Supporting Information

for

Stereoselective Synthesis of Δ(1)-Pyrroline Sulfonamides *via* Chiral Aldehyde Mediated Cascade Reaction

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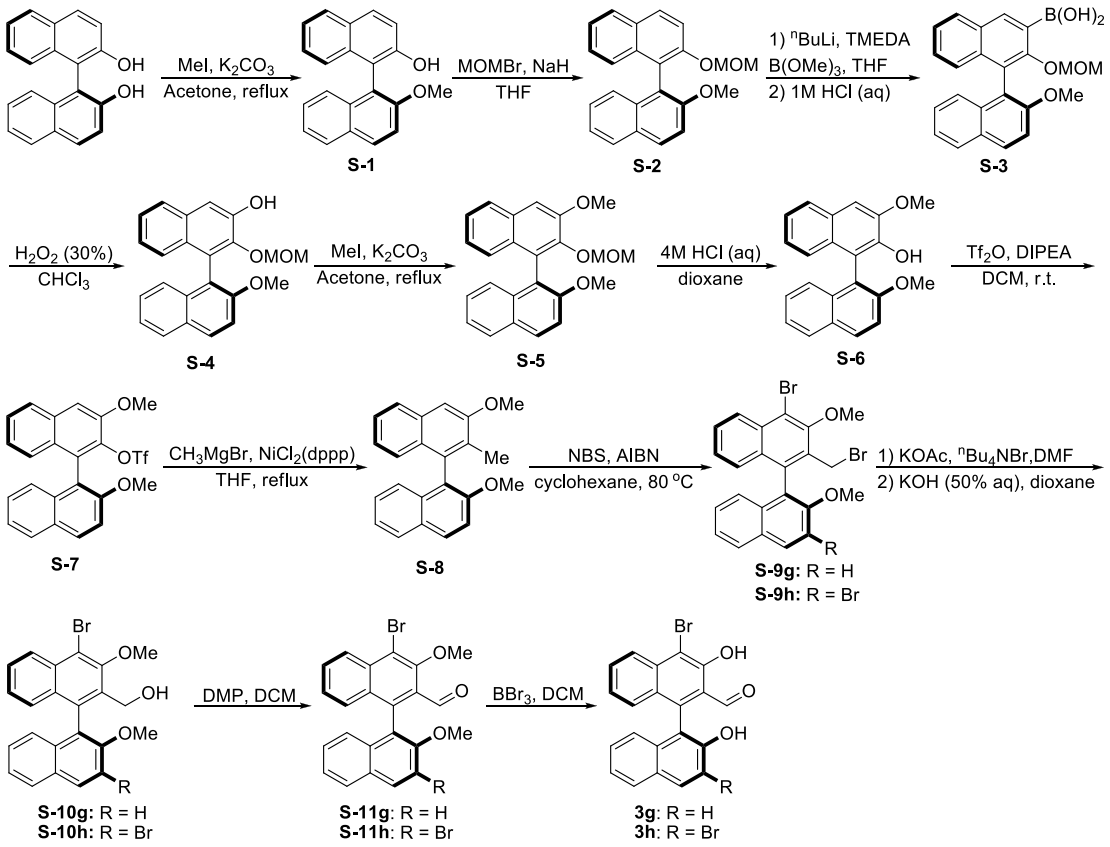
Table of Contents

1. General data

Solvents for reactions were dried appropriately before use: toluene, THF and Et_2O were dried by refluxing with sodium and benzophenone as indicator. All other reagents were directly used as purchased from Adamas-beta® or Energy Chemical. ^{1}H NMR and ^{13}C NMR spectra were recorded on Bruker Avance 600 MHz spectrometer. Chemical shifts (δ) are reported in ppm from tetramethylsilane (TMS) with the solvent resonance as the internal standard. Proton signal multiplicities are given as s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet), br (broad) or a combination of them. *J*-values are in Hz. HRMS (ESI-Q-TOF) spectra were recorded on Bruker Impact-II mass spectrometer. Enantiomer ratios were determined by HPLC (Chiralpak AD-H, IB-IG columns were purchased from Daicel Chemical Industries, LTD). Optical rotations were determined at λ = 589 nm (sodium D line) by using a Rudolph-API automatic polarimeter. The substrates **2**[1] and glycinate ester hydrochlorides[2-3] were prepared according to previous literature, and the glycine tert-butyl ester was directly used as purchased. Chiral aldehyde catalysts **3a-3f**[4] were synthesized according to literature procedures.

2. The synthesis of aldehyde catalysts 3g and 3h



To a solution of (*S*)-1,1′-bi-2-naphthol (5.720 g, 20 mmol) and K_2CO_3 (8.292 g, 60 mmol) in

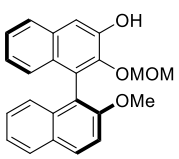
acetone (40 mL) was added KI (3.124 g, 1.37 mL, 22 mmol). The mixture was refluxed for 12 h. The mixture was filtered and washed with dichloromethane. The filtrate was evaporated under vacuum and the residue was purified by chromatography on silica gel (petroleum ether/dichloromethane = 3/1) to obtain **S-1** as white solid (5.219 g, 87 % yield).

A solution of NaH (1.114 g, 27.84 mmol, 60% W) in dry 40 mL THF was cool to 0 ^{o}C. **S-1** (5.219 g, 17.40 mmol) was added slowly at 0 ^{o}C and stirred for 15 minutes. The mixture returned to room temperature and was stirred for 1 h. Bromomethyl methyl ether (3.263 g, 26.10 mmol) was added dropwise slowly to the mixture at 0 ℃. The mixture returned to room temperature and was stirred for 5 h. A saturated ammonium chloride solution was added at 0 ℃ to quench the reaction. Then, the reaction mixture was extracted with EtOAc, and the organic phase was dried over anhydrous Na_2SO_4. After the solution was filtered and the solvent was evaporated under vacuum, the residue was recrystallized with petroleum ether and dichloromethane to give **S-2** as white solid (5.096 g, 85 % yield).

To a 250 mL of three-necked round-bottle flask was added **S-2** (5.096 g, 14.8 mmol), followed by dry THF (80 mL) and TMEDA (2.231 g, 2.88 mL, 19.2 mmol) under N_2. Hexane solution of ^{n}BuLi (7.7 mL, 19.2 mmol, 2.5 M in Hexane) was added dropwise slowly at -78 ^{o}C, and the mixture was stirred at room temperature. After stirring for 5 h, $B(OMe)_3$ (4.613 g, 4.96 mL, 44.4 mmol) was added dropwise slowly at -78 ^{o}C. After stirring at room temperature for 24 h, HCl (20 mL, 1M) was added at 0 ^{o}C and the mixture was stirred for 0.5 h. Then, the reaction mixture was extracted with EtOAc, and the organic phase was dried over anhydrous Na_2SO_4, filtered and concentrated under vacuum to give the crude **S-3**.

To a solution of the residue **S-3** in CH_3Cl (50 mL) was added H_2O_2 (10.7 mL, 103.6 mmol, 30% W) at 0 ^{o}C. The reaction mixture was stirred at room temperature and monitored by TLC. After the reaction was completed, a saturated Na_2SO_3 solution was added until no bubbles were generated. Then, the reaction mixture was extracted with EtOAc, and the organic phase was dried over anhydrous Na_2SO_4. After the solution was filtered and the solvent was evaporated under vacuum, the residue was purified by chromatography on silica gel (petroleum ether/ethyl acetate/dichloromethane = 40/1/2) to obtain **S-4** (3.073 g, 58 % yield).

(S)-2'-methoxy-2-(methoxymethoxy)-[1,1'-binaphthalen]-3-ol (S-4):



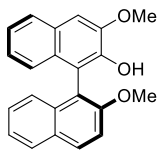
White solid; m.p. = 144-146 ^{o}C; R_f = 0.22 (petroleum ether/ethyl acetate = 5:1); $[\alpha]_D^{25}$ = -37.45 (c = 0.32, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.99 (d, *J* = 9.0 Hz, 1H), 7.86 (d, *J* = 8.2 Hz, 1H), 7.75 (d, *J* = 8.2 Hz, 1H), 7.47 (s, 1H), 7.43 (d, *J* = 9.1 Hz, 1H), 7.36 – 7.30 (m, 2H), 7.23 (d, *J* = 7.8 Hz, 1H), 7.10 – 7.05 (m, 2H), 7.03 (d, *J* = 8.4 Hz, 1H), 4.75 (d, *J* = 6.1 Hz, 1H), 4.63 (d, *J* = 6.1 Hz, 1H), 3.77 (s,

3H), 3.34 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 154.74, 148.16, 145.08, 133.85, 132.24, 130.00, 129.06, 128.49, 127.98, 126.83, 126.68, 126.07, 125.77, 125.54, 125.14, 123.81, 123.76, 118.61, 113.51, 111.26, 99.68, 57.45, 56.54; **HRMS(ESI)**: calcd. for $C_{23}H_{21}O_4$ $(M+H)^+$: 361.1434, found: 361.1434.

To a solution of **S-4** (3.073 g, 8.5 mmol) and K_2CO_3 (3.524 g, 25.5 mmol) in acetone (28 mL) was added CH_3I (4.828 g, 2.12 mL, 34 mmol). The reaction mixture was refluxed and monitored by TLC. After the reaction was completed, the mixture was filtered and washed with dichloromethane. The filtrate was evaporated under vacuum to give the residue **S-5**.

To a solution of **S-5** in dioxane (20 mL) was added HCl (8.5 mL, 4 M), and the reaction mixture was stirred at 60 ℃. After the reaction was completed, the mixture was cooled to room temperature, extracted with EtOAc. The combined organic layer was dried over anhydrous Na_2SO_4, filtrated and concentrated under vacuum. The residue was then purified by chromatography on silica gel (petroleum ether/ethyl acetate/dichloromethane = 40/1/2) to obtain **S-6** (2.255 g, 80 % yield).

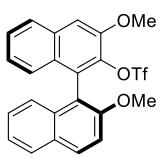
(S)-2',3-dimethoxy-[1,1'-binaphthalen]-2-ol (S-6):



White solid; m.p. = 209-211 ^{o}C; R_f = 0.17 (petroleum ether/ethyl acetate = 5:1); $[\alpha]_D^{25}$ = -67.11 (c = 0.38, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.99 (d, *J* = 9.0 Hz, 1H), 7.86 (d, *J* = 8.1 Hz, 1H), 7.76 (d, *J* = 8.1 Hz, 1H), 7.45 (d, *J* = 9.0 Hz, 1H), 7.34 – 7.26 (m, 2H), 7.25 (s, 1H), 7.22 (d, *J* = 6.8 Hz, 1H), 7.18 (d, *J* = 8.5 Hz, 1H), 7.11 (t, *J* = 7.5 Hz, 1H), 7.04 (d, *J* = 8.3 Hz, 1H), 5.78 (s, 1H), 4.05 (s, 3H), 3.77 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 155.25, 147.32, 143.32, 133.76, 130.08, 129.29, 128.98, 128.02, 126.78, 126.64, 125.09, 124.82, 124.24, 123.82, 123.72, 117.62, 116.07, 114.08, 105.76, 56.86, 55.85; **HRMS(ESI)**: calcd. for $C_{22}H_{19}O_3$ $(M+H)^+$: 331.1329, found: 331.1328.

To a solution of **S-6** (2.255 g, 6.8 mmol) and DIPEA (2.193 g, 2.80 mL, 17.0 mmol) in dry CH_2Cl_2 (20 mL) was added Tf_2O (3.835 g, 2.29 mL, 13.6 mmol) at 0 ℃. After the reaction mixture was stirred for 0.5 h, a saturated $NaHCO_3$ was added at 0 ℃ to quench the reaction. The mixture was extracted with CH_2Cl_2. The combined organic layer was dried over anhydrous Na_2SO_4, filtrated and concentrated under vacuum. The residue was then purified by chromatography on silica gel (petroleum ether/ethyl acetate/dichloromethane = 60/1/2) to obtain **S-7** (2.826 g, 90 % yield).

(S)-2',3-dimethoxy-[1,1'-binaphthalen]-2-yl trifluoromethanesulfonate (S-7):

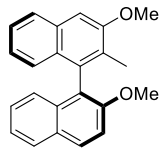


White solid; m.p. = 182-184 ^{o}C; R_f = 0.27 (petroleum ether/ethyl acetate = 5:1); $[\alpha]_D^{25}$ = +26.87 (c = 0.26, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.03 (d, *J* = 9.0 Hz, 1H), 7.90 – 7.78 (m, 2H), 7.51 – 7.45 (m, 1H), 7.43 (d, *J* = 9.1 Hz, 1H),

7.39 (s, 1H), 7.32 (t, *J* = 7.3 Hz, 1H), 7.24 (d, *J* = 8.3 Hz, 1H), 7.21 – 7.15 (m, 2H), 7.04 (d, *J* = 8.5 Hz, 1H), 4.09 (s, 3H), 3.81 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 155.17, 149.37, 137.68, 133.56, 133.16, 131.03, 128.79, 128.19, 127.97, 127.28, 126.94, 126.91, 126.83, 124.91, 124.79, 123.68, 121.32, 119.20, 117.07, 114.99, 112.98, 107.90, 56.30, 56.08; **HRMS(ESI)**: calcd. for $C_{23}H_{17}F_3NaO_5S$ $(M+Na)^+$: 485.0641, found: 485.0640.

To a 100 mL of three-necked round-bottle flask was added **S-7** (2.826 g, 6.1 mmol) and $NiCl_2$(dppp) (0.331 g, 0.61 mmol) under N_2, followed by dry THF (20 mL). CH_3MgBr (9.2 mL, 27.5 mmol, 3.0 M in THF) was added dropwise at 0 °C, and then the mixture was refluxed. After the reaction was completed, H_2O was added to quench the reaction. The mixture was extracted with EtOAc. The combined organic layer was dried over anhydrous Na_2SO_4, filtrated and concentrated under vacuum. The residue was then purified by chromatography on silica gel (petroleum ether/ethyl acetate/dichloromethane = 80/1/2) to obtain **S-8** (1.795 g, 91 % yield).

(S)-2',3-dimethoxy-2-methyl-1,1'-binaphthalene (S-8):



White solid; m.p. = 232-235 °C; R_f = 0.40 (petroleum ether/ethyl acetate = 20:1); $[\alpha]_D^{25}$ = -27.84 (c = 0.49, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.97 (d, *J* = 9.0 Hz, 1H), 7.86 (d, *J* = 8.1 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.43 (d, *J* = 9.0 Hz, 1H), 7.37 – 7.27 (m, 2H), 7.22 (s, 1H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.11 – 6.93 (m, 3H), 4.01 (s, 3H), 3.74 (s, 3H), 1.97 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 156.47, 154.44, 134.02, 133.63, 133.15, 129.37, 129.09, 128.62, 128.05, 127.87, 126.65, 126.52, 125.86, 125.24, 125.15, 123.59, 123.43, 121.89, 113.80, 104.39, 56.61, 55.30, 13.68; **HRMS(ESI)**: calcd. for $C_{23}H_{21}O_2$ $(M+H)^+$: 329.1536, found: 329.1536.

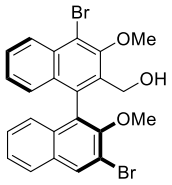
To a 100 mL of round-bottle flask was added **S-8** (1.795 g, 5.5 mmol), NBS (8.811 g, 49.5 mmol) and AIBN (0.090 g, 0.55 mmol), followed by cyclohexane (40 mL). Then, the mixture was stirred at 80 °C for 48 h. The mixture was cooled to room temperature, diluted with H_2O, and extracted with EtOAc. The combined organic layer was dried over anhydrous Na_2SO_4, filtrated and concentrated under vacuum. The residue was then purified by chromatography on silica gel (petroleum ether/ethyl acetate = 80/1) to obtain **S-9h**.

A solution of **S-9h** in DMF (22 mL) was added KOAc (2.695 g, 27.5 mmol) and ^{n}Bu$_4$NBr (0.886 g, 2.75 mmol), the mixture was stirred at 80 °C. After the reaction was completed, the mixture was cooled to room temperature, diluted with EtOAc and saturated NaCl, extracted with EtOAc. The combined organic layer was washed with saturated NaCl five times, dried over anhydrous Na_2SO_4, filtrated and concentrated under vacuum to obtain the residue.

Dissolve the residue in 14 mL dioxane and KOH solution (14 mL, 50% W) was added, the mixture was stirred at 80 °C. After the reaction was completed, the mixture was cooled to room

temperature, diluted with EtOAc and H_2O, extracted with EtOAc. The combined organic layer was dried over Na_2SO_4, filtrated and concentrated under vacuum. The residue was then purified by chromatography on silica gel (petroleum ether/ethyl acetate = 100/1) to obtain **S-10h** (1.5123 g, 55% yield).

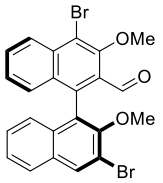
(S)-(3',4-dibromo-2',3-dimethoxy-[1,1'-binaphthalen]-2-yl)methanol (S-10h):



White solid; m.p. = 149-152 °C; R_f = 0.20 (petroleum ether/ethyl acetate = 5:1); $[\alpha]_D^{25}$ = +36.69 (c = 0.19, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.36 (d, *J* = 8.5 Hz, 1H), 8.05 (s, 1H), 7.94 (d, *J* = 9.1 Hz, 1H), 7.55 (t, *J* = 7.6 Hz, 1H), 7.49 (d, *J* = 9.1 Hz, 1H), 7.27 (d, *J* = 10.1 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.00 (d, *J* = 8.4 Hz, 1H), 6.79 (d, *J* = 9.0 Hz, 1H), 4.67 – 4.58 (m, 1H), 4.30 – 4.22 (m, 1H), 4.15 (s, 3H), 3.79 (s, 3H), 2.45 – 2.32 (m, 1H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 154.67, 154.58, 134.45, 134.37, 133.09, 132.36, 131.28, 130.46, 130.19, 129.98, 129.50, 127.71, 127.08, 126.85, 126.63, 126.16, 120.50, 118.09, 116.54, 114.64, 62.33, 59.82, 56.83; **HRMS(ESI)**: calcd. for $C_{23}H_{18}Br_2NaO_3$ $(M+Na)^+$: 522.9515, found: 522.9514.

To a solution of **S-10h** (1.5123 g, 3 mmol) in dry DCM (30 mL) was added DMP (3.816 g, 9 mmol) at 0 °C. Then, the mixture was stirred at room temperature. After the reaction was completed, saturated $Na_2S_2O_3$ was added to quench the reaction. The mixture was extracted with DCM. The combined organic layer was dried over Na_2SO_4, filtrated and concentrated under vacuum. The residue was then purified by chromatography on silica gel (petroleum ether/ethyl acetate = 20/1) to obtain **S-11h** (1.387 g, 92% yield).

(S)-3',4-dibromo-2',3-dimethoxy-[1,1'-binaphthalene]-2-carbaldehyde (S-11h):

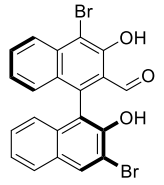


Pale yellow solid; m.p. = 138-140 °C; R_f = 0.41 (petroleum ether/ethyl acetate = 5:1); $[\alpha]_D^{25}$ = +18.15 (c = 0.27, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 9.88 (s, 1H), 8.39 (d, *J* = 8.5 Hz, 1H), 8.04 (s, 1H), 7.95 (d, *J* = 9.1 Hz, 1H), 7.67 (t, *J* = 7.4 Hz, 1H), 7.44 (d, *J* = 9.1 Hz, 1H), 7.33 – 7.26 (m, 2H), 7.20 (d, *J* = 8.4 Hz, 1H), 6.81 (d, *J* = 9.0 Hz, 1H), 4.07 (s, 3H), 3.76 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 190.65, 154.96, 153.95, 140.62, 135.21, 132.36, 130.94, 130.58, 130.16, 130.08, 129.87, 129.75, 128.95, 127.38, 127.23, 126.74, 126.41, 118.02, 117.90, 117.76, 113.85, 62.64, 56.37; **HRMS(ESI)**: calcd. for $C_{23}H_{16}Br_2NaO_3$ $(M+Na)^+$: 520.9358, found: 520.9356.

To a solution of **S-11h** (1.387 g, 2.77 mmol) in dry DCM (28 mL) was added BBr_3 (12.47 mL, 12.47 mmol, 1.0 M in DCM) at -78 °C under N_2. After stirring at -78 °C for 1 h, continue stirring the mixture at room temperature for 0.5 h, and the HCl (1.0 M) was added to quench the reaction. The mixture was extracted with DCM. The combined organic layer was dried over Na_2SO_4, filtrated and concentrated under vacuum. The residue was then purified by chromatography on

silica gel (petroleum ether/ethyl acetate = 100/1) to obtain **3h** (1.094 g, 84% yield).

(S)-3',4-dibromo-2',3-dihydroxy-[1,1'-binaphthalene]-2-carbaldehyde (3h):

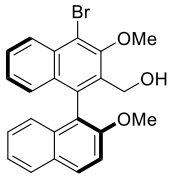


Yellow solid; m.p. = 212-214 ^{o}C; R_f = 0.17 (petroleum ether/ethyl acetate = 5:1); $[\alpha]_D^{25}$ = -47.89 (c = 0.28, CH_2Cl_2); **^{1}H NMR (600 MHz, CDCl$_3$)** δ 11.90 (s, 1H), 9.54 (s, 1H), 8.32 (d, *J* = 8.6 Hz, 1H), 8.06 (s, 1H), 7.90 (d, *J* = 8.9 Hz, 1H), 7.70 (t, *J* = 7.6 Hz, 1H), 7.39 – 7.31 (m, 2H), 7.29 (d, *J* = 8.4 Hz, 1H), 7.26 – 7.21 (m, 1H), 6.82 (d, *J* = 9.0 Hz, 1H), 5.30 (s, 1H); **^{13}C NMR (151 MHz, CDCl$_3$)** δ 197.05, 153.66, 151.99, 140.42, 136.97, 132.81, 132.05, 131.07, 130.59, 130.27, 129.83, 127.89, 127.17, 126.67, 126.23, 125.77, 120.52, 118.69, 118.10, 113.06, 108.85; **HRMS(ESI)**: calcd. for $C_{21}H_{13}Br_2O_3$ $(M+H)^+$: 470.9226, found: 470.9225.

To a 100 mL of round-bottle flask was added **S-8** (1.207 g, 3.68 mmol), NBS (3.275 g, 18.4 mmol) and AIBN (0.060 g, 0.37 mmol), followed by cyclohexane (26 mL). Then, the mixture was stirred at 80 ^{o}C for 6 h. The mixture was cooled to room temperature, extracted with EtOAc. The combined organic layer was dried over Na_2SO_4, filtrated and concentrated under vacuum. The residue was then purified by chromatography on silica gel (petroleum ether/ethyl acetate = 80/1) to obtain **S-9g**.

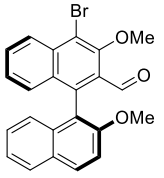
S-10g, **S-11g** and **3g** were prepared according to the steps of preparing **S-10h**, **S-11h**, and **3h**.

(S)-(4-bromo-2',3-dimethoxy-[1,1'-binaphthalen]-2-yl)methanol (S-10g):



White solid; m.p. = 134-137 ^{o}C; R_f = 0.21 (petroleum ether/ethyl acetate = 5:1); $[\alpha]_D^{25}$ = +16.67 (c = 0.29, CH_2Cl_2); **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.35 (d, *J* = 8.5 Hz, 1H), 8.03 (d, *J* = 9.1 Hz, 1H), 7.89 (d, *J* = 8.2 Hz, 1H), 7.54 (t, *J* = 7.6 Hz, 1H), 7.47 (d, *J* = 9.1 Hz, 1H), 7.34 (t, *J* = 7.4 Hz, 1H), 7.24 – 7.21 (m, 2H), 7.06 (d, *J* = 8.4 Hz, 1H), 6.91 (d, *J* = 8.5 Hz, 1H), 4.64 (d, *J* = 11.6 Hz, 1H), 4.27 (d, *J* = 11.6 Hz, 1H), 4.15 (s, 3H), 3.78 (s, 3H), 2.41 (s, 1H); **^{13}C NMR (151 MHz, CDCl$_3$)** δ 154.58, 154.39, 135.16, 134.44, 133.83, 133.05, 131.46, 130.44, 129.19, 128.07, 127.60, 127.16, 126.99, 126.86, 125.99, 124.97, 124.20, 120.24, 116.28, 113.67, 62.34, 59.84, 56.84; **HRMS(ESI)**: calcd. for $C_{23}H_{19}BrNaO_3$ $(M+Na)^+$: 445.0410, found: 445.0410.

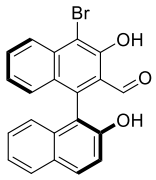
(S)-4-bromo-2',3-dimethoxy-[1,1'-binaphthalene]-2-carbaldehyde (S-11g):



Pale yellow solid; m.p. = 134-136 ^{o}C; R_f = 0.41 (petroleum ether/ethyl acetate = 5:1); $[\alpha]_D^{25}$ = +3.82 (c = 0.13, CH_2Cl_2); **^{1}H NMR (600 MHz, CDCl$_3$)** δ 9.82 (s, 1H), 8.39 (d, *J* = 8.6 Hz, 1H), 8.05 (d, *J* = 9.1 Hz, 1H), 7.89 (d, *J* = 8.2 Hz, 1H), 7.69 – 7.61 (m, 1H), 7.43 (d, *J* = 9.1 Hz, 1H), 7.33 (d, *J* = 7.1 Hz, 1H), 7.28 – 7.22 (m, 3H), 6.94 (d, *J* = 8.5 Hz, 1H), 4.07 (s, 3H), 3.77 (s, 3H); **^{13}C NMR (151 MHz, CDCl$_3$)** δ 191.00, 154.82, 153.69, 142.05, 135.17, 133.88, 131.09, 130.90, 130.09, 128.94, 128.72, 128.14, 127.36, 127.35, 127.30, 126.57, 124.67, 123.97, 117.89, 117.32, 112.81, 62.51, 56.35;

HRMS(ESI): calcd. for $C_{23}H_{18}BrO_3$ $(M+H)^+$: 421.0434, found: 421.0433.

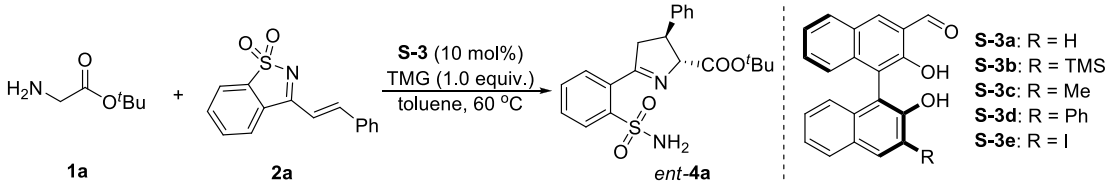
(S)-4-bromo-2',3-dihydroxy-[1,1'-binaphthalene]-2-carbaldehyde (3g):



Yellow solid; m.p. = 169-171 °C; R_f = 0.18 (petroleum ether/ethyl acetate = 5:1); $[\alpha]_D^{25}$ = -45.55 (c = 0.54, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 11.94 (s, 1H), 9.55 (s, 1H), 8.31 (d, *J* = 8.5 Hz, 1H), 7.98 (d, *J* = 8.9 Hz, 1H), 7.89 (d, *J* = 8.1 Hz, 1H), 7.69 (t, *J* = 7.6 Hz, 1H), 7.44 – 7.32 (m, 2H), 7.32 – 7.26 (m, 2H), 7.24 – 7.19 (m, 1H), 6.94 (d, *J* = 8.4 Hz, 1H), 5.12 (s, 1H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 197.42, 153.67, 151.66, 141.37, 136.94, 134.29, 131.95, 131.56, 128.72, 128.28, 128.03, 127.83, 127.40, 126.56, 125.61, 124.49, 124.21, 120.61, 117.49, 112.74, 108.50; **HRMS(ESI)**: calcd. for $C_{21}H_{14}BrO_3$ $(M+H)^+$: 391.0121, found: 391.0120.

3. Reaction conditions optimization

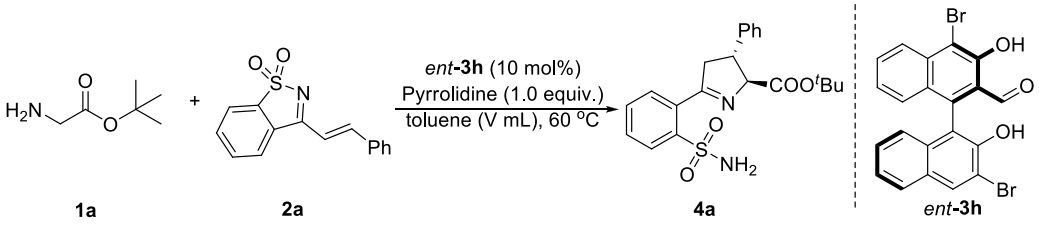
Table S1: Aldehyde catalyst screening



entry	3	t (min)	yield (%) [b]	ee (%) [c]
1	**3a**	60	20	12
2	**3b**	50	16	30
3	**3c**	35	15	20
4	**3d**	35	10	10
6	**3e**	20	3	0

[a] Unless noted otherwise, reaction conditions: **1a** (0.15 mmol), **2a** (0.10 mmol), **S-3** (0.01 mmol) and TMG (0.10 mmol) in toluene (1.0 mL), carried out in air at 60 °C. [b] Isolated yield. [c] Determined by chiral HPLC analysis.

Table S2: Screening the volume of toluene

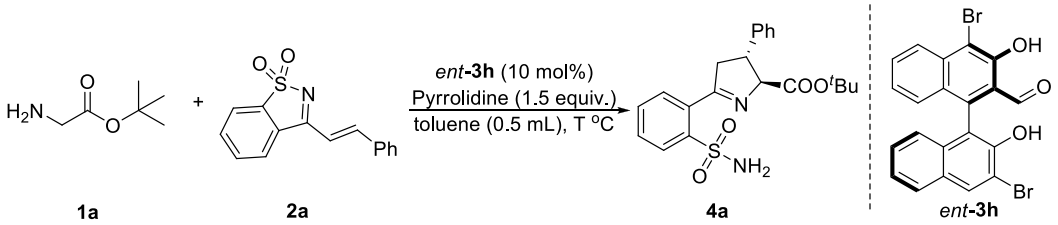


entry	V (mL)	t (h)	yield (%)[b]	dr[c]	ee (%)[d]
1	0.5	5	89	88:12	76

2	1.0	6	92	88:12	72
3	2.0	5	78	89:11	69

[a] Unless noted otherwise, reaction conditions: **1a** (0.15 mmol), **2a** (0.10 mmol), *ent*-**3h** (0.01 mmol) and pyrrolidine (0.1 mmol) in toluene, carried out in air at 60 °C. [b] Isolated yield. [c] Determined by chiral HPLC analysis. [d] Determined by chiral HPLC analysis.

Table S3: Temperature screening

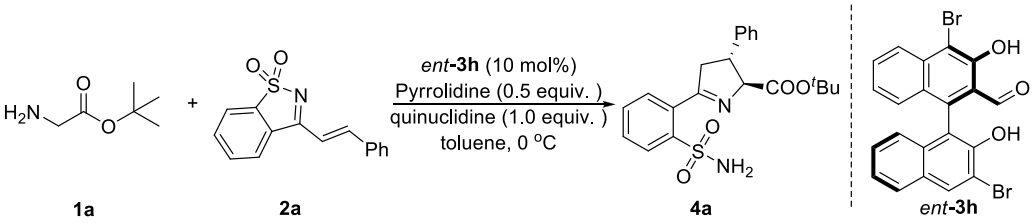


1a **2a** **4a** *ent*-**3h**

entry	T (°C)	T (h)	yield (%)[b]	dr[c]	ee (%)[d]
1	60	5	96	88:12	77
2	40	5	96	87:13	80
3	20	5	92	88:12	82
4	0	5	86	87:13	87
5	-10	5	89	89:11	87
6	-20	5	71	92:8	88

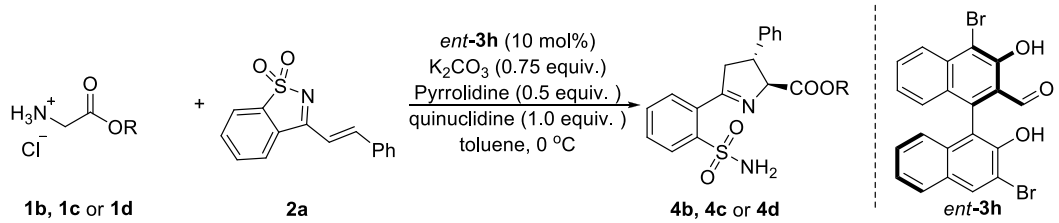
[a] Unless noted otherwise, reaction conditions: **1a** (0.15 mmol), **2a** (0.10 mmol), **3h** (0.01 mmol) and pyrrolidine (0.15 mmol) in toluene (0.5 mL), carried out in air at T °C. [b] Isolated yield. [c]Determined by chiral HPLC analysis. [d] Determined by chiral HPLC analysis.

4. General procedure for the catalytic asymmetric reaction



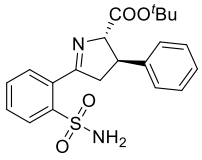
1a **2a** **4a** *ent*-**3h**

General procedure a. A dry and clean tube was charged with **2a** (53.8 mg, 0.2 mmol) and aldehyde catalyst *ent*-**3h** (9.4 mg, 0.02 mmol). After the addition of toluene (2.0 mL), the reaction mixture was stirred at 0 °C for 5 minutes. Then, glycine tert-butyl ester **1a** (0.3 mmol), quinuclidine (22.2 mg, 0.2 mmol) and pyrrolidine (7.1 mg, 0.1 mmol) were added, the reaction mixture was stirred at 0 °C and monitored by TLC. After the reaction was completed, the solvent was removed by rotary evaporation, and the residue was purified by flash chromatography column on silica gel (eluent: petroleum ether/ethyl acetate =3/1) to give the product **4a**.

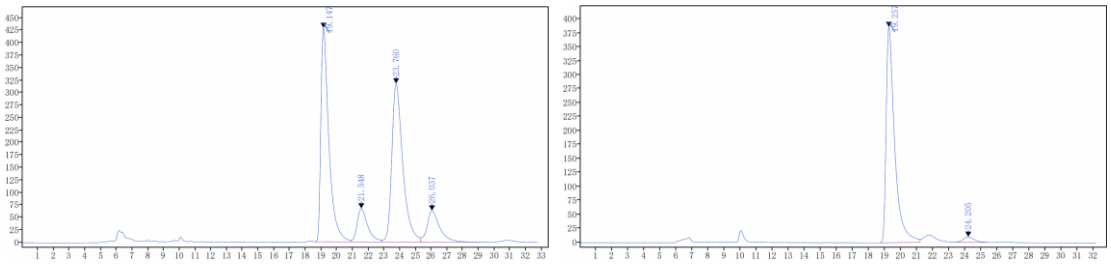


General procedure b. A dry and clean tube was charged with glycinate ester hydrochloride **1** (0.3 mmol), K_2CO_3 (20.7 mg, 0.15 mmol). After the addition of toluene (2.0 mL), the reaction mixture was stirred at room temperature for 30 minutes. Then, the reaction mixture was stirred at 0 °C for 5 minutes. **2a** (53.8 mg, 0.2 mmol), aldehyde catalyst *ent*-**3h** (9.4 mg, 0.02 mmol), quinuclidine (22.2 mg, 0.2 mmol) and pyrrolidine (7.1 mg, 0.1 mmol) were added. The reaction mixture was stirred at 0 °C and monitored by TLC. After the reaction was completed, the solvent was removed under vacuum, and the residue was purified by flash chromatography column on silica gel (eluent: petroleum ether/ethyl acetate =3/1) to give the corresponding product **4**.

tert-butyl (2S,3R)-3-phenyl-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4a):



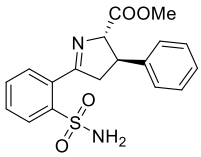
Colorless oil (74.2 mg, 93%); R_f = 0.29 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 94% by HPLC analysis on Daicel Chirapak IB column (hexane/isopropanol = 80/20, flow rate 0.5 mL/min, T = 30 °C), UV 220 nm, t_R(major) 19.257 min, t_R(minor) 24.205 min; $[\alpha]_D^{25}$ = +29.82 (c = 0.63, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.61 (t, *J* = 7.5 Hz, 1H), 7.59 – 7.51 (m, 2H), 7.39 – 7.34 (m, 2H), 7.34 –7.30 (m, 2H), 7.26 (t, *J* = 7.1 Hz, 1H), 6.30 (s, 2H), 4.92 (d, *J* = 6.9 Hz, 1H), 3.91 –3.80 (m, 1H), 3.71 –3.61 (m, 1H), 3.23 – 3.10 (m, 1H), 1.47 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.25, 170.77, 142.62, 141.17, 133.23, 132.09, 129.98, 129.25, 128.91, 128.50, 127.29, 127.05, 83.50, 82.32, 48.55, 46.44, 28.03; **HRMS(ESI)**: calcd. for $C_{21}H_{25}N_2O_4S$ $(M+H)^+$: 401.1530, found: 401.1527.



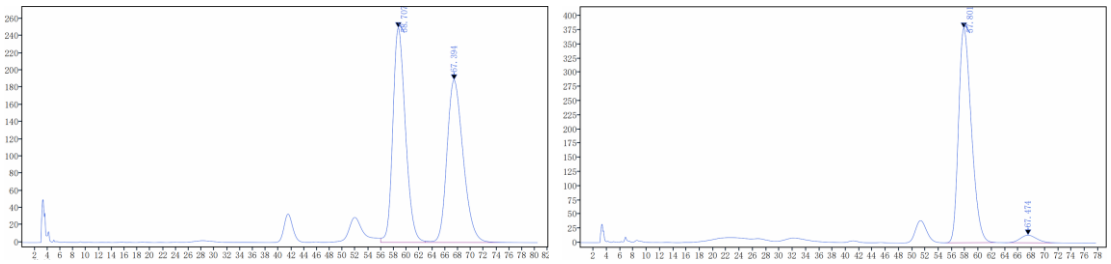
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.147	BV	2.2719	15151.1465	427.9333	40.8658
	21.548	VV	1.9815	3093.6955	66.3964	8.3443
	23.760	VV	2.4672	15343.4147	316.9625	41.3844
	26.037	VB	4.4245	3487.1290	62.4652	9.4055

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.257	BV	2.6106	14232.7867	385.6134	96.9612
	24.205	BB	2.3633	446.0571	9.0976	3.0388

methyl (2S,3R)-3-phenyl-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4b):



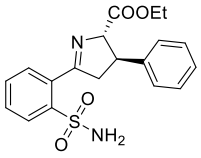
White solid (62.9 mg, 88%); m.p. = 123-126 ^{o}C; R_f = 0.11 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IC column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220 nm, t_R(major) 57.801 min, t_R(minor) 67.474 min; $[\alpha]_D^{25}$ = +38.44 (c = 0.42, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.15 (d, *J* = 7.5 Hz, 1H), 7.62 (t, *J* = 7.1 Hz, 1H), 7.59 – 7.51 (m, 2H), 7.39 – 7.34 (m, 2H), 7.34 –7.30 (m, 2H), 7.29 – 7.26 (m, 1H), 6.21 (s, 2H), 5.05 (d, *J* = 6.5 Hz, 1H), 3.96 –3.87 (m, 1H), 3.78 (s, 3H), 3.74 – 3.65 (m, 1H), 3.22 – 3.12 (m, 1H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.98, 172.07, 142.46, 141.08, 133.15, 132.15, 130.06, 129.16, 129.04, 128.48, 127.20, 127.16, 82.73, 52.55, 48.83, 46.13; **HRMS(ESI)**: calcd. for $C_{18}H_{19}N_2O_4S$ $(M+H)^+$: 359.1060, found: 359.1058.



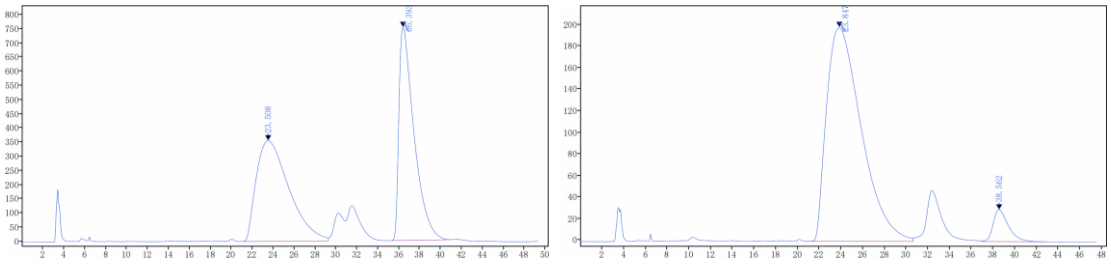
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	58.707	MM m	2.1286	34098.9356	249.7963	50.6106
	67.394	MM m	2.5845	33276.1524	189.2373	49.3894

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	57.801	BB	8.1983	50870.9907	378.4446	95.6300
	67.474	BB	8.8000	2324.6441	13.3569	4.3700

ethyl (2S,3R)-3-phenyl-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4c):



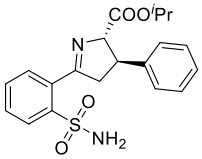
White solid (56.4 mg, 76%); m.p. = 101-103 ^{o}C; R_f = 0.15 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel Chirapak ID column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220 nm, t_R(major) 23.847 min, t_R(minor) 38.562 min; $[\alpha]_D^{25}$ = +31.15 (c = 0.32, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.64 – 7.60 (m, 1H), 7.59 – 7.51 (m, 2H), 7.40 – 7.34 (m, 2H), 7.34 –7.30 (m, 2H), 7.29 – 7.26 (m, 1H), 6.21 (s, 2H), 5.02 (d, *J* = 6.6 Hz, 1H), 4.32 – 4.19 (m, 2H), 3.95 –3.87 (m, 1H), 3.74 – 3.65 (m, 1H), 3.22 – 3.14 (m, 1H), 1.29 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.82, 171.63, 142.50, 141.13, 133.21, 132.14, 130.04, 129.18, 129.01, 128.51, 127.21, 127.17, 82.82, 61.64, 48.74, 46.24, 14.17; **HRMS(ESI)**: calcd. for $C_{19}H_{21}N_2O_4S$ $(M+H)^+$: 373.1217, found: 373.1213.



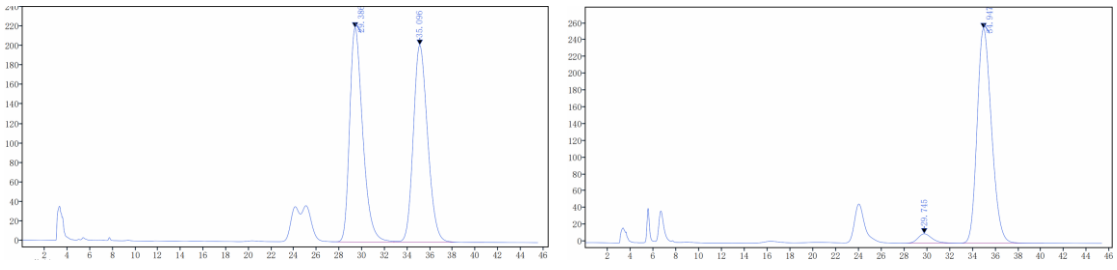
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	23.508	BV	8.3060	76960.3788	355.0274	50.5305
	36.393	BB	5.7133	75344.3027	751.5887	49.4695

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	23.847	MM m	3.3560	44127.5823	198.3870	93.8473
	38.562	MM m	1.4431	2893.0397	29.5002	6.1527

isopropyl (2S,3R)-3-phenyl-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4d):



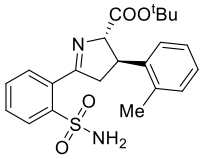
White solid (62.7 mg, 81%); m.p. = 121-124 ^{o}C; R_f = 0.21 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 92% by HPLC analysis on Daicel Chirapak IC column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220 nm, t_R(major) 34.947 min, t_R(minor) 29.745 min; $[\alpha]_D^{25}$ = +26.84 (c = 0.49, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.62 (t, *J* = 7.4 Hz, 1H), 7.58 – 7.51 (m, 2H), 7.39 – 7.34 (m, 2H), 7.34 –7.30 (m, 2H), 7.29 – 7.26 (m, 1H), 6.24 (s, 2H), 5.16 – 5.07 (m, 1H), 4.98 (d, *J* = 6.8 Hz, 1H), 3.92 – 3.84 (m, 1H), 3.72 – 3.64 (m, 1H), 3.23 – 3.14 (m, 1H), 1.27 (t, *J* = 6.2 Hz, 6H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.67, 171.22, 142.47, 141.14, 133.24, 132.12, 130.00, 129.18, 128.96, 128.50, 127.25, 127.13, 82.90, 69.38, 48.66, 46.45, 21.78, 21.74; **HRMS(ESI)**: calcd. for $C_{20}H_{23}N_2O_4S$ $(M+H)^+$: 387.1373, found: 387.1371.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	29.386	MM m	1.2158	17607.1172	219.5154	49.8146
	35.096	MM m	1.3607	17738.1871	201.9554	50.1854

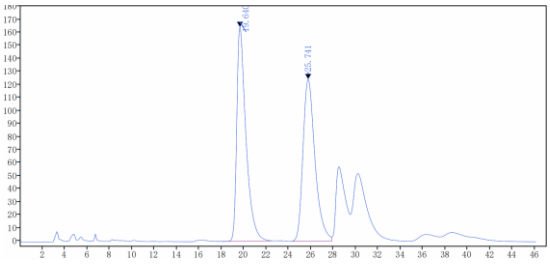
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	29.745	MM m	1.2521	962.8973	11.1542	4.1183
	34.947	MM m	1.3574	22417.9402	255.8081	95.8817

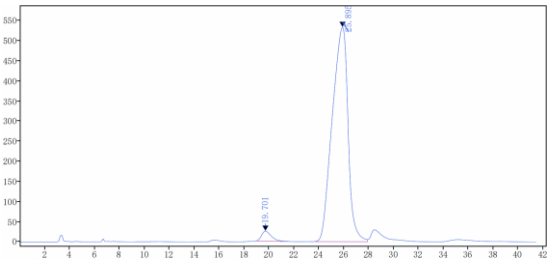
tert-butyl (2S,3R)-5-(2-sulfamoylphenyl)-3-(o-tolyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4e):



White solid (80.3 mg, 97%); m.p. = 167-169 ^{o}C; R_f = 0.25 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 94% by HPLC analysis on Daicel Chirapak IB column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 25.895 min,

t_R(minor) 19.701 min; $[\alpha]_D^{25}$ = +18.31 (c = 0.58, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.17 (d, *J* = 7.8 Hz, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.58 – 7.52 (m, 2H), 7.27 – 7.21 (m, 2H), 7.19 – 7.13 (m, 2H), 6.33 (s, 2H), 5.01 (d, *J* = 5.8 Hz, 1H), 4.19 – 4.11 (m, 1H), 3.76 – 3.65 (m, 1H), 3.11 – 3.01(m, 1H), 2.43 (s, 3H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.22, 170.74, 141.67, 141.21, 135.58, 133.19, 132.11, 130.46, 130.02, 129.42, 128.56, 127.03, 126.78, 126.01, 83.80, 82.42, 48.65, 41.08, 28.03, 19.98; **HRMS(ESI)**: calcd. for $C_{22}H_{27}N_2O_4S$ $(M+H)^+$: 415.1686, found: 415.1686.

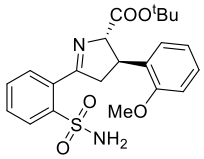




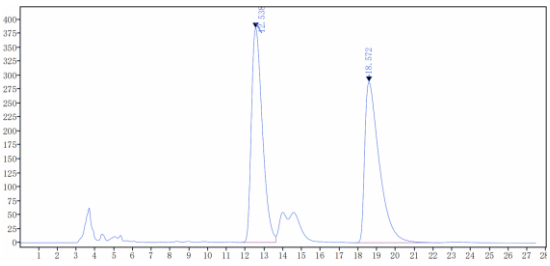
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.640	BB	4.6250	9369.0812	164.1683	50.2207
	25.741	BV	3.7054	9286.7350	124.1881	49.7793

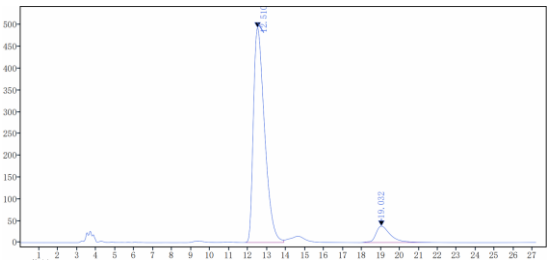
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.701	BB	2.9500	1339.4941	24.7269	2.7734
	25.895	BV	4.5932	46958.0367	533.1515	97.2266

tert-butyl (2S,3R)-3-(2-methoxyphenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4f):



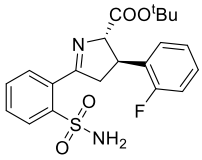
White solid (75.7 mg, 88%); m.p. = 148-150 ^{o}C; R_f = 0.26 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 82% by HPLC analysis on Daicel Chirapak ID column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 12.510 min, t_R(minor) 19.032 min; $[\alpha]_D^{25}$ = +5.07 (c = 0.72, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.15 (d, *J* = 7.8 Hz, 1H), 7.60 (t, *J* = 7.4 Hz, 1H), 7.55 – 7.50 (m, 2H), 7.28 – 7.23 (m, 2H), 6.94 (t, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 8.1 Hz, 1H), 6.38 (s, 2H), 5.06 (d, *J* = 7.2 Hz, 1H), 3.99 – 3.93 (m, 1H), 3.85 (s, 3H), 3.53 – 3.45 (m, 1H), 3.34 – 3.27 (m, 1H), 1.46 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.30, 171.47, 157.27, 141.17, 133.67, 132.02, 129.87, 129.76, 129.29, 128.47, 128.29, 120.76, 110.83, 81.95, 81.24, 55.17, 46.44, 42.95, 28.03; **HRMS(ESI)**: calcd. for $C_{22}H_{27}N_2O_5S$ $(M+H)^+$: 431.1635, found: 431.1632.



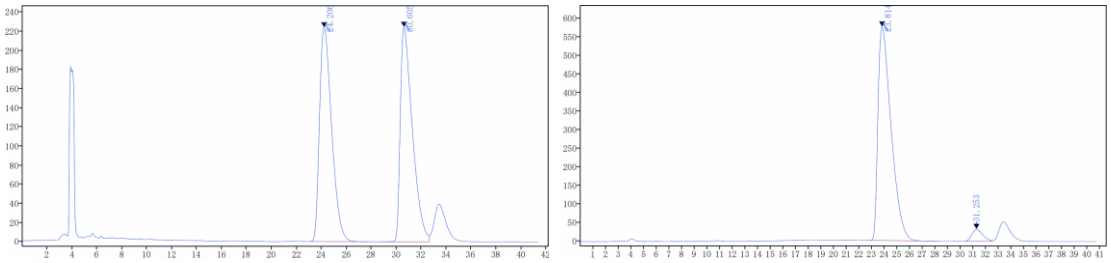


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%	Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	12.538	BV	1.8670	15568.1018	383.8908	50.0978		12.510	BM m	0.6308	20225.1202	492.8299	90.9600
	18.572	BB	4.5683	15507.3471	288.0930	49.9022		19.032	BM m	0.7960	2010.0533	37.6418	9.0400

tert-butyl (2S,3R)-3-(2-fluorophenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4g):

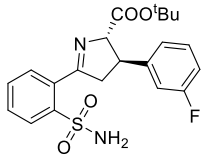


White solid (70.0 mg, 82%); m.p. = 145-147 ^{o}C; R_f = 0.33 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IE column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 23.814 min, t_R(minor) 31.253 min; $[\alpha]_D^{25}$ = +18.31 (c = 0.58, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.9 Hz, 1H), 7.61 (t, *J* = 7.5 Hz, 1H), 7.58 – 7.51 (m, 2H), 7.35 (t, *J* = 7.6 Hz, 1H), 7.29 – 7.23 (m, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.11 – 7.03 (m, 1H), 6.26 (s, 2H), 4.98 (d, *J* = 7.4 Hz, 1H), 4.08 – 3.99 (m, 1H), 3.65 – 3.56 (m, 1H), 3.27 – 3.181 (m, 1H), 1.47 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.27, 170.73, 161.64, 160.02, 141.14, 133.32, 132.11, 129.95, 129.51, 129.48, 129.18, 129.09, 128.77, 128.72, 128.48, 124.66, 124.64, 115.87, 115.72, 82.43, 81.93, 47.21, 40.76, 27.96; **HRMS(ESI)**: calcd. for $C_{21}H_{24}FN_2O_4S$ $(M+H)^+$: 419.1435, found: 419.1432.



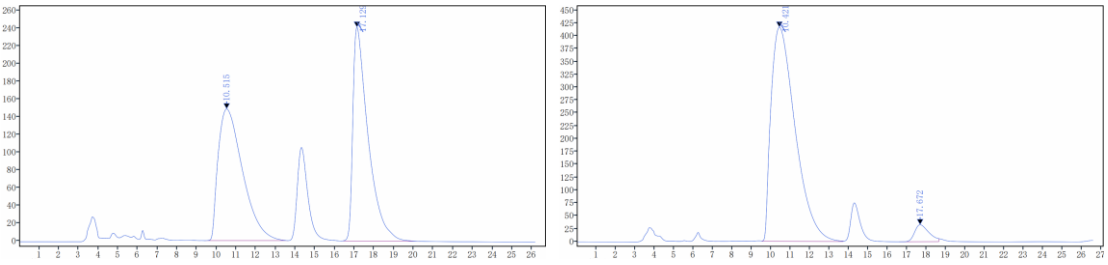
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%	Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	24.206	BB	4.8000	15104.5294	223.4718	50.0856		23.814	BB	5.3633	41424.2138	574.5140	95.5476
	30.605	BV	3.8735	15052.8892	224.2024	49.9144		31.253	BV	2.3532	1930.3111	32.1402	4.4524

tert-butyl (2S,3R)-3-(3-fluorophenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4h):



White solid (33.2 mg, 40%); m.p. = 135-138 ^{o}C; R_f = 0.20 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak ID column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 10.421 min, t_R(minor) 17.672 min; $[\alpha]_D^{25}$ = +24.56 (c = 0.26, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.17 (d, *J* = 7.8 Hz, 1H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.57 (t, *J* = 7.7 Hz, 1H), 7.54 (d, *J* = 7.5 Hz, 1H), 7.32 (dd, *J* = 14.1, 7.7 Hz, 1H), 7.11 (d, *J* = 7.6 Hz, 1H), 7.04 (d, *J* = 9.9 Hz, 1H), 6.96 (t, *J* = 8.4 Hz, 1H), 6.25 (s, 2H), 4.90 (d, *J* = 6.9 Hz, 1H), 3.92 – 3.81 (m, 1H), 3.70 – 3.62 (m, 1H), 3.21 – 3.11 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.11, 170.50, 163.85, 162.22, 145.12,

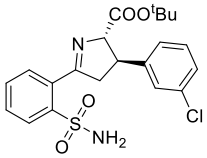
145.08, 141.20, 133.09, 132.14, 130.52, 130.47, 130.09, 129.19, 128.54, 122.97, 122.95, 114.43, 114.28, 114.08, 113.94, 83.28, 82.58, 48.36, 46.16, 46.16, 28.04; **HRMS(ESI)**: calcd. for $C_{21}H_{24}FN_2O_4S$ $(M+H)^+$: 419.1435, found: 419.1434.



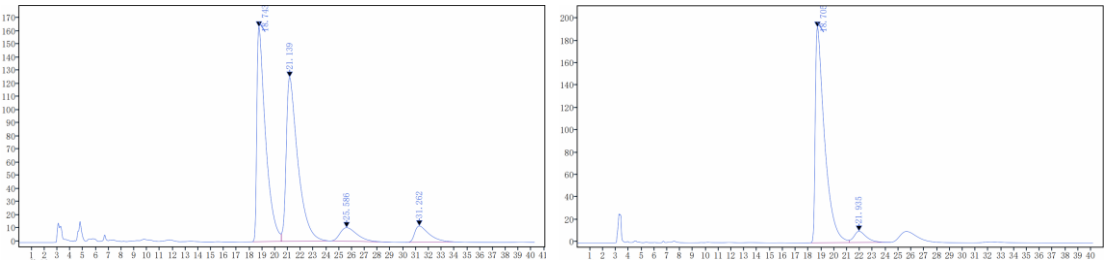
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	10.515	BB	4.2520	12792.4926	148.2454	49.6621
	17.129	BM m	0.7768	12966.5768	240.5676	50.3379

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	10.421	VV	4.1886	36051.8688	416.4156	95.5347
	17.672	BM m	0.7678	1685.0701	32.9973	4.4653

tert-butyl (2S,3R)-3-(3-chlorophenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4i):



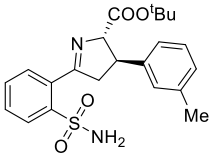
White solid (32.2 mg, 37%); m.p. = 109-112 ^oC; R_f = 0.21 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 87% by HPLC analysis on Daicel Chirapak IB column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^oC), UV 254 nm, t_R(major) 18.705 min, t_R(minor) 21.935 min; $[\alpha]_D^{25}$ = +17.32 (c = 0.26, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.17 (d, *J* = 7.8 Hz, 1H), 7.62 (t, *J* = 7.3 Hz, 1H), 7.57 (t, *J* = 7.6 Hz, 1H), 7.54 (d, *J* = 7.5 Hz, 1H), 7.32 (s, 1H), 7.30 (t, *J* = 7.8 Hz, 1H), 7.25 (d, *J* = 8.1 Hz, 1H), 7.22 (d, *J* = 7.5 Hz, 1H), 6.25 (s, 2H), 4.89 (d, *J* = 7.0 Hz, 1H), 3.88 – 3.80 (m, 1H), 3.70 – 3.60 (m, 1H), 3.20 – 3.11 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.09, 170.46, 144.55, 141.20, 134.60, 133.06, 132.15, 130.28, 130.10, 129.19, 128.55, 127.65, 127.28, 125.49, 83.24, 82.61, 48.30, 46.13, 28.04; **HRMS(ESI)**: calcd. for $C_{21}H_{24}ClN_2O_4S$ $(M+H)^+$: 435.1140, found: 435.1139.



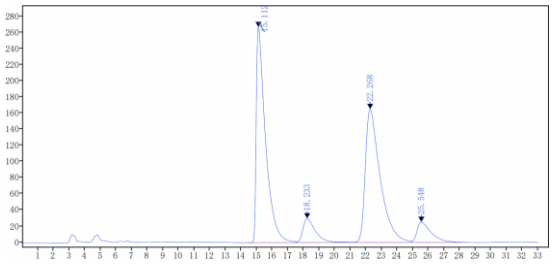
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	18.743	MM m	0.6811	7750.2468	163.4857	44.1368
	21.139	MB m	0.9353	7896.1170	124.9437	44.9675
	25.586	BB	4.7267	950.6403	10.2805	5.4138
	31.262	BM m	1.1099	962.5919	12.3016	5.4819

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	18.705	MM m	0.7225	9753.5554	192.7007	93.4988
	21.935	MM m	0.9704	678.1850	10.1122	6.5012

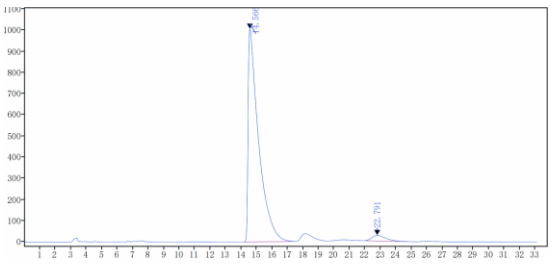
tert-butyl (2S,3R)-5-(2-sulfamoylphenyl)-3-(m-tolyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4j):



White solid (74.8 mg, 90%); m.p. = 112-114 ^{o}C; R_f = 0.24 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 93% by HPLC analysis on Daicel Chirapak IB column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 14.566 min, t_R(minor) 22.791 min; $[\alpha]_D^{25}$ = +28.05 (c = 0.59, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.17 (d, *J* = 8.0 Hz, 1H), 7.64 – 7.59 (m, 1H), 7.58 – 7.52 (m, 2H), 7.24 (t, *J* = 7.6 Hz, 1H), 7.14 (s, 1H), 7.11 (d, *J* = 7.8 Hz, 1H), 7.08 (d, *J* = 7.6 Hz, 1H), 6.30 (s, 2H), 4.95 – 4.87 (m, 1H), 3.86 – 3.77 (m, 1H),3.68 – 3.60 (m, 1H), 3.21 – 3.11 (m, 1H), 2.36 (s, 3H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.26, 170.83, 142.61, 141.20, 138.60, 133.26, 132.10, 129.99, 129.32, 128.81, 128.53, 128.05, 127.79, 124.29, 83.53, 82.30, 48.52, 46.32, 28.05, 21.46; **HRMS(ESI)**: calcd. for $C_{22}H_{27}N_2O_4S$ $(M+H)^+$: 415.1686, found: 415.1683.

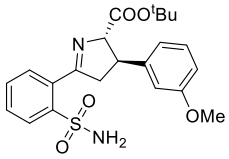


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	15.112	MM m	0.5946	11016.0359	266.8354	43.6896
	18.233	MM m	0.8139	1635.2939	29.6275	6.4856
	22.268	MM m	0.9815	10958.6766	165.0795	43.4621
	25.548	MM m	0.9241	1604.3410	25.1555	6.3628

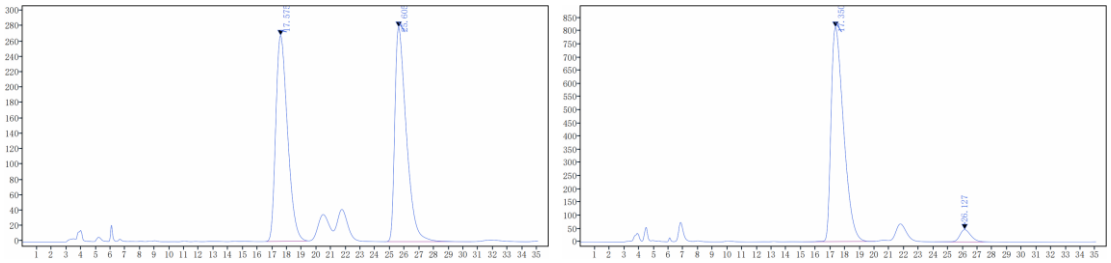


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	14.566	BV	3.3642	47208.5336	1005.1936	96.6057
	22.791	BB	3.0367	1658.7021	26.3742	3.3943

tert-butyl (2S,3R)-3-(3-methoxyphenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4k):



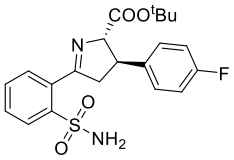
Colorless oil (66.6 mg, 77%); R_f = 0.21 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 90% by HPLC analysis on Daicel Chirapak IE column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 17.350 min, t_R(minor) 26.127 min; $[\alpha]_D^{25}$ = +30.46 (c = 0.52, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.62 (t, *J* = 7.4 Hz, 1H), 7.58 – 7.52 (m, 2H), 7.26 (t, *J* = 7.9 Hz, 1H), 6.90 (d, *J* = 7.6 Hz, 1H), 6.87 (s, 1H), 6.83 – 6.78 (m, 1H), 6.29 (s, 2H), 4.94 (d, *J* = 6.6 Hz, 1H), 3.86 – 3.78 (m, 4H), 3.71 – 3.61 (m, 1H), 3.20 – 3.11 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.26, 170.76, 160.09, 144.48, 141.18, 133.21, 132.12, 130.01, 129.90, 129.29, 128.50, 119.55, 112.80, 112.56, 83.59, 82.35, 55.34, 48.53, 46.31, 28.05; **HRMS(ESI)**: calcd. for $C_{22}H_{27}N_2O_5S$ $(M+H)^+$: 431.1635, found: 431.1634.



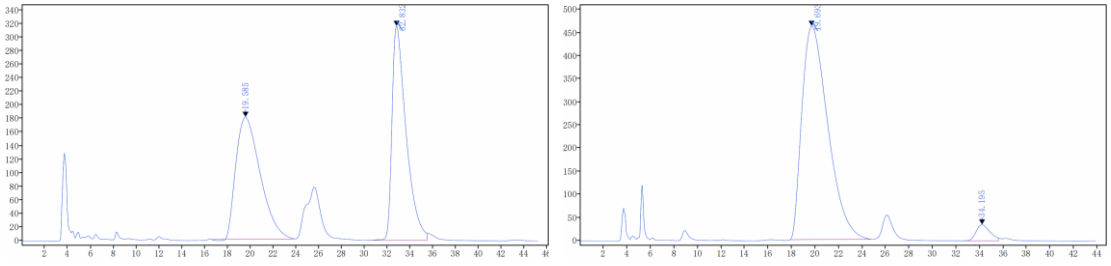
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	17.575	BB	3.0604	14862.1862	267.7177	49.5207
	25.605	BB	5.9050	15149.8783	278.9757	50.4793

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	17.350	MM m	0.9156	48027.9143	813.7352	95.1982
	26.127	BB	4.9933	2422.5134	46.3848	4.8018

tert-butyl (2S,3R)-3-(4-fluorophenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4l):



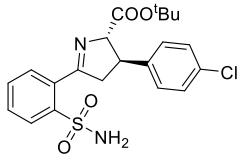
White solid (47.2 mg, 56%); m.p. = 148-150 ^{o}C; R_f = 0.19 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 92% by HPLC analysis on Daicel Chirapak ID column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220 nm, t_R(major) 19.693 min, t_R(minor) 34.195 min; $[\alpha]_D^{25}$ = +28.26 (c = 0.39, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.17 (d, *J* = 7.8 Hz, 1H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.59 – 7.51 (m, 2H), 7.32 – 7.27 (m, 2H), 7.04 (t, *J* = 8.6 Hz, 2H), 6.26 (s, 2H), 4.87 (d, *J* = 6.9 Hz, 1H), 3.88 – 3.80 (m, 1H), 3.70 – 3.62 (m, 1H), 3.17 – 3.07 (m, 1H), 1.47 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.21, 170.63, 162.68, 161.05, 141.17, 138.29, 138.27, 133.14, 132.13, 130.07, 129.22, 128.86, 128.81, 128.53, 115.81, 115.67, 83.53, 82.44, 48.58, 45.80, 28.04; **HRMS(ESI)**: calcd. for $C_{21}H_{24}FN_2O_4S$ $(M+H)^+$: 419.1435, found: 419.1433.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.585	MB m	2.1757	26628.3586	179.8148	49.4961
	32.832	BM m	1.2723	27170.5105	315.6315	50.5039

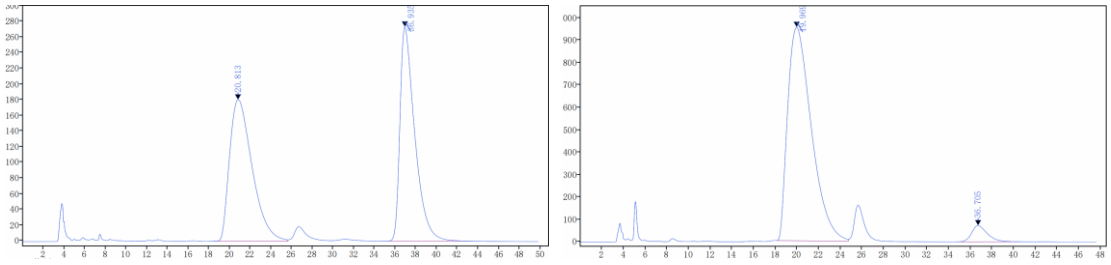
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.693	BB	7.0183	70768.5179	461.3932	96.1490
	34.195	MM m	1.2467	2834.4845	34.4203	3.8510

tert-butyl (2S,3R)-3-(4-chlorophenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4m):



White solid (53.0 mg, 61%); m.p. = 140-144 ^{o}C; R_f = 0.21 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 90% by HPLC analysis on Daicel Chirapak ID column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220

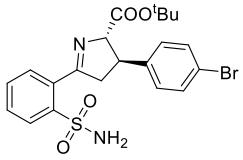
nm, t_R(major) 19.969 min, t_R(minor) 36.705 min; $[\alpha]_D^{25}$ = +28.09 (c = 0.41, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.56 (t, *J* = 7.6 Hz, 1H), 7.53 (d, *J* = 7.5 Hz, 1H), 7.34 –7.30 (m, 2H), 7.29 – 7.24 (m, 2H), 6.26 (s, 2H), 4.87 (d, *J* = 6.9 Hz, 1H), 3.88 – 3.78 (m, 1H), 3.69 – 3.60 (m, 1H), 3.17 – 3.06 (m, 1H), 1.47 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.14, 170.53, 141.18, 141.07, 133.07, 132.86, 132.14, 130.10, 129.21, 129.05, 128.72, 128.53, 83.41, 82.53, 48.47, 45.88, 28.05; **HRMS(ESI)**: calcd. for $C_{21}H_{24}ClN_2O_4S$ $(M+H)^+$: 435.1140, found: 435.1138.



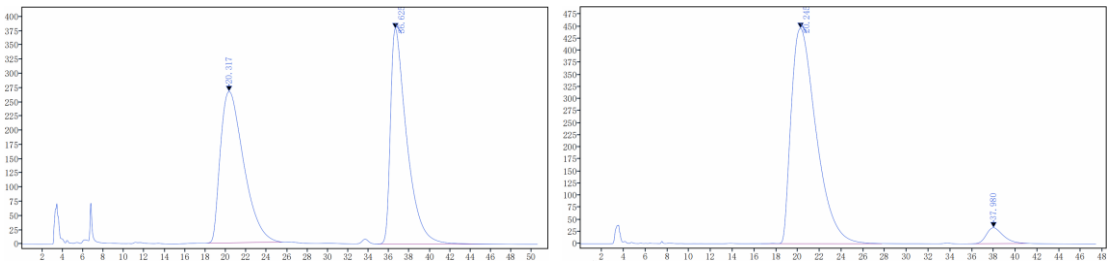
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	20.813	MM m	2.2649	27241.4074	180.0786	50.1189
	36.935	BB	8.3467	27112.1619	273.0226	49.8811

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.969	BV	6.6768	144864.0537	952.2621	95.2023
	36.705	MM m	1.4851	7300.3393	72.2357	4.7977

tert-butyl (2S,3R)-3-(4-bromophenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4n):



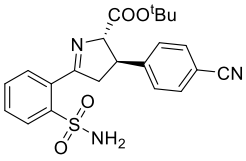
White solid (50.3 mg, 53%); m.p. = 143-145 °C; R_f = 0.21 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 90% by HPLC analysis on Daicel Chirapak ID column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 20.245 min, t_R(minor) 37.980 min; $[\alpha]_D^{25}$ = +23.31 (c = 0.36, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.19 – 8.13 (m, 1H), 7.65 – 7.60 (m, 1H), 7.58 – 7.55 (m, 1H), 7.55 – 7.51 (m, 1H), 7.50 – 7.45 (m, 2H), 7.24 – 7.16 (m, 2H), 6.24 (s, 2H), 4.90 – 4.82 (m, 1H), 3.87 – 3.78 (m, 1H), 3.69– 3.62 (m, 1H), 3.15 –3.08 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.13, 170.51, 141.61, 141.17, 133.05, 132.15, 132.01, 130.11, 129.21, 129.09, 128.54, 120.91, 83.37, 82.56, 48.43, 45.92, 28.05; **HRMS(ESI)**: calcd. for $C_{21}H_{24}BrN_2O_4S$ $(M+H)^+$: 479.0635, found: 479.0633.



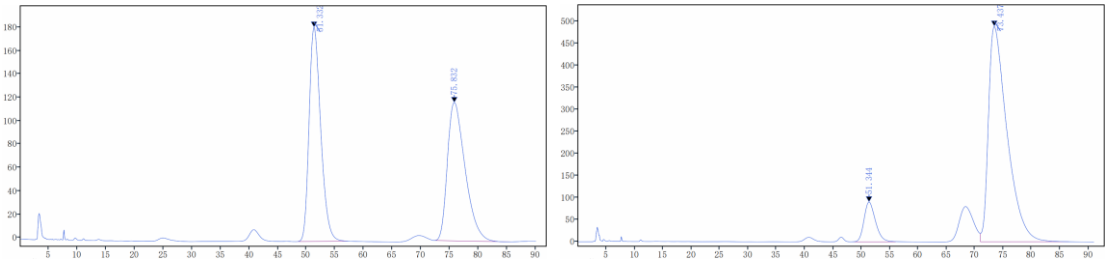
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	20.317	BB	7.7467	42257.0251	266.2135	50.0766
	36.625	BB	12.5133	42127.6720	378.7731	49.9234

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	20.245	MM m	2.5017	71348.7626	446.1725	95.2471
	37.980	MM m	1.6104	3560.3659	32.9551	4.7529

tert-butyl (2S,3R)-3-(4-cyanophenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4o):



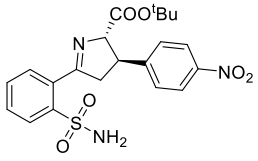
White solid (74.1 mg, 87%); m.p. = 175-177 ^{o}C; R_f = 0.25 (petroleum ether/ethyl acetate = 3:2); the enantiomeric excess was determined to be 80% by HPLC analysis on Daicel Chirapak IC column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220 nm, t_R(major) 74.437 min, t_R(minor) 51.344 min; $[\alpha]_D^{25}$ = +27.36 (c = 0.57, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.67 – 7.61 (m, 3H), 7.61 – 7.56 (m, 1H), 7.54 (d, *J* = 7.5 Hz, 1H), 7.46 (d, *J* = 8.2 Hz, 2H), 6.23 (s, 2H), 4.91 (d, *J* = 6.9 Hz, 1H), 3.95 – 3.88 (m, 1H), 3.74 – 3.66 (m, 1H), 3.19 – 3.11 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 175.93, 170.13, 148.10, 141.18, 132.78, 132.22, 130.26, 129.16, 128.58, 128.29, 118.68, 111.06, 83.29, 82.83, 48.33, 46.40, 28.03; **HRMS(ESI)**: calcd. for $C_{22}H_{24}N_3O_4S$ $(M+H)^+$: 426.1482, found: 426.1487.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	51.332	BM m	2.1740	25910.3804	183.0949	50.4758
	75.832	BM m	2.9113	25421.8677	118.1502	49.5242

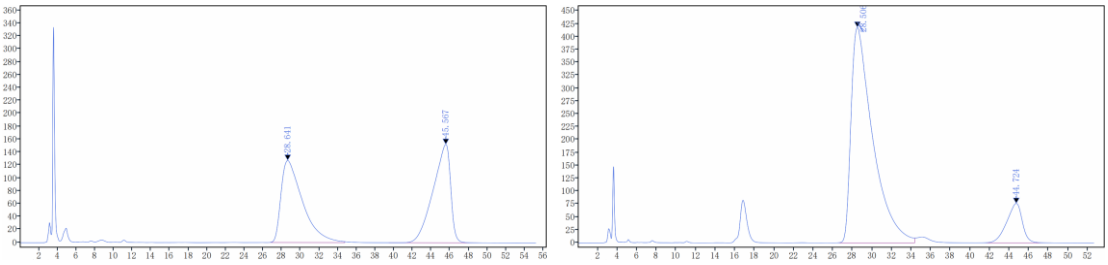
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	51.344	MM m	2.0938	12555.3990	89.9849	10.1347
	73.437	MM m	3.2126	111330.1629	487.6359	89.8653

tert-butyl (2S,3R)-3-(4-nitrophenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4p):



White solid (52.6 mg, 59%); m.p. = 182-185 ^{o}C; R_f = 0.22 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 77% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220 nm, t_R(major) 28.506 min, t_R(minor) 44.724 min; $[\alpha]_D^{25}$ = +20.55 (c = 0.45, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.22 (d, *J* = 8.7 Hz, 2H), 8.17 (d, *J* = 7.8 Hz, 1H), 7.67 – 7.62 (m, 1H), 7.62 – 7.57 (m, 1H), 7.55 (d, *J* = 7.5 Hz, 1H), 7.52 (d, *J* = 8.7 Hz, 2H), 6.22 (s, 2H), 4.93 (d, *J* = 6.9 Hz, 1H), 4.04 – 3.93 (m, 1H), 3.79 – 3.67 (m, 1H), 3.24 – 3.13 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 175.90, 170.06, 150.13, 147.08, 141.20, 132.76, 132.23, 130.29, 129.15, 128.59,

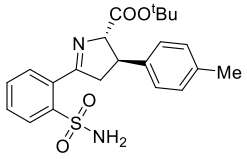
128.38, 124.23, 83.30, 82.92, 48.39, 46.19, 28.04; **HRMS(ESI)**: calcd. for $C_{21}H_{24}N_3O_6S$ $(M+H)^+$: 446.1380, found: 446.1379.



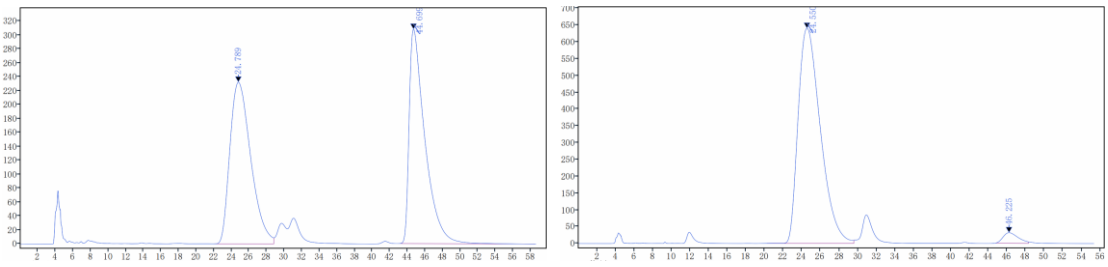
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	28.641	MM m	2.2550	20427.7633	127.5852	50.6616
	45.567	MM m	1.8333	19894.2111	152.6670	49.3384

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	28.506	MM m	2.1911	64379.8702	418.4072	88.4063
	44.724	MM m	1.5727	8442.8866	76.3748	11.5937

tert-butyl (2S,3R)-5-(2-sulfamoylphenyl)-3-(p-tolyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4q):



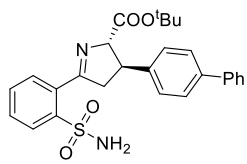
White solid (82.7 mg, >99%); m.p. = 126-128 ^oC; R_f = 0.27 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 94% by HPLC analysis on Daicel Chirapak ID column (hexane/isopropanol = 80/20, flow rate 0.8 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 24.550 min, t_R(minor) 46.225 min; $[\alpha]_D^{25}$ = +28.01 (c = 0.70, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.7 Hz, 1H), 7.64 – 7.58 (m, 1H), 7.57 – 751 (m, 2H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.16 (d, *J* = 7.9 Hz, 2H), 6.30 (s, 2H), 4.94 – 4.84 (m, 1H), 3.86 – 3.78 (m, 1H), 3.67 – 3.60 (m, 1H), 3.18 – 3.10 (m, 1H), 2.34 (s, 3H), 1.47 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.30, 170.87, 141.17, 139.57, 136.68, 133.30, 132.10, 129.97, 129.58, 129.29, 128.51, 127.17, 83.54, 82.29, 48.56, 46.08, 28.05, 21.05; **HRMS(ESI)**: calcd. for $C_{22}H_{27}N_2O_4S$ $(M+H)^+$: 415.1686, found: 415.1686.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	24.789	BV	6.7745	38228.5549	232.1230	49.9676
	44.699	BB	15.6633	38278.0584	307.7132	50.0324

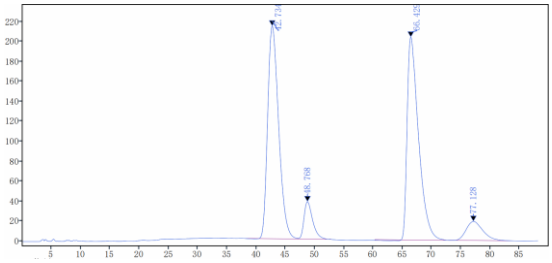
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	24.550	MM m	2.5463	106481.5542	640.5940	96.8105
	46.225	MM m	1.6462	3508.1160	31.2846	3.1895

tert-butyl (2S,3R)-3-([1,1'-biphenyl]-4-yl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4r):

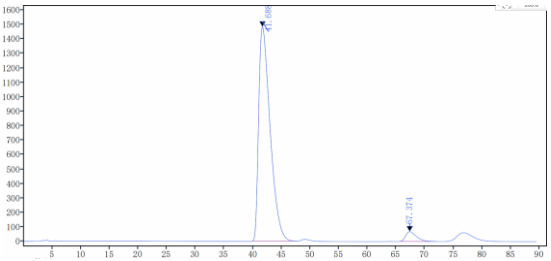


White solid (90.3 mg, 95%); m.p. = 178-180 ^oC; R_f = 0.27 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be

92% by HPLC analysis on Daicel Chirapak IE column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 41.688 min, t_R(minor) 67.374 min; $[\alpha]_D^{25}$ = +24.25 (c = 0.76, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.18 (d, *J* = 7.8 Hz, 1H), 7.64 – 7.54 (m, 7H), 7.44 (t, *J* = 7.7 Hz, 2H), 7.40 (d, *J* = 8.1 Hz, 2H), 7.35 (t, *J* = 7.4 Hz, 1H), 6.29 (s, 2H), 4.97 (d, *J* = 6.9 Hz, 1H), 3.96 – 3.86 (m, 1H), 3.73 – 3.64 (m, 1H), 3.26 – 3.15 (m, 1H), 1.49 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.26, 170.76, 141.69, 141.20, 140.67, 140.04, 133.23, 132.14, 130.05, 129.30, 128.83, 128.55, 127.76, 127.63, 127.35, 127.04, 83.53, 82.44, 48.54, 46.11, 28.08; **HRMS(ESI)**: calcd. for $C_{27}H_{29}N_2O_4S$ $(M+H)^+$: 477.1843, found: 477.1842.

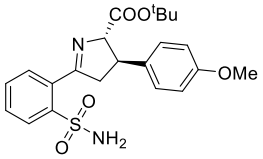


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	42.734	MM m	2.0166	27787.5894	212.9185	44.3996
	48.768	MM m	1.5008	3814.1765	37.3049	6.0944
	66.429	MM m	1.8676	27204.4788	202.9786	43.4678
	77.128	MM m	2.4377	3779.0471	19.0392	6.0382

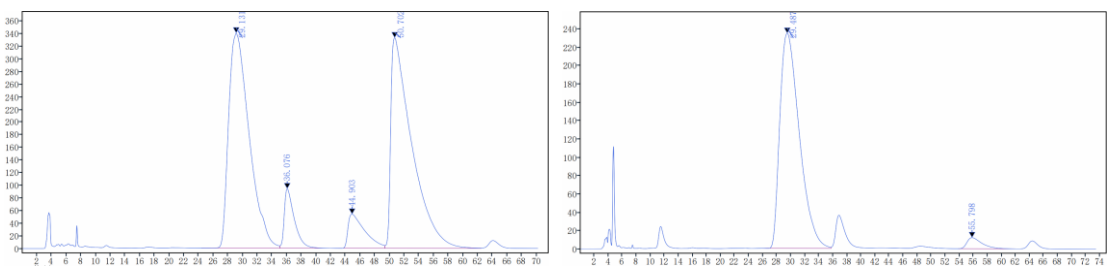


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	41.688	BB	7.6033	204916.7819	1478.9258	95.9217
	67.374	BM m	1.9280	8712.4889	67.3152	4.0783

tert-butyl (2S,3R)-3-(4-methoxyphenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4s):



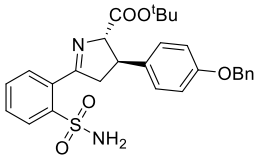
Pale yellow solid (65.4 mg, 76%); m.p. = 133-136 °C; R_f = 0.25 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 92% by HPLC analysis on Daicel Chirapak ID column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 29.487 min, t_R(minor) 55.798 min; $[\alpha]_D^{25}$ = +31.99 (c = 0.523, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.61 (t, *J* = 7.5 Hz, 1H), 7.57 – 7.51 (m, 2H), 7.24 (d, *J* = 8.6 Hz, 2H), 6.89 (d, *J* = 8.6 Hz, 2H), 6.30 (s, 2H), 4.87 (d, *J* = 6.9 Hz, 1H), 3.83 – 3.78 (m, 4H), 3.67 – 3.60 (m, 1H), 3.16 – 3.07 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.34, 170.89, 158.62, 141.15, 134.60, 133.29, 132.10, 129.97, 129.29, 128.50, 128.30, 114.28, 83.56, 82.26, 55.33, 48.56, 45.79, 28.06; **HRMS(ESI)**: calcd. for $C_{22}H_{27}N_2O_5S$ $(M+H)^+$: 431.1635, found: 431.1634.



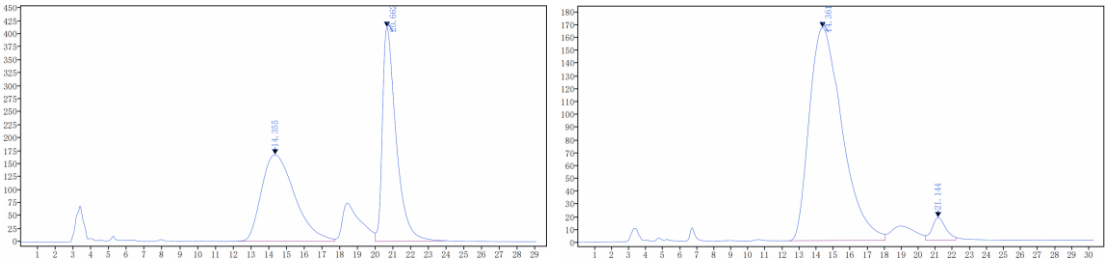
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	29.131	MM m	2.3256	66039.4017	340.5227	44.1715
	36.076	MM m	1.3763	8869.5204	93.9564	5.9325
	44.903	MM m	2.0708	8467.2980	53.8116	5.6635
	50.702	MM m	2.5641	66130.5004	333.2544	44.2325

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	29.487	MM m	2.8350	45339.8401	234.5704	96.2231
	55.798	MM m	1.9464	1779.6725	12.0478	3.7769

tert-butyl (2S,3R)-3-(4-(benzyloxy)phenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4t):



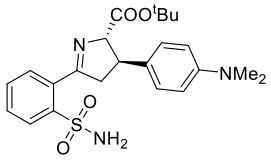
White solid (83.7 mg, 83%); m.p. = 159-162 ^{o}C; R_f = 0.23 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 92% by HPLC analysis on Daicel Chirapak IG column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220 nm, t_R(major) 14.361 min, t_R(minor) 21.144 min; $[\alpha]_D^{25}$ = +28.01 (c = 0.73, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.57 – 7.51 (m, 2H), 7.43 (d, *J* = 7.4 Hz, 2H), 7.38 (t, *J* = 7.5 Hz, 2H), 7.32 (t, *J* = 7.2 Hz, 1H), 7.23 (d, *J* = 8.6 Hz, 2H), 6.96 (d, *J* = 8.6 Hz, 2H), 6.28 (s, 2H), 5.06 (s, 2H), 4.87 (d, *J* = 6.9 Hz, 1H), 3.85 – 3.75 (m, 1H), 3.67 – 3.55 (m, 1H), 3.16 – 3.07 (m, 1H), 1.47 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.33, 170.87, 157.83, 141.16, 136.98, 134.91, 133.30, 132.11, 129.98, 129.30, 128.62, 128.51, 128.34, 128.00, 127.48, 115.25, 83.57, 82.28, 70.09, 48.55, 45.79, 28.07; **HRMS(ESI)**: calcd. for $C_{28}H_{31}N_2O_5S$ $(M+H)^+$: 507.1948, found: 507.1948.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	14.355	BV	5.5723	21660.3668	166.4057	49.4885
	20.662	VM m	0.7982	22108.0813	411.9087	50.5115

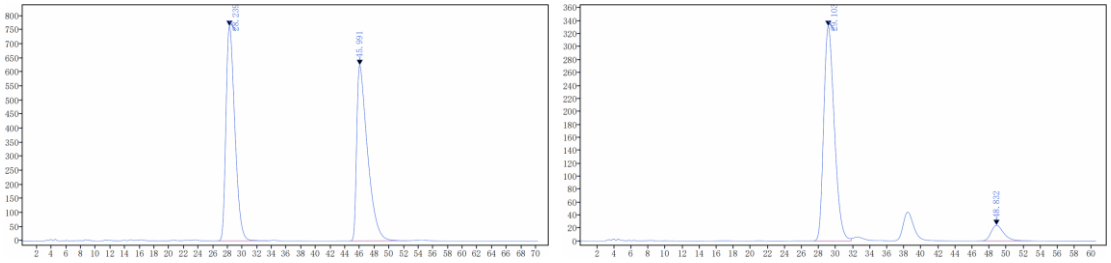
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	14.361	MM m	1.9898	22292.6358	166.4224	95.7930
	21.144	MM m	0.8415	979.0471	17.5588	4.2070

tert-butyl (2S,3R)-3-(4-(dimethylamino)phenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4u):



Colorless oil (87.9 mg, >99%); R_f = 0.21 (petroleum ether/ethyl acetate = 3:2); the enantiomeric excess was determined to be 84% by HPLC analysis on Daicel Chirapak IE column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 29.103 min, t_R(minor) 48.832 min; $[\alpha]_D^{25}$ = +30.10 (c = 0.63, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.5 Hz, 1H), 7.60 (t, *J* = 7.4 Hz, 1H), 7.57 – 7.51 (m, 2H), 7.19 (d, *J* = 8.6 Hz, 2H), 6.73 (d, *J* = 8.5 Hz, 2H), 6.32 (s, 2H), 4.87 (d, *J* = 6.8 Hz, 1H), 3.82 – 3.73 (m, 1H), 3.66 – 3.57 (m, 1H),

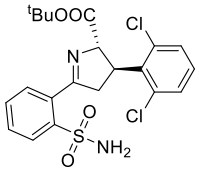
3.17 – 3.08 (m, 1H), 2.93 (s, 6H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.43, 171.05, 149.68, 141.14, 133.41, 132.05, 129.87, 129.34, 128.46, 128.08, 127.89, 113.03, 83.57, 82.10, 48.47, 45.65, 40.70, 28.05; **HRMS(ESI)**: calcd. for $C_{23}H_{30}N_3O_4S$ $(M+H)^+$: 444.1952, found: 444.1950.



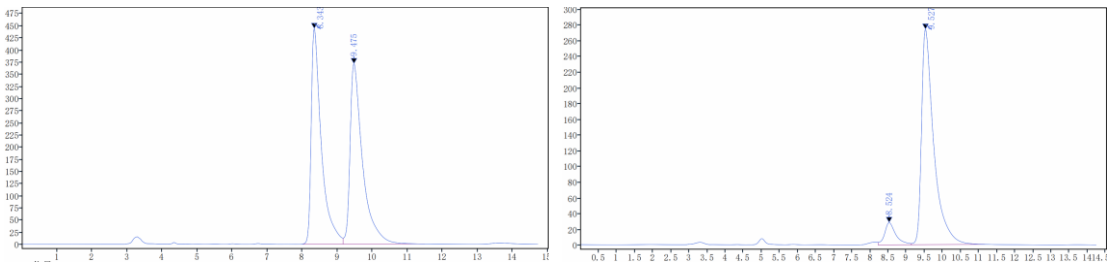
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	28.239	BB	7.0150	64091.9308	762.5519	50.1279
	45.991	BB	7.9983	63764.8213	623.8351	49.8721

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	29.103	MM m	1.3492	28642.7208	331.1128	92.1621
	48.832	MM m	1.5107	2435.9279	23.9489	7.8379

tert-butyl (2S,3R)-3-(2,6-dichlorophenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4v):



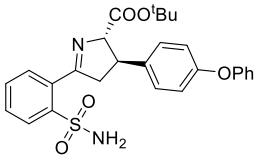
Colorless oil (74.3 mg, 79%); R_f = 0.18 (petroleum ether/ethyl acetate = 3:1); the enantiomeric excess was determined to be 83% by HPLC analysis on Daicel Chirapak IB column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 9.527 min, t_R(minor) 8.524 min; $[\alpha]_D^{25}$ = -50.37 (c = 0.68, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.61 (t, *J* = 7.5 Hz, 1H), 7.57 – 7.48 (m, 2H), 7.37 (d, *J* = 8.0 Hz, 2H), 7.17 (t, *J* = 8.0 Hz, 1H), 6.30 (s, 2H), 5.34 (d, *J* = 7.8 Hz, 1H), 4.80 – 4.67 (m, 1H), 3.59 – 3.45 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 175.83, 171.10, 141.19, 136.48, 133.57, 132.05, 129.81, 129.43, 128.81, 128.66, 128.54, 82.66, 80.02, 45.46, 40.99, 27.93; **HRMS(ESI)**: calcd. for $C_{21}H_{23}N_2O_5S$ $(M+H)^+$: 469.0750, found: 469.0748.



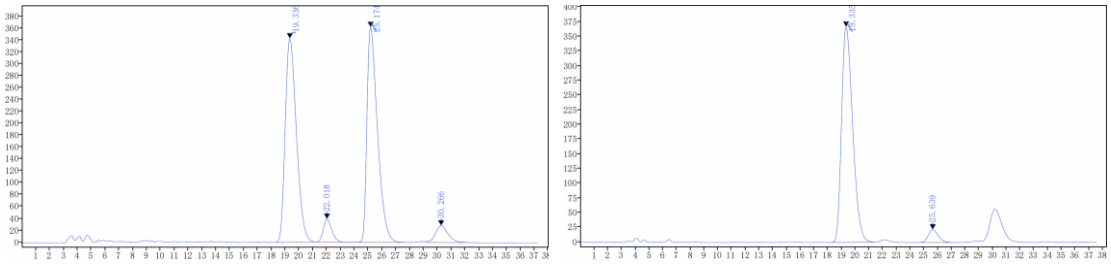
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	8.343	BV	1.3650	8949.2135	443.3240	49.2861
	9.475	VB	2.3334	9208.4633	370.8091	50.7139

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	8.524	MM m	0.3141	607.1931	28.2100	8.5976
	9.527	MM m	0.3433	6455.1811	273.5633	91.4024

tert-butyl (2S,3R)-3-(4-phenoxyphenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4w):



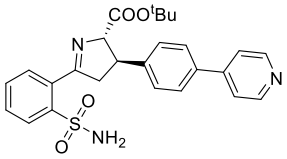
White solid (84.6 mg, 86%); m.p. = 163-166 ^{o}C; R_f = 0.20 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 90% by HPLC analysis on Daicel Chirapak IE column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 19.335 min, t_R(minor) 25.639 min; $[\alpha]_D^{25}$ = +30.31 (c = 0.65, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.17 (d, *J* = 7.8 Hz, 1H), 7.62 (t, *J* = 7.4 Hz, 1H), 7.64 – 7.59 (m, 2H), 7.33 (t, *J* = 7.8 Hz, 2H), 7.28 (d, *J* = 8.5 Hz, 2H), 7.10 (t, *J* = 7.3 Hz, 1H), 7.04 – 6.96 (m, 4H), 6.27 (s, 2H).4.90 (d, *J* = 6.9 Hz, 1H), 3.89 – 3.80 (m, 1H), 3.70 – 3.61 (m, 1H), 3.20 – 3.09 (m, 1H), 1.49 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.29, 170.76, 157.16, 156.29, 141.18, 137.35, 133.23, 132.12, 130.03, 129.78, 129.26, 128.60, 128.53, 123.35, 119.22, 118.89, 83.53, 82.39, 48.54, 45.88, 28.07; **HRMS(ESI)**: calcd. for $C_{27}H_{29}N_2O_5S$ $(M+H)^+$: 493.1792, found: 493.1791.



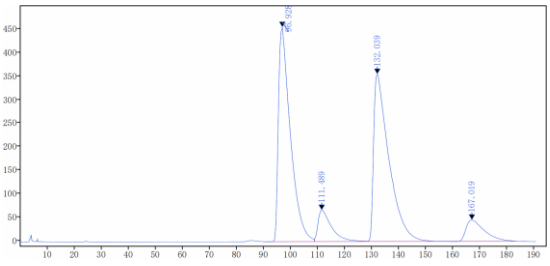
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.336	BB	3.0371	19132.7695	342.3703	45.9105
	22.018	BB	2.1867	1653.5076	38.5376	3.9677
	25.174	BB	4.2367	19104.6994	361.4690	45.8431
	30.266	MM m	0.9786	1783.1248	28.0134	4.2787

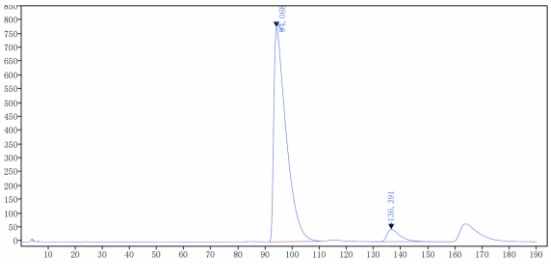
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.335	BB	3.3203	20508.9468	366.7205	94.8356
	25.639	BB	3.2950	1116.8507	21.8280	5.1644

tert-butyl (2S,3R)-3-(4-(pyridin-4-yl)phenyl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4x):



Colorless oil (94.8 mg, >99%); R_f = 0.21 (petroleum ether/ethyl acetate = 1:2); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel Chirapak IE column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 94.068 min, t_R(minor) 136.391 min; $[\alpha]_D^{25}$ = +7.33 (c = 0.84, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.65 (d, *J* = 5.9 Hz, 2H), 8.18 (d, *J* = 7.8 Hz, 1H), 7.67– 7.61 (m, 3H), 7.59 – 7.55 (m, 2H), 7.52 – 7.49 (m, 2H), 7.46 (d, *J* = 8.3 Hz, 2H), 6.38 (s, 2H), 4.97 (d, *J* = 6.8 Hz, 1H), 3.97 – 3.87 (m, 1H), 3.76 – 3.66 (m, 1H), 3.25 – 3.15 (m, 1H), 1.50 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.18, 170.58, 150.27, 147.80, 143.82, 141.24, 136.89, 133.09, 132.13, 130.10, 129.25, 128.54, 128.15, 127.53, 121.48, 121.42, 83.49, 82.54, 48.50, 46.12, 28.06; **HRMS(ESI)**: calcd. for $C_{26}H_{28}N_3O_4S$ $(M+H)^+$: 478.1795, found: 478.1794.

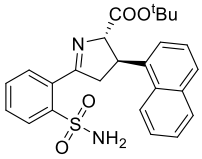




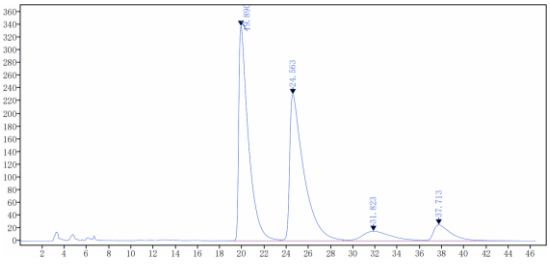
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	96.928	MM m	3.6625	139887.3375	455.8074	43.2240
	111.489	MM m	4.2517	23053.0984	66.7253	7.1232
	132.039	MM m	5.0923	139517.7805	355.6061	43.1099
	167.019	MM m	5.5025	21174.9111	45.3745	6.5429

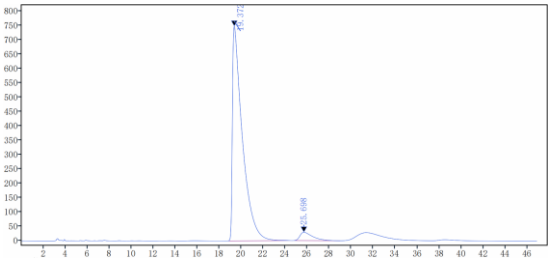
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	94.068	BB	20.5650	246574.9150	776.6578	94.2744
	136.391	MM m	4.0119	14975.3730	44.1987	5.7256

tert-butyl (2S,3R)-3-(naphthalen-1-yl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4y):



White solid (86.8 mg, 96%); m.p. = 144-147 ^{o}C; R_f = 0.22 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IB column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 19.372 min, t_R(minor) 25.698 min; $[\alpha]_D^{25}$ = +23.61 (c = 0.69, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.18 (d, *J* = 7.6 Hz, 1H), 8.11 (d, *J* = 8.4 Hz, 1H), 7.89 (d, *J* = 8.1 Hz, 1H), 7.78 (d, *J* = 6.8 Hz, 1H), 7.63 – 7.58 (m, 1H), 7.58 – 7.54 (m, 3H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.49 – 7.44 (m, 2H), 6.37 (s, 2H), 5.24 (d, *J* = 5.8 Hz, 1H), 4.72 – 4.61 (m, 1H), 3.88 – 3.77 (m, 1H), 3.34 – 3.24 (m, 1H), 1.44 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.51, 170.74, 141.22, 138.61, 134.10, 133.14, 132.12, 131.19, 130.06, 129.41, 129.19, 128.57, 127.75, 126.35, 125.78, 125.70, 124.43, 123.12, 82.86, 82.50, 48.46, 41.80, 27.97; **HRMS(ESI)**: calcd. for $C_{25}H_{27}N_2O_4S$ $(M+H)^+$: 451.1686, found: 451.1685.

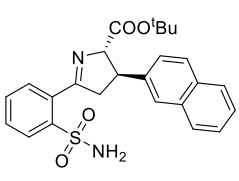




Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.890	MM m	0.8588	20285.2919	337.2291	43.9491
	24.563	MM m	1.2405	20357.9619	230.0243	44.1065
	31.823	MM m	2.2469	2740.6738	15.0049	5.9378
	37.713	MM m	1.5530	2772.4439	25.1125	6.0066

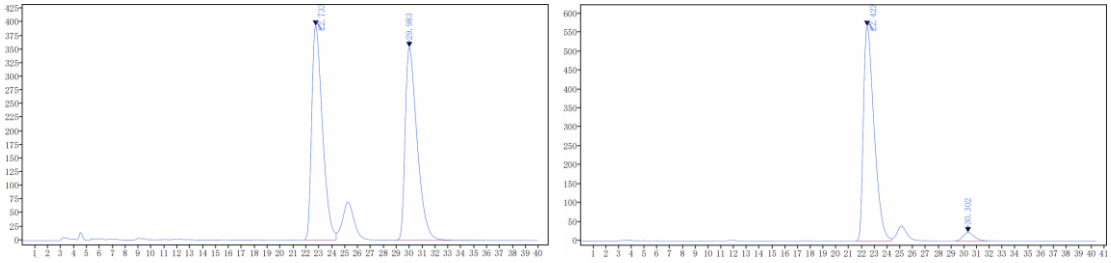
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.372	BB	5.9717	47034.5924	747.1080	95.2606
	25.698	BB	4.3500	2340.0403	29.0718	4.7394

tert-butyl (2S,3R)-3-(naphthalen-2-yl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4z):



White solid (76.6 mg, 85%); m.p. = 133-136 ^{o}C; R_f = 0.20 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be

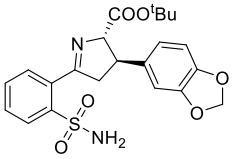
92% by HPLC analysis on Daicel Chirapak IE column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 22.423 min, t_R(minor) 30.302 min; $[\alpha]_D^{25}$ = +19.63 (c = 0.66, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.18 (d, *J* = 7.9 Hz, 1H), 7.85 (d, *J* = 8.5 Hz, 1H), 7.82 (d, *J* = 6.8 Hz, 2H), 7.77 (s, 1H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.59 – 7.54 (m, 2H), 7.50 – 7.42 (m, 3H), 6.31 (s, 2H), 5.04 (d, *J* = 6.8 Hz, 1H), 4.08 – 3.99 (m, 1H), 3.78 – 3.67 (m, 1H), 3.31 – 3.21 (m, 1H) 1.47 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.28, 170.76, 141.22, 139.85, 133.49, 133.21, 132.51, 132.11, 130.03, 129.32, 128.89, 128.54, 127.70, 127.67, 126.36, 126.12, 125.84, 125.15, 83.45, 82.38, 48.53, 46.56, 28.04; **HRMS(ESI)**: calcd. for $C_{25}H_{27}N_2O_4S$ $(M+H)^+$: 451.1686, found: 451.1685.



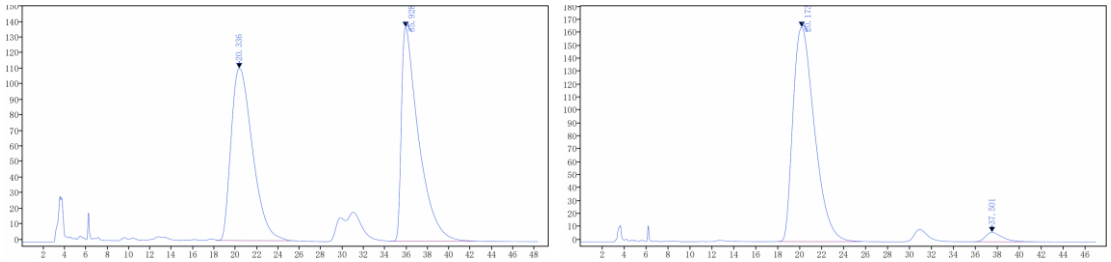
22.733	BV	2.5981	22727.1912	392.8672	49.9573
29.983	BB	5.8367	22766.0596	353.8560	50.0427

22.423	BV	3.0319	32955.1850	566.9992	96.0685
30.302	BB	3.8300	1348.6471	22.0199	3.9315

tert-butyl (2S,3R)-3-(benzo[d][1,3]dioxol-5-yl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4aa):



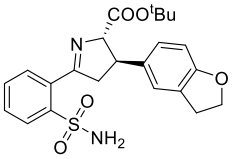
White solid (28.1 mg, 32%); m.p. = 133-135 °C; R_f = 0.31 (petroleum ether/ethyl acetate = 3:2); the enantiomeric excess was determined to be 93% by HPLC analysis on Daicel Chirapak ID column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 20.173 min, t_R(minor) 37.501 min; $[\alpha]_D^{25}$ = +28.49 (c = 0.22, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.62 (t, *J* = 7.4 Hz, 1H), 7.58 – 7.50 (m, 2H), 6.82 (s, 1H), 6.79 – 6.74 (m, 2H), 6.27 (s, 2H), 5.95 (s, 2H), 4.86 (d, *J* = 6.8 Hz, 1H), 3.83 – 3.73 (m, 1H), 3.67 – 3.59 (m, 1H), 3.15 – 3.06 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.28, 170.76, 148.10, 146.58, 141.15, 136.43, 133.22, 132.11, 130.01, 129.25, 128.51, 120.51, 108.45, 107.45, 101.10, 83.54, 82.39, 48.58, 46.27, 28.06; **HRMS(ESI)**: calcd. for $C_{22}H_{25}N_2O_6S$ $(M+H)^+$: 445.1428, found: 445.1426.



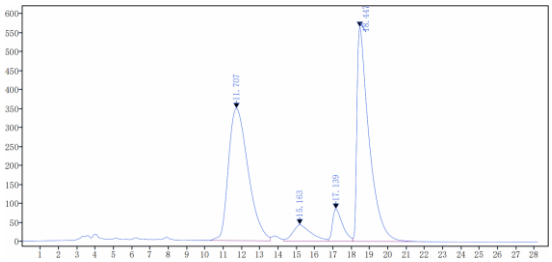
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	20.336	MM m	2.1529	15463.4167	110.6186	50.2212
	35.928	MM m	1.5900	15327.1960	137.4412	49.7788

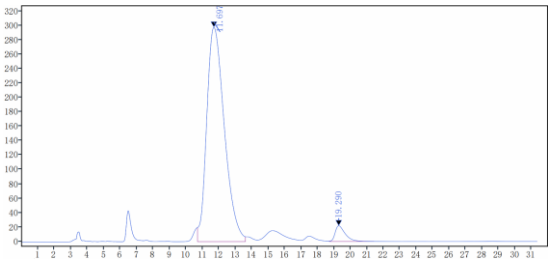
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	20.173	MM m	2.1036	22557.0371	165.5514	96.7033
	37.501	MM m	1.4494	768.9830	7.2444	3.2967

tert-butyl (2S,3R)-3-(2,3-dihydrobenzofuran-5-yl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4ab):



White solid (59.3 mg, 67%); m.p. = 144-147 ^{o}C; R_f = 0.12 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 92% by HPLC analysis on Daicel Chirapak IF column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 11.697 min, t_R(minor) 19.290 min; $[\alpha]_D^{25}$ = +25.25 (c = 0.49, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.3 Hz, 1H), 7.64 – 7.59 (m, 1H), 7.58 – 7.52 (m, 2H), 7.17 (s, 1H), 7.03 (d, *J* = 8.1 Hz, 1H), 6.74 (d, *J* = 8.2 Hz, 1H), 6.30 (s, 2H), 4.87 (d, *J* = 6.8 Hz, 1H), 4.57 (t, *J* = 8.7 Hz, 2H), 3.83 – 3.73 (m, 1H), 3.67 – 3.59 (m, 1H), 3.20 (t, *J* = 8.6 Hz, 2H), 3.15 – 3.07 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.34, 170.89, 159.15, 141.13, 134.62, 133.25, 132.10, 129.98, 129.32, 128.50, 127.80, 127.05, 123.66, 109.30, 83.75, 82.25, 71.35, 48.72, 46.00, 29.77, 28.0; **HRMS(ESI)**: calcd. for $C_{23}H_{27}N_2O_5S$ $(M+H)^+$: 443.1635, found: 443.1633.

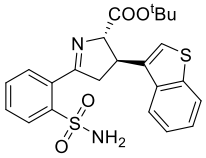




Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.707	MM m	1.1623	26010.2437	348.2638	44.7959
	15.163	MM m	0.9843	2916.4837	42.7283	5.0229
	17.139	MM m	0.5722	3219.6572	84.5560	5.5450
	18.447	MM m	0.6599	25917.5154	564.1864	44.6362

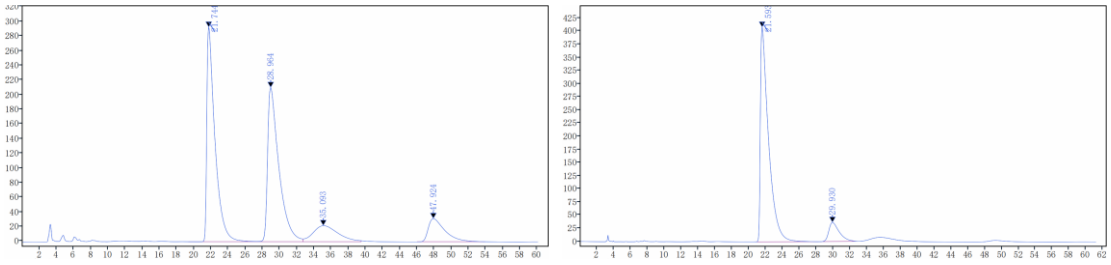
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.697	MM m	1.1659	22168.8633	297.6684	95.9636
	19.290	MM m	0.6369	932.4509	21.8503	4.0364

tert-butyl (2S,3R)-3-(benzo[b]thiophen-3-yl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4ac):



White solid (80.3 mg, 88%); m.p. = 151-154 ^{o}C; R_f = 0.22 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 80% by HPLC analysis on Daicel Chirapak IB column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 21.593 min, t_R(minor) 29.930 min; $[\alpha]_D^{25}$ = +33.72 (c = 0.60, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.18 (d, *J* = 7.8 Hz, 1H), 7.89 (d, *J* = 7.9 Hz, 1H), 7.81 (d, *J* = 7.7 Hz, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.59 – 7.52 (m, 2H), 7.43 – 7.43 (m, 2H), 7.33 (s, 1H), 6.30 (s, 2H), 5.18 (d, *J* = 6.0 Hz, 1H), 4.34 – 4.25 (m, 1H), 3.82 – 3.68 (m, 1H),3.33 – 3.22 (m, 1H), 1.48 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ

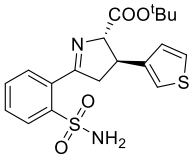
176.54, 170.55, 141.19, 140.94, 137.67, 136.68, 133.10, 132.14, 130.11, 129.35, 128.57, 124.56, 124.22, 123.24, 122.23, 121.69, 82.62, 81.43, 46.81, 39.94, 28.03; **HRMS(ESI)**: calcd. for $C_{23}H_{25}N_2O_4S_2$ $(M+H)^+$: 457.1250, found: 457.1249.



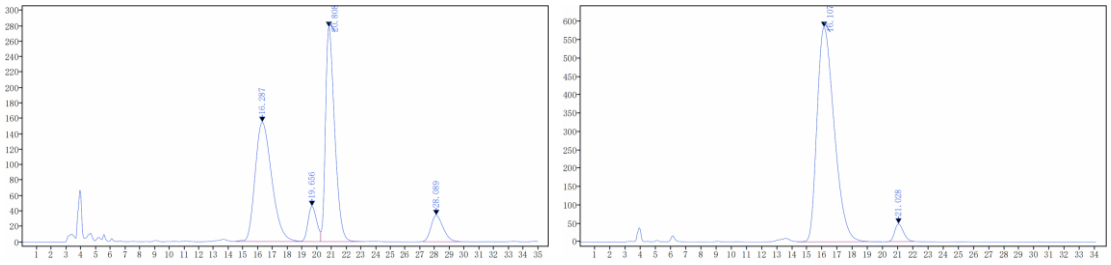
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	21.744	MM m	0.9203	19290.1422	292.5597	40.9337
	28.964	MM m	1.3316	19208.1075	210.3064	40.7596
	35.093	MM m	2.4174	4420.7667	21.8782	9.3809
	47.924	MM m	1.9014	4206.3018	31.5091	8.9258

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	21.593	BB	7.6200	27453.2438	408.1479	89.8132
	29.930	BB	4.6583	3113.8022	36.2948	10.1868

tert-butyl (2S,3R)-5-(2-sulfamoylphenyl)-3-(thiophen-3-yl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4ad):



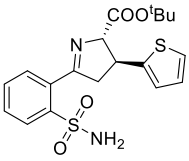
White solid (62.4 mg, 77%); m.p. = 126-128 ^oC; R_f = 0.23 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 92% by HPLC analysis on Daicel Chirapak IE column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^oC), UV 254 nm, t_R(major) 16.107 min, t_R(minor) 21.028 min; $[\alpha]_D^{25}$ = +42.5 (c = 0.52, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.15 (d, *J* = 7.8 Hz, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.57 – 7.49 (m, 2H), 7.37 – 7.29 (m, 1H), 7.18 – 7.13 (m, 1H), 7.08 (d, *J* = 4.9 Hz, 1H), 6.29 (s, 2H), 4.88 (d, *J* = 6.9 Hz, 1H), 4.03 – 3.92 (m, 1H), 3.68 – 3.55 (m, 1H), 3.20 – 3.07 (m, 1H), 1.50 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.39, 170.74, 142.76, 141.13, 133.22, 132.11, 130.01, 129.26, 128.51, 126.62, 126.56, 120.91, 82.45, 47.56, 41.72, 28.06; **HRMS(ESI)**: calcd. for $C_{19}H_{23}N_2O_4S_2$ $(M+H)^+$: 407.1094, found: 407.1092.



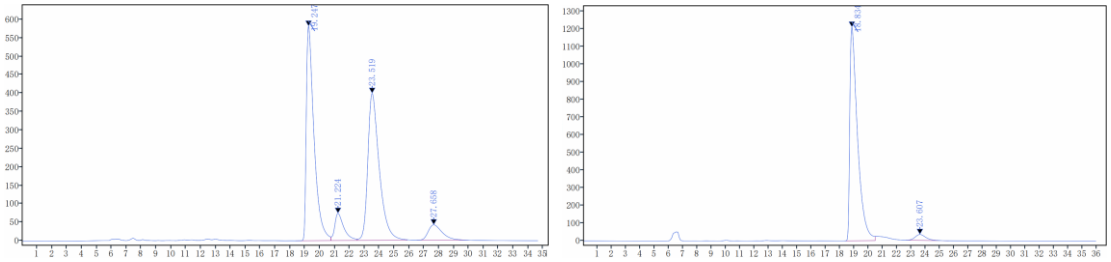
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	16.287	MM m	1.2177	12110.0470	154.6327	43.2782
	19.656	MM m	0.6439	1892.7204	45.7257	6.7641
	20.808	MM m	0.6604	12015.0668	277.9106	42.9388
	28.089	MM m	0.8785	1964.0291	34.1232	7.0189

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	16.107	MM m	1.2234	46030.3843	584.1089	95.9088
	21.028	MM m	0.6326	1963.5370	48.2666	4.0912

tert-butyl (2S,3S)-5-(2-sulfamoylphenyl)-3-(thiophen-2-yl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4ae):



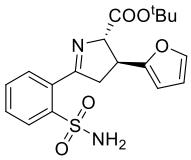
Pale yellow solid (65.9 mg, 80%); m.p. = 99-101 °C; R_f = 0.29 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 93% by HPLC analysis on Daicel Chirapak IB column (hexane/isopropanol = 80/20, flow rate 0.5 mL/min, T = 30 °C), UV 254 nm, t_R(major) 18.824 min, t_R(minor) 23.607 min; $[\alpha]_D^{25}$ = +22.94 (c = 0.62, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.14 (d, *J* = 7.8 Hz, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.58 – 7.48 (m, 2H), 7.20 (d, *J* = 4.9 Hz, 1H), 7.01 – 6.94 (m, 2H), 6.25 (s, 2H), 4.91 (d, *J* = 7.2 Hz, 1H), 4.24 – 4.12 (m, 1H), 3.72 – 3.55 (m, 1H), 3.30 – 3.15 (m, 1H), 1.51 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.02, 170.30, 145.15, 141.16, 133.12, 132.11, 130.03, 129.18, 128.53, 127.19, 124.57, 123.91, 83.17, 82.75, 48.59, 41.74, 28.05; **HRMS(ESI)**: calcd. for $C_{19}H_{23}N_2O_4S_2$ $(M+H)^+$: 407.1094, found: 407.1092.



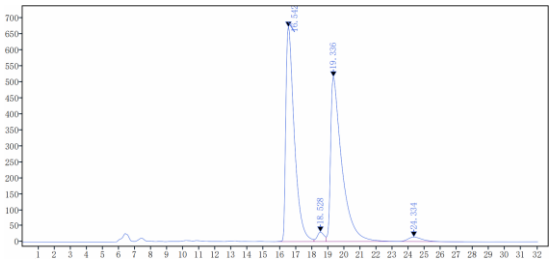
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	19.247	BV	2.3828	21000.3824	581.6891	43.6797
	21.224	VV	1.7667	3125.9161	73.7046	6.5017
	23.519	VM m	0.7922	21257.4153	398.5769	44.2143
	27.658	BM m	0.9914	2694.3941	41.2852	5.6042

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	18.834	BM m	0.5530	45543.4099	1207.6368	96.3157
	23.607	BB	3.0033	1742.1355	33.1684	3.6843

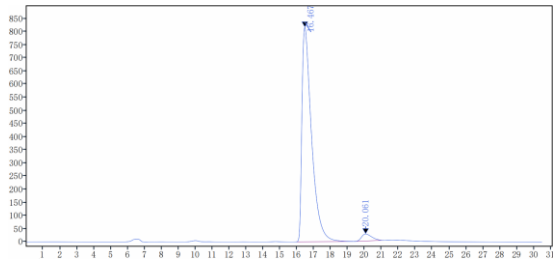
tert-butyl (2S,3S)-3-(furan-2-yl)-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4af):



White solid (59.5 mg, 76%); m.p. = 135-138 °C; R_f = 0.24 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 93% by HPLC analysis on Daicel Chirapak IB column (hexane/isopropanol = 80/20, flow rate 0.5 mL/min, T = 30 °C), UV 254 nm, t_R(major) 16.467 min, t_R(minor) 20.061 min; $[\alpha]_D^{25}$ = +39.62 (c = 0.55, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.15 (d, *J* = 7.9 Hz, 1H), 7.63 – 7.58 (m, 1H), 7.57 – 7.50 (m, 2H), 7.38 (d, *J* = 1.1 Hz, 1H), 6.36 – 6.32 (m, 1H), 6.23 (s, 2H), 6.21 (d, *J* = 3.2 Hz, 1H), 5.00 – 4.91 (m, 1H), 4.03 – 3.93 (m, 1H), 3.53 – 3.43 (m, 1H), 3.35 – 3.24 (m, 1H), 1.51 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 176.09, 170.38, 154.07, 141.84, 141.15, 133.21, 132.08, 129.97, 129.16, 128.52, 110.47, 106.17, 82.61, 80.07, 45.07, 39.96, 28.03; **HRMS(ESI)**: calcd. for $C_{19}H_{23}Cl_2N_2O_4S$ $(M+H)^+$: 391.1322, found: 391.1317.

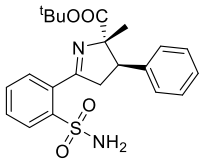


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	16.542	BV	2.5297	24709.3550	671.1692	47.9520
	18.528	VV	0.7526	878.1429	29.4799	1.7042
	19.336	VB	4.4338	25078.8316	515.3605	48.6690
	24.334	BB	4.1067	863.0523	13.8608	1.6749

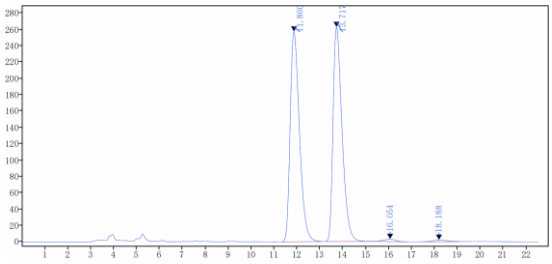


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	16.467	BB	3.4372	32343.9845	816.0845	96.6712
	20.061	BB	1.7170	1113.7439	26.5519	3.3288

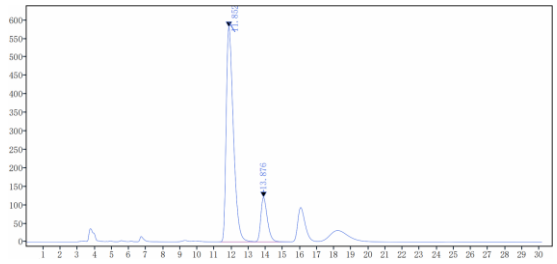
tert-butyl (2S,3R)-2-methyl-3-phenyl-5-(2-sulfamoylphenyl)-3,4-dihydro-2H-pyrrole-2-carboxylate (4ag):



White solid (50.3 mg, 61%); m.p. = 158-161 ^{o}C; R_f = 0.18 (petroleum ether/ethyl acetate = 3:1); the enantiomeric excess was determined to be 68% by HPLC analysis on Daicel Chirapak IE column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 11.852 min, t_R(minor) 13.876 min; $[\alpha]_D^{25}$ = -15.79 (c = 0.33, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (d, *J* = 7.8 Hz, 1H), 7.63 (t, *J* = 7.4 Hz, 1H), 7.60 – 7.50 (m, 2H), 7.37 – 7.24 (m, 5H), 6.29 (s, 2H), 4.10 (t, *J* = 8.8 Hz, 1H), 3.54 (dd, *J* = 17.6, 9.1 Hz, 1H), 3.39 (dd, *J* = 17.6, 8.6 Hz, 1H), 1.53 (s, 9H), 1.16 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 174.72, 173.45, 141.06, 138.55, 133.54, 132.12, 129.89, 129.24, 128.77, 128.44, 128.35, 127.22, 83.18, 82.16, 49.57, 45.23, 28.02, 20.38; **HRMS(ESI)**: calcd. for $C_{22}H_{27}N_2O_4S$ $(M+H)^+$: 415.1686, found: 455.1685.

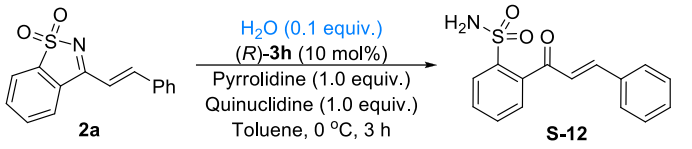


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.860	BB	1.9287	7209.1286	256.9269	49.4891
	13.717	BB	2.1317	7151.6826	262.1531	49.0947
	16.054	BB	1.5467	109.0736	2.9406	0.7488
	18.188	BB	2.4017	97.2191	2.0364	0.6674

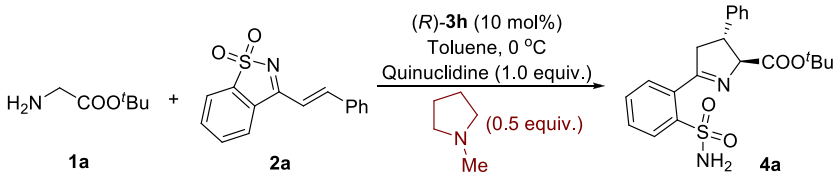


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.852	BV	2.1150	16917.8139	580.6177	84.0597
	13.876	VB	2.0550	3208.1386	120.0925	15.9403

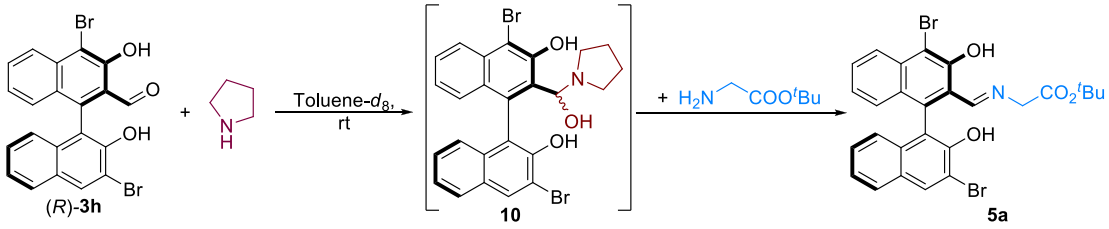
5. Control Experiment



Stability experiment of 2a. Add **2a** (52.8 mg, 0.2 mmol), H_2O (3.6 uL, 0.02 mmol), (*R*)-**3h** (9.4 mg, 0.02 mmol), pyrrolidine (7.1 mg, 0.1 mmol), quinuclidine (22.2 mg, 0.2 mmol), and toluene (2.0 mL) to a dry and clean tube containing a magnetic stir bar. The control experiment was stopped after stirring for 3 h at 0 °C, and then the remaining **2a** was isolated by flash chromatography on silica gel with a recovered yield of 69%.

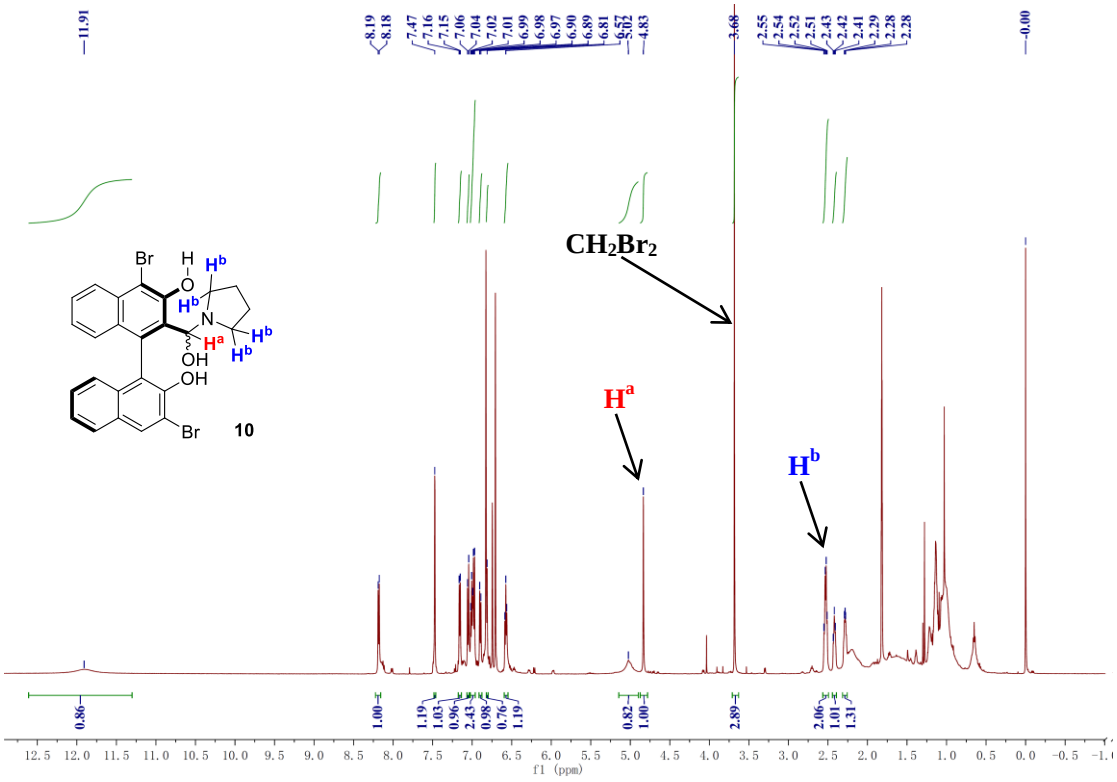


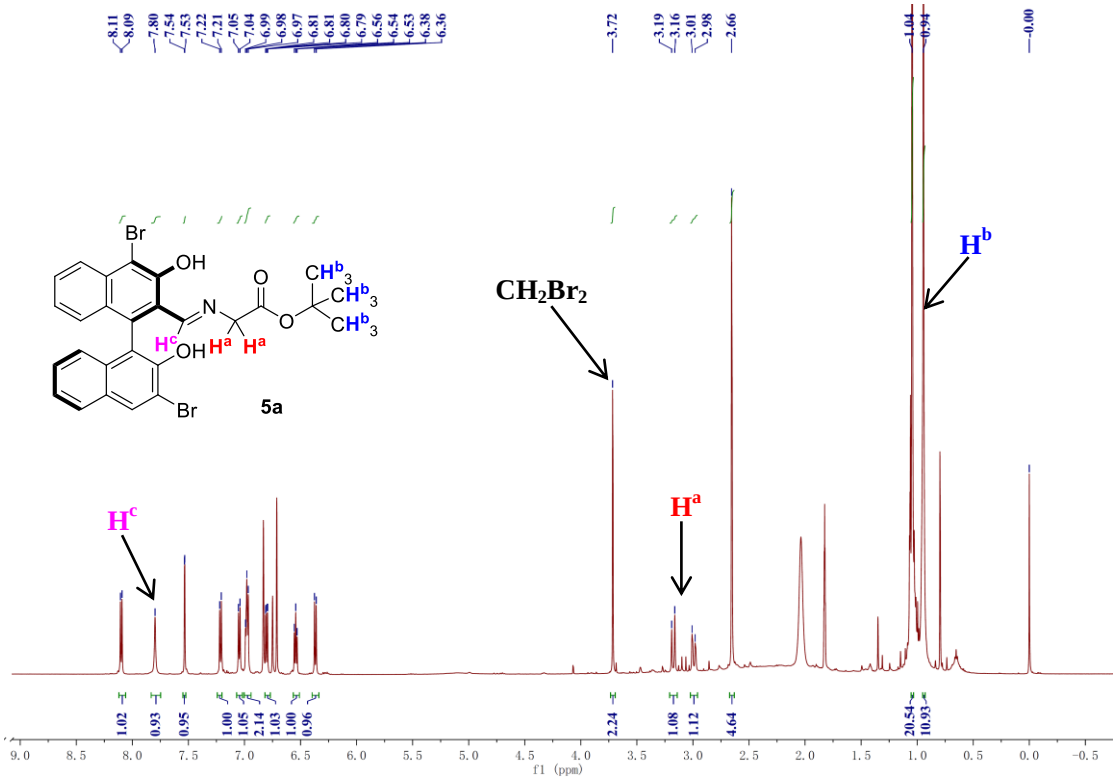
The experiment of replacing pyrrolidine with *N*-methylpyrrolidine. Add **1a** (39.3 mg, 0.3 mmol), **2a** (52.8 mg, 0.2 mmol), (*R*)-**3h** (9.4 mg, 0.02 mmol), quinuclidine (22.2 mg, 0.2 mmol), *N*-methylpyrrolidine (8.5 mg, 0.1 mmol) and toluene (2.0 mL) to a dry and clean tube containing a magnetic stir bar. The reaction mixture was stirred at 0 °C for 24 h, after the solvent was removed under vacuum, and the residue was purified by flash chromatography column on silica gel to give **4a** in 35% yield with 95% ee and 95:5 dr.

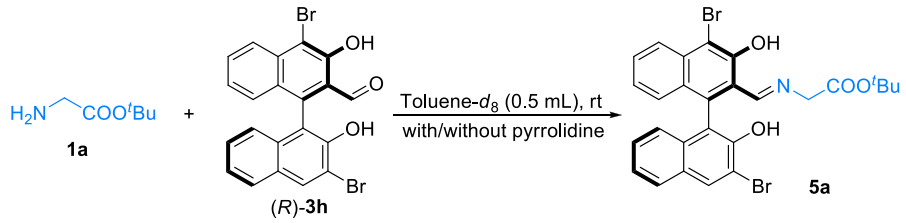


The reaction of (*R*)-3h and pyrrolidine. Add (*R*)-**3h** (9.4 mg, 0.02 mmol), pyrrolidine (2.1 mg, 0.03 mmol), CH_2Br_2 (3.5 mg, 0.02 mmol) and toluene-d_8 (0.5 mL) to a dry and clean NMR tube. After shaking the mixture several times at room temperature, the yield of **10** was immediately determined by ^{1}H NMR using CH_2Br_2 as an internal standard to be 69%.

The reaction of 10 and *tert*-butyl glycine ester (1a). Subsequently, add *tert*-butyl glycine ester (7.9 mg, 0.06 mmol) to the aforementioned NMR tube. After shaking the mixture several times at room temperature, the yield of **5a** was immediately determined by ^{1}H NMR using CH_2Br_2 as an internal standard to be 89%.

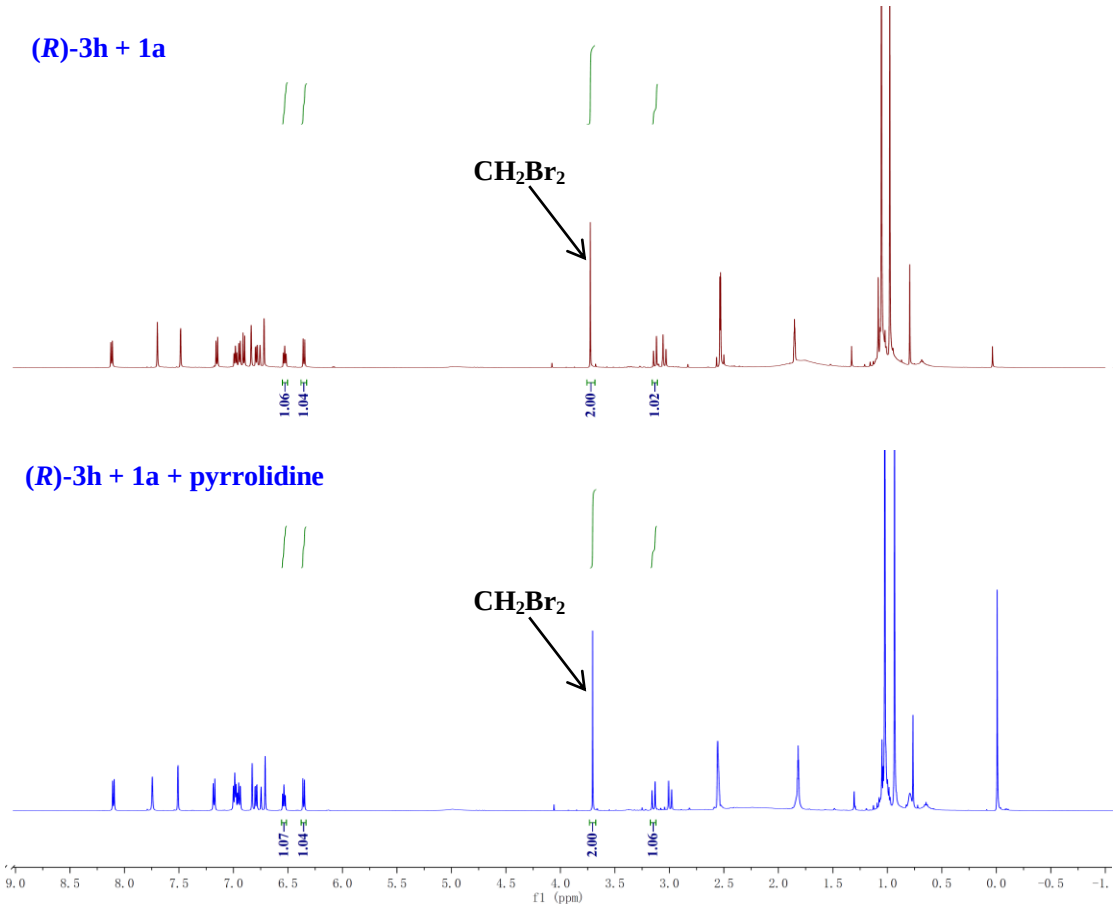

CH2Br2
Ha
Hb
10

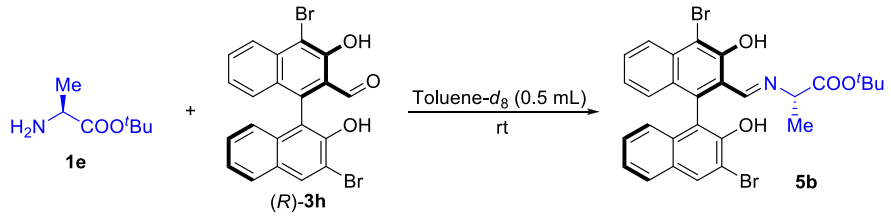

CH2Br2
Ha
Hb
Hc
5a



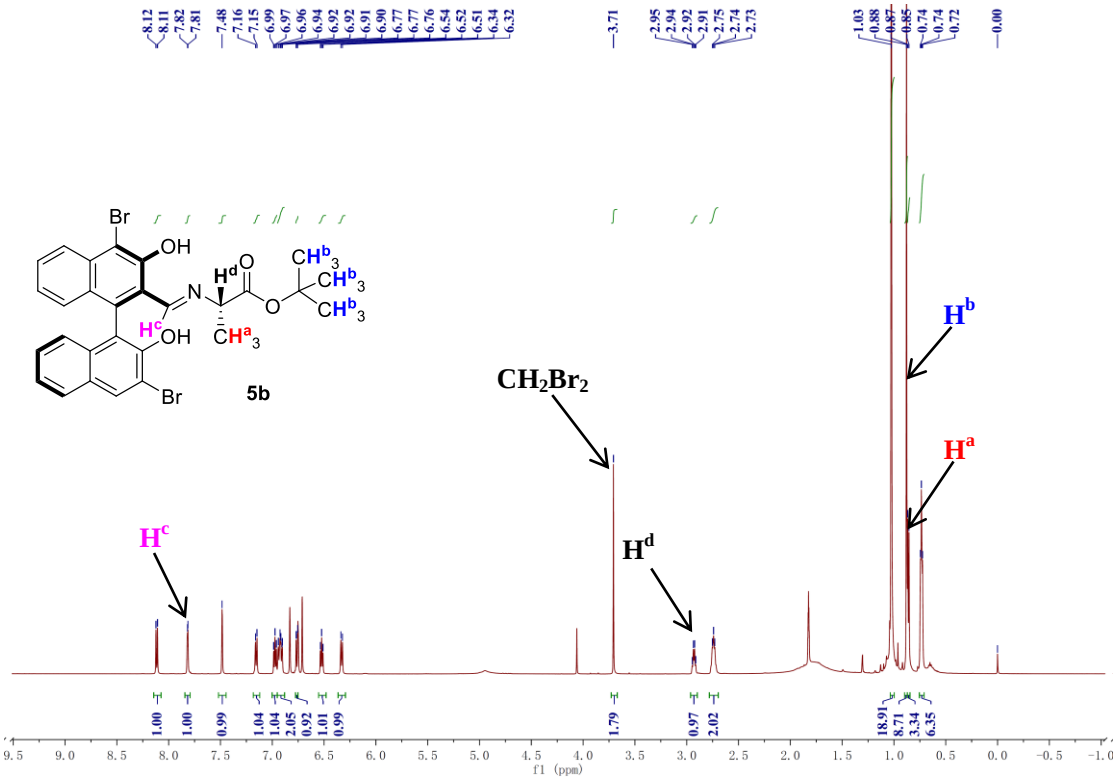
The reaction of (*R*)-3h and 1a with and without pyrrolidine. Add (*R*)-**3h** (9.4 mg, 0.02 mmol), **1a** (8.7 mg, 0.06 mmol), CH_2Br_2 (3.5 mg, 0.02 mmol), pyrrolidine (0.7 mg, 0.01 mmol) and toluene-d_8 (0.5 mL) to a dry and clean NMR tube. After shaking the mixture several times at room temperature, the yield of **5a** was immediately determined by ^{1}H NMR using CH_2Br_2 as an internal standard.

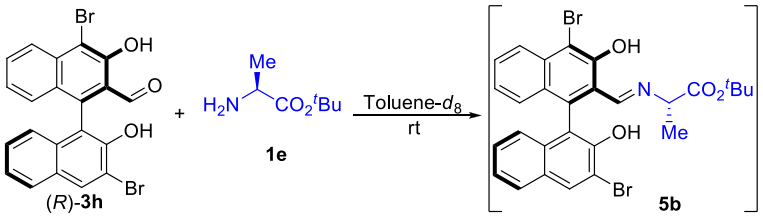
Following the above procedure, the reaction of (*R*)-**3h** and **1a** was carried out in the absence of pyrrolidine, and the yield of **5a** was immediately determined by ^{1}H NMR using CH_2Br_2 as an internal standard.

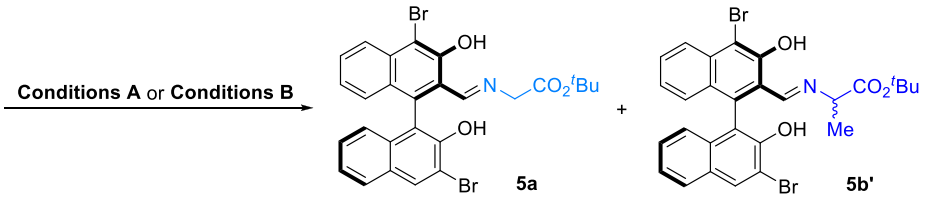




The reaction of (*R*)-3h and 1e. Add (*R*)-**3h** (9.4 mg, 0.02 mmol), **1e** (8.7 mg, 0.06 mmol), CH_2Br_2 (3.5 mg, 0.02 mmol) and toluene-d_8 (0.5 mL) to a dry and clean NMR tube. After shaking the mixture several times at room temperature, the yield of **5b** was immediately determined by ^{1}H NMR using CH_2Br_2 as an internal standard.



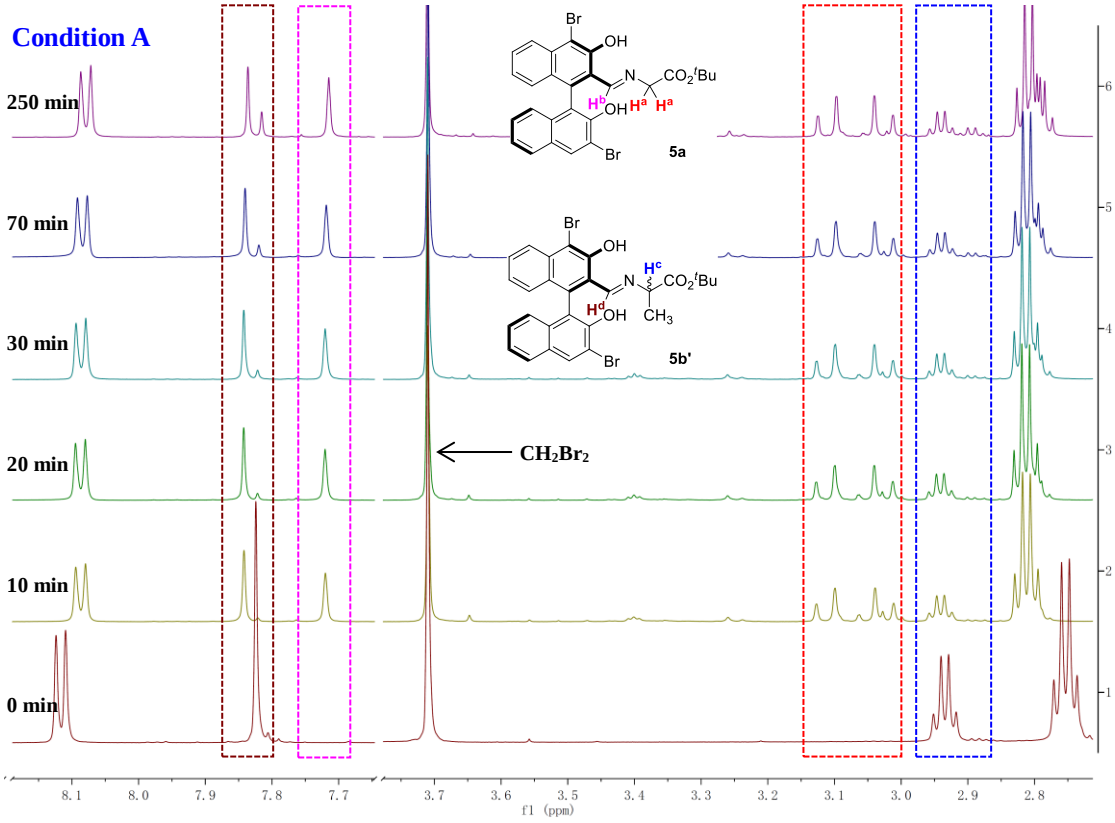




Monitoring the amine exchange reaction of 1a and 5b. Add (*R*)-**3h** (14.2 mg, 0.03 mmol), **1e** (13.1 mg, 0.09 mmol), CH_2Br_2 (5.2 mg, 0.03 mmol) and toluene-d_8 (0.75 mL) to a dry and clean tube containing a magnetic stir bar and stir at room temperature for 5 min. Then proceed with the subsequent reaction according to conditions **A** or **B**.

Condition A: Add **1a** (11.8 mg, 0.09 mmol) to the above mixture and stir at room temperature. The reaction was stopped at 10, 20, 30, 70 or 250 min, and then the yield of **5a** and the de of **5b'** were determined by ^{1}H NMR using CH_2Br_2 as an internal standard.

Condition B: Add **1a** (11.8 mg, 0.09 mmol) and pyrrolidine (1.1 mg, 0.015 mmol) to the above mixture and stir at room temperature. The reaction was stopped at 10, 20, 30, 70 or 250 min, and then the yield of **5a** and the de of **5b'** were determined by ^{1}H NMR using CH_2Br_2 as an internal standard.



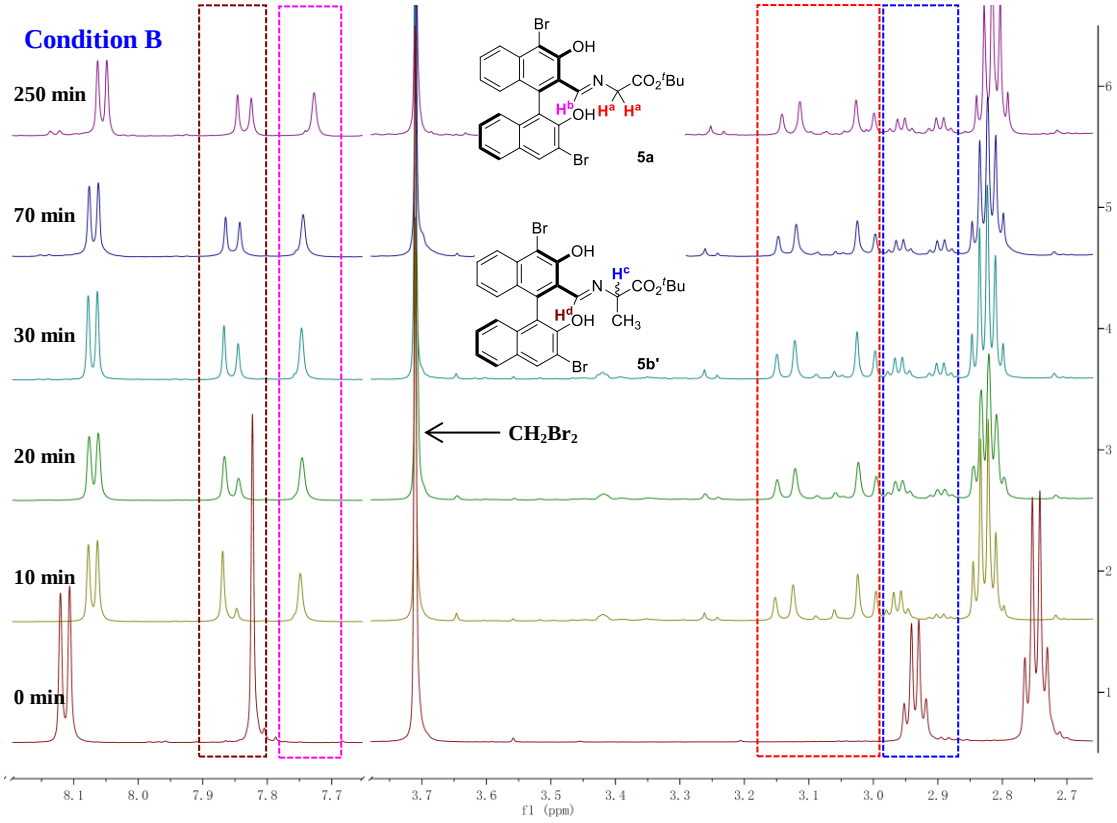
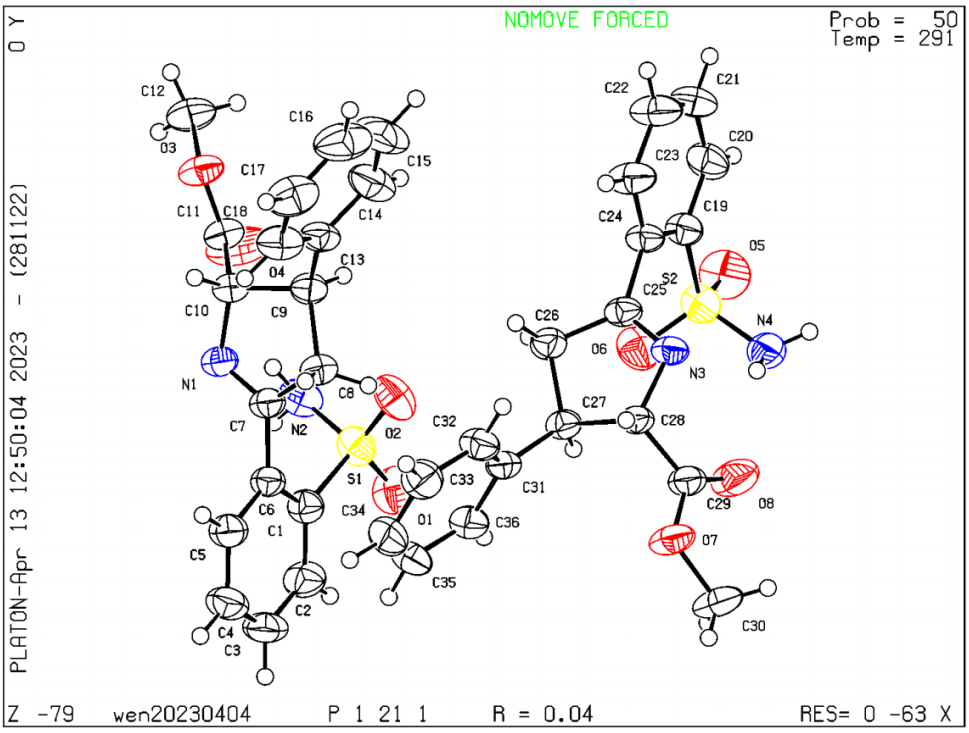


Table S4: Yields of 5a and de values of 5b' versus the reaction time

Time (min)	Yield of 5a (%)		De of 5b' (%)	
	Condition A	Condition B	Condition A	Condition B
0	0	0	92	92
10	46	43	90	66
20	47	43	84	28
30	47	44	76	14
70	50	44	62	0
250	50	43	48	0

6. X-Ray Structure of 4b

The absolute structure of **4b** was determined by X-ray diffraction analysis.



Chemical Formula	$2(C_{18}H_{18}N_2O_4S)$
Formula weight	358.40
Temperature	291 K
Wavelength	1.54184
Crystal system, space group	Monoclinic, P 1 21 1
a, Å	11.11448(15)
b, Å	7.69074(13)
c, Å	20.9891(3)
α, °	90
β, °	98.9225(14)
γ, °	90
V, Å^3	1772.41(5)
F (000)	752.0
Z, Calculated density	4, 1.343 g/cm^3

7. References

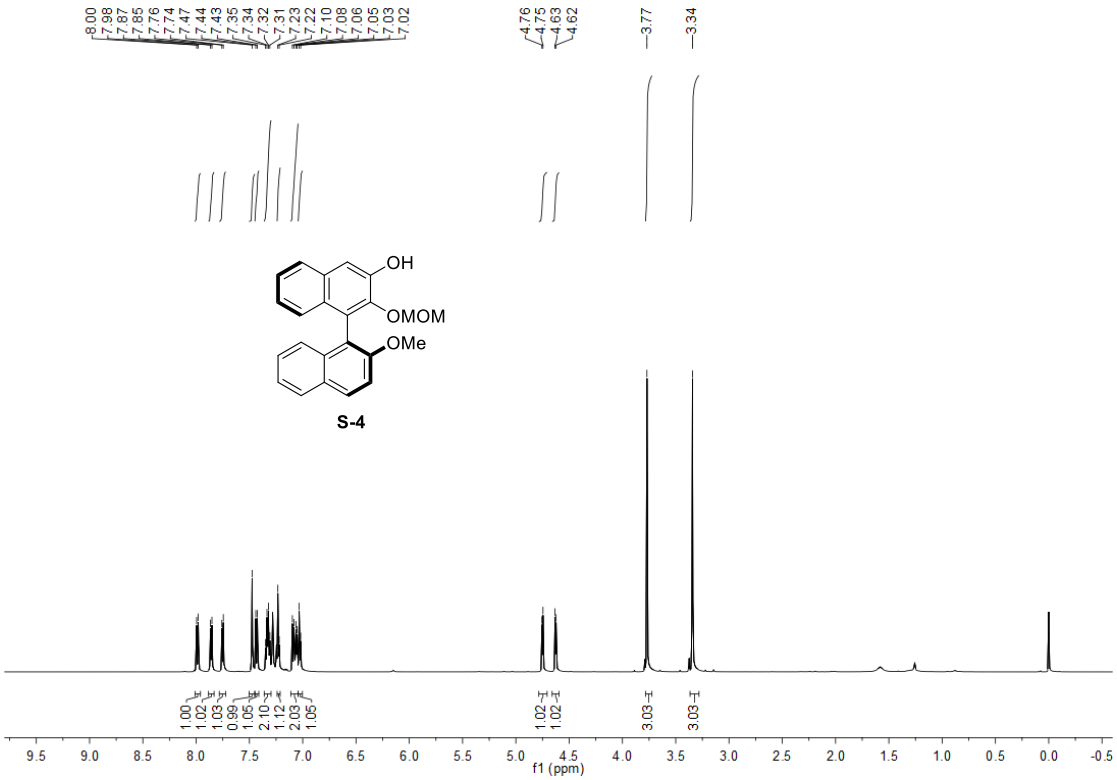
[1] Feng, X.; Zhou, Z.; Ma, C.; Yin, X.; Li, R.; Dong, L.; Chen, Y.-C. *Angew. Chem. Int. Ed*. **2013**, *52*, 14172-14176.

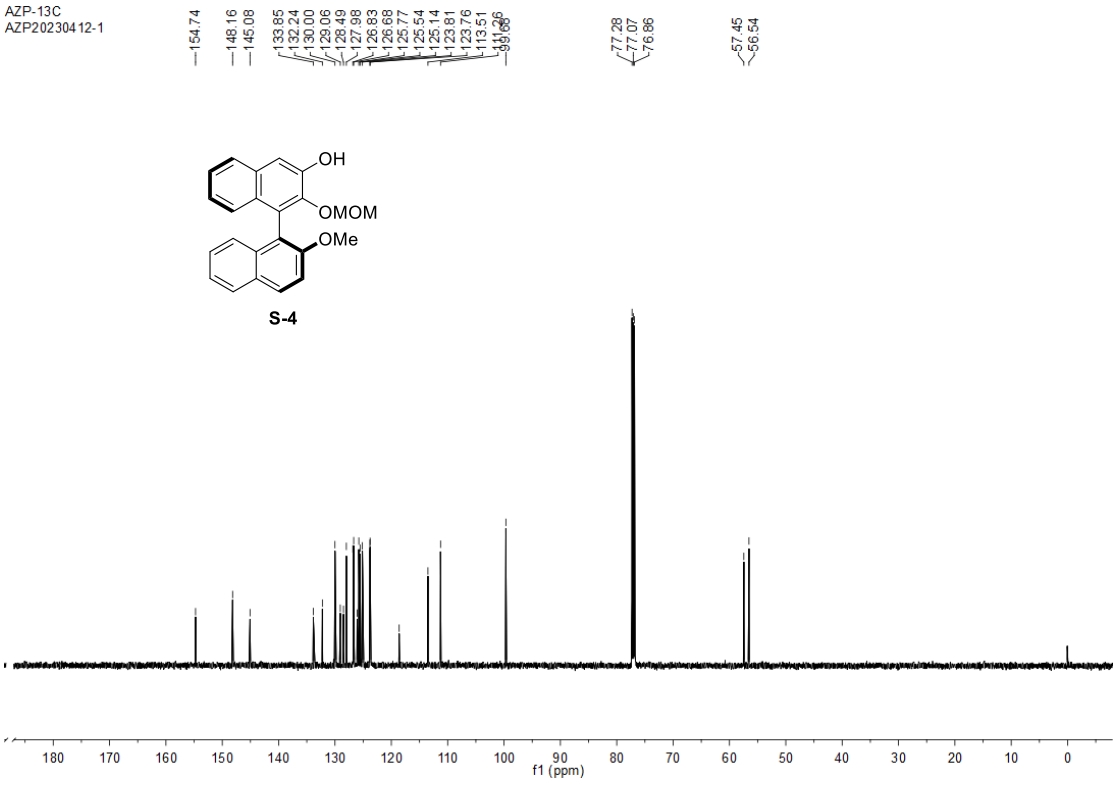
[2] Dudhe, P.; Venkatasubbaiah, K.; Pathak, B.; Chelvam, Venkatesh. *Org. Biomol. Chem*. **2020**, *18*, 1582-1587.

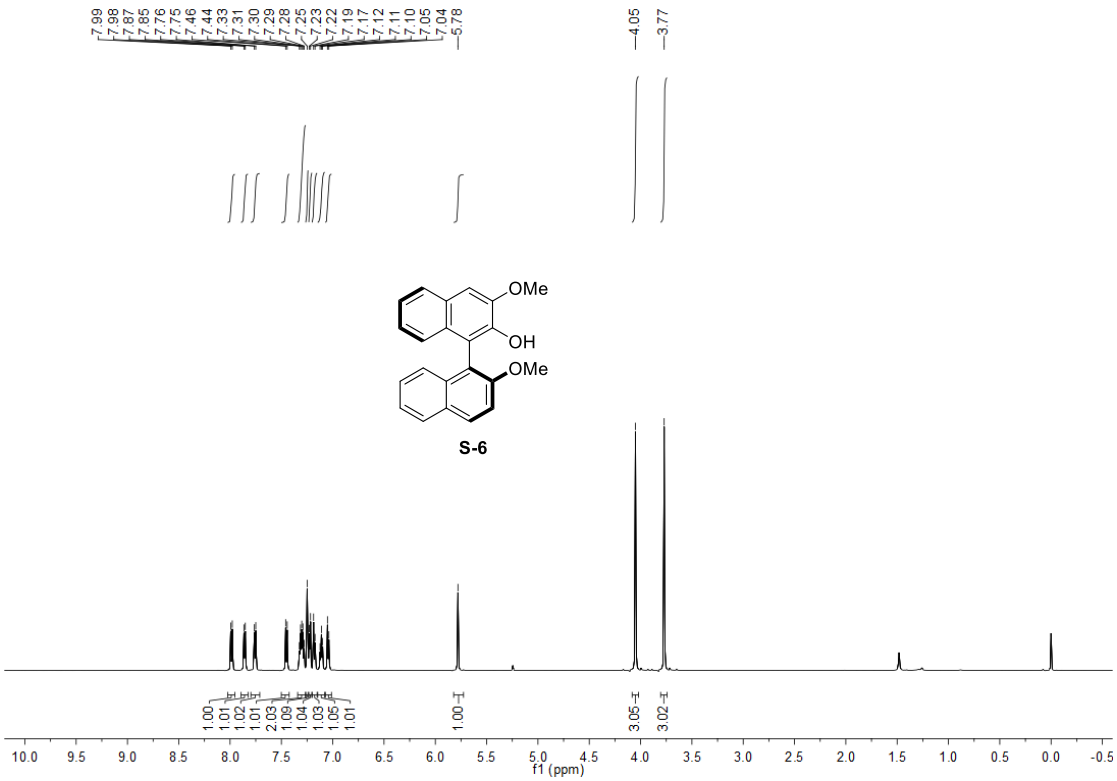
[3] J. Zhang, M. Liu, C. Li, Y.-J. Xu, L. Dong, *Org. Chem. Front.* **2020**, *7*, 420-424.

[4] W. Wen, L. Chen, M.-J. Luo, Y. Zhang, Y.-C. Chen, Q. Ouyang, Q.-X. Guo, *J. Am. Chem. Soc.* **2018**, *140*, 9774-9780.

8. The spectrums of ^{1}H NMR and ^{13}C NMR



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7.23
7.22
7.19
7.17
7.12
7.11
7.10
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7.04
5.78
4.05
3.77
OMe
OH
OMe
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1.01
1.02
1.01
2.03
1.09
1.04
1.03
1.05
1.01
1.00
3.05
3.02
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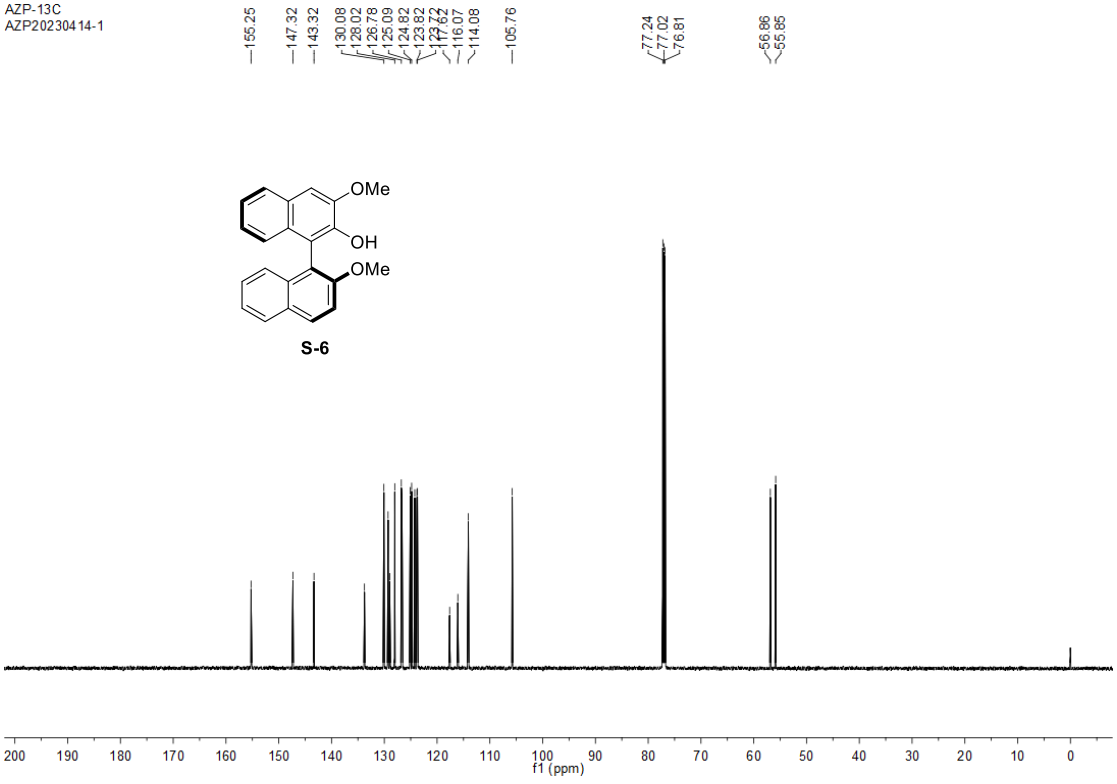
f1 (ppm)

S-6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140
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20
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S-6

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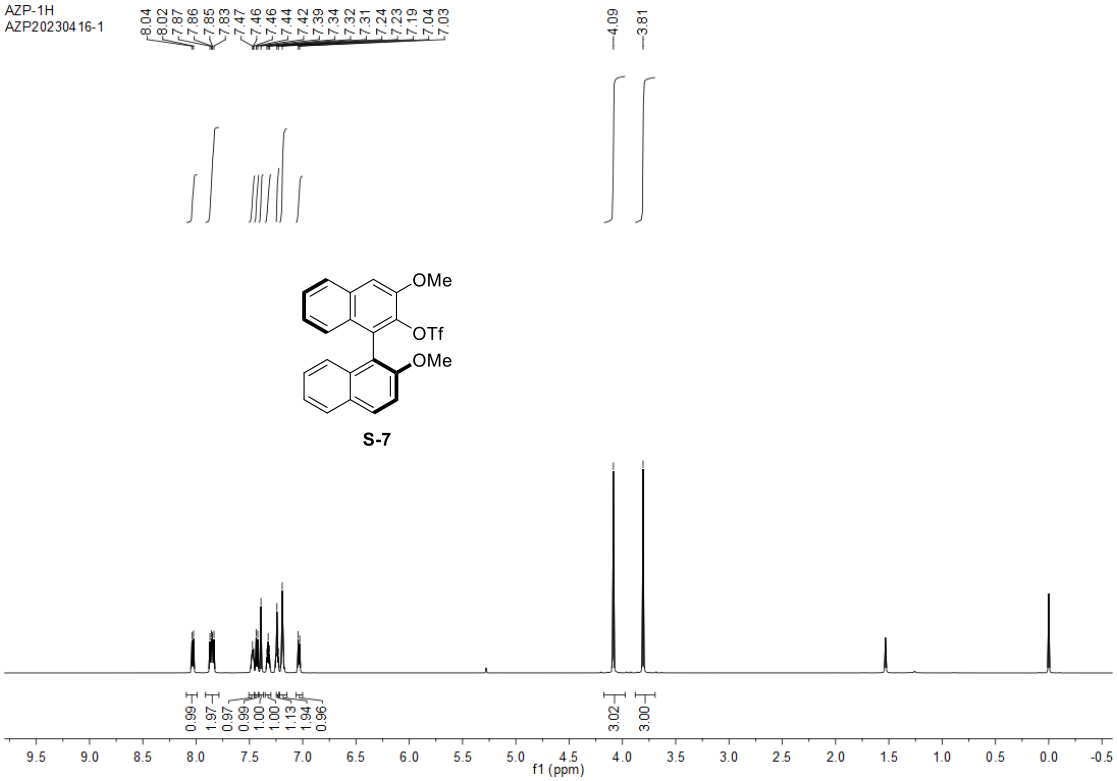

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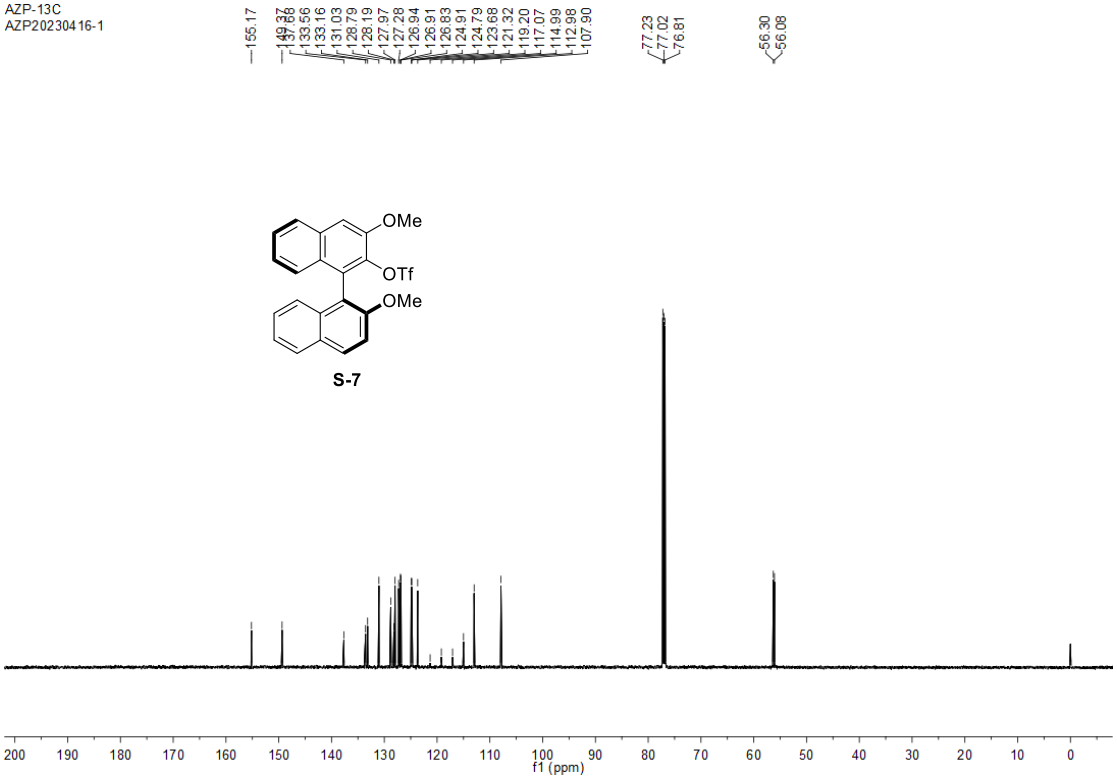

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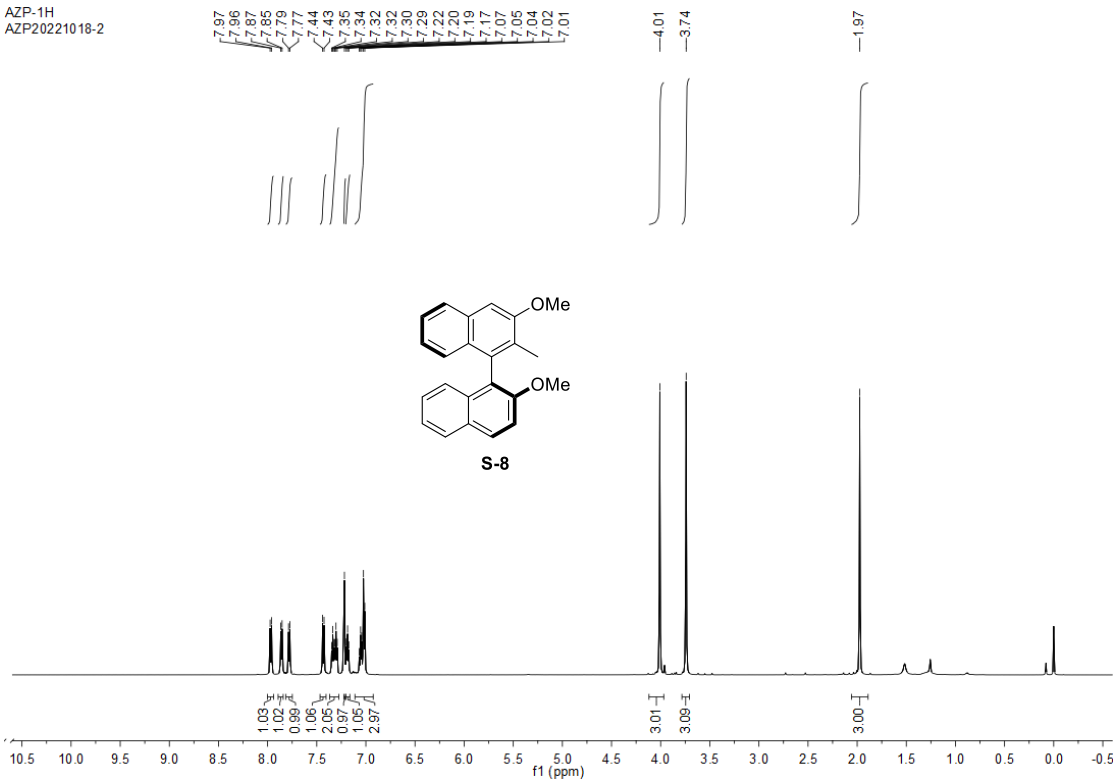

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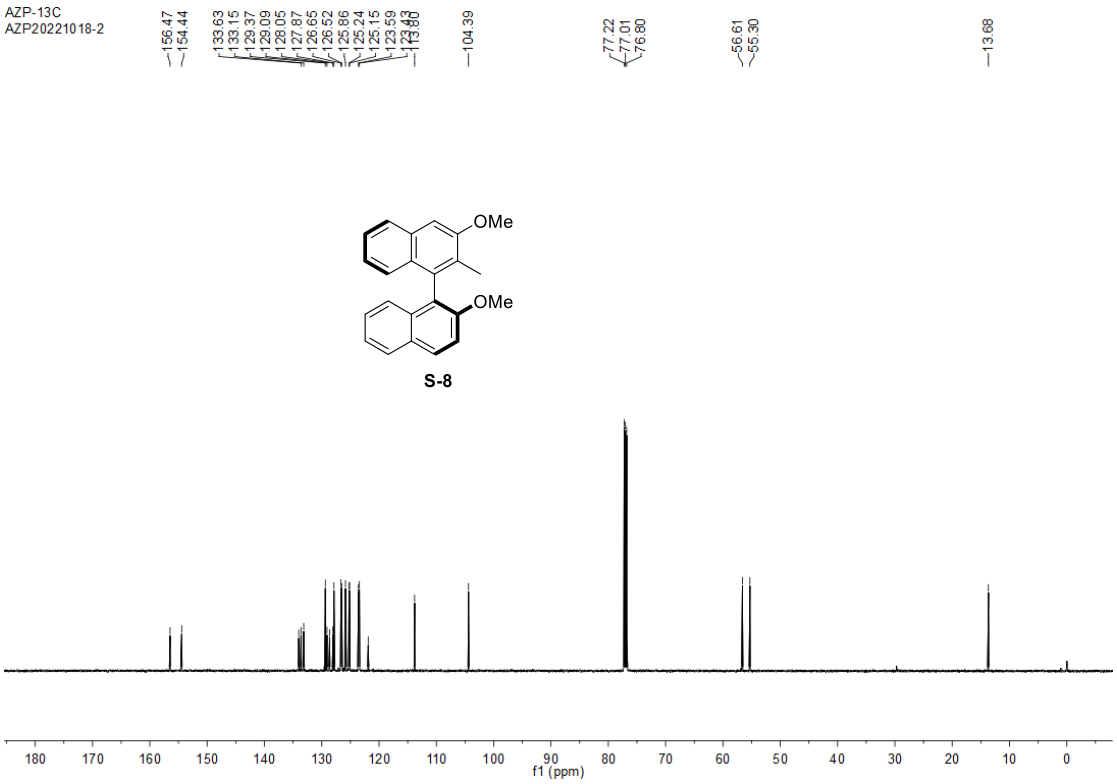

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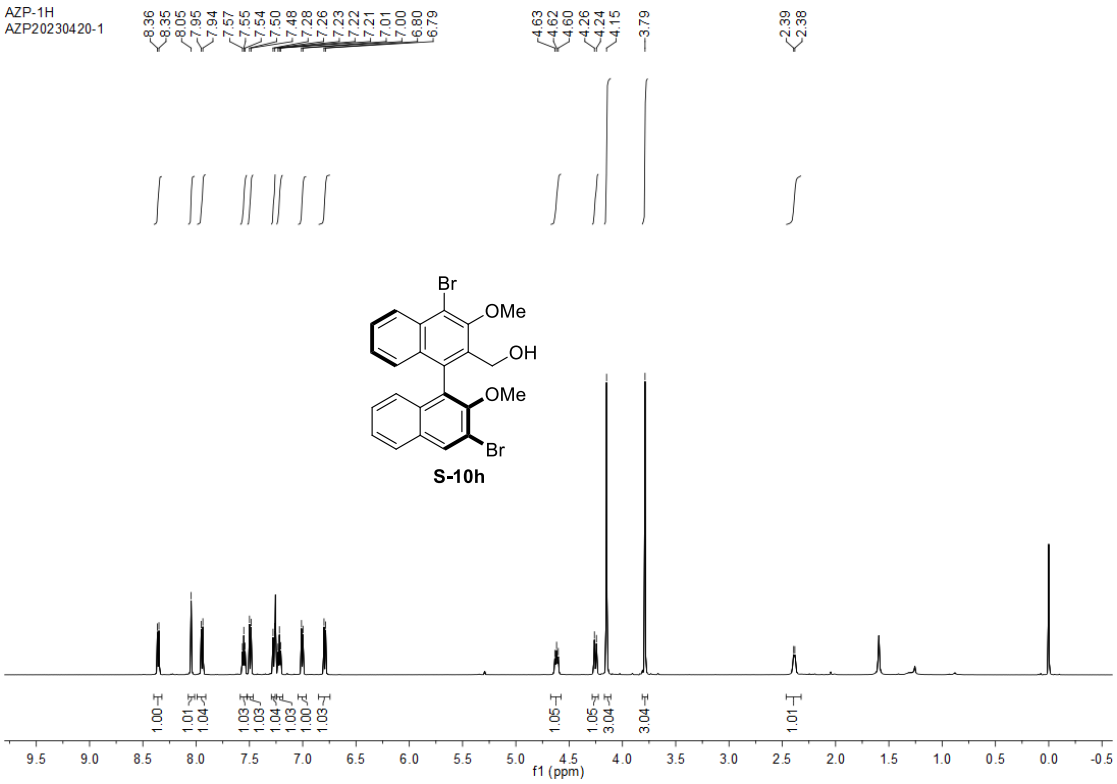
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OH
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Br
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1.03
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1.00
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1.05
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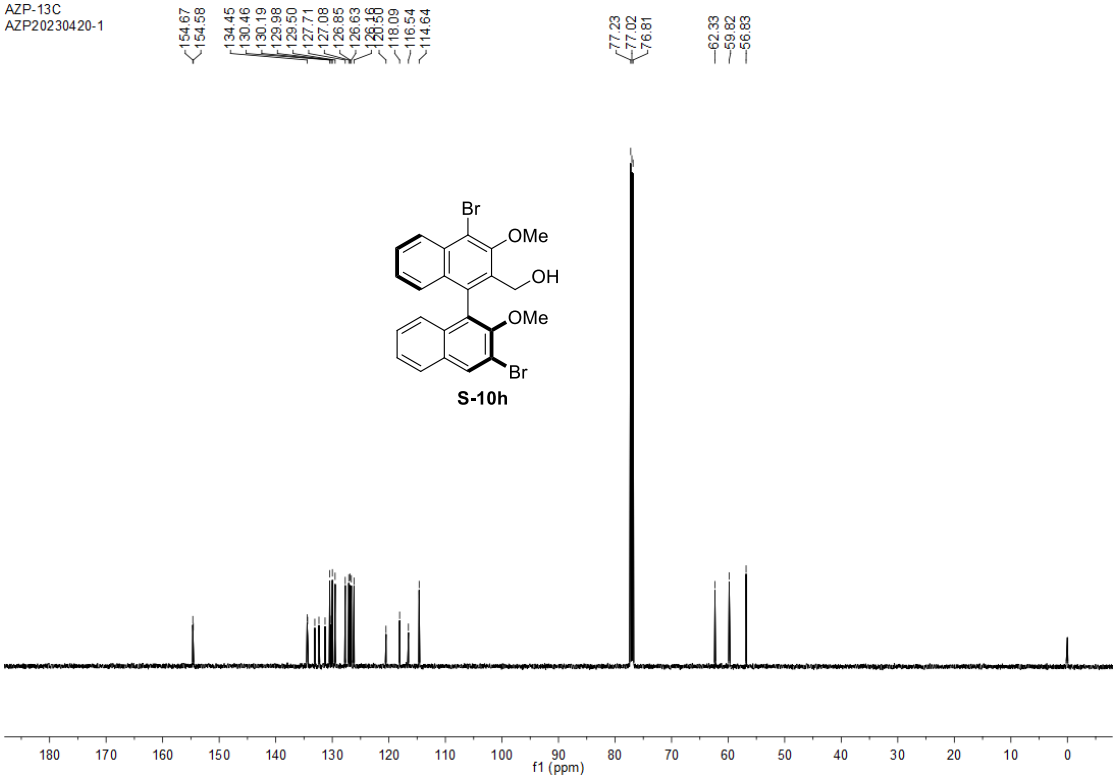
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114.64
77.23
77.02
76.81
62.33
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OH
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Br
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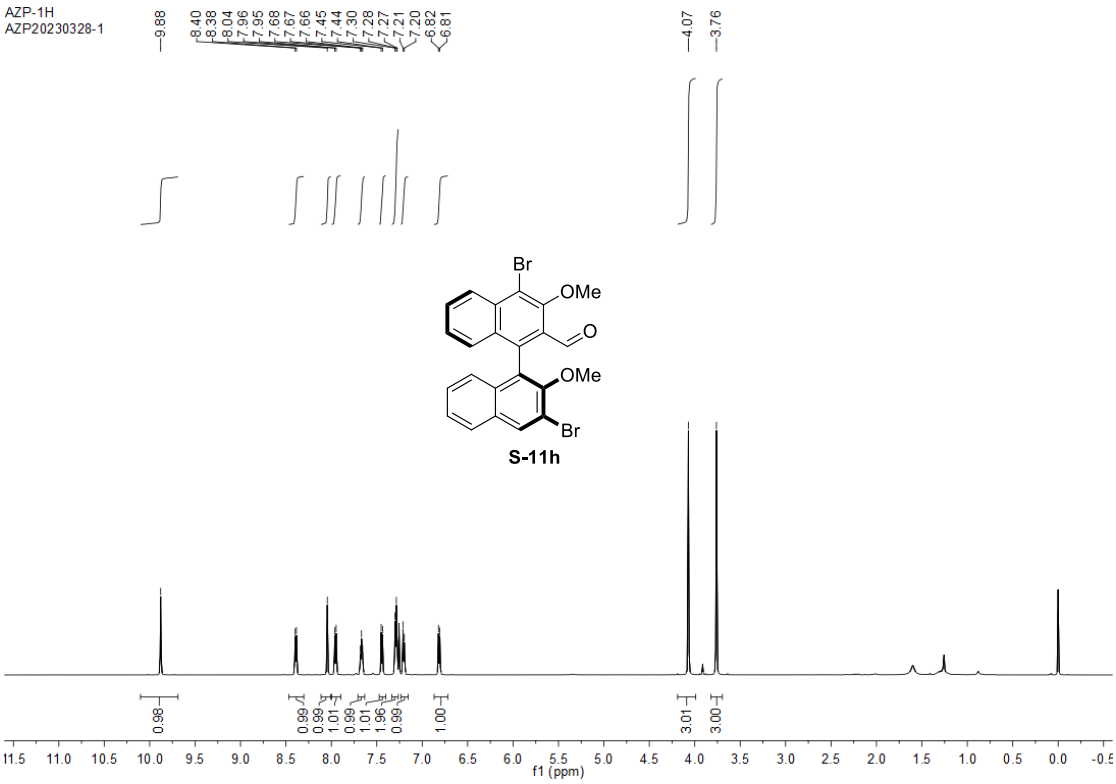
f1 (ppm)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O
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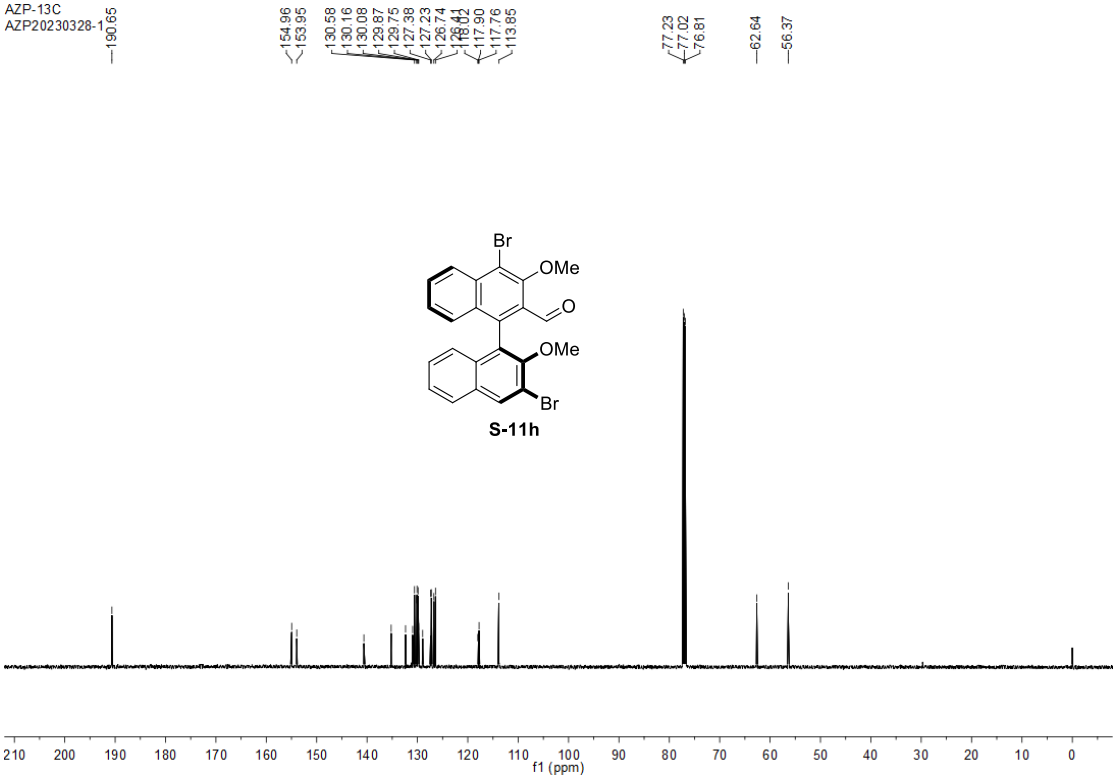
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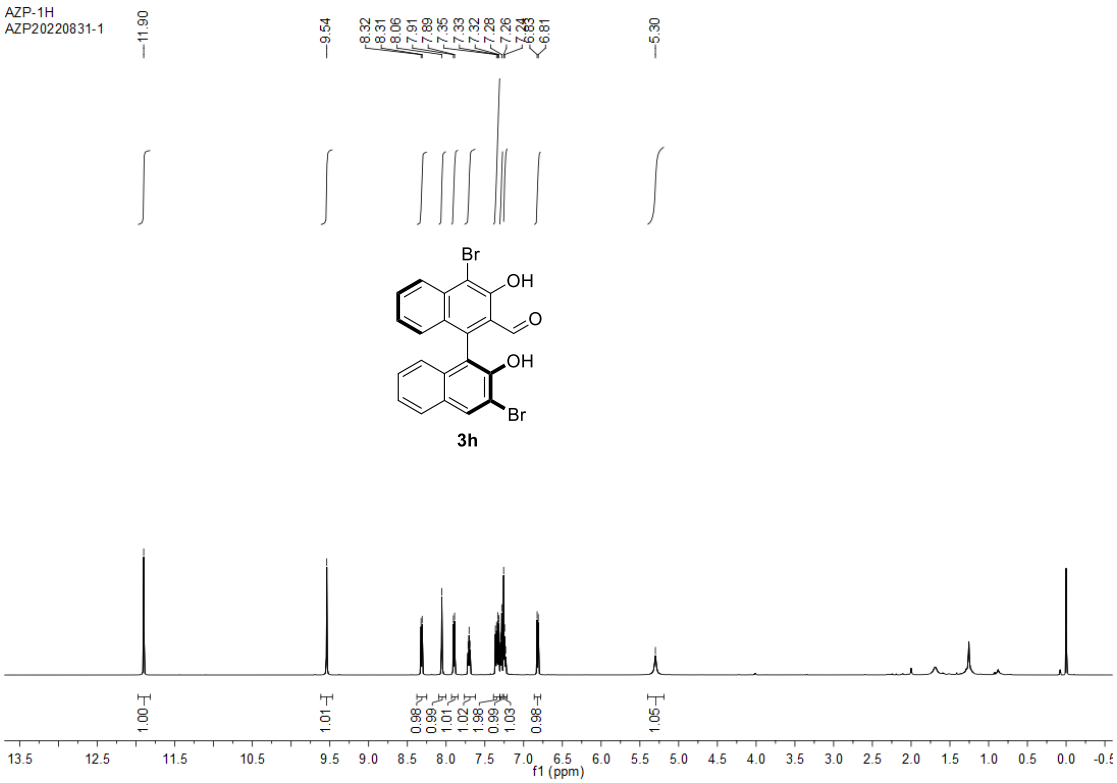
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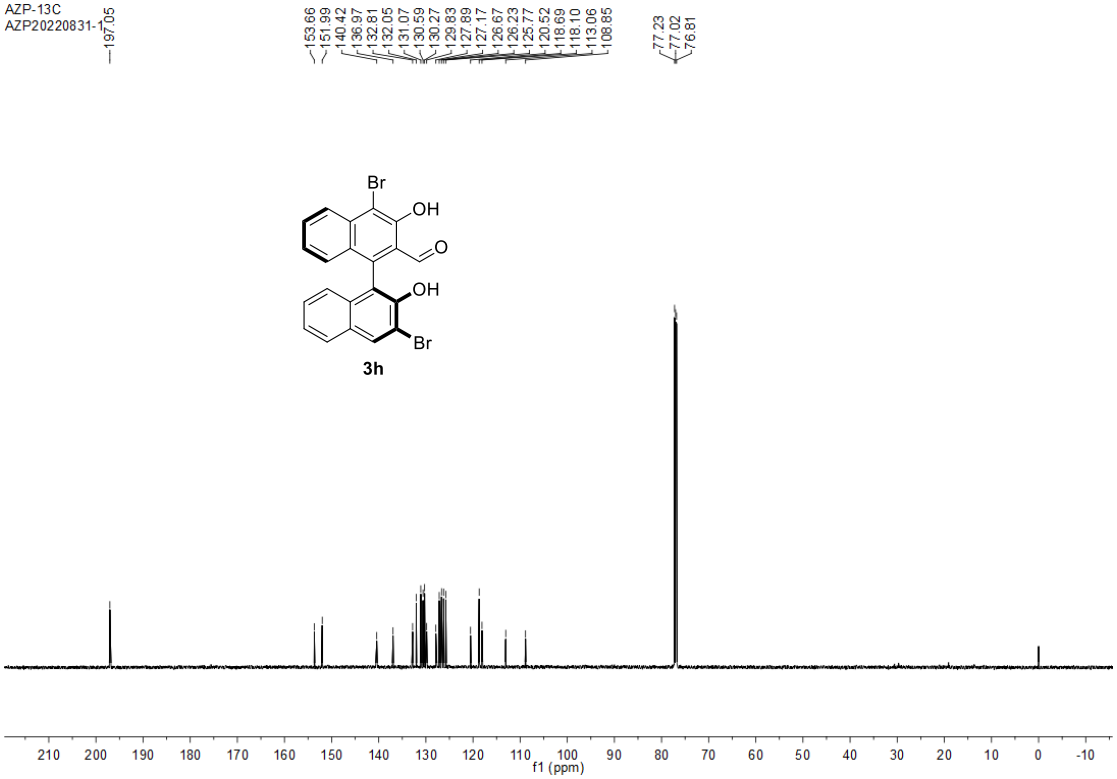
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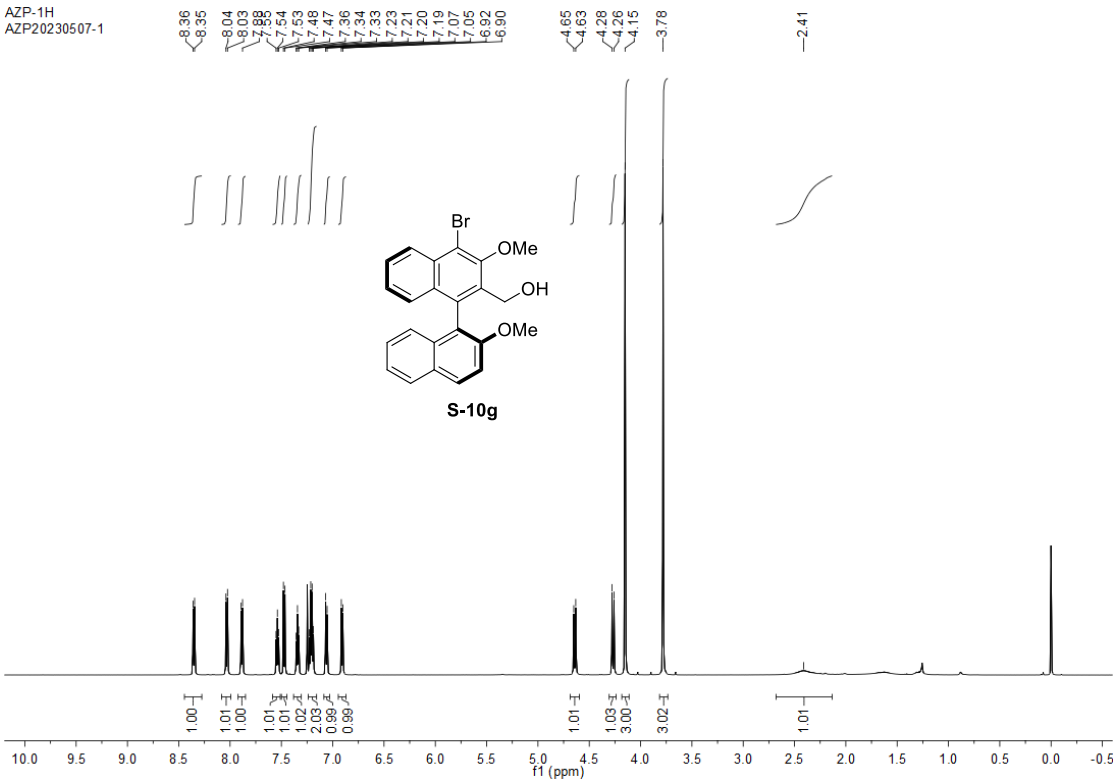
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7.33
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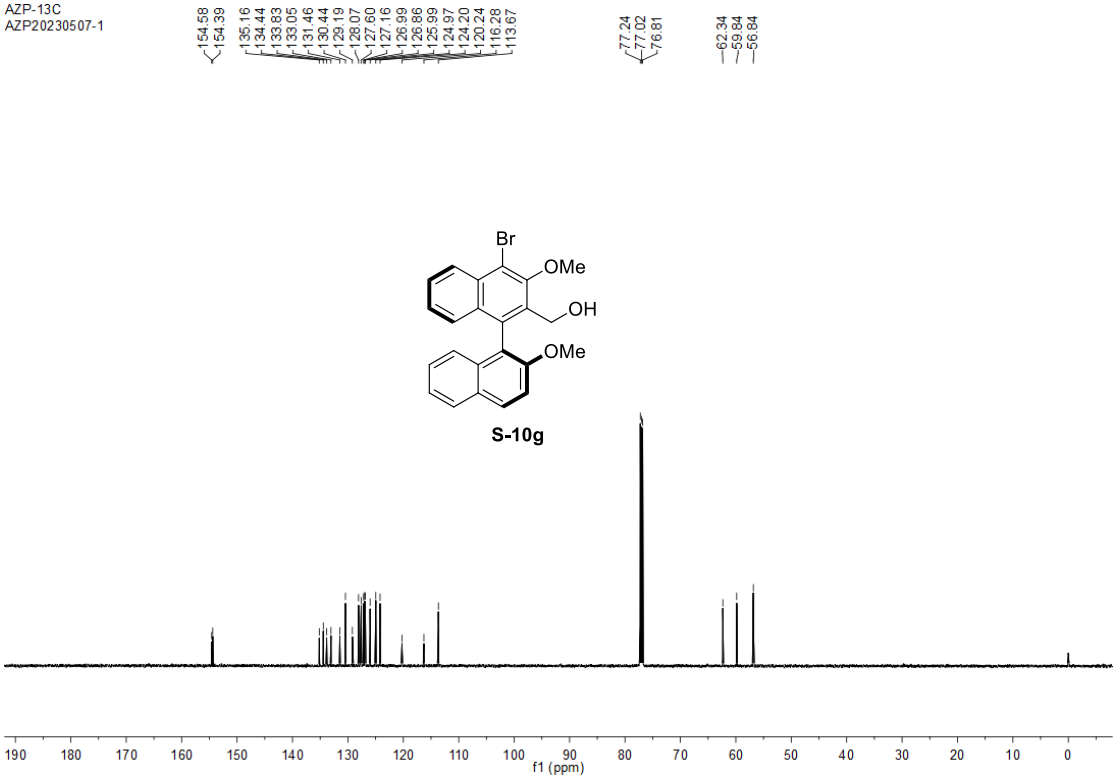
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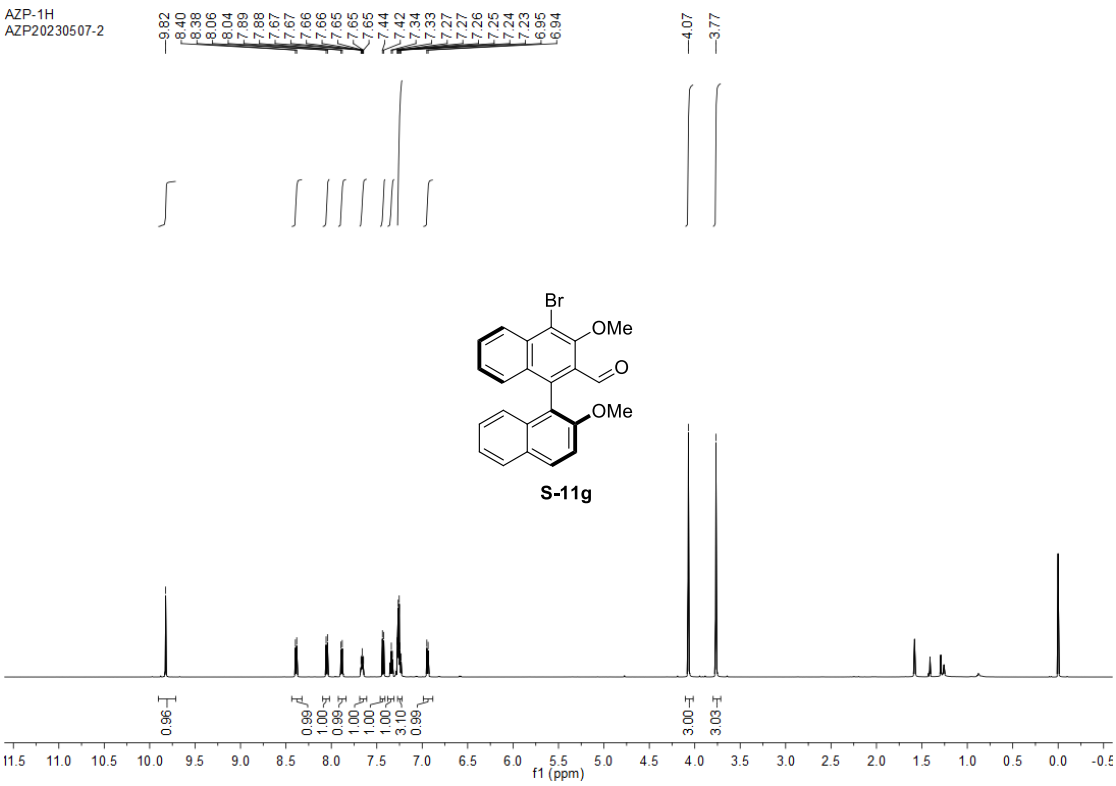
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O
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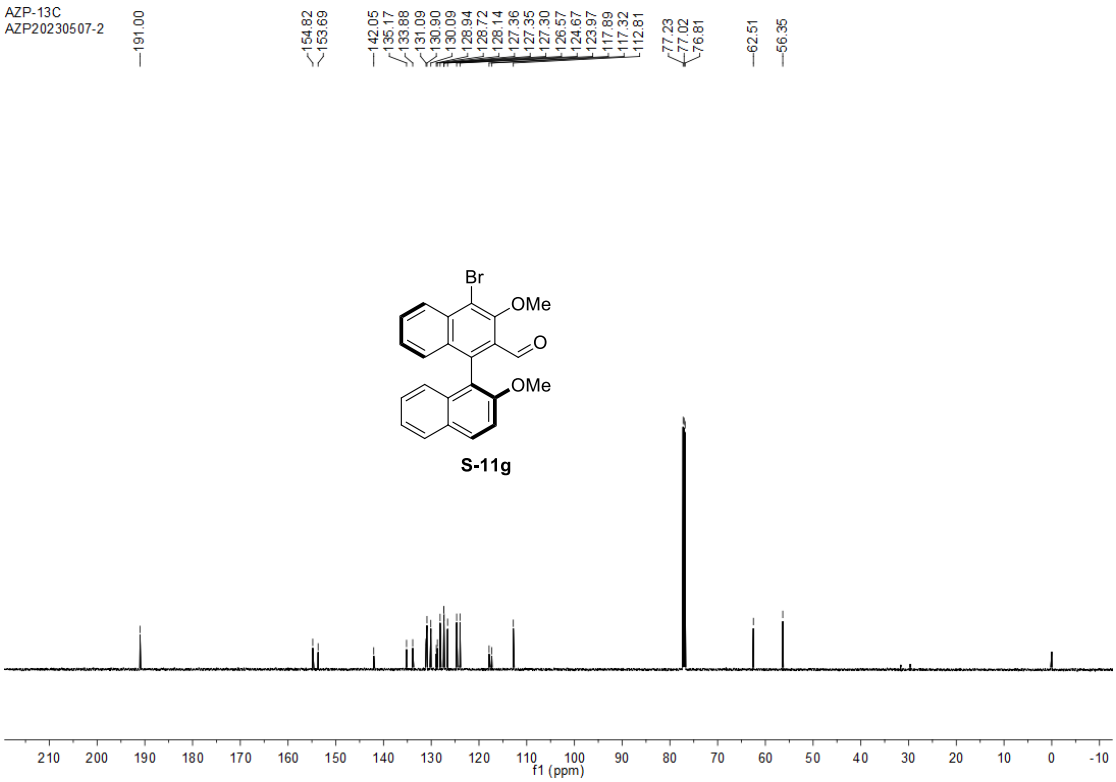
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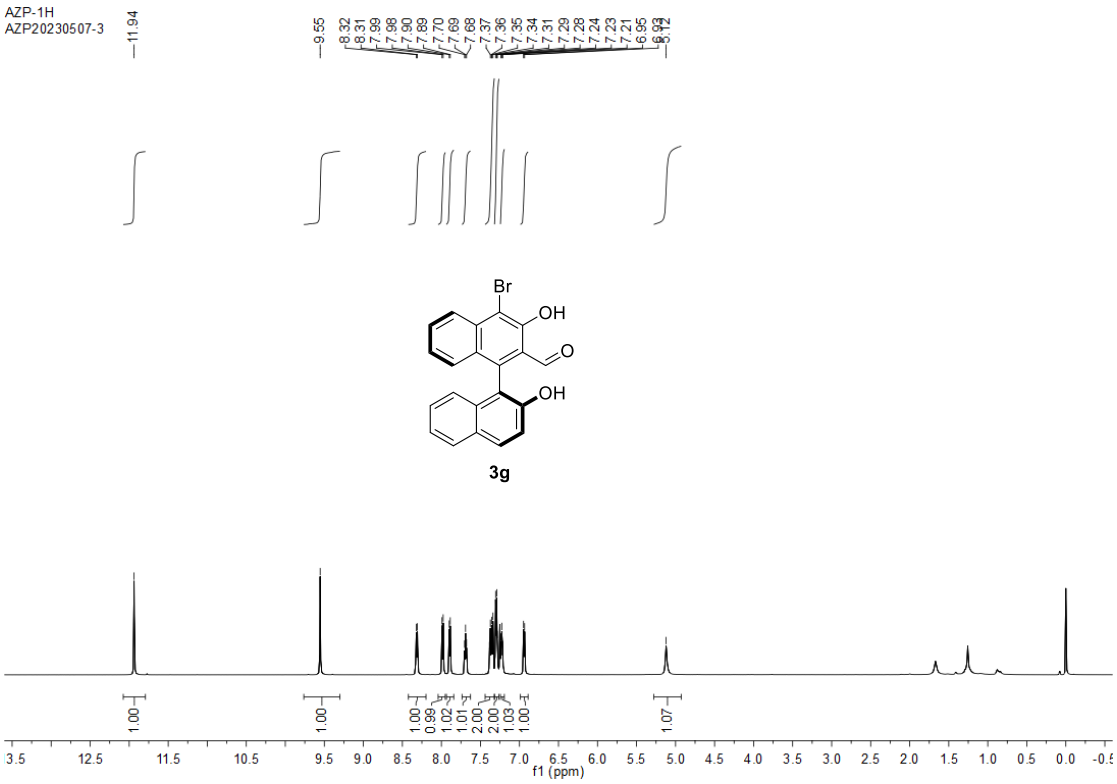
f1 (ppm)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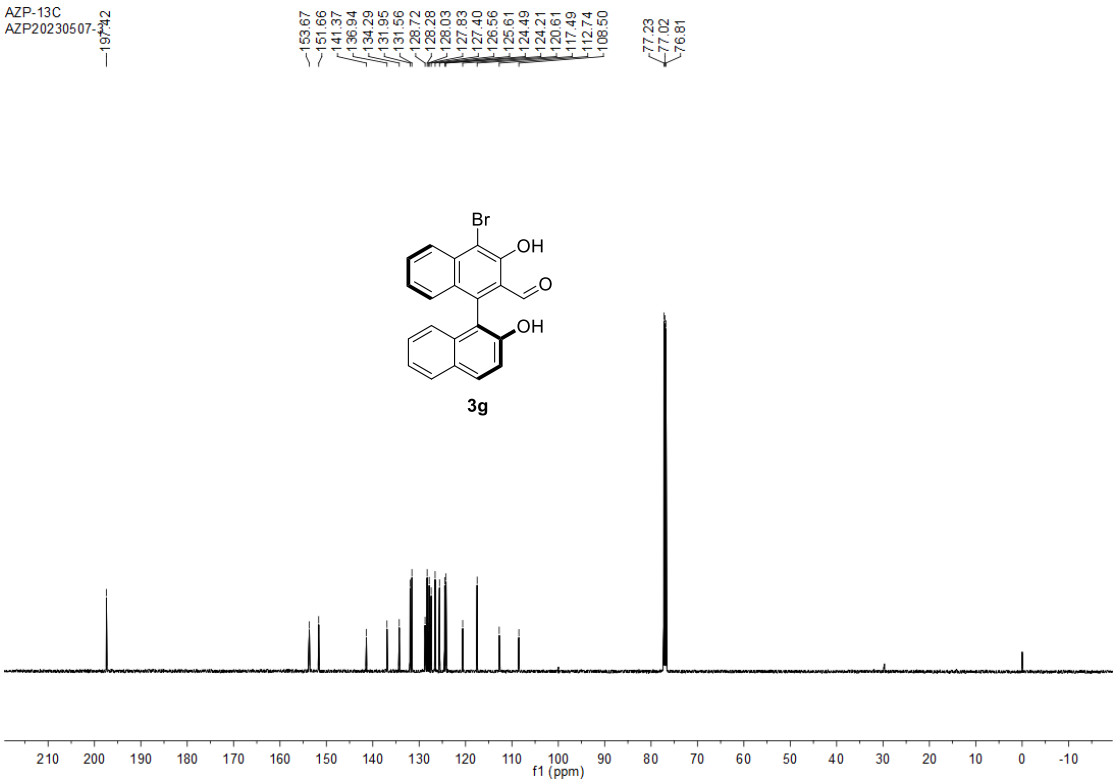
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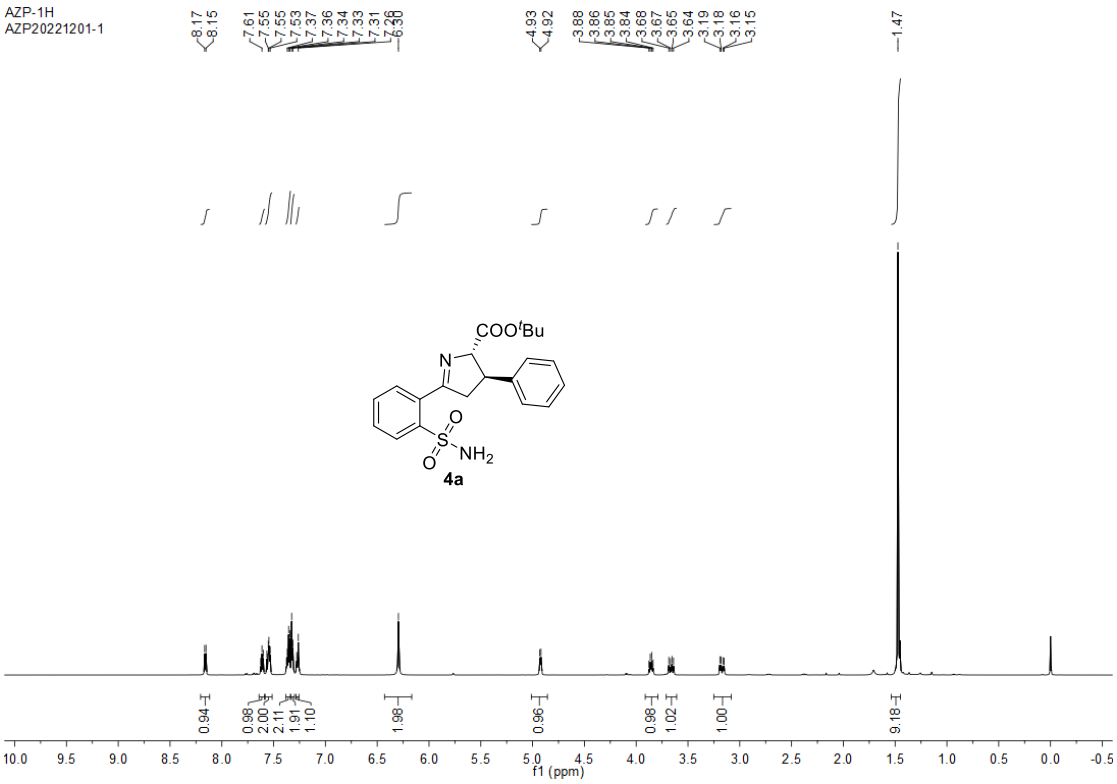
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4.92
3.88
3.86
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3.84
3.68
3.67
3.65
3.64
3.19
3.18
3.16
3.15
1.47
COO^{t}Bu
N
O
S
O
NH$_2$
4a
0.94
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1.91
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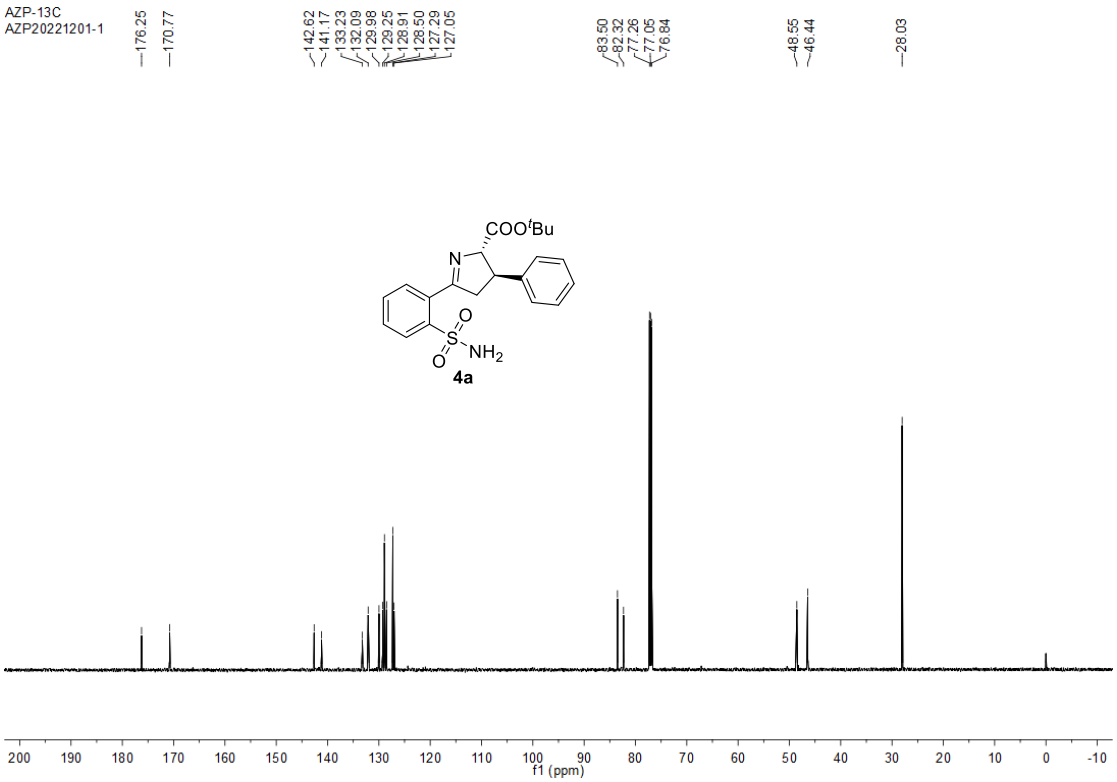
f1 (ppm)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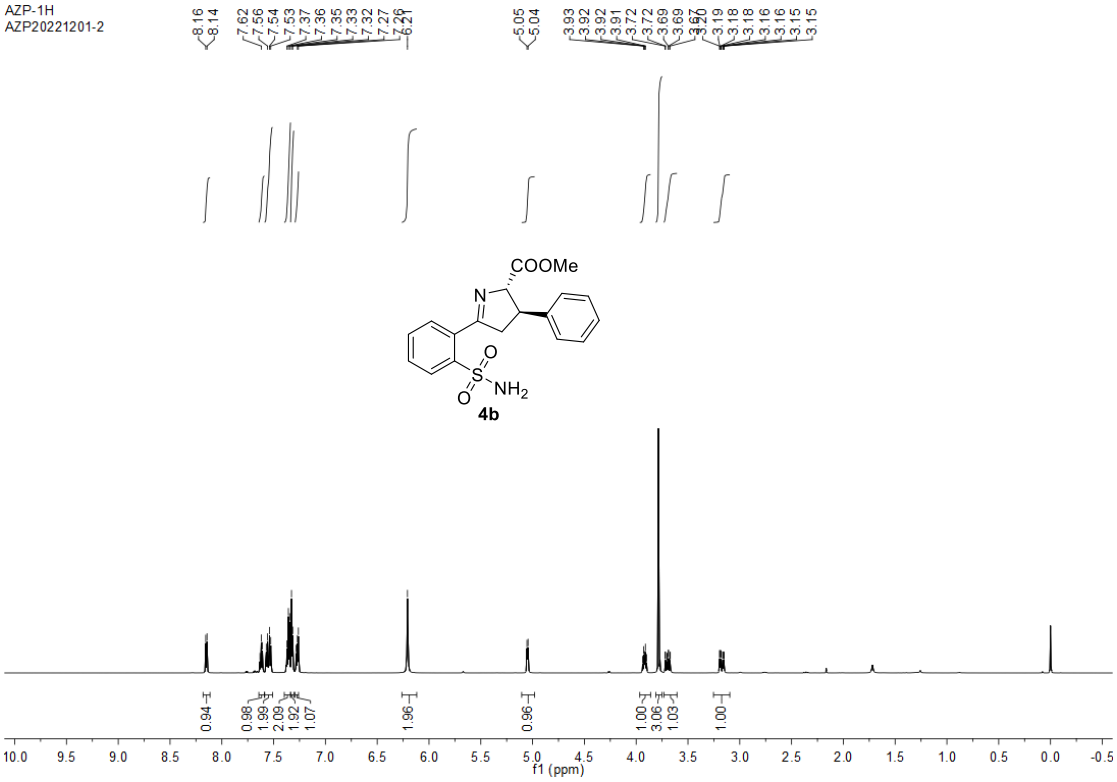
82.32
77.26
77.05
76.84
48.55
46.44
28.03
COO^{t}Bu
N
O
S
O
NH$_2$
4a
f1 (ppm)


AZP-1H
AZP20221201-2
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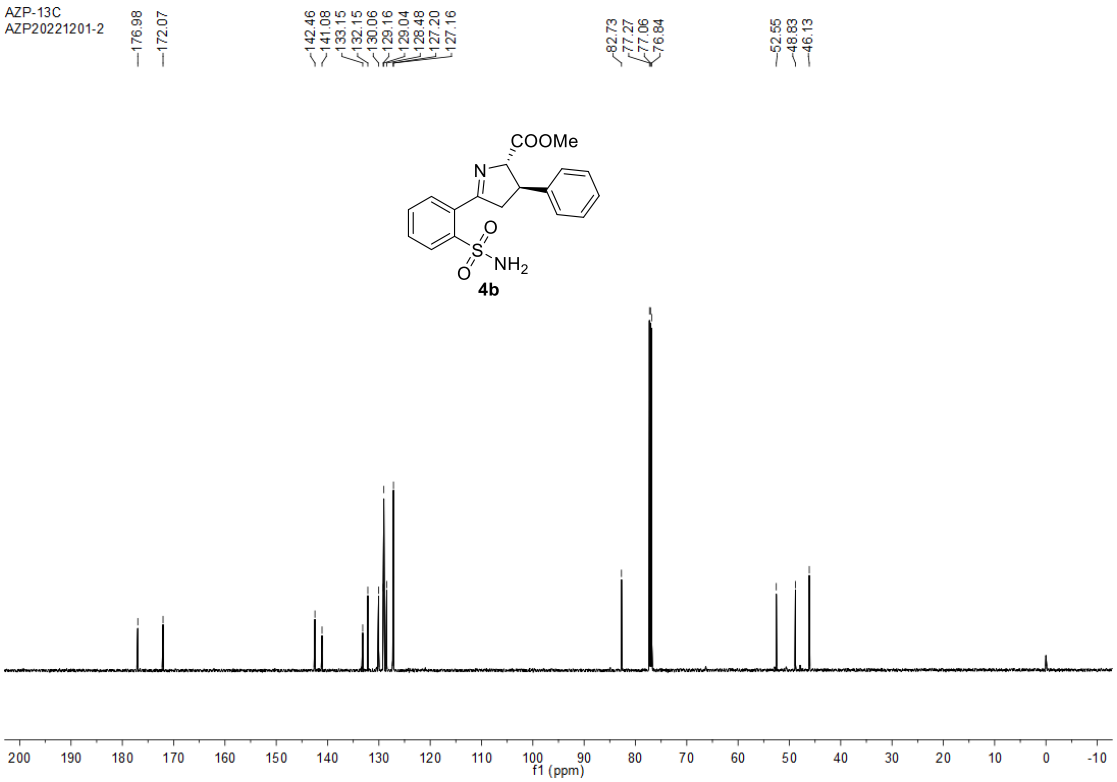
8.14
7.62
7.56
7.54
7.53
7.37
7.36
7.35
7.33
7.32
7.27
7.26
6.21
5.05
5.04
3.93
3.92
3.92
3.91
3.72
3.72
3.69
3.69
3.67
3.20
3.19
3.18
3.18
3.16
3.16
3.15
3.15
COOMe
N
O
S
O
NH2
4b
0.94
0.98
1.99
2.09
1.92
1.07
1.96
0.96
1.00
3.06
1.03
1.00
f1 (ppm)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AZP20221201-2
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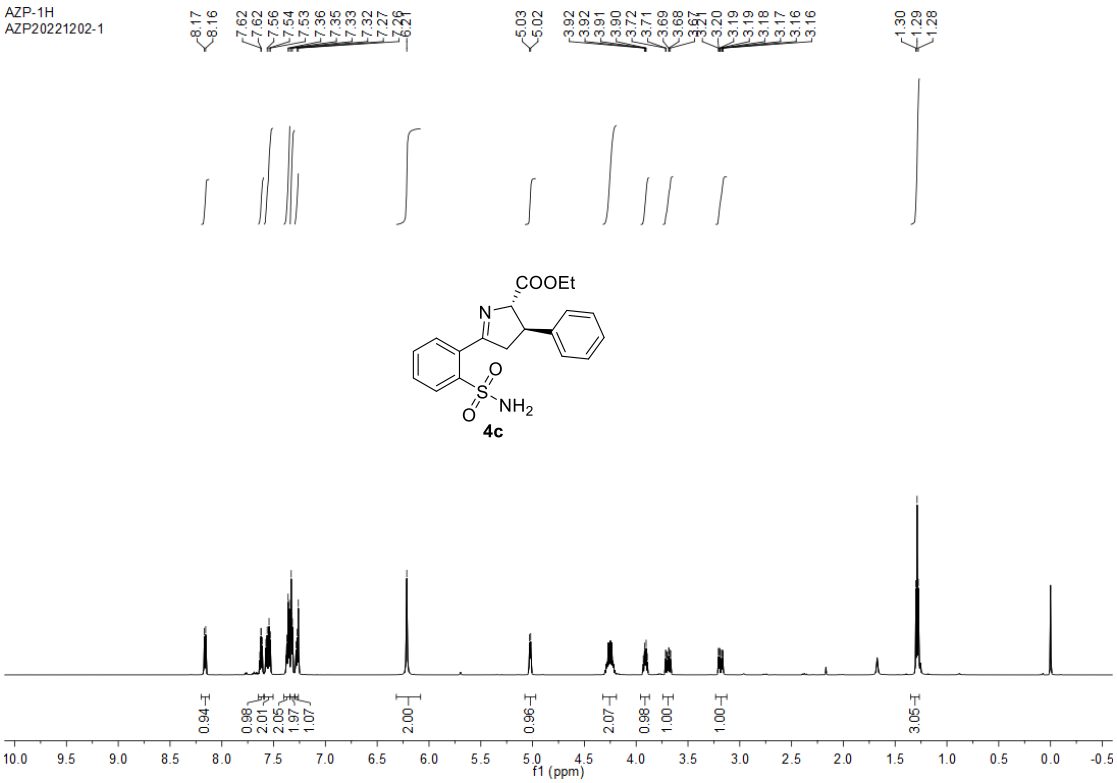
172.07
142.46
141.08
133.15
132.15
130.06
129.16
129.04
128.48
127.20
127.16
82.73
77.27
77.06
76.84
52.55
48.83
46.13
COOMe
N
O
S
O
NH2
4b
f1 (ppm)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7.56
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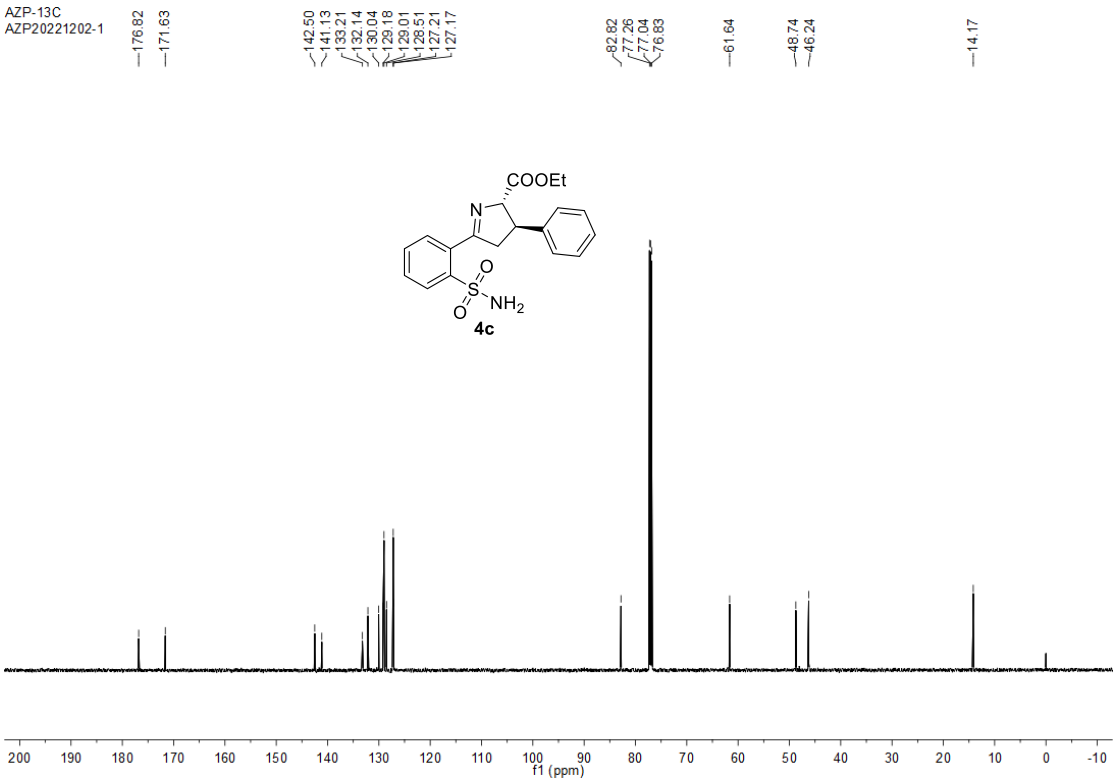
7.53
7.36
7.35
7.33
7.32
7.27
7.26
6.21
5.03
5.02
3.92
3.92
3.91
3.90
3.72
3.71
3.69
3.68
3.67
3.21
3.20
3.19
3.19
3.18
3.17
3.16
3.16
1.30
1.29
1.28
COOEt
N
O
S
O
NH2
4c
0.94
0.98
2.01
2.05
1.97
1.07
2.00
0.96
2.07
0.98
1.00
1.00
3.05
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)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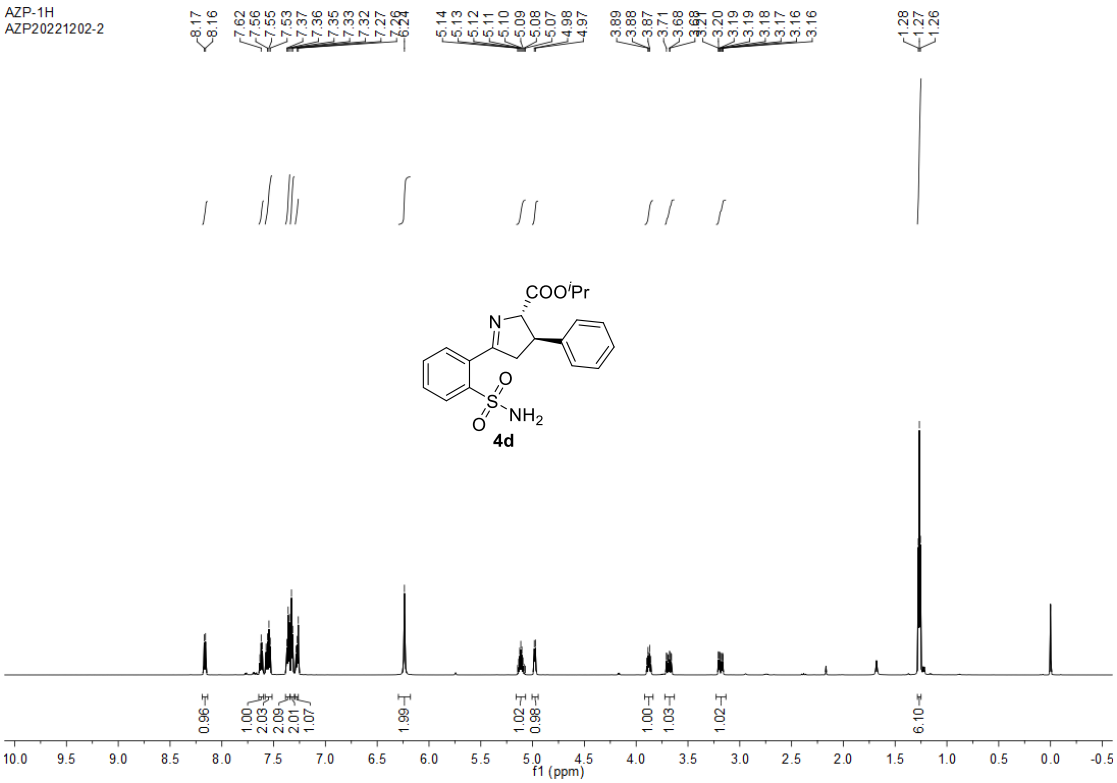
171.63
142.50
141.13
133.21
132.14
130.04
129.18
129.01
128.51
127.21
127.17
82.82
77.26
77.04
76.83
61.64
48.74
46.24
14.17
COOEt
N
O
S
O
NH2
4c
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)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AZP20221202-2
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7.56
7.55
7.53
7.37
7.36
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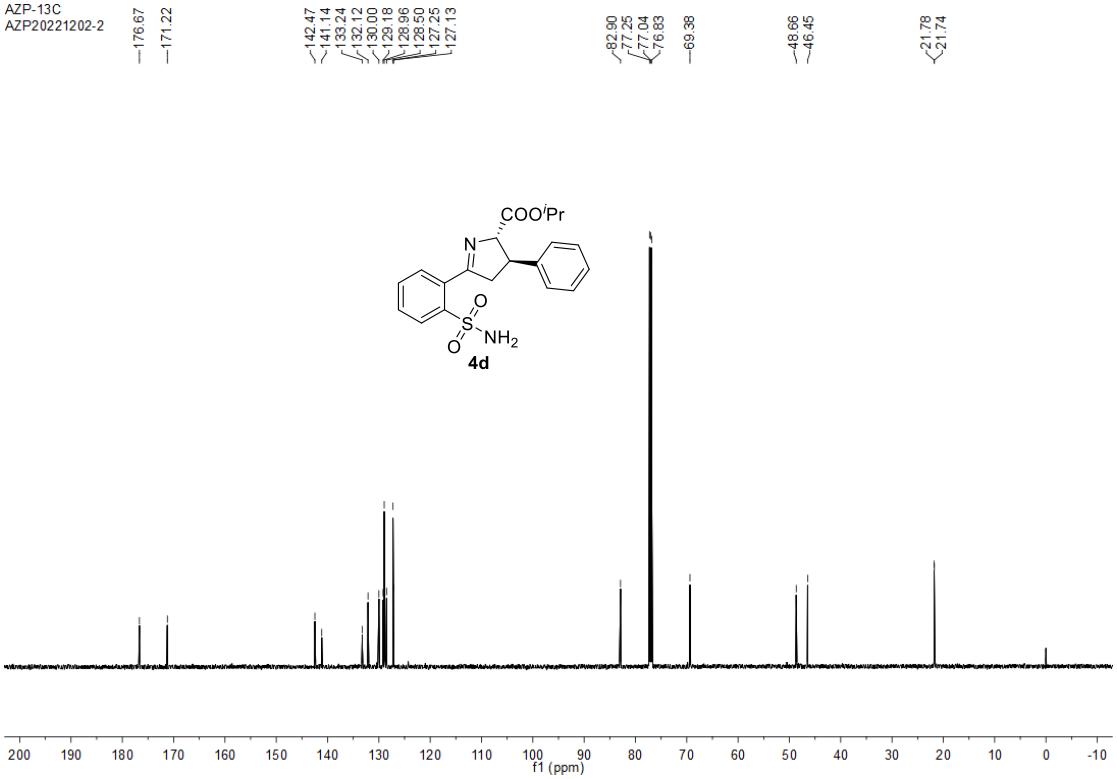
7.33
7.32
7.27
6.24
5.14
5.13
5.12
5.11
5.10
5.09
5.08
5.07
4.98
4.97
3.89
3.88
3.87
3.71
3.68
3.21
3.20
3.19
3.18
3.17
3.16
3.16
1.28
1.27
1.26
COO^{i}Pr
N
O
S
O
NH$_2$
4d
0.96
1.00
2.03
2.09
2.01
1.07
1.99
1.02
0.98
1.00
1.03
1.02
6.10
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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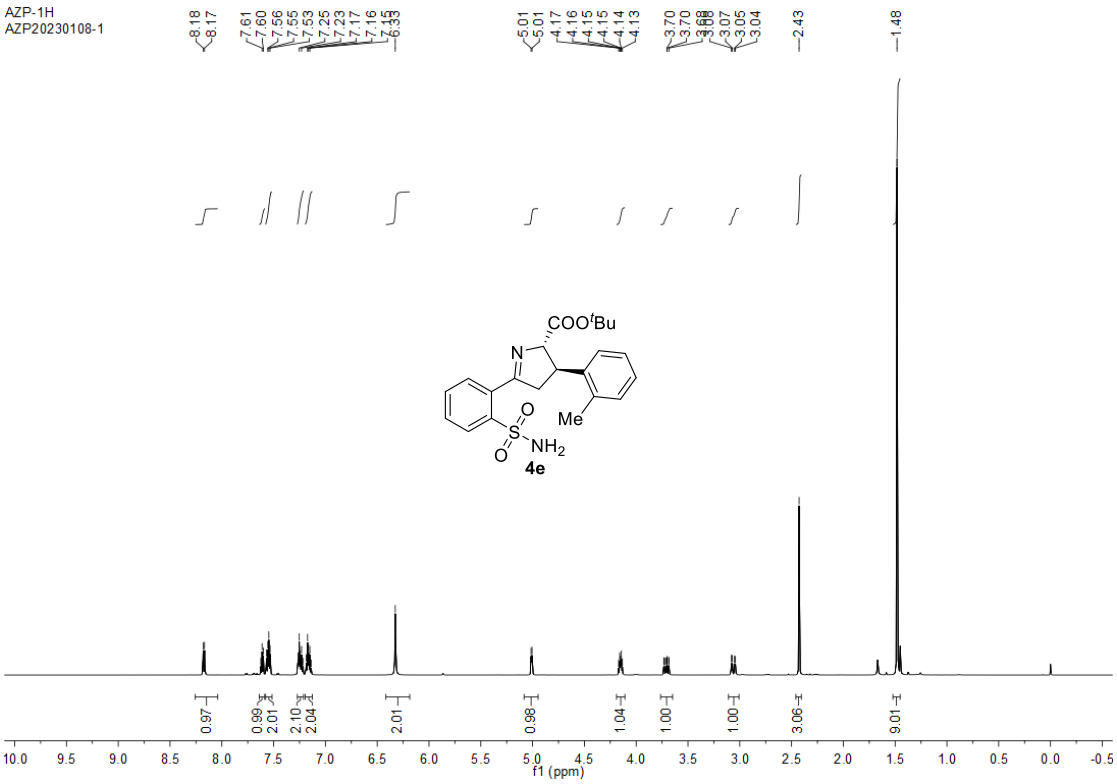
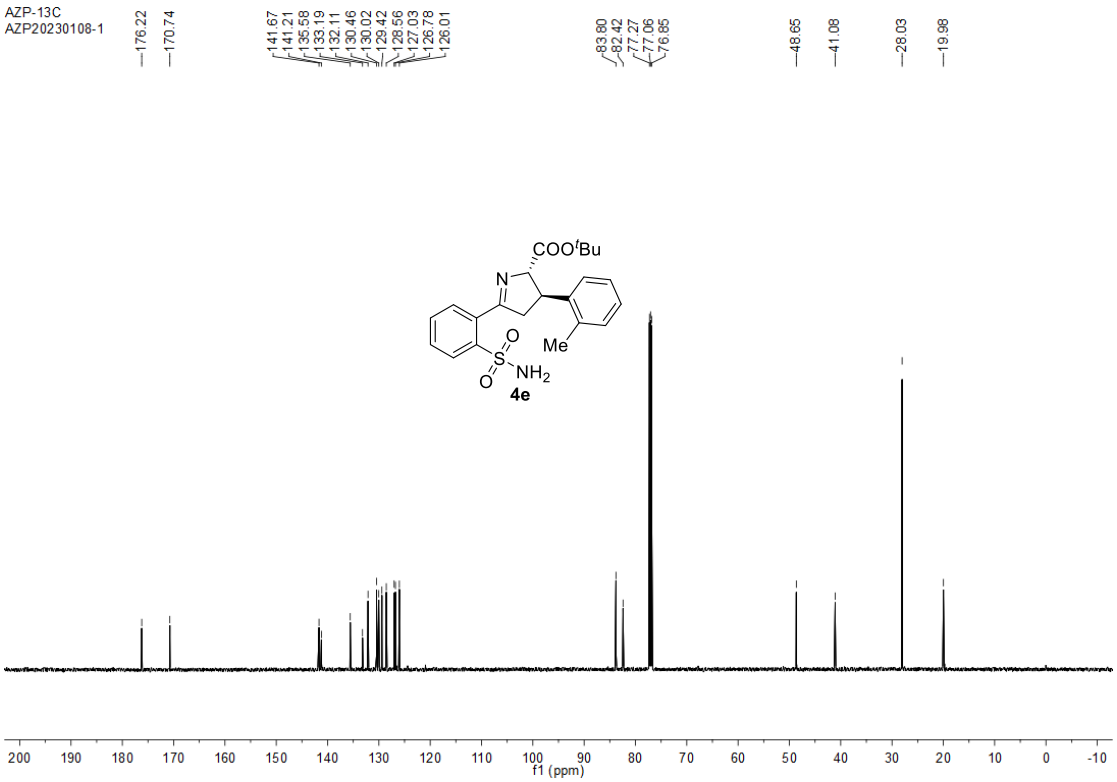
171.22
142.47
141.14
133.24
132.12
130.00
129.18
128.96
128.50
127.25
127.13
82.90
77.25
77.04
76.83
69.38
48.66
46.45
21.78
21.74
COO^{i}Pr
N
O
S
O
NH$_2$
4d
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


AZP-1H
AZP20230108-1
8.18
8.17
7.61
7.60
7.56
7.55
7.53
7.25
7.23
7.17
7.16
7.15
6.33
5.01
5.01
4.17
4.16
4.15
4.15
4.14
4.13
3.70
3.70
3.68
3.68
3.07
3.05
3.04
2.43
1.48
COO^{t}Bu
N
O
S
O
NH$_2$
Me
4e
0.97
0.99
2.01
2.10
2.04
2.01
0.98
1.04
1.00
1.00
3.06
9.01
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


AZP-13C
AZP20230108-1
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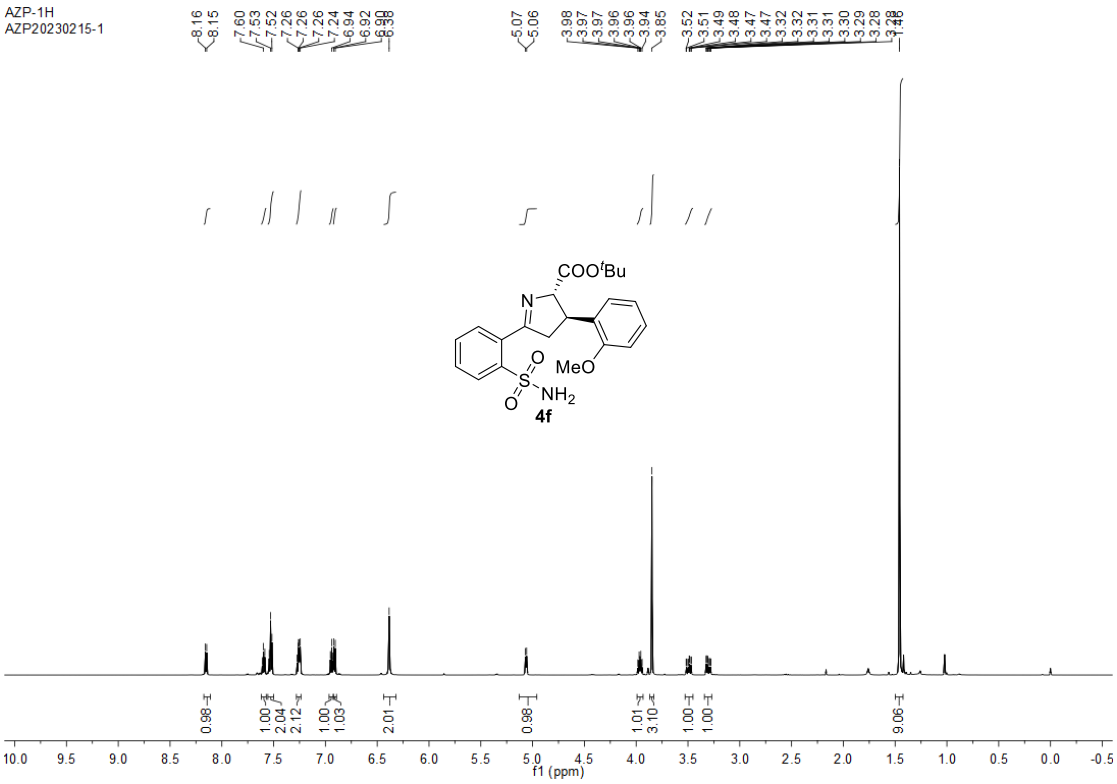
141.21
135.58
133.19
132.11
130.46
130.02
129.42
128.56
127.03
126.78
126.01
83.80
82.42
77.27
77.06
76.85
48.65
41.08
28.03
19.98
COO^{t}Bu
N
O
S
O
NH$_2$
Me
4e
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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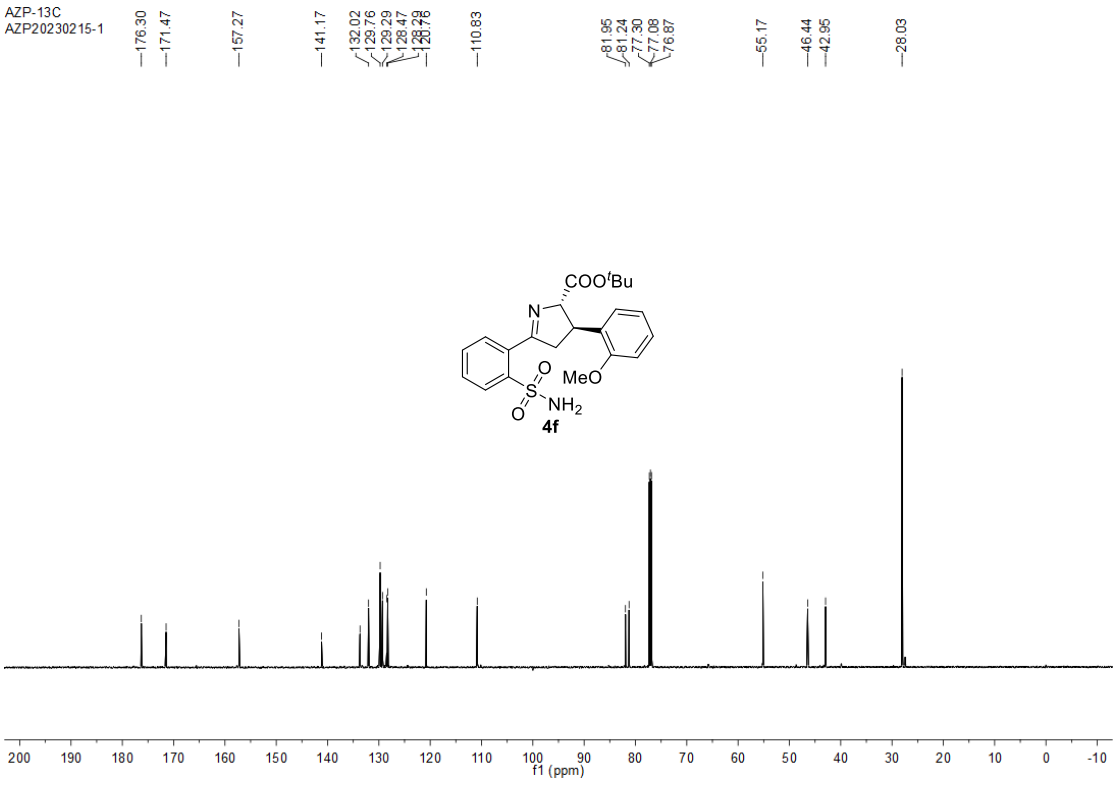
COO^{t}Bu
MeO
NH$_2$
4f
f1 (ppm)


AZP-13C
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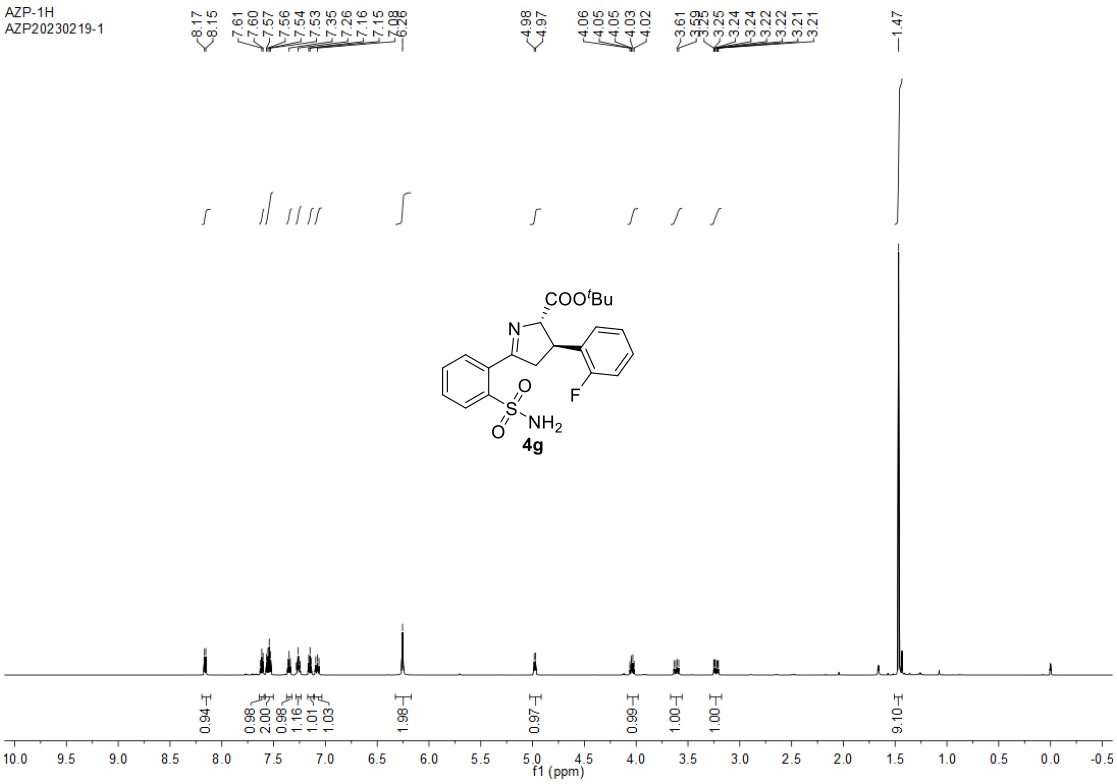
COO^{t}Bu
MeO
NH$_2$
4f
f1 (ppm)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AZP20230219-1
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8.15
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7.60
7.57
7.56
7.54
7.53
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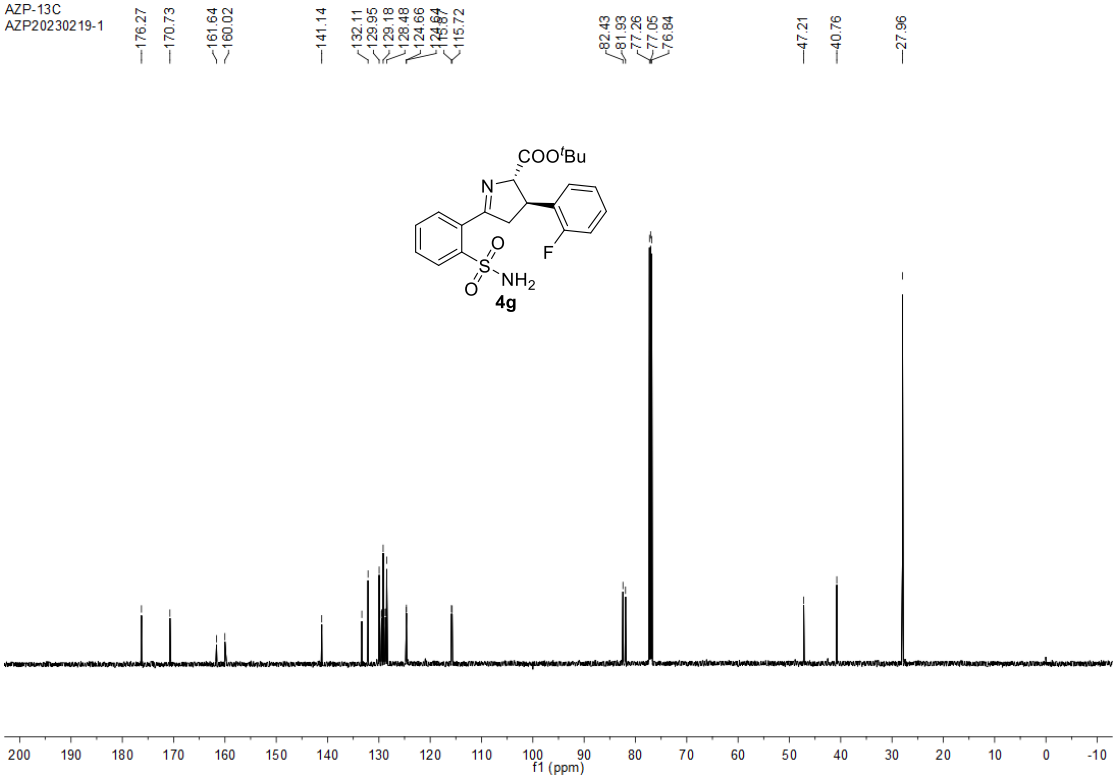
7.26
7.16
7.15
7.08
6.98
4.98
4.97
4.06
4.05
4.05
4.03
4.02
3.61
3.59
3.25
3.24
3.24
3.22
3.22
3.21
3.21
1.47
COO^{t}Bu
N
O
S
O
NH$_2$
F
4g
0.94
0.98
2.00
0.98
1.16
1.01
1.03
1.98
0.97
0.99
1.00
1.00
9.10
f1 (ppm)


AZP-13C
AZP20230219-1
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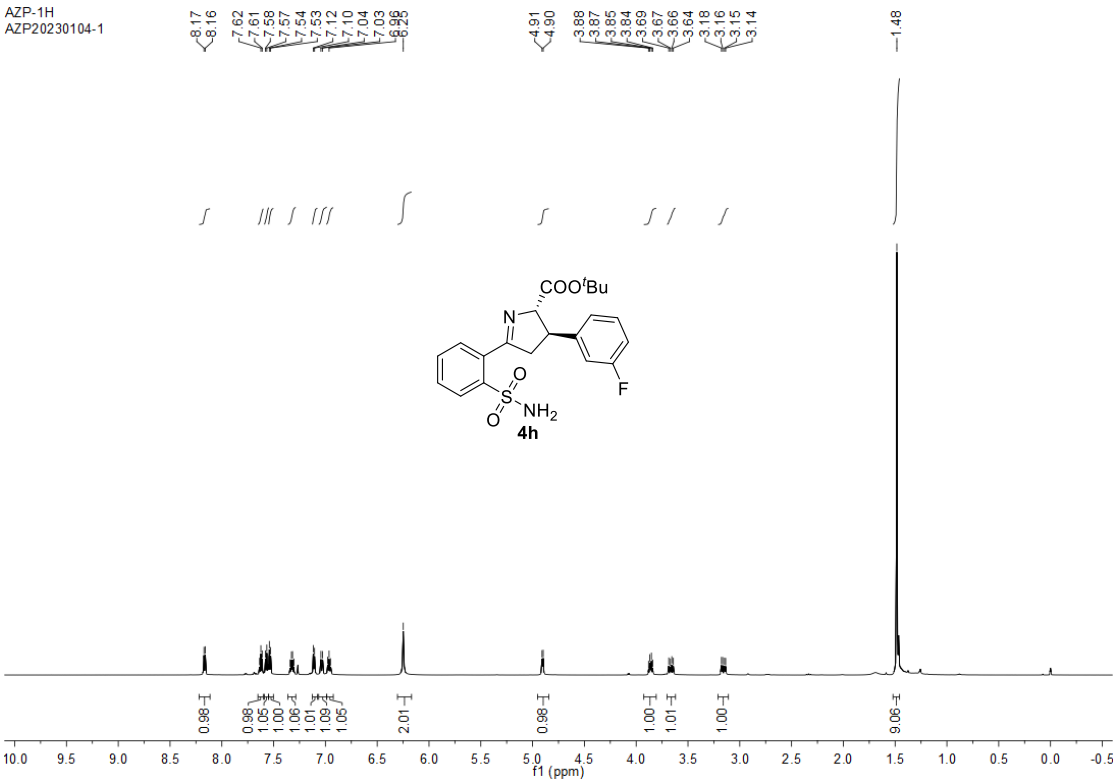
160.02
141.14
132.11
129.95
129.18
128.48
124.66
124.64
115.87
115.72
82.43
81.93
77.26
77.05
76.84
47.21
40.76
27.96
COO^{t}Bu
N
O
S
O
NH$_2$
F
4g
f1 (ppm)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AZP20230104-1
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7.62
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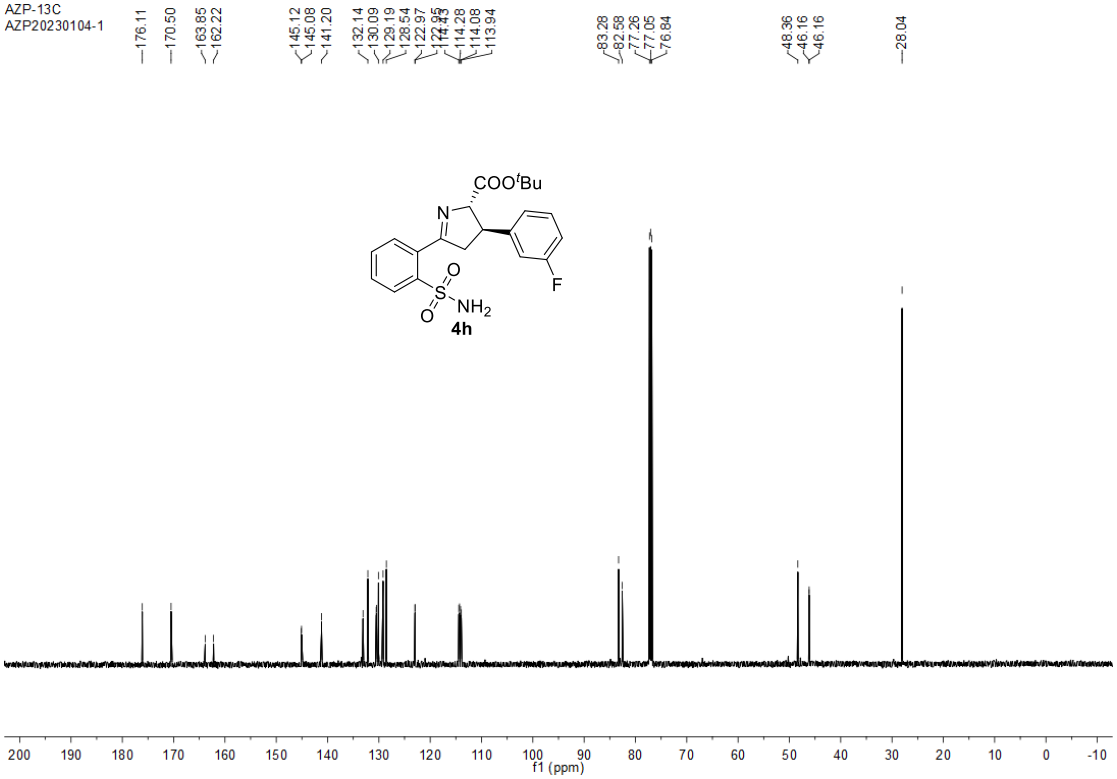
7.58
7.57
7.54
7.53
7.12
7.10
7.04
7.03
6.98
6.95
4.91
4.90
3.88
3.87
3.85
3.84
3.69
3.67
3.66
3.64
3.18
3.16
3.15
3.14
1.48
COO^{t}Bu
N
O
S
O
NH$_2$
F
4h
0.98
0.98
1.05
1.00
1.06
1.01
1.09
1.05
2.01
0.98
1.00
1.01
1.00
9.06
f1 (ppm)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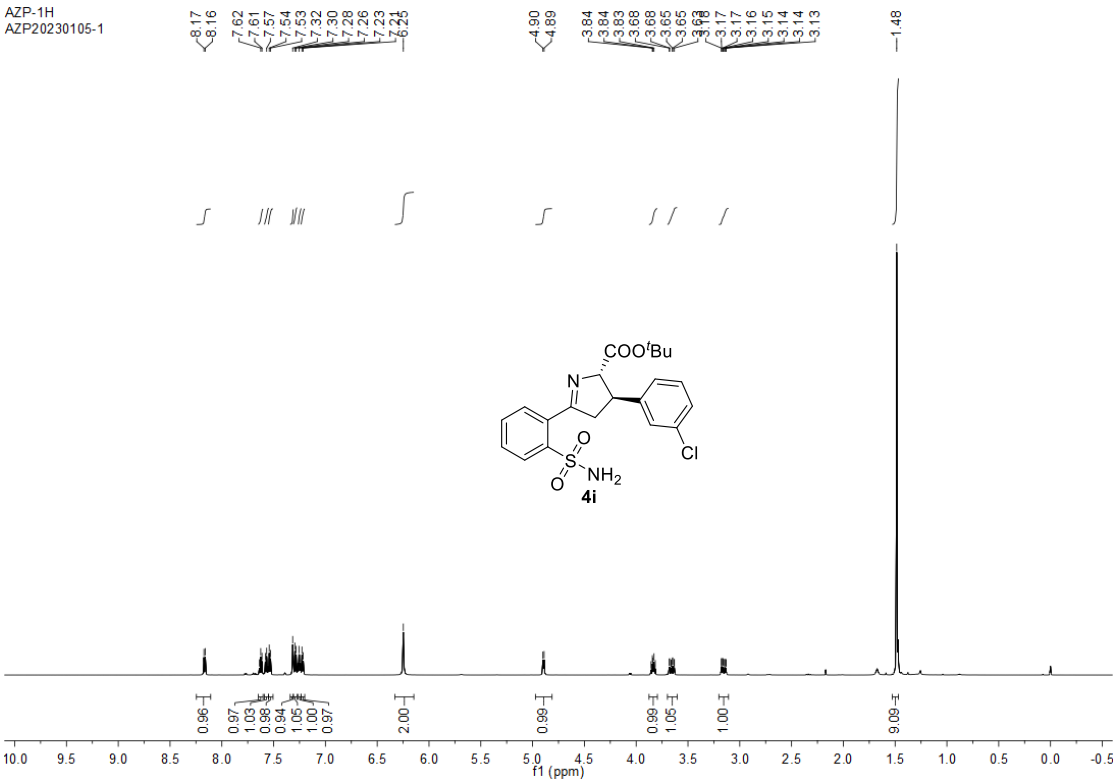
162.22
145.12
145.08
141.20
132.14
130.09
129.19
128.54
122.97
114.28
114.08
113.94
83.28
82.98
77.26
77.05
76.84
48.36
46.16
46.16
28.04
COO^{t}Bu
N
O
S
O
NH$_2$
F
4h
f1 (ppm)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AZP20230105-1
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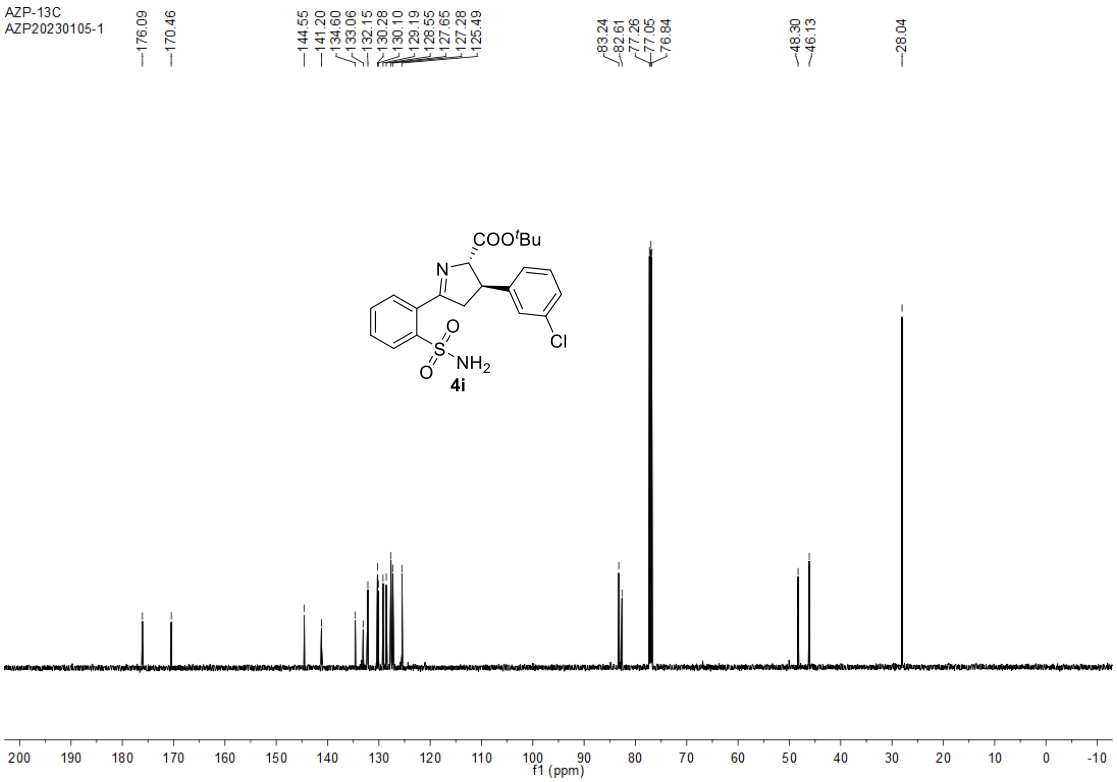
7.57
7.54
7.53
7.32
7.30
7.28
7.26
7.23
7.21
6.25
4.90
4.89
3.84
3.84
3.83
3.68
3.68
3.65
3.65
3.63
3.18
3.17
3.17
3.16
3.15
3.14
3.14
3.13
1.48
COO^{t}Bu
N
O
S
O
NH$_2$
Cl
4i
0.96
0.97
1.03
0.98
0.94
1.05
1.00
0.97
2.00
0.99
0.99
1.05
1.00
9.09
f1 (ppm)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144.55
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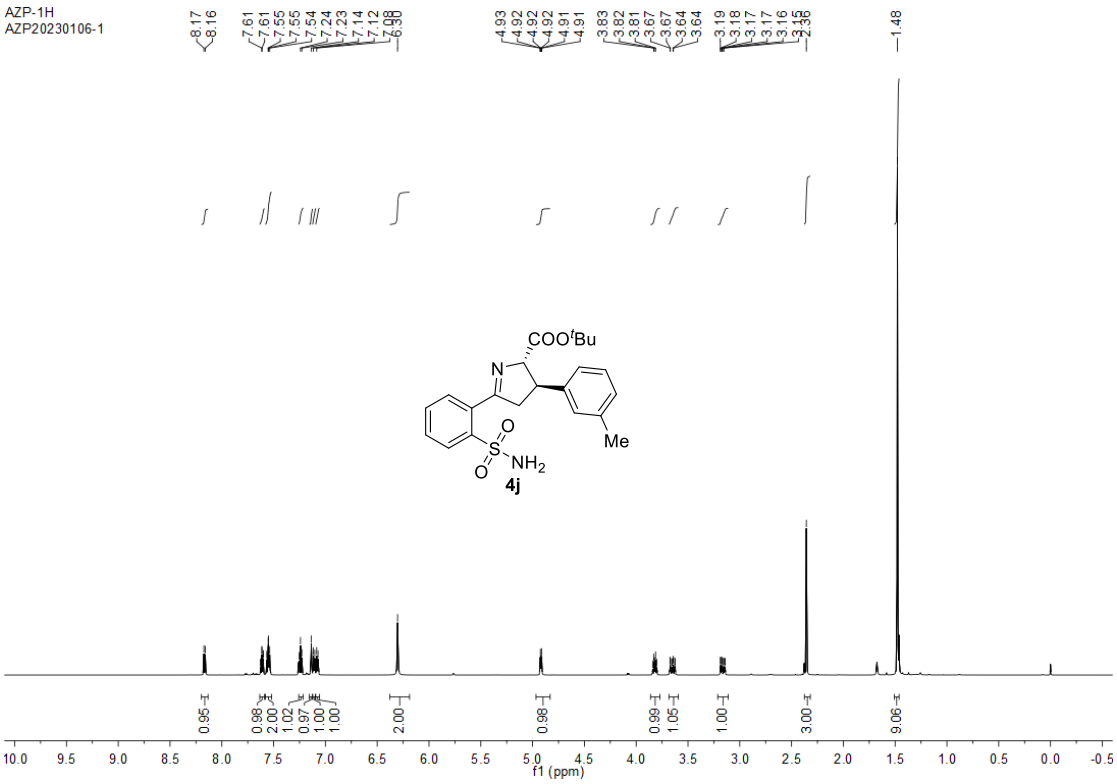
134.60
133.06
132.15
130.28
130.10
129.19
128.55
127.65
127.28
125.49
83.24
82.61
77.26
77.05
76.84
48.30
46.13
28.04
COO^{t}Bu
N
O
S
O
NH$_2$
Cl
4i
f1 (ppm)


AZP-1H
AZP20230106-1
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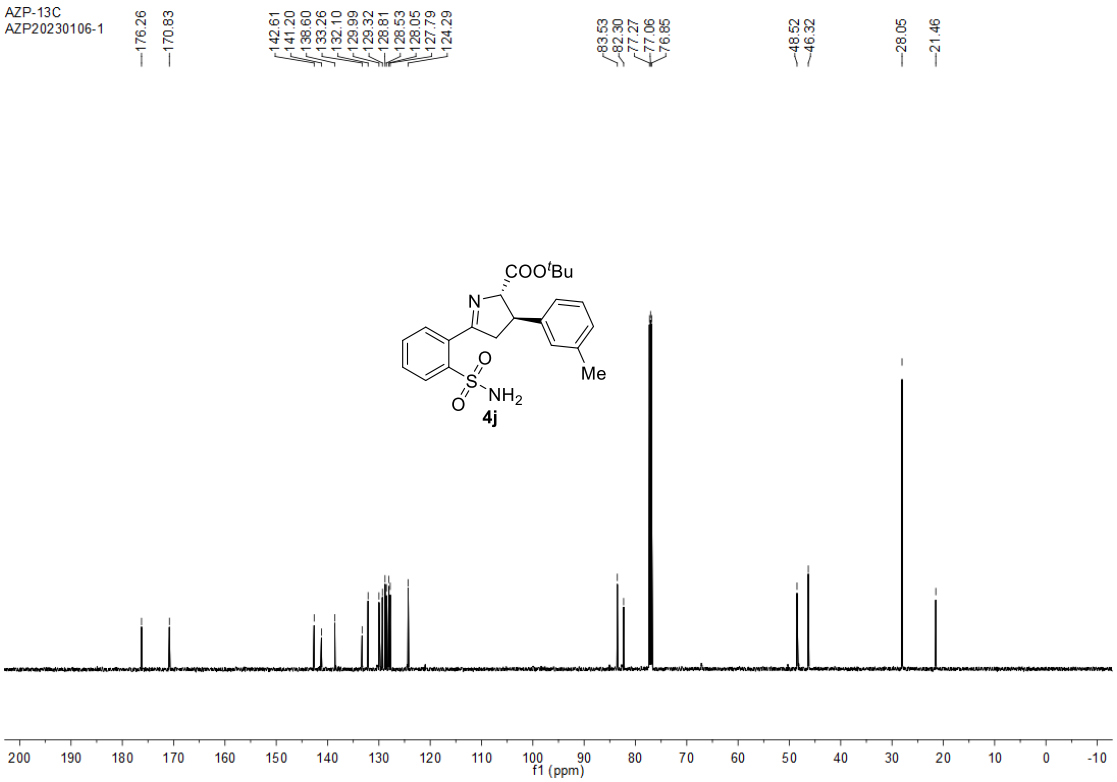
8.16
7.61
7.61
7.55
7.55
7.54
7.24
7.23
7.14
7.12
7.08
6.30
4.93
4.92
4.92
4.92
4.91
4.91
3.83
3.82
3.81
3.67
3.67
3.64
3.64
3.19
3.18
3.17
3.17
3.16
3.15
2.36
1.48
COO^{t}Bu
N
O
S
O
NH$_2$
Me
4j
0.95
0.98
2.00
1.02
0.97
1.00
1.00
2.00
0.98
0.99
1.05
1.00
3.00
9.06
f1 (ppm)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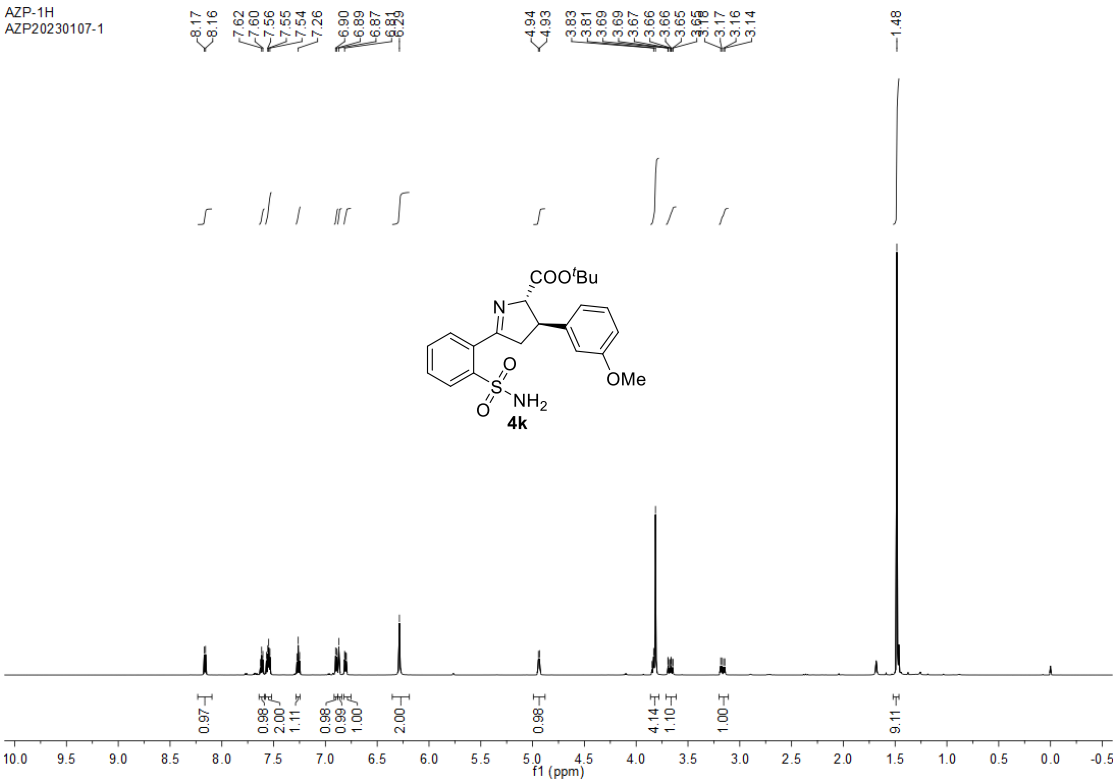
141.20
138.60
133.26
132.10
129.99
129.32
128.81
128.53
128.05
127.79
124.29
83.53
82.30
77.27
77.06
76.85
48.52
46.32
28.05
21.46
COO^{t}Bu
N
O
S
O
NH$_2$
Me
4j
f1 (ppm)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AZP20230107-1
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7.54
7.26
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6.89
6.87
6.81
6.29
4.94
4.93
3.83
3.81
3.69
3.69
3.67
3.66
3.66
3.65
3.18
3.17
3.16
3.14
1.48
COO^{t}Bu
N
O
S
O
NH$_2$
OMe
4k
0.97
0.98
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1.11
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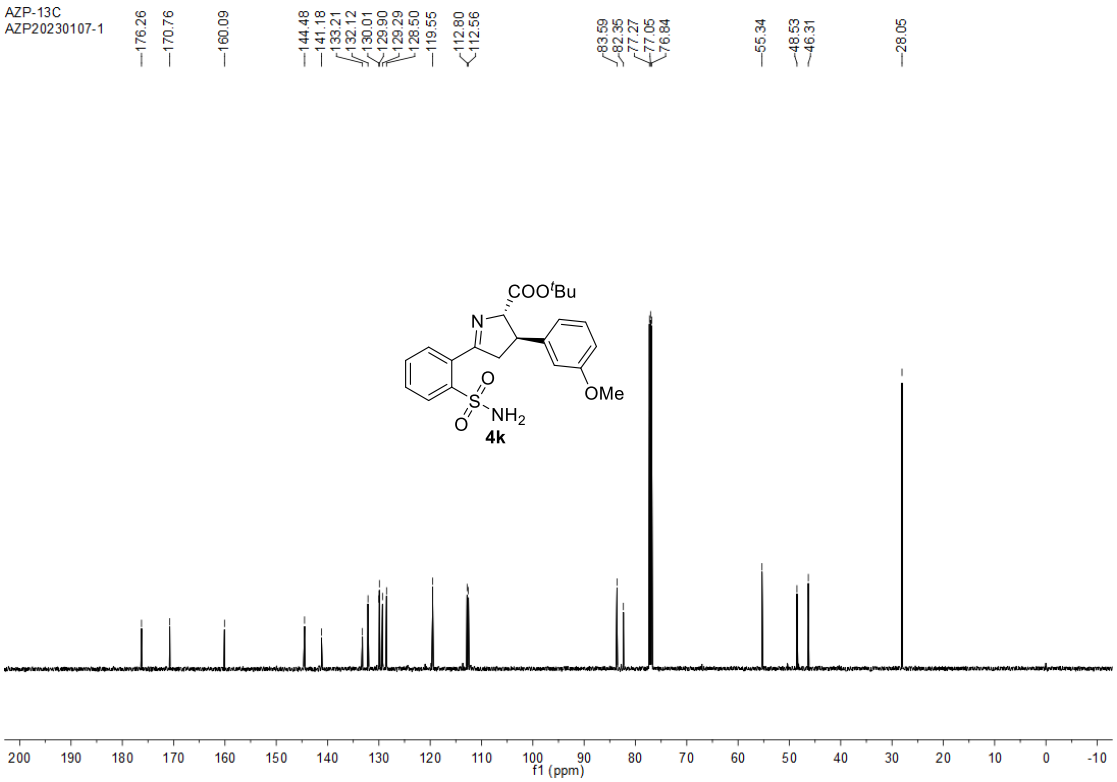
0.99
1.00
2.00
0.98
4.14
1.10
1.00
9.11
f1 (ppm)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AZP20230107-1
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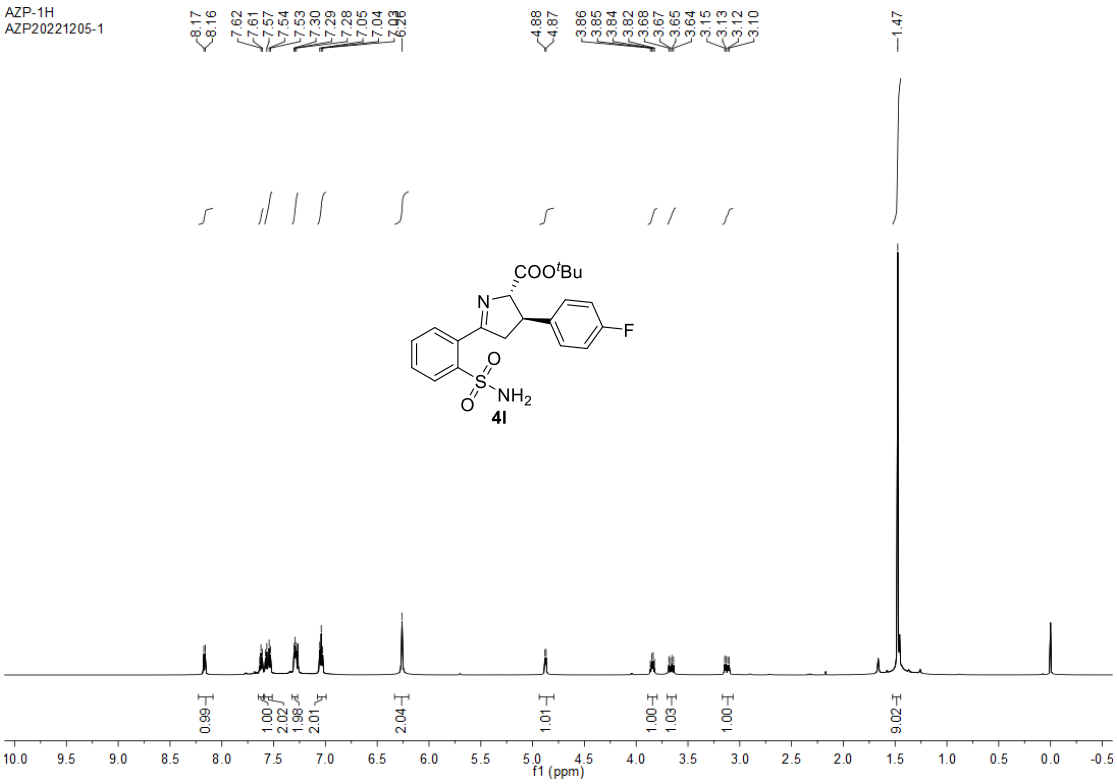
170.76
160.09
144.48
141.18
133.21
132.12
130.01
129.90
129.29
128.50
119.55
112.80
112.56
83.59
82.35
77.27
77.05
76.84
55.34
48.53
46.31
28.05
COO^{t}Bu
N
O
S
O
NH$_2$
OMe
4k
f1 (ppm)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AZP20221205-1
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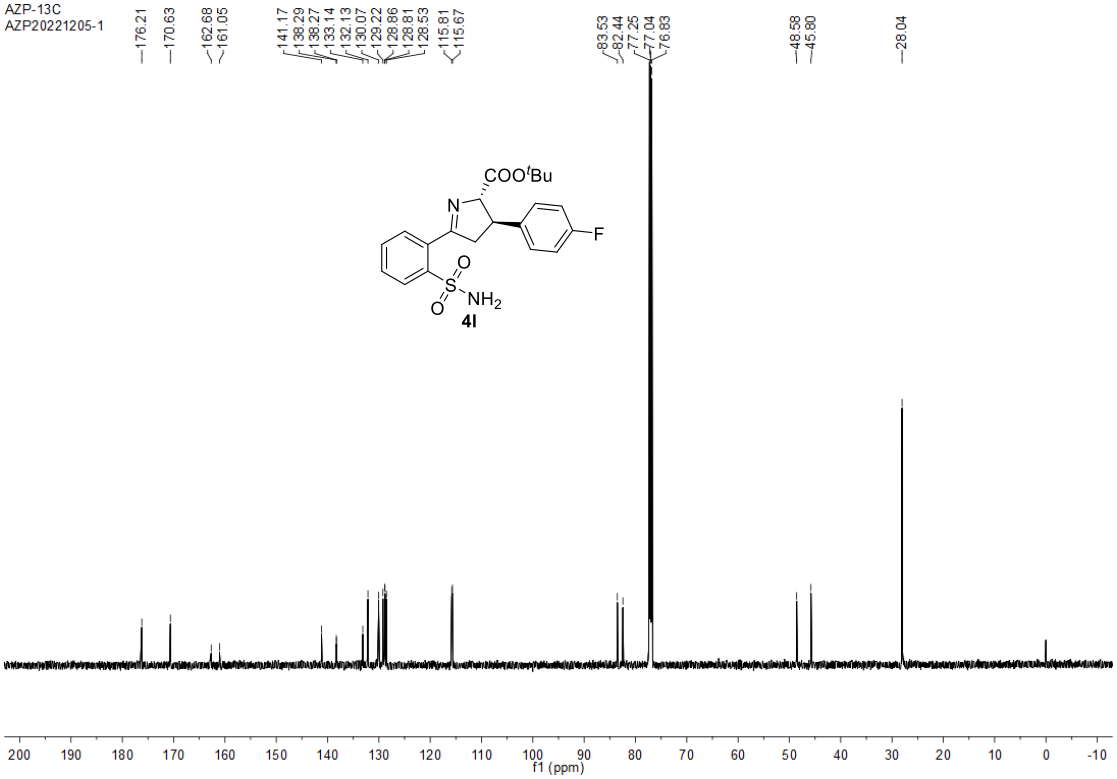
8.16
7.62
7.61
7.57
7.54
7.53
7.30
7.29
7.28
7.05
7.04
4.88
4.87
3.86
3.85
3.84
3.82
3.68
3.67
3.65
3.64
3.15
3.13
3.12
3.10
1.47
COO^{t}Bu
N
F
O
S
O
NH$_2$
4l
0.99
1.00
2.02
1.98
2.01
2.04
1.01
1.00
1.03
1.00
9.02
f1 (ppm)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AZP20221205-1
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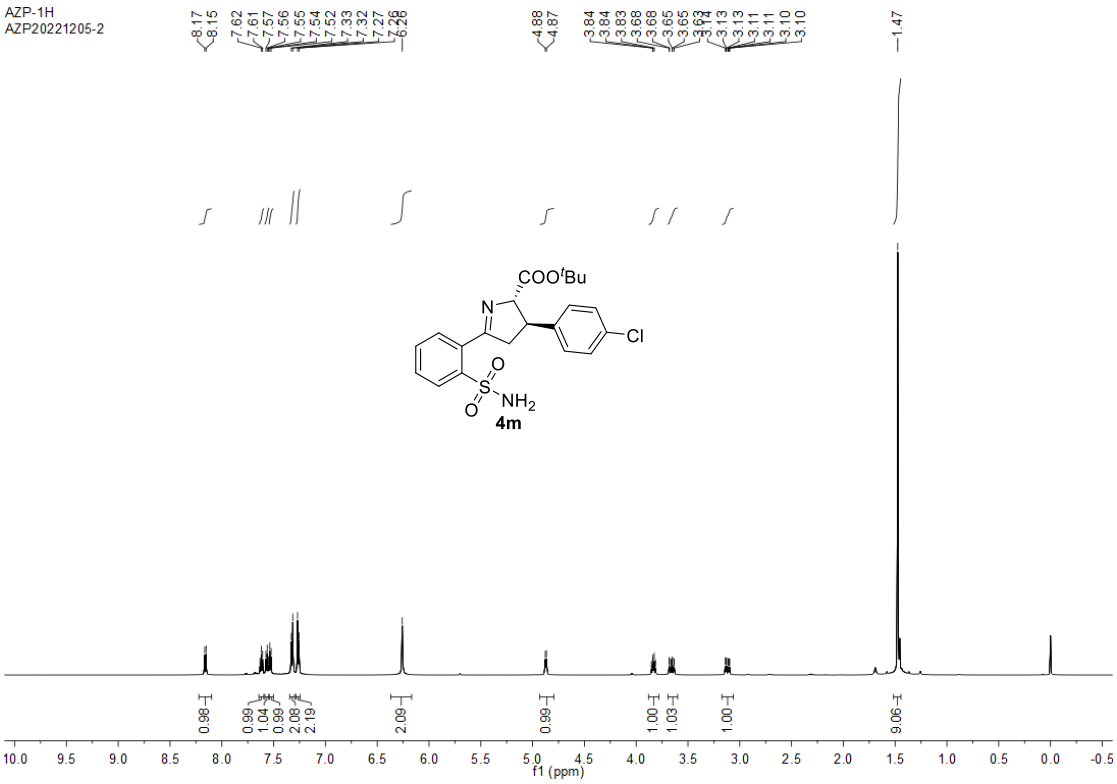
162.68
161.05
141.17
138.29
138.27
133.14
132.13
130.07
129.22
128.86
128.81
128.53
115.81
115.67
83.53
82.44
77.25
77.04
76.83
48.58
45.80
28.04
COO^{t}Bu
N
F
O
S
O
NH$_2$
4l
f1 (ppm)


AZP-1H
AZP20221205-2
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7.62
7.61
7.57
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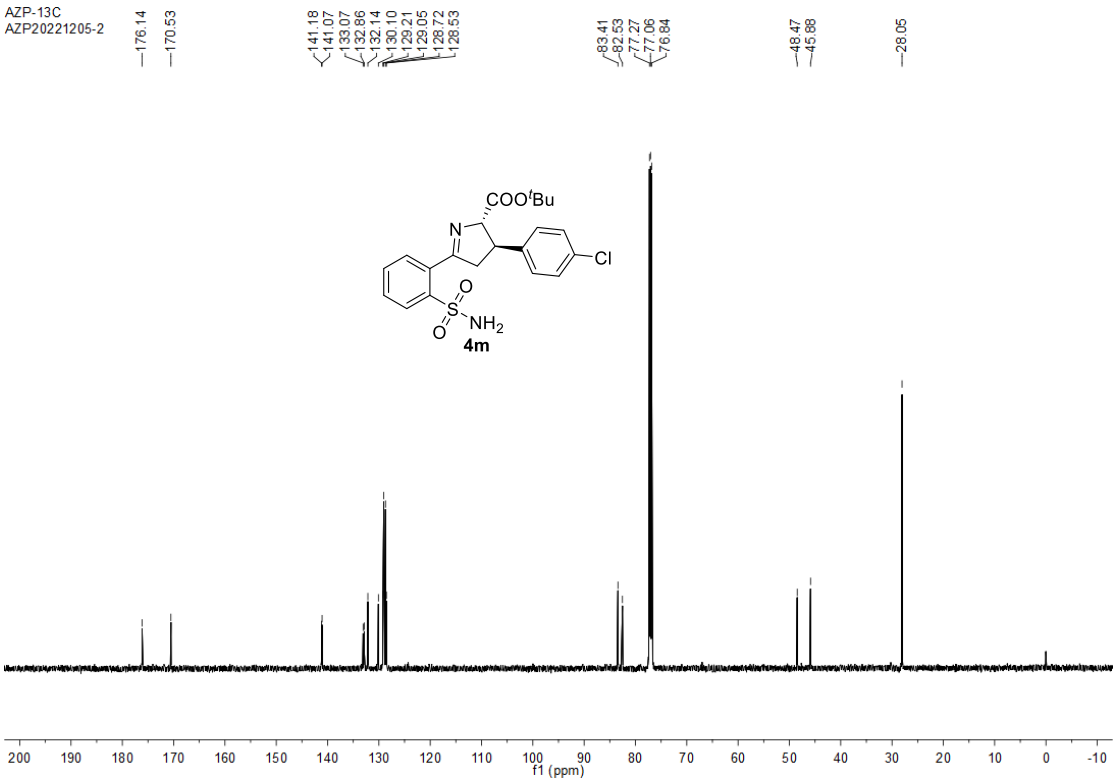
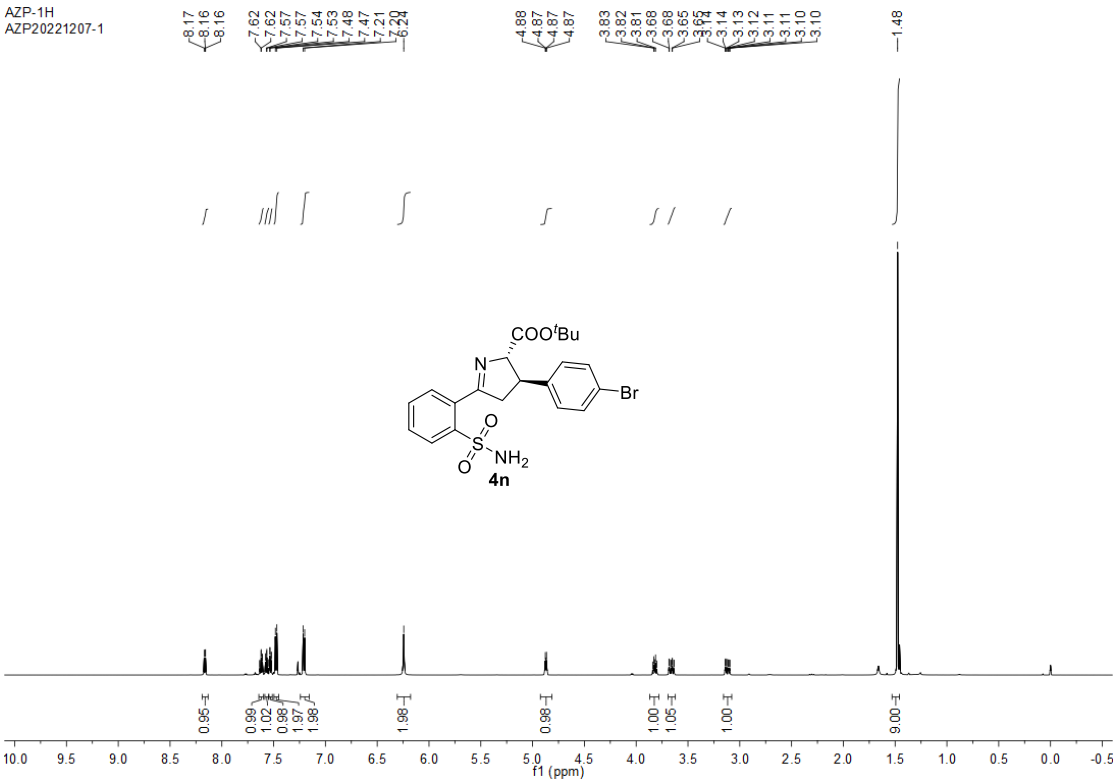
7.55
7.54
7.52
7.33
7.32
7.27
7.26
4.88
4.87
3.84
3.84
3.83
3.68
3.68
3.65
3.65
3.63
3.14
3.13
3.13
3.11
3.11
3.10
3.10
1.47
COO^{t}Bu
N
Cl
O
S
O
NH$_2$
4m
0.98
0.99
1.04
0.99
2.08
2.19
2.09
0.99
1.00
1.03
1.00
9.06
f1 (ppm)


AZP-13C
AZP20221205-2
176.14
170.53
141.18
141.07
133.07
132.86
132.14
130.10
129.21
129.05
128.72
128.53
83.41
82.53
77.27
77.06
76.84
48.47
45.88
28.05
COO^{t}Bu
N
Cl
O
S
O
NH$_2$
4m
f1 (ppm)


AZP-1H
AZP20221207-1
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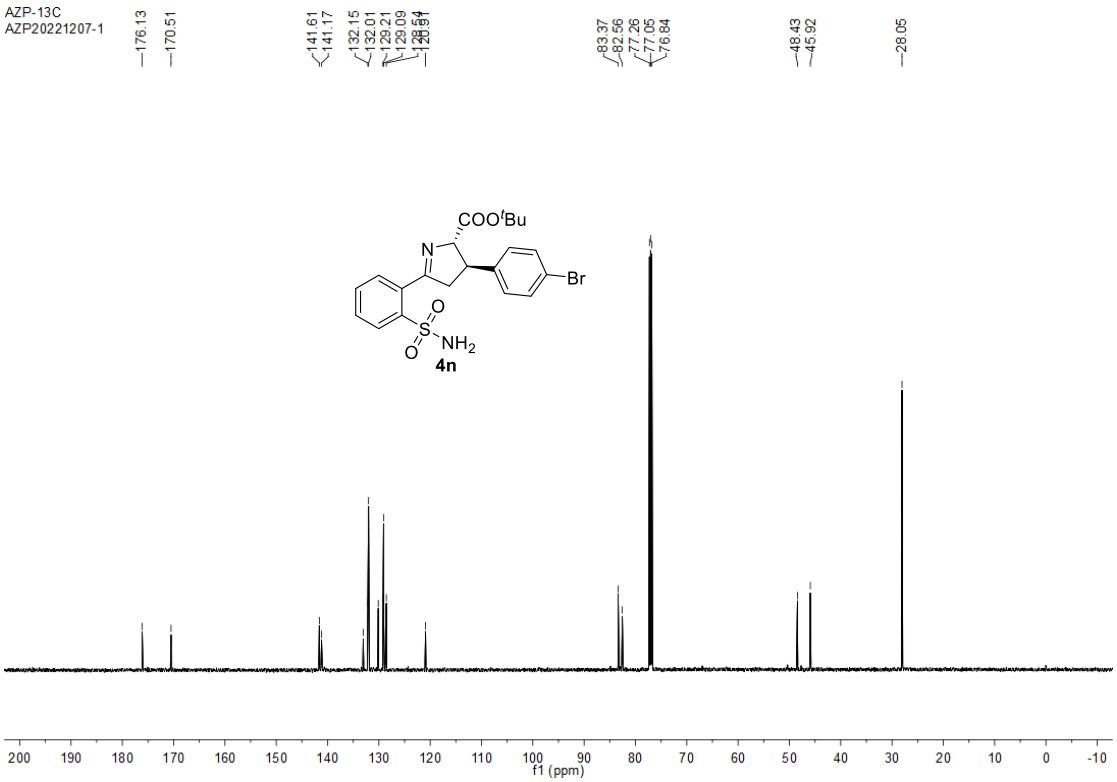
8.16
8.16
7.62
7.62
7.57
7.57
7.54
7.53
7.48
7.47
7.21
7.20
6.24
4.88
4.87
4.87
4.87
3.83
3.82
3.81
3.68
3.68
3.65
3.65
3.14
3.14
3.13
3.12
3.11
3.11
3.10
3.10
1.48
COO^{t}Bu
N
Br
O
S
O
NH$_2$
4n
0.95
0.99
1.02
0.98
1.97
1.98
1.98
0.98
1.00
1.05
1.00
9.00
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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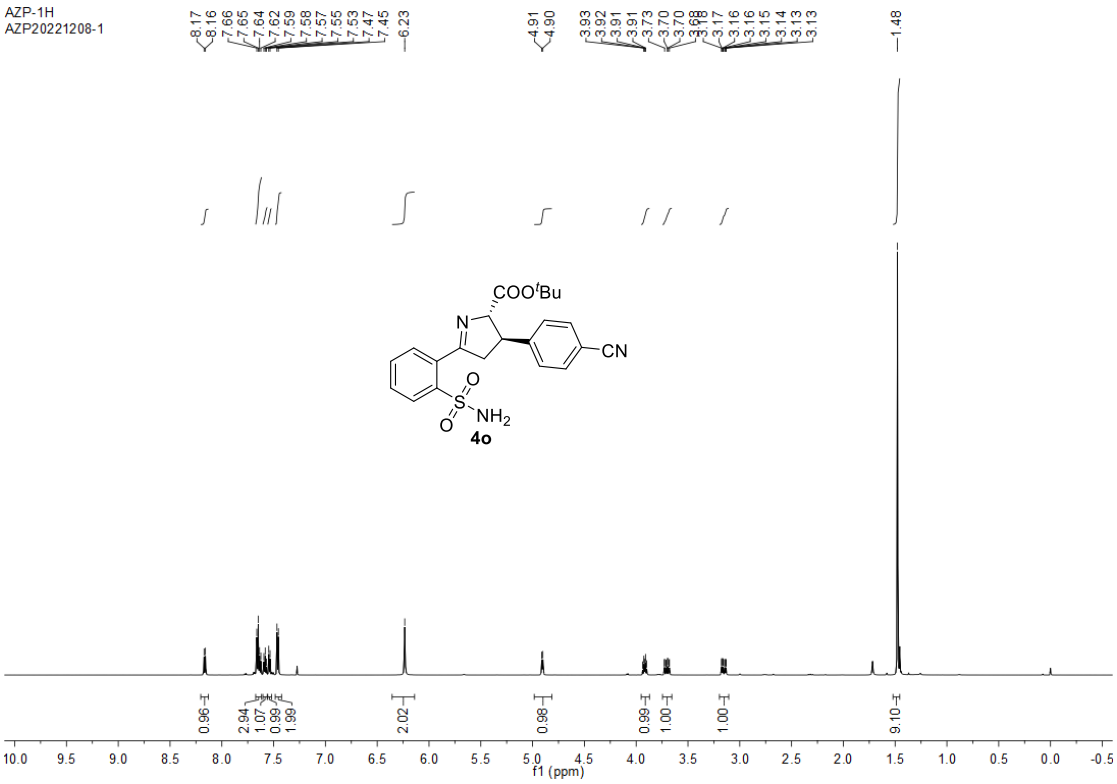
170.51
141.61
141.17
132.15
132.01
129.21
129.09
128.54
128.51
83.37
82.56
77.26
77.05
76.84
48.43
45.92
28.05
COO^{t}Bu
N
Br
O
S
O
NH$_2$
4n
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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AZP20221208-1
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8.16
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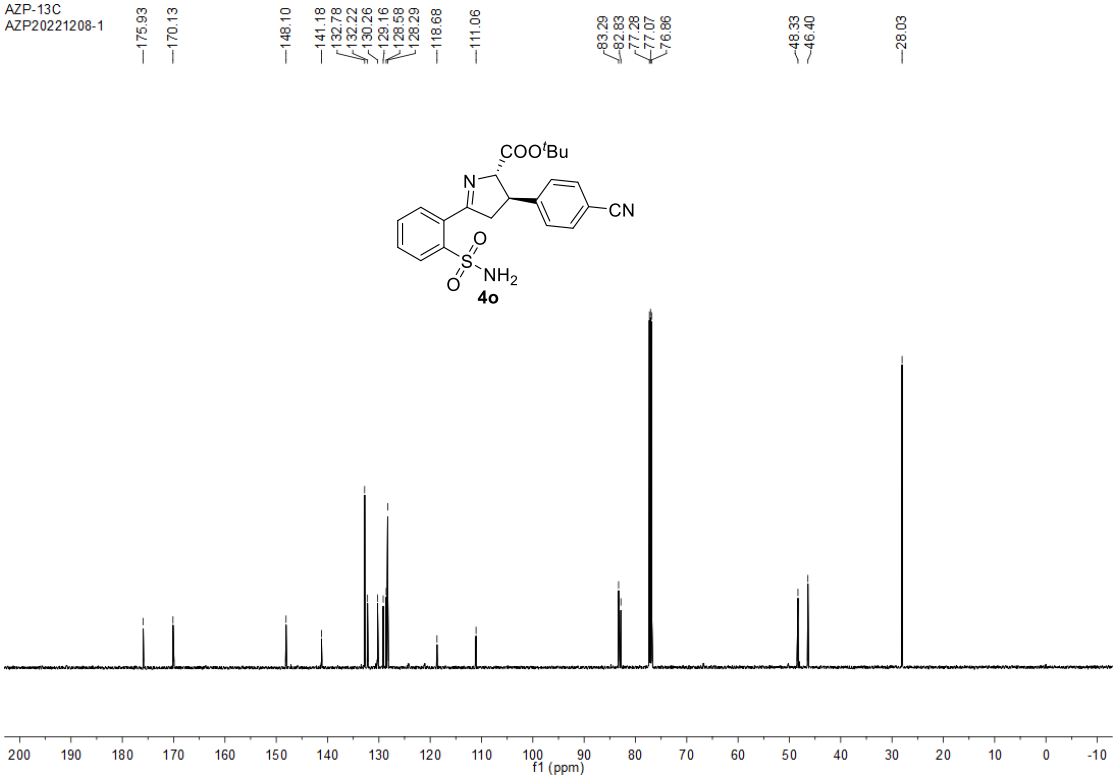
7.65
7.64
7.62
7.59
7.58
7.57
7.55
7.53
7.47
7.45
6.23
4.91
4.90
3.93
3.92
3.91
3.91
3.73
3.70
3.70
3.68
3.17
3.16
3.16
3.15
3.14
3.13
3.13
1.48
COO^{t}Bu
N
CN
O
S
O
NH$_2$
4o
0.96
2.94
1.07
0.99
1.99
2.02
0.98
0.99
1.00
1.00
9.10
f1 (ppm)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AZP20221208-1
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170.13
148.10
141.18
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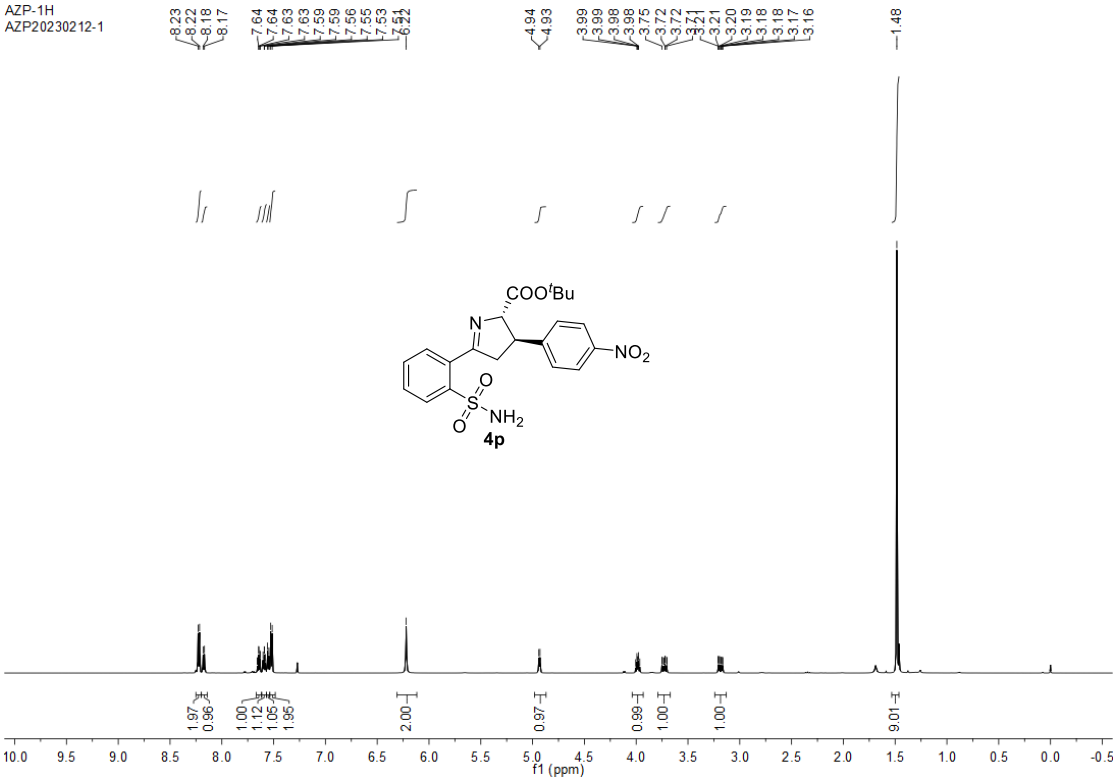
132.22
130.26
129.16
128.58
128.29
118.68
111.06
83.29
82.83
77.28
77.07
76.86
48.33
46.40
28.03
COO^{t}Bu
N
CN
O
S
O
NH$_2$
4o
f1 (ppm)


AZP-1H
AZP20230212-1
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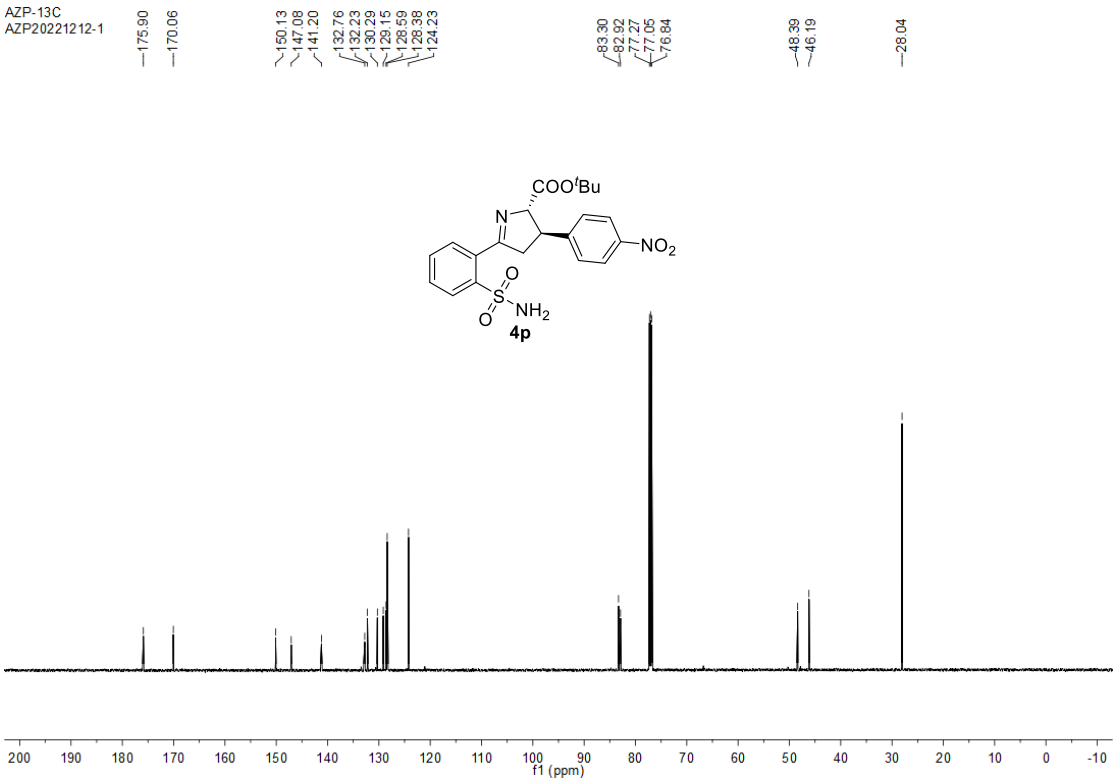
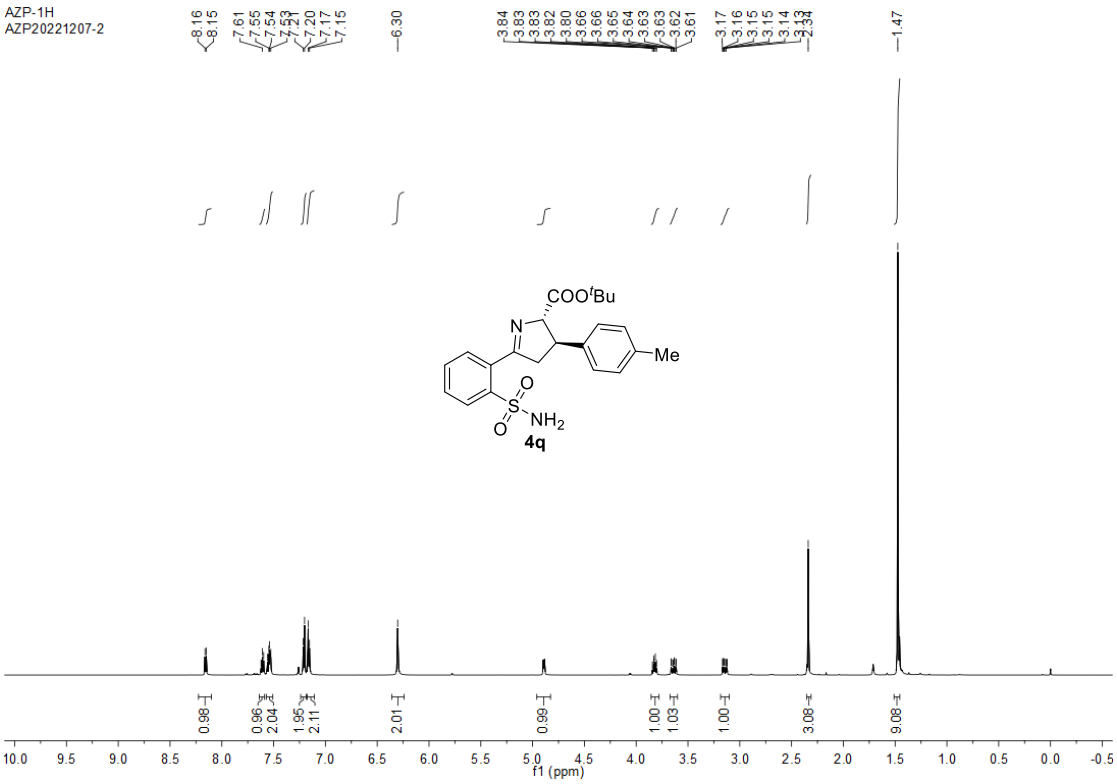
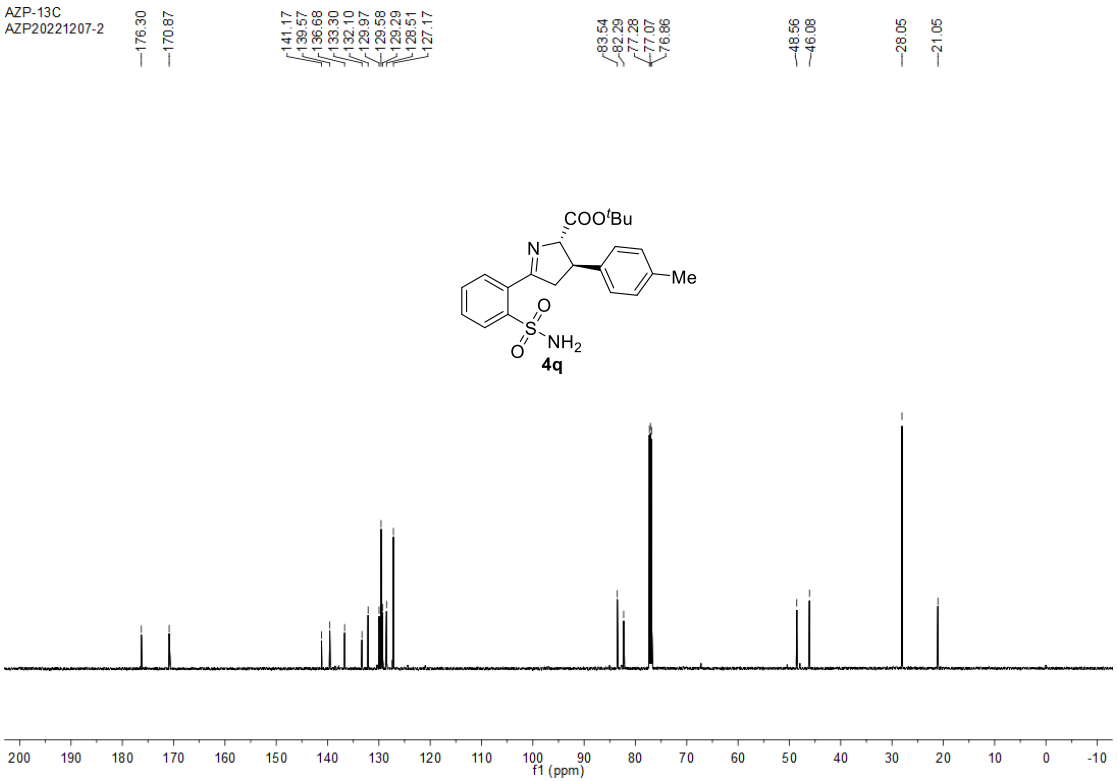
8.22
8.18
8.17
7.64
7.64
7.63
7.63
7.59
7.59
7.56
7.55
7.53
7.51
6.22
4.94
4.93
3.99
3.99
3.98
3.98
3.75
3.72
3.72
3.21
3.21
3.20
3.19
3.18
3.18
3.17
3.16
1.48
COO'Bu
N
NO2
O
S
O
NH2
4p
1.97
0.96
1.00
1.12
1.05
1.95
2.00
0.97
0.99
1.00
1.00
9.01
f1 (ppm)


AZP-13C
AZP20221212-1
175.90
170.06
150.13
147.08
141.20
132.76
132.23
130.29
129.15
128.59
128.38
124.23
83.30
82.92
77.27
77.05
76.84
48.39
46.19
28.04
COO'Bu
N
NO2
O
S
O
NH2
4p
f1 (ppm)


AZP-1H
AZP20221207-2
8.16
8.15
7.61
7.55
7.54
7.53
7.51
7.20
7.17
7.15
6.30
3.84
3.83
3.83
3.82
3.80
3.66
3.66
3.65
3.64
3.63
3.63
3.62
3.61
3.17
3.16
3.15
3.15
3.14
3.13
2.34
1.47
COO^{t}Bu
N
Me
O
S
O
NH$_2$
4q
0.98
0.96
2.04
1.95
2.11
2.01
0.99
1.00
1.03
1.00
3.08
9.08
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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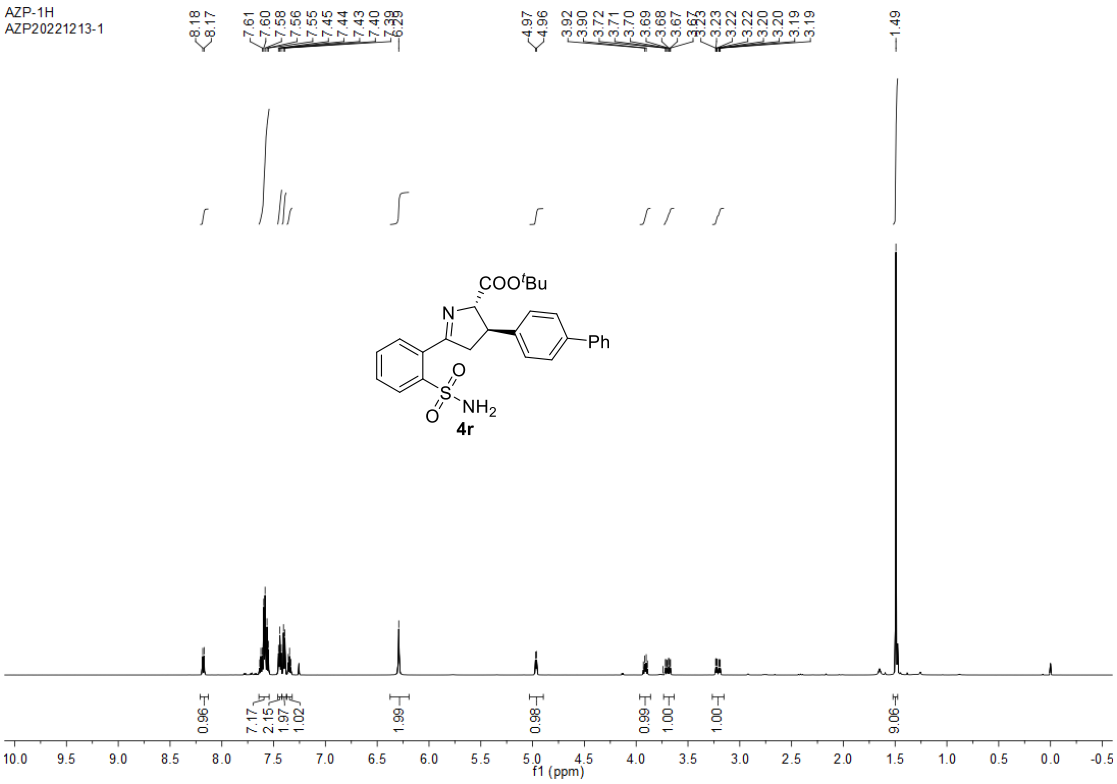
170.87
141.17
139.57
136.68
133.30
132.10
129.97
129.58
129.29
128.51
127.17
83.54
82.29
77.28
77.07
76.86
48.56
46.08
28.05
21.05
COO^{t}Bu
N
Me
O
S
O
NH$_2$
4q
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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AZP20221213-1
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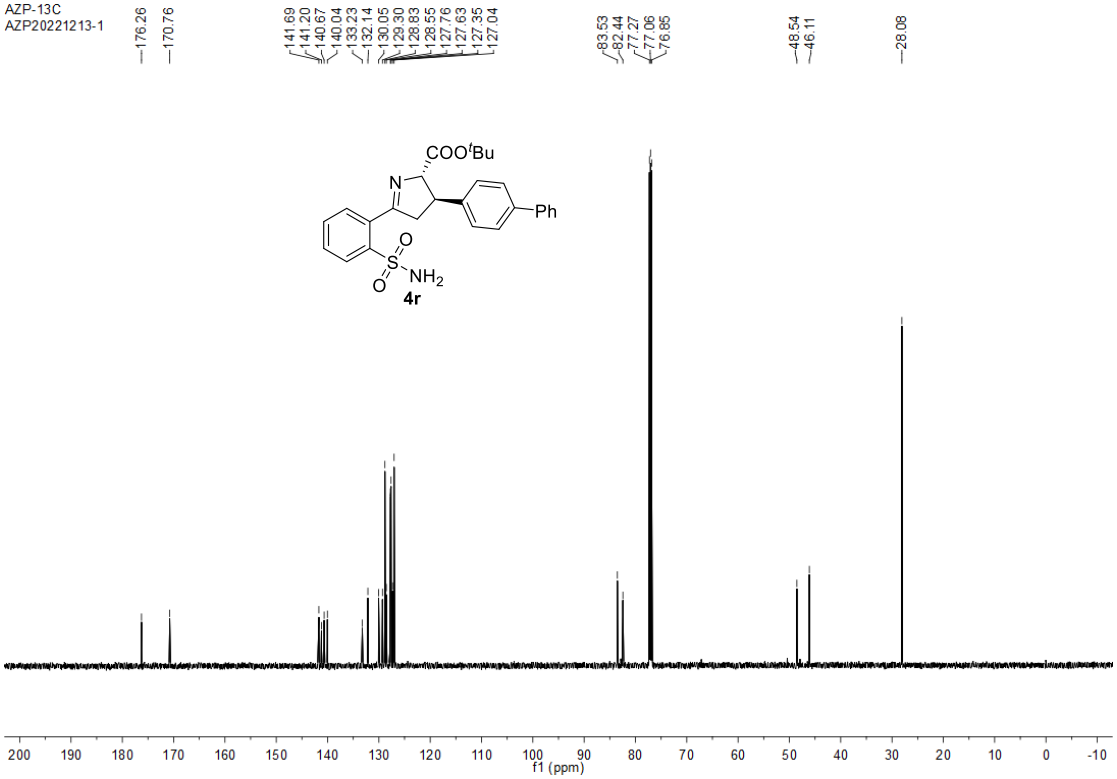
8.17
7.61
7.60
7.58
7.56
7.55
7.45
7.44
7.43
7.40
7.39
6.29
4.97
4.96
3.92
3.90
3.72
3.71
3.70
3.69
3.68
3.67
3.23
3.22
3.22
3.20
3.20
3.19
3.19
1.49
COO^{t}Bu
N
Ph
O
S
O
NH$_2$
4r
0.96
7.17
2.15
1.97
1.02
1.99
0.98
0.99
1.00
1.00
9.06
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


AZP-13C
AZP20221213-1
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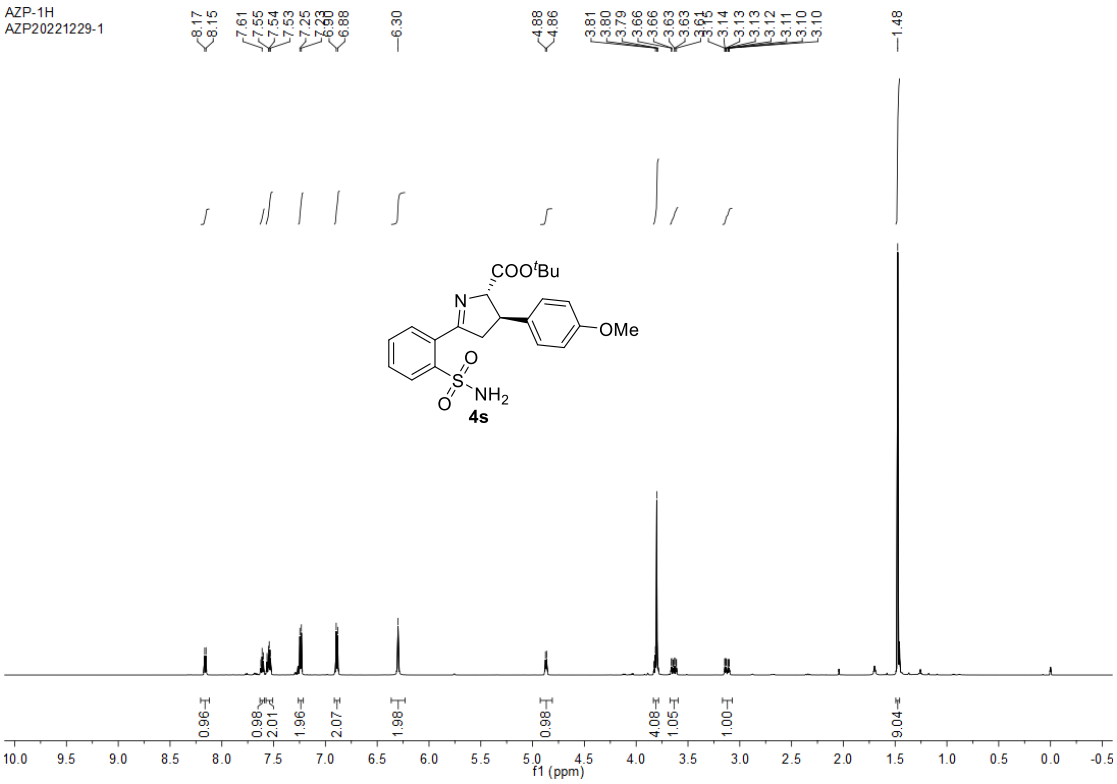
170.76
141.69
141.20
140.67
140.04
133.23
132.14
130.05
129.30
128.83
128.55
127.76
127.63
127.35
127.04
83.53
82.44
77.27
77.06
76.85
48.54
46.11
28.08
COO^{t}Bu
N
Ph
O
S
O
NH$_2$
4r
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


AZP-1H
AZP20221229-1
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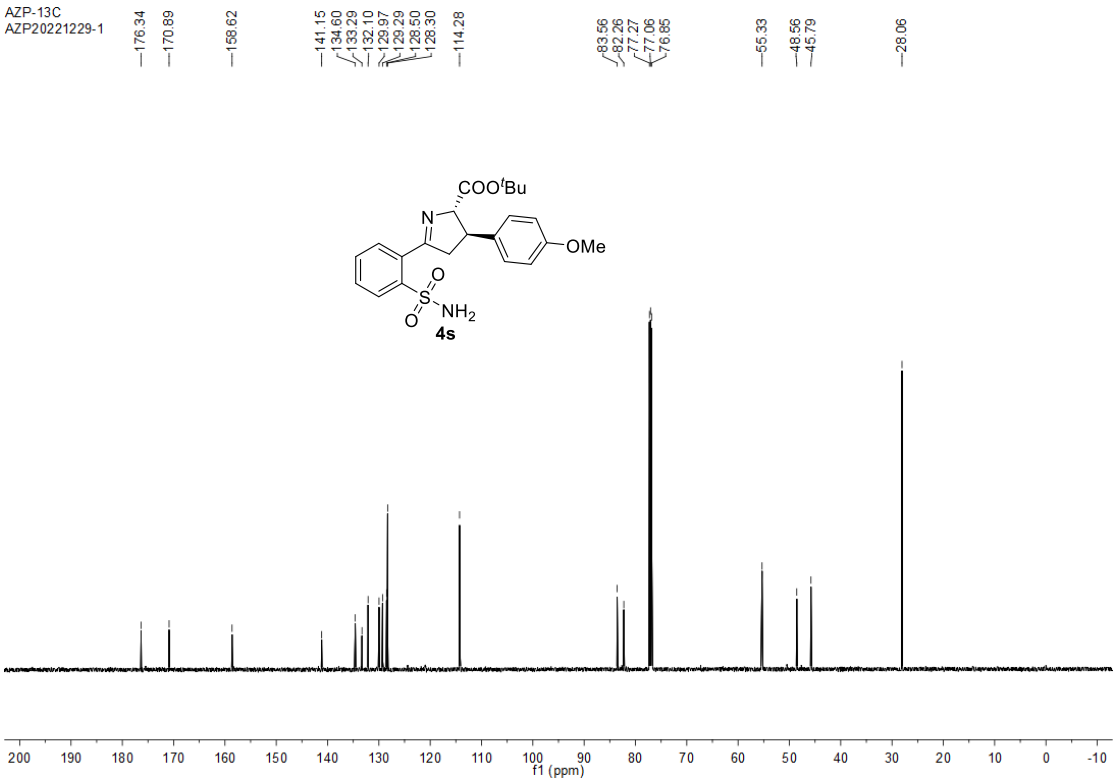
8.15
7.61
7.55
7.54
7.53
7.25
7.23
6.90
6.88
6.30
4.88
4.86
3.81
3.80
3.79
3.66
3.66
3.63
3.63
3.61
3.15
3.14
3.13
3.13
3.12
3.11
3.10
3.10
1.48
COO^{t}Bu
N
OMe
O
S
O
NH$_2$
4s
0.96
0.98
2.01
1.96
2.07
1.98
0.98
4.08
1.05
1.00
9.04
f1 (ppm)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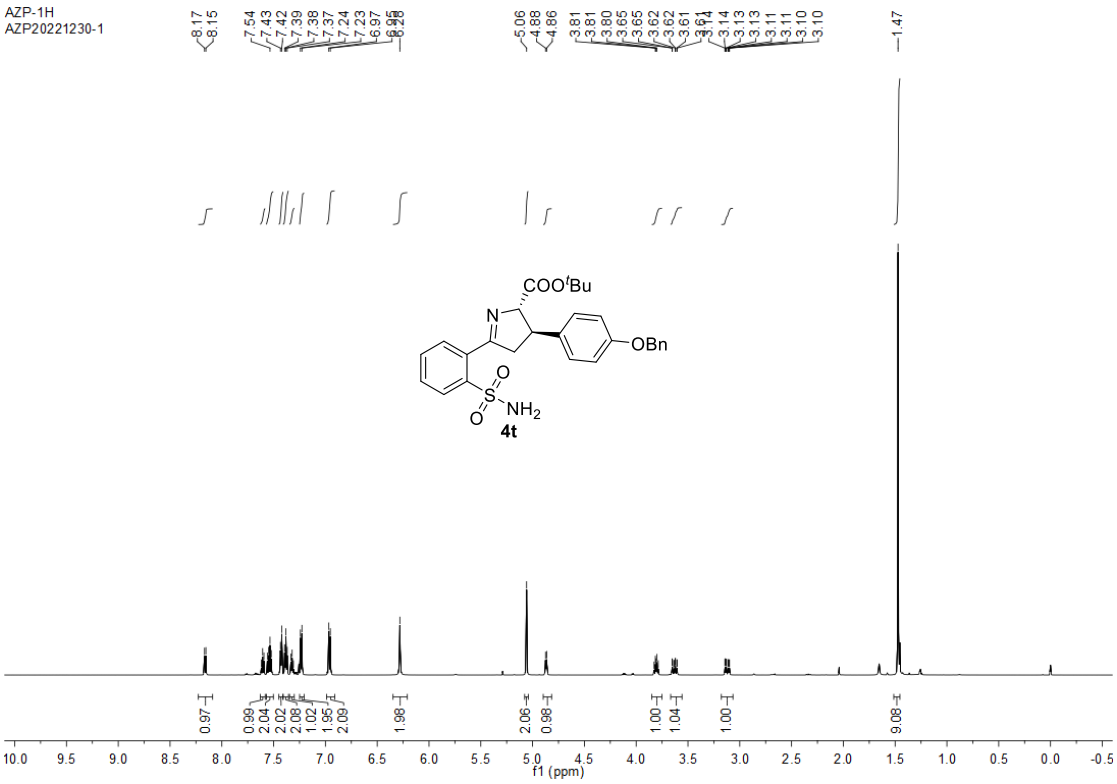
141.15
134.60
133.29
132.10
129.97
129.29
128.50
128.30
114.28
83.56
82.26
77.27
77.06
76.85
55.33
48.56
45.79
28.06
COO^{t}Bu
N
OMe
O
S
O
NH$_2$
4s
f1 (ppm)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AZP20221230-1
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8.15
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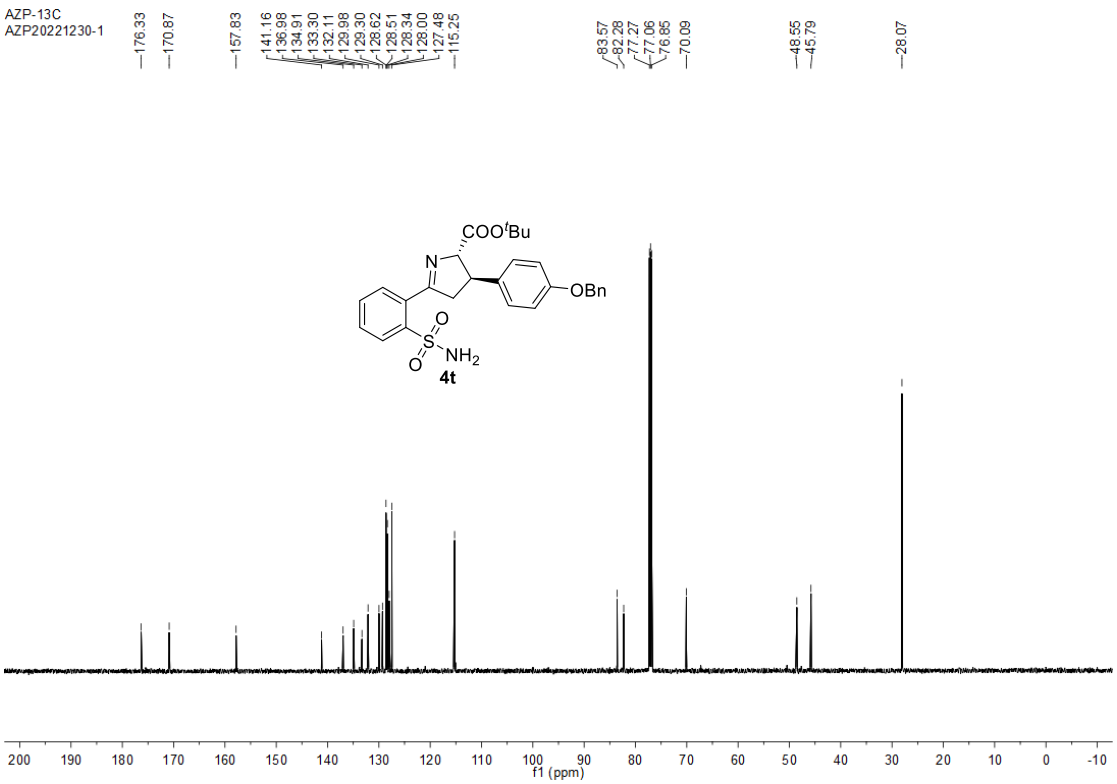
7.42
7.39
7.38
7.37
7.24
7.23
6.97
6.95
6.28
5.06
4.88
4.86
3.81
3.81
3.80
3.65
3.65
3.62
3.62
3.61
3.61
3.14
3.13
3.13
3.11
3.11
3.10
3.10
1.47
COO'Bu
N
OBn
O
S
O
NH2
4t
0.97
0.99
2.04
2.02
2.08
1.02
1.95
2.09
1.98
2.06
0.98
1.00
1.04
1.00
9.08
f1 (ppm)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AZP20221230-1
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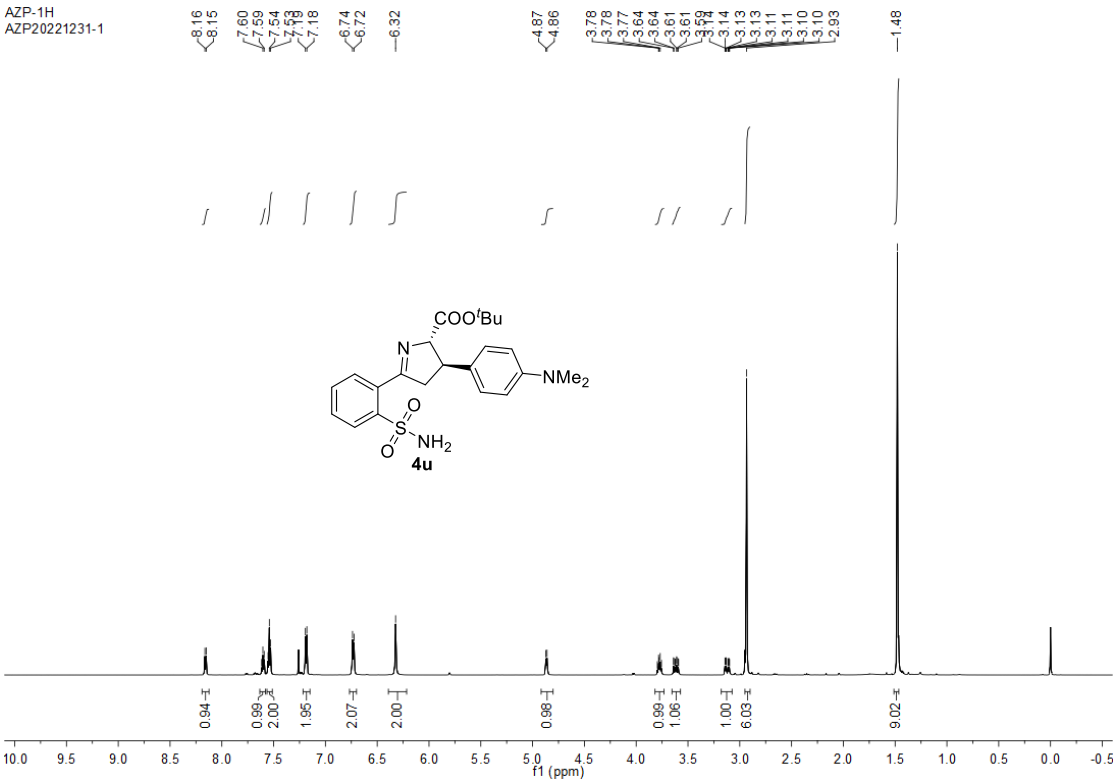
136.98
134.91
133.30
132.11
129.98
129.30
128.62
128.51
128.34
128.00
127.48
115.25
83.57
82.28
77.27
77.06
76.85
70.09
48.55
45.79
28.07
COO'Bu
N
OBn
O
S
O
NH2
4t
f1 (ppm)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AZP20221231-1
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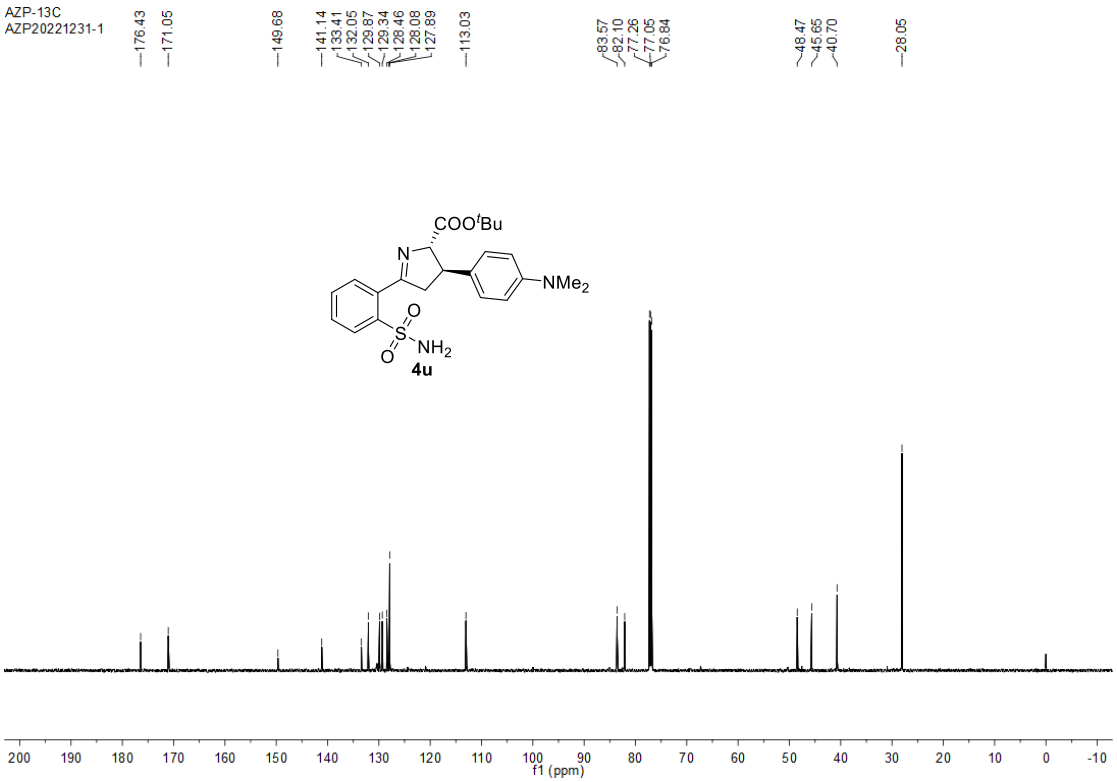
8.15
7.60
7.59
7.54
7.53
7.19
7.18
6.74
6.72
6.32
4.87
4.86
3.78
3.78
3.77
3.64
3.64
3.61
3.61
3.59
3.14
3.13
3.13
3.11
3.11
3.10
3.10
2.93
1.48
COO'Bu
N
NMe2
O
S
O
NH2
4u
0.94
0.99
2.00
1.95
2.07
2.00
0.98
0.99
1.06
1.00
6.03
9.02
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


AZP-13C
AZP20221231-1
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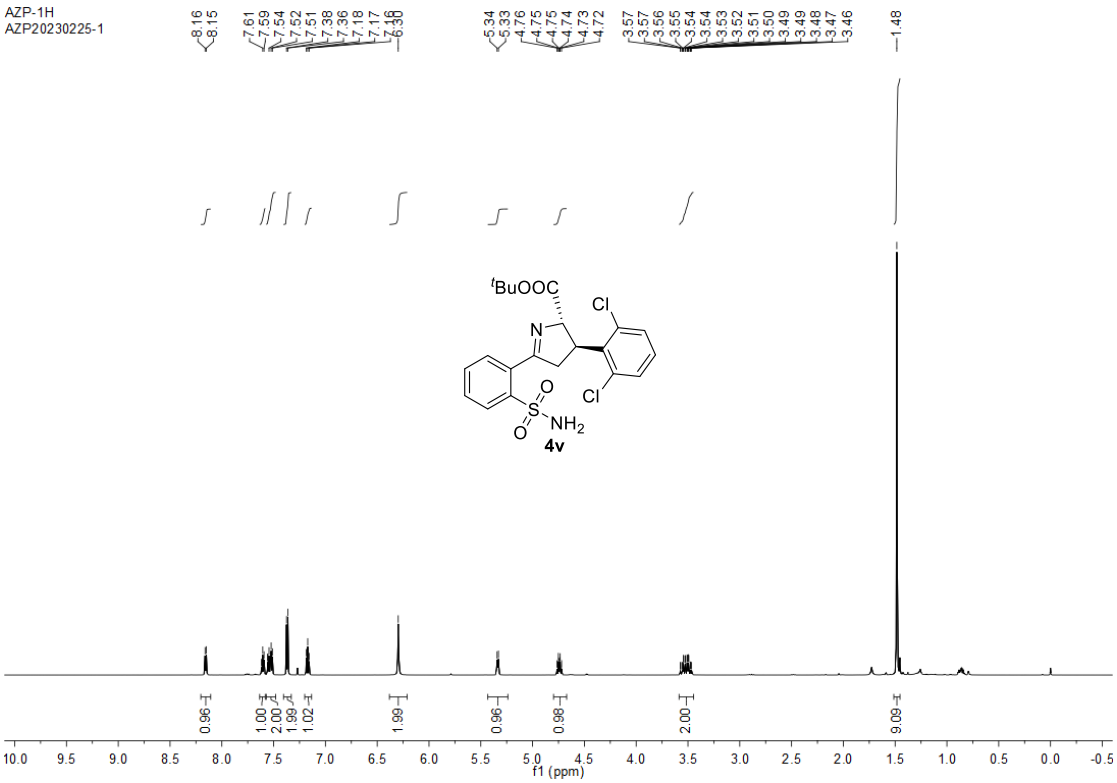
171.05
149.68
141.14
133.41
132.05
129.87
129.34
128.46
128.08
127.89
113.03
83.57
82.10
77.26
77.05
76.84
48.47
45.65
40.70
28.05
COO'Bu
N
NMe2
O
S
O
NH2
4u
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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AZP20230225-1
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7.54
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7.51
7.38
7.36
7.18
7.17
7.16
6.30
5.34
5.33
4.76
4.75
4.75
4.74
4.73
4.72
3.57
3.57
3.56
3.55
3.54
3.54
3.53
3.52
3.51
3.50
3.49
3.49
3.48
3.47
3.46
1.48
tBuOOC
Cl
N
Cl
O
S
O
NH2
4v
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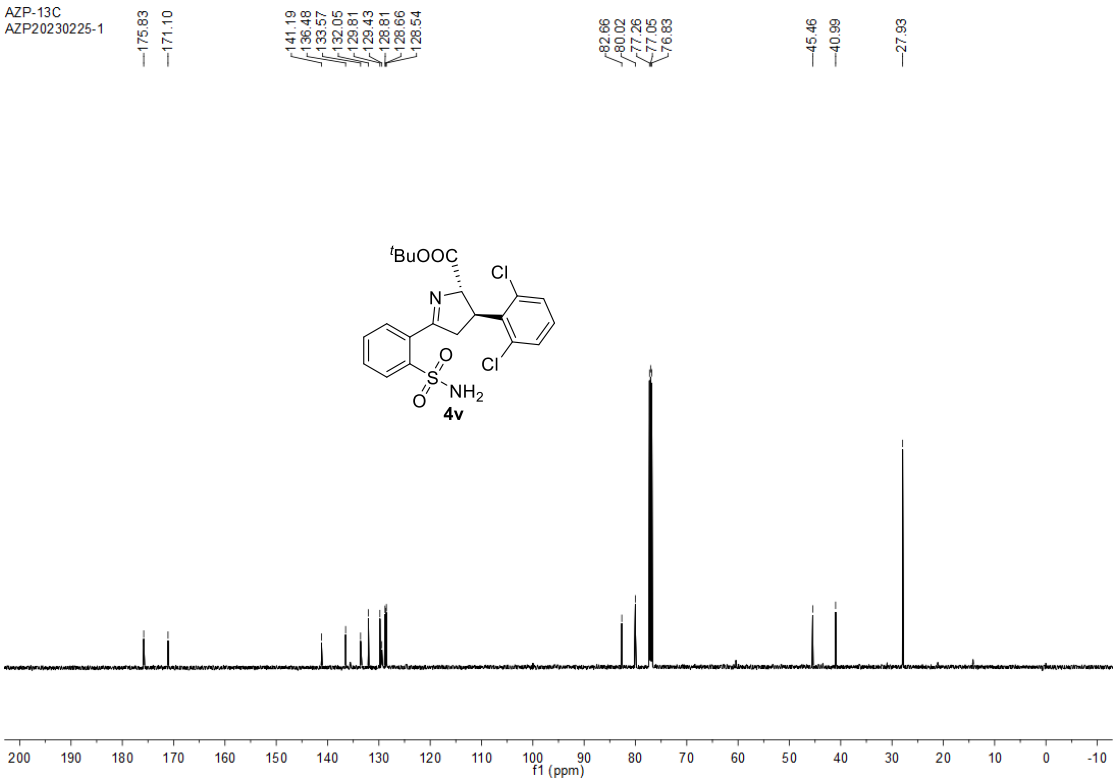
2.00
1.99
1.02
1.99
0.96
0.98
2.00
9.09
f1 (ppm)


AZP-13C
AZP20230225-1
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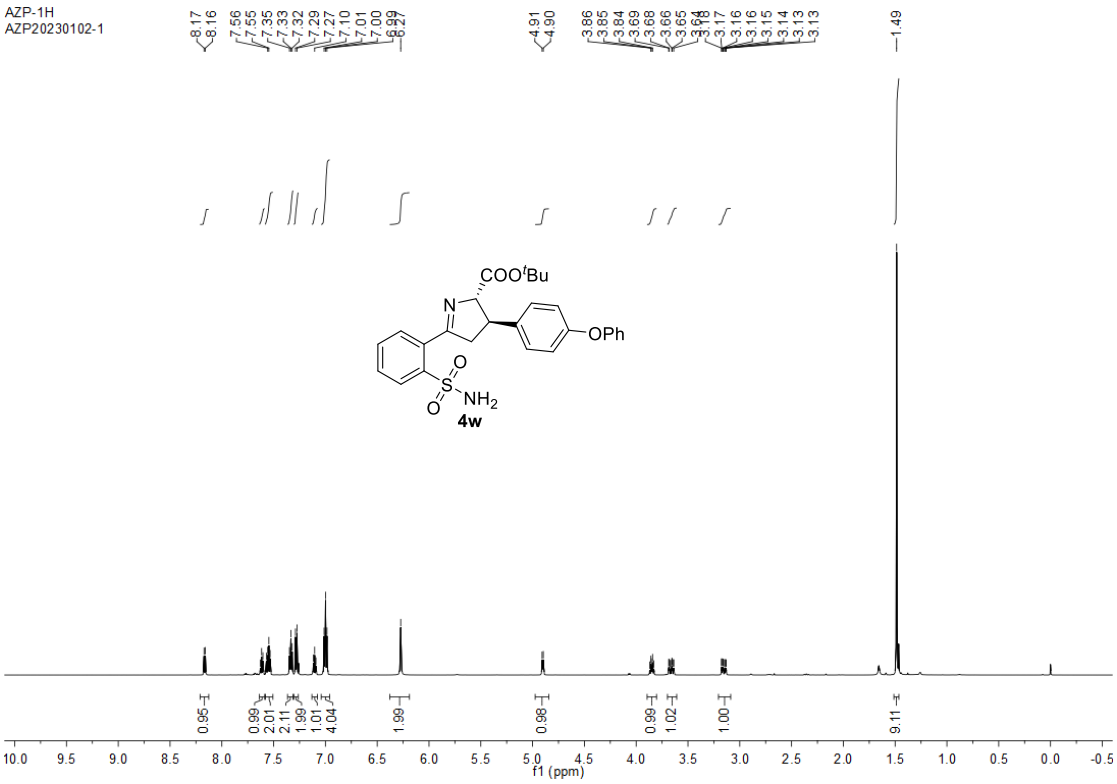
171.10
141.19
136.48
133.57
132.05
129.81
129.43
128.81
128.66
128.54
82.66
80.02
77.26
77.05
76.83
45.46
40.99
27.93
tBuOOC
Cl
N
Cl
O
S
O
NH2
4v
f1 (ppm)


AZP-1H
AZP20230102-1
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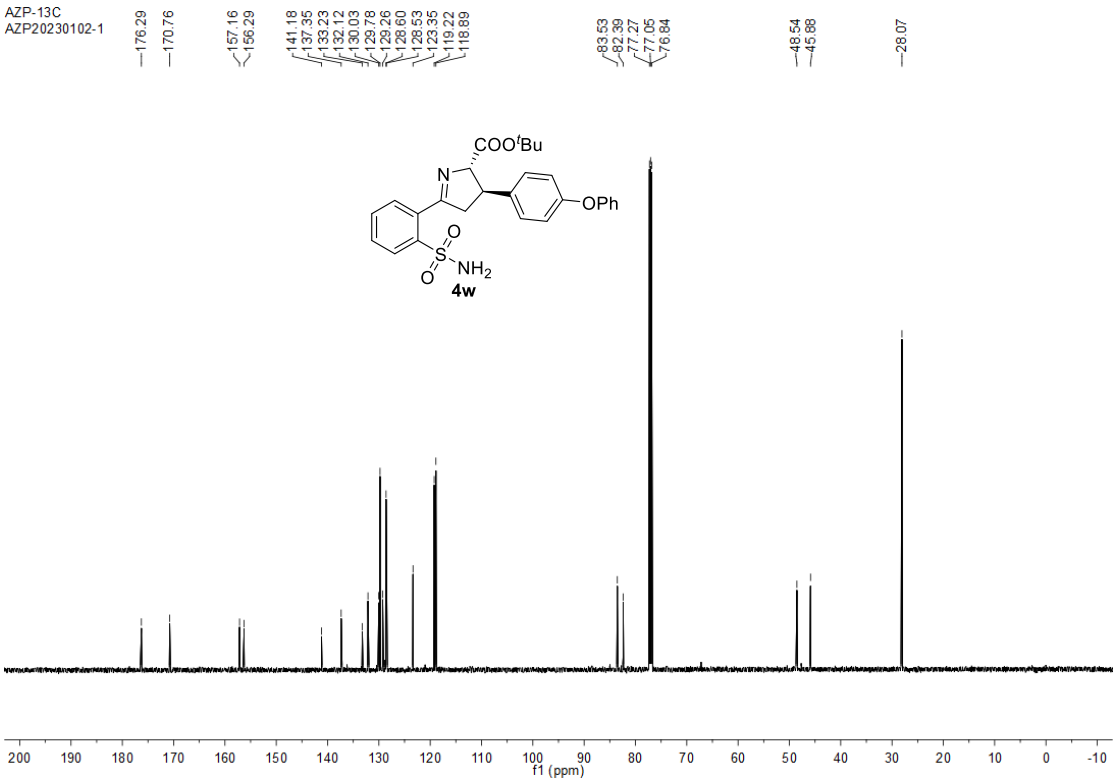
7.33
7.32
7.29
7.27
7.10
7.01
7.00
6.99
6.97
4.91
4.90
3.86
3.85
3.84
3.69
3.68
3.66
3.65
3.64
3.18
3.17
3.16
3.16
3.15
3.14
3.13
3.13
1.49
COO'Bu
N
OPh
O
S
O
NH2
4w
0.95
0.99
2.01
1.99
1.01
4.04
1.99
0.98
0.99
1.02
1.00
9.11
f1 (ppm)


AZP-13C
AZP20230102-1
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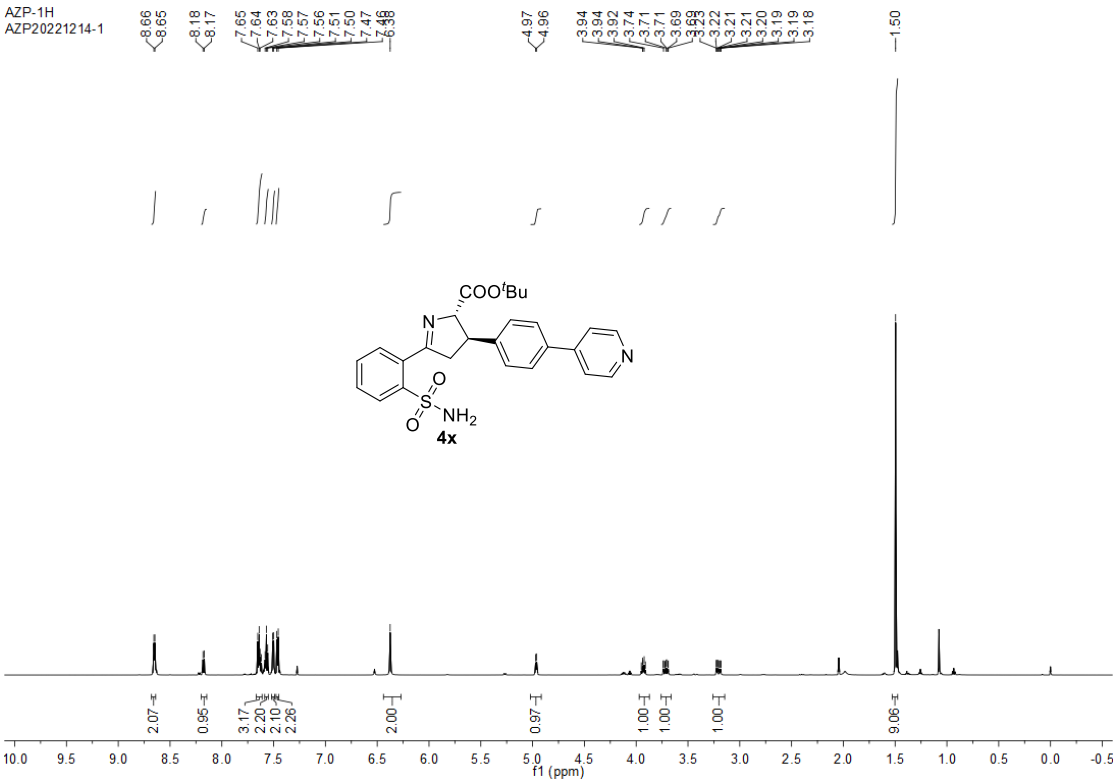
170.76
157.16
156.29
141.18
137.35
133.23
132.12
130.03
129.78
129.26
128.60
128.53
123.35
119.22
118.89
83.53
82.39
77.27
77.05
76.84
48.54
45.88
28.07
COO'Bu
N
OPh
O
S
O
NH2
4w
f1 (ppm)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AZP20221214-1
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8.18
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7.64
7.63
7.58
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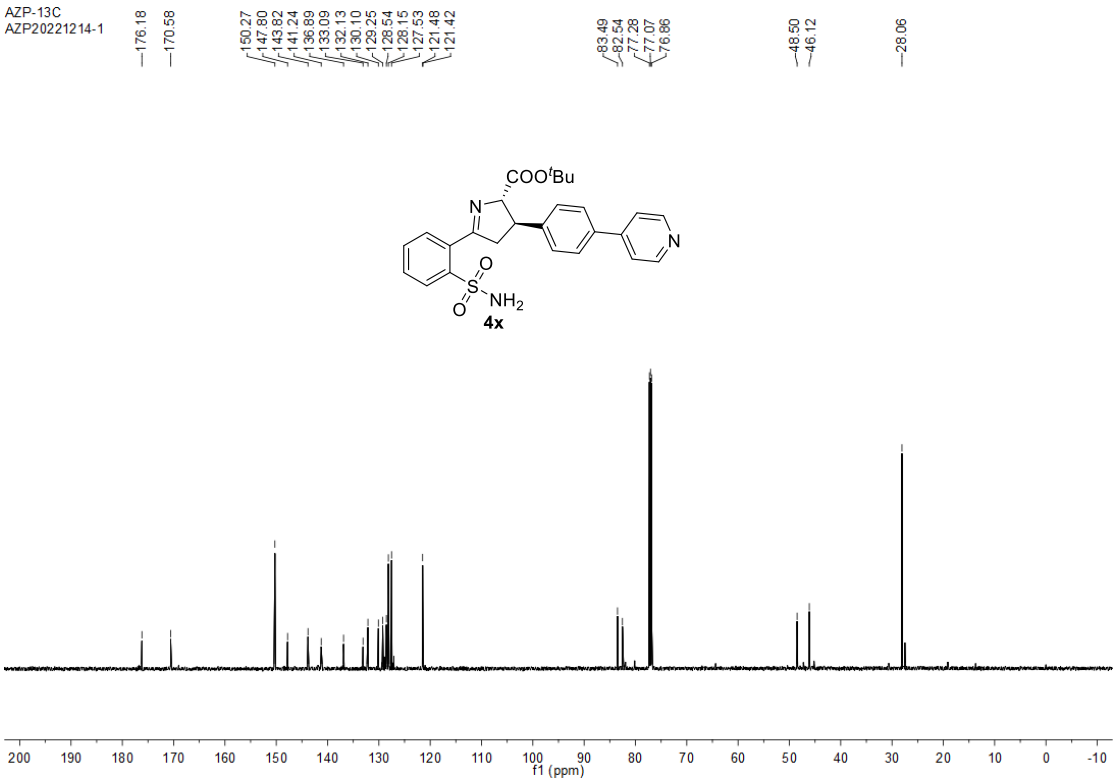
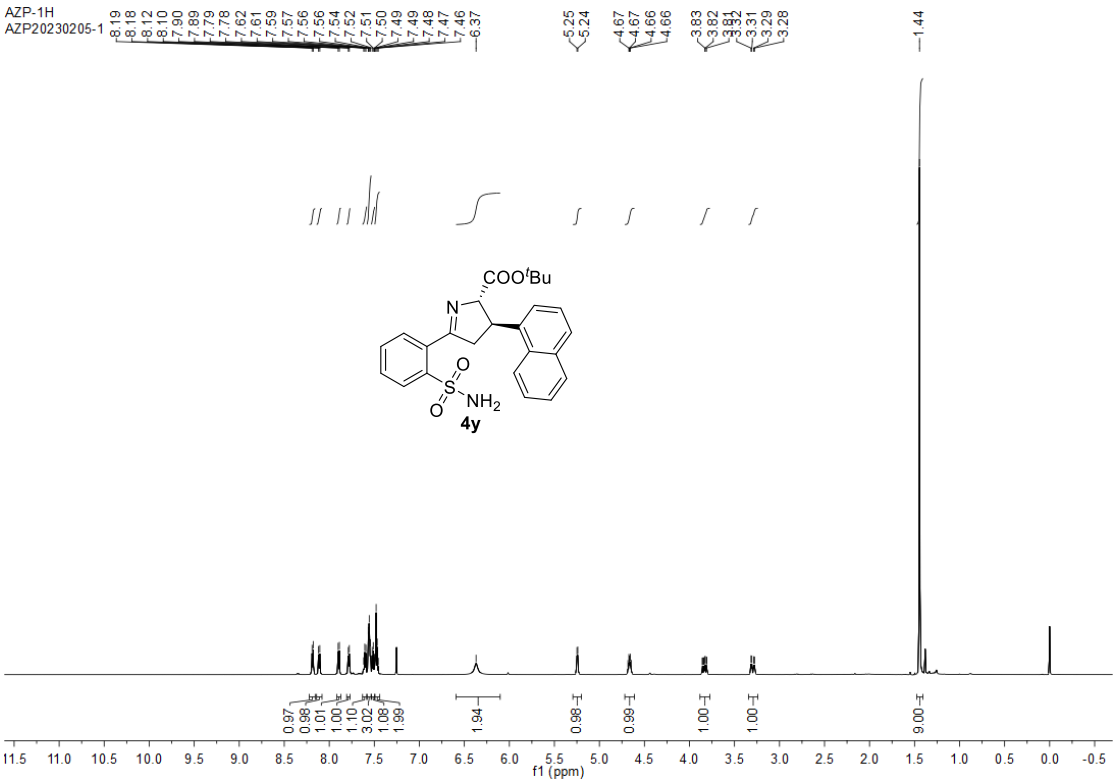
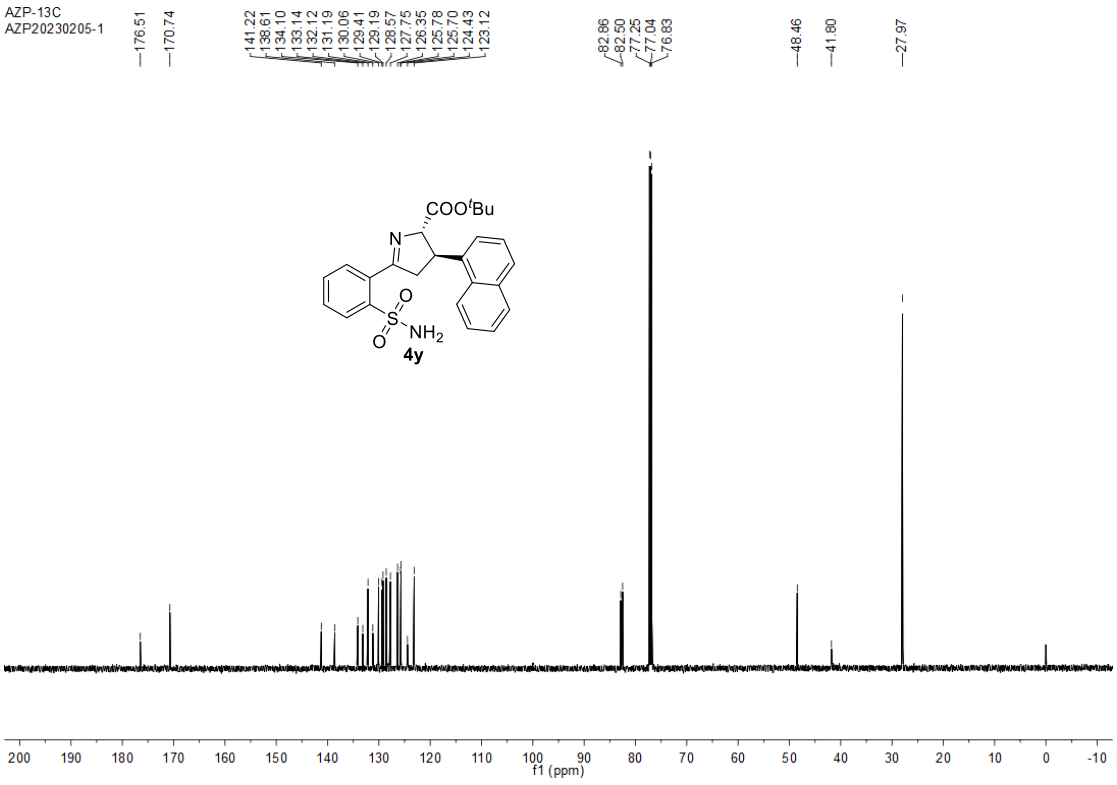
7.56
7.51
7.50
7.47
4.97
4.96
3.94
3.94
3.92
3.74
3.71
3.71
3.69
3.22
3.21
3.21
3.20
3.19
3.19
3.18
1.50
COO^{t}Bu
N
O
S
O
NH$_2$
4x
2.07
0.95
3.17
2.20
2.10
2.26
2.00
0.97
1.00
1.00
1.00
9.06
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


AZP-13C
AZP20221214-1
176.18
170.58
150.27
147.80
143.82
141.24
136.89
133.09
132.13
130.10
129.25
128.54
128.15
127.53
121.48
121.42
83.49
82.54
77.28
77.07
76.86
48.50
46.12
28.06
COO^{t}Bu
N
O
S
O
NH$_2$
4x
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


AZP-1H
AZP20230205-1
8.19
8.18
8.12
8.10
7.90
7.89
7.79
7.78
7.62
7.61
7.59
7.57
7.56
7.56
7.54
7.52
7.51
7.50
7.49
7.49
7.48
7.47
7.46
6.37
5.25
5.24
4.67
4.67
4.66
4.66
3.83
3.82
3.81
3.32
3.31
3.29
3.28
1.44
COO^{t}Bu
N
O
S
O
NH$_2$
4y
0.97
0.98
1.01
1.00
1.10
3.02
1.08
1.99
1.94
0.98
0.99
1.00
1.00
9.00
f1 (ppm)


AZP-13C
AZP20230205-1
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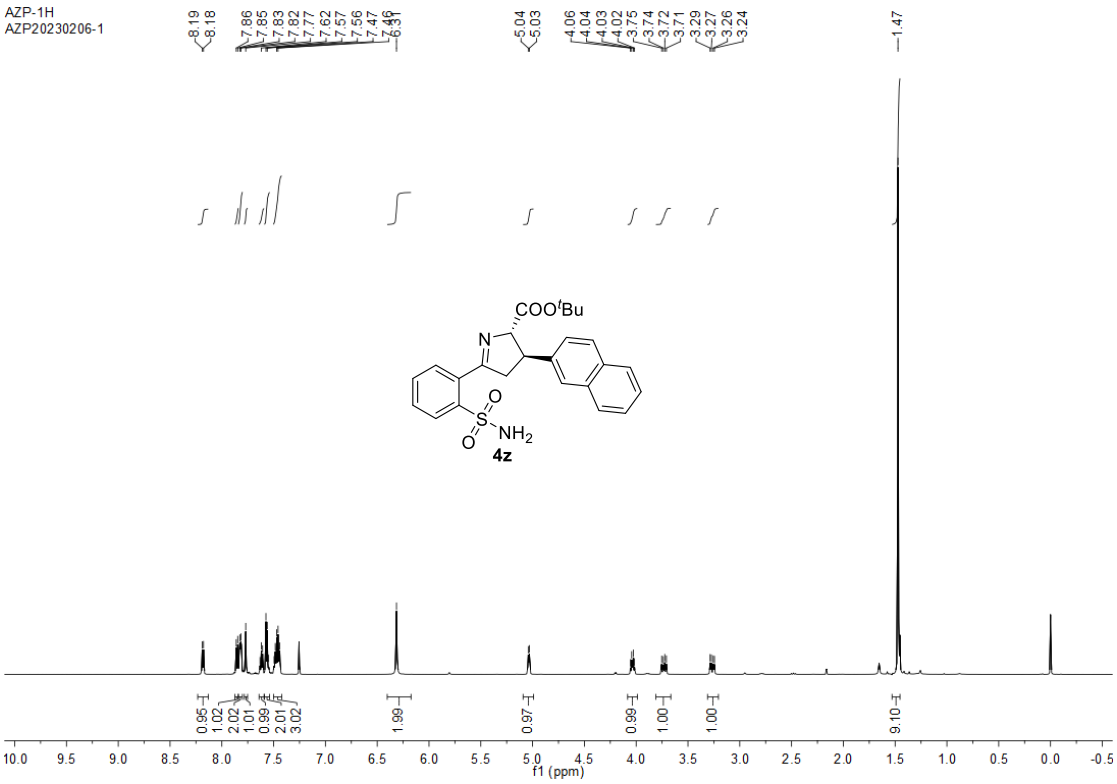
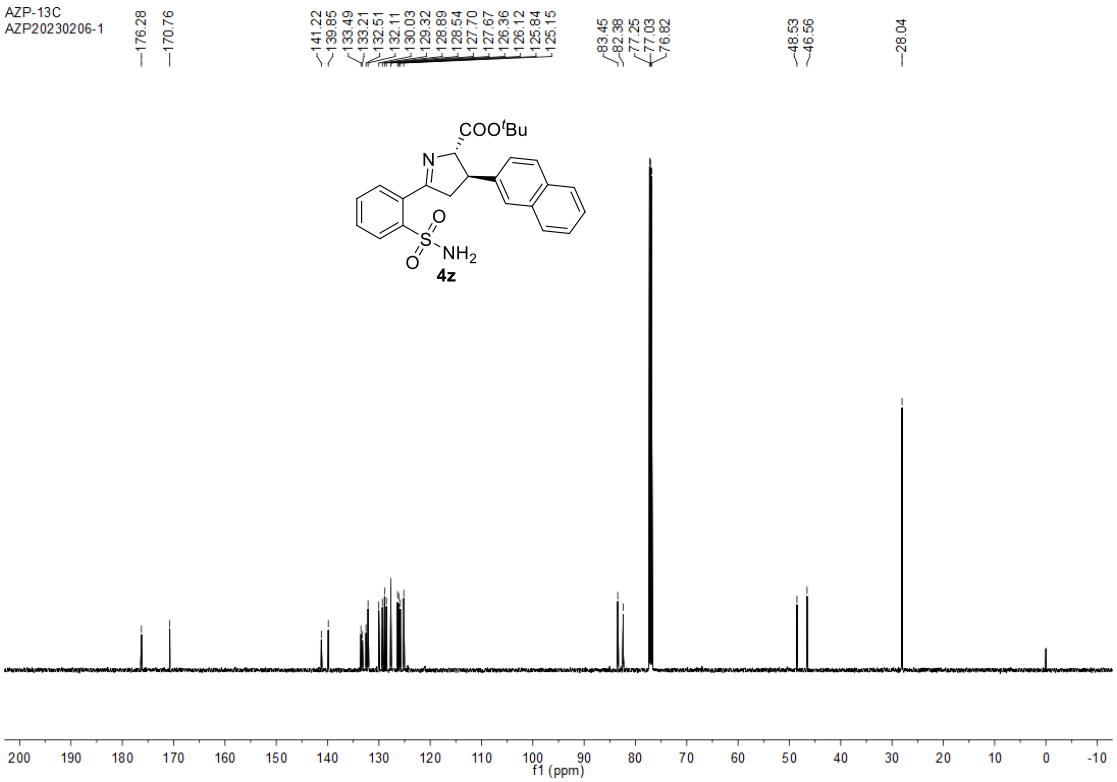
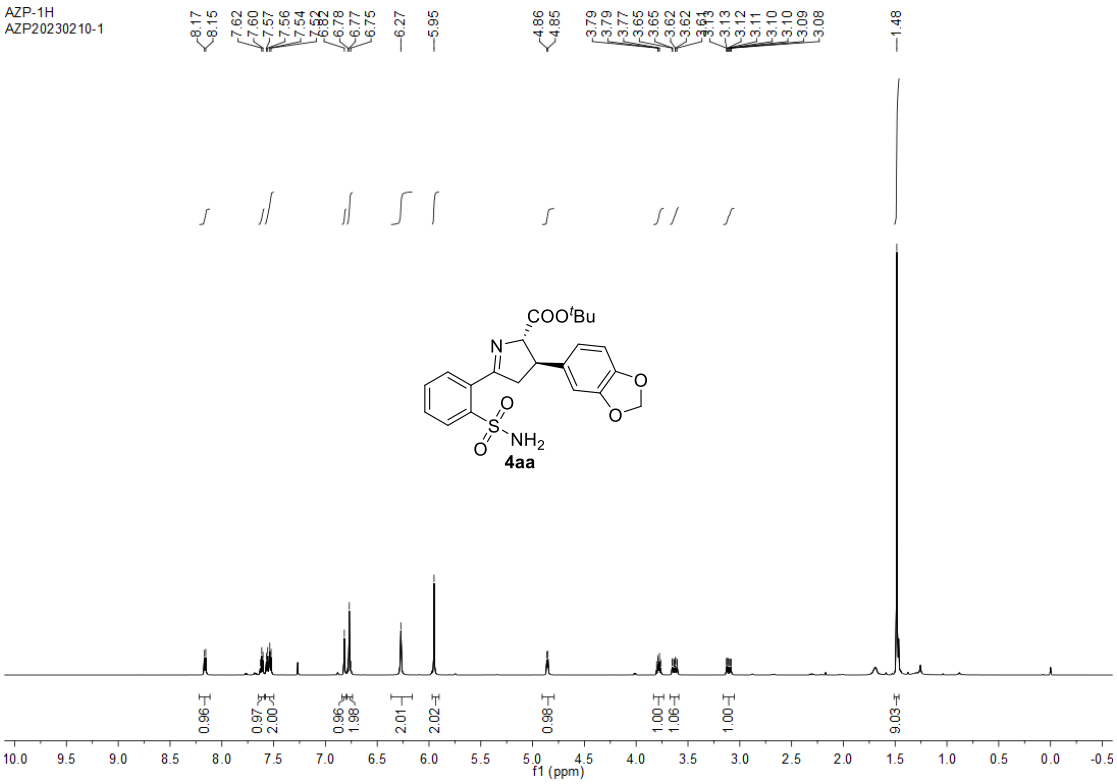
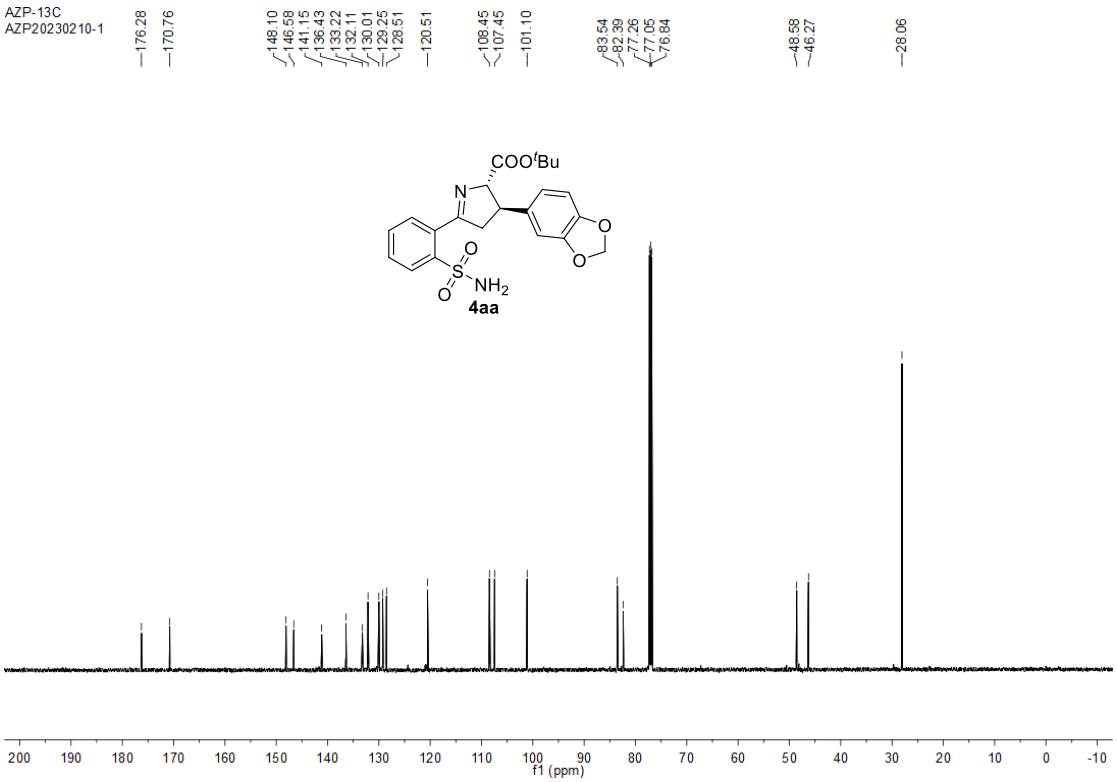
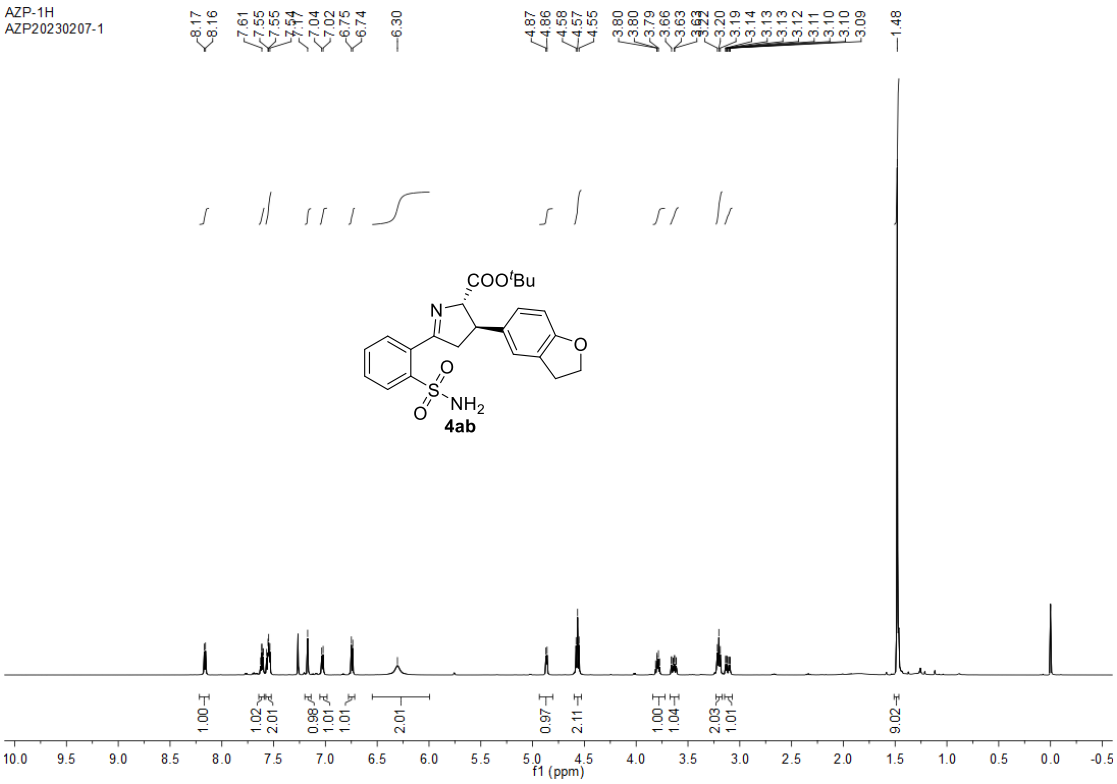
170.74
141.22
138.61
134.10
133.14
132.12
131.19
130.06
129.41
129.19
128.57
127.75
126.95
125.78
125.70
124.43
123.12
82.86
82.50
77.25
77.04
76.83
48.46
41.80
27.97
COO^{t}Bu
N
O
S
O
NH$_2$
4y
f1 (ppm)

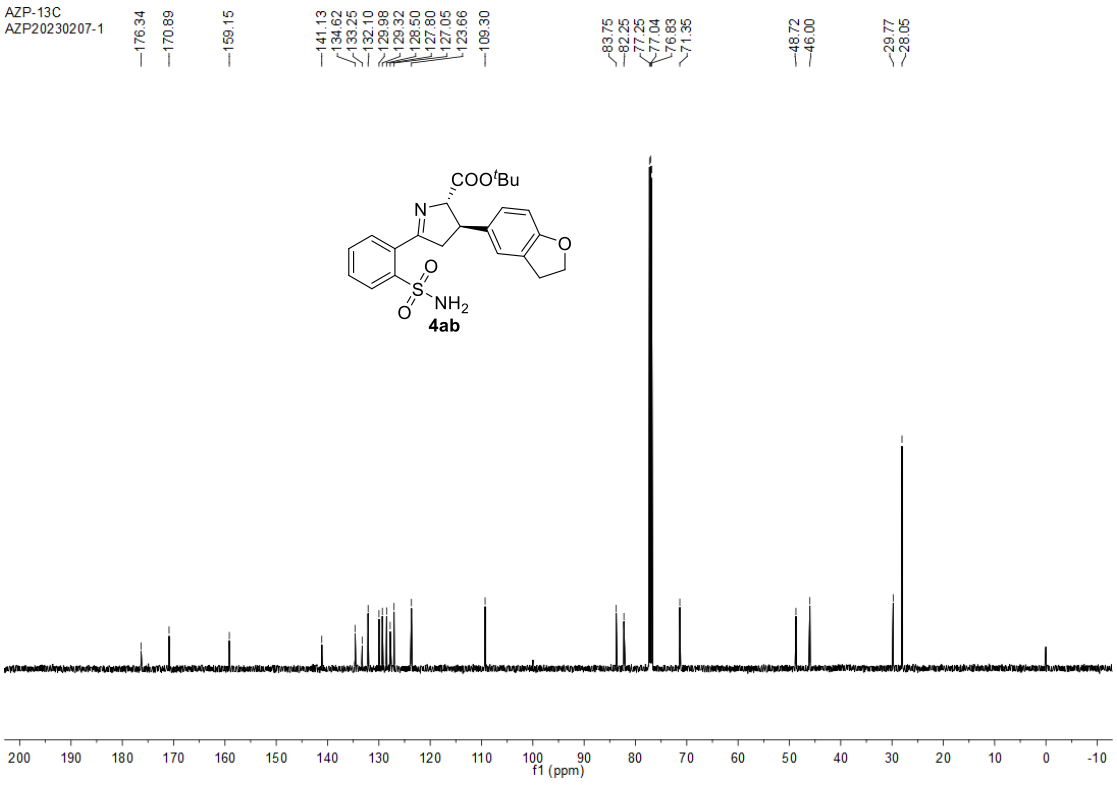

AZP-1H
AZP20230206-1
8.19
8.18
7.86
7.85
7.83
7.82
7.77
7.62
7.57
7.56
7.47
7.46
6.31
5.04
5.03
4.06
4.04
4.03
4.02
3.75
3.74
3.72
3.71
3.29
3.27
3.26
3.24
1.47
COO^{t}Bu
N
O
S
O
NH$_2$
4z
0.95
1.02
2.02
1.01
0.99
2.01
3.02
1.99
0.97
0.99
1.00
1.00
9.10
f1 (ppm)

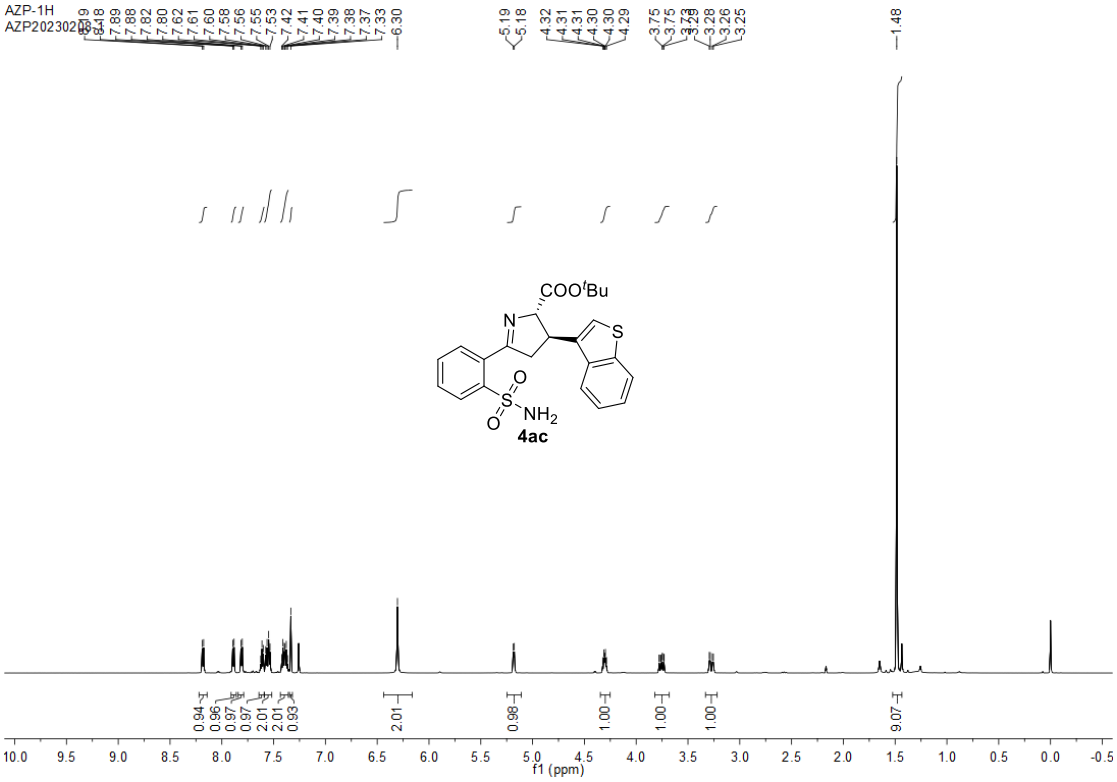

AZP-13C
AZP20230206-1
176.28
170.76
141.22
139.85
133.49
133.21
132.51
132.11
130.03
129.32
128.89
128.54
127.70
127.67
126.36
126.12
125.84
125.15
83.45
82.38
77.25
77.03
76.82
48.53
46.56
28.04
COO^{t}Bu
N
O
S
O
NH$_2$
4z
f1 (ppm)

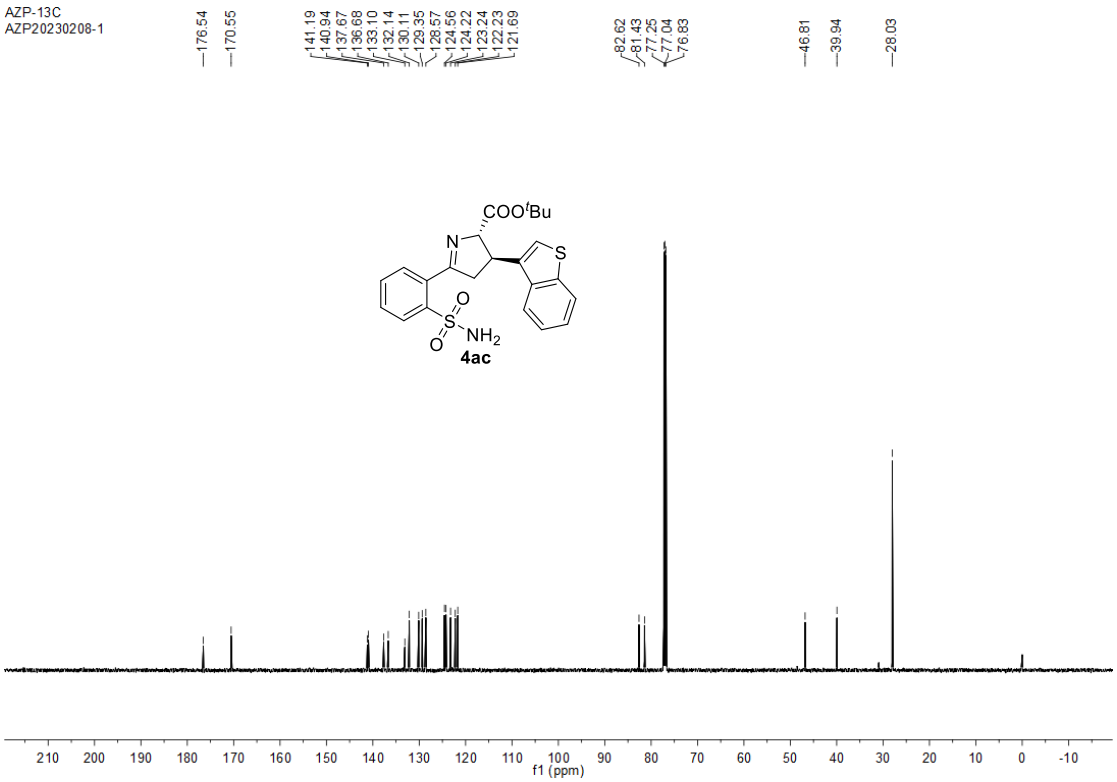

AZP-1H
AZP20230210-1
8.17
8.15
7.62
7.60
7.57
7.56
7.54
6.78
6.77
6.75
6.27
5.95
4.86
4.85
3.79
3.79
3.77
3.65
3.65
3.62
3.62
3.13
3.12
3.11
3.10
3.09
3.08
1.48
COO^{t}Bu
N
O
O
S
O
NH$_2$
4aa
0.96
0.97
2.00
0.96
1.98
2.01
2.02
0.98
1.00
1.06
1.00
9.03
f1 (ppm)

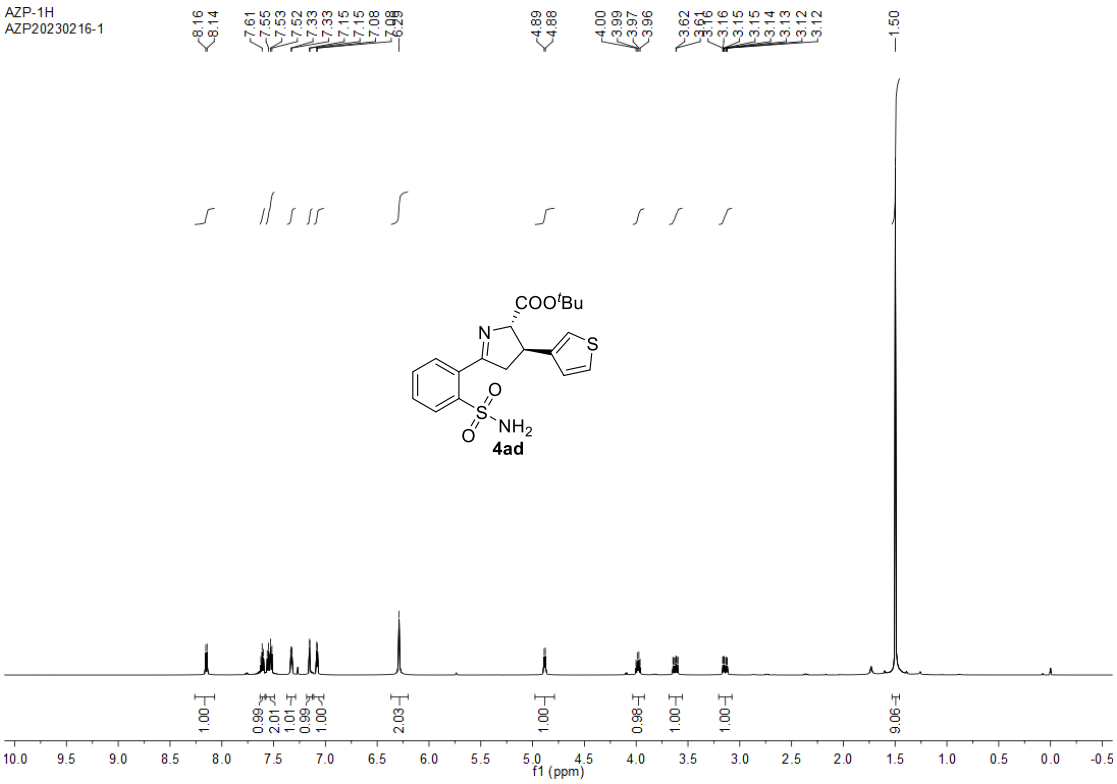

AZP-13C
AZP20230210-1
176.28
170.76
148.10
146.58
141.15
136.43
133.22
132.11
130.01
129.25
128.51
120.51
108.45
107.45
101.10
83.54
82.39
77.26
77.05
76.84
48.58
46.27
28.06
COO^{t}Bu
N
O
O
S
O
NH$_2$
4aa
f1 (ppm)

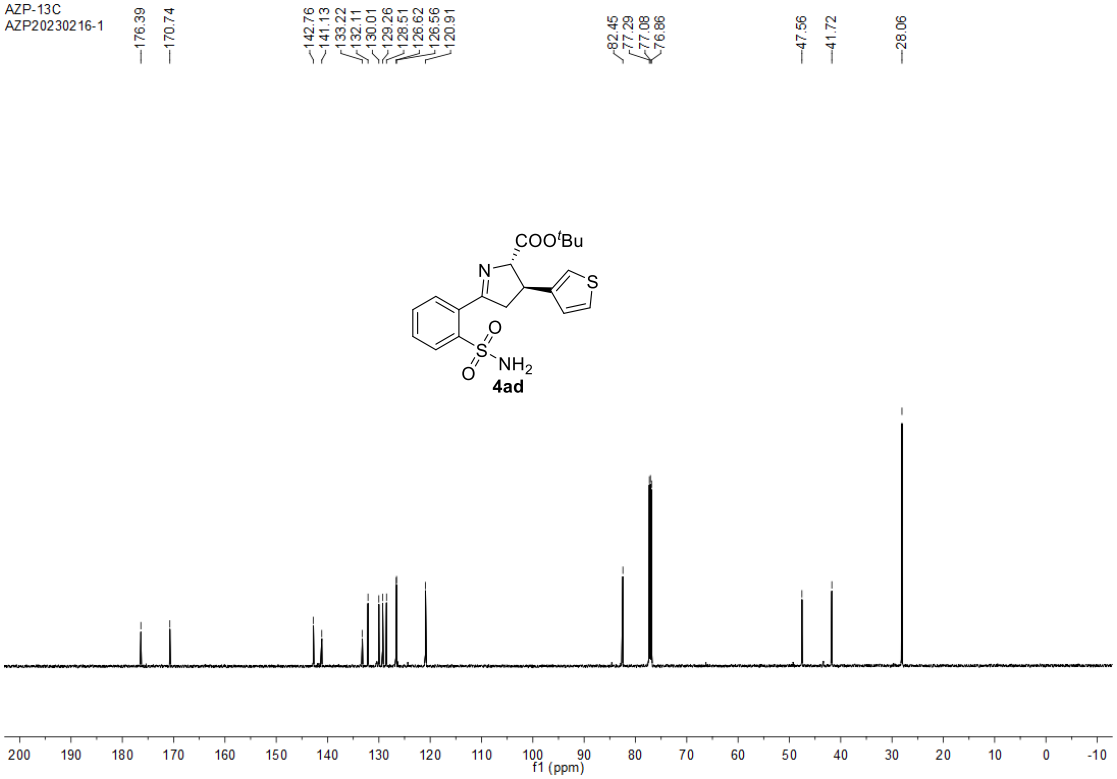

AZP-1H
AZP20230207-1
8.17
8.16
7.61
7.55
7.55
7.54
7.54
7.17
7.04
7.02
6.75
6.74
6.30
4.87
4.86
4.58
4.57
4.55
3.80
3.80
3.79
3.66
3.63
3.63
3.22
3.20
3.19
3.14
3.13
3.13
3.12
3.11
3.10
3.10
3.09
1.48
COO^{t}Bu
N
O
S
O
O
NH$_2$
4ab
1.00
1.02
2.01
0.98
1.01
1.01
2.01
0.97
2.11
1.00
1.04
2.03
1.01
9.02
f1 (ppm)

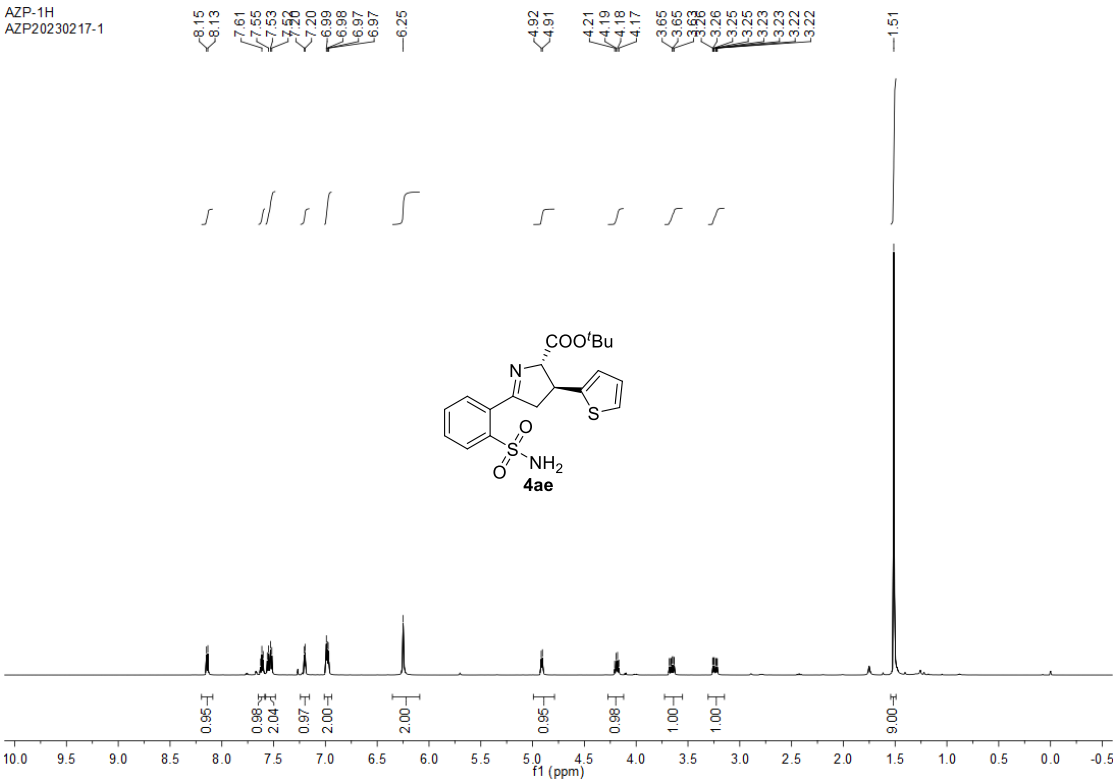

AZP-13C
AZP20230207-1
176.34
170.89
159.15
141.13
134.62
133.25
132.10
129.98
129.32
128.50
127.80
127.05
123.66
109.30
83.75
82.25
77.25
77.04
76.83
71.35
48.72
46.00
29.77
28.05
COO^{t}Bu
N
O
S
O
O
NH$_2$
4ab
f1 (ppm)

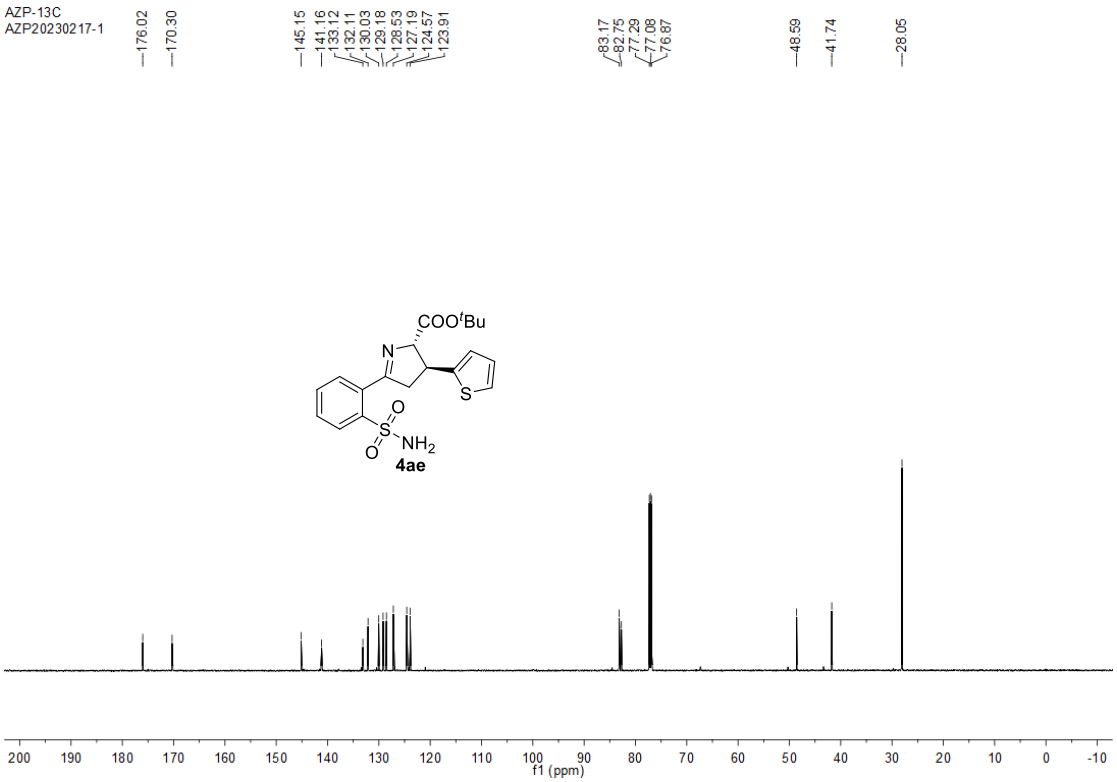

AZP-1H
AZP20230208
7.89
7.88
7.82
7.80
7.62
7.61
7.60
7.58
7.56
7.55
7.53
7.42
7.41
7.40
7.39
7.38
7.37
7.33
6.30
5.19
5.18
4.32
4.31
4.31
4.30
4.30
4.29
3.75
3.75
3.28
3.26
3.25
1.48
COO^{t}Bu
N
S
O
S
O
NH$_2$
4ac
0.94
0.96
0.97
0.97
2.01
2.01
0.93
2.01
0.98
1.00
1.00
1.00
9.07
f1 (ppm)


AZP-13C
AZP20230208-1
176.54
170.55
141.19
140.94
137.67
136.68
133.10
132.14
130.11
129.35
128.57
124.56
124.22
123.24
122.23
121.69
82.62
81.43
77.25
77.04
76.83
46.81
39.94
28.03
COO^{t}Bu
N
S
O
S
O
NH$_2$
4ac
f1 (ppm)


AZP-1H
AZP20230216-1
8.16
8.14
7.61
7.55
7.53
7.52
7.33
7.33
7.15
7.15
7.08
7.08
6.29
4.89
4.88
4.00
3.99
3.97
3.96
3.62
3.61
3.16
3.16
3.15
3.15
3.14
3.13
3.12
3.12
1.50
COO^{t}Bu
N
S
O
S
O
NH$_2$
4ad
1.00
0.99
2.01
1.01
0.99
1.00
2.03
1.00
0.98
1.00
1.00
9.06
f1 (ppm)


AZP-13C
AZP20230216-1
176.39
170.74
142.76
141.13
133.22
132.11
130.01
129.26
128.51
126.62
126.56
120.91
82.45
77.29
77.08
76.86
47.56
41.72
28.06
COO^{t}Bu
N
S
O
S
O
NH$_2$
4ad
f1 (ppm)


AZP-1H
AZP20230217-1
8.15
8.13
7.61
7.55
7.53
7.52
7.20
6.99
6.98
6.97
6.97
6.25
4.92
4.91
4.21
4.19
4.18
4.17
3.65
3.65
3.26
3.25
3.25
3.23
3.23
3.22
3.22
1.51
COO^{t}Bu
N
S
O
S
O
NH$_2$
4ae
0.95
0.98
2.04
0.97
2.00
2.00
0.95
0.98
1.00
1.00
9.00
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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AZP20230217-1
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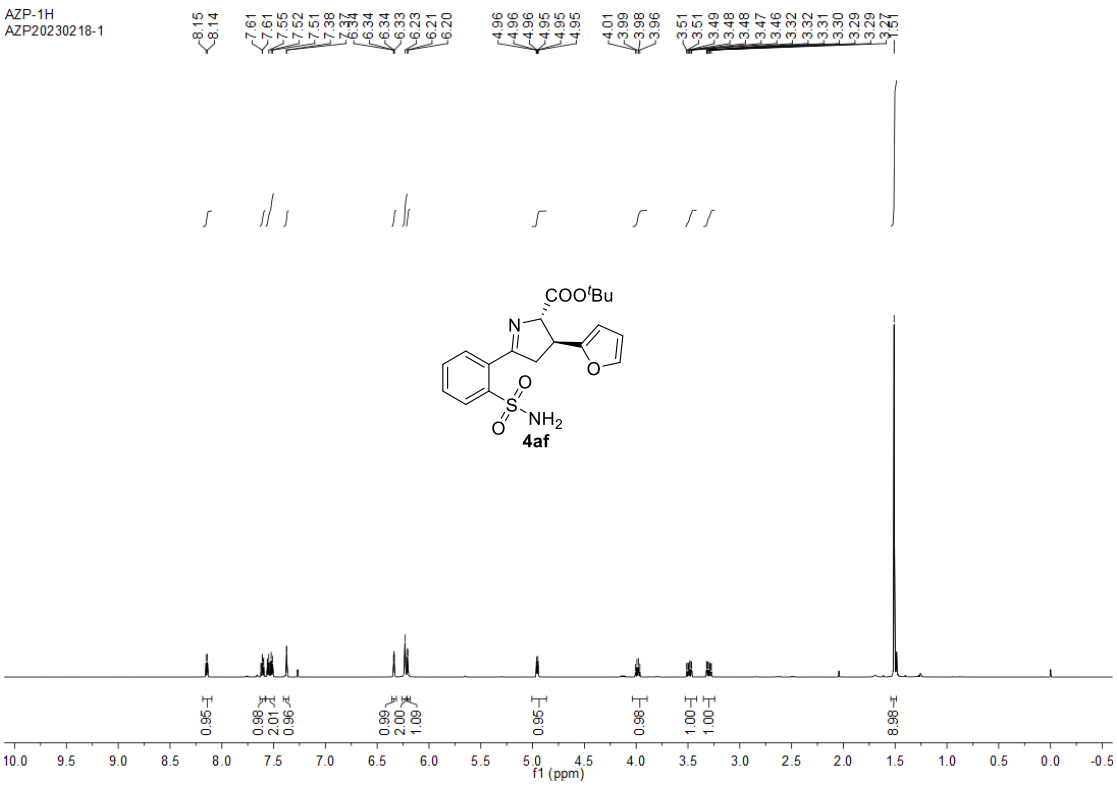
170.30
145.15
141.16
133.12
132.11
130.03
129.18
128.53
127.19
124.57
123.91
83.17
82.75
77.29
77.08
76.87
48.59
41.74
28.05
COO^{t}Bu
N
S
O
S
O
NH$_2$
4ae
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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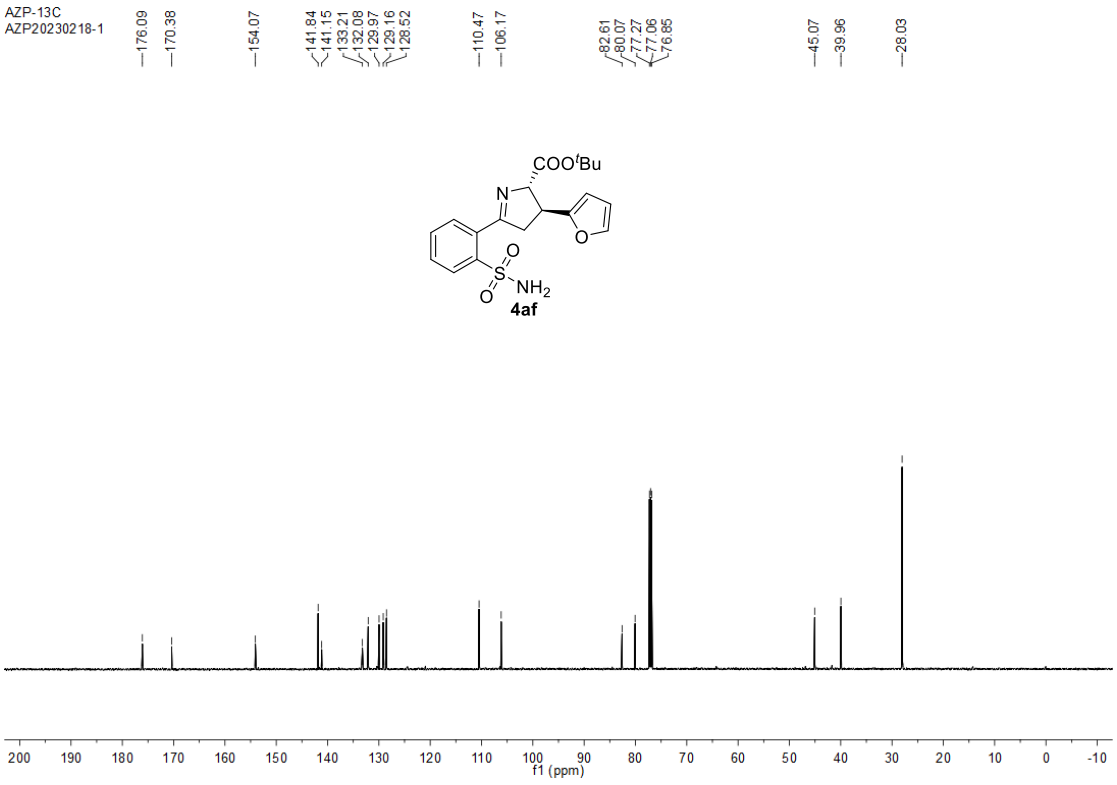
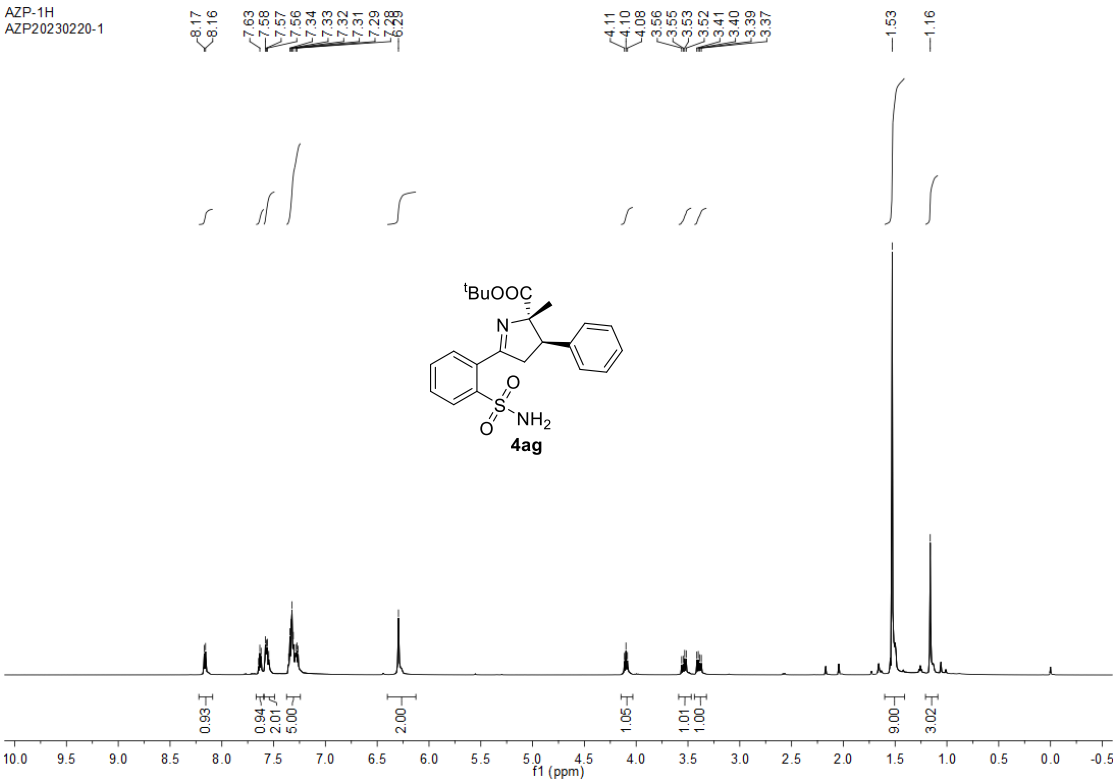
AZP20230218-1
COO^{t}Bu
N
O
S
O
O
NH$_2$
4af
f1 (ppm)


AZP-13C
AZP20230218-1
COO^{t}Bu
N
O
S
O
O
NH$_2$
4af
f1 (ppm)


AZP-1H
AZP20230220-1
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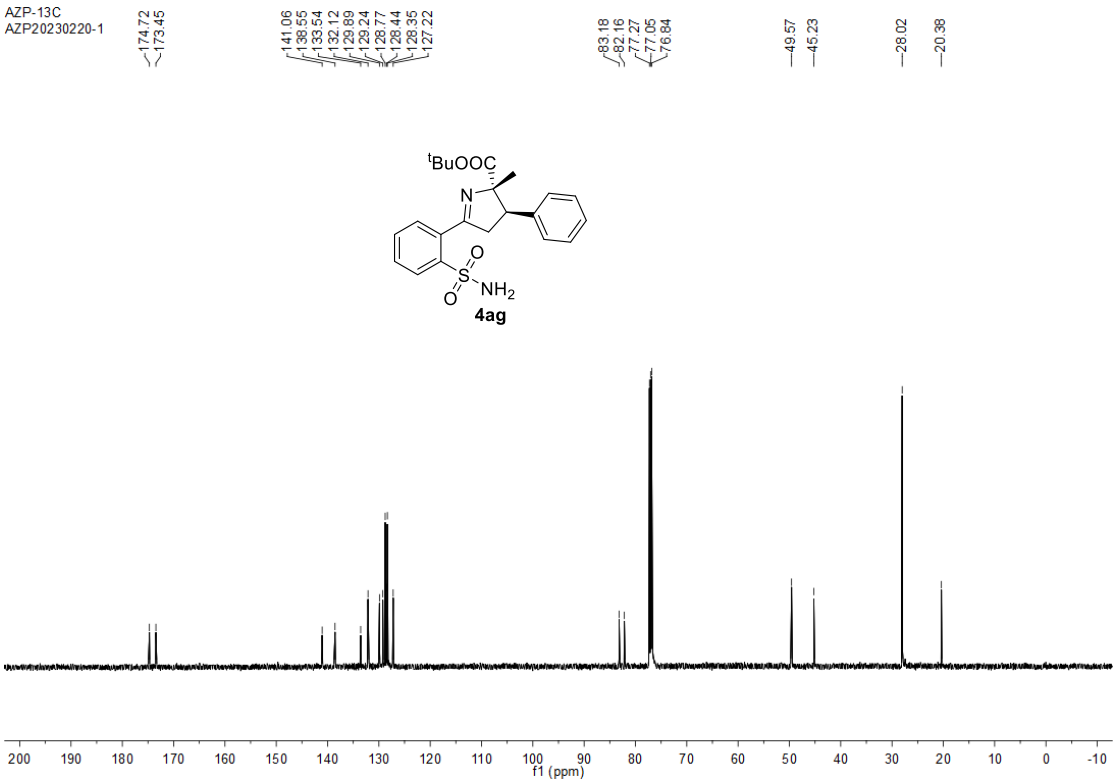
8.16
7.63
7.58
7.57
7.56
7.34
7.33
7.32
7.31
7.29
7.28
6.29
4.11
4.10
4.08
3.56
3.55
3.53
3.52
3.41
3.40
3.39
3.37
1.53
1.16
tBuOOC
N
O
S
O
NH2
4ag
0.93
0.94
2.01
5.00
2.00
1.05
1.01
1.00
9.00
3.02
f1 (ppm)


AZP-13C
AZP20230220-1
174.72
173.45
141.06
138.55
133.54
132.12
129.89
129.24
128.77
128.44
128.35
127.22
83.18
82.16
77.27
77.05
76.84
49.57
45.23
28.02
20.38
tBuOOC
N
O
S
O
NH2
4ag
f1 (ppm)