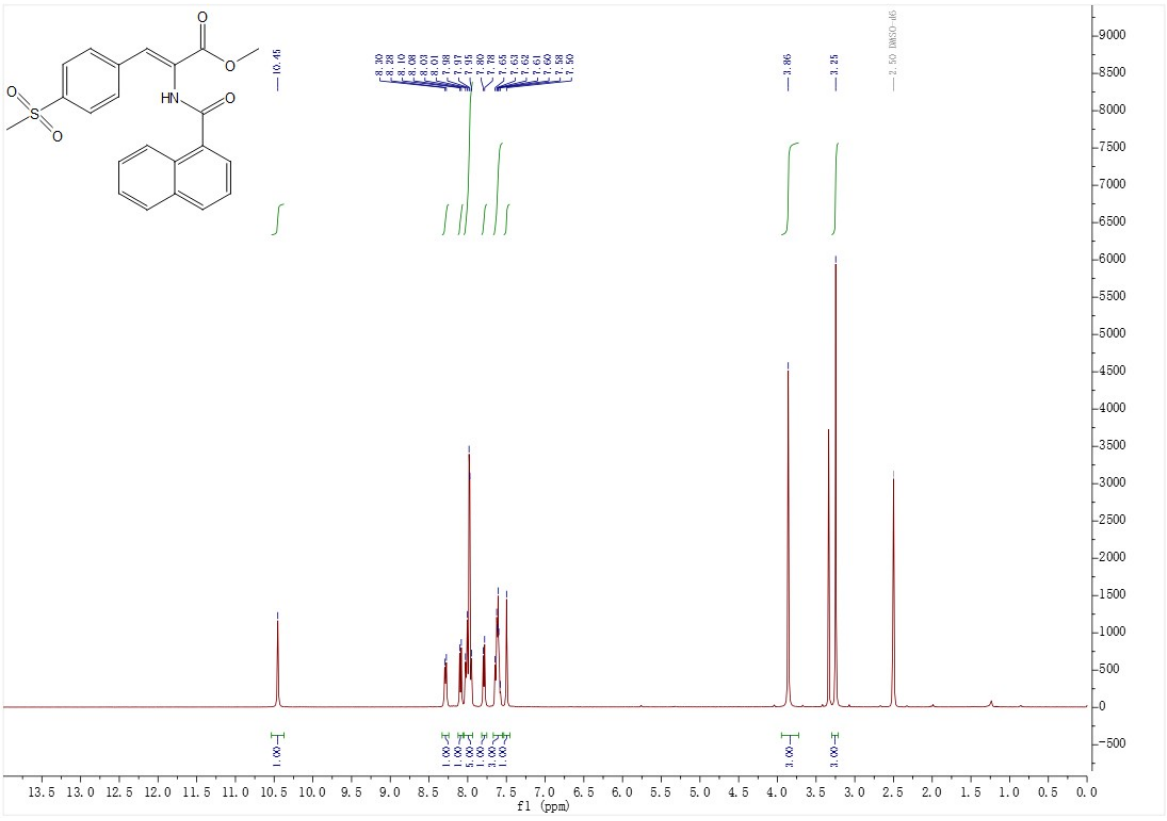
1n ^{1}H NMR (400 MHz, DMSO-d_6)



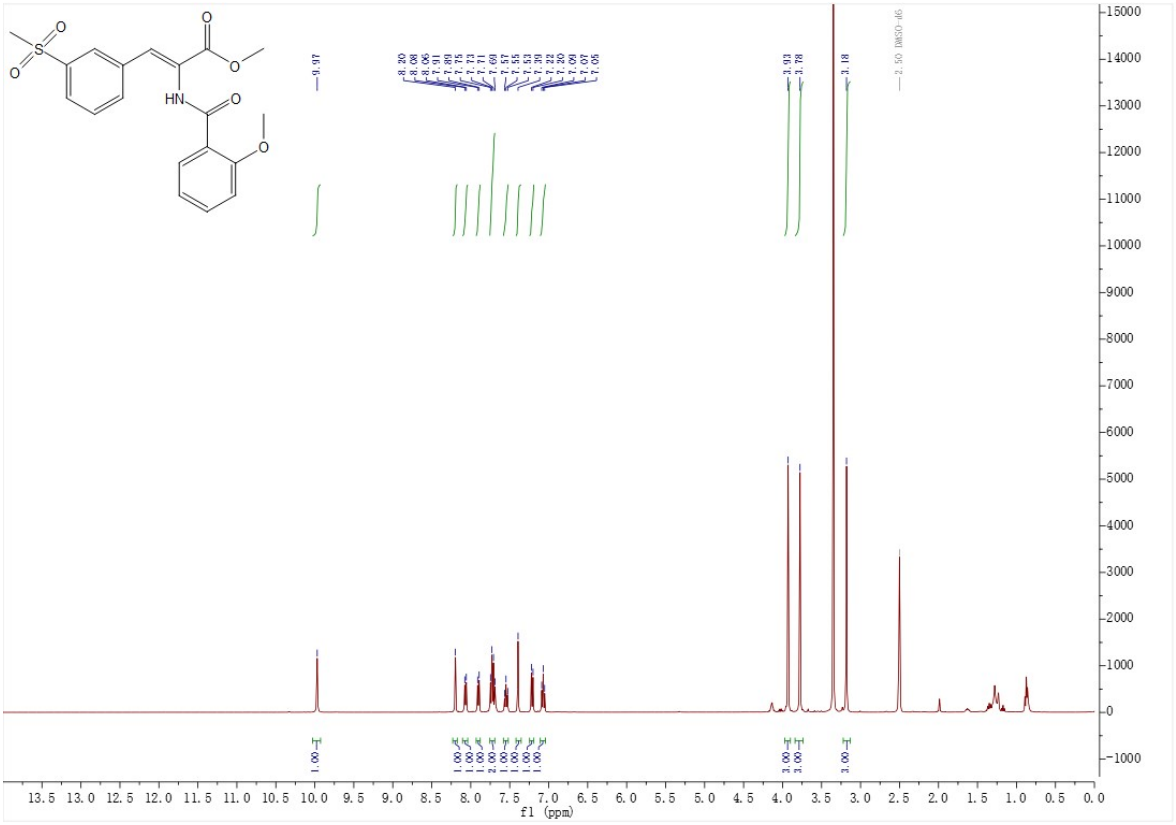
1o ^{1}H NMR (400 MHz, DMSO-d_6)



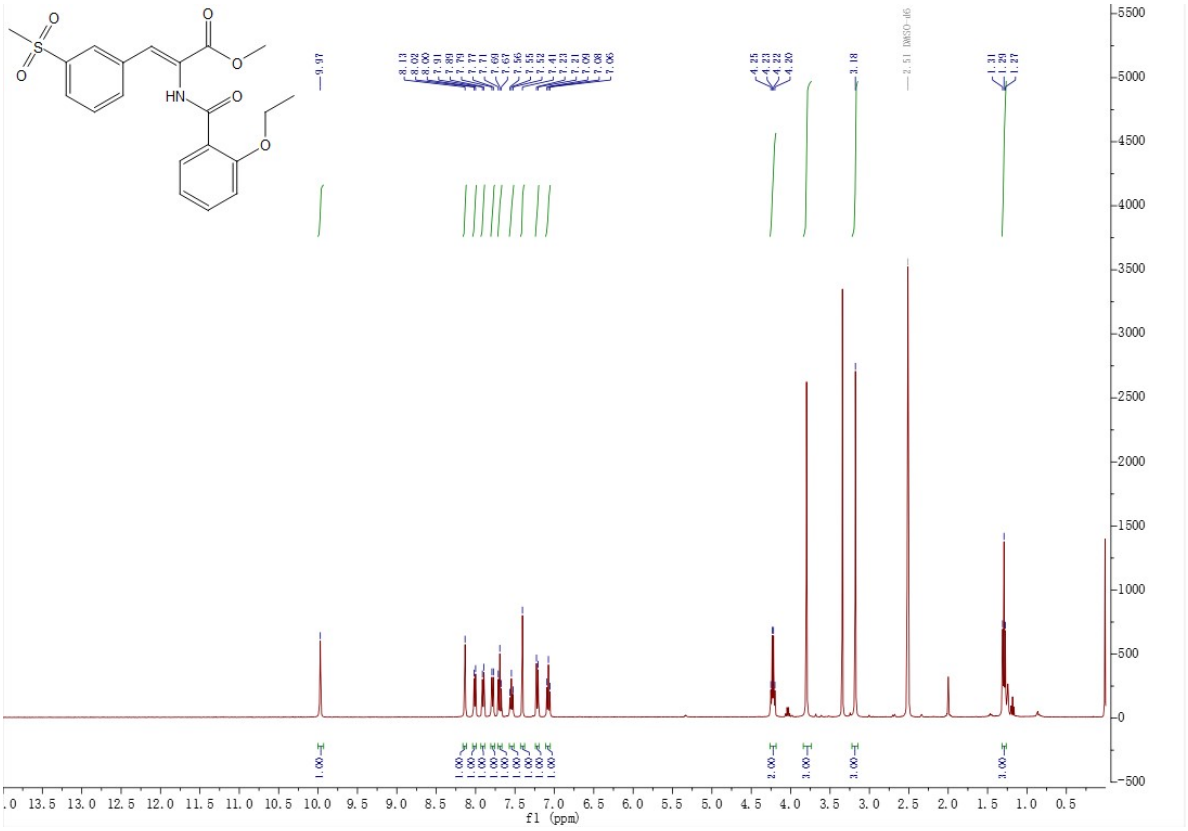
1p ^{1}H NMR (400 MHz, DMSO-d_6)



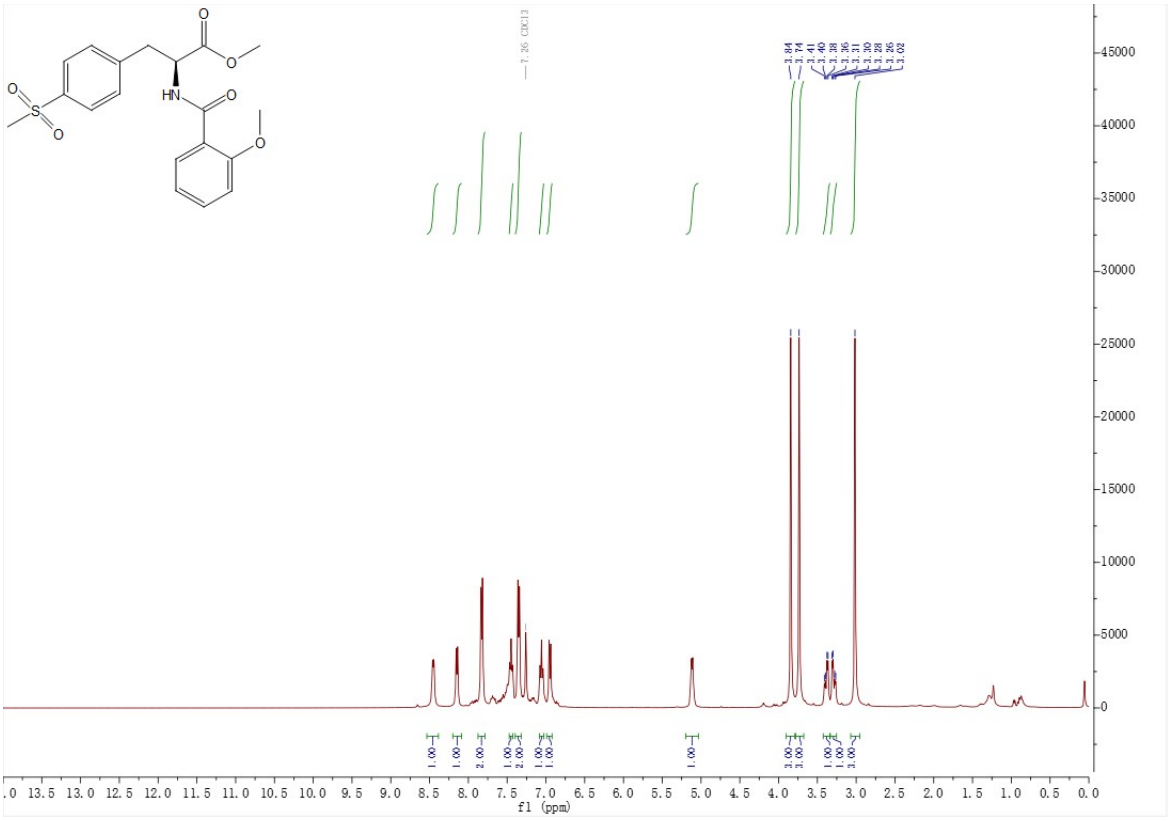
1q ^{1}H NMR (400 MHz, DMSO-d_6)



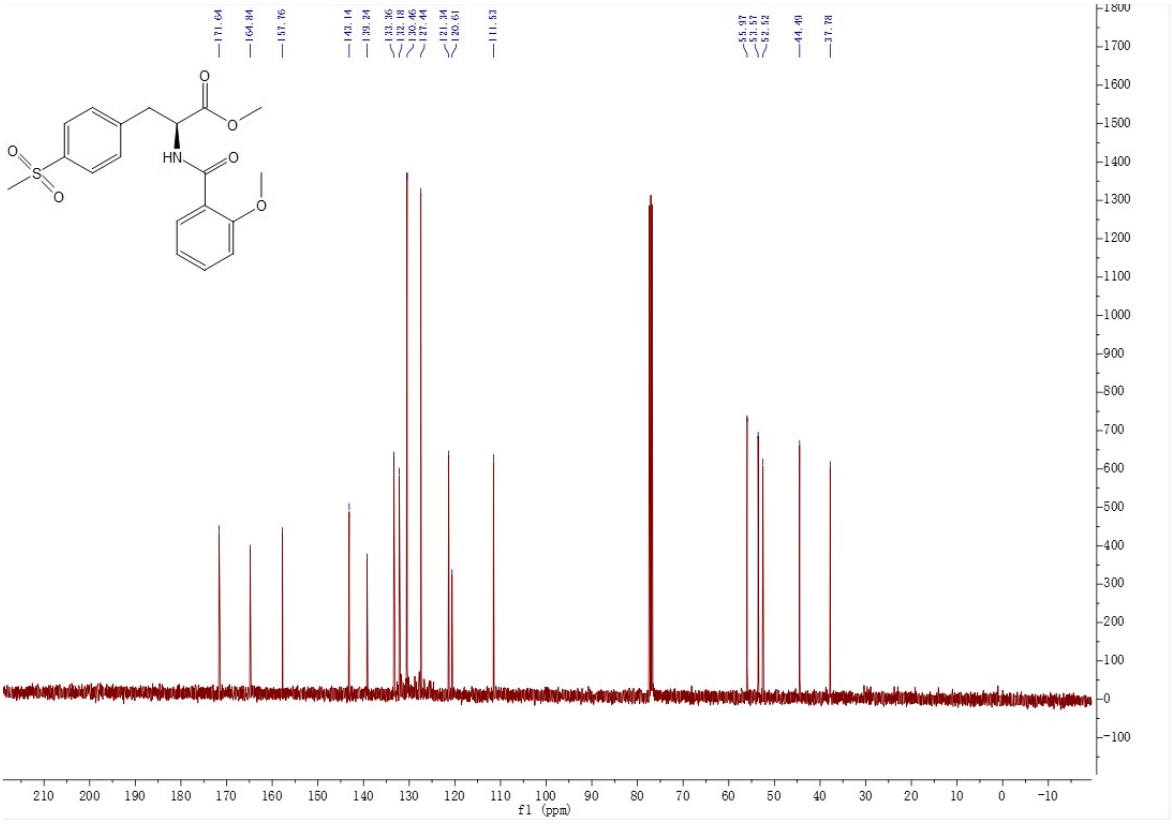
1r ^{1}H NMR (400 MHz, DMSO-d_6)



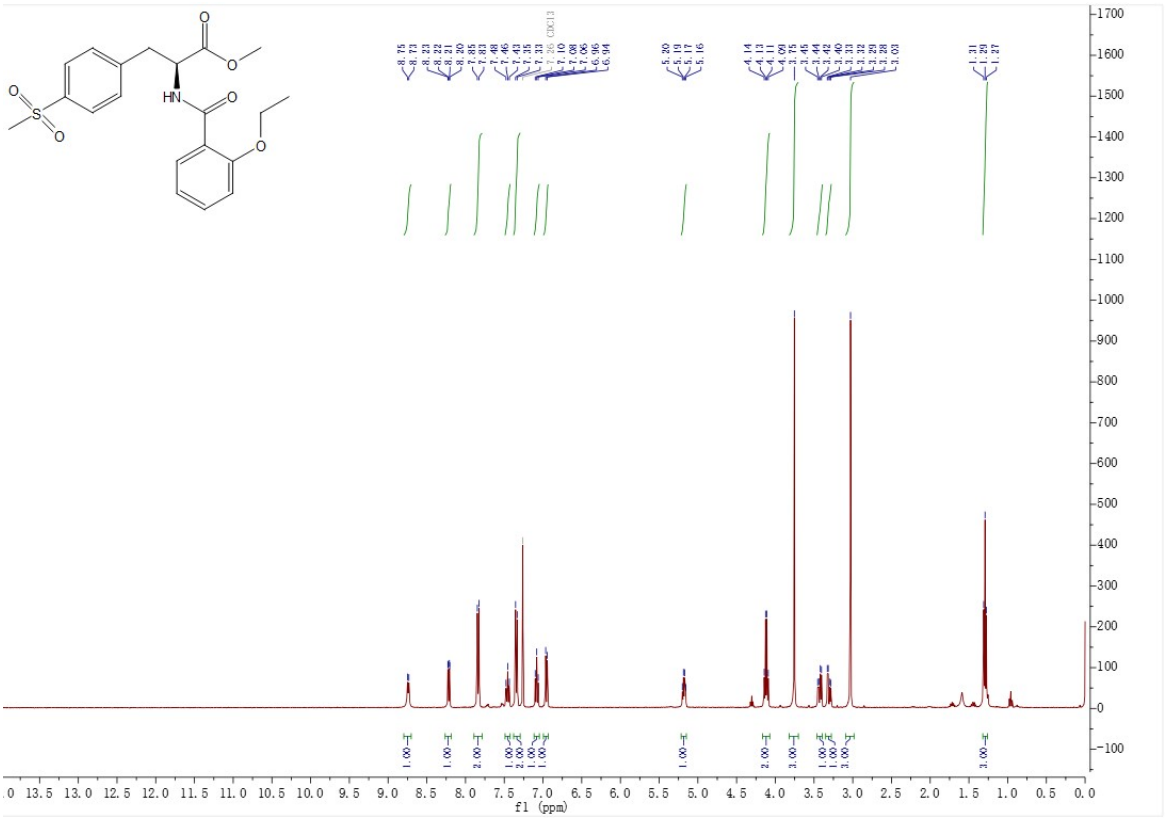
2a ^{1}H NMR (400 MHz, $CDCl_3$)



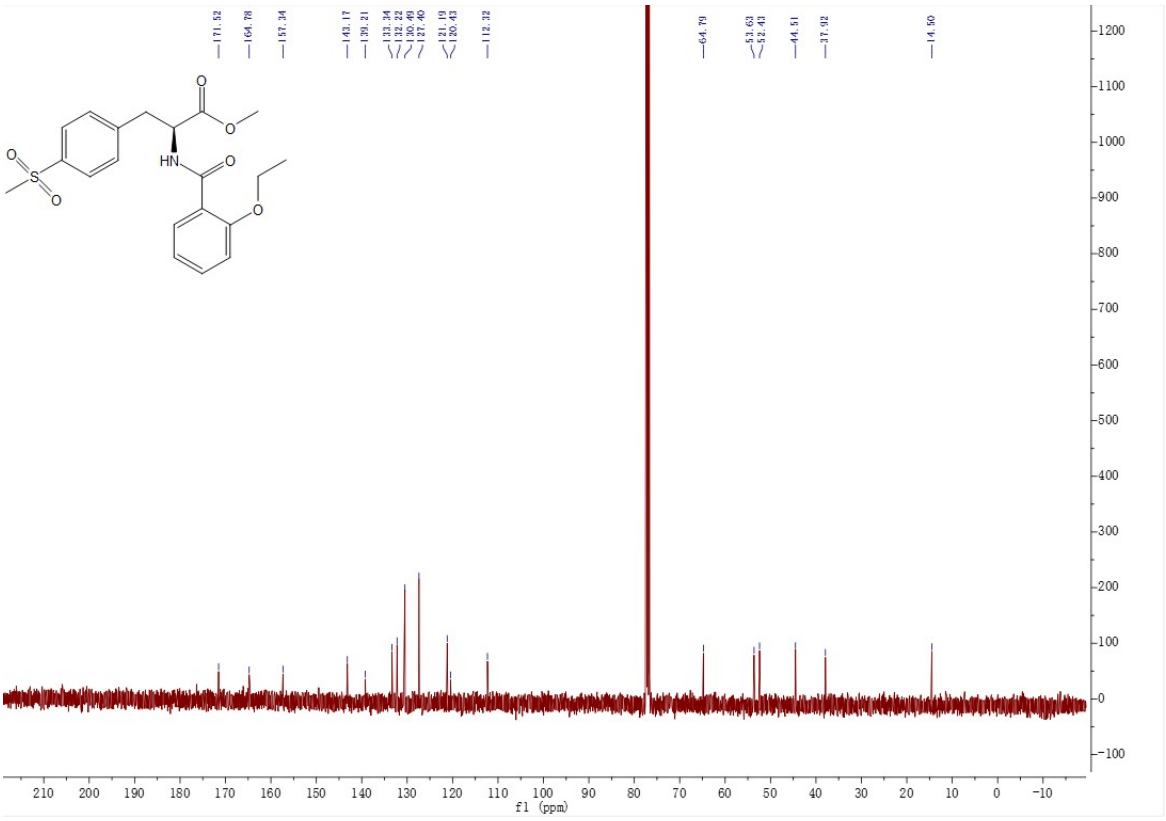
2a ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$)



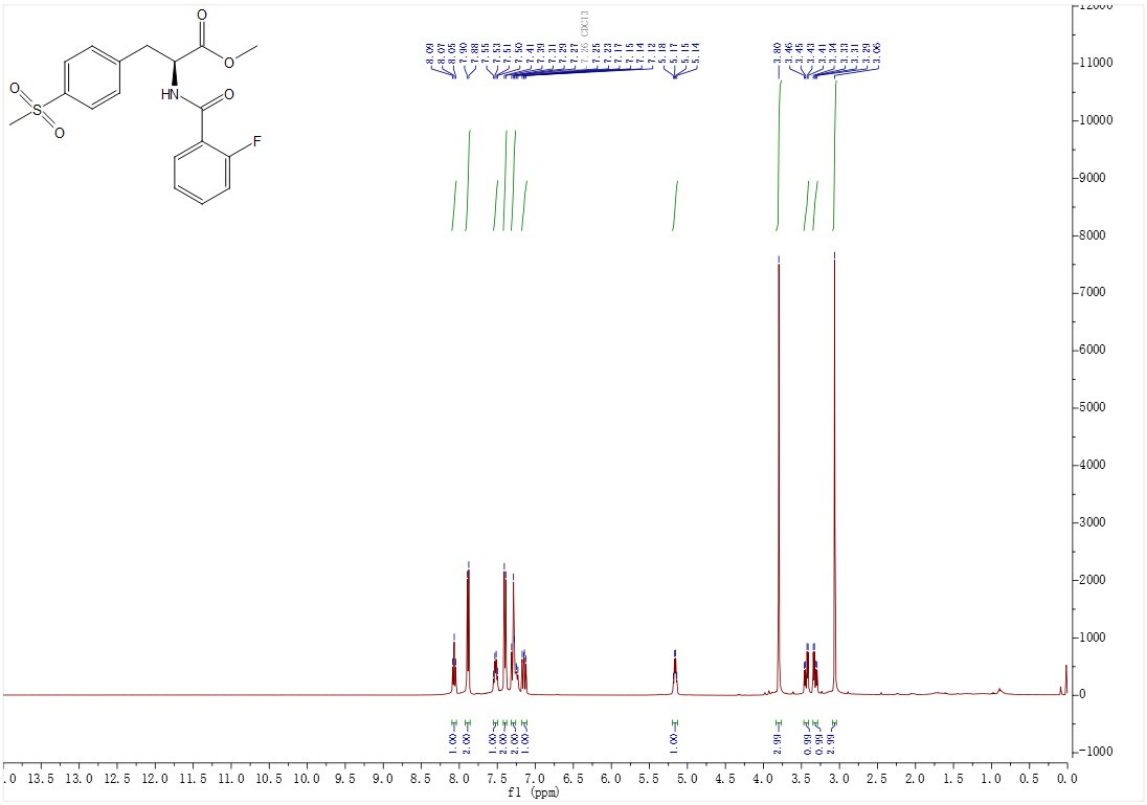
2b ^{1}H NMR (400 MHz, $CDCl_3$)



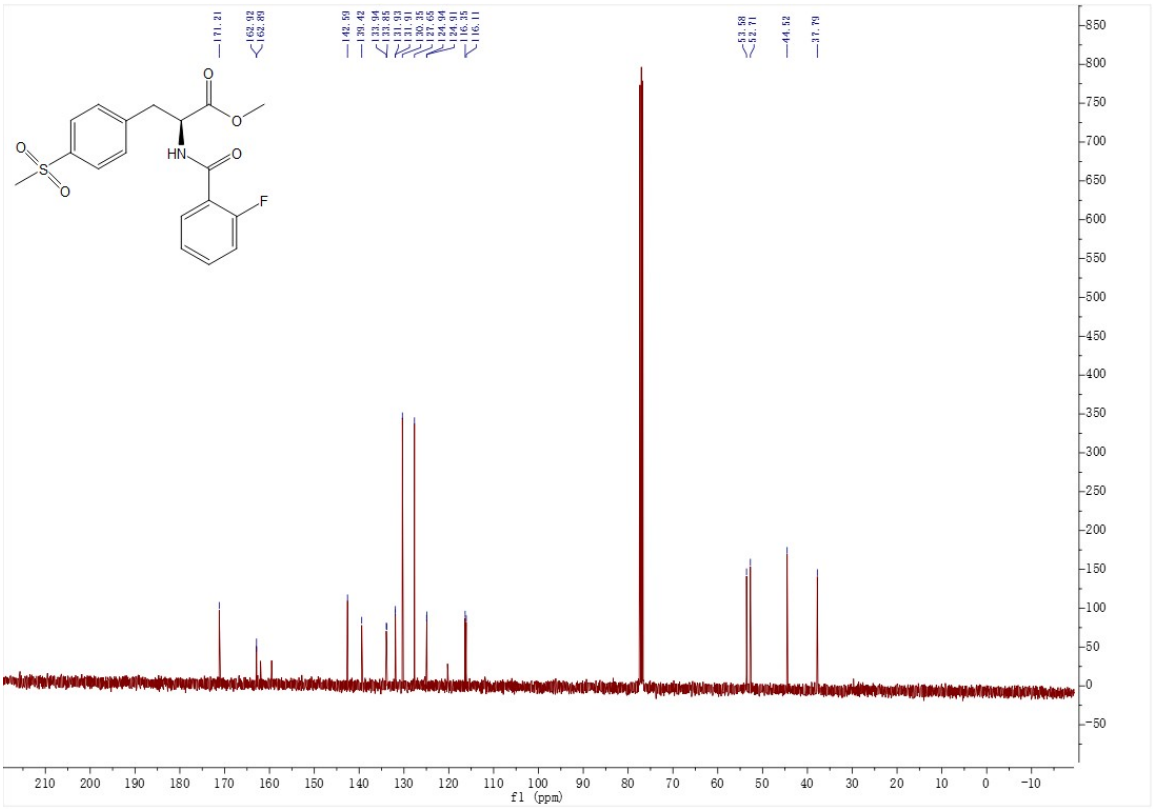
2b ^{13}C NMR (101 MHz, $CDCl_3$)



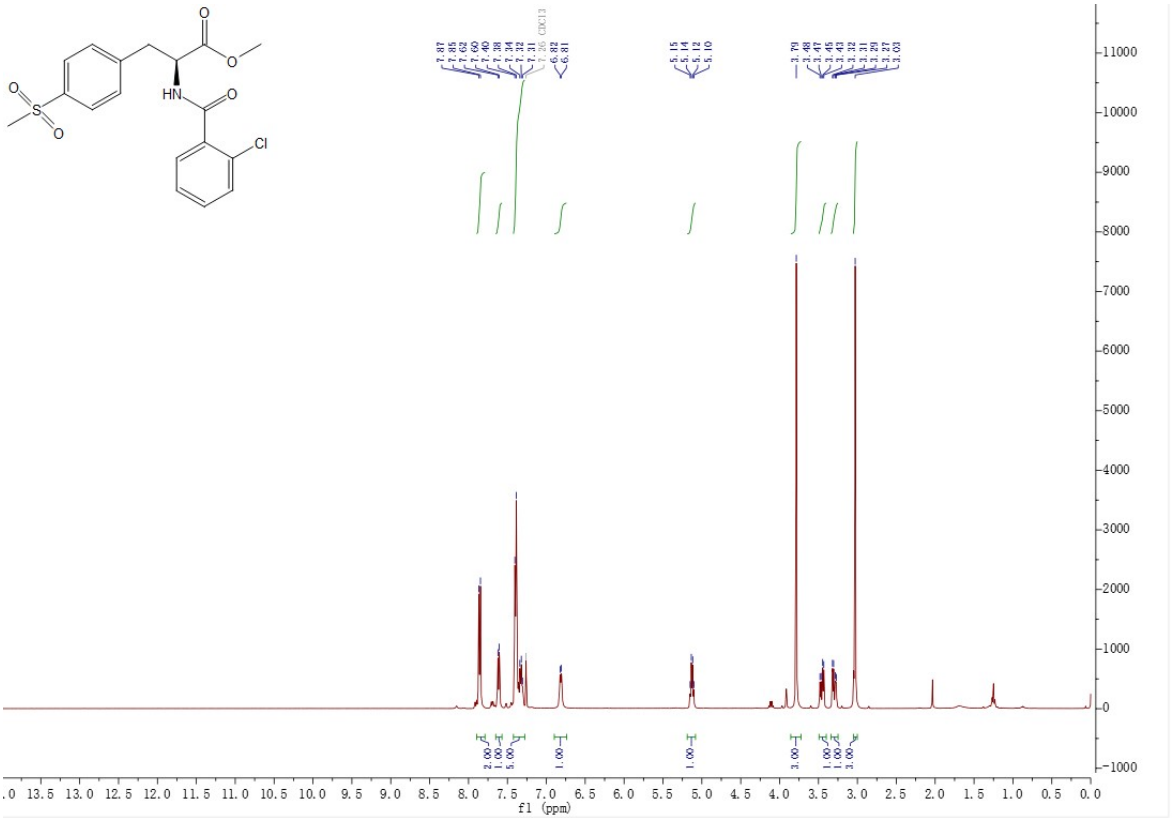
2c ^{1}H NMR (400 MHz, $CDCl_3$)



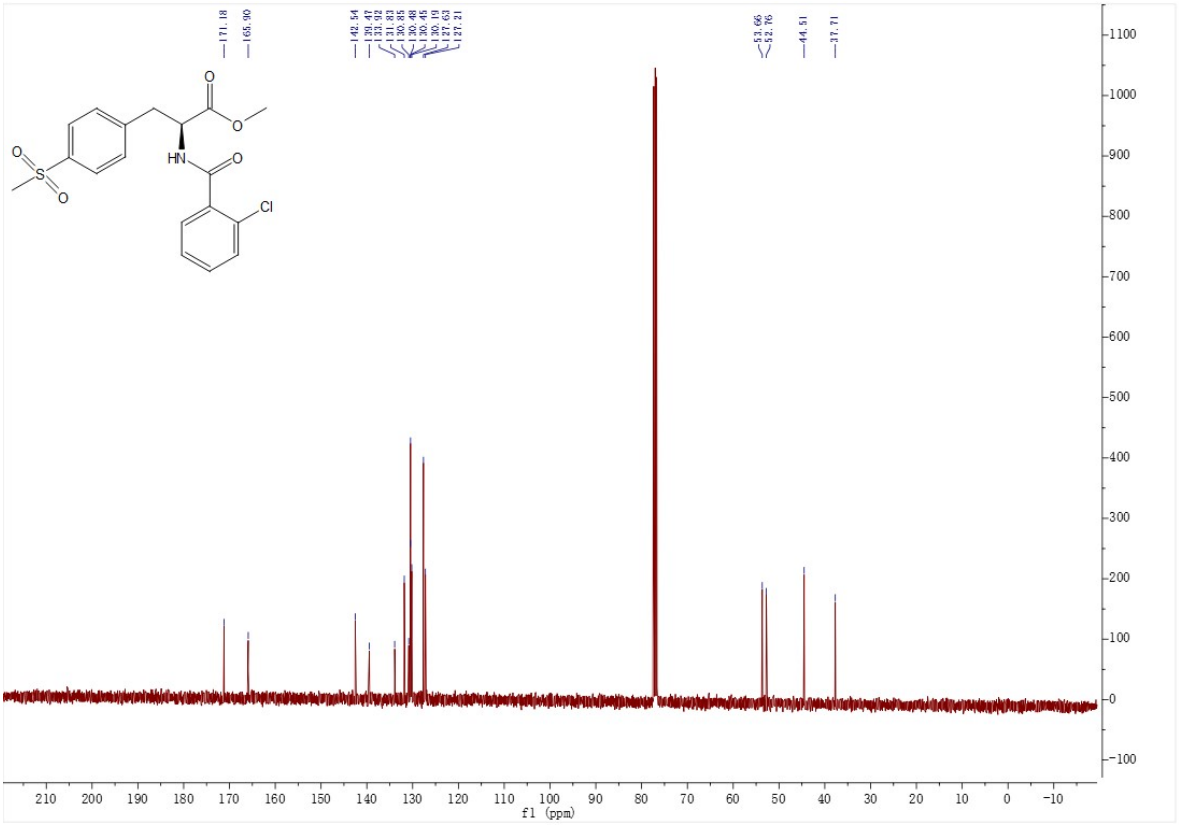
2c ^{13}C NMR (101 MHz, $CDCl_3$)



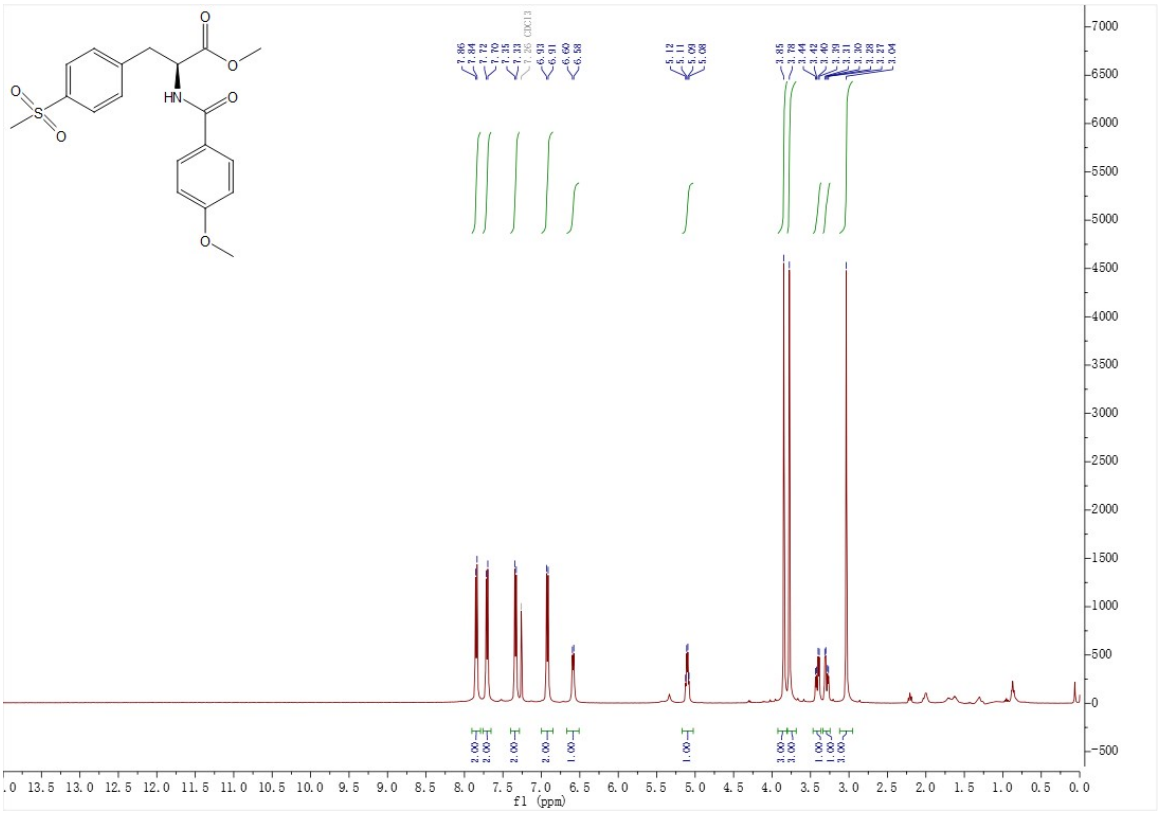
2d ^{1}H NMR (400 MHz, $CDCl_3$)



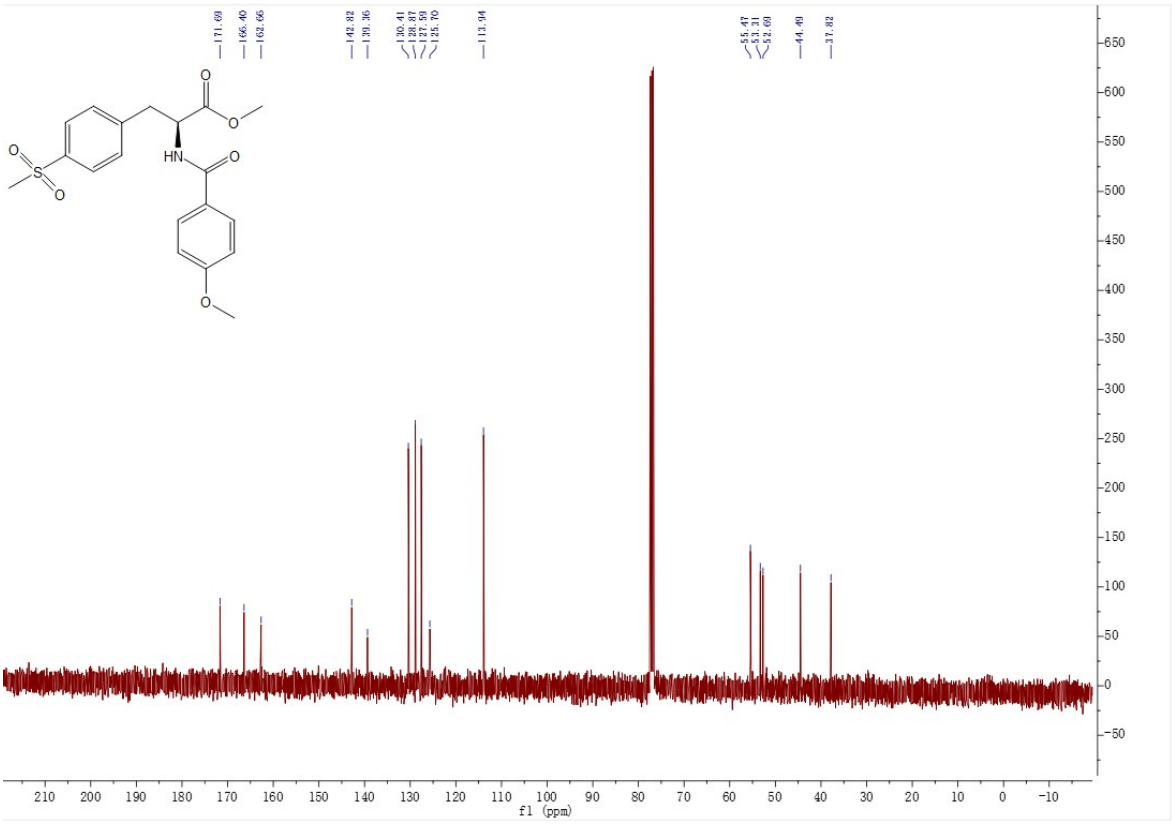
2d ^{13}C NMR (101 MHz, $CDCl_3$)



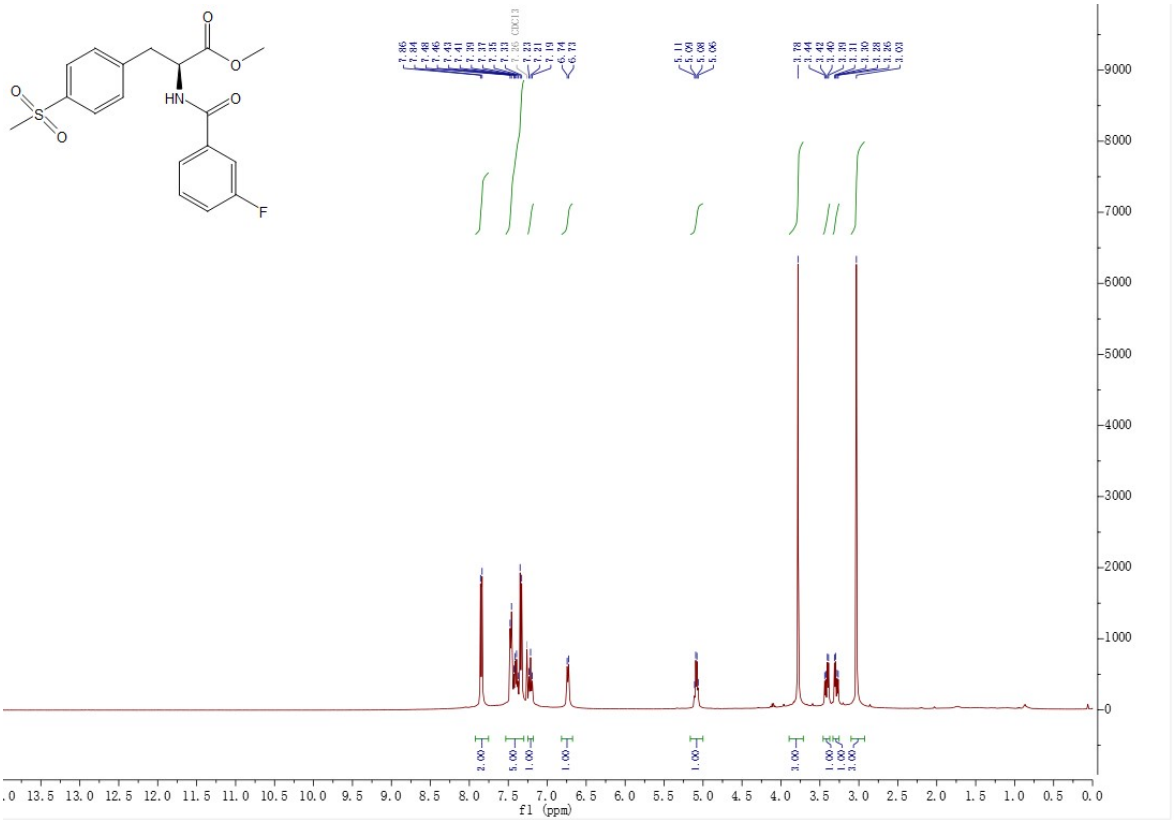
2e ^{1}H NMR (400 MHz, $CDCl_3$)



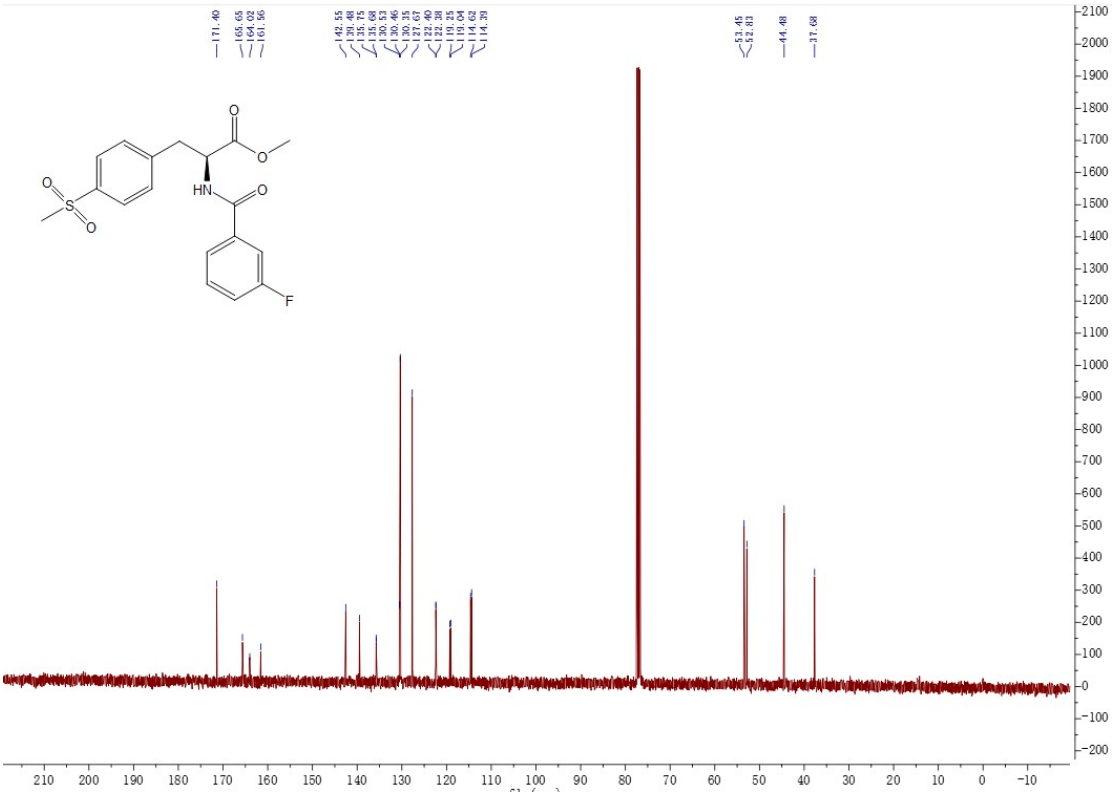
2e ^{13}C NMR (101 MHz, $CDCl_3$)



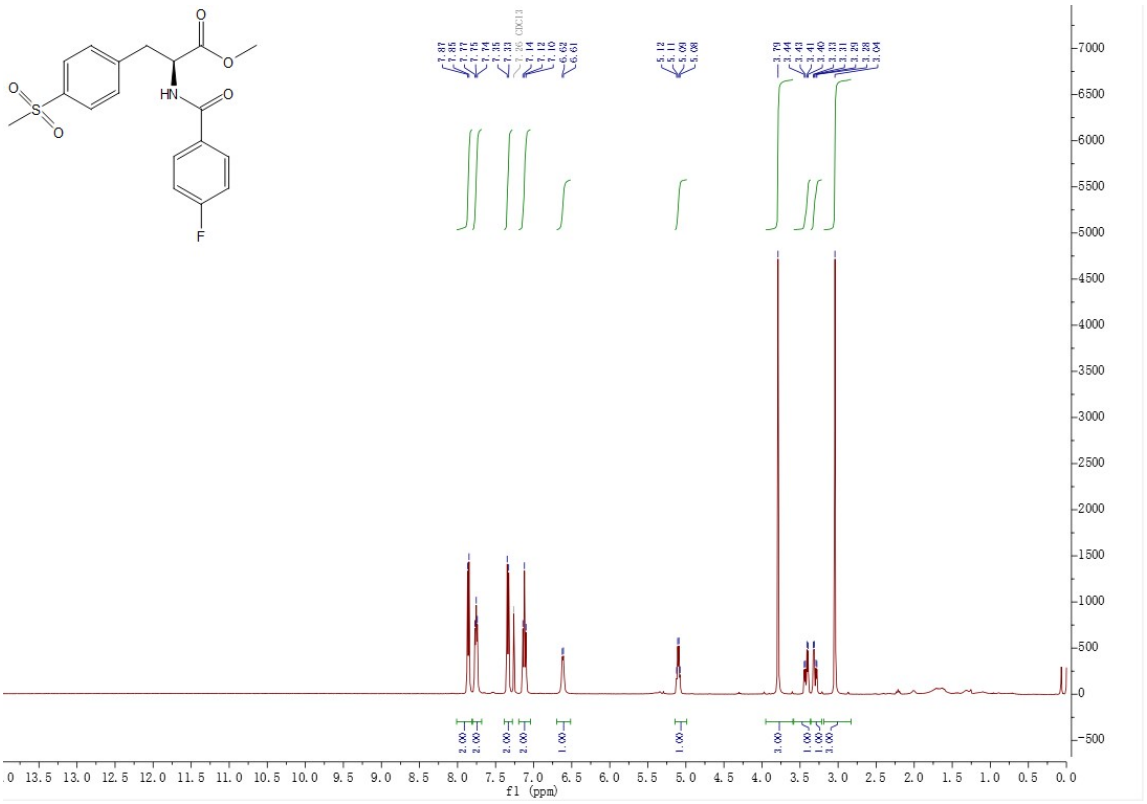
2f ^{1}H NMR (400 MHz, $CDCl_3$)



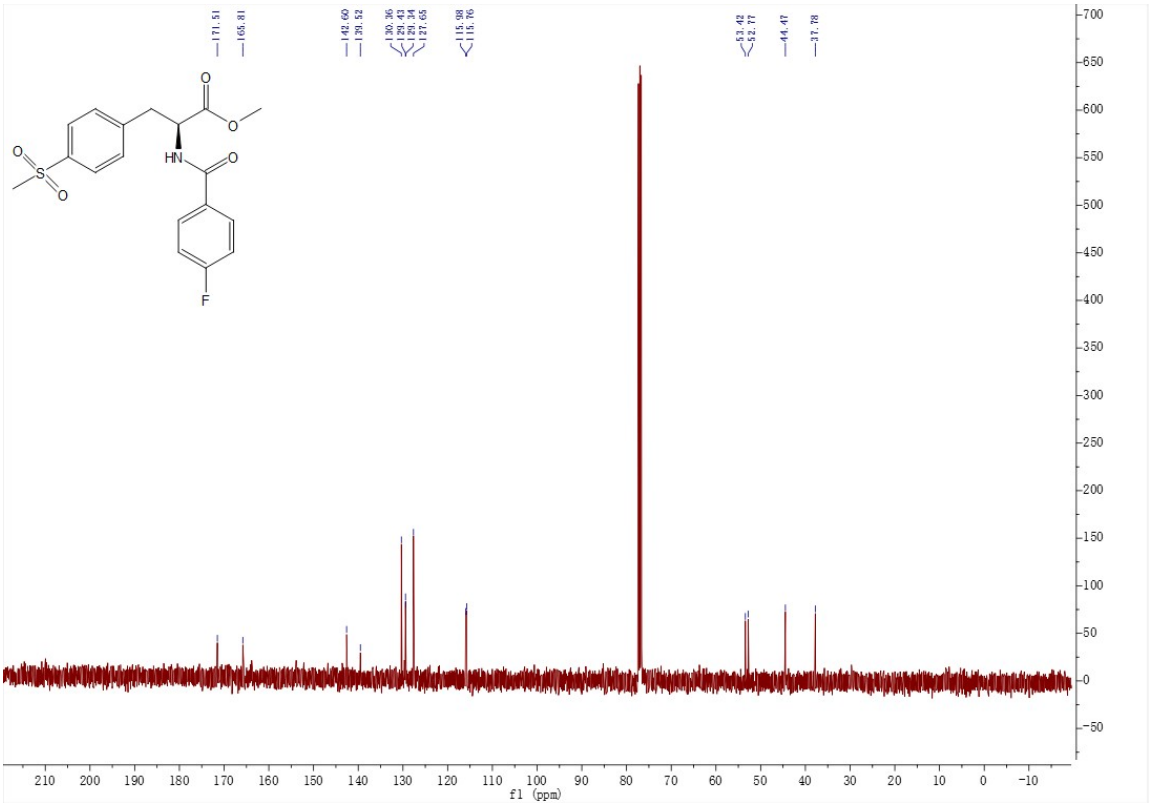
2f ^{13}C NMR (101 MHz, $CDCl_3$)



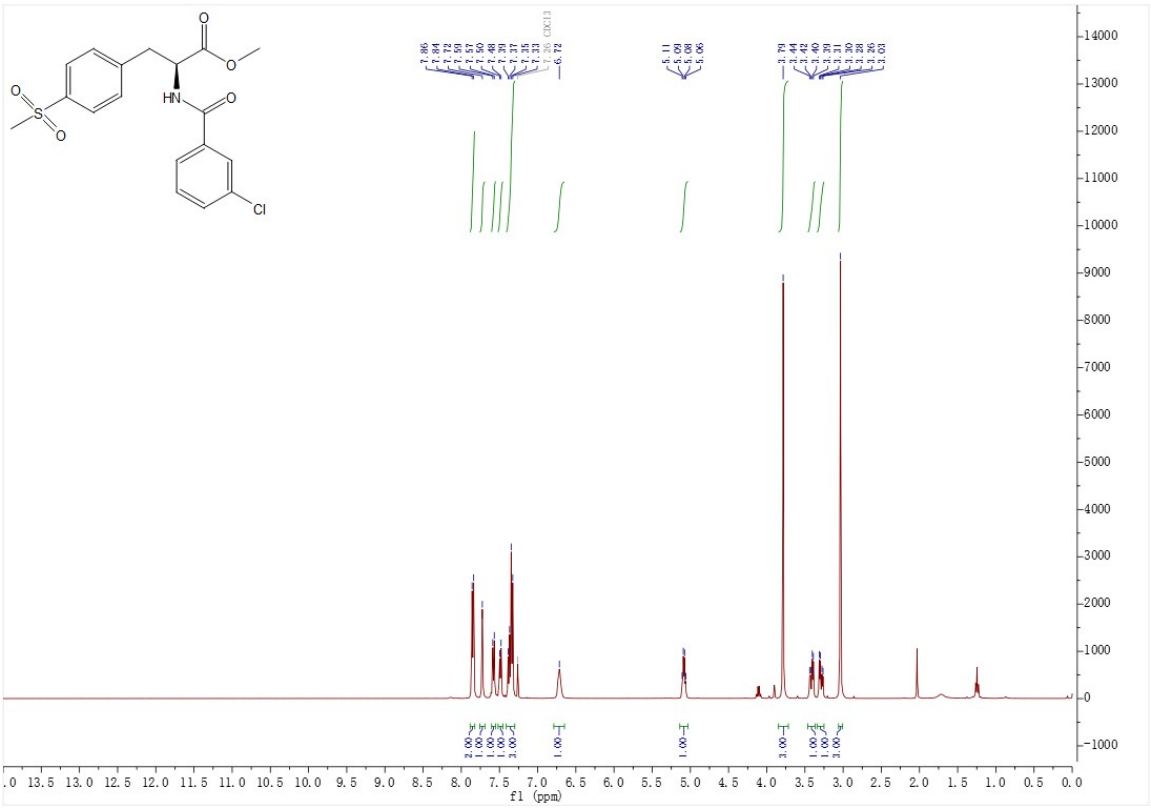
2g ^{1}H NMR (400 MHz, $CDCl_3$)



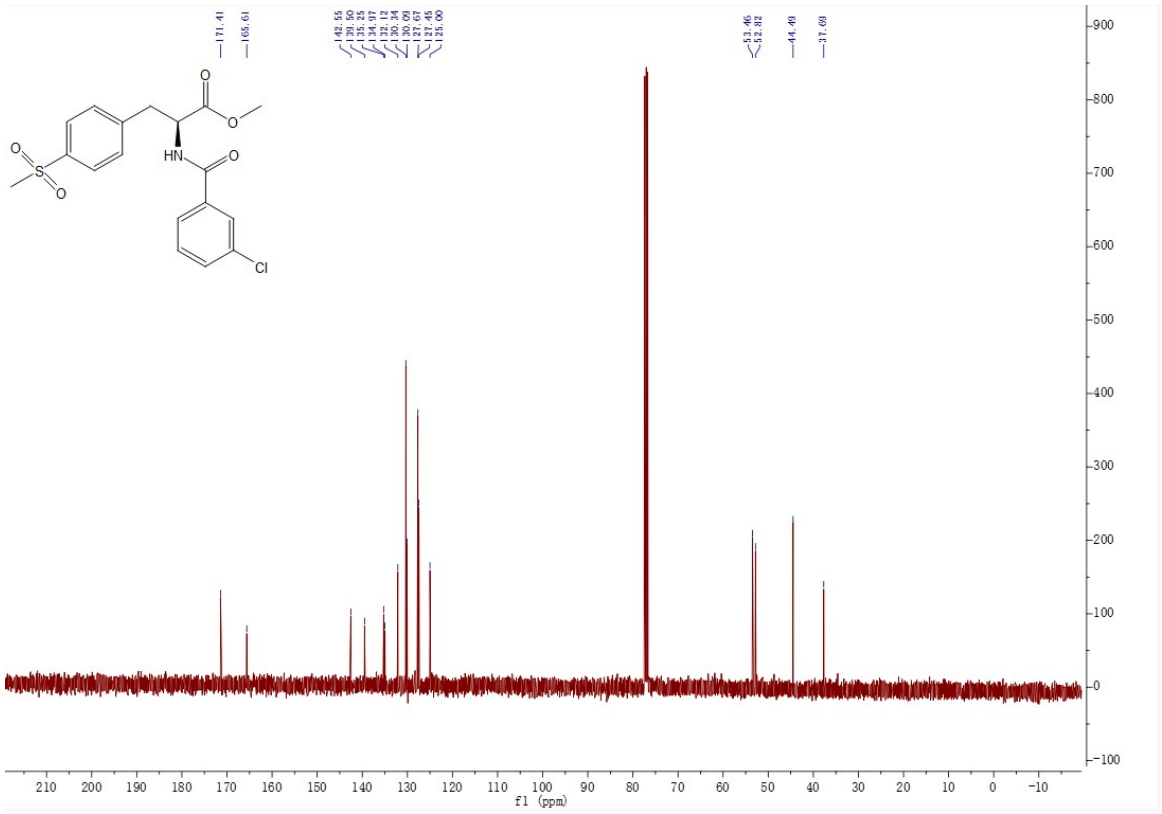
2g ^{13}C NMR (101 MHz, $CDCl_3$)



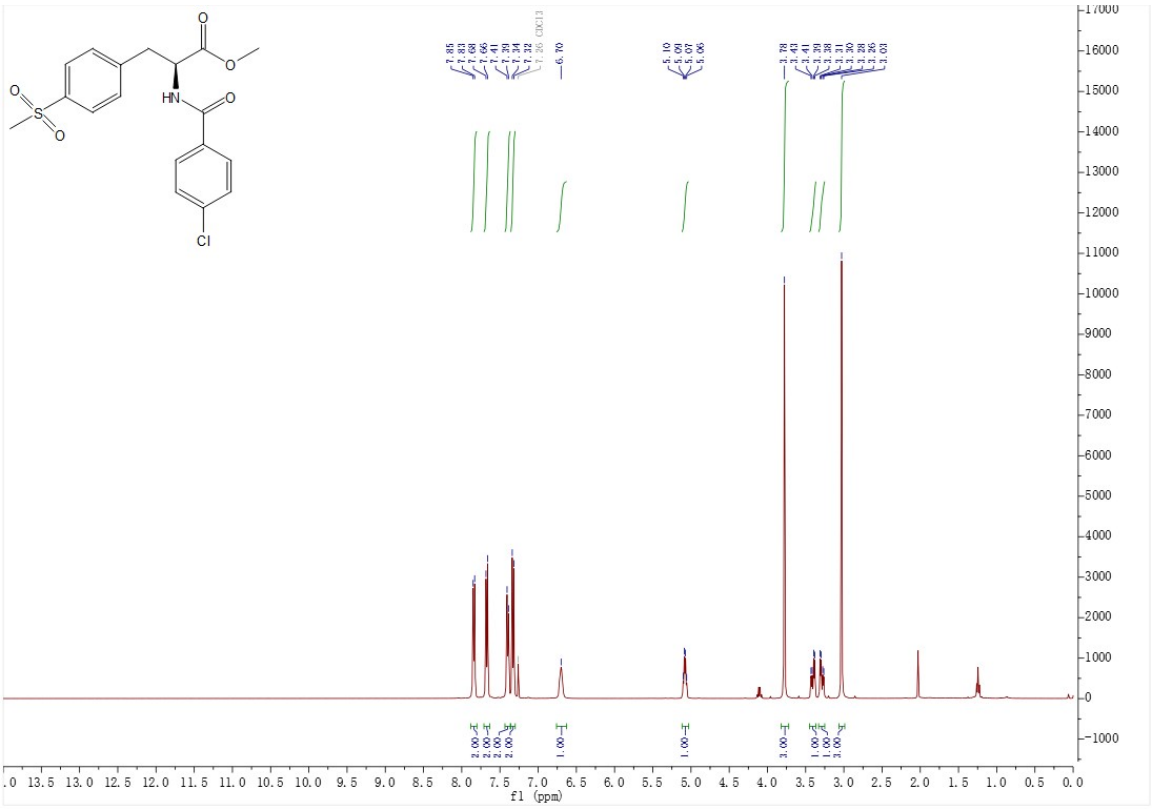
2h ^{1}H NMR (400 MHz, $CDCl_3$)



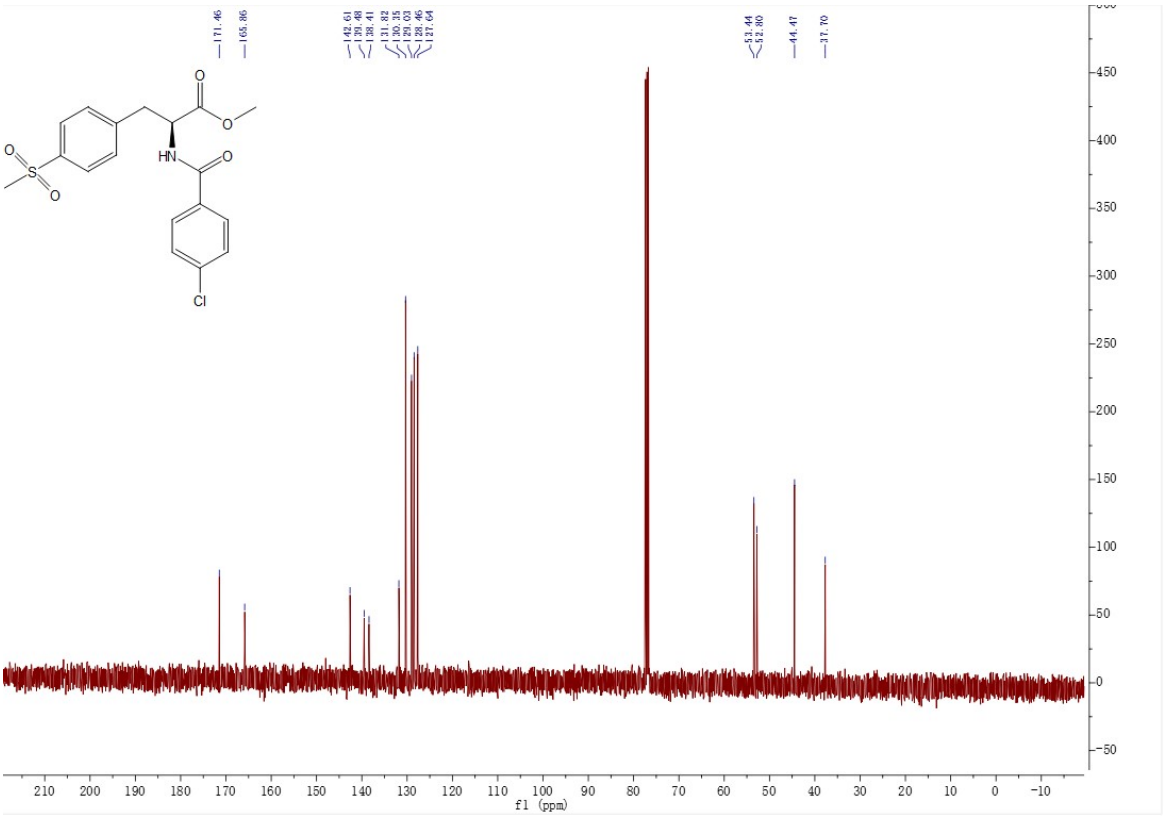
2h ^{13}C NMR (101 MHz, $CDCl_3$)



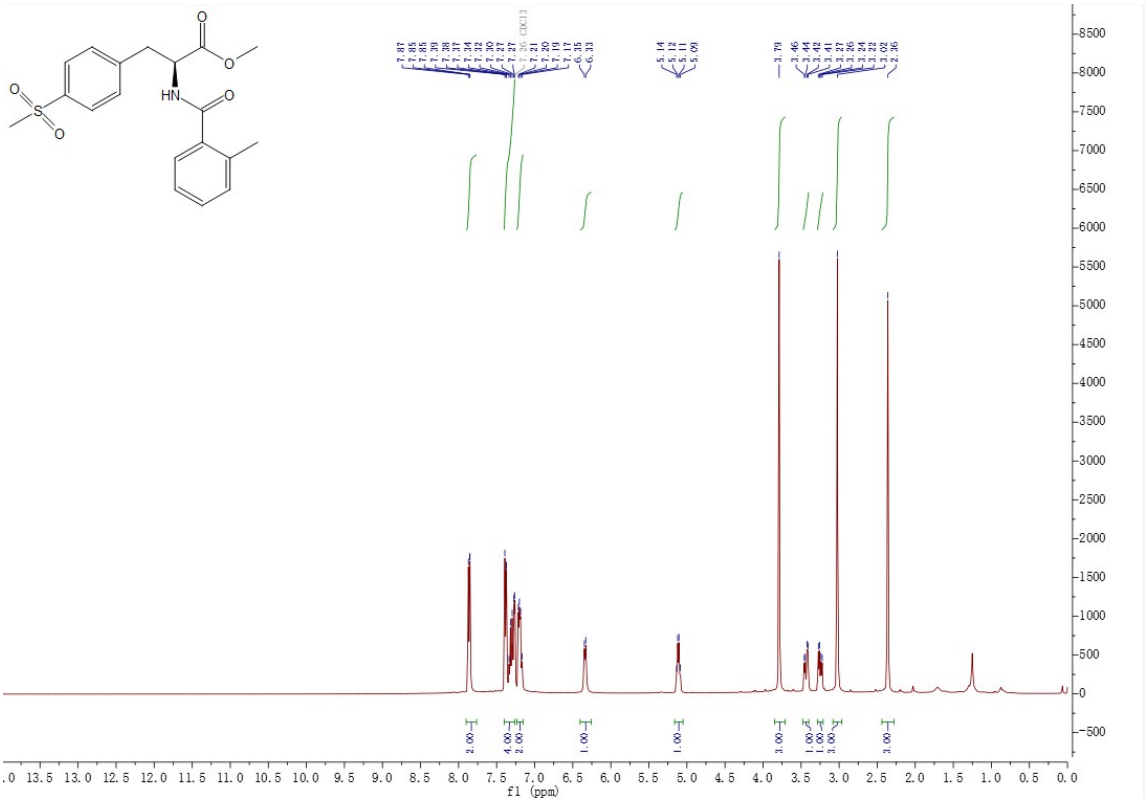
2i ^{1}H NMR (400 MHz, $CDCl_3$)



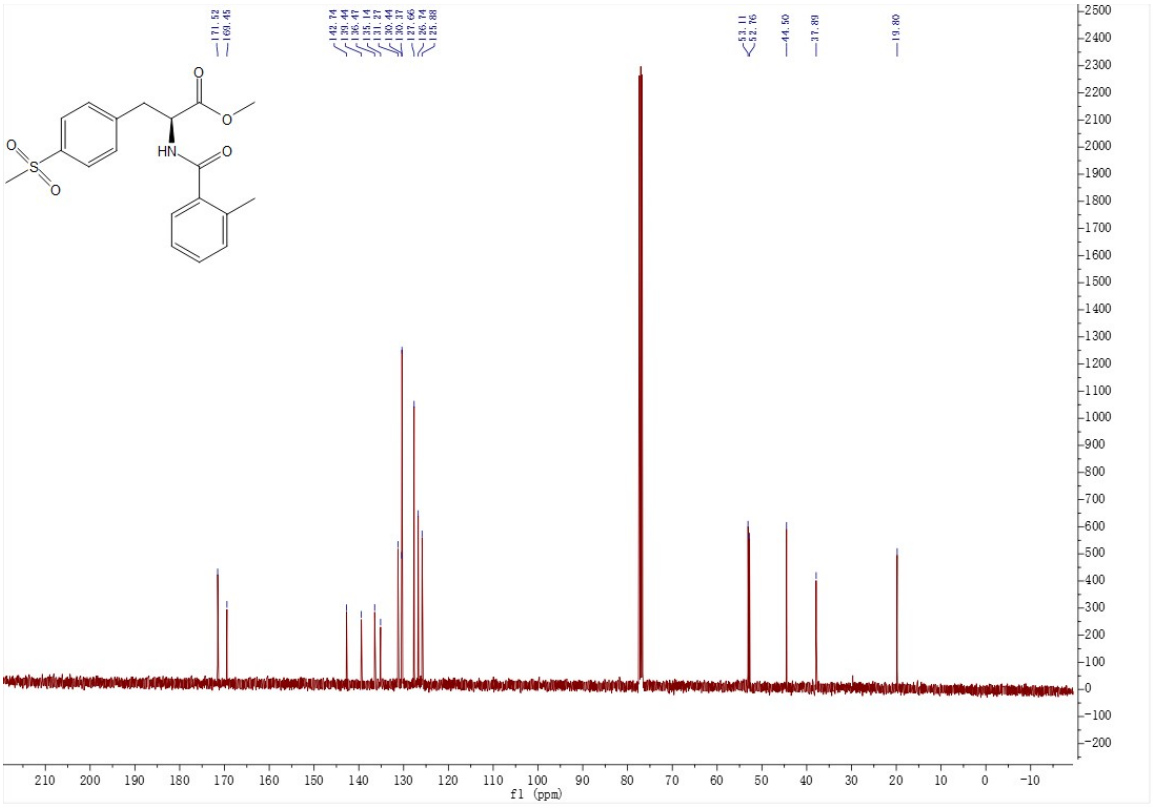
2i ^{13}C NMR (101 MHz, $CDCl_3$)



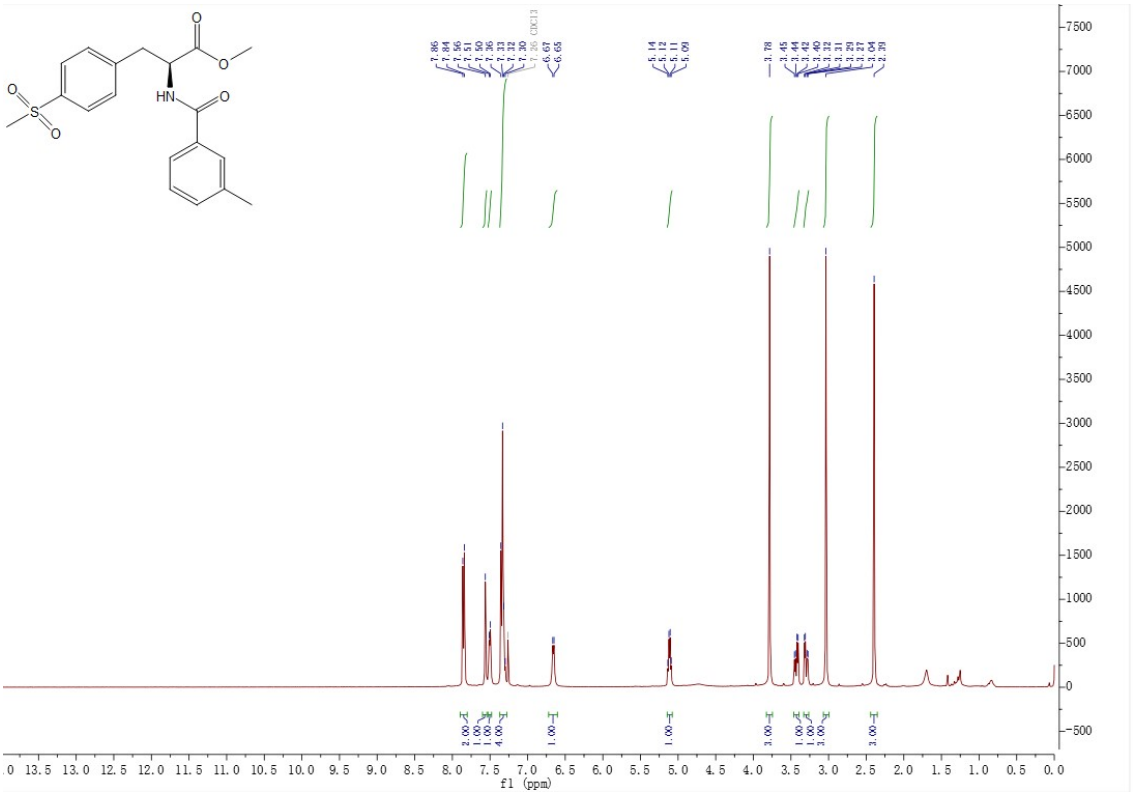
2j ^{1}H NMR (400 MHz, $CDCl_3$)



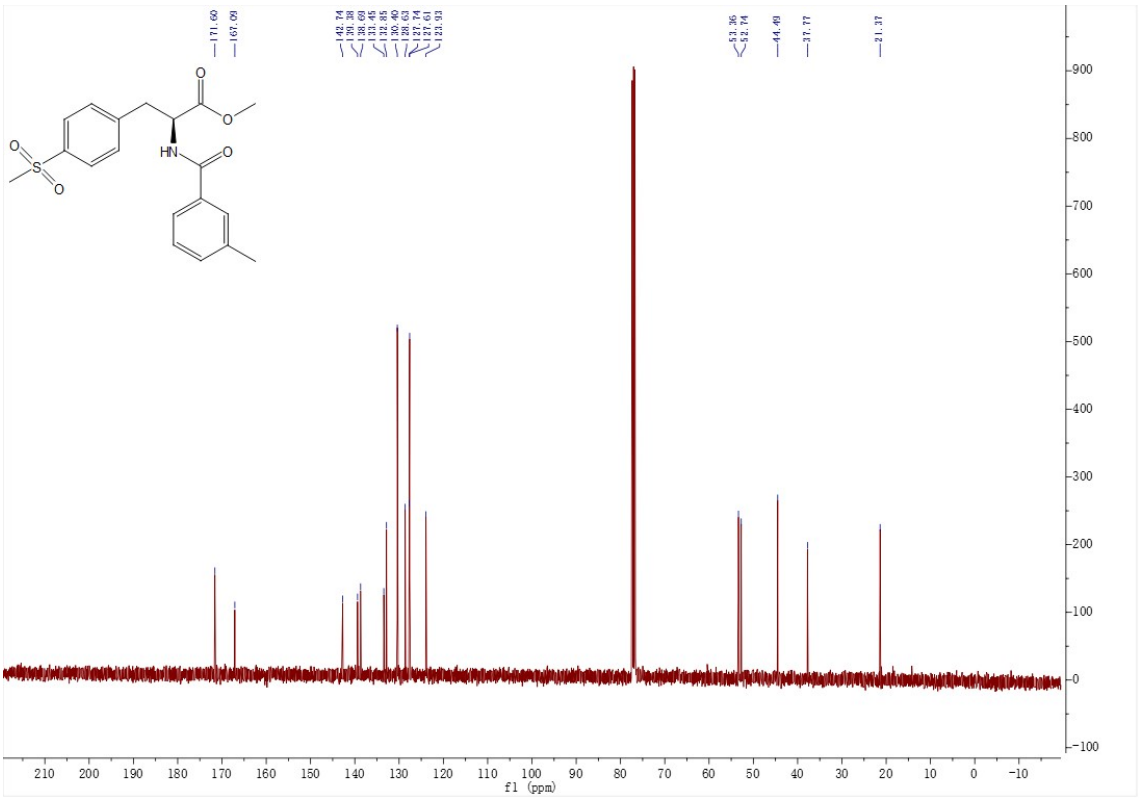
2j ^{13}C NMR (101 MHz, $CDCl_3$)



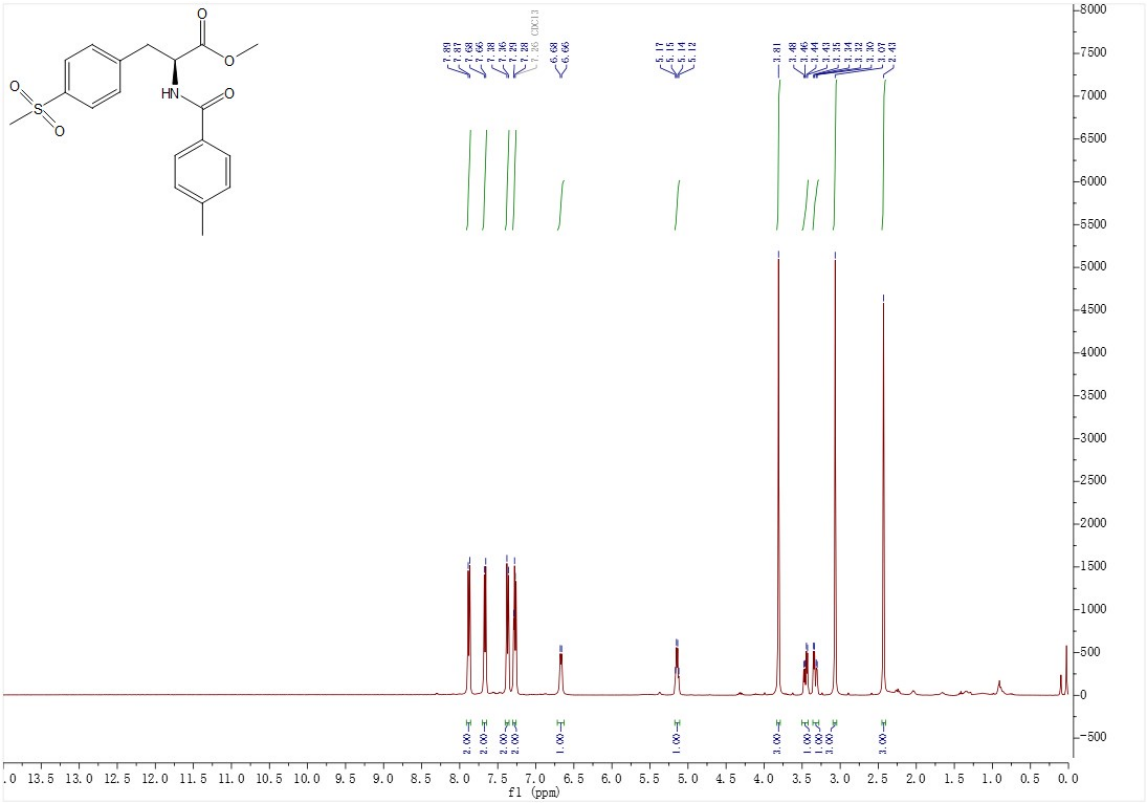
2k ^{1}H NMR (400 MHz, $CDCl_3$)



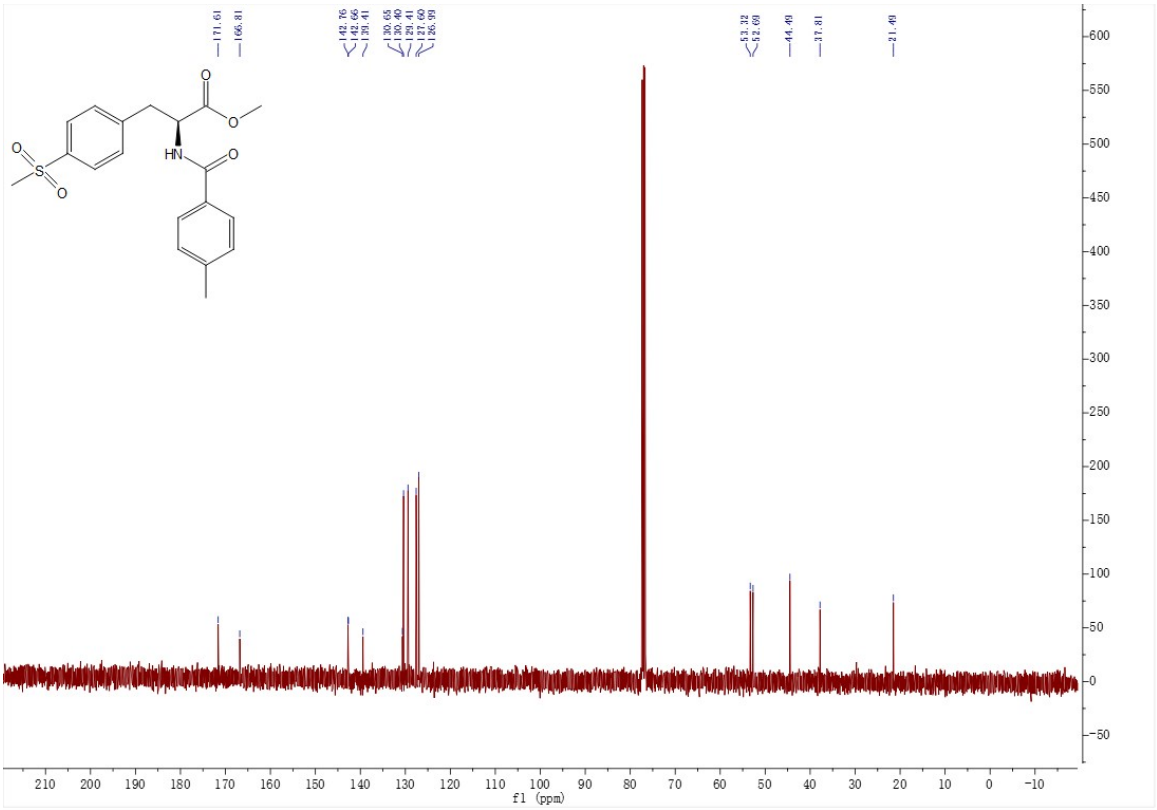
2k ^{13}C NMR (101 MHz, $CDCl_3$)



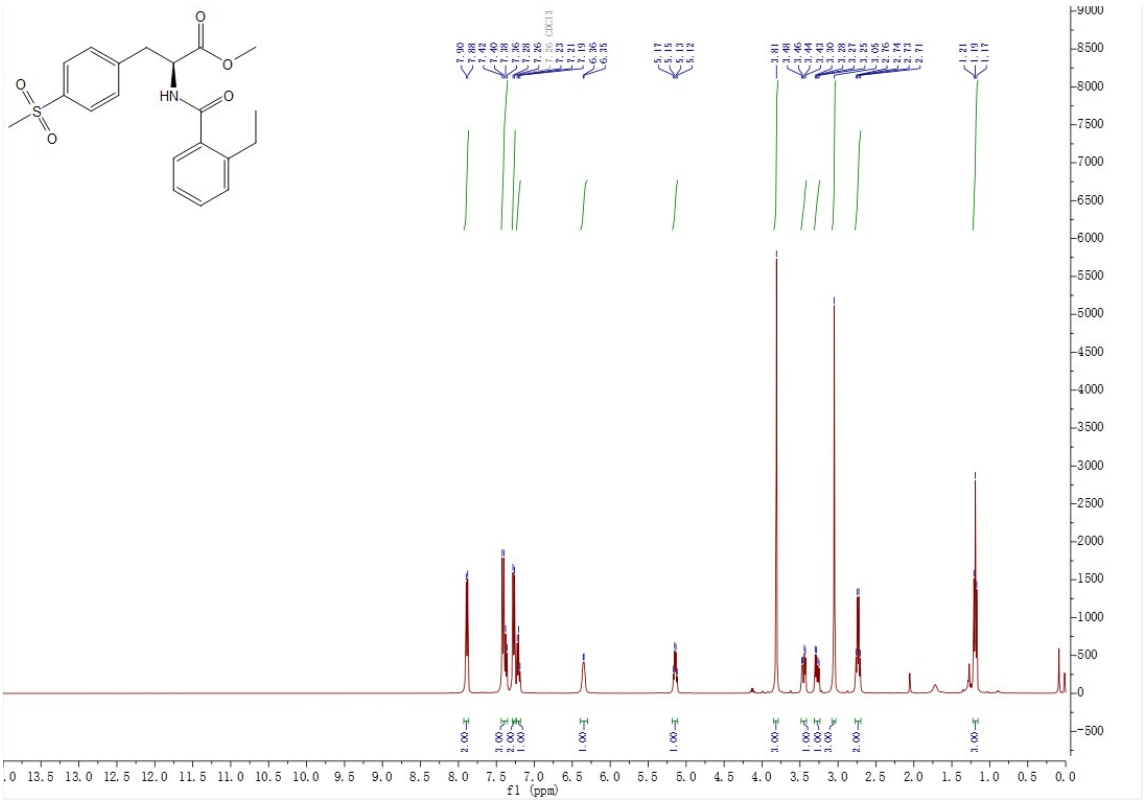
2l ^{1}H NMR (400 MHz, $CDCl_3$)



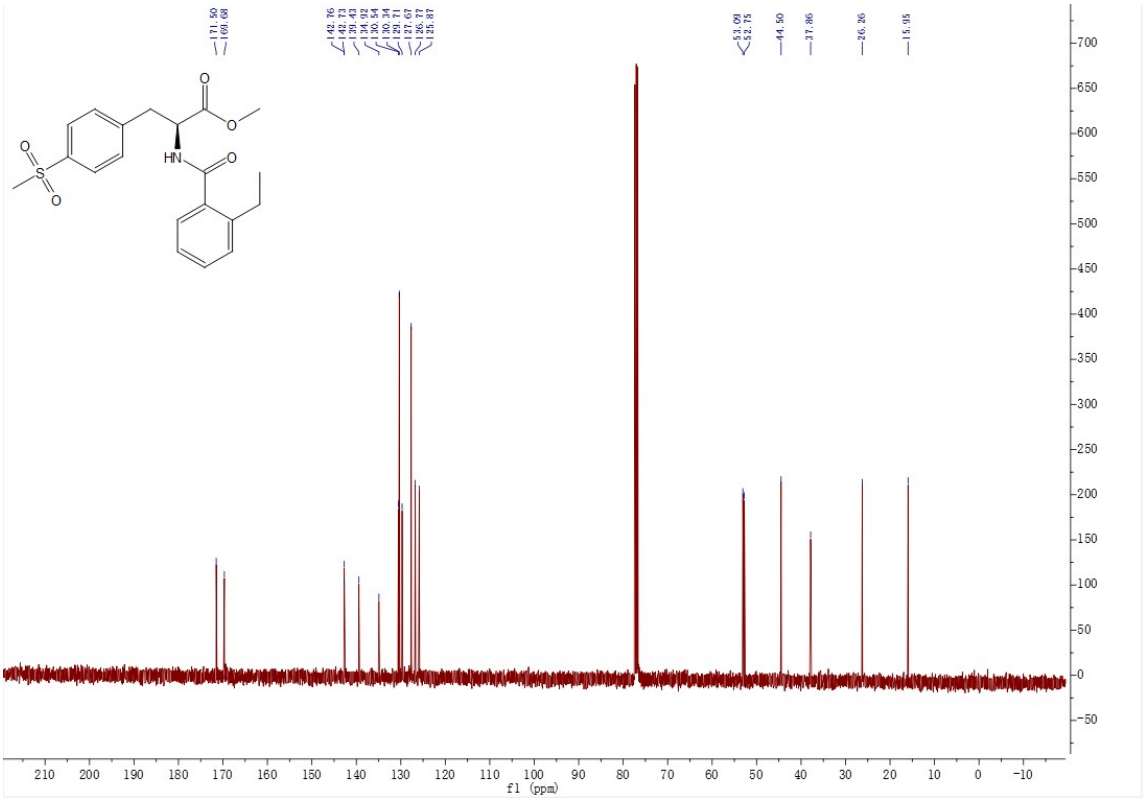
2l ^{13}C NMR (101 MHz, $CDCl_3$)



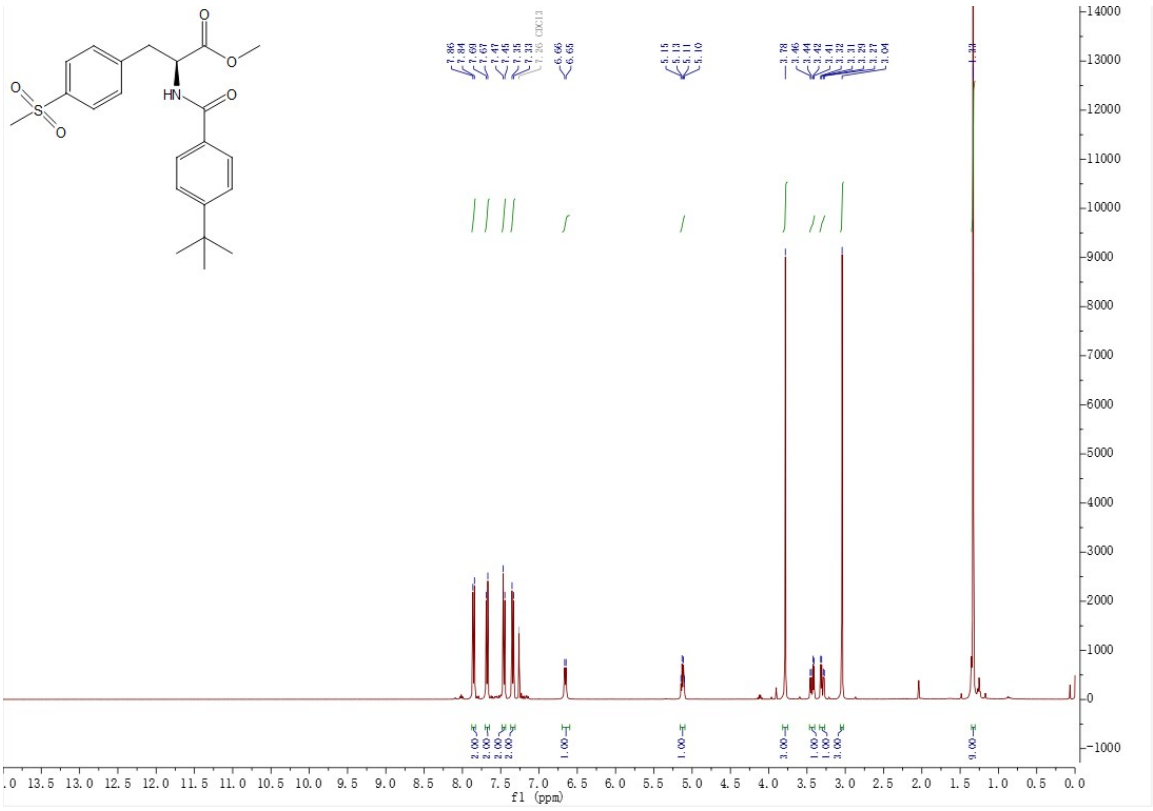
2m ^{1}H NMR (400 MHz, $CDCl_3$)



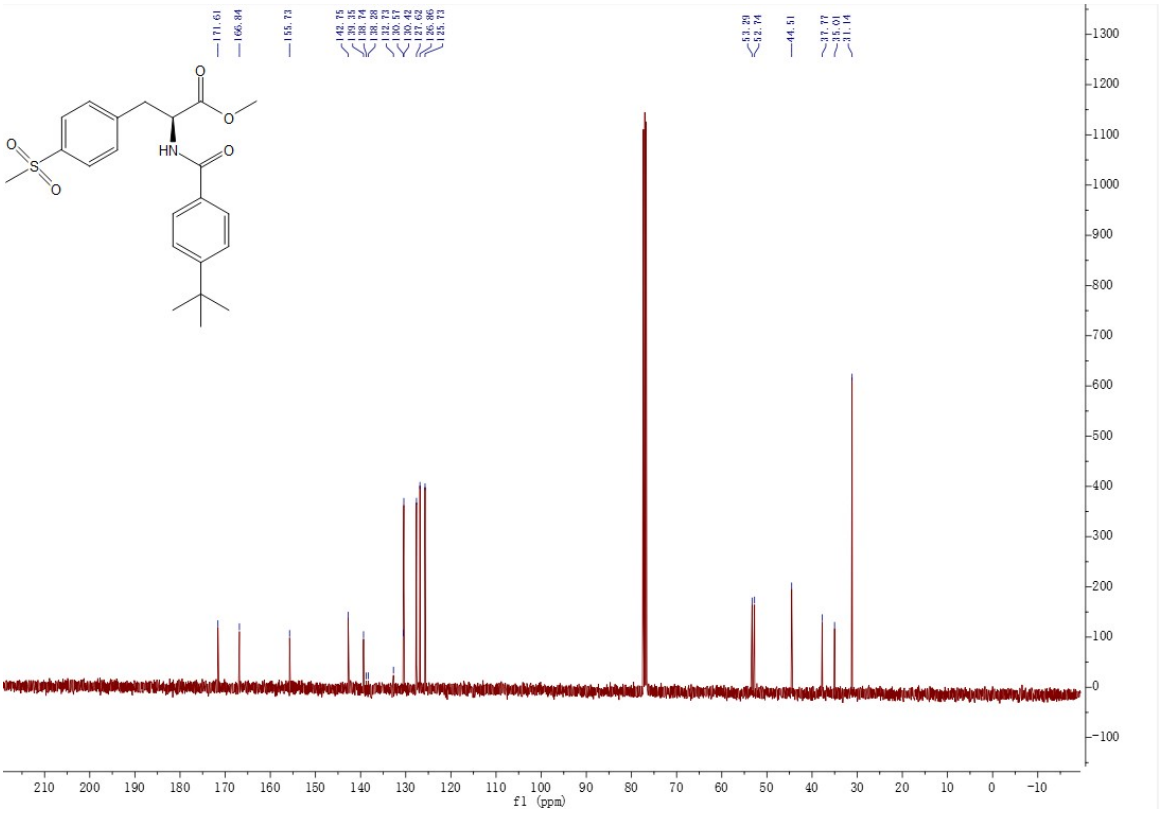
2m ^{13}C NMR (101 MHz, $CDCl_3$)



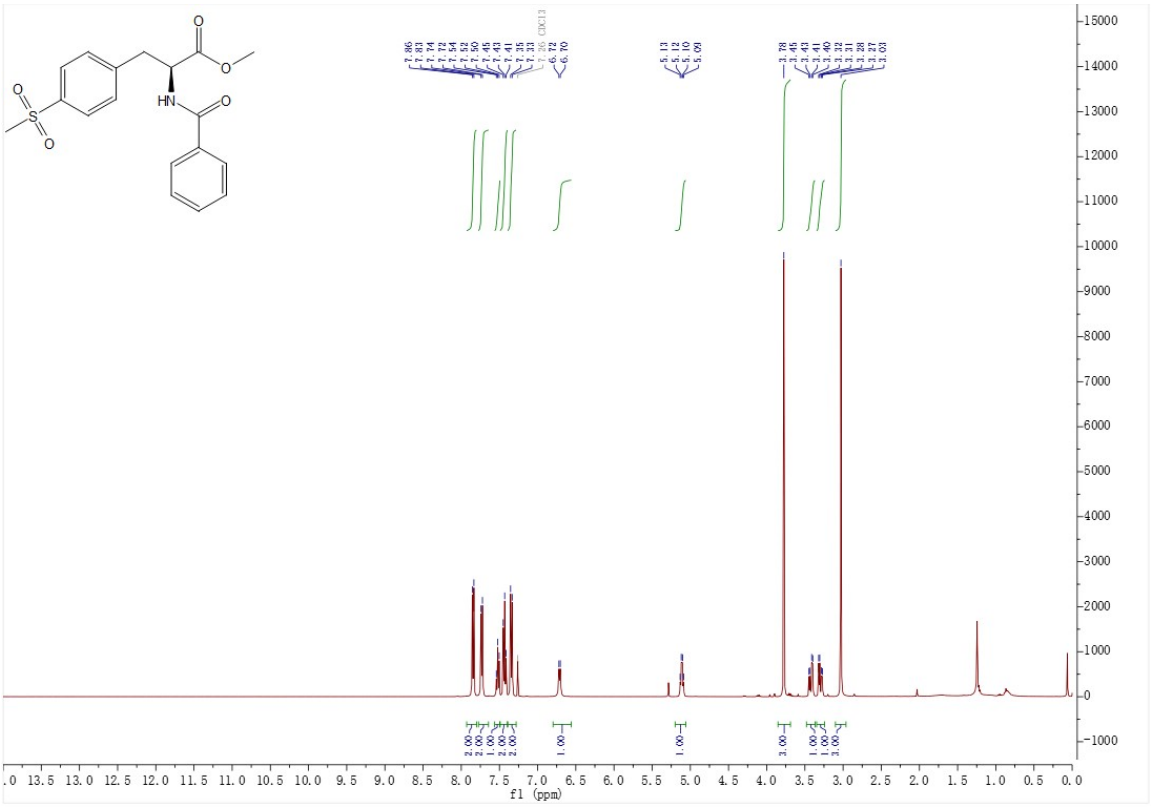
2n ^{1}H NMR (400 MHz, $CDCl_3$)



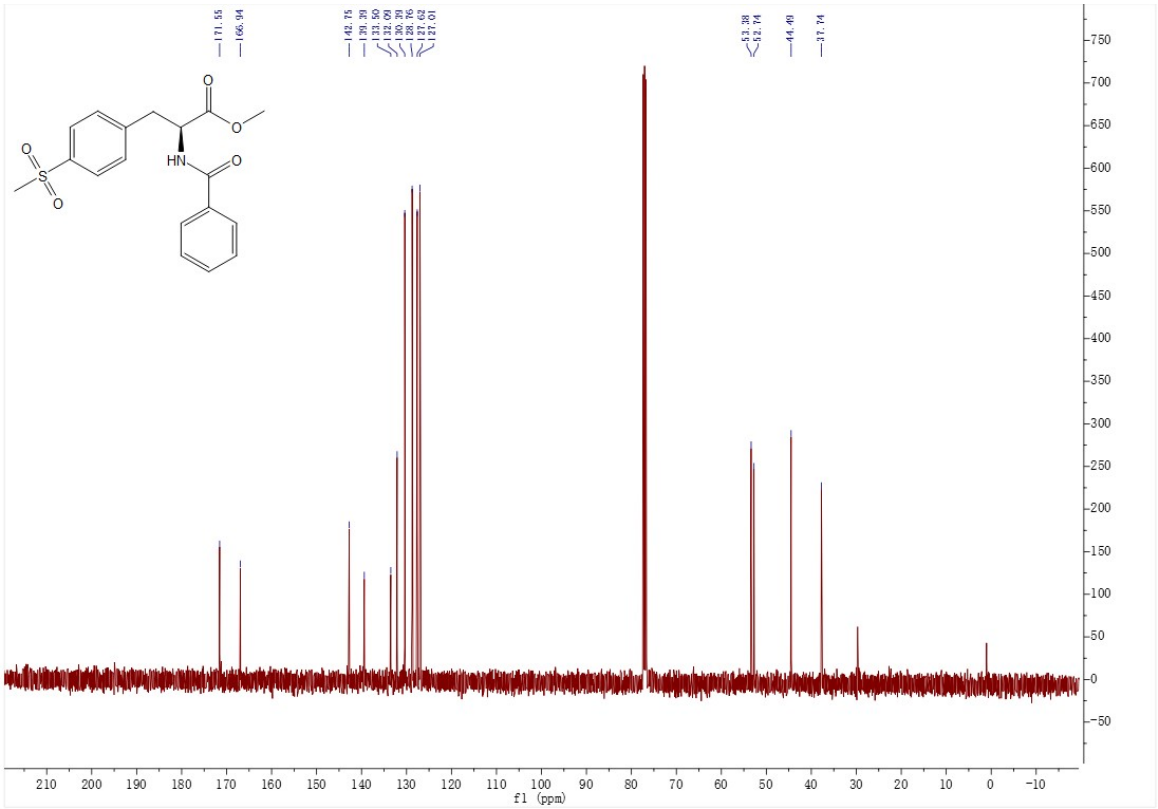
2n ^{13}C NMR (101 MHz, $CDCl_3$)



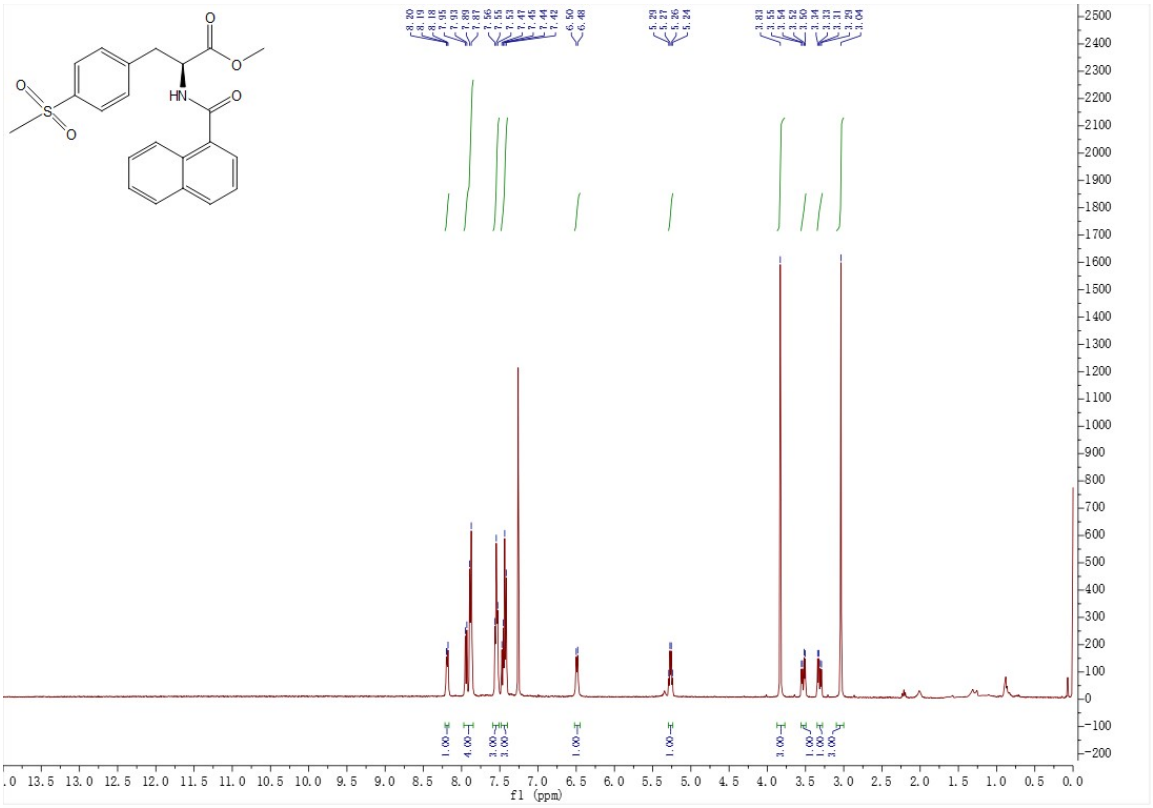
2o ^{1}H NMR (400 MHz, $CDCl_3$)



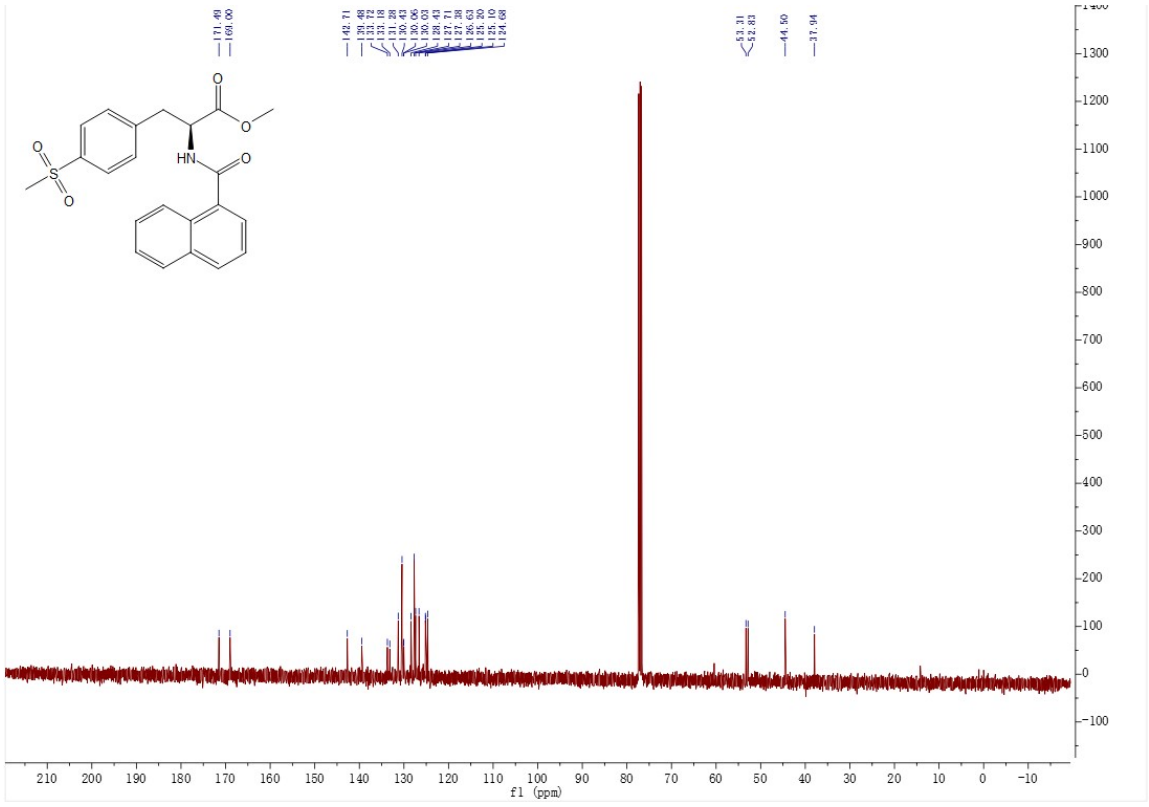
2o ^{13}C NMR (101 MHz, $CDCl_3$)



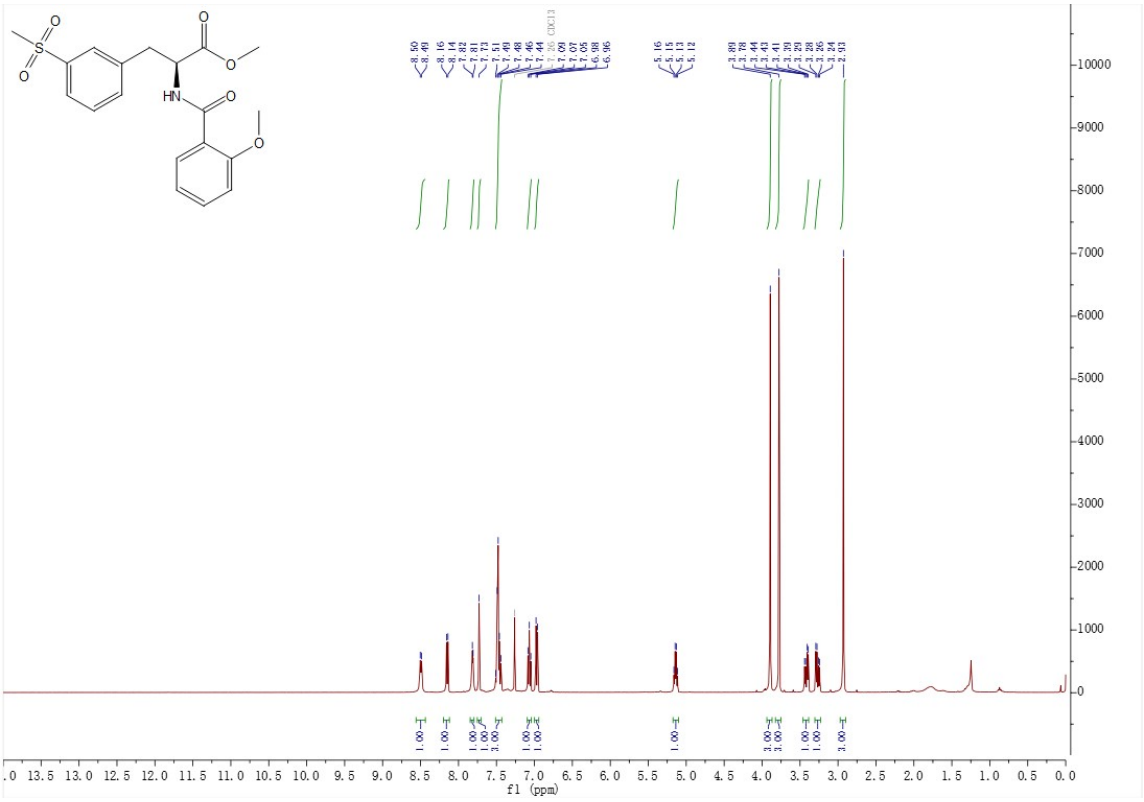
2p ^{1}H NMR (400 MHz, $CDCl_3$)



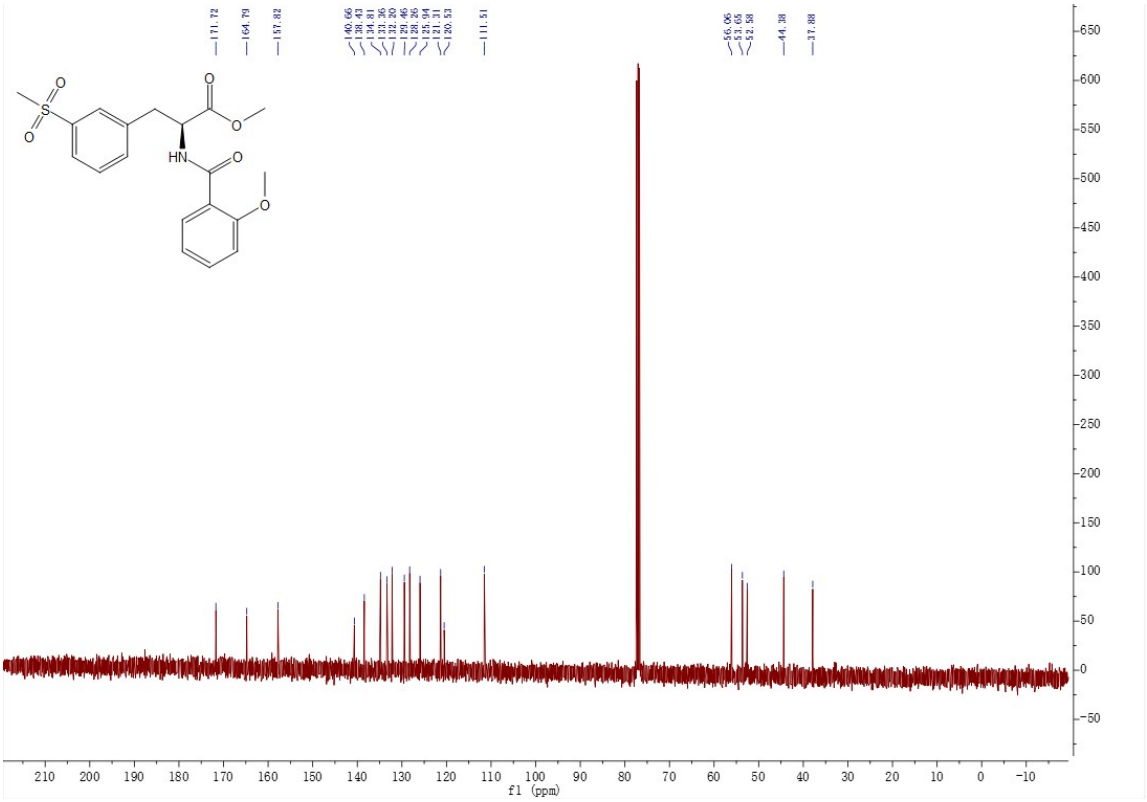
2p ^{13}C NMR (101 MHz, $CDCl_3$)



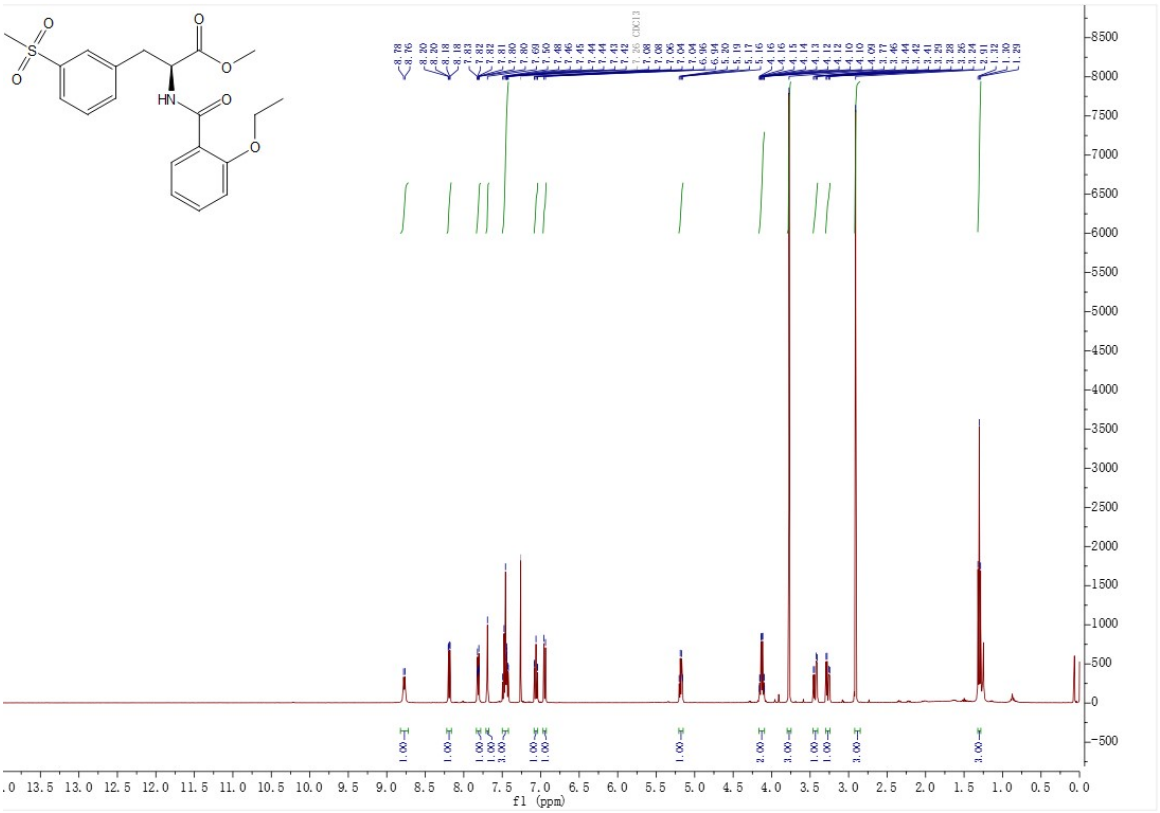
2q ^{1}H NMR (400 MHz, $CDCl_3$)



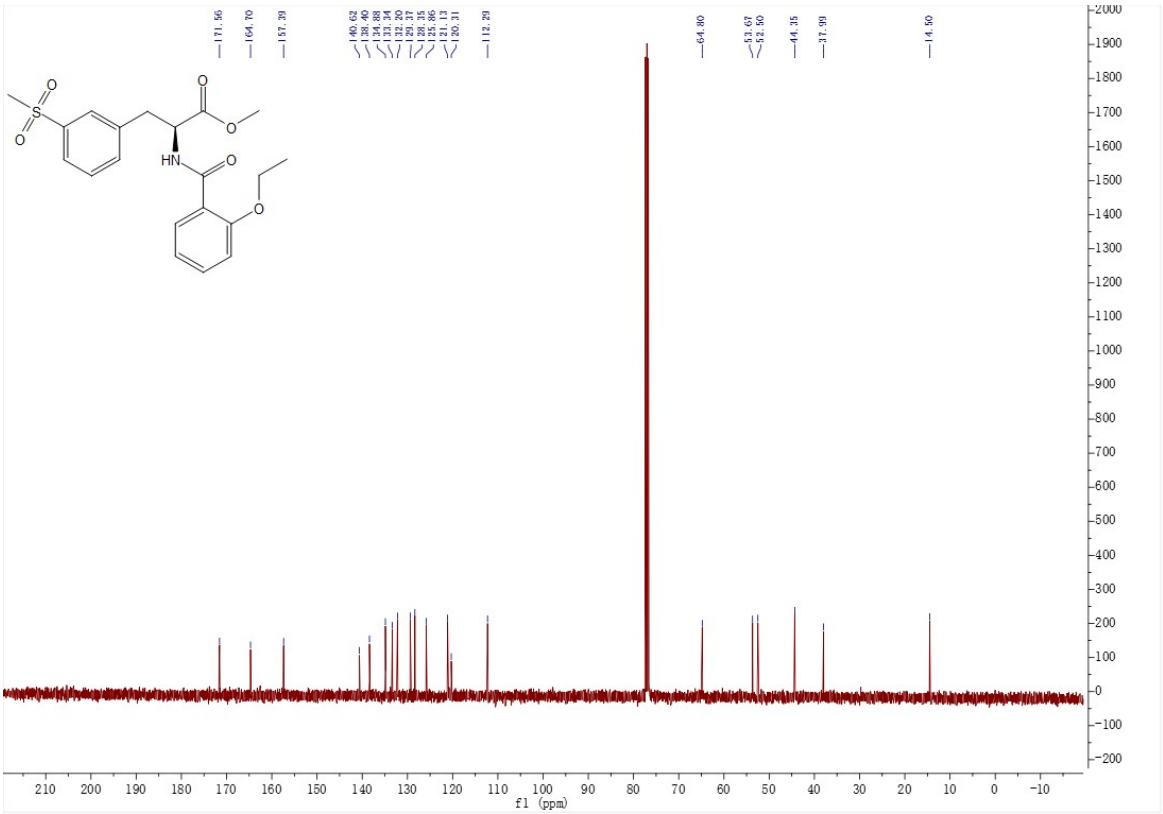
2q ^{13}C NMR (101 MHz, $CDCl_3$)



2r ^{1}H NMR (400 MHz, $CDCl_3$)

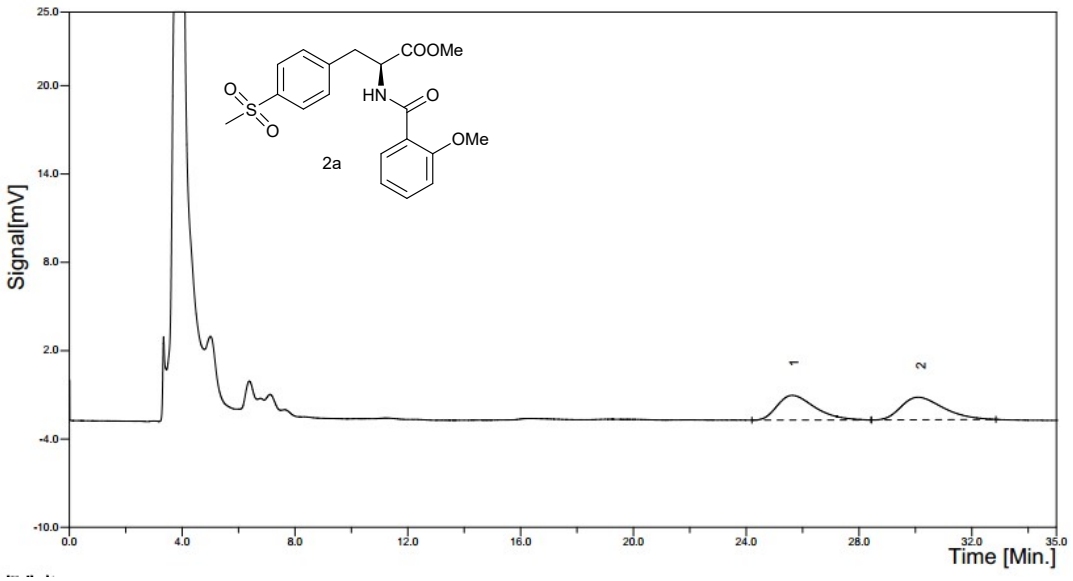


2r ^{13}C NMR (101 MHz, $CDCl_3$)



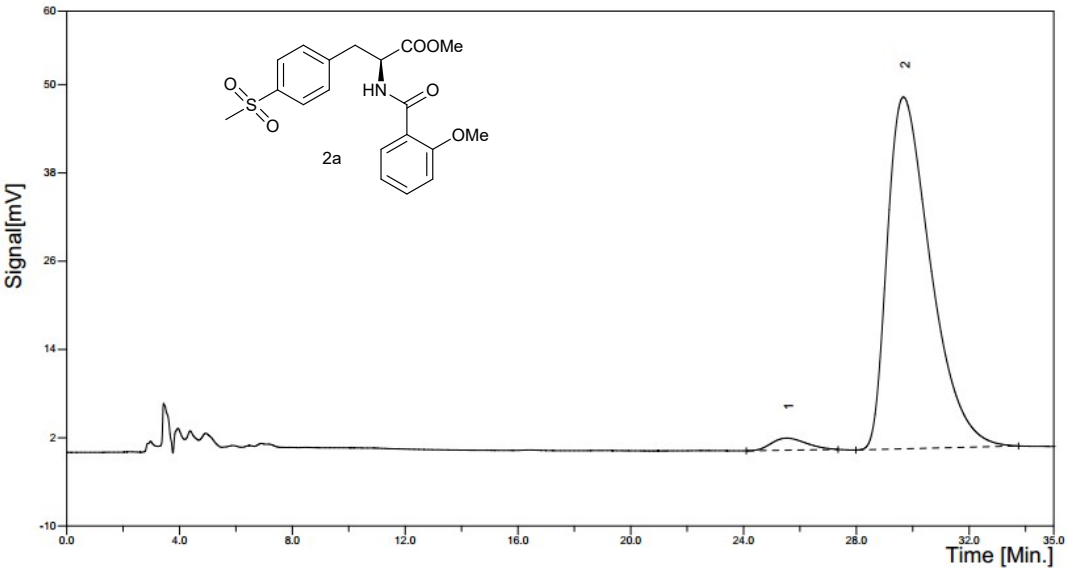
5. HPLC Data

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	25.62667	1.68	155.11	49.5730
2	30.12500	1.53	157.78	50.4270

Enantio-enriched product

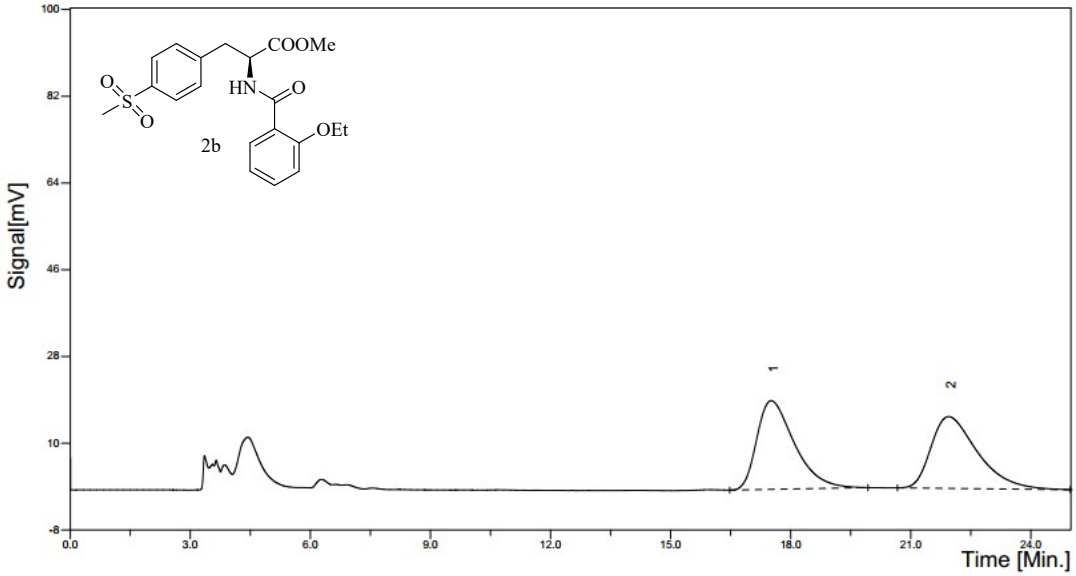


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	25.53083	1.65	141.24	2.6940
2	29.66500	47.83	5101.32	97.3060

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

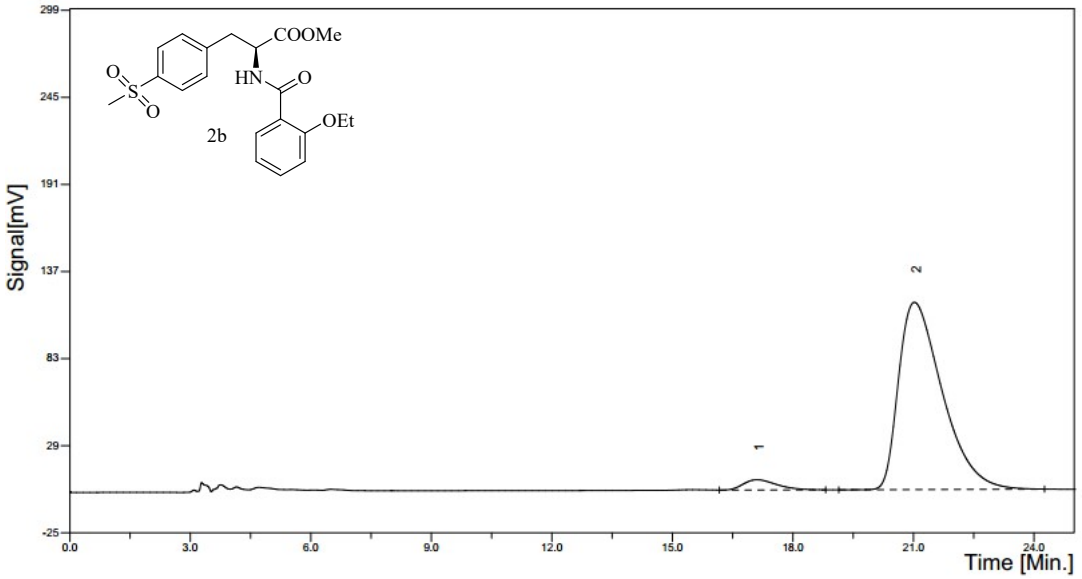
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	17.51417	18.40	1201.45	50.0720
2	21.95000	14.90	1198.00	49.9280

Enantio-enriched product

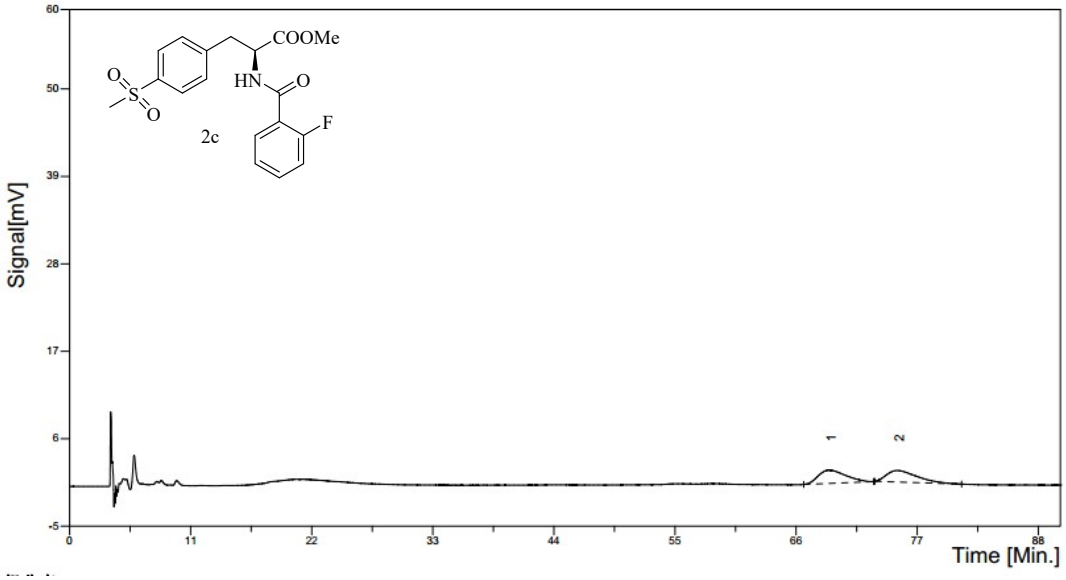


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	17.11083	6.34	364.81	3.9910
2	21.02250	116.12	8776.04	96.0090

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

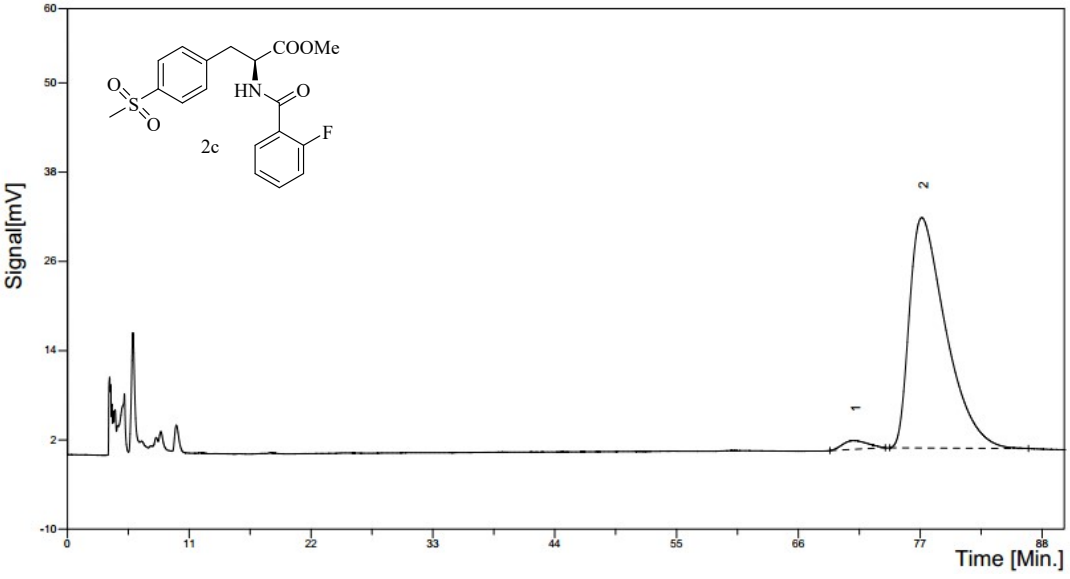
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	69.00583	1.67	285.66	50.5213
2	75.20833	1.45	279.76	49.4787

Enantio-enriched product

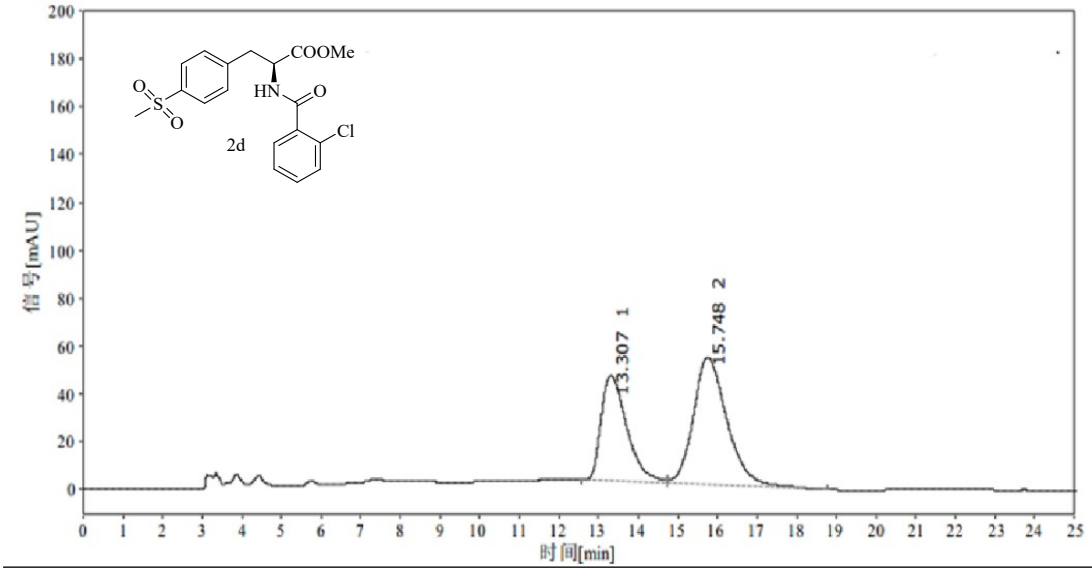


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	71.01167	1.17	184.15	2.5342
2	77.12917	30.98	7082.50	97.4658

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

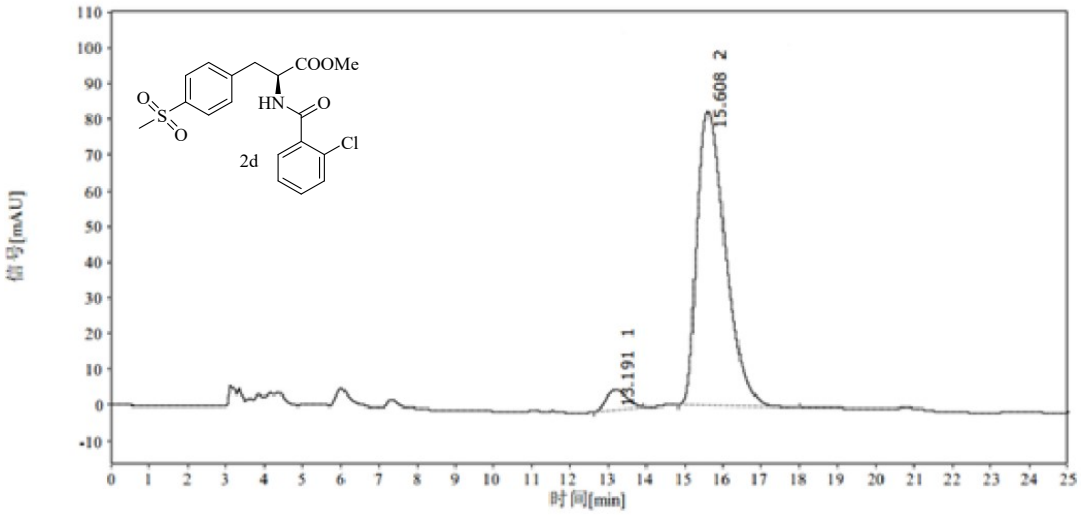
Eluent:*n*-hexane/ethanol = 80/20; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	13.307	44.049	1995.129	38.6
2	15.748	53.189	3172.245	61.4

Enantio-enriched product

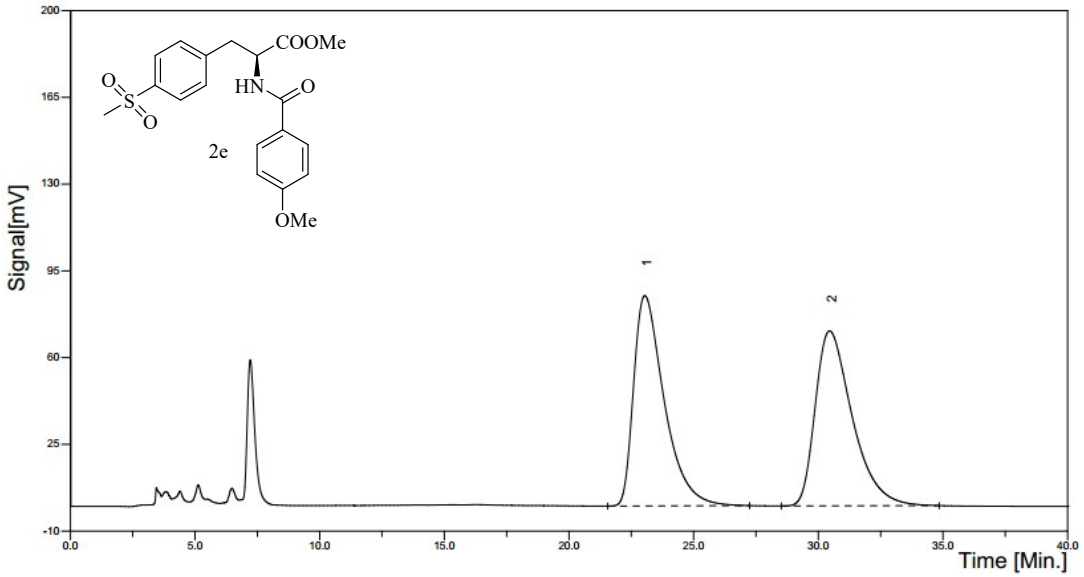


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	13.191	5.607	207.176	4.7
2	15.608	82.156	4236.124	95.3

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

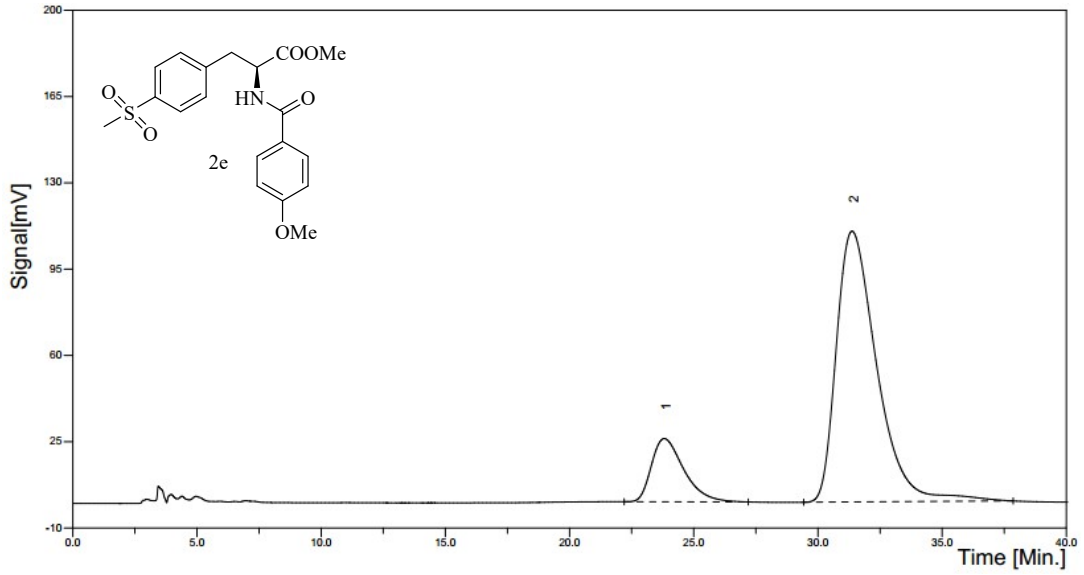
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	23.04250	84.92	7236.70	49.8603
2	30.45417	70.61	7277.25	50.1397

Enantio-enriched product

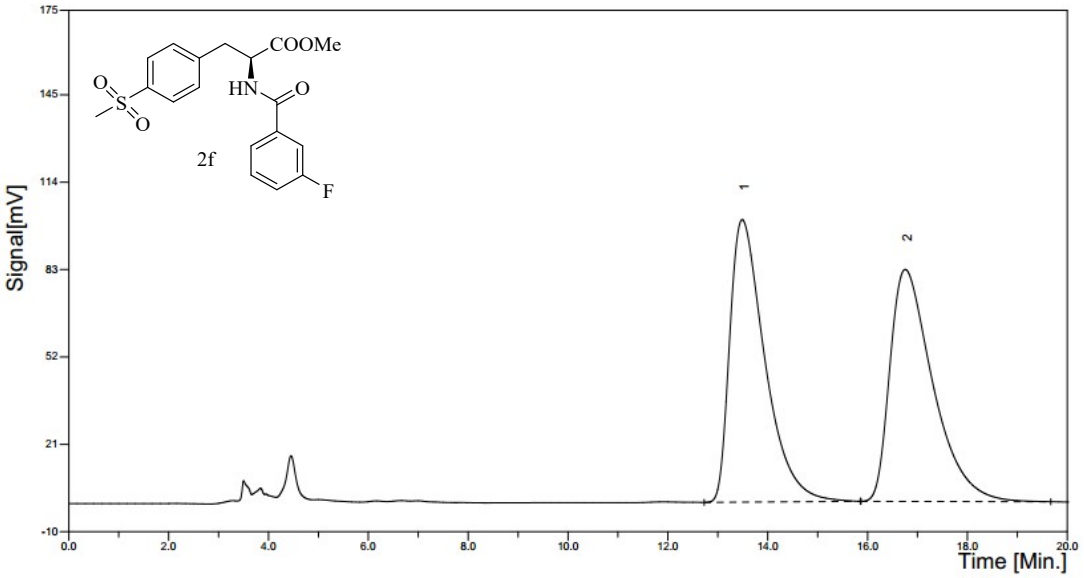


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	23.81083	25.66	2324.18	15.7361
2	31.37333	109.84	12445.54	84.2639

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

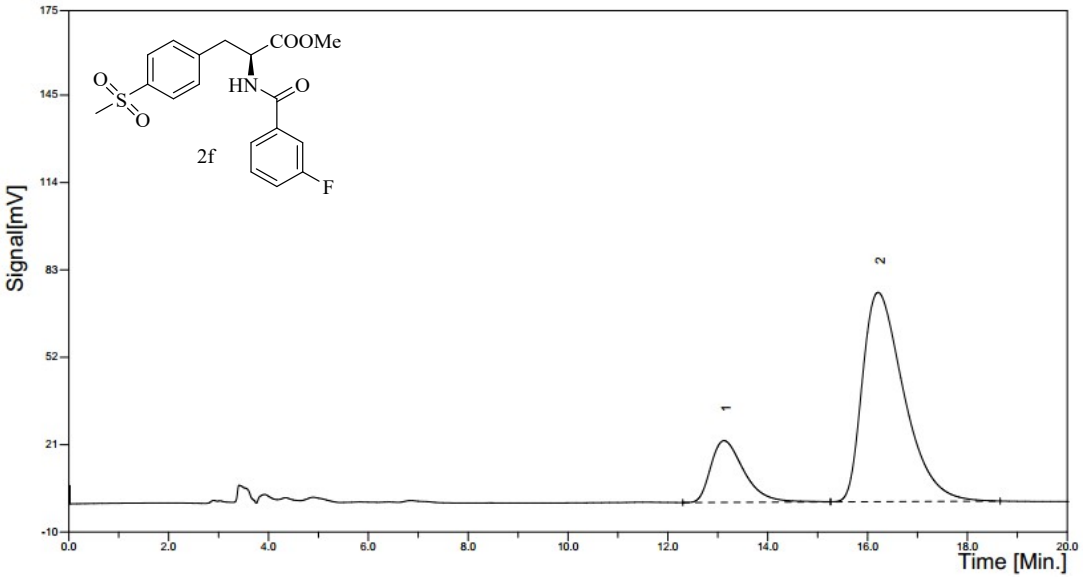
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	13.49000	100.24	4890.17	49.8711
2	16.75583	82.31	4915.45	50.1289

Enantio-enriched product

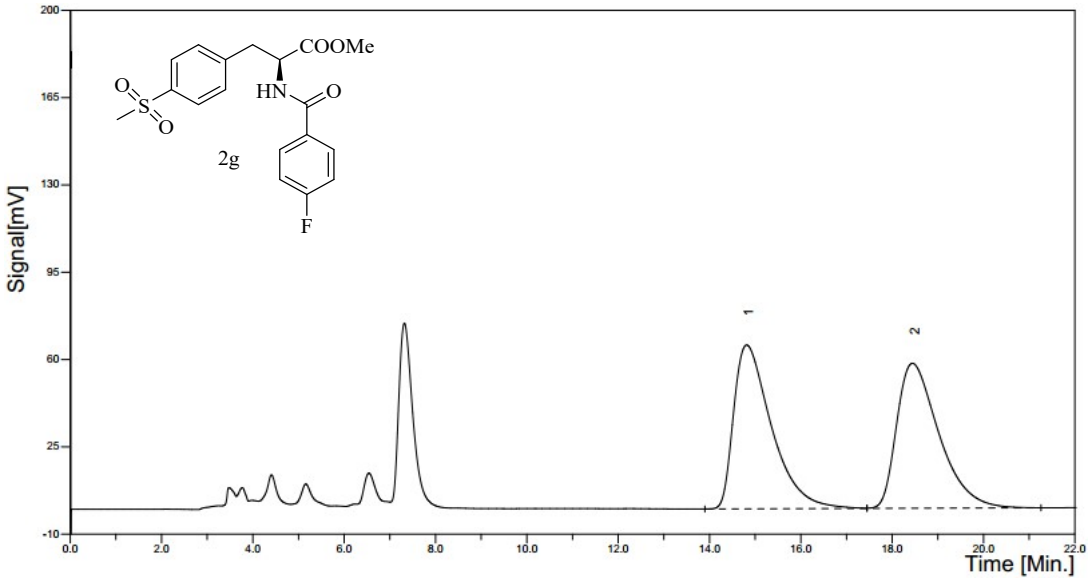


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	13.12583	21.90	1011.64	19.1167
2	16.21167	74.24	4280.27	80.8833

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

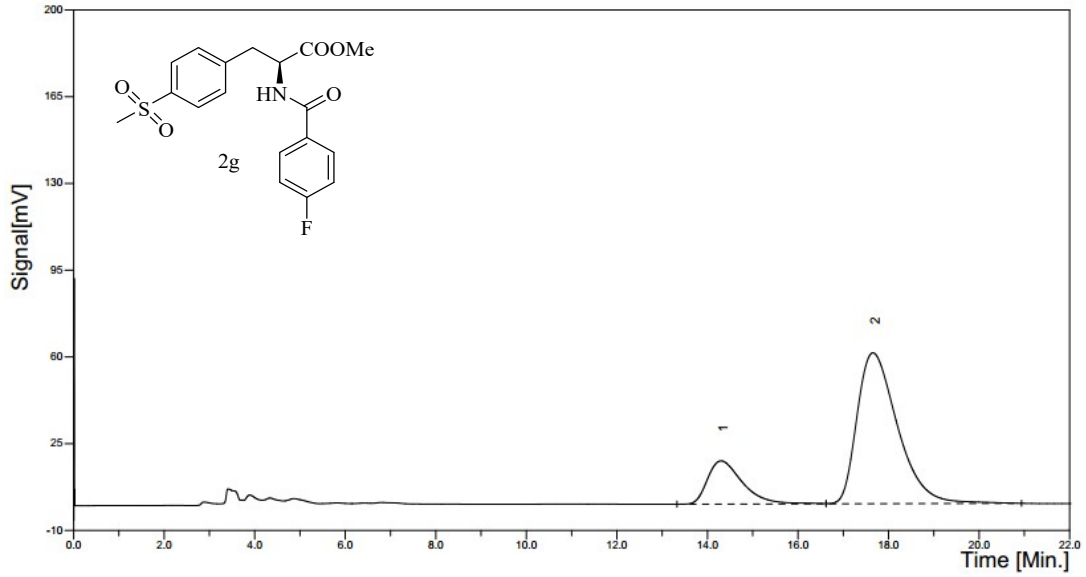
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	14.81333	65.67	3780.12	50.3373
2	18.44500	58.11	3729.46	49.6627

Enantio-enriched product

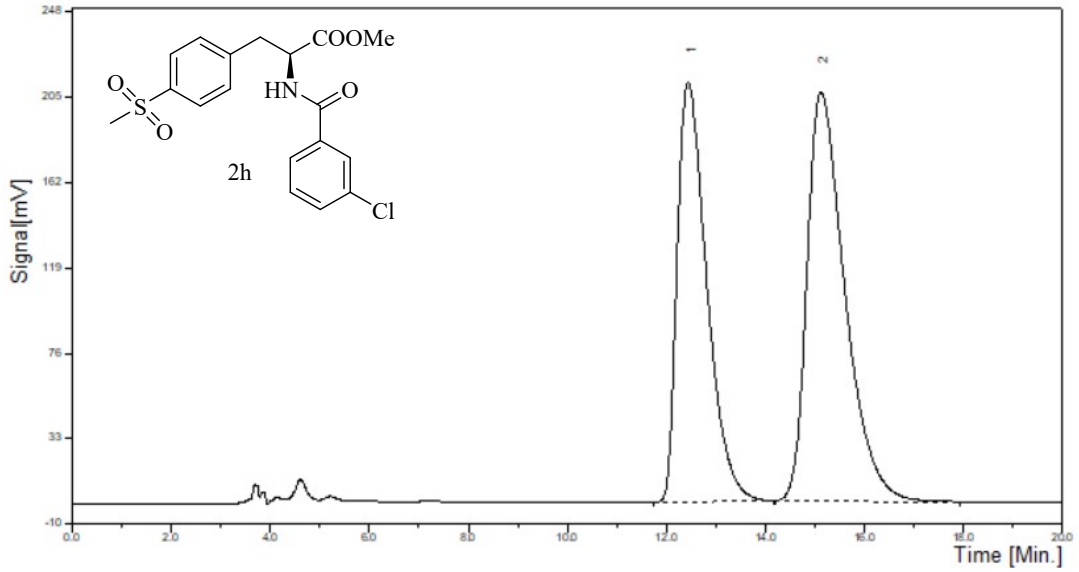


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	14.30250	17.44	935.04	19.8391
2	17.65750	60.86	3778.07	80.1609

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

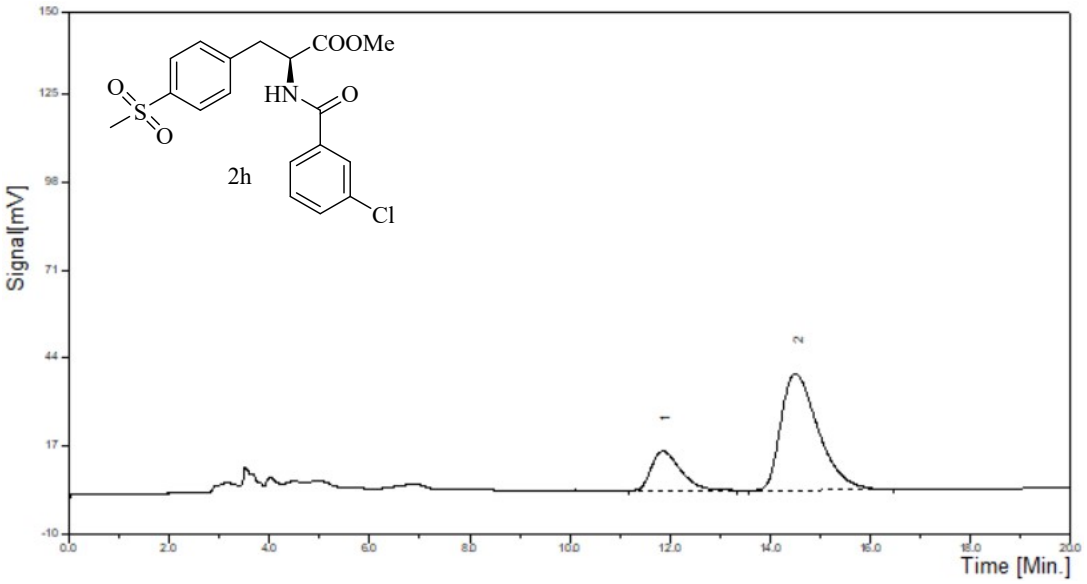
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	12.43750	211.07	8779.06	44.5050
2	15.12083	205.97	10946.95	55.4950

Enantio-enriched product

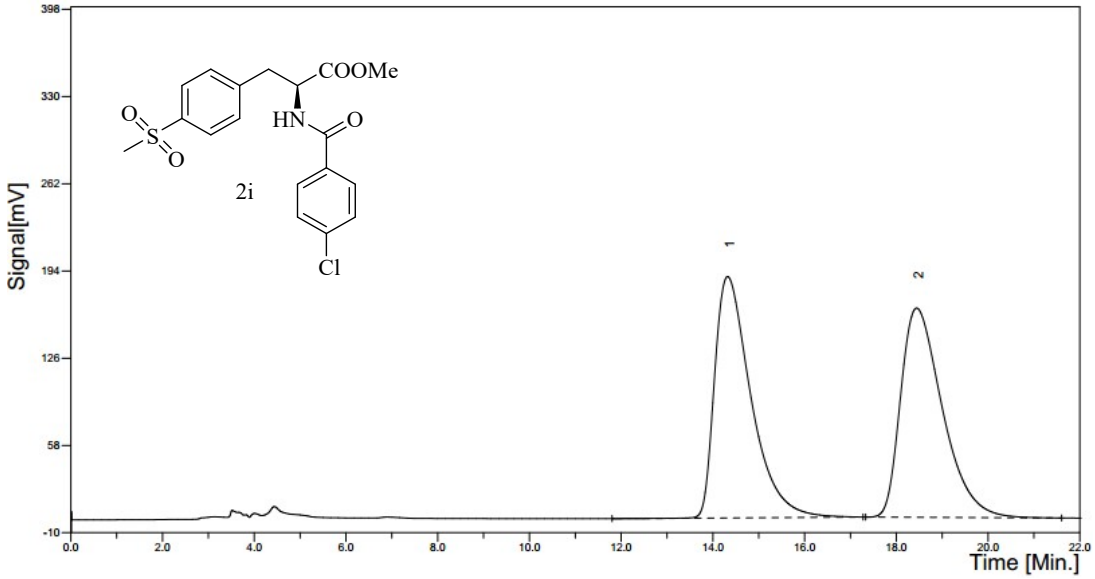


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	11.85750	11.98	482.32	20.7629
2	14.50083	35.72	1840.69	79.2371

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

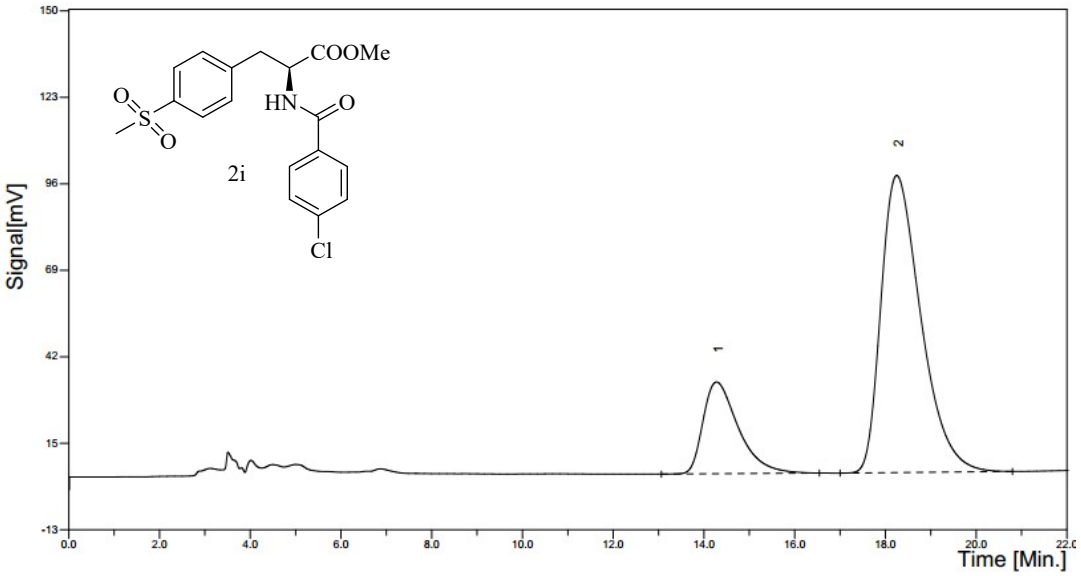
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	14.32250	188.12	10324.08	50.0605
2	18.44417	163.07	10299.13	49.9395

Enantio-enriched product

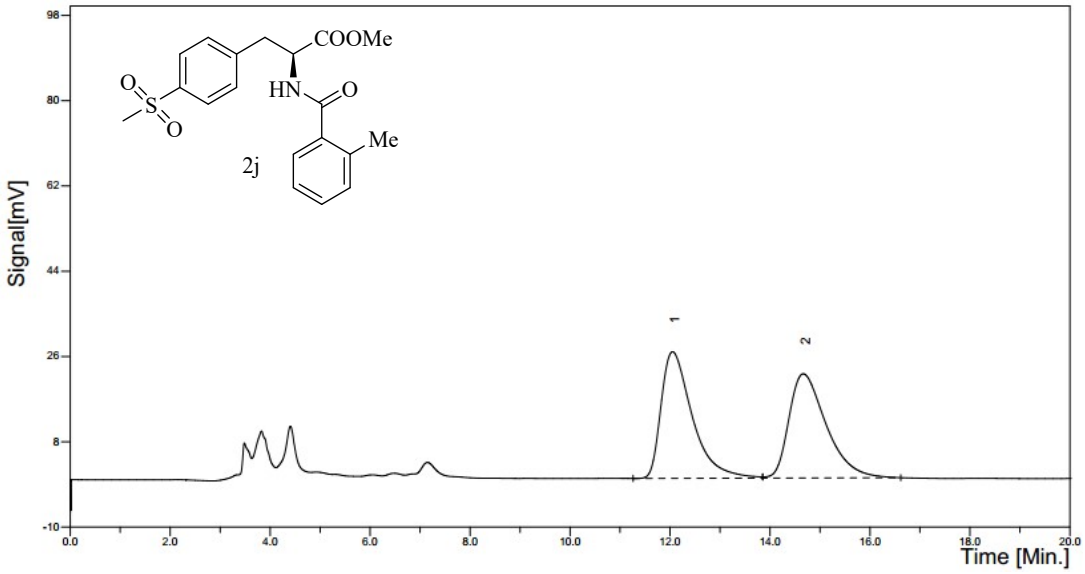


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	14.27750	28.65	1546.37	21.4577
2	18.25083	92.77	5660.25	78.5423

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

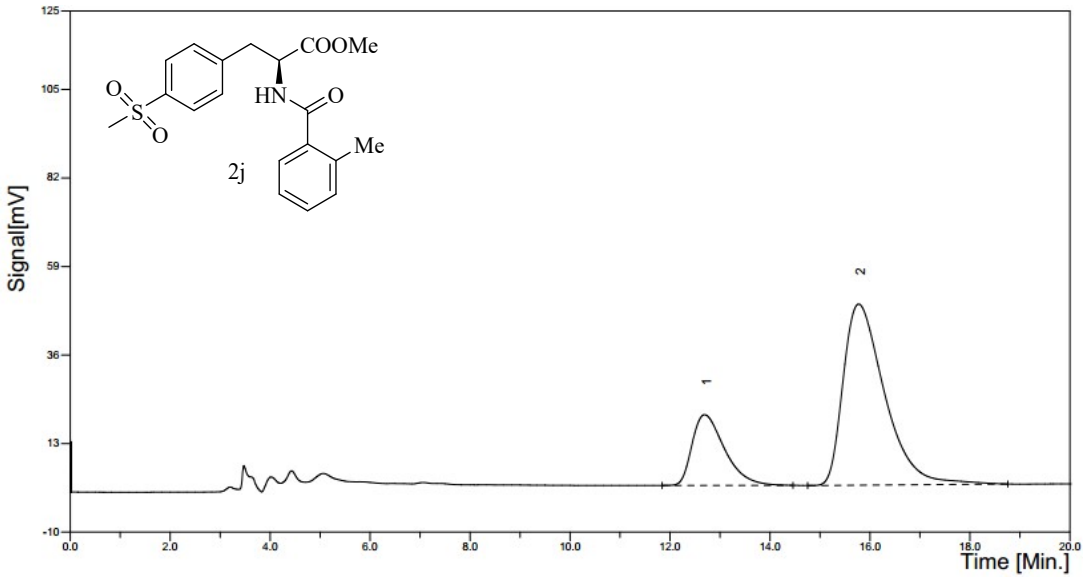
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	12.05167	26.72	1159.48	50.5176
2	14.66750	21.99	1135.72	49.4824

Enantio-enriched product

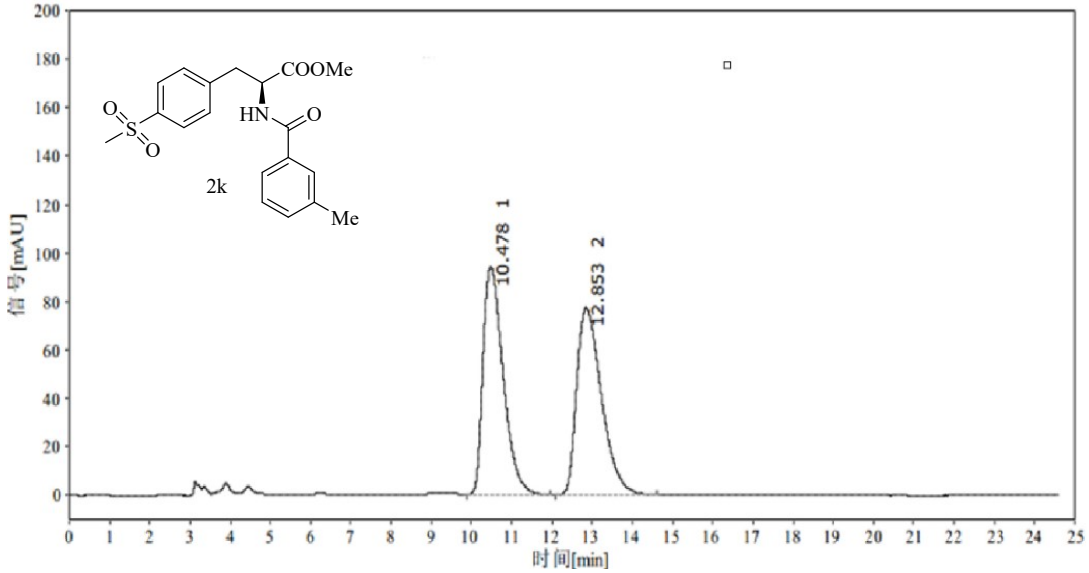


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	12.69333	18.37	828.69	23.4037
2	15.77333	47.06	2712.15	76.5963

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

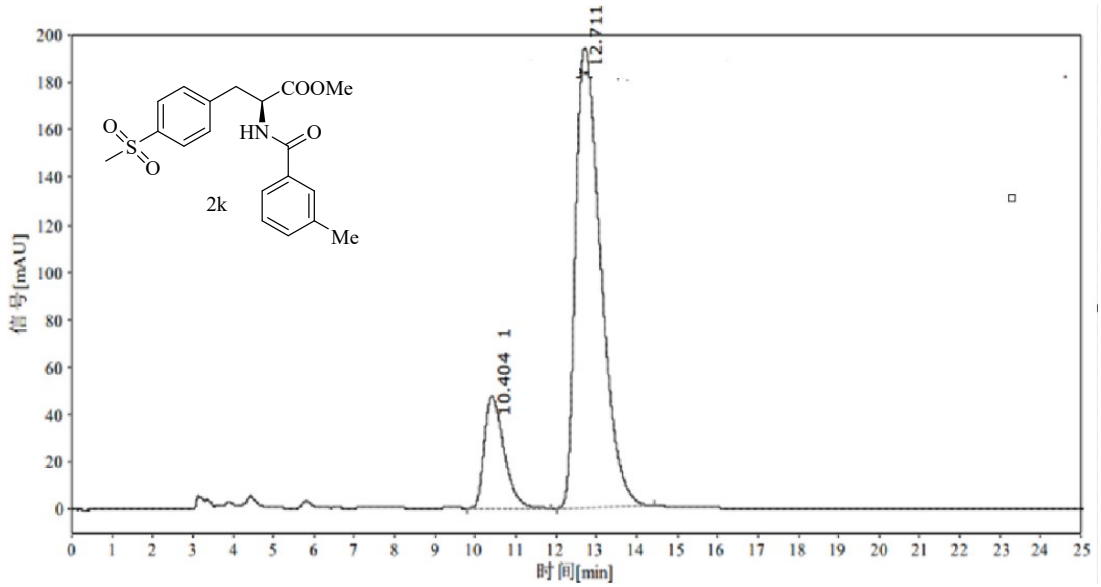
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	10.478	93.969	3269.473	49.7
2	12.853	77.233	3304.802	50.3

Enantio-enriched product

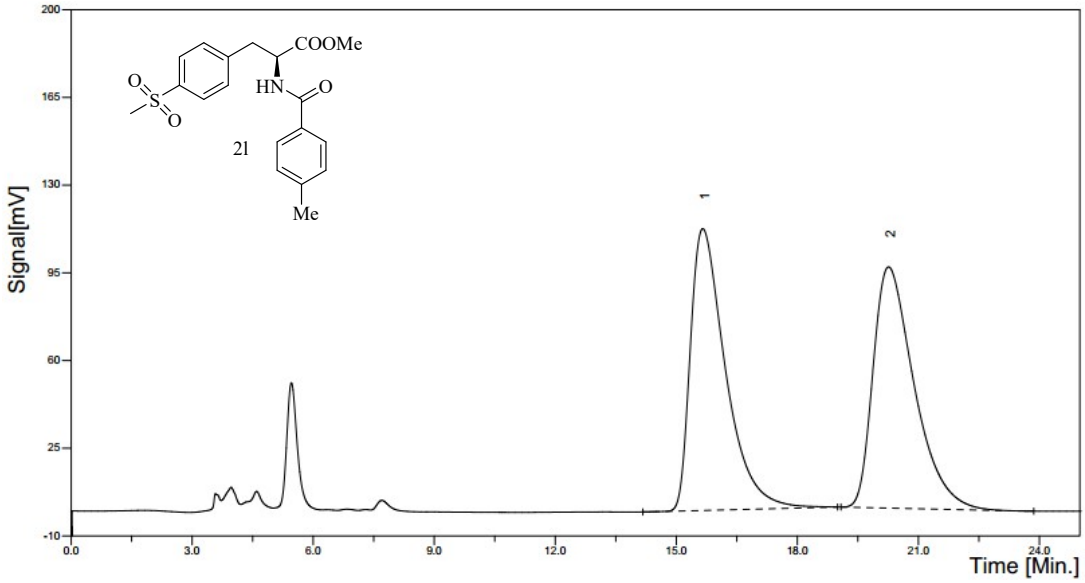


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	10.404	47.110	1601.623	15.9
2	12.711	194.026	8451.186	84.1

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

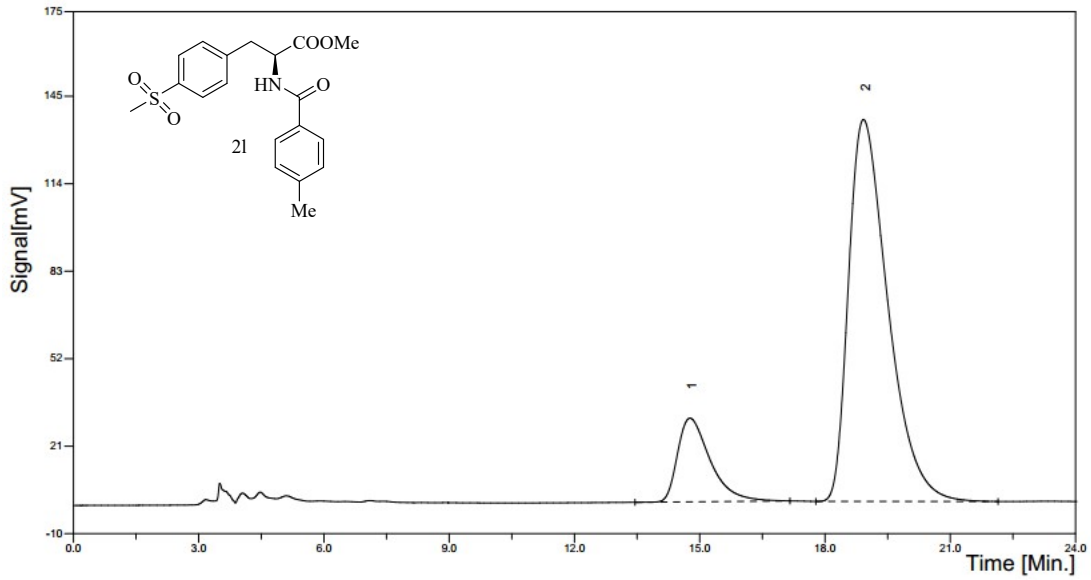
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	15.65583	112.45	6801.61	50.0113
2	20.26083	96.24	6798.53	49.9887

Enantio-enriched product

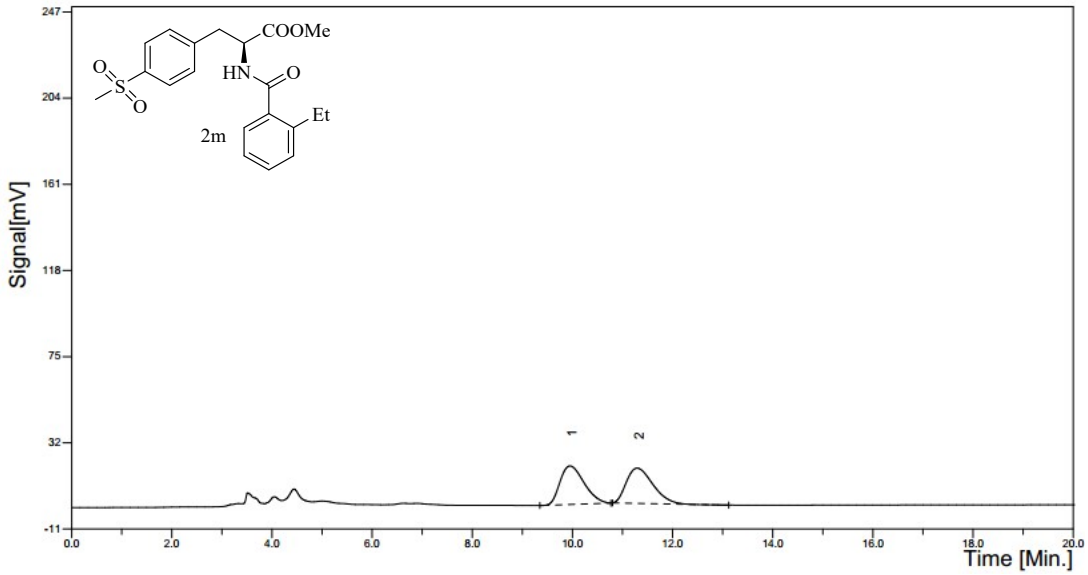


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	14.76583	29.65	1608.15	15.3444
2	18.92250	135.36	8872.22	84.6556

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

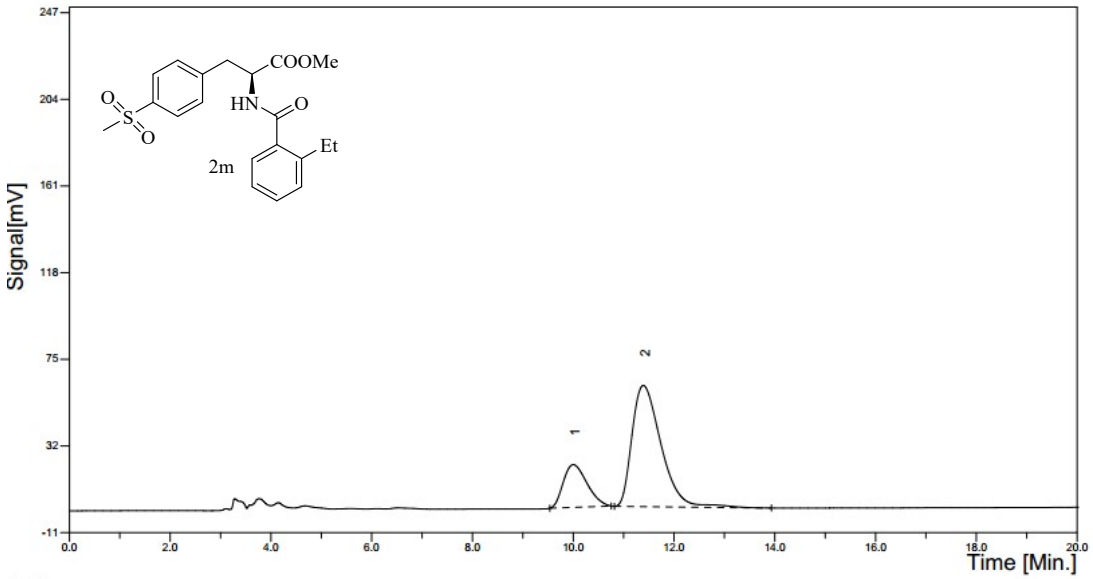
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	9.95333	19.23	640.82	49.7109
2	11.29250	17.59	648.27	50.2891

Enantio-enriched product

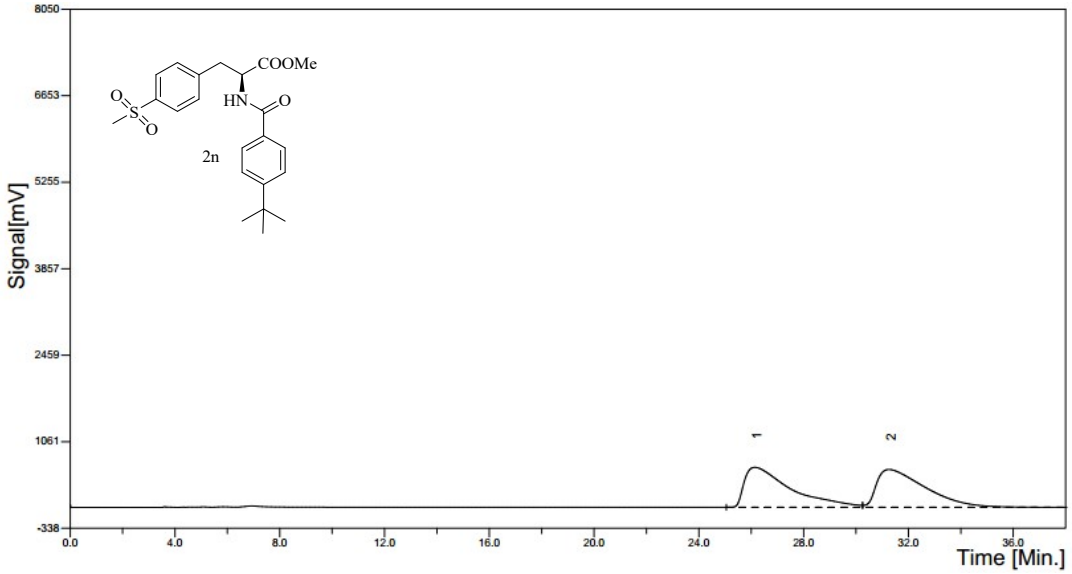


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	10.00000	21.22	695.26	22.3586
2	11.39083	60.18	2414.34	77.6414

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

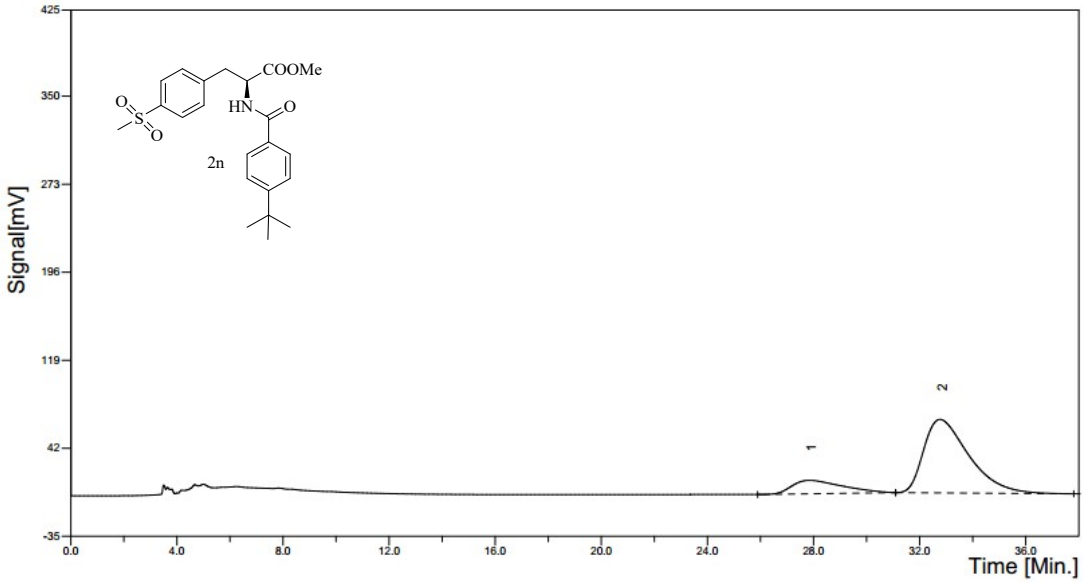
Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	26.13917	645.62	79097.44	50.0758
2	31.25667	610.87	78858.02	49.9242

Enantio-enriched product

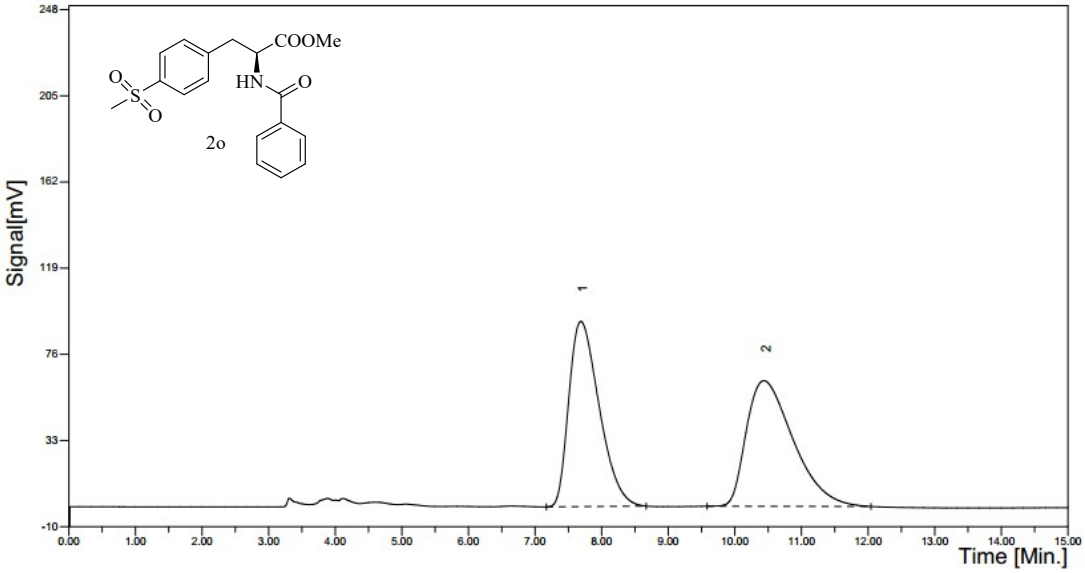


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	27.84292	11.76	1538.93	16.8661
2	32.77083	64.30	7585.45	83.1339

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

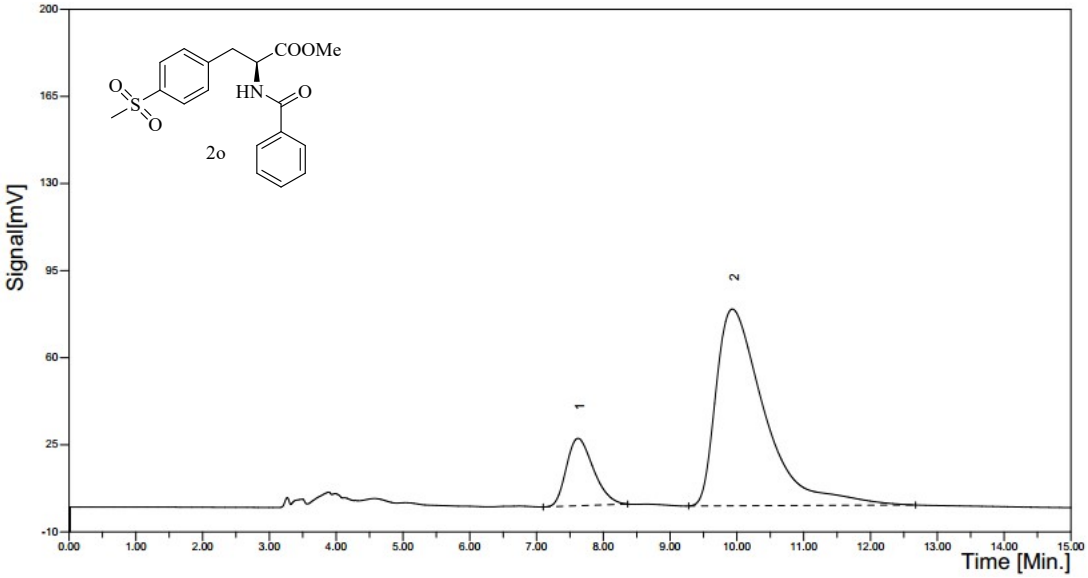
Eluent:*n*-hexane/ethanol = 80/20; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	7.68583	92.42	2925.74	48.8631
2	10.43500	62.66	3061.89	51.1369

Enantio-enriched product

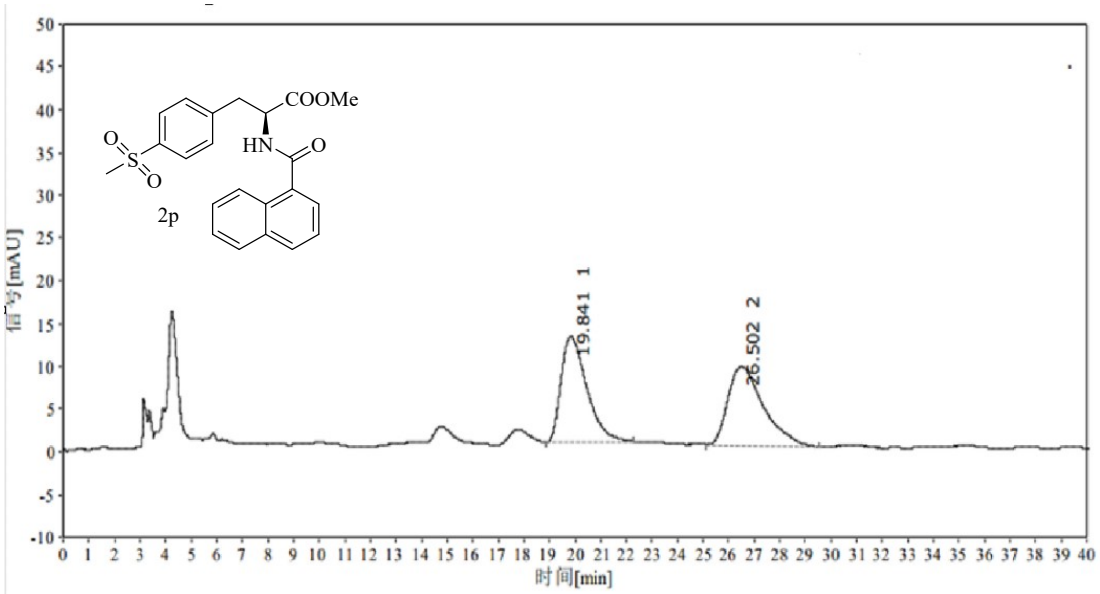


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	7.62000	27.08	763.26	16.0286
2	9.93083	79.05	3998.60	83.9714

HPLC Condition: Column: DAICEL Chiralpak OD-H column;

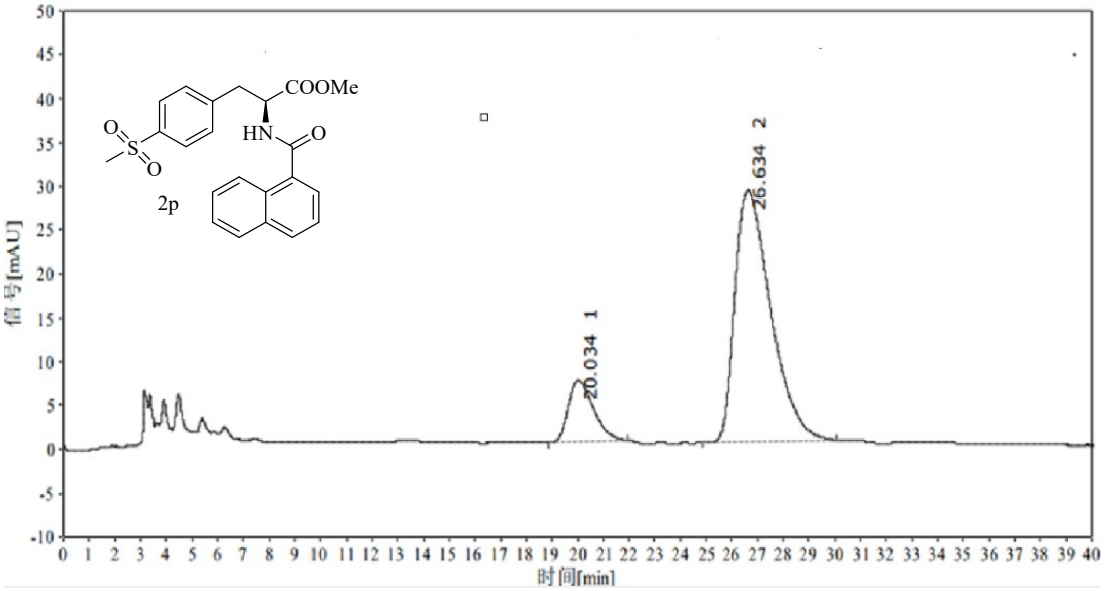
Eluent:*n*-hexane/ethanol = 70/30; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	19.841	12.369	846.762	49.0
2	26.502	9.215	898.300	51.0

Enantio-enriched product

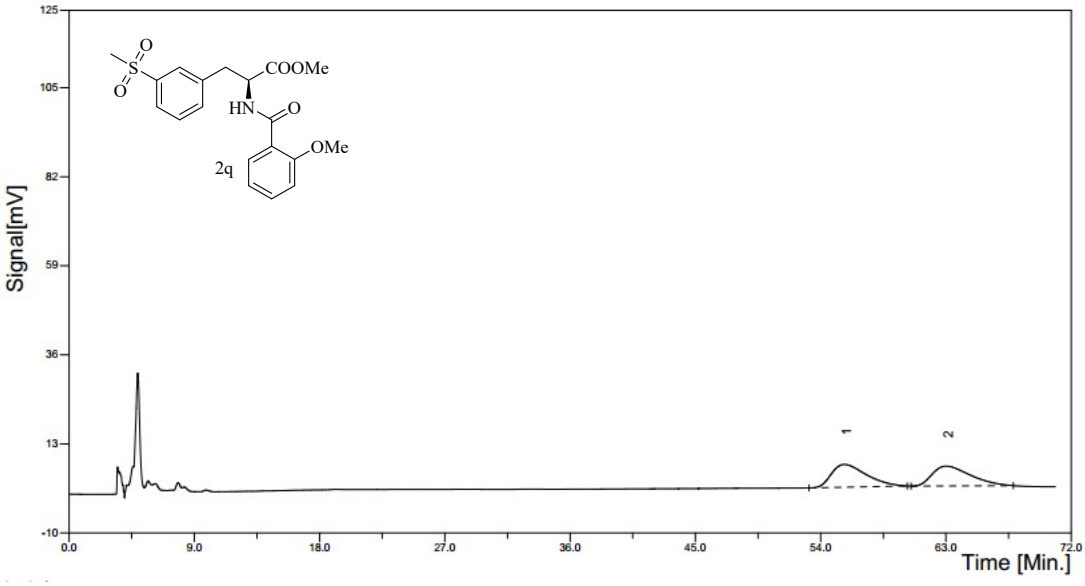


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	20.034	7.015	480.721	14.6
2	28.758	28.758	2801.63	85.4

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

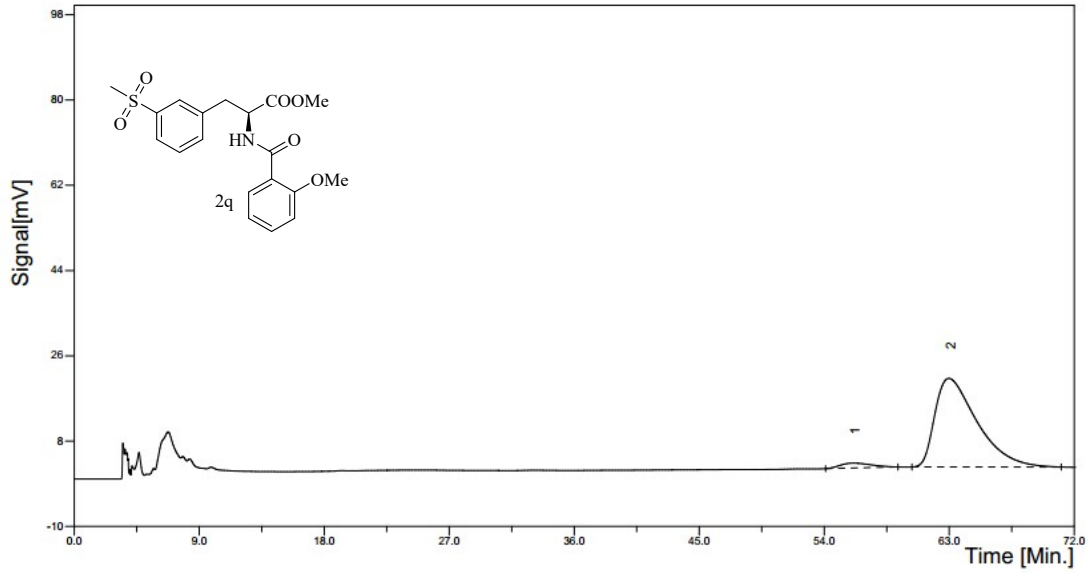
Eluent:*n*-hexane/ethanol = 70/30; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	55.71083	5.88	1025.47	51.2656
2	63.02125	5.12	974.84	48.7344

Enantio-enriched product

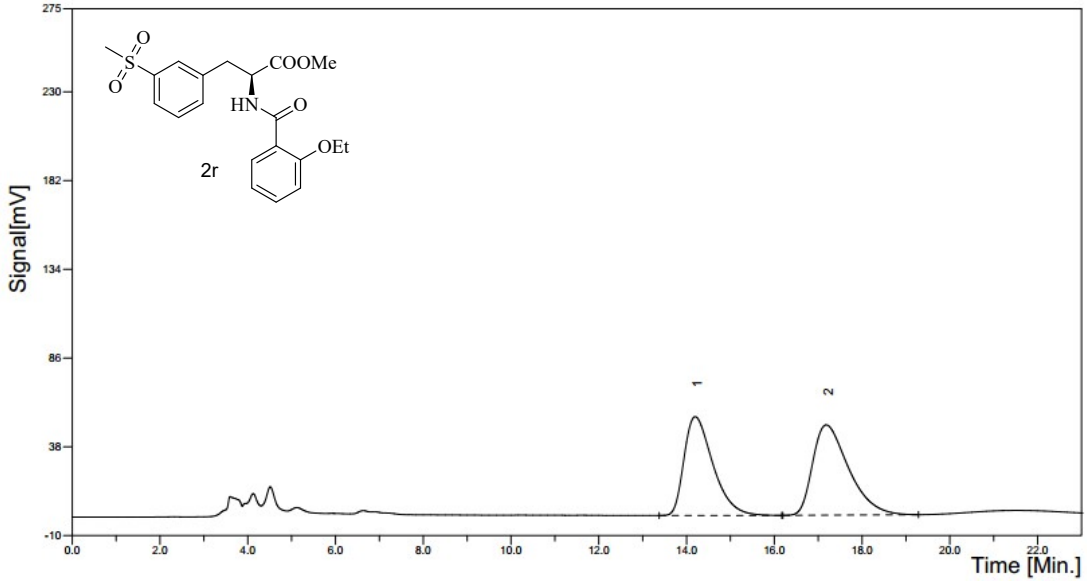


Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	56.08167	1.03	159.92	3.9019
2	62.97250	18.70	3938.55	96.0981

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

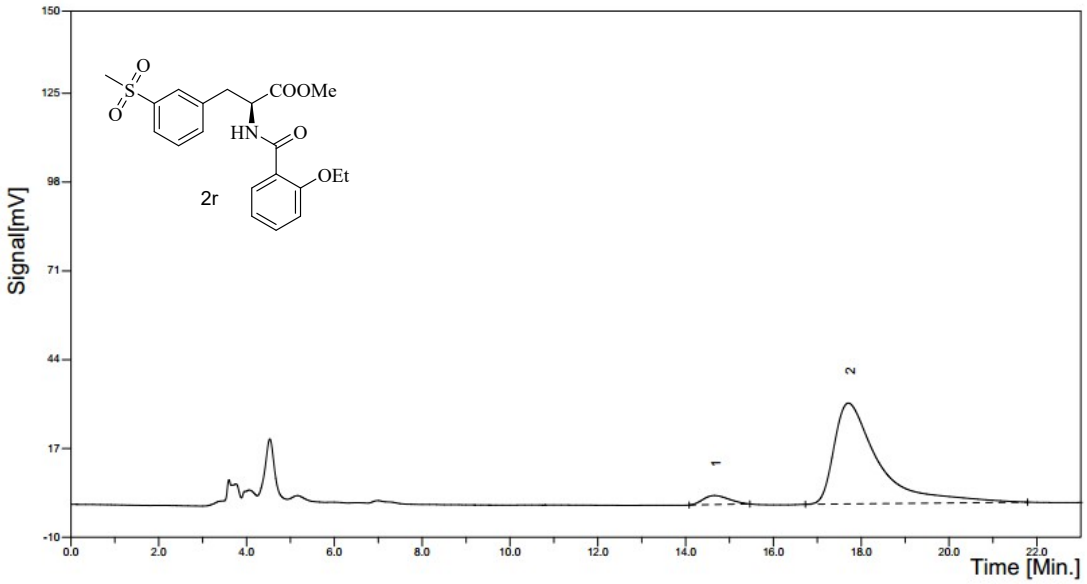
Eluent:*n*-hexane/ethanol = 80/20; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.

Racemic standard



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	14.19750	53.45	2445.12	46.7696
2	17.18417	48.84	2782.89	53.2304

Enantio-enriched product



Peak	RT (min)	Heigh (mv)	Area (mV*s)	Area (%)
1	14.65250	2.75	112.25	4.9746
2	17.70583	30.64	2144.24	95.0254

HPLC Condition: Column: DAICEL Chiralpak OJ-H column;

Eluent:*n*-hexane/ethanol = 60/40; **Flow rate:** 1.0 mL/min; **Detection:** UV 254 nm.