Supporting Information

for

Enantioselective Synthesis of *α*-Amino Ketones through Palladium-Catalyzed Asymmetric Arylation of *α*-Keto Imines

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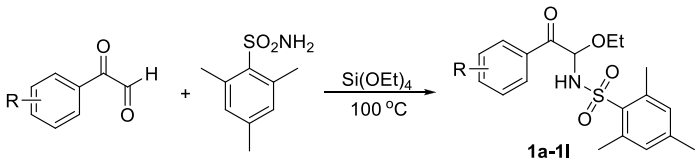
1. General data

Solvents for reactions were dried appropriately before use: toluene, THF and dioxane were dried by refluxing with sodium and benzophenone as indicator. 2,2,2-Trifluoroethanol (TFE) was purchased from Energy Chemical. 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 or 400 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, IA-H, AS-H, OJ-H 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 arylboronic acid derived from estrone[1] and ezetimibe,[2] chiral lignds[3] and 3,3-dimethyl-2-oxobutanal hydrate[4] were prepared according to the literature, the other arylboronic acids and arylglyoxals were directly used as purchased.

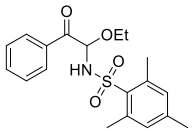
2. Preparation of substrates

2.1 Preparation of Substrates 1a-1l



Arylglyoxal (10 mmol), 2,4,6-trimethylbenzenesulfonamide (1.99 g, 10 mmol) and tetraethyl orthosilicate (4.92 ml, 22 mmol) were added to a sealed tube. The reaction mixture was stirred at 100 ℃ 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 = 10/1).

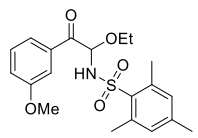
N-(1-ethoxy-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (1a):



Pale yellow solid; m.p. = 78-82 ^{o}C; R_f = 0.43 (petroleum ether/ethyl acetate = 5:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ7.97 (d, *J* = 7.3 Hz, 2H), 7.60 (t, *J* = 7.4 Hz, 1H), 7.46 (t, *J* = 7.7 Hz, 2H), 6.91 (s, 2H), 6.39 (d, *J* = 7.3 Hz, 1H), 5.87 (d, *J* = 7.7 Hz, 1H), 3.43 (q, *J* = 7.0 Hz, 2H), 2.69 (s, 6H), 2.25 (s, 3H), 0.93 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz,**

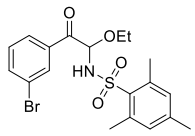
$CDCl_3$) δ 191.74, 142.35, 138.64, 134.99, 134.40, 133.16, 131.91, 129.36, 128.75, 81.38, 62.00, 22.92, 20.87, 14.60; **HRMS(ESI)**: calcd. For $C_{19}H_{23}NNaO_4S(M+Na)^+$: 384.1240, found: 384.1238.

N-(1-ethoxy-2-(3-methoxyphenyl)-2-oxoethyl)-2,4,6-trimethylbenzenesulfonamide (1b):



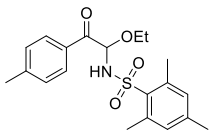
Colorless oil; R_f = 0.57 (petroleum ether/ethyl acetate = 3:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.61 – 7.51 (m, 1H), 7.47 (dd, *J* = 2.4, 1.6 Hz, 1H), 7.36 (t, *J* = 8.0 Hz, 1H), 7.14 (ddd, *J* = 8.2, 2.6, 0.7 Hz, 1H), 6.91 (s, 2H), 6.39 (d, *J* = 7.8 Hz, 1H), 5.83 (d, *J* = 7.8 Hz, 1H), 3.83 (s, 3H), 3.44 (qd, *J* = 6.9, 0.4 Hz, 1H), 2.68 (s, 6H), 2.25 (s, 3H), 0.94 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 191.63, 159.85, 142.38, 138.64, 134.98, 134.47, 131.93, 129.77, 121.96, 120.98, 113.46, 81.47, 62.15, 55.48, 22.92, 20.87, 14.62; **HRMS(ESI)**: calcd. for $C_{20}H_{25}NNaO_5S(M+Na)^+$: 414.1346, found: 414.1344.

N-(2-(3-bromophenyl)-1-ethoxy-2-oxoethyl)-2,4,6-trimethylbenzenesulfonamide (1c):



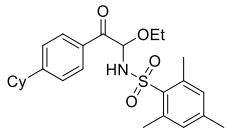
Pale yellow solid; m.p. = 81-84.0 °C; R_f = 0.50 (petroleum ether/ethyl acetate = 5:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.07 (s, 1H), 7.90 (d, *J* = 7.8 Hz, 1H), 7.72 (dd, *J* = 8.0, 0.7 Hz, 1H), 7.35 (t, *J* = 7.9 Hz, 1H), 6.92 (s, 2H), 6.31 (d, *J* = 7.8 Hz, 1H), 5.79 (d, *J* = 7.9 Hz, 1H), 3.44 (q, *J* = 7.0 Hz, 2H), 2.68 (s, 6H), 2.26 (s, 3H), 0.95 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 190.71, 142.50, 138.64, 137.19, 134.92, 134.85, 132.22, 131.96, 130.30, 127.83, 123.04, 81.48, 62.28, 22.91, 20.89, 14.60; **HRMS(ESI)**: calcd. for $C_{19}H_{22}BrNNaO_4S(M+Na)^+$: 462.0345, found: 462.0342.

N-(1-ethoxy-2-oxo-2-(p-tolyl)ethyl)-2,4,6-trimethylbenzenesulfonamide (1d):



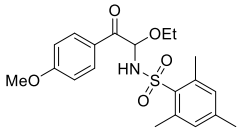
Pale yellow solid; m.p. = 99-102 °C; R_f = 0.44 (petroleum ether/ethyl acetate = 5:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.88 (d, *J* = 8.1 Hz, 2H), 7.25 (d, *J* = 6.9 Hz, 2H), 6.91 (s, 2H), 6.41 (d, *J* = 7.5 Hz, 1H), 5.85 (d, *J* = 7.5 Hz, 1H), 3.45 – 3.35 (m, 2H), 2.68 (s, 6H), 2.41 (s, 3H), 2.25 (s, 3H), 0.91 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 191.29, 145.61, 142.28, 138.64, 135.05, 131.89, 130.66, 129.51, 129.46, 81.31, 61.77, 22.92, 21.81, 20.87, 14.60; **HRMS(ESI)**: calcd. for $C_{20}H_{25}NNaO_4S(M+Na)^+$: 398.1397, found: 398.1395.

N-(2-(4-cyclohexylphenyl)-1-ethoxy-2-oxoethyl)-2,4,6-trimethylbenzenesulfonamide (1e):



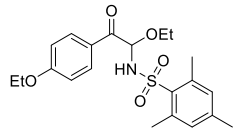
Yellow solid; m.p. = 85-87 ^{o}C; R_f = 0.52 (petroleum ether/ ethyl acetate = 5:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.90 (d, *J* = 8.2 Hz, 2H), 7.29 (d, *J* = 8.2 Hz, 2H), 6.90 (s, 2H), 6.41 (d, *J* = 7.6 Hz, 1H), 5.84 (d, *J* = 7.6 Hz, 1H), 3.43 (q, *J* = 7.0 Hz, 2H), 2.68 (s, 6H), 2.59-2.51 (m, 1H), 2.25 (s, 3H), 1.92 – 1.82 (m, 4H), 1.80 – 1.72 (m, 1H), 1.46 – 1.35 (m, 4H), 1.28 – 1.21 (m, 1H), 0.93 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 191.26, 155.41, 142.28, 138.65, 135.06, 131.91, 130.94, 129.64, 127.28, 81.31, 61.86, 44.83, 33.99, 26.66, 25.98, 22.92, 20.87, 14.62; **HRMS(ESI)**: calcd. for $C_{25}H_{33}NNaO_4S(M+Na)^+$: 466.2023, found:466.2021.

N-(1-ethoxy-2-(4-methoxyphenyl)-2-oxoethyl)-2,4,6-trimethylbenzenesulfonamide (1f):



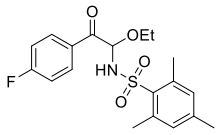
Yellow solid; m.p. = 83-85 ^{o}C; R_f = 0.43 (petroleum ether/ ethyl acetate = 3:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.97 (d, *J* = 8.9 Hz, 2H), 6.92 (d, J = 8.9 Hz, 2H), 6.91 (s, 2H), 6.45 (d, *J* = 7.3 Hz, 1H), 5.85 (d, *J* = 7.4 Hz, 1H), 3.87 (s, 3H), 3.47 – 3.29 (m, 2H), 2.69 (s, 6H), 2.25 (s, 3H), 0.90 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 190.13, 164.59, 142.26, 138.66, 135.09, 132.08, 131.89, 126.08, 114.03, 81.23, 61.50, 55.58, 22.92, 20.87, 14.60; **HRMS(ESI)**: calcd. for $C_{20}H_{25}NNaO_5S(M+Na)^+$: 414.1346, found: 414.1343.

N-(1-ethoxy-2-(4-ethoxyphenyl)-2-oxoethyl)-2,4,6-trimethylbenzenesulfonamide (1g):



Yellow solid; m.p. = 86-88 ^{o}C; R_f = 0.50 (petroleum ether/ ethyl acetate = 3:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.96 (d, *J* = 8.9 Hz, 2H), 6.97-6.85 (m, 4H), 6.46 (d, *J* = 7.3 Hz, 1H), 5.85 (d, *J* = 7.4 Hz, 1H), 4.15 – 4.04 (m, 2H), 3.43 – 3.31 (m, 2H), 2.69 (s, 6H), 2.25 (s, 3H), 1.44 (t, *J* = 7.0 Hz, 3H), 0.90 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 190.09, 164.04, 142.25, 138.66, 135.10, 131.90, 131.88, 125.86, 114.44, 81.21, 63.94, 61.46, 22.92, 20.87, 14.60; **HRMS(ESI)**: calcd. for $C_{21}H_{27}NNaO_5S(M+Na)^+$: 428.1502, found: 428.1500.

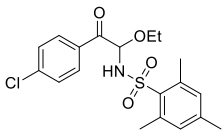
N-(1-ethoxy-2-(4-fluorophenyl)-2-oxoethyl)-2,4,6-trimethylbenzenesulfonamide (1h):



Pale yellow solid; m.p. = 65-68 ^{o}C; R_f = 0.63 (petroleum ether/ethyl acetate = 5:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.06-8.00 (m, 2H), 7.13 (t, *J* = 8.5 Hz, 2H), 6.92 (s, 2H), 6.37 (d, *J* = 7.5 Hz, 1H), 5.84 (d, *J* = 7.6 Hz, 1H), 3.46 – 3.33 (m, 2H), 2.68 (s, 6H), 2.26 (s, 3H), 0.92 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 190.29, 167.30, 165.60, 142.41, 138.66, 134.94, 132.26, 132.19, 131.92, 129.58, 116.12,

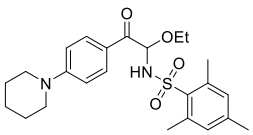
115.97, 81.41, 61.87, 22.91, 20.87, 14.57; **HRMS(ESI)**: calcd. for $C_{19}H_{22}FNNaO_4S(M+Na)^+$: 402.1146, found: 402.1142.

N-(2-(4-chlorophenyl)-1-ethoxy-2-oxoethyl)-2,4,6-trimethylbenzenesulfonamide (1i):



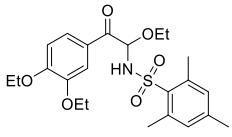
Pale yellow solid; m.p. = 96-99 °C; R_f = 0.44 (petroleum ether/ethyl acetate = 5:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.92 (d, *J* = 8.6 Hz, 2H), 7.43 (d, *J* = 8.6 Hz, 2H), 6.92 (s, 2H), 6.35 (d, *J* = 7.6 Hz, 1H), 5.83 (d, *J* = 7.7 Hz, 1H), 3.48 – 3.29 (m, 2H), 2.68 (s, 6H), 2.26 (s, 3H), 0.92 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 190.76, 142.45, 141.05, 138.65, 134.90, 131.93, 131.49, 130.75, 129.15, 81.43, 61.99, 22.91, 20.88, 14.58; **HRMS(ESI)**: calcd. for $C_{19}H_{22}ClNNaO_4S(M+Na)^+$: 418.0850, found: 418.0849.

N-(1-ethoxy-2-oxo-2-(4-(piperidin-1-yl)phenyl)ethyl)-2,4,6-trimethylbenzenesulfonamide (1j):



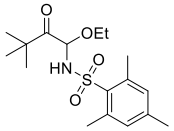
Orange solid; m.p. = 51-53 °C; R_f = 0.57 (petroleum ether/ ethyl acetate = 3:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.87 (d, *J* = 9.1 Hz, 2H), 6.90 (s, 2H), 6.79 (d, *J* = 9.1 Hz, 2H), 6.56 (d, *J* = 7.1 Hz, 1H), 5.82 (d, *J* = 7.1 Hz, 1H), 3.42 – 3.32 (m, 6H), 2.69 (s, 6H), 2.25 (s, 3H), 1.68-1.65 (m, 6H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 188.91, 154.85, 142.06, 138.63, 135.24, 131.89, 131.83, 121.56, 112.67, 81.01, 61.03, 48.16, 25.32, 24.34, 22.92, 20.86, 14.63; **HRMS(ESI)**: calcd. for $C_{24}H_{32}N_2NaO_4S(M+Na)^+$: 467.1975, found: 467.1974.

N-(2-(3,4-diethoxyphenyl)-1-ethoxy-2-oxoethyl)-2,4,6-trimethylbenzenesulfonamide (1k):



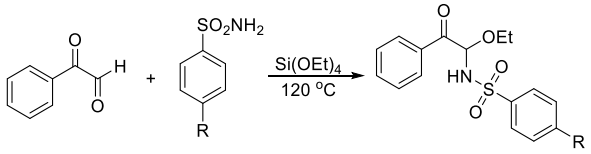
Yellow solid; m.p. = 98-101 °C; R_f = 0.43 (petroleum ether/ethyl acetate = 3:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.62 (s, 1H), 7.49 (d, *J* = 2.2 Hz, 1H), 6.91 (s, 2H), 6.87 (d, *J* = 7.8 Hz, 1H), 6.44 (d, *J* = 6.4 Hz, 1H), 5.84 (s, 1H), 4.18 – 4.14 (m, 2H), 4.13 – 4.05 (m, 2H), 3.46 – 3.33 (m, 2H), 2.69 (s, 6H), 2.25 (s, 3H), 1.50 – 1.43 (m, 6H), 0.92 (t, *J* = 8.7 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 190.20, 154.38, 148.59, 142.25, 138.64, 135.12, 131.89, 125.92, 124.55, 113.11, 111.45, 81.19, 64.69, 64.64, 61.58, 22.92, 20.87, 14.63, 14.56; **HRMS(ESI)**: calcd. for $C_{23}H_{31}NNaO_6S(M+Na)^+$: 472.1764, found: 472.1761.

N-(1-ethoxy-3,3-dimethyl-2-oxobutyl)-2,4,6-trimethylbenzenesulfonamide (1l):



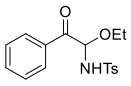
White solid; m.p. = 58-60 °C ;R_f = 0.64 (petroleum ether/ ethyl acetate = 5:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 6.92 (s, 2H), 6.14 (d, *J* = 9.1 Hz, 1H), 5.16 (d, *J* = 9.2 Hz, 1H), 3.73 – 3.66 (m, 1H), 3.51 – 3.41 (m, 1H), 2.64 (s, 6H), 2.27 (s, 3H), 1.09 (t, *J* = 7.0 Hz, 3H), 0.99 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 206.50, 142.43, 138.54, 134.82, 131.93, 79.90, 63.68, 42.79, 26.06, 22.81, 20.84, 14.68; **HRMS(ESI)**: calcd. for $C_{17}H_{27}NNaO_4S(M+Na)^+$: 364.1553, found: 364.1555.

2.2 Preparation of Substrates 1aa-1ac



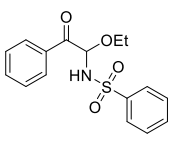
Phenylglyoxal (1.52 g, 10 mmol), sulfonamide (10 mmol) and tetraethyl orthosilicate (4.92 ml, 22 mmol) were added to a sealed tube. The reaction mixture was stirred at 120 ℃ and monitored by TLC. After the reaction was completed, the solid was removed by filtration, and the corresponding product was obtained by recrystallization and purification with petroleum ether and CH_2Cl_2.

N-(1-ethoxy-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (1aa):



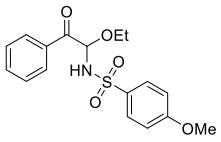
White solid; m.p. = 96-99 °C; R_f = 0.50 (petroleum ether/ethyl acetate = 3:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.97 (d, *J* = 7.5 Hz, 2H), 7.76 (d, *J* = 8.2 Hz, 2H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 7.24 (d, *J* = 8.1 Hz, 2H), 6.30 (d, *J* = 6.1 Hz, 1H), 5.89 (d, *J* = 6.4 Hz, 1H), 3.56 – 3.50 (m, 2H), 2.37 (s, 3H), 1.02 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 191.44, 143.65, 138.04, 134.45, 133.16, 129.66, 129.43, 128.78, 126.85, 81.73, 62.21, 21.48, 14.68; **HRMS(ESI)**: calcd. for $C_{17}H_{19}NNaO_4S(M+Na)^+$: 356.0927, found: 356.0930.

N-(1-ethoxy-2-oxo-2-phenylethyl)benzenesulfonamide (1ab):



White solid; m.p. = 92-94 °C; R_f = 0.43 (petroleum ether/ethyl acetate = 3:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.01 – 7.95 (m, 2H), 7.92 – 7.86 (m, 2H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.53 (t, *J* = 7.4 Hz, 1H), 7.49-7.44 (m, 4H), 6.33 (d, *J* = 8.0 Hz, 1H), 5.93 (d, *J* = 8.1 Hz, 1H), 3.59 – 3.42 (m, 2H), 0.99 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 191.35, 140.99, 134.49, 133.10, 132.80, 129.40, 129.06, 128.80, 126.80, 81.72, 62.09, 14.64; **HRMS(ESI)**: calcd. for $C_{16}H_{17}NNaO_4S(M+Na)^+$: 342.0770, found: 342.0771.

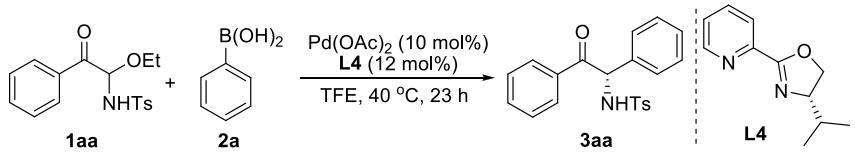
N-(1-ethoxy-2-oxo-2-phenylethyl)-4-methoxybenzenesulfonamide (1ac):



White solid; m.p. = 100-103 °C; R_f = 0.33 (petroleum ether/ ethyl acetate = 3:1); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.98 (d, *J* = 7.4 Hz, 2H), 7.81 (d, *J* = 8.9 Hz, 2H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 6.91 (d, *J* = 8.9 Hz, 2H), 6.26 (d, *J* = 8.1 Hz, 1H), 5.88 (d, *J* = 8.2 Hz, 1H), 3.82 (s, 3H), 3.60 – 3.48 (m, 2H), 1.04 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 191.49, 162.97, 134.43, 133.16, 132.61, 129.42, 128.99, 128.77, 114.20, 81.74, 62.19, 55.59, 14.73; **HRMS(ESI)**: calcd. for $C_{17}H_{19}NNaO_5S(M+Na)^+$: 372.0876, found: 372.0879.

3. Reaction conditions optimization

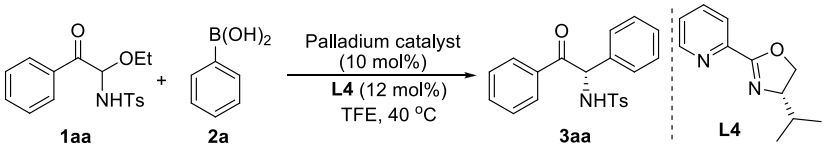
Table S1: Solvent screening



entry	solvent	yield (%)[b]	ee (%)[c]
1	TFE	26	89
2	HFIP	39	84
3	THF	n.d	n.d.
4	DCE	18	87
5	Toluene	4	28
6	PhCl	16	88
7	Dioxane	n.d.	n.d.

[a] Unless noted otherwise, reaction conditions: **1aa** (0.10 mmol), **2a** (0.15 mmol), $Pd(TFA)_2$ (10 mol%) and ligand (12 mol%) in TFE (0.5 mL), carried out in air at 40 °C. [b] Isolated yield. [c] Determined by chiral HPLC analysis. n.d. = not determined.

Table S2: Palladium catalyst screening

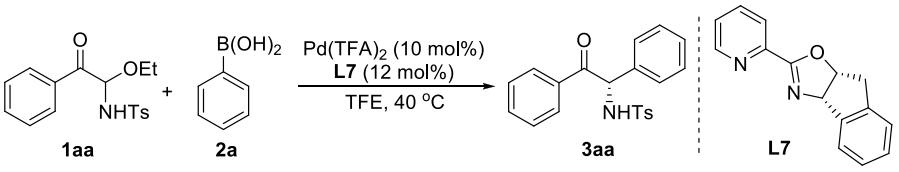


entry	Pd	time (h)	yield (%)[b]	ee (%)[c]
1	$Pd(TFA)_2$	23	52	88
2	$PdCl_2$	23	n.d.	n.d.

3	Pd $(CH_3CN)_2Cl_2$	23	n.d.	n.d.
4	$Pd(PPh_3)_2Cl_2$	23	n.d.	n.d.
5	$[Pd(C_3H_5)Cl]_2$ [d]	23	n.d.	n.d
6	$Pd(CH_3CN)_4(OTf)_2$	22	31	87
7	((*S*)-2,2'-Bis(diphenylphosphino)-1,1'-binaphthyl)dichloropalladium	23	n.d.	n.d.

[a] Unless noted otherwise, reaction conditions: **1aa** (0.10 mmol), **2a** (0.15 mmol), $Pd(TFA)_2$ (10 mol%) and **L4** (12 mol%) in TFE (0.5 mL), carried out in air at 40 °C. [b] Isolated yield. [c] Determined by chiral HPLC analysis. [d] Using 5 mol% of $[Pd(C_3H_5)Cl]_2$. n.d. = not determined.

Table S3: Additives screening

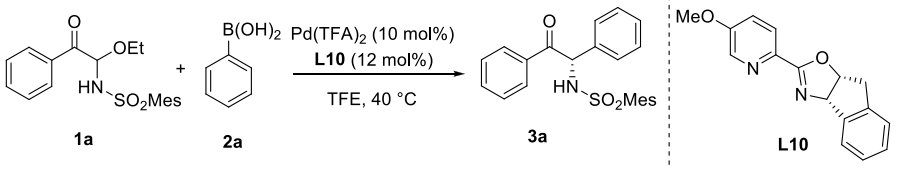


1aa **2a** **3aa** **L7**

entry	additive	time (h)	yield (%) [b]	ee (%) [c]
1	3ÅMS (50 mg)	17	53	88
2	4ÅMS (50 mg)	19	50	86
3	5ÅMS (50 mg)	16	41	84
4	Na_2SO_4 (50 mg)	16	56	88
5	CaH_2 (50 mg)	17	n.d.	n.d.
6	Na_2CO_3 (0.1eq)	24	n.d.	n.d.
7	$NaHCO_3$ (0.1eq)	24	27	83
8	PhCOOH (0.1eq)	5	33	90

[a] Unless noted otherwise, reaction conditions: **1aa** (0.10 mmol), **2a** (0.15 mmol), $Pd(TFA)_2$ (10 mol%) and **L7** (12 mol%) in TFE (0.5 mL), carried out in air at 40 °C. [b] Isolated yield. [c] Determined by chiral HPLC analysis. n.d. = not determined.

4. General procedure for the catalytic asymmetric reaction

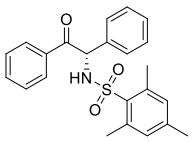


1a **2a** **3a** **L10**

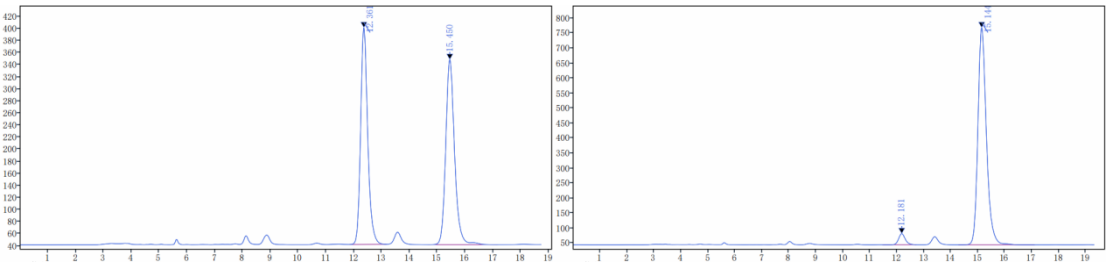
A dry and clean tube was charged with *α*-keto imine precursor **1a** (72.2 mg, 0.2 mmol),

phenylboronic acid **2a** (36.6 mg, 0.3 mmol), $Pd(TFA)_2$ (6.6 mg, 0.02 mmol) and chiral ligand **L10** (6.4 mg, 0.024 mmol). After the addition of TFE (1.0 mL), the reaction mixture was stirred at room temperature for 10 minutes. Then, the reaction mixture was stirred at 40° C in air for the indicated reaction time 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 =10/1) to give the corresponding product **3a**.

(S)-2,4,6-trimethyl-N-(2-oxo-1,2-diphenylethyl)benzenesulfonamide (3a):



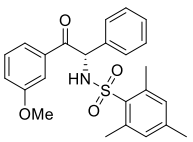
Colorless oil (61.1 mg, 78%); R_f = 0.39 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 92% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 15.144 min, t_R(minor) 12.181 min; $[\alpha]_D^{20}$ = +121.98 (c=0.59, CH_2Cl_2); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.78 (d, *J* = 7.8 Hz, 2H), 7.47 (t, *J* = 7.3 Hz, 1H), 7.34 (t, *J* = 7.6 Hz, 2H), 7.18 – 7.01 (m, 5H), 6.72 (s, 2H), 6.31 (d, *J* = 6.8 Hz, 1H), 5.93 (d, *J* = 6.9 Hz, 1H), 2.57 (s, 6H), 2.16 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.68, 142.02, 138.66, 135.54, 134.37, 133.89, 133.85, 131.69, 128.89, 128.87, 128.66, 128.34, 127.78, 61.42, 22.82, 20.77; **HRMS(ESI)**: calcd. for $C_{23}H_{23}NNaO_3S(M+Na)^+$: 416.1291, found: 416.1292.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	12.361	BB	1.3350	6364.5635	358.8545	48.5080
	15.450	BB m	0.3352	6756.0788	306.4964	51.4920

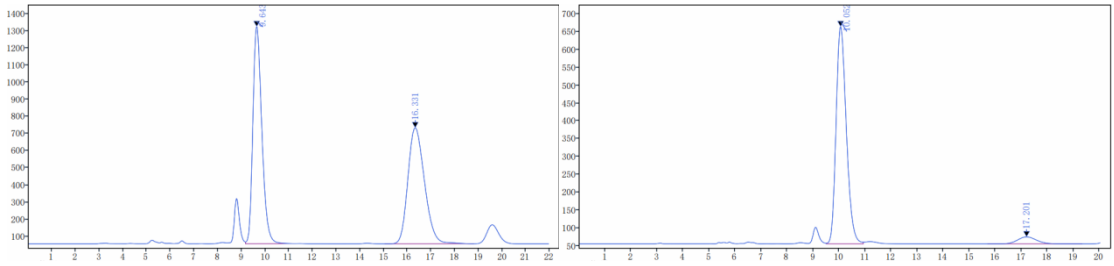
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	12.181	MM m	0.2608	628.1130	36.8584	3.8042
	15.144	BB	2.8233	15882.7853	724.2725	96.1958

(S)-N-(2-(3-methoxyphenyl)-2-oxo-1-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (3b):



Colorless oil (44.5 mg, 53%); R_f = 0.30 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 89% by HPLC analysis on Daicel Chirapak AS-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 17.201 min, t_R(minor) 10.052 min; $[\alpha]_D^{20}$ = +107.48 (c=0.38, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.36 (d, *J* = 7.7 Hz, 1H), 7.30 (s, 1H), 7.24 (t, *J* = 8.0 Hz, 1H), 7.14-7.09 (m, 5H), 7.05 – 6.98 (m, 1H), 6.73 (s, 2H), 6.28 (d, *J* = 6.9 Hz, 1H), 5.90

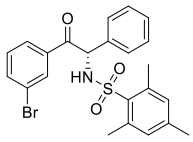
(d, *J* = 7.1 Hz, 1H), 3.76 (s, 3H), 2.57 (s, 6H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.58, 159.76, 142.01, 138.69, 135.62, 135.20, 134.39, 131.68, 129.62, 128.86, 128.33, 127.74, 121.44, 120.39, 113.20, 61.52, 55.39, 22.79, 20.74; **HRMS(ESI)**: calcd. for $C_{24}H_{25}NNaO_4S(M+Na)^+$: 446.1397, found: 446.1395.



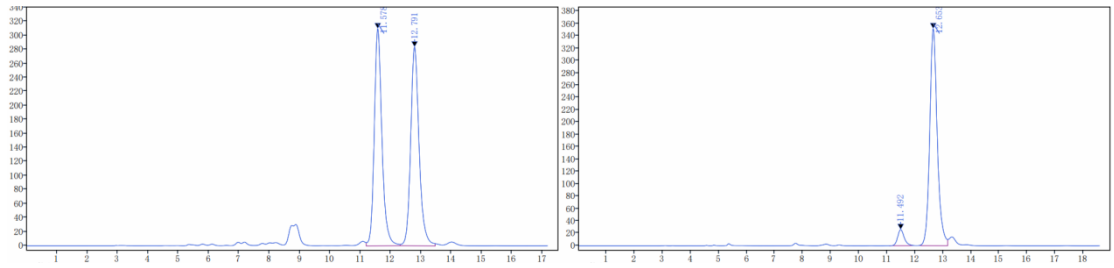
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	9.643	VB	2.0867	33500.3938	1270.3784	50.3154
	16.331	BB	3.7677	33080.4017	679.2009	49.6846

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	10.052	VV	1.4272	16656.7737	608.3763	94.3811
	17.201	BB	3.6433	991.6554	19.5201	5.6189

(S)-N-(2-(3-bromophenyl)-2-oxo-1-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (3c):



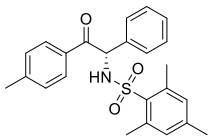
Colorless oil (64.0 mg, 53%); R_f = 0.36 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 12.653 min, t_R(minor) 11.492 min; $[\alpha]_D^{20}$ = +90.68 (c=0.44, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.89 (s, 1H), 7.67 (d, *J* = 7.8 Hz, 1H), 7.61 (d, *J* = 7.2 Hz, 1H), 7.22 (t, *J* = 7.9 Hz, 1H), 7.17 – 7.12 (m, 3H), 7.12 – 7.08 (m, 2H), 6.74 (s, 2H), 6.21 (d, *J* = 7.1 Hz, 1H), 5.86 (d, *J* = 7.2 Hz, 1H), 2.57 (s, 6H), 2.19 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 193.70, 142.18, 138.70, 136.67, 135.66, 134.96, 134.22, 131.74, 131.71, 130.14, 129.03, 128.58, 127.73, 127.26, 123.03, 61.47, 22.80, 20.79; **HRMS(ESI)**: calcd. for $C_{23}H_{22}BrNNaO_3S(M+Na)^+$: 494.0396, found: 494.0393.



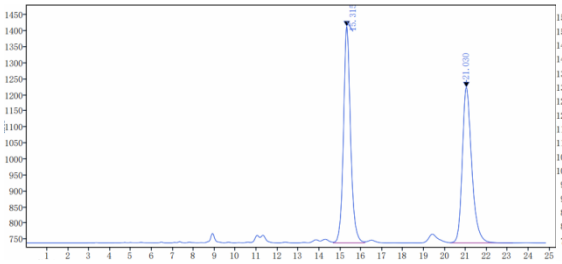
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.578	MM m	0.2662	5453.1174	310.0795	49.8034
	12.791	VM m	0.2929	5496.1771	283.8076	50.1966

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.492	MM m	0.2467	424.2332	26.0902	6.0656
	12.653	BV	1.1085	6569.8805	351.7439	93.9344

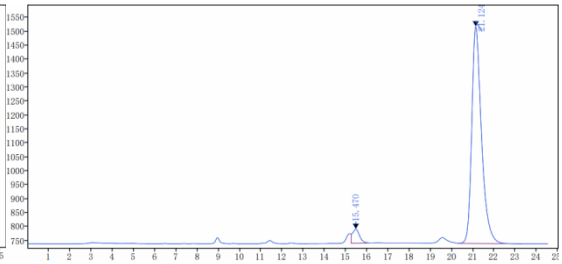
(S)-2,4,6-trimethyl-N-(2-oxo-1-phenyl-2-(p-tolyl)ethyl)benzenesulfonamide (3d):



Yellow oil (61.9 mg, 76%); R_f = 0.36 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 21.124 min, t_R(minor) 15.470 min; $[\alpha]_D^{20}$ = +107.36 (c=0.61, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.69 (d, *J* = 8.1 Hz, 2H), 7.16 – 7.06 (m, 7H), 6.72 (s, 2H), 6.31 (d, *J* = 6.7 Hz, 1H), 5.90 (d, *J* = 6.9 Hz, 1H), 2.57 (s, 6H), 2.32 (s, 3H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.15, 144.98, 141.92, 138.65, 135.86, 134.48, 131.65, 131.34, 129.35, 129.04, 128.79, 128.21, 127.75, 61.28, 22.79, 21.65, 20.74; **HRMS(ESI)**: calcd. for $C_{24}H_{25}NNaO_3S(M+Na)^+$: 430.1447, found: 430.1444.

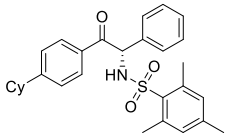


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	15.315	VV	1.5063	15862.1488	674.6078	50.9969
	21.030	VB	3.0058	15242.0014	484.6636	49.0031

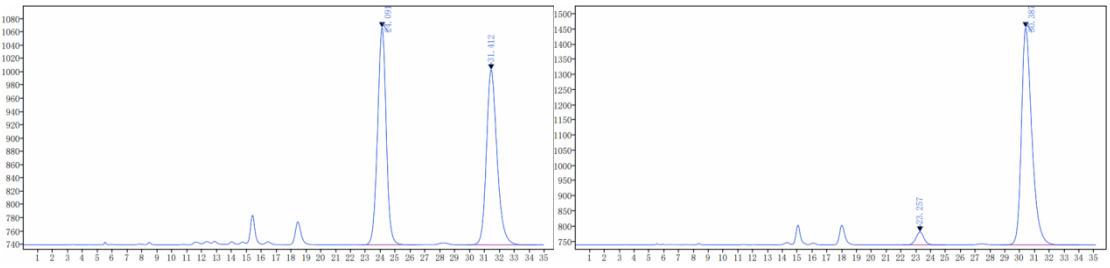


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	15.470	VB	0.9488	1173.6311	49.9559	4.5104
	21.124	VB	2.9817	24846.7540	776.3501	95.4896

(S)-N-(2-(4-cyclohexylphenyl)-2-oxo-1-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (3e):



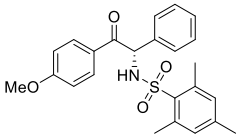
Colorless oil (75.6 mg, 80%); R_f = 0.45 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 30.387 min, t_R(minor) 23.257 min; $[\alpha]_D^{20}$ = +74.01 (c=0.72, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.72 (d, *J* = 8.0 Hz, 2H), 7.16 (d, *J* = 8.0 Hz, 2H), 7.14 – 7.11 (m, 2H), 7.10 (d, *J* = 2.4 Hz, 3H), 6.71 (s, 2H), 6.34 (d, *J* = 6.7 Hz, 1H), 5.90 (d, *J* = 6.9 Hz, 1H), 2.57 (s, 6H), 2.51 – 2.42 (m, 1H), 2.15 (s, 3H), 1.85 – 1.75 (m, 4H), 1.75 – 1.68 (m, 1H), 1.38 – 1.30 (m, 4H), 1.24 – 1.15 (m, 1H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.15, 154.80, 141.94, 138.65, 135.86, 134.44, 131.68, 131.57, 129.17, 128.82, 128.23, 127.77, 127.17, 61.20, 44.67, 33.94, 26.63, 25.97, 22.83, 20.78; **HRMS(ESI)**: calcd. for $C_{29}H_{33}FNNaO_3S(M+Na)^+$: 498.2073, found: 498.2076.



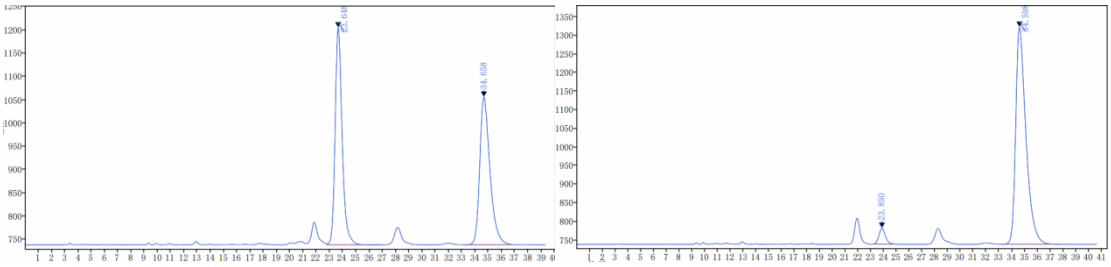
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	24.091	BB	3.6217	13141.9815	327.1521	50.1867
	31.412	BB	4.6667	13044.2028	263.7305	49.8133

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	23.257	BB	2.8883	1613.0323	42.5073	4.4129
	30.387	BB	5.2900	34939.6904	715.8509	95.5871

(S)-N-(2-(4-methoxyphenyl)-2-oxo-1-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (3f):



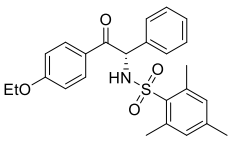
White solid (73.7 mg, 87%); m.p. = 79-82 ^{o}C; R_f = 0.24 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 34.598 min, t_R(minor) 23.850 min; $[\alpha]_D^{20}$ = +87.68 (c=0.66, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.78 (d, *J* = 8.9 Hz, 2H), 7.15 – 7.06 (m, 5H), 6.81 (d, *J* = 8.9 Hz, 2H), 6.72 (s, 2H), 6.34 (d, *J* = 6.9 Hz, 1H), 5.87 (d, *J* = 6.9 Hz, 1H), 3.79 (s, 3H), 2.57 (s, 6H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 192.91, 164.11, 141.89, 138.64, 136.12, 134.51, 131.64, 131.33, 128.78, 128.18, 127.69, 113.97, 113.92, 61.03, 55.48, 22.79, 20.74; **HRMS(ESI)**: calcd. for $C_{24}H_{25}NNaO_4S(M+Na)^+$: 446.1397, found: 446.1394.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	23.648	VB	4.0449	17184.3627	466.0178	50.3175
	34.658	BB	4.1683	16967.4819	317.0413	49.6825

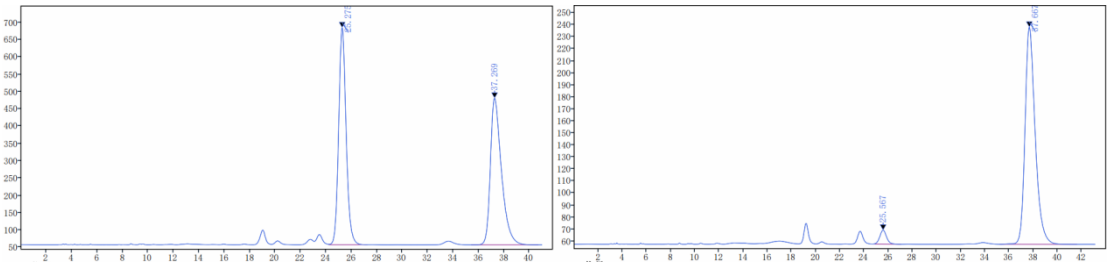
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	23.850	MM m	0.5379	1502.1642	42.8571	4.4374
	34.598	BB	4.4683	32350.2786	583.4327	95.5626

(S)-N-(2-(4-ethoxyphenyl)-2-oxo-1-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (3g):



Colorless oil (59.5 mg, 68%); R_f = 0.31 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 92% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 37.667 min, t_R(minor) 25.567 min; $[\alpha]_D^{20}$ = +86.23

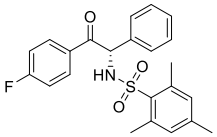
(c=0.49, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.77 (d, *J* = 8.9 Hz, 2H), 7.21 – 6.99 (m, 5H), 6.79 (d, *J* = 8.9 Hz, 2H), 6.72 (s, 2H), 6.34 (d, *J* = 6.9 Hz, 1H), 5.87 (d, *J* = 6.9 Hz, 1H), 4.02 (q, *J* = 7.0 Hz, 2H), 2.57 (s, 6H), 2.17 (s, 3H), 1.38 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 192.89, 163.55, 141.87, 138.64, 136.16, 134.51, 131.64, 131.33, 128.77, 128.15, 127.69, 126.48, 114.33, 63.85, 60.99, 22.79, 20.74, 14.56; **HRMS(ESI)**: calcd. for $C_{25}H_{27}NNaO_4S(M+Na)^+$: 460.1553, found: 460.1556.



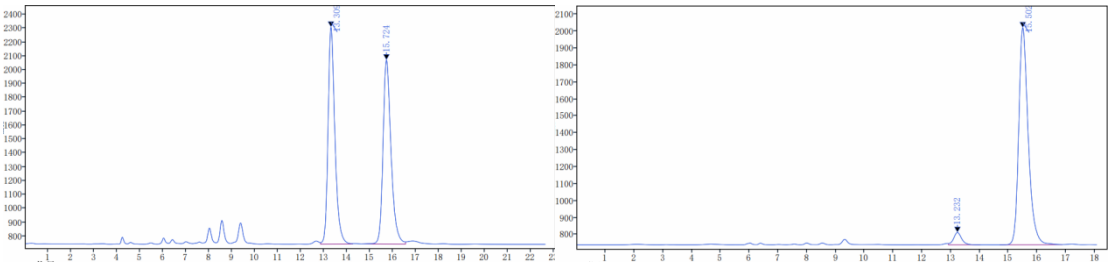
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	25.275	VB	3.0329	25532.2682	626.5238	49.9538
	37.269	BB	5.2883	25579.5288	423.3220	50.0462

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	25.567	BB	2.3833	449.2910	11.5841	4.0796
	37.667	BB	6.4133	10563.8454	180.6516	95.9204

(S)-N-(2-(4-ethoxyphenyl)-2-oxo-1-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (3h)：



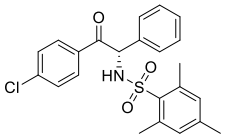
Yellow oil (48.7 mg, 59%); R_f = 0.36 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 15.502 min, t_R(minor)13.232 min; $[\alpha]_D^{20}$ = +114.13 (c=0.42, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.86 – 7.78 (m, 2H), 7.16-7.07(m, 5H), 7.02 (t, *J* = 8.3 Hz, 2H), 6.73 (s, 2H), 6.26 (d, *J* = 6.6 Hz, 1H), 5.88 (d, *J* = 7.0 Hz, 1H), 2.57 (s, 6H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 193.14, 166.87, 165.17, 142.05, 138.69, 135.42, 134.38, 131.67, 131.66, 131.59, 130.28, 128.95, 128.46, 127.71, 116.00, 115.85, 61.41, 22.78, 20.75; **HRMS(ESI)**: calcd. for $C_{23}H_{22}FNNaO_3S(M+Na)^+$: 434.1197, found: 434.1196.



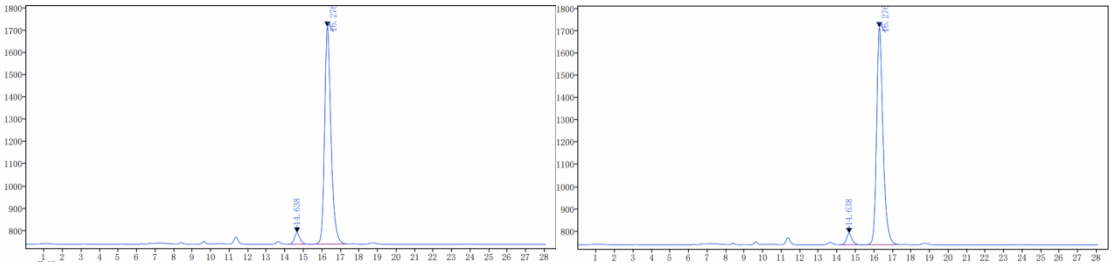
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	13.309	VV	1.4015	32391.4822	1565.6758	50.1627
	15.724	BV	1.8972	32181.3945	1328.6091	49.8373

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	13.232	MB m	0.2937	1415.2608	72.8199	4.5960
	15.502	BV	2.1832	29378.1920	1280.2397	95.4040

(S)-N-(2-(4-chlorophenyl)-2-oxo-1-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (3i):



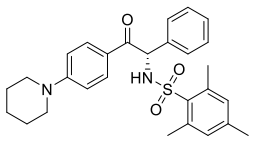
Colorless oil (55.9 mg, 65%); R_f = 0.36 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^oC), UV 254 nm, t_R(major) 16.276 min, t_R(minor) 14.638 min; $[\alpha]_D^{20}$ = +82.05 (c=0.43, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ7.73 (d, *J* = 8.5 Hz, 2H), 7.31 (d, *J* = 8.4 Hz, 2H), 7.16 – 7.02 (m, 5H), 6.73 (s, 2H), 6.26 (d, *J* = 6.5 Hz, 1H), 5.88 (d, *J* = 7.0 Hz, 1H), 2.57 (s, 6H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 193.53, 142.08, 140.45, 138.65, 135.21, 134.32, 132.15, 131.68, 130.24, 129.03, 128.97, 128.50, 127.71, 61.48, 22.81, 20.77; **HRMS(ESI)**: calcd. for $C_{23}H_{22}ClNNaO_3S(M+Na)^+$: 450.0901, found: 450.0898.



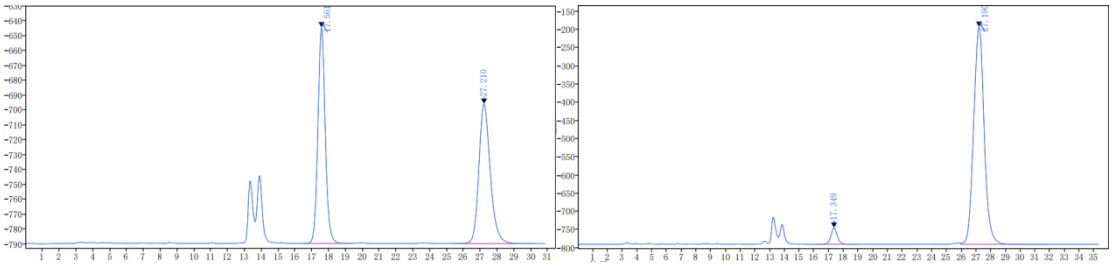
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	14.472	VB	1.4191	57485.6128	2577.0670	49.8756
	16.183	BV	1.8196	57772.3651	2343.3109	50.1244

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	14.638	VB	1.2173	1062.3560	50.3576	4.3239
	16.276	BB	2.0555	23506.9437	975.1951	95.6761

(S)-2,4,6-trimethyl-N-(2-oxo-1-phenyl-2-(4-(piperidin-1-yl)phenyl)ethyl)benzenesulfonamide (3j):



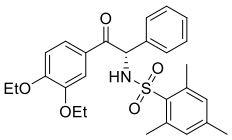
Yellow oil (34.7 mg, 36%); R_f = 0.26 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^oC), UV 254 nm, t_R(major) 27.190 min, t_R(minor) 17.349 min; $[\alpha]_D^{20}$ = +4.75 (c=0.30, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.69 (d, *J* = 9.0 Hz, 2H), 7.18 – 7.11 (m, 2H), 7.10 – 7.02 (m, 3H), 6.71 (s, 2H), 6.68 (d, *J* = 9.0 Hz, 2H), 6.43 (d, *J* = 6.7 Hz, 1H), 5.82 (d, *J* = 6.8 Hz, 1H), 3.33 – 3.27 (m, 4H), 2.58 (s, 6H), 2.16 (s, 3H), 1.62 – 1.55 (m, 6H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 191.72, 154.50, 141.70, 138.59, 136.87, 134.67, 131.60, 131.36, 128.61, 127.88, 127.67, 122.20, 112.68, 60.58, 48.13, 25.29, 24.29, 22.80, 20.7; **HRMS(ESI)**: calcd. for $C_{28}H_{32}N_2NaO_3S(M+Na)^+$: 499.2026, found: 499.2023.



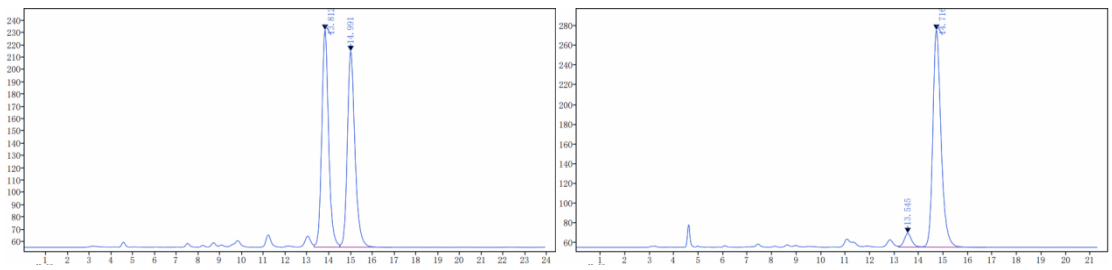
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	17.561	BB	2.8783	4398.9666	145.0409	49.8962
	27.210	BB	5.0033	4417.2696	93.6973	50.1038

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	17.349	BB	2.8117	1364.7926	44.6154	4.3938
	27.190	VB	5.8133	29696.9962	597.1426	95.6062

(S)-N-(2-(3,4-diethoxyphenyl)-2-oxo-1-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (3k):



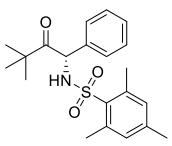
Colorless oil (61.2 mg, 64%); R_f = 0.21 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 89% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 ^oC), UV 254 nm, t_R(major) 14.716 min, t_R(minor) 13.545 min; $[\alpha]_D^{20}$ = +79.33 (c=0.48, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.40 (dd, *J* = 8.5, 1.6 Hz, 1H), 7.33 (d, *J* = 1.4 Hz, 1H), 7.20 – 7.03 (m, 5H), 6.78 – 6.70 (m, 3H), 6.32 (d, *J* = 6.9 Hz, 1H), 5.88 (d, *J* = 7.0 Hz, 1H), 4.14 – 4.06 (m, 2H), 4.06 – 3.96 (m, 2H), 2.57 (s, 6H), 2.17 (s, 3H), 1.46 – 1.36 (m, 6H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 193.00, 153.85, 148.49, 141.87, 138.63, 136.32, 134.53, 131.64, 128.77, 128.16, 127.65, 126.55, 123.83, 112.93, 111.38, 64.59, 64.55, 60.98, 22.80, 20.74, 14.60, 14.52; **HRMS(ESI)**: calcd. for $C_{27}H_{31}NNaO_5S(M+Na)^+$: 504.1815, found: 504.1813.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	13.812	VV	1.1810	3855.7830	176.5601	49.9901
	14.991	VB	2.3922	3857.3083	159.3210	50.0099

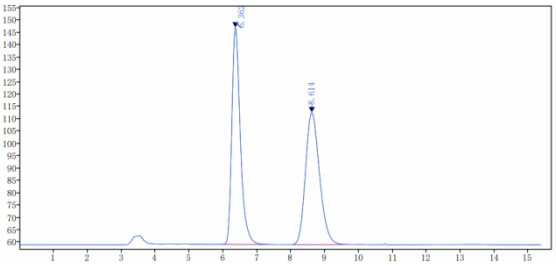
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	13.545	VV	0.9523	295.4009	13.8786	5.4237
	14.716	VB	2.6247	5151.1182	220.2723	94.5763

(S)-N-(3,3-dimethyl-2-oxo-1-phenylbutyl)-2,4,6-trimethylbenzenesulfonamide (3l):

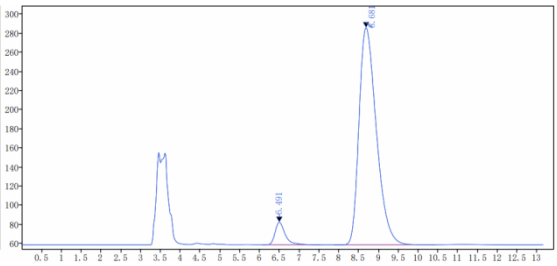


White solid (39.7 mg, 53%); m.p. = 87-90 ^oC;R_f = 0.50 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak AS-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^oC), UV 254 nm, t_R(major) 8.681 min, t_R(minor) 6.491 min; $[\alpha]_D^{20}$ =

+211.05 (c=0.37, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.21 – 7.12 (m, 3H), 7.10 – 7.00 (m, 2H), 6.80 (s, 2H), 6.07 (d, *J* = 7.4 Hz, 1H), 5.35 (d, *J* = 7.6 Hz, 1H), 2.58 (s, 6H), 2.22 (s, 3H), 0.89 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 210.71, 142.00, 138.67, 135.19, 134.38, 131.69, 128.83, 128.46, 128.00, 60.71, 43.99, 26.90, 22.73, 20.78; **HRMS(ESI)**: calcd. for $C_{21}H_{27}NNaO_3S(M+Na)^+$: 396.1604; found: 396.1602.

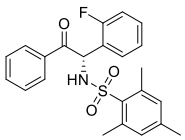


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	6.362	BB	1.7933	1528.0788	87.8418	49.8447
	8.614	BB	1.8650	1537.5993	53.5094	50.1553

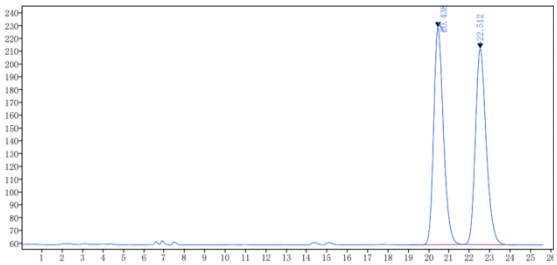


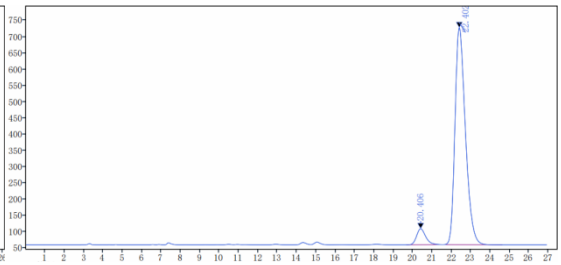
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	6.491	MM m	0.2672	415.9604	23.5333	5.6746
	8.681	MM m	0.4712	6914.1944	227.0981	94.3254

(S)-N-(1-(2-fluorophenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4a):



Colorless oil (39.5 mg, 48%); R_f = 0.34 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 22.402 min, t_R(minor) 20.406 min; $[\alpha]_D^{20}$ = +123.66 (c=0.39, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.83 (d, *J* = 7.9 Hz, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.35 (t, *J* = 7.7 Hz, 2H), 7.12 – 7.02 (m, 2H), 6.88 (t, *J* = 7.6 Hz, 1H), 6.82 (t, *J* = 9.2 Hz, 1H), 6.73 (s, 2H), 6.41 (d, *J* = 6.3 Hz, 1H), 6.18 (d, *J* = 6.4 Hz, 1H), 2.59 (s, 6H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 193.61, 160.41, 158.77, 142.00, 138.92, 134.05, 133.96, 133.37, 131.62, 130.28, 130.22, 128.95, 128.93, 128.72, 124.60, 124.58, 123.40, 123.30, 115.84, 115.69, 54.75, 22.67, 20.75; **HRMS(ESI)**: calcd. for $C_{23}H_{22}FNNaO_3S(M+Na)^+$: 434.1197, found: 434.1193.

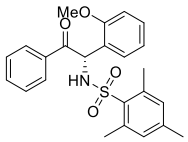




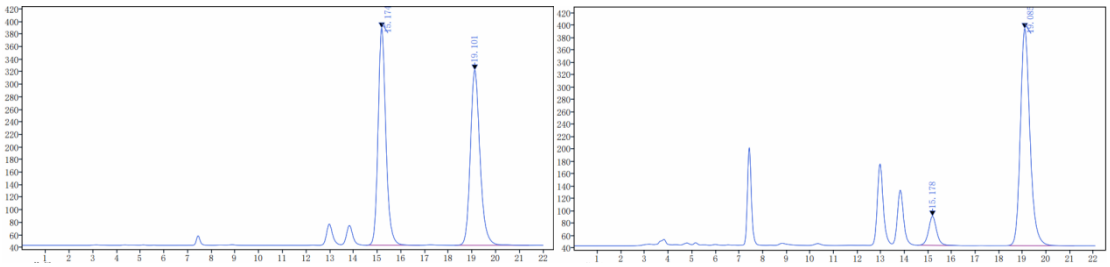
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	20.438	BB	2.6263	5619.1883	169.1584	49.9884
	22.512	BB	2.5600	5621.8062	152.5788	50.0116

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	20.406	BB	1.8722	1605.4644	49.2155	6.0245
	22.402	BB	3.0900	25043.4906	667.8727	93.9755

(S)-N-(1-(2-methoxyphenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4b):



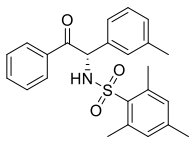
White solid (40.0 mg, 47%); m.p. = 132-135 ^{o}C; R_f = 0.23 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 80% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 19.085 min, t_R(minor) 15.18 min; $[\alpha]_D^{20}$ = +67.60 (c=0.39, CH_2Cl_2); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.87 – 7.78 (m, 2H), 7.44 (t, *J* = 7.4 Hz, 1H), 7.30 (t, *J* = 7.8 Hz, 2H), 7.10 – 6.98 (m, 2H), 6.76 – 6.65 (m, 3H), 6.59 (d, *J* = 8.2 Hz, 1H), 6.34 (d, *J* = 7.0 Hz, 1H), 6.23 (d, *J* = 7.0 Hz, 1H), 3.70 (s, 3H), 2.58 (s, 6H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.84, 155.78, 141.69, 138.91, 134.27, 133.99, 133.55, 131.44, 129.71, 128.90, 128.66, 128.42, 124.35, 120.89, 110.79, 55.88, 55.15, 22.74, 20.78; **HRMS(ESI)**: calcd. for $C_{24}H_{25}NNaO_4S(M+Na)^+$: 446.1397, found: 446.1400.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	15.174	BB	2.2867	7907.8438	345.9361	50.0648
	19.101	MM m	0.4318	7887.3671	278.9993	49.9352

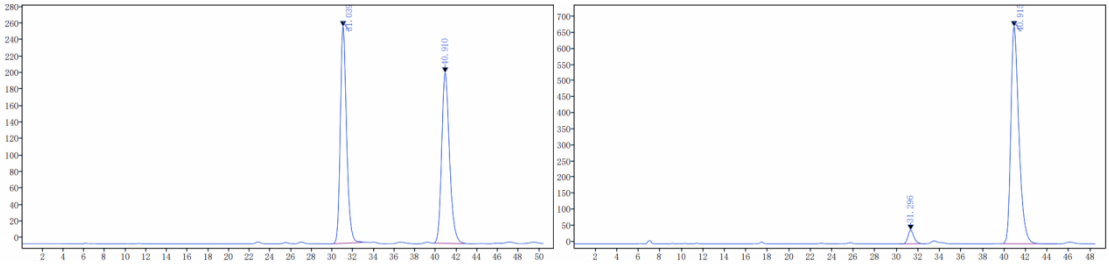
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	15.178	BB	1.9700	1109.4449	46.8742	10.0706
	19.085	MM m	0.4305	9907.2321	350.7761	89.9294

(S)-2,4,6-trimethyl-N-(2-oxo-2-phenyl-1-(m-tolyl)ethyl)benzenesulfonamide (4c):



White solid (61.3 mg, 75%); m.p. = 143-146 ^{o}C; R_f = 0.36 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 95/5, flow rate 0.5 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 40.915 min, t_R(minor) 31.296 min; $[\alpha]_D^{20}$ = +131.76 (c=0.57, CH_2Cl_2); **1H NMR (600 MHz, $CDCl_3$)** δ 7.83 (d, *J* = 7.5 Hz, 2H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.38 (t, *J* = 7.8 Hz, 2H), 7.01 (t, *J* = 7.6 Hz, 1H), 6.95 (d, *J* = 7.7 Hz, 1H), 6.92 (d, *J* = 7.5 Hz, 1H), 6.88 (s, 1H), 6.74 (s, 2H), 6.30 (d, *J* = 6.8 Hz, 1H), 5.93 (d, *J* = 6.9 Hz, 1H), 2.59 (s, 6H), 2.20 (s, 3H), 2.16 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.57, 141.81, 138.59, 135.13,

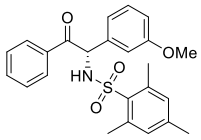
134.56, 133.89, 133.83, 131.58, 129.12, 128.91, 128.73, 128.64, 128.33, 124.98, 61.53, 22.78, 21.13, 20.74; **HRMS(ESI)**: calcd. for $C_{24}H_{25}NNaO_3S(M+Na)^+$: 430.1447, found: 430.1447.



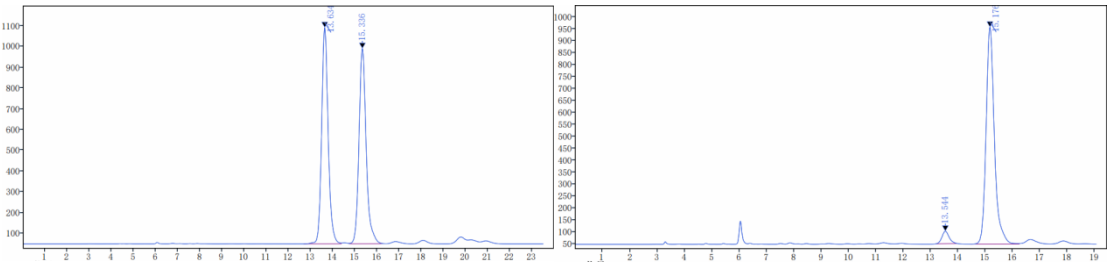
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	31.039	BB	3.2217	11042.3164	262.6364	50.3175
	40.910	BB	3.7433	10902.9696	206.5575	49.6825

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	31.296	BB	2.4267	1638.8481	42.0349	4.4416
	40.915	BB	5.2467	35258.7770	675.4459	95.5584

(S)-N-(1-(3-methoxyphenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4d):



Colorless oil (18.8 mg, 22%); R_f = 0.25 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 90% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major)15.176 min t_R(minor) 13.544 min; $[\alpha]_D^{20}$ = +135.89 (c=0.35, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.80 (d, *J* = 7.5 Hz, 2H), 7.49 (t, *J* = 7.3 Hz, 1H), 7.35 (t, *J* = 7.7 Hz, 2H), 7.02 (t, *J* = 7.9 Hz, 1H), 6.73 (s, 2H), 6.72 – 6.68 (m, 1H), 6.60 – 6.55 (m, 2H), 6.28 (d, *J* = 6.7 Hz, 1H), 5.89 (d, *J* = 6.9 Hz, 1H), 3.65 (s, 3H), 2.58 (s, 6H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.45, 159.75, 141.93, 138.63, 136.74, 134.47, 133.88, 133.85, 131.63, 129.91, 128.88, 128.64, 120.24, 114.05, 113.03, 61.41, 55.08, 22.81, 20.75; **HRMS(ESI)**: calcd. for $C_{24}H_{25}NNaO_4S(M+Na)^+$: 446.1397, found:446.1400.

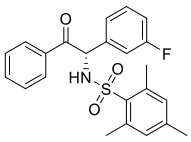


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	13.634	BV	1.7001	21396.0953	1042.3526	50.0890
	15.336	VB	1.6596	21320.0653	941.0678	49.9110

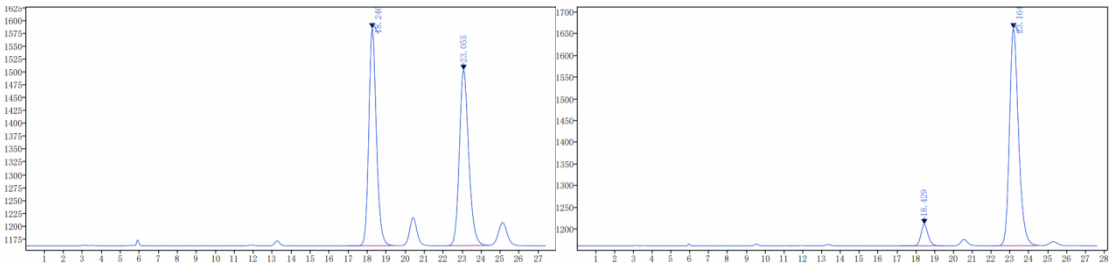
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	13.544	MM m	0.2774	951.5168l	52.2592	4.7247
	15.176	BV	1.7995	19187.5645	906.4372	95.2753

(S)-N-(1-(3-fluorophenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4e):

White solid (46.4 mg, 56%); m.p. = 105-107 °C; R_f = 0.33 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 86% by HPLC analysis on Daicel Chirapak IA-H



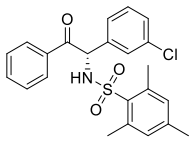
column (hexane/isopropanol = 95/5, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 23.164 min, t_R(minor) 18.429 min; $[\alpha]_D^{20}$ = +112.37 (c=0.37, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.79 (d, *J* = 7.8 Hz, 2H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.37 (t, *J* = 7.8 Hz, 2H), 7.08 (dd, *J* = 13.8, 7.7 Hz, 1H), 6.93 (d, *J* = 7.8 Hz, 1H), 6.79 (t, *J* = 8.5 Hz, 2H), 6.74 (s, 2H), 6.32 (d, *J* = 6.7 Hz, 1H), 5.92 (d, *J* = 6.7 Hz, 1H), 2.58 (s, 6H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.10, 163.45, 161.81, 142.20, 138.63, 137.84, 137.80, 134.30, 134.12, 133.60, 131.70, 130.47, 130.42, 128.88, 128.76, 123.55, 123.53, 115.45, 115.31, 114.80, 114.65, 60.83, 60.82, 22.75, 20.72; **HRMS(ESI)**: calcd. for $C_{23}H_{22}FNNaO_3S(M+Na)^+$: 434.1197, found: 434.1195.



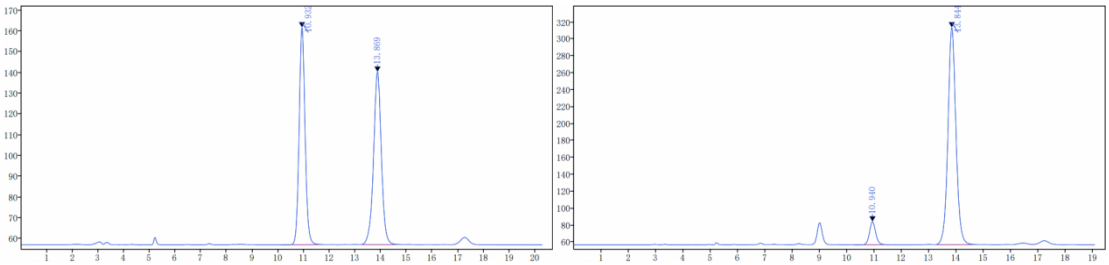
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	18.246	MM m	0.4242	11749.6039	422.8723	50.0865
	23.055	BB	2.3317	11709.0107	341.4975	49.9135

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	18.429	MM m	0.4050	1300.5490	49.1093	7.2396
	23.164	BB	2.5561	16663.8570	499.6399	92.7604

(S)-N-(1-(3-chlorophenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4f):



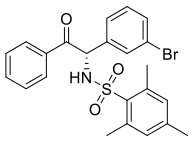
White solid (44.4 mg, 52%); m.p. = 112-115 ^{o}C; R_f = 0.34 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 85% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 13.844 min, t_R(minor) 10.942 min; $[\alpha]_D^{20}$ = +98.77 (c=0.38, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.79 (d, *J* = 7.3 Hz, 2H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.37 (t, *J* = 7.8 Hz, 2H), 7.16 – 6.89 (m, 4H), 6.73 (s, 2H), 6.33 (d, *J* = 6.2 Hz, 1H), 5.91 (d, *J* = 6.5 Hz, 1H), 2.57 (s, 6H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 193.89, 142.21, 138.49, 137.17, 134.67, 134.32, 134.20, 133.49, 131.74, 130.07, 128.94, 128.82, 128.54, 127.86, 125.99, 60.94, 22.76, 20.79; **HRMS(ESI)**: calcd. for $C_{23}H_{22}ClNNaO_3S(M+Na)^+$: 450.0901, found: 450.0901.



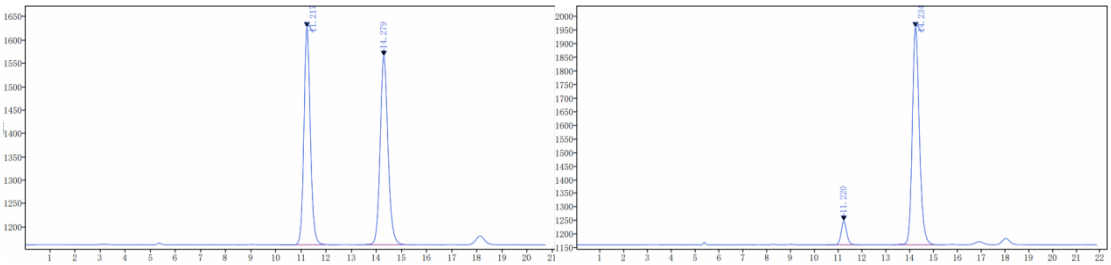
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	10.932	MM m	0.2464	1679.9288	104.5792	48.8713
	13.869	BB	1.6850	1757.5234	83.1157	51.1287

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	10.940	MM m	0.2434	429.2753	27.0088	7.6004
	13.844	BB	1.6867	5218.7525	256.1050	92.3996

(S)-N-(1-(3-bromophenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4g):



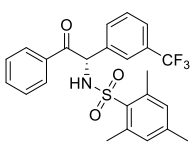
White solid (56.1 mg, 59%); m.p. = 118-121 ^{o}C; R_f = 0.34 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 84% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 14.234 min, t_R(minor) 11.220 min; $[\alpha]_D^{20}$ = +103.03 (c=0.54, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.80 (d, *J* = 7.6 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.38 (t, *J* = 7.8 Hz, 2H), 7.19 (d, *J* = 8.0 Hz, 1H), 7.15 (s, 1H), 7.06 (d, *J* = 7.8 Hz, 1H), 6.95 (t, *J* = 7.8 Hz, 1H), 6.73 (s, 2H), 6.31 (d, *J* = 6.4 Hz, 1H), 5.90 (d, *J* = 6.5 Hz, 1H), 2.56 (s, 6H), 2.19 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 193.82, 142.17, 138.45, 137.36, 134.33, 134.18, 133.49, 131.75, 131.44, 130.72, 130.27, 128.93, 128.80, 126.41, 122.82, 60.93, 22.74, 20.82; **HRMS(ESI)**: calcd. for $C_{23}H_{22}BrNNaO_3S$ $(M+Na)^+$: 494.0396, found: 494.0391.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.217	MM m	0.2721	8286.6634	464.6563	48.5636
	14.279	BB	2.2250	8776.8588	403.2738	51.4364

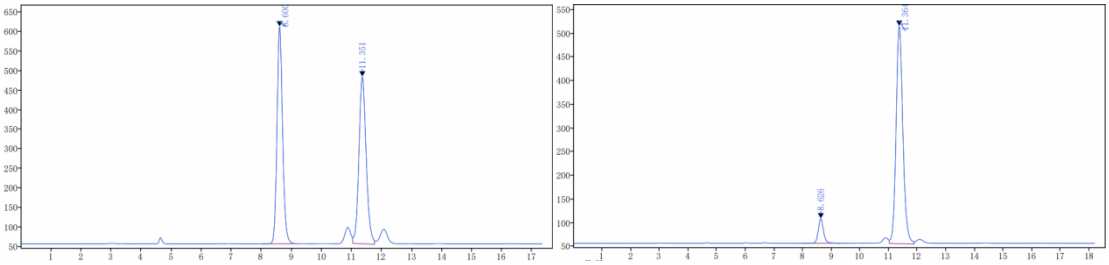
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.220	MM m	0.2489	1407.4715	86.4563	7.8347
	14.234	BB	2.1917	16557.0661	796.9559	92.1653

(S)-2,4,6-trimethyl-N-(2-oxo-2-phenyl-1-(3-(trifluoromethyl)phenyl)ethyl)benzenesulfonamide (4h):



White solid (43.6 mg, 47%); m.p. = 109-111 ^{o}C; R_f = 0.40 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 84% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol =

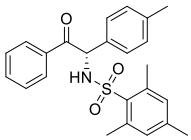
90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 11.364 min, t_R(minor) 8.626 min;$[\alpha]_D^{20}$ = +78.54 (c=0.41, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.81 (d, *J* = 7.9 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.38 (t, *J* = 7.7 Hz, 2H), 7.35 – 7.29 (m, 3H), 7.21 (t, *J* = 7.7 Hz, 1H), 6.69 (s, 2H), 6.38 (d, *J* = 6.1 Hz, 1H), 6.03 (d, *J* = 6.2 Hz, 1H), 2.55 (s, 6H), 2.14 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 193.85, 142.26, 138.42, 136.42, 134.27, 133.42, 131.71, 131.25, 131.05, 129.34, 129.07, 128.91, 128.85, 125.21, 125.19, 125.16, 125.14, 124.41, 124.39, 124.36, 124.34, 122.56, 60.99, 22.70, 20.64; **HRMS(ESI)**: calcd. for $C_{24}H_{22}F_3NNaO_3S$ $(M+Na)^+$: 484.1165, found: 484.1165.



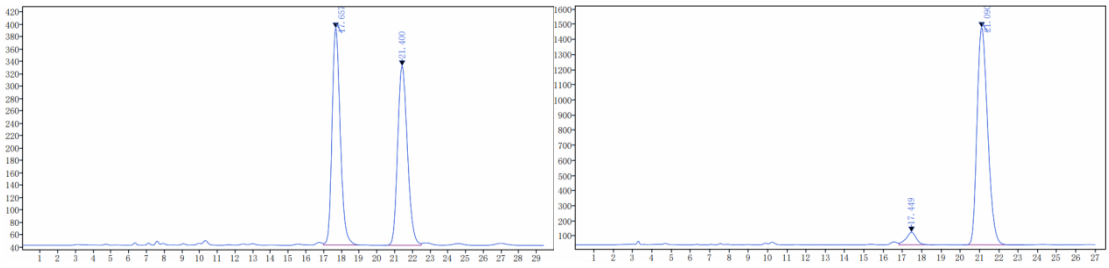
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	8.600	BB	1.2683	7147.3977	556.2466	49.9303
	11.351	MM m	0.2563	7167.3577	428.0795	50.0697

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	8.626	BB	1.3733	659.3912	51.9204	8.0163
	11.364	MM m	0.2523	7566.2558	459.1411	91.9837

(S)-2,4,6-trimethyl-N-(2-oxo-2-phenyl-1-(p-tolyl)ethyl)benzenesulfonamide (4i):



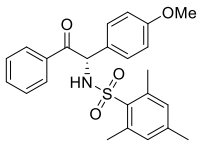
Yellow oil (60.1 mg, 74%); R_f = 0.40 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 89% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 21.090 min, t_R(minor) 17.449 min; $[\alpha]_D^{20}$ = +147.84 (c=0.56, CH_2Cl_2); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.83 – 7.75 (m, 2H), 7.48 (t, *J* = 7.4 Hz, 1H), 7.34 (t, *J* = 7.8 Hz, 2H), 7.00 (d, *J* = 8.1 Hz, 2H), 6.90 (d, *J* = 8.0 Hz, 2H), 6.73 (s, 2H), 6.26 (d, *J* = 7.0 Hz, 1H), 5.89 (d, *J* = 7.0 Hz, 1H), 2.57 (s, 6H), 2.19 (s, 3H), 2.18 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 194.69, 141.87, 138.65, 138.30, 134.52, 133.93, 133.77, 132.50, 131.61, 129.50, 128.87, 128.61, 127.64, 61.21, 22.81, 20.98, 20.75; **HRMS(ESI)**: calcd. for $C_{24}H_{25}NNaO_3S(M+Na)^+$: 430.1447, found: 430.1455.



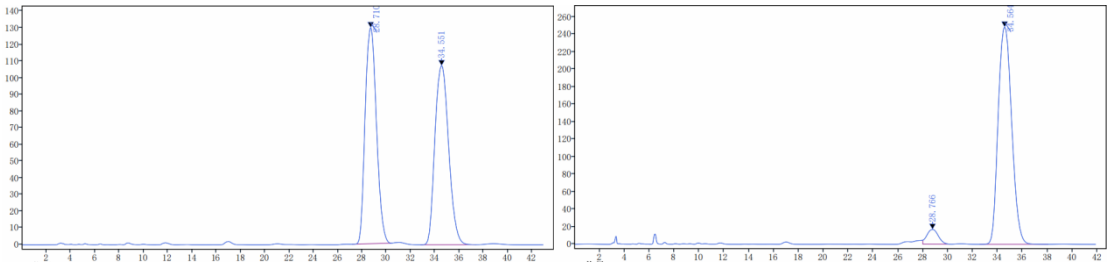
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	17.657	VB	2.0927	11284.1736	350.7900	50.4217
	21.400	BV	2.1326	11095.4020	289.9872	49.5783

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	17.449	VB	1.8421	3073.2779	82.7728	5.2891
	21.090	BB	3.1967	55032.8650	1437.1320	94.7109

(S)-N-(1-(4-methoxyphenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4j):



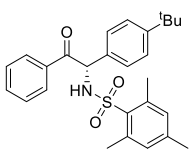
Yellow oil (43.9 mg, 52%); R_f = 0.24 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 89% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 34.564 min, t_R(minor) 28.766 min; $[\alpha]_D^{20}$ = +142.22 (c=0.41, CH_2Cl_2); **^{1}H NMR (400 MHz, $CDCl_3$)** δ7.81-7.74 (m, 2H), 7.48 (t, *J* = 7.4 Hz, 1H), 7.34 (t, *J* = 7.8 Hz, 2H), 7.08 – 6.94 (m, 2H), 6.73 (s, 2H), 6.68 – 6.50 (m, 2H), 6.26 (d, *J* = 6.9 Hz, 1H), 5.89 (d, *J* = 6.9 Hz, 1H), 3.67 (s, 3H), 2.57 (s, 6H), 2.18 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 194.68, 159.60, 141.85, 138.62, 134.61, 133.93, 133.76, 131.64, 129.02, 128.86, 128.62, 127.51, 114.28, 60.93, 55.18, 22.81, 20.73; **HRMS(ESI)**: calcd. for $C_{24}H_{25}NNaO_4S(M+Na)^+$: 446.1397, found: 446.1410.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	28.710	BB	3.2139	8384.8662	129.6004	49.8003
	34.551	BB	4.6100	8452.1189	107.3850	50.1997

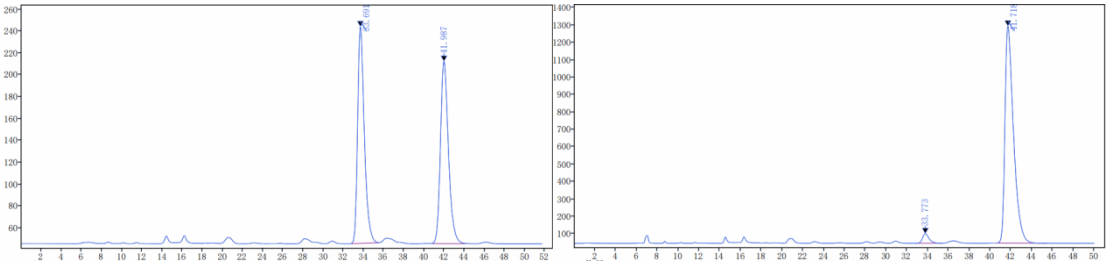
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	28.766	MB m	1.0065	1076.8903	16.8079	5.4226
	34.564	BB	5.5200	18782.4497	248.2975	94.5774

(S)-N-(1-(4-(tert-butyl)phenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4k):



Yellow oil (60.0 mg, 67%); R_f = 0.45 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 94% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 95/5, flow rate 0.5 mL/min, T =

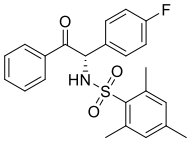
30 °C), UV 254 nm, t_R(major) 41.718 min, t_R(minor) 33.773 min; $[\alpha]_D^{20}$ = +109.68 (c=0.56, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.85 (d, *J* = 7.3 Hz, 2H), 7.50 (t, *J* = 7.4 Hz, 1H), 7.37 (t, *J* = 7.8 Hz, 2H), 7.12 – 7.02 (m, 4H), 6.72 (s, 2H), 6.34 (d, *J* = 6.6 Hz, 1H), 5.99 (d, *J* = 6.8 Hz, 1H), 2.59 (s, 6H), 2.17 (s, 3H), 1.20 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.53, 151.38, 141.59, 138.44, 134.77, 133.90, 133.81, 132.08, 131.59, 128.94, 128.63, 127.43, 125.63, 61.24, 34.41, 31.13, 22.81, 20.80; **HRMS(ESI)**: calcd. for $C_{27}H_{31}NNaO_3S(M+Na)^+$: 472.1917, found: 472.1929.



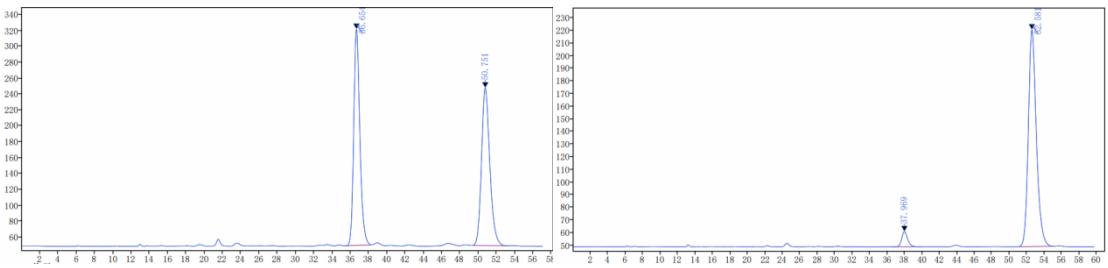
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	33.691	BB	2.9477	9163.0413	198.0541	49.9173
	41.987	BB	4.5833	9193.4137	166.5612	50.0827

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	33.773	BB	2.5539	2373.8130	54.8588	3.2192
	41.718	BB	4.9400	71364.9553	1247.8679	96.7808

(S)-N-(1-(4-fluorophenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4l):



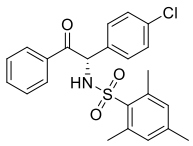
White solid (59.9 mg, 73%); m.p. = 127-130 °C; R_f = 0.39 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 90% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 95/5, flow rate 0.5mL/min, T = 30 °C), UV 254 nm, t_R(major) 52.581 min, t_R(minor) 37.969 min; $[\alpha]_D^{20}$ = +131.95 (c=0.58, CH_2Cl_2); **1H NMR (600 MHz, CDCl3)** δ 7.78 (d, *J* = 7.4 Hz, 2H), 7.50 (t, *J* = 7.4 Hz, 1H), 7.36 (t, *J* = 7.8 Hz, 2H), 7.12 – 7.05 (m, 2H), 6.78 (t, *J* = 8.6 Hz, 2H), 6.74 (s, 2H), 6.30 (d, *J* = 6.6 Hz, 1H), 5.93 (d, *J* = 6.7 Hz, 1H), 2.57 (s, 6H), 2.19 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$.)** δ 194.44, 163.35, 161.71, 142.18, 138.60, 134.44, 134.04, 133.66, 131.69, 131.47, 131.45, 129.58, 129.53, 128.88, 128.74, 115.88, 115.73, 60.66, 22.78, 20.73; **HRMS(ESI)**: calcd. for $C_{23}H_{22}FNNaO_3S(M+Na)^+$: 434.1197, found: 434.1199.



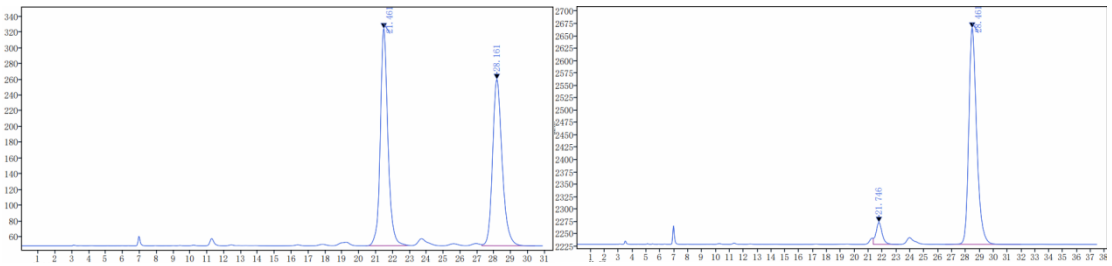
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	36.654	BB	2.8983	12622.5123	271.8240	50.1345
	50.751	BB	3.9467	12554.7968	198.2544	49.8655

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	37.969	BB	3.3500	571.6349	12.0797	4.9559
	52.581	BB	4.2950	10962.7181	171.6724	95.0441

(S)-N-(1-(4-chlorophenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4m):



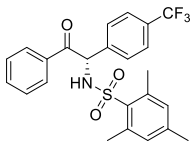
White solid (50.2 mg, 59%); m.p. = 136-139 ^{o}C; R_f = 0.39 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 86% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 95/5, flow rate 1.0mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 28.461 min, t_R(minor) 21.746 min; $[\alpha]_D^{20}$ = +115.03 (c=0.47, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.78 (d, *J* = 7.3 Hz, 2H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.36 (t, *J* = 7.8 Hz, 2H), 7.09 – 6.97 (m, 4H), 6.74 (s, 2H), 6.31 (d, *J* = 6.4 Hz, 1H), 5.92 (d, *J* = 6.6 Hz, 1H), 2.56 (s, 6H), 2.20 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.14, 142.29, 138.56, 134.51, 134.44, 134.12, 133.98, 133.57, 131.69, 129.04, 128.97, 128.89, 128.78, 60.79, 22.78, 20.77; **HRMS(ESI)**: calcd. for $C_{23}H_{22}ClNNaO_3S(M+Na)^+$: 450.0901, found: 450.0903.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	21.461	BB	2.7039	8649.2994	275.5951	50.9227
	28.161	VBA	3.1455	8335.8567	211.1550	49.0773

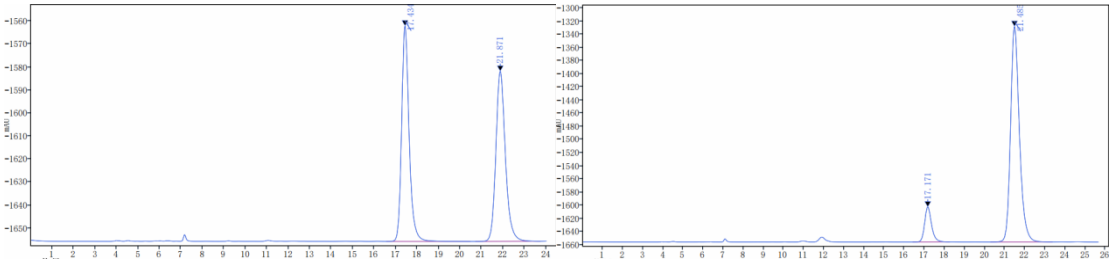
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	21.746	VB	1.8273	1367.3566	44.1734	7.2895
	28.461	BB	5.4433	17390.6314	437.0396	92.7105

(S)-2,4,6-trimethyl-N-(2-oxo-2-phenyl-1-(4-(trifluoromethyl)phenyl)ethyl)benzenesulfonamide (4n):



White solid (43.6 mg, 59%); m.p. = 142-145 ^{o}C; R_f =0.37 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 78% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 21.485 min, t_R(minor) 17.171 min; $[\alpha]_D^{20}$ = +78.80 (c=0.42, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.81 (d, *J* = 7.7 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.38 (t, *J* = 7.8 Hz, 2H), 7.30 (d, *J* = 8.2 Hz, 2H), 7.22 (d, *J* = 8.1 Hz, 2H), 6.69 (s, 2H), 6.38 (d, *J* = 6.1 Hz, 1H), 6.02 (d, *J* = 6.2 Hz, 1H), 2.55 (s, 6H), 2.15 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ193.78, 142.32, 139.19, 138.44, 134.43, 134.31, 133.43, 131.66, 130.80, 130.58,

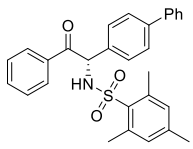
130.37, 130.15, 128.93, 128.87, 128.11, 126.33, 125.66, 125.63, 125.61, 125.58, 124.53, 122.73, 120.92, 77.23, 77.02, 76.81, 61.08, 22.74, 20.57; **HRMS(ESI)**: calcd. for $C_{24}H_{22}F_3NNaO_3S(M+Na)^+$: 484.1165, found: 484.1160.



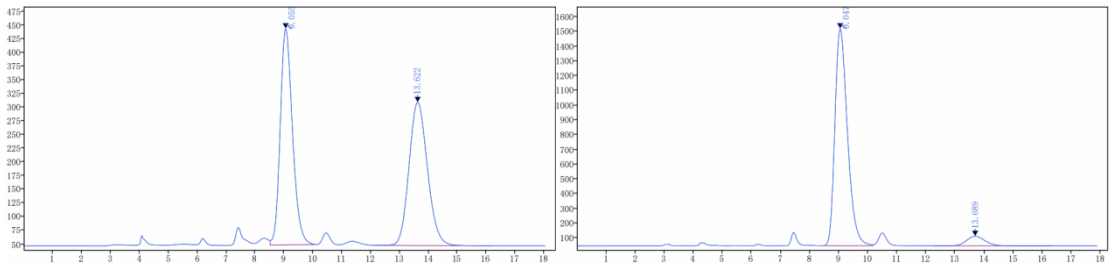
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	17.434	BB	3.9233	2285.3567	93.7256	50.0374
	21.871	BB	3.0383	2281.9437	74.0016	49.9626

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	17.171	BB	1.8517	1263.2585	53.0549	11.2192
	21.485	BB	3.0900	9996.5185	327.1651	88.7808

(S)-N-(1-([1,1'-biphenyl]-4-yl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4o):



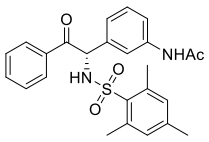
Yellow solid (56.2 mg, 60%); m.p. = 47-50 ^oC;R_f = 0.33 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel ChirapakAS-H column (hexane/isopropanol = 70/30, flow rate 1.0mL/min, T = 30 ^oC), UV 254 nm, t_R(major) 9.047 min, t_R(minor) 13.689 min; $[\alpha]_D^{20}$ = +72.94 (c=0.70, CH_2Cl_2); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.85 (d, *J* = 7.6 Hz, 2H), 7.49 (d, *J* = 7.4 Hz, 1H), 7.42 – 7.34 (m, 6H), 7.34 – 7.31 (m, 1H), 7.28 (d, *J* = 8.2 Hz, 2H), 7.18 (d, *J* = 8.2 Hz, 2H), 6.70 (s, 2H), 6.36 (d, *J* = 6.7 Hz, 1H), 6.00 (d, *J* = 6.7 Hz, 1H), 2.59 (s, 6H), 2.09 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 194.38, 141.93, 141.34, 140.17, 138.55, 134.63, 134.24, 133.97, 133.82, 131.64, 128.97, 128.75, 128.72, 128.13, 127.58, 127.48, 126.96, 61.29, 22.84, 20.73; **HRMS(ESI)**: calcd. for $C_{29}H_{27}NNaO_3S(M+Na)^+$: 492.1604, found: 492.1602.



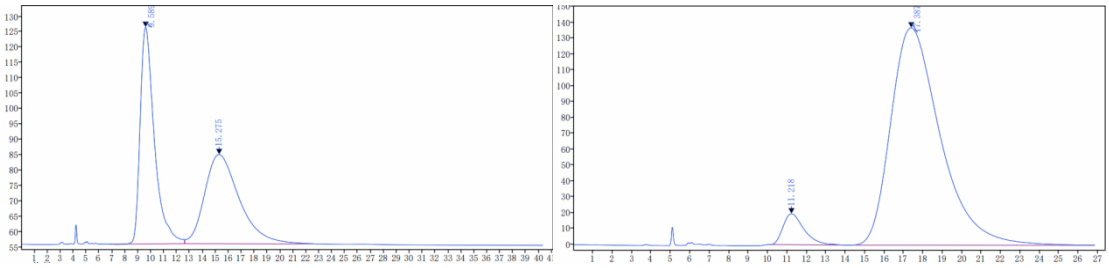
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	9.055	VB	1.6041	11644.7213	394.7219	50.0514
	13.622	BB	3.9633	11620.7842	261.2497	49.9486

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	9.047	BV	1.7558	44112.2023	1471.1180	93.8590
	13.689	BB	4.0733	2886.1693	64.7708	6.1410

(S)-N-(3-(2-oxo-2-phenyl-1-((2,4,6-trimethylphenyl)sulfonamido)ethyl)phenyl)acetamide (4p):



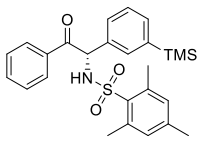
Colorless oil (55.3 mg, 61%); R_f = 0.30 (petroleum ether/ethyl acetate = 2:1); the enantiomeric excess was determined to be 89% by HPLC analysis on Daicel Chirapak OJ-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 17.387 min t_R(minor) 11.218 min; $[\alpha]_D^{20}$ = +144.22(c=0.50, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.76 (d, *J* = 7.4 Hz, 2H), 7.49 (d, *J* = 7.2 Hz, 1H), 7.45 (t, *J* = 7.0 Hz, 1H), 7.34 – 7.27 (m, 3H), 7.02 (t, *J* = 7.7 Hz, 1H), 6.83 (d, *J* = 7.1 Hz, 1H), 6.76 (s, 2H), 6.58 (d, *J* = 6.7 Hz, 1H), 5.84 (d, *J* = 7.0 Hz, 1H), 2.60 (s, 6H), 2.17 (s, 3H), 2.05 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.43, 168.40, 142.21, 138.79, 138.75, 136.27, 134.09, 133.96, 133.68, 131.78, 129.53, 128.89, 128.67, 123.22, 119.73, 118.74, 61.22, 24.42, 22.83, 20.79; **HRMS(ESI)**: calcd. for $C_{25}H_{26}N_2NaO_4S(M+Na)^+$: 473.1505, found: 473.1505.



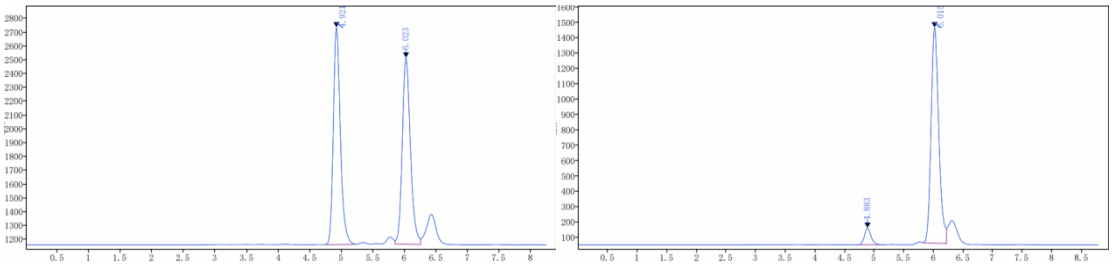
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	9.589	MM m	1.1514	5384.4357	70.3144	49.9617
	15.275	MB m	2.6186	5392.6858	28.9450	50.0383

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.218	MM m	1.1087	1464.2583	19.5528	5.6719
	17.387	BBA	12.6967	24351.6709	137.2614	94.3281

(S)-2,4,6-trimethyl-N-(2-oxo-2-phenyl-1-(4-(trimethylsilyl)phenyl)ethyl)benzenesulfonamide (4q):



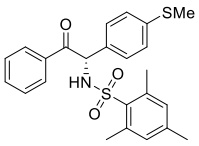
Colorless oil (74.2 mg, 80%); R_f = 0.47 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 87% by HPLC analysis on Daicel Chirapak OJ-H column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 6.015 min t_R(minor) 4.883 min;$[\alpha]_D^{20}$ = +106.24 (c=0.70, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.81 (d, *J* = 7.3 Hz, 2H), 7.47 (t, *J* = 7.3 Hz, 1H), 7.34 (t, *J* = 7.7 Hz, 2H), 7.24 (t, *J* = 10.5 Hz, 2H), 7.11-7.01 (m, 2H), 6.70 (s, 2H), 6.32 (d, *J* = 6.5 Hz, 1H), 5.96 (d, *J* = 6.7 Hz, 1H), 2.57 (s, 6H), 2.15 (s, 3H), 0.16 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.74, 141.82, 141.53, 138.56, 134.59, 134.49, 133.99, 133.79, 133.25, 132.51, 131.66, 128.88, 128.60, 128.08, 128.05, 61.68, 22.85, 20.76, -1.34; **HRMS(ESI)**: calcd. for $C_{26}H_{31}NNaO_3SSi(M+Na)^+$: 488.1686, found: 488.1687.



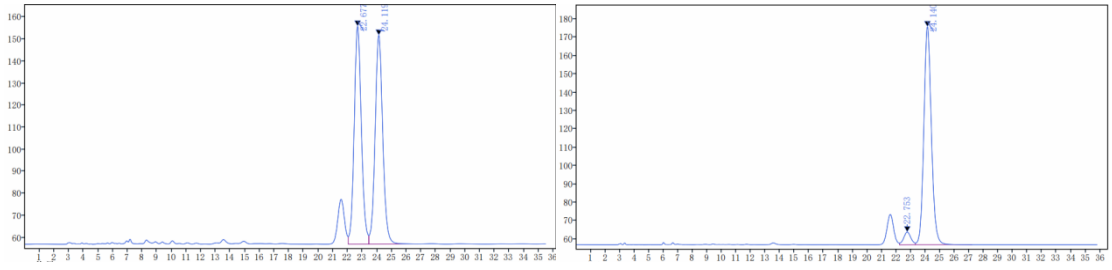
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	4.921	BV	0.5166	12186.9800	1570.0584	50.0685
	6.023	VV	0.4055	12153.6379	1348.0845	49.9315

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	4.883	VV	0.4763	844.3569	108.1795	6.2811
	6.015	BV	0.3961	12598.4457	1402.4252	93.7189

(S)-2,4,6-trimethyl-N-(1-(4-(methylthio)phenyl)-2-oxo-2-phenylethyl)benzenesulfonamide (4r):



Yellow oil (7.2 mg, 8%); R_f = 0.38 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 90% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 24.140 min, t_R(minor) 22.753 min; $[\alpha]_D^{20}$ = +123.28 (c=0.13, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.79 (d, *J* = 7.8 Hz, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.35 (t, *J* = 7.7 Hz, 2H), 7.01 (d, *J* = 8.2 Hz, 2H), 6.94 (d, *J* = 8.2 Hz, 2H), 6.73 (s, 2H), 6.28 (d, *J* = 6.6 Hz, 1H), 5.90 (d, *J* = 6.7 Hz, 1H), 2.57 (s, 6H), 2.37 (s, 3H), 2.19 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.34, 142.03, 139.37, 138.57, 134.54, 133.94, 133.76, 131.94, 131.66, 128.89, 128.70, 128.12, 126.49, 61.07, 22.82, 20.79, 15.42; **HRMS(ESI)**: calcd. for $C_{24}H_{25}NNaO_3S_2(M+Na)^+$: 462.1168, found: 462.1167.

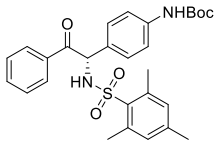


Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	22.677	VV	1.4071	3380.7758	98.9105	49.6409
	24.119	VB	3.3452	3429.6930	94.7806	50.3591

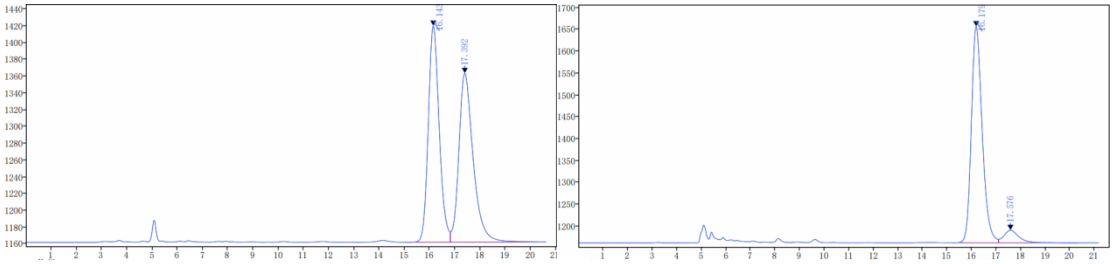
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	22.753	MM m	0.5244	241.3275	6.9798	5.3355
	24.140	VB	3.8951	4281.7103	118.7968	94.6645

tert-butyl(S)-(4-(2-oxo-2-phenyl-1-((2,4,6-trimethylphenyl)sulfonamido)ethyl)phenyl)carbamate (4s):

Yellow oil (30.5 mg, 30%); R_f = 0.15 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 85% by HPLC analysis on Daicel Chirapak IA-H column



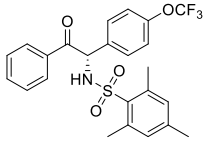
(hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 16.179 min, t_R(minor) 17.576 min; $[\alpha]_D^{20}$ = +112.95 (c=0.24, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.80 (d, *J* = 7.5 Hz, 2H), 7.50 (t, *J* = 7.3 Hz, 1H), 7.36 (t, *J* = 7.6 Hz, 2H), 7.12 (d, *J* = 8.0 Hz, 2H), 7.04 (d, *J* = 8.4 Hz, 2H), 6.76 (s, 2H), 6.45 (s, 1H), 6.30 (d, *J* = 6.7 Hz, 1H), 5.90 (d, *J* = 6.8 Hz, 1H), 2.59 (s, 6H), 2.20 (s, 3H), 1.50 (s, 9H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.54, 152.37, 141.99, 138.63, 138.56, 134.44, 133.84, 133.81, 131.67, 129.75, 128.86, 128.63, 128.45, 118.51, 80.78, 60.98, 28.26, 22.81, 20.72; **HRMS(ESI)**: calcd. for $C_{28}H_{32}N_2NaO_5S(M+Na)^+$: 4531.1924, found: 531.1922.



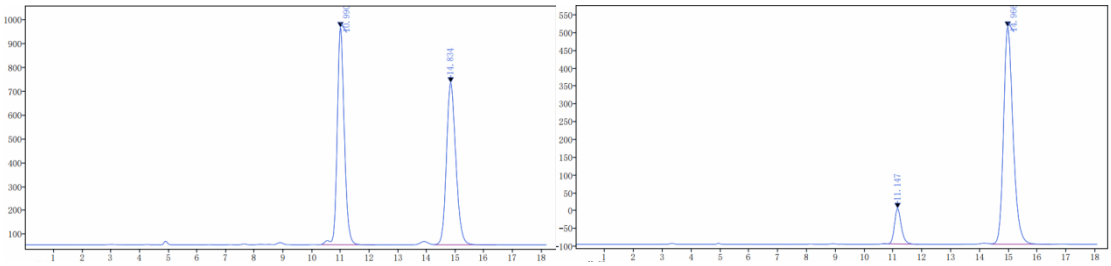
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	16.143	BV	1.7908	7745.5092	257.6434	49.4188
	17.392	VB	3.5064	7927.6805	201.1784	50.5812

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	16.179	BV	1.8604	15163.6476	494.0498	92.5109
	17.576	VB	3.2396	1227.5595	28.4743	7.4891

(S)-2,4,6-trimethyl-N-(2-oxo-2-phenyl-1-(4-(trifluoromethoxy)phenyl)ethyl)benzenesulfonamide (4t):



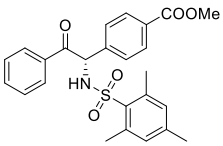
Colorless oil (61.6 mg, 65%); R_f =0.35 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 79% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 14.966 min t_R(minor) 11.417min; $[\alpha]_D^{20}$ = +78.20 (c=0.58, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.80 (d, *J* = 7.9 Hz, 2H), 7.52 (t, *J* = 7.3 Hz, 1H), 7.38 (t, *J* = 7.8 Hz, 2H), 7.14 (d, *J* = 8.5 Hz, 2H), 6.91 (d, *J* = 8.3 Hz, 2H), 6.72 (s, 2H), 6.34 (d, *J* = 6.3 Hz, 1H), 5.99 (d, *J* = 6.4 Hz, 1H), 2.56 (s, 6H), 2.16 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.11, 149.03, 142.25, 138.43, 134.49, 134.17, 134.08, 133.53, 131.64, 129.26, 129.10, 128.90, 128.80, 121.03, 60.68, 22.74, 20.64; **HRMS(ESI)**: calcd. for $C_{24}H_{22}F_3NNaO_4S(M+Na)^+$: 500.1114, found: 500.1108.



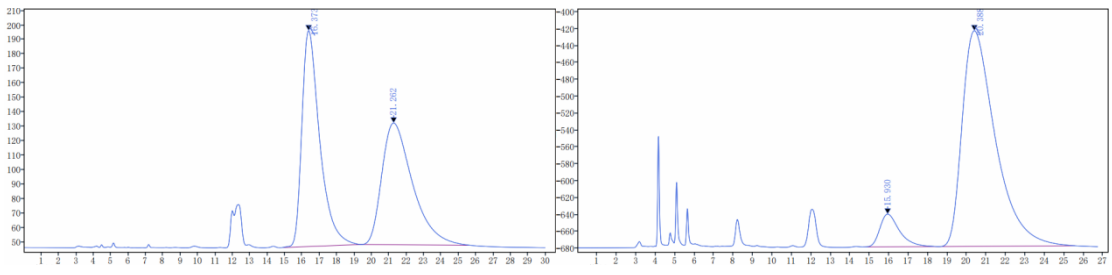
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	10.990	MM m	0.2620	15596.9878	909.6694	50.2813
	14.834	VB	2.1715	15422.4926	678.6080	49.7187

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.147	MM m	0.2503	1628.9233	99.3594	10.4093
	14.966	VB	2.0564	14019.7874	609.8137	89.5907

(S)-methyl 4-(2-oxo-2-phenyl-1-((2,4,6-trimethylphenyl)sulfonamido)ethyl)benzoate (4u):



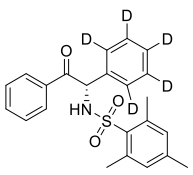
White solid (25.7 mg, 29%); m.p. = 119-122 ^{o}C R_f = 0.20 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 84% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 20.388 min, t_R(minor) 15.930 min; $[\alpha]_D^{20}$ = +110.53 (c=0.19, CH_2Cl_2); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.83 – 7.69 (m, 4H), 7.50 (t, *J* = 7.4 Hz, 1H), 7.36 (t, *J* = 7.8 Hz, 2H), 7.18 (d, *J* = 8.3 Hz, 2H), 6.71 (s, 2H), 6.37 (d, *J* = 6.5 Hz, 1H), 5.98 (d, *J* = 6.6 Hz, 1H), 3.85 (s, 3H), 2.56 (s, 6H), 2.15 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 194.05, 166.28, 142.27, 140.29, 138.63, 134.29, 134.16, 133.58, 131.69, 130.06, 130.03, 128.89, 128.77, 127.75, 61.14, 52.13, 22.75, 20.66; **HRMS(ESI)**: calcd. for $C_{25}H_{25}NNaO_5S(M+Na)^+$: 474.1346, found:474.1353.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	16.373	BB	4.6450	10635.8092	149.0196	50.7480
	21.262	MM m	1.8283	10322.2812	84.0189	49.2520

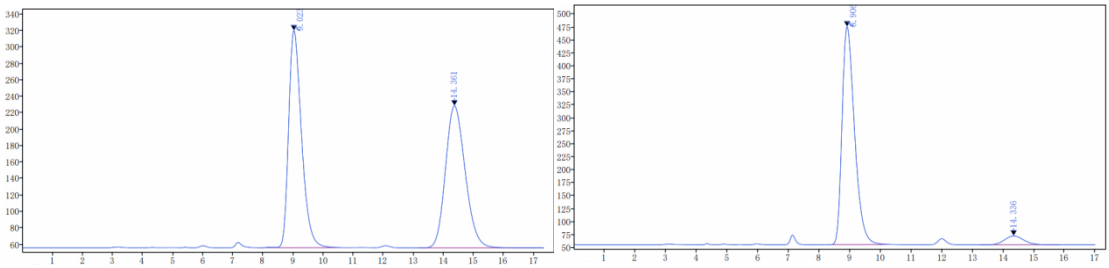
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	15.930	BB	3.8817	2715.3882	38.7362	8.2190
	20.388	MM m	1.8047	30322.7109	255.2895	91.7810

(S)-2,4,6-trimethyl-N-(2-oxo-2-phenyl-1-(phenyl-d5)ethyl)benzenesulfonamide (4v):



Colorless oil (57.7 mg, 72%); R_f = 0.38 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel Chirapak AS-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 8.906 min t_R(minor) 14.336 min; $[\alpha]_D^{20}$ = +123.73

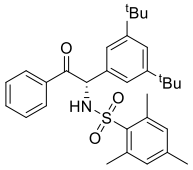
(c=0.54, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.78 (d, *J* = 7.9 Hz, 2H), 7.48 (t, *J* = 7.4 Hz, 1H), 7.34 (t, *J* = 7.7 Hz, 2H), 6.73 (s, 2H), 6.29 (d, *J* = 6.9 Hz, 1H), 5.93 (d, *J* = 7.0 Hz, 1H), 2.57 (s, 6H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.70, 141.98, 138.66, 135.38, 134.38, 133.88, 133.85, 131.66, 128.86, 128.63, 128.50, 128.34, 128.18, 127.50, 127.34, 127.17, 61.33, 22.80, 20.75; **HRMS(ESI)**: calcd. for$C_{23}H_{18}D_5NNaO_3S(M+Na)^+$: 421.1605, found: 421.1606.



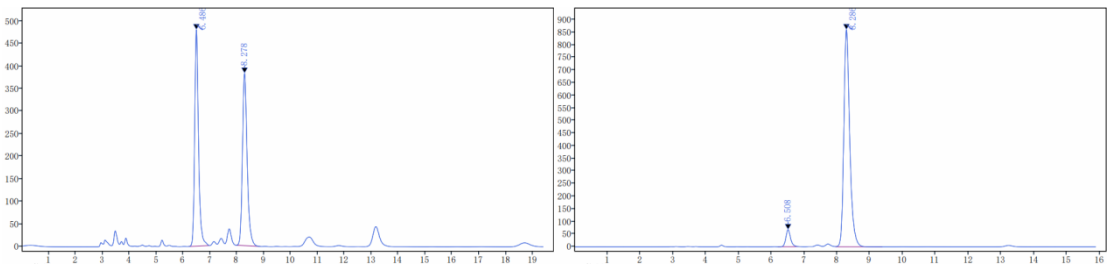
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	9.023	BB	3.0150	7877.6607	263.8400	50.0243
	14.361	BBA	4.1800	7869.9997	172.6644	49.9757

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	8.906	MM m	0.4371	11905.2321	419.5089	94.1346
	14.336	BB	2.6033	741.7936	16.9233	5.8654

(S)-N-(1-(3,5-di-tert-butylphenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4w):



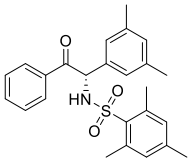
White solid (53.6 mg, 53%); m.p. = 85-87 °C; R_f =0.50 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 95/5, flow rate 1.0mL/min, T = 30 °C), UV 254 nm, t_R(major) 8.286 min, t_R(minor) 6.508 min; $[\alpha]_D^{20}$ = +95.35 (c=0.47, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.83 (d, *J* = 7.5 Hz, 2H), 7.47 (t, *J* = 7.4 Hz, 1H), 7.34 (t, *J* = 7.8 Hz, 2H), 7.10 (s, 1H), 6.91 (d, *J* = 1.3 Hz, 2H), 6.68 (s, 2H), 6.32 (d, *J* = 6.4 Hz, 1H), 5.98 (d, *J* = 6.5 Hz, 1H), 2.58 (s, 6H), 2.12 (s, 3H), 1.15 (s, 18H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.89, 151.18, 141.56, 138.45, 134.70, 134.30, 134.18, 133.61, 131.67, 128.89, 128.49, 122.52, 121.78, 62.14, 34.67, 31.14, 22.96, 20.71; **HRMS(ESI)**: calcd. for $C_{31}H_{39}NNaO_3S(M+Na)^+$: 528.2543, found: 528.2545.



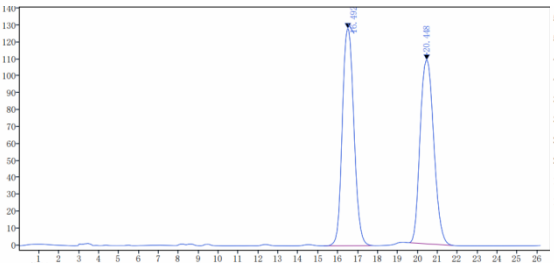
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	6.486	BB	0.7998	4770.6490	479.6202	50.5184
	8.278	BB	0.9002	4672.7459	382.0592	49.4816

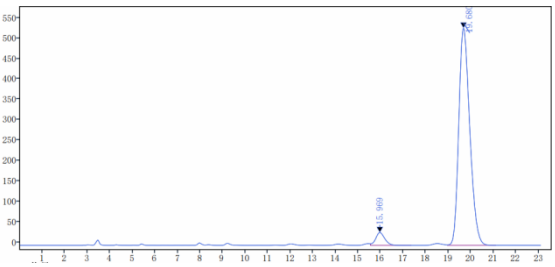
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	6.508	MM m	0.1519	677.2173	67.5625	5.9061
	8.286	VB	1.4287	10789.1995	860.7370	94.0939

(S)-N-(1-(3,5-dimethylphenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4x):



White solid (60.6 mg, 53%); m.p. = 128-132 °C; R_f = 0.43 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 95/5, flow rate 1.0mL/min, T = 30 °C), UV 254 nm, t_R(major) 19.680 min, t_R(minor) 15.969 min; $[\alpha]_D^{20}$ = +130.14 (c=0.58, CH_2Cl_2); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.81 (d, *J* = 7.4 Hz, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.35 (t, *J* = 7.7 Hz, 2H), 6.70 (s, 2H), 6.67 (s, 3H), 6.25 (d, *J* = 6.9 Hz, 1H), 5.87 (d, *J* = 6.9 Hz, 1H), 2.56 (s, 6H), 2.17 (s, 3H), 2.10 (s, 6H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 194.47, 141.64, 138.51, 138.41, 134.78, 134.72, 133.92, 133.78, 131.45, 129.97, 128.91, 128.62, 125.58, 61.63, 22.73, 20.99, 20.72; **HRMS(ESI)**: calcd. for $C_{25}H_{27}NNaO_3S(M+Na)^+$: 444.1604, found: 444.1610.

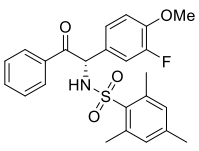




Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	16.492	BB	2.6350	5192.3811	128.1992	49.9870
	20.448	BB	2.3600	5195.0809	108.5015	50.0130

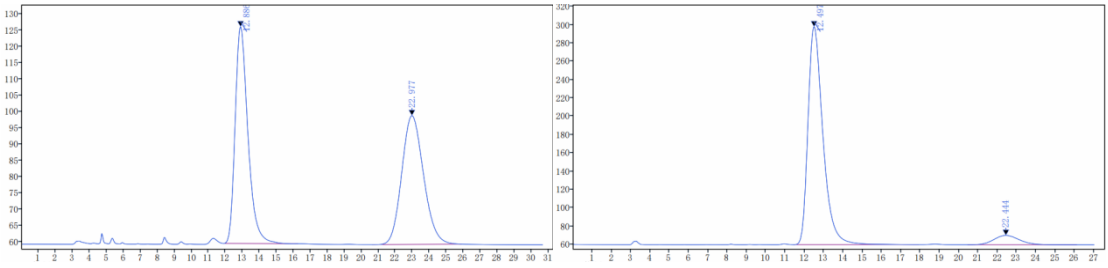
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	15.969	VB	1.8136	869.0791	31.2821	4.6055
	19.680	VB	2.1599	18001.3644	532.0509	95.3945

(S)-N-(1-(3-fluoro-4-methoxyphenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4y):



Colorless oil (44.5 mg, 50%); R_f = 0.16 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 86% by HPLC analysis on Daicel Chirapak AS-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 22.444 min t_R(minor) 12.497 min; $[\alpha]_D^{20}$ = +113.89 (c=0.42, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.81 (d, *J* = 7.3 Hz, 2H), 7.53 (t, *J* = 7.3 Hz, 1H), 7.39 (t, *J* = 7.7 Hz, 2H), 6.88 (d, *J* = 7.8 Hz, 1H), 6.84 – 6.79 (m, 1H), 6.77 (s, 2H), 6.69 (t, *J* = 8.4 Hz, 1H), 6.31 (d, *J* = 6.3 Hz, 1H), 5.90 (d, *J* = 6.5 Hz, 1H), 3.78 (s, 3H), 2.60 (s, 6H), 2.21 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.12, 152.87, 151.22, 147.83, 147.76, 142.06, 138.57,

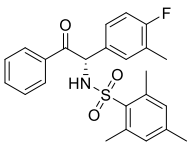
134.54, 134.01, 133.64, 131.64, 128.87, 128.73, 128.19, 128.15, 123.94, 123.91, 115.47, 115.34, 113.47, 60.57, 56.16, 22.76, 20.72; **HRMS(ESI)**: calcd. for $C_{24}H_{24}FNNaO_4S$ $(M+Na)^+$: 464.1302, found: 464.1307.



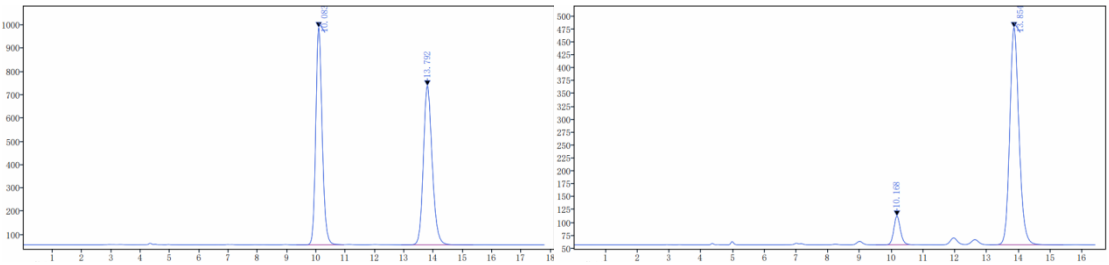
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	12.886	BM m	0.8002	3499.7397	66.6988	49.7760
	22.977	MM m	1.3639	3531.2351	39.6176	50.2240

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	12.497	BB	6.5150	12842.5529	238.2182	93.1900
	22.444	BB	5.6667	938.4948	10.2081	6.8100

(S)-N-(1-(4-fluoro-3-methylphenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4z):



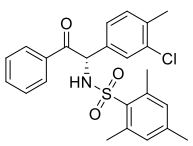
White solid (51.5 mg, 61%); m.p. = 144-145 ^oC; R_f = 0.33 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 83% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0mL/min, T = 30 ^oC), UV 254 nm, t_R(major) 13.854 min, t_R(minor) 10.168 min; $[\alpha]_D^{20}$ = +109.65 (c=0.47, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.79 (d, *J* = 7.9 Hz, 2H), 7.50 (t, *J* = 7.4 Hz, 1H), 7.36 (t, *J* = 7.7 Hz, 2H), 6.95 – 6.88 (m, 1H), 6.86 (d, *J* = 6.9 Hz, 1H), 6.73 (s, 2H), 6.70 (t, *J* = 8.9 Hz, 1H), 6.28 (d, *J* = 6.5 Hz, 1H), 5.90 (d, *J* = 6.5 Hz, 1H), 2.56 (s, 6H), 2.18 (s, 3H), 2.05 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.31, 161.92, 160.29, 141.95, 138.50, 134.65, 133.97, 133.68, 131.56, 130.83, 130.79, 128.89, 128.70, 126.94, 126.88, 125.50, 125.38, 115.39, 115.24, 60.88, 22.75, 20.72, 14.30, 14.28; **HRMS(ESI)**: calcd. for $C_{24}H_{24}FNNaO_3S$ $(M+Na)^+$: 448.1353, found: 448.1353.



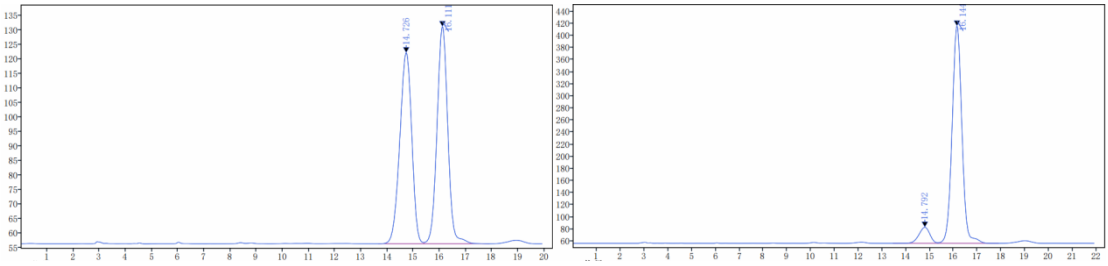
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	10.083	BV	1.6178	14228.5760	931.5988	49.8623
	13.792	BB	2.4483	14307.1661	681.6675	50.1377

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	10.168	BB	1.2106	807.1861	55.3425	8.6276
	13.854	BB	2.3167	8548.7165	420.8276	91.3724

(S)-N-(1-(3-chloro-4-methylphenyl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4aa):



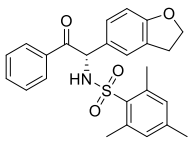
Colorless oil (56.1 mg, 64%); R_f = 0.39 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 85% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 16.144 min t_R(minor) 14.792 min; $[\alpha]_D^{20}$ = +85.79 (c=0.53, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.80 (d, *J* = 8.0 Hz, 2H), 7.50 (t, *J* = 7.4 Hz, 1H), 7.37 (t, *J* = 7.7 Hz, 2H), 7.00 (s, 1H), 6.94 – 6.88 (m, 2H), 6.72 (s, 2H), 6.29 (d, *J* = 6.5 Hz, 1H), 5.88 (d, *J* = 6.5 Hz, 1H), 2.56 (s, 6H), 2.18 (s, 3H), 2.17 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 193.87, 141.98, 138.44, 136.45, 134.61, 134.51, 134.29, 134.06, 133.56, 131.61, 131.16, 128.93, 128.75, 128.22, 125.95, 60.82, 22.75, 20.79, 19.56; **HRMS(ESI)**: calcd. for $C_{24}H_{24}ClNNaO_3S(M+Na)^+$: 464.1058, found: 464.1055.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	14.726	BV	1.7486	2091.2623	65.6739	49.3785
	16.111	VB	2.5181	2143.9053	74.6684	50.6215

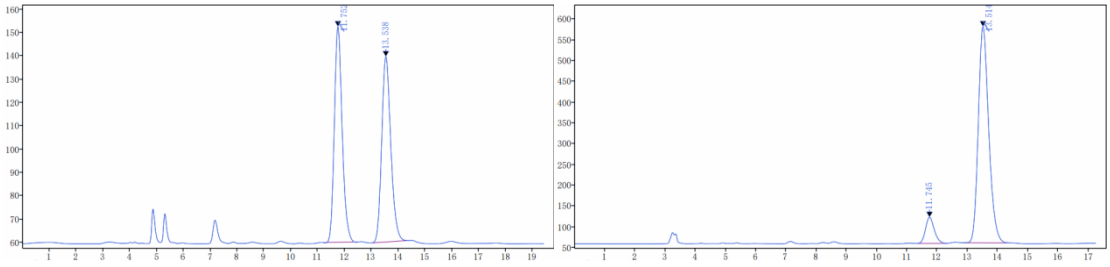
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	14.792	BV	1.8943	844.4113	26.3943	7.5656
	16.144	VB	2.5624	10316.8255	359.3022	92.4344

(S)-N-(1-(2,3-dihydrobenzofuran-5-yl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4ab):



Yellow oil (49.5 mg, 57%); R_f = 0.23 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 81% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 13.514 min, t_R(minor) 11.745 min; $[\alpha]_D^{20}$ = +96.55 (c=0.46, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.80 (d, *J* = 7.6 Hz, 2H), 7.48 (t, *J* = 7.4 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 2H), 6.88 (d, *J* = 8.3 Hz, 1H), 6.86 (s, 1H), 6.74 (s, 2H), 6.49 (d, *J* = 8.2 Hz, 1H), 6.26 (d, *J* = 6.7 Hz, 1H), 5.89 (d, *J* = 6.7 Hz, 1H), 4.43 (t, *J* = 9.3 Hz, 2H), 3.02 – 2.89 (m, 2H), 2.57 (s, 6H), 2.19 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.57, 160.26, 141.67, 138.53, 134.86, 133.89,

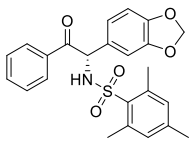
133.78, 131.54, 128.91, 128.64, 128.27, 127.74, 127.16, 124.20, 109.42, 71.39, 61.28, 29.31, 22.81, 20.77; **HRMS(ESI)**: calcd. for $C_{25}H_{25}NNaO_4S$ $(M+Na)^+$: 458.1397, found: 458.1403.



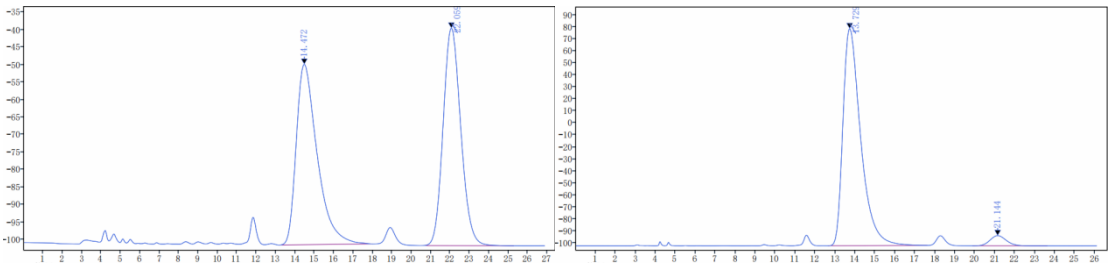
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.752	MM m	0.3102	1870.8997	92.3992	50.0263
	13.538	BB	1.3343	1868.9336	79.4284	49.9737

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.745	MM m	0.3089	1257.1983	62.7014	9.1459
	13.514	BB	1.5367	12488.8758	520.5615	90.8541

(S)-N-(1-(benzo[d][1,3]dioxol-5-yl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4ac):



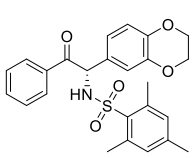
Yellow oil (60.1 mg, 69%); R_f = 0.26 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 92% by HPLC analysis on Daicel Chirapak AS-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 13.729 min, t_R(minor) 21.144 min; $[\alpha]_D^{20}$ = +129.43 (c=0.62, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.84 – 7.73 (m, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.36 (t, *J* = 7.8 Hz, 2H), 6.76 (s, 2H), 6.64 (dd, *J* = 8.0, 1.7 Hz, 1H), 6.53 (d, *J* = 8.0 Hz, 1H), 6.50 (d, *J* = 1.7 Hz, 1H), 6.26 (d, *J* = 6.6 Hz, 1H), 5.85 (d, *J* = 6.7 Hz, 1H), 5.81 (dd, *J* = 5.2, 1.3 Hz, 2H), 2.58 (s, 6H), 2.19 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.31, 147.84, 147.73, 141.84, 138.59, 134.69, 133.89, 133.77, 131.62, 129.08, 128.90, 128.68, 122.00, 108.42, 107.70, 101.24, 61.24, 22.79, 20.76; **HRMS(ESI)**: calcd. for $C_{24}H_{23}NNaO_5S(M+Na)^+$: 460.1189, found: 460.1185.



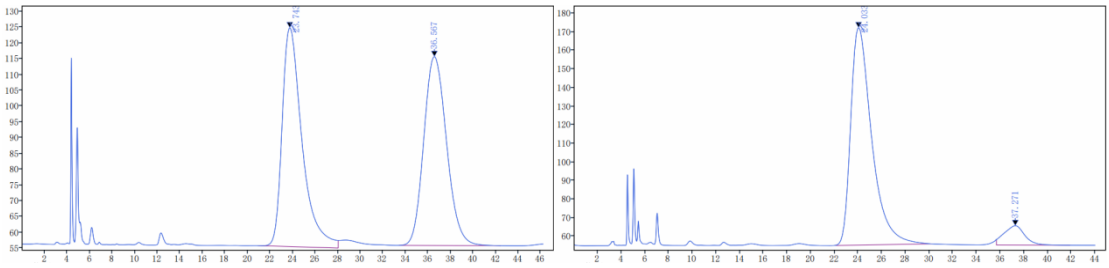
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	14.472	BB	4.8383	3875.5799	51.5293	49.6257
	22.059	BB	4.7567	3934.0443	62.1103	50.3743

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	13.729	BB	4.9883	11199.8526	180.9143	95.8999
	21.144	BB	3.7567	478.8343	8.4639	4.1001

(S)-N-(1-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-2-oxo-2-phenylethyl)-2,4,6-trimethylbenzenesulfonamide (4ad):



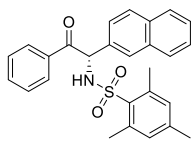
Colorless oil (65.9 mg, 73%); R_f = 0.15 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 84% by HPLC analysis on Daicel Chirapak AS-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 24.033 min t_R(minor) 37.271 min; $[\alpha]_D^{20}$ = +99.11 (c=0.64, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.80 (d, *J* = 7.6 Hz, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 2H), 6.75 (s, 2H), 6.64 – 6.52 (m, 3H), 6.24 (d, *J* = 6.8 Hz, 1H), 5.83 (d, *J* = 6.9 Hz, 1H), 4.11 (s, 4H), 2.58 (s, 6H), 2.19 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.29, 143.73, 143.49, 141.70, 138.61, 134.71, 133.76, 131.85, 131.59, 128.89, 128.62, 128.38, 120.95, 117.62, 116.64, 64.21, 64.16, 60.97, 22.82, 22.78, 20.79; **HRMS(ESI)**: calcd. for $C_{25}H_{25}NNaO_5S(M+Na)^+$: 474.1346; found: 474.1348.



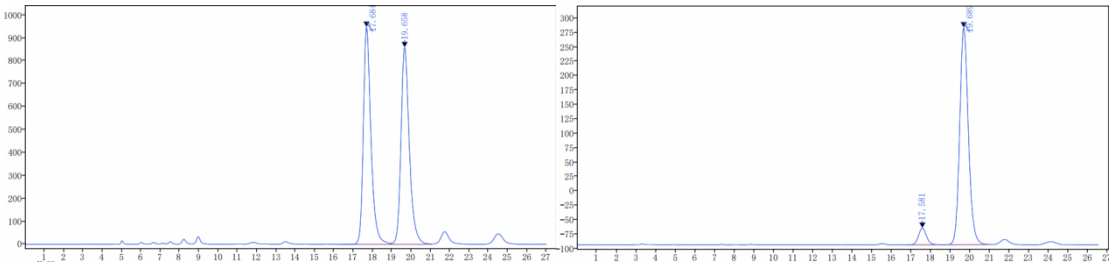
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	23.743	MM m	1.8417	8526.3686	69.2863	50.3102
	36.567	BB	9.0400	8421.2161	59.7872	49.6898

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	24.033	MM m	1.8569	14450.8000	116.9216	91.9640
	37.271	VB	6.2318	1262.7381	10.3931	8.0360

(S) -2,4,6-trimetpuhyl-N-(1-(naphthalen-2-yl)-2-oxo-2-phenylethyl)benzenesulfonamide (4ae):



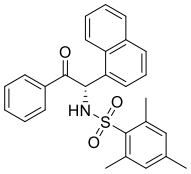
Yellow oil (54.8 mg, 62%); R_f = 0.33 (petroleum ether/ethyl acetate = 5:1); the enantiomeric excess was determined to be 87% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 254 nm, t_R(major) 19.689 min, t_R(minor) 17.581 min; $[\alpha]_D^{20}$ = +95.29 (c=0.70, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.86 (d, *J* = 7.6 Hz, 2H), 7.65 (d, *J* = 7.4 Hz, 1H), 7.62 (d, *J* = 7.4 Hz, 1H), 7.54 (d, *J* = 8.0 Hz, 2H), 7.45 (t, *J* = 7.4 Hz, 1H), 7.43 – 7.37 (m, 2H), 7.32 (t, *J* = 7.7 Hz, 2H), 7.16 (d, *J* = 8.8 Hz, 1H), 6.50 (s, 2H), 6.39 (d, *J* = 6.5 Hz, 1H), 6.12 (d, *J* = 6.6 Hz, 1H), 2.54 (s, 6H), 1.93 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.33, 141.84, 138.38, 134.49, 133.91, 133.82, 133.02, 132.94, 132.42, 131.43, 128.96, 128.90, 128.68, 127.91, 127.50, 127.44, 126.50, 126.32, 124.59, 61.84, 22.76, 20.55; **HRMS(ESI)**: calcd. for $C_{27}H_{25}NNaO_3S(M+Na)^+$: 466.1447; found: 466.1448.



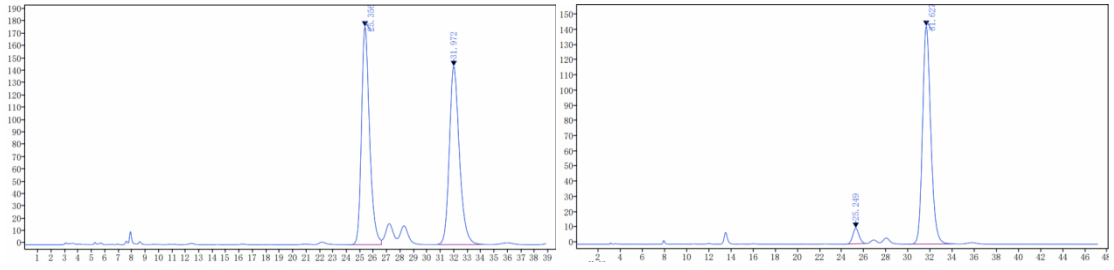
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	17.684	BV	2.6701	25958.3684	946.9501	50.1665
	19.658	VV	2.1349	25786.0645	858.1913	49.8335

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	17.581	BB	1.8939	822.4014	28.9275	6.6298
	19.689	BB	2.3983	11582.2647	376.5231	93.3702

(S)-2,4,6-trimethyl-N-(1-(naphthalen-1-yl)-2-oxo-2-phenylethyl)benzenesulfonamide (4af):



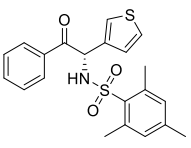
White solid (52.9 mg, 60%); m.p. = 117-120 ^{o}C; R_f = 0.49 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 90% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 95/5, flow rate 1.0mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 31.627 min, t_R(minor) 25.249 min; $[\alpha]_D^{20}$ = +316.53 (c=0.49, CH_2Cl_2); **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.20 (d, *J* = 8.4 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.70 (d, *J* = 7.4 Hz, 2H), 7.68-7.65 (m, 1H), 7.54 – 7.50 (m, 1H), 7.48 (t, *J* = 7.5 Hz, 1H), 7.40 (t, *J* = 7.4 Hz, 1H), 7.26 – 7.21 (m, 2H), 7.21 – 7.18 (m, 2H), 6.61 (d, *J* = 7.5 Hz, 1H), 6.58 (s, 2H), 6.21 (d, *J* = 7.5 Hz, 1H), 2.50 (s, 6H), 2.12 (s, 3H); **^{13}C NMR (151 MHz, CDCl$_3$)** δ 195.53, 141.78, 138.81, 134.20, 134.19, 133.95, 133.70, 131.53, 131.13, 130.69, 129.60, 128.82, 128.55, 128.46, 127.12, 126.98, 126.09, 125.05, 123.00, 58.76, 22.85, 20.71; **HRMS(ESI)**: calcd. for $C_{27}H_{25}NNaO_3S(M+Na)^+$: 466.1447, found: 466.1445.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	25.356	BV	2.4466	7421.5415	176.6569	49.6607
	31.972	BB	4.1867	7522.9435	144.4290	50.3393

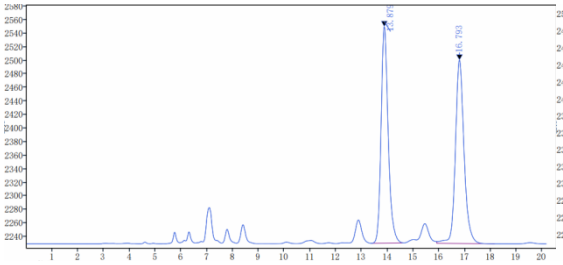
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	25.249	BB	1.9850	407.9020	10.2820	5.1739
	31.627	BB	4.6417	7475.9245	143.5537	94.8261

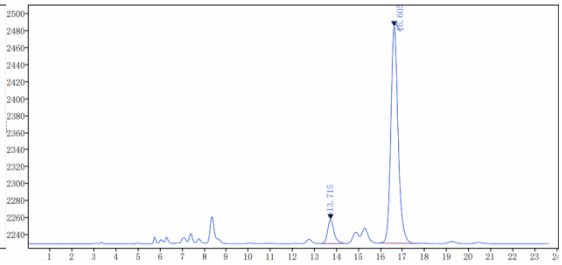
(S)-2,4,6-trimethyl-N-(2-oxo-2-phenyl-1-(thiophen-3-yl)ethyl)benzenesulfonamide (4ag):



Colorless oil (14.5 mg,18%); R_f =0.32 (petroleum ether/ ethyl acetate = 5:1); the enantiomeric excess was determined to be 82% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0

mL/min, T = 30 °C), UV 254 nm, t_R(major) 16.605 min t_R(minor) 13.715 min; $[\alpha]_D^{20}$ = +48.57 (c=0.11, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.79 (d, *J* = 7.6 Hz, 2H), 7.52 (t, *J* = 7.7 Hz, 1H), 7.38 (t, *J* = 7.7 Hz, 2H), 7.07 (dd, *J* = 4.6, 3.0 Hz, 1H), 7.03 (s, 1H), 6.79 (d, *J* = 4.0 Hz, 1H), 6.77 (s, 2H), 6.20 (d, *J* = 7.4 Hz, 1H), 6.06 (d, *J* = 7.5 Hz, 1H), 2.59 (s, 6H), 2.19 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.44, 142.11, 138.67, 135.96, 134.32, 133.99, 133.85, 131.76, 128.78, 128.70, 126.77, 126.19, 124.18, 56.64, 22.83, 20.80; **HRMS(ESI)**: calcd. for $C_{21}H_{21}NNaO_3S_2(M+Na)^+$: 422.0855, found: 422.0857.

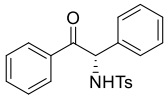




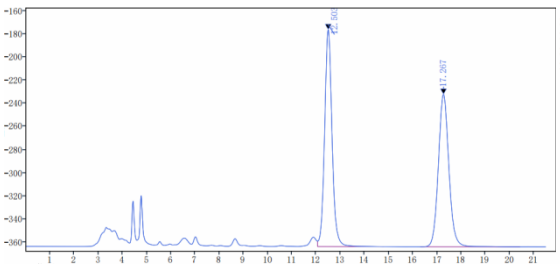
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	13.879	BB	1.2587	6107.4179	319.3310	49.1779
	16.793	VB	2.2458	6311.6215	270.4760	50.8221

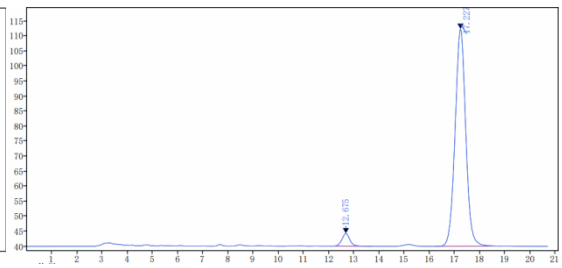
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	13.715	BB	1.2294	568.4672	28.0971	8.8649
	16.605	BB	1.7433	5844.0864	255.3365	91.1351

(S)-4-methyl-N-(2-oxo-1,2-diphenylethyl)benzenesulfonamide (3aa):



Yellow solid (24.8 mg, 68%); m.p. = 108-111 °C; R_f = 0.37 (petroleum ether/ ethyl acetate = 3:1); the enantiomeric excess was determined to be 92% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 70/30, flow rate 1.0mL/min, T = 30 °C), UV 254 nm, t_R(major) 17.227 min, t_R(minor) 12.675 min; $[\alpha]_D^{20}$ = +175.15 (c=0.90, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ7.82 – 7.76 (m, 2H), 7.53 (d, *J* = 8.3 Hz, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 2H), 7.23 – 7.12 (m, 5H), 7.05 (d, *J* = 8.1 Hz, 2H), 6.23 (d, *J* = 7.3 Hz, 1H), 5.99 (d, *J* = 7.4 Hz, 1H), 2.29 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.62, 143.12, 137.47, 135.72, 133.93, 133.87, 129.35, 129.08, 128.95, 128.69, 128.47, 128.14, 126.97, 61.7, 21.39; **HRMS(ESI)**: calcd. for $C_{21}H_{19}NNaO_3S(M+Na)^+$: 388.0978, found: 388.0982.

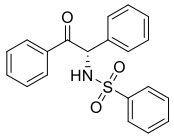




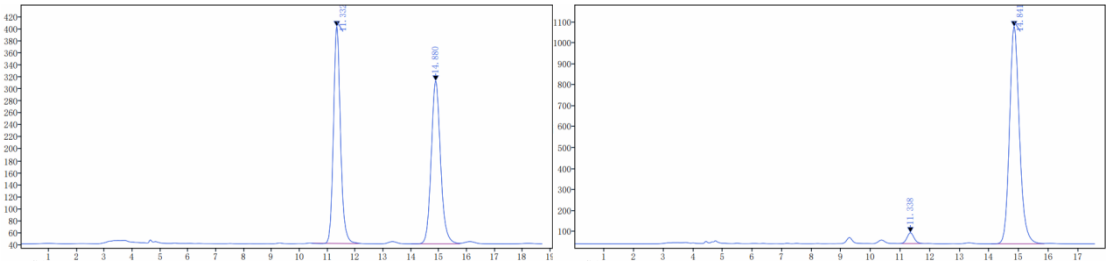
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	12.503	VB	2.7405	4013.3342	187.3911	50.1436
	17.267	BB	4.1233	3990.3536	131.7603	49.8564

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	12.675	BB	1.7067	91.4985	4.1639	4.1253
	17.227	BB	2.6172	2126.5093	72.2216	95.8747

(S)-N-(2-oxo-1,2-diphenylethyl)benzenesulfonamide (3ab):



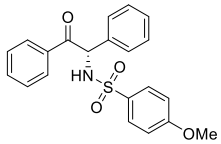
Yellow oil (18.3 mg, 52%); R_f = 0.38 (petroleum ether/ ethyl acetate = 3:1); the enantiomeric excess was determined to be 93% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major) 14.841 min, t_R(minor) 11.338 min; $[\alpha]_D^{20}$ = +69.13 (c=0.11, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.84 – 7.76 (m, 2H), 7.68 – 7.58 (m, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.41 – 7.33 (m, 3H), 7.28 – 7.24 (m, 2H), 7.22 – 7.09 (m, 5H), 6.26 (d, *J* = 7.1 Hz, 1H), 6.02 (d, *J* = 7.2 Hz, 1H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.38, 140.51, 135.49, 133.98, 133.78, 132.30, 129.10, 128.97, 128.72, 128.72, 128.56, 128.14, 126.86, 61.80; **HRMS(ESI)**: calcd. for $C_{20}H_{17}NNaO_3S(M+Na)^+$: 374.0821, found: 374.0824.



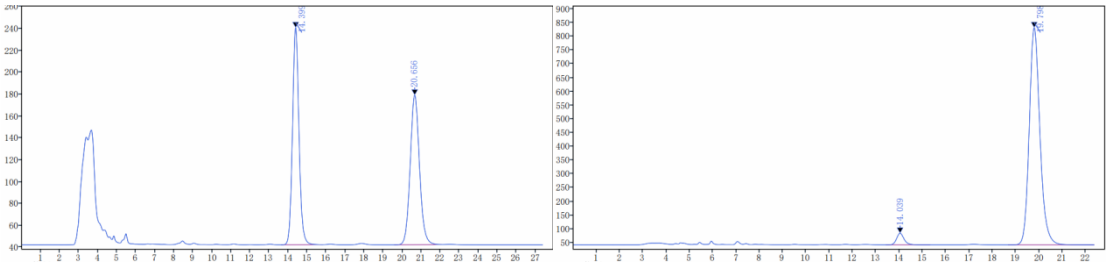
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.332	MM m	0.2673	6313.8195	360.6409	49.9981
	14.880	BV	1.7438	6314.2884	270.8781	50.0019

Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.338	MM m	0.2545	841.0939	50.9664	3.3569
	14.841	BV	1.7917	24214.3109	1035.7196	96.6431

(S)-4-methoxy-N-(2-oxo-1,2-diphenylethyl)benzenesulfonamide (3ac):



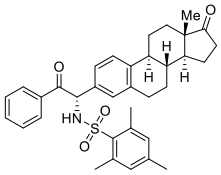
White solid (22.5 mg, 59%); m.p. = 78-81 ^{o}C; R_f = 0.25 (petroleum ether/ ethyl acetate =3:1); the enantiomeric excess was determined to be 93% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 70/30, flow rate 1.0mL/min, T = 30 ^{o}C), UV 254 nm, t_R(major)19.798 min, t_R(minor) 14.039 min; $[\alpha]_D^{20}$ = -10.60(c=0.30, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.80 (d, *J* = 7.5 Hz, 2H), 7.57 (d, *J* = 8.9 Hz, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 2H), 7.18 (d, *J* = 5.8 Hz, 5H), 6.72 (d, *J* = 8.9 Hz, 2H), 6.23 (d, *J* = 7.4 Hz, 1H), 5.99 (d, *J* = 7.4 Hz, 1H), 3.76 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.69, 162.63, 135.69, 133.92, 133.88, 132.07, 129.09, 128.94, 128.68, 128.48, 128.12, 113.91, 61.69, 55.51; **HRMS(ESI)**: calcd. for $C_{21}H_{19}NNaO_4S(M+Na)^+$: 404.0927, found: 404.0929.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	14.399	BB	2.1839	4680.2138	198.3904	50.2263
	20.656	BB	2.4933	4638.0356	136.6958	49.7737

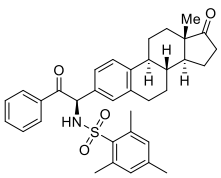
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	14.039	BB	1.9050	941.9435	42.1721	3.6030
	19.798	BBA	3.7000	25201.4323	789.2815	96.3970

2,4,6-trimethyl-N-((S)-1-((8R,9S,13S,14S)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[a]phenanthren-3-yl)-2-oxo-2-phenylethyl)benzenesulfonamide (6a):



Colorless oil (72.5 mg, 63%); R_f = 0.17 (petroleum ether/ethyl acetate =5:1); $[\alpha]_D^{20}$ = +130.17 (c=0.70, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.82 (d, *J* = 7.8 Hz, 2H), 7.49 (t, *J* = 7.3 Hz, 1H), 7.36 (t, *J* = 7.6 Hz, 2H), 7.01 (d, *J* = 8.1 Hz, 1H), 6.94 (d, *J* = 8.1 Hz, 1H), 6.76 (s, 1H), 6.71 (s, 2H), 6.28 (d, *J* = 6.8 Hz, 1H), 5.91 (d, *J* = 6.7 Hz, 1H), 2.70 – 2.62 (m, 2H), 2.57 (s, 6H), 2.49 (dd, *J* = 19.1, 8.8 Hz, 1H), 2.31 – 2.25 (m, 1H), 2.17 (s, 3H), 2.15 – 2.07 (m, 2H), 2.03 (t, *J* = 10.6 Hz, 1H), 1.92 (d, *J* = 11.0 Hz, 2H), 1.64 – 1.55 (m, 1H), 1.48 – 1.36 (m, 4H), 1.33 – 1.27 (m, 1H), 0.89 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 220.50, 194.41, 141.47, 140.14, 138.52, 136.96, 134.86, 133.87, 133.84, 132.43, 131.53, 128.95, 128.65, 128.09, 125.79, 125.42, 61.34, 50.49, 47.87, 44.25, 37.92, 35.79, 31.53, 29.09, 26.29, 25.56, 22.84, 21.56, 20.90, 13.85; **HRMS(ESI)**: calcd. for $C_{35}H_{39}NNaO_4S(M+Na)^+$: 592.2492, found: 592.2479.

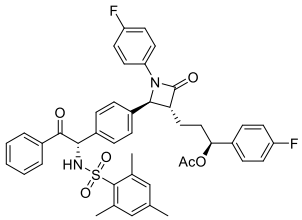
2,4,6-trimethyl-N-((R)-1-((8R,9S,13S,14S)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[a]phenanthren-3-yl)-2-oxo-2-phenylethyl)benzenesulfonamide (6b):



Colorless oil (77.1 mg, 68%); R_f = 0.17 (petroleum ether/ ethyl acetate =5:1); $[\alpha]_D^{20}$ = -23.08 (c=0.79, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.82 (d, *J* = 7.5 Hz, 2H), 7.49 (t, *J* = 7.2 Hz, 1H), 7.36 (t, *J* = 7.6 Hz, 2H), 6.98 (d, *J* = 8.0 Hz, 1H), 6.89 (d, *J* = 7.1 Hz, 1H), 6.82 (s, 1H), 6.71 (s, 2H), 6.29 (d, *J* = 6.7 Hz, 1H), 5.91 (d, *J* = 6.8 Hz, 1H), 2.72 – 2.66 (m, 2H), 2.57 (s, 6H), 2.49 (dd, *J* = 19.1, 8.7 Hz, 1H), 2.26 (d, *J* = 7.1 Hz, 1H), 2.17 (s, 3H), 2.12 (dd, *J* = 18.9, 9.2 Hz, 2H), 2.03 (d, *J* = 7.1 Hz, 1H), 1.93 (t, *J* = 13.8 Hz, 2H), 1.63 – 1.55 (m, 1H), 1.48 – 1.34 (m, 4H), 1.33 – 1.28 (m, 1H), 0.87 (s, 3H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 220.53, 194.46, 141.52, 140.10, 138.50, 137.01, 134.82, 133.87, 133.83,

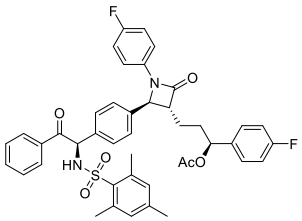
132.44, 131.50, 128.92, 128.64, 128.25, 125.74, 125.23, 61.25, 50.48, 47.86, 44.23, 37.92, 35.79, 31.53, 29.17, 26.27, 25.54, 22.84, 21.55, 20.91, 13.83; **HRMS(ESI)**: calcd. for $C_{35}H_{39}NNaO_4S(M+Na)^+$: 592.2492, found: 592.2491.

(S)-1-(4-fluorophenyl)-3-((3R,4S)-1-(4-fluorophenyl)-2-oxo-4-(4-((S)-2-oxo-2-phenyl-1-((2,4,6-trimethylbenzyl)amino)ethyl)phenyl)azetidin-3-yl)propyl acetate (7a):



Colorless oil (94.0 mg, 63%); R_f = 0.20 (petroleum ether/ ethyl acetate =3:1); $[\alpha]_D^{20}$ = +18.57 (c=0.87, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.75 (d, *J* = 7.4 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.36 (t, *J* = 7.8 Hz, 2H), 7.26 – 7.23 (m, 2H), 7.20 (d, *J* = 8.2 Hz, 2H), 7.13 (d, *J* = 3.2 Hz, 2H), 7.11 (d, *J* = 2.3 Hz, 2H), 6.99 (t, *J* = 8.6 Hz, 2H), 6.89 (t, *J* = 8.6 Hz, 2H), 6.72 (s, 2H), 6.29 (d, *J* = 7.3 Hz, 1H), 5.91 (d, *J* = 7.3 Hz, 1H), 5.68 (t, *J* = 6.8 Hz, 1H), 4.47 (d, *J* = 2.0 Hz, 1H), 2.92 (td, *J* = 7.7, 2.0 Hz, 1H), 2.57 (s, 6H), 2.14 (s, 3H), 2.03 (s, 3H), 1.99 (dd, *J* = 15.5, 7.5 Hz, 2H), 1.86 – 1.76 (m, 2H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.66, 170.11, 166.53, 163.26, 161.63, 159.85, 158.23, 142.17, 138.77, 137.88, 136.60, 135.64, 135.62, 134.15, 134.10, 133.77, 133.66, 133.65, 131.73, 128.82, 128.79, 128.72, 128.24, 128.19, 126.36, 118.28, 118.23, 115.93, 115.78, 115.60, 115.46, 74.81, 60.55, 60.50, 59.97, 33.50, 24.90, 22.82, 21.14, 20.78; **HRMS(ESI)**: calcd. for $C_{43}H_{40}F_2N_2NaO_6S(M+Na)^+$: 773.2467, found: 773.2463.

(S)-1-(4-fluorophenyl)-3-((3R,4S)-1-(4-fluorophenyl)-2-oxo-4-(4-((R)-2-oxo-2-phenyl-1-((2,4,6-trimethylbenzyl)amino)ethyl)phenyl)azetidin-3-yl)propyl acetate (7b):

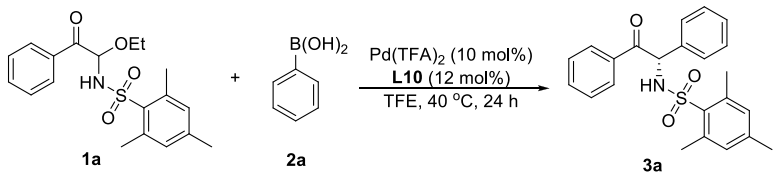


Colorless oil (82.0 mg, 55%); R_f = 0.20 (petroleum ether/ethyl acetate =3:1); $[\alpha]_D^{20}$ = -113.22 (c=0.75, CH_2Cl_2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.76 (d, *J* = 7.3 Hz, 2H), 7.52 (t, *J* = 7.3 Hz, 1H), 7.37 (t, *J* = 7.6 Hz, 2H), 7.22 (dd, *J* = 8.2, 5.3 Hz, 2H), 7.18 (d, *J* = 8.1 Hz, 2H), 7.14 – 7.06 (m, 4H), 6.97 (t, *J* = 8.5 Hz, 2H), 6.90 (t, *J* = 8.5 Hz, 2H), 6.72 (s, 2H), 6.31 (d, *J* = 7.1 Hz, 1H), 5.91 (d, *J* = 7.1 Hz, 1H), 5.66 (t, *J* = 6.6 Hz, 1H), 4.48 (d, *J* = 1.6 Hz, 1H), 2.94 – 2.85 (m, 1H), 2.56 (s, 6H), 2.13 (s, 3H), 2.02 (s, 3H), 2.00 – 1.94 (m, 2H), 1.86 – 1.75 (m, 2H); **^{13}C NMR (151 MHz, $CDCl_3$)** δ 194.59, 170.12, 166.51, 163.25, 161.61, 159.79, 158.24, 142.19, 138.77, 137.90, 136.50, 135.63, 135.61, 134.17, 134.12, 133.73, 133.66, 133.64, 131.74, 128.84, 128.78, 128.74, 128.23, 128.17, 126.27, 118.28, 118.23, 115.95, 115.80, 115.59, 115.45,

74.75, 60.53, 60.53, 59.96, 33.55, 24.88, 22.83, 21.15, 20.77; **HRMS(ESI)**: calcd. for $C_{43}H_{40}F_2N_2NaO_6S(M+Na)^+$: 773.2467, found: 773.2465.

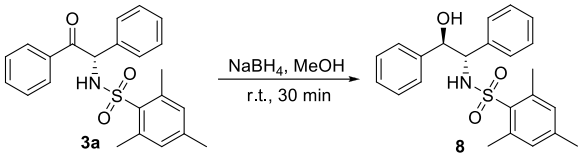
5. The synthesis of amino alcohol 9 on a gram scale

5.1 Gram-scale synthesis of compound 3a



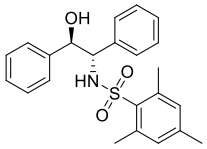
To a 100 mL round-bottle flask were added *α*-keto imine precursor **1a** (1.083 g, 3.0 mmol), phenylboronic acid **2a** (548.7 mg, 4.5 mmol), $Pd(TFA)_2$ (99.7 mg, 0.3 mmol) and chiral ligand **L10** (95.7 mg, 0.36 mmol). After the addition of TFE (15.0 mL), the reaction mixture was stirred at room temperature for 10 minutes. Then, the reaction mixture was stirred at 40 ^oC in air for 24h, When 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 =15/1) to give the corresponding product **3a** with 74% yield (870.1 mg).

5.2 The synthesis of compounds 8



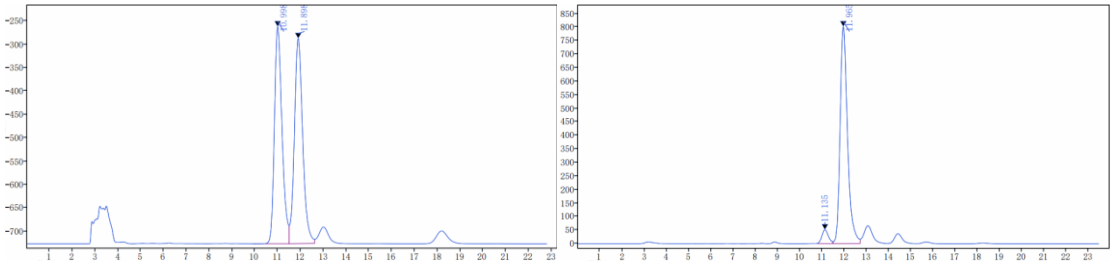
To a solution of **3a** (870.1 mg, 2.21 mmol) in MeOH (33.0 mL) was gradually added $NaBH_4$ (250.8 mg, 6.63 mmol) and stirring was continued for 30 min at room temperature. When the reaction was complete, it was quenched with water and extracted with DCM. The organic layer was dried over anhydrous Na_2SO_4, filtrated and concentrated under vacuum to give the product **8**, which was pure enough not to require purification.

N-((1S,2R)-2-hydroxy-1,2-diphenylethyl)-2,4,6-trimethylbenzenesulfonamide(8):



White solid (853.2 mg, 98%); m.p.= 180-183^o C; R_f = 0.28 (petroleum ether/ethyl acetate =3:1); the enantiomeric excess was determined to be 90% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 11.965 min, t_R(minor) 11.135 min; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.25 – 7.17 (m, 3H), 7.13 (t, *J* = 7.3 Hz, 1H), 7.06 (t, *J* = 7.6 Hz, 2H), 6.98 (d, *J* = 6.6 Hz, 2H), 6.85 (d, *J* = 7.5 Hz, 2H), 6.78 (s, 2H), 5.25 (d, *J* = 6.7 Hz, 1H), 4.94

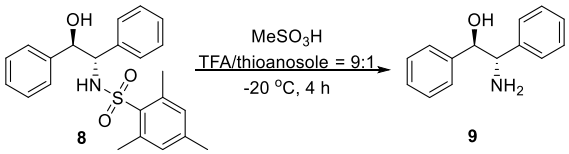
(d, *J* = 4.6 Hz, 1H), 4.48 – 4.40 (m, 1H), 2.45 (s, 6H), 2.23 (s, 3H); **HRMS(ESI)**: calcd. for $C_{23}H_{25}NNaO_3S(M+Na)^+$: 418.1447, found: 418.1446.



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	10.998	MM m	0.3560	10770.8083	463.7357	49.2132
	11.898	MM m	0.3879	11115.2219	438.1861	50.7868

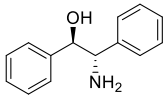
Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.135	BV	0.8067	1035.2935	50.8524	5.2821
	11.965	VV	1.2188	18564.8904	801.4914	94.7179

5.3 The synthesis of compounds 9



8 (853.2 mg, 2.16 mmol) placed in round-bottom flask was treated with a 0.15 M solution of methanesulfonic acid in TFA/thioanosole (9/1, 28 mL) at -20 ℃, and the solution was stirred for 4h at -20 ℃. After removal volatiles under reduced pressure, the residue was extracted with CH_2Cl_2 (15 mL×3). The organic layer was separated and the aqueous phase was basified (pH > 10) with saturated K_2CO_3 and extracted with CH_2Cl_2. The combined organic layer was dried with Na_2SO_4, filtered, and concentrated to give the product **9** as a white solid (281.2 mg, 61%).

(1R,2S)-2-amino-1,2-diphenylethan-1-ol (9):

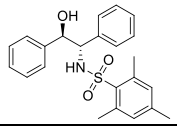
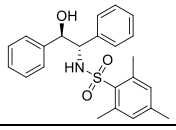


R_f = 0.28 (petroleum ether/ethyl acetate =1:2); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.32 – 7.27 (m, 5H), 7.26-7.18 (m, 7.8 Hz, 5H), 4.75 (d, *J* = 6.3 Hz, 1H), 4.17 (d, *J* = 6.3 Hz, 1H), 1.63 (s, 3H).

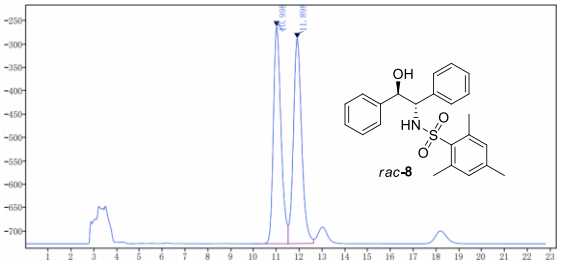
6. Determination of the absolute configuration of 3a

The absolute configuration of compound **3a** was established by comparing the optical rotation value of its reduction product **8** with that of **8** synthesized from commercially available (1*R*, 2*S*)-2-amino-1,2-diphenylethan-1-ol. Note that compound **8** has been recrystallized.

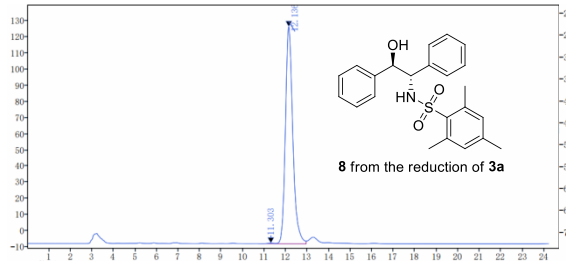
(1*S*, *2R*)-product **8** obtained by reduction of **3a**	(1*S*, *2R*)-product **8** Synthesized from optically pure (1*R*, 2*S*)-2-amino-1,2-diphenylethan-1-ol[5]

	
Specific rotation: $[\alpha]_D^{20}$ = -33.99 (c= 0.15, EtOH) at 99.5% ee	**Specific rotation:** $[\alpha]_D^{20}$ = -35.17 (c= 0.16, EtOH) at > 99.9% ee
HPLC analysis: Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0mL/min, T = 30 °C), UV 220 nm, t_R(major) 12.136 min, t_R(minor) 11.30 min.	**HPLC analysis:** Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0mL/min, T = 30 °C), UV 220 nm, t_R(major) 11.905 min.

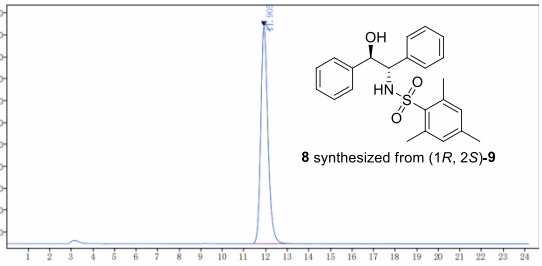
HPLC spectra of compound 8:



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	10.998	MM m	0.3560	10770.8083	463.7357	49.2132
	11.898	MM m	0.3879	11115.2219	438.1861	50.7868



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.303	MM m	0.3108	7.8471	0.3740	0.2435
	12.136	MM m	0.3647	3214.2690	134.5487	99.7565



Peak	RetTime [min]	Type	Width[min]	Area[mAU*s]	Height[mAU]	Area%
	11.905	BB	2.8317	11469.3360	495.7370	100.0000

7. Research on kinetic resolution of *rac*-1a

Four parallel experiments were operated under the same conditions and at the same time. The reactions were quenched after 2 h, 4 h, 6 h and 8 h respectively. The post reaction treatment was the same as the general procedure described above. The relevant experimental results are shown in the table below.

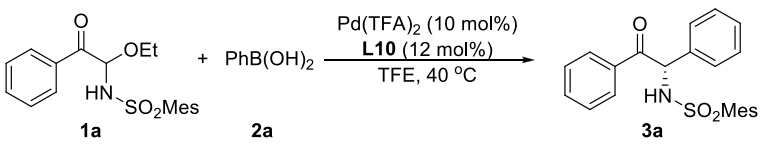


Table S4. Ee values and yields of 1a and 3a at different reaction times

t (h)	1a		3a	
	yield (%) [b]	ee (%) [c]	yield (%) [b]	ee (%) [c]
2	55	18	17	87
4	30	31	32	90
6	23	47	47	90
8	10	48	49	90

[a] Unless noted otherwise, reaction conditions: **1aa** (0.10 mmol), **2a** (0.15 mmol), $Pd(TFA)_2$ (10 mol%) and **L10** (12 mol%) in TFE (0.5 mL), carried out in air at 40 °C. [b] Isolated yield. [c] Determined by chiral HPLC analysis.

8. References

[1] Feng, Z.; Min, Q.-Q.; Xiao, Y.-L.; Zhang, B.; Zhang, X.-G. *Angew. Chem. Int. Ed.* **2014**, *53*, 1669–1673.

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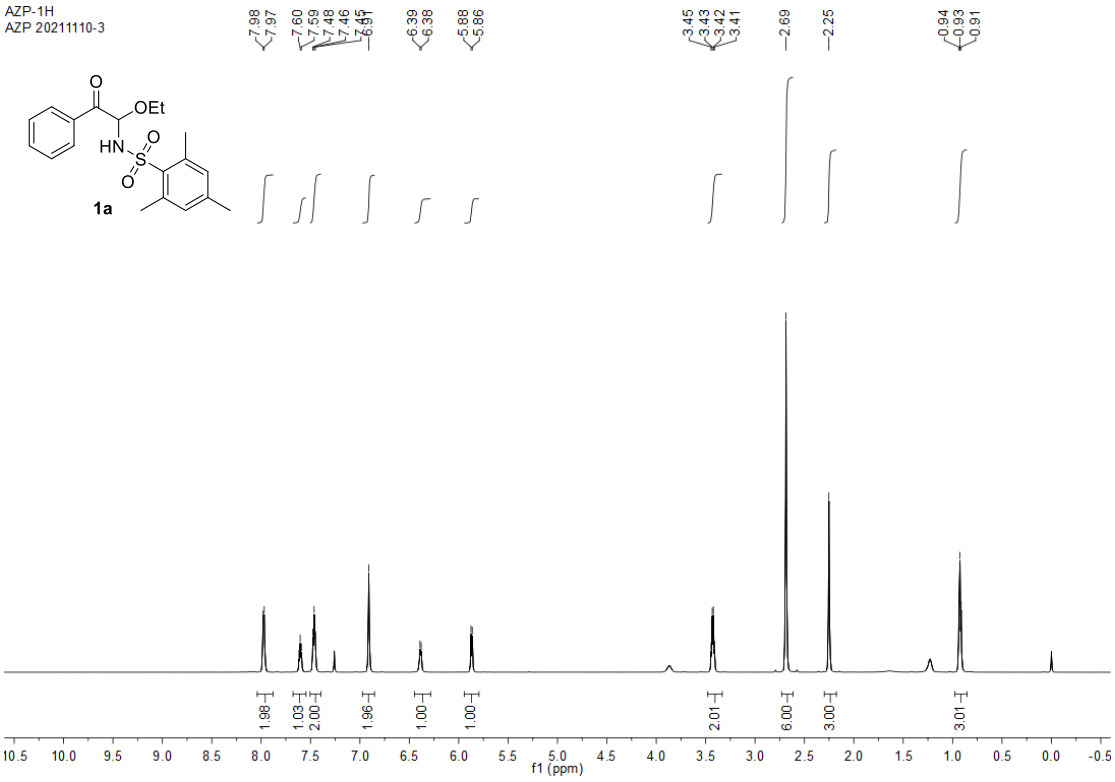
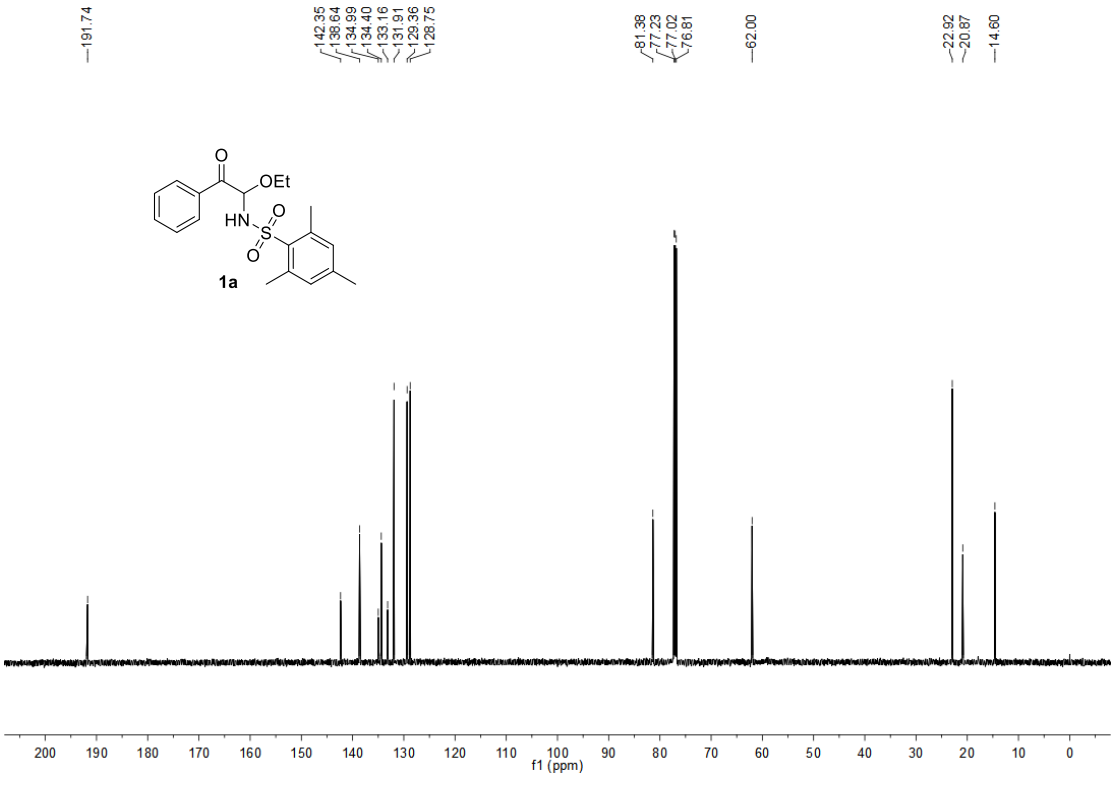
[3] (a) Qian, D.-Y.; Hu, X.-L. *Angew. Chem. Int. Ed.* **2019**, *58*, 18519–18523. (b) Yang, G.-Y.; Zhang, W.-B. *Angew. Chem. Int. Ed.* **2013**, *52*, 7540–7544. (c) Behenna, D. C.; Stoltz, B. M. *J. Am. Chem. Soc*. **2004**, *126*, 15044–15045. (d) Su, B.; Lee, T.; Hartwig, J. F. *J. Am. Chem. Soc*. **2018**, *140*, 18032–18038; (e) Reiersølmoen, A. C.; Fiksdahl, A. *Eur. J. Org. Chem.* **2020**, 2867–2877.

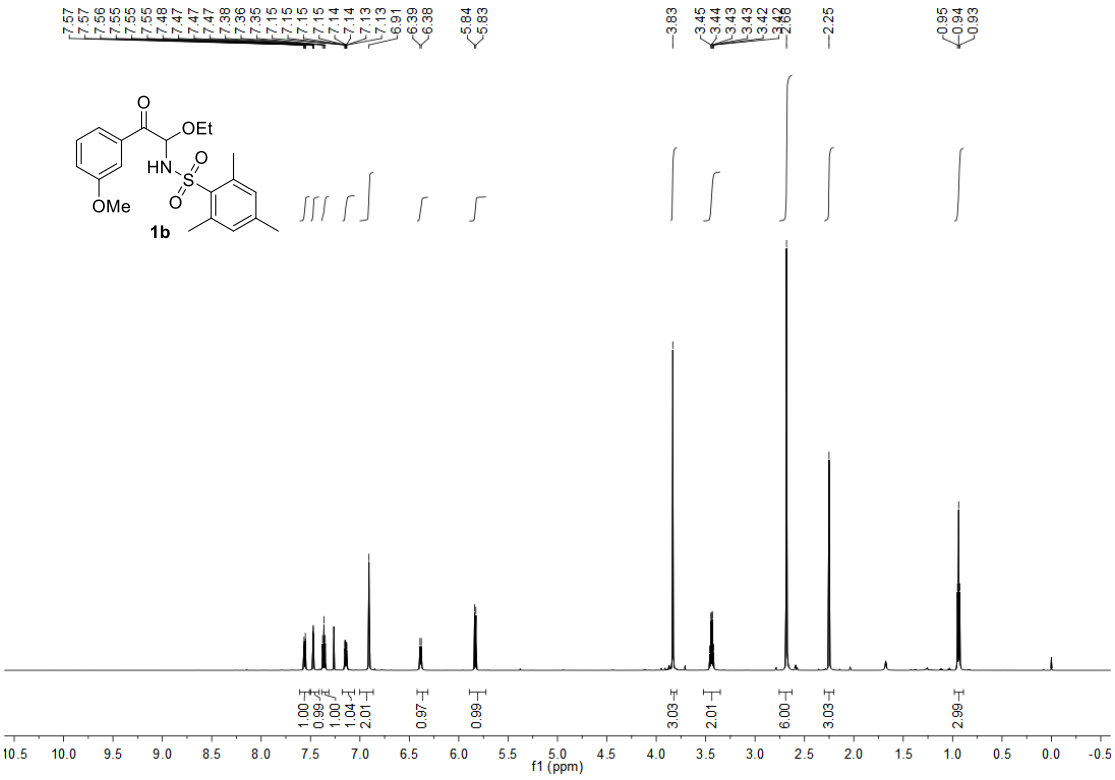
[4] Luo, X.-S.; Wang, P. *Org. Lett.* **2021**, *23*, 4960–4965.

[5] (a) Qin, Y.; Wang, C.-H.; Huang, Z.-Y.; Xiao, X. Jiang, Y.-Z. *J. Org. Chem.* **2004**, *69*, 8533–8536. (b) Kitagawa, O.; Yotsumoto, K.; Koriyama, M.; Dobashi, Y.; Taguchi, T. *Org. Lett.* **2004**, *6*, 3605–3607.

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



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3.43
3.43
3.42
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0.94
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HN
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OMe
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1.00
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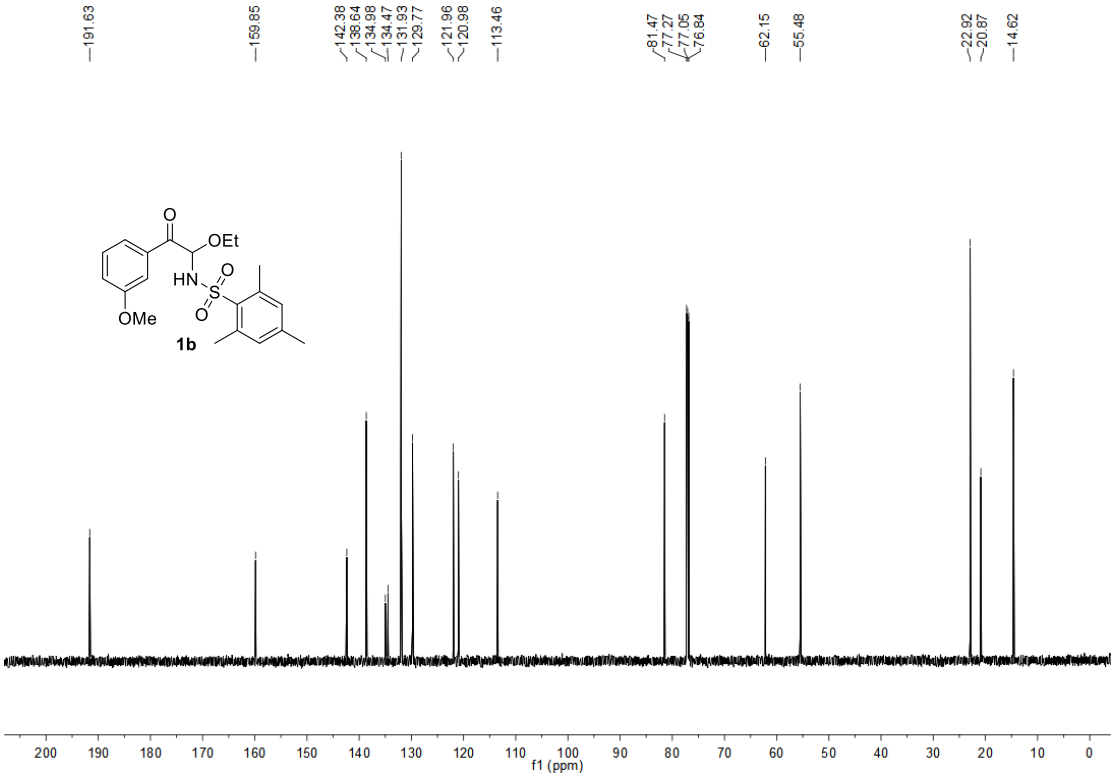
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HN
S
O
O
OMe
1b
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180
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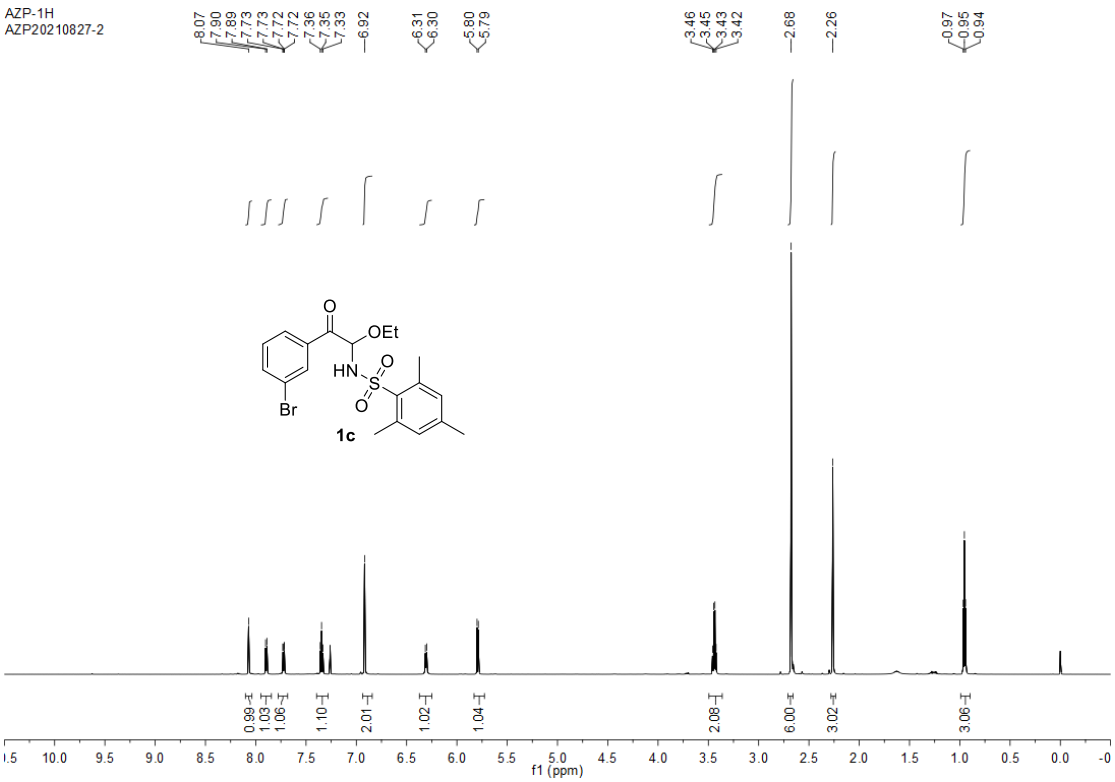
f1 (ppm)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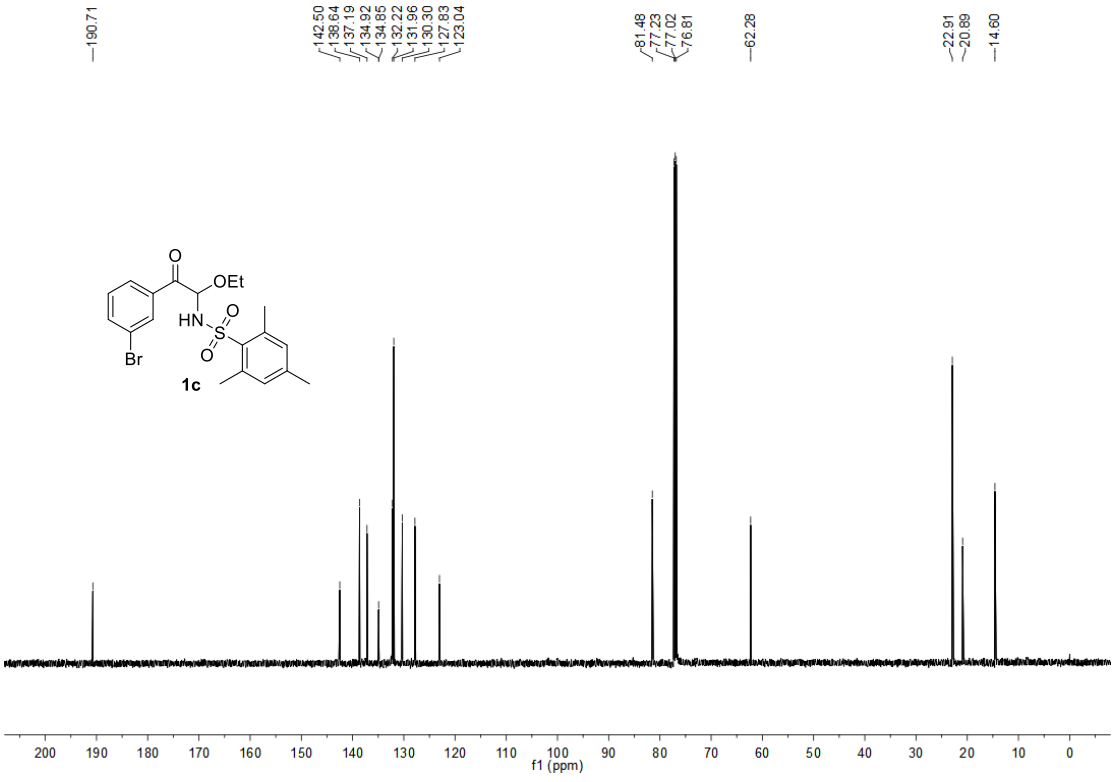
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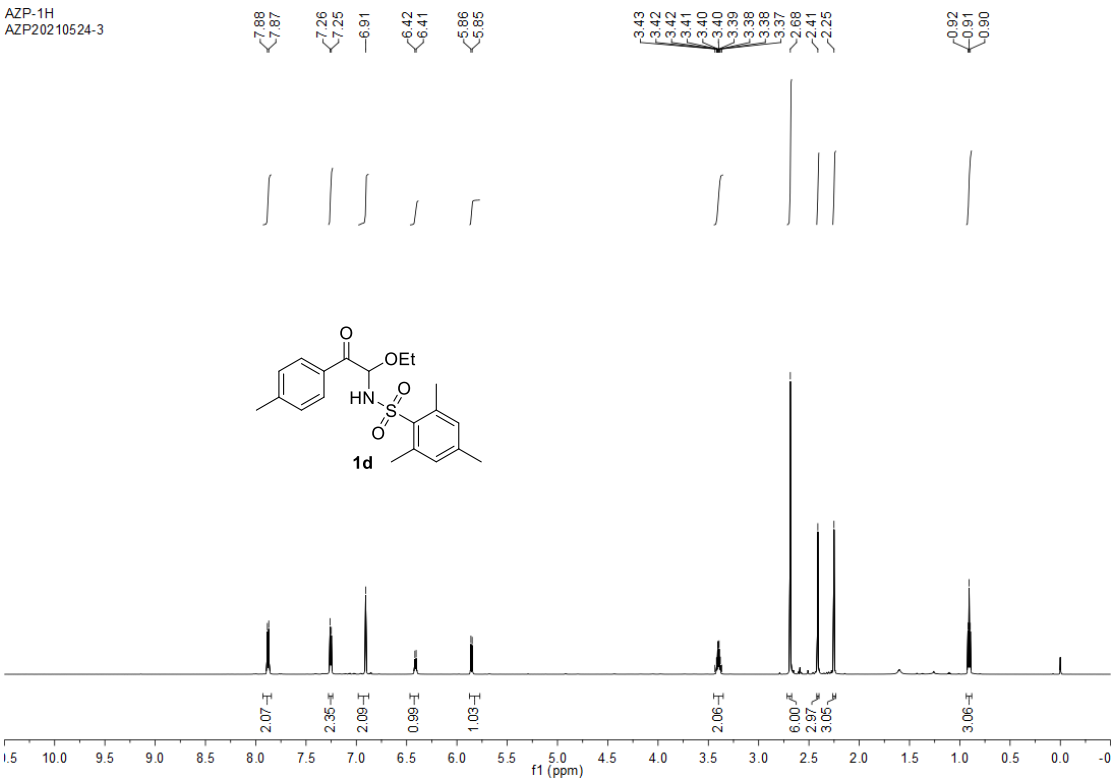
f1 (ppm)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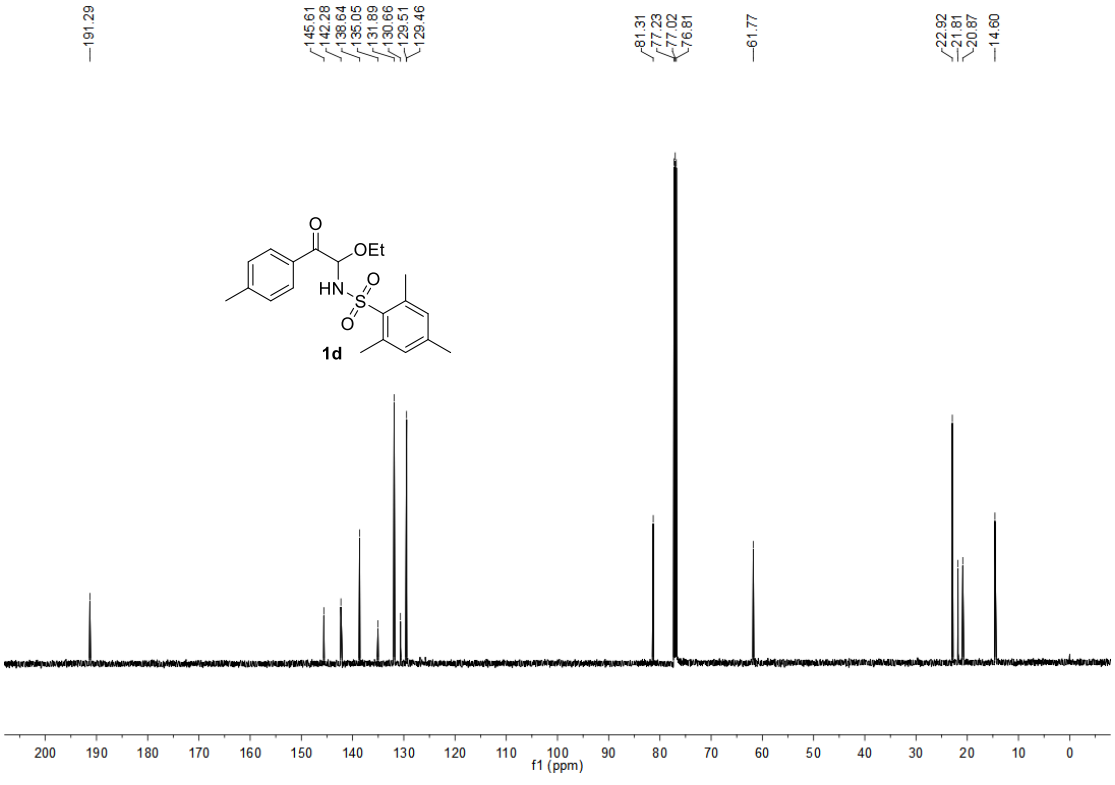
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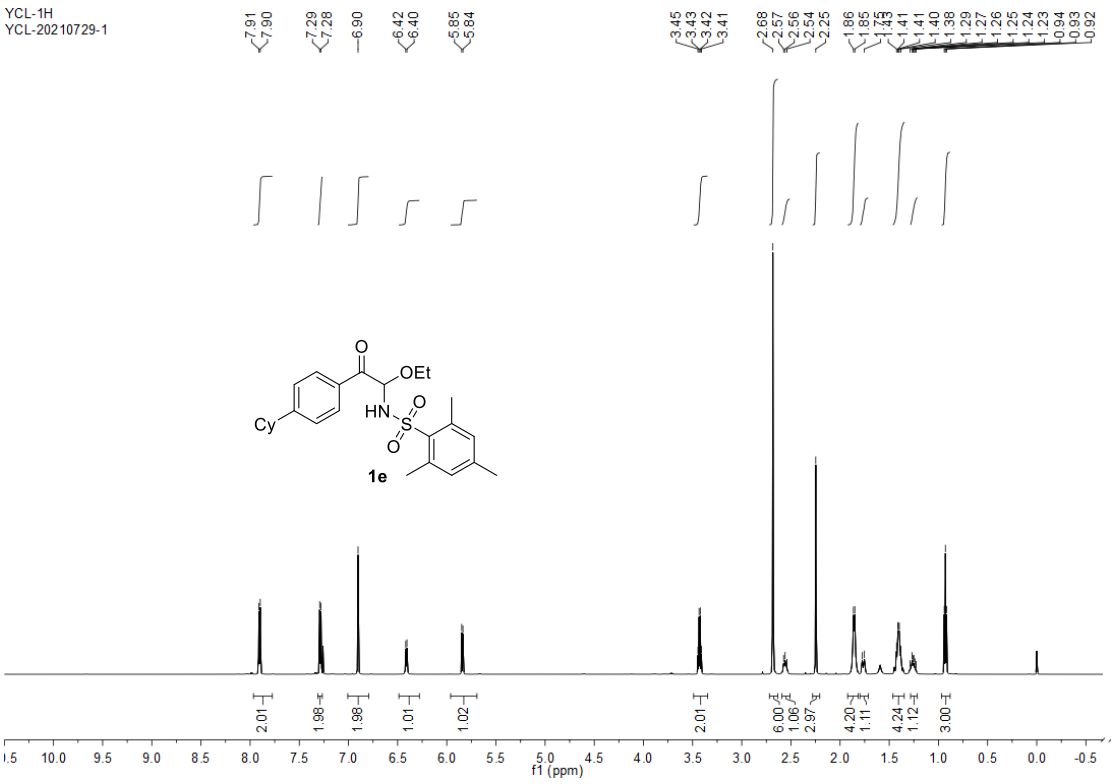
f1 (ppm)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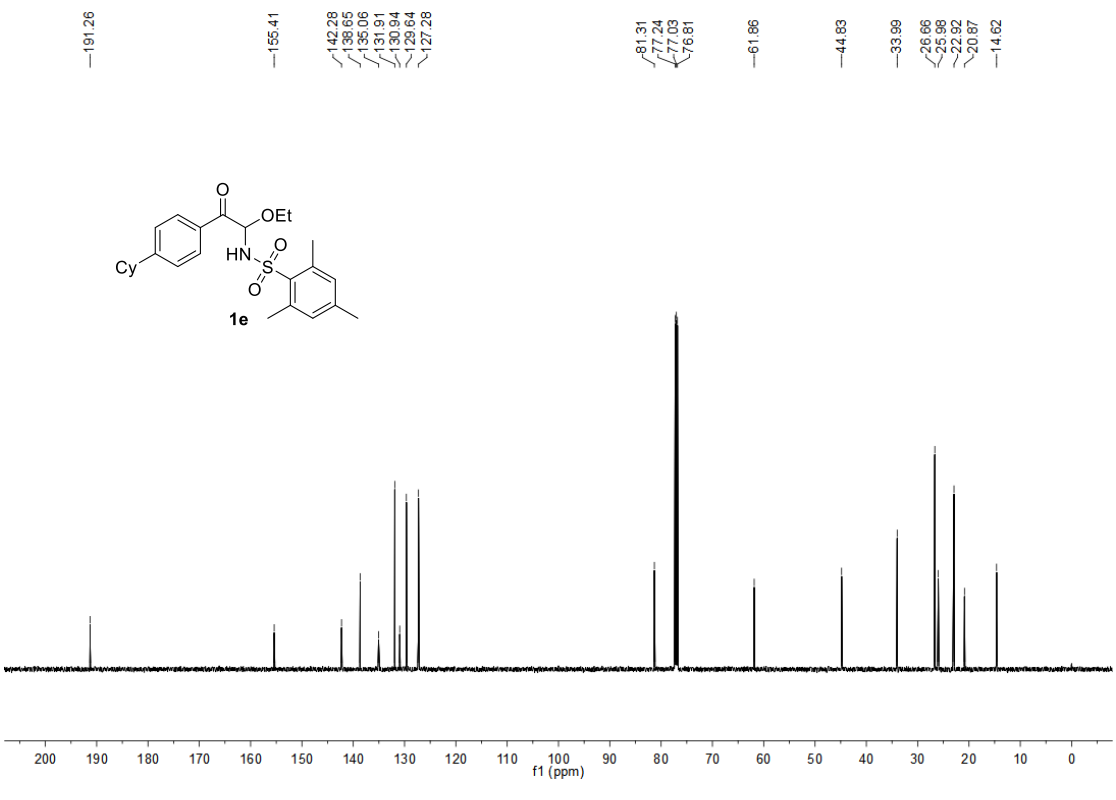
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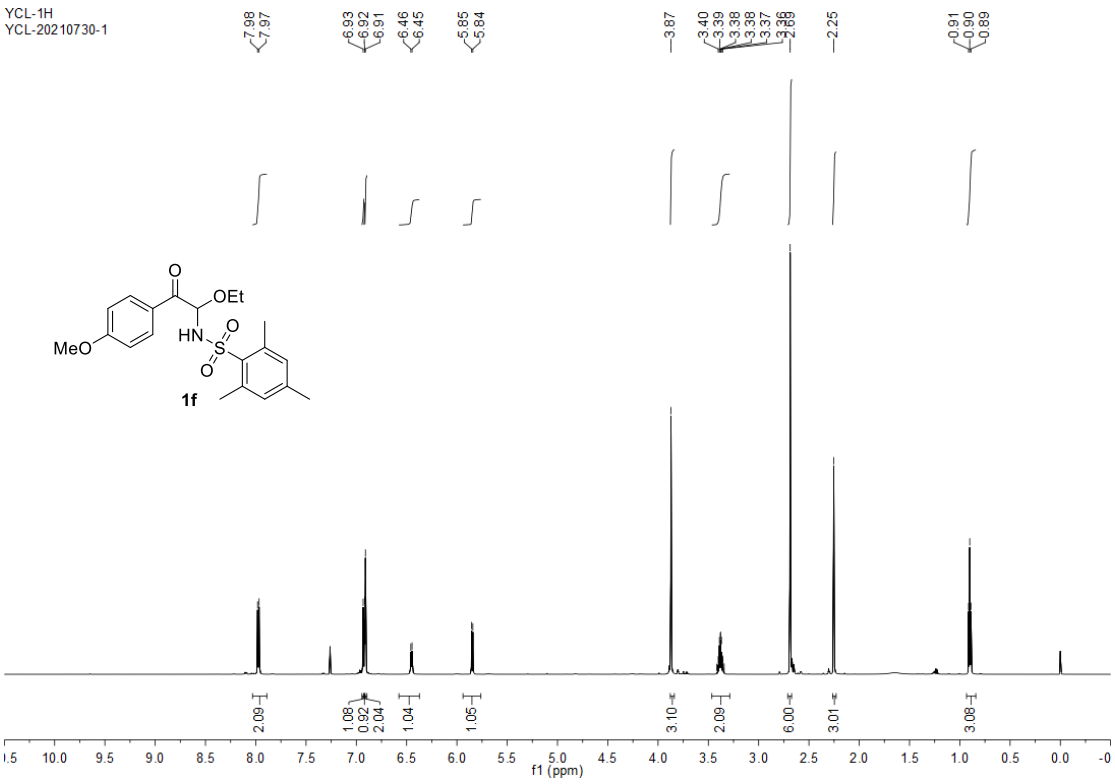
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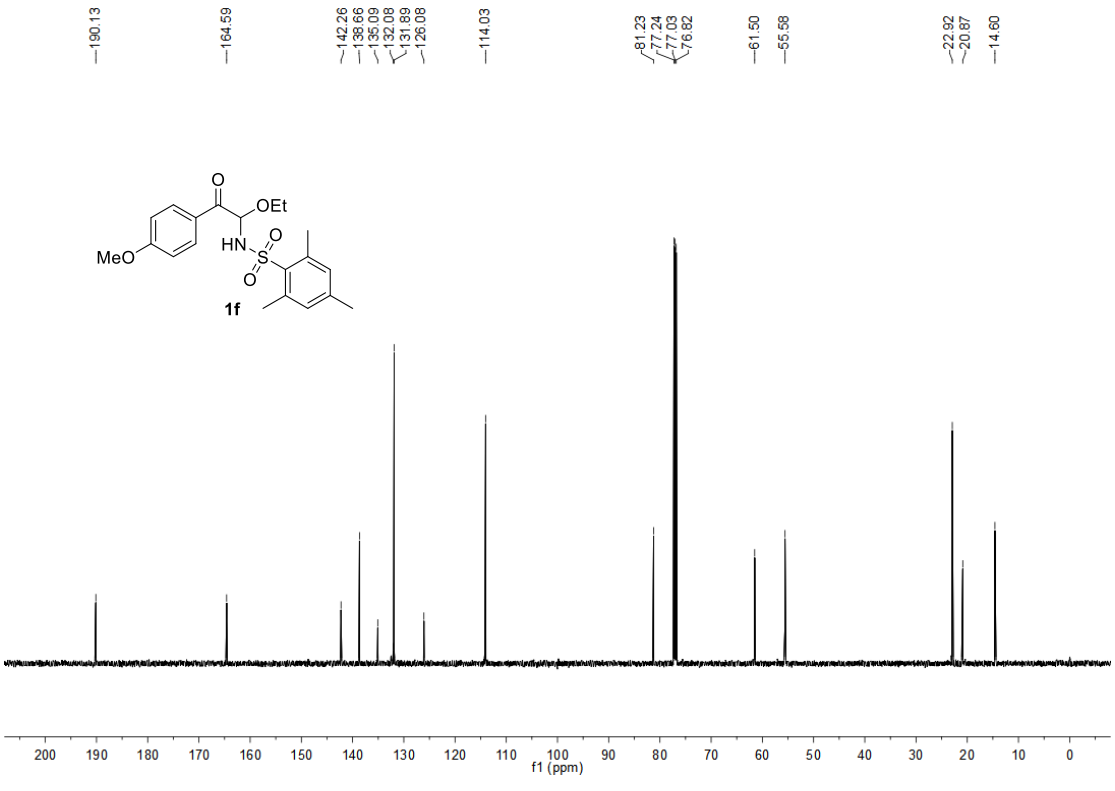
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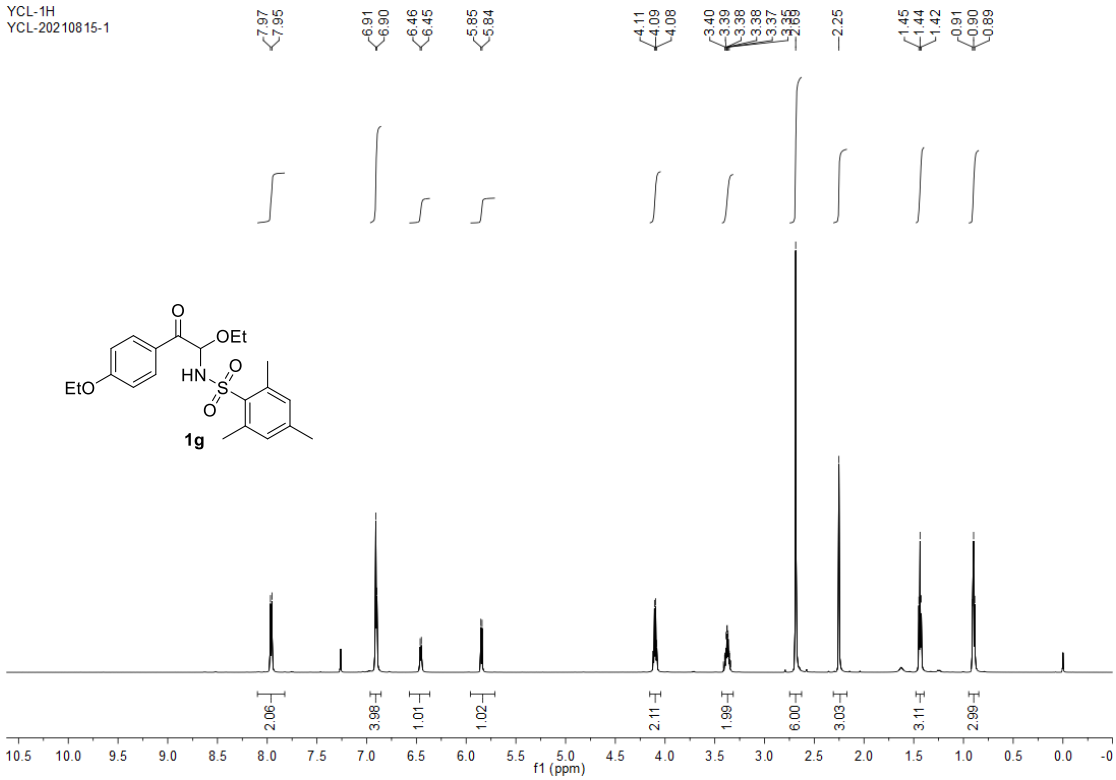
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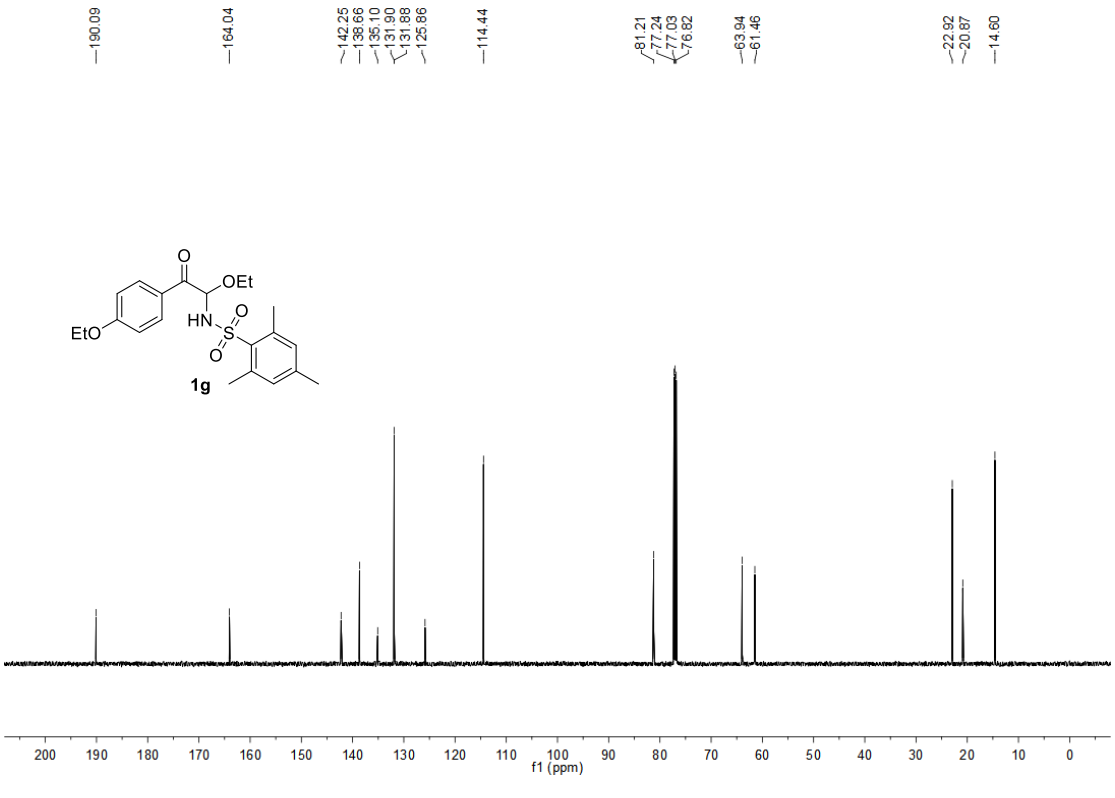
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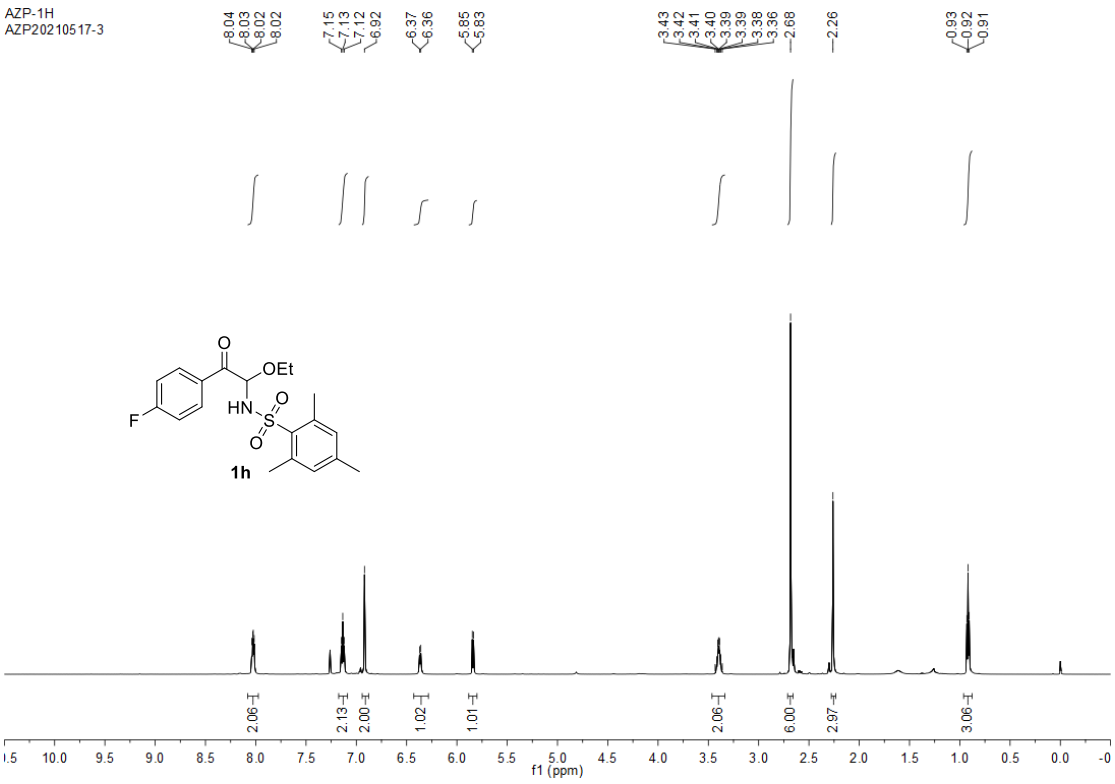
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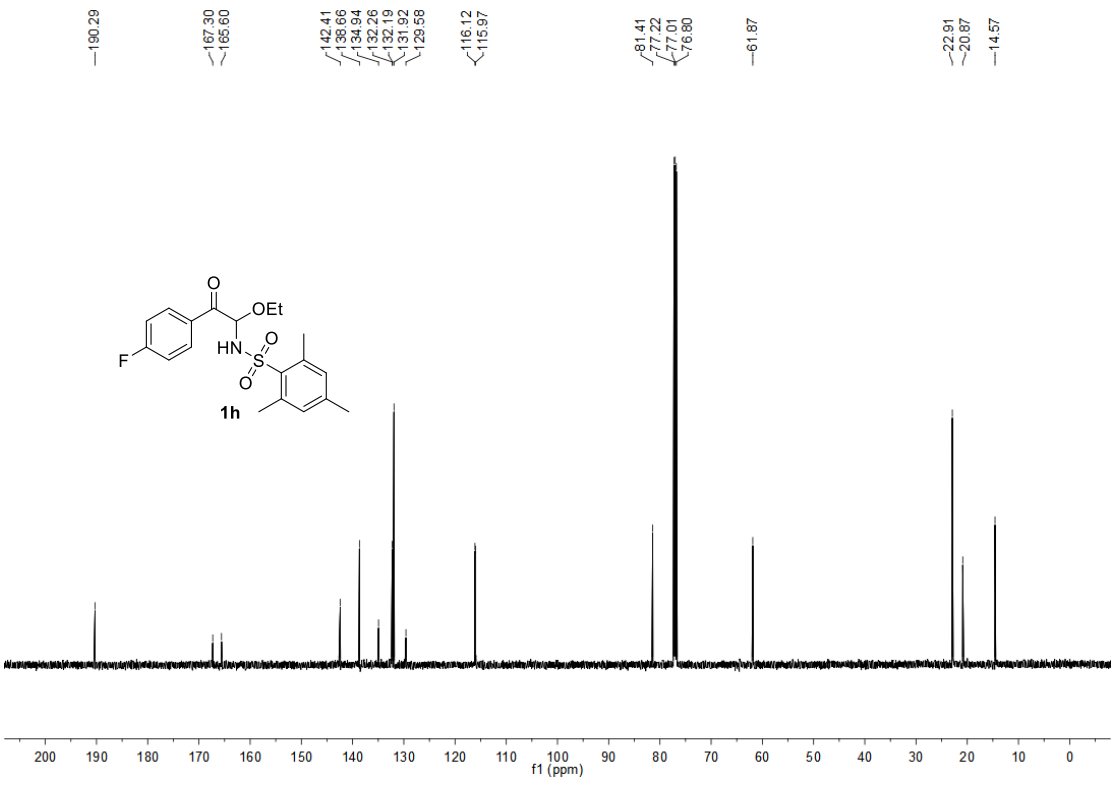
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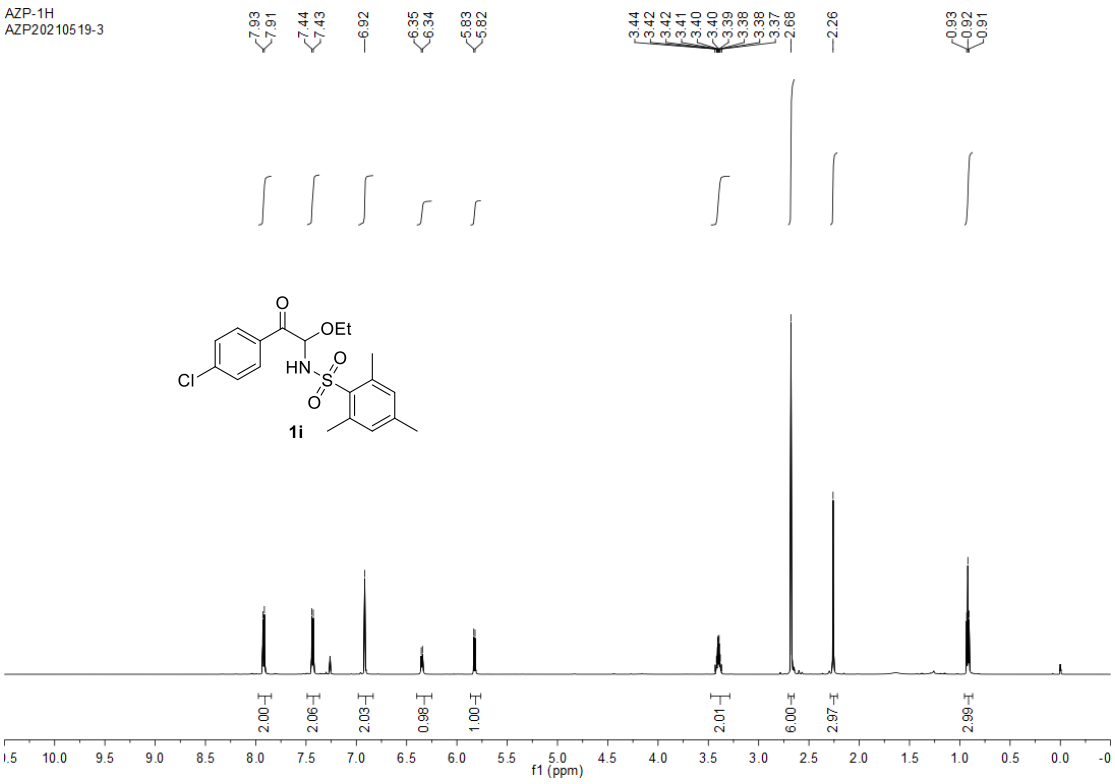
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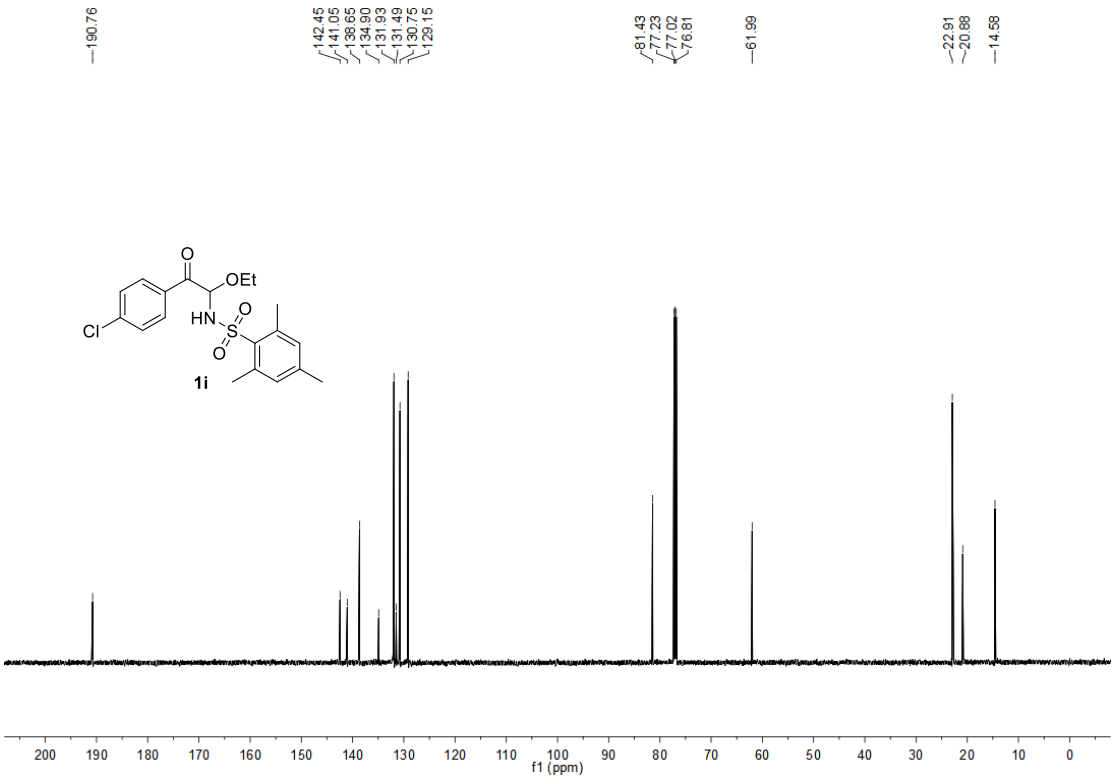
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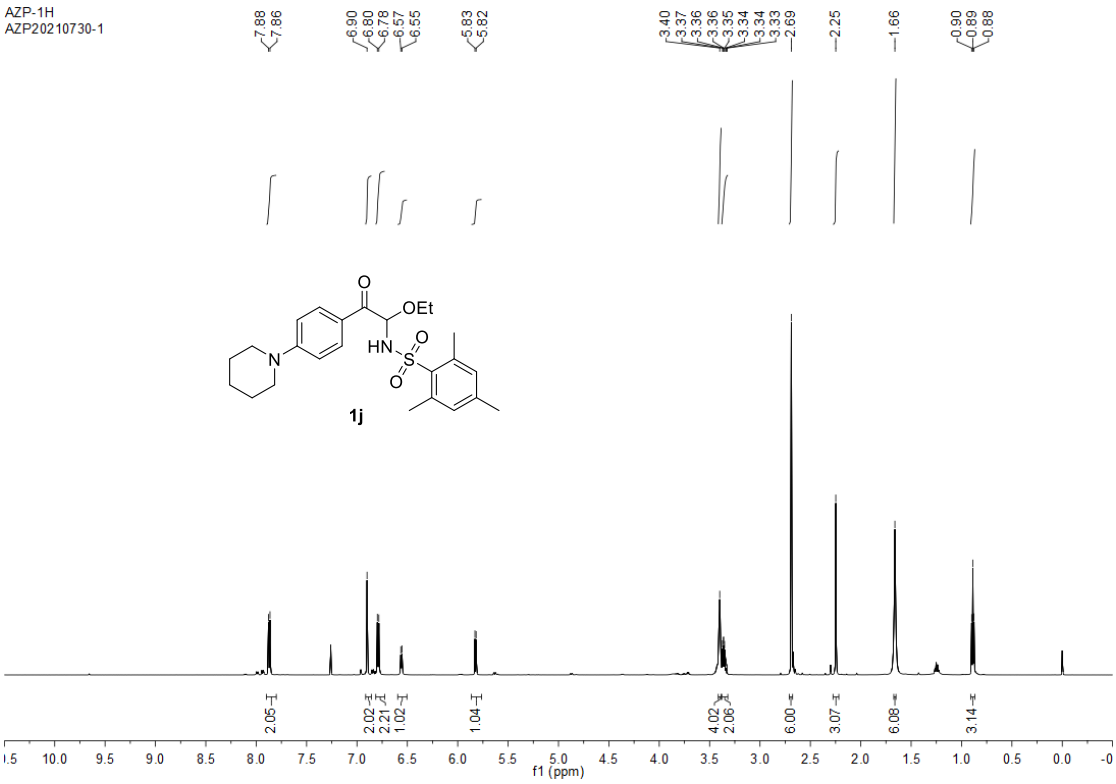
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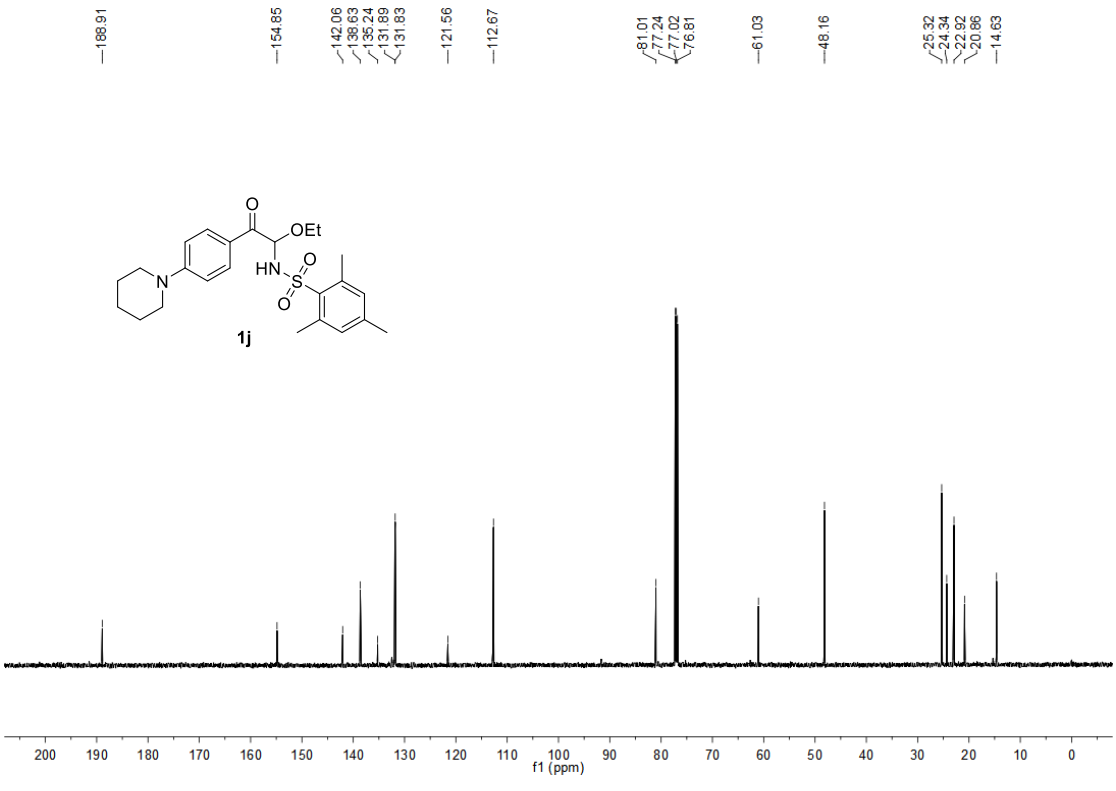
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f1 (ppm)

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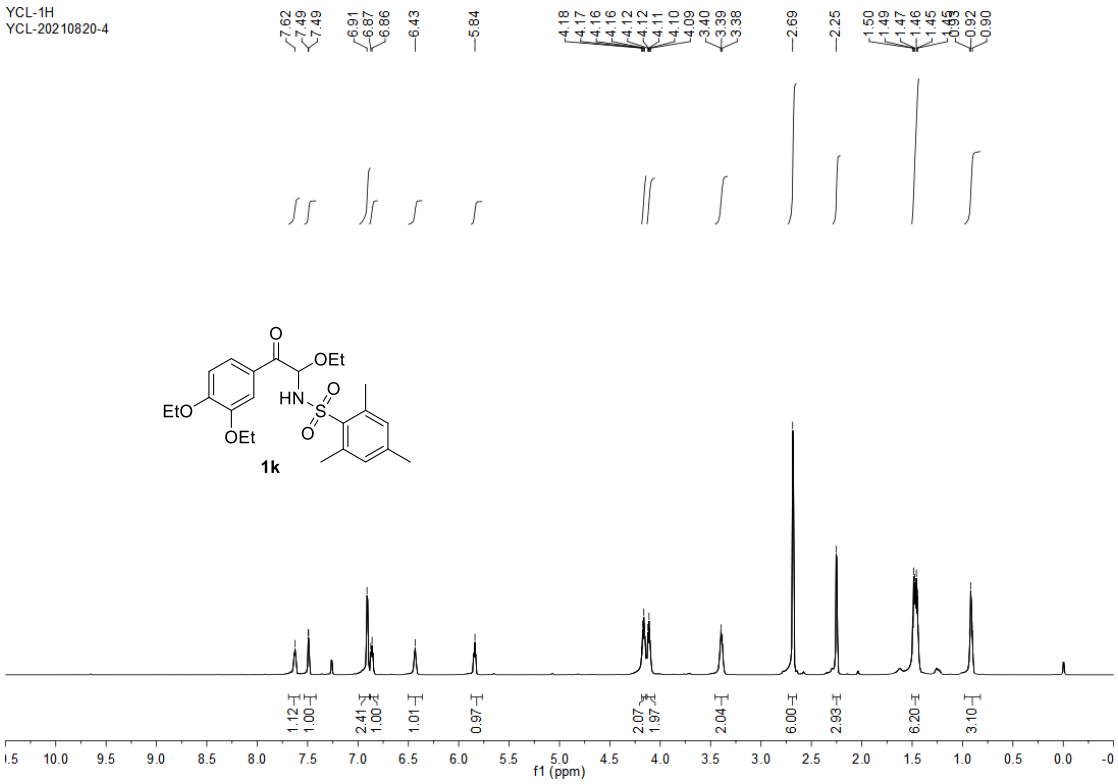

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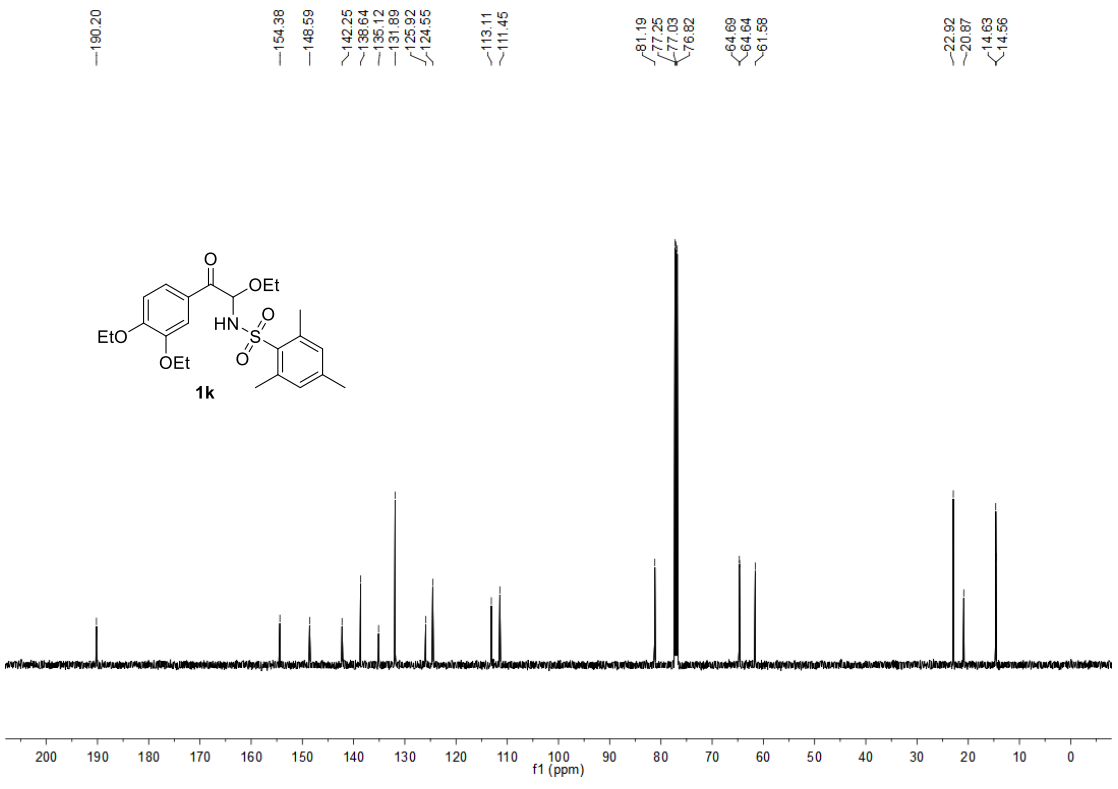

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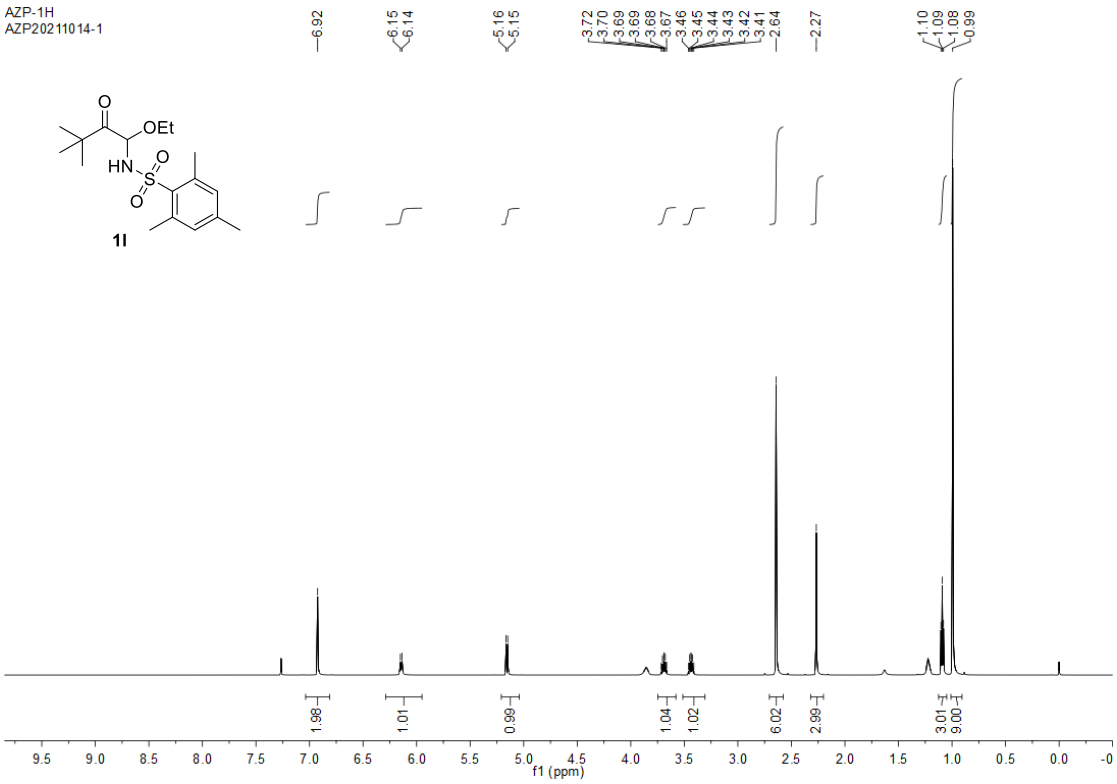
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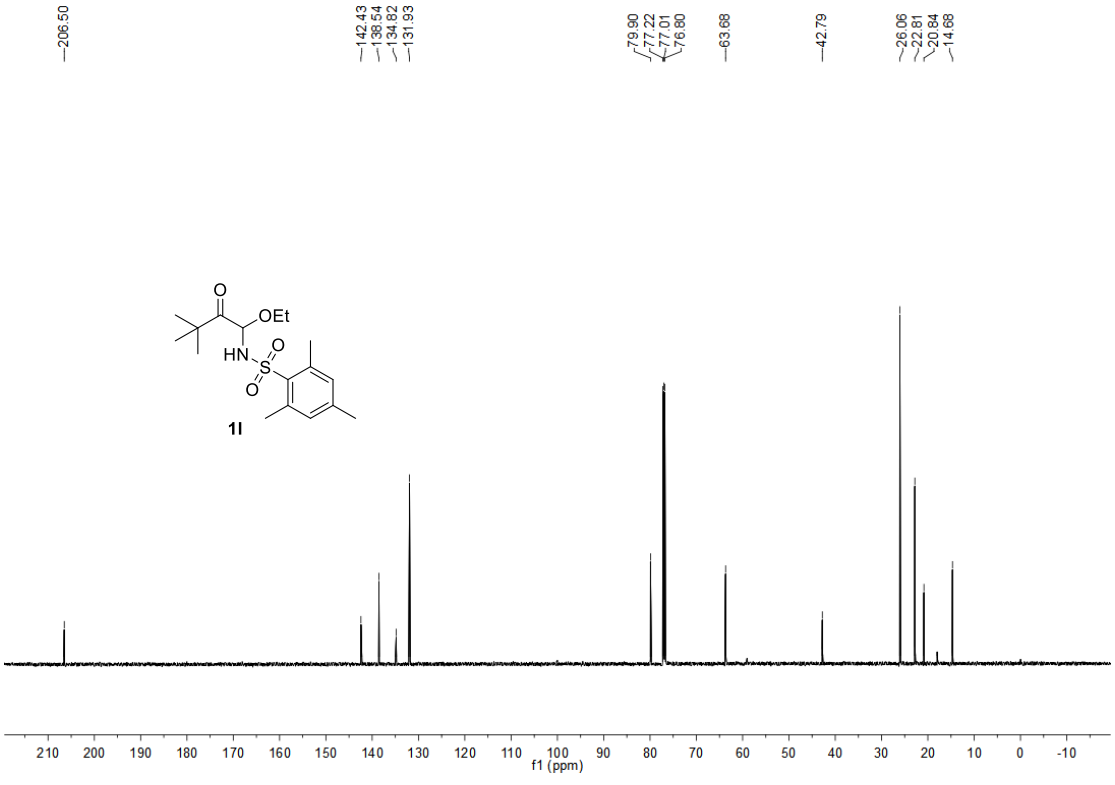
1l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14.68
f1 (ppm)
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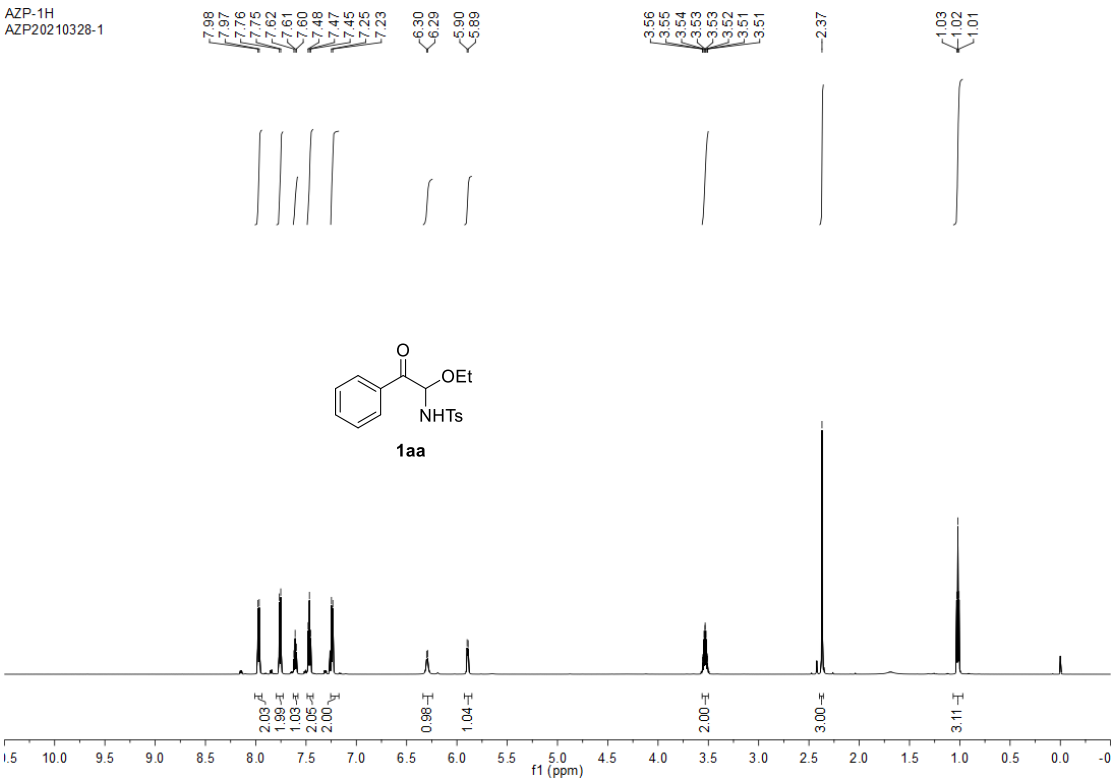
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7.62
7.61
7.60
7.48
7.47
7.45
7.25
7.23
6.30
6.29
5.90
5.89
3.56
3.55
3.54
3.53
3.53
3.52
3.51
3.51
2.37
1.03
1.02
1.01
O
OEt
NHTs
1aa
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1.03
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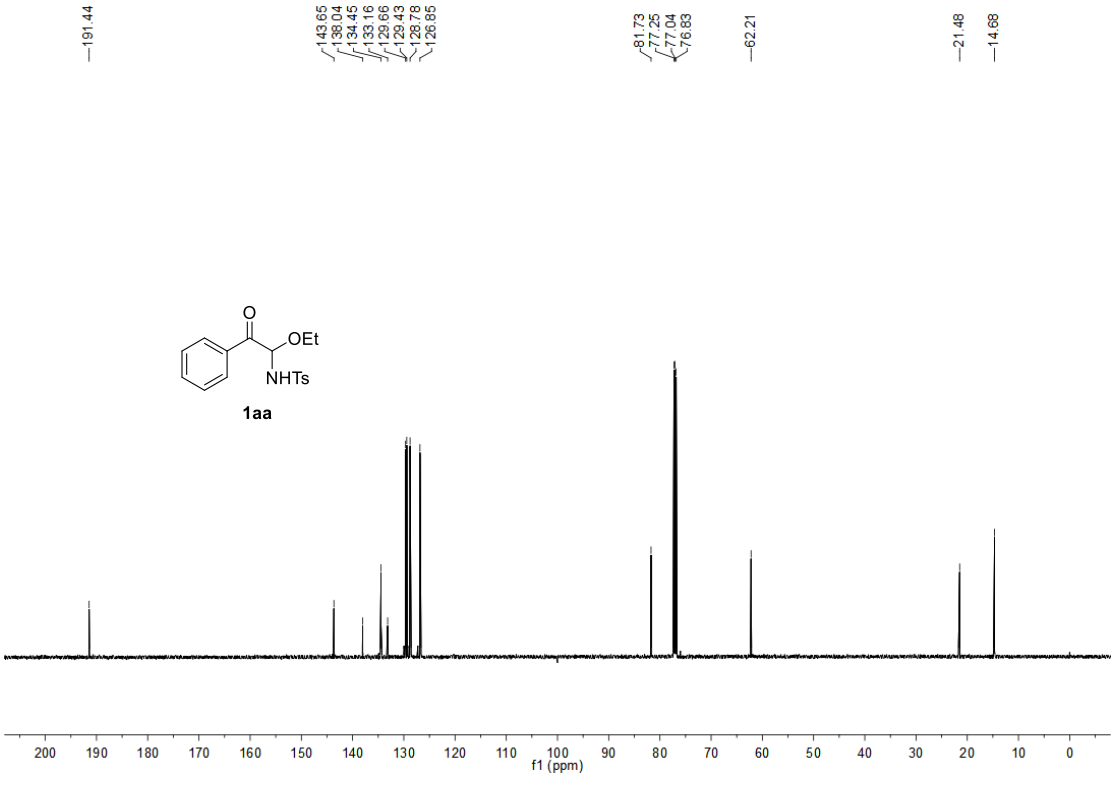
2.00
0.98
1.04
2.00
3.00
3.11
f1 (ppm)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129.66
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128.78
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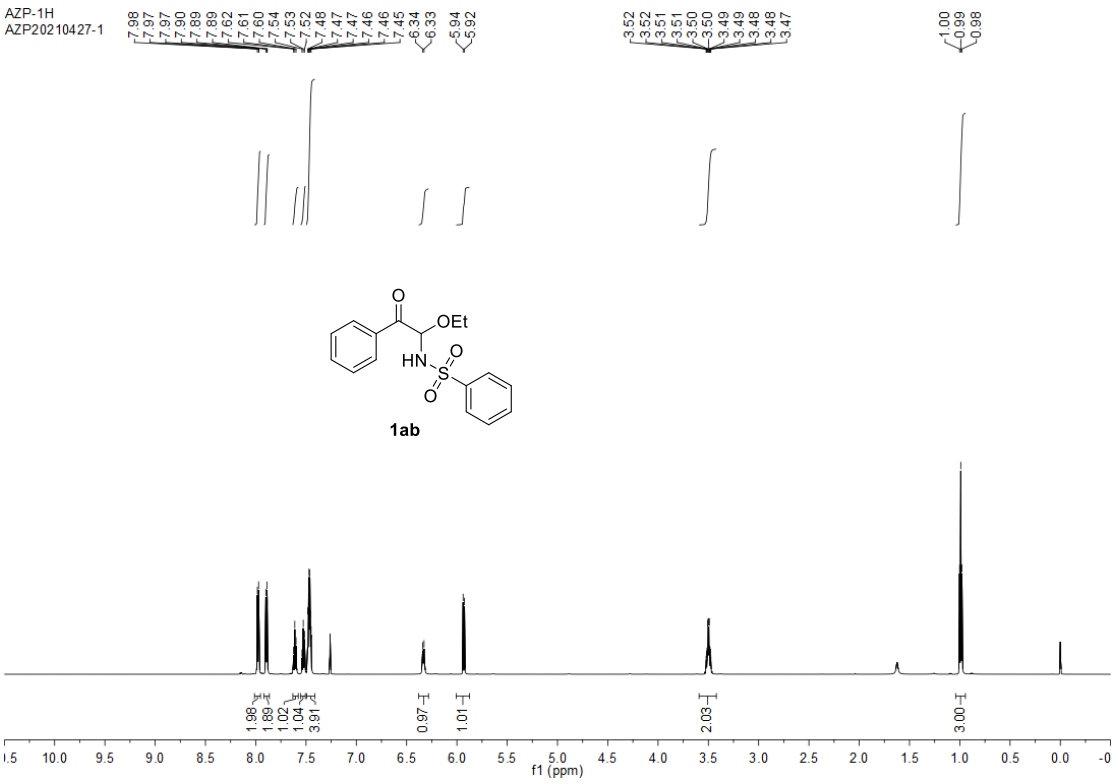
77.04
76.83
62.21
21.48
14.68
O
OEt
NHTs
1aa
f1 (ppm)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7.60
7.54
7.53
7.52
7.48
7.47
7.47
7.46
7.46
7.45
6.34
6.33
5.94
5.92
3.52
3.52
3.51
3.51
3.50
3.50
3.49
3.49
3.48
3.48
3.47
1.00
0.99
0.98
O
OEt
HN
S
O
O
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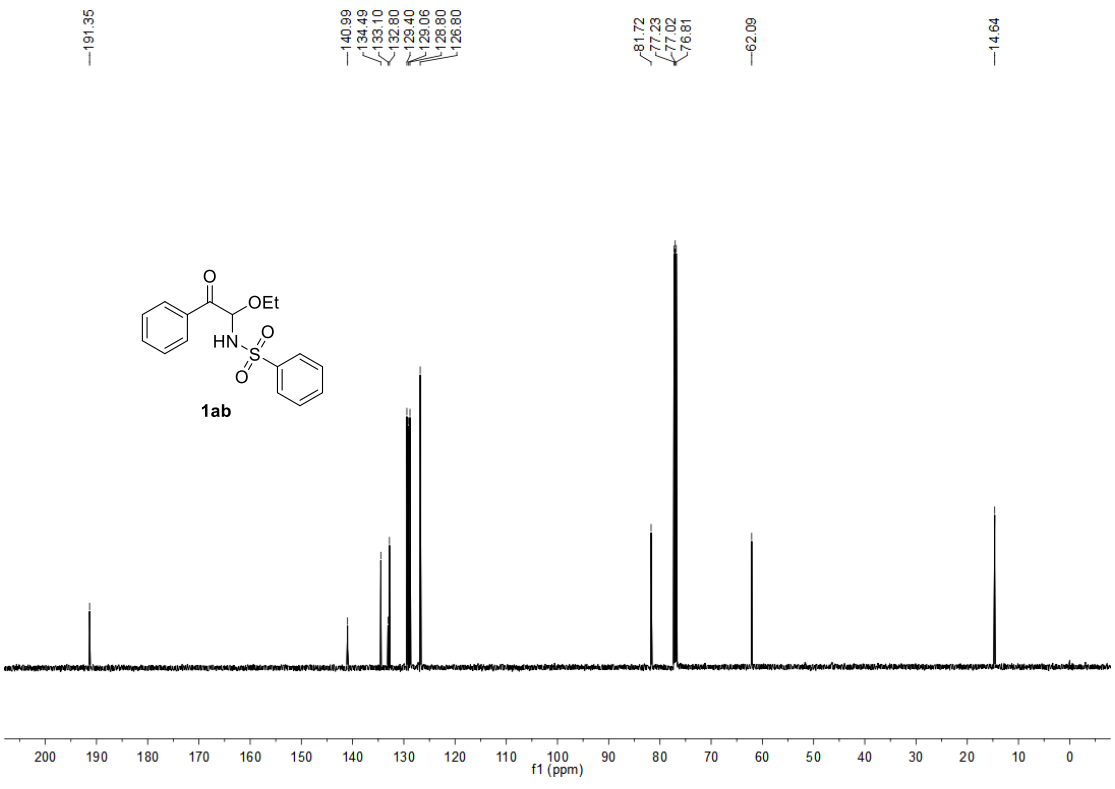
1.89
1.02
1.04
3.91
0.97
1.01
2.03
3.00
f1 (ppm)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128.80
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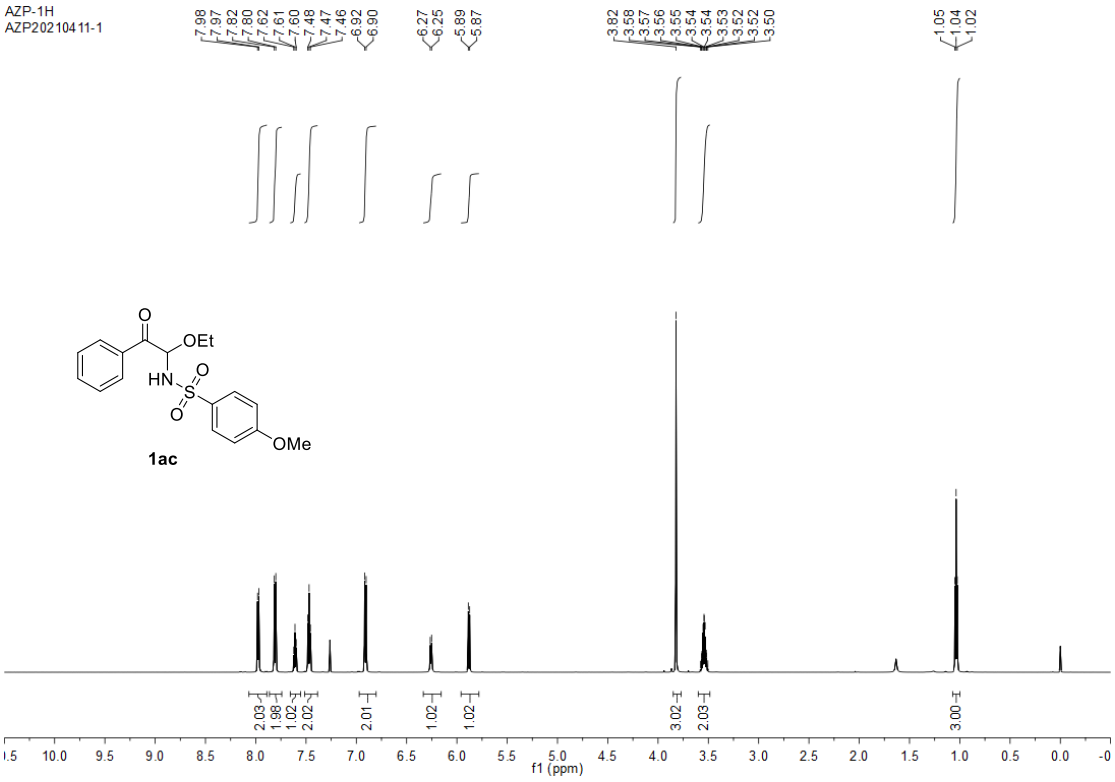
77.02
76.81
62.09
14.64
O
OEt
HN
S
O
O
1ab
f1 (ppm)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6.92
6.90
6.27
6.25
5.89
5.87
3.82
3.58
3.57
3.56
3.55
3.54
3.54
3.53
3.52
3.52
3.50
1.05
1.04
1.02
O
OEt
HN
S
O
O
OMe
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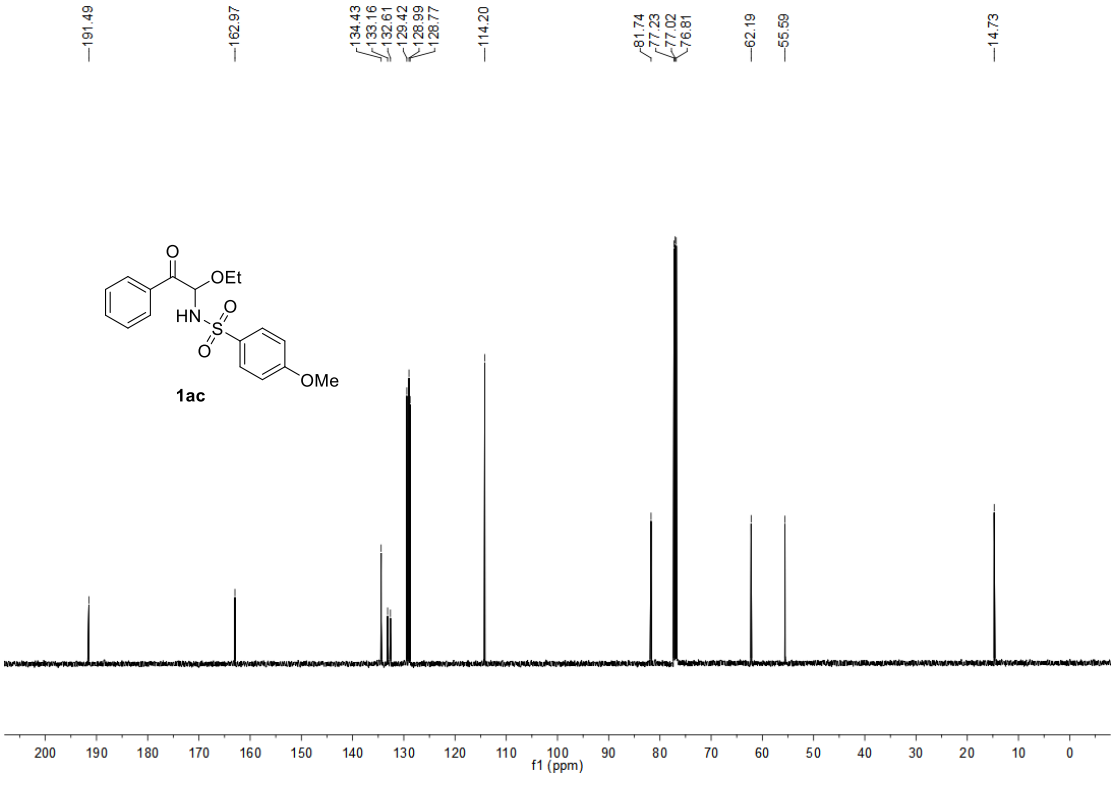
1.02
2.02
2.01
1.02
1.02
3.02
2.03
3.00
f1 (ppm)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129.42
128.99
128.77
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81.74
77.23
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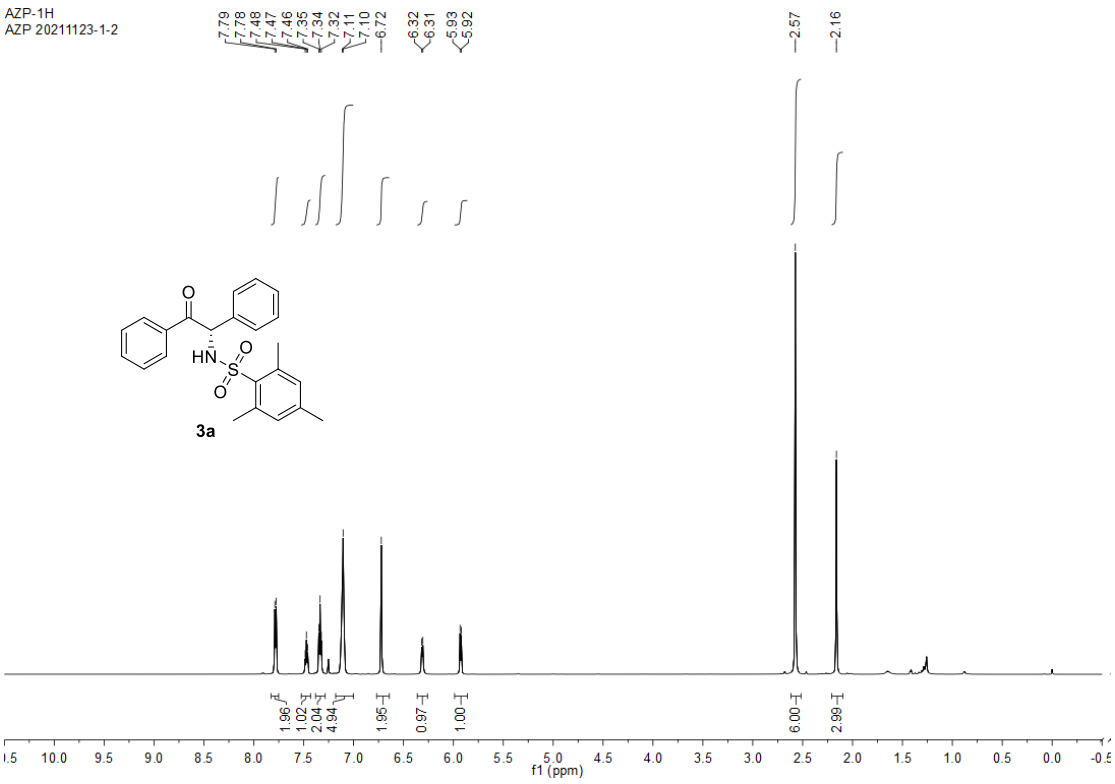
62.19
55.59
14.73
O
OEt
HN
S
O
O
OMe
1ac
f1 (ppm)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7.48
7.47
7.46
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7.34
7.32
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7.10
6.72
6.32
6.31
5.93
5.92
2.57
2.16
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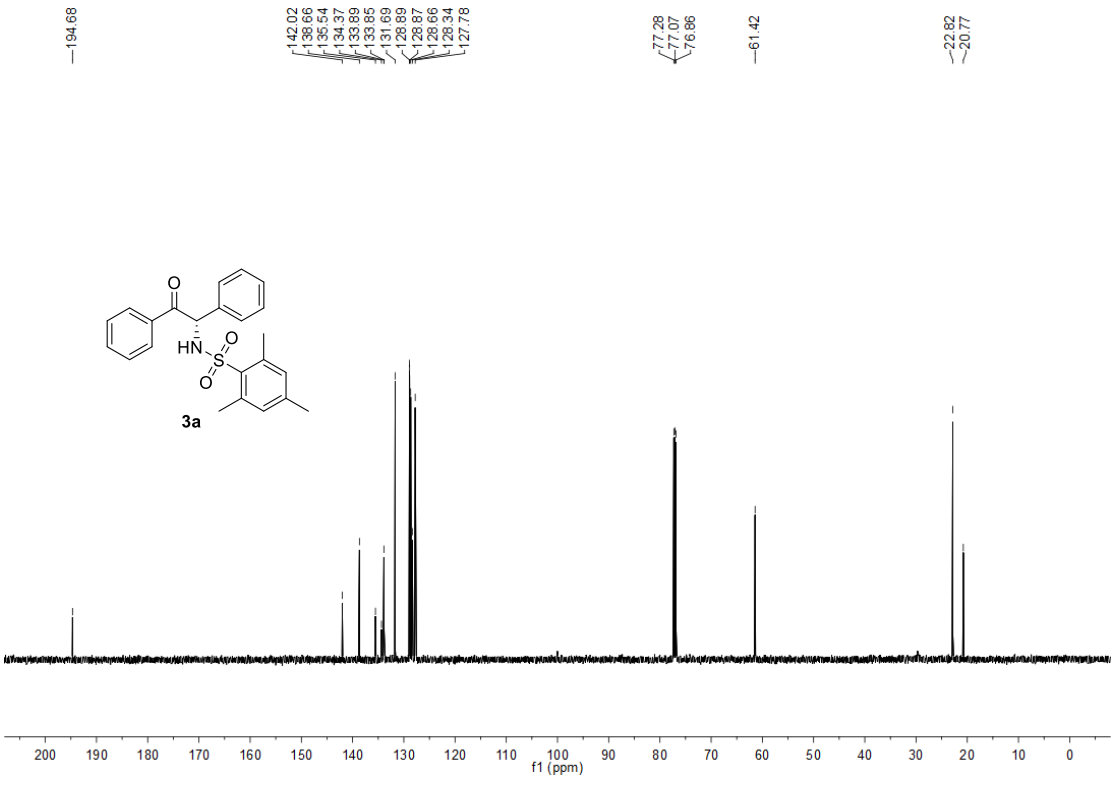
1.02
2.04
4.94
1.95
0.97
1.00
6.00
2.99
f1 (ppm)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127.78
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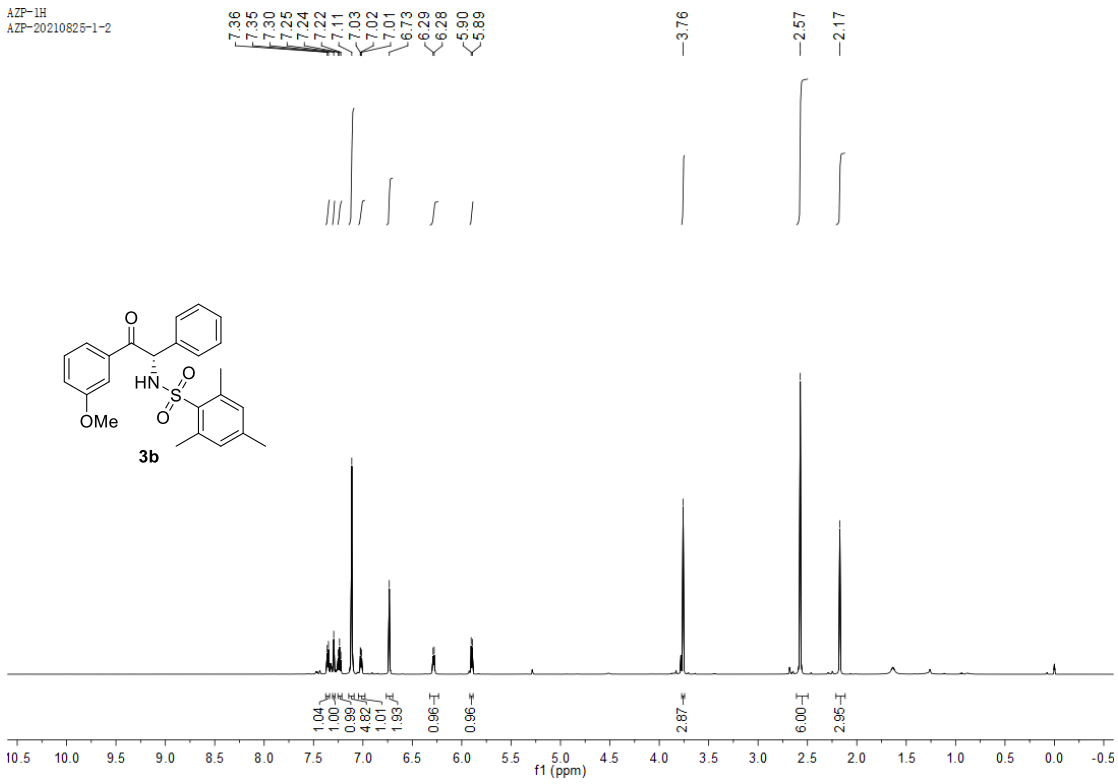
77.07
76.86
61.42
22.82
20.77
3a
f1 (ppm)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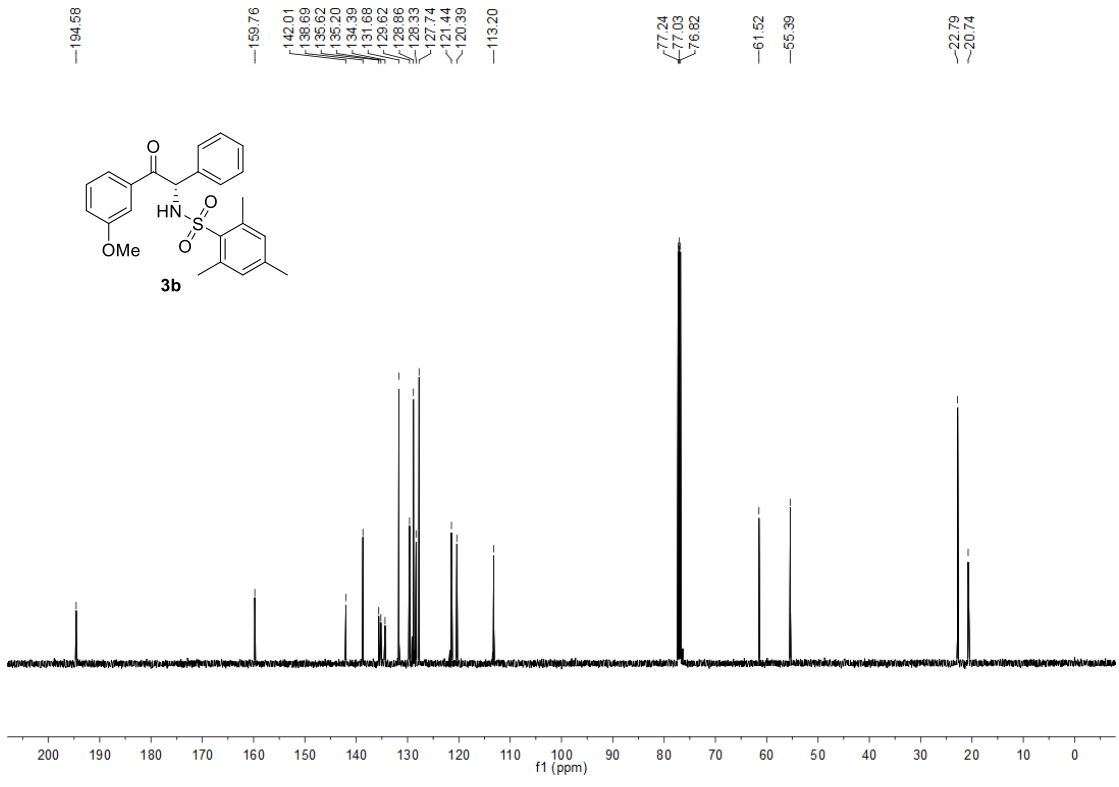
7.30
7.25
7.24
7.22
7.11
7.03
7.02
7.01
6.73
6.29
6.28
5.90
5.89
3.76
2.57
2.17
HN
S
O
OMe
3b
1.04
1.00
0.99
4.82
1.01
1.93
0.96
0.96
2.87
6.00
2.95
f1 (ppm)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134.39
131.68
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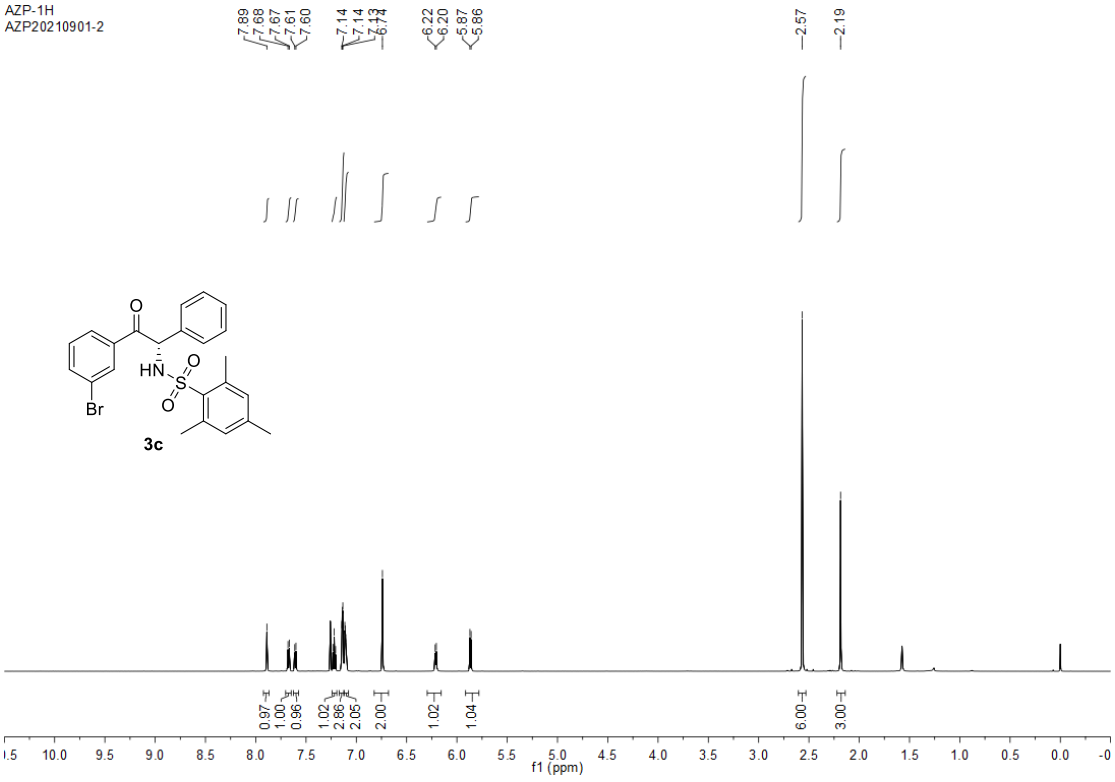
128.86
128.33
127.74
121.44
120.39
113.20
77.24
77.03
76.82
61.52
55.39
22.79
20.74
HN
S
O
OMe
3b
f1 (ppm)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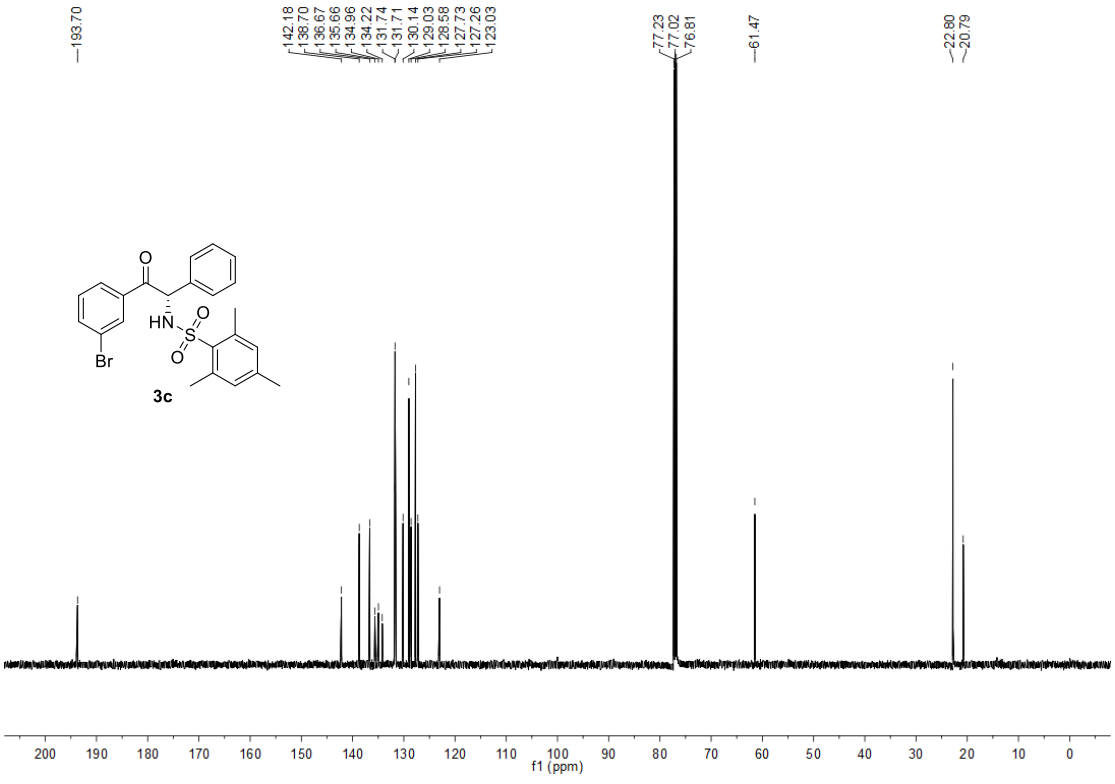
7.67
7.61
7.60
7.14
7.14
7.13
6.74
6.22
6.20
5.87
5.86
2.57
2.19
Br
HN
O
S
O
O
3c
0.97
1.00
0.96
1.02
2.86
2.05
2.00
1.02
1.04
6.00
3.00
f1 (ppm)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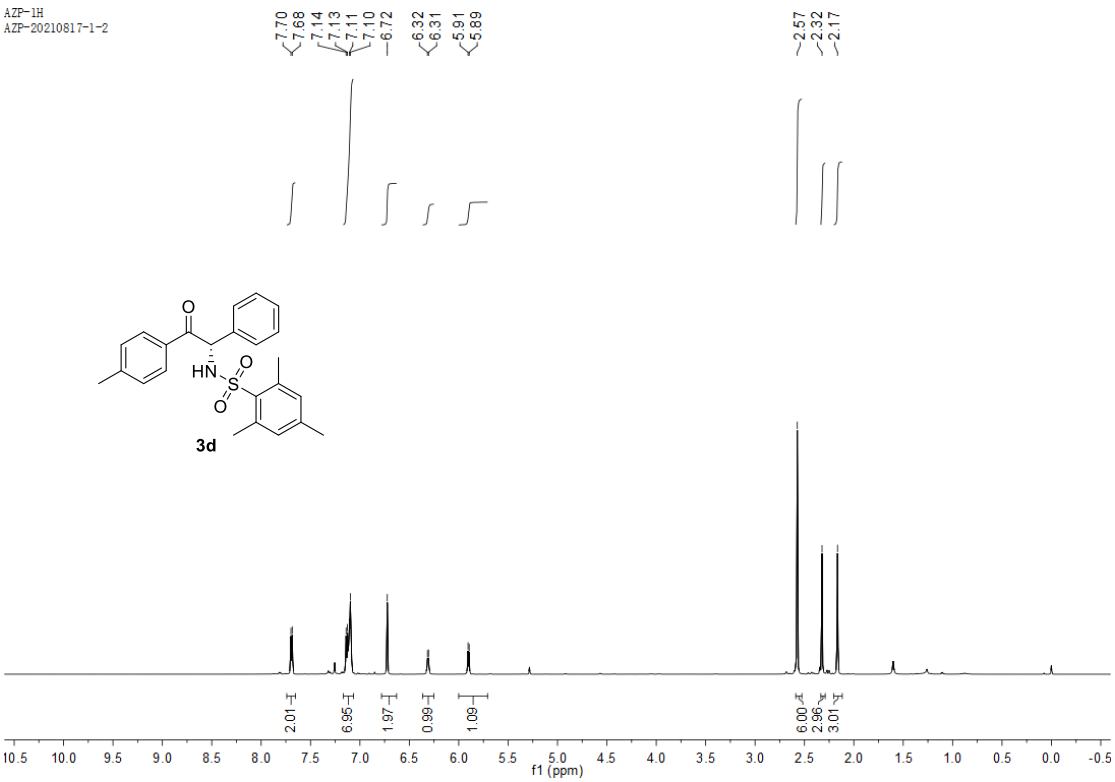
130.14
129.03
128.58
127.73
127.26
123.03
77.23
77.02
76.81
61.47
22.80
20.79
Br
HN
O
S
O
O
3c
f1 (ppm)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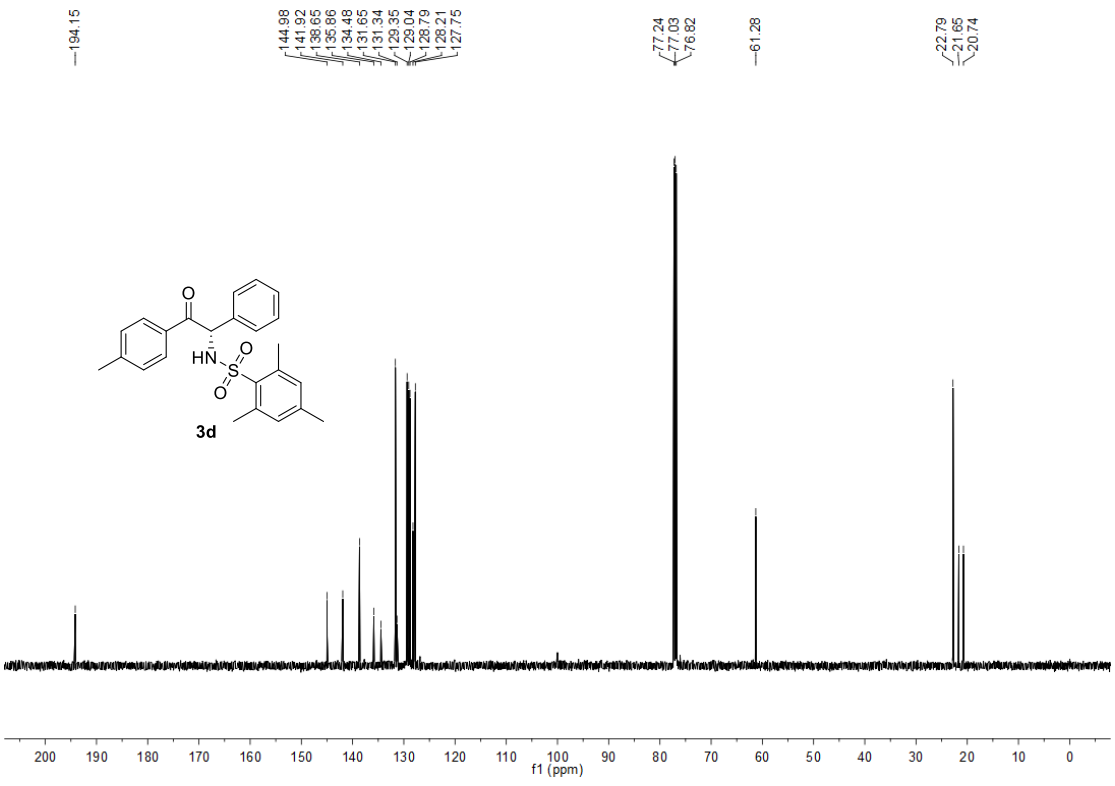
7.68
7.14
7.13
7.11
7.10
6.72
6.32
6.31
5.91
5.89
2.57
2.32
2.17
3d
2.01
6.95
1.97
0.99
1.09
6.00
2.96
3.01
f1 (ppm)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131.34
129.35
129.04
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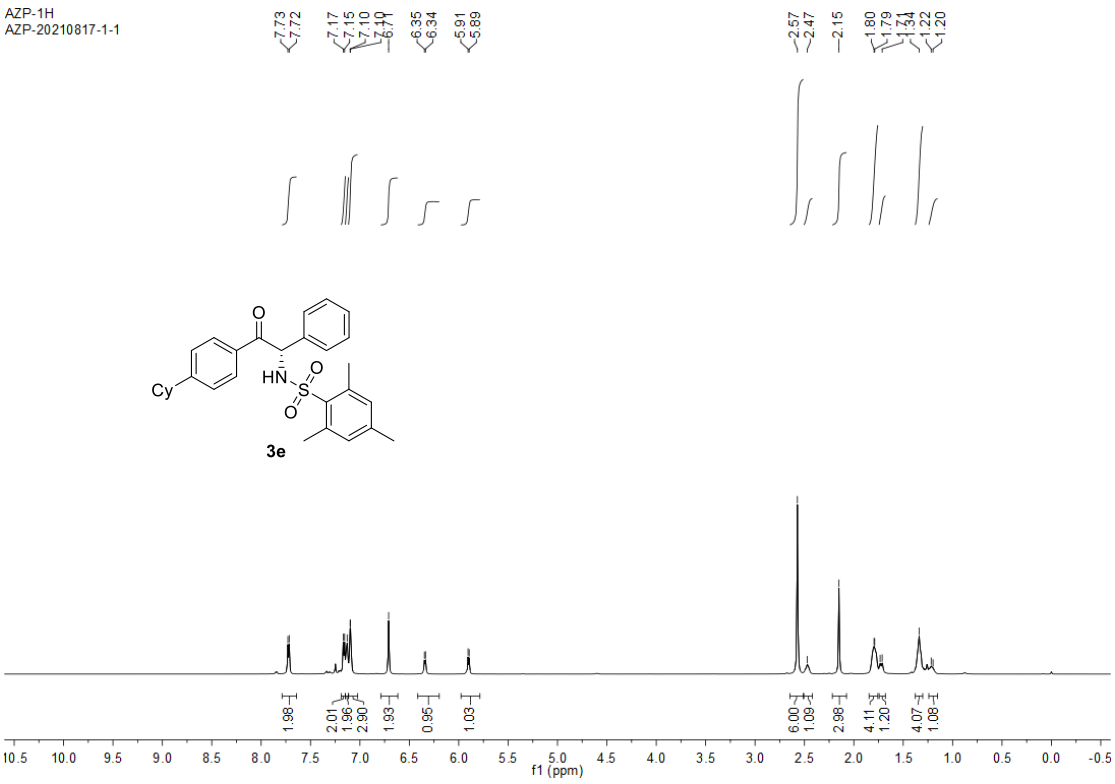
77.24
77.03
76.82
61.28
22.79
21.65
20.74
3d
f1 (ppm)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7.72
7.17
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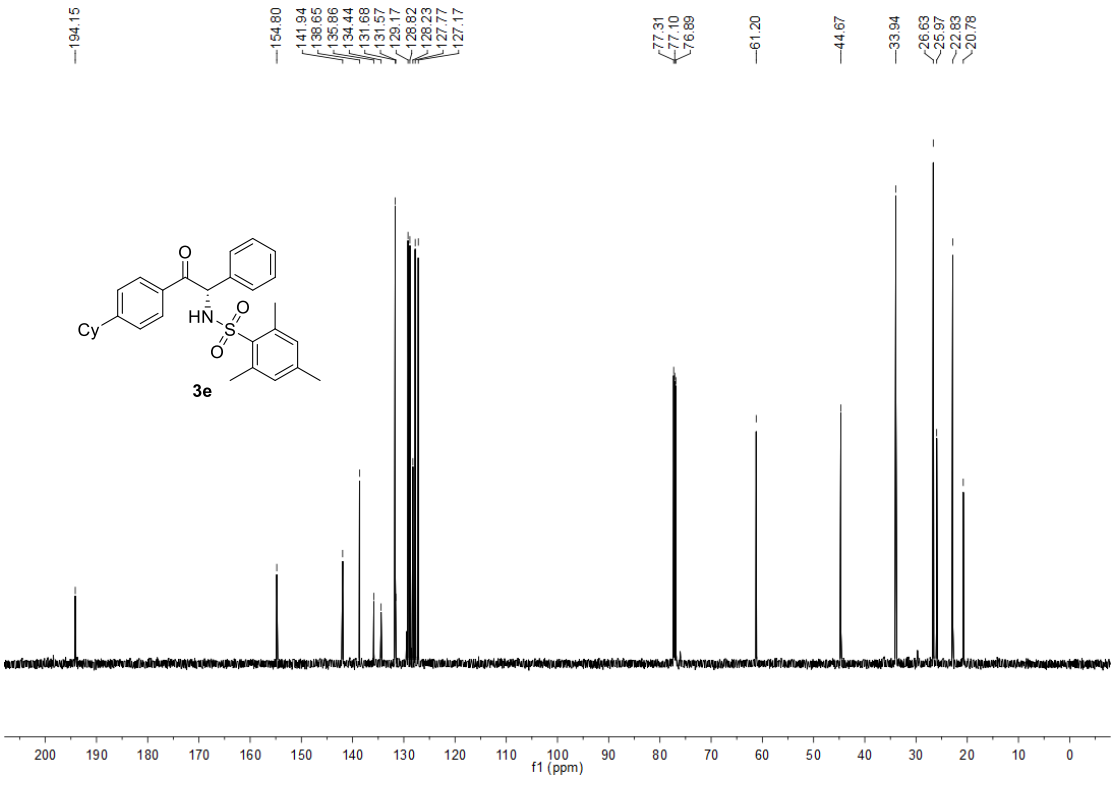
7.10
6.35
6.34
5.91
5.89
2.57
2.47
2.15
1.80
1.79
1.34
1.22
1.20
Cy
HN
S
O
3e
1.98
2.01
1.96
2.90
1.93
0.95
1.03
6.00
1.09
2.98
4.11
1.20
4.07
1.08
f1 (ppm)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128.23
127.77
127.17
77.31
77.10
76.89
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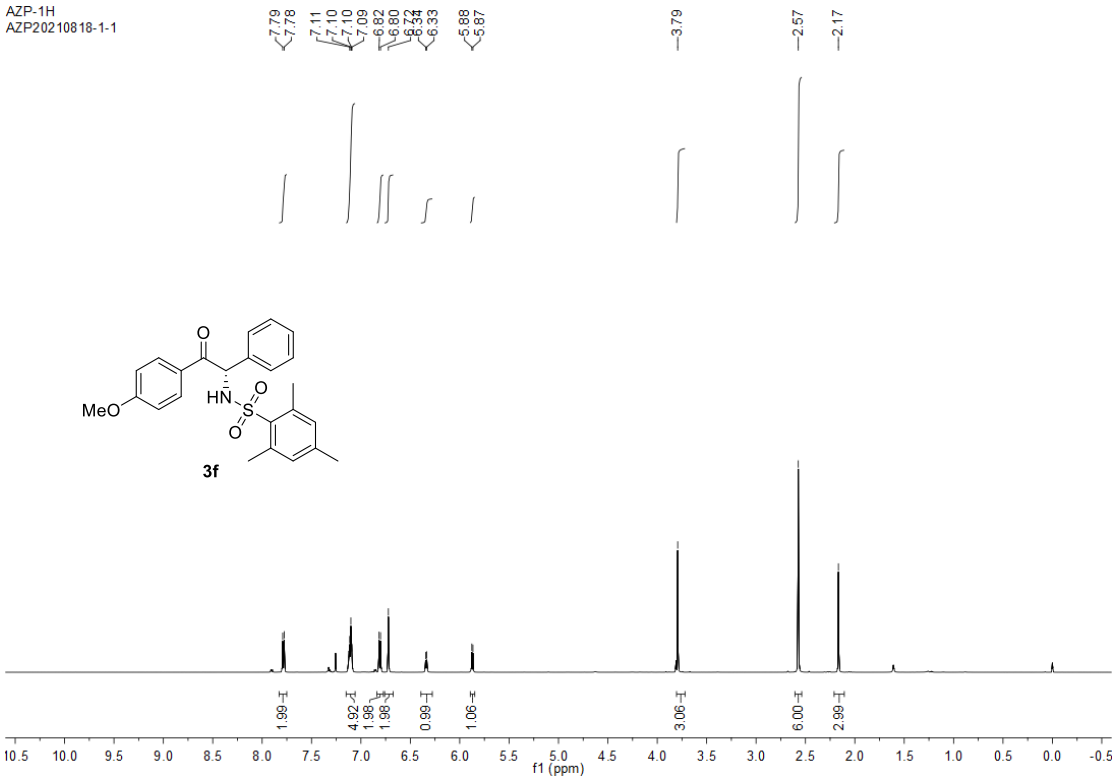
33.94
26.63
25.97
22.83
20.78
Cy
HN
S
O
3e
f1 (ppm)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7.11
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7.09
6.82
6.80
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5.88
5.87
3.79
2.57
2.17
MeO
HN
O
S
O
O
3f
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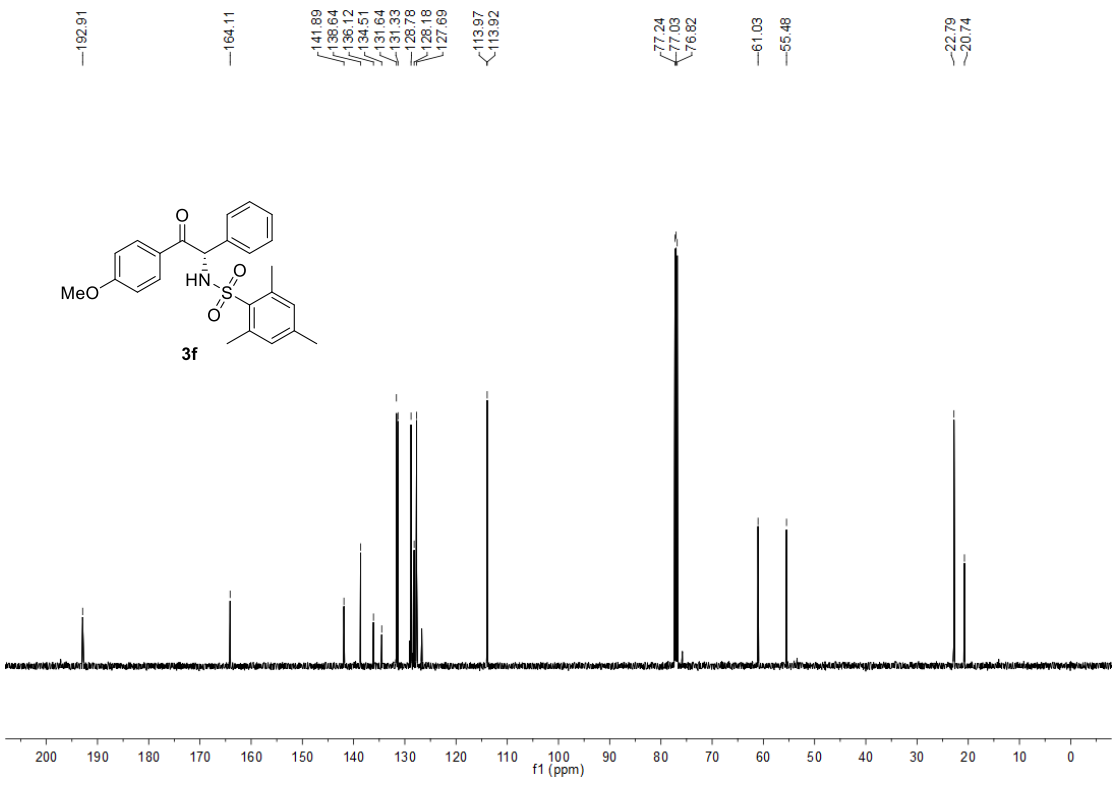
4.92
1.98
1.98
0.99
1.06
3.06
6.00
2.99
f1 (ppm)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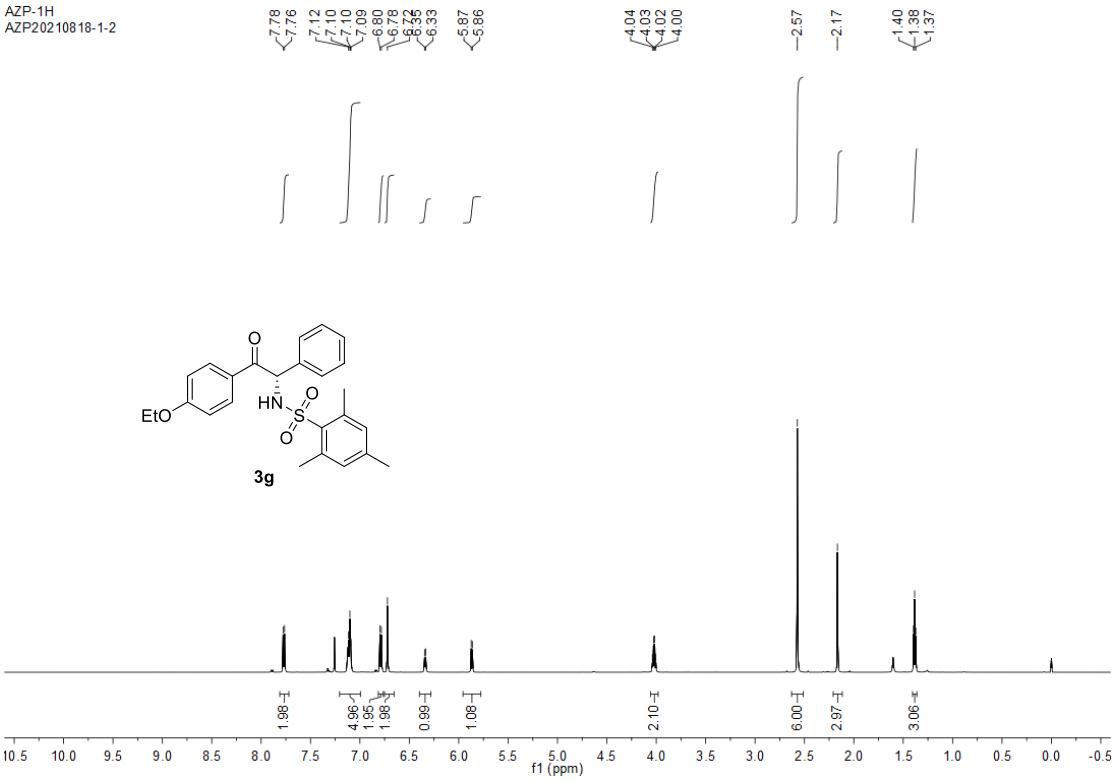
128.18
127.69
113.97
113.92
77.24
77.03
76.62
61.03
55.48
22.79
20.74
MeO
HN
O
S
O
O
3f
f1 (ppm)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6.80
6.78
6.35
6.33
5.87
5.86
4.04
4.03
4.02
4.00
2.57
2.17
1.40
1.38
1.37
EtO
HN
S
O
3g
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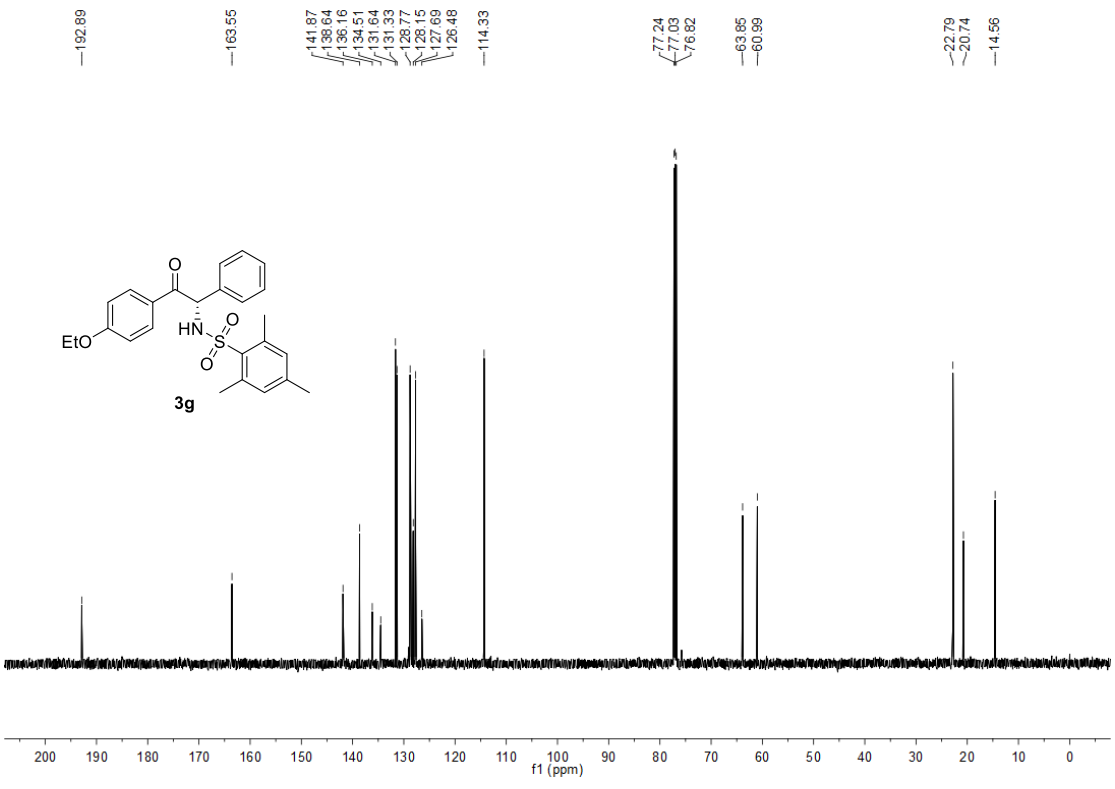
1.95
1.98
0.99
1.08
2.10
6.00
2.97
3.06
f1 (ppm)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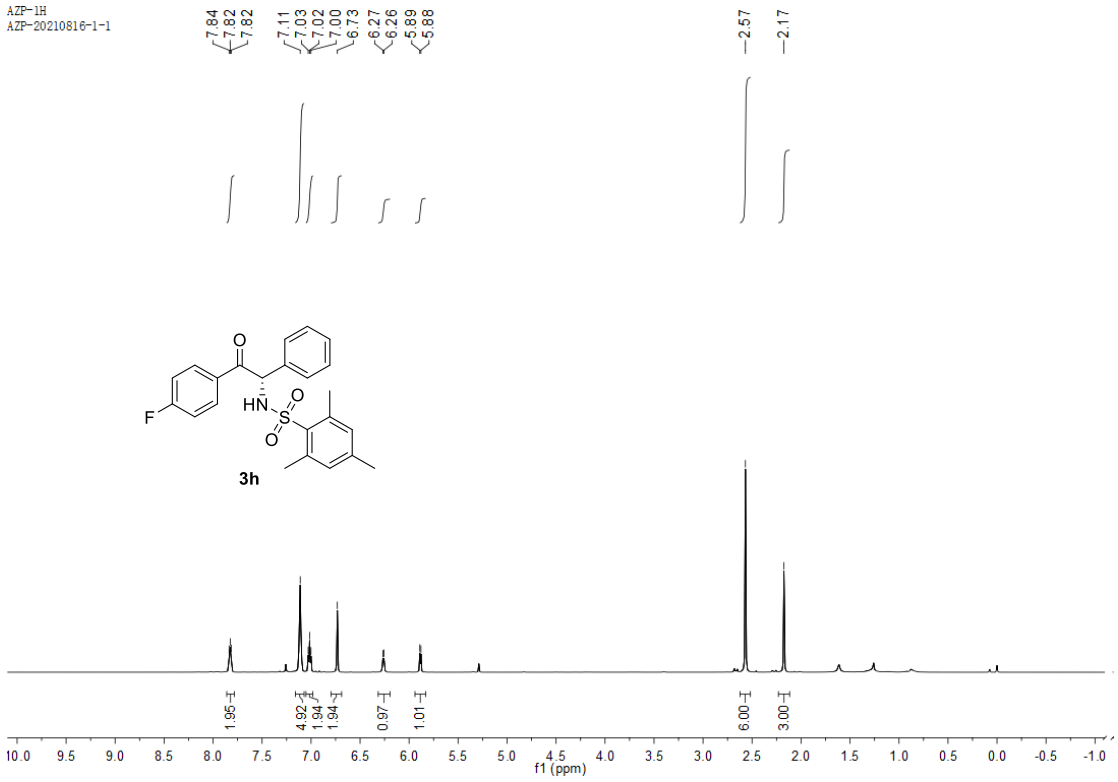
127.69
126.48
114.33
77.24
77.03
76.82
63.85
60.99
22.79
20.74
14.56
EtO
HN
S
O
3g
f1 (ppm)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7.82
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7.02
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6.27
6.26
5.89
5.88
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2.17
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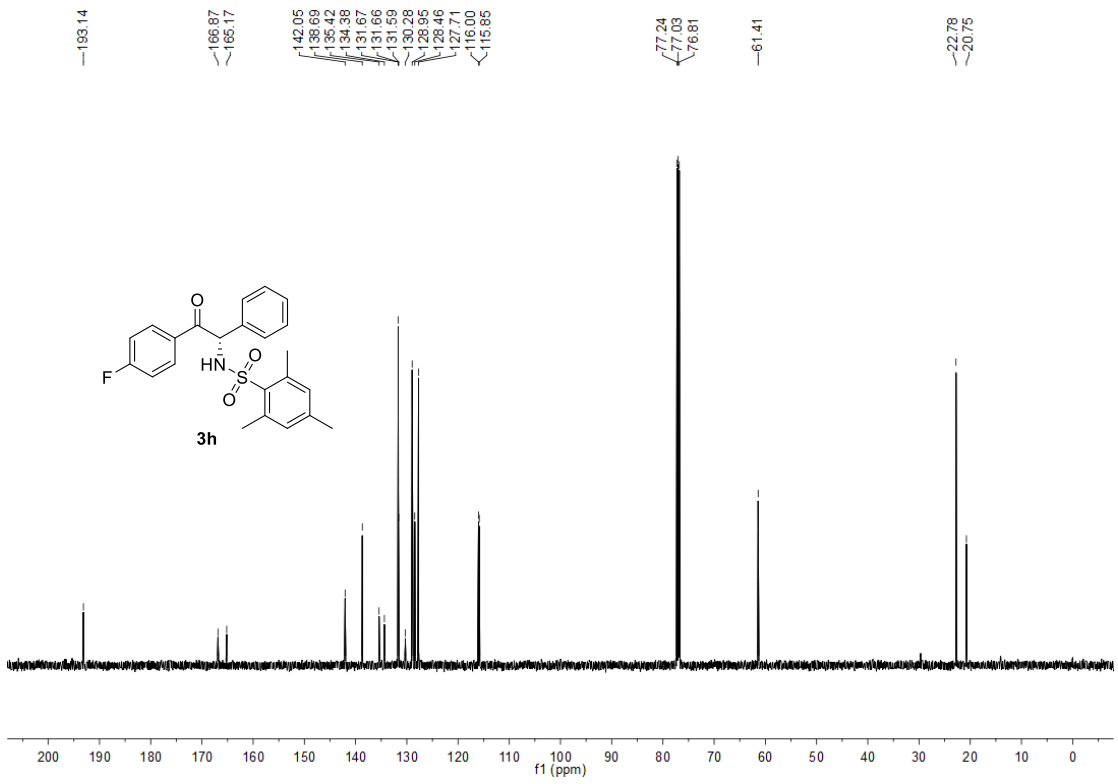
1.95
4.92
1.94
1.94
0.97
1.01
6.00
3.00
f1 (ppm)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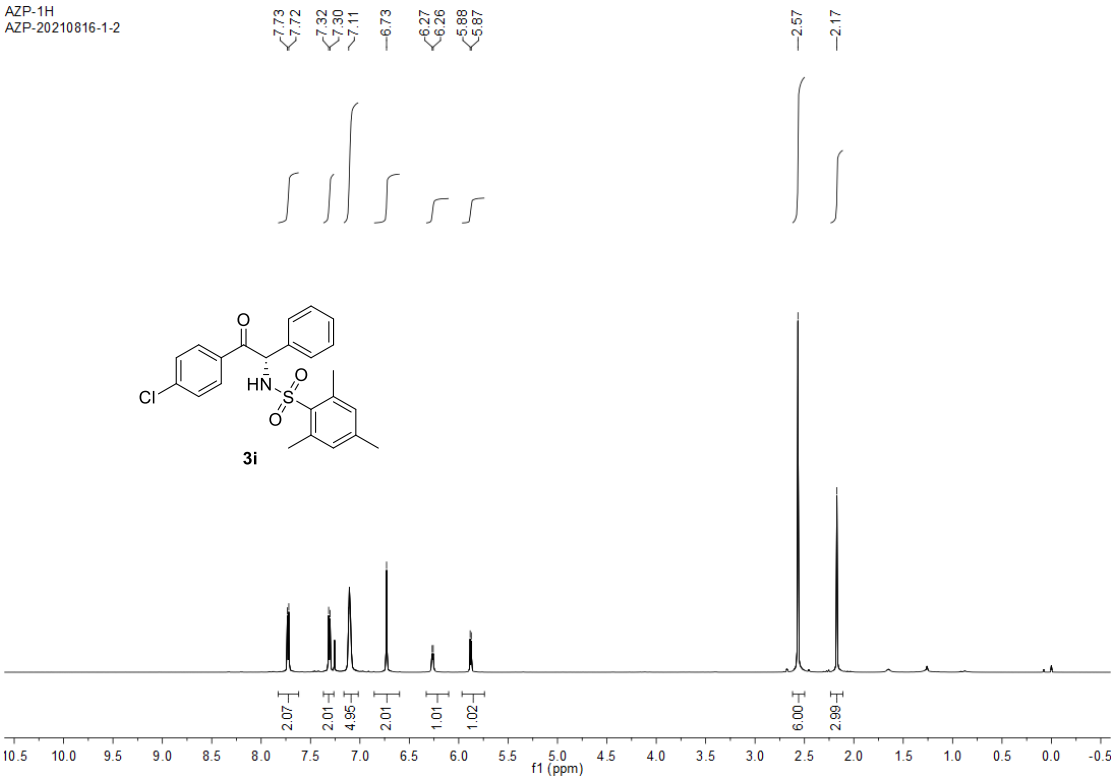
61.41
22.78
20.75
3h
f1 (ppm)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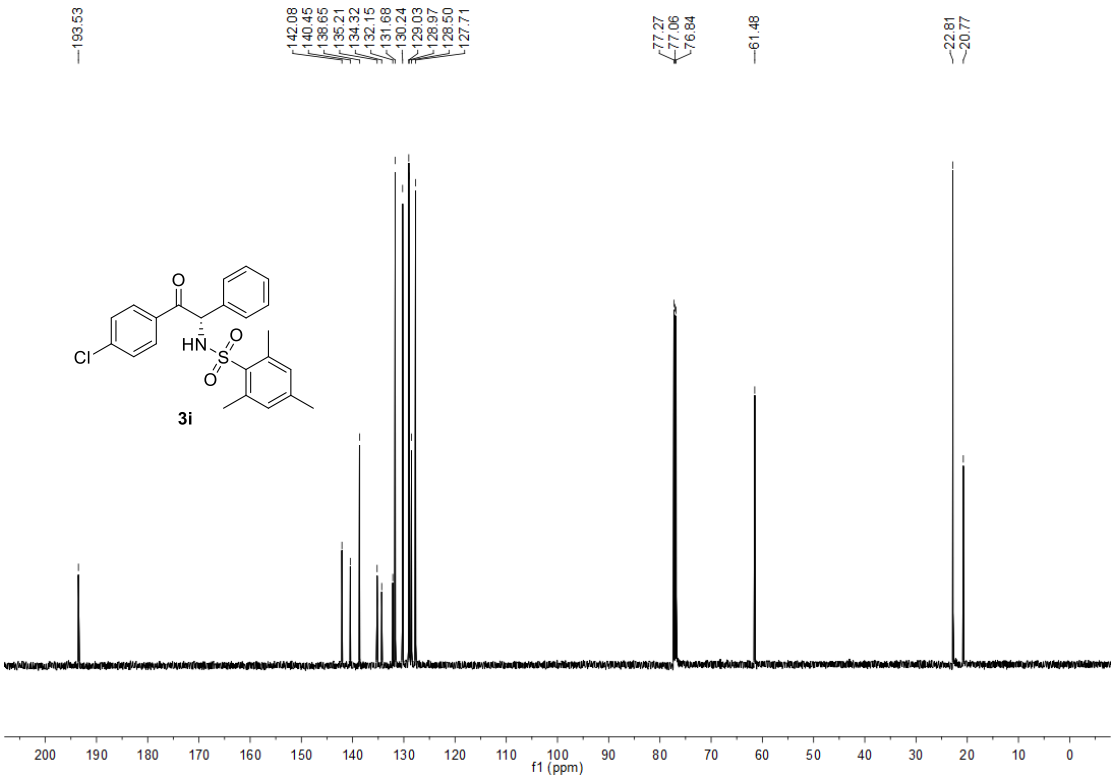
6.27
6.26
5.88
5.87
2.57
2.17
Cl
HN
O
S
O
O
3i
2.07
2.01
4.95
2.01
1.01
1.02
6.00
2.99
f1 (ppm)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128.97
128.50
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61.48
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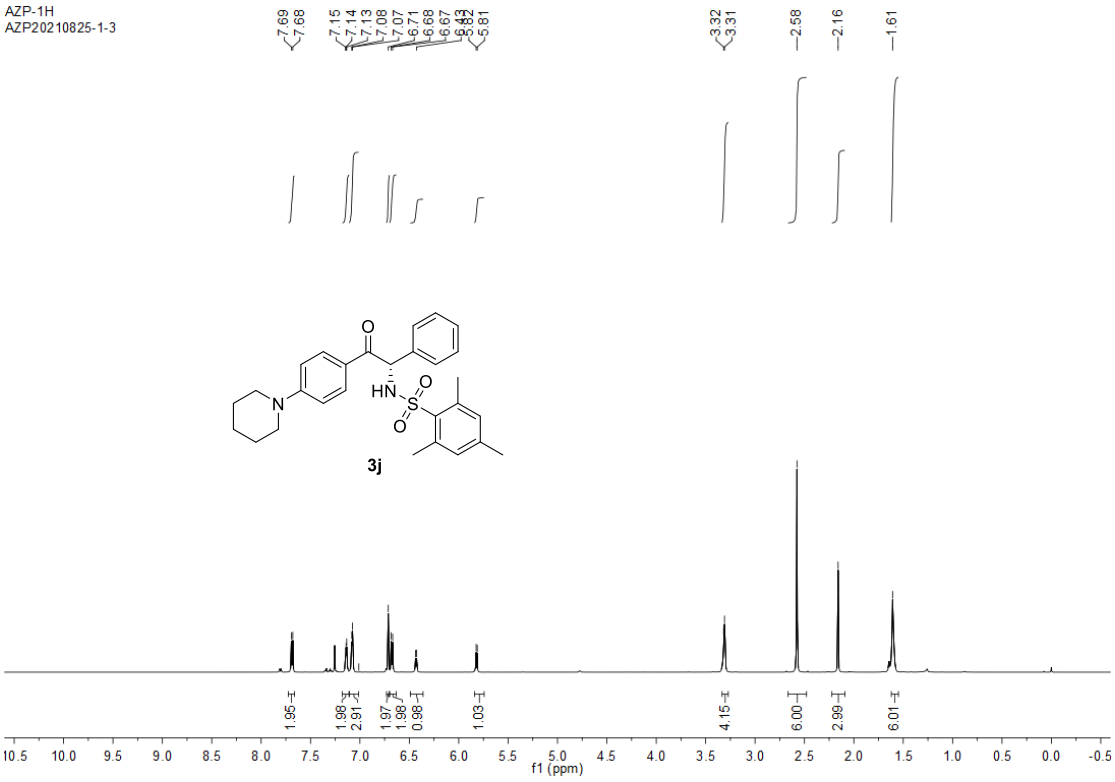
20.77
Cl
HN
O
S
O
O
3i
f1 (ppm)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6.68
6.67
5.82
5.81
3.32
3.31
2.58
2.16
1.61
3j
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1.98
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1.97
1.98
0.98
1.03
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6.00
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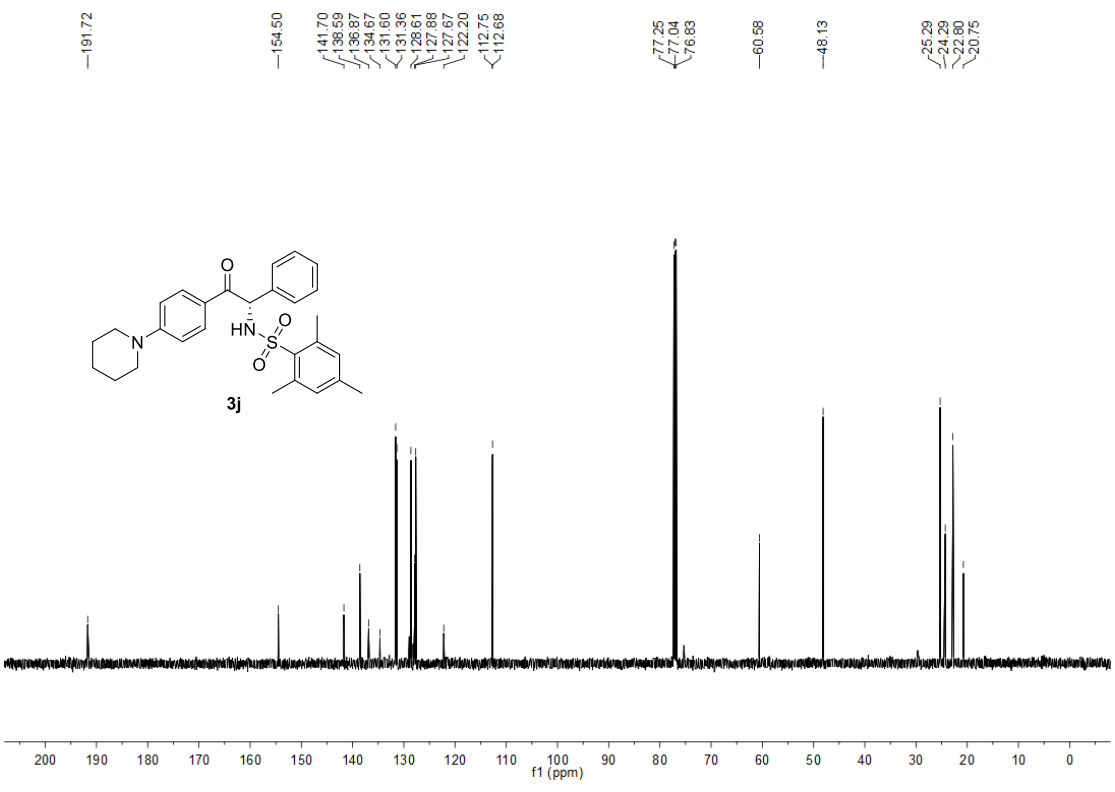
6.01
f1 (ppm)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112.75
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76.83
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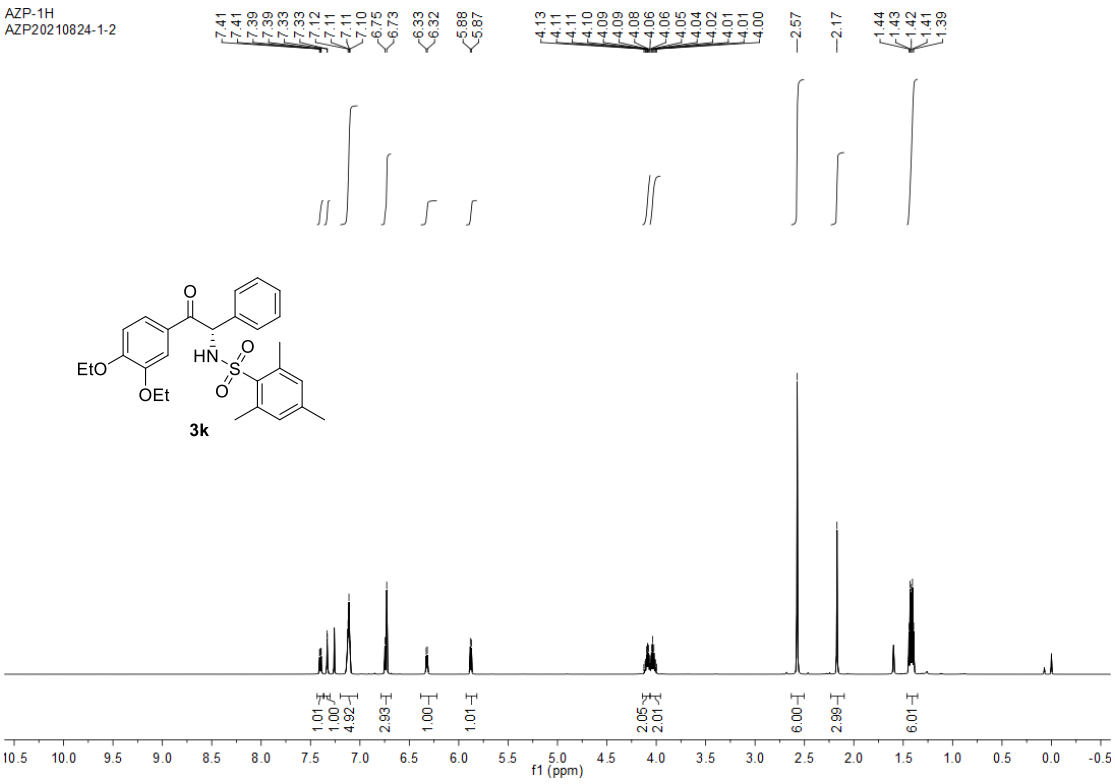
48.13
25.29
24.29
22.80
20.75
3j
f1 (ppm)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7.33
7.12
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7.11
7.10
6.75
6.73
6.33
6.32
5.88
5.87
4.13
4.11
4.11
4.10
4.09
4.09
4.08
4.06
4.06
4.05
4.04
4.02
4.01
4.01
4.00
2.57
2.17
1.44
1.43
1.42
1.41
1.39
O
HN
O
S
O
EtO
OEt
3k
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1.00
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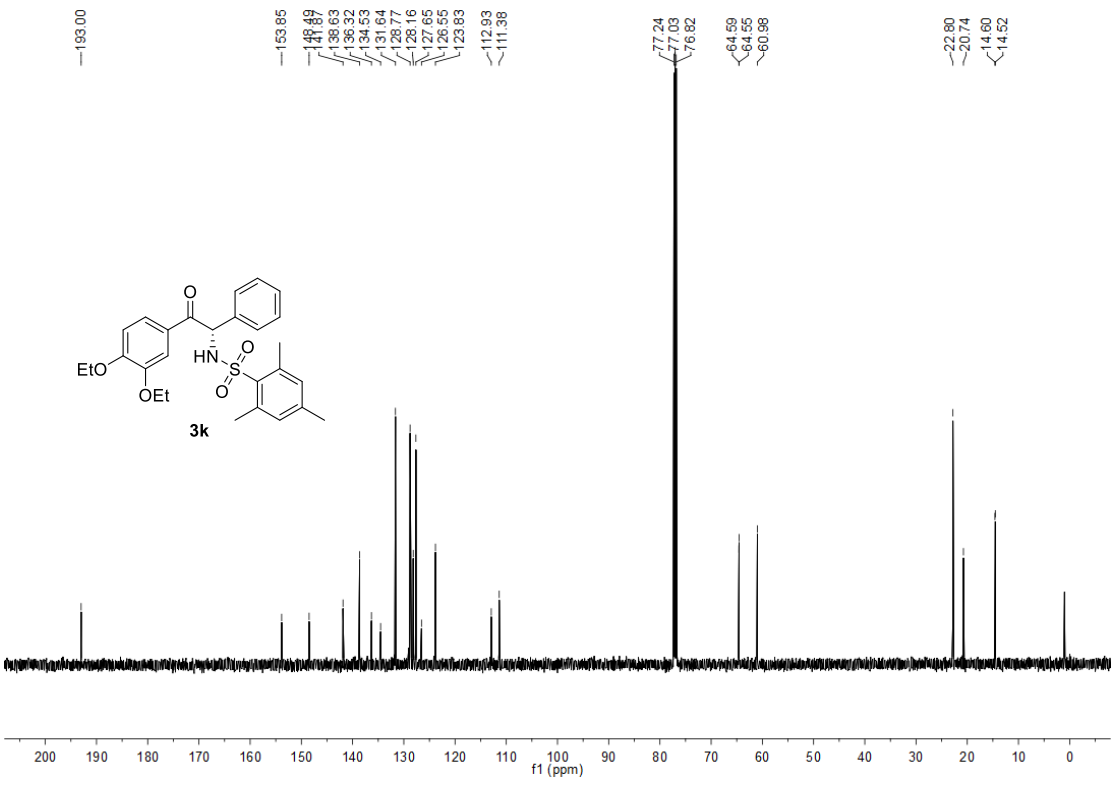
2.93
1.00
1.01
2.05
2.01
6.00
2.99
6.01
f1 (ppm)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127.65
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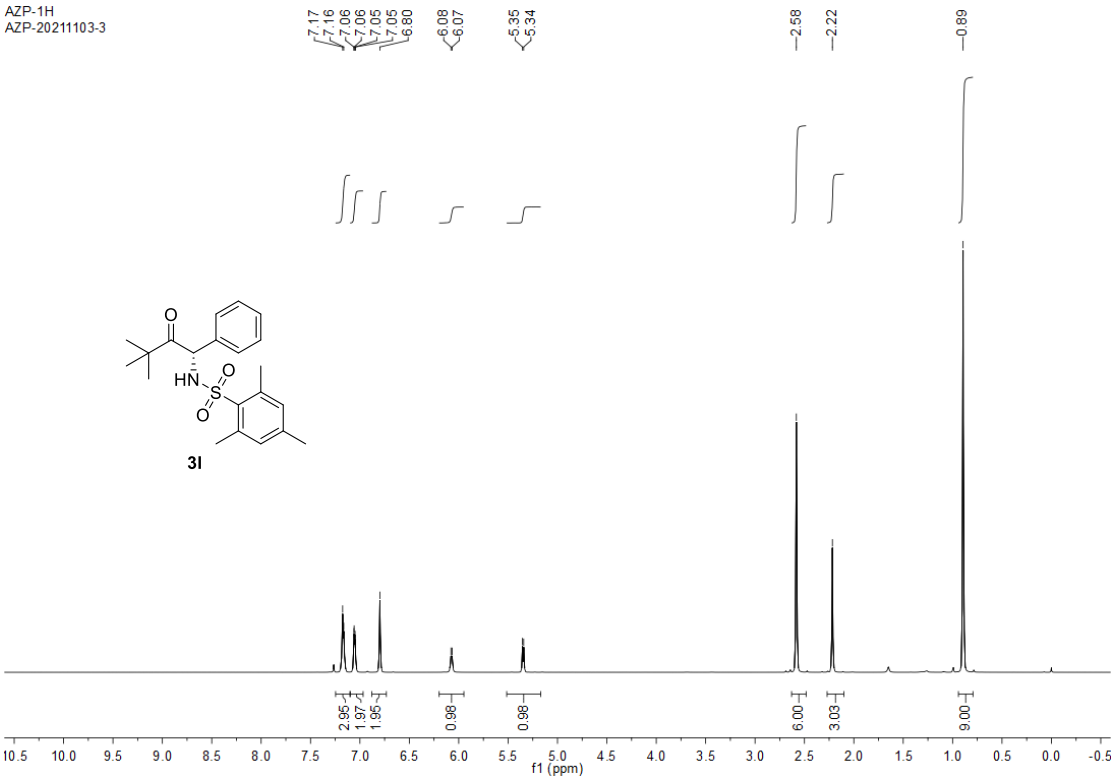
123.83
112.93
111.38
77.24
77.03
76.82
64.59
64.55
60.98
22.80
20.74
14.60
14.52
O
HN
O
S
O
EtO
OEt
3k
f1 (ppm)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AZP-20211103-3
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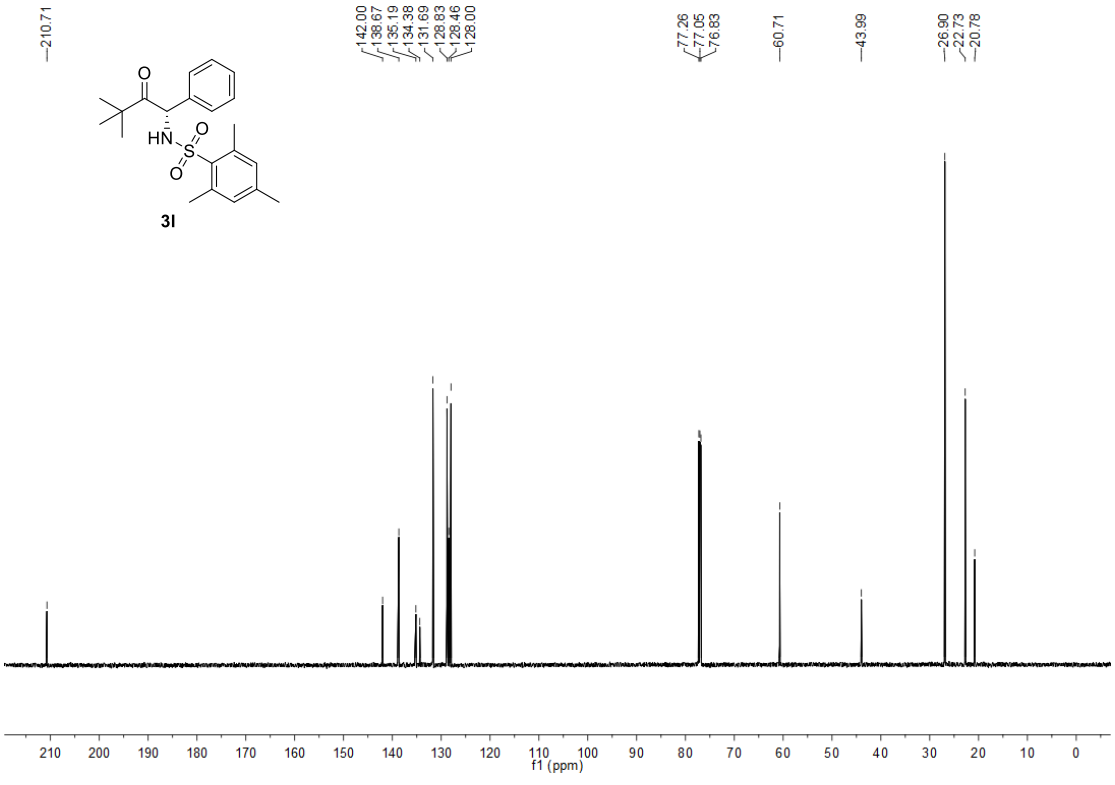
6.80
6.08
6.07
5.35
5.34
2.58
2.22
0.89
2.95
1.97
1.95
0.98
0.98
6.00
3.03
9.00
f1 (ppm)

3l


210.71
142.00
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135.19
134.38
131.69
128.83
128.46
128.00
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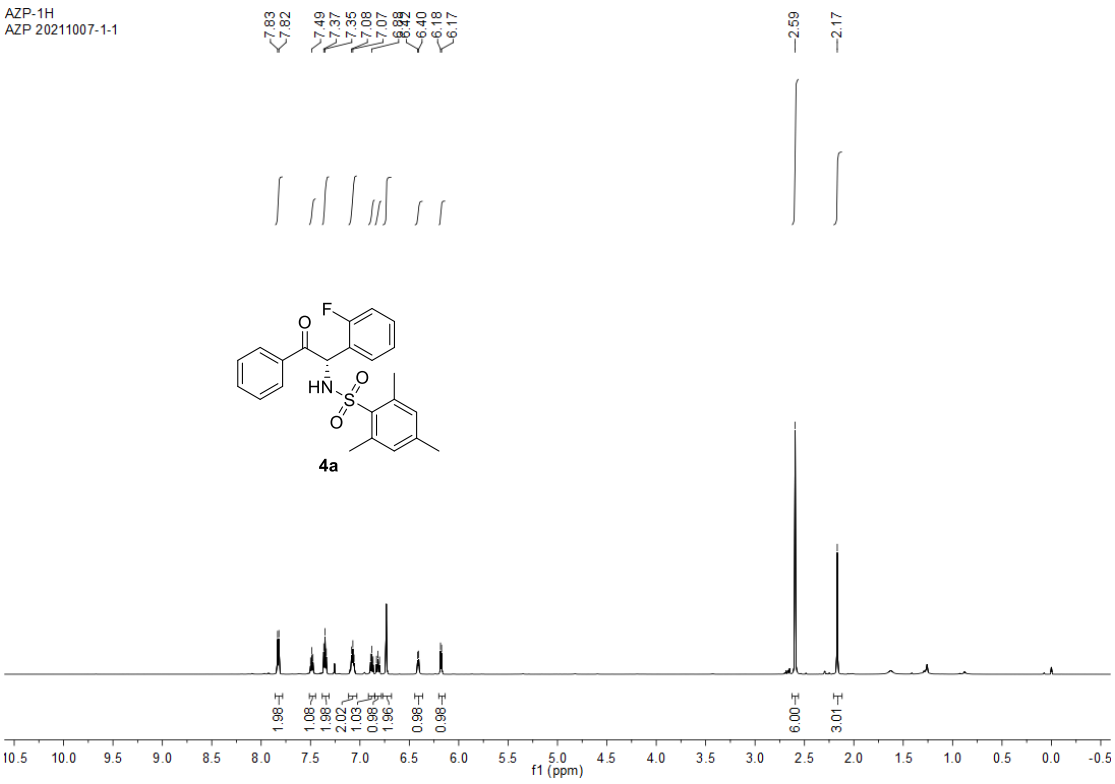
77.05
76.83
60.71
43.99
26.90
22.73
20.78
f1 (ppm)

3l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AZP 20211007-1-1
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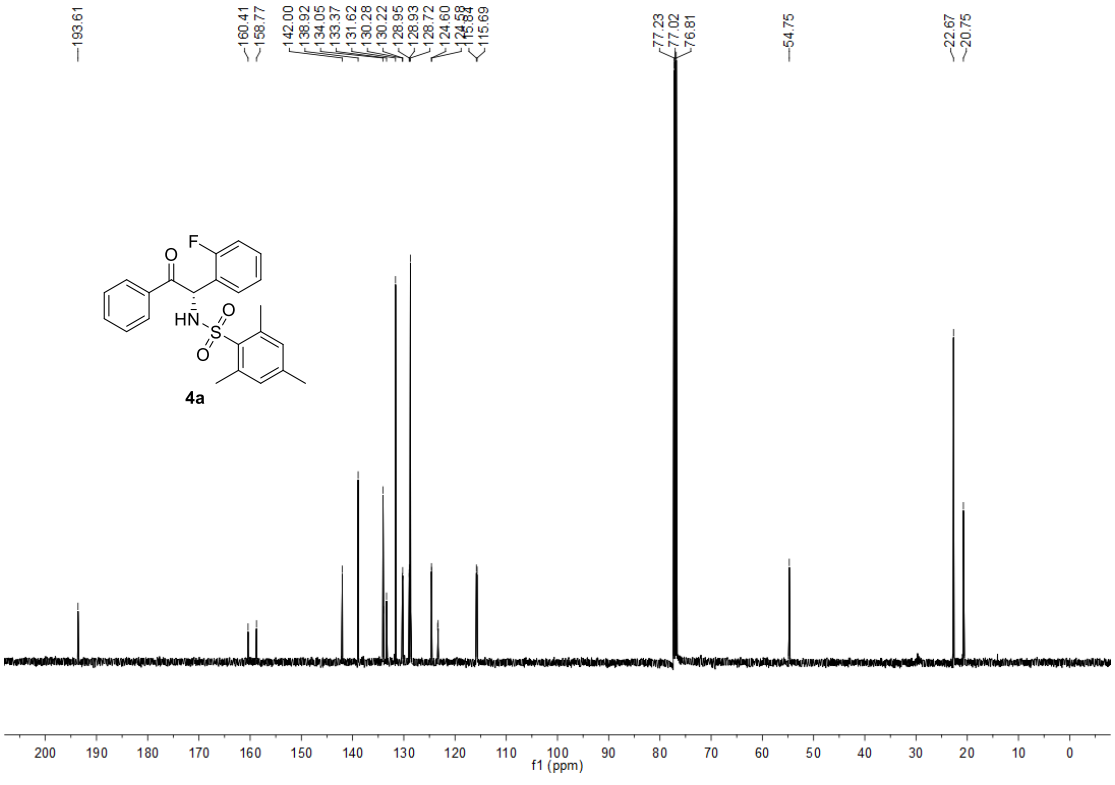
7.82
7.49
7.37
7.35
7.08
6.40
6.18
6.17
2.59
2.17
F
O
HN
S
O
O
4a
1.98
1.08
1.98
2.02
1.03
0.98
1.96
0.98
0.98
6.00
3.01
f1 (ppm)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160.41
158.77
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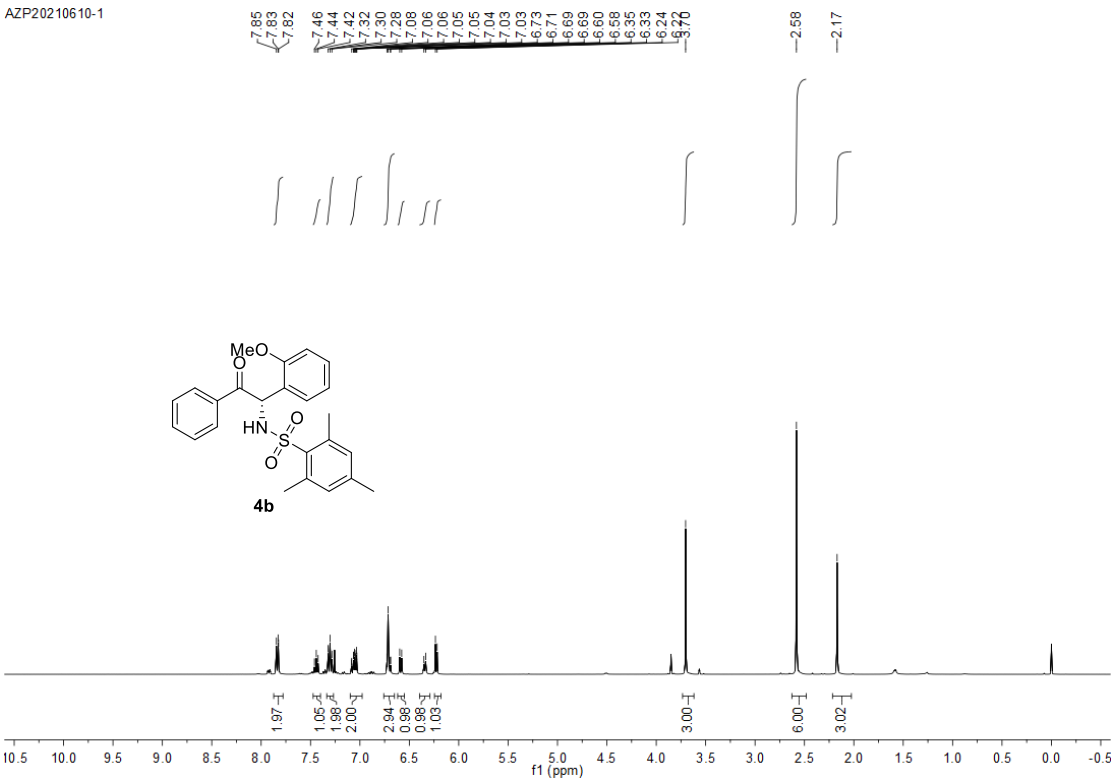
134.05
133.37
131.62
130.28
130.22
128.95
128.93
128.72
124.60
115.84
115.69
77.23
77.02
76.81
54.75
22.67
20.75
F
O
HN
S
O
O
4a
f1 (ppm)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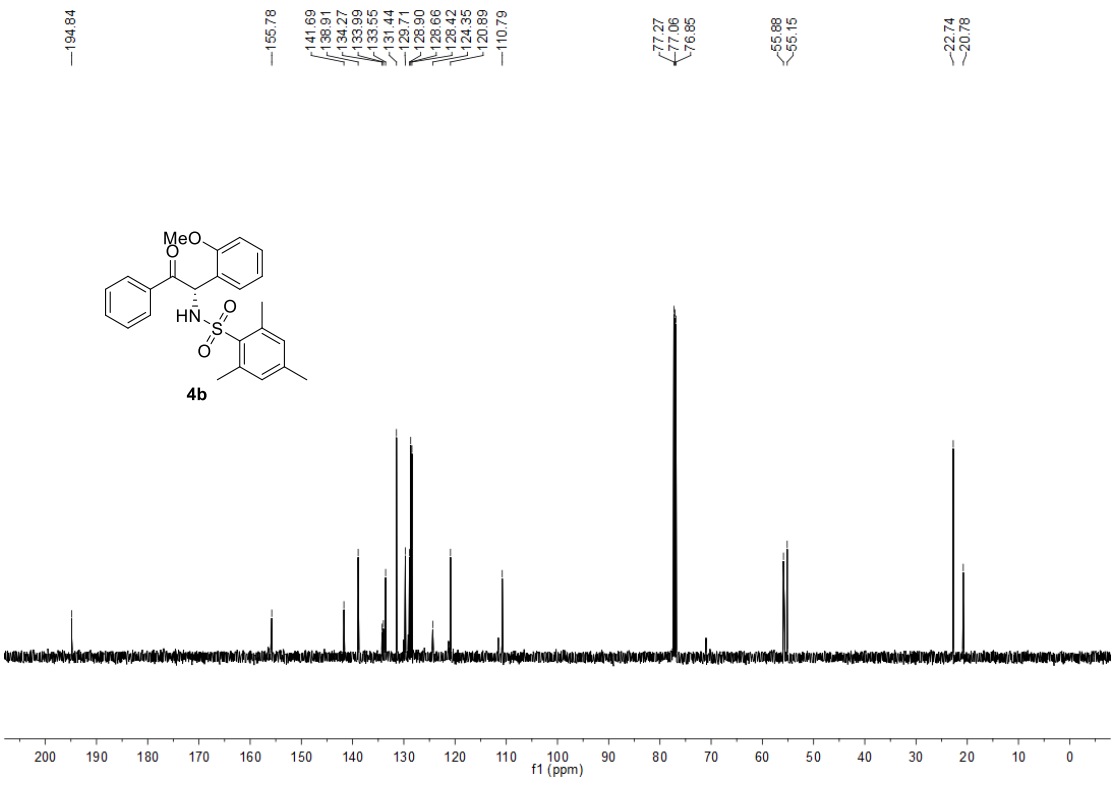
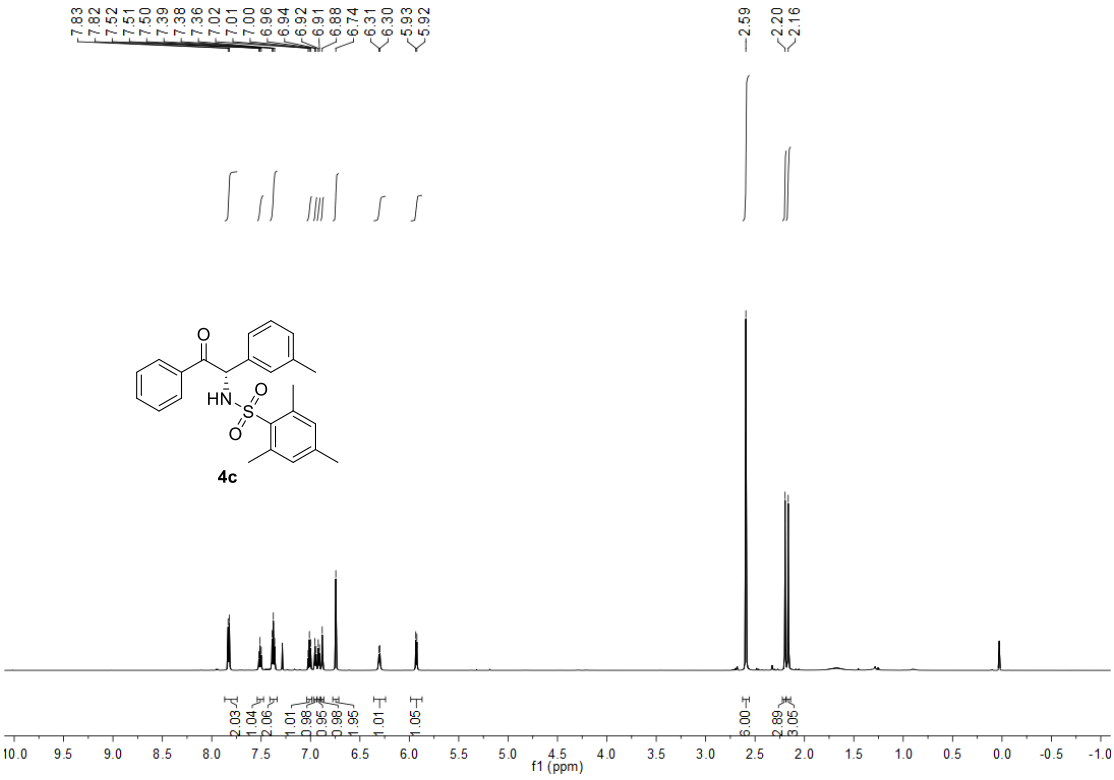
7.82
7.46
7.44
7.42
7.32
7.30
7.28
7.08
7.06
7.05
7.04
7.03
6.73
6.71
6.69
6.60
6.58
6.35
6.33
6.24
6.22
5.70
2.58
2.17
MeO
O
HN
S
O
O
4b
1.97
1.05
1.98
2.00
2.94
0.98
0.98
1.03
3.00
6.00
3.02
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


194.84
155.78
141.69
138.91
134.27
133.99
133.55
131.44
129.71
128.90
128.66
128.42
124.35
120.89
110.79
77.27
77.06
76.85
55.88
55.15
22.74
20.78
MeO
O
HN
S
O
O
4b
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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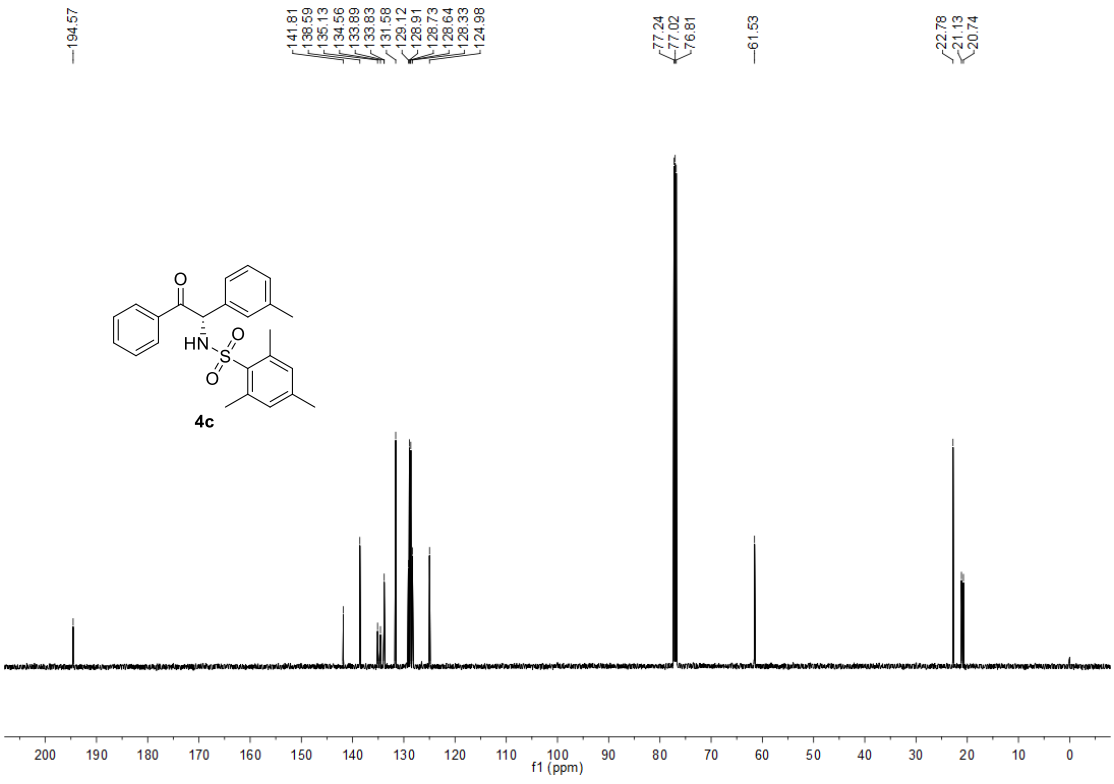
7.82
7.52
7.51
7.50
7.39
7.38
7.36
7.02
7.01
7.00
6.96
6.94
6.92
6.91
6.88
6.74
6.31
6.30
5.93
5.92
2.59
2.20
2.16
4c
2.03
1.04
2.06
1.01
0.98
0.95
0.98
1.95
1.01
1.05
6.00
2.89
3.05
f1 (ppm)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141.81
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136.13
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133.89
133.83
131.58
129.12
128.91
128.73
128.64
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76.81
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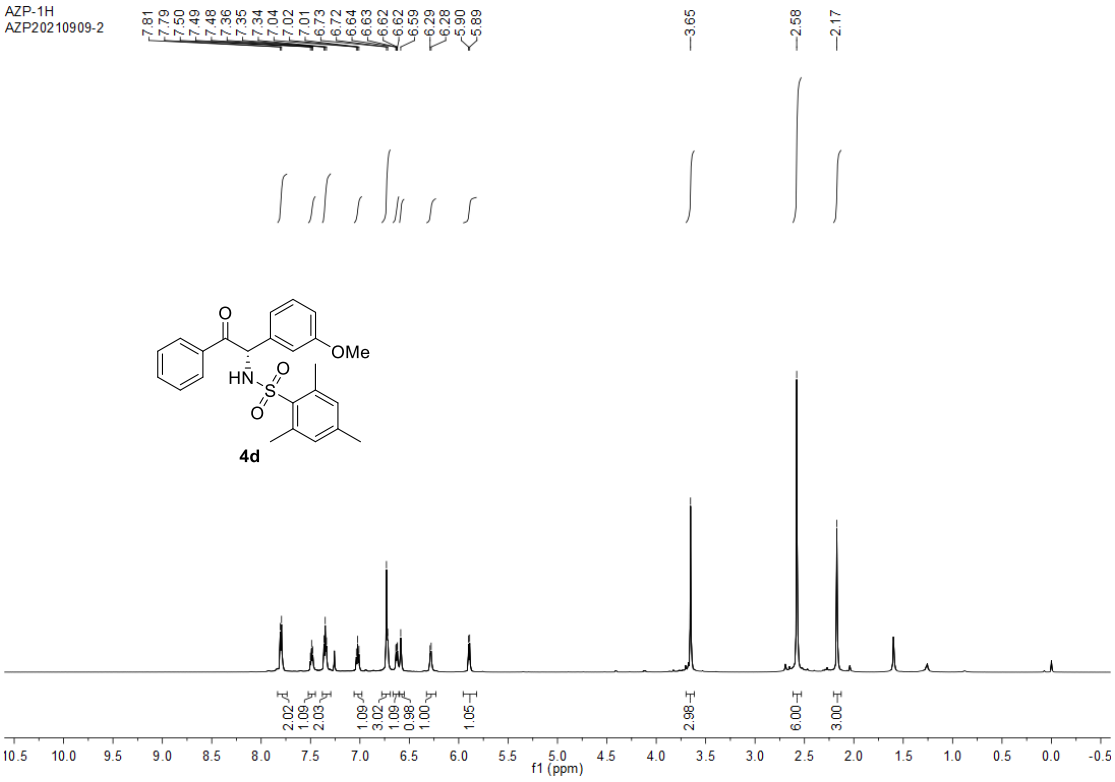
22.78
21.13
20.74
4c
f1 (ppm)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AZP20210909-2
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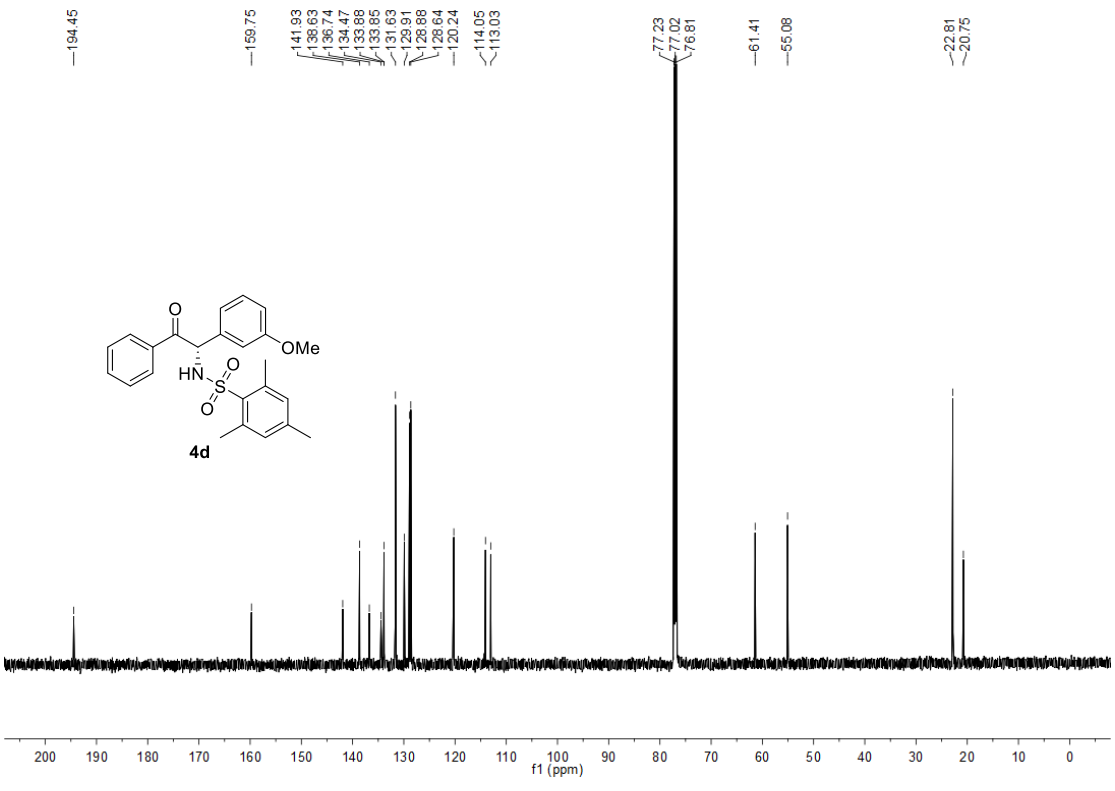
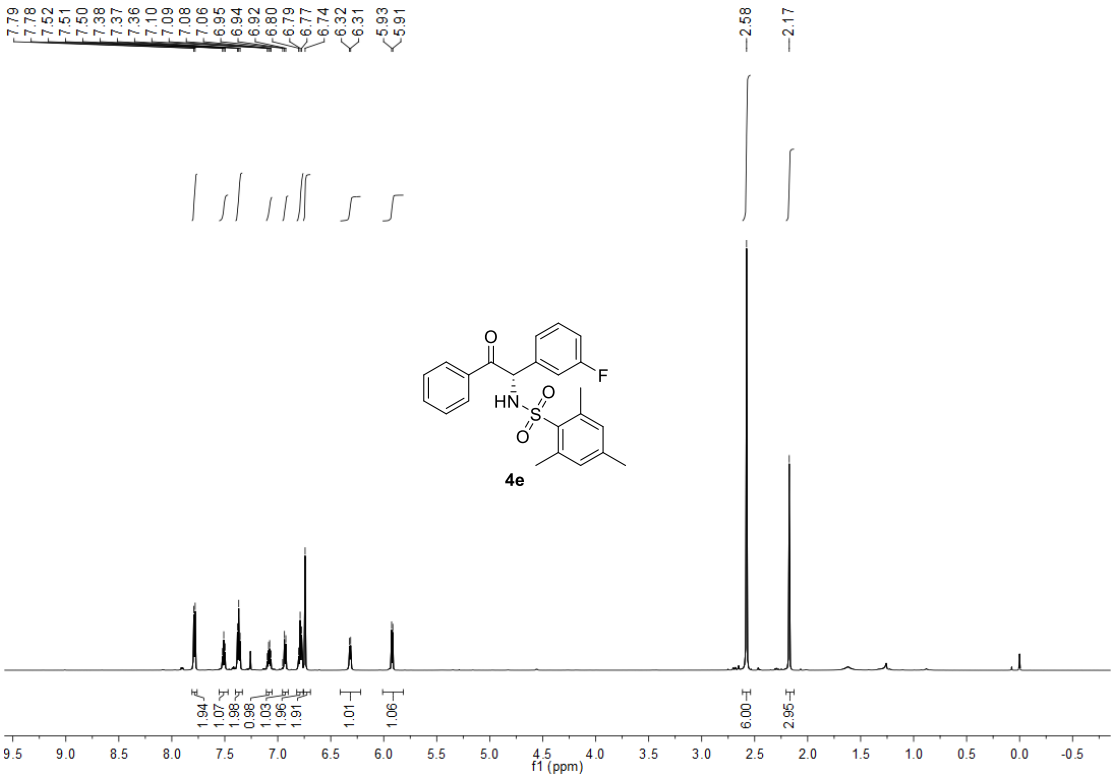
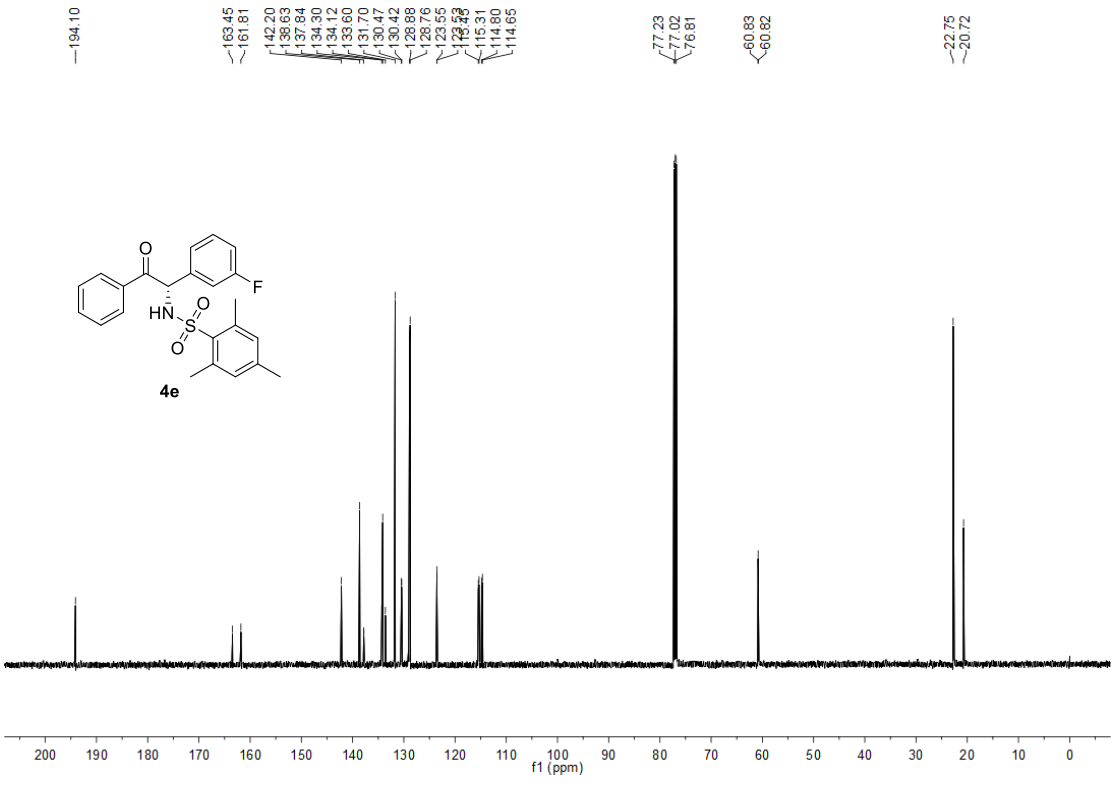
7.79
7.50
7.49
7.48
7.36
7.35
7.34
7.04
7.02
7.01
6.73
6.72
6.64
6.63
6.62
6.62
6.59
6.29
6.28
5.90
5.89
3.65
2.58
2.17
OMe
HN
O
O
S
O
4d
2.02
1.09
2.03
1.09
3.02
1.09
0.98
1.00
1.05
2.98
6.00
3.00
f1 (ppm)


194.45
159.75
141.93
138.63
136.74
134.47
133.88
133.85
131.63
129.91
128.88
128.64
120.24
114.05
113.03
77.23
77.02
76.81
61.41
55.08
22.81
20.75
OMe
HN
O
O
S
O
4d
f1 (ppm)


7.79
7.78
7.52
7.51
7.50
7.38
7.37
7.36
7.10
7.09
7.08
7.06
6.95
6.94
6.92
6.80
6.79
6.77
6.74
6.32
6.31
5.93
5.91
2.58
2.17
O
F
HN
S
O
O
4e
1.94
1.07
1.98
0.98
1.03
1.96
1.91
1.01
1.06
6.00
2.95
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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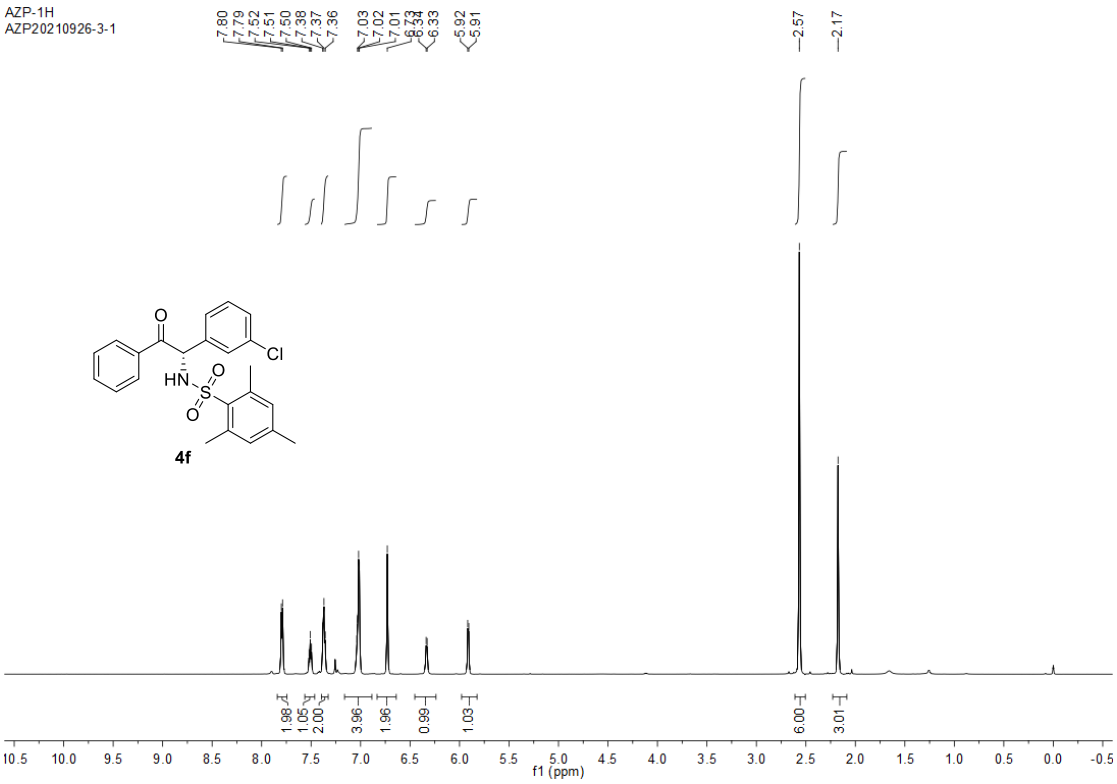
163.45
161.81
142.20
138.63
137.84
134.30
134.12
133.60
131.70
130.47
130.42
128.88
128.76
123.55
115.31
114.80
114.65
77.23
77.02
76.81
60.83
60.82
22.75
20.72
O
F
HN
S
O
O
4e
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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AZP20210926-3-1
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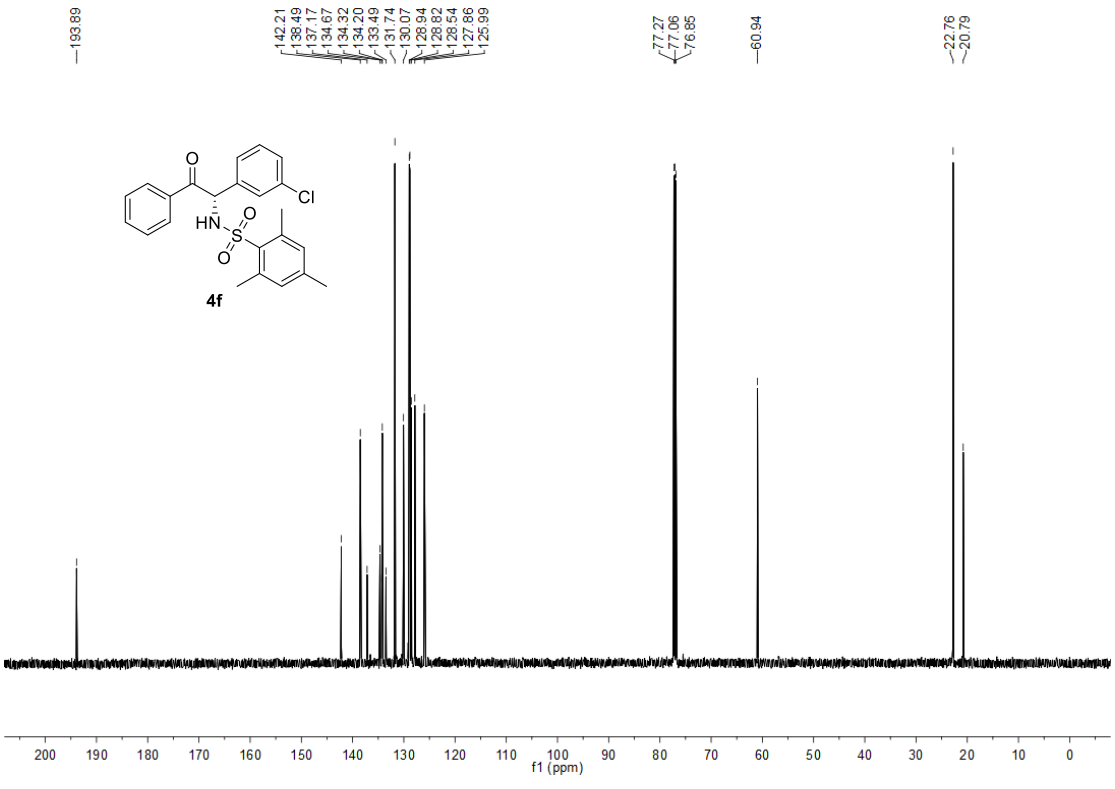
7.79
7.52
7.51
7.50
7.38
7.37
7.36
7.03
7.02
7.01
6.34
6.33
5.92
5.91
2.57
2.17
Cl
HN
O
S
O
O
4f
1.98
1.05
2.00
3.96
1.96
0.99
1.03
6.00
3.01
f1 (ppm)


193.89
142.21
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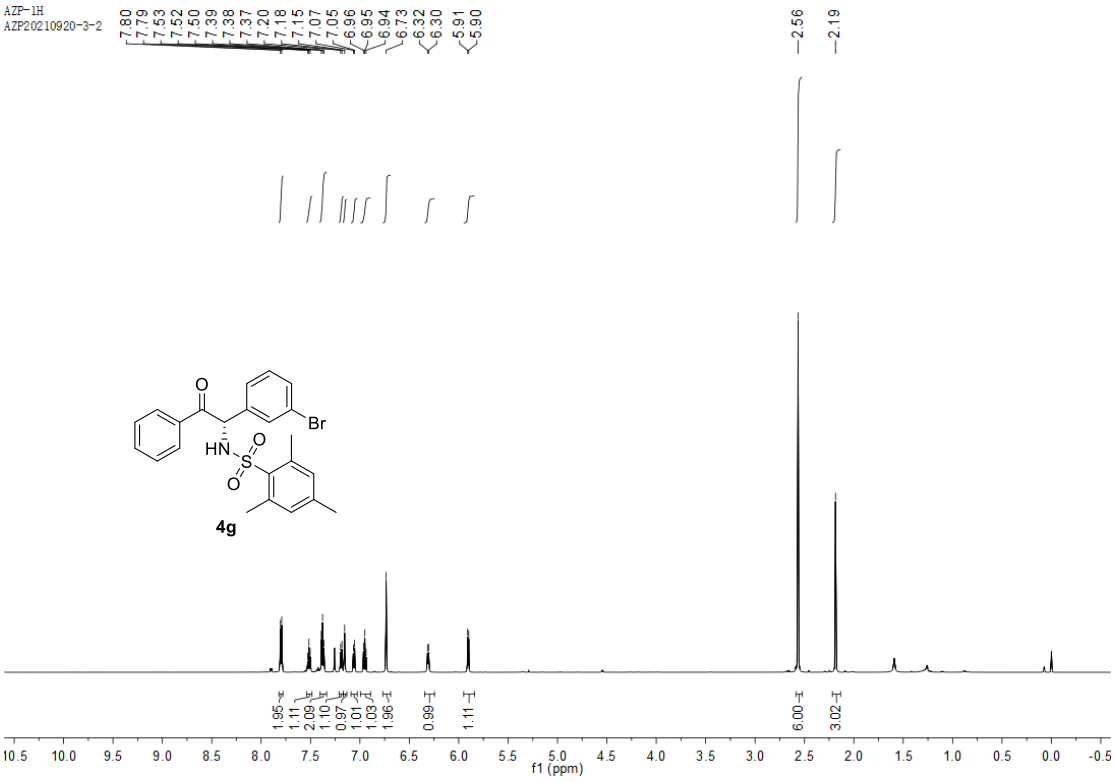
137.17
134.67
134.32
134.20
133.49
131.74
130.07
128.94
128.82
128.54
127.86
125.99
77.27
77.06
76.85
60.94
22.76
20.79
Cl
HN
O
S
O
O
4f
f1 (ppm)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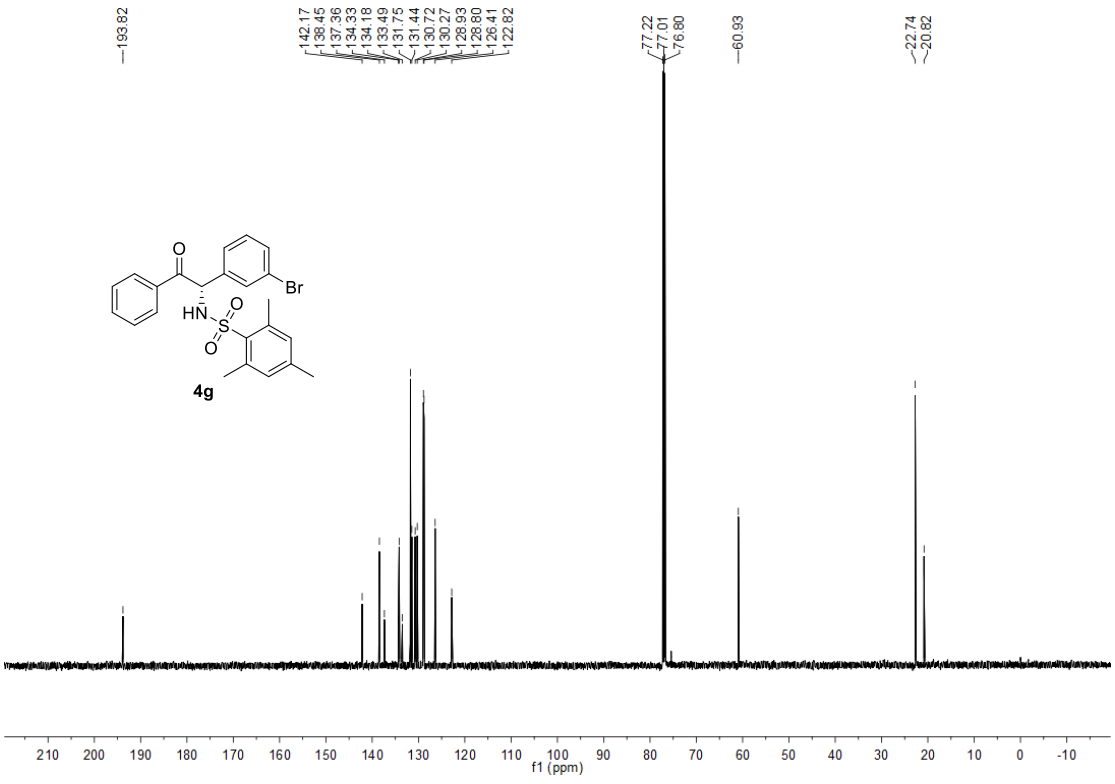
7.79
7.53
7.52
7.50
7.39
7.38
7.37
7.20
7.18
7.15
7.07
7.05
6.96
6.95
6.94
6.73
6.32
6.30
5.91
5.90
2.56
2.19
Br
HN
O
S
O
4g
1.95
1.11
2.09
1.10
0.97
1.01
1.03
1.96
0.99
1.11
6.00
3.02
f1 (ppm)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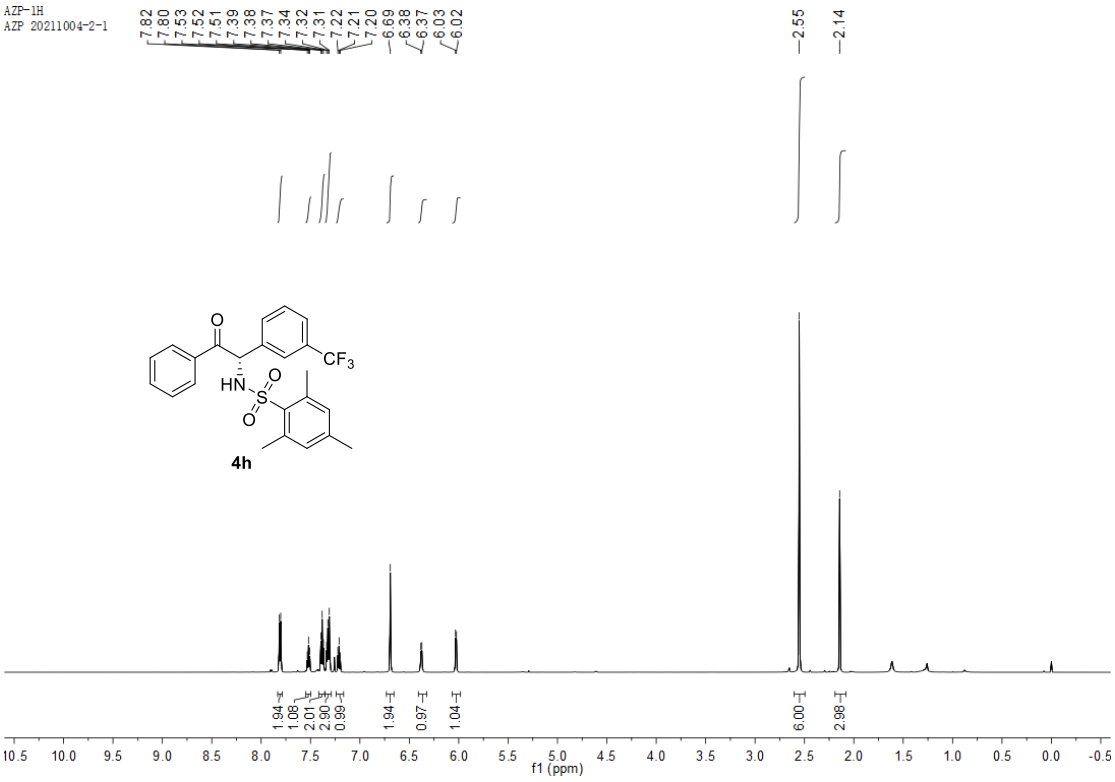
142.17
138.45
137.36
134.33
134.18
133.49
131.75
131.44
130.72
130.27
128.93
128.80
126.41
122.82
77.22
77.01
76.80
60.93
22.74
20.82
Br
HN
O
S
O
4g
f1 (ppm)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AZP 20211004-2-1
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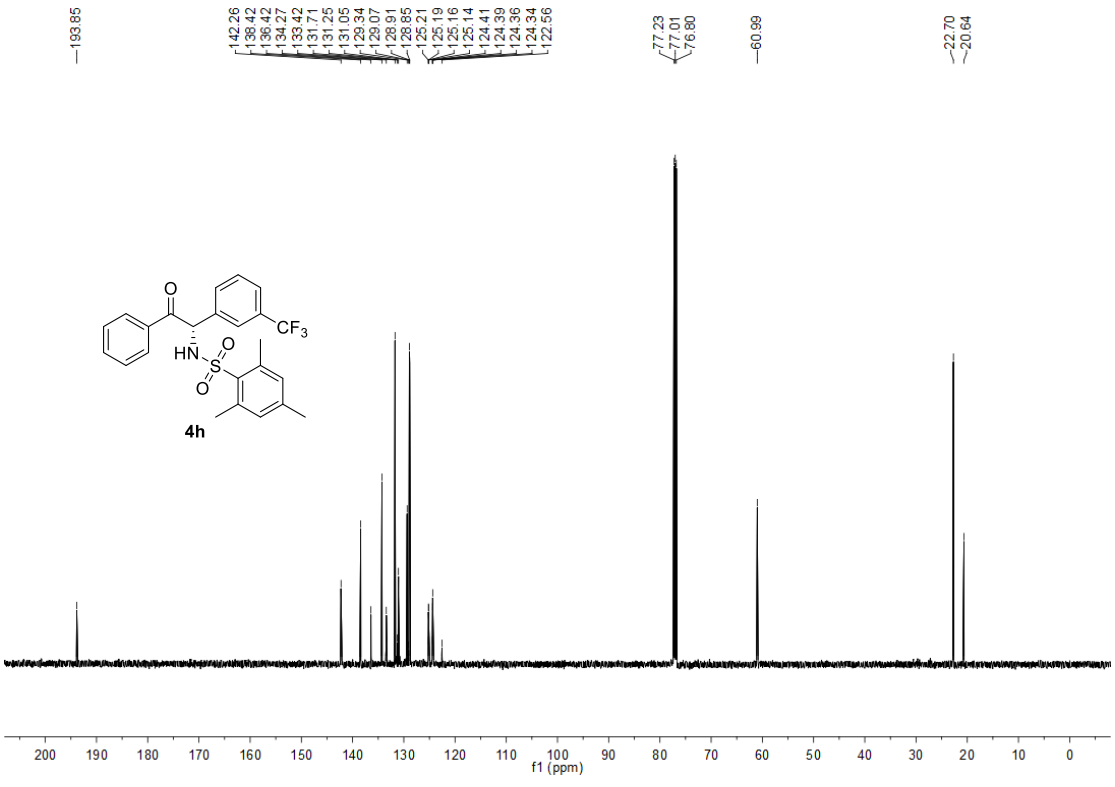
7.53
7.52
7.51
7.39
7.38
7.37
7.34
7.32
7.31
7.22
7.21
7.20
6.69
6.38
6.37
6.03
6.02
2.55
2.14
O
CF3
HN
S
O
O
4h
1.94
1.08
2.01
2.90
0.99
1.94
0.97
1.04
6.00
2.98
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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133.42
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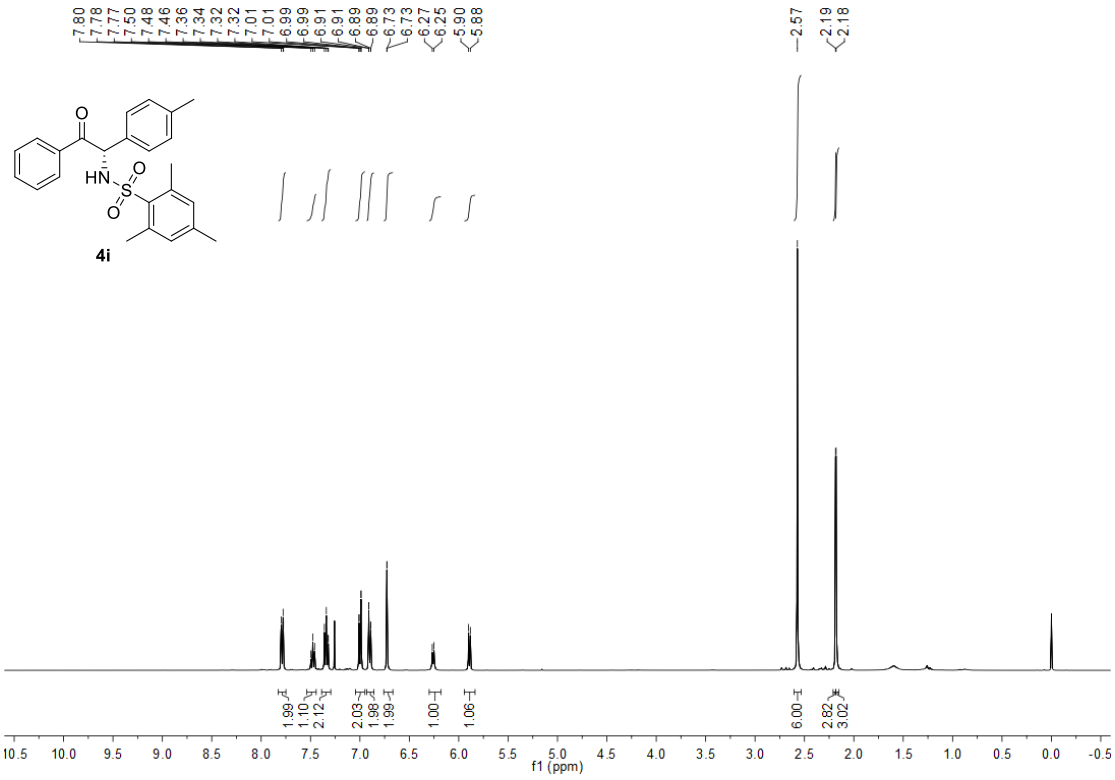
131.25
131.05
129.34
129.07
128.91
128.85
125.21
125.19
125.16
125.14
124.41
124.39
124.36
124.34
122.56
77.23
77.01
76.80
60.99
22.70
20.64
O
CF3
HN
S
O
O
4h
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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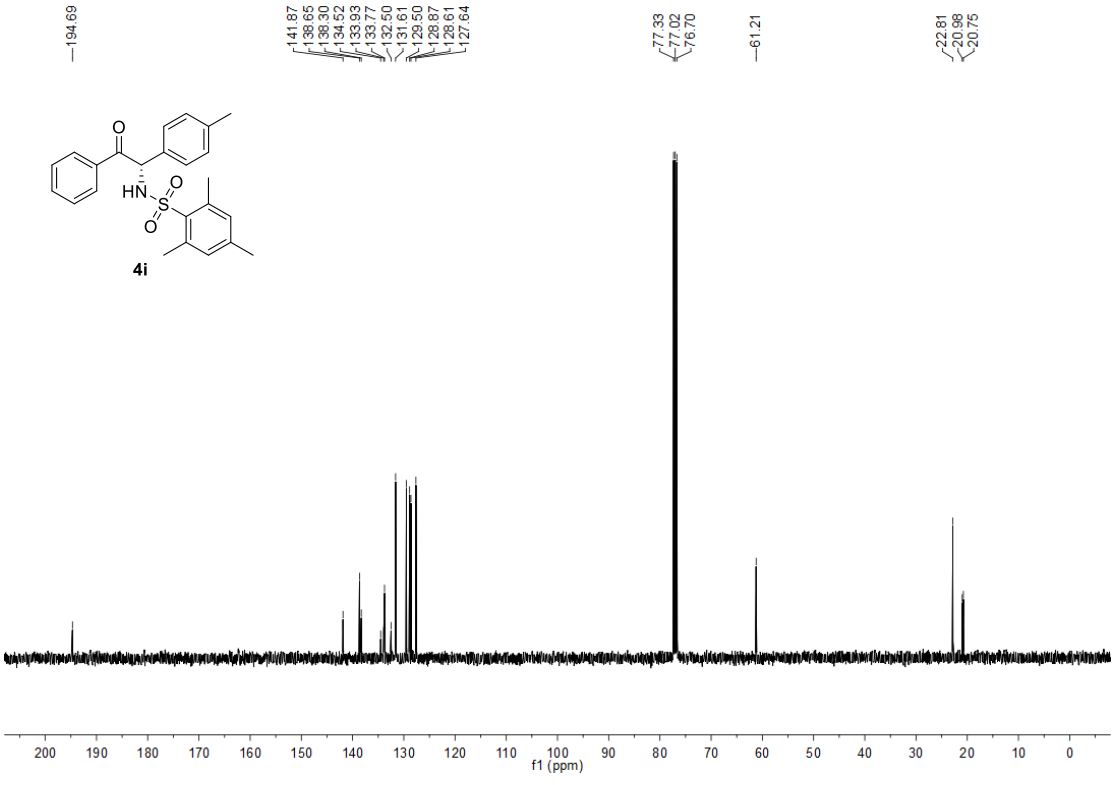
7.46
7.36
7.34
7.32
7.32
7.01
7.01
6.99
6.99
6.91
6.91
6.89
6.89
6.73
6.73
6.27
6.25
5.90
5.88
2.57
2.19
2.18
4i
1.99
1.10
2.12
2.03
1.98
1.99
1.00
1.06
6.00
2.82
3.02
f1 (ppm)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138.30
134.52
133.93
133.77
132.50
131.61
129.50
128.87
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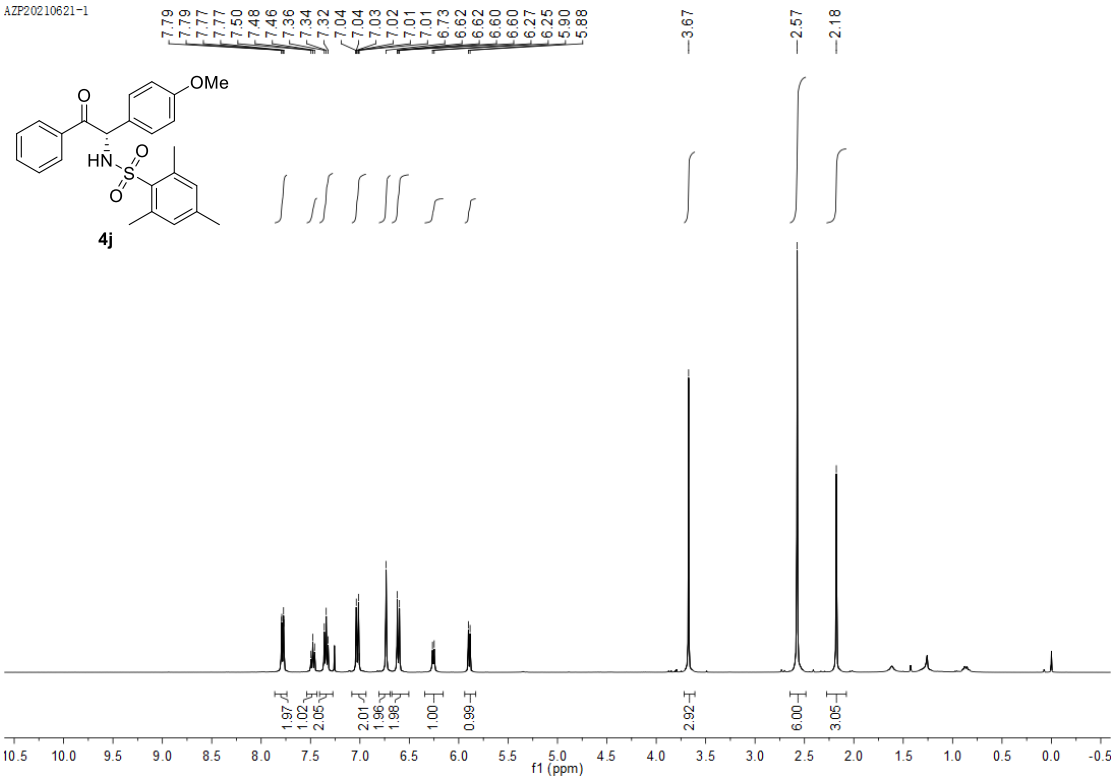
22.81
20.98
20.75
4i
f1 (ppm)


AZP20210621-1
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7.77
7.50
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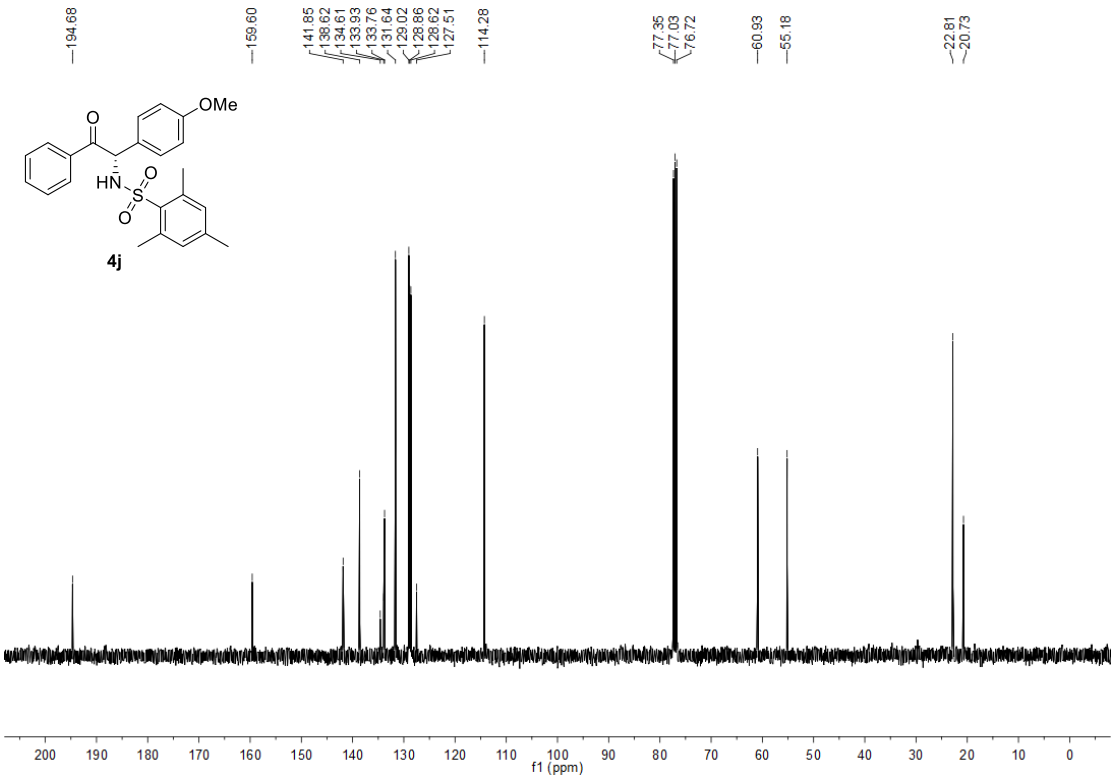
7.46
7.36
7.34
7.32
7.04
7.04
7.03
7.02
7.01
7.01
6.73
6.62
6.62
6.60
6.60
6.27
6.25
5.90
5.88
3.67
2.57
2.18
OMe
O
HN
S
O
O
4j
1.97
1.02
2.05
2.01
1.96
1.98
1.00
0.99
2.92
6.00
3.05
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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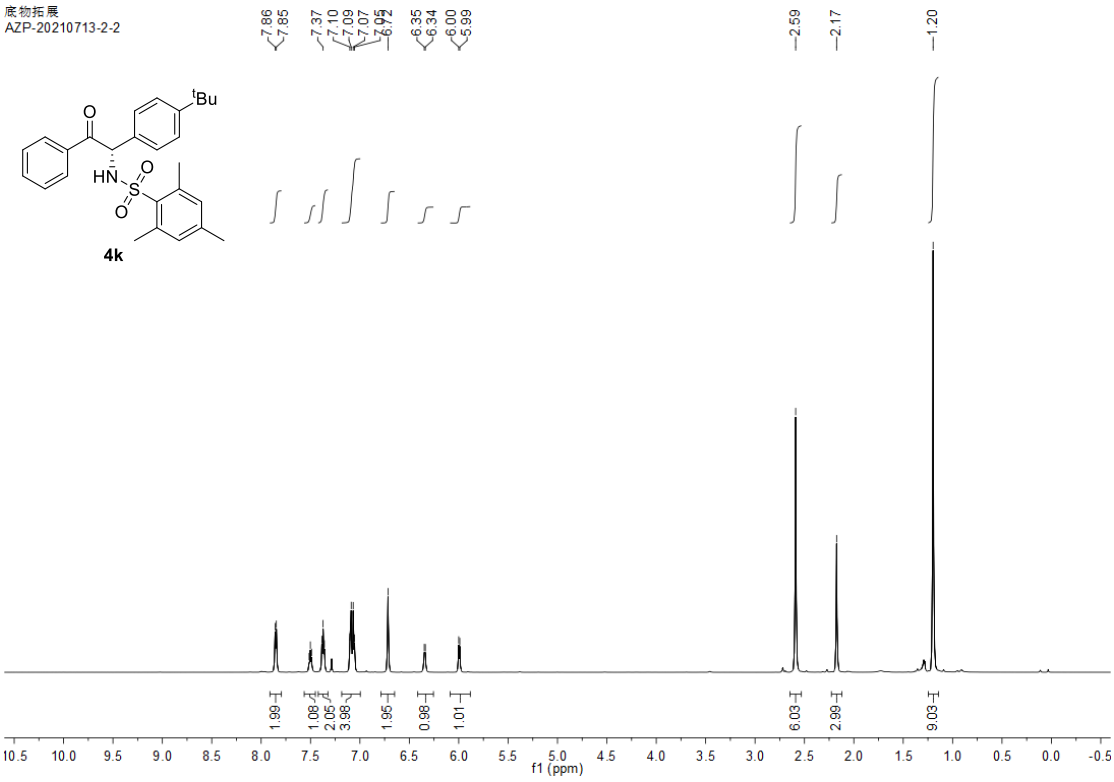
134.61
133.93
133.76
131.64
129.02
128.86
128.62
127.51
114.28
77.35
77.03
76.72
60.93
55.18
22.81
20.73
OMe
O
HN
S
O
O
4j
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


底物拓展
AZP-20210713-2-2
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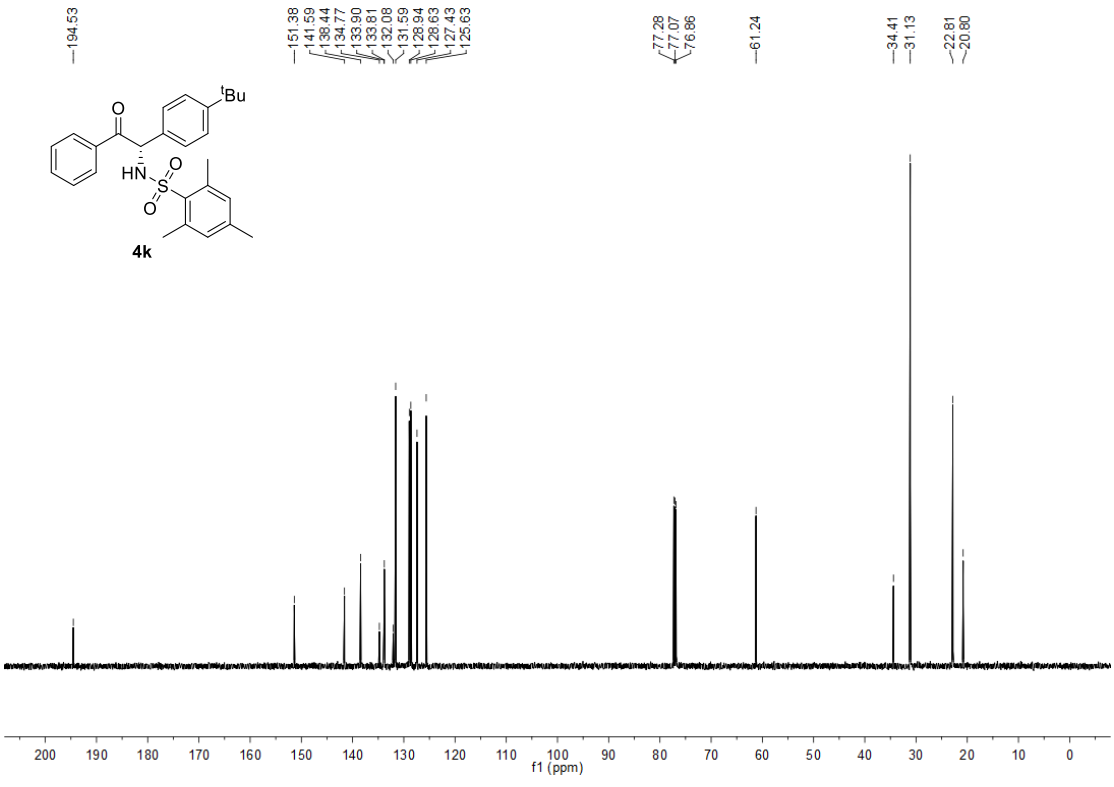
7.85
7.37
7.10
7.09
7.07
6.35
6.34
6.00
5.99
2.59
2.17
1.20
tBu
HN
S
O
4k
1.99
1.08
2.05
3.98
1.95
0.98
1.01
6.03
2.99
9.03
f1 (ppm)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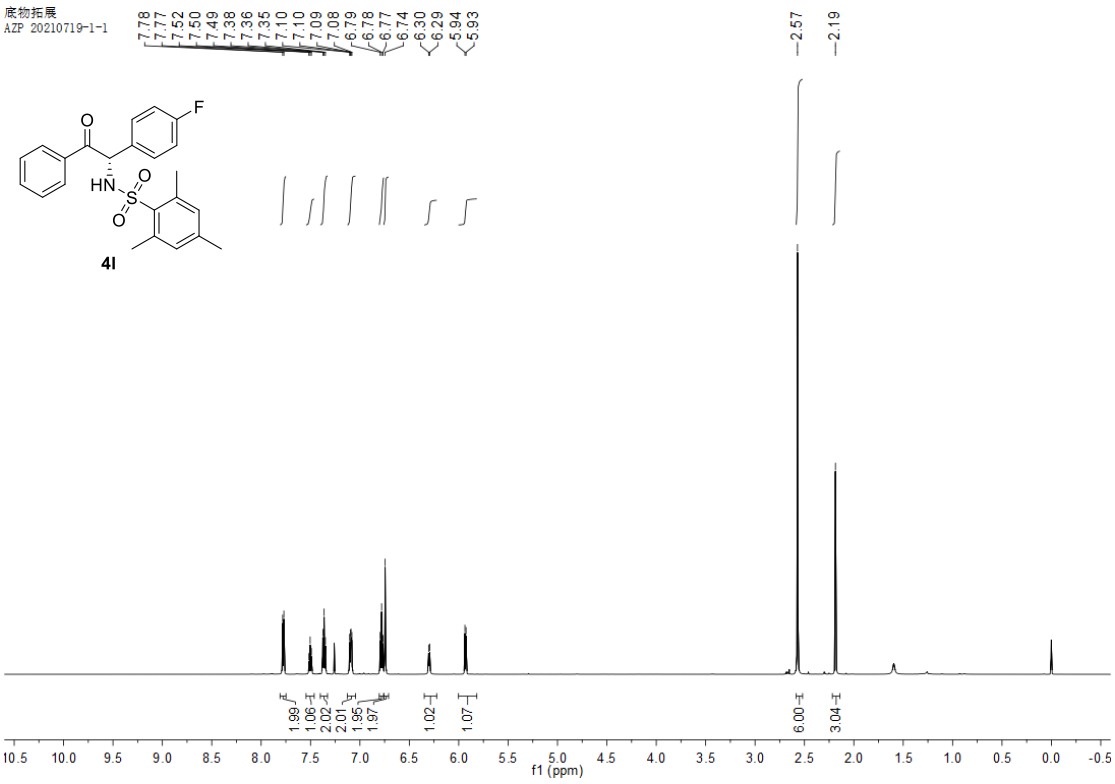
134.77
133.90
133.81
132.08
131.59
128.94
128.63
127.43
125.63
77.28
77.07
76.86
61.24
34.41
31.13
22.81
20.80
tBu
HN
S
O
4k
f1 (ppm)


底物拓展
AZP 20210719-1-1
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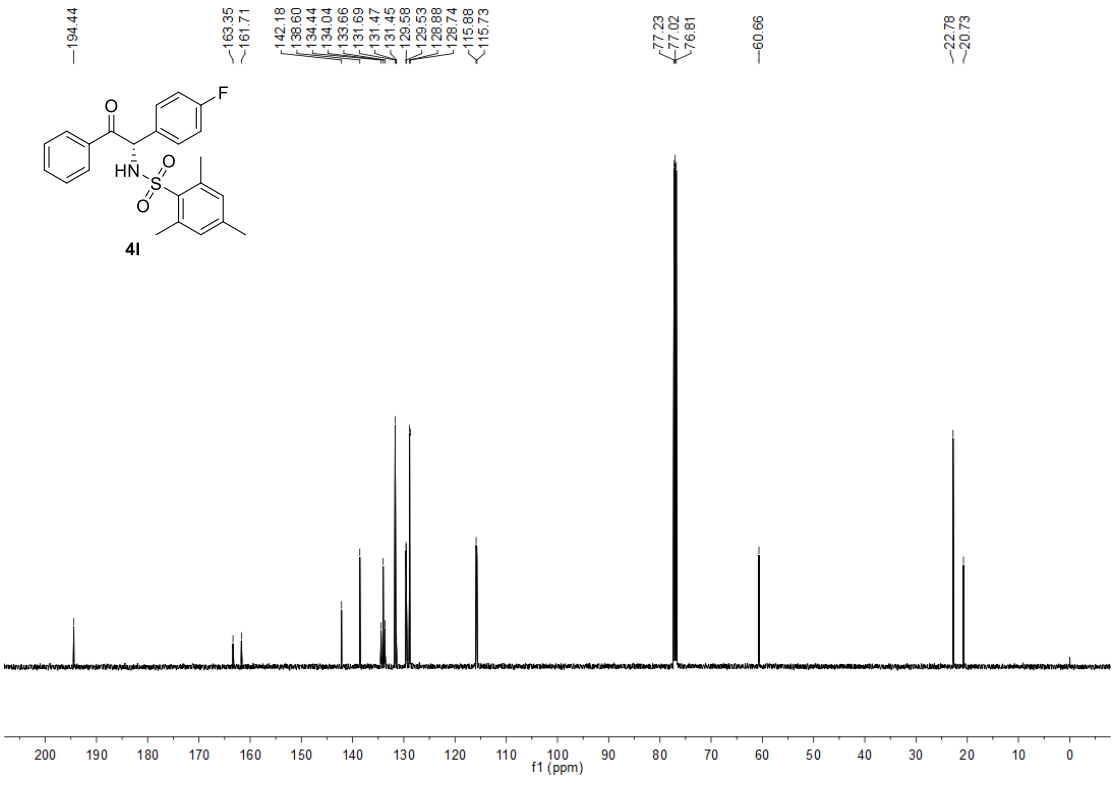
7.77
7.52
7.50
7.49
7.38
7.36
7.35
7.10
7.10
7.09
7.08
6.79
6.78
6.77
6.74
6.30
6.29
5.94
5.93
2.57
2.19
F
O
HN
S
O
O
4l
1.99
1.06
2.02
2.01
1.95
1.97
1.02
1.07
6.00
3.04
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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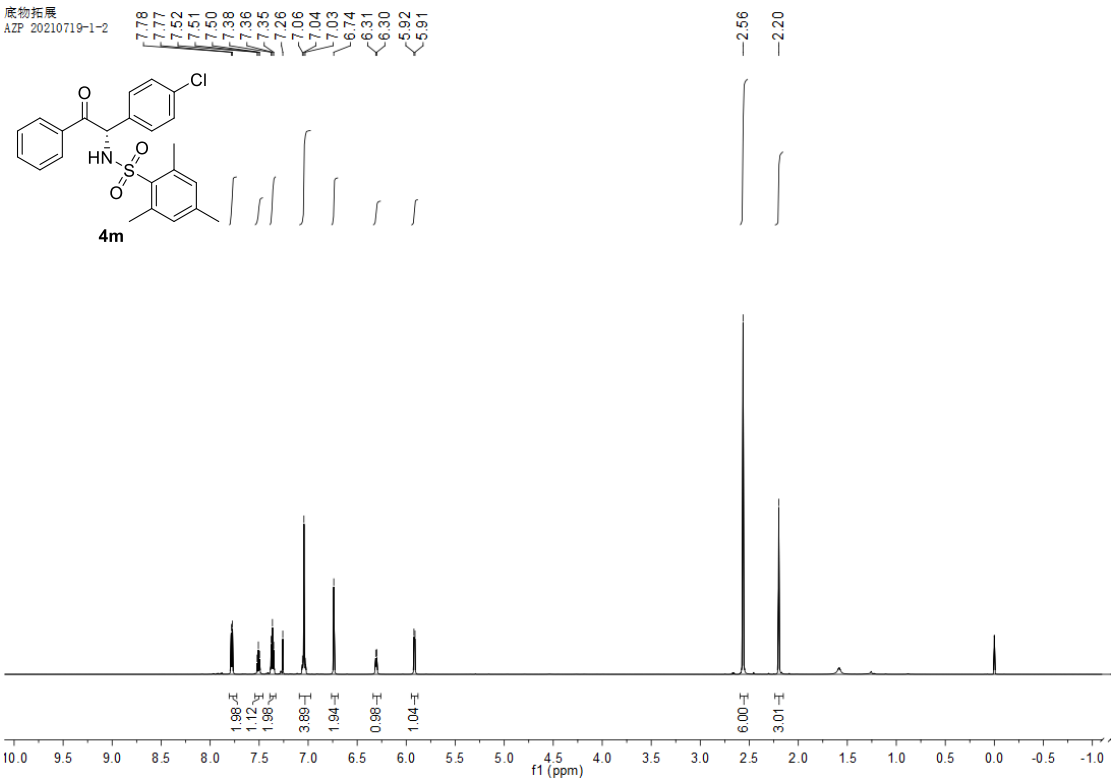
163.35
161.71
142.18
136.60
134.44
134.04
133.66
131.69
131.47
131.45
129.58
129.53
128.88
128.74
115.88
115.73
77.23
77.02
76.81
60.66
22.78
20.73
F
O
HN
S
O
O
4l
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


底物拓展
AZP 20210719-1-2
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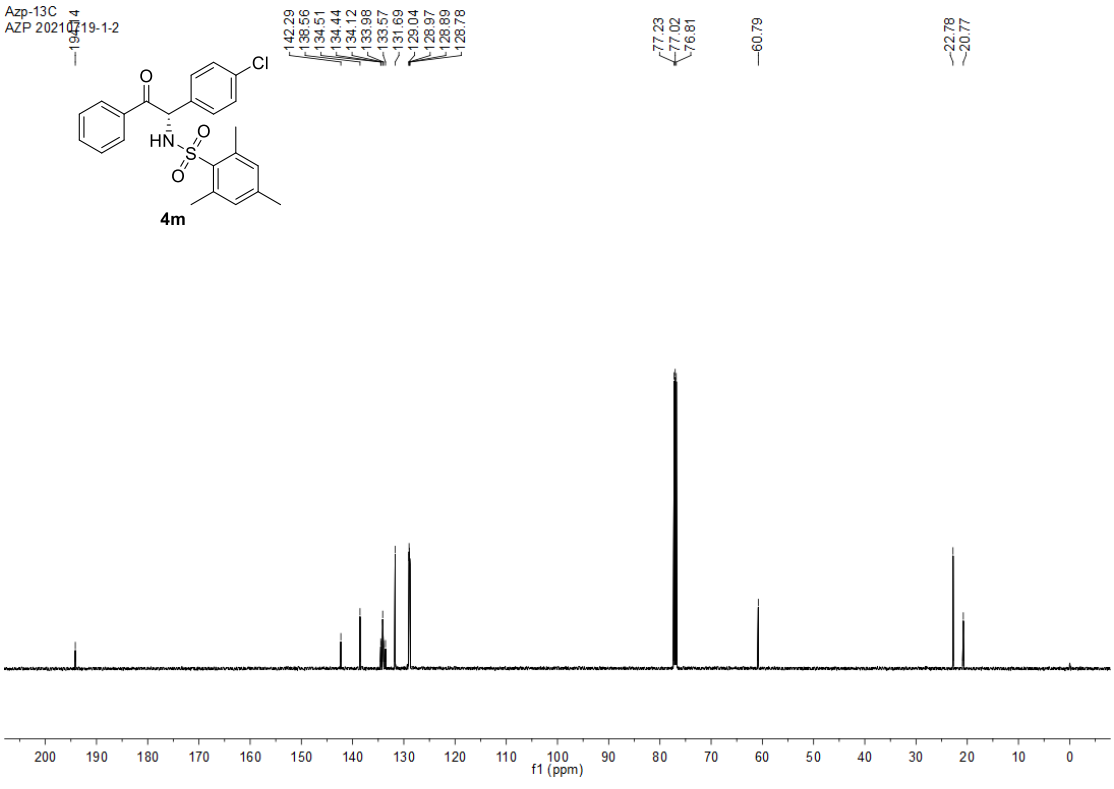
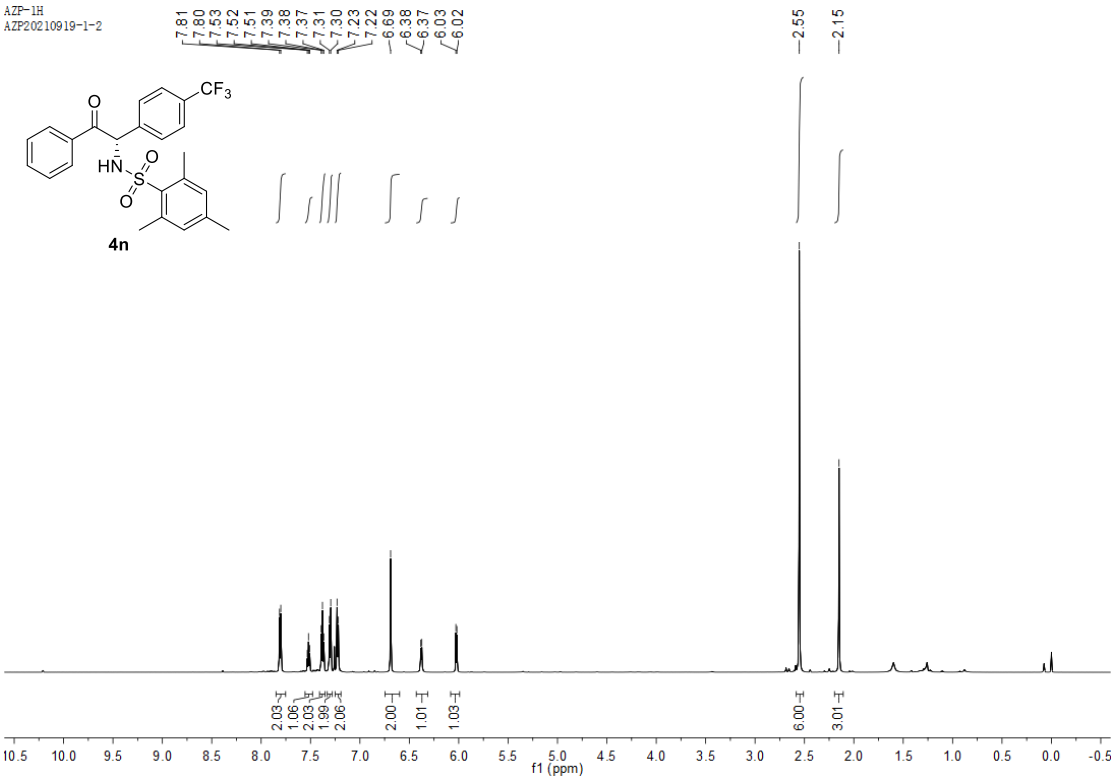
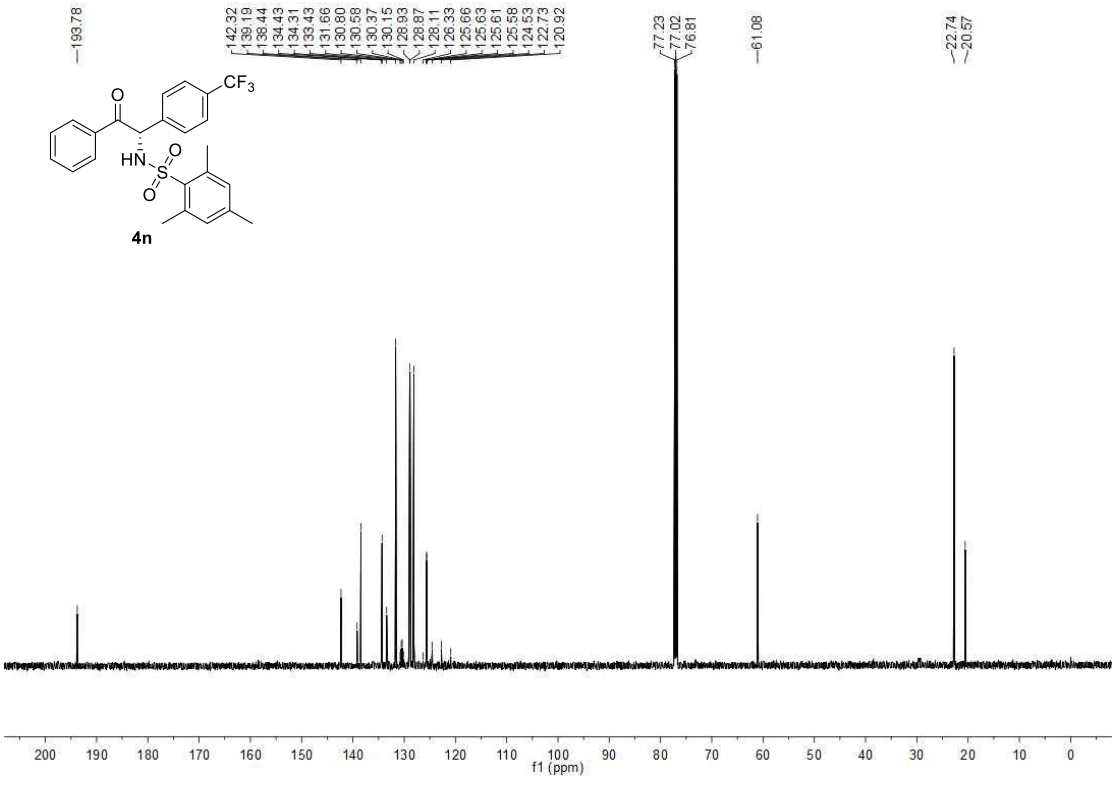
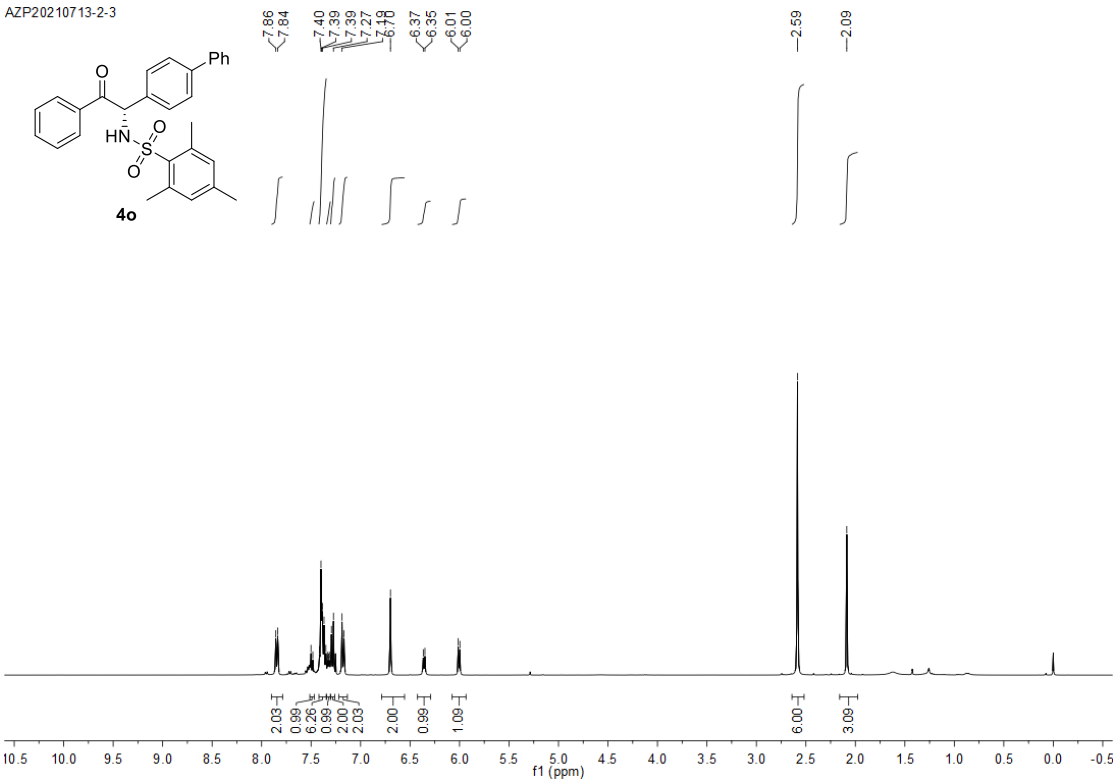
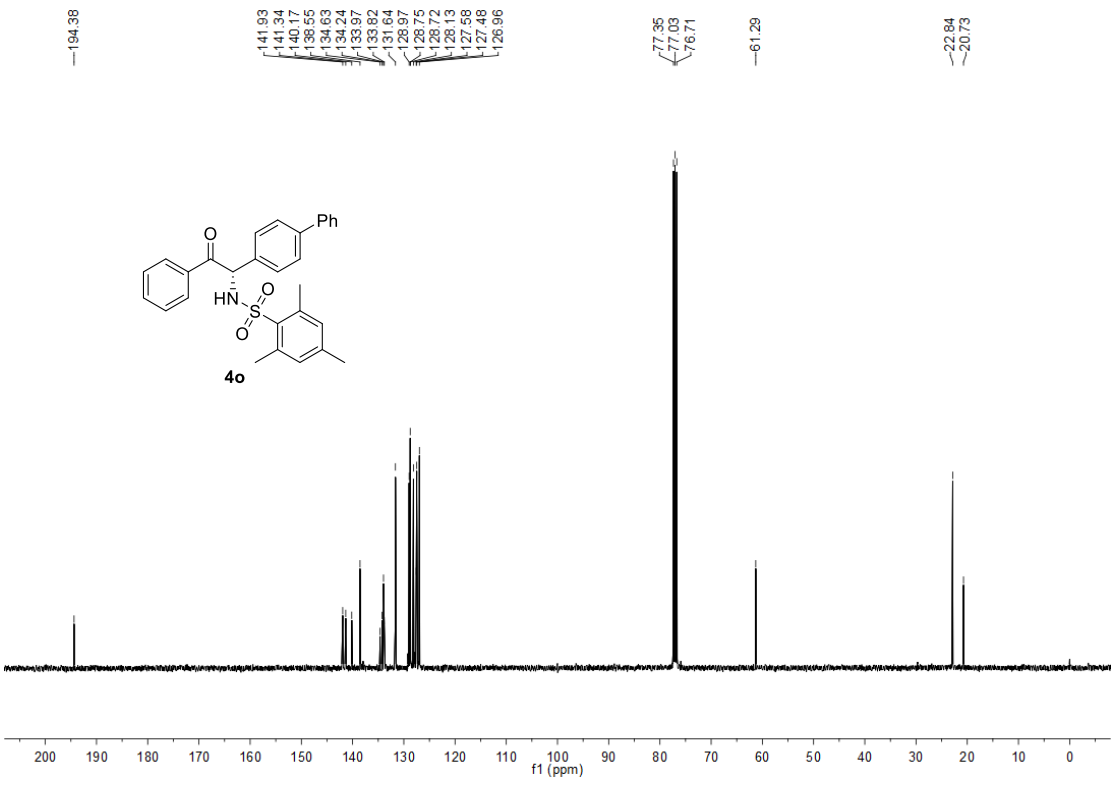
7.77
7.52
7.51
7.50
7.38
7.36
7.35
7.26
7.06
7.04
7.03
6.74
6.31
6.30
5.92
5.91
2.56
2.20
Cl
O
HN
S
O
O
4m
1.98
1.12
1.98
3.89
1.94
0.98
1.04
6.00
3.01
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.0
f1 (ppm)

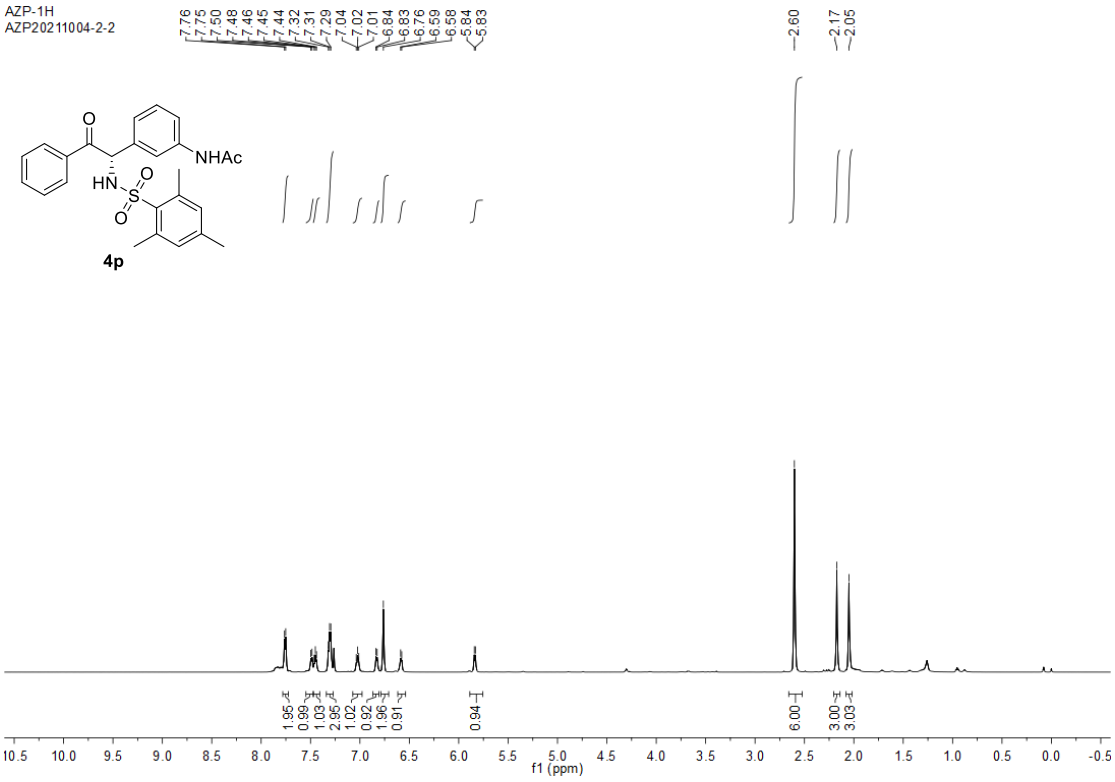

Azp-13C
AZP 20210719-1-2
194.14
142.29
138.56
134.51
134.44
134.12
133.98
133.57
131.69
129.04
128.97
128.89
128.78
77.23
77.02
76.81
60.79
22.78
20.77
Cl
O
HN
S
O
O
4m
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

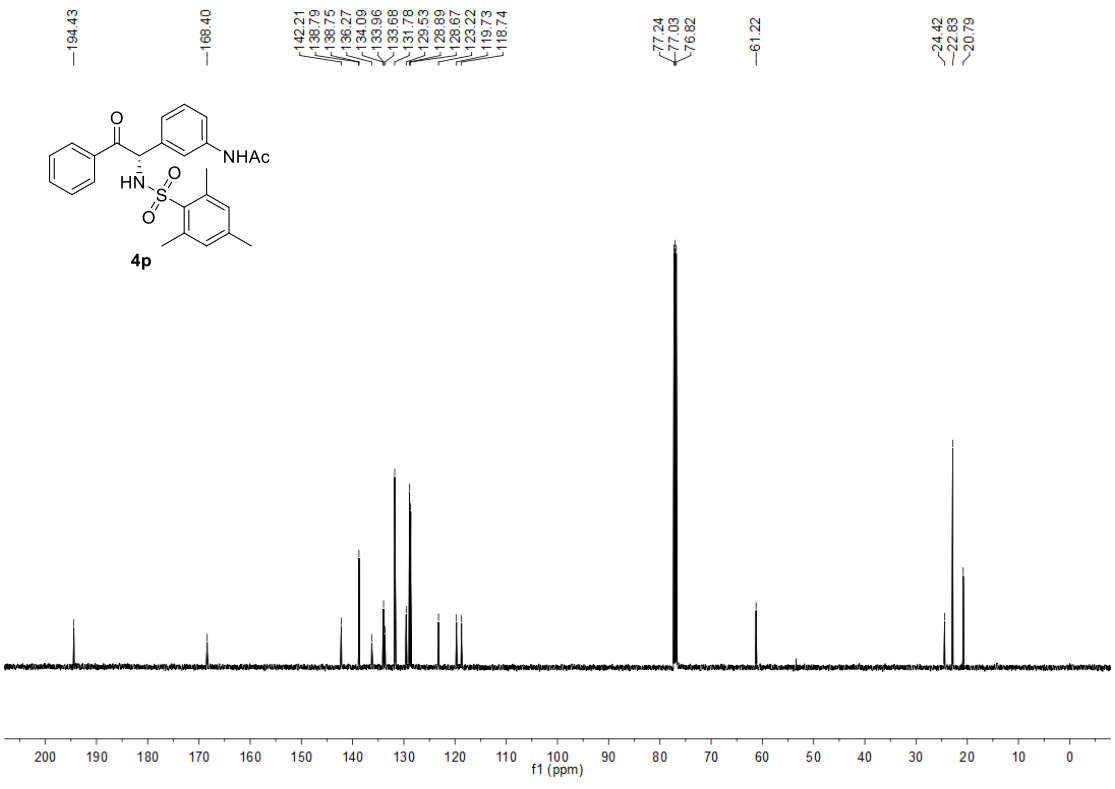

AZP-1H
AZP20210919-1-2
7.81
7.80
7.53
7.52
7.51
7.39
7.38
7.37
7.31
7.30
7.23
7.22
6.69
6.38
6.37
6.03
6.02
2.55
2.15
CF3
O
HN
S
O
O
4n
2.03
1.06
2.03
1.99
2.06
2.00
1.01
1.03
6.00
3.01
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

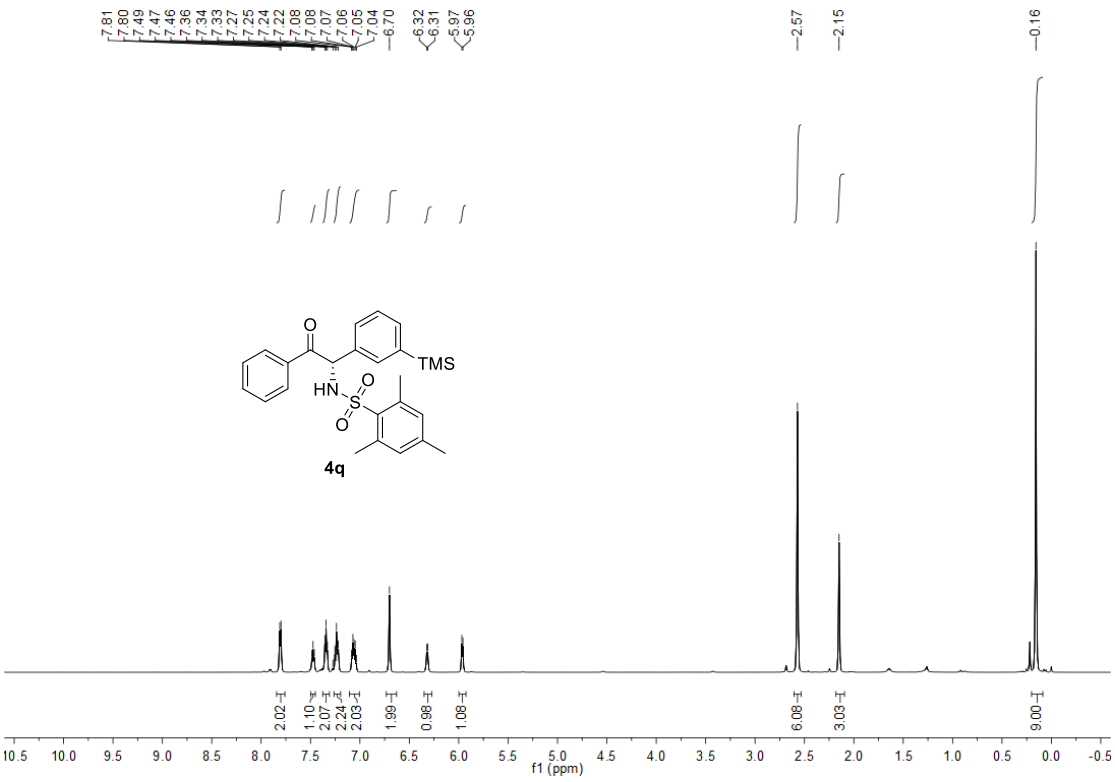

193.78
142.32
139.19
138.44
134.43
134.31
133.43
131.66
130.80
130.58
130.37
130.15
128.93
128.87
128.11
126.33
125.66
125.63
125.61
125.58
124.53
122.73
120.92
77.23
77.02
76.81
61.08
22.74
20.57
CF3
O
HN
S
O
O
4n
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

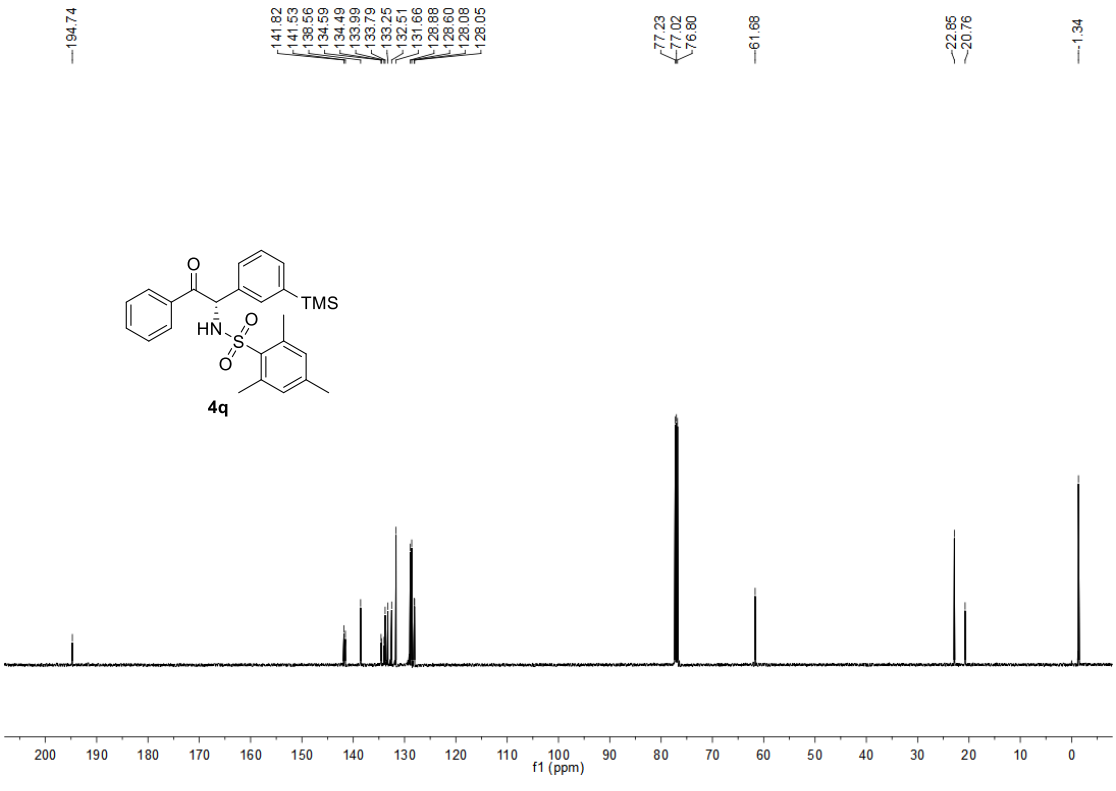

AZP20210713-2-3
Ph
O
HN
S
O
O
4o
7.86
7.84
7.40
7.39
7.39
7.27
6.37
6.35
6.01
6.00
2.59
2.09
2.03
0.99
6.26
0.99
2.00
2.03
2.00
0.99
1.09
6.00
3.09
f1 (ppm)

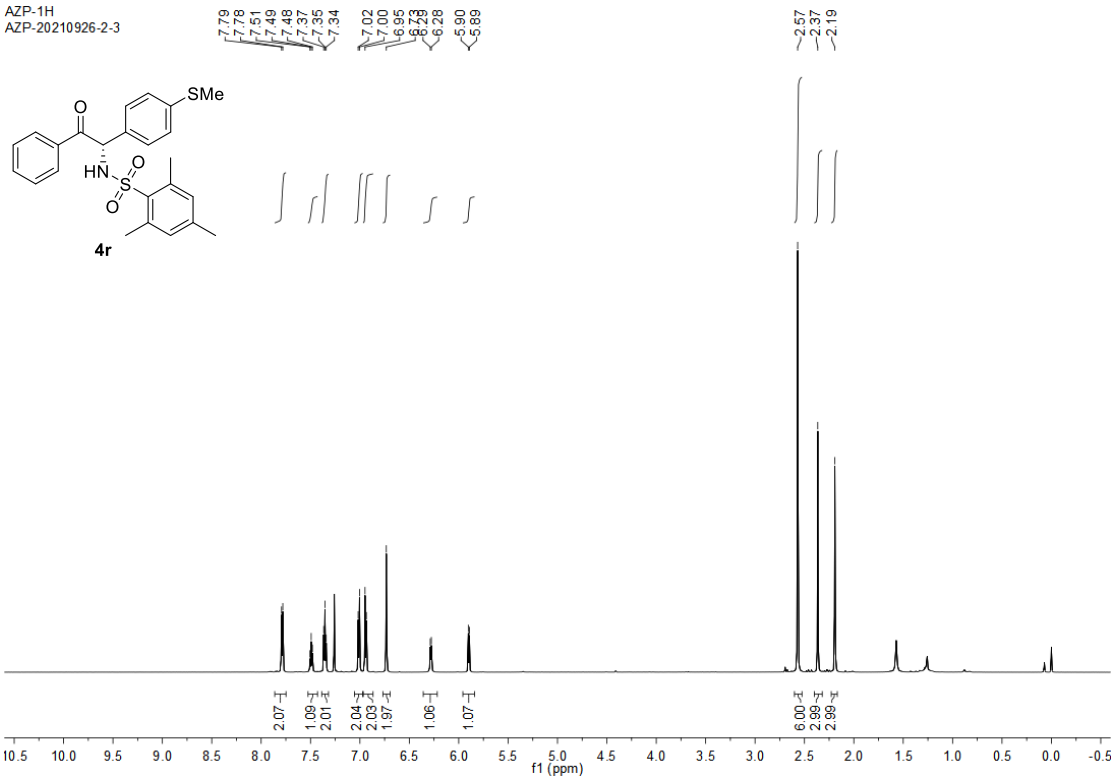

194.38
141.93
141.34
140.17
138.55
134.63
134.24
133.97
133.82
131.64
128.97
128.75
128.72
128.13
127.58
127.48
126.96
77.35
77.03
76.71
61.29
22.84
20.73
Ph
O
HN
S
O
O
4o
f1 (ppm)

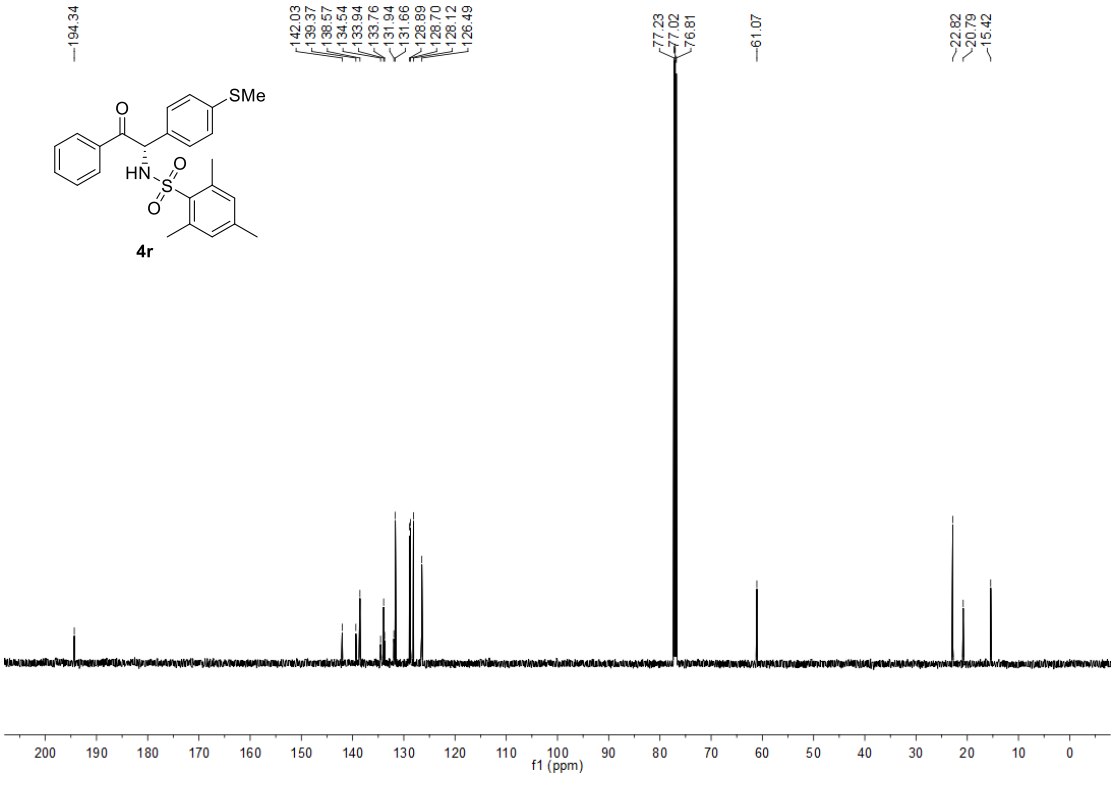

AZP-1H
AZP20211004-2-2
7.76
7.75
7.50
7.48
7.46
7.45
7.44
7.32
7.31
7.29
7.04
7.02
7.01
6.84
6.83
6.76
6.59
6.58
5.84
5.83
2.60
2.17
2.05
NHAc
HN
S
O
4p
1.95
0.99
1.03
2.95
1.02
0.92
1.96
0.91
0.94
6.00
3.00
3.03
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

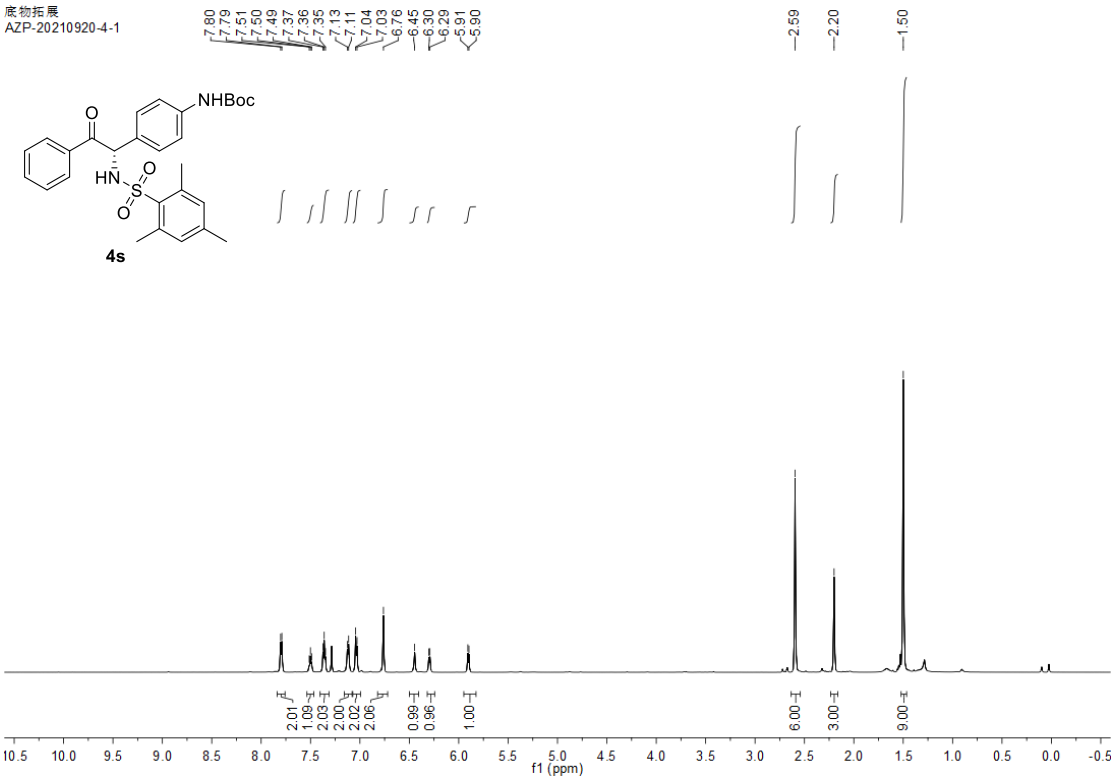

194.43
168.40
142.21
138.79
138.75
136.27
134.09
133.96
133.68
131.78
129.53
128.89
128.67
123.22
119.73
118.74
77.24
77.03
76.82
61.22
24.42
22.83
20.79
NHAc
HN
S
O
4p
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

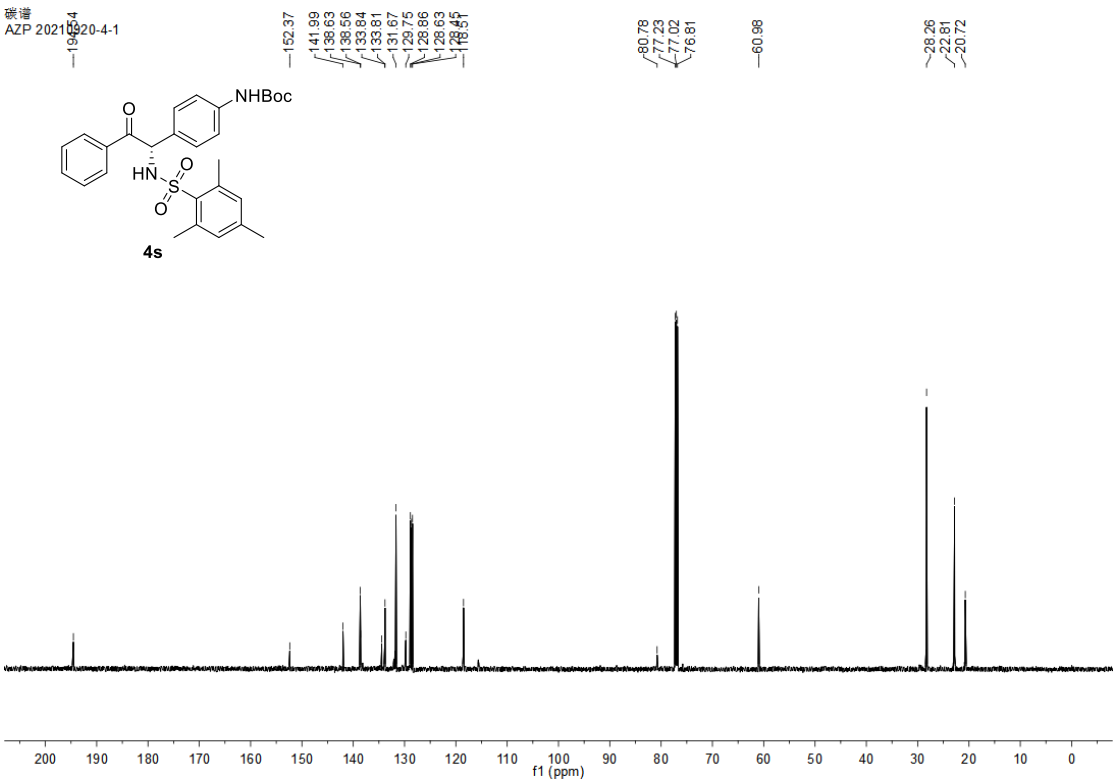

7.81
7.80
7.49
7.47
7.46
7.36
7.34
7.33
7.27
7.25
7.24
7.22
7.08
7.08
7.07
7.06
7.05
7.04
6.70
6.32
6.31
5.97
5.96
2.57
2.15
0.16
TMS
HN
S
O
4q
2.02
1.10
2.07
2.24
2.03
1.99
0.98
1.08
6.08
3.03
9.00
f1 (ppm)

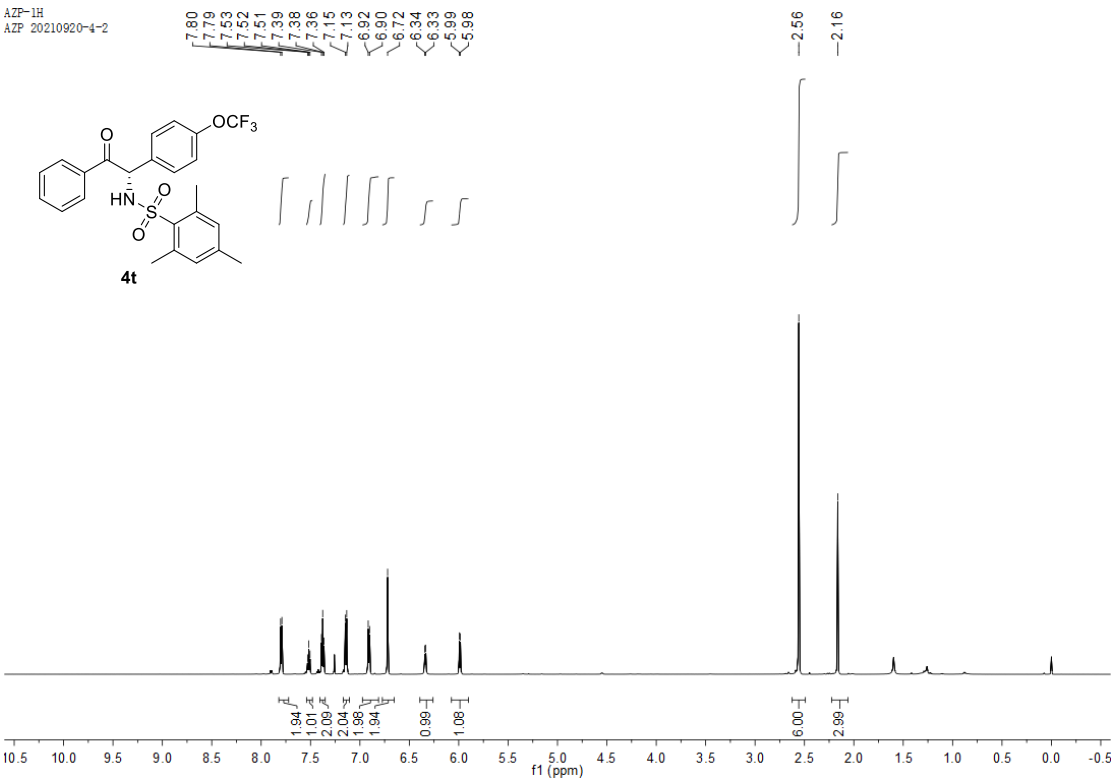

194.74
141.82
141.53
138.56
134.59
134.49
133.99
133.79
133.25
132.51
131.66
128.88
128.60
128.08
128.05
77.23
77.02
76.80
61.68
22.85
20.76
1.34
TMS
HN
S
O
4q
f1 (ppm)

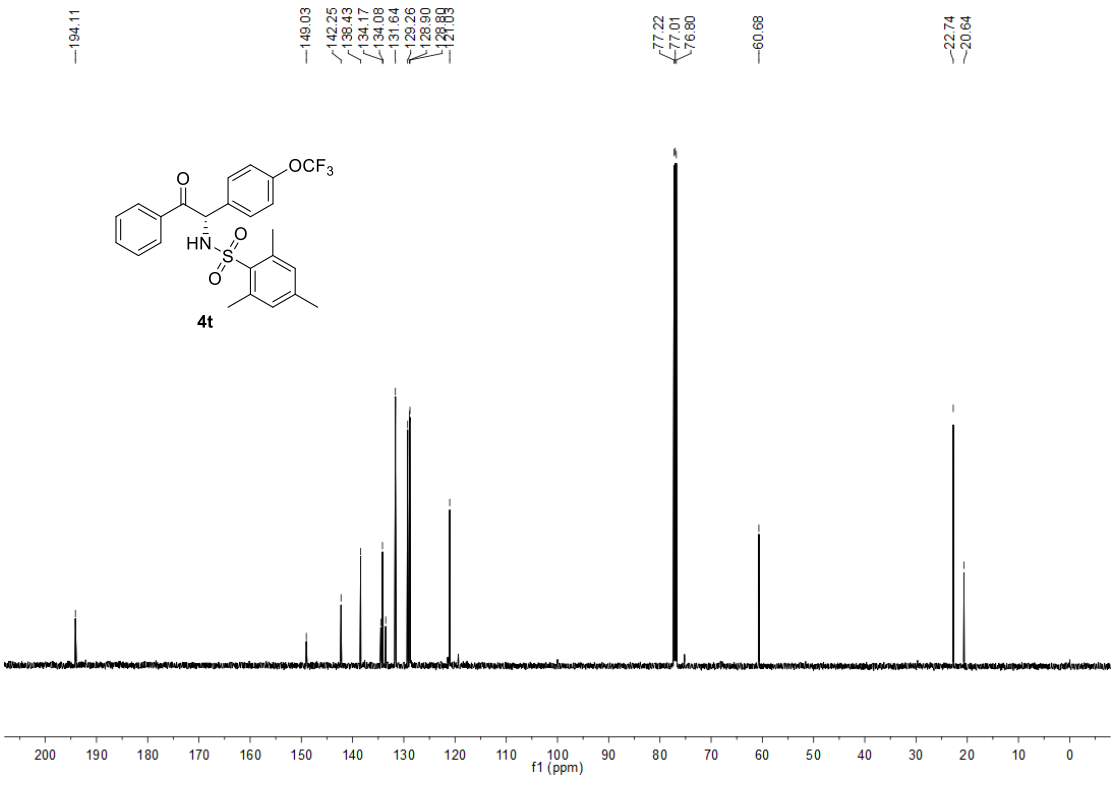

AZP-1H
AZP-20210926-2-3
7.79
7.78
7.51
7.49
7.48
7.37
7.35
7.34
7.02
7.00
6.95
6.73
6.29
6.28
5.90
5.89
2.57
2.37
2.19
SMe
HN
O
S
4r
2.07
1.09
2.01
2.04
2.03
1.97
1.06
1.07
6.00
2.99
2.99
f1 (ppm)

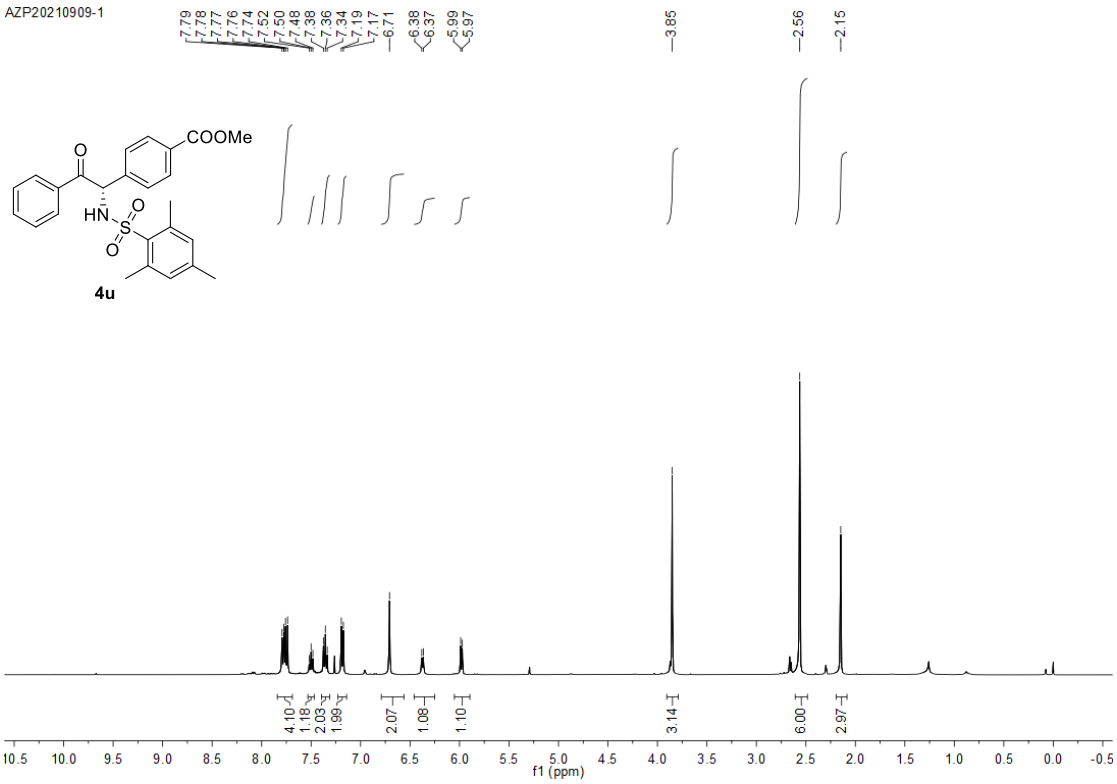

194.34
142.03
139.37
138.57
134.54
133.94
133.76
131.94
131.66
128.89
128.70
128.12
126.49
77.23
77.02
76.81
61.07
22.82
20.79
15.42
SMe
HN
O
S
4r
f1 (ppm)

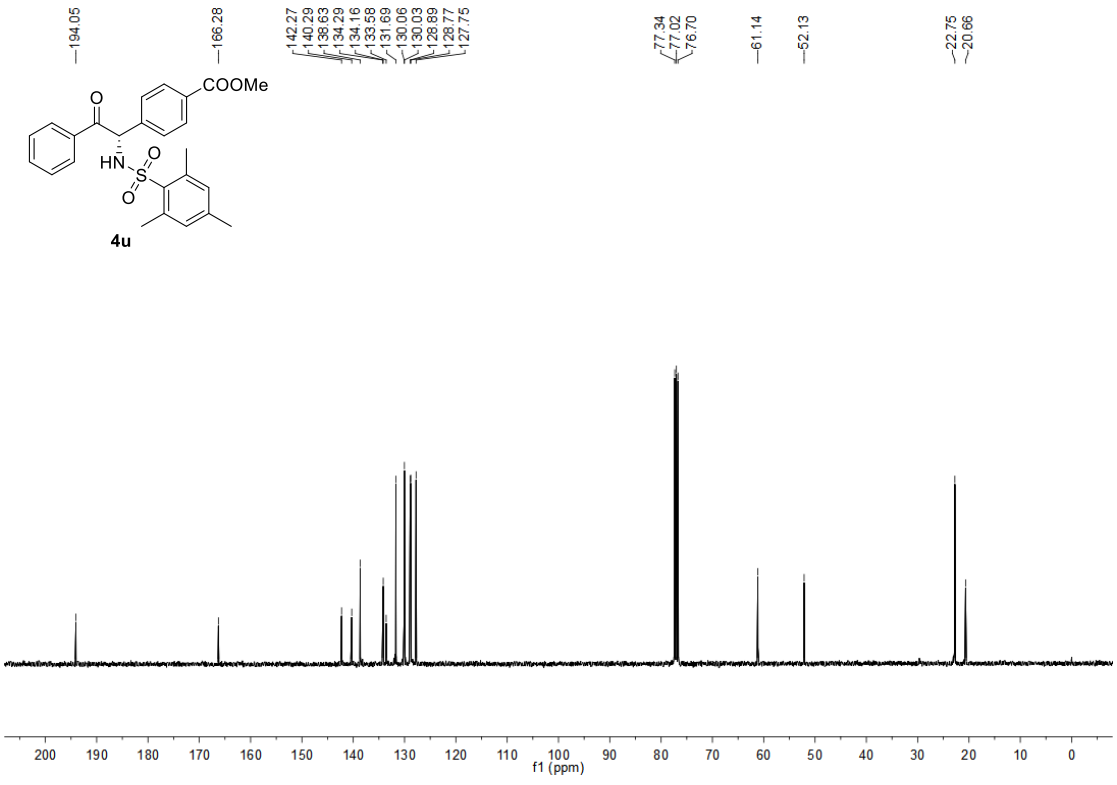

底物拓展
AZP-20210920-4-1
NHBoc
HN
4s
f1 (ppm)

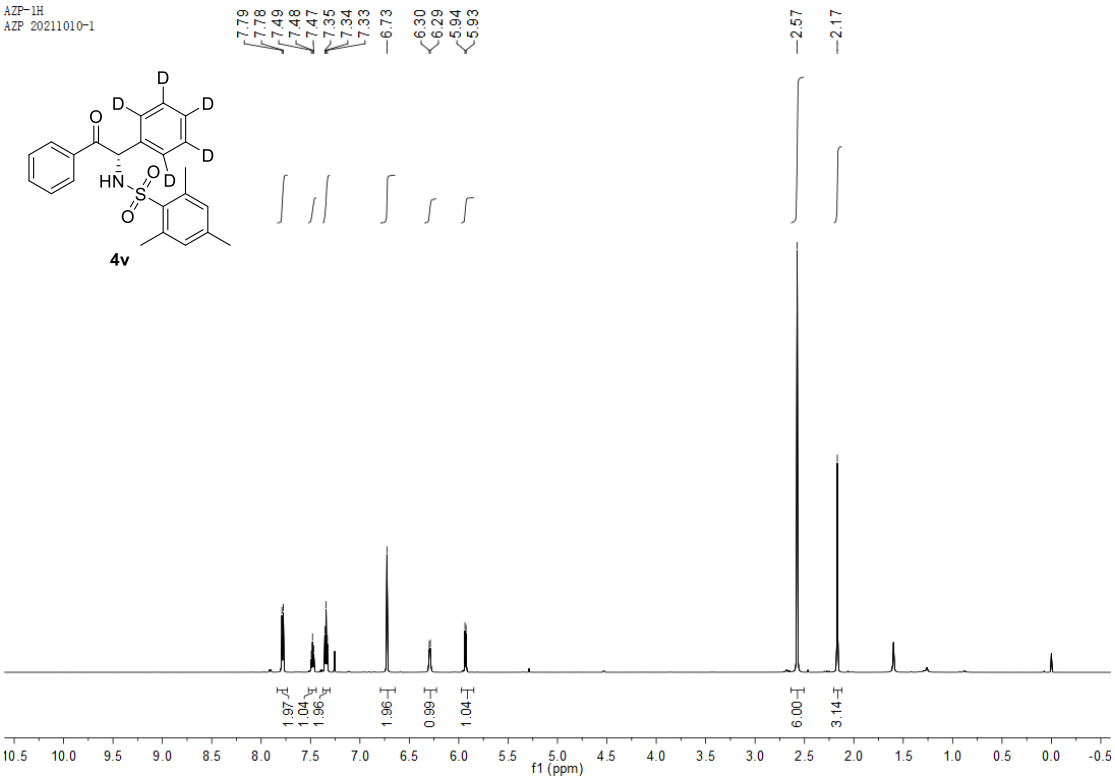

碳谱
AZP 20210920-4-1
NHBoc
HN
4s
f1 (ppm)

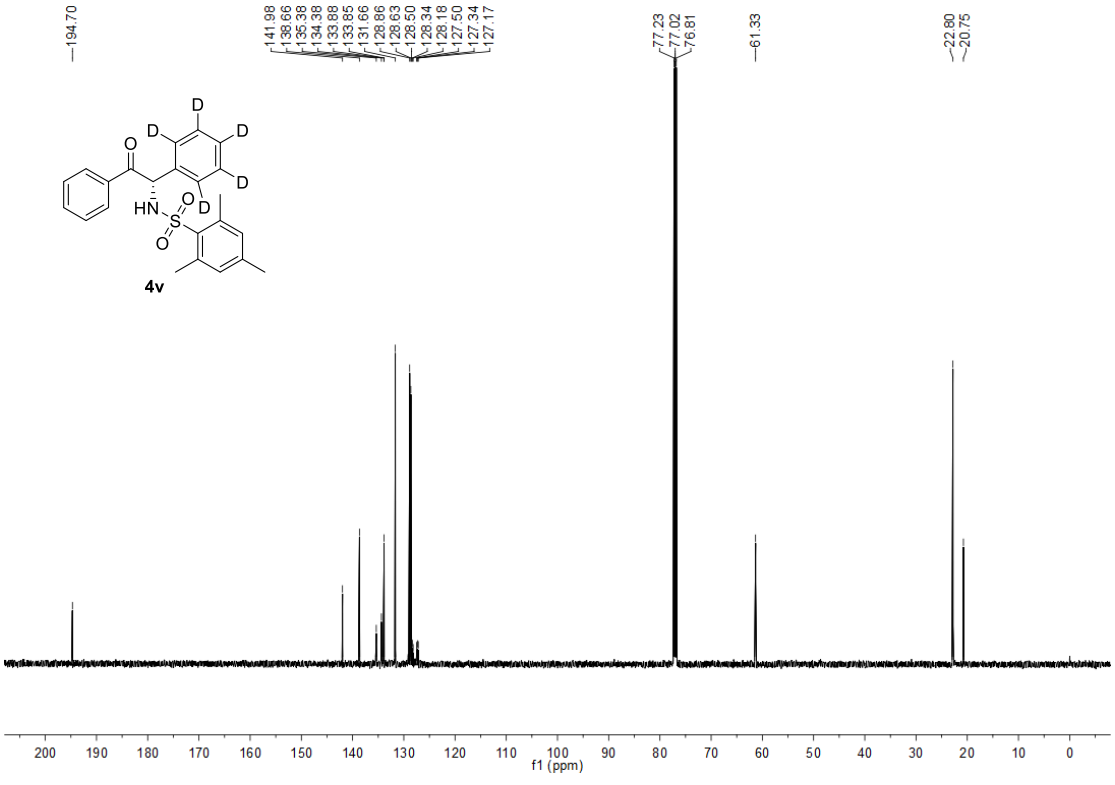

AZP-1H
AZP 20210920-4-2
7.80
7.79
7.53
7.52
7.51
7.39
7.38
7.36
7.15
7.13
6.92
6.90
6.72
6.34
6.33
5.99
5.98
2.56
2.16
OCF3
O
HN
S
O
O
4t
1.94
1.01
2.09
2.04
1.98
1.94
0.99
1.08
6.00
2.99
f1 (ppm)

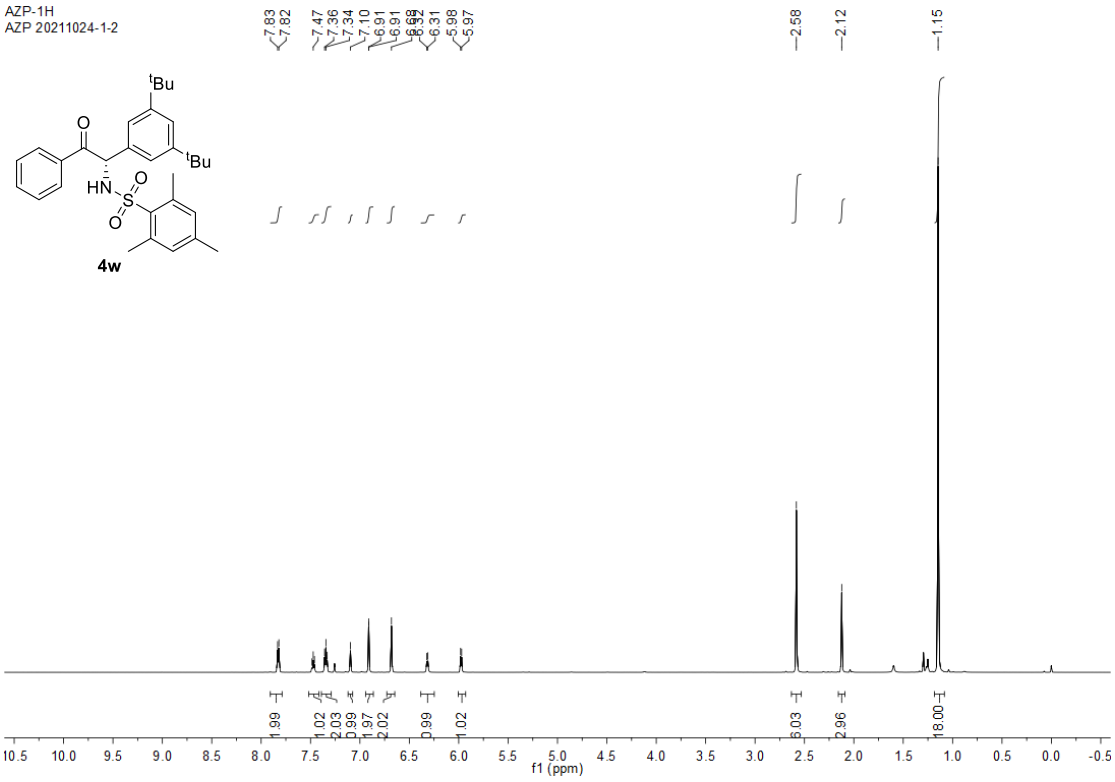

194.11
149.03
142.25
138.43
134.17
134.08
131.64
129.26
128.90
77.22
77.01
76.80
60.68
22.74
20.64
OCF3
O
HN
S
O
O
4t
f1 (ppm)

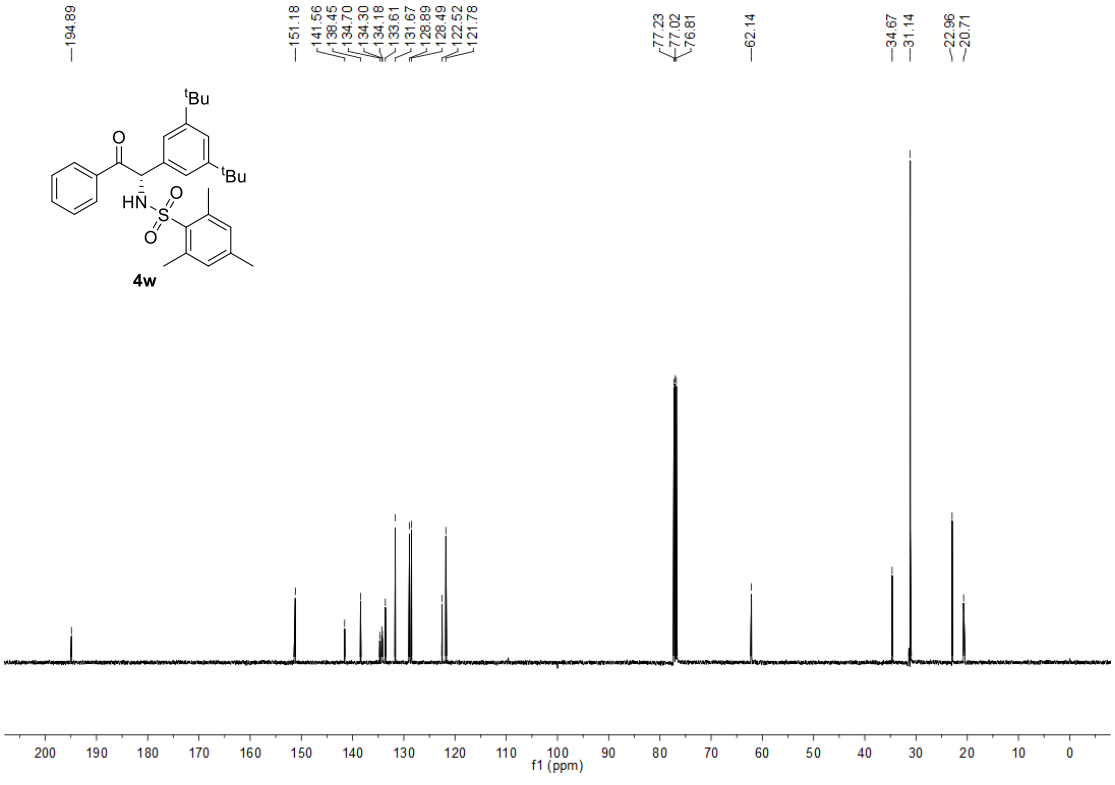

AZP20210909-1
7.79
7.78
7.77
7.76
7.74
7.52
7.50
7.48
7.38
7.36
7.34
7.19
7.17
6.71
6.38
6.37
5.99
5.97
3.85
2.56
2.15
COOMe
O
HN
S
O
O
4u
4.10
1.18
2.03
1.99
2.07
1.08
1.10
3.14
6.00
2.97
f1 (ppm)

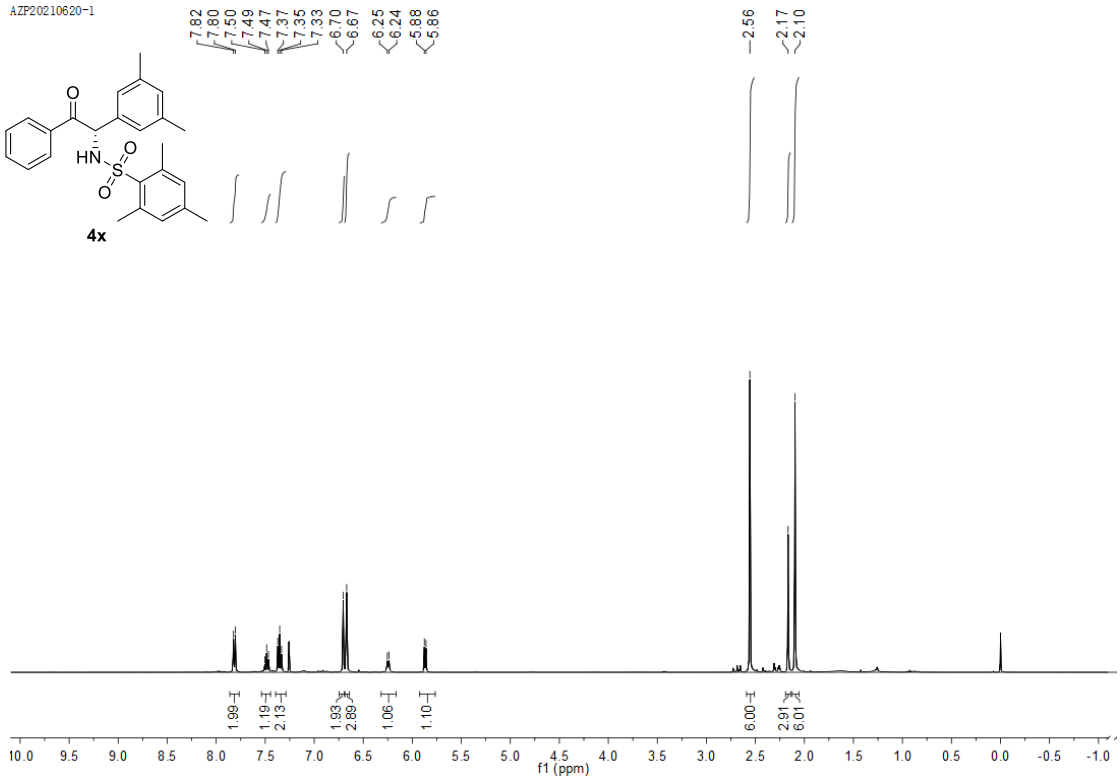

194.05
166.28
142.27
140.29
138.63
134.29
134.16
133.58
131.69
130.06
130.03
128.89
128.77
127.75
77.34
77.02
76.70
61.14
52.13
22.75
20.66
COOMe
O
HN
S
O
O
4u
f1 (ppm)

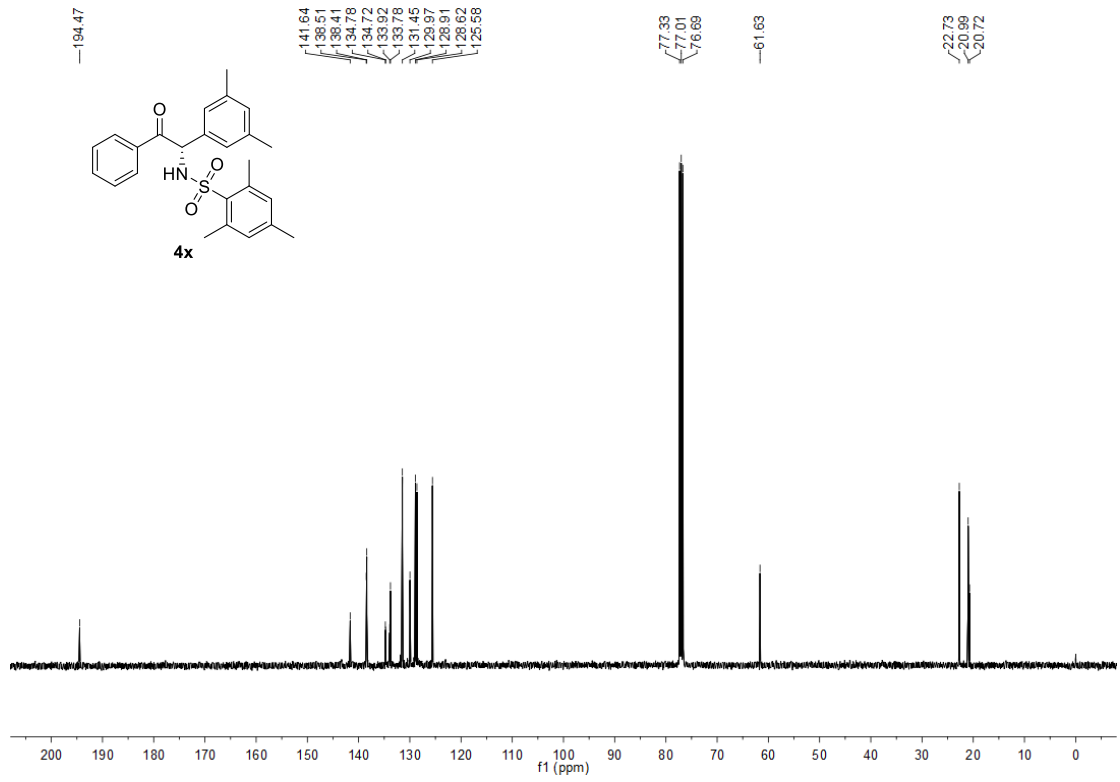

AZP-1H
AZP 20211010-1
7.79
7.78
7.49
7.48
7.47
7.35
7.34
7.33
6.73
6.30
6.29
5.94
5.93
2.57
2.17
4v
1.97
1.04
1.96
1.96
0.99
1.04
6.00
3.14
f1 (ppm)


194.70
141.98
138.66
135.38
134.38
133.88
133.85
131.66
128.86
128.63
128.50
128.34
128.18
127.50
127.34
127.17
77.23
77.02
76.81
61.33
22.80
20.75
4v
f1 (ppm)


AZP-1H
AZP 20211024-1-2
7.83
7.82
7.47
7.36
7.34
7.10
6.91
6.91
6.31
5.98
5.97
2.58
2.12
1.15
4w
1.99
1.02
2.03
0.99
1.97
2.02
0.99
1.02
6.03
2.96
18.00
f1 (ppm)


194.89
151.18
141.56
138.45
134.70
134.30
134.18
133.61
131.67
128.89
128.49
122.52
121.78
77.23
77.02
76.81
62.14
34.67
31.14
22.96
20.71
4w
f1 (ppm)


AZP20210620-1
7.82
7.80
7.50
7.49
7.47
7.37
7.35
7.33
6.70
6.67
6.25
6.24
5.88
5.86
2.56
2.17
2.10
4x
1.99
1.19
2.13
1.93
2.89
1.06
1.10
6.00
2.91
6.01
f1 (ppm)


194.47
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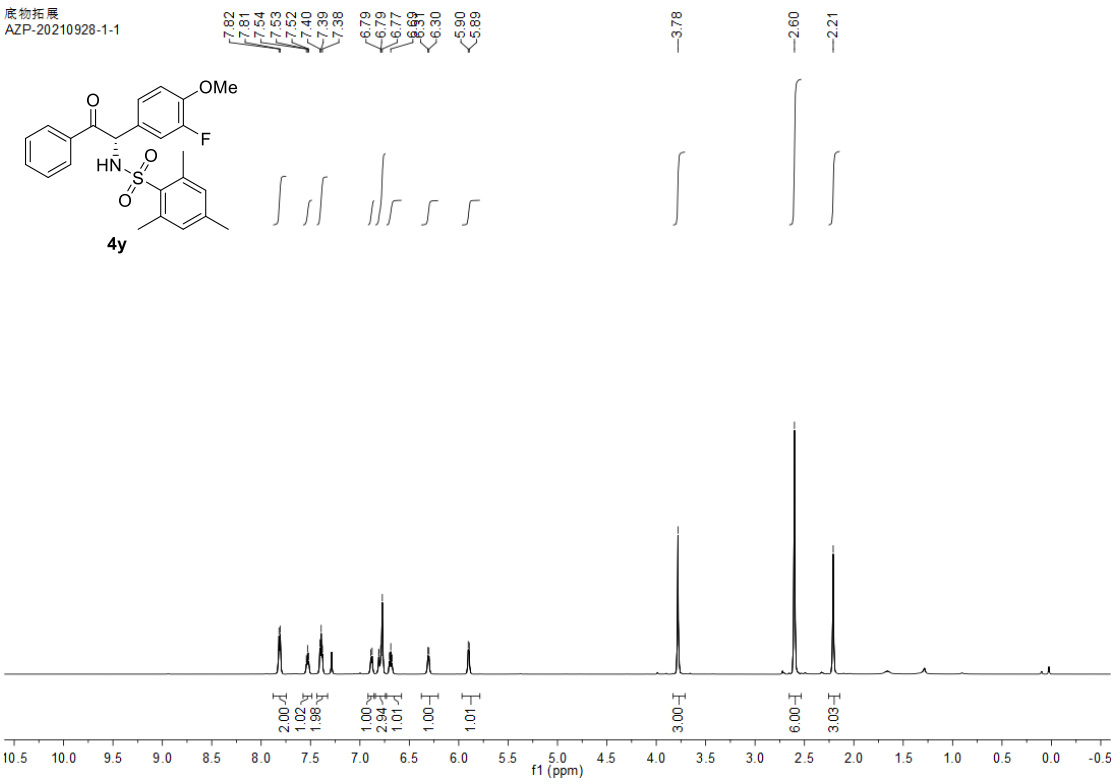
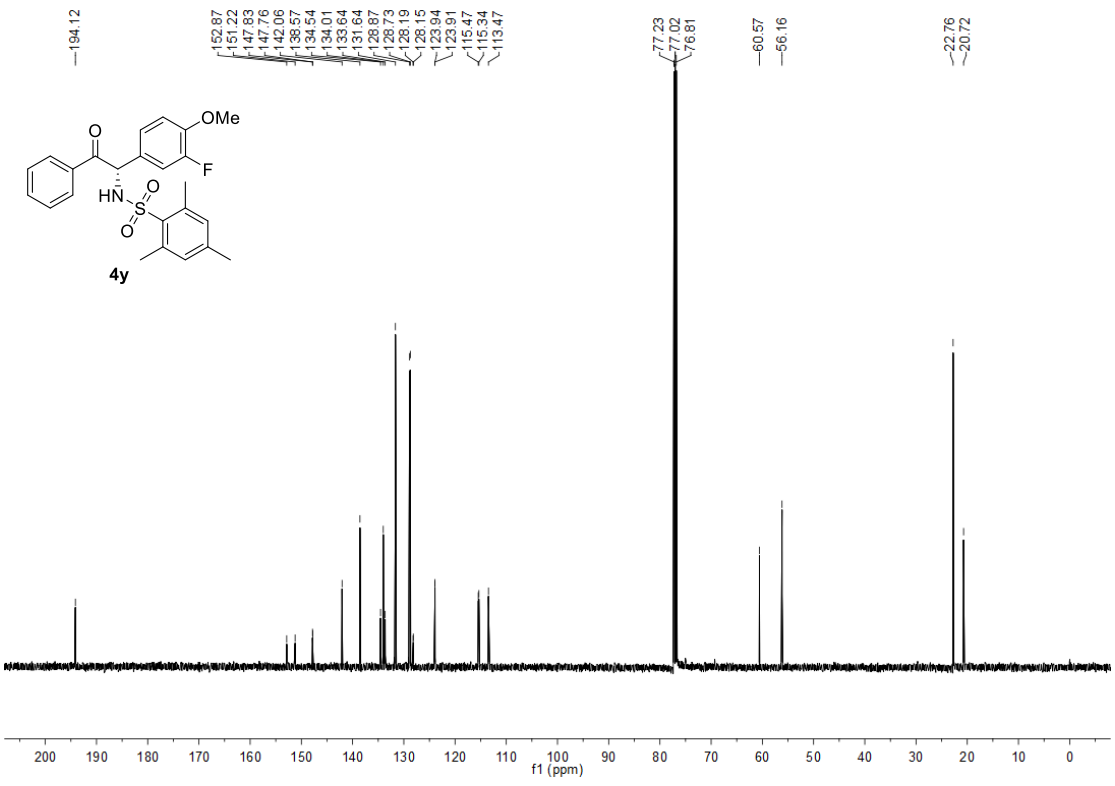
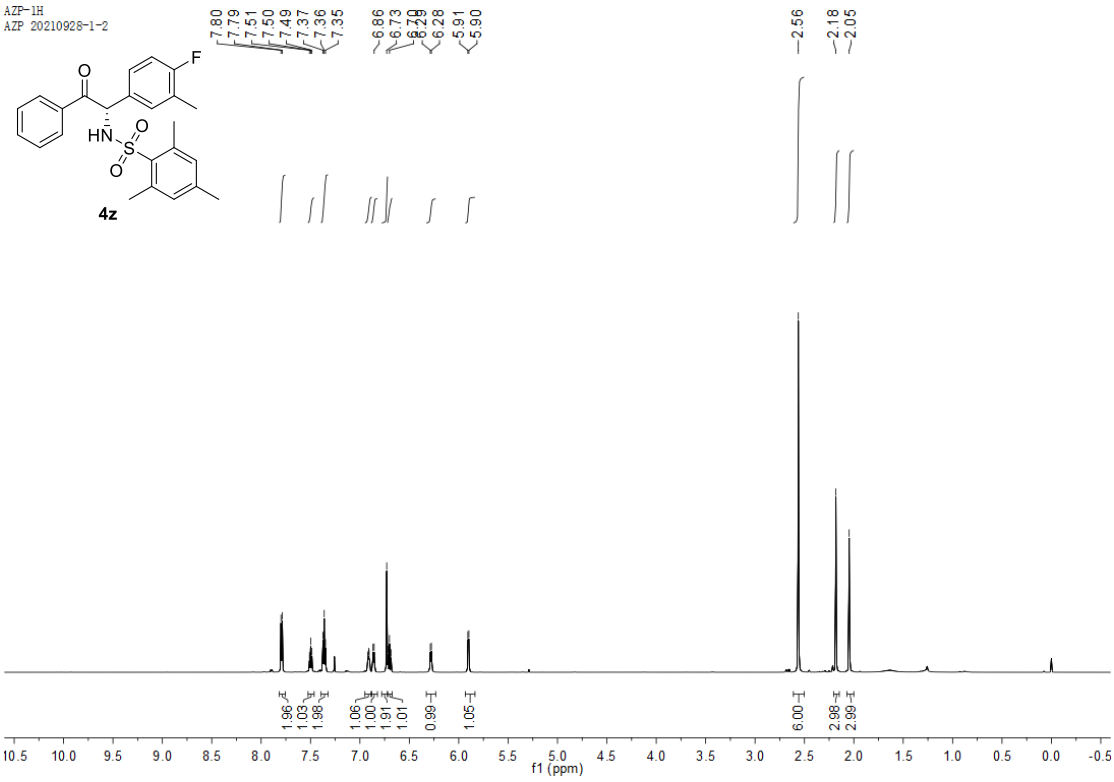
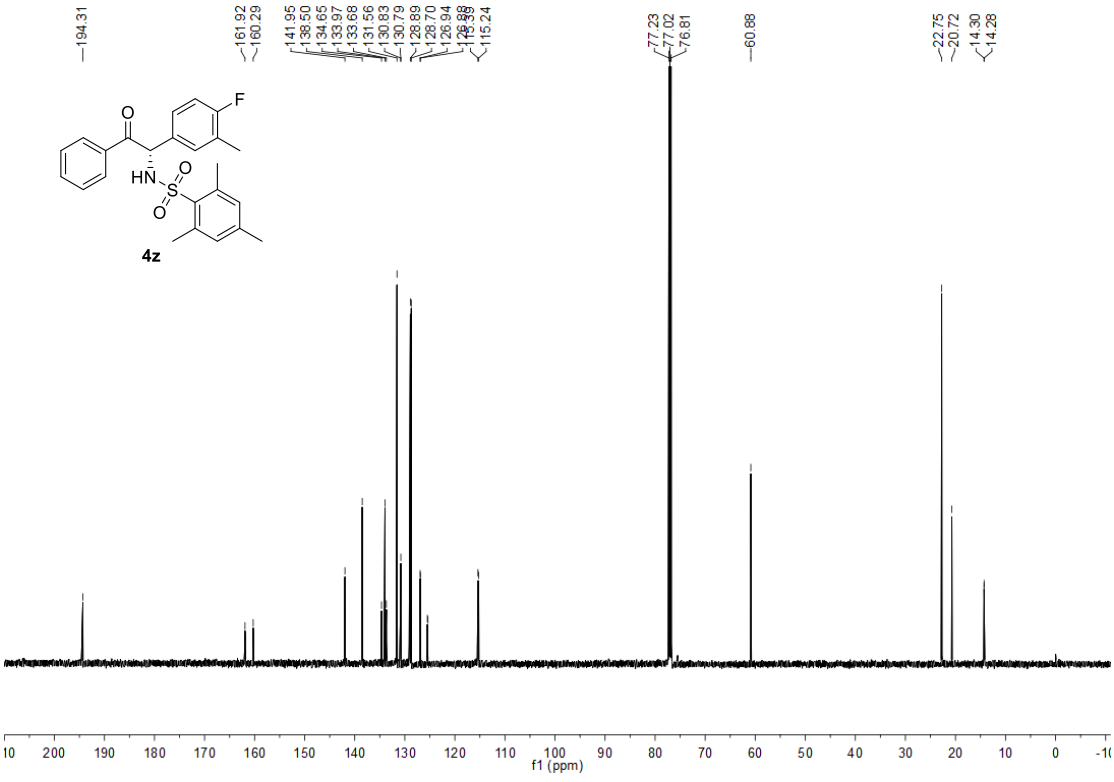
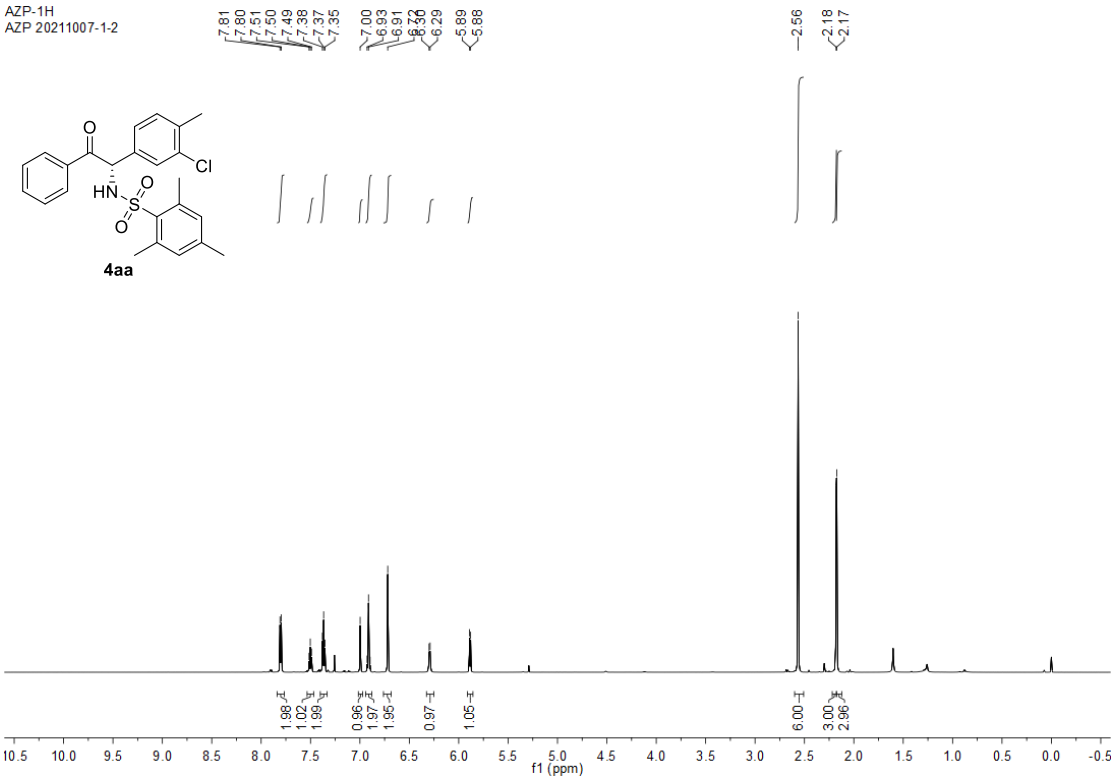
138.41
134.78
134.72
133.92
133.78
131.45
129.97
128.91
128.62
125.58
77.33
77.01
76.69
61.63
22.73
20.99
20.72
4x
f1 (ppm)


底物拓展
AZP-20210928-1-1
7.82
7.81
7.54
7.53
7.52
7.40
7.39
7.38
6.79
6.79
6.77
6.30
5.90
5.89
3.78
2.60
2.21
OMe
F
HN
O
S
O
O
4y
2.00
1.02
1.98
1.00
2.94
1.01
1.00
1.01
3.00
6.00
3.03
f1 (ppm)


194.12
152.87
151.22
147.83
147.76
142.06
138.57
134.54
134.01
133.64
131.64
128.87
128.73
128.19
128.15
123.94
123.91
115.47
115.34
113.47
77.23
77.02
76.81
60.57
56.16
22.76
20.72
OMe
F
HN
O
S
O
O
4y
f1 (ppm)


AZP-1H
AZP 20210928-1-2
4z
7.80
7.79
7.51
7.50
7.49
7.37
7.36
7.35
6.86
6.73
6.28
5.91
5.90
2.56
2.18
2.05
1.96
1.03
1.98
1.06
1.00
1.91
1.01
0.99
1.05
6.00
2.98
2.99
f1 (ppm)


4z
194.31
161.92
160.29
141.95
138.50
134.65
133.97
133.68
131.56
130.83
130.79
128.89
128.70
126.94
115.24
77.23
77.02
76.81
60.88
22.75
20.72
14.30
14.28
f1 (ppm)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6.93
6.91
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6.30
6.29
5.89
5.88
2.56
2.18
2.17
Cl
HN
S
O
4aa
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0.96
1.97
1.95
0.97
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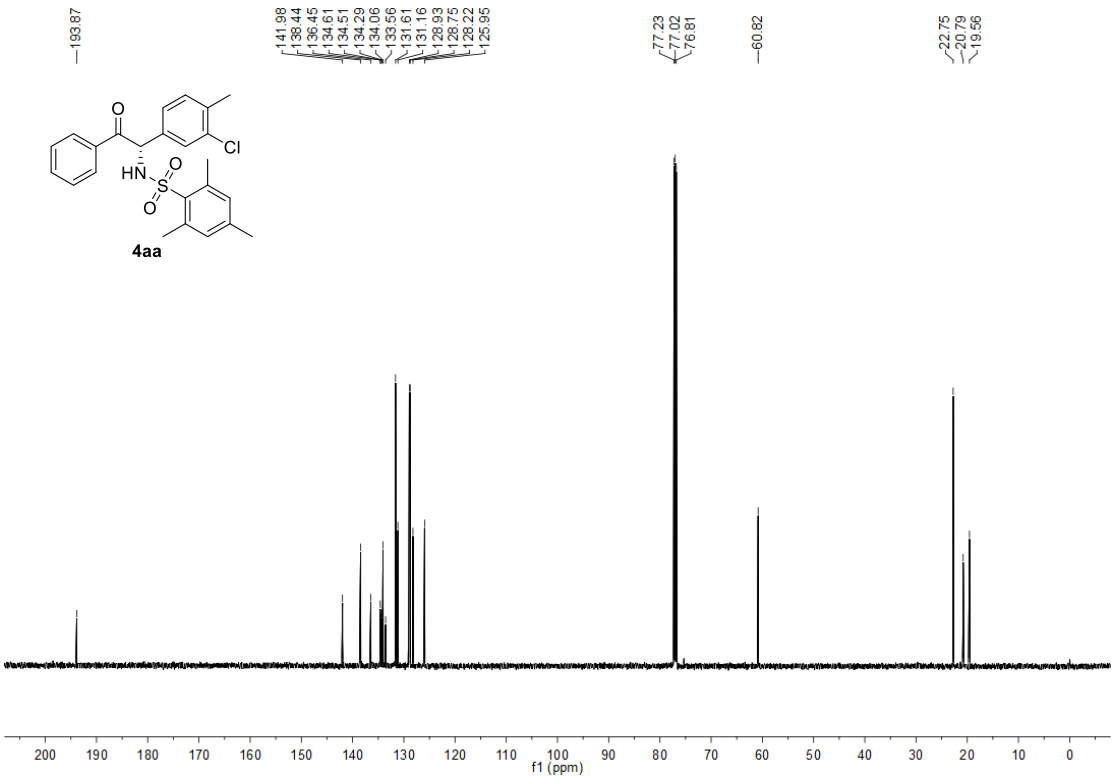
6.00
3.00
2.96
f1 (ppm)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128.75
128.22
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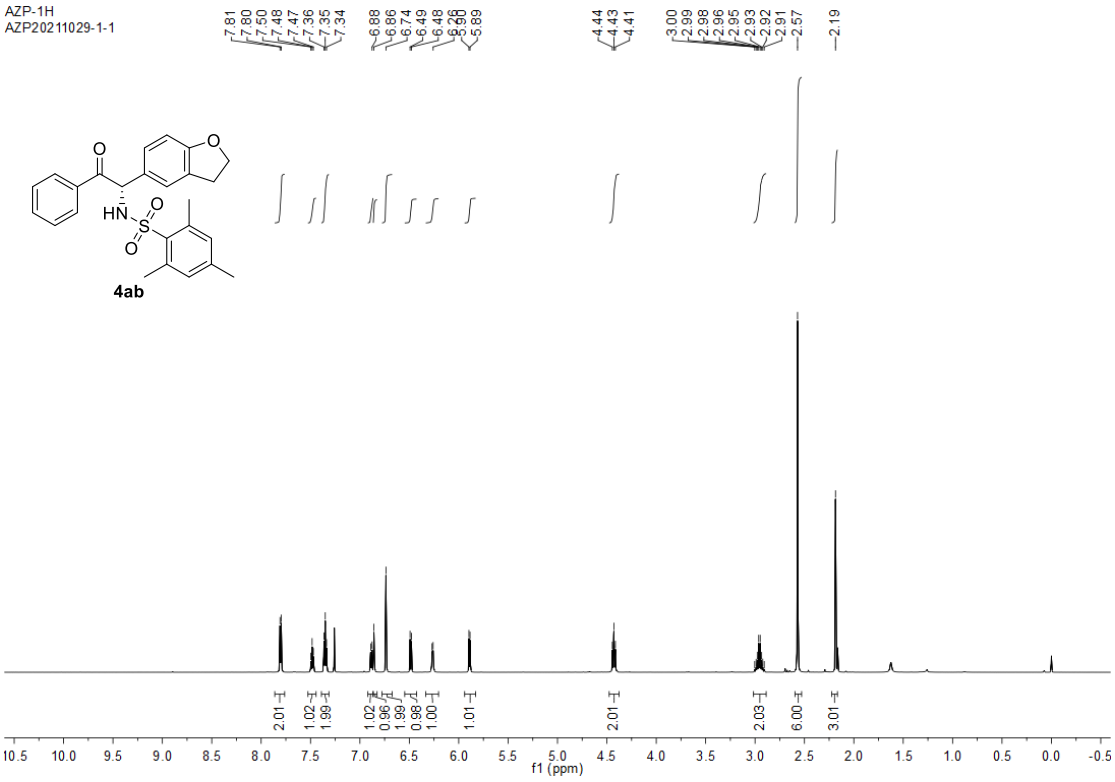
22.75
20.79
19.56
Cl
HN
S
O
4aa
f1 (ppm)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6.49
6.48
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4.43
4.41
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2.96
2.95
2.93
2.92
2.91
2.57
2.19
4ab
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1.02
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1.02
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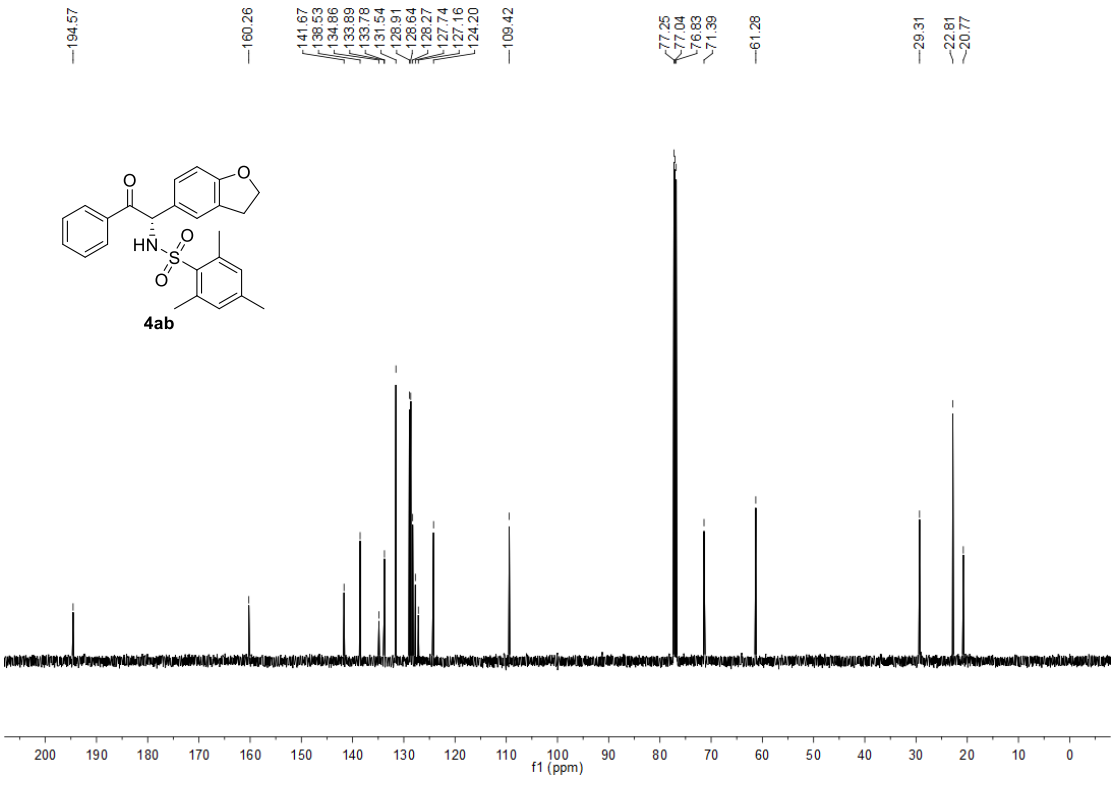
1.01
2.01
2.03
6.00
3.01
f1 (ppm)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127.16
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71.39
61.28
29.31
22.81
20.77
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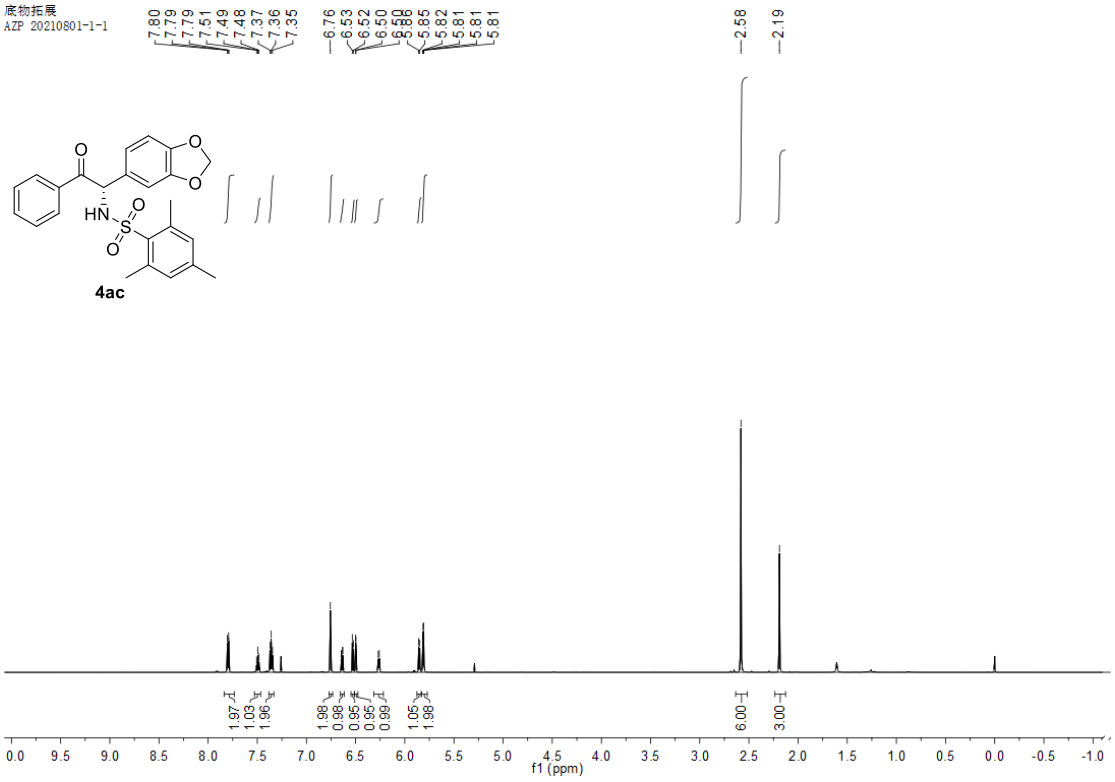
f1 (ppm)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7.35
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6.53
6.52
6.50
5.85
5.82
5.81
5.81
5.81
2.58
2.19
4ac
1.97
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1.96
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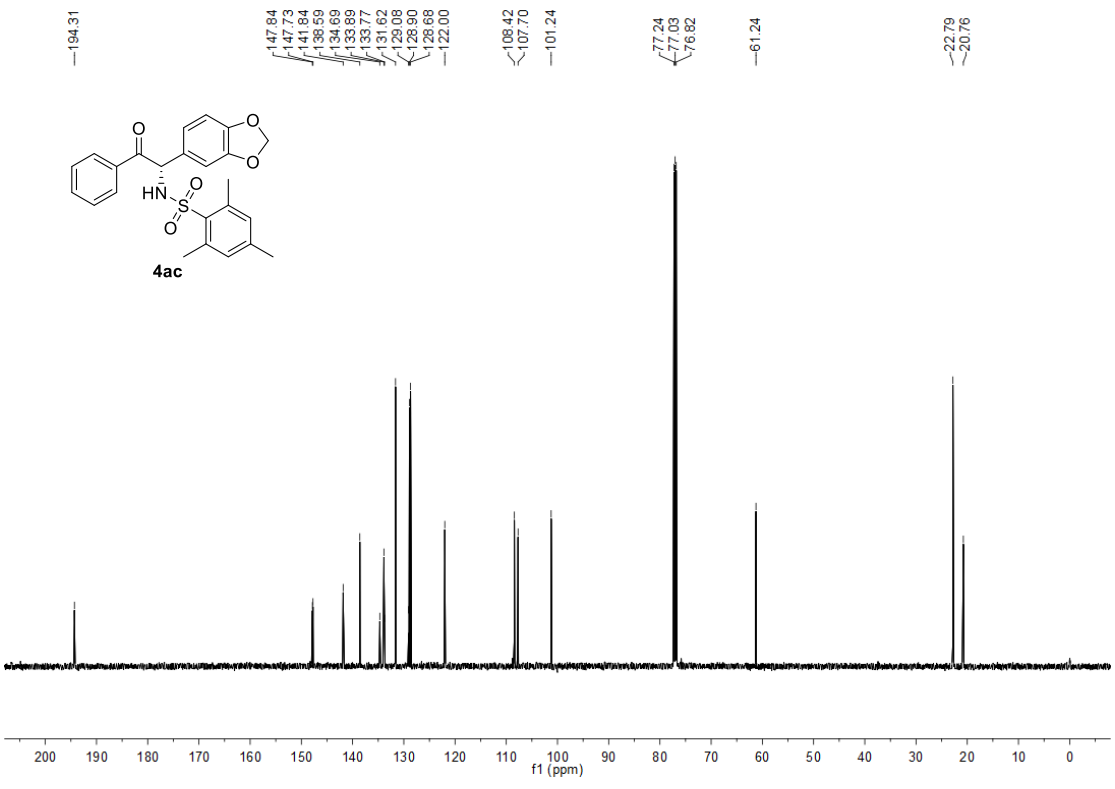
0.95
0.99
1.05
1.98
6.00
3.00
f1 (ppm)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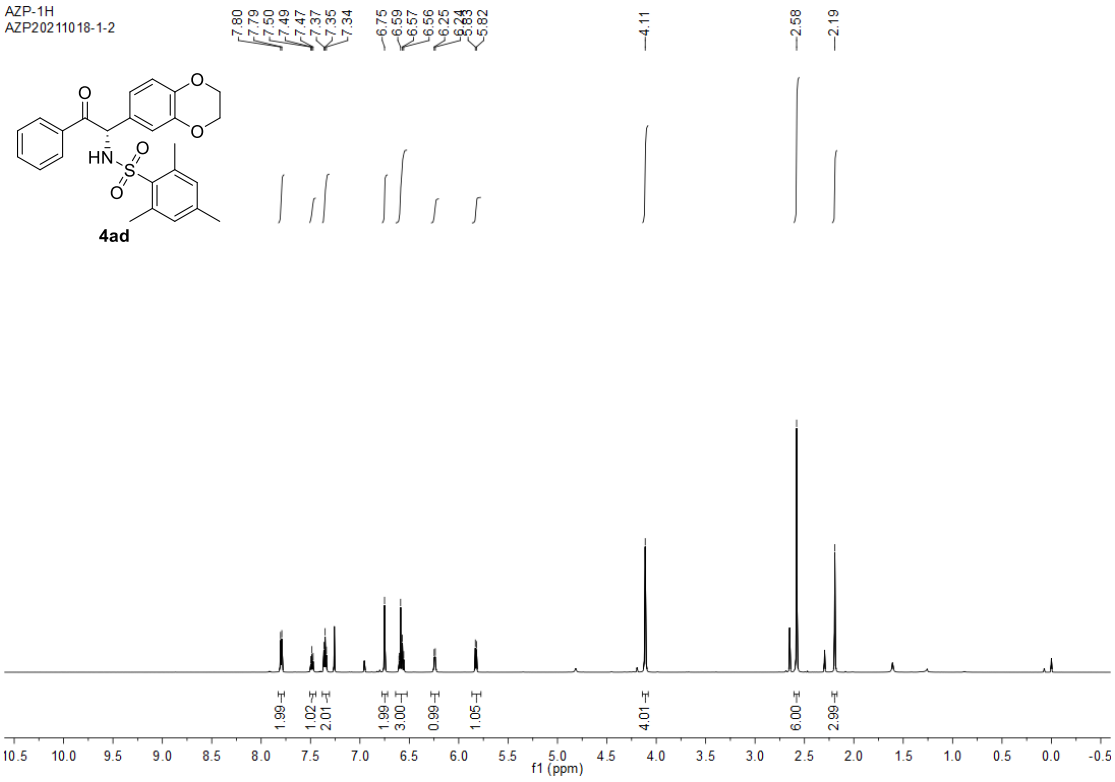
77.03
76.82
61.24
22.79
20.76
4ac
f1 (ppm)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6.24
5.83
5.82
4.11
2.58
2.19
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1.99
3.00
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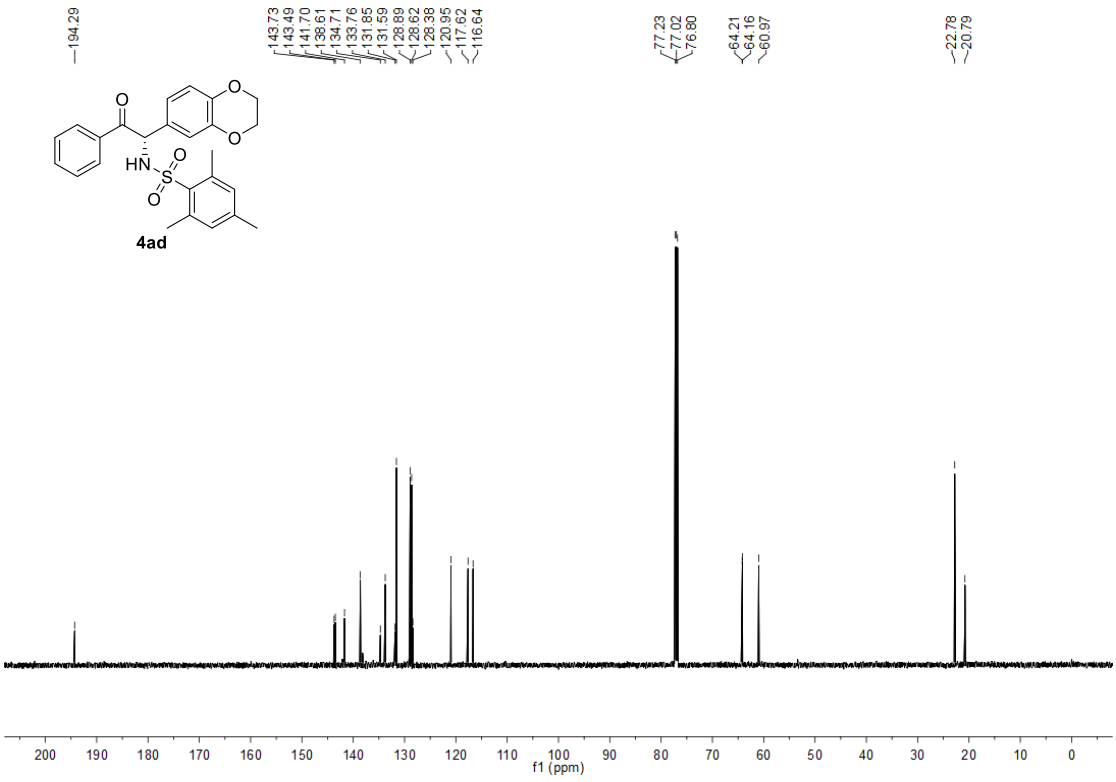
1.05
4.01
6.00
2.99
f1 (ppm)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128.62
128.38
120.95
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116.64
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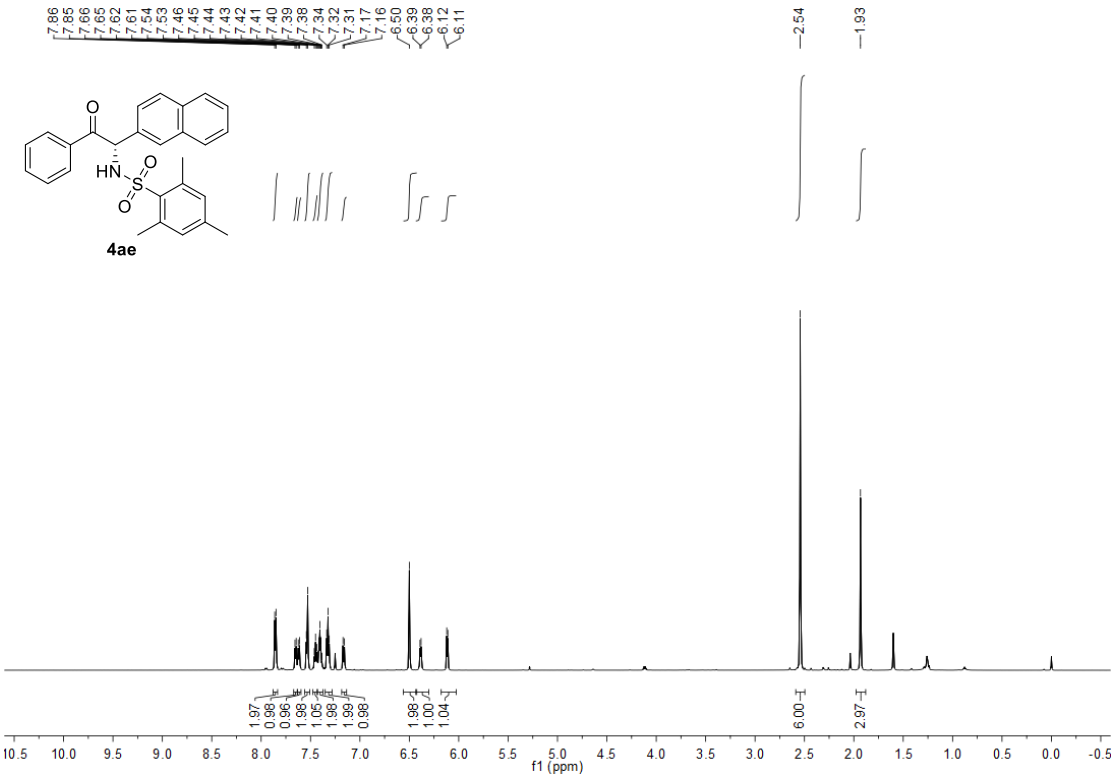
77.02
76.80
64.21
64.16
60.97
22.78
20.79
4ad
f1 (ppm)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7.54
7.53
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7.45
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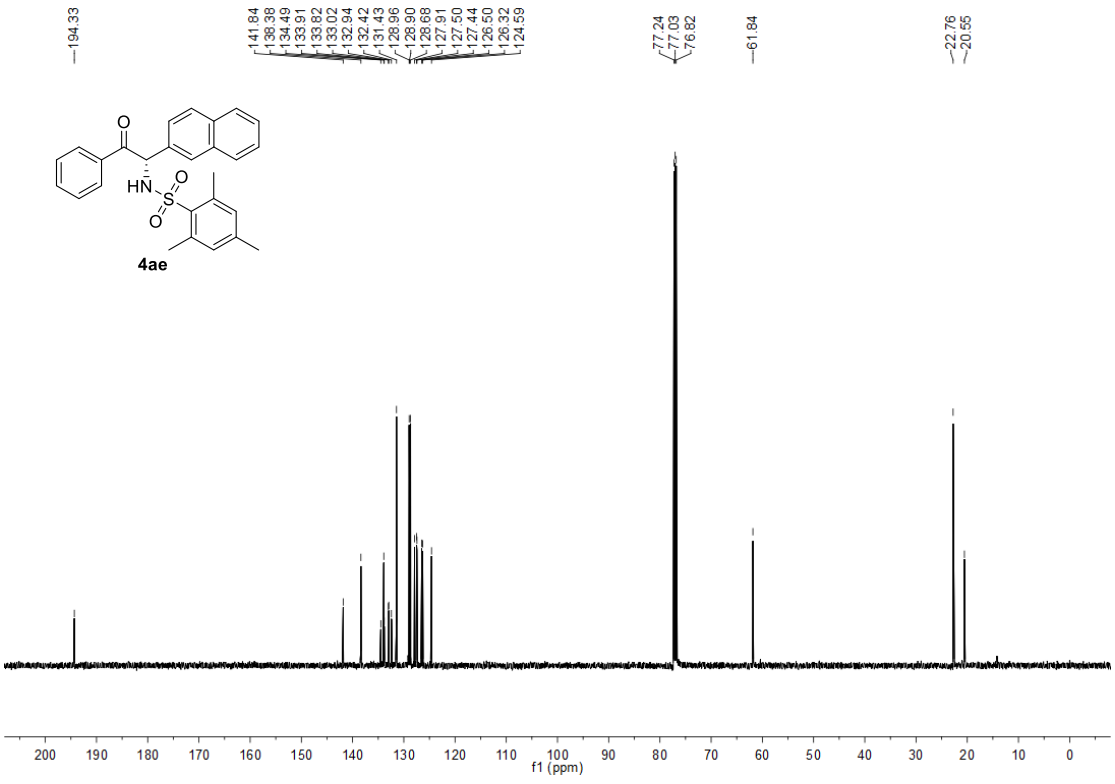
7.43
7.42
7.41
7.40
7.39
7.38
7.34
7.32
7.31
7.17
7.16
6.50
6.39
6.38
6.12
6.11
2.54
1.93
4ae
1.97
0.98
0.96
1.98
1.05
1.98
1.99
0.98
1.98
1.00
1.04
6.00
2.97
f1 (ppm)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127.91
127.50
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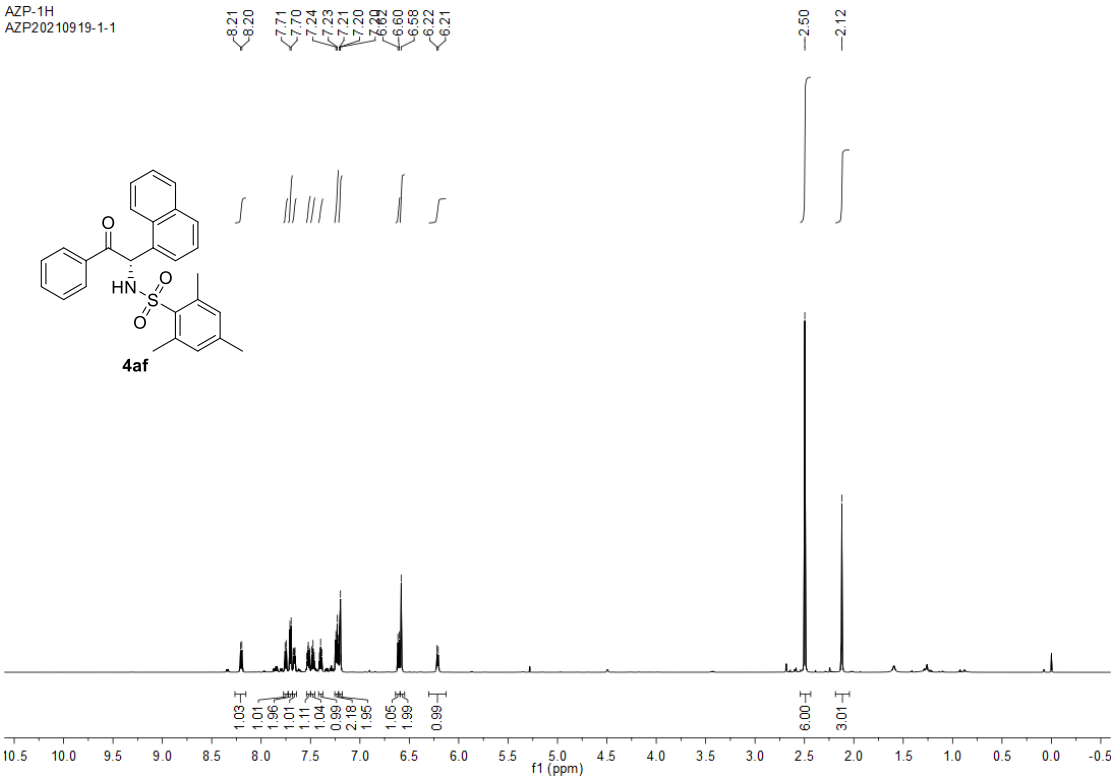
126.32
124.59
77.24
77.03
76.82
61.84
22.76
20.55
4ae
f1 (ppm)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7.23
7.21
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6.58
6.22
6.21
2.50
2.12
HN
O
S
O
O
4af
1.03
1.01
1.96
1.01
1.11
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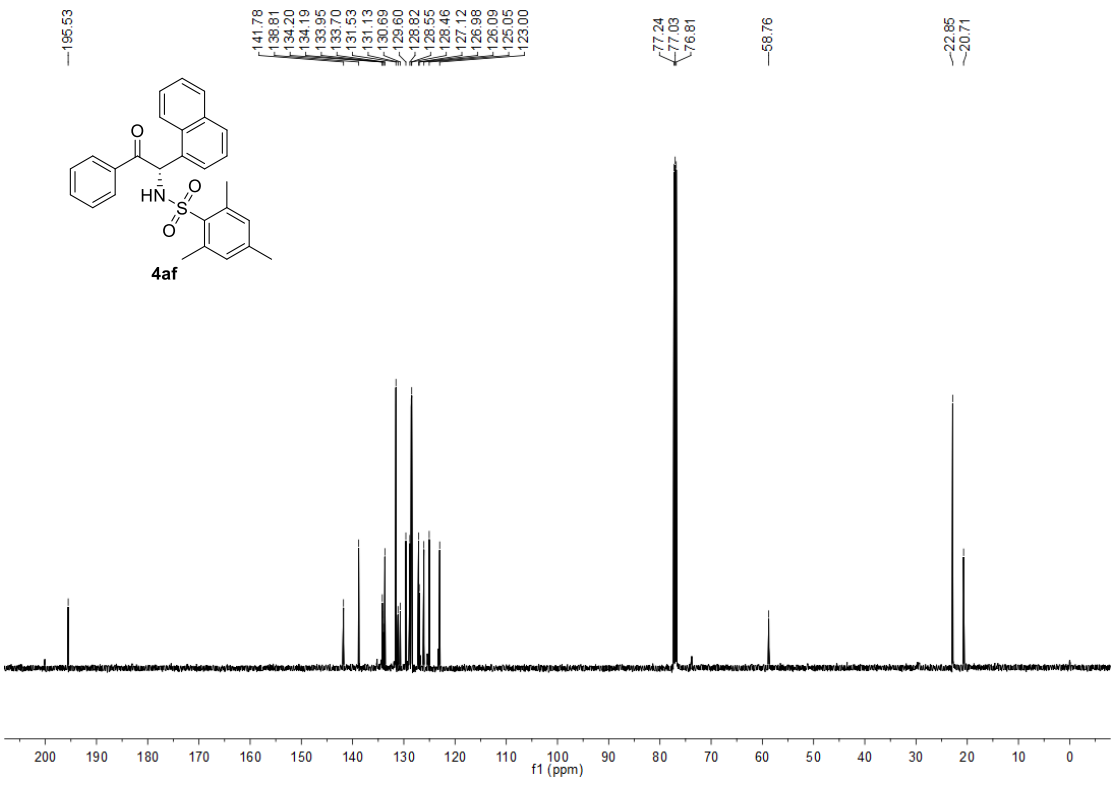
0.99
2.18
1.95
1.05
1.99
0.99
6.00
3.01
f1 (ppm)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126.98
126.09
125.05
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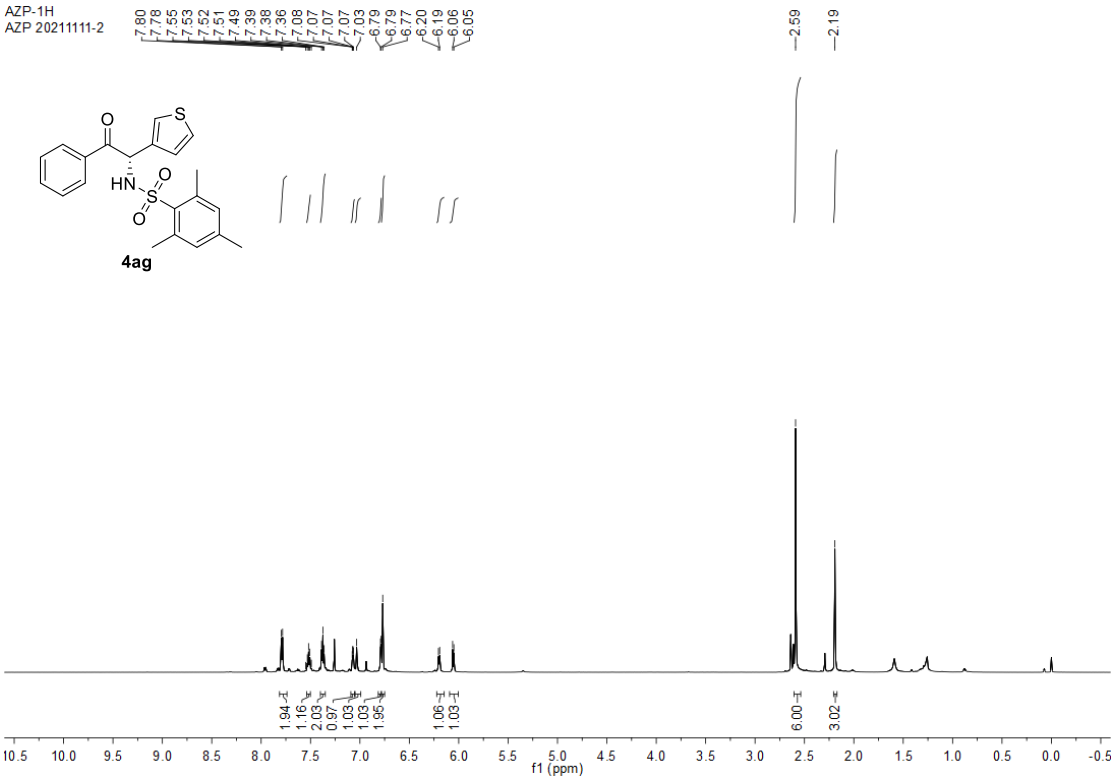
22.85
20.71
HN
O
S
O
O
4af
f1 (ppm)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AZP 20211111-2
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7.49
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7.38
7.36
7.08
7.07
7.07
7.07
7.03
6.79
6.79
6.77
6.20
6.19
6.06
6.05
2.59
2.19
4ag
1.94
1.16
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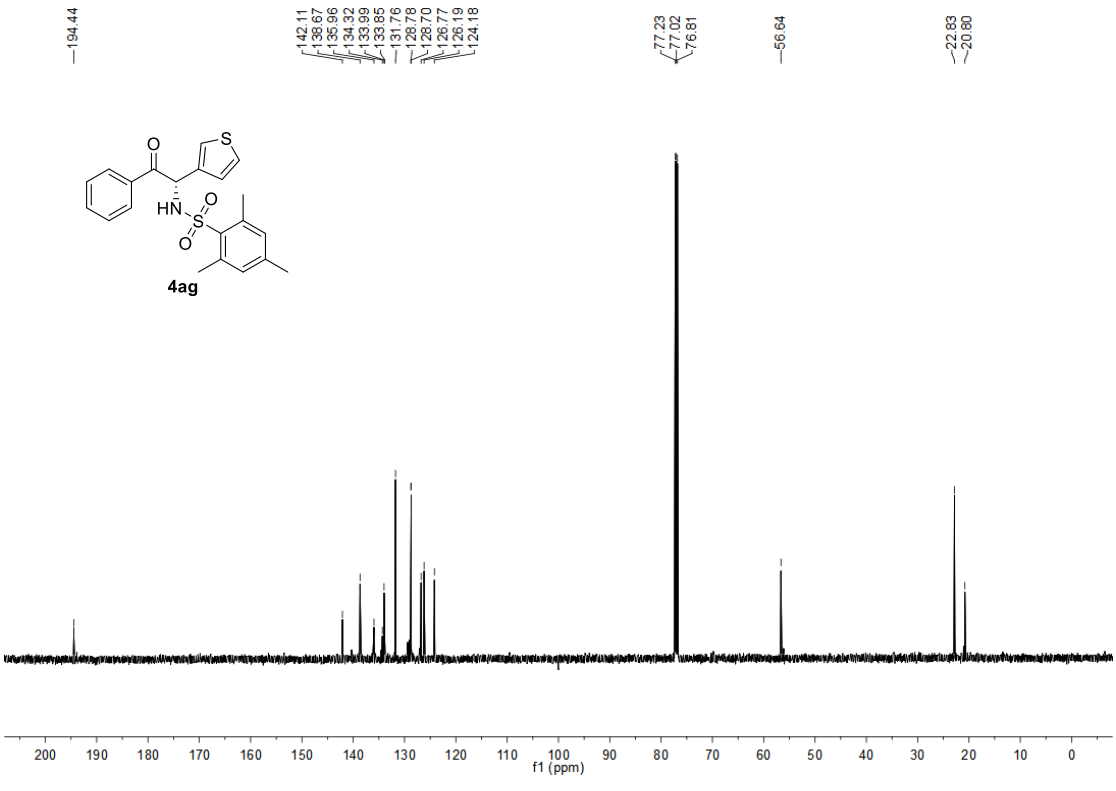
0.97
1.03
1.03
1.95
1.06
1.03
6.00
3.02
f1 (ppm)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131.76
128.78
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126.77
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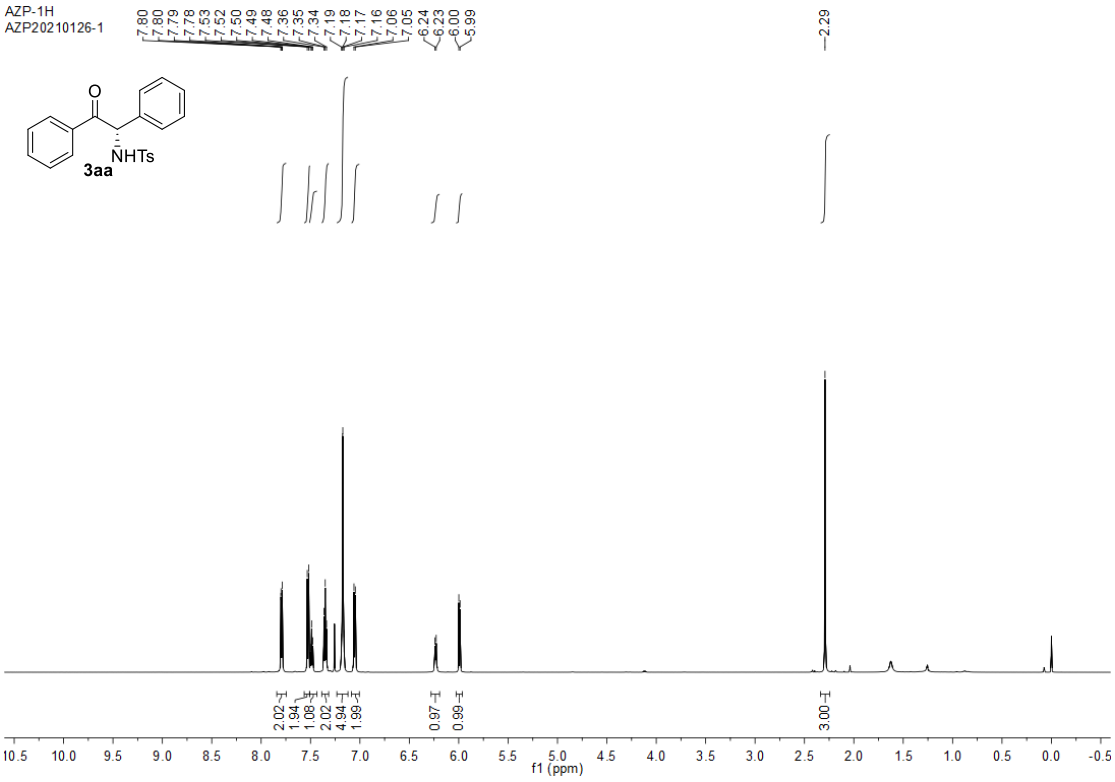
124.18
77.23
77.02
76.81
56.64
22.83
20.80
4ag
f1 (ppm)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AZP20210126-1
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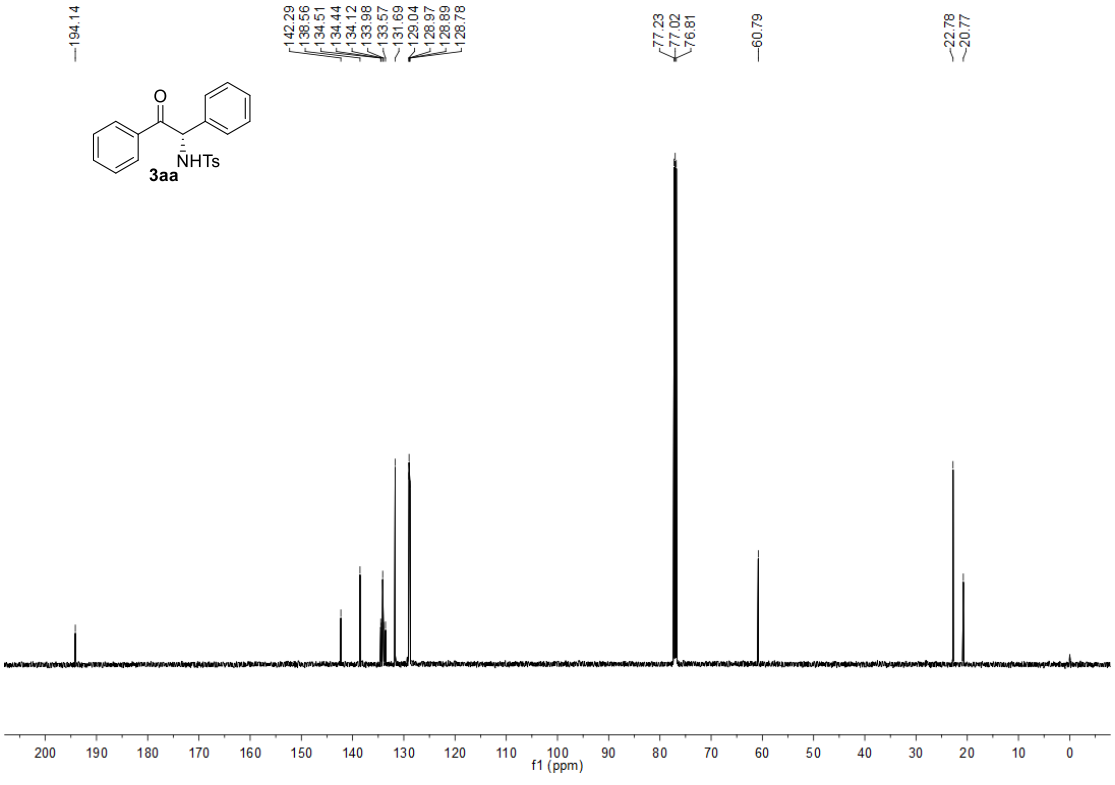
7.36
7.35
7.34
7.19
7.18
7.17
7.16
7.06
7.05
6.24
6.23
6.00
5.99
2.29
O
NHTs
3aa
2.02
1.94
1.08
2.02
4.94
1.99
0.97
0.99
3.00
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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129.04
128.97
128.89
128.78
77.23
77.02
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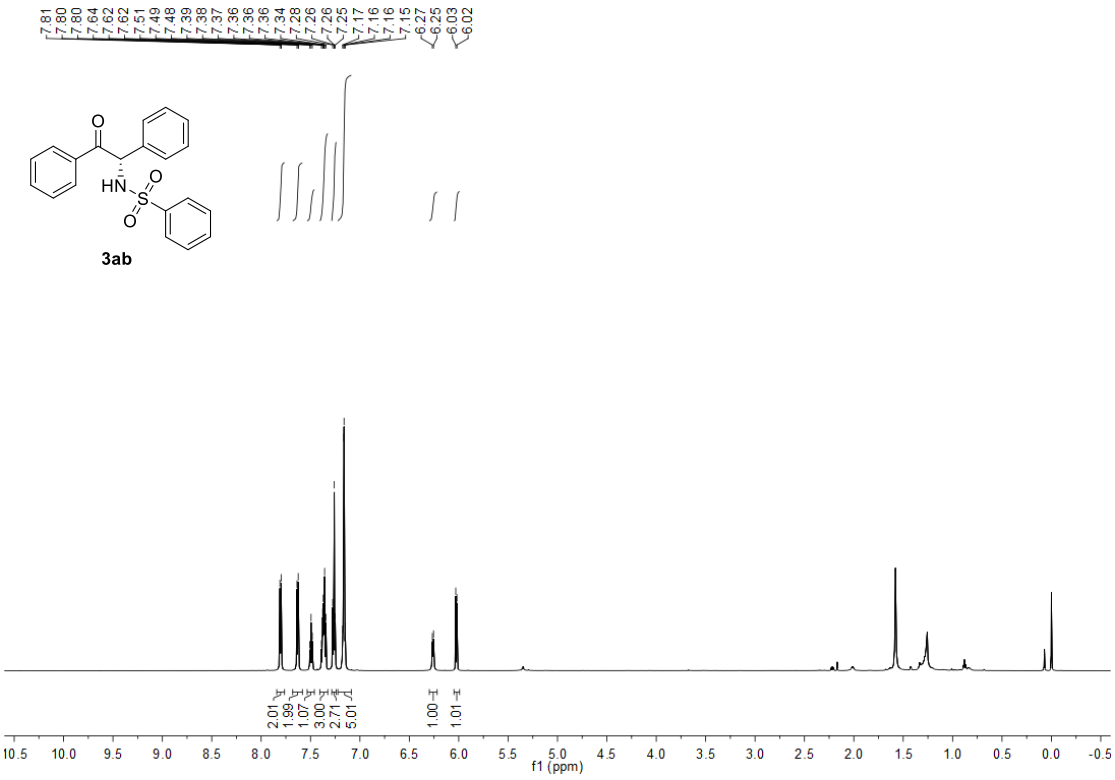
20.77
O
NHTs
3aa
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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7.36
7.36
7.34
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7.26
7.26
7.25
7.17
7.16
7.15
6.27
6.25
6.03
6.02
O
HN
S
O
O
3ab
2.01
1.99
1.07
3.00
2.71
5.01
1.00
1.01
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
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3.0
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2.0
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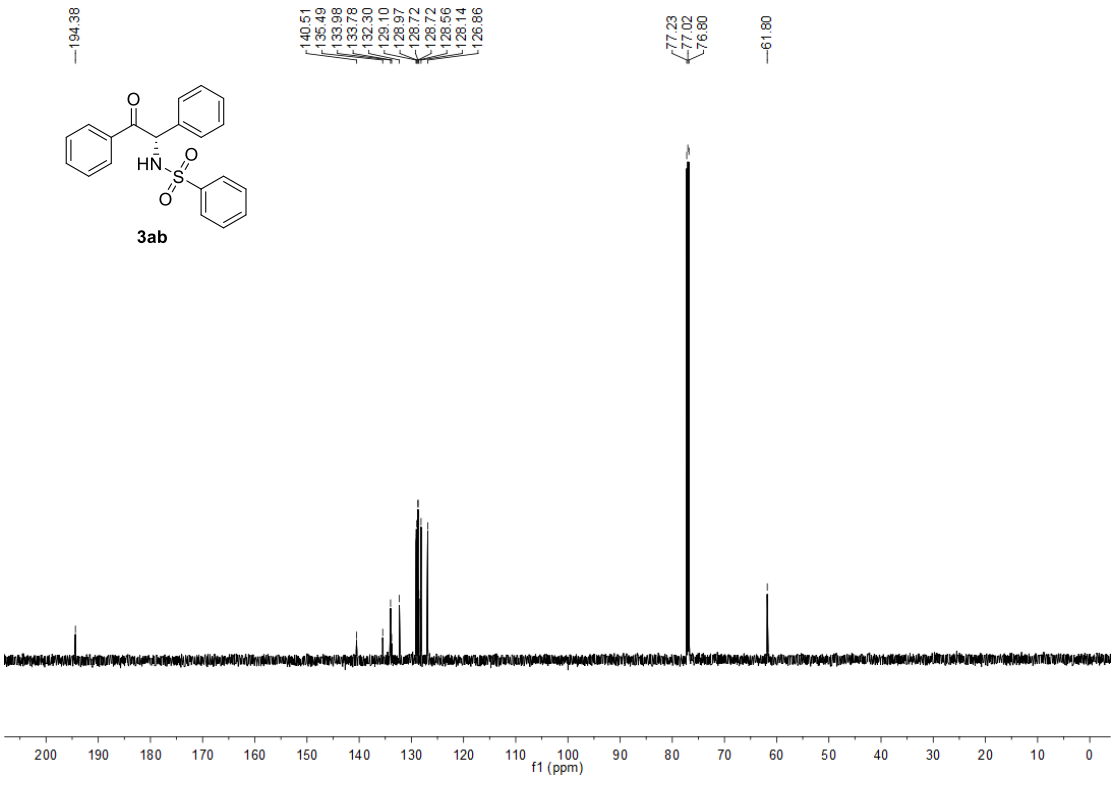
0.5
0.0
-0.5
f1 (ppm)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128.56
128.14
126.86
77.23
77.02
76.80
61.80
O
HN
S
O
O
3ab
200
190
180
170
160
150
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130
120
110
100
90
80
70
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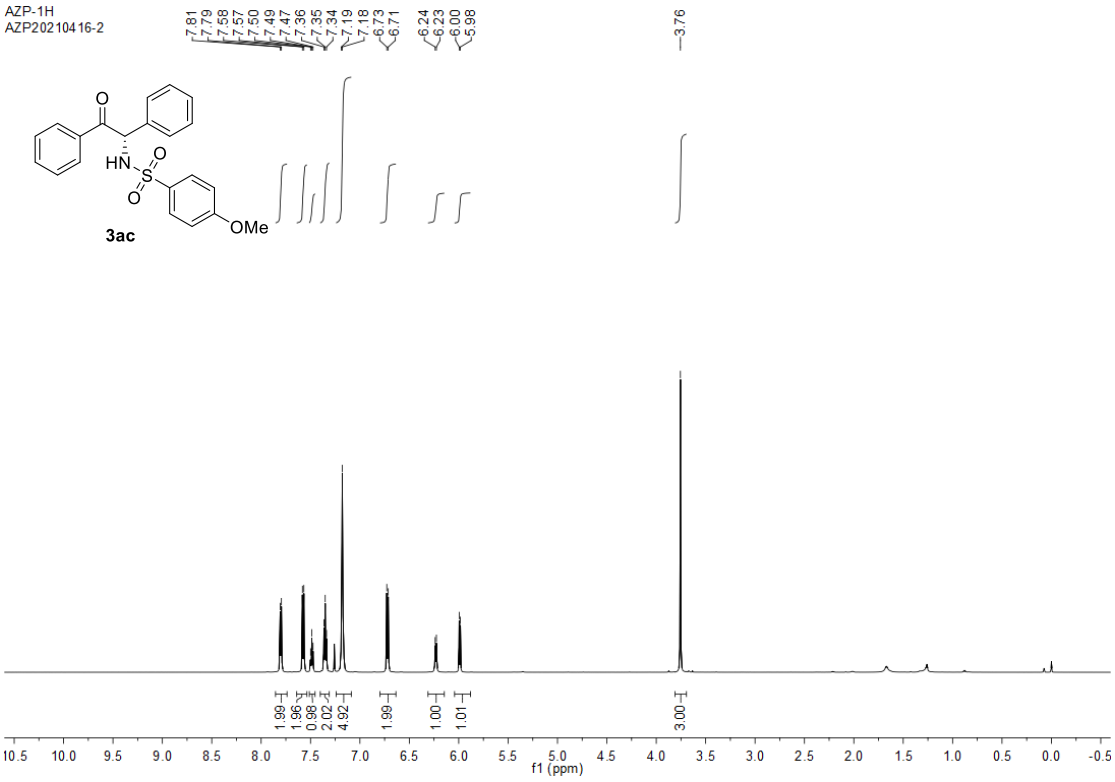
50
40
30
20
10
0
f1 (ppm)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6.73
6.71
6.24
6.23
6.00
5.98
3.76
HN
S
O
OMe
3ac
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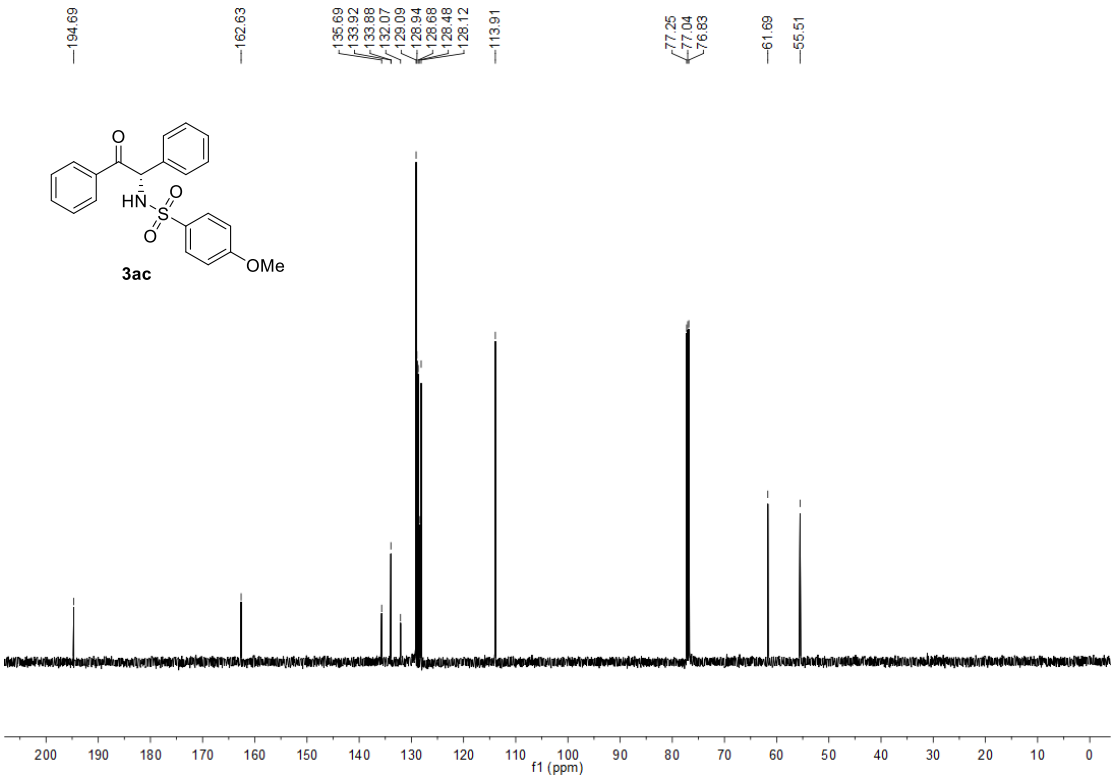
1.96
0.98
2.02
4.92
1.99
1.00
1.01
3.00
f1 (ppm)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129.09
128.94
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128.48
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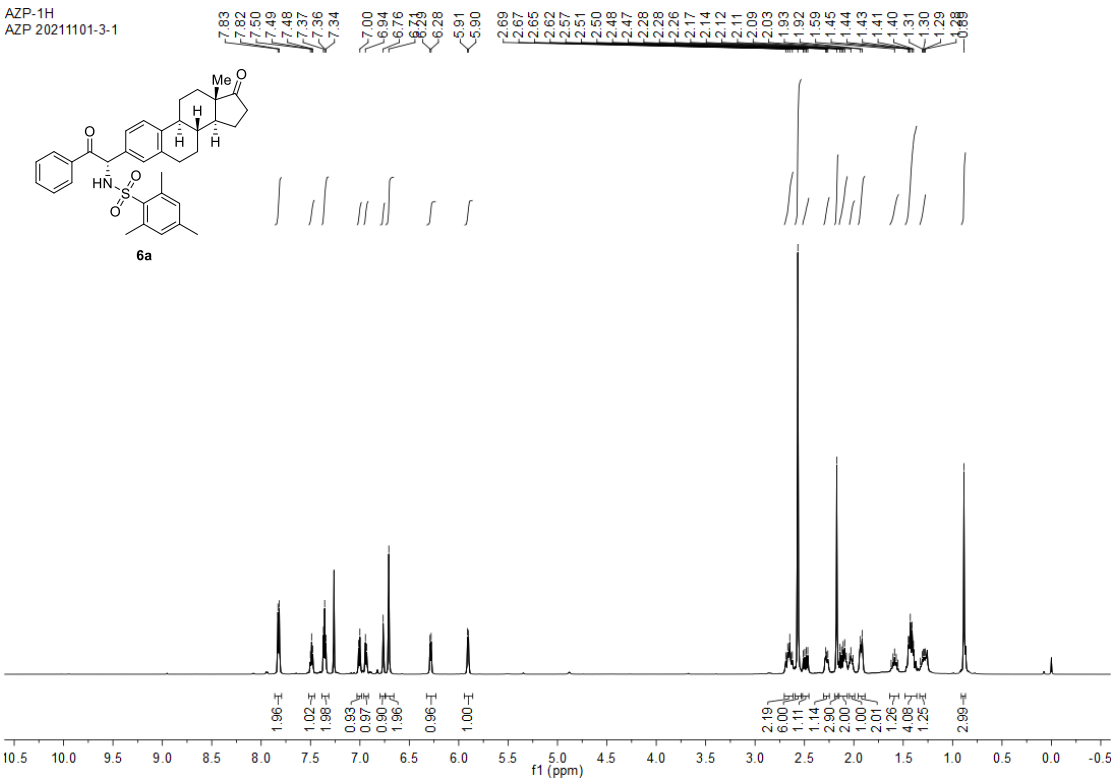
77.25
77.04
76.83
61.69
55.51
HN
S
O
OMe
3ac
f1 (ppm)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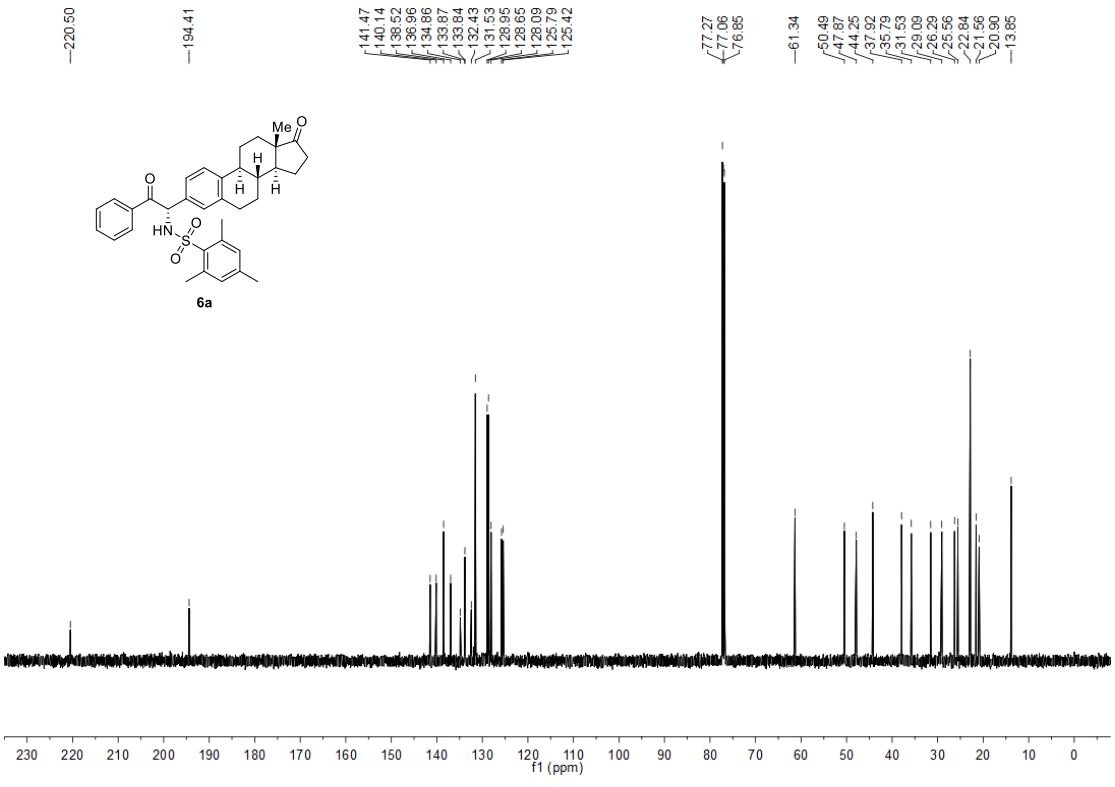
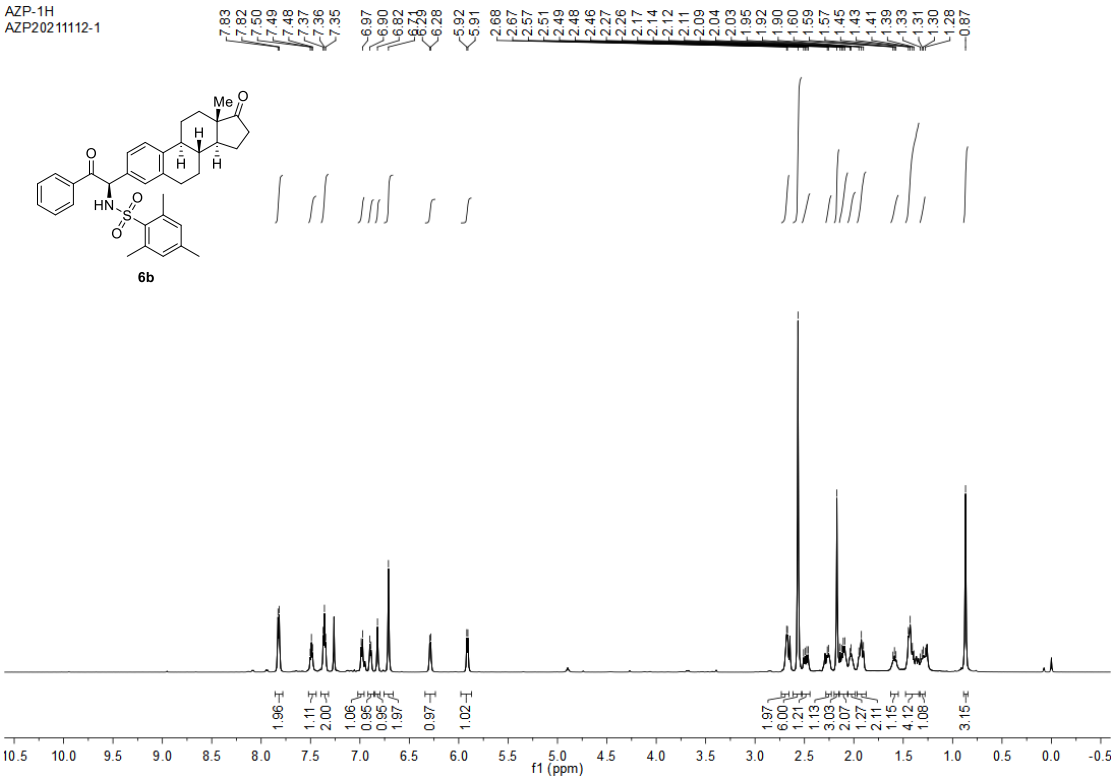
AZP 20211101-3-1
6a
f1 (ppm)


6a
f1 (ppm)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7.36
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6.82
6.71
6.29
6.28
5.92
5.91
2.68
2.67
2.57
2.51
2.49
2.48
2.46
2.27
2.26
2.17
2.14
2.12
2.11
2.09
2.04
2.03
1.95
1.92
1.90
1.60
1.59
1.57
1.45
1.43
1.41
1.39
1.33
1.31
1.30
1.28
0.87
Me
O
H
H
H
O
HN
O
S
O
6b
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1.11
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0.95
1.97
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1.02
1.97
6.00
1.21
1.13
3.03
2.07
1.27
2.11
1.15
4.12
1.08
3.15
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
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3.0
2.5
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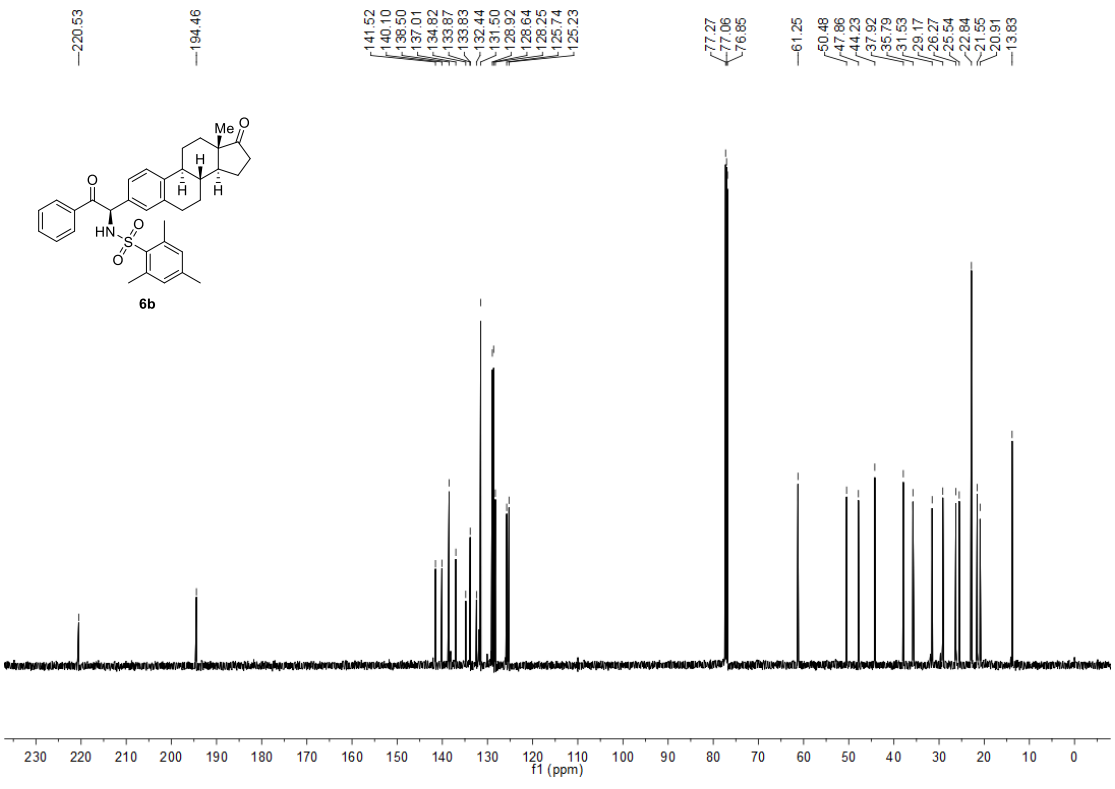
0.5
0.0
-0.5
f1 (ppm)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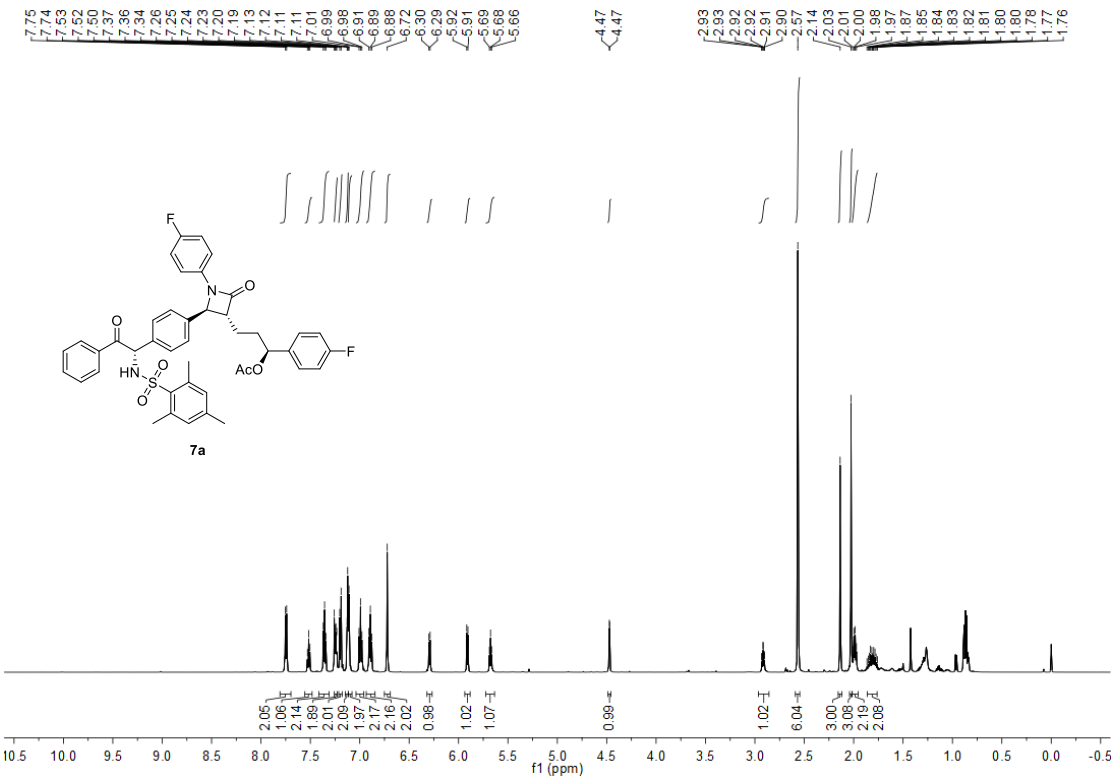
128.64
128.25
125.74
125.23
77.27
77.06
76.85
61.25
50.48
47.86
44.23
37.92
35.79
31.53
29.17
26.27
25.54
22.84
21.55
20.91
13.83
Me
O
H
H
H
O
HN
O
S
O
6b
230
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.25
7.24
7.23
7.20
7.19
7.13
7.12
7.11
7.11
7.01
6.99
6.98
6.91
6.89
6.88
6.72
6.30
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5.92
5.91
5.69
5.68
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2.93
2.92
2.92
2.91
2.90
2.57
2.14
2.03
2.01
2.00
1.98
1.97
1.87
1.85
1.84
1.83
1.82
1.81
1.80
1.80
1.78
1.77
1.76
F
N
O
O
HN
S
O
O
AcO
F
7a
2.05
1.06
2.14
1.89
2.01
2.09
1.97
2.17
2.16
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0.99
1.02
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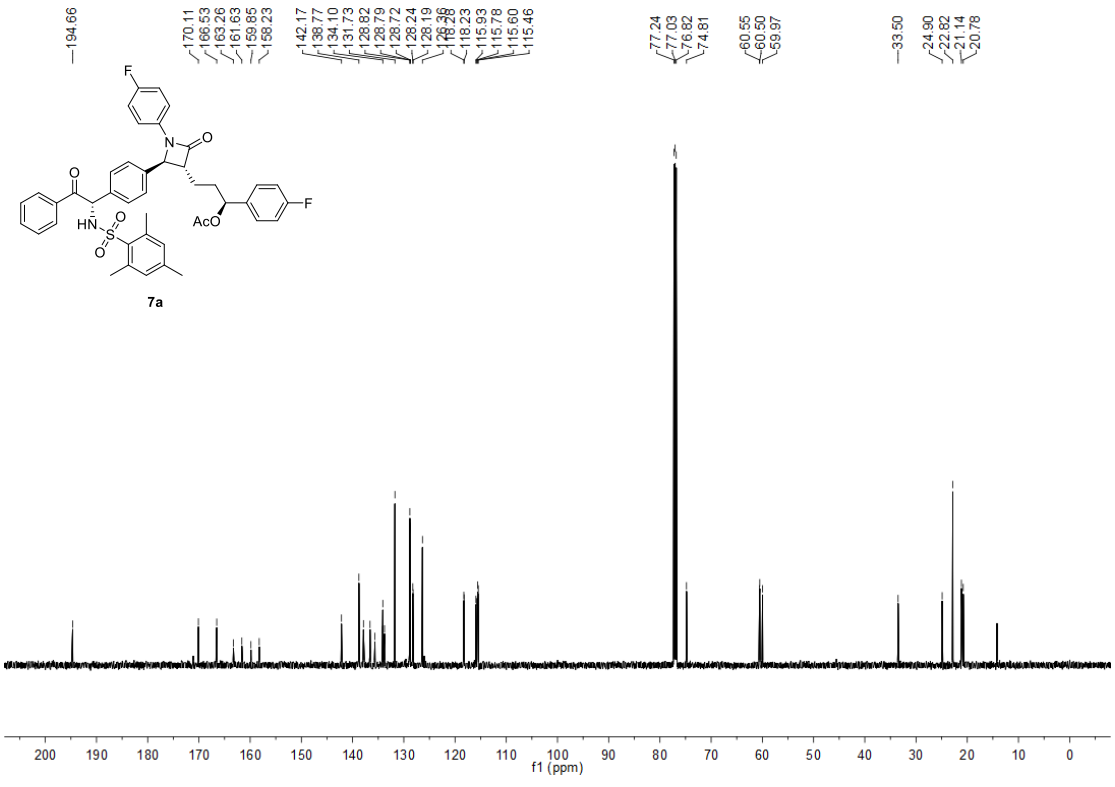
3.08
2.19
2.08
f1 (ppm)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163.26
161.63
159.85
159.23
142.17
138.77
134.10
131.73
128.82
128.79
128.72
128.24
128.19
118.23
115.93
115.78
115.60
115.46
77.24
77.03
76.82
74.81
60.55
60.50
59.97
33.50
24.90
22.82
21.14
20.78
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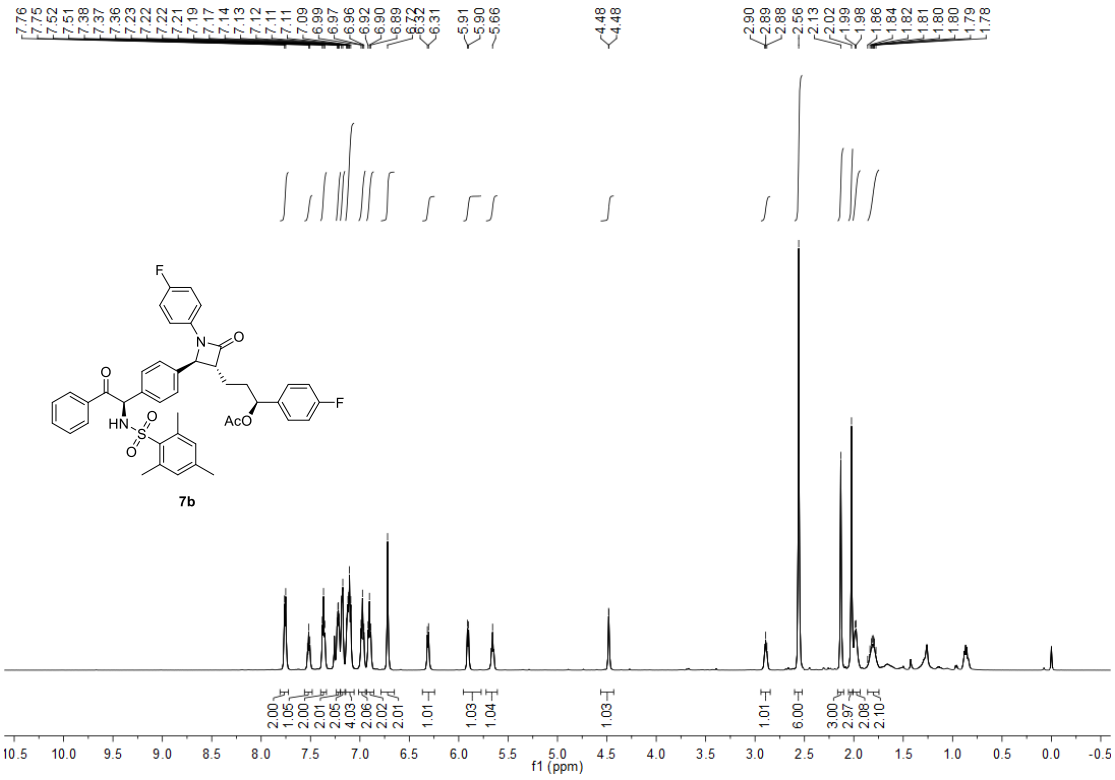
N
O
O
HN
S
O
O
AcO
F
7a
f1 (ppm)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7.38
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7.23
7.22
7.22
7.21
7.19
7.17
7.14
7.13
7.12
7.11
7.11
7.09
6.99
6.97
6.96
6.92
6.90
6.89
6.32
6.31
5.91
5.90
5.66
4.48
4.48
2.90
2.89
2.88
2.56
2.13
2.02
1.99
1.98
1.86
1.84
1.82
1.81
1.80
1.80
1.79
1.78
F
N
O
O
O
HN
S
O
O
AcO
F
7b
2.00
1.05
2.00
2.01
2.05
4.03
2.06
2.02
2.01
1.01
1.03
1.04
1.03
1.01
6.00
3.00
2.97
2.08
2.10
10.5
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
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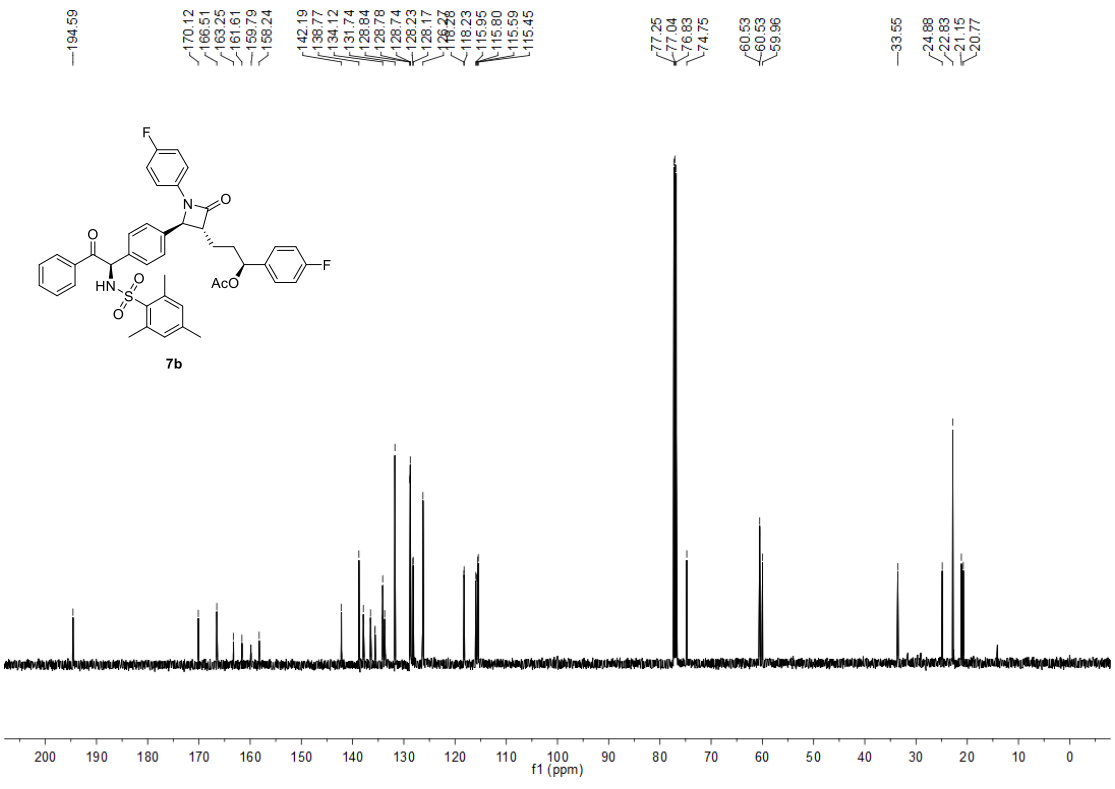
0.0
-0.5
f1 (ppm)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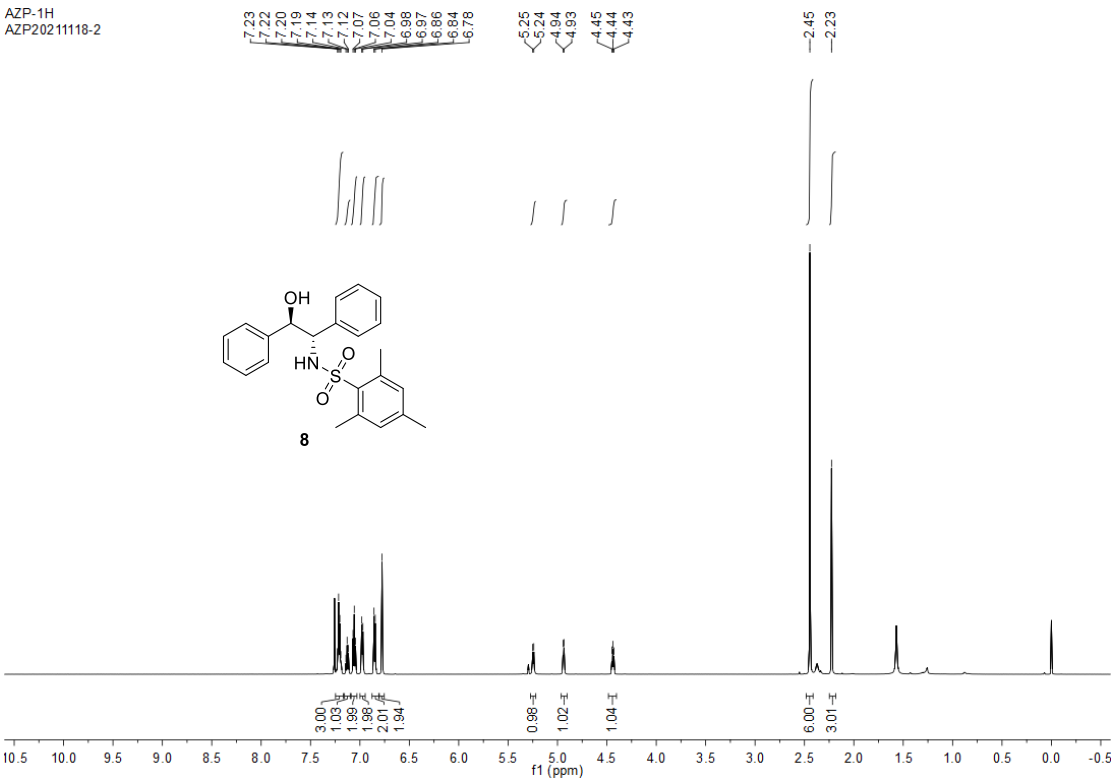
159.79
158.24
142.19
138.77
134.12
131.74
128.84
128.78
128.74
128.23
128.17
118.23
115.95
115.80
115.59
115.45
77.25
77.04
76.83
74.75
60.53
60.53
59.96
33.55
24.88
22.83
21.15
20.77
F
N
O
O
O
HN
S
O
O
AcO
F
7b
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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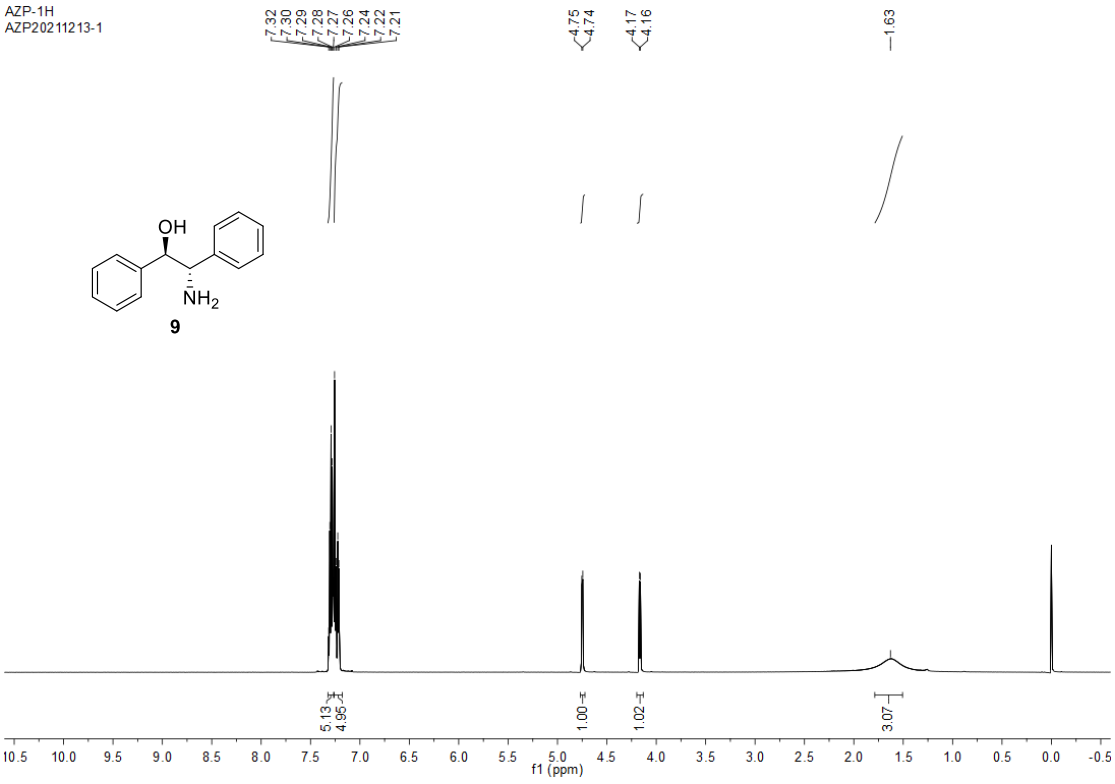
AZP20211118-2
7.23
7.22
7.20
7.19
7.14
7.13
7.12
7.07
7.06
7.04
6.98
6.97
6.86
6.84
6.78
5.25
5.24
4.94
4.93
4.45
4.44
4.43
2.45
2.23
OH
HN
S
O
O
8
3.00
1.03
1.99
1.98
2.01
1.94
0.98
1.02
1.04
6.00
3.01
f1 (ppm)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AZP20211213-1
7.32
7.30
7.29
7.28
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7.24
7.22
7.21
4.75
4.74
4.17
4.16
1.63
OH
NH2
9
5.13
4.95
1.00
1.02
3.07
f1 (ppm)