Supporting Information for

Nucleophilic Ring Opening of Aziridines with Amines under Catalyst- and Solvent-free Conditions

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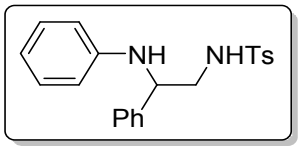
General methods

All reactions and manipulations involving air-sensitive compounds were performed using standard Schlenk techniques. All reactions were monitored by TLC. TLC analysis was performed by illumination with a UV lamp (254 nm). All flash chromatography was packed with silica-gel as the stationary phase. ^{1}H NMR (500 MHz) spectra were recorded on a Bruker Avance 500 instrument, and chemical shifts were reported in ppm downfield from internal TMS with the solvent resonance as the internal standard ($CDCl_3$, δ = 7.26 ppm). ^{13}C NMR (126 MHz) spectra were recorded on a Bruker Avance 500 instrument, and chemical shifts were reported in ppm downfield from TMS with the solvent resonance as the internal standard ($CDCl_3$, δ = 77.2 ppm). High resolution MS (P-ESI HRMS) were obtained on Thermo Fisher Q Exactive Mass Spectrometer.

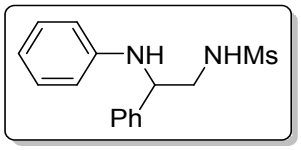
General procedure for the ring opening reactions

Amine (1.1 equiv) and aziridine[1] (1.0 equiv) were added to a 1 mL test tube, followed by stirring at 50 ^{o}C. The reaction process was monitored by TLC. After the reaction completed, the residue was directly subjected to the preparative thin layer chromatography to afford the title product.

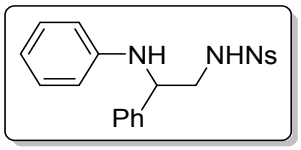
Characterization data of the ring opening adducts



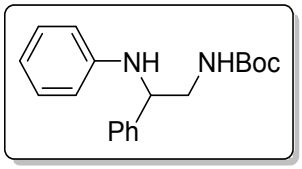
4-methyl-N-(2-phenyl-2-(phenylamino)ethyl)benzenesulfonamide (3aa):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1a** (27.4 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 4:1) to afford the title compound (30.4 mg, 83%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, 7.3 Hz, 2H), 7.34 - 7.26 (m, 7H), 7.07 (t, 7.0 Hz, 2H), 6.67 (t, 6.7 Hz, 1H), 6.47 (d, 7.4 Hz, 2H), 4.92 (s, 1H), 4.44 (d, 24.3 Hz, 2H), 3.34 (d, 13.2 Hz, 1H), 3.25 - 3.14 (m, 1H), 2.42 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.73, 143.75, 140.09, 136.80, 129.88, 129.07 (d, 18.9 Hz), 127.88, 127.09, 126.55, 117.98, 113.75, 57.44, 49.08, 21.53.



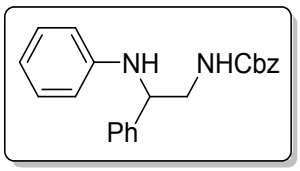
N-(2-phenyl-2-(phenylamino)ethyl)methanesulfonamide (3ba):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1b** (19.7 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 4:1) to afford the title compound (20.0 mg, 69%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.41 - 7.33 (m, 4H), 7.32 - 7.27 (m, 1H), 7.10 (t, 6.9 Hz, 2H), 6.69 (t, 6.7 Hz, 1H), 6.57 (d, 7.4 Hz, 2H), 4.77 (s, 1H), 4.53 (s, 2H), 3.48 (s, 1H), 3.41 (d, 5.8 Hz, 1H), 2.87 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.72, 140.08, 129.25, 129.07, 127.99, 126.64, 118.10, 113.77, 57.97, 49.16, 40.61.



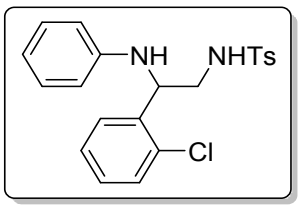
4-nitro-N-(2-phenyl-2-(phenylamino)ethyl)benzenesulfonamide (3ca):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1c** (30.4 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 4:1) to afford the title compound (15.9 mg, 40%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.30 (d, 8.5 Hz, 2H), 7.99 (d, 8.5 Hz, 2H), 7.36 - 7.26 (m, 5H), 7.09 (t, 7.7 Hz, 2H), 6.70 (t, 7.3 Hz, 1H), 6.50 (d, 7.8 Hz, 2H), 4.95 (s, 1H), 4.59 - 4.30 (m, 2H), 3.50 - 3.40 (m, 1H), 3.29 (dt, 13.0 Hz, 6.4 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.08, 146.26, 145.69, 139.48, 129.22 (d, 14.1 Hz), 128.19, 126.46, 124.47, 118.54, 113.76, 60.43, 57.44, 48.97, 21.06, 14.20. HRMS calcd. for $[M+H]^+$: 398.1175, found: 398.1162.



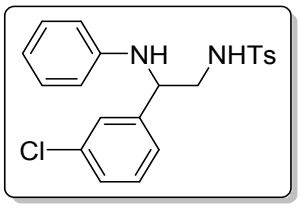
tert-butyl (2-phenyl-2-(phenylamino)ethyl)carbamate (3da):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1d** (21.9 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (4.4 mg, 14%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.36 (dd, 14.7 Hz, 7.7 Hz, 4H), 7.31 - 7.22 (m, 1H), 7.09 (t, 6.4 Hz, 2H), 6.65 (s, 1H), 6.51 (d, 6.9 Hz, 2H), 4.90 (d, 48.6 Hz, 2H), 4.44 (d, 3.9 Hz, 1H), 3.47 (d, 15.0 Hz, 2H), 1.48 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 157.15, 147.50, 141.20, 129.12, 128.83, 127.51, 126.56, 117.26, 113.28, 79.96, 60.04, 47.31, 28.39. HRMS calcd. for $[M+H]^+$: 313.1916, found: 313.1903.



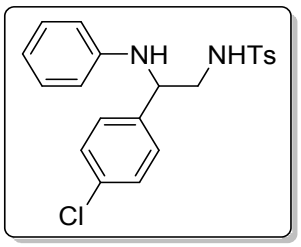
benzyl (2-phenyl-2-(phenylamino)ethyl)carbamate (3ea):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1e** (25.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (20.1 mg, 58%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.46 - 7.29 (m, 9H), 7.16 (t, 7.5 Hz, 1H), 7.09 (t, 7.0 Hz, 2H), 6.73 - 6.61 (m, 2H), 6.51 (d, 7.1 Hz, 2H), 5.14 (s, 2H), 5.02 (s, 1H), 4.48 (s, 1H), 3.55 (t, 5.6 Hz, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 157.45, 147.18, 140.84, 136.31, 129.31, 129.13, 128.90, 128.60, 128.21 (d, 12.4 Hz), 127.65, 126.57, 118.58, 117.52, 115.13, 113.40, 67.10, 59.41, 47.61. HRMS calcd. for $[M+H]^+$: 347.1760, found: 347.1749.



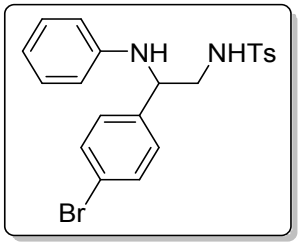
N-(2-(2-chlorophenyl)-2-(phenylamino)ethyl)-4-methylbenzenesulfonamide (3fa):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1f** (30.8 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (31.7 mg, 79%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.74 (d, 7.8 Hz, 2H), 7.43 (s, 1H), 7.34 (d, 2.6 Hz, 1H), 7.31 - 7.25 (m, 2H), 7.19 (s, 2H), 7.07 (t, 7.5 Hz, 2H), 6.67 (t, 7.2 Hz, 1H), 6.42 (d, 7.8 Hz, 2H), 4.83 (s, 3H), 3.48 - 3.35 (m, 1H), 3.25 - 3.10 (m, 1H), 2.42 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.27, 143.81, 136.86 (d, 15.2 Hz), 132.73, 129.88, 129.09 (d, 15.9 Hz), 128.22, 127.52, 127.09, 118.04, 113.51, 54.30, 46.82, 21.53.



N-(2-(3-chlorophenyl)-2-(phenylamino)ethyl)-4-methylbenzenesulfonamide (3ga): Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1g** (30.8 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (36.5 mg, 91%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, 7.4 Hz, 2H), 7.27 (d, 9.1 Hz, 3H), 7.22 (s, 2H), 7.18 (d, 5.8 Hz, 1H), 7.08 (t, 7.4 Hz, 2H), 6.69 (t, 7.2 Hz, 1H), 6.45 (d, 7.7 Hz, 2H), 4.98 (s, 1H), 4.80 - 4.44 (m, 1H), 4.36 (s, 1H), 3.34 - 3.28 (m, 1H), 3.20 - 3.12 (m, 1H), 2.42 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.44, 143.91, 142.54, 136.65, 134.92, 130.28, 129.94, 129.19, 128.12, 127.05, 126.66, 124.80, 118.23, 113.72, 57.25, 48.99, 21.55. HRMS calcd. for $[M+H]^+$: 401.1091, found: 401.1080.

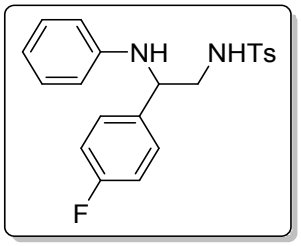


N-(2-(4-chlorophenyl)-2-(phenylamino)ethyl)-4-methylbenzenesulfonamide (3ha): Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1h** (30.8 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (34.9 mg, 87%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.70 (d, 7.4 Hz, 2H), 7.23 (dd, 19.5 Hz, 7.7 Hz, 6H), 7.07 (t, 7.2 Hz, 2H), 6.68 (t, 7.1 Hz, 1H), 6.44 (d, 7.6 Hz, 2H), 5.06 (s, 1H), 4.85 - 4.42 (m, 1H), 4.37 (s, 1H), 3.38 - 3.23 (m, 1H), 3.15 (dd, 13.2 Hz, 6.7 Hz, 1H), 2.41 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.47, 143.88, 138.73, 136.64, 133.55, 129.92, 129.14 (d, 6.6 Hz), 127.96, 127.03, 118.17, 113.74, 57.00, 49.00, 21.54.

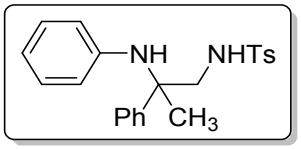


N-(2-(4-bromophenyl)-2-(phenylamino)ethyl)-4-methylbenzenesulfonamide (3ia): Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1i** (35.2 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 24 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (42.3 mg, 95%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.70 (d, 7.5 Hz, 2H), 7.40 (d, 7.5 Hz, 2H), 7.25 (d, 7.1 Hz, 2H), 7.16 (d, 7.5 Hz, 2H), 7.07 (t, 7.2 Hz, 2H), 6.68 (t, 7.1 Hz, 1H), 6.43 (d, 7.7 Hz, 2H), 5.07 (s, 1H), 4.57 (s, 1H), 4.35 (s, 1H), 3.37 - 3.21 (m, 1H), 3.15 (dd, 13.3 Hz, 6.9 Hz,

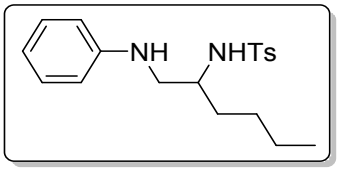
1H), 2.41 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.45, 143.89, 139.29, 136.62, 132.06, 129.93, 129.17, 128.32, 127.03, 121.65, 118.18, 113.74, 57.07, 48.94, 21.55. HRMS calcd. for $[M+H]^+$: 445.0585, found: 445.0572.



N-(2-(4-fluorophenyl)-2-(phenylamino)ethyl)-4-methylbenzenesulfonamide (3ja):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1j** (29.1 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 24 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (36.5 mg, 95%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, 7.2 Hz, 2H), 7.26 (t, 7.2 Hz, 4H), 7.08 (t, 7.1 Hz, 2H), 6.98 (t, 7.8 Hz, 2H), 6.69 (t, 7.1 Hz, 1H), 6.45 (d, 7.6 Hz, 2H), 5.02 (s, 1H), 4.48 (d, 91.9 Hz, 2H), 3.38 - 3.25 (m, 1H), 3.17 (dd, 13.0 Hz, 6.8 Hz, 1H), 2.42 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 163.26, 161.30, 146.55, 143.85, 136.70, 135.83 (d, 3.0 Hz), 129.91, 129.16, 128.15 (d, 8.1 Hz), 127.04, 118.12, 115.94, 115.77, 113.74, 56.91, 49.11, 21.53.

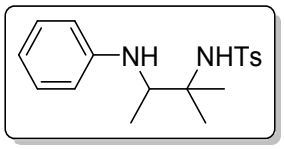


4-methyl-N-(2-phenyl-2-(phenylamino)propyl)benzenesulfonamide (3ka):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1k** (28.7 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (32.0 mg, 84%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.63 (d, 7.3 Hz, 2H), 7.39 (d, 6.8 Hz, 2H), 7.32 (t, 6.5 Hz, 2H), 7.25 (d, 7.8 Hz, 3H), 6.97 (t, 6.4 Hz, 2H), 6.64 (t, 6.4 Hz, 1H), 6.29 (d, 7.4 Hz, 2H), 4.79 (s, 1H), 3.36 - 3.28 (m, 1H), 3.25 (d, 12.2 Hz, 1H), 2.41 (s, 3H), 1.66 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 144.83, 143.53 (d, 14.7 Hz), 136.50, 129.82, 128.85 (d, 7.3 Hz), 127.22, 126.95, 125.99, 117.68, 115.48, 58.08, 52.54, 25.40, 21.50. HRMS calcd. for $[M+Na]^+$: 403.1456, found: 403.1444.

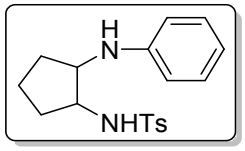


4-methyl-N-(1-(phenylamino)hexan-2-yl)benzenesulfonamide (4la):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1l** (25.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 24 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (31.8 mg, 92%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.75 (d, 7.5 Hz, 2H), 7.25 (d, 7.2 Hz, 2H), 7.12 (t, 7.1 Hz, 2H), 6.69 (t, 7.1 Hz, 1H), 6.46 (d, 7.5 Hz, 2H), 4.86 (d, 6.7 Hz, 1H), 3.38 (d, 5.7 Hz, 1H),

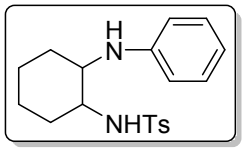
3.14 (d, 12.8 Hz, 1H), 3.03 (dd, 12.1 Hz, 7.8 Hz, 1H), 2.41 (s, 3H), 1.56 - 1.29 (m, 4H), 1.15 (d, 4.9 Hz, 2H), 0.77 (t, 5.6 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.76, 143.48, 137.64, 129.69, 129.18, 127.14, 117.59, 112.92, 53.50, 48.07, 33.26, 27.56, 22.37, 21.49, 13.80.



4-methyl-N-(2-methyl-3-(phenylamino)butan-2-yl)benzenesulfonamide (4ma):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1m** (23.9 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 24 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (21.3 mg, 64%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, 7.4 Hz, 2H), 7.25 (d, 6.1 Hz, 2H), 7.07 (t, 7.1 Hz, 2H), 6.78 (t, 6.9 Hz, 1H), 6.55 (d, 7.5 Hz, 2H), 5.09 (s, 1H), 3.40 (s, 1H), 2.42 (s, 3H), 1.21 (s, 3H), 1.17 (s, 3H), 1.09 (d, 5.8 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 129.72, 128.92, 127.24, 119.53, 118.53, 56.99, 56.45, 24.55, 23.52, 21.54, 16.13. HRMS calcd. for $[M+H]^+$: 333.1637, found: 333.1626.

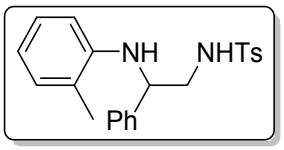


4-methyl-N-(2-(phenylamino)cyclopentyl)benzenesulfonamide (3na):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1n** (23.7 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (31.4 mg, 95%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.77 (d, 7.5 Hz, 2H), 7.25 (d, 7.9 Hz, 2H), 7.12 (t, 7.1 Hz, 2H), 6.69 (t, 7.1 Hz, 1H), 6.50 (d, 7.5 Hz, 2H), 5.16 (d, 5.9 Hz, 1H), 3.47 (d, 6.3 Hz, 1H), 3.44 - 3.37 (m, 1H), 2.41 (s, 3H), 2.19 (td, 13.6 Hz, 6.7 Hz, 1H), 1.87 (dd, 13.0 Hz, 6.7 Hz, 1H), 1.69 (dd, 14.9 Hz, 7.5 Hz, 2H), 1.45 (dt, 14.1 Hz, 7.4 Hz, 1H), 1.40 - 1.33 (m, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.49, 143.50, 137.46, 129.77, 129.19, 127.14, 117.58, 113.32, 60.34, 59.77, 30.63, 30.40, 21.53, 20.46.



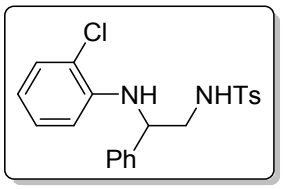
4-methyl-N-(2-(phenylamino)cyclohexyl)benzenesulfonamide (3oa):
Aniline **2a** (10.3 mg, 0.11 mmol) and aziridine **1o** (25.1 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (31.0 mg, 90%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.75 (d, 7.5 Hz, 2H), 7.28 (d, 7.5 Hz, 2H), 7.14 (t, 7.2 Hz, 2H), 6.70 (t, 7.1 Hz, 1H), 6.48 (d, 7.6 Hz, 2H), 5.06 (s, 1H), 3.47 (s, 1H), 3.06 (t, 9.5 Hz, 1H), 2.93 (s, 1H), 2.44 (s, 3H), 2.17 (d, 12.9 Hz, 1H), 2.02 (d, 12.0 Hz, 1H), 1.65 (d, 11.0 Hz, 2H), 1.28 (dd, 26.1 Hz, 13.8 Hz, 3H), 1.04 (d, 11.5 Hz, 1H). ^{13}C NMR (126

MHz, $CDCl_3$) δ 147.07, 143.44, 137.56, 129.74, 129.32, 127.18, 117.81, 113.49, 57.08, 56.52, 33.00, 32.13, 24.41, 24.13, 21.57.



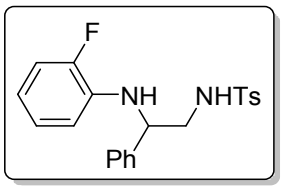
4-methyl-N-(2-phenyl-2-(o-tolylamino)ethyl)benzenesulfonamide (3ab):

o-Toluidine **2b** (11.8 mg, 0.11 mmol) and aziridine **1a** (27.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (33.5 mg, 88%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, 7.6 Hz, 2H), 7.29 (dd, 18.2 Hz, 8.5 Hz, 7H), 7.05 (d, 7.1 Hz, 1H), 6.91 (t, 7.5 Hz, 1H), 6.62 (t, 7.2 Hz, 1H), 6.25 (d, 8.0 Hz, 1H), 4.78 (s, 1H), 4.56 (d, 17.5 Hz, 1H), 4.46 (s, 1H), 3.42 - 3.33 (m, 1H), 3.26 (dt, 13.5 Hz, 6.7 Hz, 1H), 2.41 (s, 3H), 2.25 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 144.68, 143.74, 140.15, 136.88, 130.14, 129.86, 129.02, 127.87, 127.03, 126.85, 126.51, 122.72, 117.51, 111.06, 57.37, 49.25, 21.53, 17.68. HRMS calcd. for $[M+H]^+$: 381.1637, found: 381.1628.



N-(2-((2-chlorophenyl)amino)-2-phenylethyl)-4-methylbenzenesulfonamide (3ac):

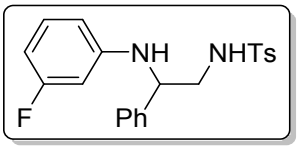
2-Chloroaniline **2c** (14.0 mg, 0.11 mmol) and aziridine **1a** (27.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 24 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (32.9 mg, 82%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.74 (d, 7.6 Hz, 2H), 7.35 - 7.29 (m, 2H), 7.29-7.20 (m, 6H), 6.94 (t, 7.5 Hz, 1H), 6.61 (t, 7.4 Hz, 1H), 6.34 (d, 8.0 Hz, 1H), 4.96 (s, 1H), 4.82 (s, 1H), 4.49 (s, 1H), 3.51 - 3.33 (m, 1H), 3.27 (d, 6.3 Hz, 1H), 2.41 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 143.73, 142.49, 139.35, 136.84, 129.86, 129.13 (d, 5.4 Hz), 128.10, 127.61, 127.08, 126.44, 119.77, 118.09, 112.66, 57.21, 49.01, 21.53.



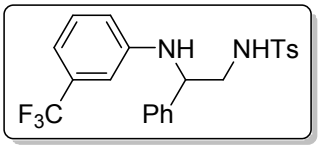
N-(2-((2-fluorophenyl)amino)-2-phenylethyl)-4-methylbenzenesulfonamide (3ad):

2-Fluoroaniline **2d** (12.2 mg, 0.11 mmol) and aziridine **1a** (27.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (36.1 mg, 94%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.73 (d, 7.6 Hz, 2H), 7.35 - 7.30 (m, 2H), 7.27 (d, 6.3 Hz, 5H), 6.99 - 6.89 (m, 1H), 6.80 (d, 7.6 Hz, 1H), 6.60 (d, 5.8 Hz, 1H), 6.38 (t, 8.2 Hz, 1H), 4.77 (s, 1H), 4.55 (s, 1H), 4.45 (s, 1H), 3.43 - 3.33 (m, 1H), 3.28 - 3.18

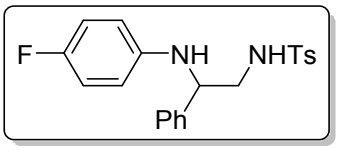
(m, 1H), 2.41 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 143.75, 139.52, 136.80, 129.86, 129.09, 128.10, 127.09, 126.44, 124.42 (d, 3.5 Hz), 117.60 (d, 7.0 Hz), 114.52 (d, 18.6 Hz), 113.42 (d, 2.8 Hz), 57.24, 48.95, 21.53. HRMS calcd. for $[M+H]^+$: 385.1386, found: 385.1375.



N-(2-((3-fluorophenyl)amino)-2-phenylethyl)-4-methylbenzenesulfonamide (3ae):
3-Fluoroaniline **2e** (12.2 mg, 0.11 mmol) and aziridine **1a** (27.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 24 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (32.7 mg, 85%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, 7.9 Hz, 2H), 7.39 - 7.14 (m, 7H), 6.99 (q, 7.7 Hz, 1H), 6.34 (t, 8.3 Hz, 1H), 6.27 (d, 8.1 Hz, 1H), 6.09 (d, 11.5 Hz, 1H), 5.06 (d, 5.8 Hz, 1H), 4.36 (d, 4.2 Hz, 1H), 3.40 - 3.29 (m, 1H), 3.19 (dd, 13.6 Hz, 6.8 Hz, 1H), 2.41 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 143.91, 139.56, 136.70, 129.93, 129.07, 128.03, 127.04, 126.48, 109.61 (d, 2.0 Hz), 104.40, 104.23, 100.52, 100.32, 57.45, 48.99, 21.51. HRMS calcd. for $[M+H]^+$: 385.1386, found: 385.1375.

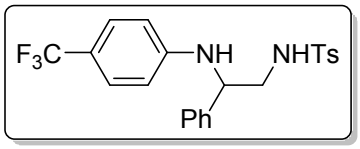


4-methyl-N-(2-phenyl-2-((3-(trifluoromethyl)phenyl)amino)ethyl)benzenesulfonamide (3af):
3-Aminobenzotrifluoride **2f** (17.7 mg, 0.11 mmol) and aziridine **1a** (27.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (41.2 mg, 95%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.73 (d, 7.9 Hz, 2H), 7.30 (dt, 18.9 Hz, 9.3 Hz, 7H), 7.14 (t, 7.8 Hz, 1H), 6.89 (d, 7.6 Hz, 1H), 6.66 (s, 1H), 6.58 (d, 8.1 Hz, 1H), 4.76 (t, 6.2 Hz, 2H), 4.42 (s, 1H), 3.37 (dd, 12.5 Hz, 5.8 Hz, 1H), 3.23 (dd, 13.7 Hz, 6.8 Hz, 1H), 2.42 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.96, 143.98, 139.34, 136.68, 129.93, 129.52, 129.10, 128.09, 127.00, 126.46, 116.33, 114.18 (d, 3.8 Hz), 110.07 (d, 3.9 Hz), 57.36, 48.99, 21.47. HRMS calcd. for $[M+H]^+$: 435.1354, found: 435.1345.



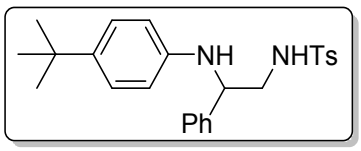
N-(2-((4-fluorophenyl)amino)-2-phenylethyl)-4-methylbenzenesulfonamide (3ag):
4-Fluoroaniline **2g** (12.2 mg, 0.11 mmol) and aziridine **1a** (27.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (35.0 mg, 91%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, 7.8 Hz, 2H), 7.36 - 7.19 (m, 7H), 6.78 (t, 8.2 Hz, 2H), 6.41 (dd, 7.2 Hz, 4.4 Hz, 2H), 4.80 (s, 1H), 4.42 - 4.29 (m, 1H), 3.38 -

3.29 (m, 1H), 3.20 (dd, 13.4 Hz, 6.6 Hz, 1H), 2.42 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 157.10, 155.22, 143.81, 142.77, 139.72, 136.74, 129.88, 129.04, 128.02, 127.07, 126.55, 115.66, 115.49, 114.81 (d, 7.4 Hz), 58.26, 49.05, 21.52. HRMS calcd. for $[M+H]^+$: 385.1386, found: 385.1376.



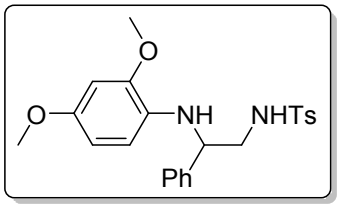
4-methyl-N-(2-phenyl-2-((4-(trifluoromethyl)phenyl)amino)ethyl)benzenesulfonamide (3ah):

4-Aminobenzotrifluoride **2h** (17.7 mg, 0.11 mmol) and aziridine **1a** (27.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (32.2 mg, 74%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.71 (d, 7.6 Hz, 2H), 7.39 - 7.15 (m, 9H), 6.46 (d, 7.9 Hz, 2H), 5.01 (s, 2H), 4.43 (s, 1H), 3.44 - 3.29 (m, 1H), 3.29 - 3.10 (m, 1H), 2.40 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.29, 143.99, 139.21, 136.62, 129.93, 129.15, 128.14, 126.99, 126.42 (d, 3.7 Hz), 112.82, 57.20, 48.97, 21.48. HRMS calcd. for $[M+H]^+$: 435.1354, found: 435.1346.



N-(2-((4-(tert-butyl)phenyl)amino)-2-phenylethyl)-4-methylbenzenesulfonamide (3ai):

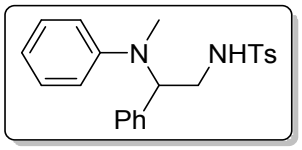
4-*tert*-Butylaniline **2i** (16.4 mg, 0.11 mmol) and aziridine **1a** (27.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 5:1) to afford the title compound (36.8 mg, 87%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.73 (d, 7.4 Hz, 2H), 7.28 (dt, 11.0 Hz, 5.6 Hz, 7H), 7.12 (d, 7.3 Hz, 2H), 6.44 (d, 7.4 Hz, 2H), 4.80 (s, 1H), 4.39 (s, 2H), 3.38 - 3.26 (m, 1H), 3.25 - 3.14 (m, 1H), 2.43 (s, 3H), 1.24 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 144.31, 143.70, 140.82, 140.33, 136.82, 129.87, 128.99, 127.87, 127.12, 126.57, 125.95, 113.43, 57.72, 49.10, 33.85, 31.50, 21.55. HRMS calcd. for $[M+H]^+$: 423.2106, found: 423.2095.



N-(2-((2,4-dimethoxyphenyl)amino)-2-phenylethyl)-4-methylbenzenesulfonamide (3aj):

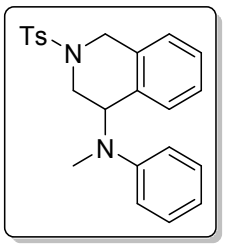
2,4-Dimethoxyaniline **2j** (16.8 mg, 0.11 mmol) and aziridine **1a** (27.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 4:1) to afford the title compound (37.6 mg,

88%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, 7.9 Hz, 2H), 7.35 - 7.19 (m, 7H), 6.42 (s, 1H), 6.22 (s, 2H), 4.93 (s, 1H), 4.74 - 4.16 (m, 2H), 3.83 (s, 3H), 3.69 (s, 3H), 3.34 (dd, 12.6 Hz, 6.0 Hz, 1H), 3.19 (dd, 12.9 Hz, 7.0 Hz, 1H), 2.42 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 152.48, 148.27, 143.51, 140.36, 136.96, 130.55, 129.76, 128.89, 127.79, 127.13, 126.50, 112.01, 103.72, 99.18, 57.97, 55.63 (d, 11.9 Hz), 49.12, 21.53. HRMS calcd. for $[M+H]^+$: 427.1692, found: 427.1683.



4-methyl-N-(2-(methyl(phenyl)amino)-2-phenylethyl)benzenesulfonamide (3ak):
N-methylaniline **2k** (11.8 mg, 0.11 mmol) and aziridine **1a** (27.3 mg, 0.1 mmol) were added to a 1 mL test tube. The mixture was stirred at 50 °C for 6 h, then passed through a plug of silica gel (PE:EA = 4:1) to afford the title compound (35.8 mg, 94%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.78 (d, 7.8 Hz, 2H), 7.34 (d, 7.8 Hz, 2H), 7.25 (dd, 16.8 Hz, 9.2 Hz, 5H), 7.05 (d, 6.6 Hz, 2H), 6.84 (t, 7.2 Hz, 1H), 6.78 (d, 8.0 Hz, 2H), 4.99 (dd, 9.9 Hz, 5.1 Hz, 1H), 4.75 (d, 7.5 Hz, 1H), 3.75 - 3.61 (m, 1H), 3.48 (t, 11.4 Hz, 1H), 2.52 (s, 3H), 2.47 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.31, 143.62, 137.07, 136.78, 129.85, 129.33, 128.67, 127.86, 127.18, 126.95, 118.64, 114.68, 61.71, 43.19, 31.82, 21.58. HRMS calcd. for $[M+H]^+$: 381.1637, found: 381.1629.

Synthesis of Compound 4



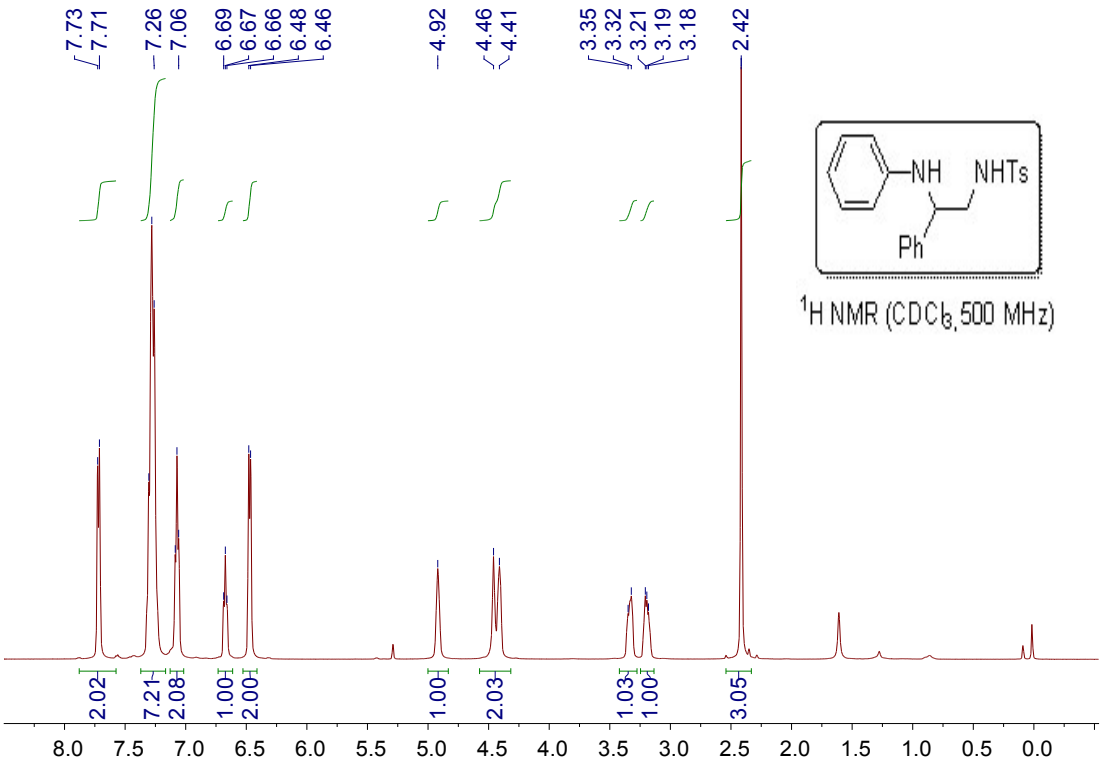
N-methyl-N-phenyl-2-tosyl-1,2,3,4-tetrahydroisoquinolin-4-amine (4):
N-methylaniline **2k** **(406.8 mg, 3.80 mmol, 1.1 equiv)** and aziridine **1a** (943.5 mg, 3.45 mmol, 1.0 equiv) were added to a 5 mL test tube, followed by stirring at 50 °C for 6 h. The reaction process was monitored by TLC. After the reaction completed, the residue was directly subjected to the preparative thin layer chromatography to afford the compound **3ak** as white solid in 91% yield (1.19 g).
To a 10 mL Schlenk tube equipped with a magnetic stir bar, **3ak** (190.3 mg, 0.50 mmol, 1.0 equiv) and paraformaldehyde (18.0 mg, 0.6 mmol based on formaldehyde, 1.2 equiv) were added. The tube was evacuated and refilled with N_2 gas three times. Then trifluoroacetic acid (1.0 mL) was added, and the resulting mixture was stirred at 90 °C for 5 h. The reaction mixture was allowed cool to room temperature and poured into H_2O (10 mL). The organic layer was separated, and the aqueous layer was extracted with $CHCl_3$ (3 mL × 3). Organic layers were combined, washed with sat. $NaHCO_3$ aq. (3 mL), and dried over Na_2SO_4. Solvent was removed under reduced

pressure, then the residue was purified by flash column chromatography on silica gel (PE:EA = 5:1), giving the title compound as white solid in 66% yield (129.6 mg).[2] ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.64 (d, 7.3 Hz, 2H), 7.27 (d, 8.3 Hz, 6H), 7.13 (d, 5.9 Hz, 2H), 7.02 (d, 7.1 Hz, 1H), 6.90 (t, 8.8 Hz, 2H), 4.54 (s, 2H), 4.18 (d, 7.8 Hz, 1H), 3.56 (d, 14.3 Hz, 1H), 3.39 (dd, 13.9 Hz, 8.3 Hz, 1H), 2.59 (s, 3H), 2.42 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 148.97, 143.20, 140.09, 136.50, 129.83, 129.61 (d, 13.9 Hz), 128.56, 127.69, 127.25 (d, 7.7 Hz), 126.79, 121.79, 118.99, 66.91, 50.36, 49.88, 38.99, 21.53. HRMS calcd. for $[M+H]^+$: 393.1637, found: 393.1618.

References

1. (a) N. Hsueh, G. J. Clarkson and M. Shipman, *Org. Lett.*, 2015, **17**, 3632; (b) V. V. Thakur and A. Sudalai, *Tetrahedron Lett.*, 2003, **44**, 989.
2. Y. Takeda, A. Kuroda, W. M. C. Sameera, K. Morokuma and S. Minakata, *Chem. Sci.*, 2016, **7**, 6141.

Copies of ^{1}H and ^{13}C NMR spectra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6.67
6.66
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6.46
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4.46
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3.32
3.21
3.19
3.18
2.42
NH
NHTs
Ph
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7.21
2.08
1.00
2.00
1.00
2.03
1.03
1.00
3.05
8.0
7.5
7.0
6.5
6.0
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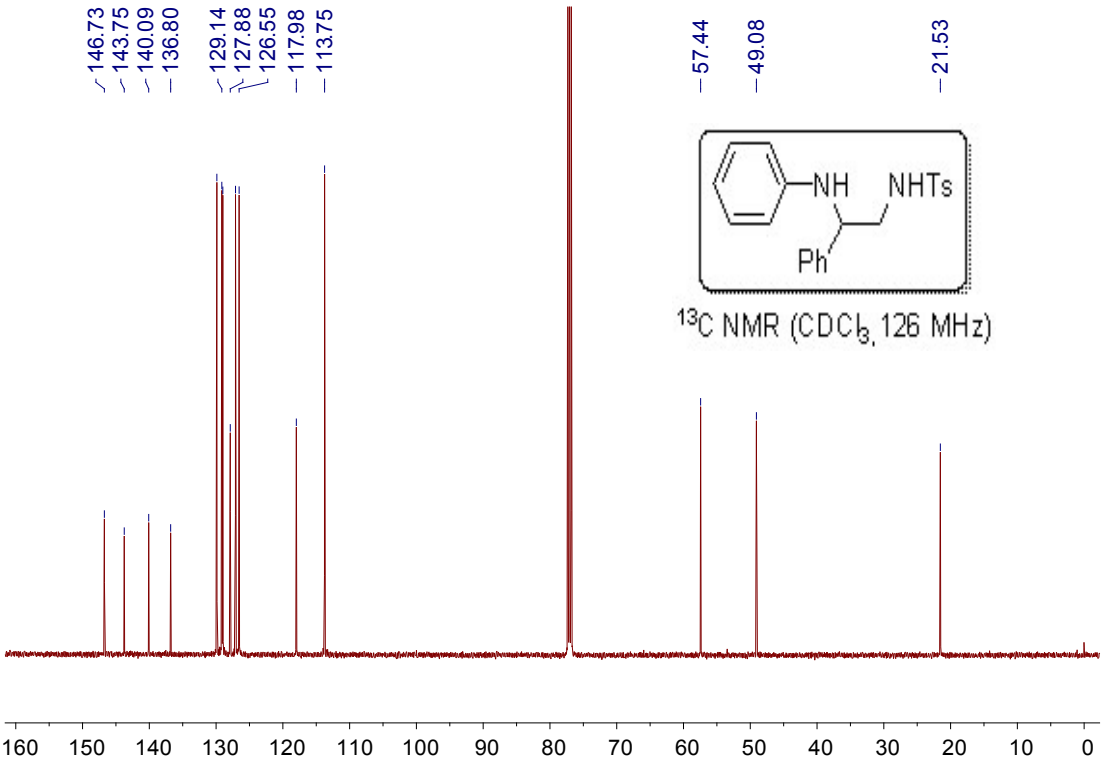
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136.80
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127.88
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117.98
113.75
57.44
49.08
21.53
NH
NHTs
Ph
13C NMR (CDCl3, 126 MHz)
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70
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40
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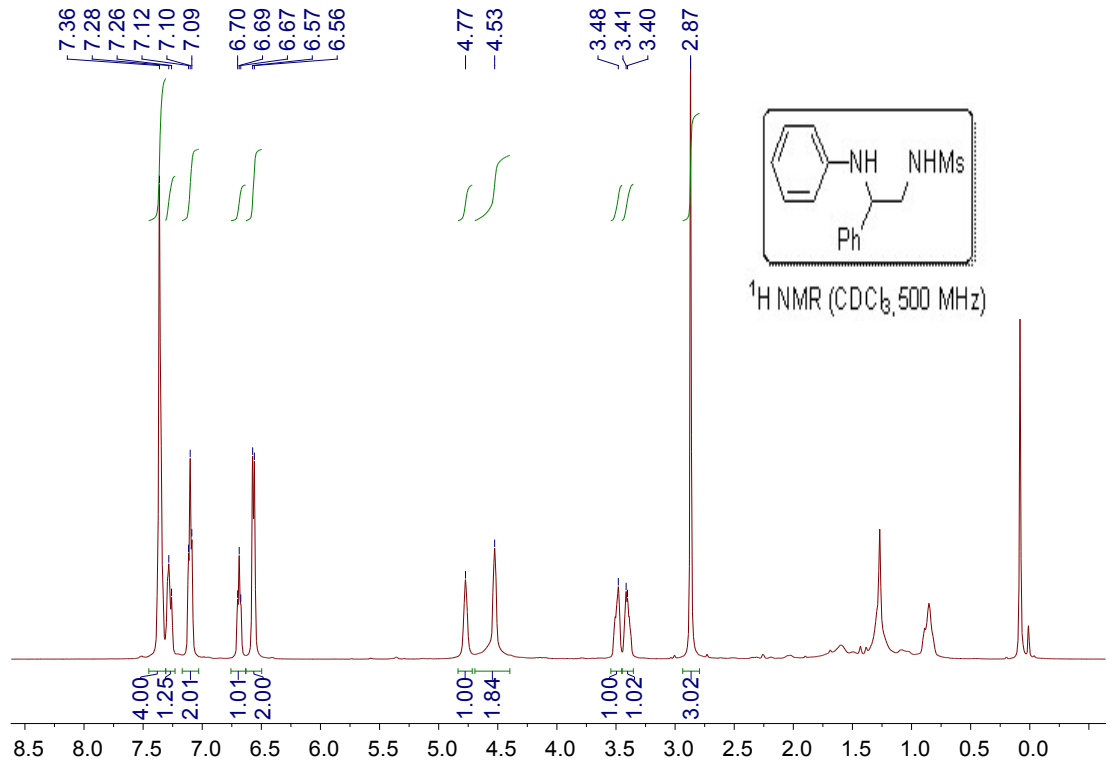
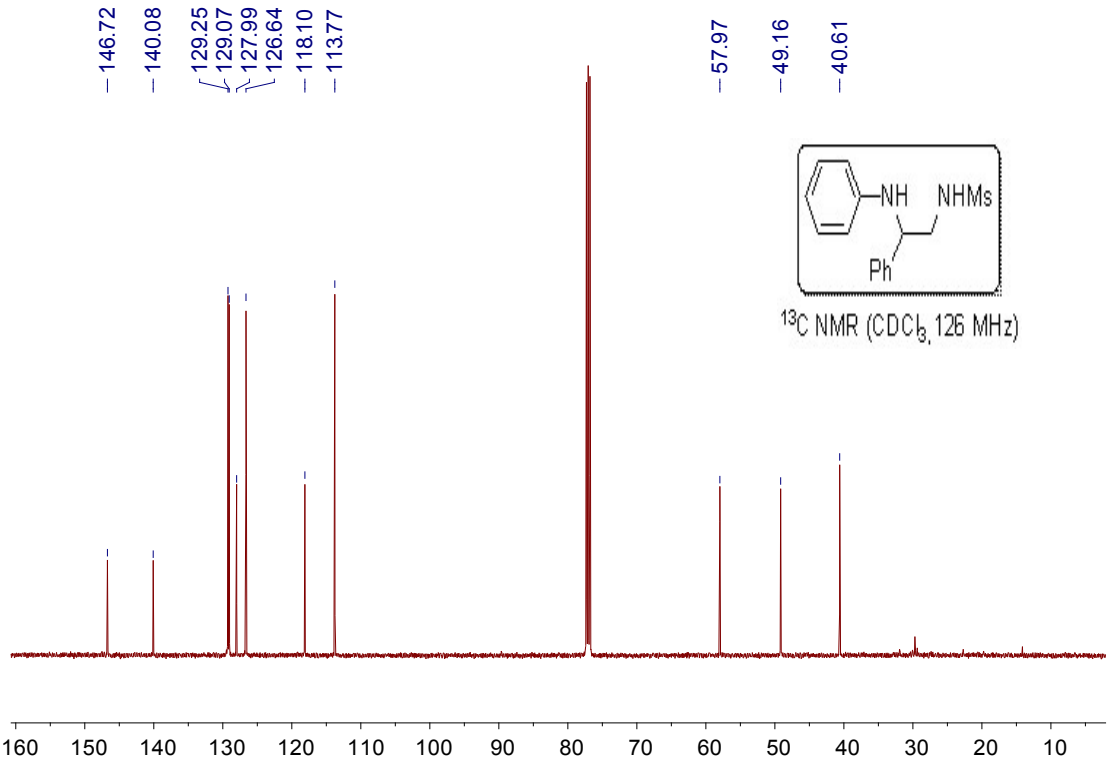
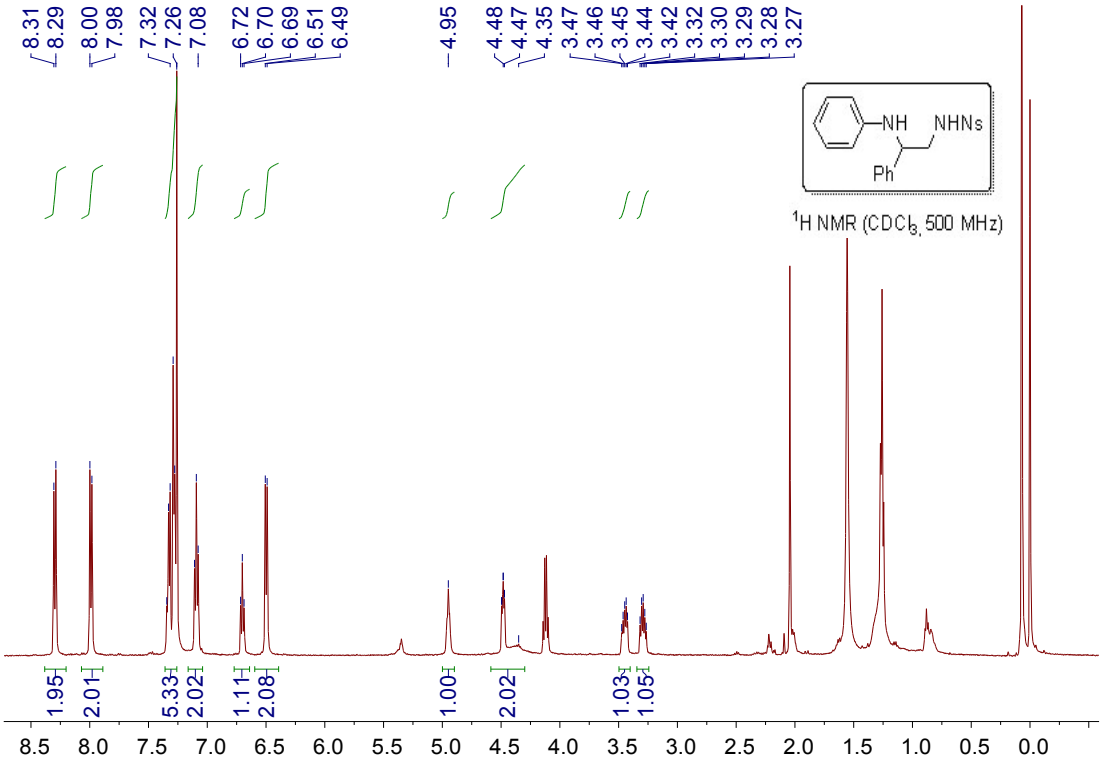


^{1}H NMR ($CDCl_3$, 500 MHz)



^{13}C NMR ($CDCl_3$, 126 MHz)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6.51
6.49
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4.48
4.47
4.35
3.47
3.46
3.45
3.44
3.42
3.32
3.30
3.29
3.28
3.27
NH
NHNs
Ph
1H NMR (CDCl3, 500 MHz)
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2.02
1.11
2.08
1.00
2.02
1.03
1.05
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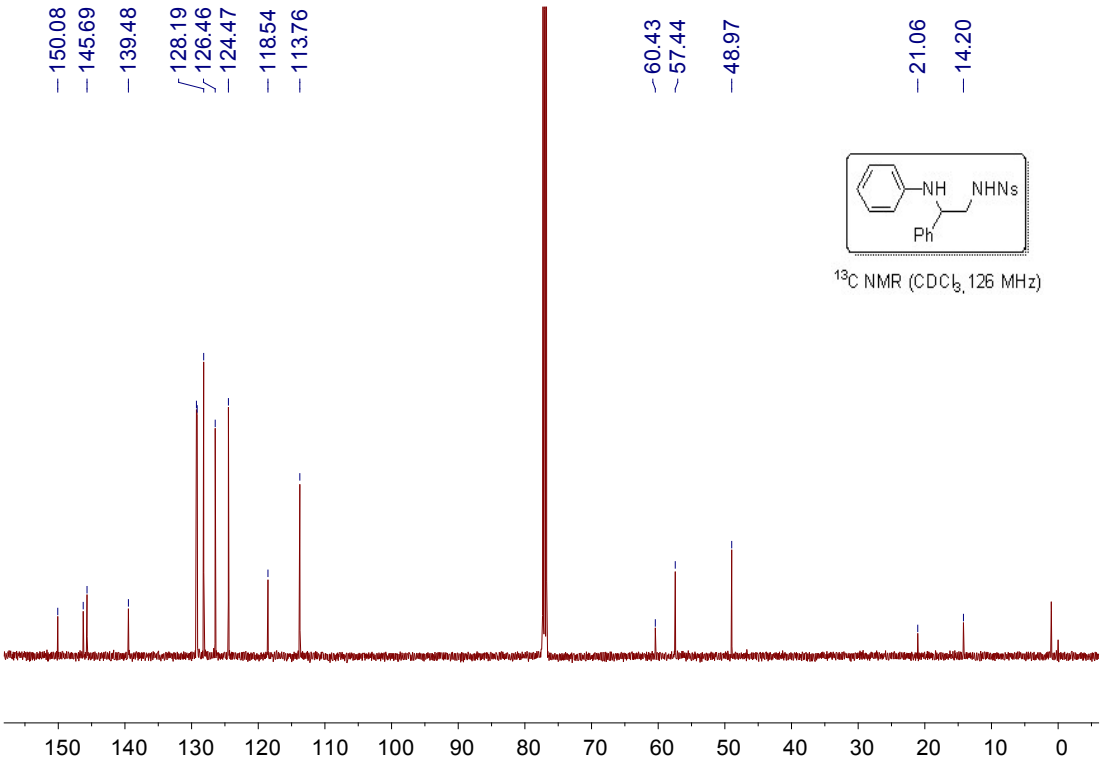
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NHNs
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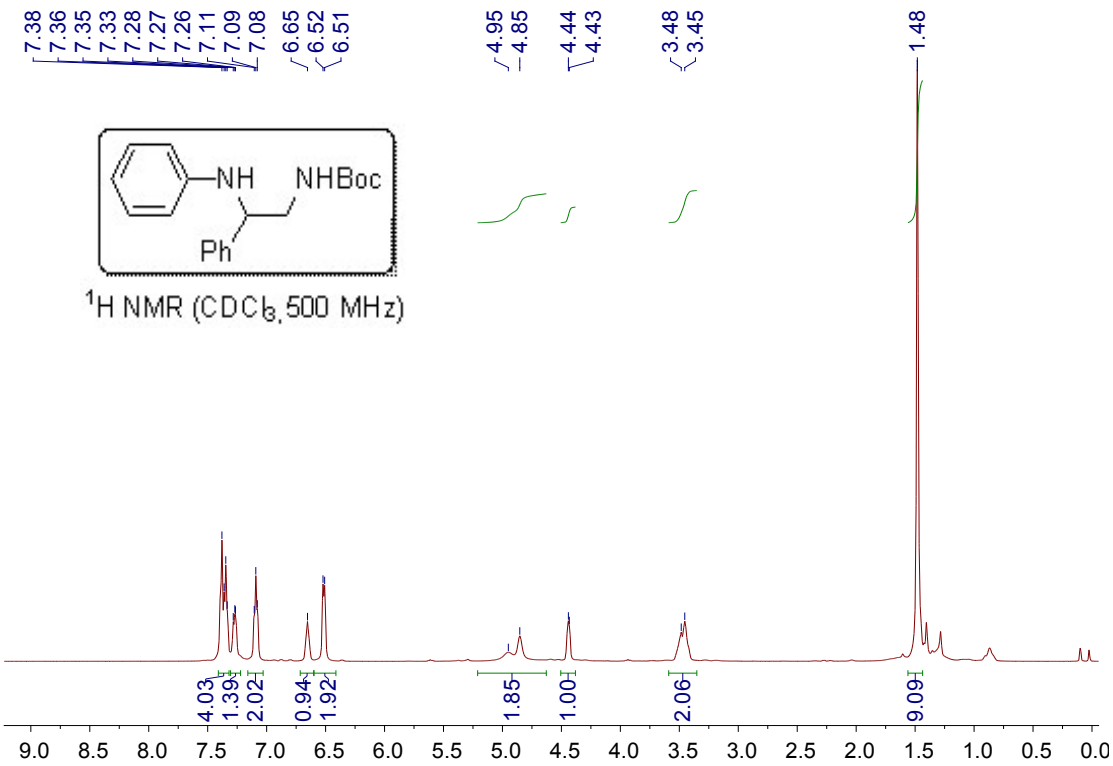
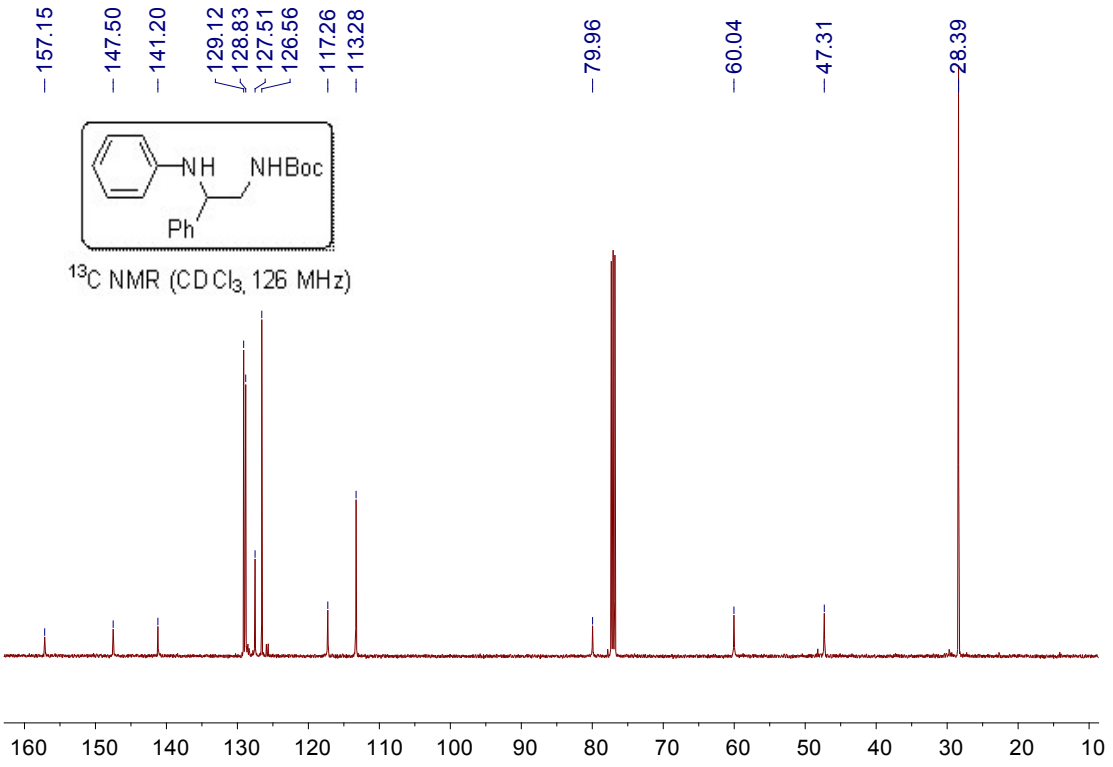
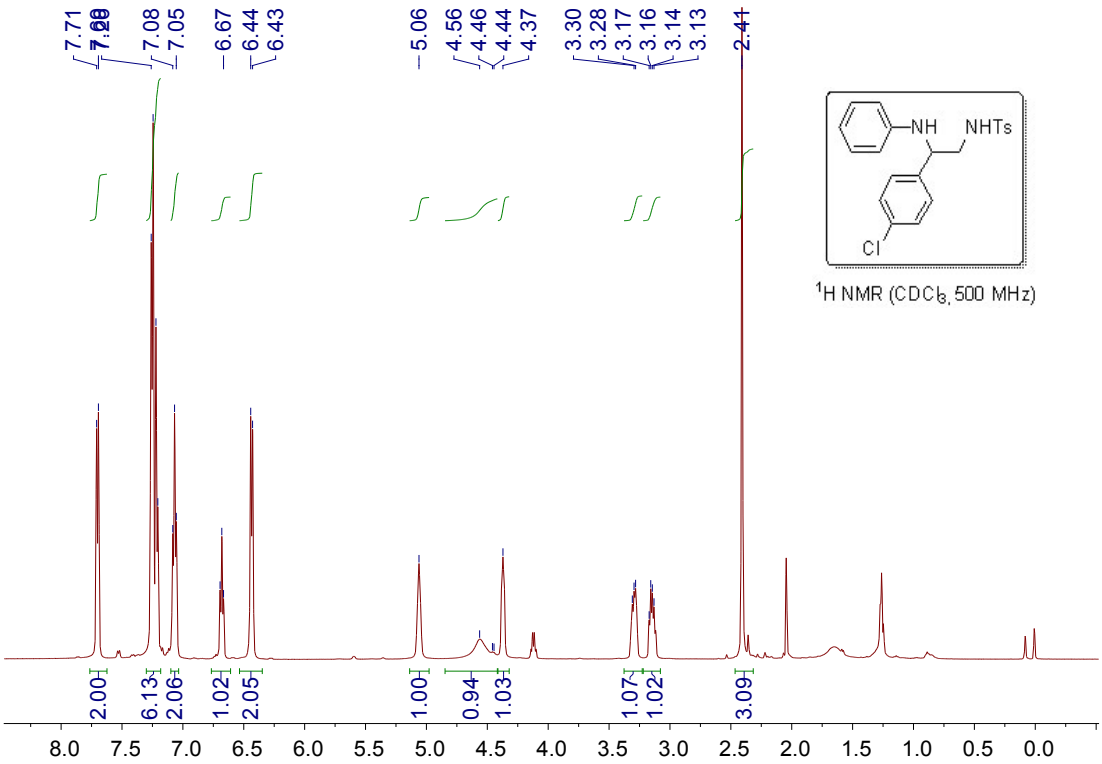


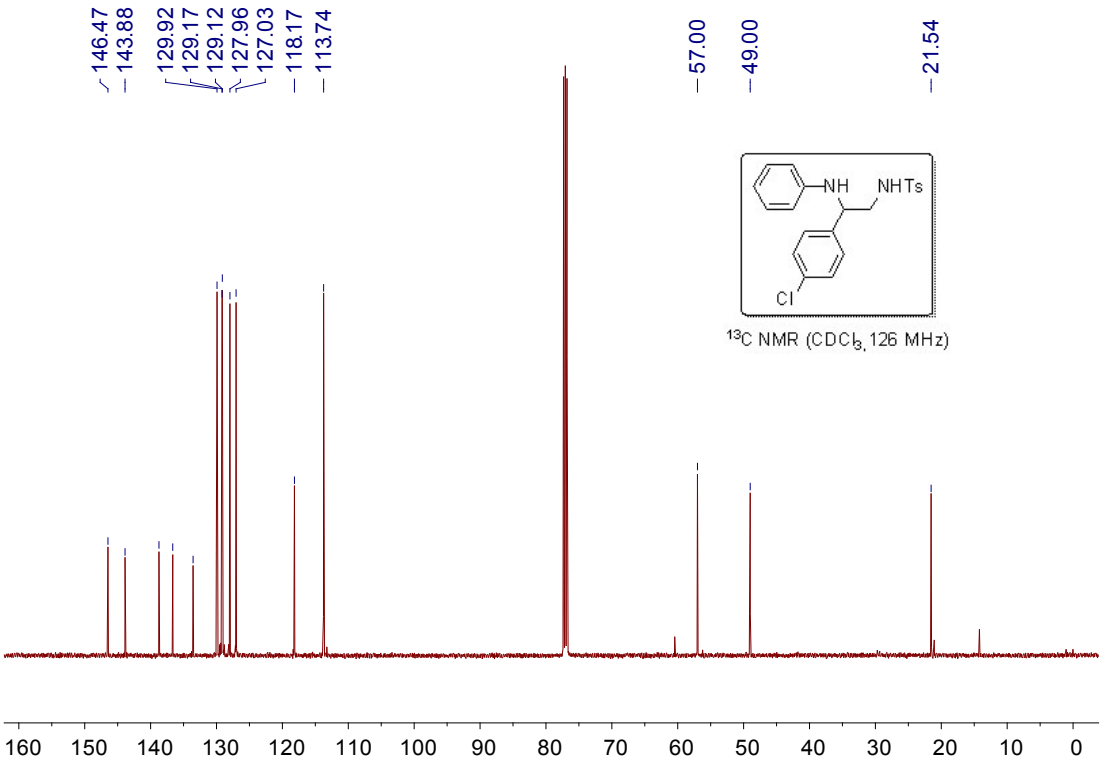
^{1}H NMR ($CDCl_3$, 500 MHz)



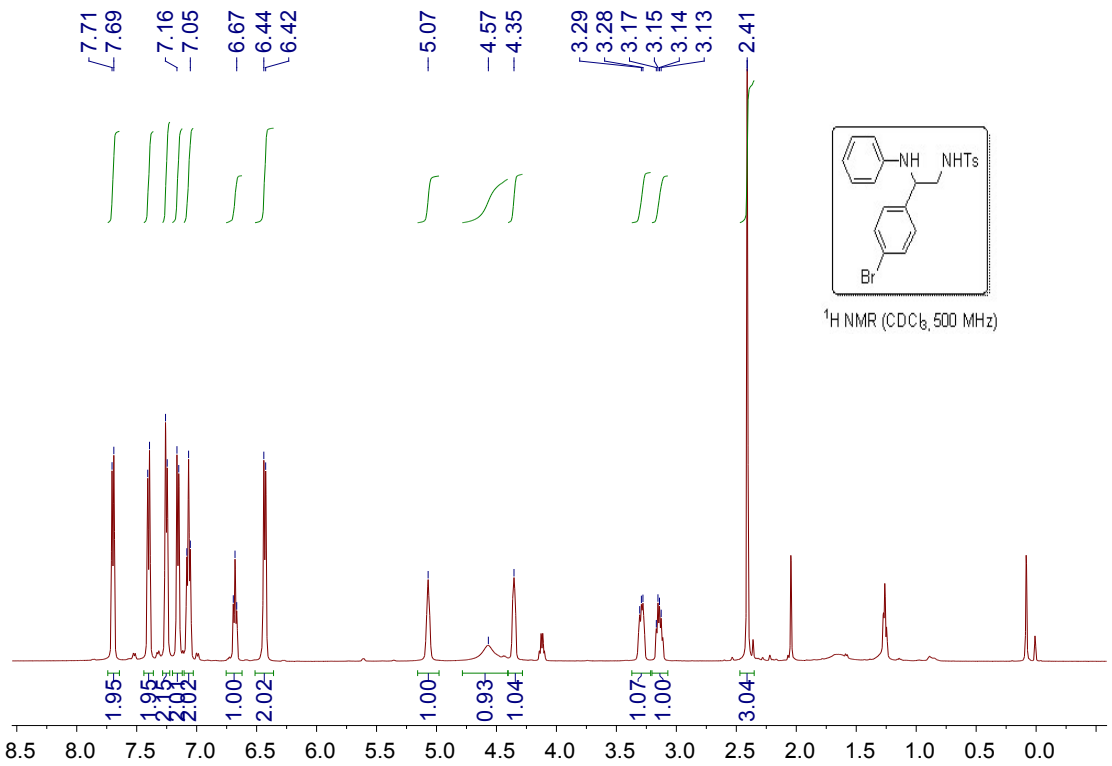
^{13}C NMR ($CDCl_3$, 126 MHz)



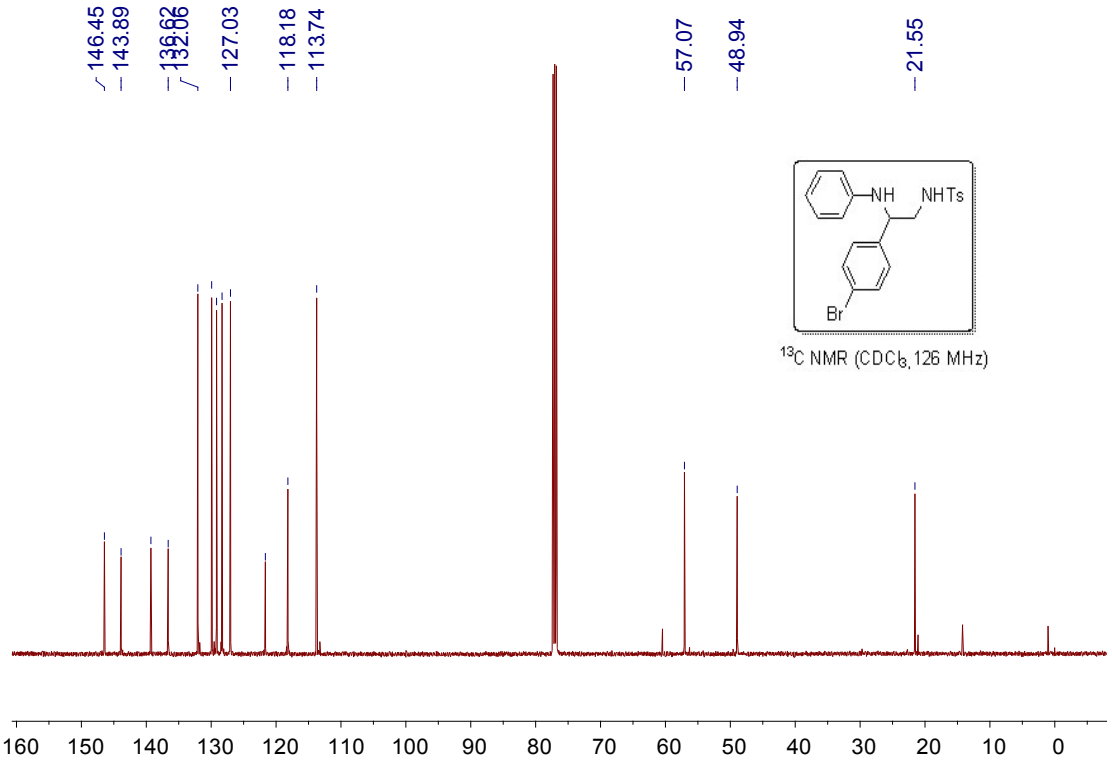
^{1}H NMR ($CDCl_3$, 500 MHz)



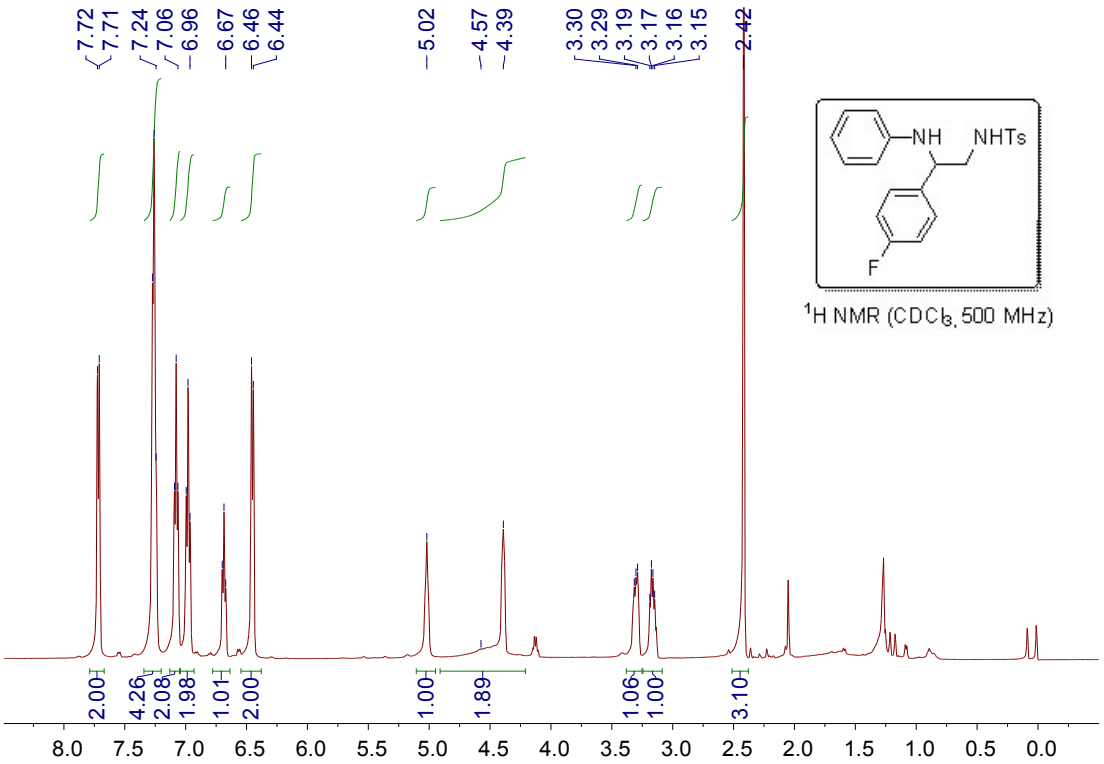
^{13}C NMR ($CDCl_3$, 126 MHz)



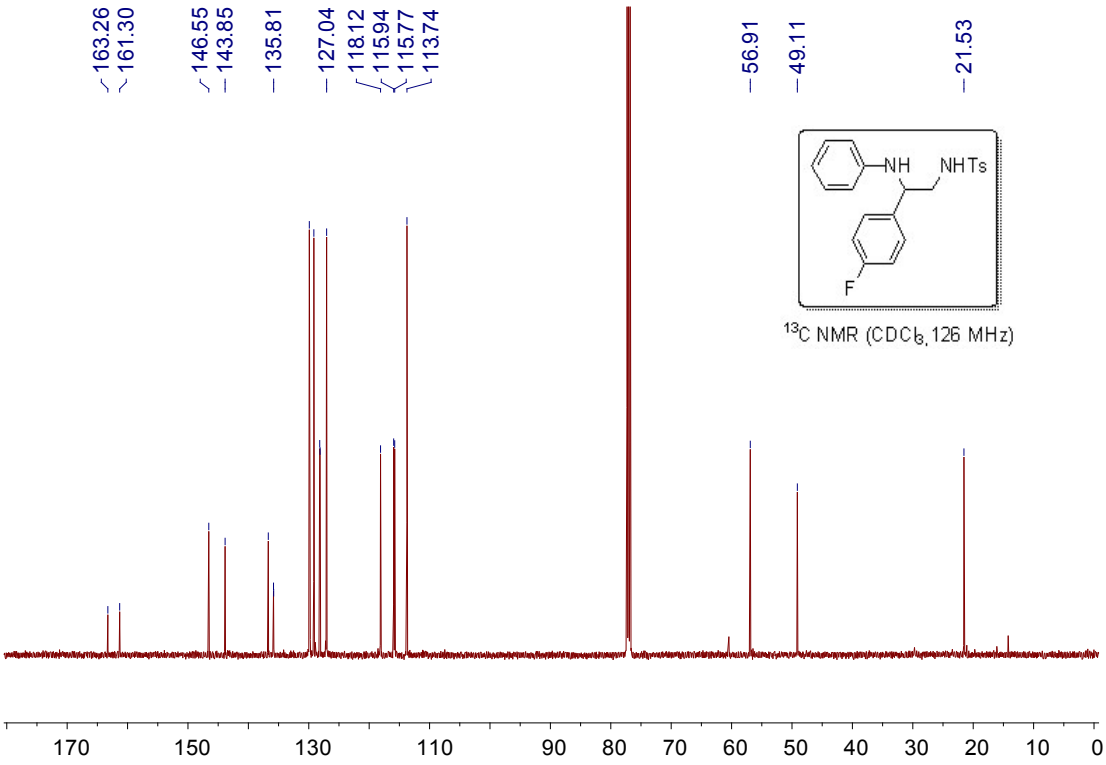
^{1}H NMR ($CDCl_3$, 500 MHz)



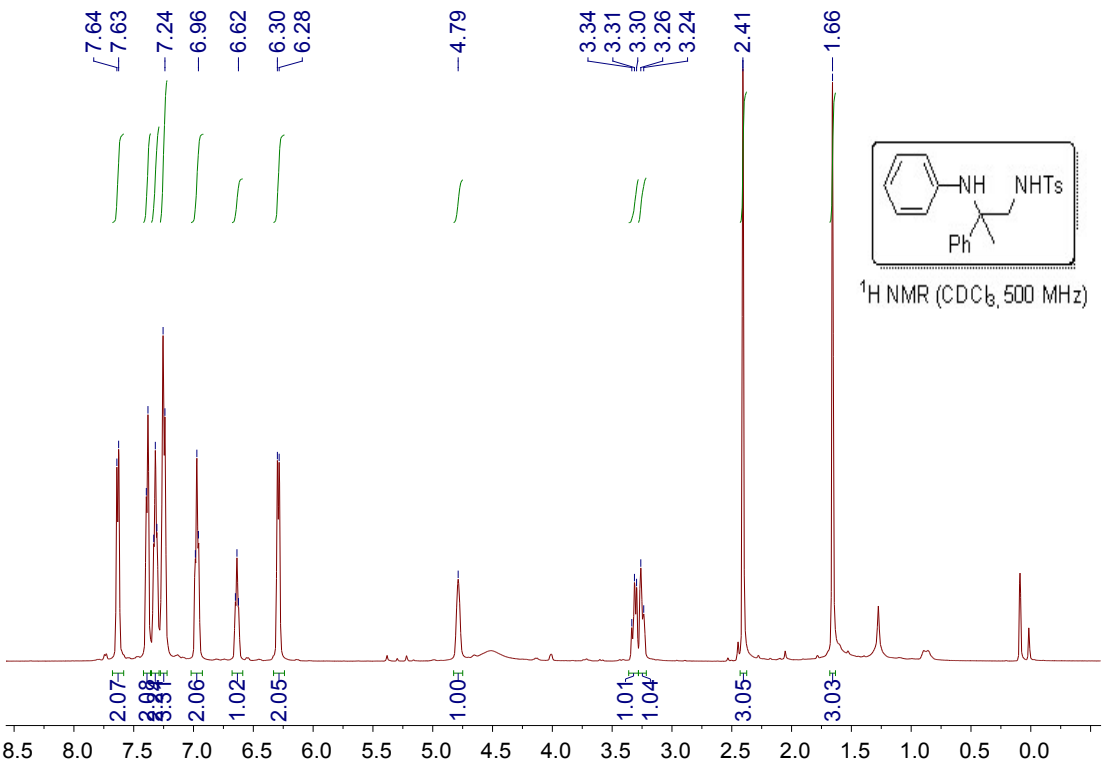
^{13}C NMR ($CDCl_3$, 126 MHz)



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



^{13}C NMR ($CDCl_3$, 126 MHz)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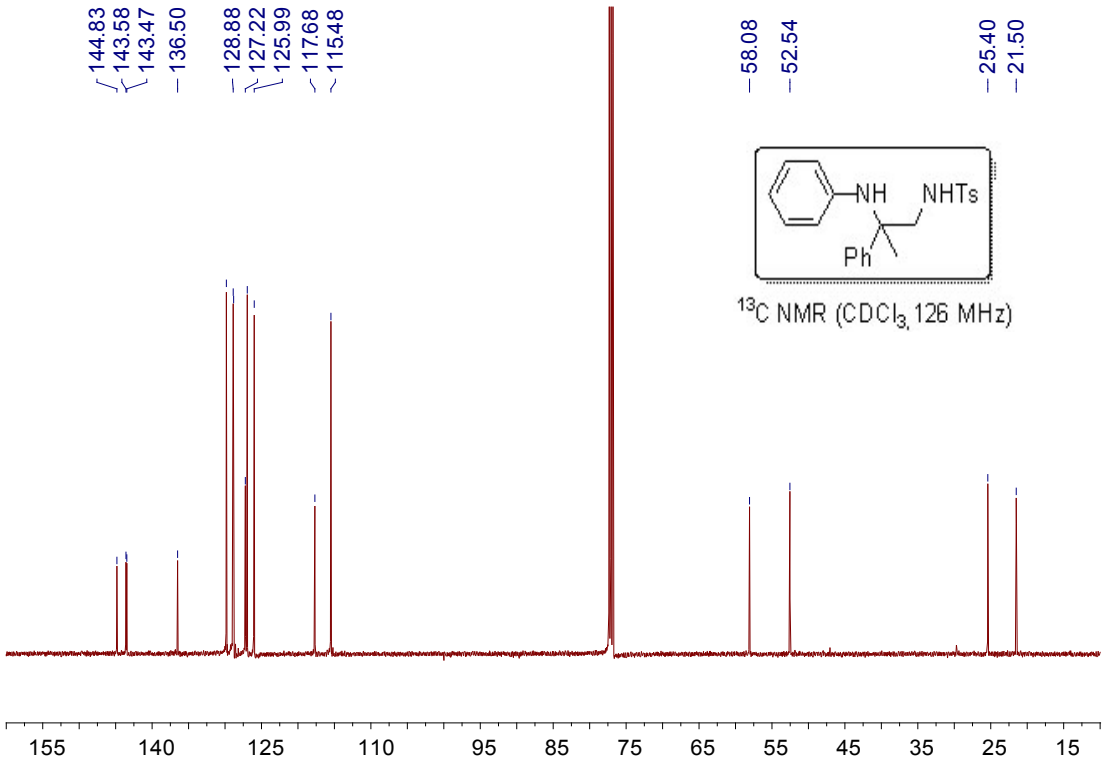
7.63
7.24
6.96
6.62
6.30
6.28
4.79
3.34
3.31
3.30
3.26
3.24
2.41
1.66
NH
NHTs
Ph
1H NMR (CDCl3, 500 MHz)
2.07
2.06
1.02
2.05
1.00
1.01
1.04
3.05
3.03
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0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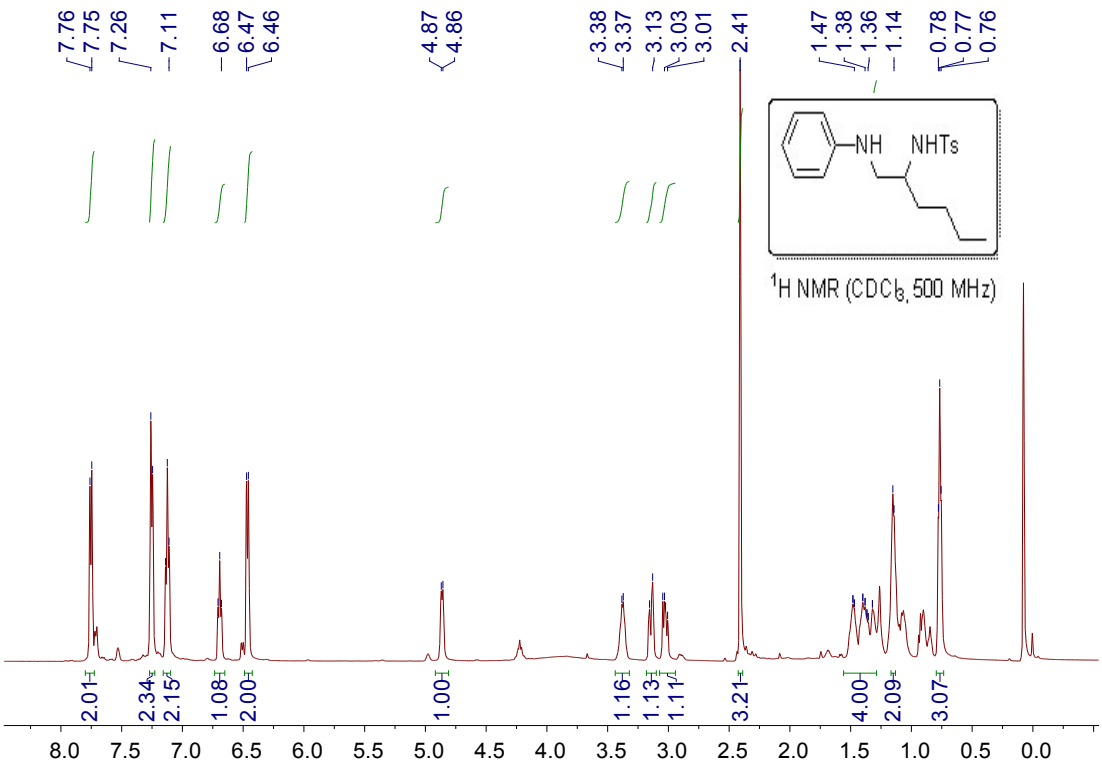
143.58
143.47
136.50
128.88
127.22
125.99
117.68
115.48
58.08
52.54
25.40
21.50
NH
NHTs
Ph
13C NMR (CDCl3, 126 MHz)
155 140 125 110 95 85 75 65 55 45 35 25 15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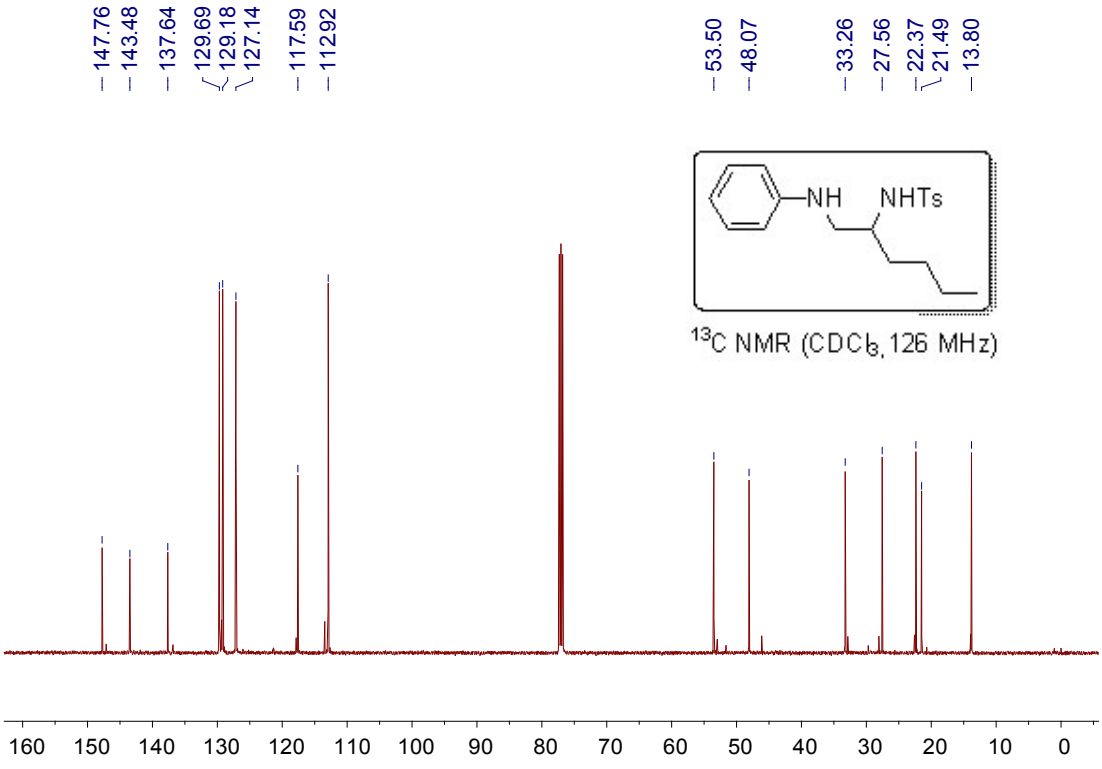
7.75
7.26
7.11
6.68
6.47
6.46
4.87
4.86
3.38
3.37
3.13
3.03
3.01
2.41
1.47
1.38
1.36
1.14
0.78
0.77
0.76
NH
NHTs
^{1}H NMR (CDCl$_3$, 500 MHz)
2.01
2.34
2.15
1.08
2.00
1.00
1.16
1.13
1.11
3.21
4.00
2.09
3.07
8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0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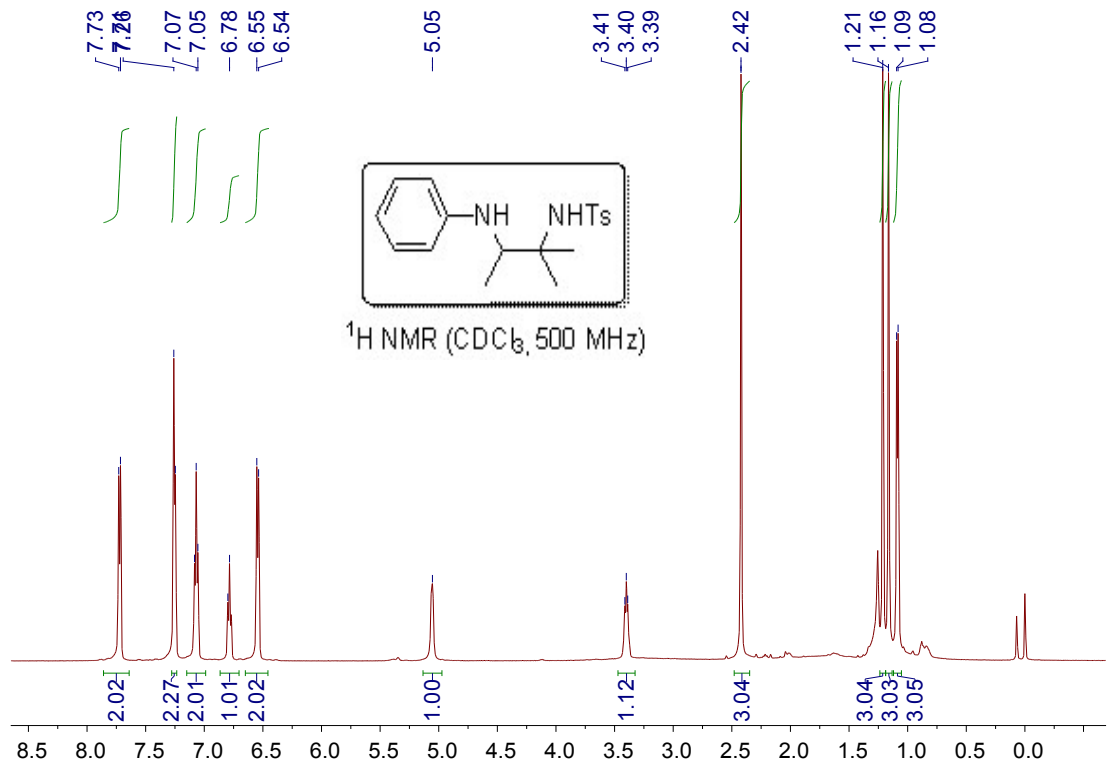
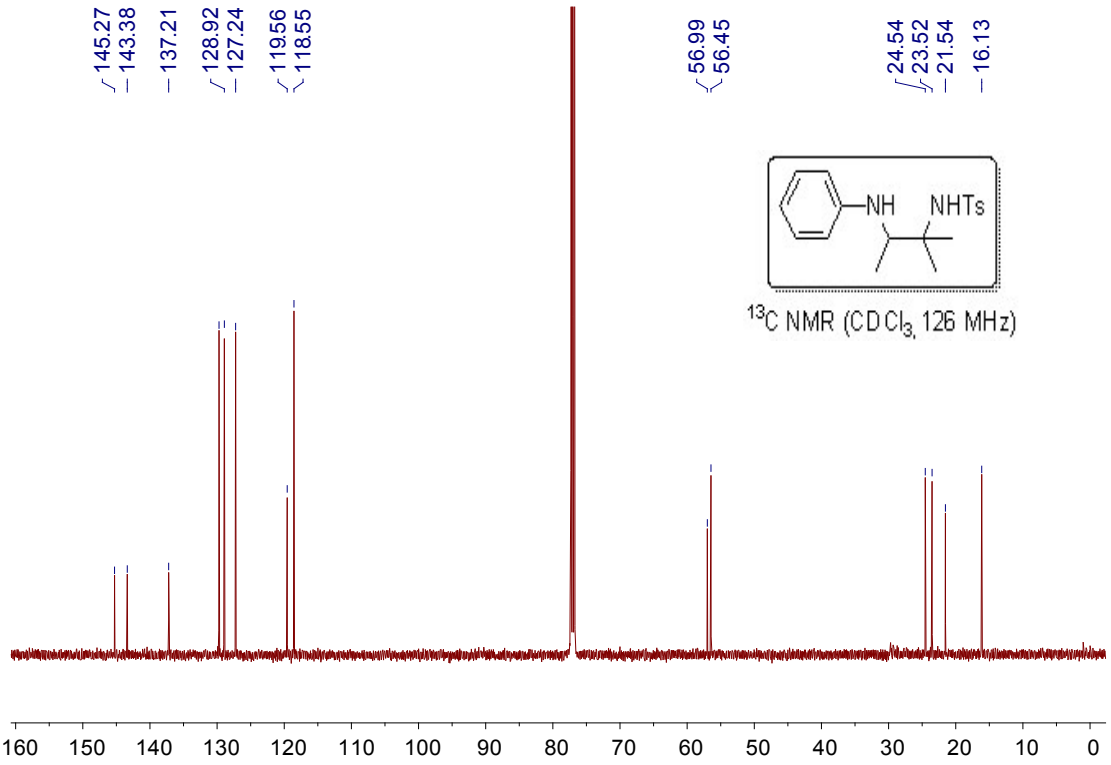
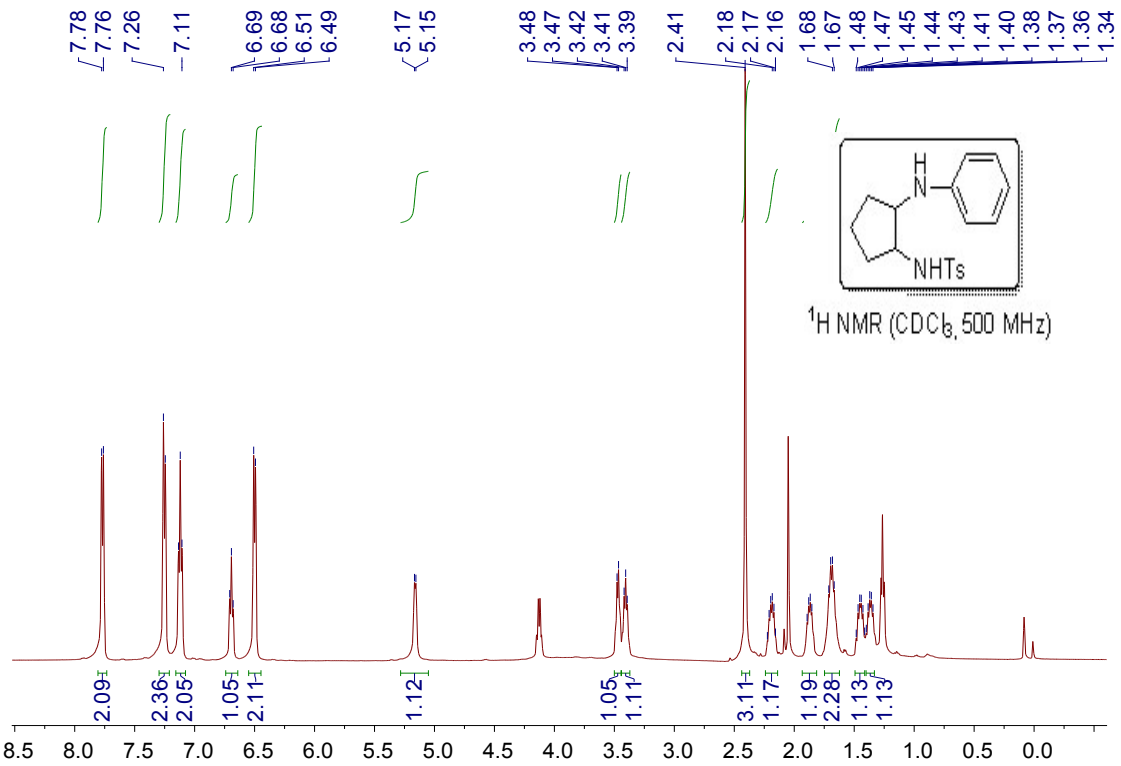
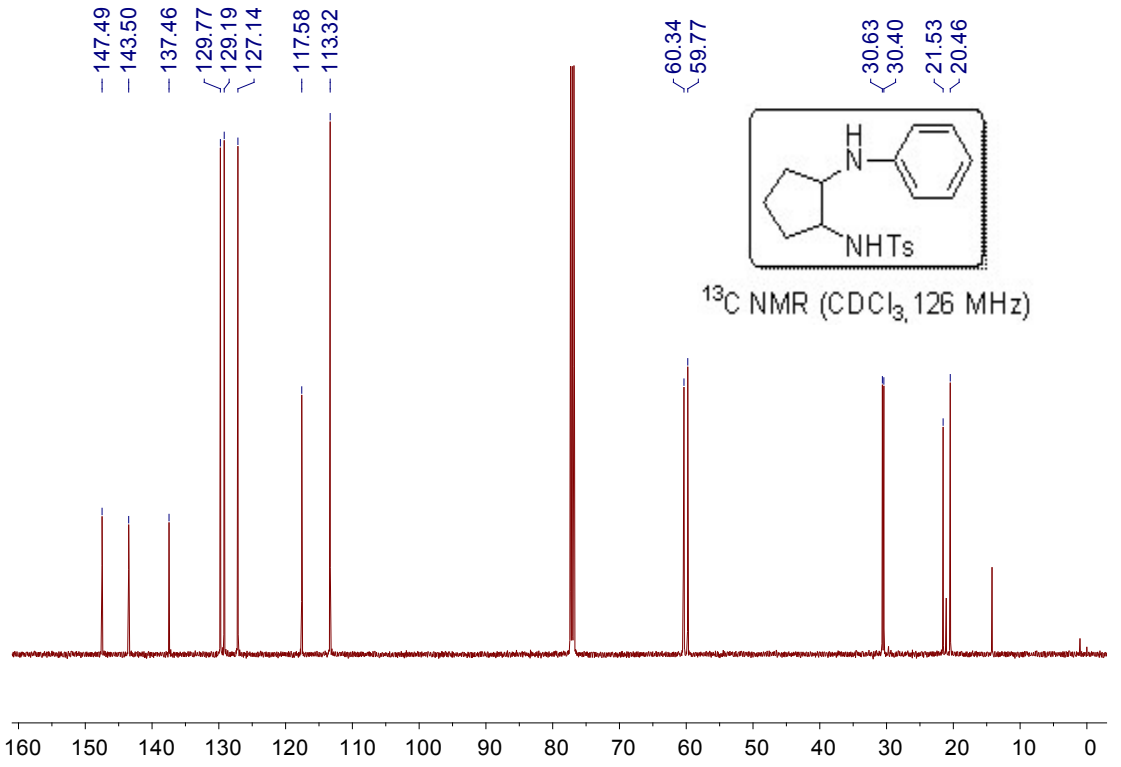
143.48
137.64
129.69
129.18
127.14
117.59
112.92
53.50
48.07
33.26
27.56
22.37
21.49
13.80
NH
NHTs
^{13}C NMR (CDCl$_3$, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0


7.73
7.26
7.07
7.05
6.78
6.55
6.54
5.05
3.41
3.40
3.39
2.42
1.21
1.16
1.09
1.08
NH
NHTs
^{1}H NMR (CDCl$_3$, 500 MHz)
2.02
2.27
2.01
1.01
2.02
1.00
1.12
3.04
3.04
3.03
3.05
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0


145.27
143.38
137.21
128.92
127.24
119.56
118.55
56.99
56.45
24.54
23.52
21.54
16.13
NH
NHTs
^{13}C NMR (CDCl$_3$, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0


7.78
7.76
7.26
7.11
6.69
6.68
6.51
6.49
5.17
5.15
3.48
3.47
3.42
3.41
3.39
2.41
2.18
2.17
2.16
1.68
1.67
1.48
1.47
1.45
1.44
1.43
1.41
1.40
1.38
1.37
1.36
1.34
NHTs
1H NMR (CDCl3, 500 MHz)
2.09
2.36
2.05
1.05
2.11
1.12
1.05
1.11
3.11
1.17
1.19
2.28
1.13
1.13
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0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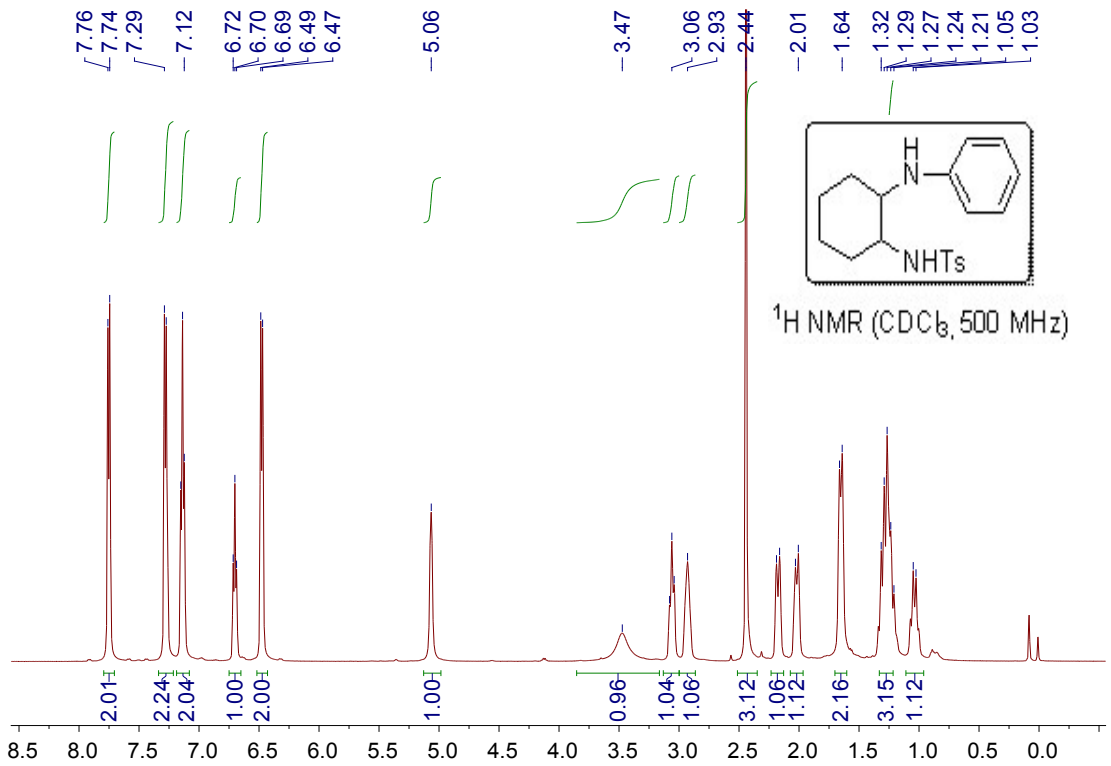
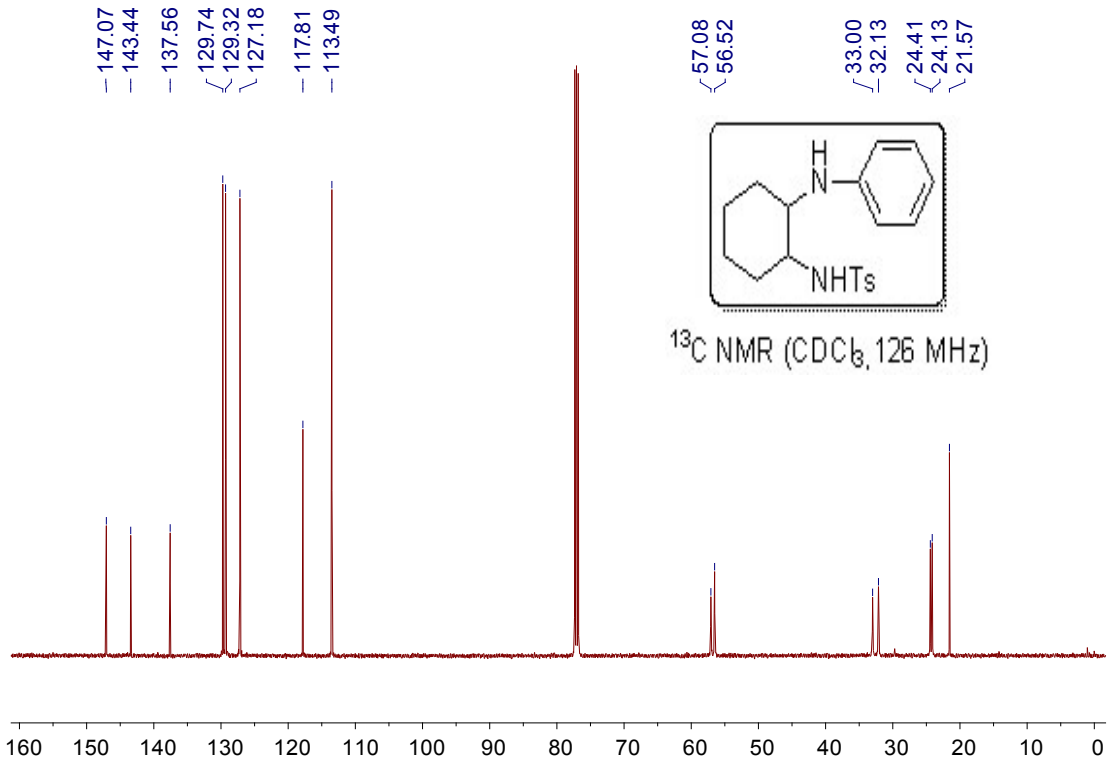
143.50
137.46
129.77
129.19
127.14
117.58
113.32
60.34
59.77
30.63
30.40
21.53
20.46
NHTs
13C NMR (CDCl3, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0


7.76
7.74
7.29
7.12
6.72
6.70
6.69
6.49
6.47
5.06
3.47
3.06
2.93
2.44
2.01
1.64
1.32
1.29
1.27
1.24
1.21
1.05
1.03
H
N
NHTs
^{1}H NMR (CDCl$_3$, 500 MHz)
2.01
2.24
2.04
1.00
2.00
1.00
0.96
1.04
1.06
3.12
1.06
1.12
2.16
3.15
1.12
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0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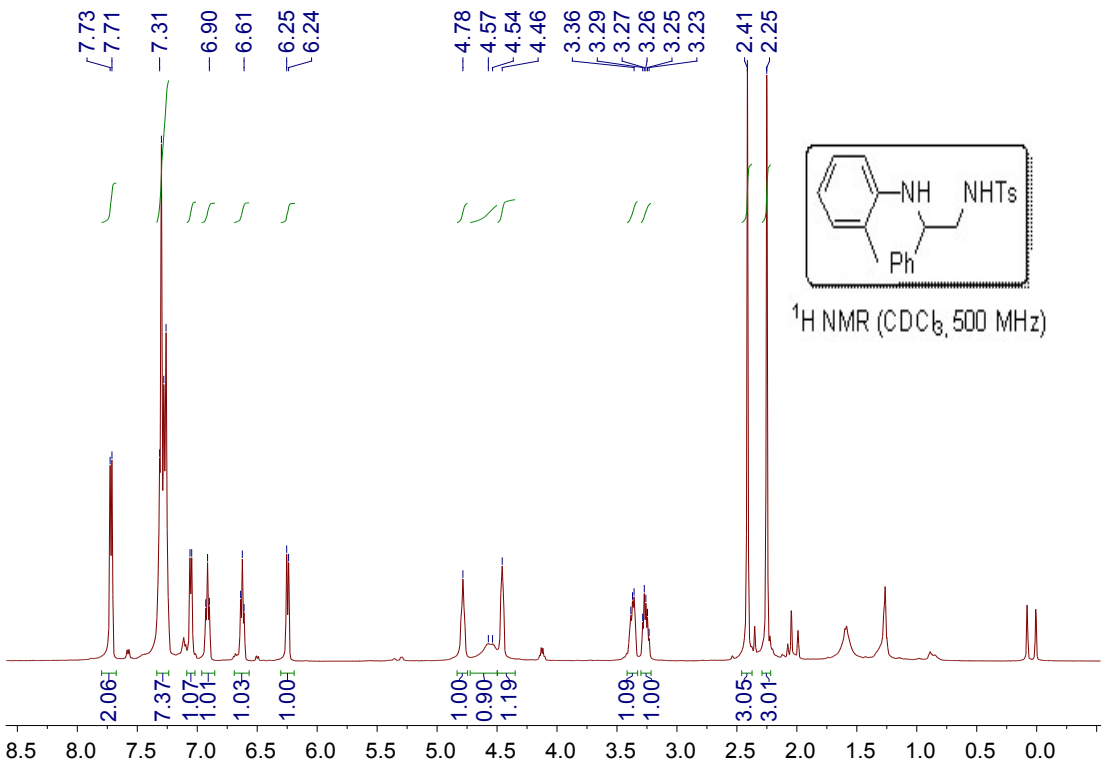
143.44
137.56
129.74
129.32
127.18
117.81
113.49
57.08
56.52
33.00
32.13
24.41
24.13
21.57
H
N
NHTs
^{13}C NMR (CDCl$_3$, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0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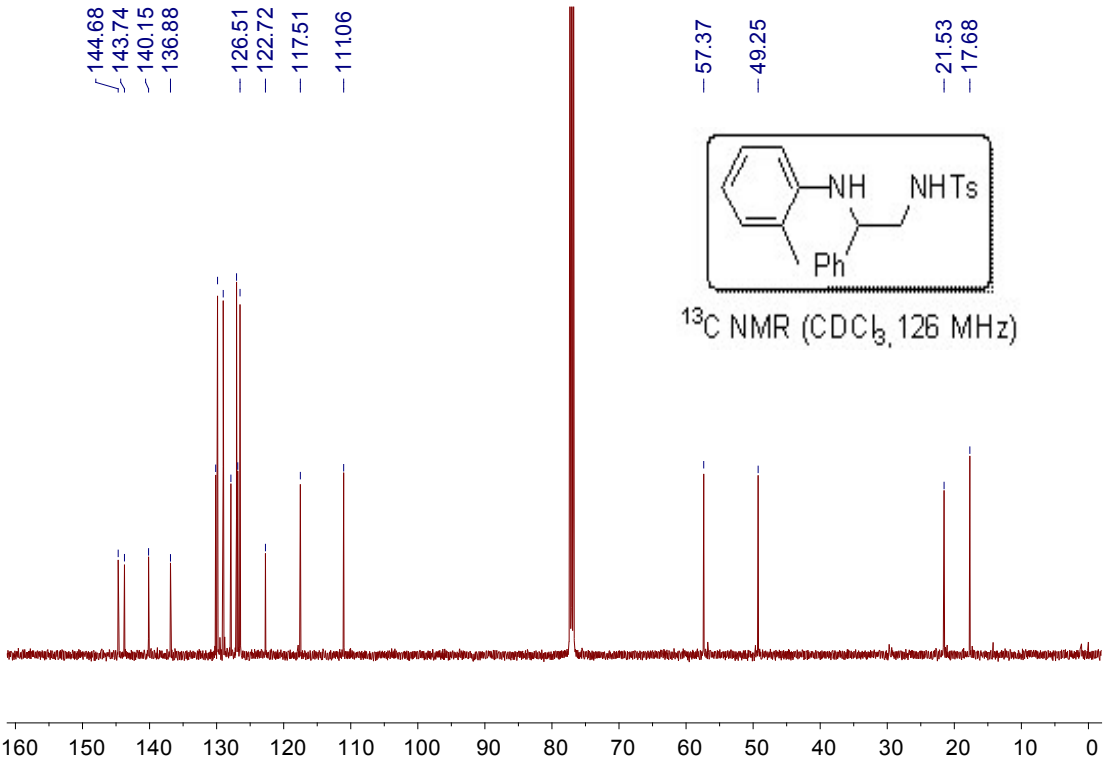
7.71
7.31
6.90
6.61
6.25
6.24
4.78
4.57
4.54
4.46
3.36
3.29
3.27
3.26
3.25
3.23
2.41
2.25
NH
NHTs
Ph
^{1}H NMR (CDCl$_3$, 500 MHz)
2.06
7.37
1.07
1.01
1.03
1.00
1.00
0.90
1.19
1.09
1.00
3.05
3.01
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0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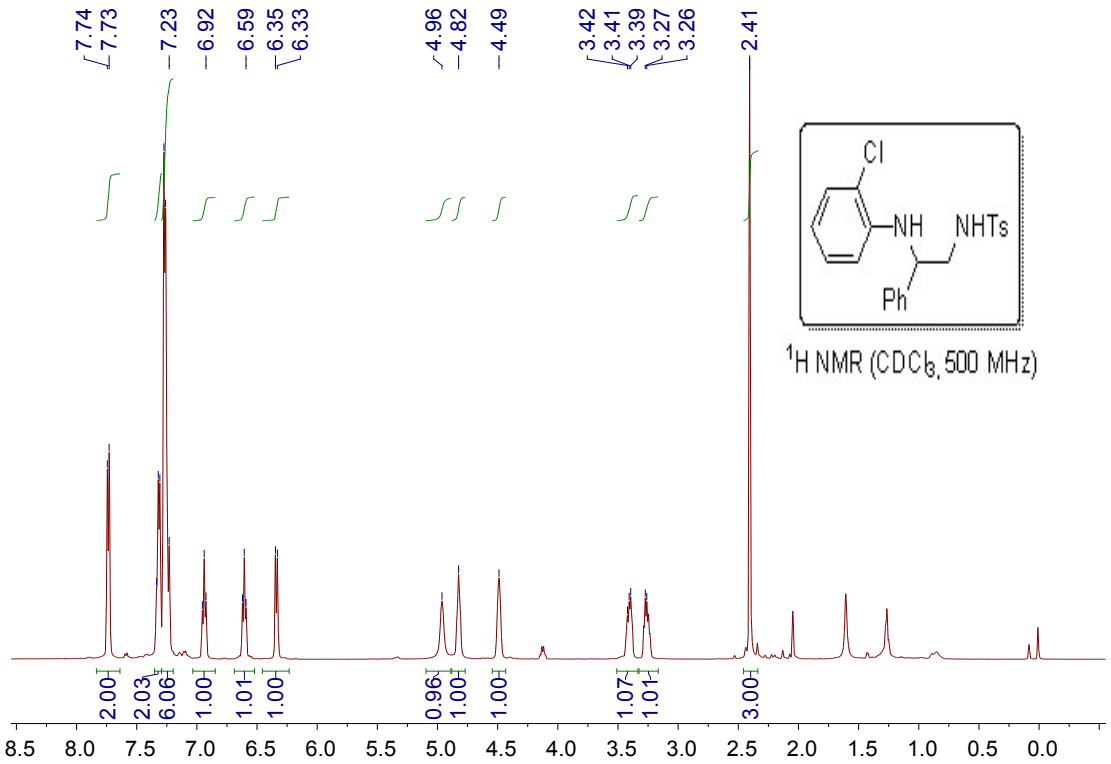
143.74
140.15
136.88
126.51
122.72
117.51
111.06
57.37
49.25
21.53
17.68
NH
NHTs
Ph
^{13}C NMR (CDCl$_3$, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0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7.73
7.23
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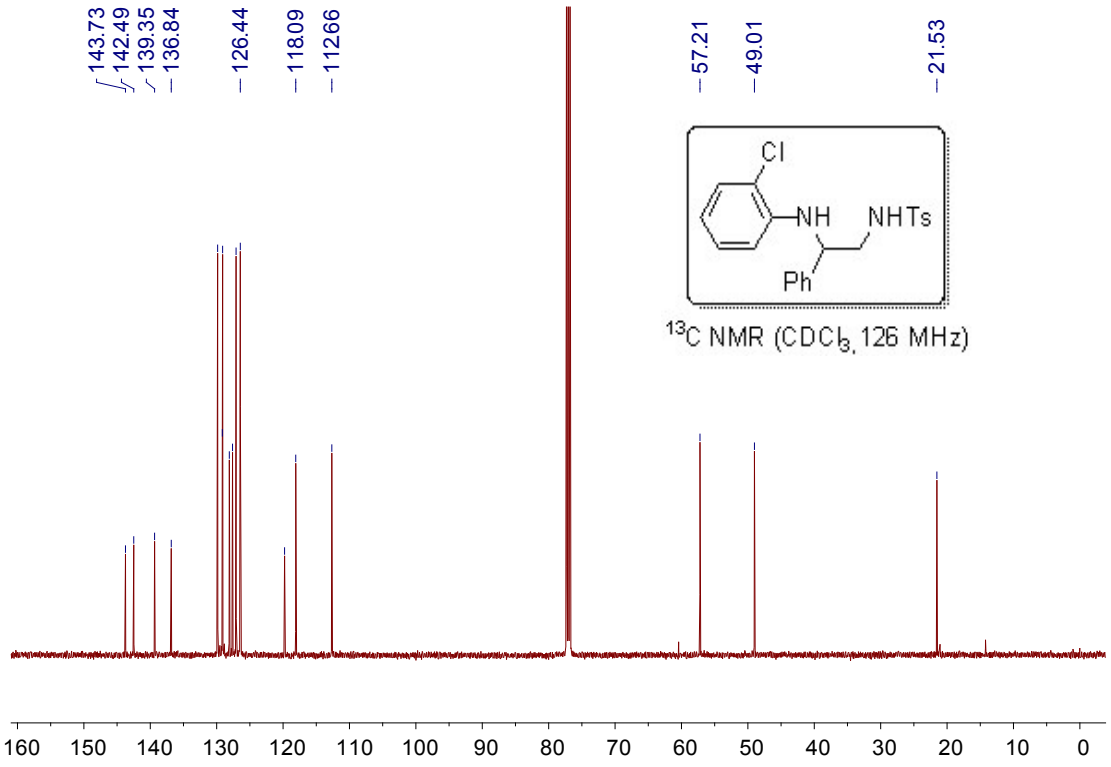
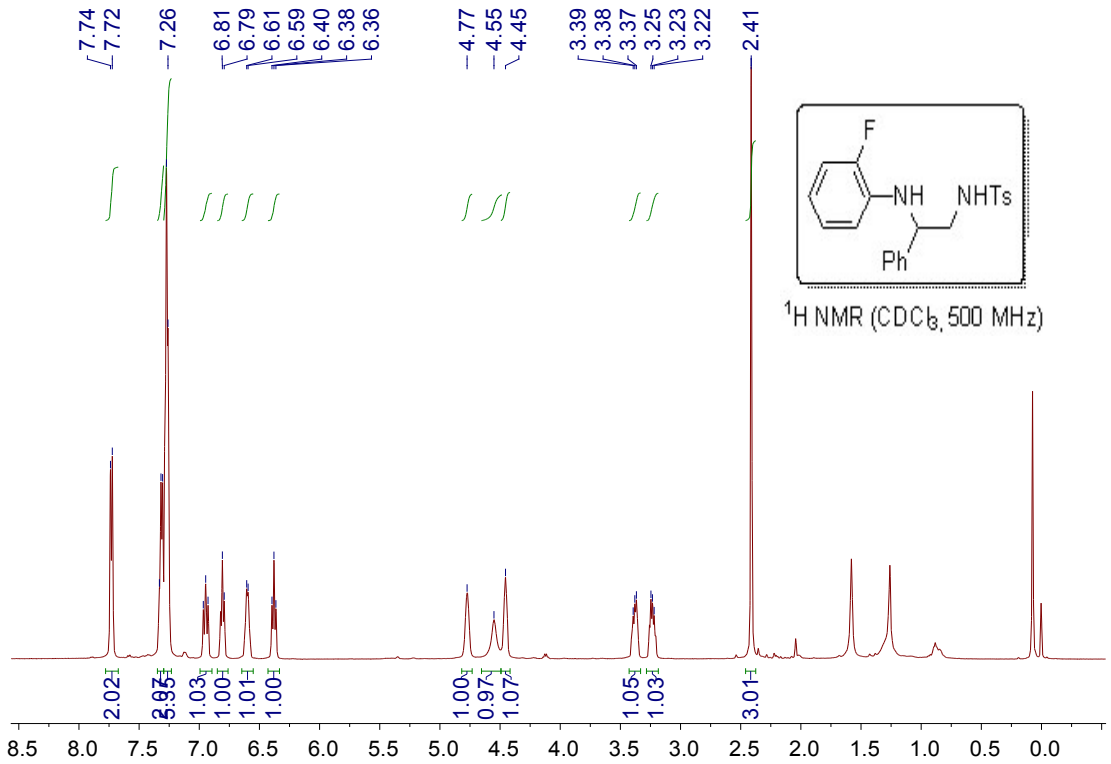
6.59
6.35
6.33
4.96
4.82
4.49
3.42
3.41
3.39
3.27
3.26
2.41
Cl
NH
NHTs
Ph
^{1}H NMR ($CDCl_3$, 500 MHz)
2.00
2.03
6.06
1.00
1.01
1.00
0.96
1.00
1.00
1.07
1.01
3.00
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0


143.73
142.49
139.35
136.84
126.44
118.09
112.66
57.21
49.01
21.53
Cl
NH
NHTs
Ph
^{13}C NMR ($CDCl_3$, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0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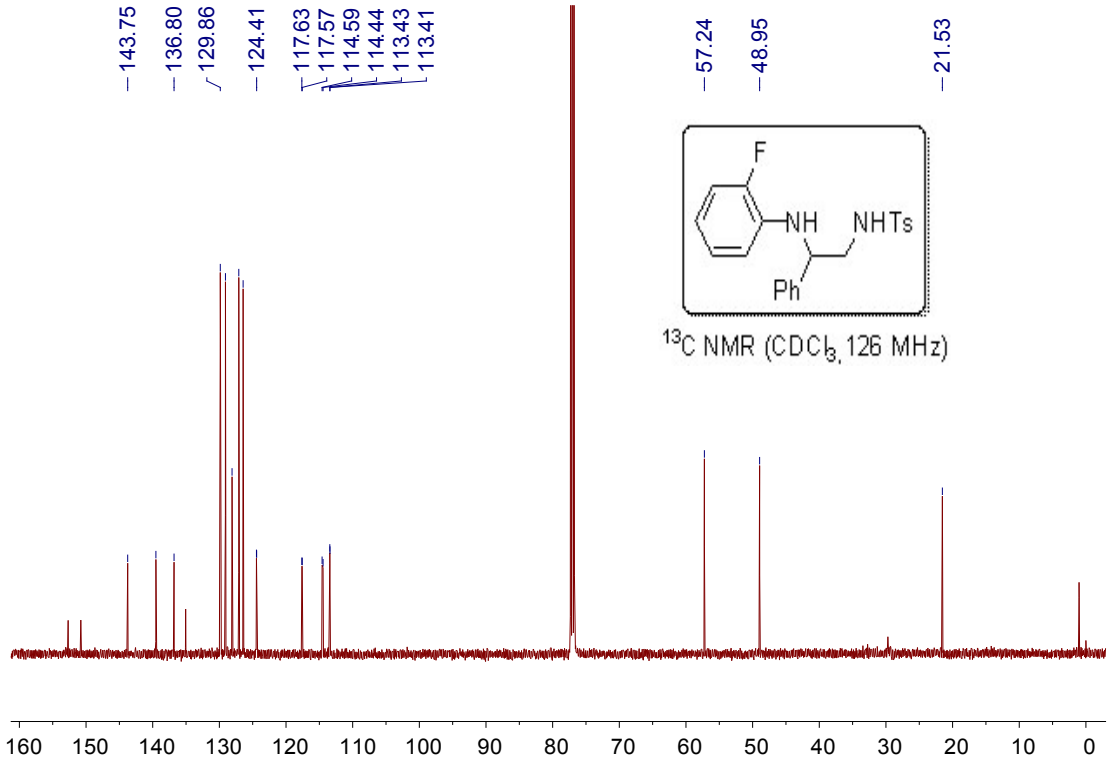
6.61
6.59
6.40
6.38
6.36
4.77
4.55
4.45
3.39
3.38
3.37
3.25
3.23
3.22
2.41
F
NH
NHTs
Ph
1H NMR (CDCl3, 500 MHz)
2.02
1.03
1.00
1.01
1.00
1.00
0.97
1.07
1.05
1.03
3.01
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0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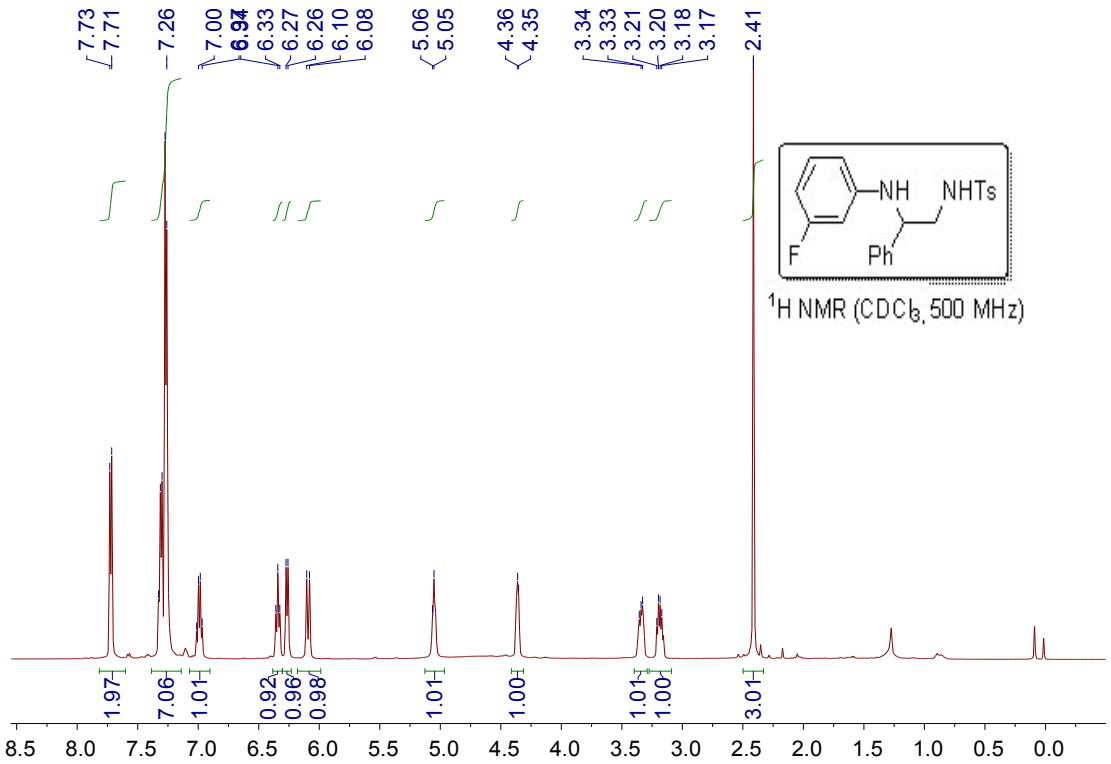
124.41
117.63
117.57
114.59
114.44
113.43
113.41
57.24
48.95
21.53
F
NH
NHTs
Ph
13C NMR (CDCl3, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0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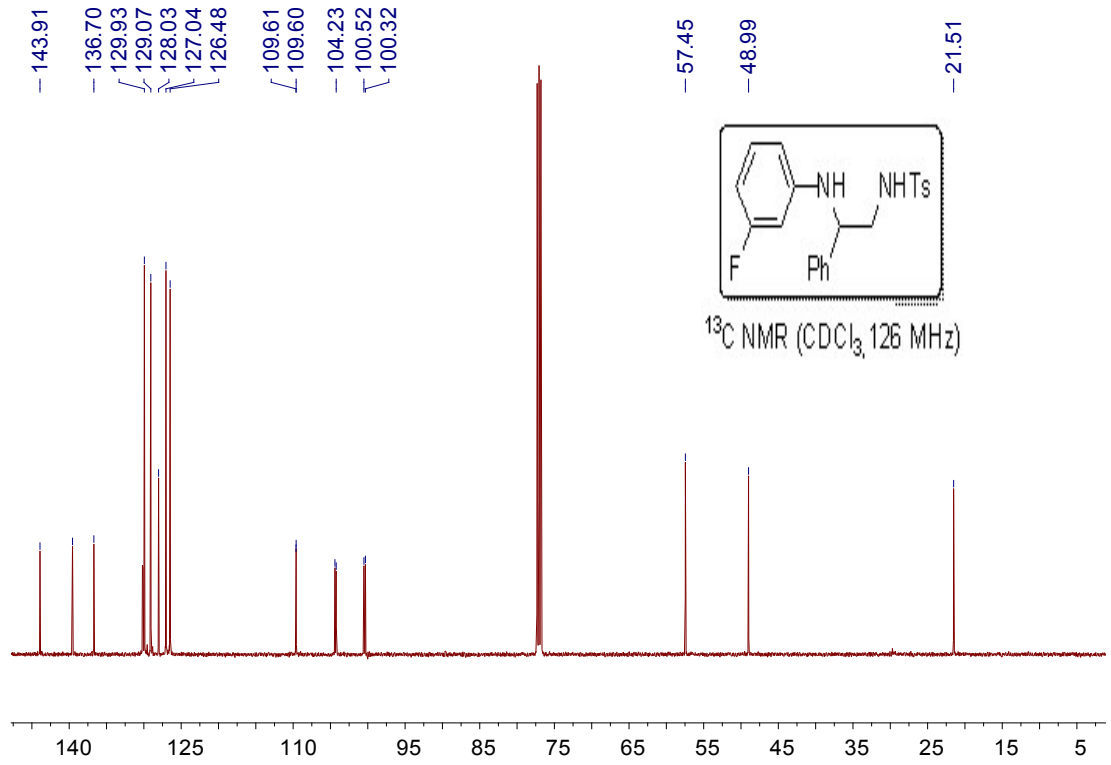
6.94
6.33
6.27
6.26
6.10
6.08
5.06
5.05
4.36
4.35
3.34
3.33
3.21
3.20
3.18
3.17
2.41
NH
NHTs
F
Ph
1H NMR (CDCl3, 500 MHz)
1.97
7.06
1.01
0.92
0.96
0.98
1.01
1.00
1.01
1.00
3.01
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0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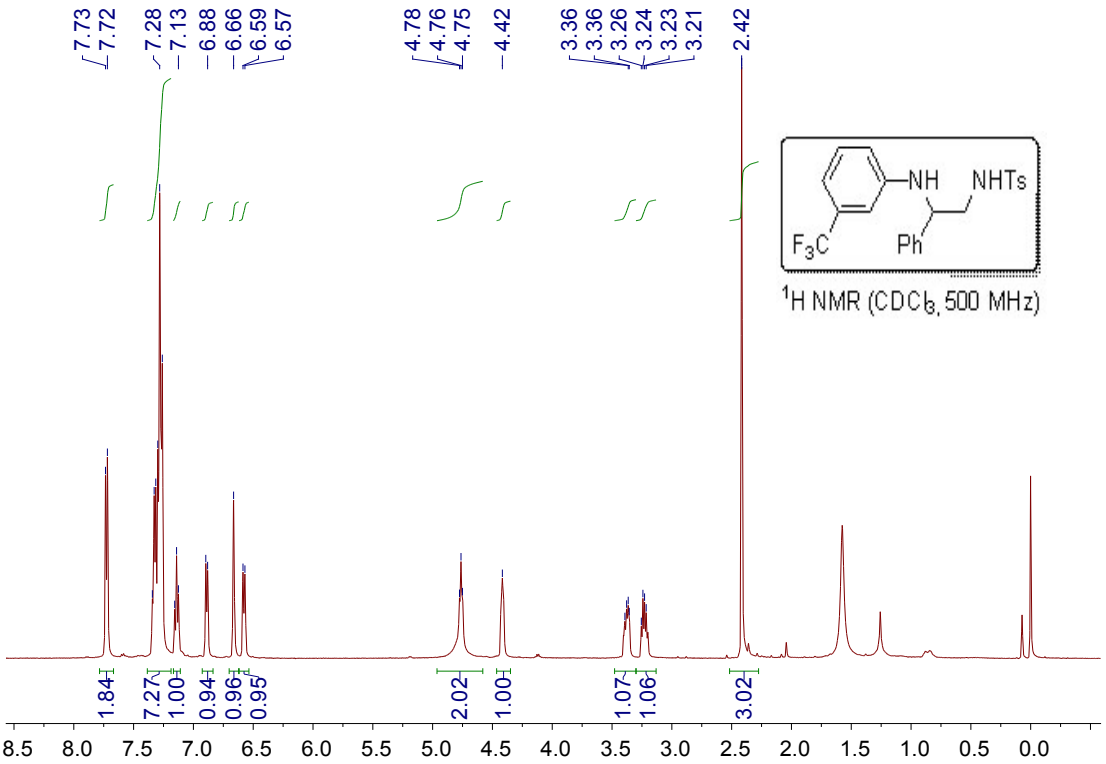
127.04
126.48
109.61
109.60
104.23
100.52
100.32
57.45
48.99
21.51
NH
NHTs
F
Ph
13C NMR (CDCl3, 126 MHz)
140 125 110 95 85 75 65 55 45 35 25 15 5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6.59
6.57
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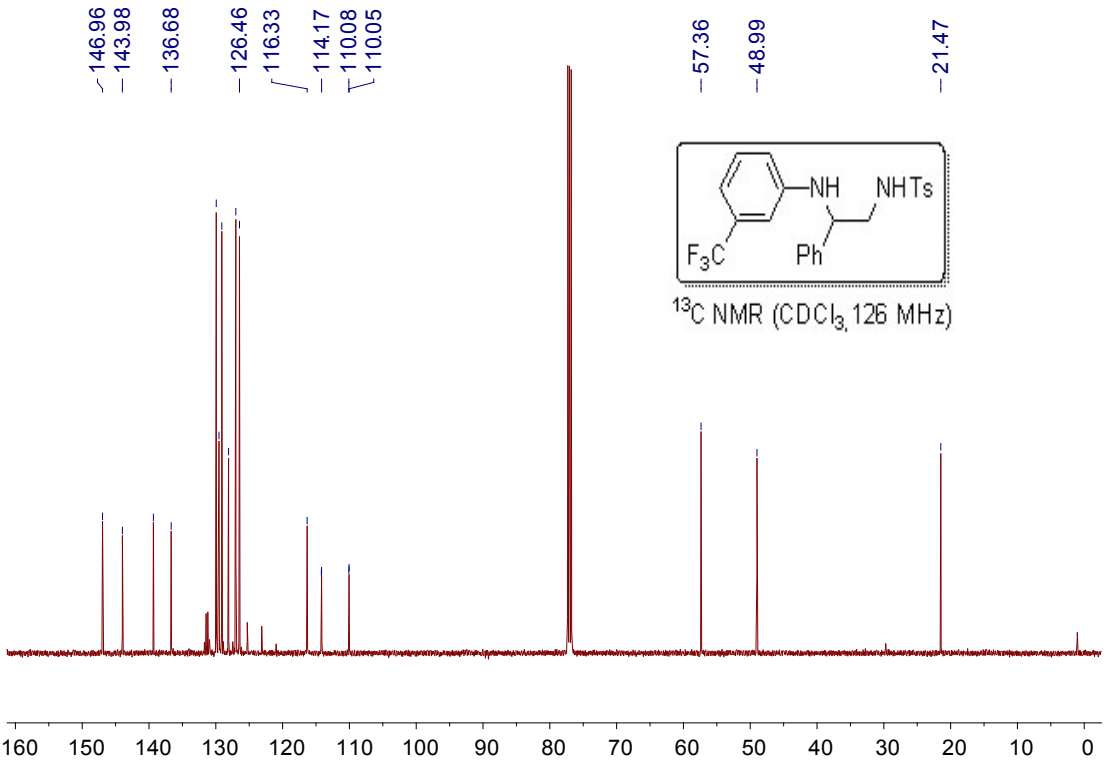
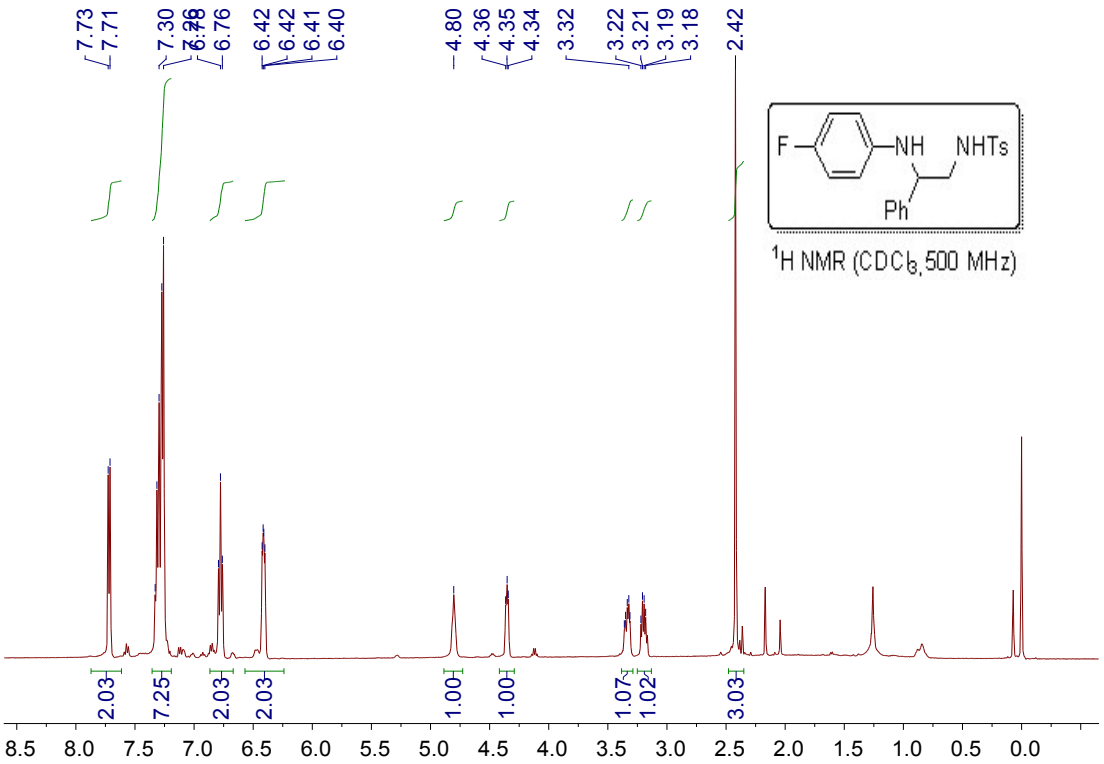
4.76
4.75
4.42
3.36
3.36
3.26
3.24
3.23
3.21
2.42
NH
NHTs
F3C
Ph
1H NMR (CDCl3, 500 MHz)
1.84
7.27
1.00
0.94
0.96
0.95
2.02
1.00
1.07
1.06
3.02
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0


146.96
143.98
136.68
126.46
116.33
114.17
110.08
110.05
57.36
48.99
21.47
NH
NHTs
F3C
Ph
13C NMR (CDCl3, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0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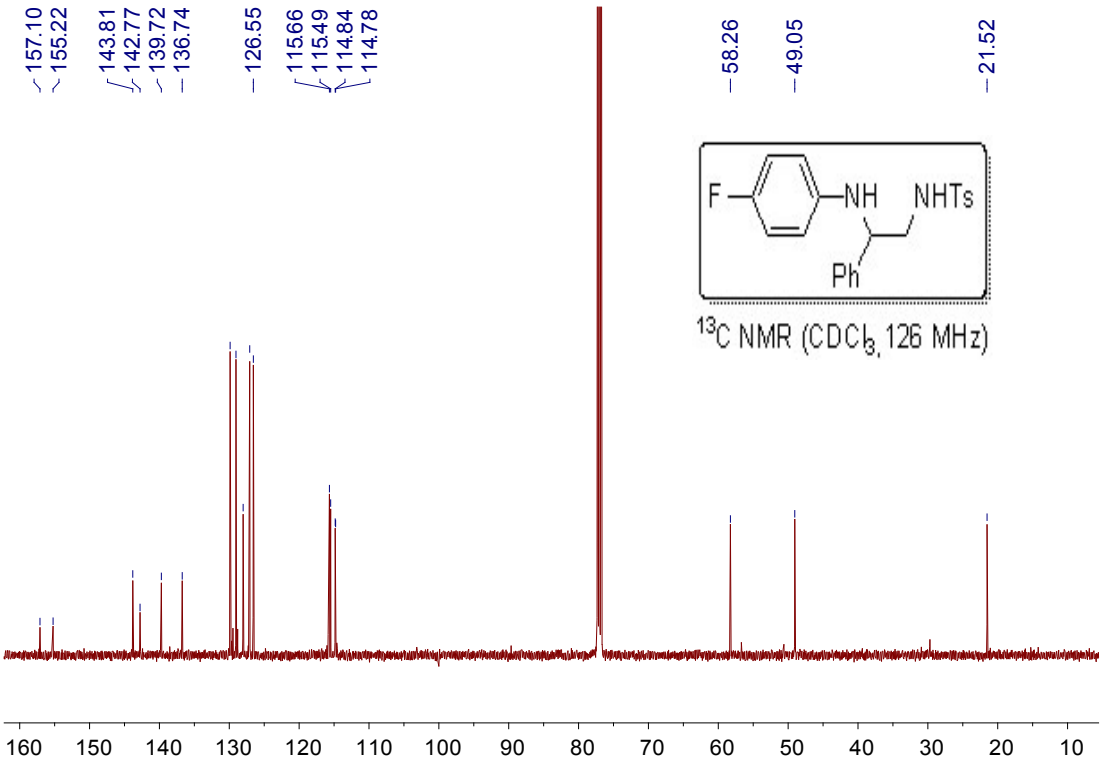
7.71
7.30
6.76
6.42
6.42
6.41
6.40
4.80
4.36
4.35
4.34
3.32
3.22
3.21
3.19
3.18
2.42
F
NH
NHTs
Ph
^{1}H NMR (CDCl$_3$, 500 MHz)
2.03
7.25
2.03
2.03
1.00
1.00
1.07
1.02
3.03
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0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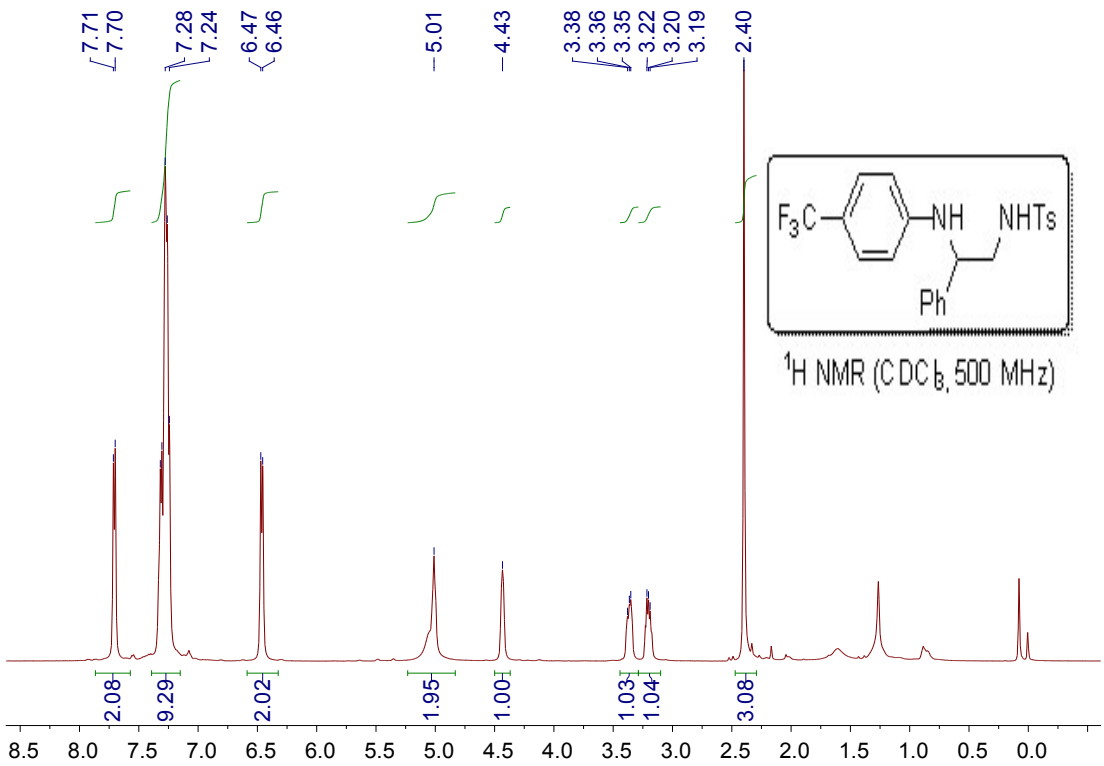
136.74
126.55
115.66
115.49
114.84
114.78
58.26
49.05
21.52
F
NH
NHTs
Ph
^{13}C NMR (CDCl$_3$, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10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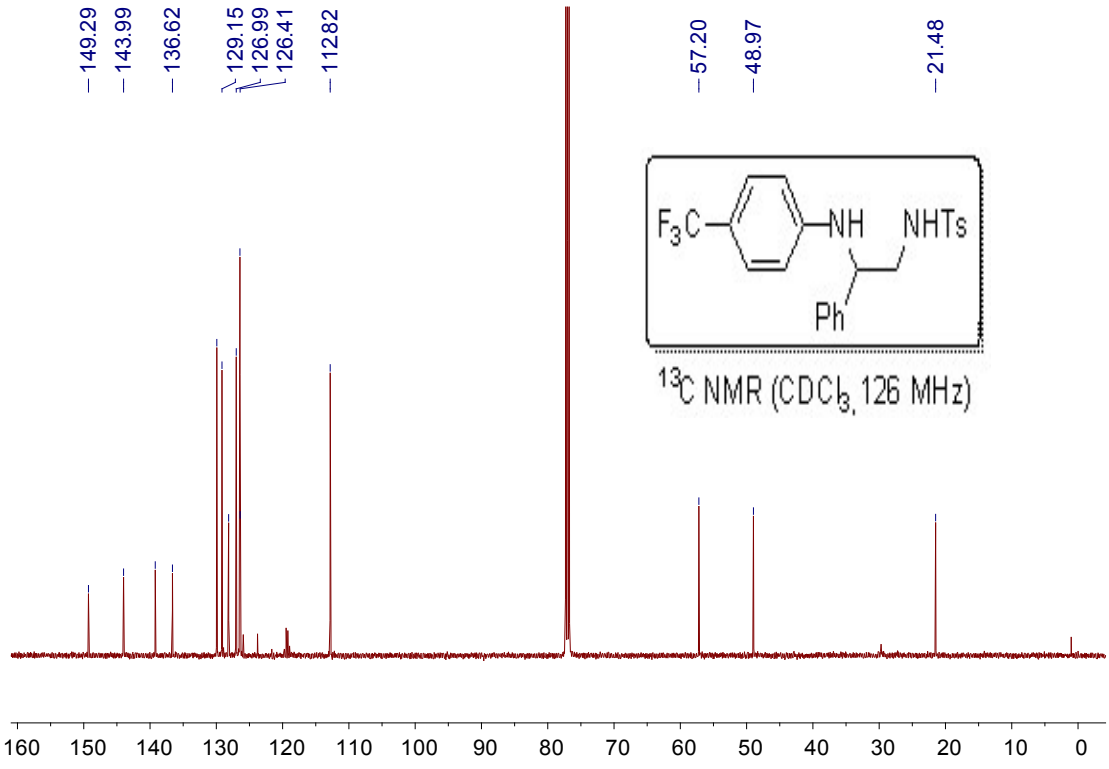
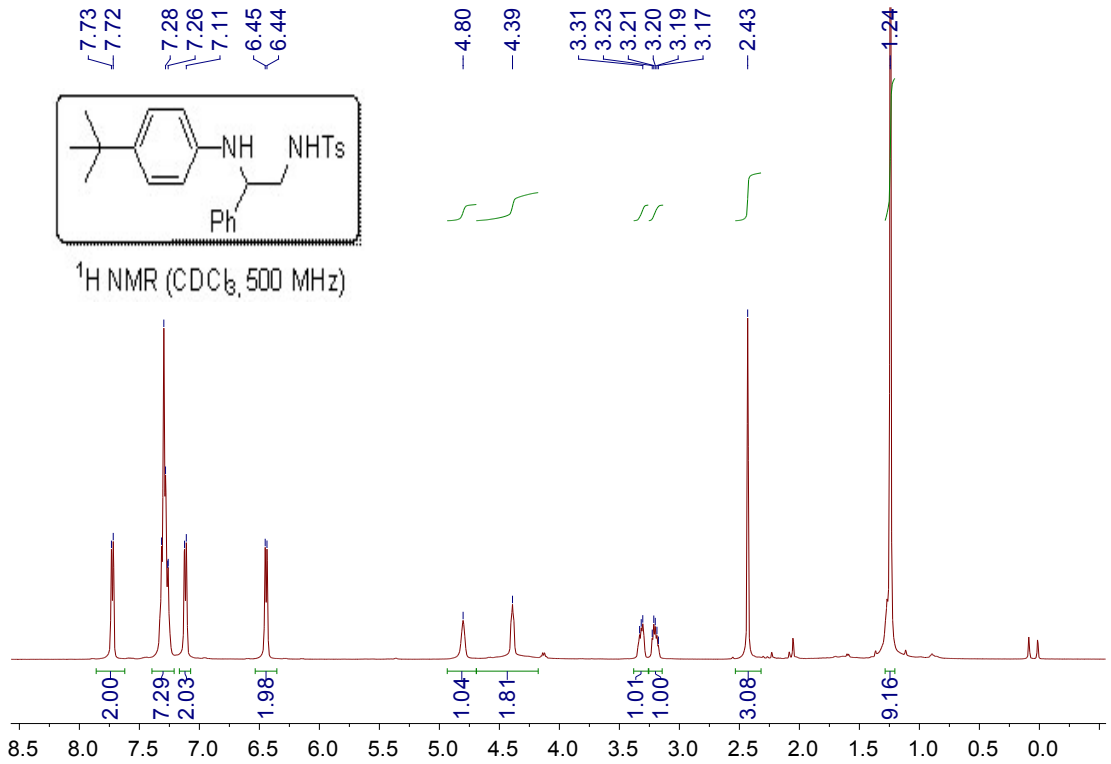
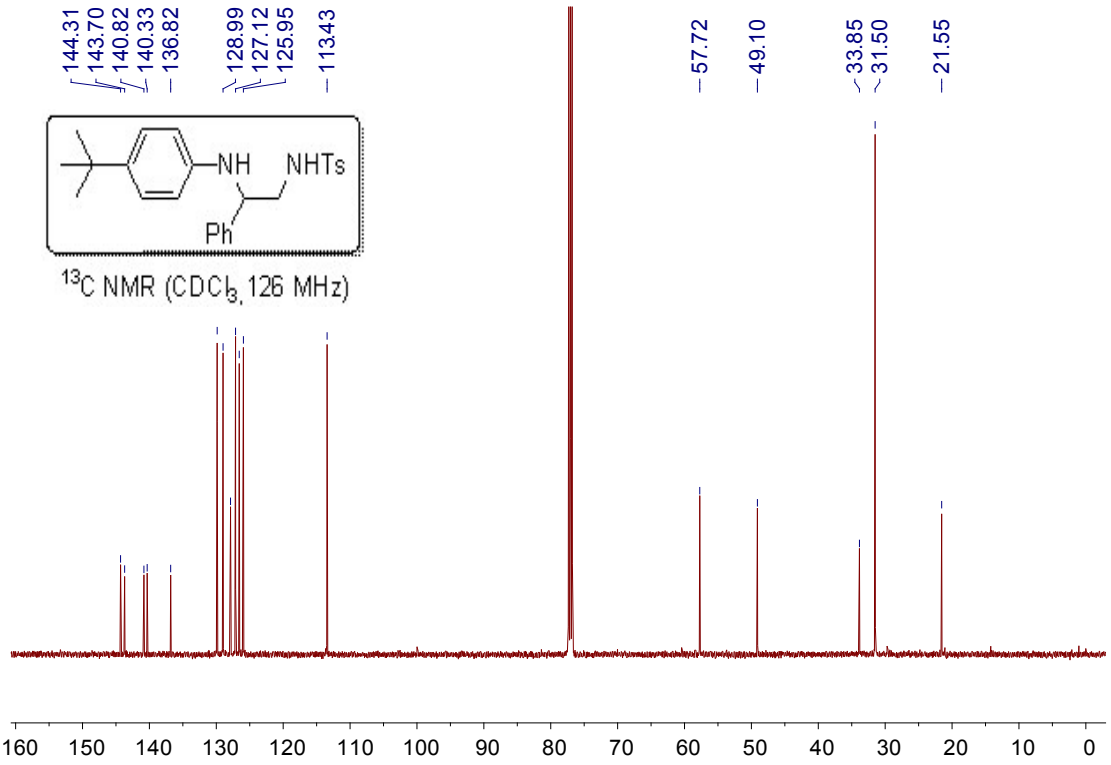
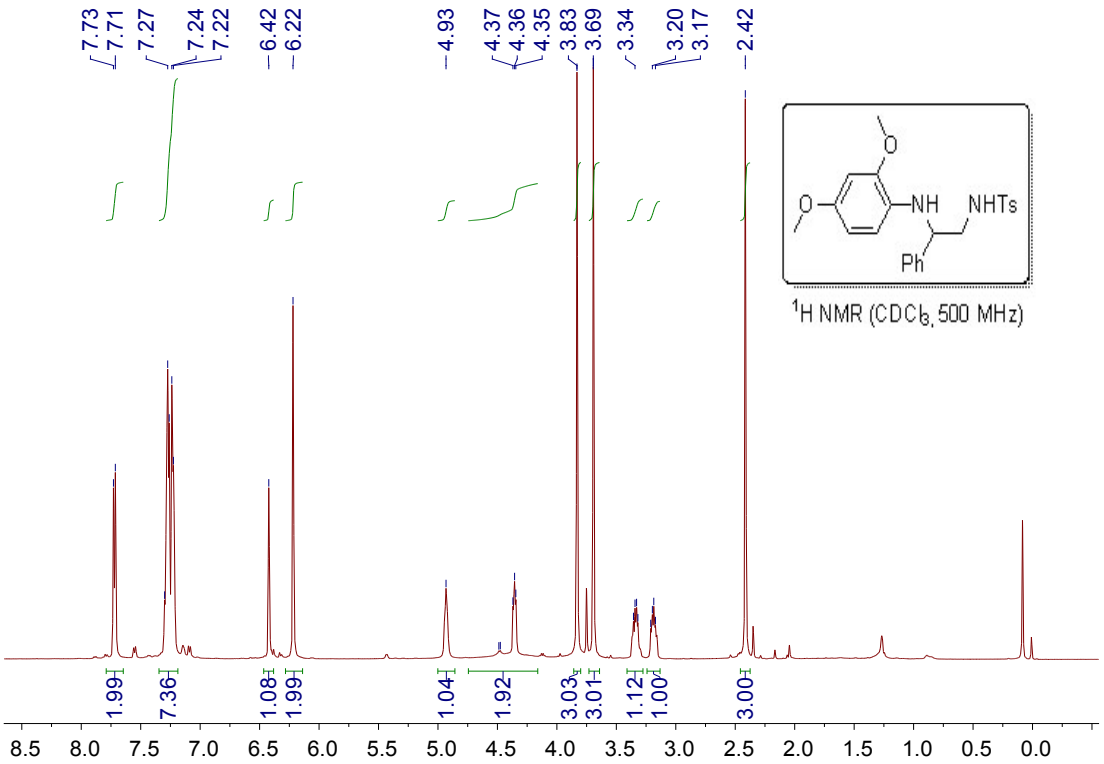
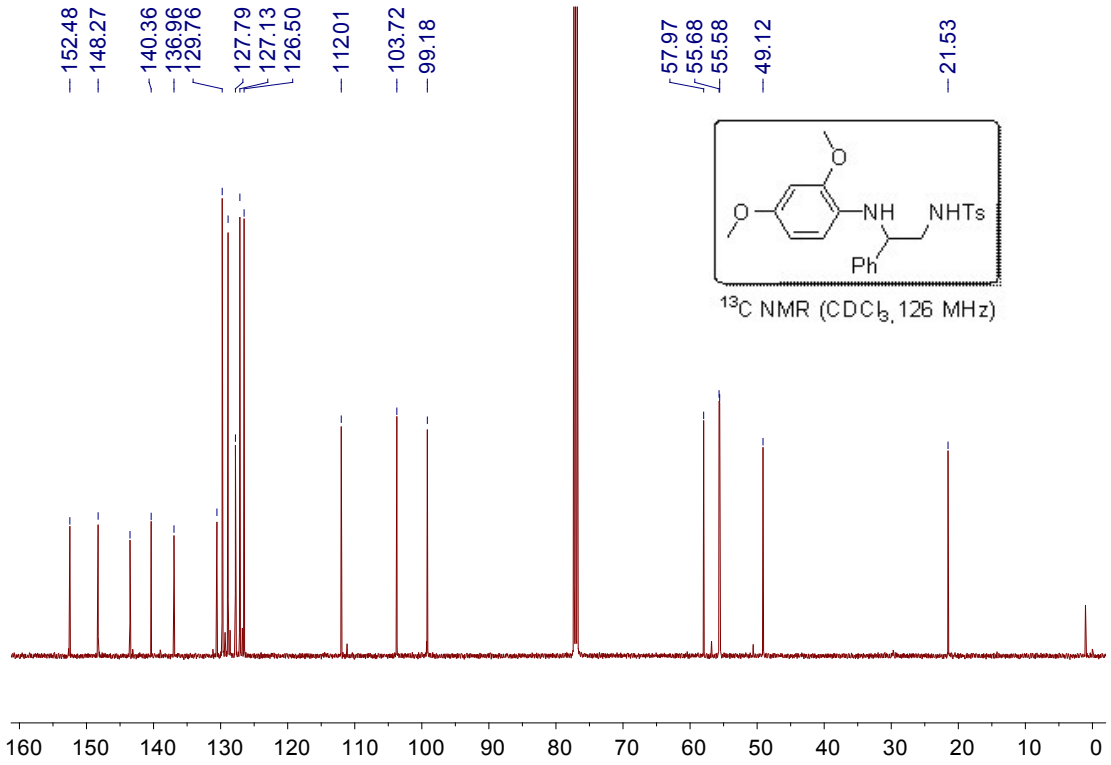
7.70
7.28
7.24
6.47
6.46
5.01
4.43
3.38
3.36
3.35
3.22
3.20
3.19
2.40
F3C
NH
NHTs
Ph
1H NMR (CDCl3, 500 MHz)
2.08
9.29
2.02
1.95
1.00
1.03
1.04
3.08
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0

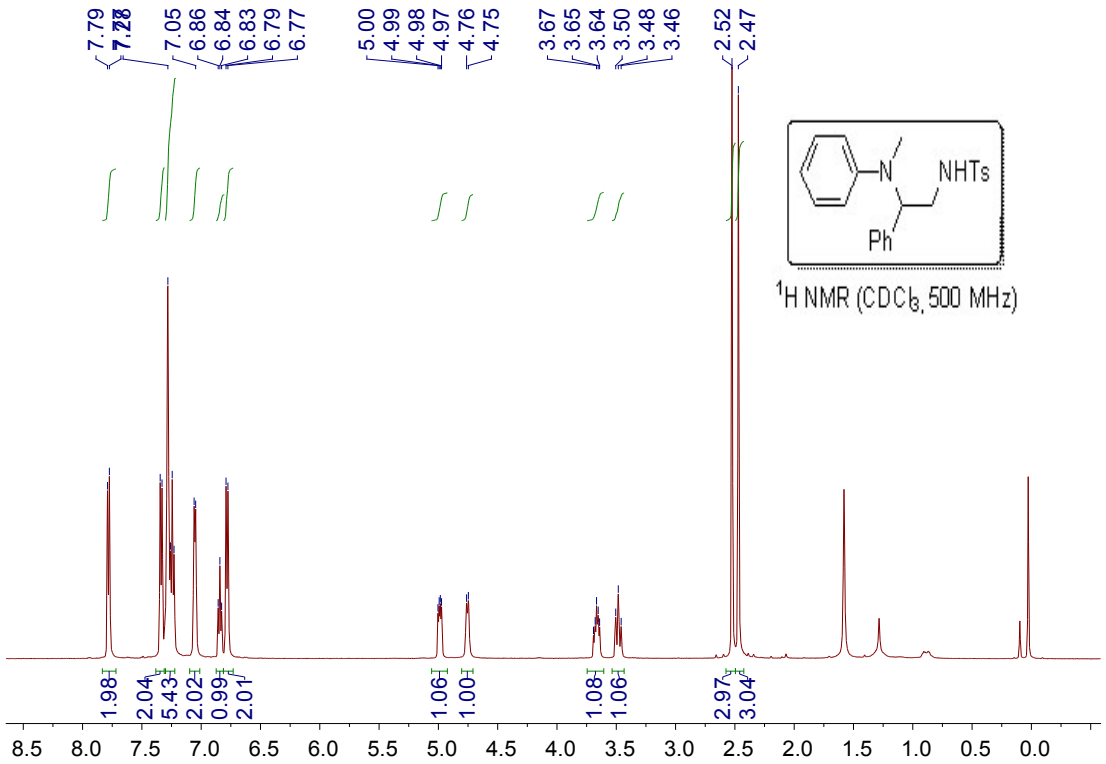

149.29
143.99
136.62
129.15
126.99
126.41
112.82
57.20
48.97
21.48
F3C
NH
NHTs
Ph
13C NMR (CDCl3, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0

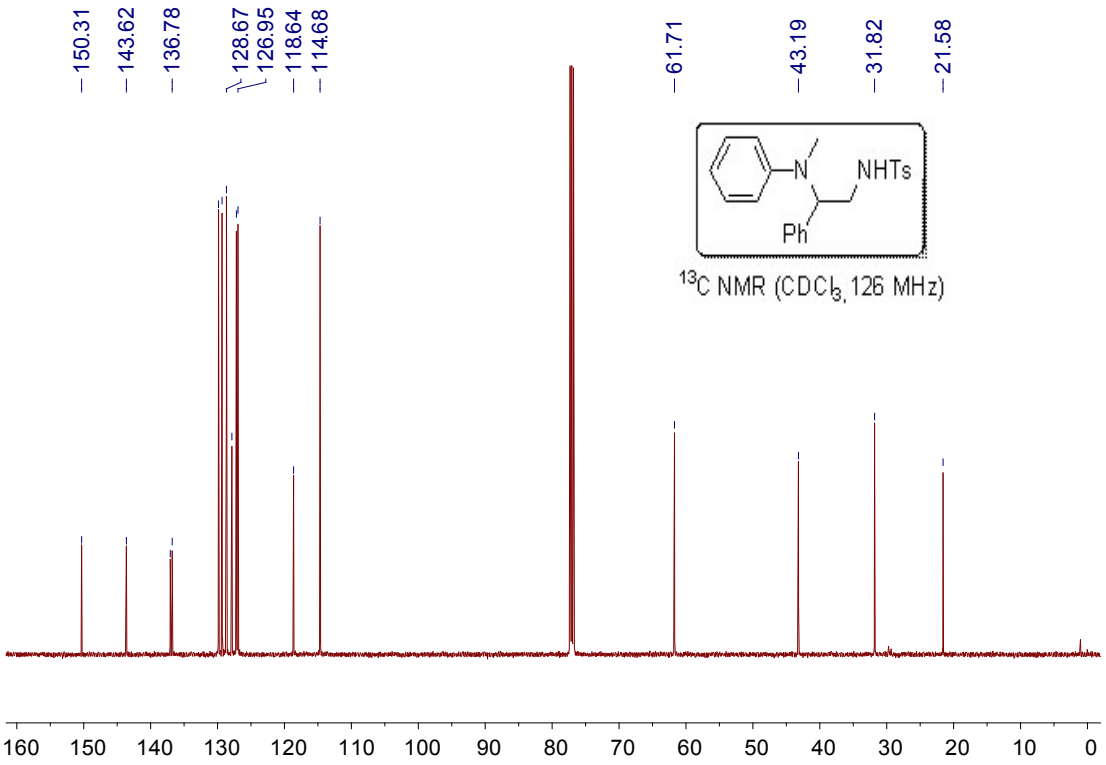

7.73
7.72
7.28
7.26
7.11
6.45
6.44
4.80
4.39
3.31
3.23
3.21
3.20
3.19
3.17
2.43
1.24
NH
NHTs
Ph
1H NMR (CDCl3, 500 MHz)
2.00
7.29
2.03
1.98
1.04
1.81
1.01
1.00
3.08
9.16
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0

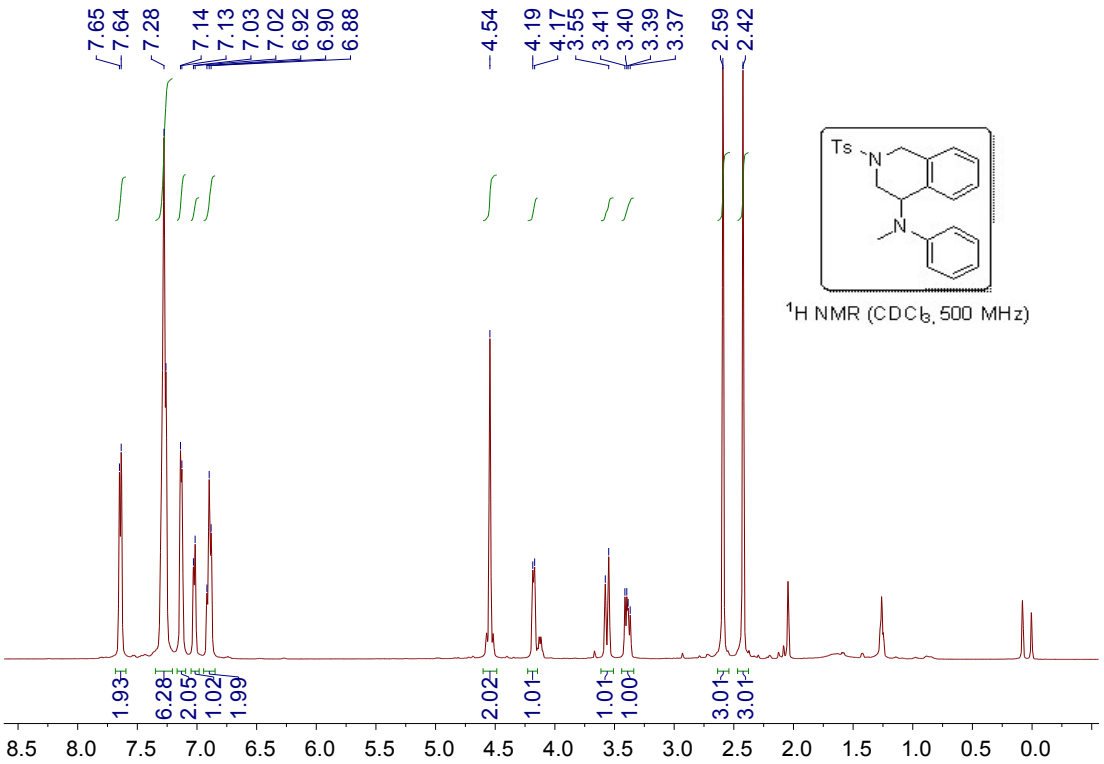

144.31
143.70
140.82
140.33
136.82
128.99
127.12
125.95
113.43
57.72
49.10
33.85
31.50
21.55
NH
NHTs
Ph
13C NMR (CDCl3, 126 MHz)
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0

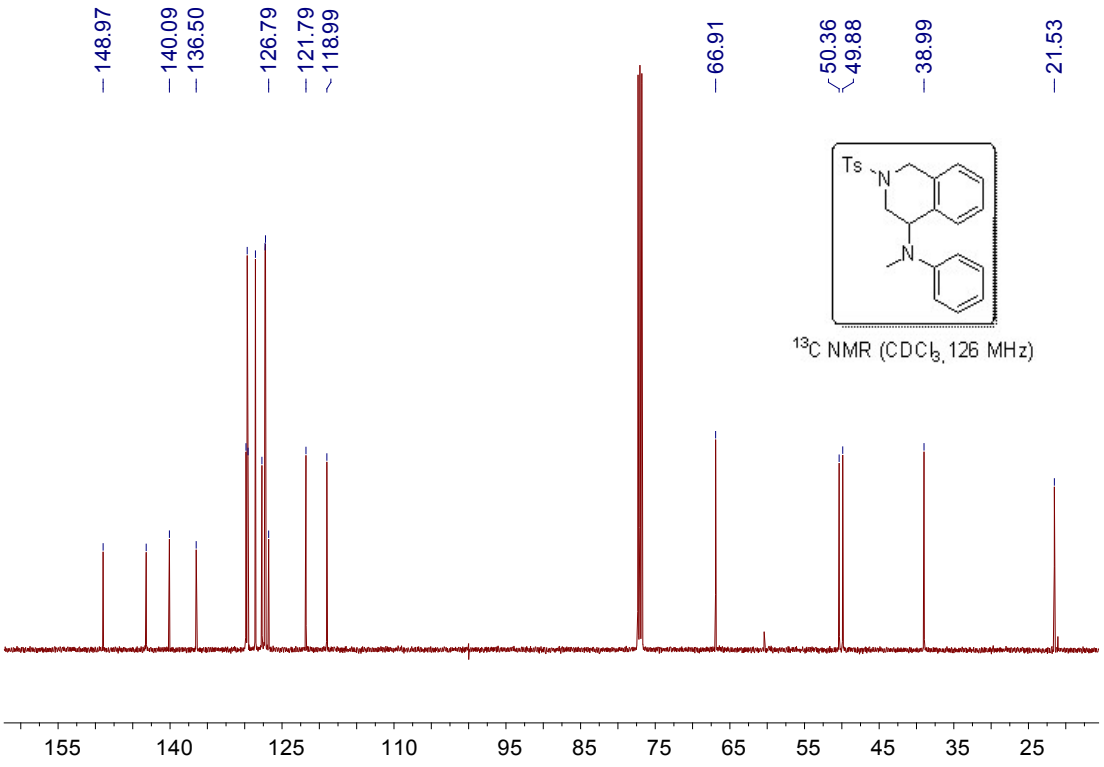

7.73
7.71
7.27
7.24
7.22
6.42
6.22
4.93
4.37
4.36
4.35
3.83
3.69
3.34
3.20
3.17
2.42
1.99
7.36
1.08
1.99
1.04
1.92
3.03
3.01
1.12
1.00
3.00
O
O
NH
NHTs
Ph
1H NMR (CDCl3, 500 MHz)
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0


152.48
148.27
140.36
136.96
129.76
127.79
127.13
126.50
112.01
103.72
99.18
57.97
55.68
55.58
49.12
21.53
O
O
NH
NHTs
Ph
13C NMR (CDCl3, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0


7.79
7.78
7.77
7.28
7.05
6.86
6.84
6.83
6.79
6.77
5.00
4.99
4.98
4.97
4.76
4.75
3.67
3.65
3.64
3.50
3.48
3.46
2.52
2.47
NHTs
Ph
1H NMR (CDCl3, 500 MHz)
1.98
2.04
5.43
2.02
0.99
2.01
1.06
1.00
1.08
1.06
2.97
3.04
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0


150.31
143.62
136.78
128.67
126.95
118.64
114.68
61.71
43.19
31.82
21.58
NHTs
Ph
13C NMR (CDCl3, 126 MHz)
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0


7.65
7.64
7.28
7.14
7.13
7.03
7.02
6.92
6.90
6.88
4.54
4.19
4.17
3.55
3.41
3.40
3.39
3.37
2.59
2.42
Ts
N
N
1H NMR (CDCl3, 500 MHz)
1.93
6.28
2.05
1.02
1.99
2.02
1.01
1.01
1.00
3.01
3.01
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0


148.97
140.09
136.50
126.79
121.79
118.99
66.91
50.36
49.88
38.99
21.53
Ts
N
N
13C NMR (CDCl3, 126 MHz)
155 140 125 110 95 85 75 65 55 45 35 25