Highly Enantioselective Approach Toward Optically Active γ-Amino Alcohols by Tin-Catalyzed Kinetic Resolution of 1,3-Amino alcohols

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Table of Contents

General Information **2**

General procedure for preparation of substrates **3**

General procedure for kinetic resolution of 1,3-amino alcohols： **11**

NMR and HPLC Spectra **23**

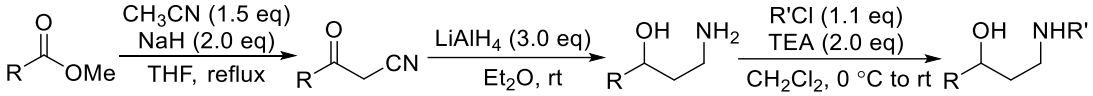
References **93**

General Information

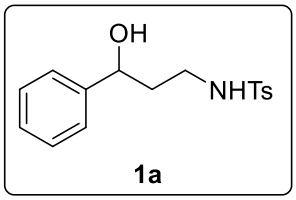
Unless stated otherwise, all reactions were carried out in flame-dried glassware under a dry argon atmosphere. All solvents were purified and dried according to standard methods prior to use. Melting points were measured on a SGW X-4. Melting points are uncorrected. NMR spectras were recorded on a Bruker ARX 400 and 500 spectrometer and were recorded in ppm (δ) downfield of TMS (δ = 0) in deuterated solvent. Signal splitting patterns are described as singlet (s), doublet (d), triplet (t), quartet (q), quintet (quint), or multiplet (m), with coupling constants (J) in hertz. Mass spectra were conducted at Micromass Q-Tof instrument (ESI) and Agilent Technologies 5973N (EI). HPLC analyses were performed on Shimadzu SPD-20A using Daicel Chiralpak AD-H, OB-H, OJ-H, OD-H, AS-H, IA, IB, IC, Column. Values of optical rotation were measured on Rudolph Automatic Polarimeter A21101 at the wavelength of the sodium D-line (589 nm).

General procedure for preparation of substrates

General procedure for preparation of racemic 1a-1s：



To a solution of acetonitrile (1.28g, 31.2 mmol, 2.0 equiv.) and NaH (1.56 g, 39.0 mmol, 2.5 equiv.) in 25 mL THF at room temperature was added a solution of methyl formate (2.0 g, 15.6 mmol, 1.0 equiv.) in 15 mL THF dropwise. Then, maintaining the temperature at 80 ℃. The reaction was stirred for 5 h before cooling to r.t.. Consumption of the starting material was monitored by TLC. The reaction mixture was quenched by 1N HCl at 0℃, the aqueous phase was extracted with further ethyl acetate (3 × 30 mL), the combined organic phases were dried over Na_2SO_4, filtered and concentrated under reduced pressure. The crude was concentrated to an oil, taken up into 30 ml Et_2O and was added $LiAlH_4$ (0.416 g, 10.9 mmol, 3.0 equiv.). The reaction mixture was allowed to stir at room temperature for overnight and then quenched by $NaSO_4$ • 10 H_2O. After filtered, the aqueous phase was extracted with further ethyl acetate (3×30 mL), the combined organic phases were dried over Na_2SO_4, filtered and concentrated under reduced pressure. The crude was concentrated to an oil, taken up into 10 ml DCM and was added Et_3N (8.4 mmol, 2.0 equiv.). The resulting mixture was cooled to 0 ℃, then the acid chloride R'-Cl (4.6 mmol, 1.1 equiv.) in CH_2Cl_2 (10 mL) was added dropwise. The residue was chromatographed on silica gel (CH_2Cl_2/MeOH=100/1 to 20/1) to afford the N-protected amino alcohol.

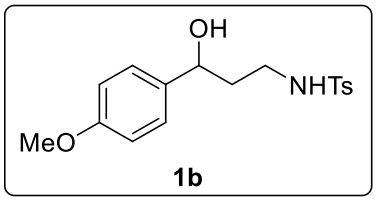


1a

N-(3-hydroxy-3-phenylpropyl)-4-methylbenzenesulfonamide (1a)[S1]

White solid, 76% yield (3.62 g). Analytical data for **1a: ^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.77 (d, *J* = 8.3 Hz, 2H), 7.36-7.29 (m, 4H), 7.32-7.23 (m, 3H), 5.30 (dd, *J* = 6.9, 5.1 Hz, 1H), 4.86-4.79 (m, 1H), 3.18 (m, 1H), 3.12-3.03 (m, 1H), 2.46 (s, 3H), 2.37 (s, 1H), 1.92-1.83 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 143.7, 143.4, 136. 9, 129.8, 128.6,

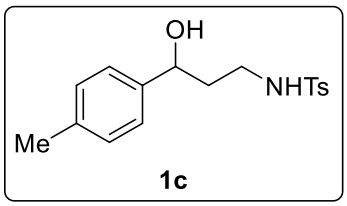
127.8, 127.2, 125.6, 73.1, 40.9, 37.7, 21.6.



1b

N-(3-hydroxy-3-(4-methoxyphenyl)propyl)-4-methylbenzenesulfonamide (1b)

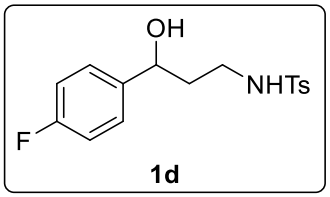
White solid, 71% yield (3.72 g). Analytical data for **1b**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.80-7.74 (m, 2H), 7.33 (d, *J* = 7.7 Hz, 2H), 7.21-7.15 (m, 2H), 6.88-6.83 (m, 2H), 5.25 (s, 1H), 4.76 (dd, *J* = 8.2, 4.5 Hz, 1H), 3.81 (s, 3H), 3.22-3.13 (m, 1H), 3.10-3.03 (m, 1H), 2.46 (s, 3H), 1.88-1.83 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 159.2, 143.4, 136.9, 135.9, 129.7, 127.2, 126.9, 114.0, 73.0, 55.3, 41.0, 37.6, 21.6. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{17}H_{21}NO_4SNa$: 358.1083. Found: 358.1078.



1c

N-(3-hydroxy-3-(p-tolyl)propyl)-4-methylbenzenesulfonamide (1c)

White solid, 71% yield (3.54 g). Analytical data for **1c**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.75 (d, *J* = 8.3 Hz, 2H), 7.31 (d, *J* = 7.9 Hz, 2H), 7.12 (s, 4H), 5.17 (t, *J* = 5.8 Hz, 1H), 4.76 (m, 1H), 3.16 (m, 1H), 3.06 (m, 1H), 2.44 (s, 3H), 2.33 (s, 3H), 1.89-1.79 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 143.3, 140.7, 137.6, 137.0, 129.7, 129.3, 127.2, 125.5, 73.3, 41.0, 37.6, 21.5, 21.1. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{17}H_{21}NO_3SNa$: 342.1134. Found: 342.1130.

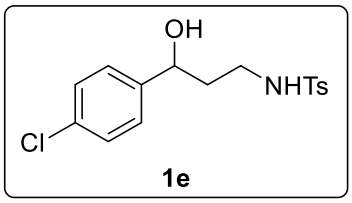


1d

N-(3-(4-fluorophenyl)-3-hydroxypropyl)-4-methylbenzenesulfonamide (1d)

White solid, 72% yield (3.82 g). Analytical data for **1d**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.77 (d, *J* = 7.9 Hz, 2H), 7.33 (d, *J* = 7.9 Hz, 2H), 7.27-7.19 (m, 2H), 7.07-6.94 (m, 2H), 5.31 (s, 1H), 4.83 (t, *J* = 6.4 Hz, 1H), 3.22-3.13 (m, 1H), 3.10-3.02 (m, 1H), 2.46

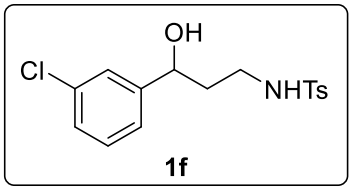
(s, 3H), 1.88-1.82 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 162.2 (d, *J* = 246.4 Hz), 143.5, 139.5, 136.8, 129.8, 127.3 (d, *J* = 8.1 Hz), 127.1, 115.4 (d, *J* = 21.3 Hz), 72.2, 40.7, 37.9, 21.6. **^{19}F NMR (471 MHz, $CDCl_3$)** δ -114.66. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{16}H_{18}FNO_3SNa$: 346.0884. Found: 346.0879.



1e

N-(3-(4-chlorophenyl)-3-hydroxypropyl)-4-methylbenzenesulfonamide (1e)

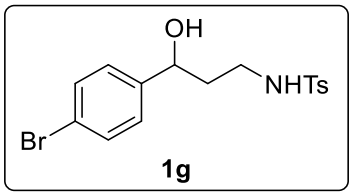
White solid, 66% yield (3.50 g). Analytical data for **1e**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.76 (d, *J* = 9.7 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.28 (dd, *J* = 6.0, 2.5 Hz, 2H), 7.20 (d, *J* = 8.5 Hz, 2H), 5.28 (s, 1H), 4.84 (dd, *J* = 8.4, 4.3 Hz, 1H), 3.21-3.12 (m, 1H), 3.10-3.01 (m, 1H), 2.46 (s, 3H), 1.91-1.78 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 143.5, 142.2, 136.8, 129.8, 128.7, 127.1, 127.0, 125.6, 72.1, 40.6, 37.8, 21.6. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{16}H_{18}ClNO_3SNa$: 362.0588. Found: 362.0582.



1f

N-(3-(3-chlorophenyl)-3-hydroxypropyl)-4-methylbenzenesulfonamide (1f)

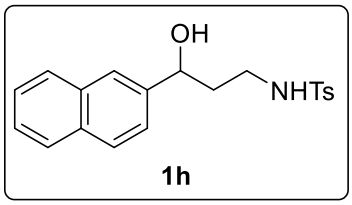
White solid, 73% yield (3.87 g). Analytical data for **1f**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.77 (d, *J* = 8.3 Hz, 2H), 7.34 (d, *J* = 8.1 Hz, 2H), 7.28-7.22 (m, 3H), 7.17-7.11 (m, 1H), 5.25 (s, 1H), 4.83 (dd, *J* = 8.7, 4.0 Hz, 1H), 3.24-3.14 (m, 1H), 3.13-3.03 (m, 1H), 2.46 (s, 3H), 1.92-1.77 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 145.9, 143.6, 136.8, 134.5, 129.9, 129.8, 127.9, 127.1, 125.8, 123.7, 72.1, 40.6, 37.8, 21.6. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{16}H_{18}ClNO_3SNa$: 362.0588. Found: 362.0586.



1g

N-(3-(4-bromophenyl)-3-hydroxypropyl)-4-methylbenzenesulfonamide (1g)

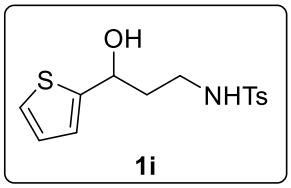
White solid, 68% yield (4.08 g). Analytical data for **1g**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.76 (d, *J* = 8.3 Hz, 2H), 7.43 (d, *J* = 8.4 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.14 (d, *J* = 8.4 Hz, 2H), 5.31 (s, 1H), 4.82 (dd, *J* = 8.4, 4.2 Hz, 1H), 3.19-3.13 (m, 1H), 3.09-3.01 (m, 1H), 2.46 (s, 3H), 1.90-1.79 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 143.5, 142.8, 136.7, 131.6, 129.8, 127.3, 125.6, 121.5, 72.1, 40.6, 37.8, 21.6. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{16}H_{18}BrNO_3SNa$: 406.0083. Found: 406.0077.



1h

N-(3-hydroxy-3-(naphthalen-2-yl)propyl)-4-methylbenzenesulfonamide (1h)

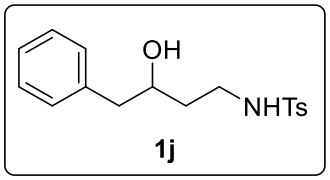
White solid, 59% yield (3.27 g). Analytical data for **1h**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.86-7.77 (m, 3H), 7.77 (d, *J* = 8.1 Hz, 2H), 7.72 (s, 1H), 7.53-7.47 (m, 2H), 7.37 (d, *J* = 8.4 Hz, 1H), 7.30 (d, *J* = 7.1 Hz, 2H), 5.31 (s, 1H), 5.00 (t, *J* = 6.3 Hz, 1H), 3.21 (dt, *J* = 12.7, 6.2 Hz, 1H), 3.11 (dt, *J* = 12.5, 5.8 Hz, 1H), 2.44 (s, 3H), 1.96 (q, *J* = 5.7 Hz, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 143.4, 141.1, 136.9, 133.2, 133.0, 129.7, 128.5, 128.0, 127.7, 127.1, 126.3, 126.1, 124.3, 123.6, 73.2, 40.8, 37.6, 21.6. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{20}H_{21}NO_3SNa$: 378.1134. Found: 378.1128.



1i

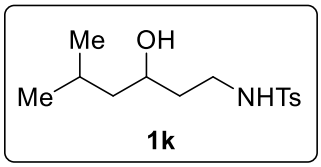
N-(3-hydroxy-3-(thiophen-2-yl)propyl)-4-methylbenzenesulfonamide (1i)

White solid, 65% yield (3.16 g). Analytical data for **1i**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.77 (d, *J* = 8.4 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.24 (dd, *J* = 5.0, 1.3 Hz, 1H), 6.95 (dd, *J* = 5.0, 3.5 Hz, 1H), 6.92 (d, *J* = 3.5 Hz, 1H), 5.25 (s, 1H), 5.13-5.02 (m, 1H), 3.26-3.18 (m, 1H), 3.12-3.05 (m, 1H), 2.69 (s, 1H), 2.45 (s, 3H), 2.03-1.95 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 147.6, 143.5, 136.8, 129.8, 127.1, 126.8, 124.8, 123.8, 68.6, 40.6, 38.1, 21.6. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{14}H_{17}NO_3S_2Na$: 334.0542. Found: 334.0538.



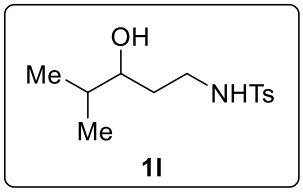
N-(3-hydroxy-4-phenylbutyl)-4-methylbenzenesulfonamide (1j)

White solid, 65% yield (3.24 g). Analytical data for **1j**: **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.73 (d, *J* = 8.3 Hz, 2H), 7.39-7.19 (m, 5H), 7.18-7.08 (m, 2H), 5.22 (s, 1H), 3.94-3.85 (m, 1H), 3.23-3.16 (m, 1H), 3.09-3.01 (m, 1H), 2.74 (dd, *J* = 13.5, 4.6 Hz, 1H), 2.63 (dd, *J* = 13.5, 8.4 Hz, 1H), 2.42 (s, 3H), 1.77-1.69 (m, 1H), 1.63-1.54 (m, 1H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 143.3, 137.6, 137.0, 129.7, 129.4, 128.7, 127.1, 126.8, 71.7, 44.2, 41.1, 35.2, 21.5. **HRMS (ESI)** m/z [M+Na]$^+$: Calcd for $C_{17}H_{21}NO_3SNa$: 342.1134. Found: 342.1128.



N-(3-hydroxy-5-methylhexyl)-4-methylbenzenesulfonamide (1k)

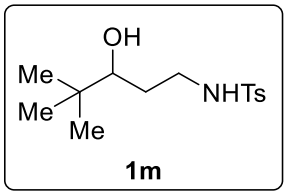
White solid, 75% yield (3.34 g). Analytical data for **1k**: **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.77 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 5.25 (s, 1H), 3.85-3.74 (m, 1H), 3.25-3.14 (m, 1H), 3.10-3.00 (m, 1H), 2.45 (s, 3H), 1.74-1.65 (m, 2H), 1.55-1.47 (m, 1H), 1.41-1.35 (m, 1H), 1.20-1.14 (m, 1H), 0.94-0.84 (m, 6H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 143.4, 136.9, 129.7, 127.1, 68.8, 46.8, 41.0, 36.3, 24.5, 23.3, 22.0, 21.5. **HRMS (ESI)** m/z [M+Na]$^+$: Calcd for $C_{14}H_{23}NO_3SNa$: 308.1291. Found: 308.1284.



N-(3-hydroxy-4-methylpentyl)-4-methylbenzenesulfonamide (1l)

White solid, 75% yield (3.18 g). Analytical data for **1l**: **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.77 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 5.27 (dd, *J* = 7.6, 4.3 Hz, 1H), 3.46 (dt, *J* = 7.8, 3.8 Hz, 1H), 3.25-3.17 (m, 1H), 3.07-3.00 (m, 1H), 2.45 (s, 3H), 1.67-1.58

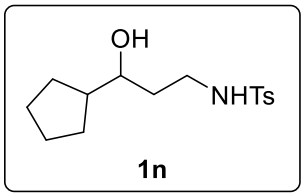
(m, 2H), 1.56-1.48 (m, 1H), 0.88 (t, *J* = 7.1 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 143.3, 137.0, 129.7, 127.1, 75.7, 41.4, 34.0, 32.6, 21.5, 18.4, 17.4. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{13}H_{21}NO_3SNa$: 294.1134. Found: 294.1131.



1m

N-(3-hydroxy-4,4-dimethylpentyl)-4-methylbenzenesulfonamide (1m)

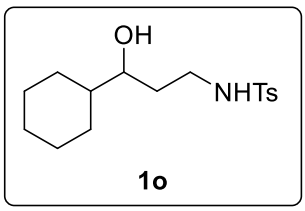
White solid, 63% yield (2.80 g). Analytical data for **1m**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.77 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 8.1 Hz, 2H), 5.27 (s, 1H), 3.34-3.28 (m, 1H), 3.28-3.17 (m, 1H), 3.08-2.98 (m, 1H), 2.44 (s, 3H), 1.69-1.63 (m, 1H), 1.40-1.47 (m, 1H), 0.86 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 143.3, 137.0, 129.7, 127.1, 78.7, 41.9, 34.9, 30.1, 25.5, 21.5. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{14}H_{23}NO_3SNa$: 308.1291. Found: 308.1286.



1n

N-(3-cyclopentyl-3-hydroxypropyl)-4-methylbenzenesulfonamide (1n)

White solid, 71% yield (3.29 g). Analytical data for **1n**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.77 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 8.1 Hz, 2H), 5.28 (t, *J* = 5.9 Hz, 1H), 3.49 (t, *J* = 8.4 Hz, 1H), 3.26-3.17 (m, 1H), 3.09-2.99 (m, 1H), 2.45 (s, 3H), 1.83-1.69 (m, 3H), 1.64-1.47 (m, 6H), 1.33-1.24 (m, 1H), 1.15-1.02 (m, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 143.3, 137.0, 129.7, 127.1, 75.3, 46.5, 41.2, 34.5, 29.0, 28.7, 25.7, 25.5, 21.5. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{15}H_{23}NO_3SNa$: 320.1291. Found: 320.1283.

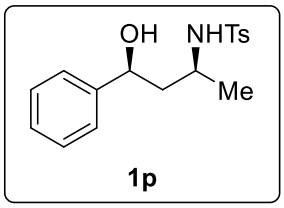


1o

N-(3-cyclohexyl-3-hydroxypropyl)-4-methylbenzenesulfonamide (1o)

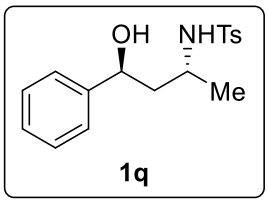
White solid, 77% yield (3.74 g). Analytical data for **1o**: **^{1}H NMR (500 MHz, $CDCl_3$)**

δ 7.77 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 7.8 Hz, 2H), 5.19 (s, 1H), 3.48-3.41 (m, 1H), 3.27-3.15 (m, 1H), 3.08-2.99 (m, 1H), 2.45 (s, 3H), 1.81-1.63 (m, 6H), 1.58-1.49 (m, 1H), 1.29-1.09 (m, 4H), 0.93-1.16 (m, 2H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 143.3, 137.0, 129.7, 127.1, 75.3, 43.8, 41.4, 32.6, 28.8, 28.0, 26.4, 26.1, 26.0, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{16}H_{25}NO_3SH$: 312.1628. Found: 312.1622.



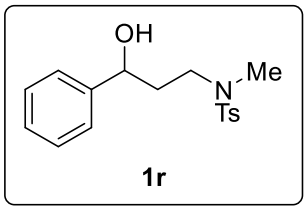
N-((*2S, 4S*)-4-hydroxy-4-phenylbutan-2-yl)-4-methylbenzenesulfonamide (1p)[S2]

White solid, 56% yield. Analytical data for **1p**: **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.82 (d, *J* = 8.4 Hz, 2H), 7.38-7.23 (m, 7H), 5.36 (s, 1H), 4.61 (d, *J* = 5.7 Hz, 1H), 3.53-3.44 (m, 1H), 2.47 (s, 3H), 2.17 (s, 1H), 1.96-1.88 (m, 1H), 1.73-1.67 (m, 1H), 1.14 (d, *J* = 6.3 Hz, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 144.1, 143.3, 137.8, 129.7, 128.7, 128.0, 127.3, 125.7, 73.4, 49.5, 45.7, 22.4, 21.6.



N-((*2R, 4S*)-4-hydroxy-4-phenylbutan-2-yl)-4-methylbenzenesulfonamide (1q)[S3]

White solid, 31% yield. Analytical data for **1q**: **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.82 (d, *J* = 8.3 Hz, 2H), 7.37-7.26 (m, 7H), 5.00 (d, *J* = 10.5 Hz, 1H), 4.98-4.87 (m, 1H), 3.73-3.61 (m, 1H), 2.84 (s, 1H), 2.46 (s, 3H), 1.82-1.76 (m, 1H), 1.66-1.59 (m, 2H), 1.05 (d, *J* = 6.7 Hz, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 144.0, 143.5, 137.8, 129.8, 128.5, 127.5, 127.1, 125.6, 70.3, 47.3, 46.3, 21.6, 21.6.

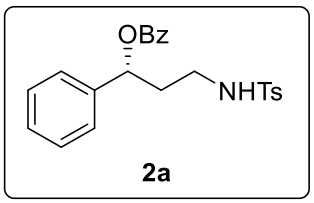


N-(3-hydroxy-3-phenylpropyl)-N,4-dimethylbenzenesulfonamide (1r)

White solid, 79% yield. Analytical data for **1r**: **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.71 (d, *J* = 8.3 Hz, 2H), 7.43-7.27 (m, 7H), 4.92 (dd, *J* = 9.2, 3.9 Hz, 1H), 3.53-3.45 (m, 1H), 2.95-2.87 (m, 1H), 2.80 (s, 3H), 2.46 (s, 3H), 2.00-1.86 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 144.0, 143.5, 134.2, 129.8, 128.5, 127.6, 127.4, 125.8, 70.7, 47.2, 36.9, 35.2, 21.5. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{17}H_{21}NO_3SNa$: 342.1134. Found: 342.1129.

General procedure for kinetic resolution of 1,3-amino alcohols：

To a schlenk tube was added racemic amnio alcohol **1** (0.1 mmol), catalyst **C1** (1.8 mg, 2 mol%), Et_3N (30 mg, 0.3 mmol), and THF (dry) (1 mL) under argon, after stirring for 0.5 h, benzochloride (0.07 mmol) was added. Then the mixture was stirred at room temperature for 2 h (**1a-1i**) or 2.5 h (**1j-1o**). The reaction mixture was quenched by saturated NH_4Cl (aq), then extracted with EtOAc, the combined organic layers were washed with $NaHCO_3$ (aq), saturated brine, dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was subjected to prepare TLC, the obtained benzoylated amino alcohol **2** and recovered aminol alcohol **1** were analyzed by HPLC analysis on a chiral stationary phase. Conversions (*C*) were calculated from the enantiomeric excesses of **2** and the recovered **1** using the following equation: $C = ee_s/(ee_p + ee_s)$, where ee_s is the enantiomeric excess of the recovered amino alcohol **1** and ee_p is the enantiomeric excess of **2**. The *s* value was calculated using the calculated conversion (*C*) and ee_s following the equation: $s = \ln[(1 - C)(1 - ee_s)/ \ln[(1 - C)(1 + ee_s)]$.

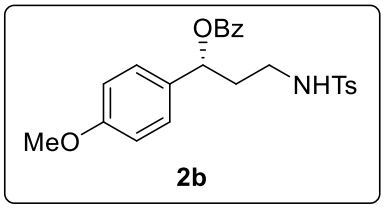


(*R*)-3-((4-methylphenyl)sulfonamido)-1-phenylpropyl benzoate (2a)

Colorless oil, 50% yield (20 mg), 79% *ee*. Analytical data for **2a**: $[\alpha]_D^{20} = 15.3$ (c = 0.55, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.03 (d, *J* = 6.9 Hz, 2H), 7.74 (d, *J* = 8.3 Hz, 2H), 7.63-7.56 (m, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 7.39-7.30 (m, 5H), 7.27 (d, *J* = 8.1 Hz, 2H), 6.04 (dd, *J* = 8.9, 4.7 Hz, 1H), 5.06 (s, 1H), 3.22-3.12 (m, 1H), 3.03-2.92 (m, 1H), 2.41 (s, 3H), 2.25-2.07 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 166.0, 143.4, 139.6, 136.9, 133.3, 129.7, 129.7, 128.7, 128.5, 128.3, 127.1, 126.2, 73.8, 39.7, 36.8, 29.7, 21.5. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{23}H_{23}NO_4SNa$: 432.1240. Found: 432.1236. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1 mL/min, λ = 220 nm, t_R(major) = 9.48 min, t_R(minor) = 23.16 min.

(*S*)-N-(3-hydroxy-3-phenylpropyl)-4-methylbenzenesulfonamide (1a')

White solid, 48% yield (15 mg), 92% *ee*. Analytical data for **1a'**: $[\alpha]_D^{20}$ = -26.3 (c = 0.50, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 0.8 mL/min, λ = 220 nm, t_R(minor) = 17.51 min, t_R(major) = 18.72 min.



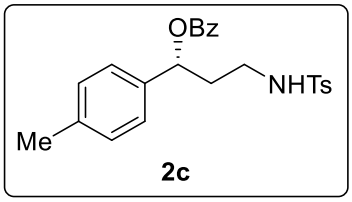
2b

(*R*)-1-(4-methoxyphenyl)-3-((4-methylphenyl)sulfonamido)propyl benzoate (2b)

Colorless oil, 48% yield (21 mg), 80% *ee*. Analytical data for **2b**: $[\alpha]_D^{20}$ = 10.1 (c = 0.50, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.08-7.94 (m, 2H), 7.79-7.68 (m, 2H), 7.61-7.55 (m, 1H), 7.48-7.43 (m, 2H), 7.29-7.27 (m, 3H), 7.26-7.25 (m, 1H), 6.88 (d, *J* = 8.7 Hz, 2H), 5.99 (dd, *J* = 9.0, 4.8 Hz, 1H), 5.03 (d, *J* = 6.3 Hz, 1H), 3.81 (s, 3H), 3.20-3.10 (m, 1H), 3.00-2.90 (m, 1H), 2.40 (s, 3H), 2.24-2.16 (m, 1H), 2.14-2.06 (m, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 166.1, 159.5, 143.4, 136.9, 133.3, 131.6, 129.9, 129.7, 129.7, 128.4, 127.7, 127.1, 114.1, 73.6, 55.3, 39.7, 36.6, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{24}H_{25}NO_5SH$: 440.1526. Found: 440.1521. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1.0 mL/min, λ = 220 nm, t_R(major) = 12.67 min, t_R(minor) = 35.31 min.

(*S*)-N-(3-hydroxy-3-(4-methoxyphenyl)propyl)-4-methylbenzenesulfonamide (1b')

White solid, 45% yield (15 mg), 84% *ee*. Analytical data for **1b'**: $[\alpha]_D^{20}$ = -22.5 (c = 0.61, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1 mL/min, λ = 220 nm, t_R(minor) = 20.72 min, t_R(major) = 25.30 min.



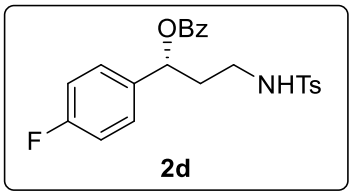
2c

(*R*)-3-((4-methylphenyl)sulfonamido)-1-(p-tolyl)propyl benzoate (2c)

Colorless oil, 48% yield (20 mg), 78% *ee*. Analytical data for **2c**: $[\alpha]_D^{20} = 9.3$ (c = 0.61, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.08-7.91 (m, 2H), 7.71 (d, *J* = 8.3 Hz, 2H), 7.63-7.51 (m, 1H), 7.43 (m, 2H), 7.28-7.17 (m, 4H), 7.13 (d, *J* = 7.9 Hz, 2H), 5.97 (dd, *J* = 8.9, 4.8 Hz, 1H), 4.97 (s, 1H), 3.19-3.10 (m, 1H), 2.99-2.88 (m, 1H), 2.38 (s, 3H), 2.32 (s, 3H), 2.23-2.02 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 166.1, 143.4, 138.1, 136.9, 136.6, 133.3, 129.9, 129.7, 129.7, 129.4, 128.5, 127.1, 126.2, 73.7, 39.7, 36.7, 21.5, 21.2. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{24}H_{25}NO_4SH$: 424.1577. Found: 424.1577. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1.0 mL/min, λ = 220 nm, t_R(major) = 10.34 min, t_R(minor) = 26.02 min.

(*S*)-N-(3-hydroxy-3-(p-tolyl)propyl)-4-methylbenzenesulfonamide (1c')

White solid, 46% yield (15 mg), 87% *ee*. Analytical data for **1c'**: $[\alpha]_D^{20} = -32.6$ (c = 0.52 $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak OJ-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(minor) = 14.22 min, t_R(major) = 17.23 min.



2d

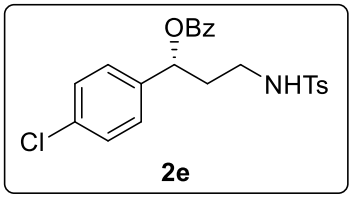
(*R*)-1-(4-fluorophenyl)-3-((4-methylphenyl)sulfonamido)propyl benzoate (2d)

Colorless oil, 48 % yield (20 mg), 85% *ee*. Analytical data for **2d**: $[\alpha]_D^{20} = 21.3$ (c = 0.61, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.05-7.98 (m, 2H), 7.74 (d, *J* = 8.3 Hz, 2H), 7.60 (t, *J* = 7.4 Hz, 1H), 7.46 (t, *J* = 7.8 Hz, 2H), 7.34-7.30 (m, 2H), 7.27 (d, *J* = 8.0 Hz, 2H), 7.04 (t, *J* = 8.6 Hz, 2H), 6.02 (dd, *J* = 9.1, 4.7 Hz, 1H), 5.15 (s, 1H), 3.18-3.10 (m, 1H), 3.02-2.92 (m, 1H), 2.40 (s, 3H), 2.19-2.23 (m, 1H), 2.16-2.06 (m, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 166.0, 162.5 (d, *J* = 247.1 Hz), 143.5, 136.8, 135.5, 133.4, 129.8, 129.7, 128.5, 128.1 (d, *J* = 8.1 Hz), 127.1, 115.8, 115.6, 73.1, 39.6, 36.8, 21.5. **^{19}F NMR (471 MHz, $CDCl_3$)** δ -113.54. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{23}H_{22}FNO_4SH$: 428.1326. Found: 428.1324. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1.0 mL/min, λ = 220 nm, t_R(major)

= 9.24 min, t_R(minor) = 23.89 min.

(*S*)-N-(3-(4-fluorophenyl)-3-hydroxypropyl)-4-methylbenzenesulfonamide (1d')

White solid, 47% yield (15 mg), 90% *ee*. Analytical data for **1d'**: $[\alpha]_D^{20}$ = -41.6 (c = 0.53, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(minor) = 12.93 min, t_R (major) = 13.69 min.

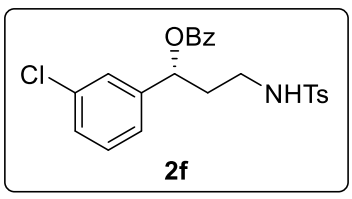


(*R*)-1-(4-chlorophenyl)-3-((4-methylphenyl)sulfonamido)propyl benzoate (2e)

Colorless oil, 48% yield (21 mg), 83% *ee*. Analytical data for **2e**: $[\alpha]_D^{20}$ = 12.7 (c = 0.56, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.01 (dd, *J* = 8.3, 1.3 Hz, 2H), 7.73 (d, *J* = 8.3 Hz, 2H), 7.63-7.57 (m, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 7.35-7.24 (m, 6H), 6.01 (dd, *J* = 9.1, 4.6 Hz, 1H), 5.10 (s, 1H), 3.18-3.10 (m, 1H), 3.02-2.92 (m, 1H), 2.41 (s, 3H), 2.23-2.05 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 165.9, 143.5, 138.2, 136.8, 134.1, 133.5, 129.8, 129.7, 128.9, 128.5, 127.6, 127.1, 126.2, 73.1, 39.6, 36.7, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{23}H_{22}ClNO_4SH$: 444.1031. Found: 444.1031. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1.0 mL/min, λ = 220 nm, t_R(major) = 10.27 min, t_R(minor) = 28.26 min.

(*S*)-N-(3-(4-chlorophenyl)-3-hydroxypropyl)-4-methylbenzenesulfonamide (1e')

White solid, 46% yield (16 mg), 93% *ee*. Analytical data for **1e'**: $[\alpha]_D^{20}$ = -29.2 (c = 0.53, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(minor) = 25.87 min, t_R(major) = 25.26 min.



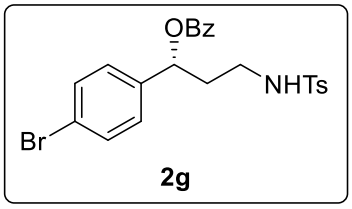
(*R*)-1-(3-chlorophenyl)-3-((4-methylphenyl)sulfonamido)propyl benzoate (2f)

Colorless oil, 47% yield (21 mg), 86% *ee*. Analytical data for **2f**: $[\alpha]_D^{20}$ = 22.2 (c = 0.60,

$CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.03 (d, *J* = 6.9 Hz, 2H), 7.75 (d, *J* = 8.3 Hz, 2H), 7.65-7.59 (m, 1H), 7.51-7.45 (m, 2H), 7.28 (dd, *J* = 4.7, 3.5 Hz, 5H), 7.22 (td, *J* = 4.1, 2.2 Hz, 1H), 5.99 (dd, *J* = 9.0, 4.6 Hz, 1H), 5.09 (t, *J* = 6.4 Hz, 1H), 3.22-3.12 (m, 1H), 3.04-2.92 (m, 1H), 2.41 (s, 3H), 2.21-2.04 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 165.9, 143.6, 141.7, 136.9, 134.6, 133.5, 130.1, 129.8, 129.7, 128.6, 128.5, 127.1, 126.3, 124.4, 72.9, 39.5, 36.8, 29.7, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{23}H_{22}ClNO_4SH$: 444.1031. Found: 444.1034. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1.0 mL/min, λ = 220 nm, t_R(major) = 8.24 min, t_R(minor) = 14.31 min.

(*S*)-N-(3-(3-chlorophenyl)-3-hydroxypropyl)-4-methylbenzenesulfonamide (1f')

White solid, 49% yield (17 mg), 84% *ee*. Analytical data for **1f'**: $[\alpha]_D^{20}$ = -34.2 (c = 0.55, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(minor) = 18.81 min, t_R(major) = 20.95 min.



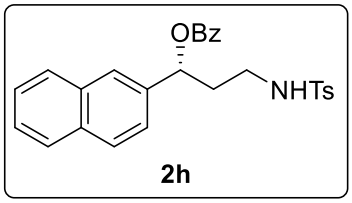
2g

(*R*)-1-(4-bromophenyl)-3-((4-methylphenyl)sulfonamido)propyl benzoate (2g)

Colorless oil, 48% yield (23 mg), 87% *ee*. Analytical data for **2g**: $[\alpha]_D^{20}$ = 16.4 (c = 0.51, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.01 (d, *J* = 6.8 Hz, 2H), 7.73 (d, *J* = 8.3 Hz, 2H), 7.62-7.58 (m, 1H), 7.47 (d, *J* = 8.0 Hz, 3H), 7.27 (d, *J* = 8.0 Hz, 2H), 7.21 (d, *J* = 8.4 Hz, 2H), 5.99 (dd, *J* = 9.0, 4.7 Hz, 1H), 5.08-5.15 (m, 1H), 3.19-3.09 (m, 1H), 3.01-2.94 (m, 1H), 2.41 (s, 3H), 2.23-2.04 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 165.9, 143.5, 138.7, 136.8, 133.5, 131.9, 129.8, 129.7, 128.5, 127.0, 128.11, 126.2, 122.2, 73.1, 39.5, 36.7, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{23}H_{22}BrNO_4SH$: 488.0526. Found: 488.0529. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1.0 mL/min, λ = 220 nm, t_R(major) = 10.97 min, t_R(minor) = 31.37 min.

(*S*)-N-(3-(4-bromophenyl)-3-hydroxypropyl)-4-methylbenzenesulfonamide (1g')

White solid, 49% yield (19 mg), 77% *ee*. Analytical data for **1g'**: $[\alpha]_D^{20}$ = -36.0 (c = 0.55, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak OJ-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(minor) = 15.03 min, t_R(major) = 16.31 min.



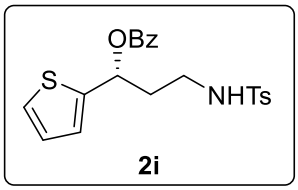
2h

(*R*)-3-((4-methylphenyl)sulfonamido)-1-(naphthalen-2-yl)propyl benzoate (2h)

Colorless oil, 49% yield (23 mg), 70% *ee*. Analytical data for **2h**: $[\alpha]_D^{20}$ = 20.2 (c = 0.61, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.06 (dd, *J* = 8.3, 1.4 Hz, 2H), 7.88-7.81 (m, 3H), 7.79 (s, 1H), 7.76-7.71 (m, 2H), 7.63-7.58 (m, 1H), 7.55-7.44 (m, 5H), 7.25 (d, *J* = 8.1 Hz, 2H), 6.21 (dd, *J* = 8.9, 4.7 Hz, 1H), 5.12-5.03 (m, 1H), 3.26-3.16 (m, 1H), 3.07-2.97 (m, 1H), 2.39 (s, 3H), 2.34-2.26 (m, 1H), 2.25-2.18 (m, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 166.1, 143.4, 136.9, 133.4, 133.2, 133.1, 129.8, 129.7, 128.7, 128.5, 128.1, 127.7, 127.1, 126.5, 126.4, 125.5, 123.8, 73.9, 39.7, 36.7, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{27}H_{25}NO_4SH$: 460.1577. Found: 460.1575. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1.0 mL/min, λ = 220 nm, t_R(major) = 15.16 min, t_R(minor) = 33.60 min.

(*S*)-N-(3-hydroxy-3-(naphthalen-2-yl)propyl)-4-methylbenzenesulfonamide (1h')

White solid, 47% yield (17 mg), 82% *ee*. Analytical data for **1h'**: $[\alpha]_D^{20}$ = -28.2 (c = 0.60, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(minor) = 23.88 min, t_R(major) = 29.91 min.



2i

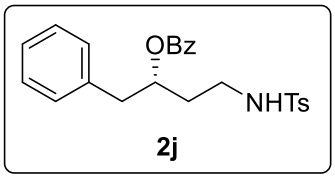
(*R*)-3-((4-methylphenyl)sulfonamido)-1-(thiophen-2-yl)propyl benzoate (2i)

Colorless oil, 41% yield (17 mg), 89% *ee*. Analytical data for **2i**: $[\alpha]_D^{20}$ = 18.3 (c = 0.50,

$CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.01 (dd, *J* = 8.3, 1.4 Hz, 2H), 7.74 (d, *J* = 8.3 Hz, 2H), 7.63-7.56 (m, 1H), 7.45 (t, *J* = 7.8 Hz, 2H), 7.30-7.28 (m, 2H), 7.26 (s, 1H), 7.06 (dt, *J* = 3.5, 0.9 Hz, 1H), 6.98 (dd, *J* = 5.1, 3.6 Hz, 1H), 6.34 (dd, *J* = 8.6, 5.2 Hz, 1H), 5.05 (s, 1H), 3.23-3.14 (m, 1H), 3.03-2.94 (m, 1H), 2.40 (s, 3H), 2.34-2.22 (m, 2H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 166.0, 143.5, 142.2, 136.8, 133.4, 129.8, 129.5, 128.5, 127.1, 126.8, 126.0, 125.7, 69.3, 39.6, 36.8, 21.5. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{21}H_{21}NO_4S_2Na$: 438.0804. Found: 438.0798. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1.0 mL/min, λ = 220 nm, t_R(major) = 10.14 min, t_R(minor) = 20.94 min.

(*S*)-N-(3-hydroxy-3-(thiophen-2-yl)propyl)-4-methylbenzenesulfonamide (1i')

White solid, 47% yield (14.7 mg), 66% *ee*. Analytical data for **1i'**: $[\alpha]_D^{20}$ = -25.1 (c = 0.61, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(minor) = 46.49 min, t_R(major) = 49.05 min.



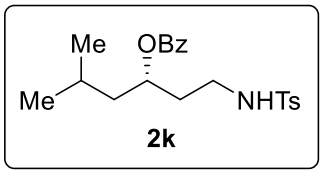
2j

(*R*)-4-((4-methylphenyl)sulfonamido)-1-phenylbutan-2-yl benzoate (2j)

Colorless oil, 44% yield (19 mg), 70% *ee*. Analytical data for **2j**: $[\alpha]_D^{20}$ = 35.7 (c = 0.57, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.99-7.84 (m, 2H), 7.74-7.62 (m, 2H), 7.62-7.49 (m, 1H), 7.46-7.37 (m, 2H), 7.30-7.14 (m, 7H), 5.35-5.27 (m, 1H), 5.07 (dd, *J* = 7.9, 5.1 Hz, 1H), 3.16-3.07 (m, 1H), 3.00 (dd, *J* = 13.9, 6.9 Hz, 1H), 2.88 (dd, *J* = 13.9, 5.9 Hz, 1H), 2.84-2.75 (m, 1H), 2.36 (s, 3H), 1.96-1.87 (m, 1H), 1.83-1.74 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.6, 143.3, 136.9, 136.7, 133.3, 129.7, 130.7, 129.6, 129.4, 128.5, 128.4, 127.0, 126.8, 72.6, 40.9, 39.5, 34.1, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{24}H_{25}NO_4SH$: 424.1577. Found: 424.1572. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1.0 mL/min, λ = 220 nm, t_R(major) = 14.16 min, t_R(minor) = 17.73 min.

(*S*)-N-(3-hydroxy-4-phenylbutyl)-4-methylbenzenesulfonamide (1j')

White solid, 40% yield (13 mg), 89% *ee*. Analytical data for **1j'**: $[\alpha]_D^{20}$ = -15.1 (c = 0.51, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak OJ-H, Hexanes/IPA = 80:20, 1mL/min, λ = 220 nm, t_R(minor) = 50.40 min, t_R(major) = 54.89 min.



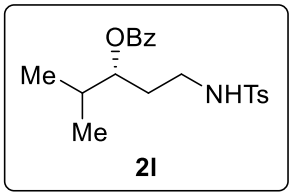
2k

(*R*)-5-methyl-1-((4-methylphenyl)sulfonamido)hexan-3-yl benzoate (2k)

Colorless oil, 47% yield (18 mg), 70% *ee*. Analytical data for **2k**: $[\alpha]_D^{20}$ = 15.8 (c = 0.55, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.97 (d, *J* = 6.9 Hz, 2H), 7.74 (d, *J* = 8.3 Hz, 2H), 7.63-7.55 (m, 1H), 7.50-7.41 (m, 2H), 7.25 (d, *J* = 7.7 Hz, 2H), 5.30 (dd, *J* = 8.6, 4.7 Hz, 1H), 5.26-5.17 (m, 1H), 3.20-3.10 (m, 1H), 2.81-2.71 (m, 1H), 2.39 (s, 3H), 1.94-1.86 (m, 1H), 1.80-1.68 (m, 2H), 1.70-1.60 (m, 1H), 1.36-1.30 (m, 1H), 0.90 (dd, *J* = 12.9, 6.6 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 167.0, 143.2, 137.1, 133.3, 129.8, 129.7, 128.4, 127.0, 70.4, 43.7, 39.4, 35.3, 24.7, 23.1, 22.0, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{21}H_{27}NO_4SH$: 390.1734. Found: 390.1727. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(major) = 8.39 min, t_R(minor) = 10.43 min.

(*S*)-N-(3-hydroxy-5-methylhexyl)-4-methylbenzenesulfonamide (1k')

White solid, 43% yield (12 mg), 92% *ee*. Analytical data for **1k'**: $[\alpha]_D^{20}$ = -32.1 (c = 0.58, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 92:8, 0.8 mL/min, λ = 220 nm, t_R(minor) = 15.28 min, t_R(major) = 16.52 min.



2l

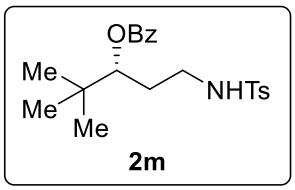
(*R*)-4-methyl-1-((4-methylphenyl)sulfonamido)pentan-3-yl benzoate (2l)

Colorless oil, 49% yield (18.4 mg), 95% *ee*. Analytical data for **2l**: $[\alpha]_D^{20}$ = 17.3 (c = 0.52, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.03-7.95 (m, 2H), 7.73 (d, *J* = 8.3 Hz,

2H), 7.62-7.57 (m, 1H), 7.46 (t, *J* = 7.8 Hz, 2H), 7.24 (d, *J* = 8.0 Hz, 2H), 5.30 (dd, *J* = 8.7, 4.7 Hz, 1H), 4.98-4.93 (m, 1H), 3.21-3.11 (m, 2H), 2.72-2.77 (m, 1H), 2.38 (s, 3H), 1.96-1.84 (m, 2H), 1.82-1.72 (m, 1H), 0.95 (dd, *J* = 12.9, 6.8 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 167.1, 143.2, 137.1, 133.3, 129.8, 129.7, 129.6, 128.5, 127.0, 76.2, 39.6, 32.1, 31.9, 21.5, 18.7, 17.7. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{20}H_{25}NO_4SNa$: 398.1397. Found: 398.1390. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 65:35, 1.0 mL/min, λ = 220 nm, t_R(major) = 5.93 min, t_R(minor) = 6.37 min.

(*S*)-N-(3-hydroxy-4-methylpentyl)-4-methylbenzenesulfonamide (1l')

White solid, 48% yield (13 mg), 99% *ee*. Analytical data for **1l'**: $[\alpha]_D^{20}$ = -26.8 (c = 0.51, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(minor) = 7.95 min, t_R(major) = 9.17 min.



2m

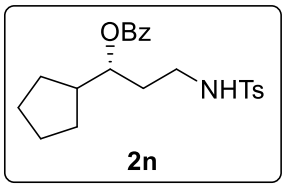
(*R*)-4,4-dimethyl-1-((4-methylphenyl)sulfonamido)pentan-3-yl benzoate (2m)

Colorless oil, 49% yield (19 mg), 99% *ee*. Analytical data for **2m**: $[\alpha]_D^{20}$ = 9.8 (c = 0.60, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.99 (dd, *J* = 8.3, 1.4 Hz, 2H), 7.73 (d, *J* = 8.3 Hz, 2H), 7.64-7.57 (m, 1H), 7.50-7.43 (m, 2H), 7.24 (d, *J* = 7.7 Hz, 2H), 5.43 (s, 1H), 4.88 (dd, *J* = 11.3, 2.2 Hz, 1H), 3.23-3.13 (m, 1H), 2.72-2.62 (m, 1H), 2.37 (s, 3H), 2.00-1.92 (m, 1H), 1.73-1.65 (m, 1H), 0.95 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 167.2, 143.1, 137.2, 133.3, 129.7, 129.6, 128.5, 127.0, 78.6, 39.7, 34.6, 29.8, 25.9, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{21}H_{27}NO_4SH$: 390.1734. Found: 390.1730. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 92:8, 1.0 mL/min, λ = 220 nm, t_R(major) = 20.05 min, t_R(minor) = 21.56 min.

(*S*)-N-(3-hydroxy-4,4-dimethylpentyl)-4-methylbenzenesulfonamide (1m')

White solid, 50% yield (14 mg), 90% *ee*. Analytical data for **1m'**: $[\alpha]_D^{20}$ = -16.4 (c = 0.50, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak OB-H,

Hexanes/IPA = 95:5, 1.0 mL/min, λ = 220 nm, t_R(minor) = 20.00 min, t_R (major) = 23.07 min.



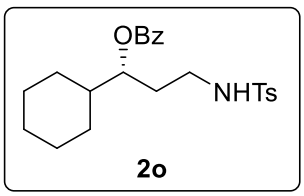
2n

(*R*)-1-cyclopentyl-3-((4-methylphenyl)sulfonamido)propyl benzoate (2n)

Colorless oil, 47% yield (19 mg), 95% *ee*. Analytical data for **2n**: $[\alpha]_D^{20}$ = 12.3 (c = 0.52, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.03-7.91 (m, 2H), 7.74 (d, *J* = 8.3 Hz, 2H), 7.63-7.56 (m, 1H), 7.46 (t, *J* = 7.8 Hz, 2H), 7.25 (d, *J* = 8.2 Hz, 2H), 5.34 (s, 1H), 5.05-4.98 (m, 1H), 3.16 (dt, *J* = 12.4, 6.6 Hz, 1H), 2.78-2.69 (m, 1H), 2.38 (s, 3H), 2.17-2.05 (m, 1H), 2.01-1.91 (m, 1H), 1.82-1.48 (m, 7H), 1.40-1.29 (m, 1H), 1.21-1.09 (m, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 167.1, 143.2, 137.2, 133.3, 129.8, 129.7, 129.6, 128.4, 127.0, 75.5, 44.1, 39.5, 34.0, 29.0, 28.8, 25.6, 25.4, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{22}H_{27}NO_4SH$: 402.1734. Found: 402.1728. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(major) = 10.44 min, t_R(minor) = 12.61 min.

(*S*)-N-(3-cyclopentyl-3-hydroxypropyl)-4-methylbenzenesulfonamide (1n')

White solid, 48% yield (14 mg), 97% *ee*. Analytical data for **1n'**: $[\alpha]_D^{20}$ = -22.1 (c = 0.60, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 94:6, 1.0 mL/min, λ = 220 nm, t_R(major) = 53.23 min, t_R(minor) = 55.85 min.



2o

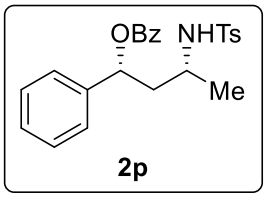
(*R*)-1-cyclohexyl-3-((4-methylphenyl)sulfonamido)propyl benzoate (2o)

Colorless oil, 51% yield (21 mg), 93% *ee*. Analytical data for **2o**: $[\alpha]_D^{20}$ = 12.6 (c = 0.66, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.98 (d, *J* = 7.6 Hz, 2H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.60 (t, *J* = 7.4 Hz, 1H), 7.46 (t, *J* = 7.6 Hz, 2H), 7.24 (d, *J* = 7.9 Hz, 2H), 5.28 (s, 1H), 5.01-4.88 (m, 1H), 3.23-3.08 (m, 1H), 2.81-2.66 (m, 1H), 2.38 (s, 3H), 1.97-1.86

(m, 1H), 1.83-1.69 (m, 5H), 1.69-1.64 (m, 1H), 1.59-1.49 (m, 1H), 1.29-0.98 (m, 5H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 167.0, 143.2, 137.1, 133.2, 129.8, 129.7, 129.6, 128.5, 127.0, 75.6, 41.8, 39.6, 31.8, 29.1, 28.1, 26.3, 26.0, 25.9, 21.5. **HRMS (ESI)** m/z $[M+H]^+$: Calcd for $C_{23}H_{29}NO_4SH$: 416.1890. Found: 416.1885. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(major) = 10.88 min, t_R(minor) = 14.93 min.

(*S*)-N-(3-cyclohexyl-3-hydroxypropyl)-4-methylbenzenesulfonamide (1o')

White solid, 48% yield (15 mg), 99% *ee*. Analytical data for **1o'**: $[\alpha]_D^{20}$ = -32.9 (c = 0.52, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 94:6, 1.0 mL/min, λ = 220 nm, t_R(minor) = 53.68 min, t_R(major) = 56.66 min.

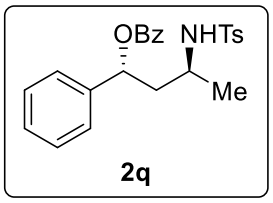


(*1R, 3R*)-3-((4-methylphenyl)sulfonamido)-1-phenylbutyl benzoate (2p)

Colorless oil, 65% *ee*. Analytical data for **2p**: $[\alpha]_D^{20}$ = 7.9 (c = 0.55, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.05 (d, *J* = 6.9 Hz, 2H), 7.76 (d, *J* = 8.3 Hz, 2H), 7.64-7.58 (m, 1H), 7.48 (t, *J* = 7.8 Hz, 2H), 7.35-7.21 (m, 7H), 5.89 (dd, *J* = 7.9, 5.7 Hz, 1H), 4.86 (d, *J* = 7.7 Hz, 1H), 3.46-3.34 (m, 1H), 2.44 (s, 3H), 2.37-2.26 (m, 1H), 1.97-1.88 (m, 1H), 1.16 (d, *J* = 6.6 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 165.8, 143.4, 139.8, 137.8, 133.3, 130.0, 129.8, 129.7, 128.6, 128.5, 128.3, 127.2, 126.5, 73.9, 47.3, 44.3, 21.9, 21.6. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{24}H_{25}NO_4SNa$: 446.1397. Found: 446.1392. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(major) = 14.38 min, t_R(minor) = 29.38 min.

N-((*2S,4S*)-4-hydroxy-4-phenylbutan-2-yl)-4-methylbenzenesulfonamide (1p')

White solid, 64% *ee*. Analytical data for **1p'**: $[\alpha]_D^{20}$ = 10.2 (c = 0.60, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak OJ-H, Hexanes/IPA = 82:18, 1.0 mL/min, λ = 220 nm, t_R(minor) = 15.90 min, t_R(major) = 19.02 min.



(*1R, 3S*)-3-((4-methylphenyl)sulfonamido)-1-phenylbutyl benzoate (2q)

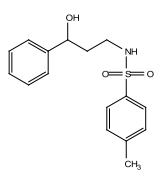
Colorless oil, 91% *ee*. Analytical data for **2q**: $[\alpha]_D^{20}$ = -14.7 (c = 0.60, $CHCl_3$). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.99 (d, *J* = 6.9 Hz, 2H), 7.67 (d, *J* = 8.3 Hz, 2H), 7.63-7.56 (m, 1H), 7.46 (t, *J* = 7.8 Hz, 2H), 7.36-7.26 (m, 5H), 7.15 (d, *J* = 8.5 Hz, 2H), 5.88 (dd, *J* = 9.5, 4.6 Hz, 1H), 4.80 (s, 1H), 3.54-3.41 (m, 1H), 2.32 (s, 3H), 2.21-2.13 (m, 1H), 2.03-1.93 (m, 1H), 1.23 (d, *J* = 6.6 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 165.3, 143.3, 140.2, 137.4, 133.1, 130.1, 129.7, 129.6, 128.7, 128.4, 128.1, 127.0, 126.2, 73.7, 47.1, 44.4, 22.2, 21.5. **HRMS (ESI)** m/z $[M+Na]^+$: Calcd for $C_{24}H_{25}NO_4SNa$: 446.1397. Found: 446.1392. The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(major) = 11.50 min, t_R(minor) = 59.25 min.

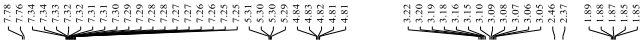
N-((*2S*, *4R*)-4-hydroxy-4-phenylbutan-2-yl)-4-methylbenzenesulfonamide (1q')

White solid, 89% *ee*. Analytical data for **1q'**: $[\alpha]_D^{20}$ =-11.2 (c = 0.55, $CHCl_3$). The enantiomeric ratio was determined by Daicel Chiralpak AD-H, Hexanes/IPA = 80:20, 1.0 mL/min, λ = 220 nm, t_R(minor) = 9.72 min, t_R(major) = 24.87 min.

NMR and HPLC Spectra

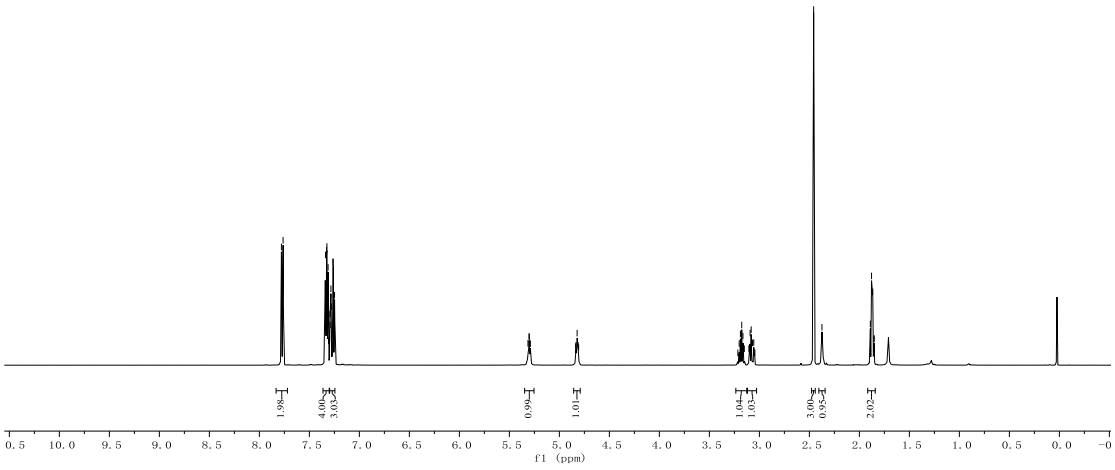
NMR

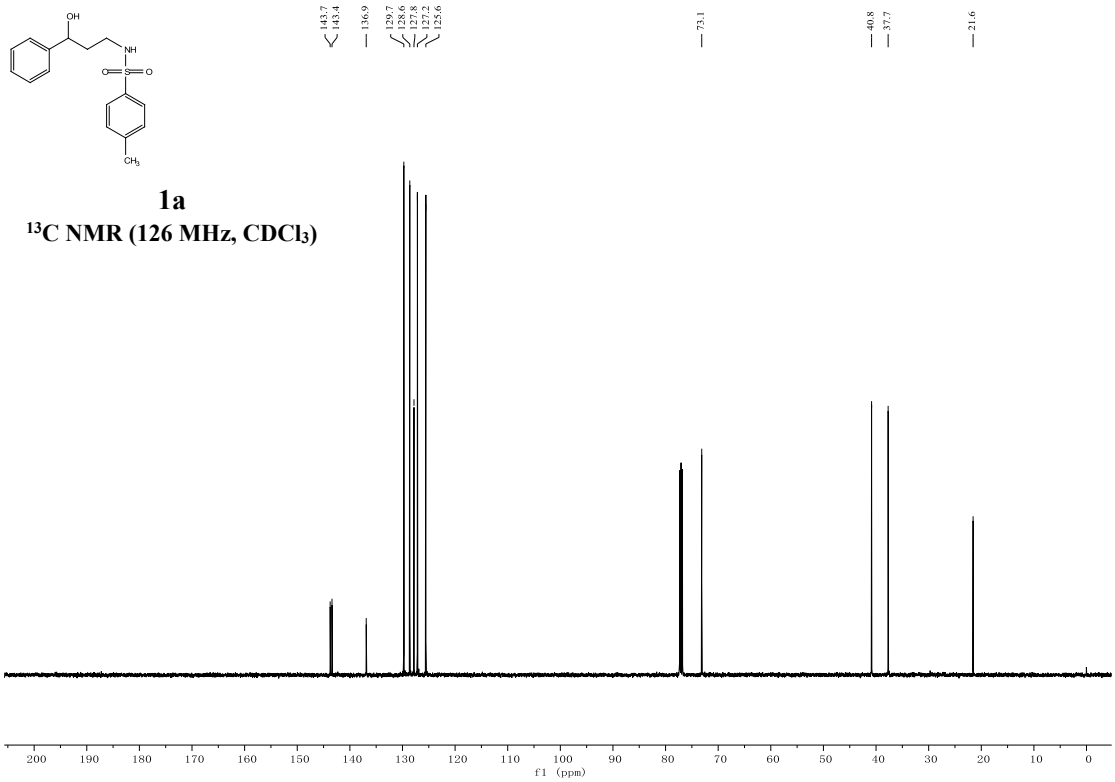




1a

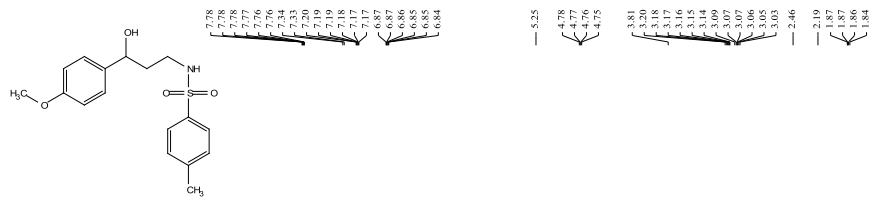
^{1}H NMR (500 MHz, $CDCl_3$)





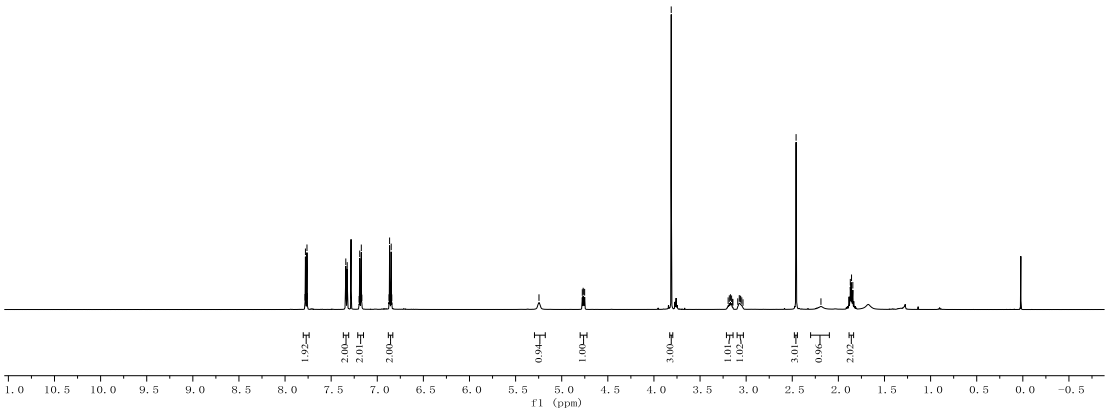
1a

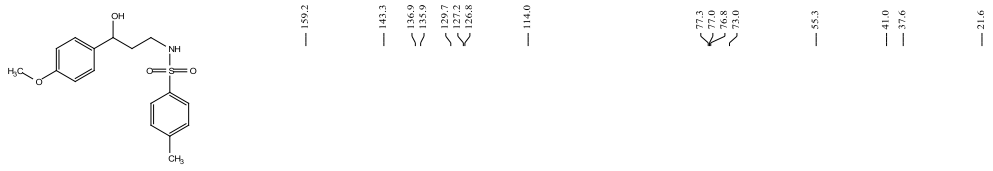
^{13}C NMR (126 MHz, $CDCl_3$)



1b

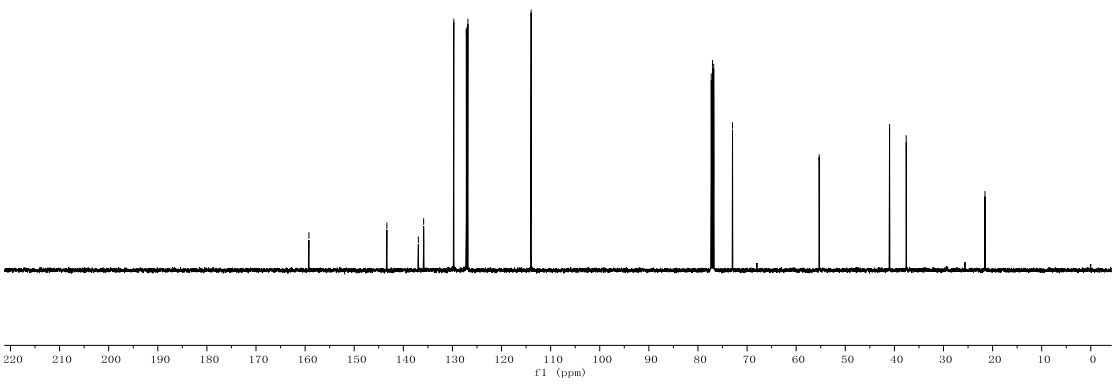
^{1}H NMR (500 MHz, $CDCl_3$)





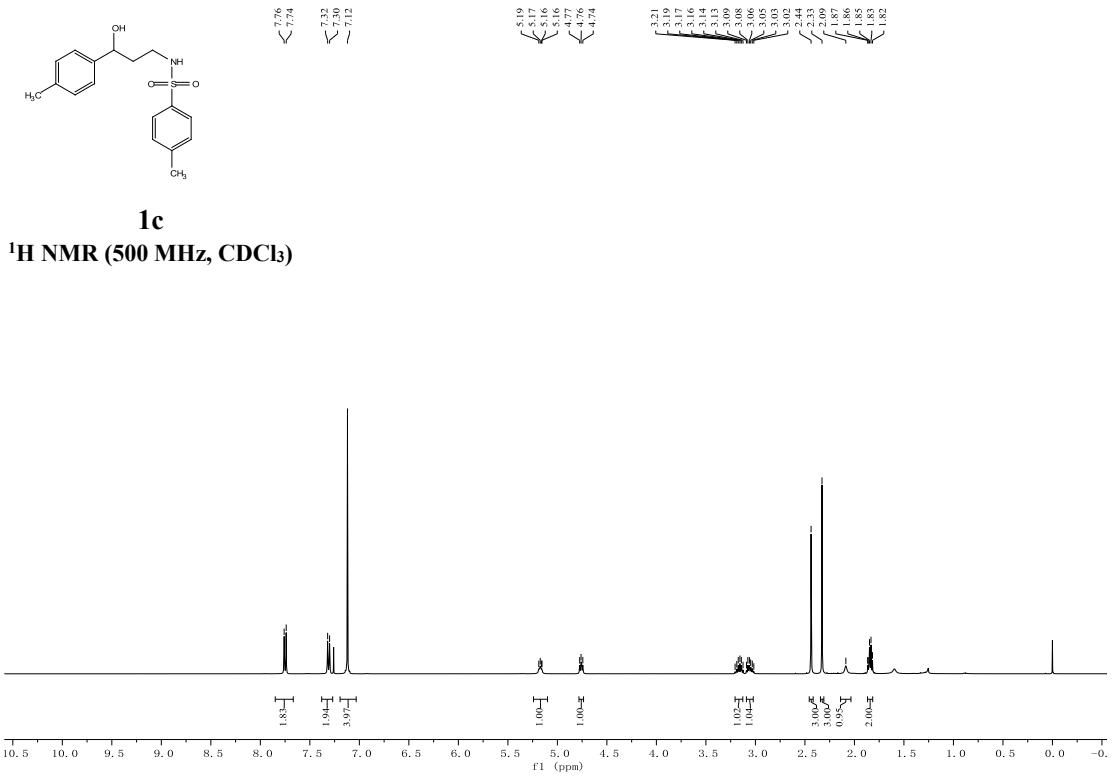
1b

^{13}C NMR (126 MHz, $CDCl_3$)



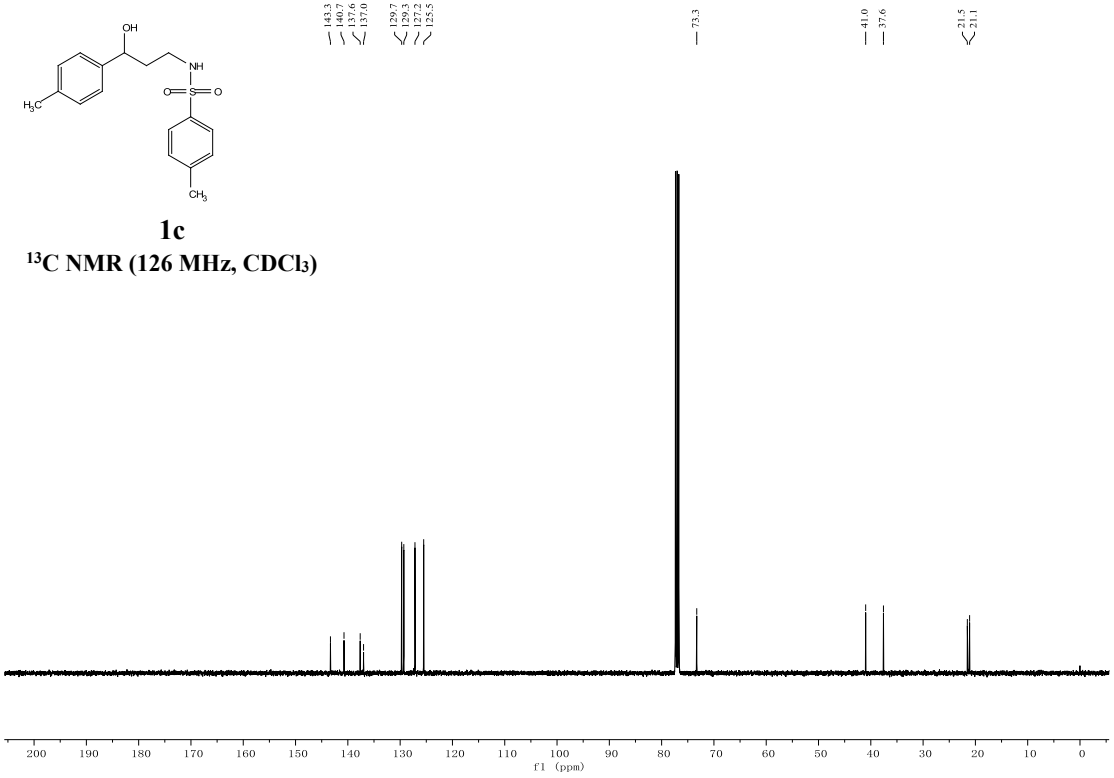
1c

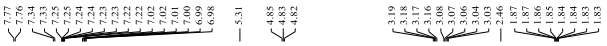
^{1}H NMR (500 MHz, $CDCl_3$)

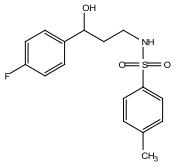


1c

^{13}C NMR (126 MHz, $CDCl_3$)

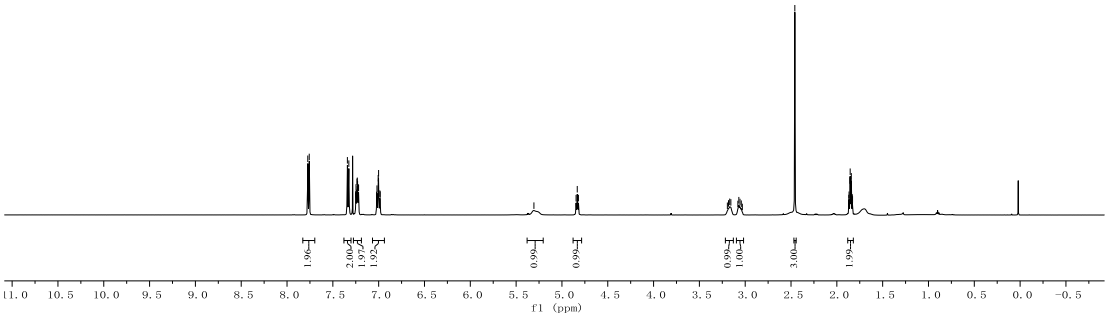


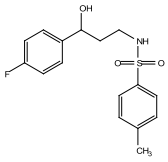




1d

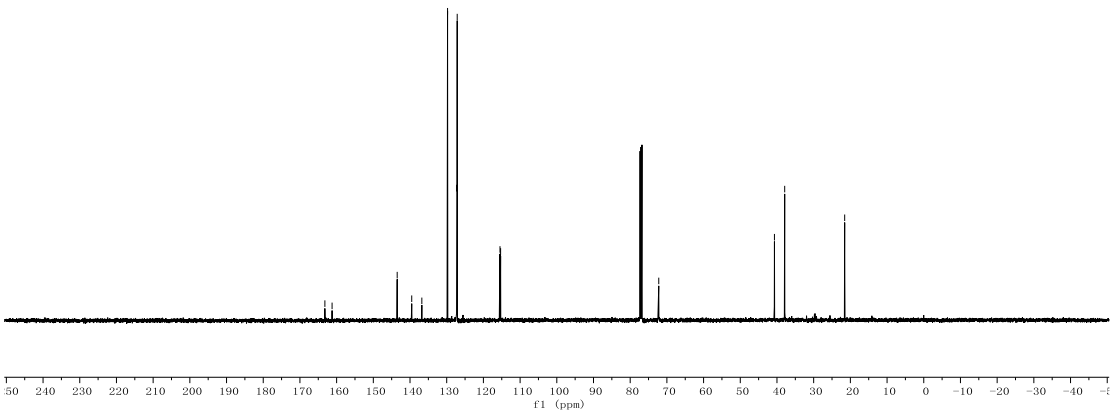
^{1}H NMR (500 MHz, $CDCl_3$)





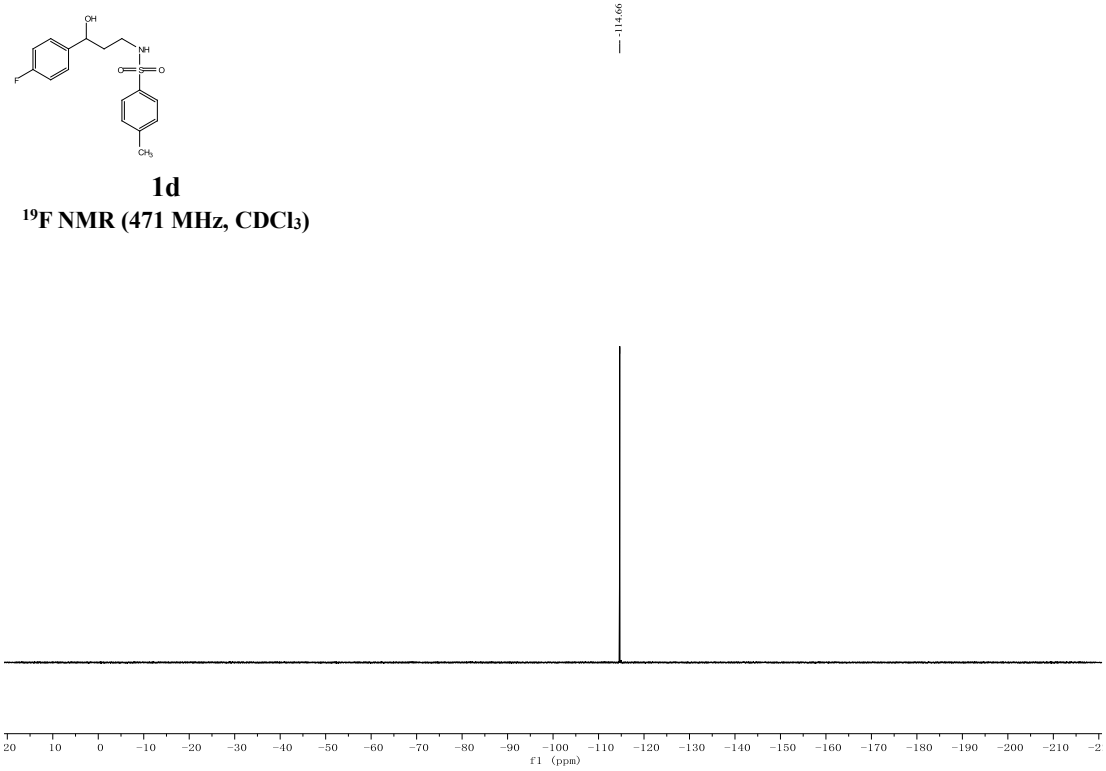
1d

^{13}C NMR (126 MHz, $CDCl_3$)



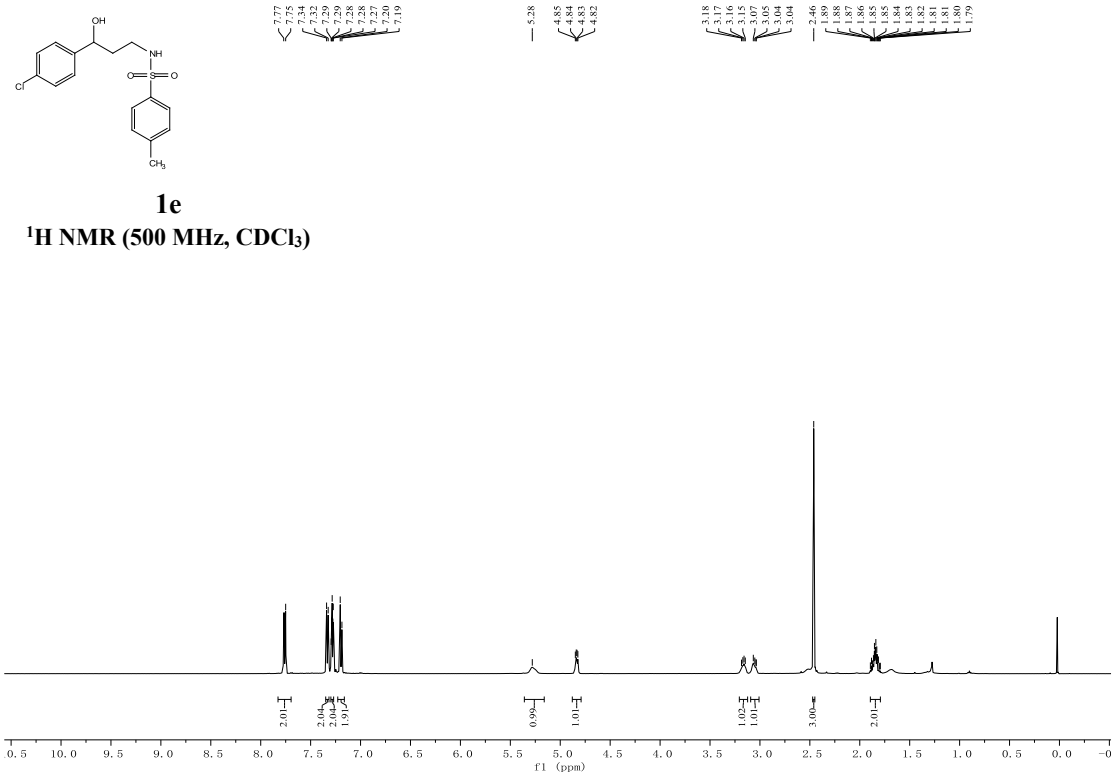
1d

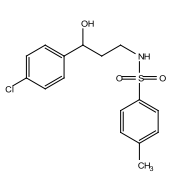
^{19}F NMR (471 MHz, $CDCl_3$)



1e

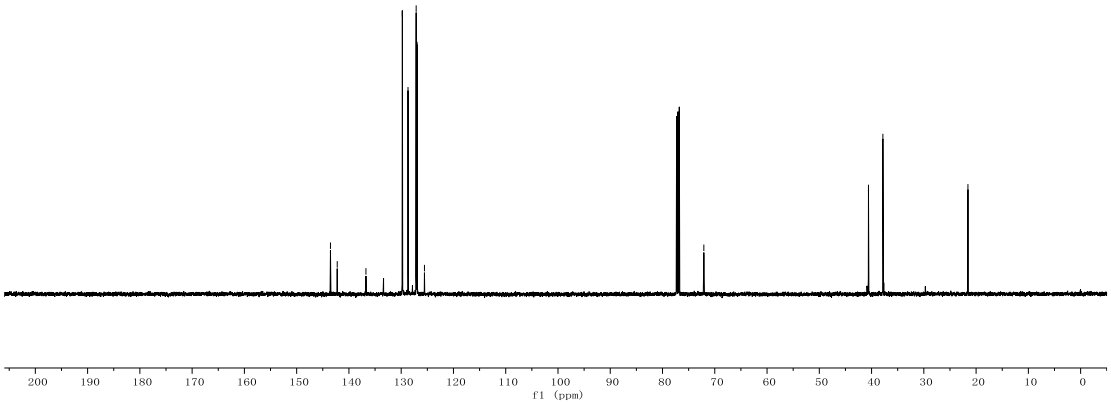
^{1}H NMR (500 MHz, $CDCl_3$)

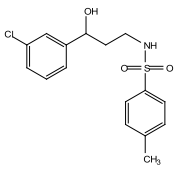




1e

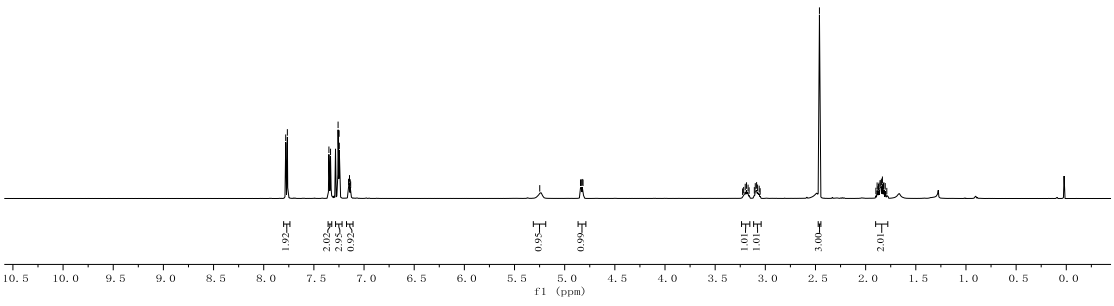
^{13}C NMR (126 MHz, CDCl$_3$)

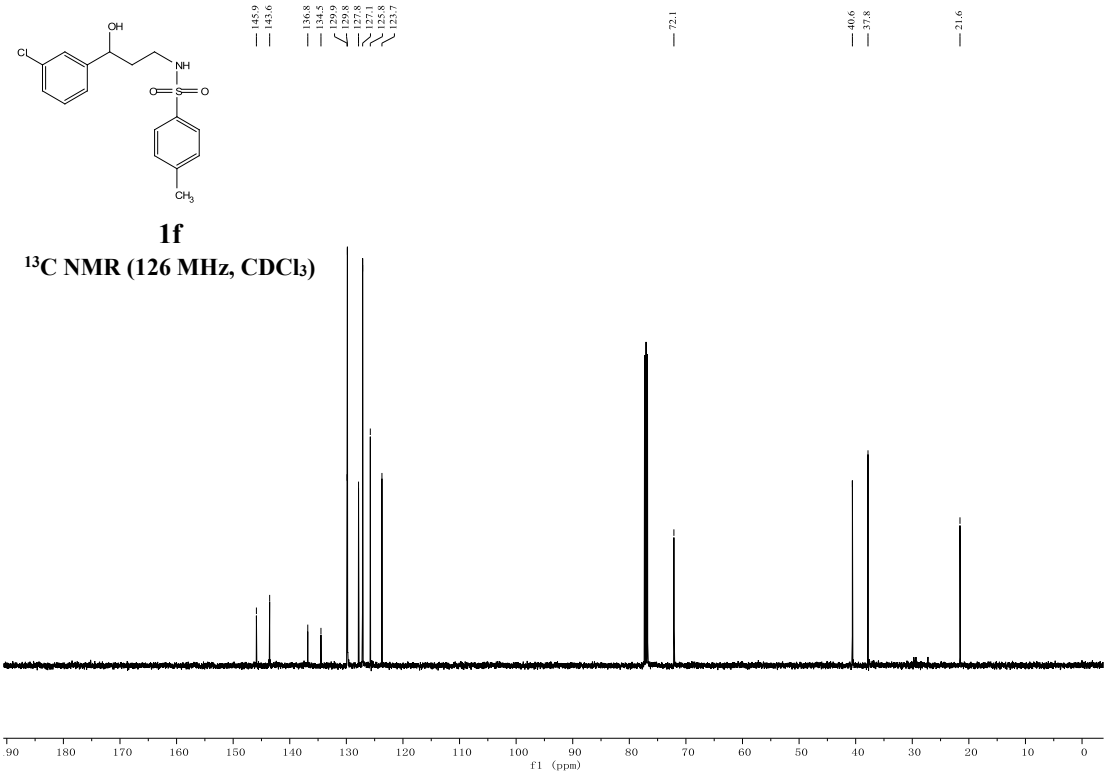




1f

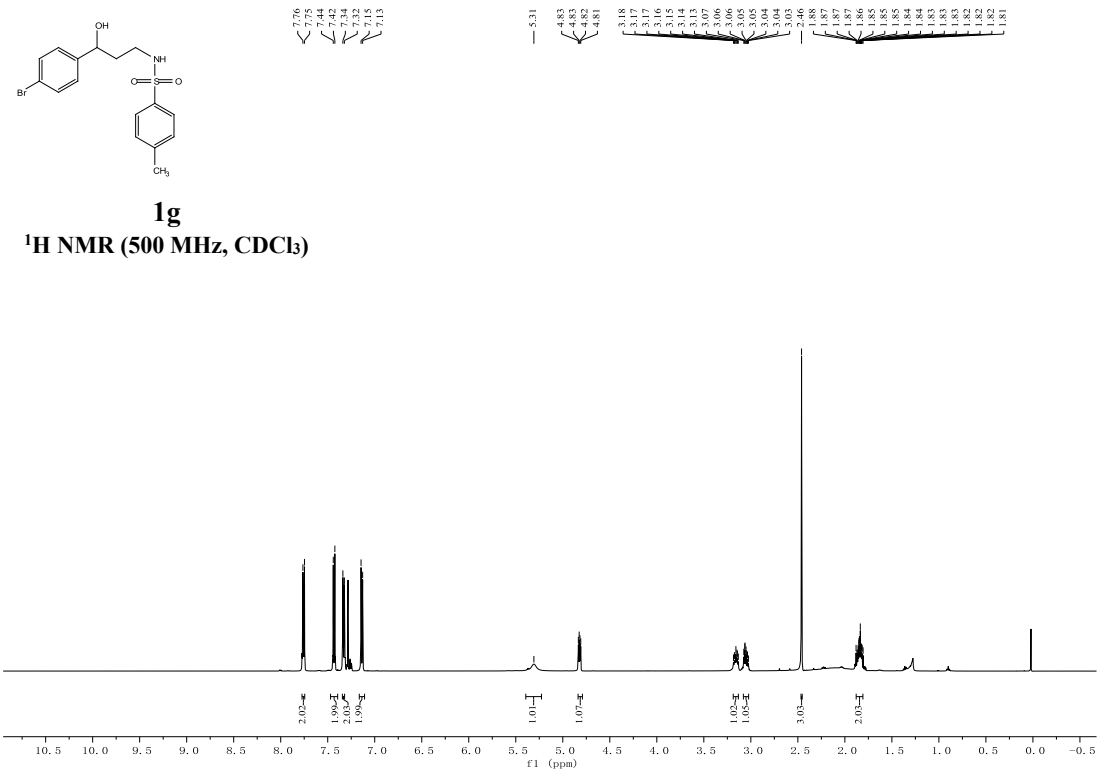
^{1}H NMR (500 MHz, CDCl$_3$)





1f

^{13}C NMR (126 MHz, CDCl$_3$)

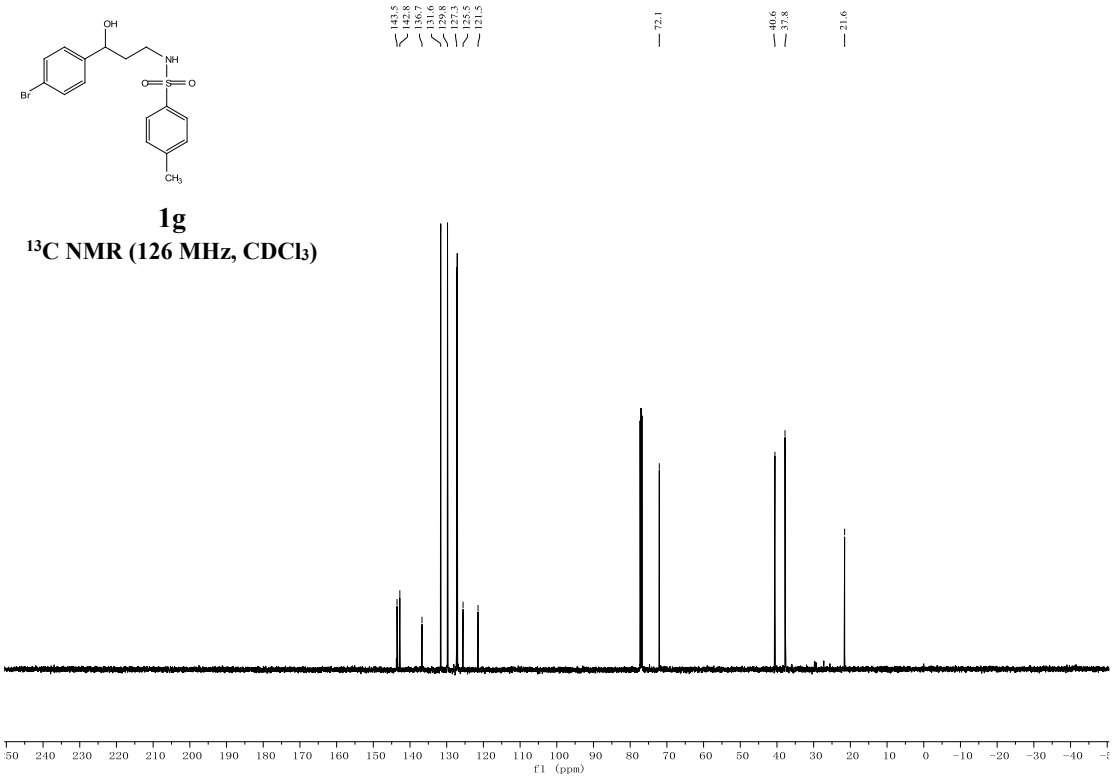


1g

^{1}H NMR (500 MHz, CDCl$_3$)

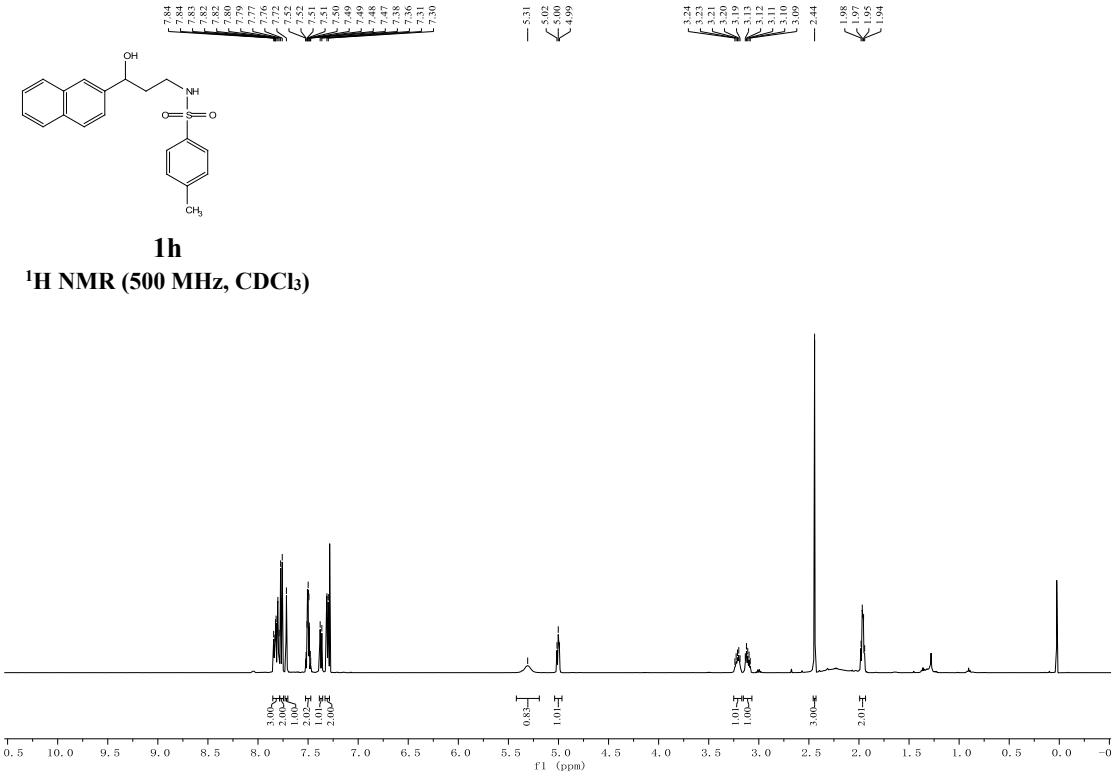
1g

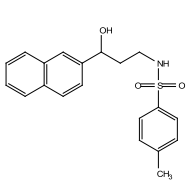
^{13}C NMR (126 MHz, CDCl$_3$)

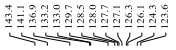


1h

^{1}H NMR (500 MHz, CDCl$_3$)

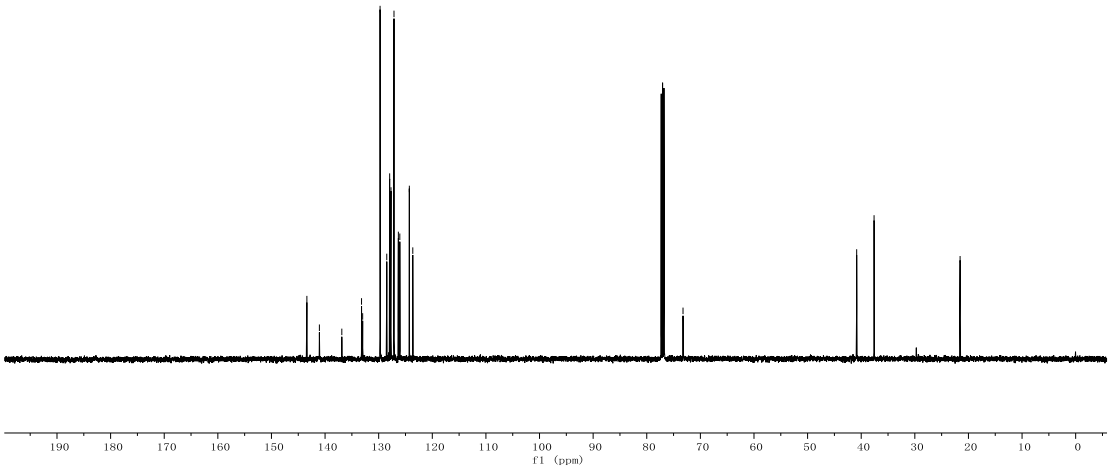


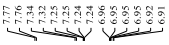


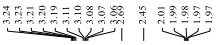


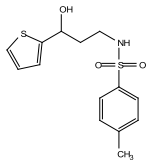
1h

^{13}C NMR (126 MHz, CDCl$_3$)



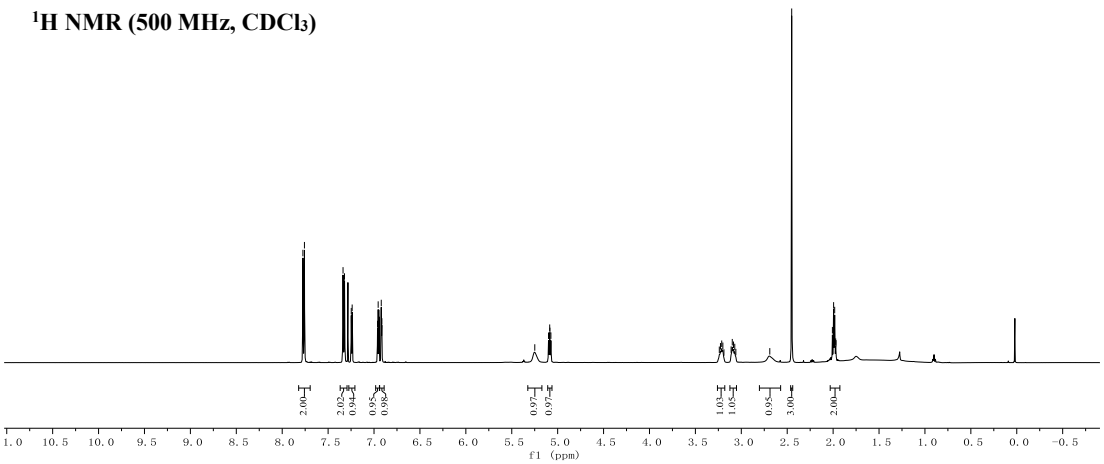


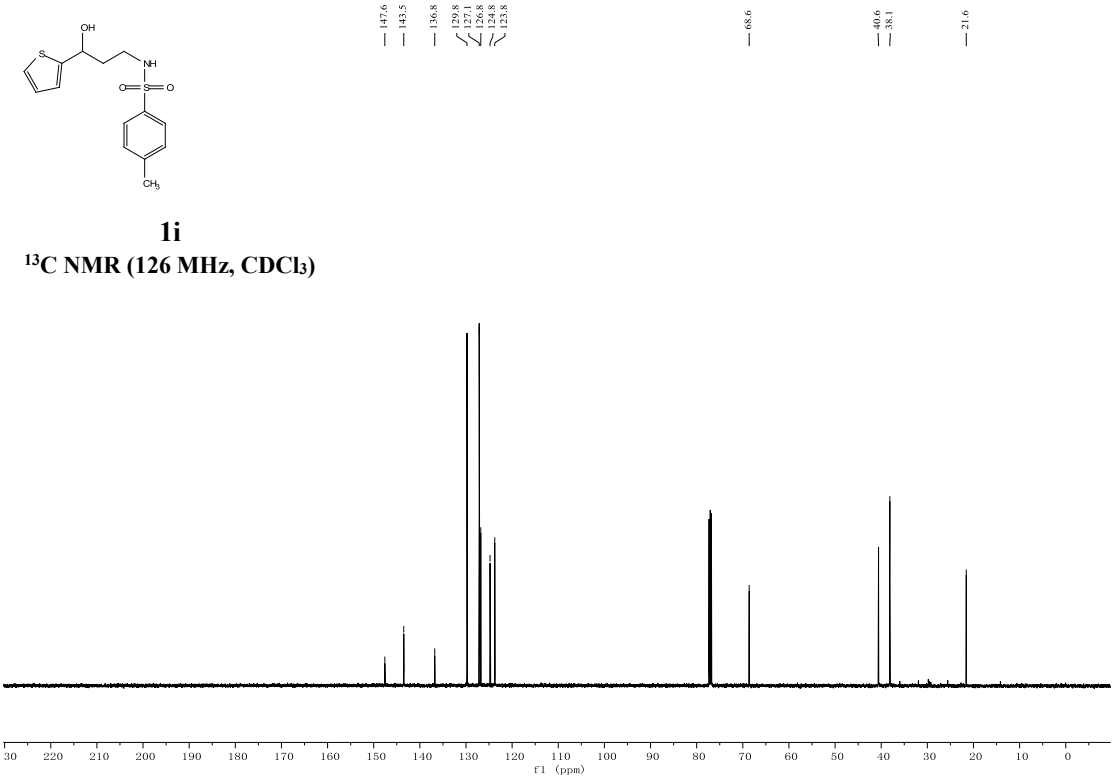




1i

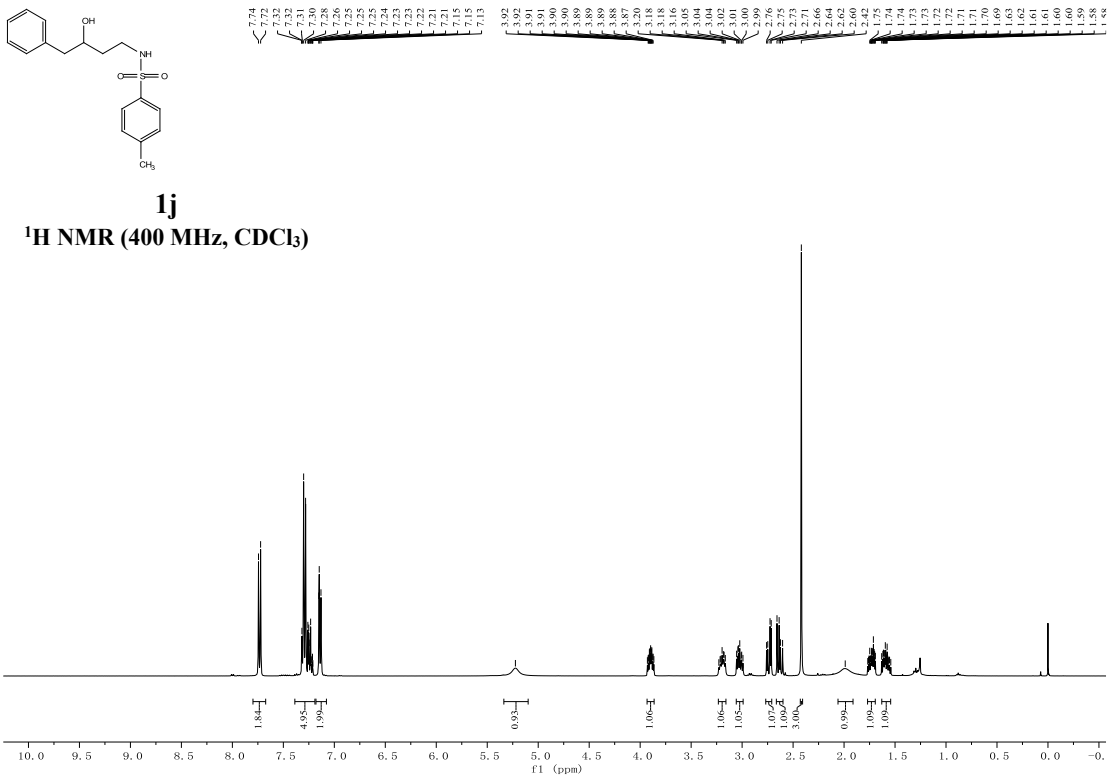
^{1}H NMR (500 MHz, CDCl$_3$)





1i

^{13}C NMR (126 MHz, $CDCl_3$)

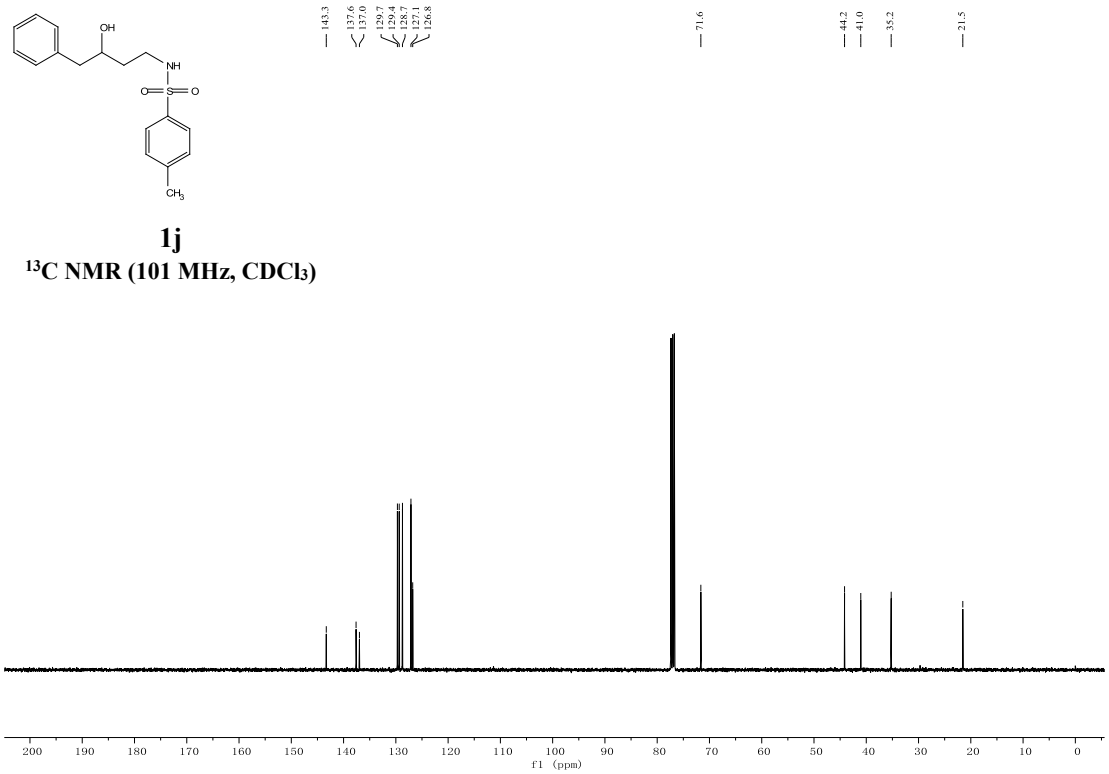


1j

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

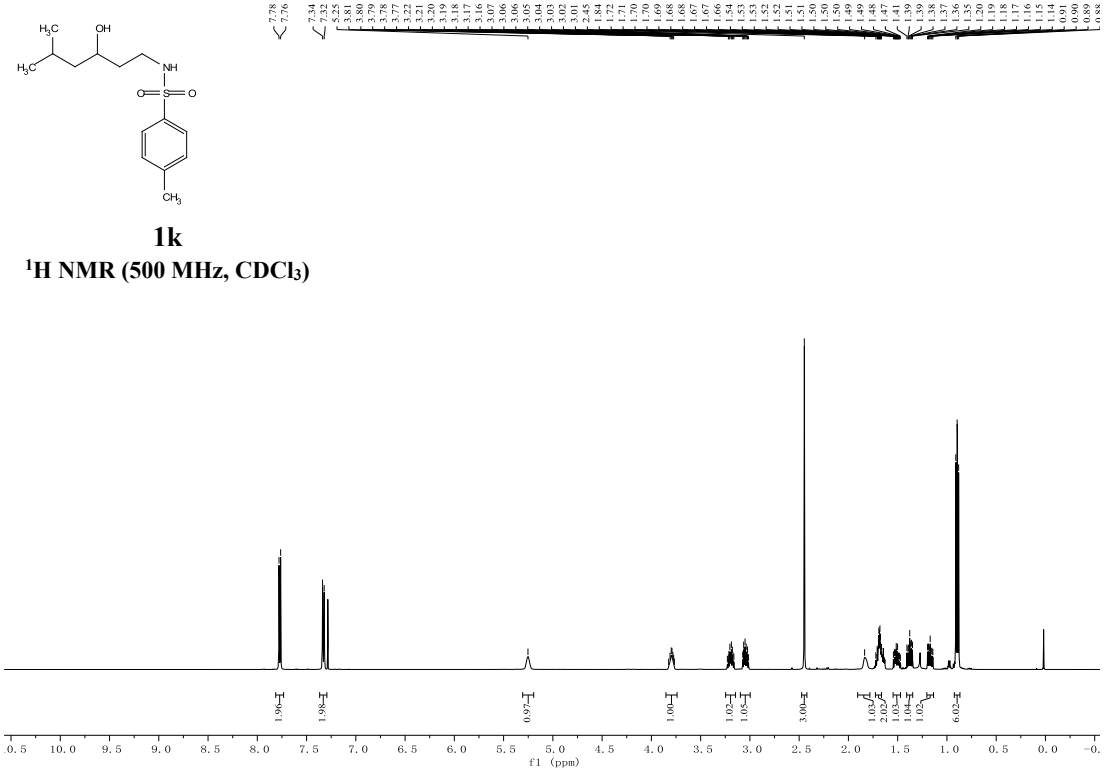
1j

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



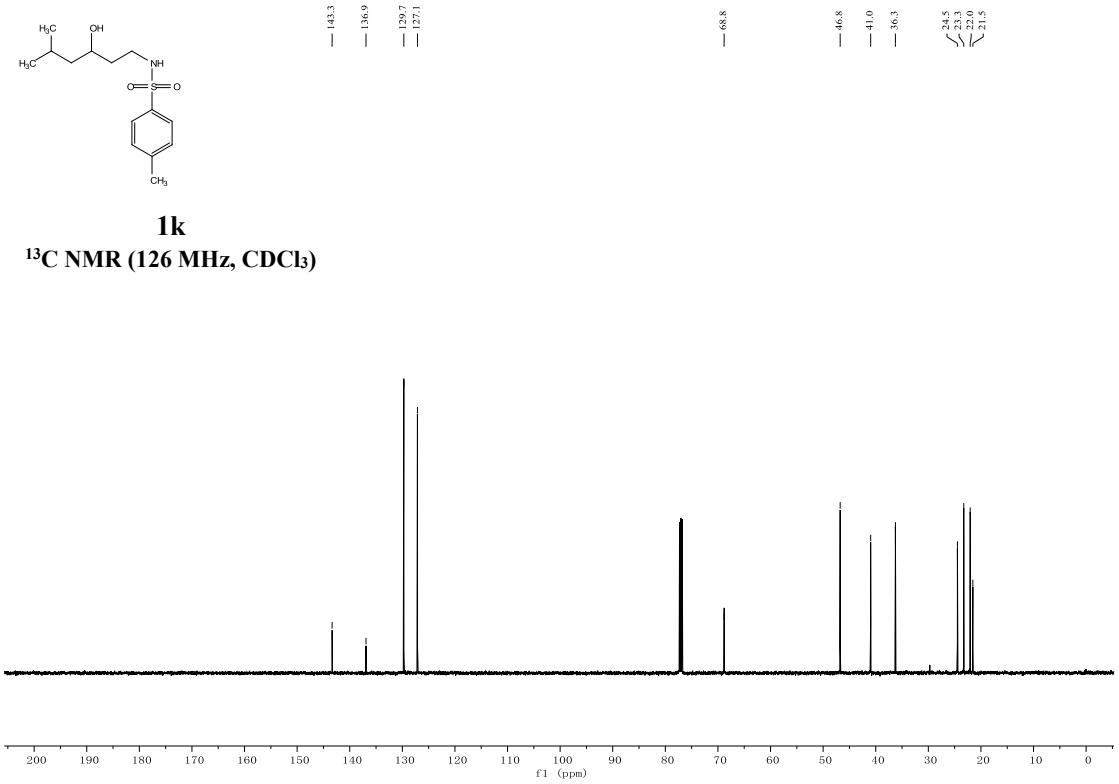
1k

^{1}H NMR (500 MHz, $CDCl_3$)



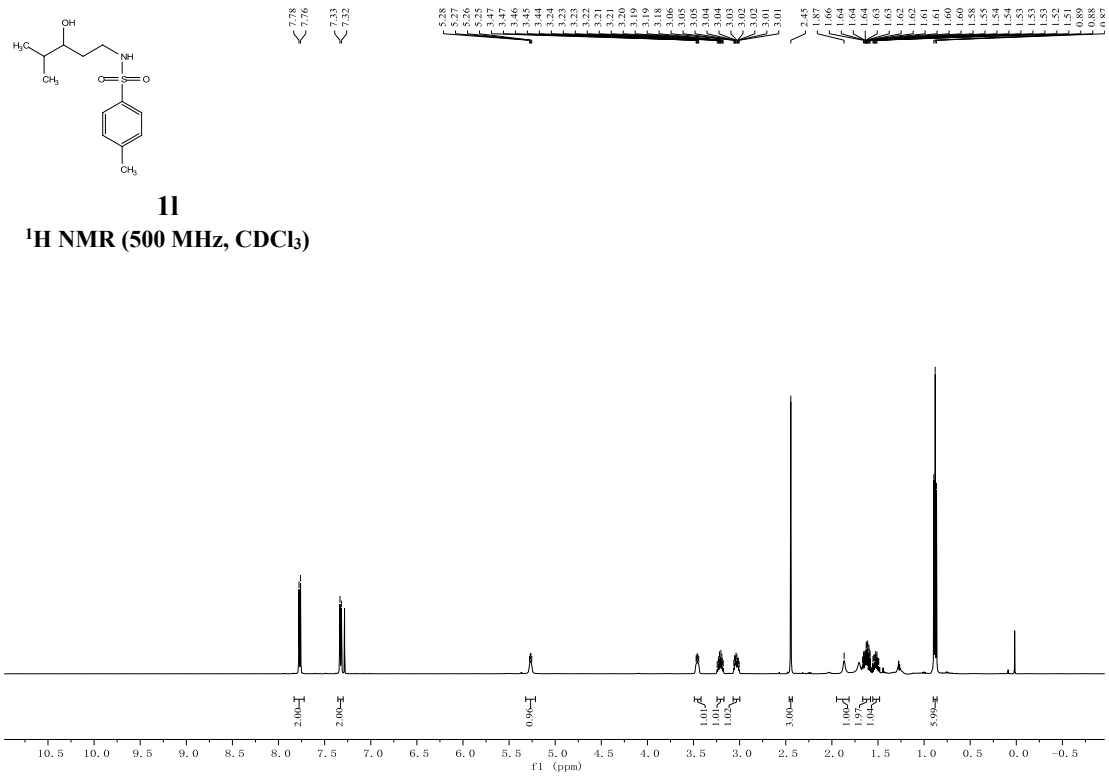
1k

^{13}C NMR (126 MHz, CDCl$_3$)



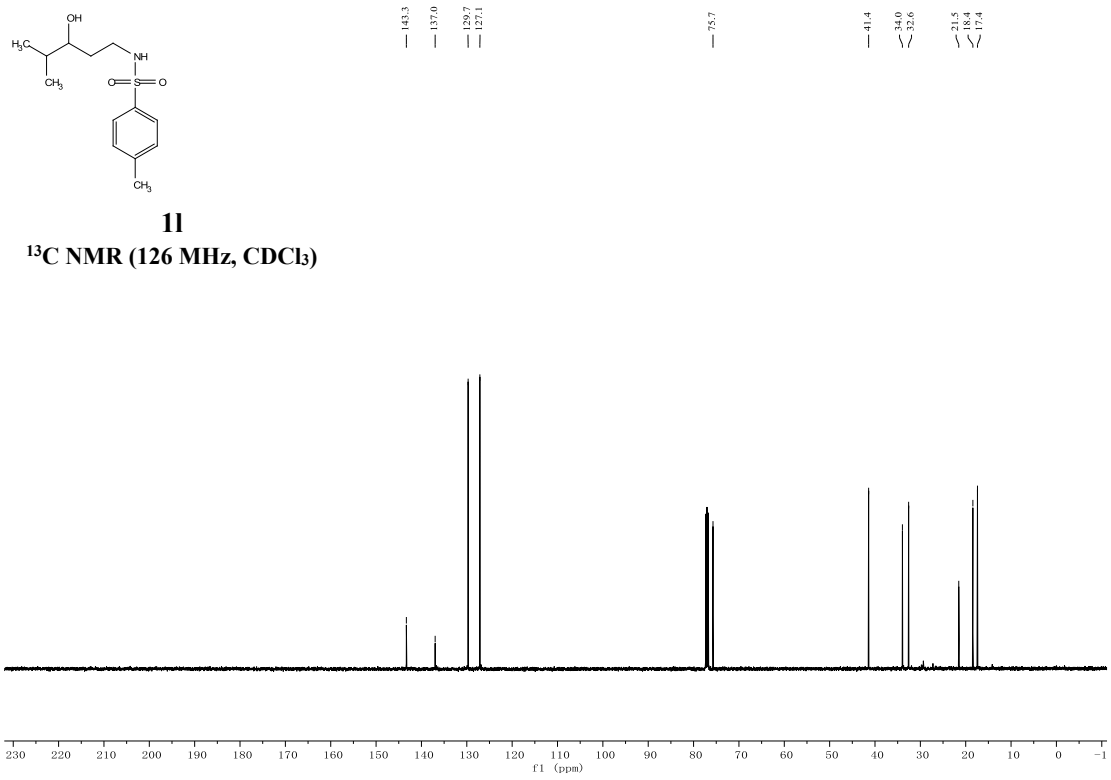
1l

^{1}H NMR (500 MHz, CDCl$_3$)



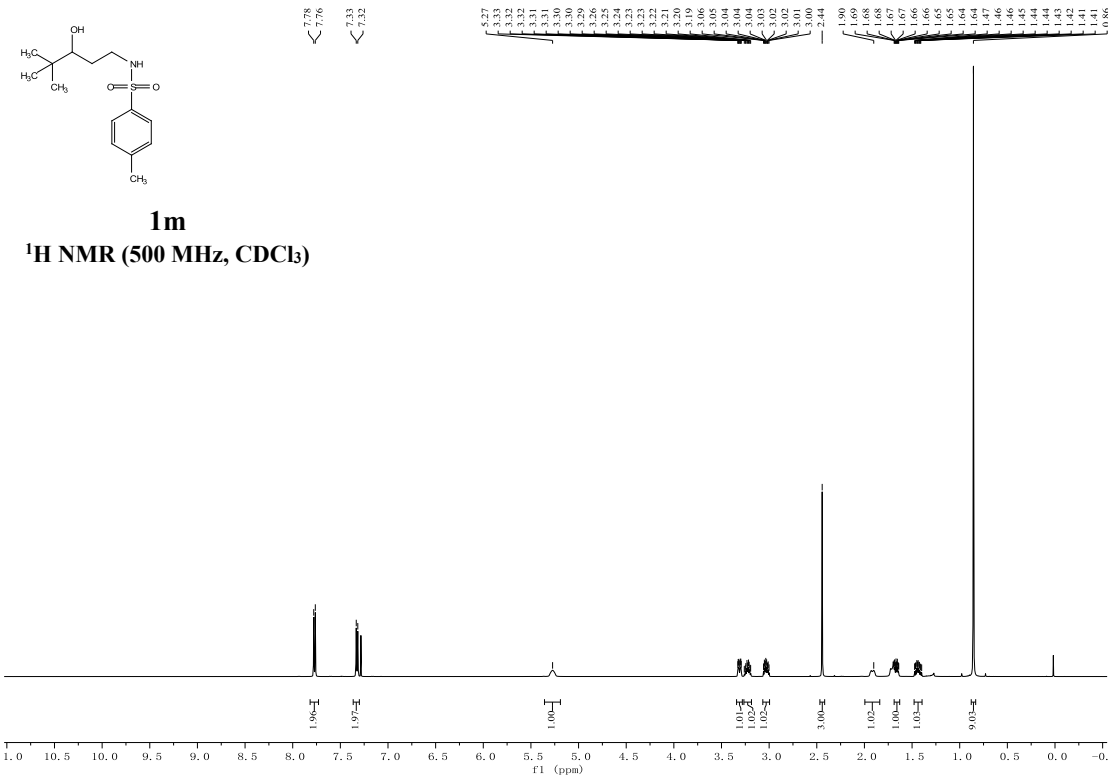
1l

^{13}C NMR (126 MHz, $CDCl_3$)



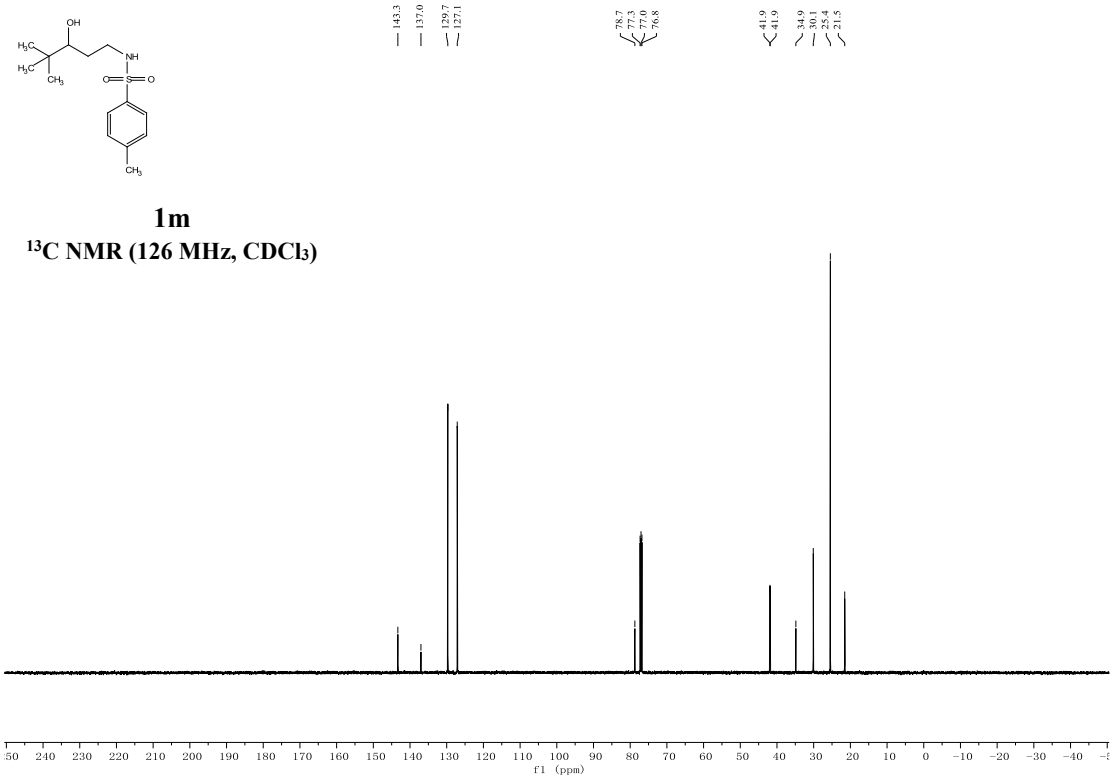
1m

^{1}H NMR (500 MHz, $CDCl_3$)



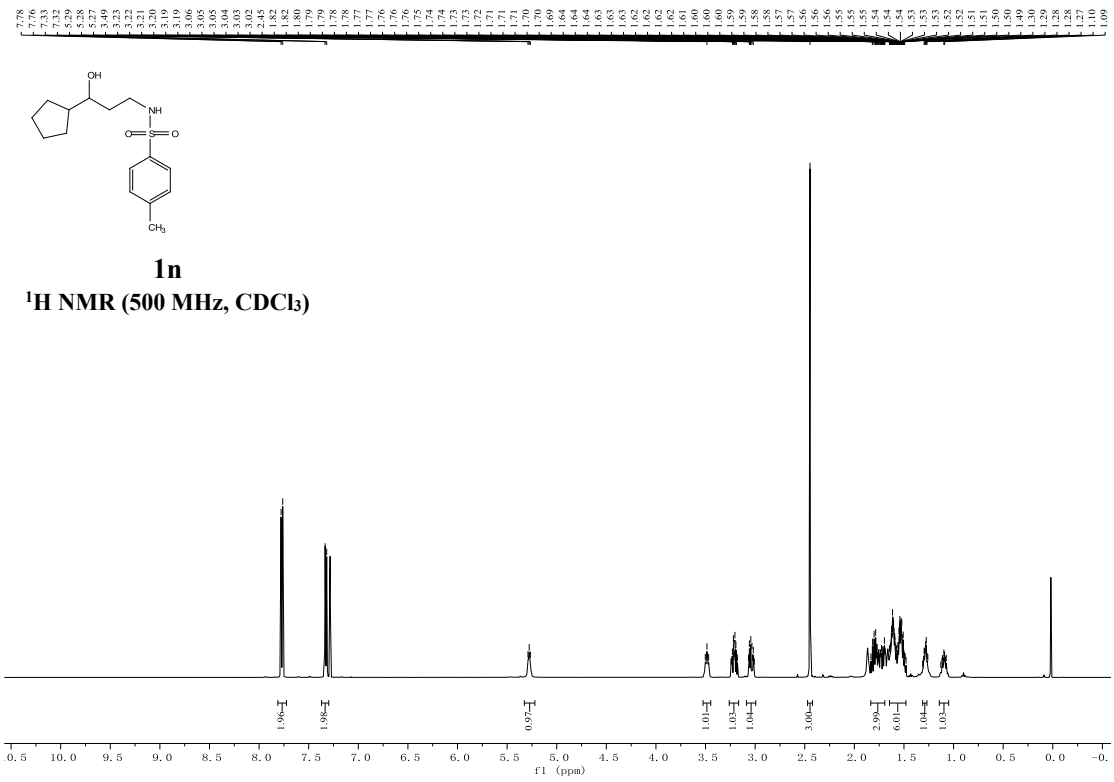
1m

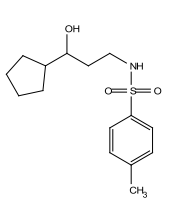
^{13}C NMR (126 MHz, $CDCl_3$)



1n

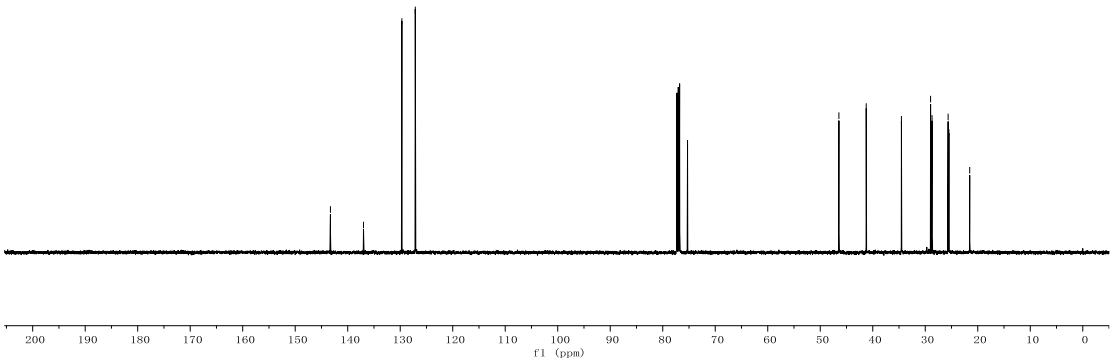
^{1}H NMR (500 MHz, $CDCl_3$)

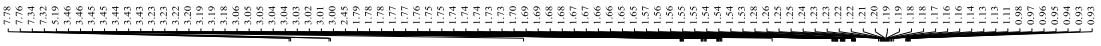


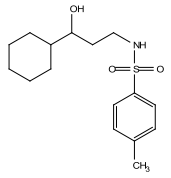


1n

^{13}C NMR (126 MHz, $CDCl_3$)

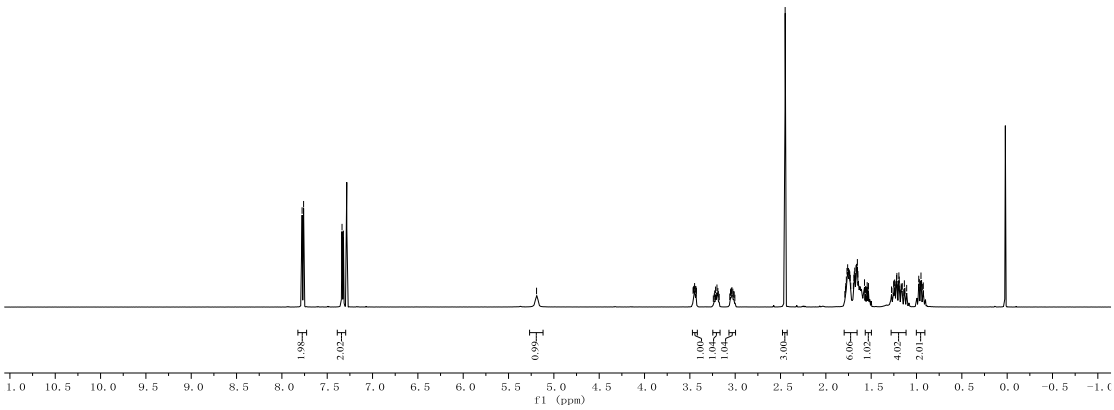


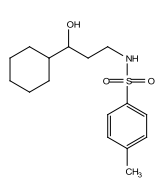


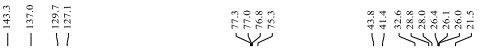


1o

^{1}H NMR (500 MHz, $CDCl_3$)

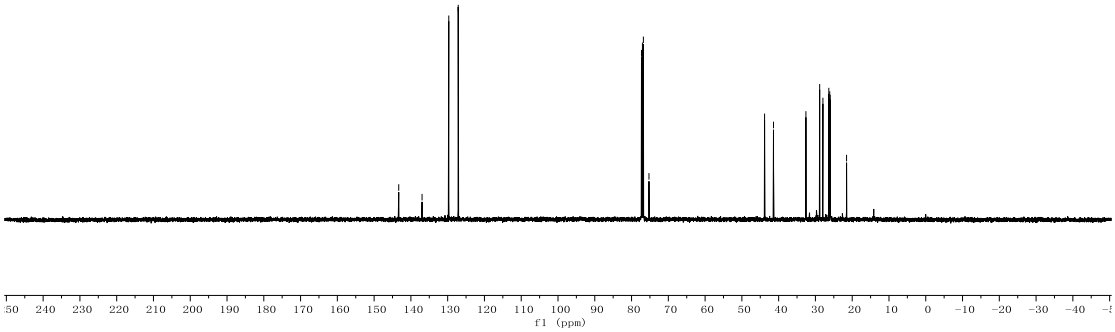


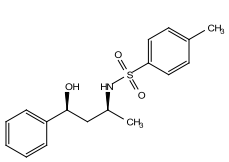


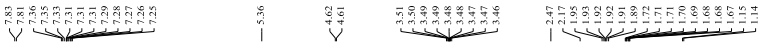


1o

^{13}C NMR (126 MHz, $CDCl_3$)

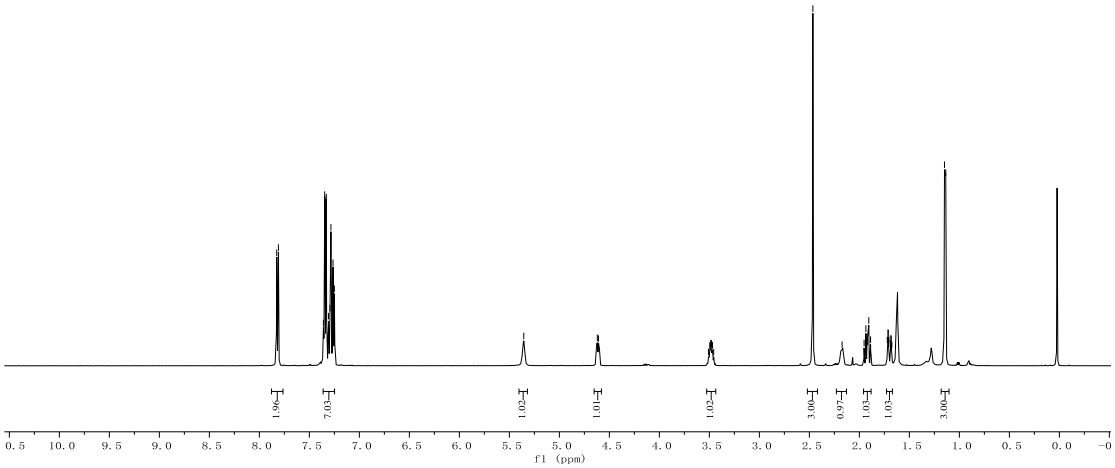






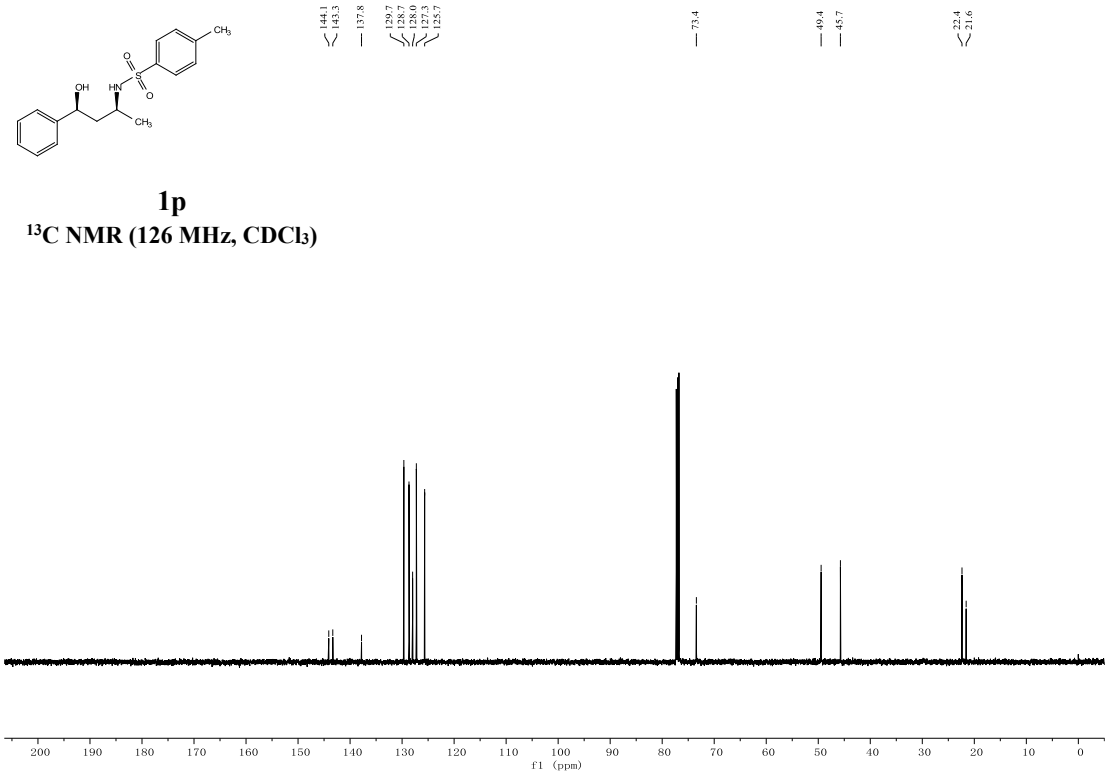
1p

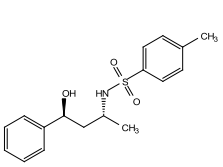
^{1}H NMR (500 MHz, $CDCl_3$)



1p

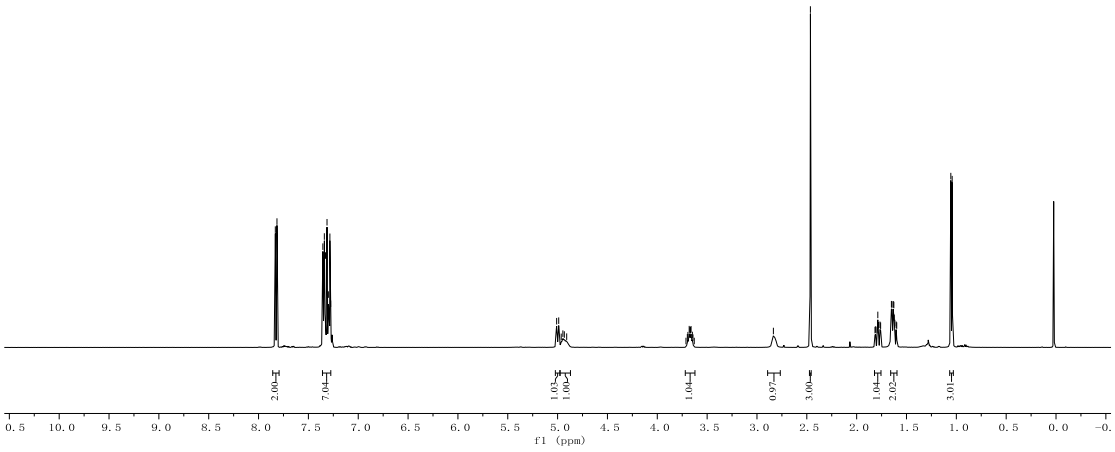
^{13}C NMR (126 MHz, $CDCl_3$)

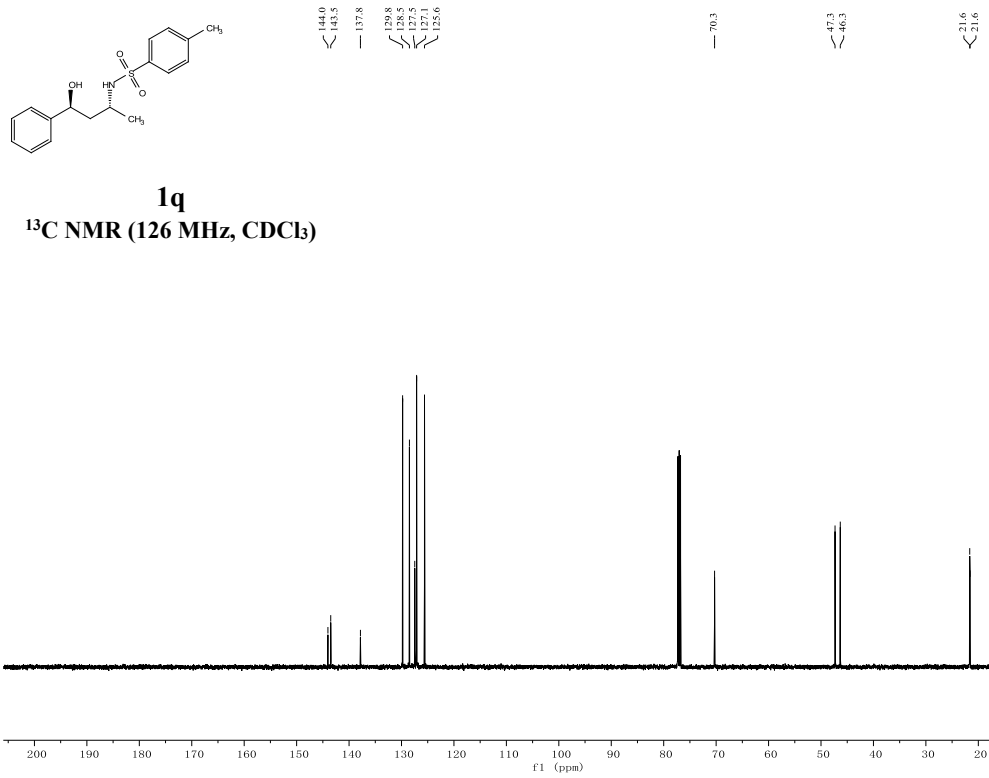




1q

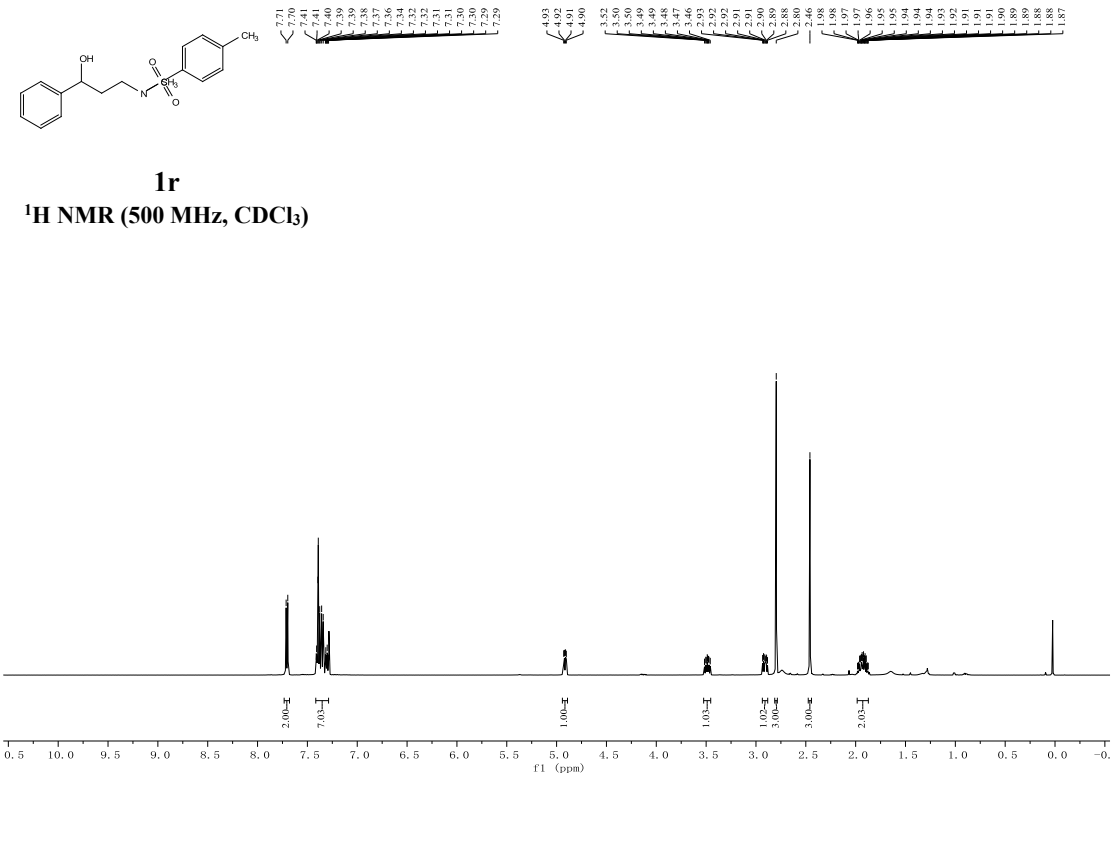
^{1}H NMR (500 MHz, $CDCl_3$)





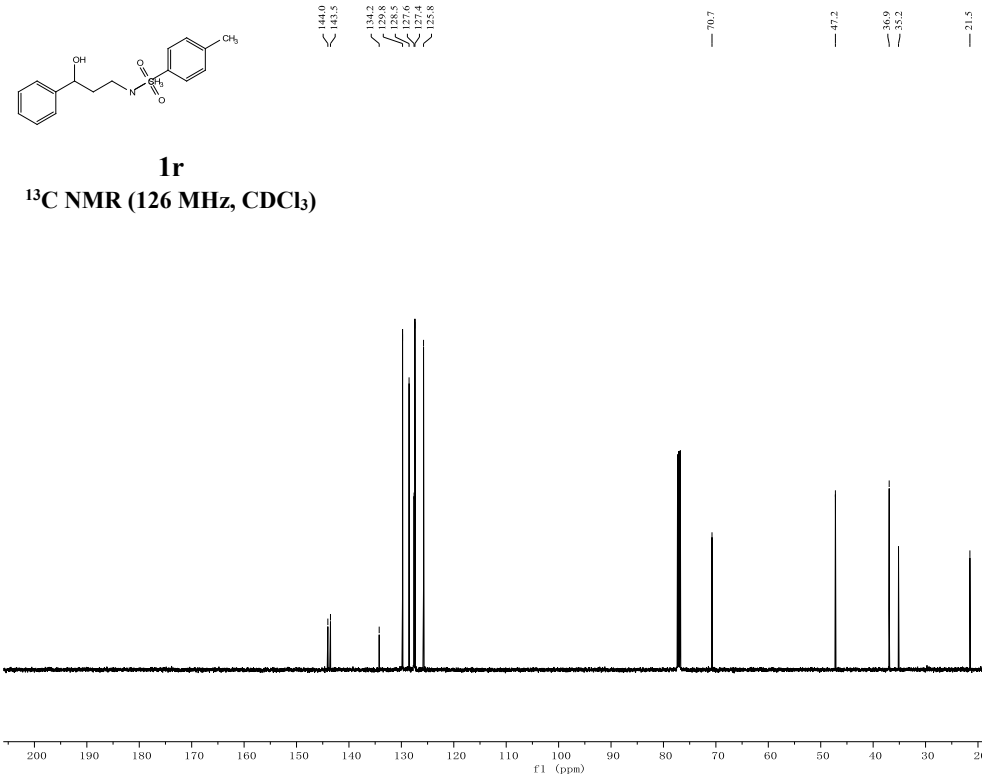
1q

^{13}C NMR (126 MHz, $CDCl_3$)



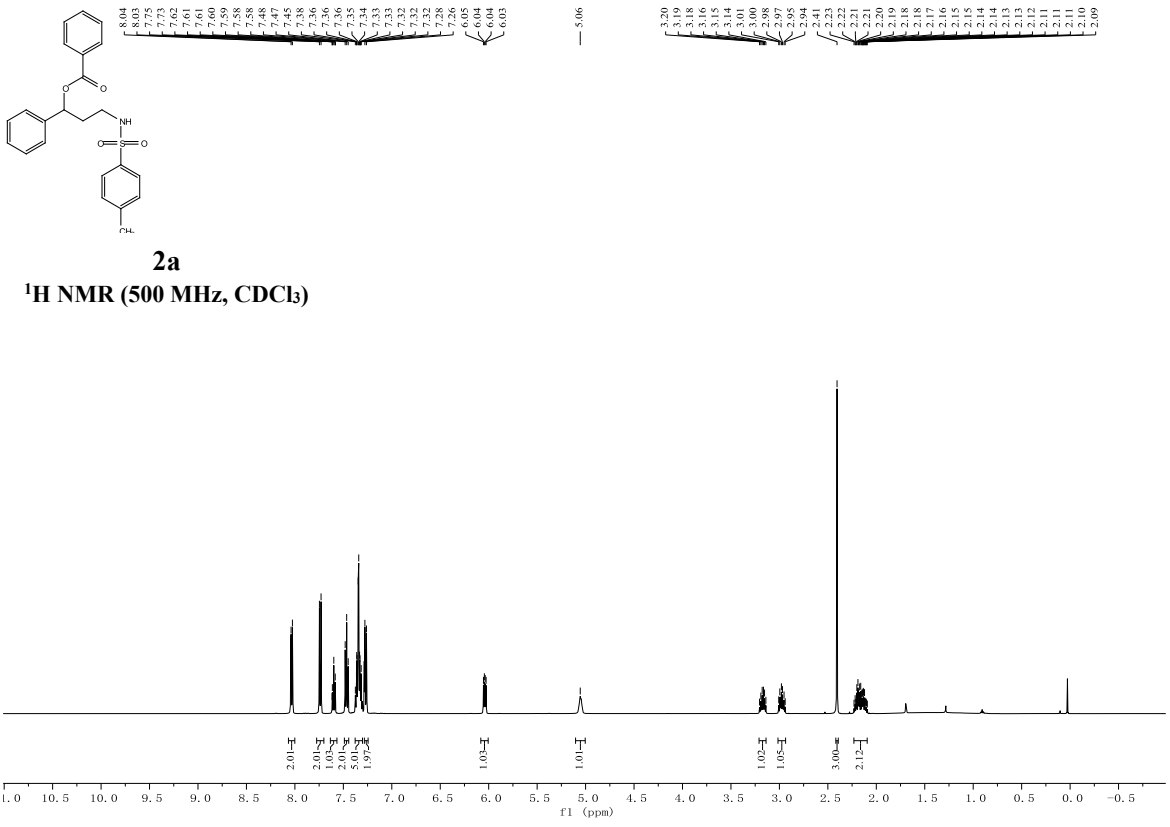
1r

^{1}H NMR (500 MHz, $CDCl_3$)



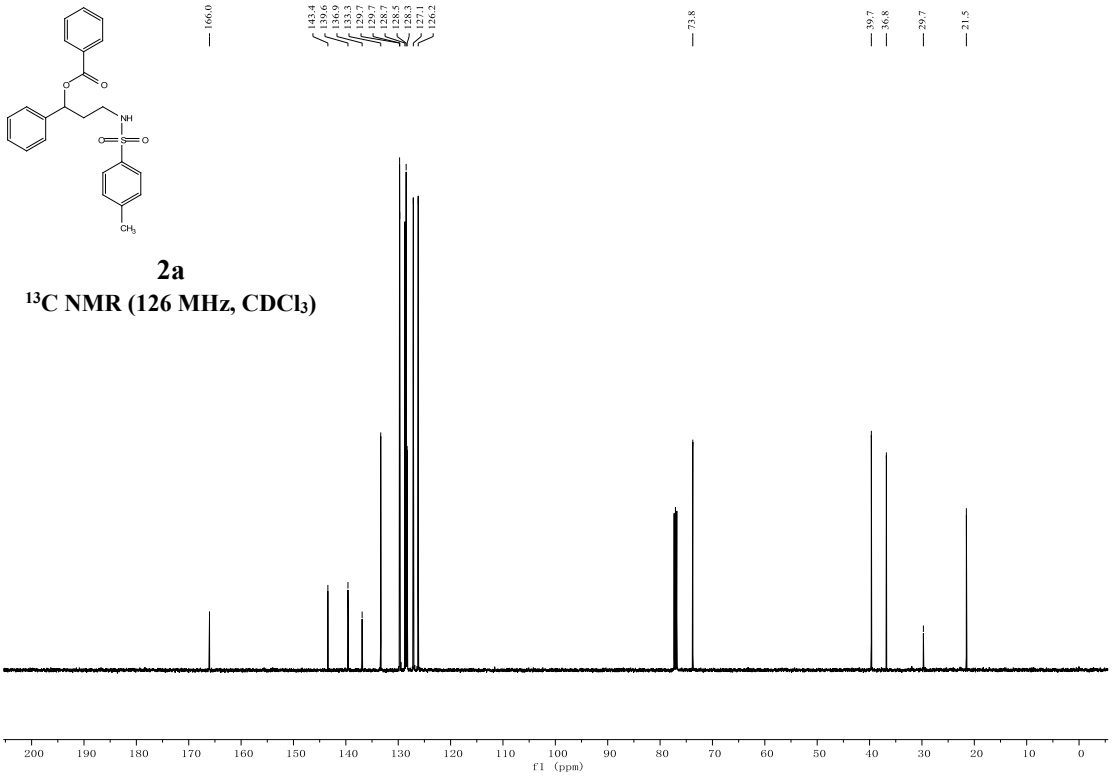
1r

^{13}C NMR (126 MHz, $CDCl_3$)



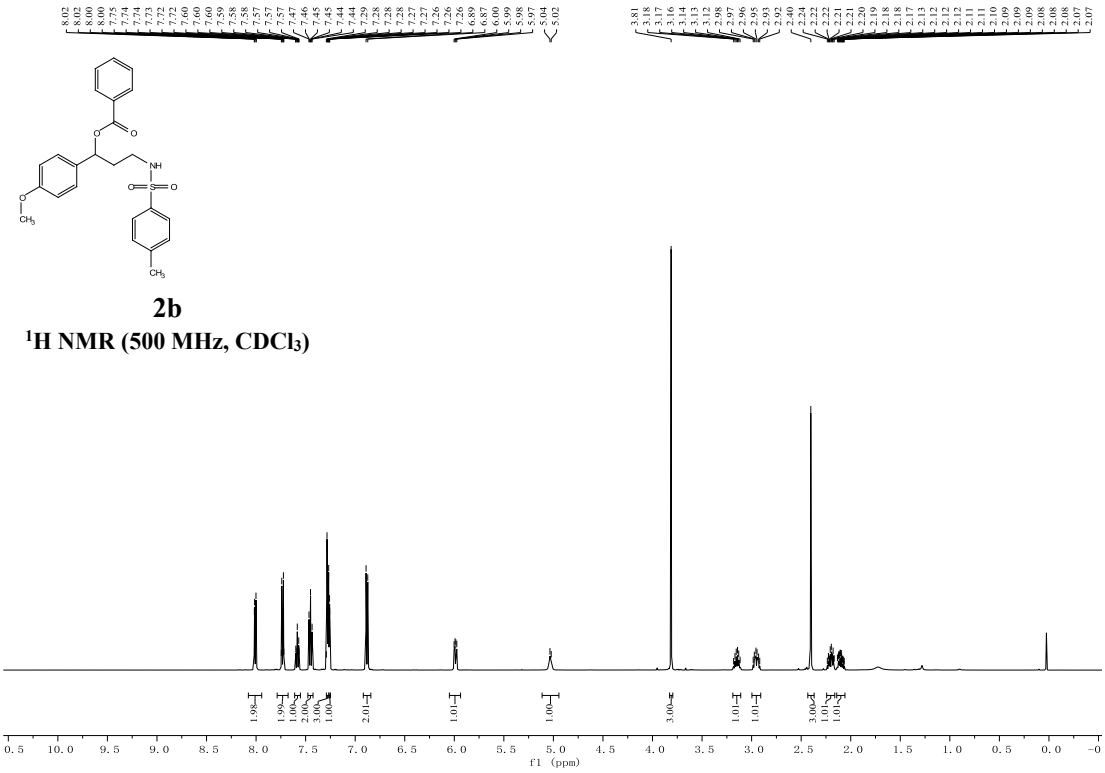
2a

^{1}H NMR (500 MHz, $CDCl_3$)



2a

^{13}C NMR (126 MHz, $CDCl_3$)

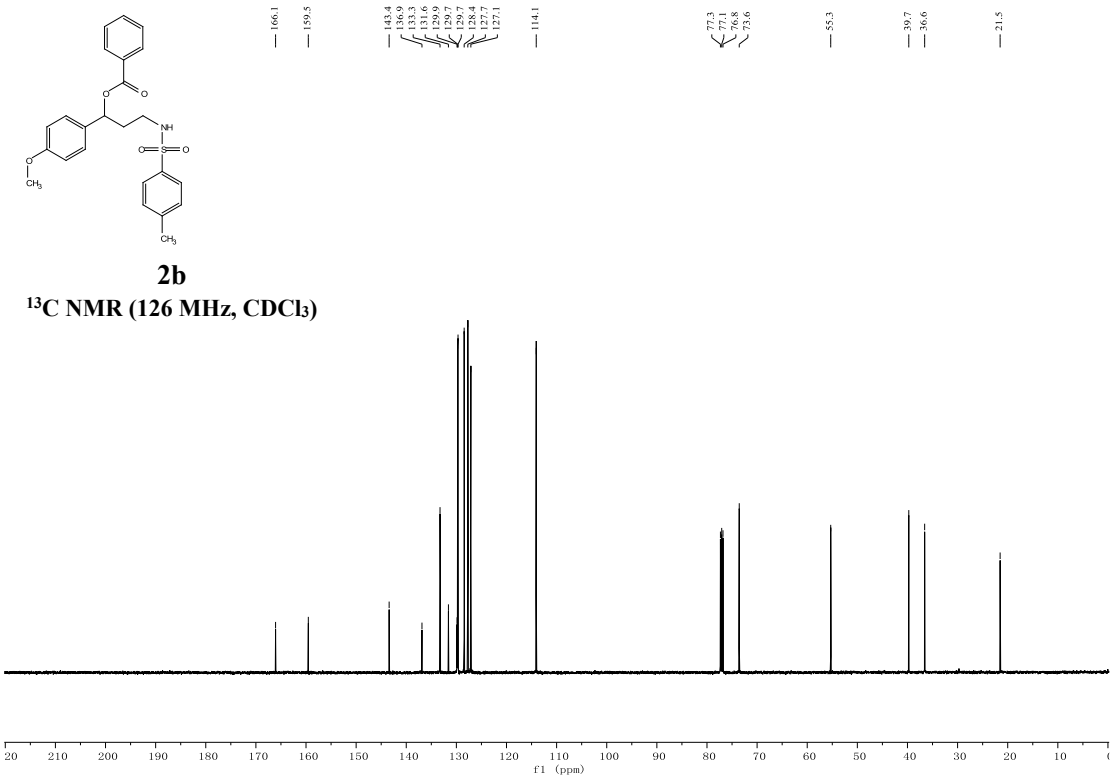


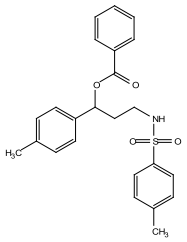
2b

^{1}H NMR (500 MHz, $CDCl_3$)

2b

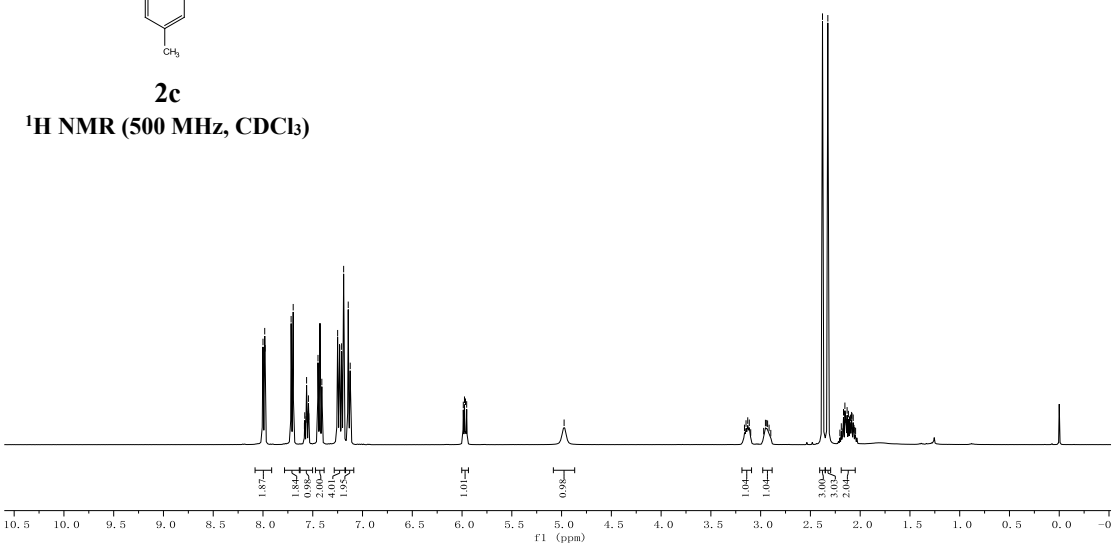
^{13}C NMR (126 MHz, $CDCl_3$)

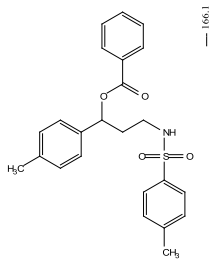




2c

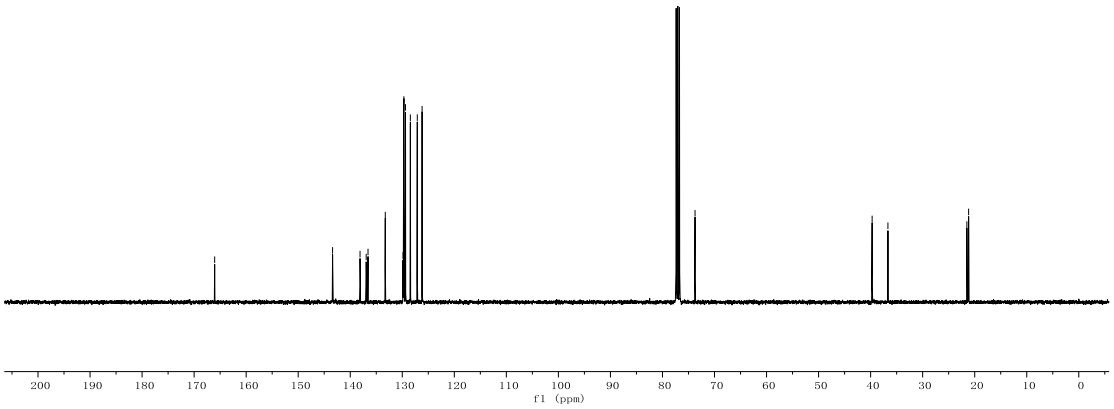
^{1}H NMR (500 MHz, $CDCl_3$)

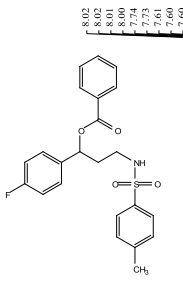




2c

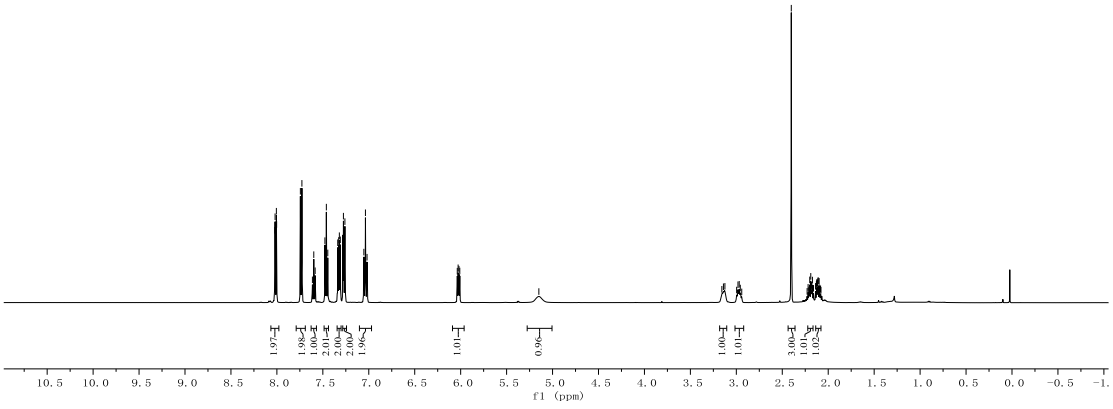
^{13}C NMR (126 MHz, $CDCl_3$)





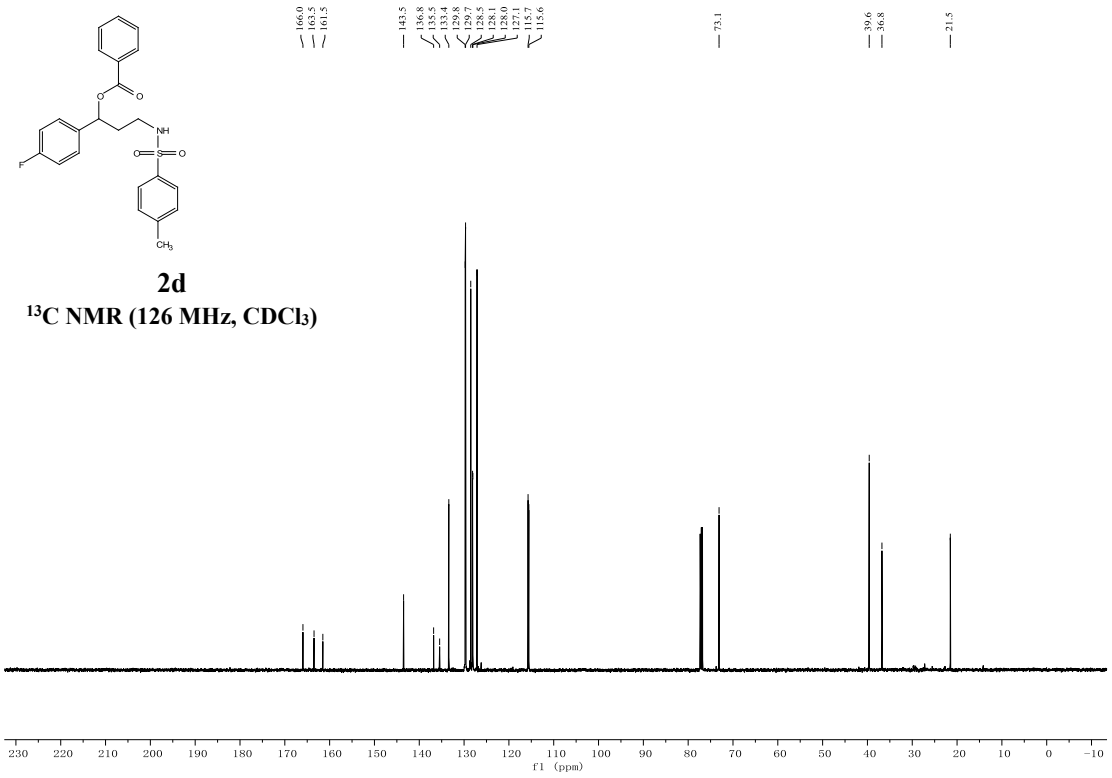
2d

^{1}H NMR (500 MHz, $CDCl_3$)



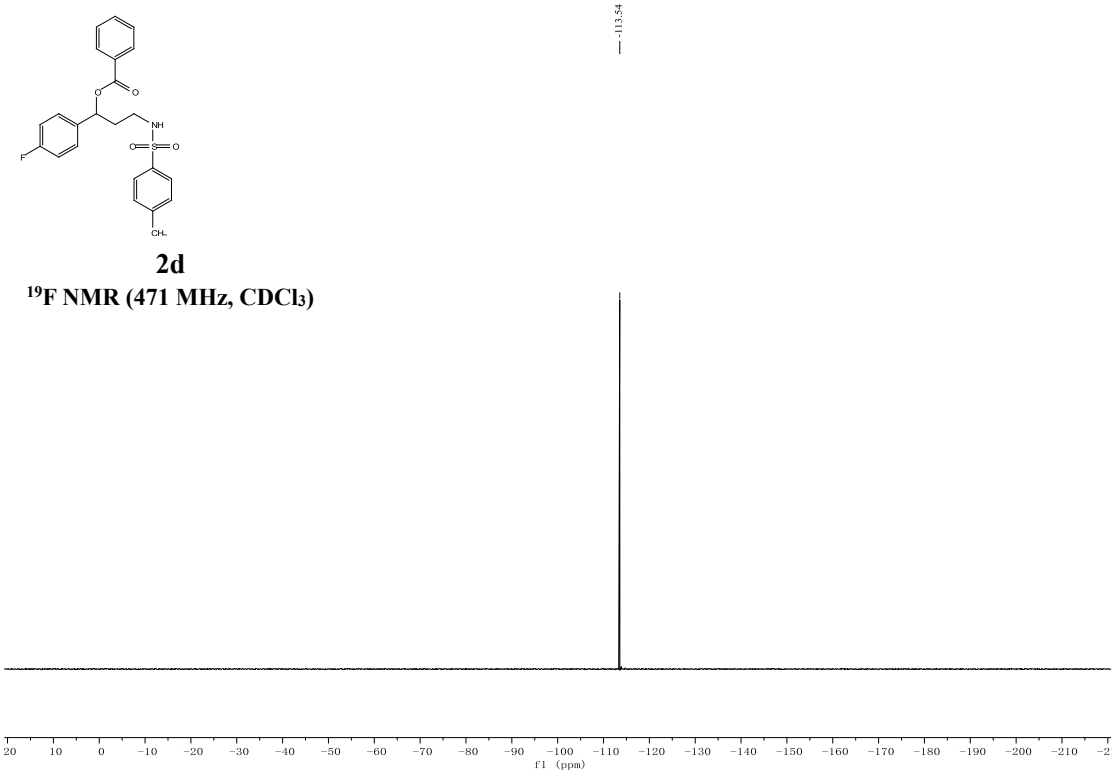
2d

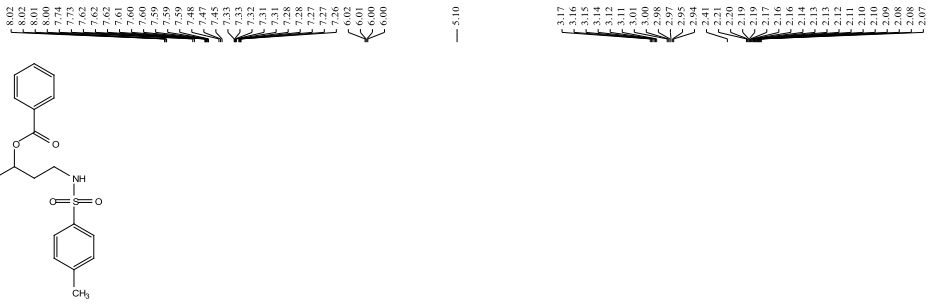
^{13}C NMR (126 MHz, $CDCl_3$)

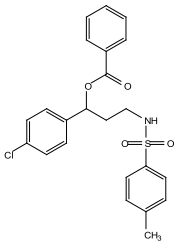


2d

^{19}F NMR (471 MHz, $CDCl_3$)

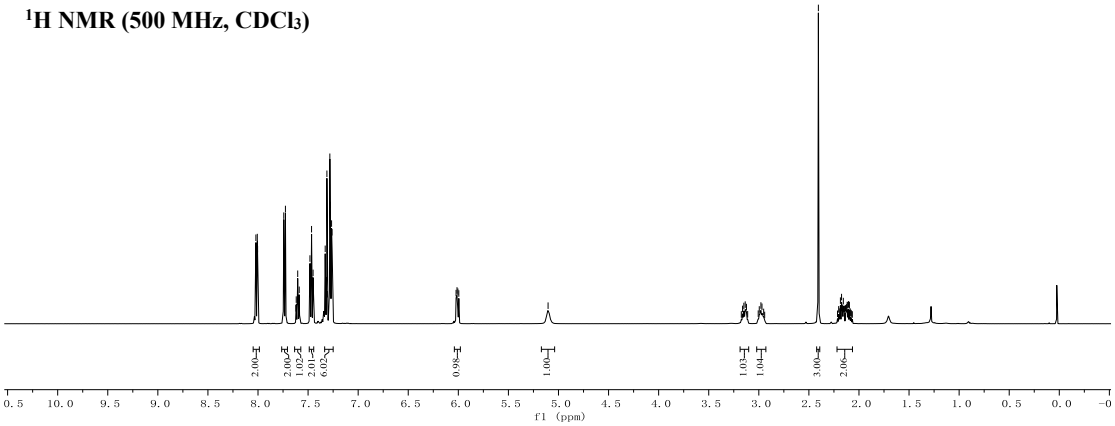


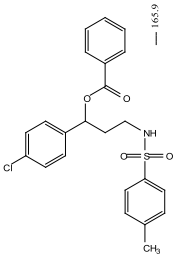




2e

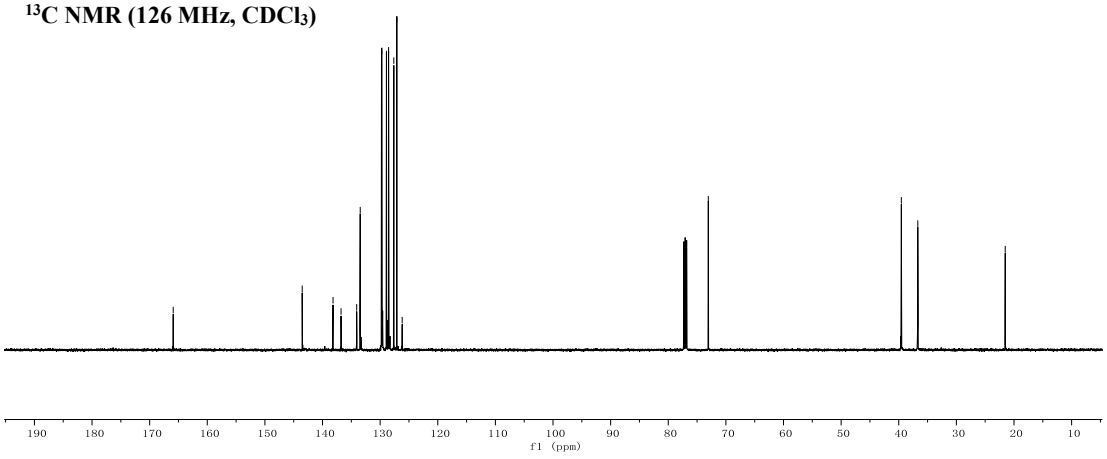
^{1}H NMR (500 MHz, $CDCl_3$)

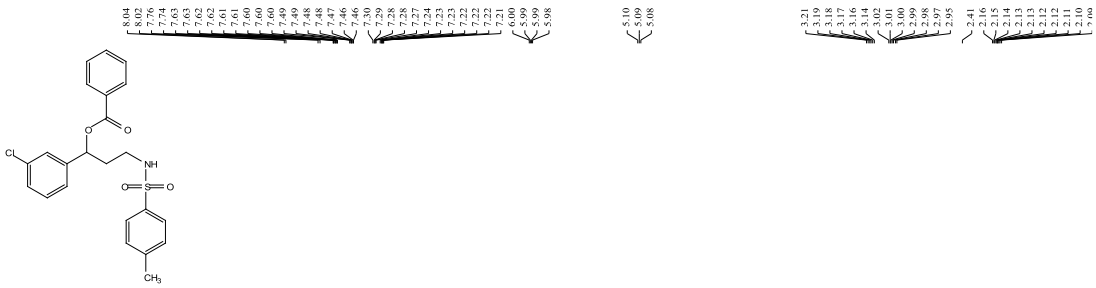




2e

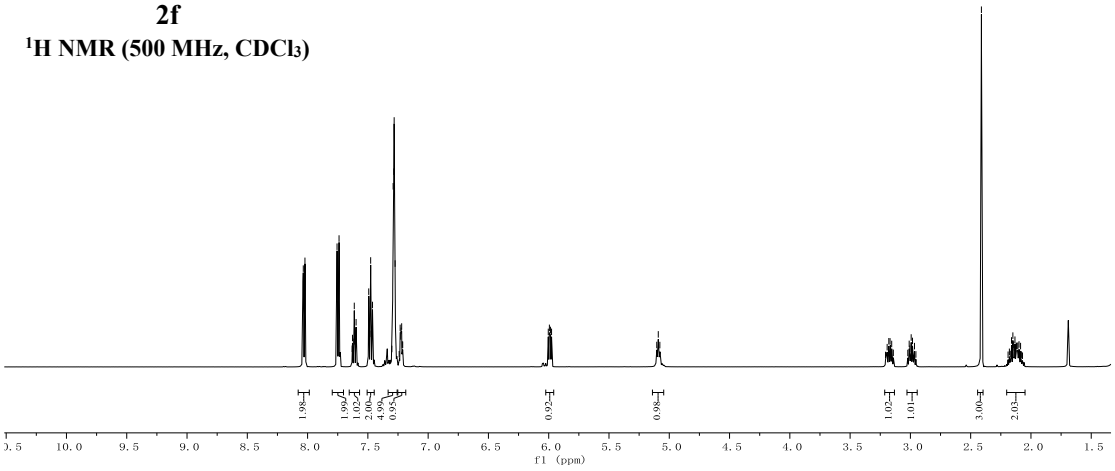
^{13}C NMR (126 MHz, $CDCl_3$)

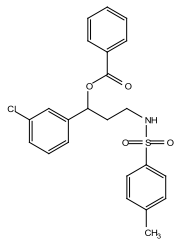




2f

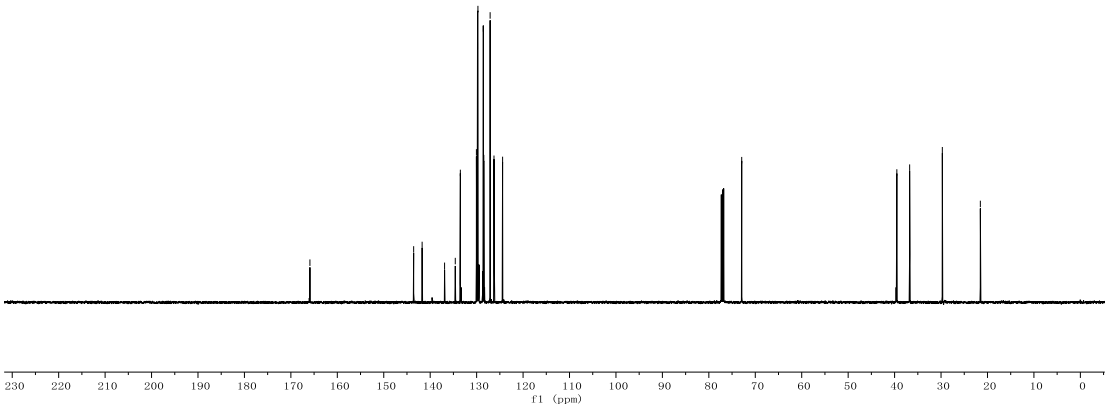
^{1}H NMR (500 MHz, $CDCl_3$)

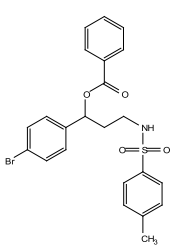


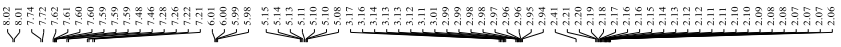


2f

^{13}C NMR (126 MHz, $CDCl_3$)

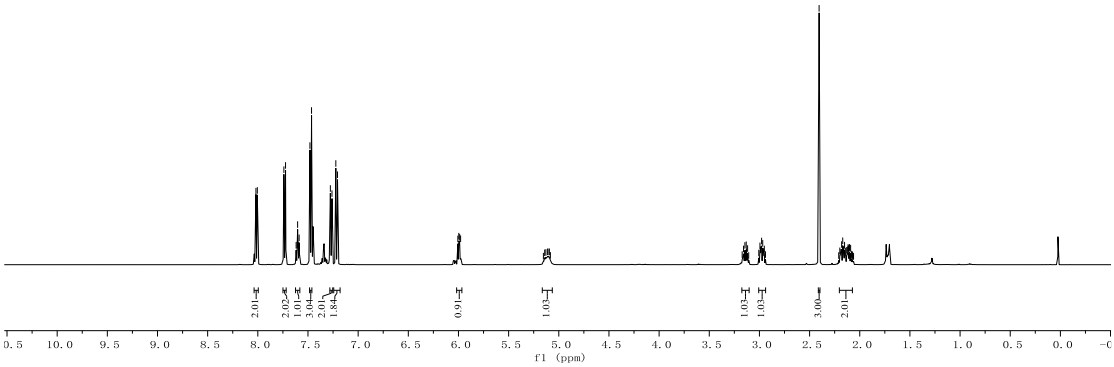


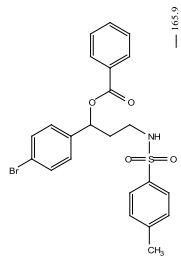


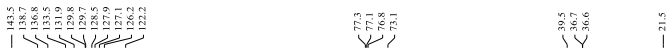


2g

^{1}H NMR (500 MHz, $CDCl_3$)

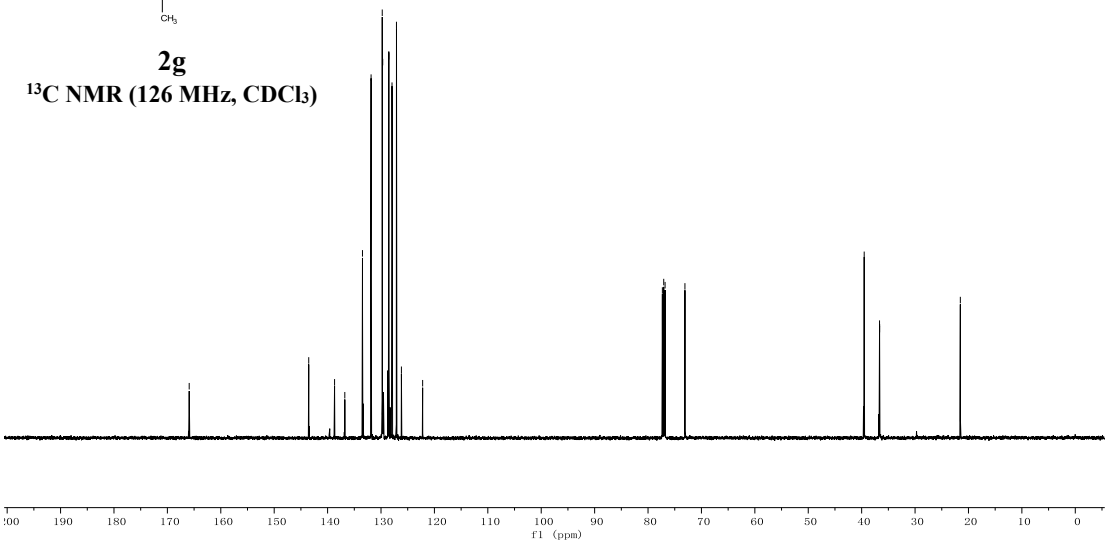


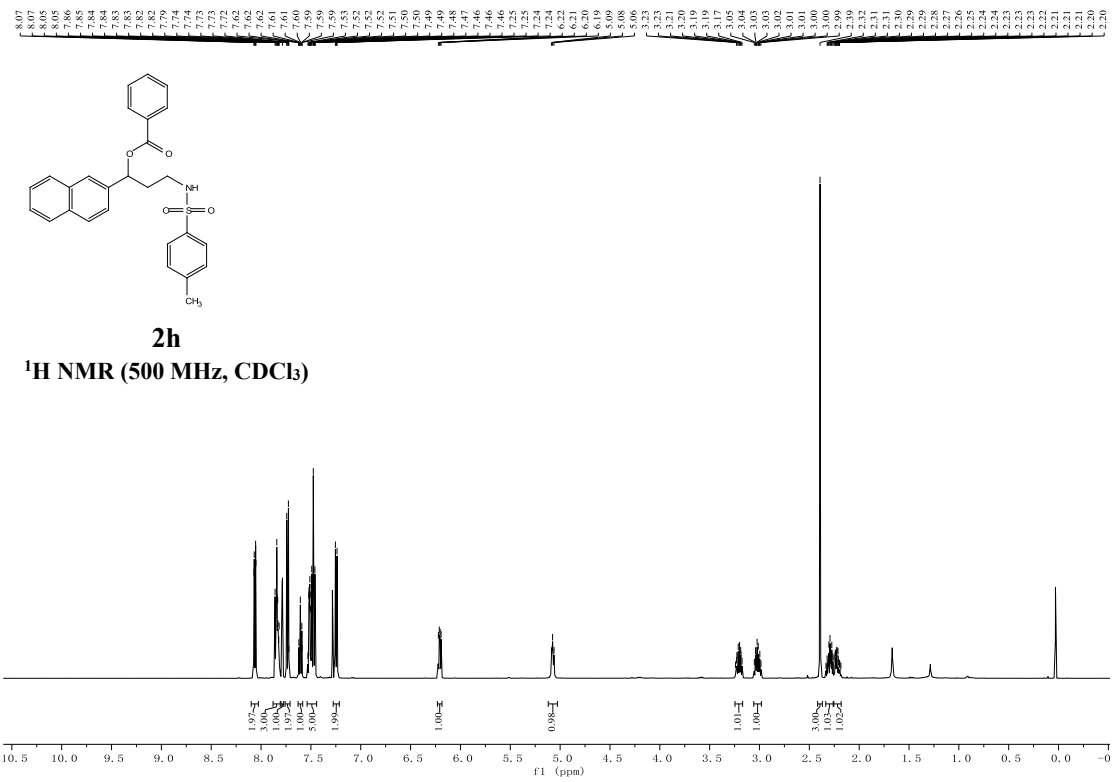




2g

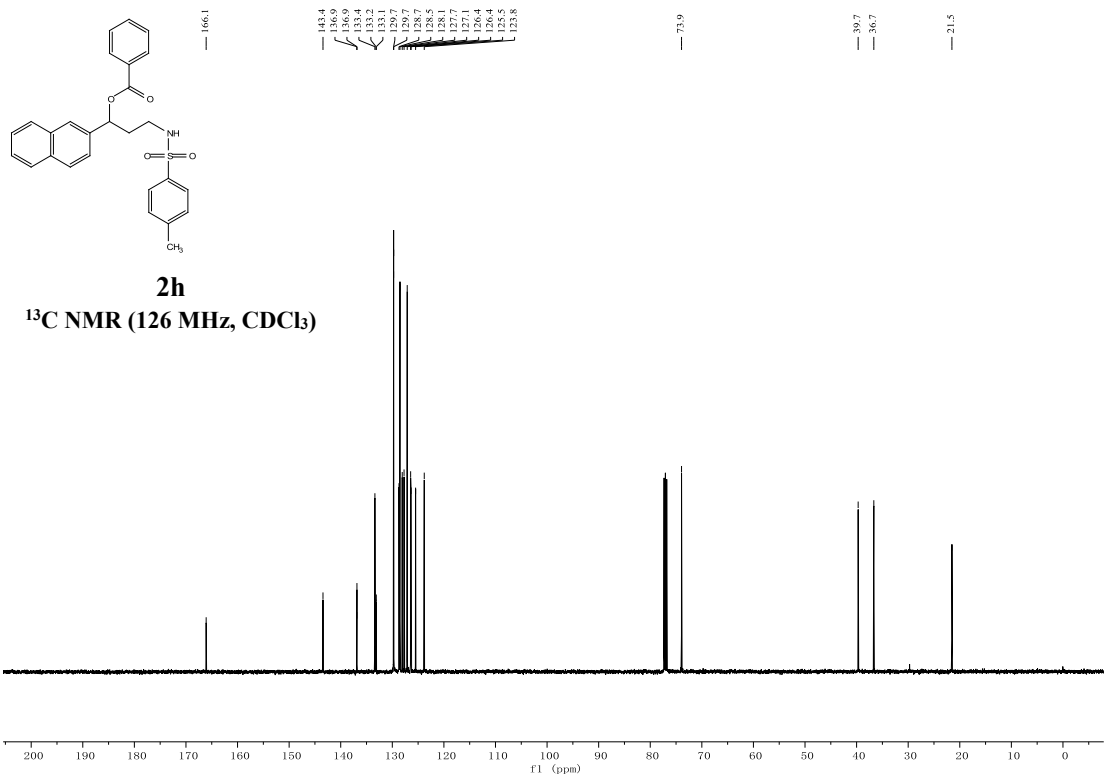
^{13}C NMR (126 MHz, $CDCl_3$)





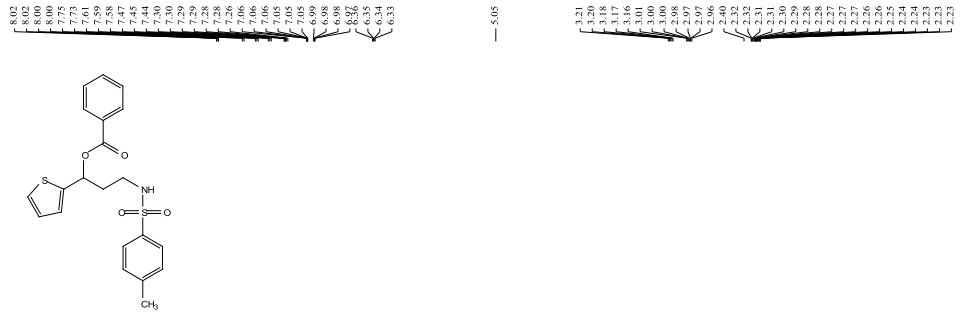
2h

^{1}H NMR (500 MHz, CDCl$_3$)



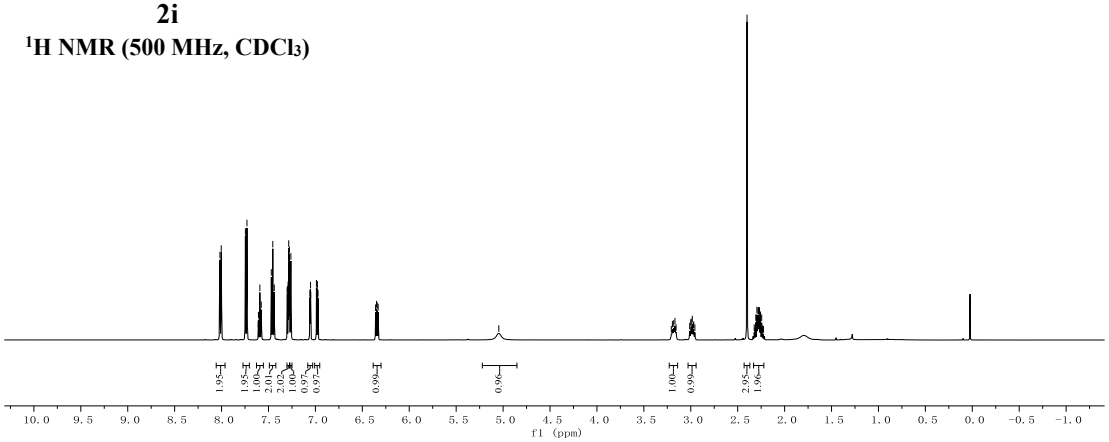
2h

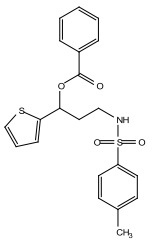
^{13}C NMR (126 MHz, CDCl$_3$)



2i

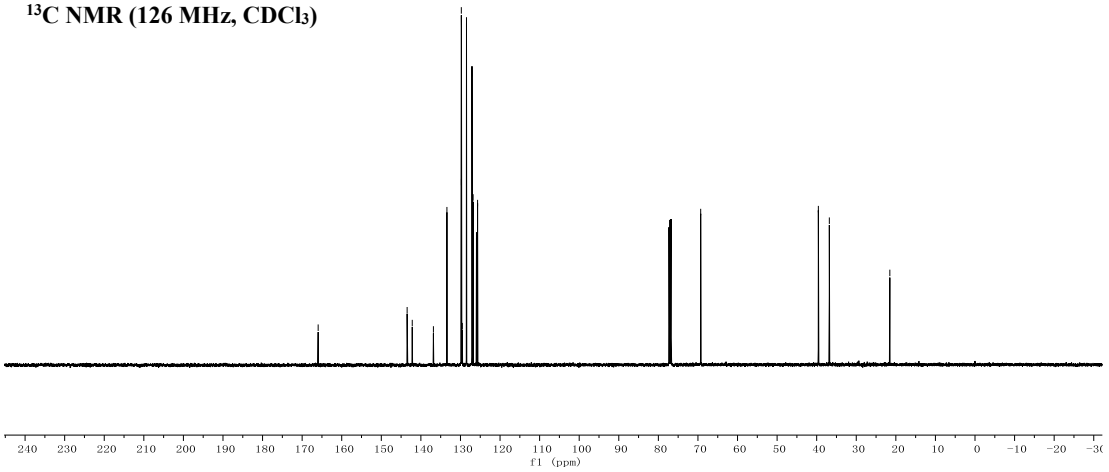
^{1}H NMR (500 MHz, $CDCl_3$)

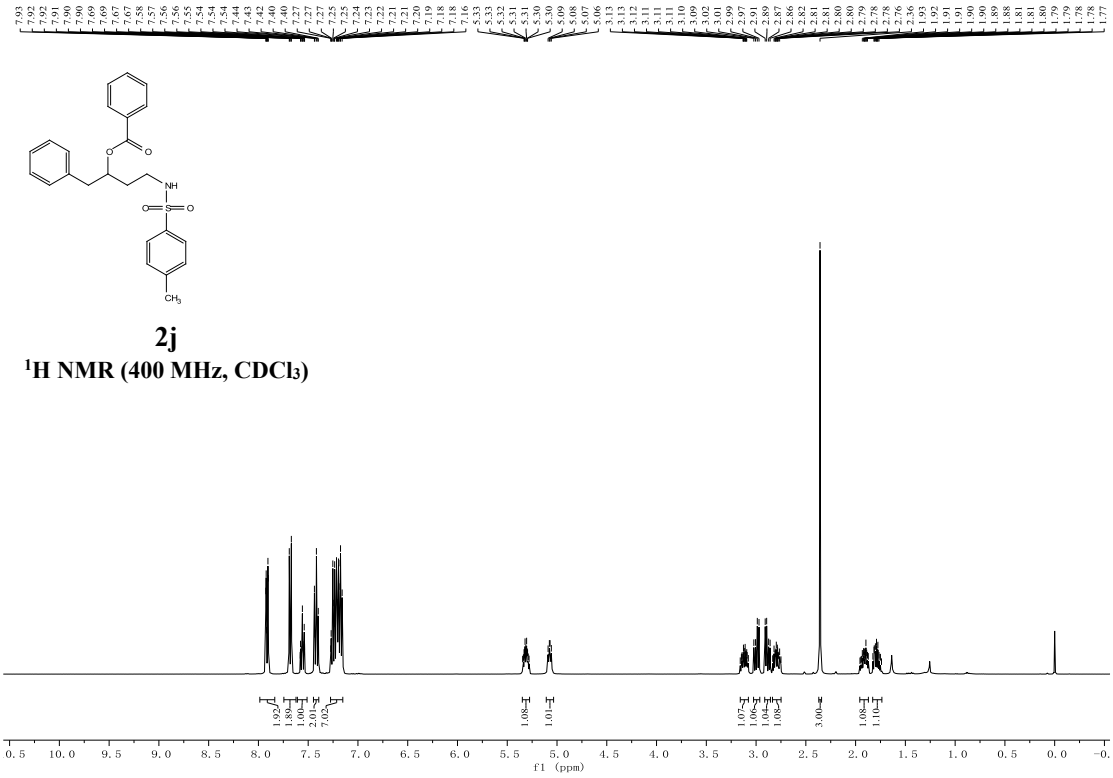




2i

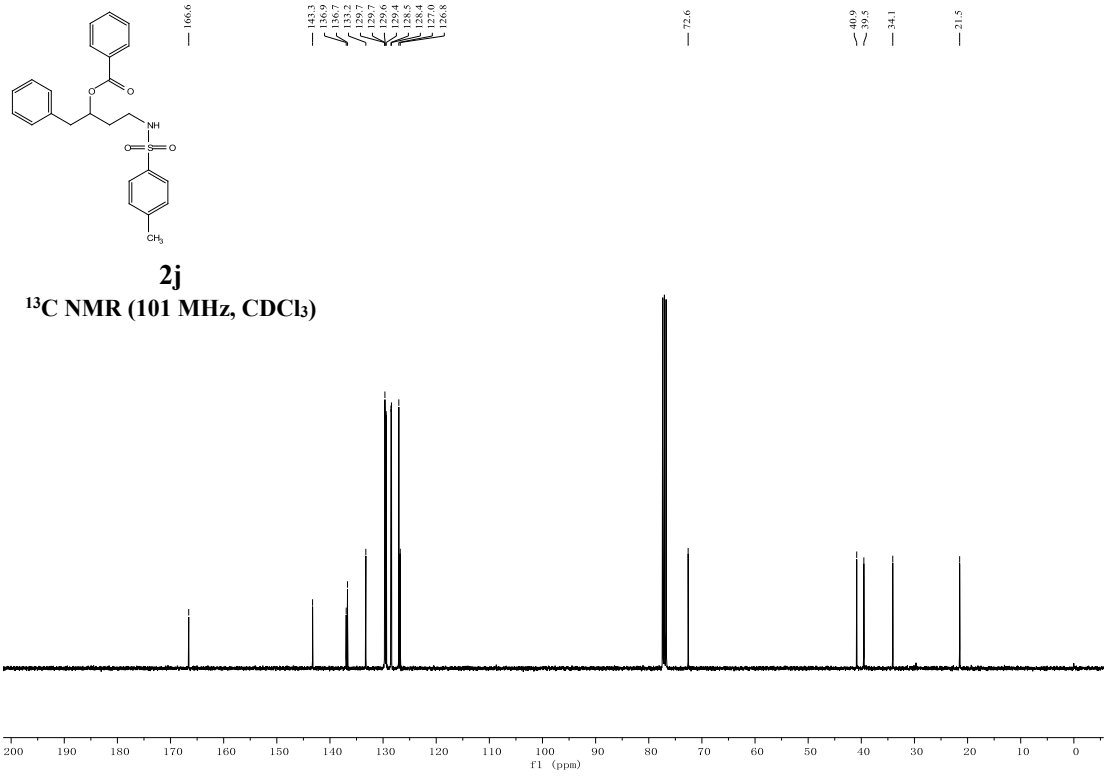
^{13}C NMR (126 MHz, $CDCl_3$)





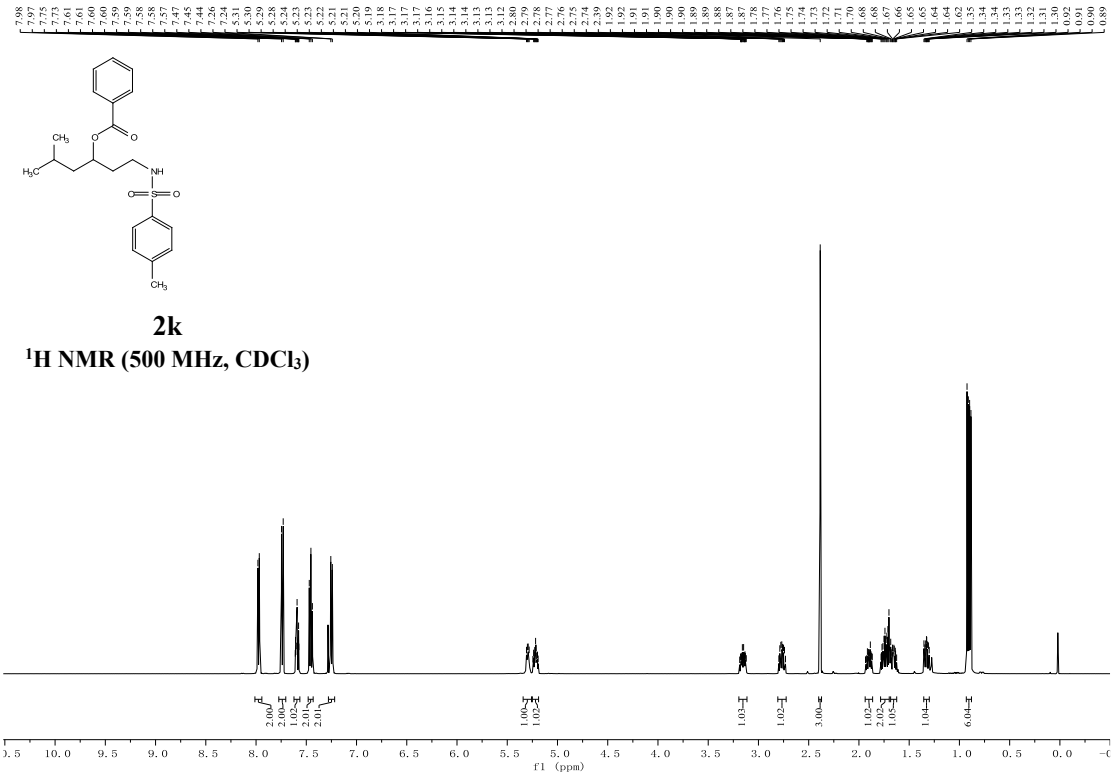
2j

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



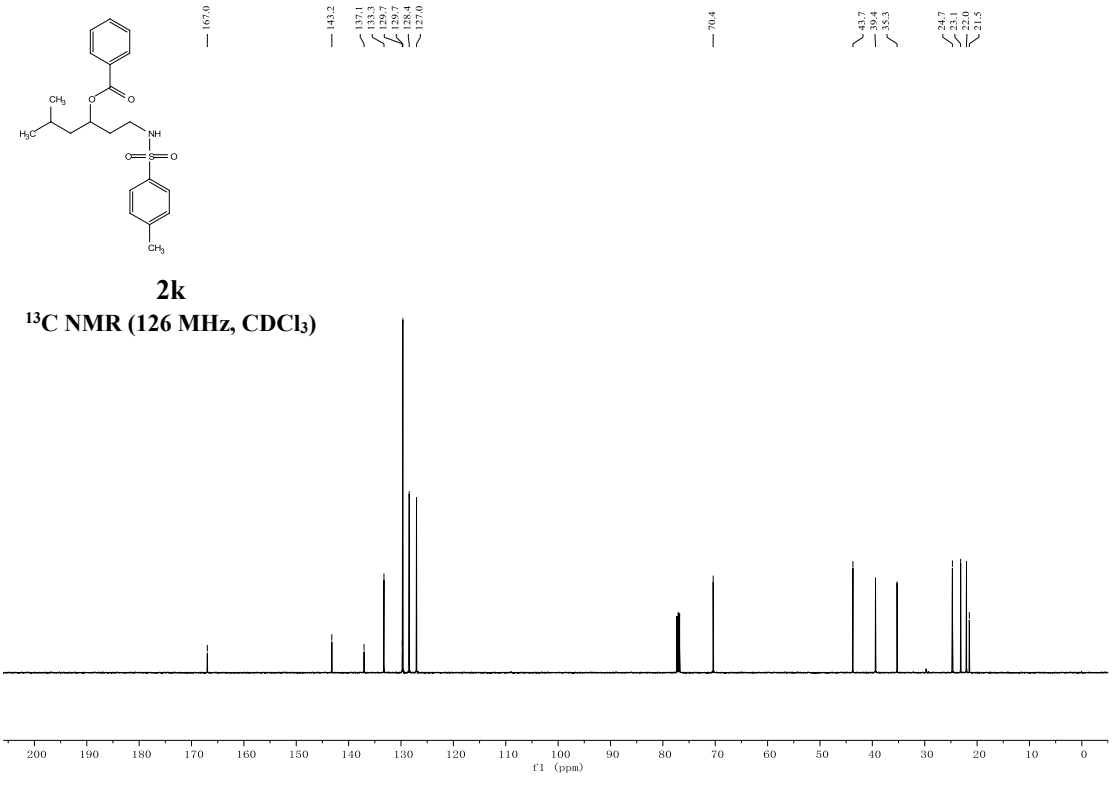
2j

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



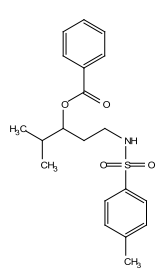
2k

^{1}H NMR (500 MHz, $CDCl_3$)



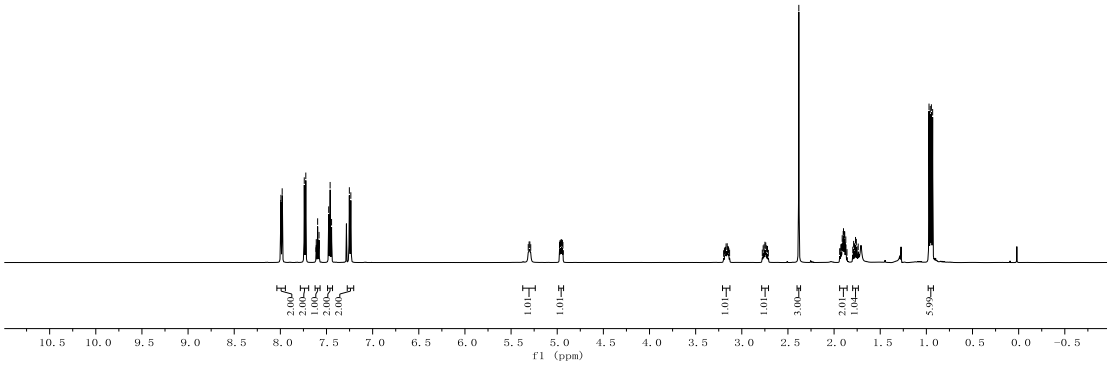
2k

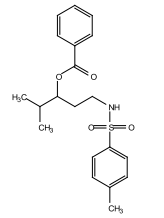
^{13}C NMR (126 MHz, $CDCl_3$)



2l

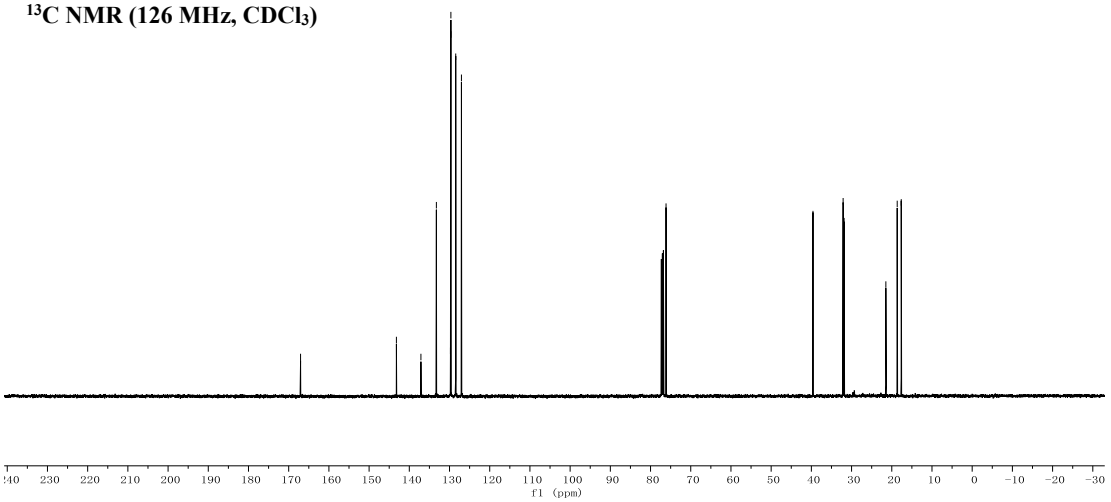
^{1}H NMR (500 MHz, $CDCl_3$)





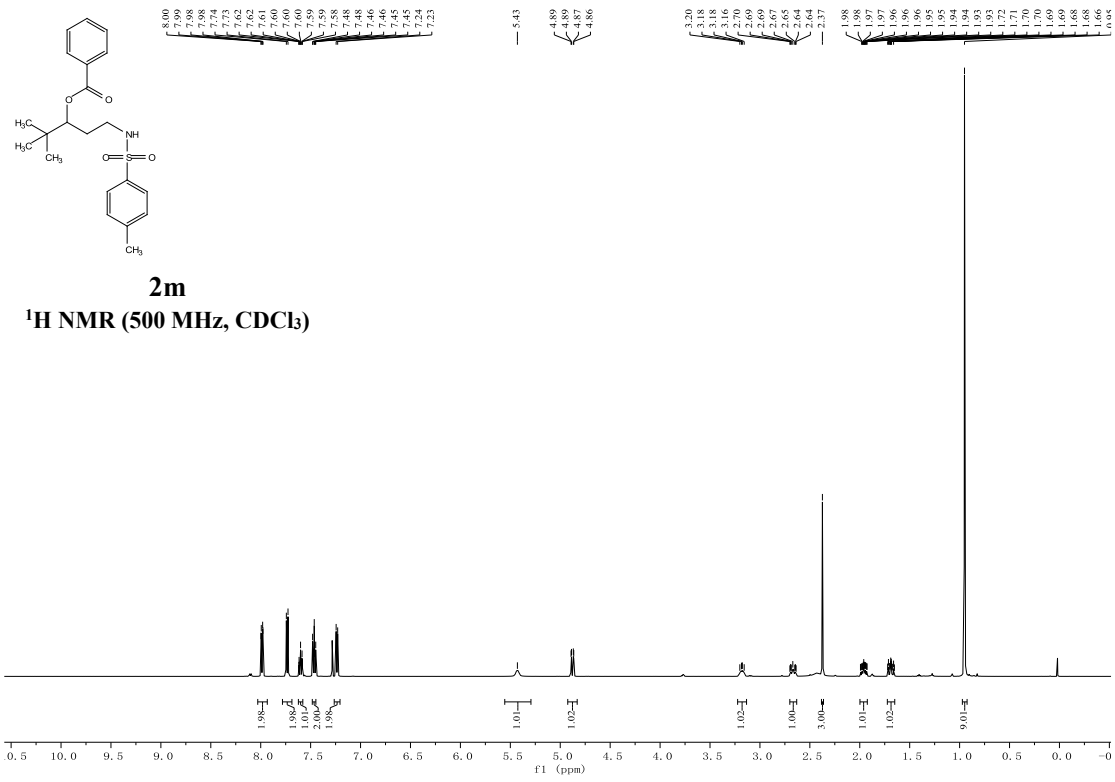
2l

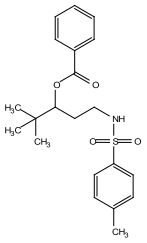
^{13}C NMR (126 MHz, $CDCl_3$)



2m

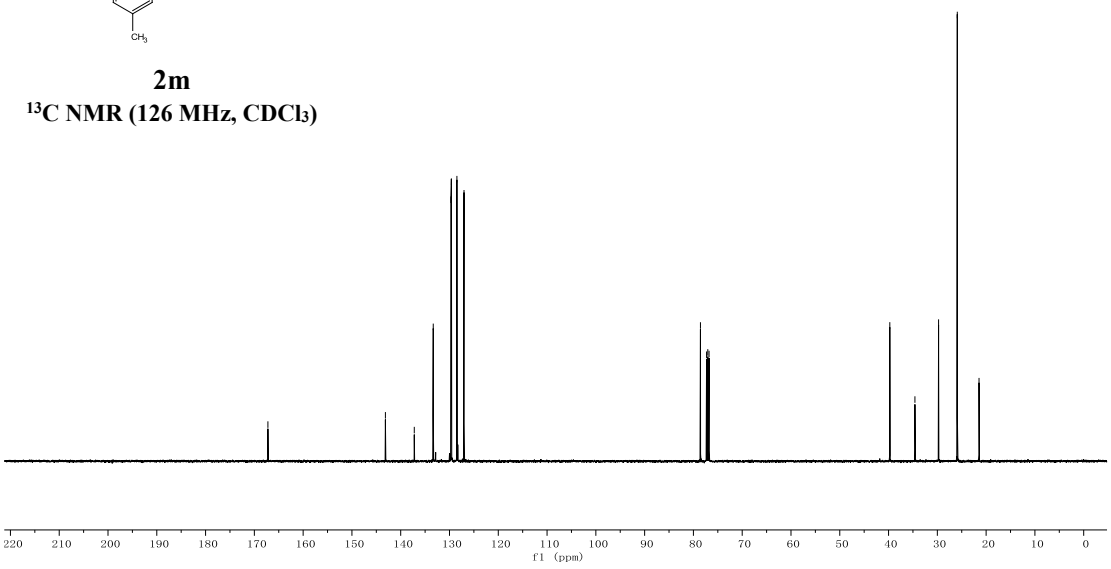
^{1}H NMR (500 MHz, $CDCl_3$)

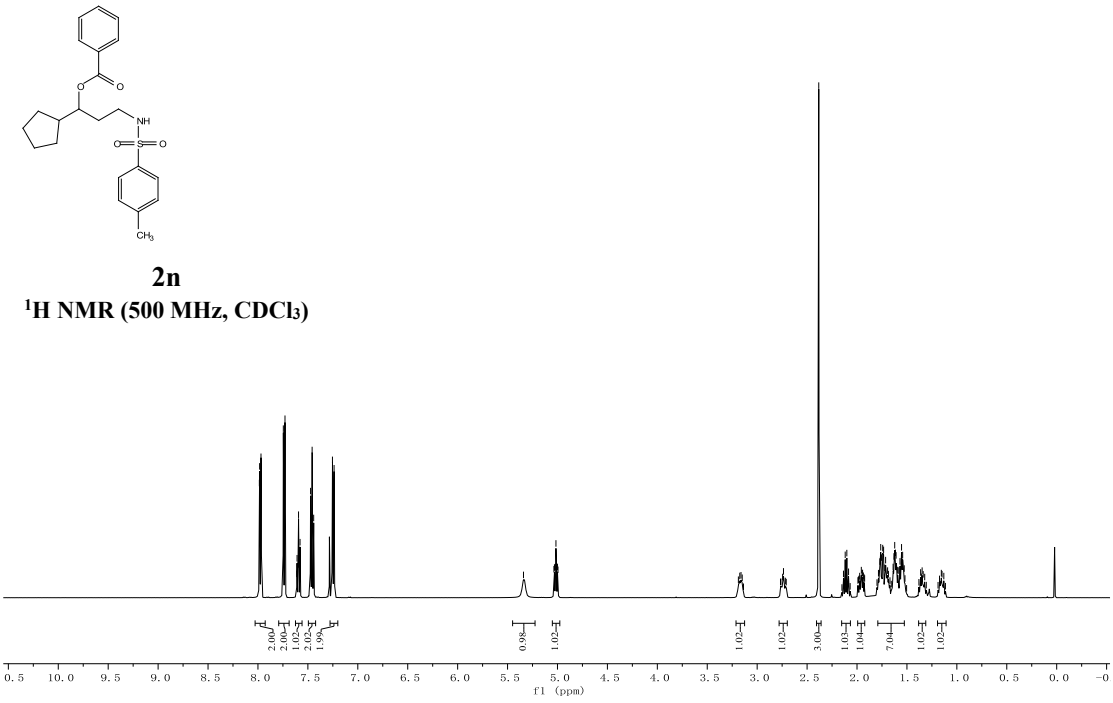




2m

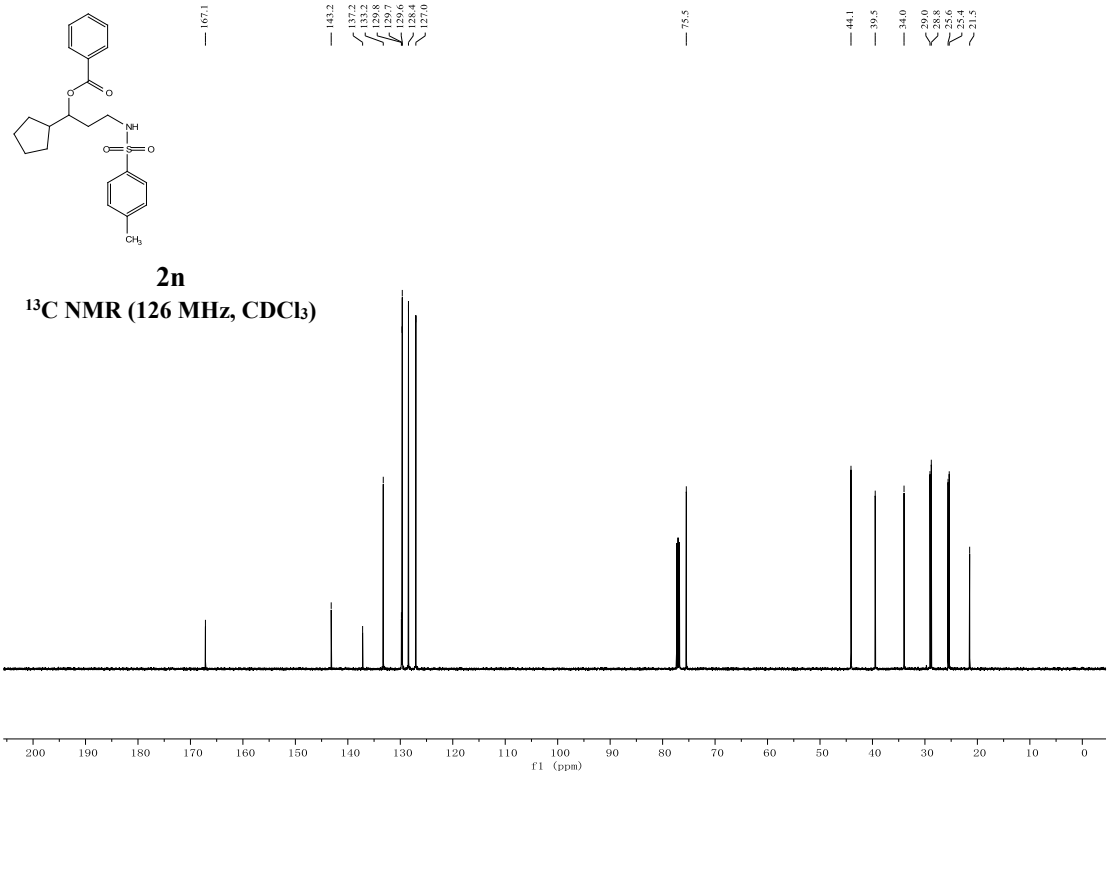
^{13}C NMR (126 MHz, $CDCl_3$)





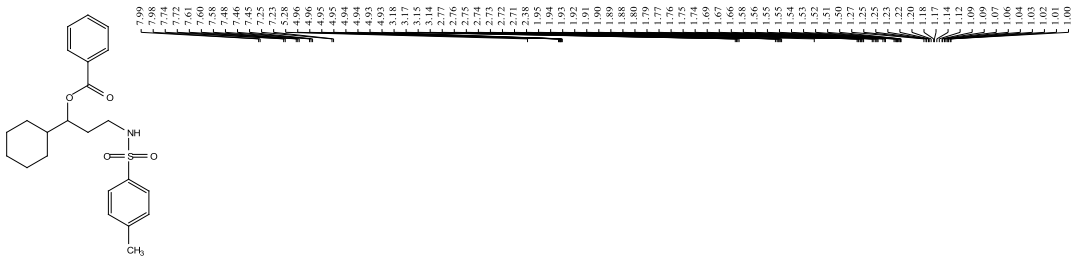
2n

^{1}H NMR (500 MHz, $CDCl_3$)



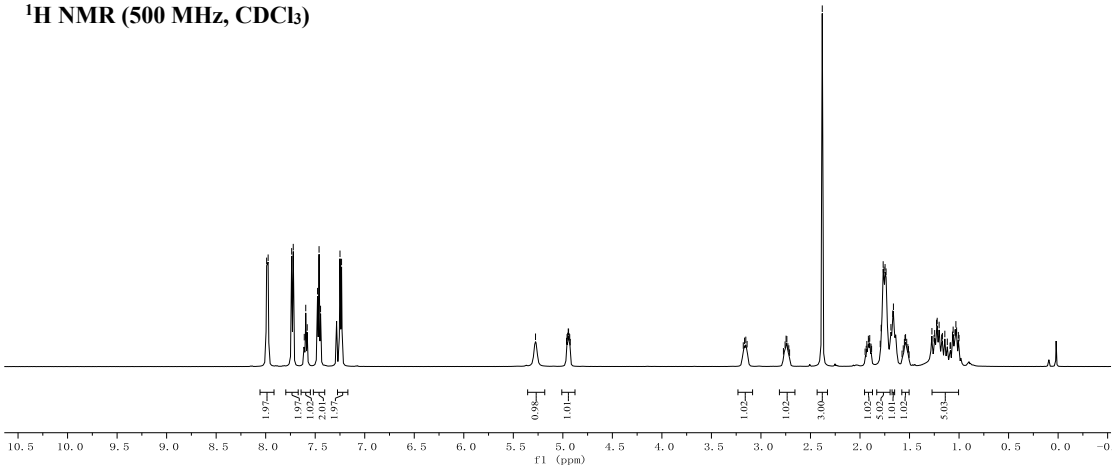
2n

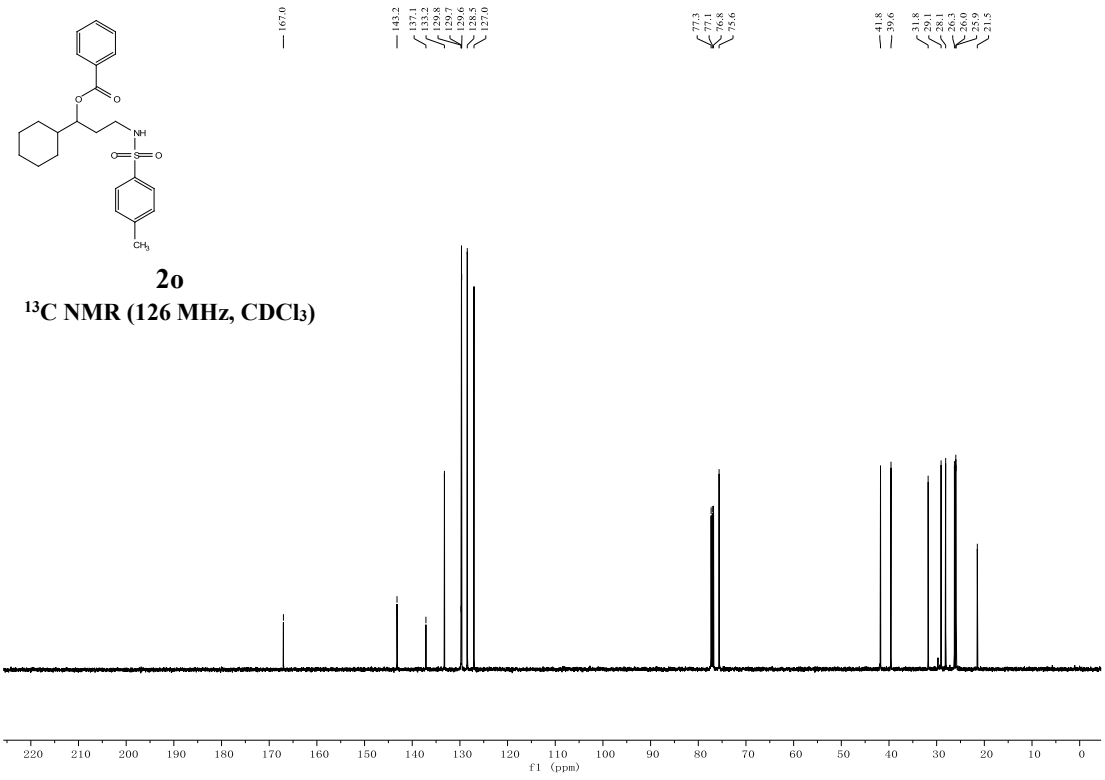
^{13}C NMR (126 MHz, $CDCl_3$)



2o

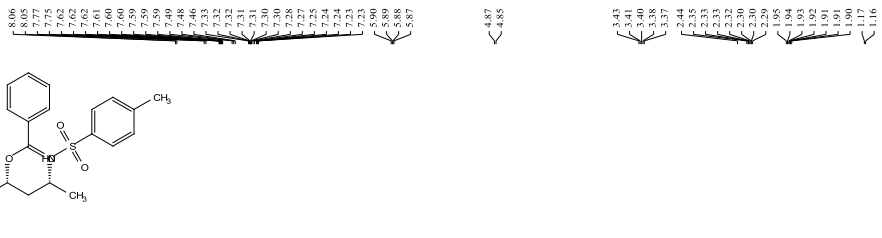
^{1}H NMR (500 MHz, $CDCl_3$)

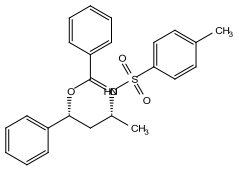




2o

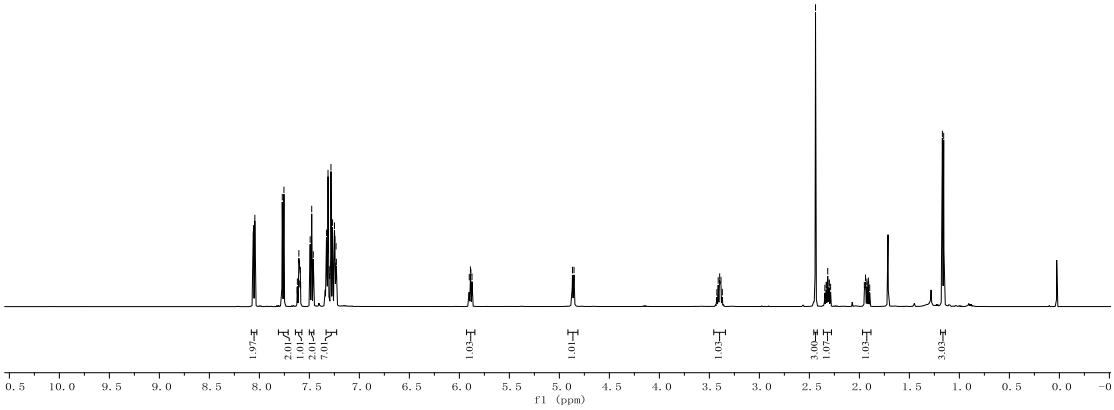
^{13}C NMR (126 MHz, $CDCl_3$)

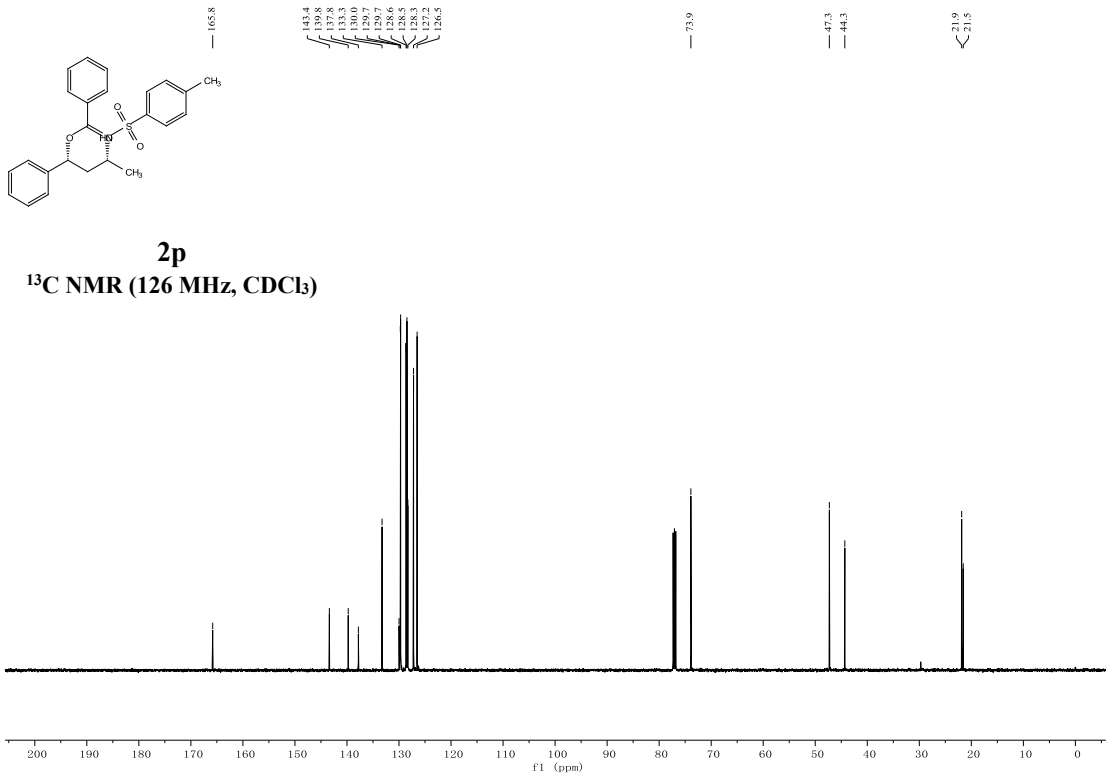




2p

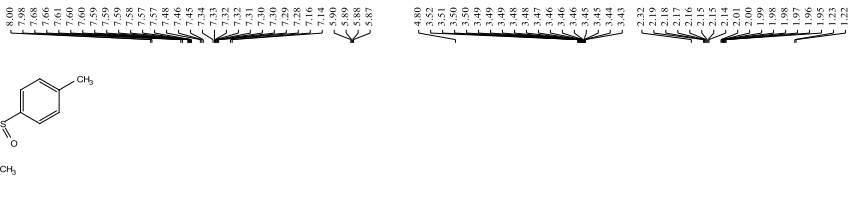
^{1}H NMR (500 MHz, $CDCl_3$)

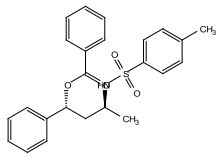




2p

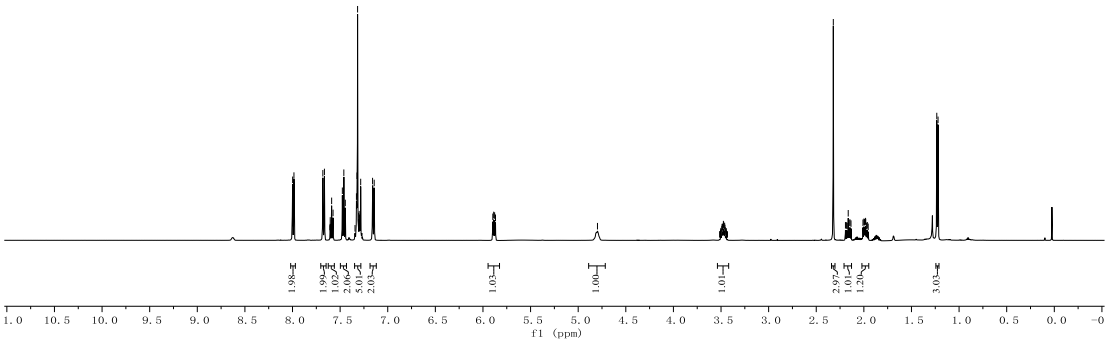
^{13}C NMR (126 MHz, $CDCl_3$)

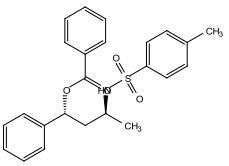




2q

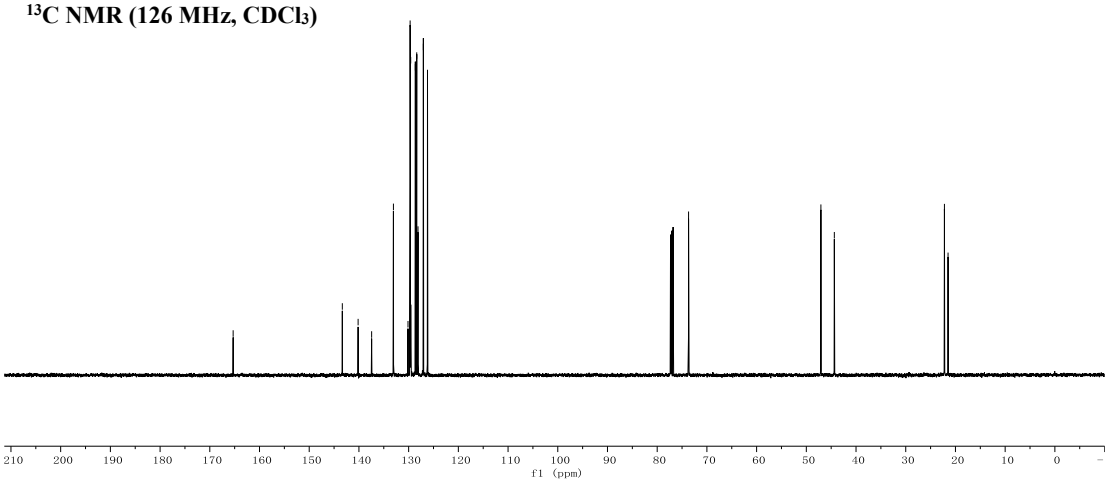
^{1}H NMR (500 MHz, $CDCl_3$)





2q

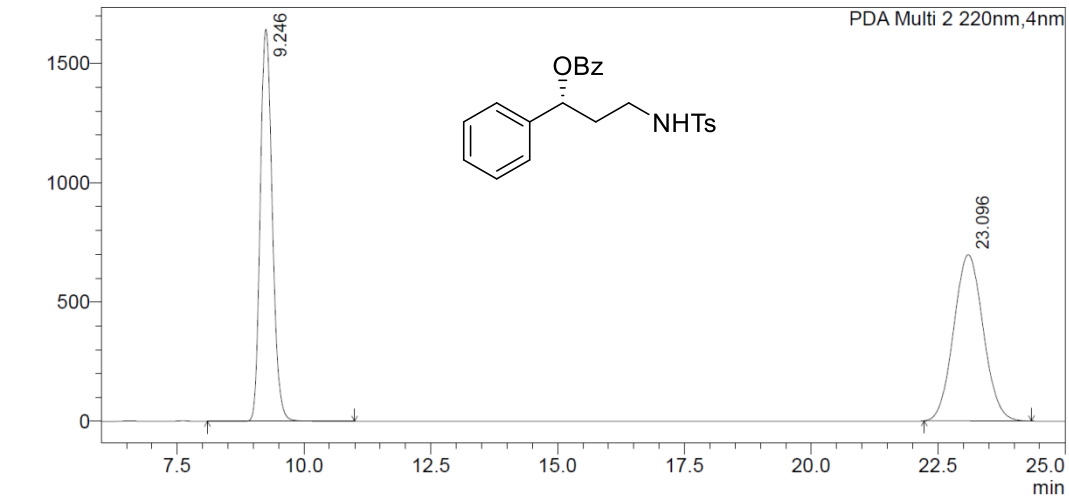
^{13}C NMR (126 MHz, $CDCl_3$)



HPLC

HPLC of 2a

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	9.246	27052163	1643066	48.903	70.213
2	23.096	28266166	697058	51.097	29.787
总计		55318328	2340123	100.000	100.000

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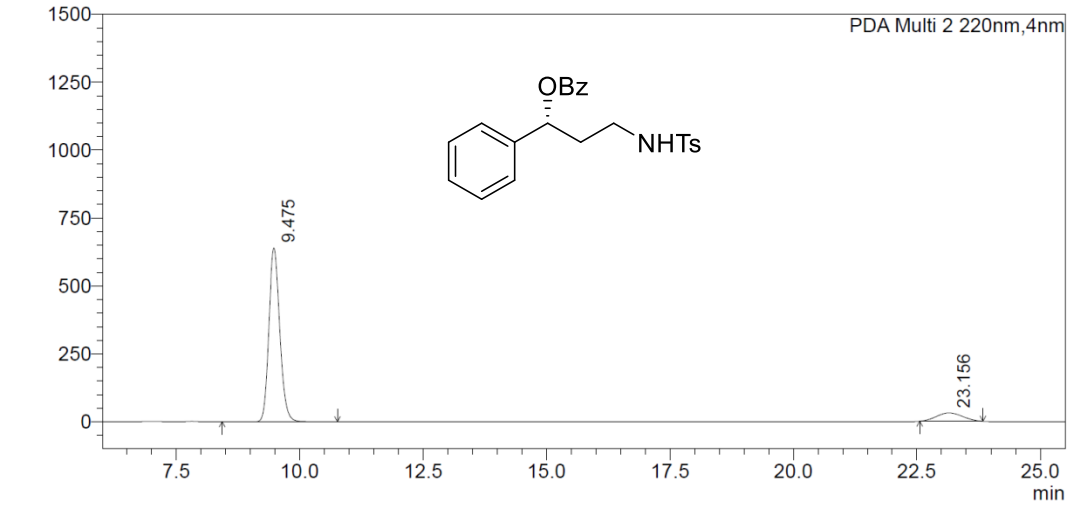


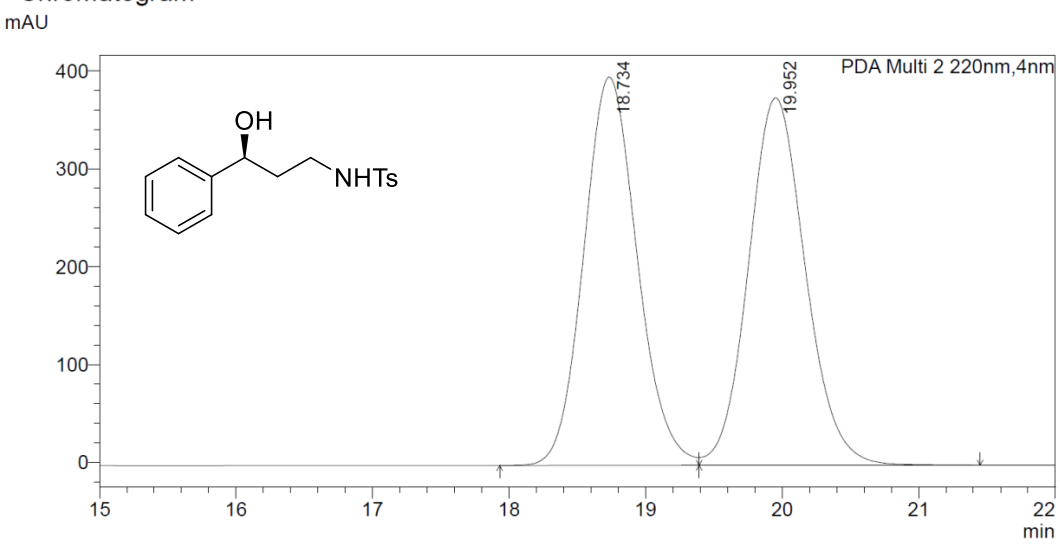
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	9.475	9866045	639858	89.729	95.402
2	23.156	1129361	30841	10.271	4.598
总计		10995406	670700	100.000	100.000

HPLC of 1a'

<Chromatogram>

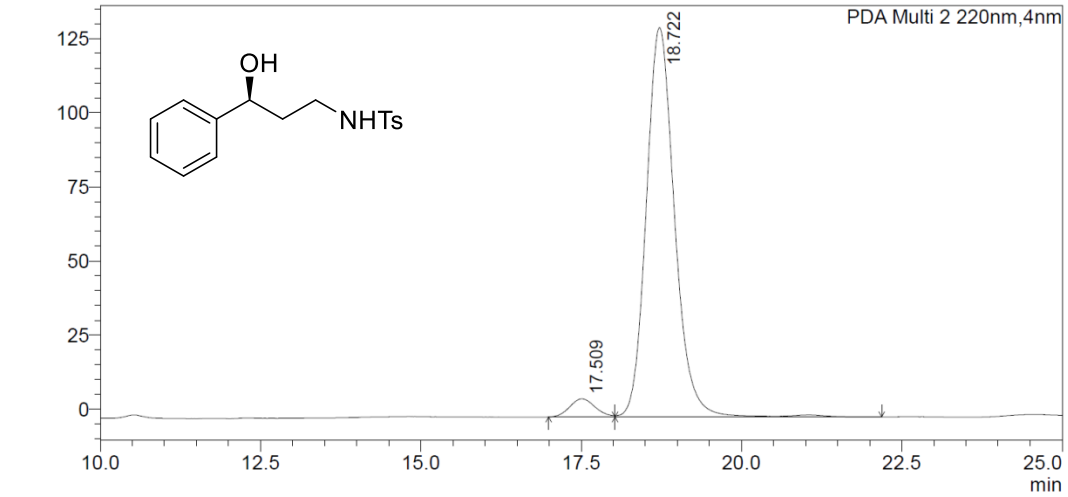


<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	18.734	10804324	396823	49.815	51.384
2	19.952	10884717	375451	50.185	48.616
总计		21689042	772274	100.000	100.000

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	17.509	168826	6135	4.010	4.463
2	18.722	4040884	131328	95.990	95.537
总计		4209710	137462	100.000	100.000

HPLC of 2b

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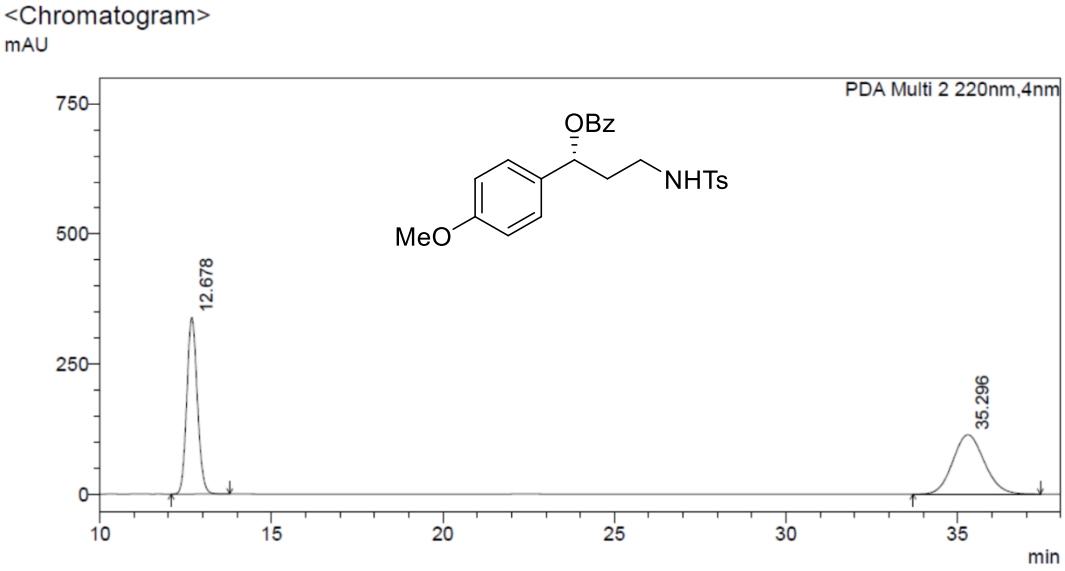

<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	12.678	7257793	338966	49.881	74.833
2	35.296	7292553	114000	50.119	25.167
总计		14550345	452966	100.000	100.000

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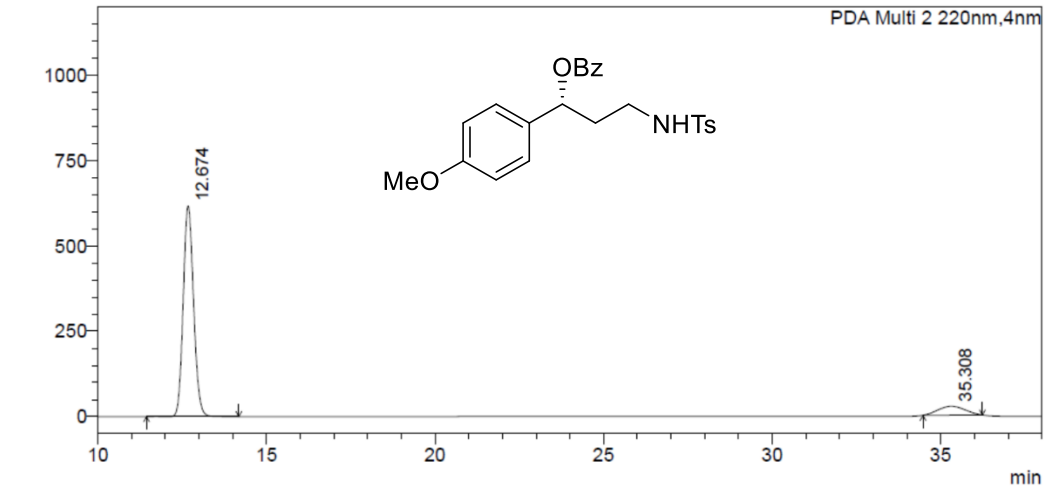


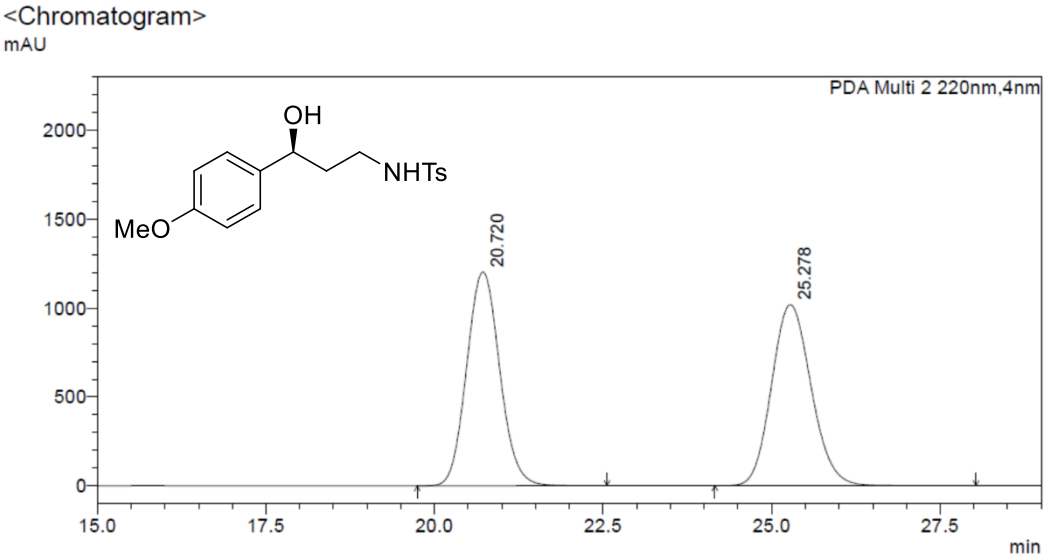
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	12.674	13204489	616324	90.167	95.932
2	35.308	1440024	26134	9.833	4.068
总计		14644514	642458	100.000	100.000

HPLC of 1b'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	20.720	40987970	1200897	49.601	54.148
2	25.278	41646639	1016904	50.399	45.852
总计		82634609	2217801	100.000	100.000

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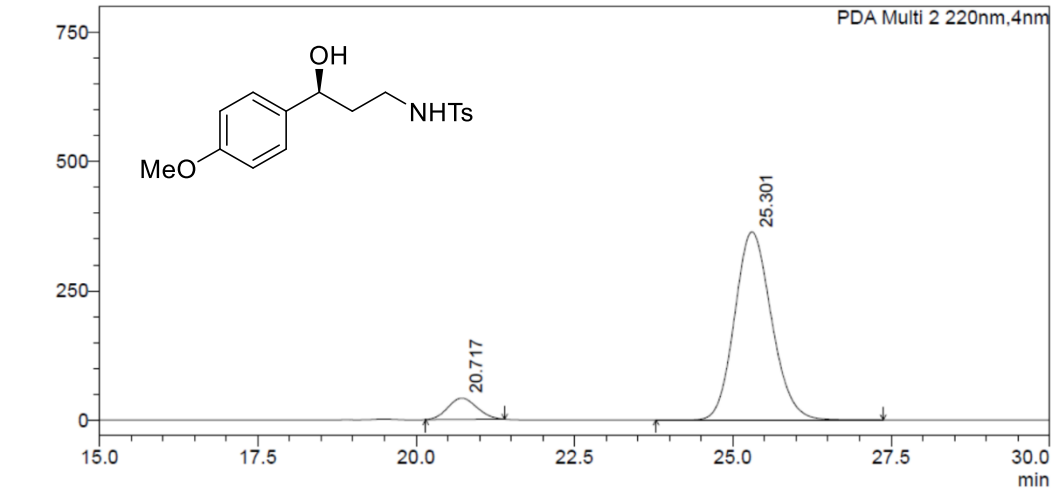

<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	20.717	1310248	41109	8.164	10.165
2	25.301	14738151	363287	91.836	89.835
总计		16048399	404396	100.000	100.000

HPLC of 2c

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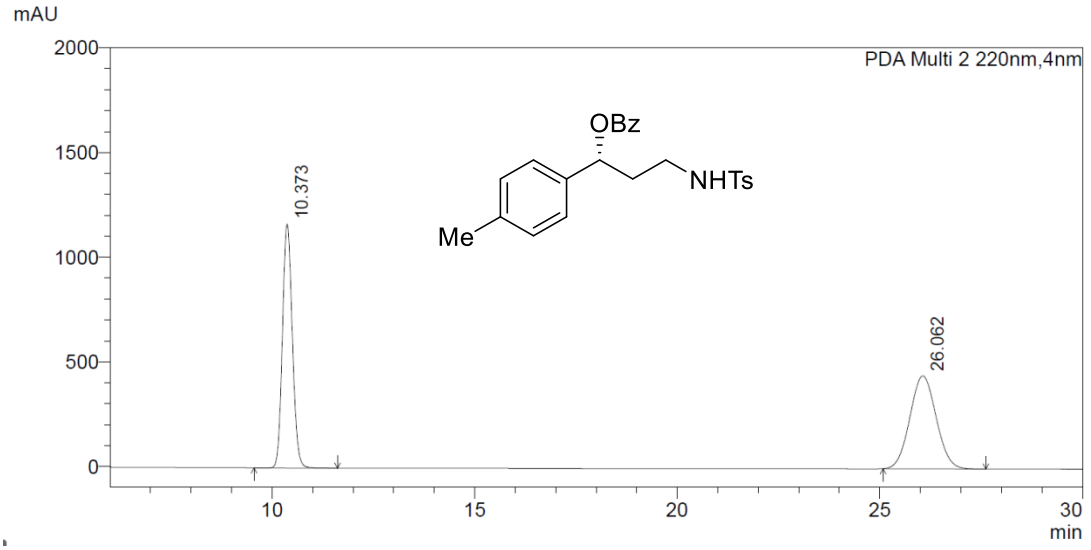

<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.373	19778689	1164096	49.696	72.397
2	26.062	20021016	443847	50.304	27.603
总计		39799705	1607943	100.000	100.000

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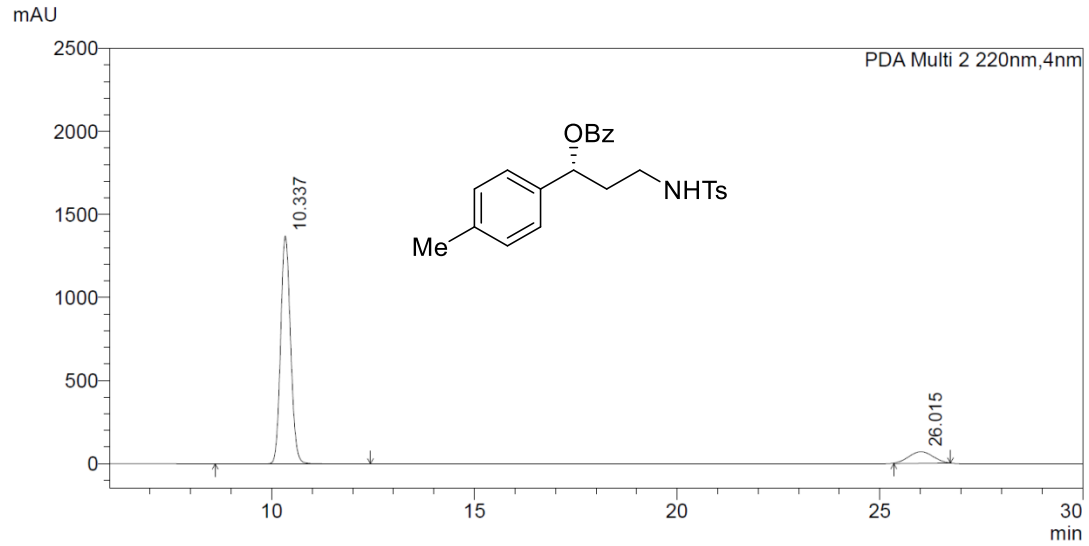

<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.337	23313841	1371021	88.816	95.139
2	26.015	2935614	70057	11.184	4.861
总计		26249455	1441077	100.000	100.000

HPLC of 1c'

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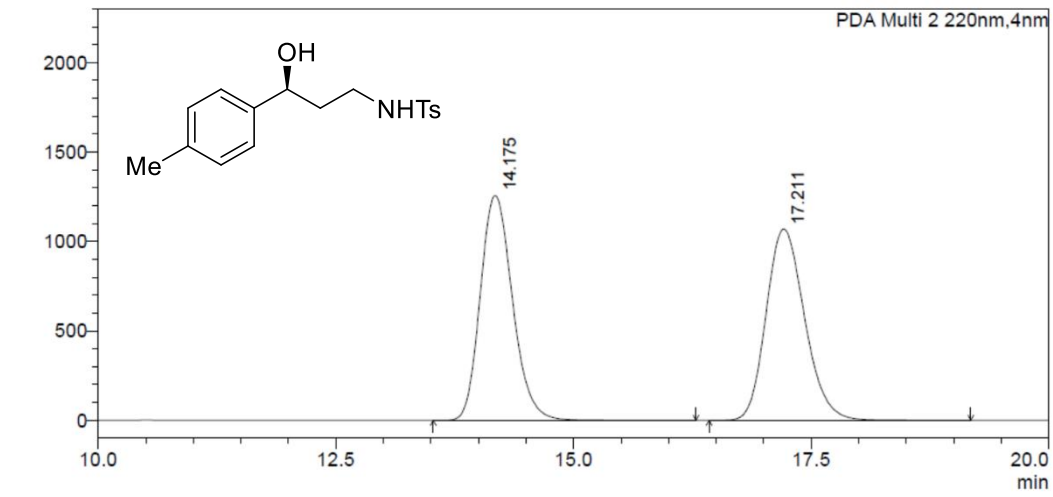

<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	14.175	29689855	1256305	49.633	54.045
2	17.211	30128332	1068270	50.367	45.955
总计		59818187	2324575	100.000	100.000

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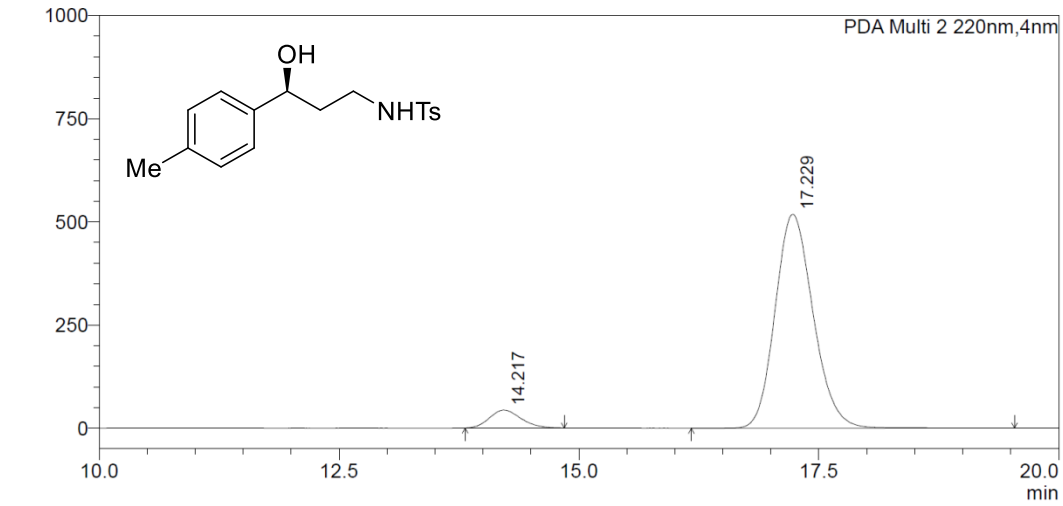


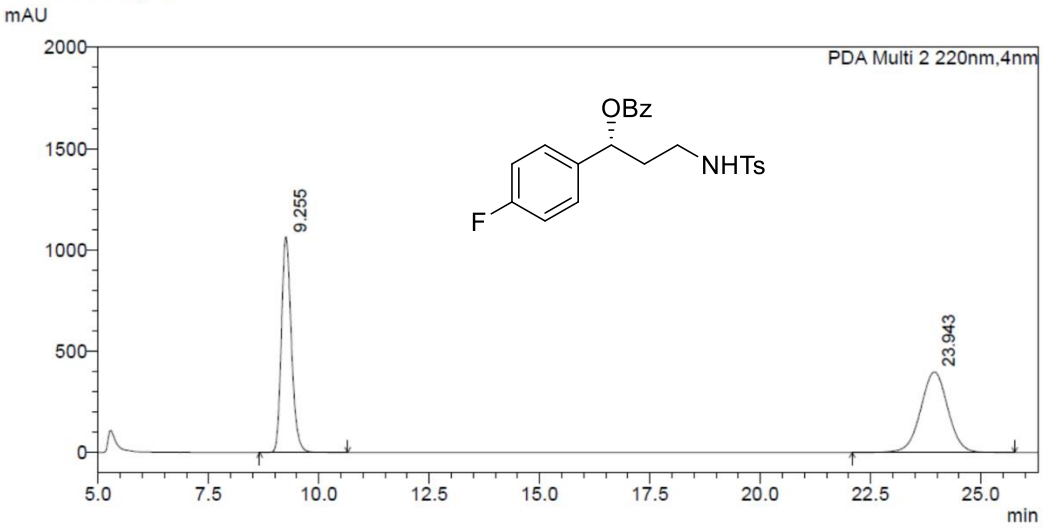
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	14.217	993019	43343	6.491	7.715
2	17.229	14306422	518426	93.509	92.285
总计		15299441	561768	100.000	100.000

HPLC of 2d

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	9.255	16701397	1063920	49.474	72.800
2	23.943	17056260	397505	50.526	27.200
总计		33757657	1461425	100.000	100.000

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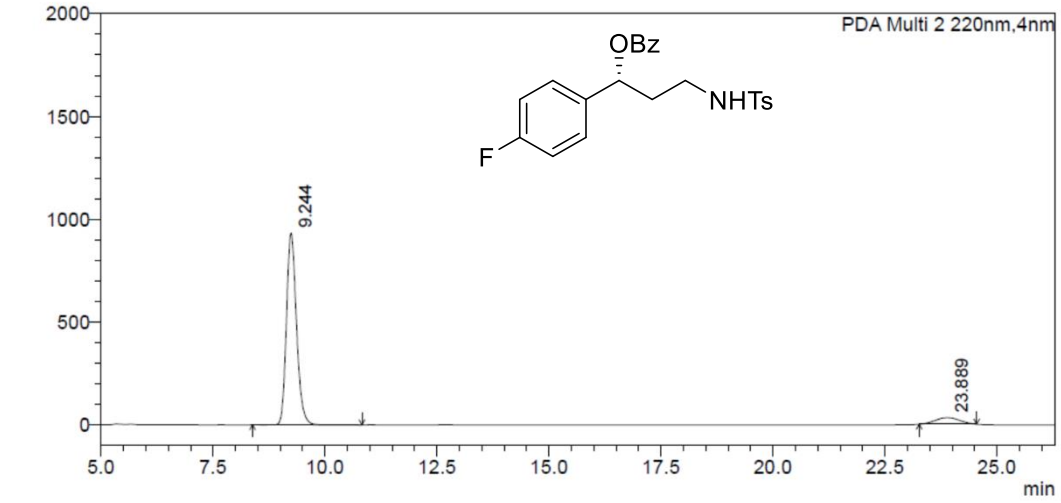


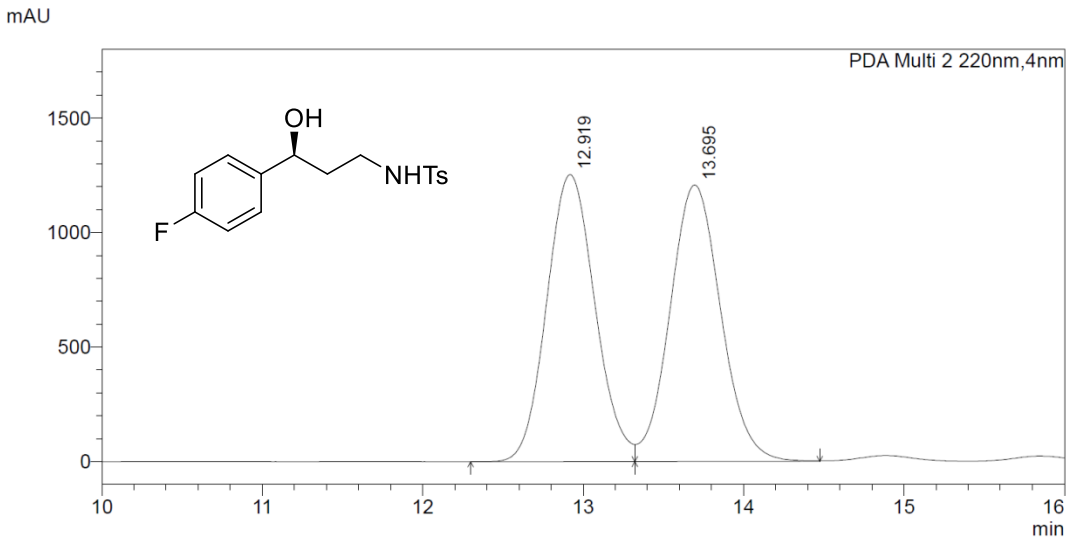
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	9.244	14527927	931865	92.316	96.669
2	23.889	1209315	32109	7.684	3.331
总计		15737242	963974	100.000	100.000

HPLC of 1d'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	12.919	26225773	1253395	49.630	50.923
2	13.695	26616334	1207943	50.370	49.077
总计		52842107	2461338	100.000	100.000

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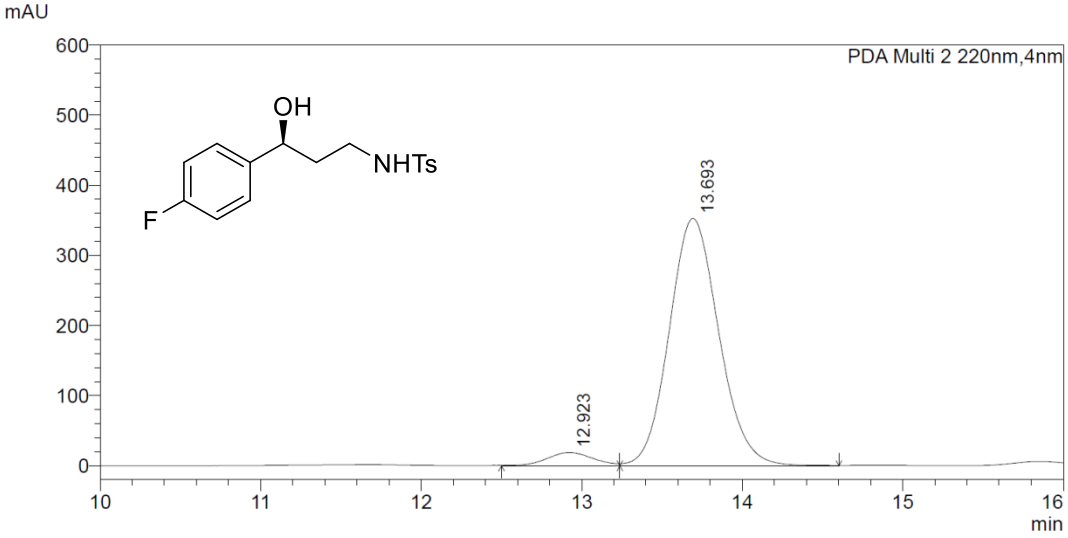


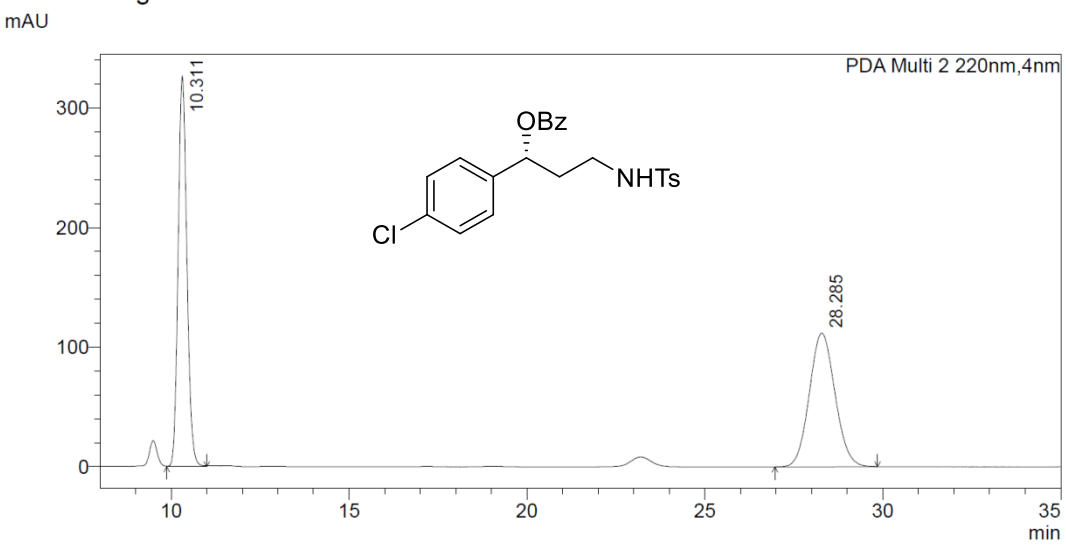
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	12.923	378918	18857	4.756	5.075
2	13.693	7587665	352729	95.244	94.925
总计		7966583	371587	100.000	100.000

HPLC of 2e

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.311	5599769	326547	49.977	74.501
2	28.285	5604901	111764	50.023	25.499
总计		11204670	438312	100.000	100.000

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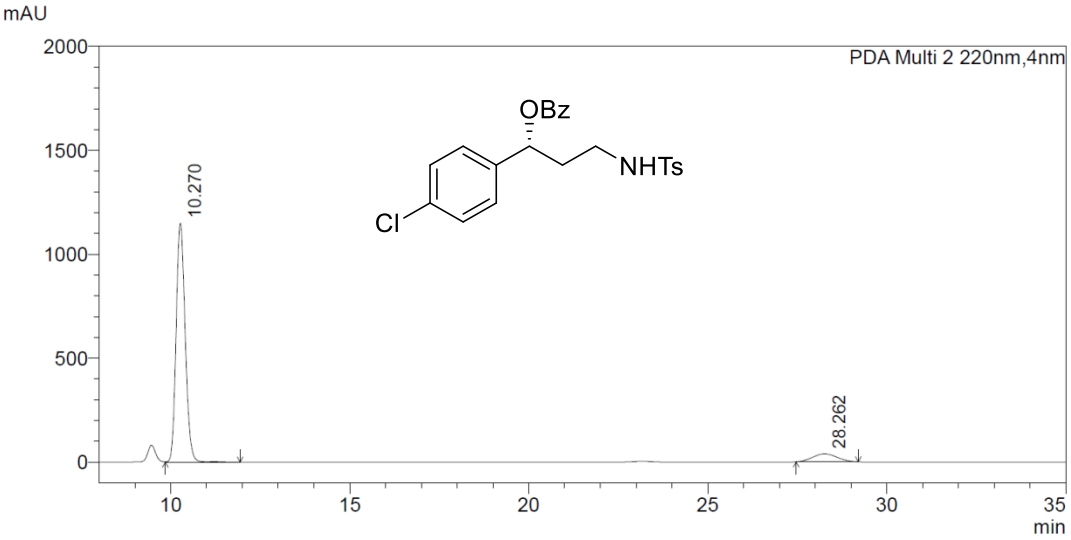


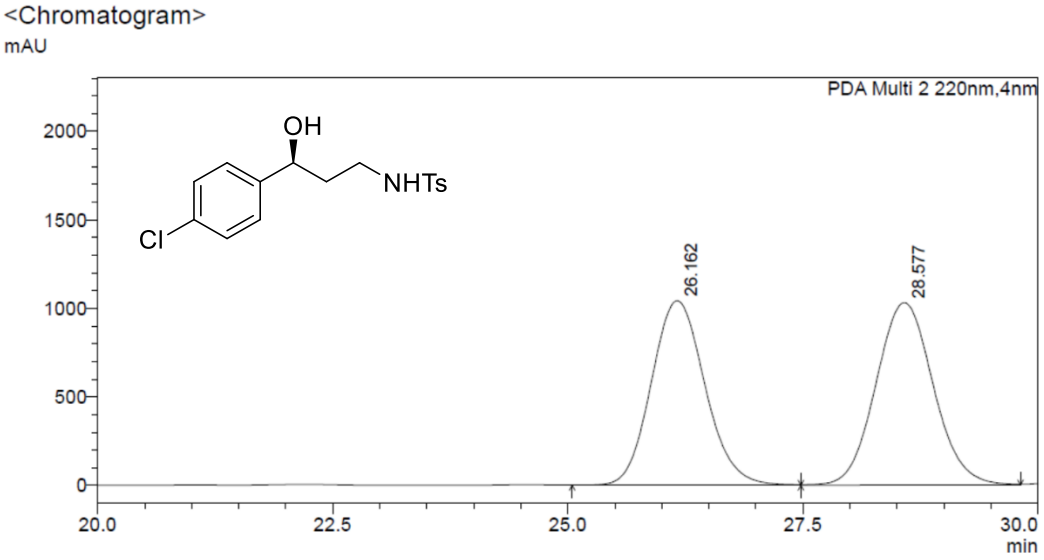
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.270	20253473	1149854	91.710	96.756
2	28.262	1830765	38551	8.290	3.244
总计		22084238	1188404	100.000	100.000

HPLC of 1e'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	26.162	41344684	1040801	48.556	50.269
2	28.577	43803641	1029663	51.444	49.731
总计		85148325	2070464	100.000	100.000

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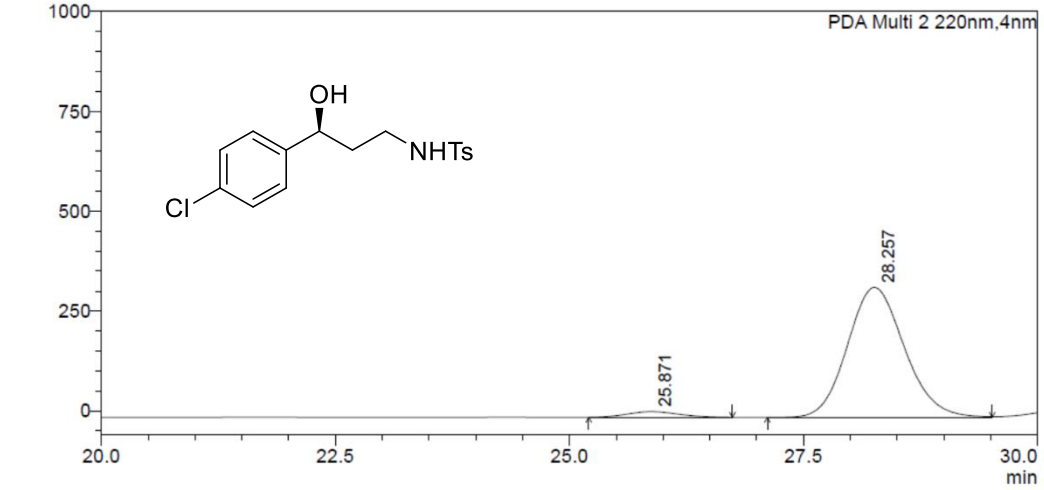


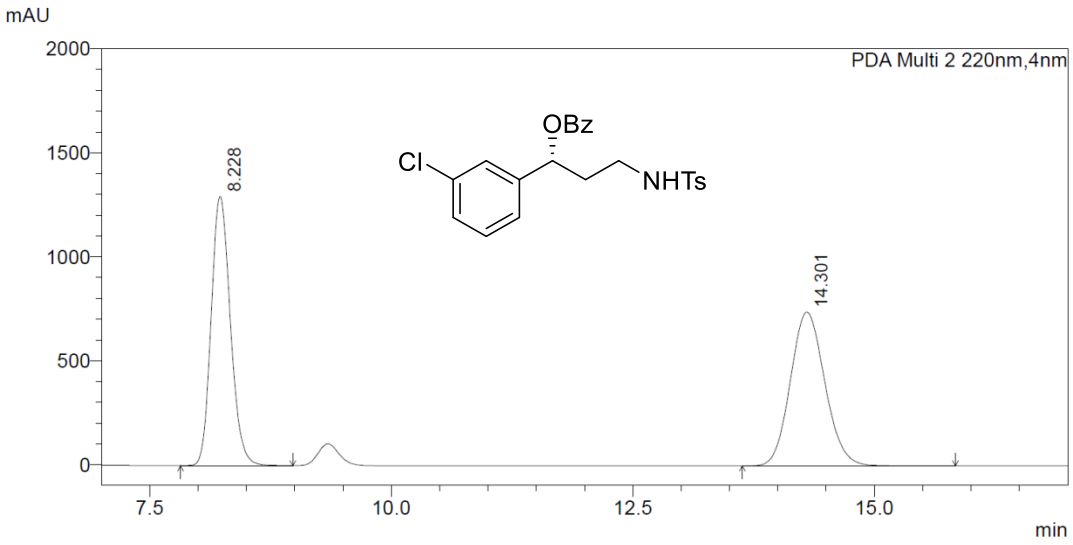
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	25.871	530116	13909	3.697	4.100
2	28.257	13808355	325350	96.303	95.900
总计		14338471	339259	100.000	100.000

HPLC of 2f

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	8.228	17978875	1295492	49.451	63.661
2	14.301	18378416	739502	50.549	36.339
总计		36357290	2034994	100.000	100.000

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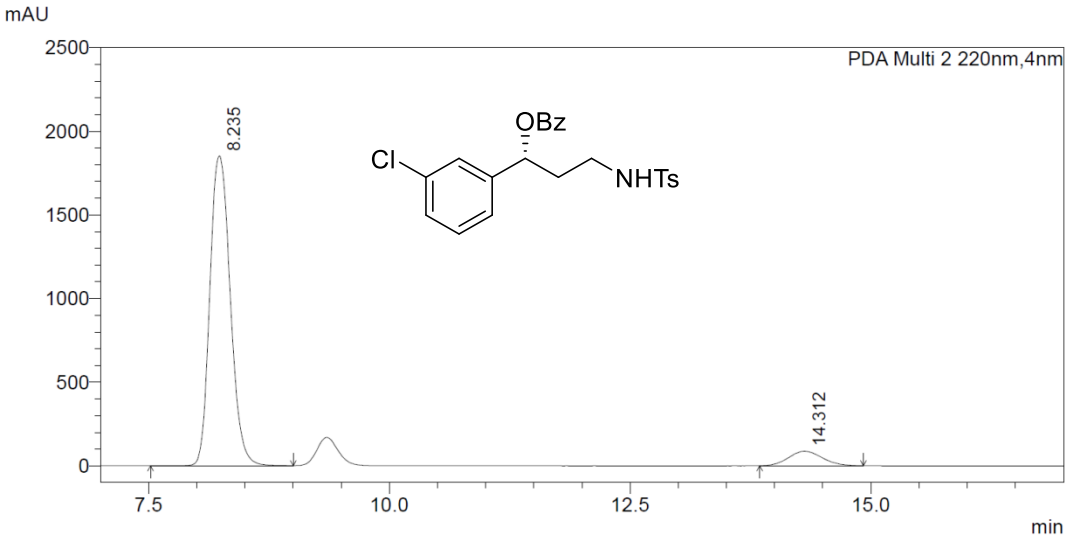


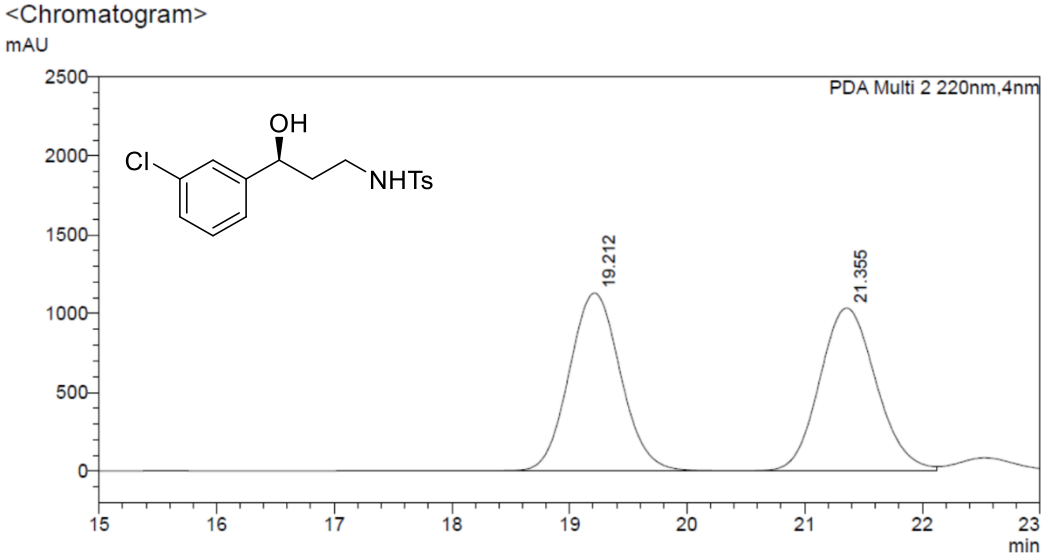
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	8.235	27354427	1853226	92.850	95.518
2	14.312	2106413	86958	7.150	4.482
总计		29460840	1940184	100.000	100.000

HPLC of 1f'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	19.212	34333589	1127615	49.883	52.201
2	21.355	34493963	1032540	50.117	47.799
总计		68827552	2160155	100.000	100.000

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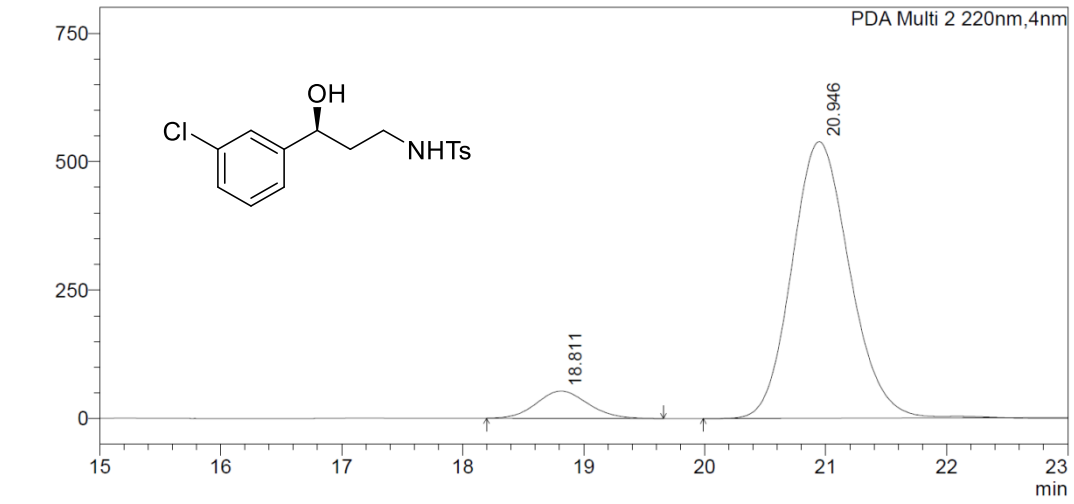

<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	18.811	1567401	52990	8.075	8.963
2	20.946	17842966	538197	91.925	91.037
总计		19410366	591188	100.000	100.000

HPLC of 2g

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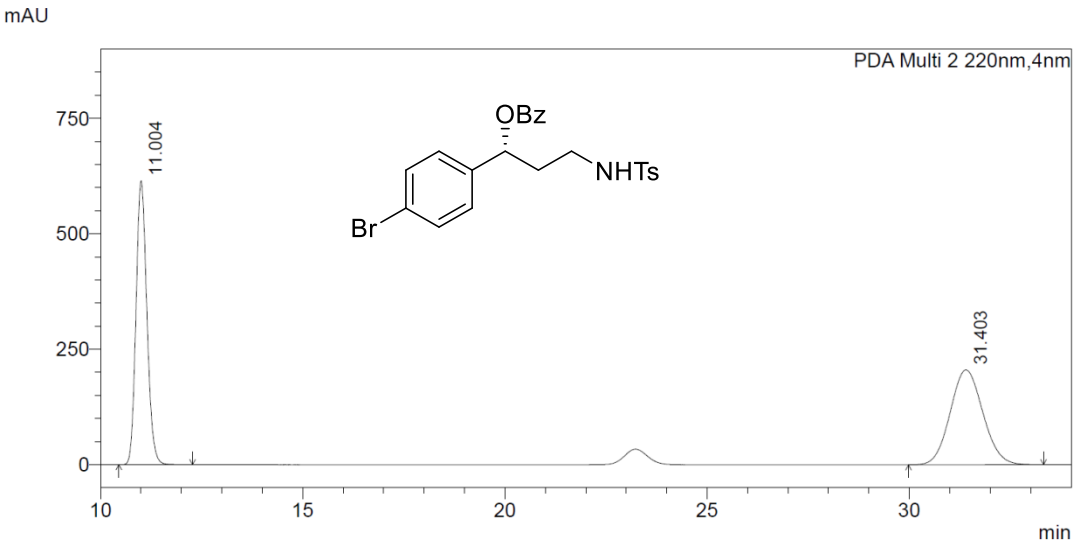

<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	11.004	11478364	614710	49.817	74.956
2	31.403	11562625	205385	50.183	25.044
总计		23040988	820095	100.000	100.000

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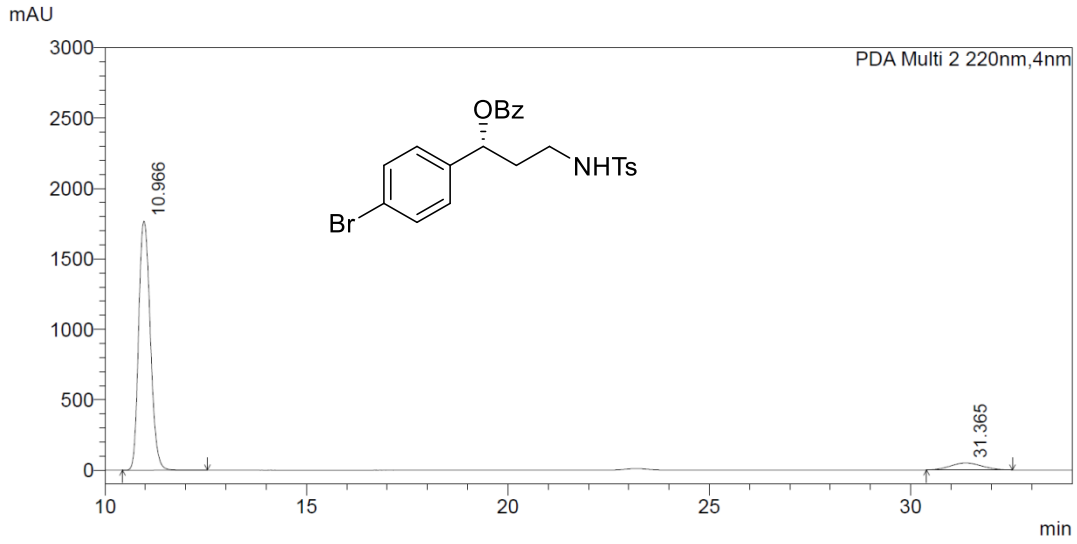


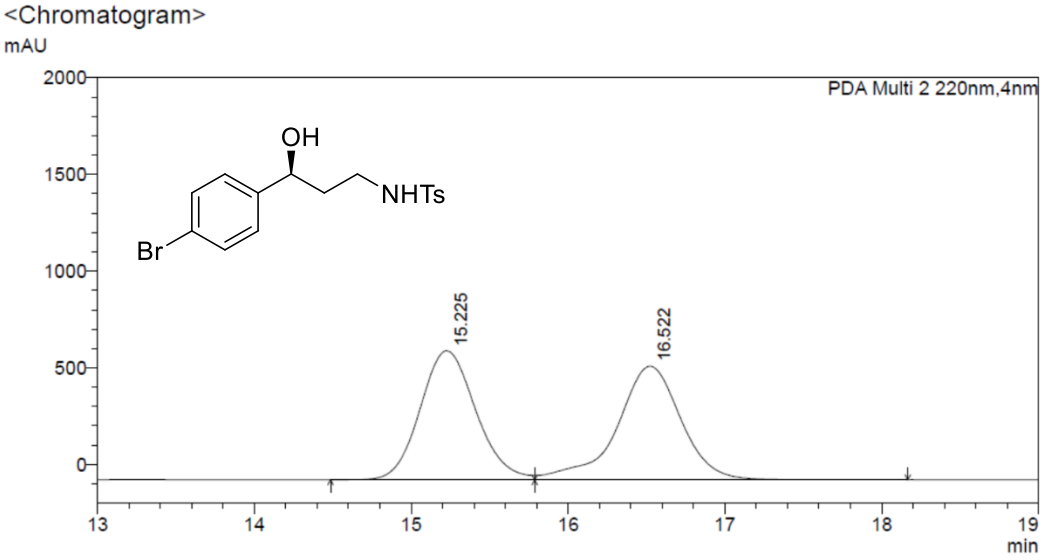
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.966	35539239	1768268	93.082	97.316
2	31.365	2641199	48771	6.918	2.684
总计		38180438	1817038	100.000	100.000

HPLC of 1g'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	15.225	16458878	666898	49.743	53.161
2	16.522	16629051	587578	50.257	46.839
总计		33087929	1254476	100.000	100.000

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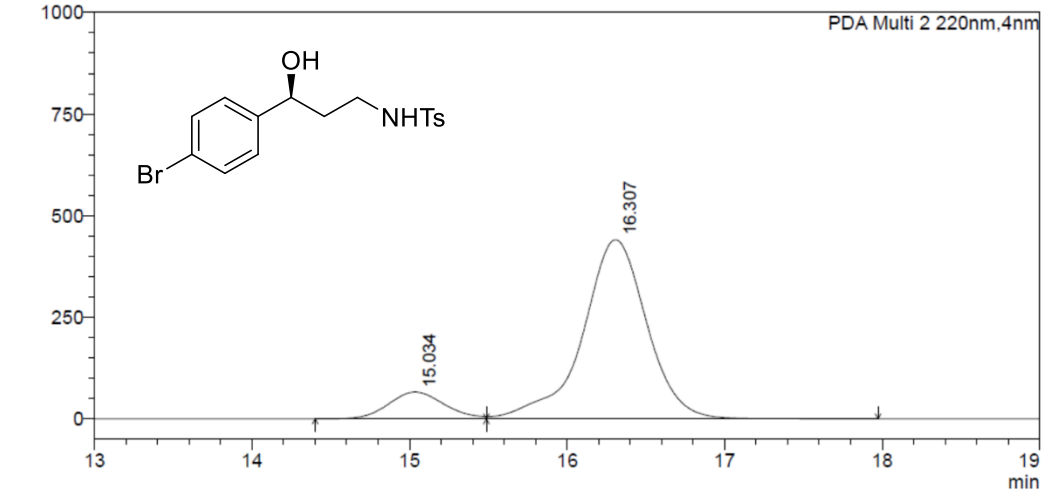

<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	15.034	1636838	66174	11.570	13.046
2	16.307	12510029	441063	88.430	86.954
总计		14146866	507237	100.000	100.000

HPLC of 2h

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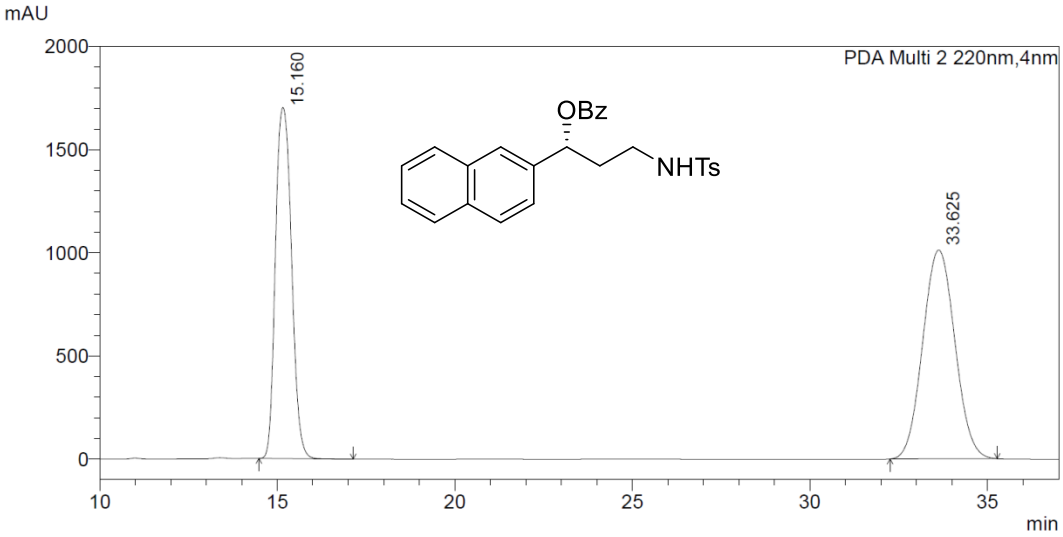

<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	15.160	53545274	1702601	45.618	62.702
2	33.625	63833226	1012764	54.382	37.298
总计		117378501	2715365	100.000	100.000

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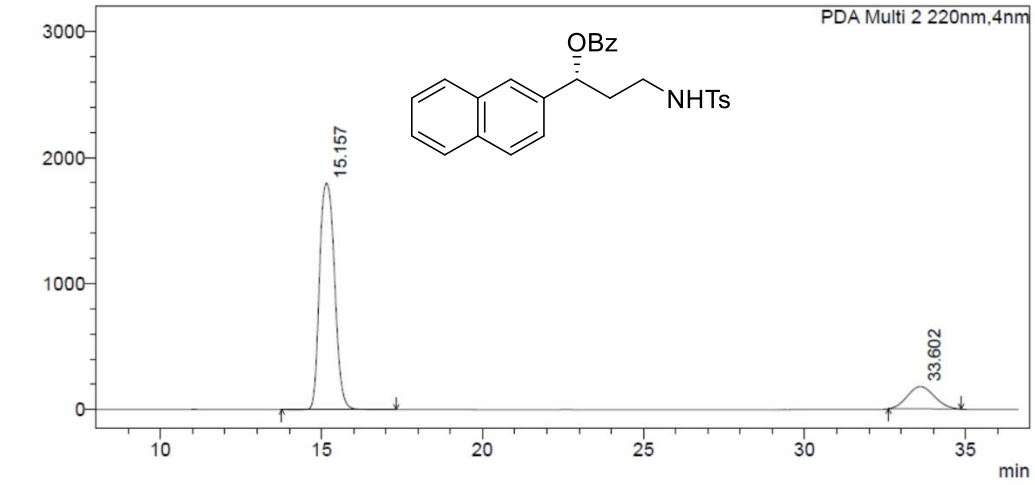


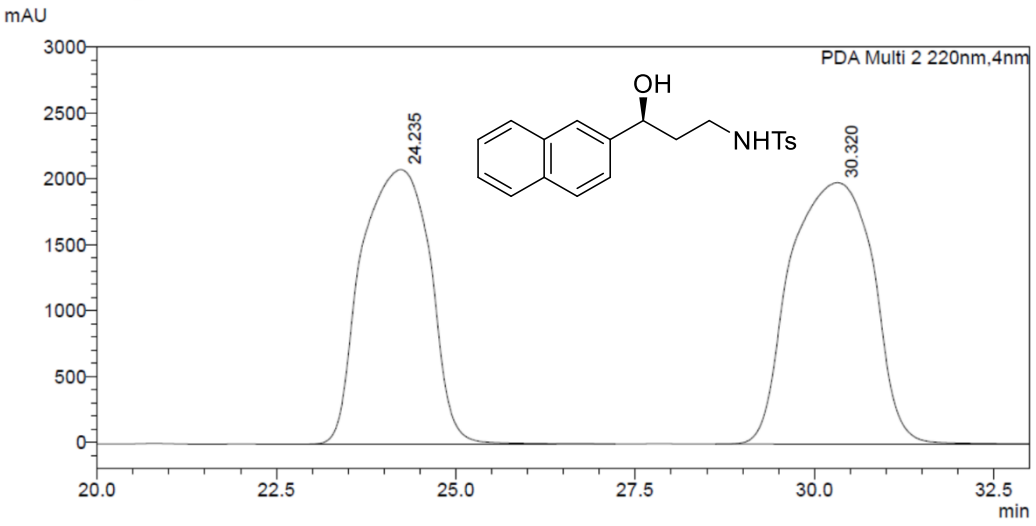
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	15.157	58361054	1798059	84.874	90.990
2	33.602	10401280	178045	15.126	9.010
总计		68762334	1976104	100.000	100.000

HPLC of 1h'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	24.235	139750497	2085747	46.489	51.216
2	30.320	160860887	1986692	53.511	48.784
总计		300611384	4072439	100.000	100.000

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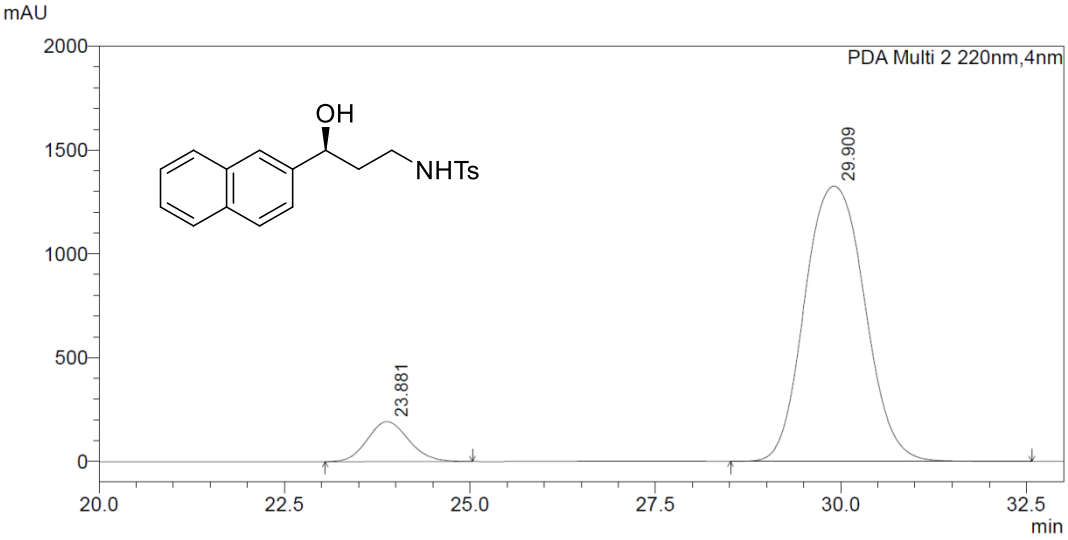


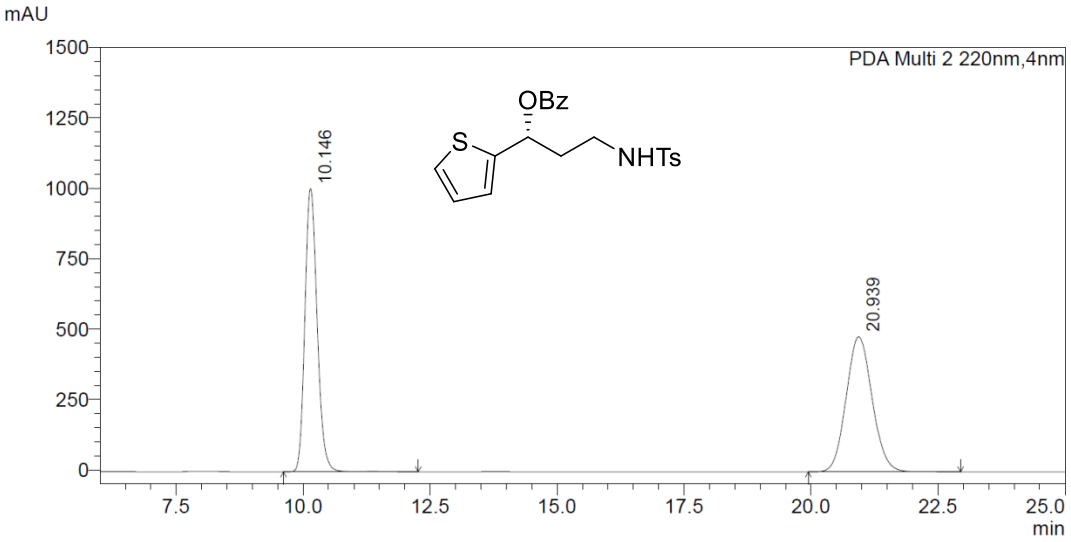
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	23.881	7450196	192751	9.064	12.682
2	29.909	74742172	1327108	90.936	87.318
总计		82192368	1519859	100.000	100.000

HPLC of 2i

<Chromatogram>

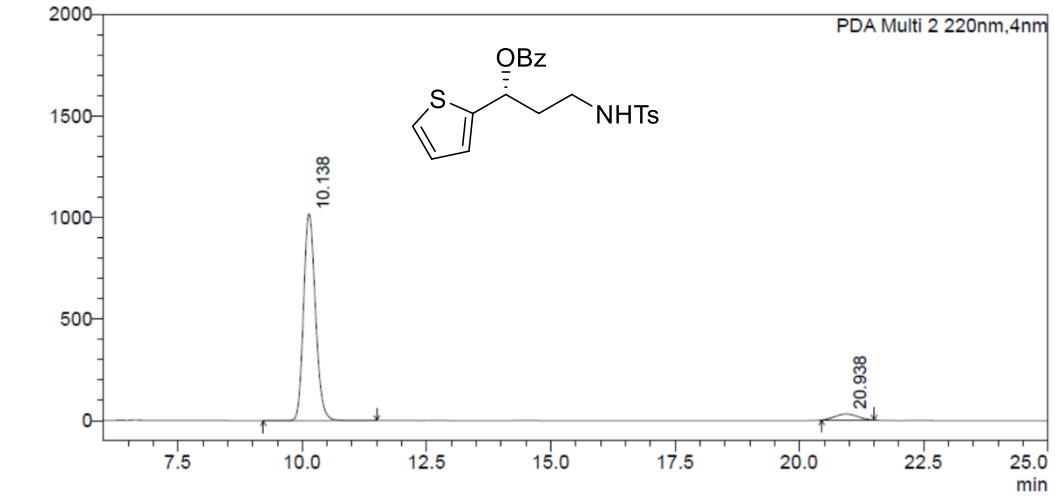


<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.146	16890401	1005899	49.708	67.705
2	20.939	17088558	479815	50.292	32.295
总计		33978959	1485715	100.000	100.000

<Chromatogram>



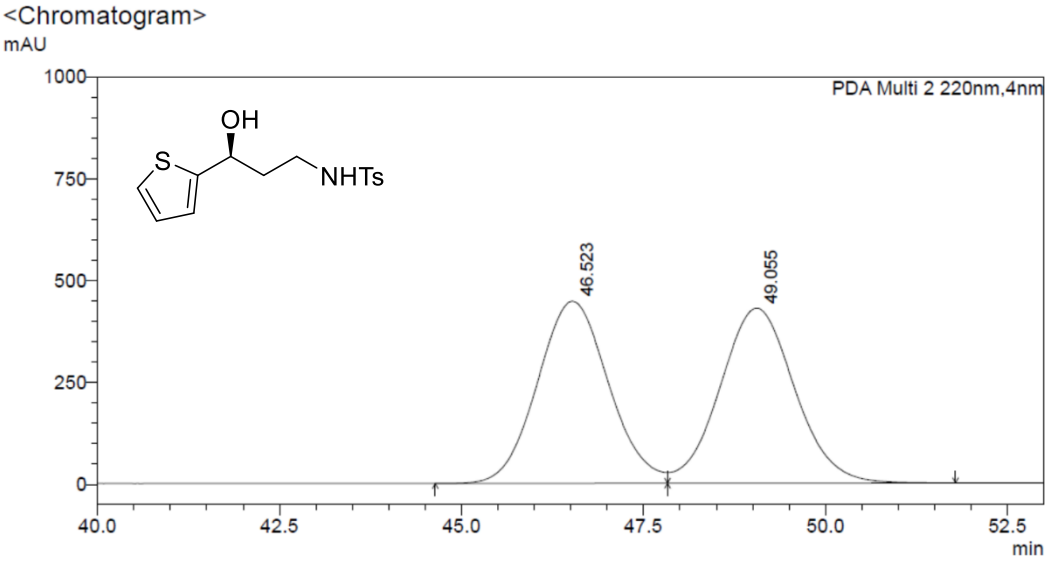
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.138	16928817	1016784	94.730	97.153
2	20.938	941840	29794	5.270	2.847
总计		17870656	1046578	100.000	100.000

HPLC of 1i'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	46.523	30444030	446846	49.840	50.992
2	49.055	30639495	429458	50.160	49.008
总计		61083524	876304	100.000	100.000

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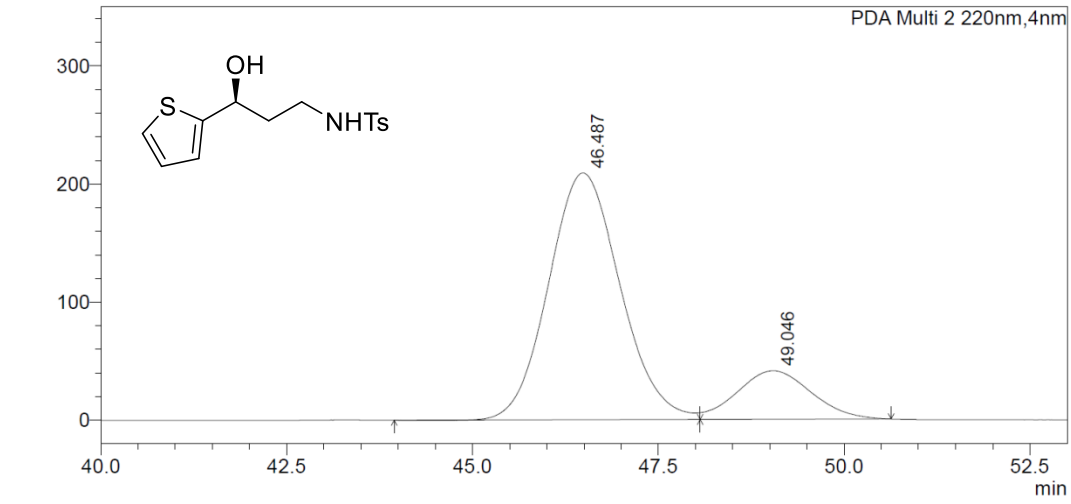


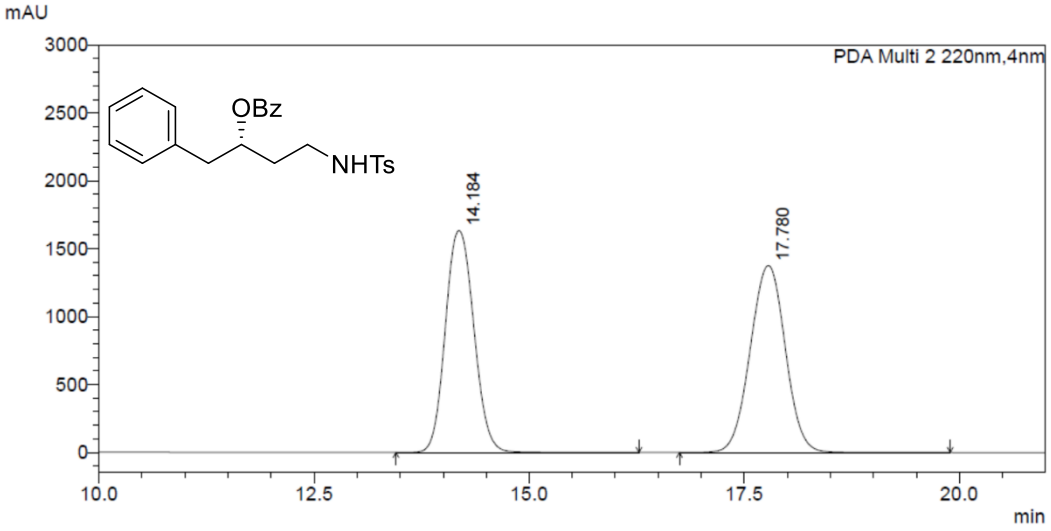
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	46.487	14016206	209000	83.081	83.551
2	49.046	2854254	41146	16.919	16.449
总计		16870460	250147	100.000	100.000

HPLC of 2j

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	14.184	37731678	1635522	49.087	54.276
2	17.780	39135921	1377809	50.913	45.724
总计		76867599	3013330	100.000	100.000

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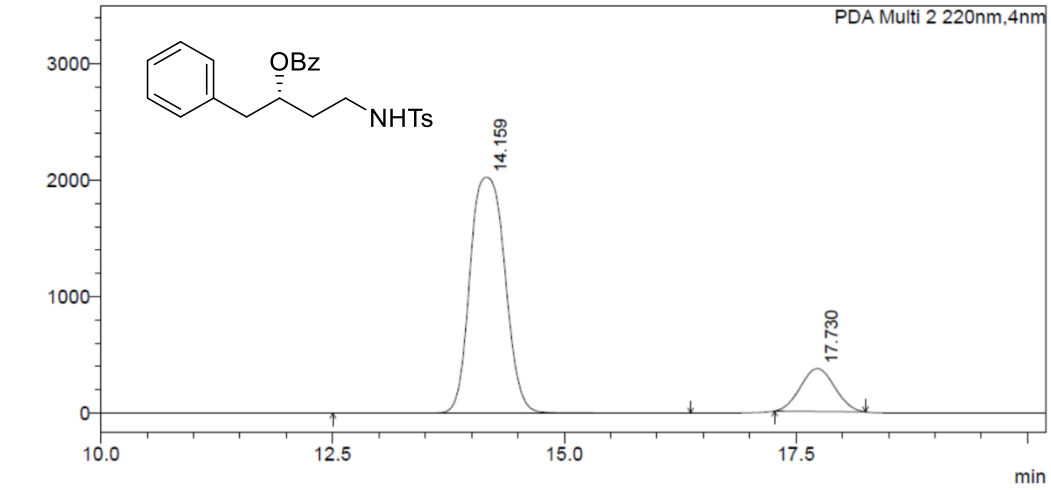


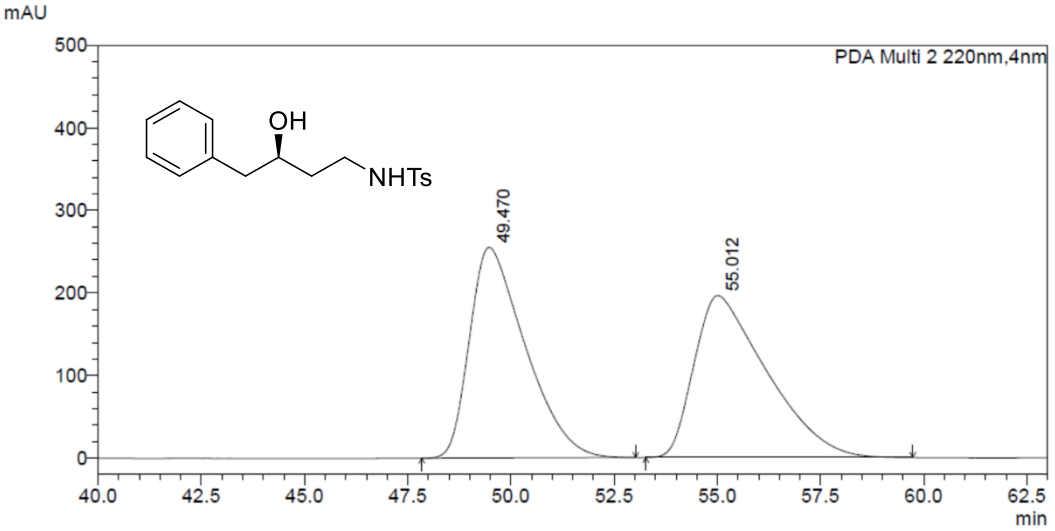
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	14.159	53254429	2026901	84.856	84.610
2	17.730	9503921	368693	15.144	15.390
总计		62758350	2395594	100.000	100.000

HPLC of 1j'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	49.470	23966893	255192	49.955	56.535
2	55.012	24009726	196194	50.045	43.465
总计		47976619	451386	100.000	100.000

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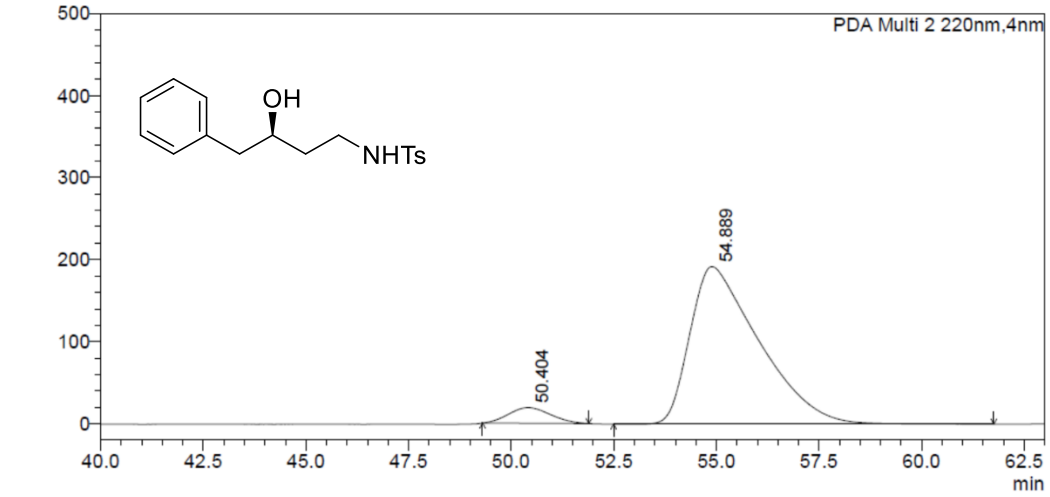


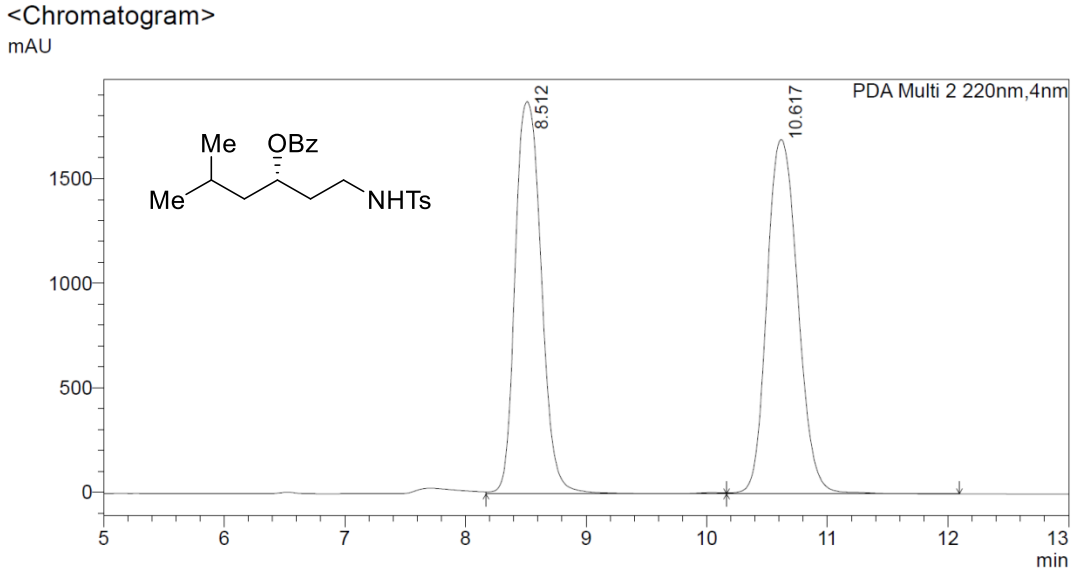
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	50.404	1353633	19063	5.681	9.031
2	54.889	22474615	192017	94.319	90.969
总计		23828248	211080	100.000	100.000

HPLC of 2k

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	8.512	28449182	1875856	48.483	52.553
2	10.617	30229861	1693595	51.517	47.447
总计		58679042	3569451	100.000	100.000

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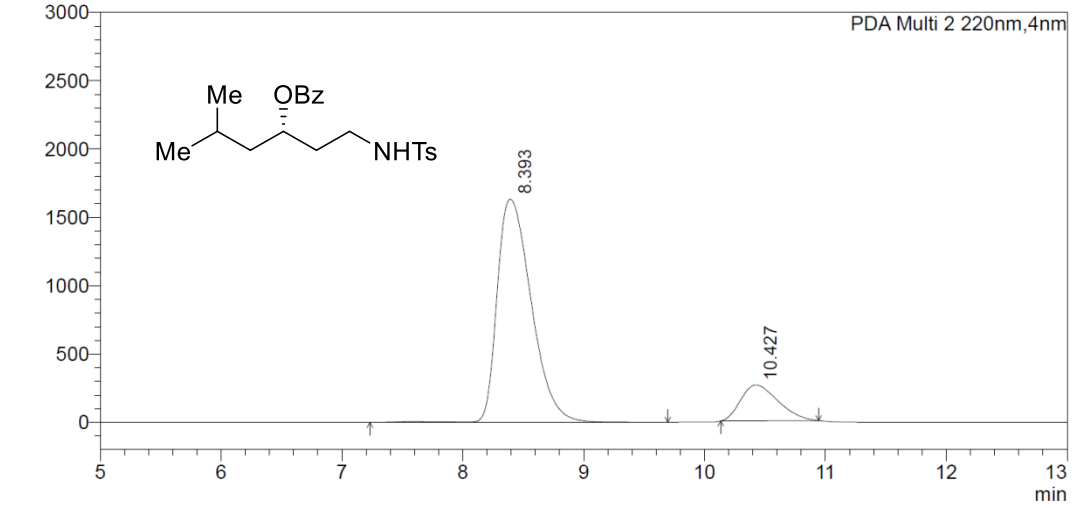


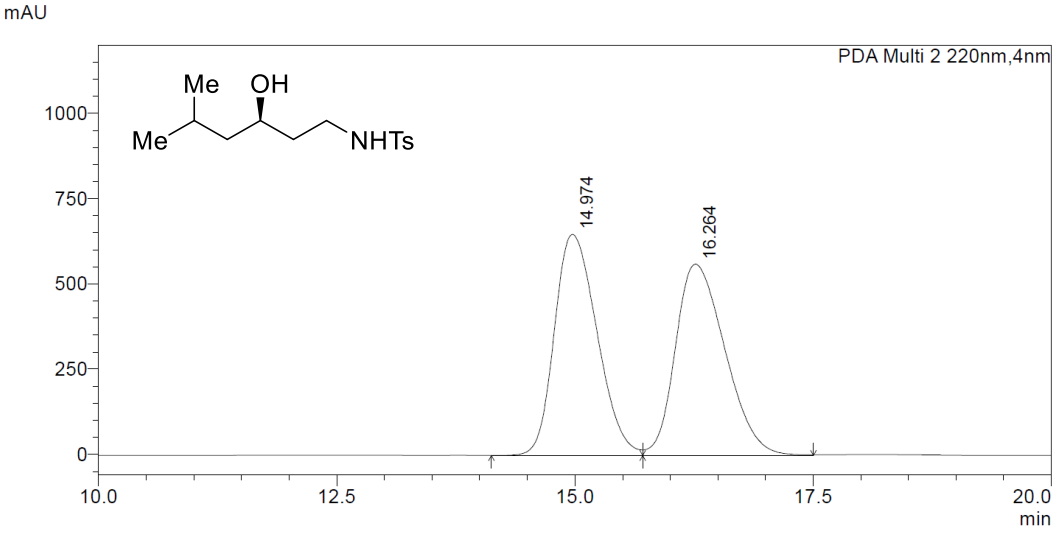
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	8.393	32026814	1633082	85.021	86.157
2	10.427	5642483	262399	14.979	13.843
总计		37669297	1895482	100.000	100.000

HPLC of 1k'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	14.974	20187544	648315	49.796	53.607
2	16.264	20352546	561073	50.204	46.393
总计		40540090	1209389	100.000	100.000

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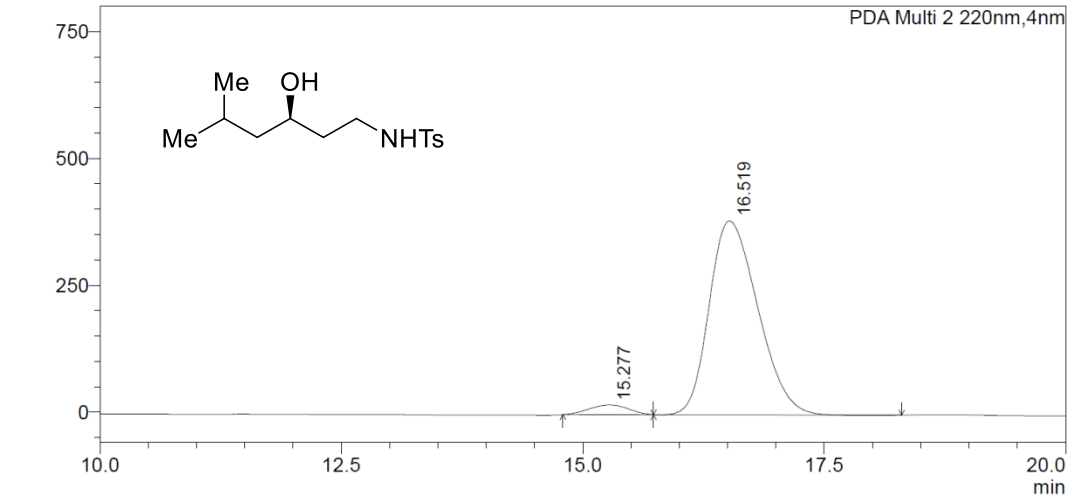


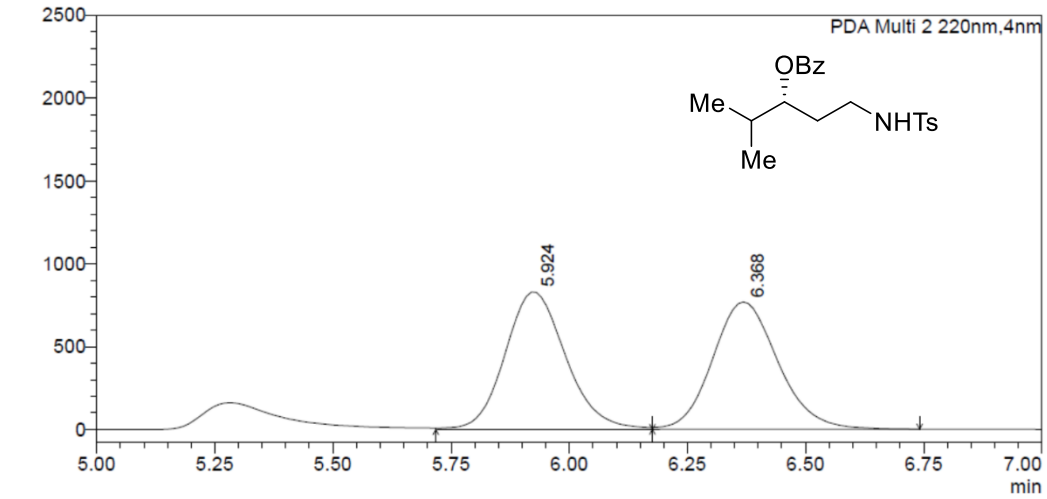
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	15.277	548615	19188	3.938	4.780
2	16.519	13382411	382272	96.062	95.220
总计		13931026	401460	100.000	100.000

HPLC of 2l

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	5.924	7422446	828647	50.103	51.873
2	6.368	7391869	768798	49.897	48.127
总计		14814315	1597444	100.000	100.000

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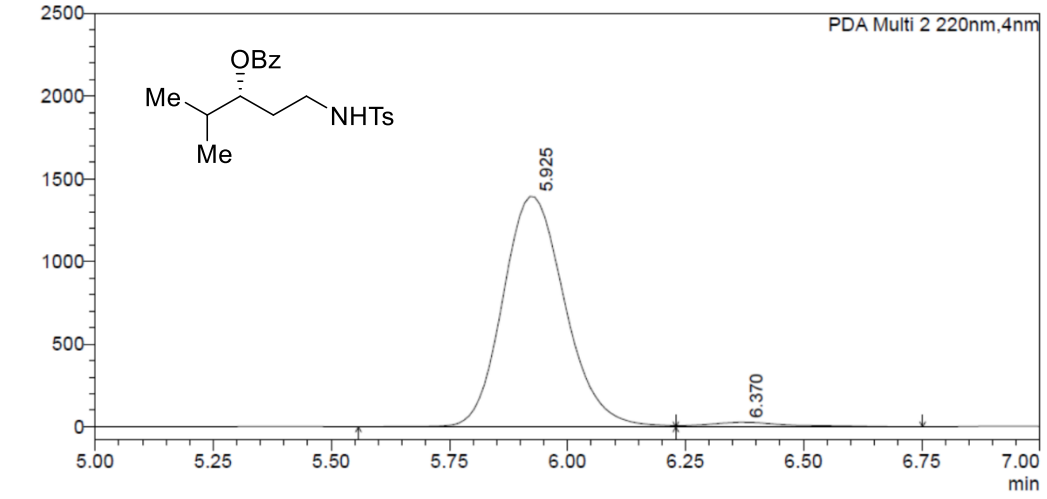


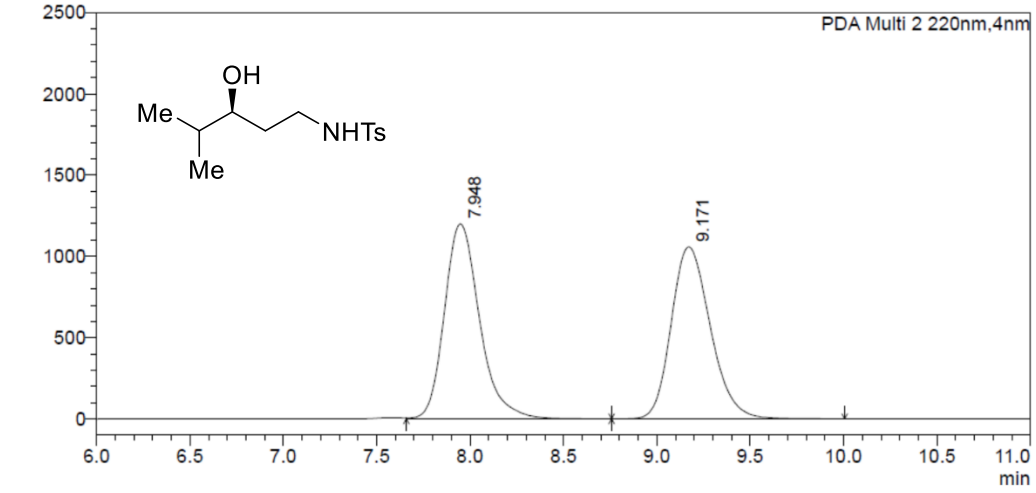
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	5.925	12778959	1392318	97.484	98.093
2	6.370	329789	27063	2.516	1.907
总计		13108748	1419380	100.000	100.000

HPLC of 1l'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	7.948	15757377	1201100	50.454	53.150
2	9.171	15473590	1058722	49.546	46.850
总计		31230968	2259822	100.000	100.000

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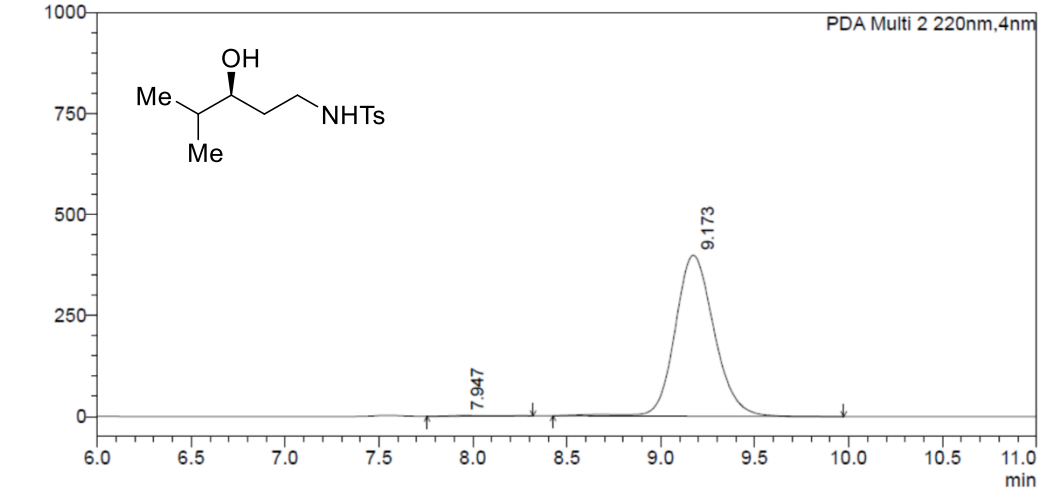


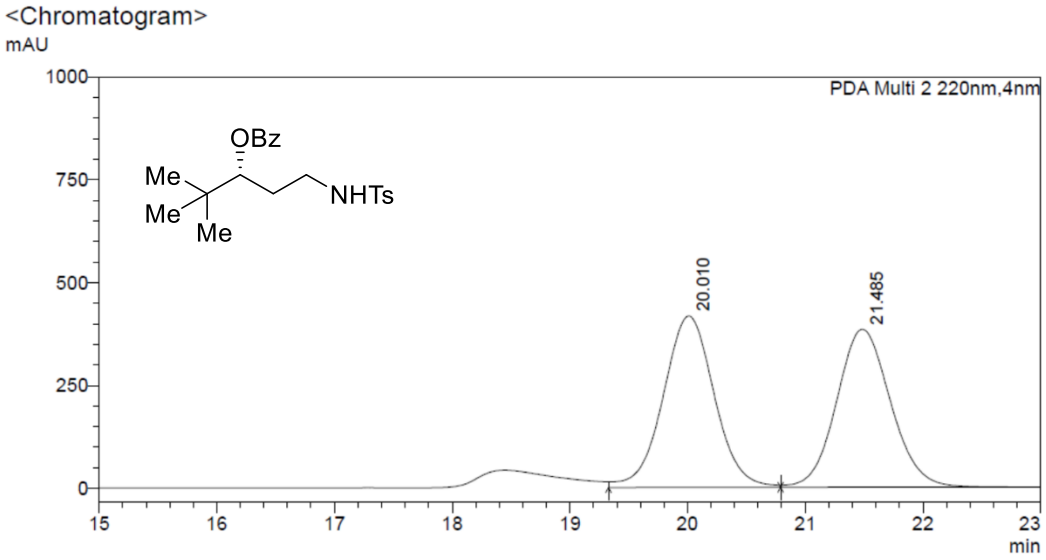
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	7.947	21764	1538	0.375	0.384
2	9.173	5775049	398520	99.625	99.616
总计		5796814	400058	100.000	100.000

HPLC of 2m

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	20.010	12624183	417119	50.645	52.032
2	21.485	12302563	384533	49.355	47.968
总计		24926746	801652	100.000	100.000

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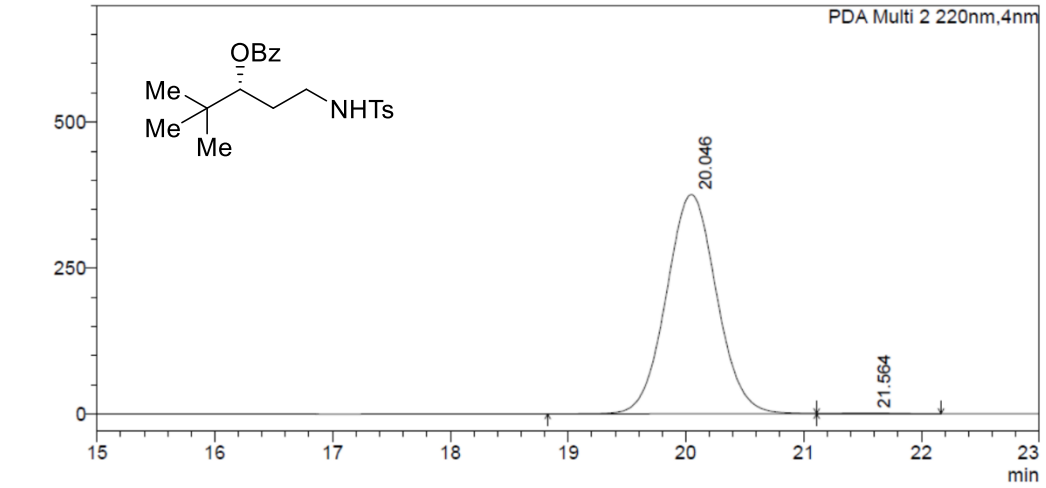


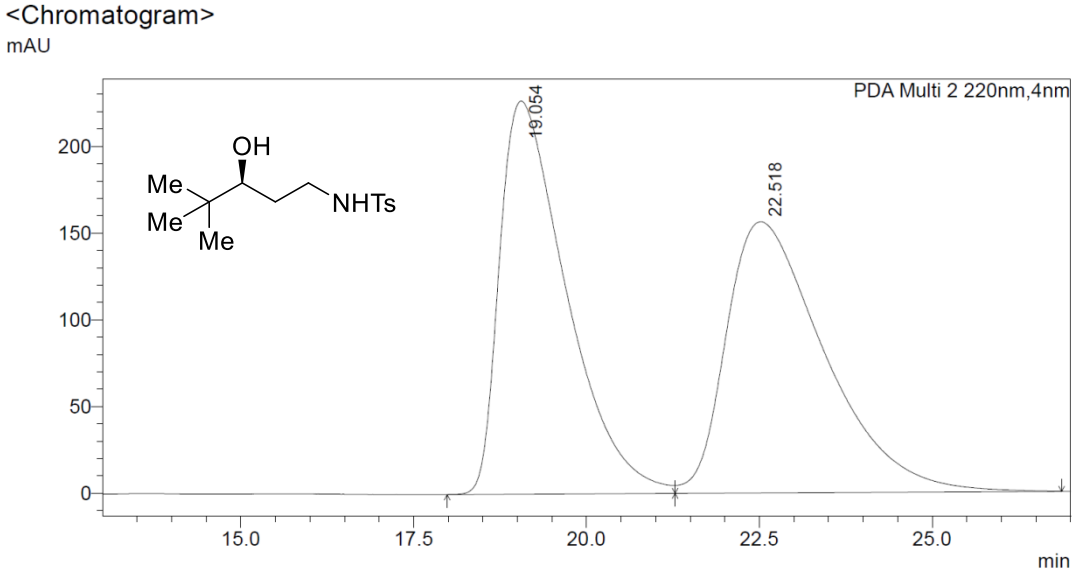
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	20.046	11167965	375662	99.647	99.708
2	21.564	39524	1101	0.353	0.292
总计		11207489	376763	100.000	100.000

HPLC of 1m'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	19.054	15238446	226495	49.603	59.175
2	22.518	15482499	156257	50.397	40.825
总计		30720944	382752	100.000	100.000

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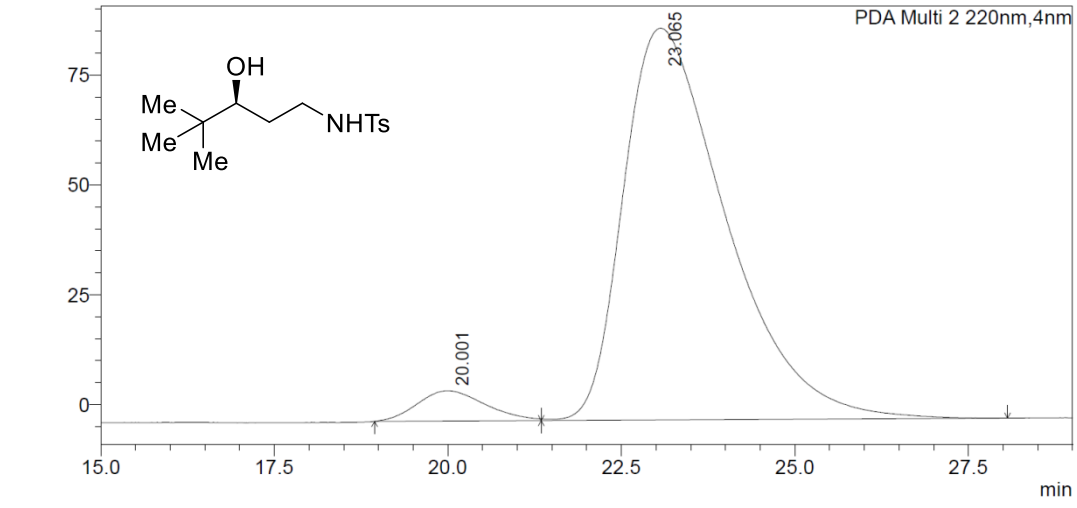


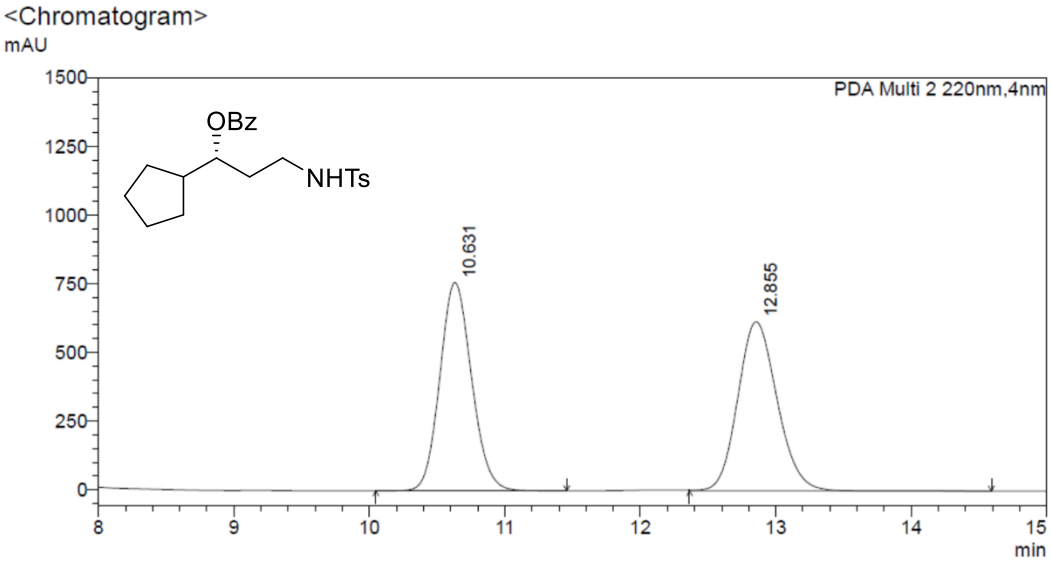
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	20.001	463549	6856	4.801	7.144
2	23.065	9192438	89102	95.199	92.856
总计		9655987	95957	100.000	100.000

HPLC of 2n

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.631	12372450	758148	49.812	55.171
2	12.855	12465619	616022	50.188	44.829
总计		24838069	1374170	100.000	100.000

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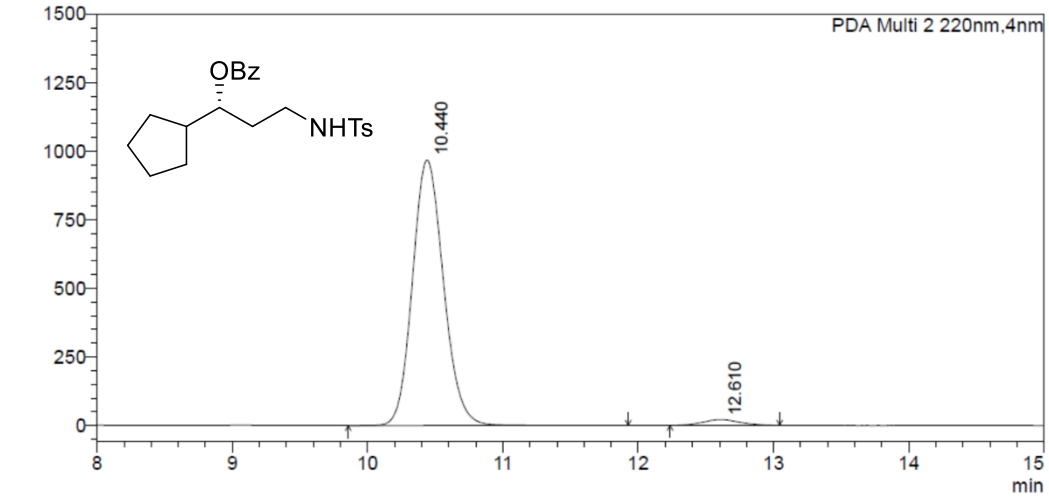


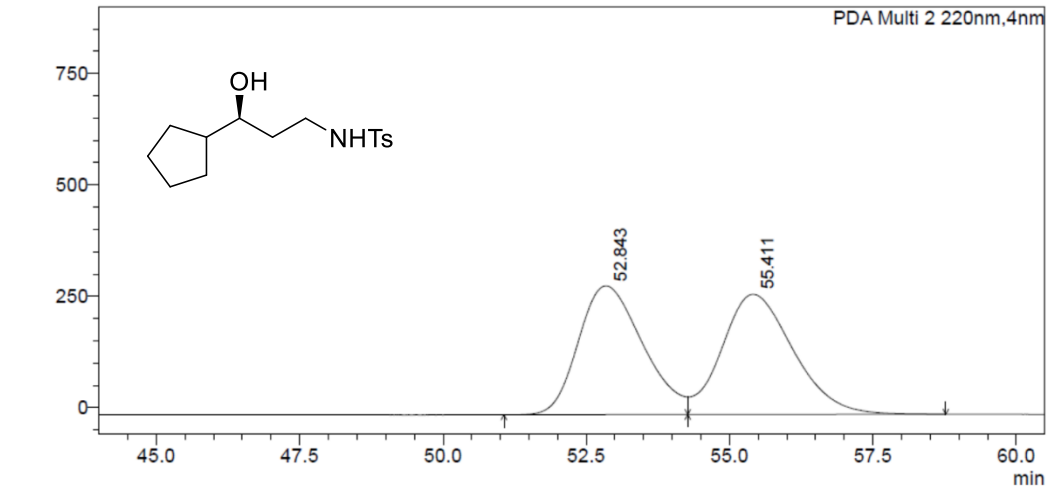
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.440	15419785	967510	97.550	97.921
2	12.610	387208	20546	2.450	2.079
总计		15806994	988057	100.000	100.000

HPLC of 1n'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	52.843	22569172	289125	49.168	51.751
2	55.411	23333354	269560	50.832	48.249
总计		45902526	558686	100.000	100.000

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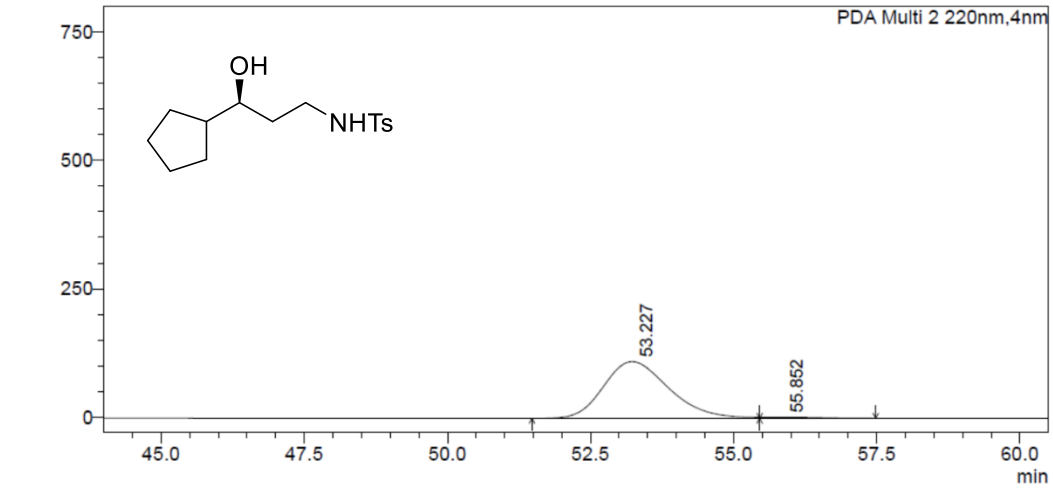


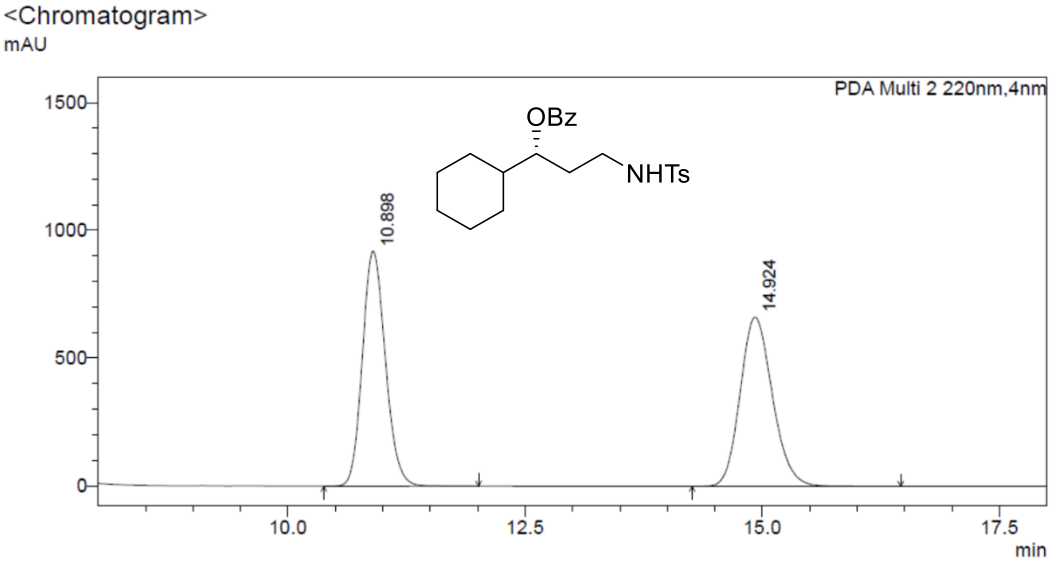
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	53.227	8754376	110127	98.423	98.157
2	55.852	140284	2068	1.577	1.843
总计		8894660	112195	100.000	100.000

HPLC of 2o

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.898	15560576	920741	49.767	58.159
2	14.924	15705966	662398	50.233	41.841
总计		31266542	1583139	100.000	100.000

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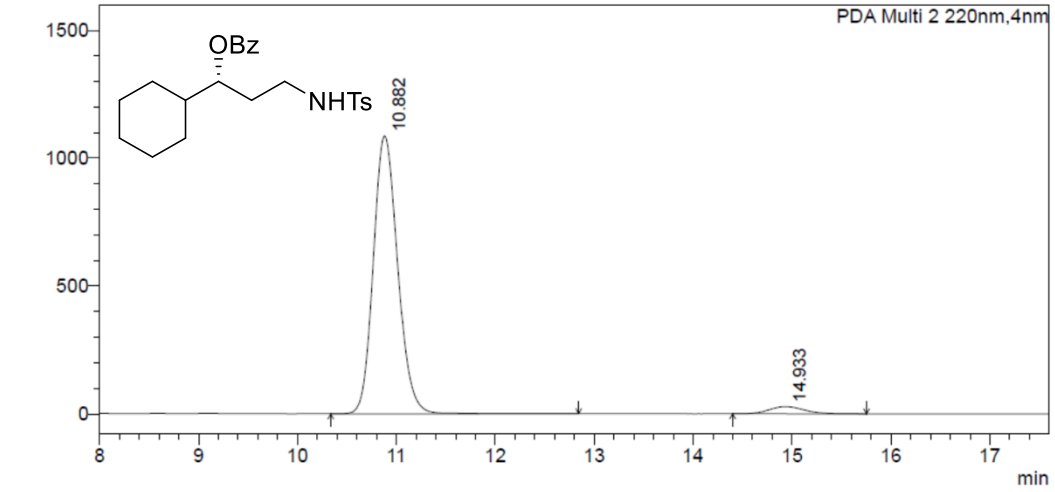


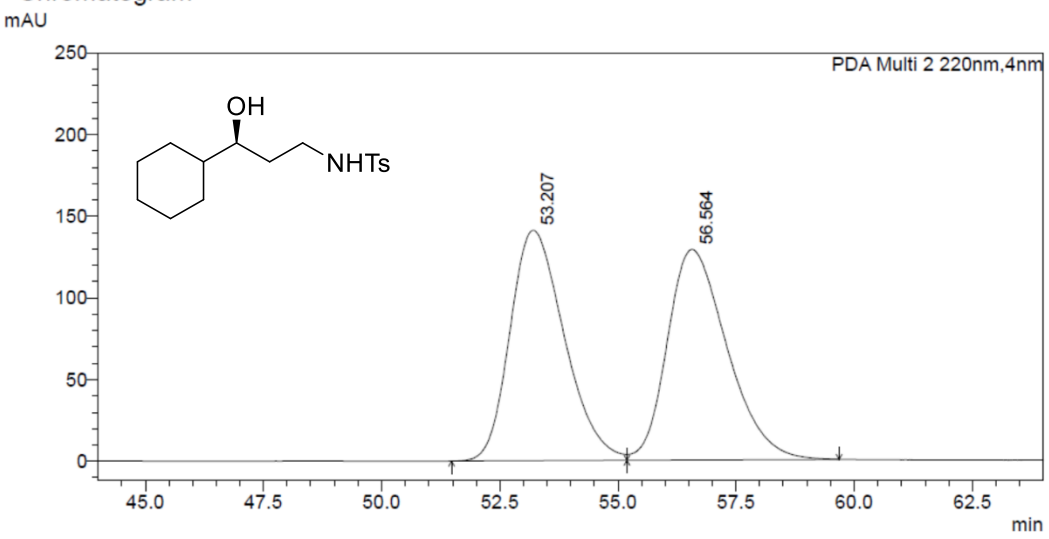
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	10.882	18682307	1087195	96.444	97.426
2	14.933	688894	28718	3.556	2.574
总计		19371201	1115913	100.000	100.000

HPLC of 1o'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	53.207	11304118	141156	49.849	52.270
2	56.564	11372550	128896	50.151	47.730
总计		22676668	270052	100.000	100.000

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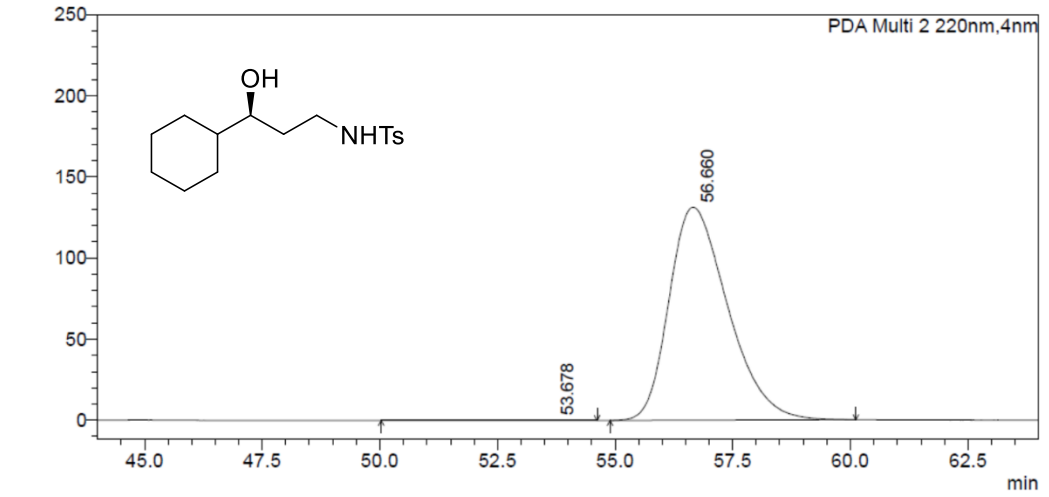


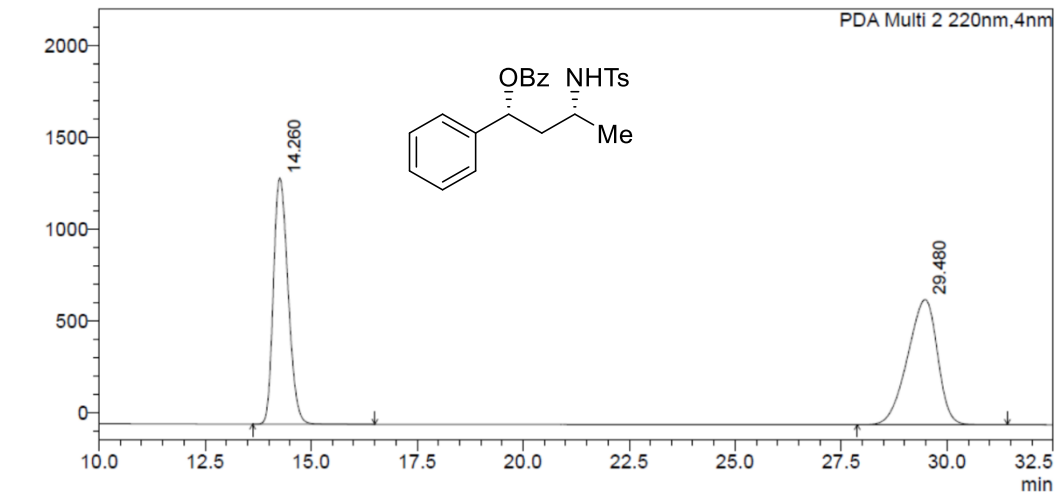
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	53.678	492	74	0.004	0.056
2	56.660	11426111	131312	99.996	99.944
总计		11426603	131387	100.000	100.000

HPLC of 2p

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	14.260	32253321	1338713	49.120	66.296
2	29.480	33408993	680574	50.880	33.704
总计		65662314	2019287	100.000	100.000

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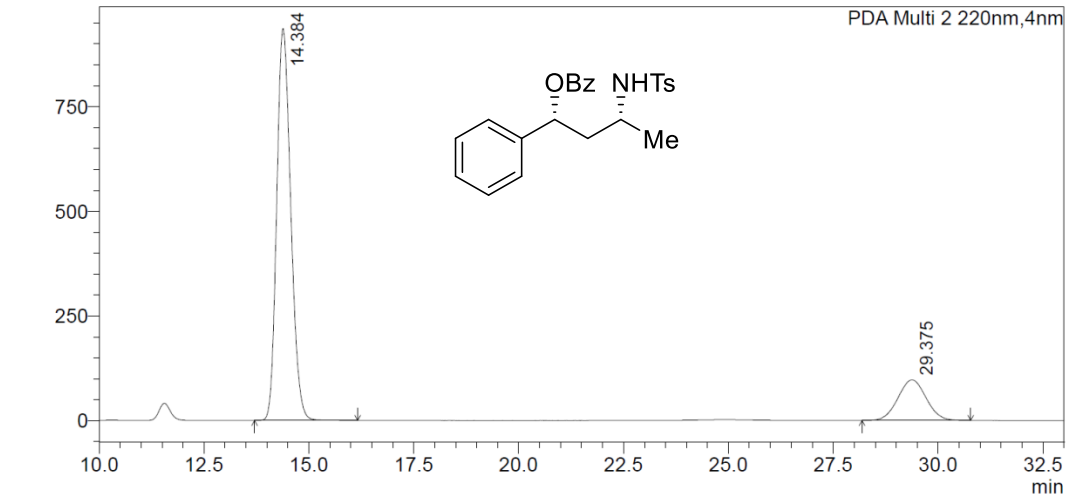


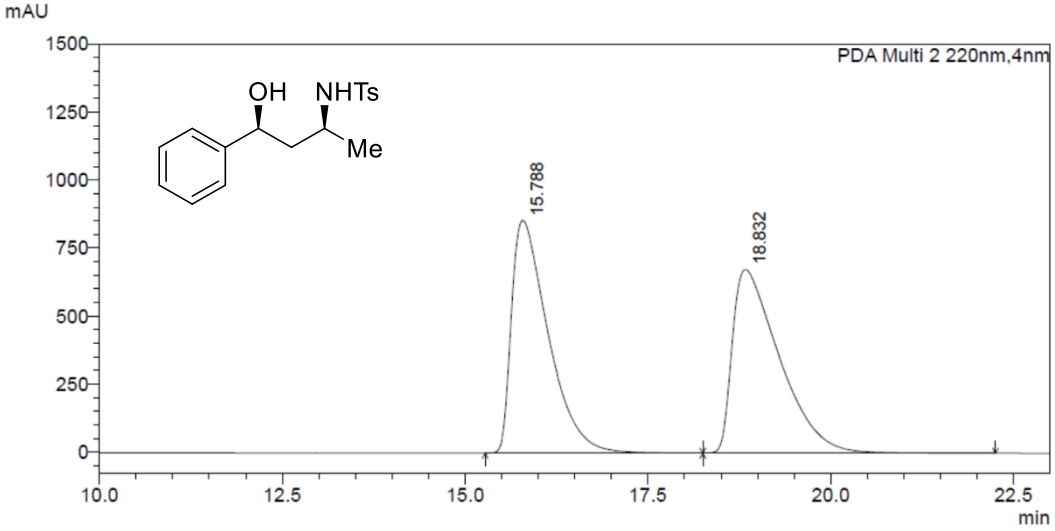
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	14.384	21822105	935954	82.696	90.589
2	29.375	4566356	97238	17.304	9.411
总计		26388461	1033192	100.000	100.000

HPLC of 1p'

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	15.788	29878050	855752	49.650	55.908
2	18.832	30299889	674892	50.350	44.092
总计		60177938	1530644	100.000	100.000

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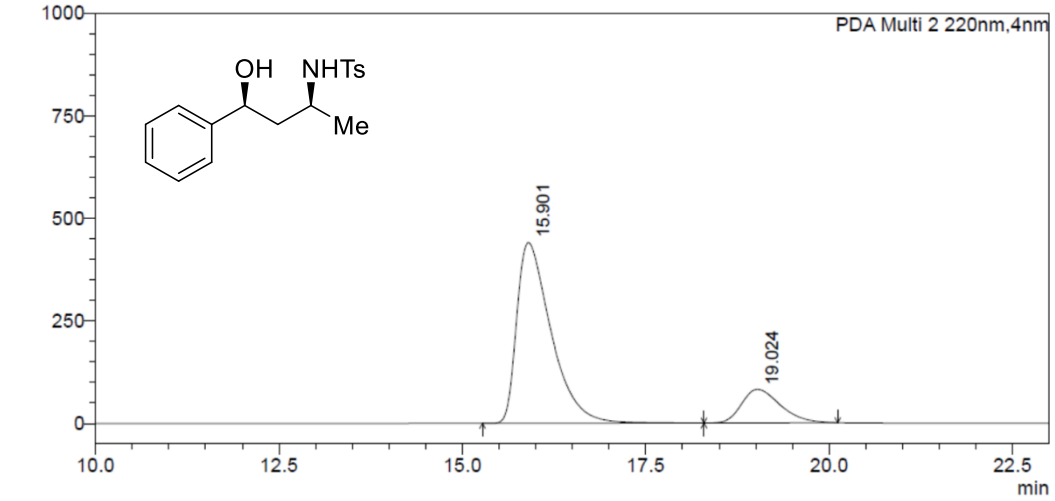


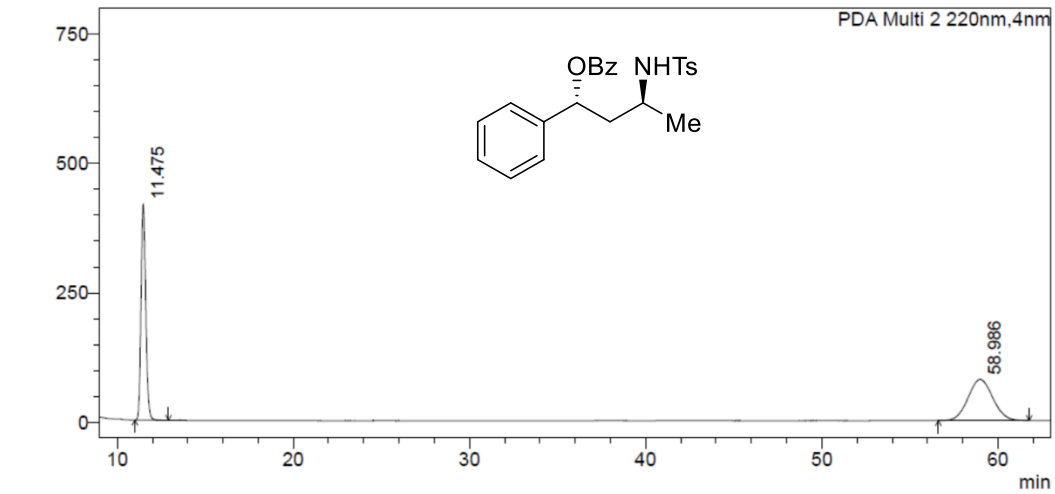
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	15.901	14378830	440900	82.663	84.343
2	19.024	3015734	81846	17.337	15.657
总计		17394564	522746	100.000	100.000

HPLC of 2q

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	11.475	7761233	415945	49.974	83.990
2	58.986	7769260	79289	50.026	16.010
总计		15530494	495234	100.000	100.000

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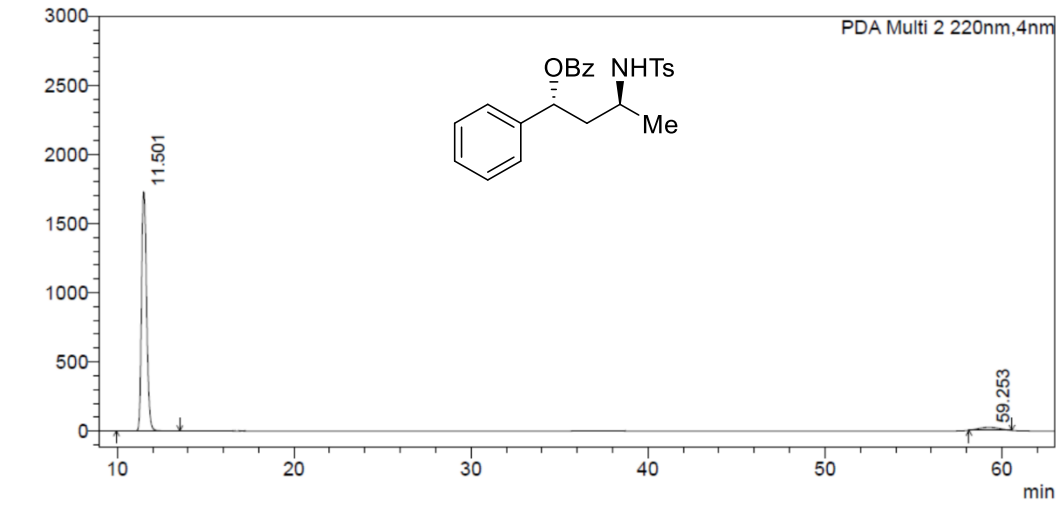


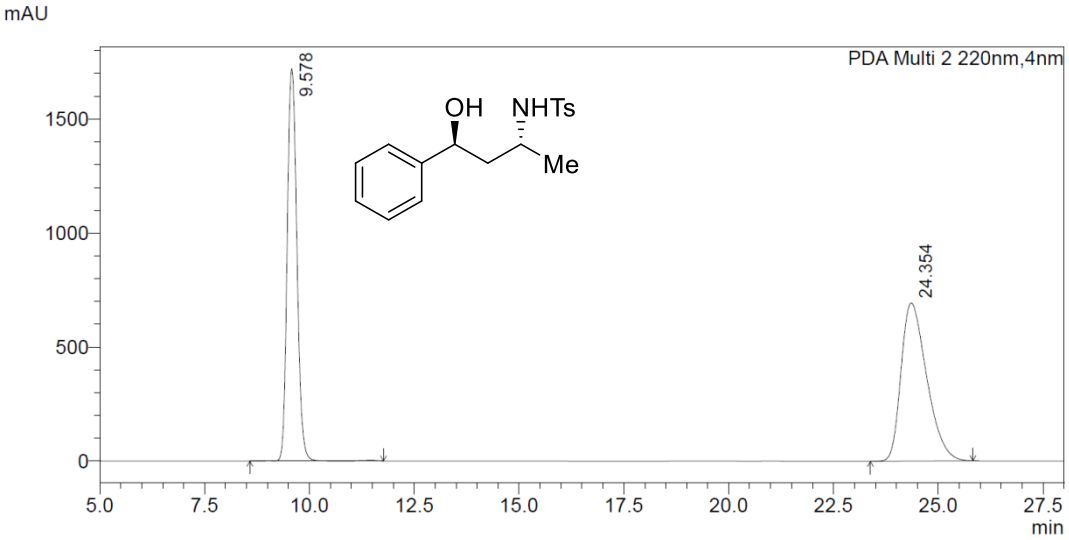
<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	11.501	34502956	1729277	95.301	98.785
2	59.253	1701412	21274	4.699	1.215
总计		36204368	1750551	100.000	100.000

HPLC of 1q'

<Chromatogram>

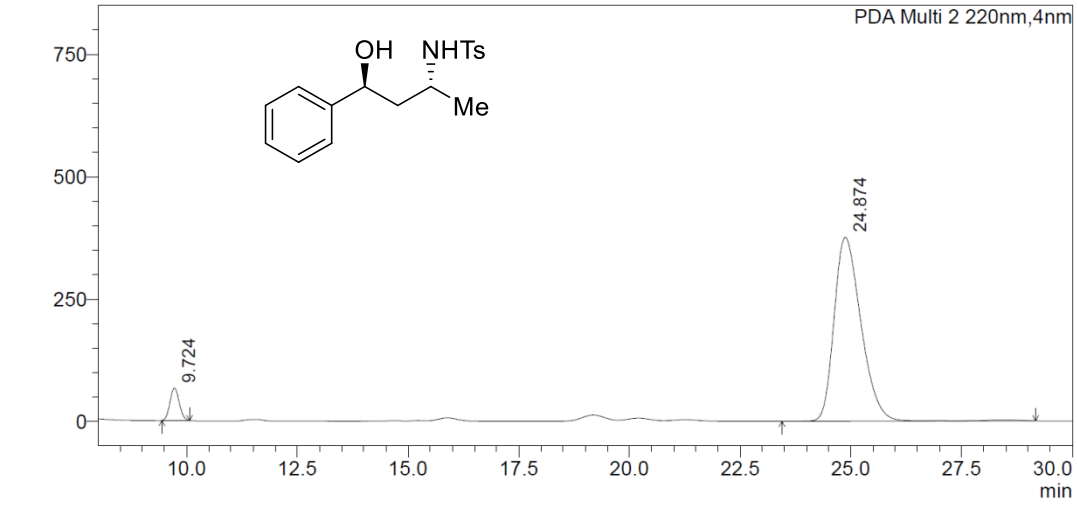


<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	9.578	27653697	1719839	48.389	71.264
2	24.354	29494525	693480	51.611	28.736
总计		57148222	2413319	100.000	100.000

<Chromatogram>



<Peak Table>

PDA Ch2 220nm

Peak#	Ret. Time	Area	Height	Area%	Height%
1	9.724	966824	66561	5.726	15.051
2	24.874	15917480	375664	94.274	84.949
总计		16884304	442225	100.000	100.000

References

[S1] Ghorai, M. K.; Das, K.; Kumar, A. *Tetrahedron Letters* **2007**, *48*, 4373-4377.

[S2] Chen, J.; Guo, H.-M.; Zhao, Q.-Q.; Chen, J.-R.; Xiao, W.-J. *Chem. Commun.* **2018**, *54*, 6780-6783.

[S3] Zhang,Y.-Q.; Bohle, F.; Bleith, R.; Schnakenburg, G.; Grimme, S.; Gansuer, A. *Angew. Chem. Int. Ed.* **2018**, *57*, 13528-13532.