Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

3-Component Synthesis of α-substituted Sulfonamides via Brønsted Acid-Catalyzed C(sp^3)–H Bond Functionalization of 2-Alkylazaarenes

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Supporting Information

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1 General Information

Experimental methods. All reactions were performed without any precautions to exclude ambient air or moisture. Thin layer chromatography (TLC) was performed on precoated aluminium sheets (TLC silica gel 60 F_{254}). The spots were visualized by ultraviolet light, iodine or Cerium(IV) ammonium molybdate. Flash column chromatography was performed using Silica 60 (0.04–0.063 mm, 230–400 mesh) and the respectively specified solvent mixture. All yields refer to isolated yields of compounds estimated to be >95% pure as determinded by ^{1}H NMR.

Materials. Unless otherwise indicated, all starting materials were purchased from different commercial sources and used without further purification. Solvents for reactions were obtained from commercial suppliers in p.a. purity and used as received. Solvents for flash column chromatography were technical standard. 2,4,6-Triisopropylbenzenesulfonamide, 4-nitrobenzenesulfonamide, 4-flourobenzenesulfonamide, 4-bromobenzene-sulfonamide, 4-*tert*-butylbenzenesulfonamide, naphthalene-2-sulfonamide, thiophene-2-sulfonamide and propane-1-benzenesulfonamide were prepared according to previously reported procedures.[1]

Analytical Data and Instrumentation:

Melting points. Melting Points are uncorrected.

NMR spectroscopy. Proton nuclear magnetic resonance spectra (^{1}H NMR) and carbon spectra (^{13}C NMR) were recorded at a frequency of 500 MHz (^{1}H) or 126 MHz (^{13}C). Chemical shifts are expressed as parts per million downfield shift on the δ scale and are referenced to the solvent peak ($CDCl_3$: δ = 7.26 ppm for ^{1}H, δ = 77.16 ppm for ^{13}C). ^{19}F NMR spectra were recorded proton decoupled at a frequency of 282 MHz. Chemical shifts are quoted in parts per million and are not referenced. Coupling constants (*J*) are quoted in Hz and the observed signal multipicities are reported as follows: s = singlet, d = doublet, t = triplet, q =quartet, m = multiplet, br = broad and combination of these like dd = doublet of doublets, ddd = doublet of doublets of doublets, dtd = doublet of triplets of doublets.

Mass spectrometry. Mass spectra (MS) were measured using ESI (electrospray ionization) techniques. High resolution mass spectra (HRMS) were measured using MALDI (matrix-assisted laser desorption/ionization) techniques.

Infrared spectroscopy. Infrared spectra (IR) were recorded on a FT-IR (Fourier transform infrared spectroscopy) spectrometer including a diamond universal ATR sampling technique (attenuated total reflectance) from 4000–400 cm^{-1}. The absoption bands were reported in wave numbers (cm^{-1}).

2 General Procedures

TP 1: Typical Procedure for the Sulfonamide Variation

A 10 mL Pyrex® culture tube with PTFE lined screw cap was charged with a magnetic stirring bar, sulfonamide (1.0 equiv, 0.5 mmol), benzaldehyde (1.2 equiv, 0.6 mmol, 0.06 mL), 2,6-dimethylpyridine (2.5 equiv, 1.25 mmol, 0.15 mL), Amberlyst-15 (10 mg) or (1s)-(+)-10-camphorsulfonic acid (5 mol%, 0.025 mmol, 5.8 mg) and tetrahydofurane (2.5 M referring to sulfonamide, 0.2 mL) as solvent. Then the tube was closed and the resulting reaction mixture was stirred at 120 °C for 24 h. After cooling to room temperature the reaction mixture was diluted with ethyl acetate and filtered through a short plug of celite and silica gel. The filter pad was rinsed with additional ethyl acetate and the combined filtrates were concentrated under reduced pressure. Purification of the crude residue by flash column chromatography afforded the analytically pure product.

TP 2: Typical Procedure for the Aryl Aldehyde Variation

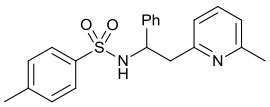
A 10 mL Pyrex® culture tube with PTFE lined screw cap was charged with a magnetic stirring bar, *p*-toluenesulfonamide (1.0 equiv, 0.5 mmol, 85.6 mg), aldehyde (1.2 equiv, 0.6 mmol), 2,6-dimethylpyridine (2.5 equiv, 1.25 mmol, 0.15 mL), Amberlyst-15 (10 mg) or (1s)-(+)-10-camphorsulfonic acid (5 mol%, 0.025 mmol, 5.8 mg) and tetrahydrofurane (2.5 M referring to sulfonamide, 0.2 mL) as solvent. Then the tube was closed and the resulting reaction mixture was stirred at 120 °C for 24 h. After cooling to room temperature the reaction mixture was diluted with ethyl acetate and filtered through a short plug of celite and silica gel. The filter pad was rinsed with additional ethyl acetate and the combined filtrates were concentrated under reduced pressure. Purification of the crude residue by flash column chromatography afforded the analytically pure product.

TP 3: Typical Procedure for the Alkyl Azaarene Variation

A 10 mL Pyrex® culture tube with PTFE lined screw cap was charged with a magnetic stirring bar, *p*-toluenesulfonamide (1.0 equiv, 0.5 mmol, 85.6 mg), benzaldehyde (1.2 equiv, 0.6 mmol, 0.06 mL), alkyl azaarene (2.5 equiv, 1.25 mmol), Amberlyst-15 (10 mg) or (1s)-(+)-10-camphorsulfonic acid (5 mol%, 0.025 mmol, 5.8 mg) and tetrahydrofurane (2.5 M referring to sulfonamide, 0.2 mL) as solvent. Then the tube was closed and the resulting reaction mixture was stirred at 120 °C for 24 h. After cooling to room temperature the reaction mixture was diluted with ethyl acetate and filtered through a short plug of celite and silica gel. The filter pad was rinsed with additional ethyl acetate and the combined filtrates were concentrated under reduced pressure. Purification of the crude residue by flash column chromatography afforded the analytically pure product.

3 Preparation and Analytical Data of the 3-Component Reaction Products

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-phenylethyl)benzenesulfonamide (4a).



Prepared from *p*-toluenesulfonamide (1.0 equiv, 0.5 mmol, 85.6 mg) according to TP 1 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (147 mg, 80%).

R_f (*n*-hexane/EtOAc 1:1): 0.7.

m.p.: 99–100 °C.

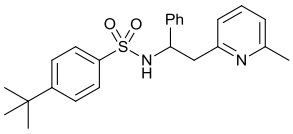
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.54 – 7.49 (m, 1H), 7.47–7.42 (m, 2H), 7.43–7.36 (m, 1H), 7.21–7.09 (m, 5H), 7.06 (d, *J* = 8.0 Hz, 2H), 7.00 (d, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 6.7 Hz, 1H), 4.58 (td, *J* = 6.4, 4.3 Hz, 1H), 2.99 (d, *J* = 5.5 Hz, 2H), 2.56 (s, 3H), 2.33 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 157.1, 142.7, 141.2, 137.7, 137.4, 129.2, 128.33, 127.4, 127.1, 126.9, 121.7, 121.1, 58.2, 43.8, 24.5, 21.6.

MS (ESI) m/z: Calcd for $C_{21}H_{22}N_2O_2S$ 366.14; Found 367.12 $[M + H]^+$.

Analytical data are consistent with literature.[2]

4-(*tert*-Butyl)-*N*-(2-(6-methylpyridin-2-yl)-1-phenylethyl)benzenesulfonamide (4b).



Prepared from 4-*tert*-butylbenzenesulfonamide (1.0 equiv, 0.5 mmol, 106.7 mg) according to TP 1 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (142 mg, 70%).

R_f (*n*-hexane/EtOAc 1:1): 0.6.

m.p.: 103–104 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.49 (d, *J* = 1.9 Hz, 1H), 7.47–7.43 (m, 2H), 7.40 (t, *J* = 7.5 Hz, 1H), 7.25–7.20 (m, 2H), 7.16–7.08 (m, 5H), 7.00 (d, *J* = 7.7 Hz, 1H), 6.74 (d, *J* = 7.2 Hz, 1H), 4.60 (td, *J* = 6.7, 4.0 Hz, 1H), 2.98 (d, *J* = 4.7 Hz, 2H), 2.59 (s, 3H), 1.27 (s, 9H).

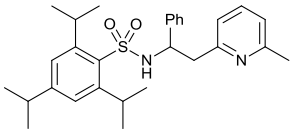
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.82, 157.09, 155.57, 141.07, 137.57, 137.40, 128.28, 127.34, 126.92, 126.90, 125.51, 121.74, 121.00, 58.27, 43.91, 35.05, 31.20, 24.53.

MS (ESI) m/z: Calcd for $C_{24}H_{28}N_2O_2S$ 408.19; Found 409.15 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{29}N_2O_2S$ 409.1944; Found 409.1943.

IR (ATR): 3256, 1592, 1456, 1316, 1147, 1057, 988, 839, 760, 699, 586, 509.

2,4,6-Triisopropyl-*N*-(2-(6-methylpyridin-2-yl)-1-phenylethyl)benzenesulfonamide (4c).



Prepared from 2,4,6-triisopropylbenzenesulfonamide (1.0 equiv, 0.5 mmol, 141.7 mg) according to TP 1 using (1s)-(+)-10-camphorsulfonic acid. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (150 mg, 63%).

R_f (*n*-hexane/EtOAc 1:1): 0.6.

m.p.: 111–112 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.69 (d, *J* = 2.7 Hz, 1H), 7.40 (s, 1H), 7.18–6.93 (m, 8H), 6.70 (d, *J* = 6.4 Hz, 1H), 4.95–4.85 (m, 1H), 4.03 (dt, *J* = 13.4, 6.7 Hz, 2H), 3.13–2.96 (m, 2H), 2.84 (dt, *J* = 13.8, 6.9 Hz, 1H), 2.54 (s, 3H), 1.22 (d, *J* = 6.9 Hz, 12H), 1.08 (d, *J* = 6.7 Hz, 6H).

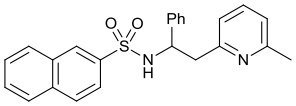
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 157.2, 152.2, 149.8, 141.2, 137.2, 134.6, 128.1, 127.2, 126.8, 123.4, 121.6, 121.2, 57.6, 44.1, 34.3, 29.8, 25.1, 24.9, 24.50, 23.81, 23.77.

MS (ESI) m/z: Calcd for $C_{29}H_{38}N_2O_2S$ 478.27; Found 479.25 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{29}H_{39}N_2O_2S$ 479.2727; Found 479.2720.

IR (ATR): 3300, 1592, 1456, 1316, 1232, 1147, 1094, 1058, 988, 839, 760, 699, 525.

***N*-(2-(6-Methylpyridin-2-yl)-1-phenylethyl)naphthalene-2-sulfonamide (4d).**



Prepared from 2-naphtalenesulfonamide (1.0 equiv, 0.5 mmol, 103.6 mg) according to TP 1 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (159 mg, 79%).

R_f (*n*-hexane/EtOAc 1:1): 0.7.

m.p.: 163–164 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.05 (d, *J* = 0.9 Hz, 1H), 7.81 (d, *J* = 8.1 Hz, 1H), 7.76 (d, *J* = 8.1 Hz, 1H), 7.72 (d, *J* = 8.7 Hz, 1H), 7.69 (s, 1H), 7.61–7.51 (m, 3H), 7.33 (s, 1H), 7.18 (d, *J* = 7.2 Hz, 2H), 7.13–7.01 (m, 3H), 6.93 (d, *J* = 7.6 Hz, 1H), 6.70 (d, *J* = 5.3 Hz, 1H), 4.65 (t, *J* = 5.9 Hz, 1H), 2.97 (d, *J* = 3.5 Hz, 2H), 2.56 (s, 3H).

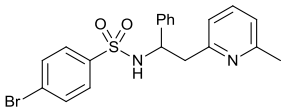
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 157.0, 141.0, 137.5, 134.6, 132.1, 129.3, 128.9, 128.5, 128.4, 128.3, 127.8, 127.5, 127.2, 126.9, 122.5, 121.8, 121.1, 58.4, 43.7, 24.5.

MS (ESI) m/z: Calcd for $C_{24}H_{22}N_2O_2S$ 402.14; Found 403.06 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{23}N_2O_2S$ 403.1475; Found 403.1477.

IR (ATR): 3260, 1593, 1455, 1322, 1150, 1077, 972, 702, 658, 545, 472.

4-Bromo-*N*-(2-(6-methylpyridin-2-yl)-1-phenylethyl)benzenesulfonamide (4e).



Prepared from 4-bromobenzenesulfonamide (1.0 equiv, 0.5 mmol, 118.0 mg) according to TP 1 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (151 mg, 70%).

R_f (*n*-hexane/EtOAc 1:1): 0.5.

m.p.: 100–101 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.76 (s, 1H), 7.44 (t, *J* = 7.7 Hz, 1H), 7.39–7.33 (m, 5H), 7.20–7.09 (m, 6H), 7.03 (d, *J* = 7.7 Hz, 1H), 4.62 (t, *J* = 6.5 Hz, 1H), 2.99 (d, *J* = 5.6 Hz, 2H), 2.59 (s, 3H).

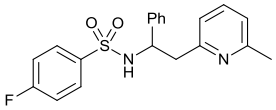
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 157.0, 140.7, 139.9, 137.6, 131.8, 128.6, 128.4, 127.6, 126.9, 126.8, 121.9, 121.1, 58.4, 43.6, 24.5.

MS (ESI) m/z: Calcd for $C_{20}H_{19}BrN_2O_2S$ 430.04; Found 430.99 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{20}BrN_2O_2S$ 431.0423; Found 431.0427.

IR (ATR): 3092, 1574, 1458, 1337, 1157, 823, 770, 744, 698, 597, 559, 420.

4-Fluoro-*N*-(2-(6-methylpyridin-2-yl)-1-phenylethyl)benzenesulfonamide (4f).



Prepared from 4-fluorobenzenesulfonamide (1.0 equiv, 0.5 mmol, 87.6 mg) according to TP 1 using (1s)-(+)-10-camphorsulfonic acid. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (114 mg, 53%).

R_f (*n*-hexane/EtOAc 1:1): 0.5.

m.p.: 96–97 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.74 (s, 1H), 7.55–7.49 (m, 2H), 7.44 (t, *J* = 7.7 Hz, 1H), 7.18–7.10 (m, 5H), 7.03 (d, *J* = 7.7 Hz, 1H), 6.94–6.87 (m, 2H), 6.77 (d, *J* = 7.6 Hz, 1H), 4.62 (t, *J* = 6.6 Hz, 1H), 2.99 (d, *J* = 6.5 Hz, 2H), 2.59 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 164.7 (d, *J* = 253.6 Hz), 157.8, 157.0, 140.7, 137.6, 137.0 (d, *J* = 3.2 Hz), 129.7 (d, *J* = 9.3 Hz), 128.4, 127.6, 127.0, 121.9, 121.1, 115.7 (d, *J* = 22.6 Hz), 58.4, 43.7, 24.5.

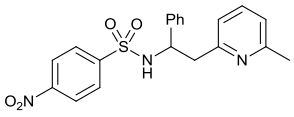
^{19}F{^{1}H} NMR (282 MHz, $CDCl_3$): δ -106.69 (s).

MS (ESI) m/z: Calcd for $C_{20}H_{19}F_2NO_2S$ 370.12; Found 371.01 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{20}F_2NO_2S$ 371.1224; Found 371.1231.

IR (ATR): 3300, 2931, 1592, 1456, 1316, 1146, 1057, 988, 839, 760, 699, 586, 508.

***N*-(2-(6-Methylpyridin-2-yl)-1-phenylethyl)-4-nitrobenzenesulfonamide (4g).**



Prepared from 4-nitrobenzenesulfonamide (1.0 equiv, 0.5 mmol, 101.1 mg) according to TP 1 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (131 mg, 66%).

R_f (*n*-hexane/EtOAc 1:1): 0.5.

m.p.: 141–142 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.31 (s, 1H), 8.06–8.00 (m, 2H), 7.69–7.60 (m, 2H), 7.47 (t, *J* = 7.7 Hz, 1H), 7.16–7.03 (m, 6H), 6.80 (d, *J* = 7.6 Hz, 1H), 4.72 (t, *J* = 6.6 Hz, 1H), 3.03 (d, *J* = 5.7 Hz, 2H), 2.61 (s, 3H).

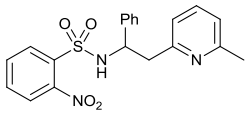
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 156.9, 149.4, 147.0, 140.0, 137.8, 128.4, 128.2, 127.9, 127.1, 123.7, 122.1, 121.2, 58.6, 43.1, 24.5.

MS (ESI) m/z: Calcd for $C_{20}H_{19}N_3O_4S$ 397.11; Found 398.04 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{20}N_3O_4S$ 398.1169; Found 398.1165.

IR (ATR): 3115, 1526, 1340, 1332, 1164, 856, 740, 701, 683, 602, 560, 530, 464.

***N*-(2-(6-Methylpyridin-2-yl)-1-phenylethyl)-2-nitrobenzenesulfonamide (4h).**



Prepared from 2-nitrobenzenesulfonamide (1.0 equiv, 0.5 mmol, 101.1 mg) according to TP 1 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (155 mg, 78%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 166–167 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.31 (d, *J* = 4.7 Hz, 1H), 7.66 (dd, *J* = 7.9, 1.0 Hz, 1H), 7.50–7.41 (m, 2H), 7.34 (t, *J* = 7.4 Hz, 1H), 7.24 (dd, *J* = 7.7, 1.1 Hz, 1H), 7.01–6.85 (m, 6H), 6.62 (d, *J* = 7.1 Hz, 1H), 5.09 (dd, *J* = 10.7, 5.9 Hz, 1H), 3.38 (dd, *J* = 14.2, 4.6 Hz, 1H), 3.02 (dd, *J* = 13.9, 5.9 Hz, 1H), 2.65 (s, 3H).

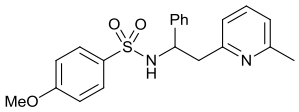
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 158.4, 156.6, 147.4, 139.9, 137.0, 135.2, 132.5, 132.1, 130.6, 128.0, 127.4, 126.9, 124.6, 121.8, 121.4, 58.7, 43.8, 24.5.

MS (ESI) m/z: Calcd for $C_{20}H_{19}N_3O_4S$ 397.11; Found 398.05 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{20}N_3O_4S$ 398.1169; Found 398.1165.

IR (ATR): 3018, 1539, 1458, 1366, 1330, 1157, 778, 697, 665, 600, 572, 539.

4-Methoxy-*N*-(2-(6-methylpyridin-2-yl)-1-phenylethyl)benzenesulfonamide (4i).



Prepared from 4-methoxybenzenesulfonamide (1.0 equiv, 0.5 mmol, 93.6 mg) according to TP 1 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1→1:2) afforded the analytically pure product as colorless solid (129 mg, 67%).

R_f (*n*-hexane/EtOAc 1:1): 0.3.

m.p.: 83–84 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.52–7.45 (m, 3H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.21–7.09 (m, 5H), 7.01 (d, *J* = 7.7 Hz, 1H), 6.75 (d, *J* = 7.5 Hz, 1H), 6.74–6.68 (m, 2H), 4.57 (t, *J* = 6.6 Hz, 1H), 3.80 (s, 3H), 2.98 (d, *J* = 5.2 Hz, 2H), 2.58 (s, 3H).

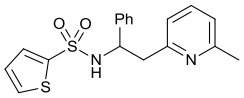
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 162.4, 157.8, 157.0, 141.2, 137.5, 132.4, 129.2, 128.4, 127.4, 126.9, 121.8, 121.1, 113.8, 58.2, 55.6, 43.8, 24.4.

MS (ESI) m/z: Calcd for $C_{21}H_{22}N_2O_2S$ 382.14; Found 383.08 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{21}H_{23}N_2O_2S$ 383.1424; Found 383.1420.

IR (ATR): 2928, 1595, 1457, 1331, 1258, 1150, 1093, 1025, 940, 789, 769, 697, 558.

***N*-(2-(6-Methylpyridin-2-yl)-1-phenylethyl)thiophene-2-sulfonamide (4j).**



Prepared from thiophene-2-sulfonamide (1.0 equiv, 0.5 mmol, 81.6 mg) according to TP 1 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as yellowish oil (118 mg, 66%).

R_f (*n*-hexane/EtOAc 1:1): 0.5.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.94 (s, 1H), 7.43 (t, *J* = 7.5 Hz, 1H), 7.37 (dd, *J* = 5.0, 1.3 Hz, 1H), 7.24–7.15 (m, 6H), 7.02 (d, *J* = 7.7 Hz, 1H), 6.83 (dd, *J* = 5.0, 3.8 Hz, 1H), 6.76 (d, *J* = 7.2 Hz, 1H), 4.65 (t, *J* = 6.4 Hz, 1H), 3.01 (d, *J* = 5.4 Hz, 2H), 2.59 (s, 3H).

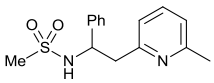
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 157.0, 141.8, 141.0, 137.6, 132.0, 131.4, 128.4, 127.5, 126.9, 126.8, 121.9, 121.1, 58.6, 43.6, 24.5.

MS (ESI) m/z: Calcd for $C_{18}H_{18}N_2O_2S_2$ 358.08; Found 359.06 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{18}H_{19}N_2O_2S_2$ 359.0883; Found 359.0888.

IR (ATR): 3092, 1595, 1456, 1330, 1225, 1151, 1015, 946, 698, 572, 530.

***N*-(2-(6-Methylpyridin-2-yl)-1-phenylethyl)methanesulfonamide (4k).**



Prepared from methanesulfonamide (1.0 equiv, 0.5 mmol, 47.6 mg) according to TP 1 using (1s)-(+)-10-camphorsulfonic acid. Purification by flash column chromatography (*n*-hexane/EtOAc 7:3→1:1) afforded the analytically pure product as colorless solid (79 mg, 54%).

R_f (*n*-hexane/EtOAc 1:1): 0.3.

m.p.: 81–82 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.50 (t, *J* = 7.7 Hz, 1H), 7.40 (s, 1H), 7.38–7.32 (m, 4H), 7.30–7.26 (m, 1H), 7.06 (d, *J* = 7.7 Hz, 1H), 6.87 (d, *J* = 7.6 Hz, 1H), 4.83 (dd, *J* = 8.7, 4.6 Hz, 1H), 3.20–3.05 (m, 2H), 2.58 (s, 3H), 2.48 (s, 3H).

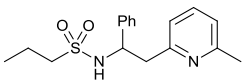
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 158.0, 157.2, 141.4, 137.6, 128.8, 128.0, 127.1, 122.0, 121.1, 58.3, 43.7, 43.6, 41.9, 24.4.

MS (ESI) m/z: Calcd for $C_{15}H_{18}N_2O_2S$ 290.11; Found 291.09 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{15}H_{19}N_2O_2S$ 291.1162; Found 291.1165.

IR (ATR): 3299, 2932, 1456, 1304, 1143, 1057, 988, 785, 759, 699, 588, 527, 508.

***N*-(2-(6-Methylpyridin-2-yl)-1-phenylethyl)propane-1-sulfonamide (4l).**



Prepared from *n*-propylsulfonamide (1.0 equiv, 0.5 mmol, 61.6 mg) according to TP 1 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless oil (111 mg, 70%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.49 (t, *J* = 7.7 Hz, 1H), 7.36–7.30 (m, 4H), 7.29–7.26 (m, 1H), 7.16 (s, 1H), 7.05 (d, *J* = 7.7 Hz, 1H), 6.87 (d, *J* = 7.6 Hz, 1H), 4.82 (dd, *J* = 8.9, 4.4 Hz, 1H), 3.18–3.04 (m, 2H), 2.57 (s, 3H), 2.55–2.48 (m, 1H), 2.42–2.32 (m, 1H), 1.69–1.58 (m, 2H), 0.80 (t, *J* = 7.5 Hz, 3H).

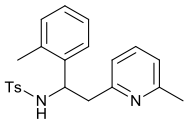
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 158.0, 157.2, 141.6, 137.5, 128.7, 127.9, 127.0, 121.9, 121.1, 58.2, 55.4, 43.9, 24.4, 17.1, 12.9.

MS (ESI) m/z: Calcd for $C_{17}H_{22}N_2O_2S$ 318.14; Found 319.17 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{17}H_{23}N_2O_2S$ 319.1475; Found 319.1477.

IR (ATR): 3275, 1577, 1456, 1316, 1138, 1061, 953, 763, 700, 562, 511.

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-(*o*-tolyl)ethyl)benzenesulfonamide (4m).



Prepared from *o*-tolualdehyde (1.2 equiv, 0.6 mmol, 0.07 mL) according to TP 2 using (1s)-(+)-10-camphorsulfonic acid. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (172 mg, 90%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 111–112 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.40 (d, *J* = 8.3 Hz, 3H), 7.33 (s, 1H), 7.13 (d, *J* = 7.7 Hz, 1H), 7.07–7.00 (m, 5H), 6.98–6.92 (m, 1H), 6.71 (d, *J* = 7.4 Hz, 1H), 4.82 (td, *J* = 6.6, 3.1 Hz, 1H), 2.90 (d, *J* = 6.4 Hz, 2H), 2.59 (s, 3H), 2.32 (s, 6H).

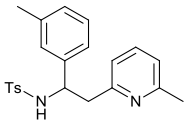
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.9, 157.2, 142.6, 139.2, 137.6, 137.3, 134.3, 130.3, 129.2, 127.09, 127.06, 127.0, 126.1, 121.7, 120.8, 54.5, 43.0, 24.6, 21.5, 19.2.

MS (ESI) m/z: Calcd for $C_{22}H_{24}N_2O_2S$ 380.16; Found 381.16 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{22}H_{25}N_2O_2S$ 381.1631; Found 381.1634.

IR (ATR): 3212, 2965, 2922, 1596, 1460, 1330, 1157, 1089, 1050, 940, 819, 765, 565.

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-(*m*-tolyl)ethyl)benzenesulfonamide (4n).



Prepared from *m*-tolualdehyde (1.2 equiv, 0.6 mmol, 0.07 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (140 mg, 74%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 89–90 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.41 (dd, *J* = 16.9, 8.0 Hz, 4H), 7.06 (dd, *J* = 14.7, 7.6 Hz, 3H), 7.02–6.92 (m, 4H), 6.74 (d, *J* = 7.6 Hz, 1H), 4.54 (t, *J* = 6.1 Hz, 1H), 2.96–2.91 (m, 2H), 2.57 (s, 3H), 2.33 (s, 3H), 2.20 (s, 3H).

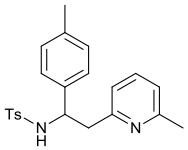
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.9, 157.2, 142.6, 141.0, 137.82, 137.76, 137.3, 129.1, 128.2, 128.1, 127.6, 127.1, 124.0, 121.6, 121.0, 58.2, 44.0, 24.5, 21.5, 21.4.

MS (ESI) m/z: Calcd for $C_{22}H_{24}N_2O_2S$ 380.16; Found 381.15 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{22}H_{25}N_2O_2S$ 381.1631; Found 381.1627.

IR (ATR): 3181, 2976, 2921, 1597, 1459, 1329, 1157, 1091, 1054, 942, 698, 546.

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-(*p*-tolyl)ethyl)benzenesulfonamide (4o).



Prepared from *p*-tolualdehyde (1.2 equiv, 0.6 mmol, 0.07 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (127 mg, 67%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 128–129 °C.

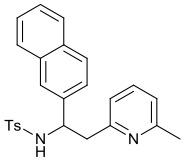
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.43 (d, *J* = 8.3 Hz, 4H), 7.06 (t, *J* = 8.8 Hz, 4H), 7.03–6.93 (m, 3H), 6.75 (s, 1H), 4.56–4.49 (m, 1H), 3.10–2.91 (m, 2H), 2.58 (s, 3H), 2.34 (s, 3H), 2.27 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 157.2, 142.6, 138.3, 137.7, 137.3, 137.0, 129.2, 129.0, 127.2, 126.8, 121.6, 121.0, 58.0, 44.0, 24.6, 21.6, 21.2.

MS (ESI) m/z: Calcd for $C_{22}H_{24}N_2O_2S$ 380.16; Found 381.16 $[M + H]^+$.

Analytical data are consistent with literature.[3]

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-(naphthalen-2-yl)ethyl)benzenesulfonamide (4p).



Prepared from 2-naphthaldehyde (1.2 equiv, 0.6 mmol, 93.7 mg) according to TP 2 using (1s)-(+)-10-camphorsulfonic acid. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (151 mg, 73%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 148–149 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.69–7.65 (m, 1H), 7.60–7.53 (m, 3H), 7.51 (s, 1H), 7.39–7.31 (m, 5H), 7.22 (dd, *J* = 8.4, 1.2 Hz, 1H), 6.95 (d, *J* = 7.5 Hz, 1H), 6.85 (d, *J* = 8.0 Hz, 2H), 6.70 (s, 1H), 4.70 (dt, *J* = 8.6, 4.4 Hz, 1H), 3.14–2.95 (m, 2H), 2.54 (s, 3H), 2.14 (s, 3H).

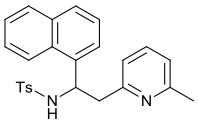
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 156.9, 142.7, 138.3, 137.7, 133.2, 132.9, 129.1, 128.1, 128.0, 127.6, 127.1, 126.0, 125.9, 124.8, 121.9, 121.2, 58.4, 43.6, 24.4, 21.4.

MS (ESI) m/z: Calcd for $C_{25}H_{24}N_2O_2S$ 416.16; Found 417.13 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{25}N_2O_2S$ 417.1631; Found 417.1630.

IR (ATR): 2989, 1597, 1461, 1330, 1156, 1090, 1043, 939, 807, 700, 545.

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-(naphthalen-1-yl)ethyl)benzenesulfonamide (4q).



Prepared from 1-naphthaldehyde (1.2 equiv, 0.6 mmol, 0.08 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (165 mg, 79%).

R_f (*n*-hexane/EtOAc 1:1): 0.5.

m.p.: 102–103 °C.

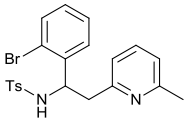
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.08 (d, *J* = 8.4 Hz, 1H), 7.84–7.81 (m, 1H), 7.66 (t, *J* = 9.9 Hz, 2H), 7.53–7.38 (m, 6H), 7.26–7.23 (m, 1H), 7.02 (d, *J* = 7.4 Hz, 1H), 6.97 (d, *J* = 8.0 Hz, 2H), 6.67 (s, 1H), 5.41 (dd, *J* = 9.5, 5.9 Hz, 1H), 3.14 (s, 2H), 2.63 (s, 3H), 2.29 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 157.0, 142.7, 137.5, 137.3, 136.4, 133.9, 130.2, 129.2, 129.1, 127.9, 127.1, 126.3, 125.5, 125.4, 125.2, 122.4, 121.9, 121.0, 54.7, 42.9, 24.4, 21.2.

MS (ESI) m/z: Calcd for $C_{25}H_{24}N_2O_2S$ 416.16; Found 417.14 $[M + H]^+$.

Analytical data are consistent with literature.[3]

***N*-(1-(2-Bromophenyl)-2-(6-methylpyridin-2-yl)ethyl)-4-methylbenzenesulfonamide (4r).**



Prepared from 2-bromobenzaldehyde (1.2 equiv, 0.6 mmol, 0.07 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (166 mg, 75%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 140–141 °C.

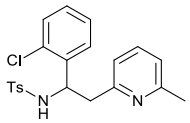
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.55 (s, 1H), 7.47 (dd, *J* = 8.1, 1.5 Hz, 3H), 7.42 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.38 (t, *J* = 7.6 Hz, 1H), 7.16 (td, *J* = 7.5, 1.0 Hz, 1H), 7.09–7.04 (m, 3H), 7.00 (d, *J* = 7.7 Hz, 1H), 6.74 (d, *J* = 7.2 Hz, 1H), 4.85 (dt, *J* = 7.1, 3.3 Hz, 1H), 3.00 (dd, *J* = 14.0, 3.6 Hz, 1H), 2.92–2.73 (m, 1H), 2.60 (s, 3H), 2.33 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.6, 156.6, 142.9, 140.3, 137.6, 136.7, 132.7, 129.3, 129.1, 128.9, 127.6, 127.2, 122.1, 121.9, 120.9, 57.4, 41.8, 24.4, 21.6.

MS (ESI) m/z: Calcd for $C_{21}H_{21}BrN_2O_2S$ 444.05; Found 445.06 $[M + H]^+$.

Analytical data are consistent with literature.[3]

***N*-(1-(2-Chlorophenyl)-2-(6-methylpyridin-2-yl)ethyl)-4-methylbenzenesulfonamide (4s).**



Prepared from 2-chlorobenzaldehyde (1.2 equiv, 0.6 mmol, 0.07 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (154 mg, 77%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 132–133 °C.

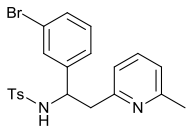
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.55 (s, 1H), 7.48 (d, *J* = 8.3 Hz, 2H), 7.39 (dd, *J* = 7.2, 1.9 Hz, 2H), 7.28 (dd, *J* = 7.5, 1.7 Hz, 1H), 7.15–7.09 (m, 2H), 7.07 (d, *J* = 8.1 Hz, 2H), 7.01 (d, *J* = 7.6 Hz, 1H), 6.73 (s, 1H), 4.96–4.89 (m, 1H), 3.00 (dd, *J* = 13.9, 3.6 Hz, 1H), 2.89 (s, 1H), 2.60 (s, 3H), 2.33 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.6, 156.6, 142.9, 138.8, 137.7, 136.9, 131.8, 129.4, 129.3, 128.9, 128.5, 127.1, 127.0, 122.0, 121.1, 55.0, 41.6, 24.4, 21.6.

MS (ESI) m/z: Calcd for $C_{21}H_{21}ClN_2O_2S$ 400.10; Found 401.08 $[M + H]^+$.

Analytical data are consistent with literature.[3]

***N*-(1-(3-Bromophenyl)-2-(6-methylpyridin-2-yl)ethyl)-4-methylbenzenesulfonamide (4t).**



Prepared from 3-bromobenzaldehyde (1.2 equiv, 0.6 mmol, 0.07 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (127 mg, 91%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 92–93 °C.

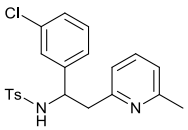
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.64 (s, 1H), 7.42 (dd, *J* = 15.2, 7.9 Hz, 3H), 7.26–7.24 (m, 1H), 7.20 (t, *J* = 1.7 Hz, 1H), 7.13 (d, *J* = 7.7 Hz, 1H), 7.09–7.00 (m, 4H), 6.73 (d, *J* = 7.6 Hz, 1H), 4.55 (dd, *J* = 8.6, 4.2 Hz, 1H), 2.97–2.87 (m, 2H), 2.57 (s, 3H), 2.35 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 158.0, 156.7, 143.4, 143.0, 137.5, 137.4, 130.4, 130.1, 129.9, 129.3, 127.1, 125.7, 122.4, 121.9, 121.0, 57.7, 43.6, 24.6, 21.6.

MS (ESI) m/z: Calcd for $C_{21}H_{21}BrN_2O_2S$ 444.05; Found 445.06 $[M + H]^+$.

Analytical data are consistent with literature.[3]

***N*-(1-(3-Chlorophenyl)-2-(6-methylpyridin-2-yl)ethyl)-4-methylbenzenesulfonamide (4u).**



Prepared from 3-chlorobenzaldehyde (1.2 equiv, 0.6 mmol, 0.07 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless oil (164 mg, 82%).

R_f (*n*-hexane/EtOAc 1:1): 0.5.

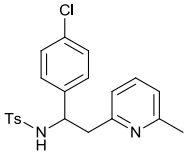
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.66 (d, *J* = 2.5 Hz, 1H), 7.47–7.41 (m, 3H), 7.12–7.06 (m, 6H), 7.03 (d, *J* = 7.6 Hz, 1H), 6.76 (d, *J* = 6.5 Hz, 1H), 4.56 (td, *J* = 6.4, 4.1 Hz, 1H), 2.95 (d, *J* = 5.1 Hz, 2H), 2.59 (s, 3H), 2.34 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 156.5, 143.1, 143.0, 137.7, 137.5, 134.2, 129.6, 129.3, 127.5, 127.2, 127.1, 125.2, 122.0, 121.2, 57.7, 43.4, 24.4, 21.6.

MS (ESI) m/z: Calcd for $C_{21}H_{21}ClN_2O_2S$ 400.10; Found 401.08 $[M + H]^+$.

Analytical data are consistent with literature.[3]

***N*-(1-(4-Chlorophenyl)-2-(6-methylpyridin-2-yl)ethyl)-4-methylbenzenesulfonamide (4v).**



Prepared from 4-chlorobenzaldehyde (1.2 equiv, 0.6 mmol, 84.3 mg) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (152 mg, 76%).

R_f (*n*-hexane/EtOAc 1:1): 0.5.

m.p.: 149–150 °C.

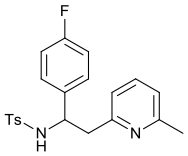
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.67 (s, 1H), 7.44 (d, *J* = 8.3 Hz, 3H), 7.14–7.06 (m, 6H), 7.06–7.02 (m, 1H), 6.76 (s, 1H), 4.56 (dt, *J* = 10.2, 4.9 Hz, 1H), 2.96 (s, 2H), 2.59 (s, 3H), 2.35 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 156.5, 143.0, 139.7, 137.7, 137.6, 133.2, 129.3, 128.5, 128.3, 127.1, 122.1, 121.3, 57.6, 43.3, 24.3, 21.6.

MS (ESI) m/z: Calcd for $C_{21}H_{21}ClN_2O_2S$ 400.10; Found 401.08 $[M + H]^+$.

Analytical data are consistent with literature.[3]

***N*-(1-(4-Fluorophenyl)-2-(6-methylpyridin-2-yl)ethyl)-4-methylbenzenesulfonamide (4w).**



Prepared from 4-fluorobenzaldehyde (1.2 equiv, 0.6 mmol, 0.06 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (166 mg, 86%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 104–105 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.62 (d, *J* = 3.8 Hz, 1H), 7.47–7.39 (m, 3H), 7.17–7.11 (m, 2H), 7.07 (d, *J* = 8.0 Hz, 2H), 7.02 (d, *J* = 7.7 Hz, 1H), 6.88–6.82 (m, 2H), 6.73 (d, *J* = 7.4 Hz, 1H), 4.57 (dd, *J* = 10.5, 6.4 Hz, 1H), 2.94 (d, *J* = 5.4 Hz, 2H), 2.58 (s, 3H), 2.34 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 162.08 (d, *J* = 245.5 Hz), 157.8, 156.8, 142.89, 137.64, 137.60, 137.0 (d, *J* = 3.0 Hz), 129.3, 128.50 (d, *J* = 8.1 Hz), 127.1, 121.9, 121.1, 115.1 (d, *J* = 21.5 Hz), 57.5, 43.7, 24.4, 21.5.

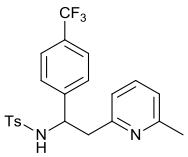
^{19}F{^{1}H} NMR (282 MHz, $CDCl_3$): δ -115.45 (s).

MS (ESI) m/z: Calcd for $C_{21}H_{21}N_2O_2S$ 384.13; Found 385.12 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{21}H_{22}N_2O_2S$ 385.1309; Found 385.1375.

IR (ATR): 2975, 1596, 1511, 1331, 1223, 1159, 1050, 919, 814, 689, 564.

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-(4-(trifluoromethyl)phenyl)ethyl)benzenesulfonamide (4x).



Prepared from 4-(trifluoromethyl)benzaldehyde (1.2 equiv, 0.6 mmol, 0.08 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (180 mg, 83%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 119–120 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.79 (d, *J* = 2.9 Hz, 1H), 7.46 (t, *J* = 7.0 Hz, 1H), 7.40 (dd, *J* = 11.8, 8.2 Hz, 4H), 7.27 (d, *J* = 8.2 Hz, 2H), 7.04 (t, *J* = 7.1 Hz, 3H), 6.77 (d, *J* = 6.2 Hz, 1H), 4.65 (dd, *J* = 10.6, 6.3 Hz, 1H), 2.99 (d, *J* = 4.2 Hz, 2H), 2.60 (s, 3H), 2.32 (s, 3H).

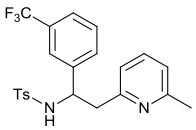
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 156.4, 145.0, 143.0, 137.9, 137.5, 129.6 (q, *J* = 32.3 Hz), 129.3, 127.4, 127.1, 125.3 (q, *J* = 3.8 Hz), 124.2 (q, *J* = 272.0 Hz), 122.2, 121.2, 57.8, 43.1, 24.3, 21.5.

^{19}F{^{1}H} NMR (282 MHz, $CDCl_3$): δ -62.52 (s).

MS (ESI) m/z: Calcd for $C_{22}H_{21}F_3N_2O_2S$ 434.13; Found 435.10 $[M + H]^+$.

Analytical data are consistent with literature.[3]

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-(3-(trifluoromethyl)phenyl)ethyl)benzenesulfonamide (4y).



Prepared from 3-(trifluoromethyl)benzaldehyde (1.2 equiv, 0.6 mmol, 0.08 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (159 mg, 73%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 95–96 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.77 (s, 1H), 7.46–7.37 (m, 5H), 7.33–7.28 (m, 2H), 7.08–7.01 (m, 3H), 6.73 (d, *J* = 7.5 Hz, 1H), 4.71–4.66 (m, 1H), 3.03–2.90 (m, 2H), 2.59 (s, 3H), 2.32 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 158.0, 156.5, 143.1, 142.1, 137.5, 130.6 (q, *J* = 32.2 Hz), 130.48, 130.47, 129.3, 128.8, 127.0, 124.2 (q, *J* = 3.8 Hz), 124.0 (q, *J* = 272.4 Hz), 123.8 (q, *J* = 3.9 Hz), 121.9, 121.0, 57.8, 43.5, 24.5, 21.5.

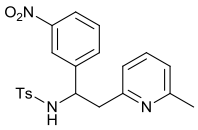
^{19}F{^{1}H} NMR (282 MHz, $CDCl_3$): δ -62.68 (s).

MS (ESI) m/z: Calcd for $C_{22}H_{21}F_3N_2O_2S$ 434.13; Found 435.18 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{22}H_{22}F_3N_2O_2S$ 435.1349; Found 435.1352.

IR (ATR): 3260, 2980, 1592, 1454, 1324, 1157, 1115, 1043, 915, 699, 673, 553.

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-(3-nitrophenyl)ethyl)benzenesulfonamide (4z).



Prepared from 3-nitrobenzaldehyde (1.2 equiv, 0.6 mmol, 90.7 mg) according to TP 2 using (1s)-(+)-10-camphorsulfonic acid. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (178 mg, 86%).

R_f (*n*-hexane/EtOAc 1:1): 0.3.

m.p.: 117–118 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.02–7.99 (m, 1H), 7.93 (t, *J* = 1.9 Hz, 2H), 7.63 (d, *J* = 7.6 Hz, 1H), 7.51–7.42 (m, 3H), 7.38 (t, *J* = 7.9 Hz, 1H), 7.06 (d, *J* = 8.0 Hz, 3H), 6.78 (s, 1H), 4.70 (t, *J* = 6.3 Hz, 1H), 3.02 (s, 2H), 2.62 (s, 3H), 2.31 (s, 3H).

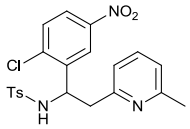
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.9, 156.0, 148.2, 143.3, 143.2, 138.0, 137.4, 133.3, 129.43, 129.41, 127.0, 122.5, 122.3, 122.1, 121.3, 57.5, 42.9, 24.3, 21.5.

MS (ESI) m/z: Calcd for $C_{21}H_{21}N_3O_4S$ 411.13; Found 412.10 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{21}H_{22}N_3O_4S$ 412.1326; Found 412.1321.

IR (ATR): 2976, 1596, 1527, 1347, 1304, 1148, 1088, 801, 661, 564.

***N*-(1-(2-Chloro-5-nitrophenyl)-2-(6-methylpyridin-2-yl)ethyl)-4-methylbenzenesulfonamide (4aa).**



Prepared from 2-chloro-3-nitrobenzaldehyde (1.2 equiv, 0.6 mmol, 111.3 mg) according to TP 2 using (1s)-(+)-10-camphorsulfonic acid. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (183 mg, 82%).

R_f (*n*-hexane/EtOAc 1:1): 0.3.

m.p.: 155–156 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.07 (d, *J* = 2.7 Hz, 1H), 8.01 (s, 1H), 7.92 (dd, *J* = 8.7, 2.7 Hz, 1H), 7.48 (d, *J* = 8.3 Hz, 2H), 7.44 (d, *J* = 8.7 Hz, 1H), 7.39 (t, *J* = 7.7 Hz, 1H), 7.06 (d, *J* = 8.0 Hz, 2H), 7.02 (d, *J* = 7.7 Hz, 1H), 6.70 (d, *J* = 7.6 Hz, 1H), 5.08 (dd, *J* = 8.3, 3.2 Hz, 1H), 3.07 (dd, *J* = 14.4, 3.7 Hz, 1H), 2.88 (dd, *J* = 14.4, 8.5 Hz, 1H), 2.61 (s, 3H), 2.30 (s, 3H).

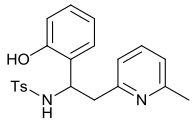
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 158.1, 156.0, 146.6, 143.4, 140.5, 138.6, 137.6, 137.0, 130.4, 129.5, 127.0, 124.5, 123.1, 122.1, 120.9, 54.5, 40.8, 24.6, 21.5.

MS (ESI) m/z: Calcd for $C_{21}H_{20}ClN_3O_4S$ 445.09; Found 446.09 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{21}H_{21}ClN_3O_4S$ 446.0936; Found 446.0932.

IR (ATR): 2977, 1576, 1523, 1347, 1149, 939, 812, 662, 562.

***N*-(1-(2-Hydroxyphenyl)-2-(6-methylpyridin-2-yl)ethyl)-4-methylbenzenesulfonamide (4ab).**



Prepared from salicylaldehyde (1.2 equiv, 0.6 mmol, 0.06 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (152 mg, 80%).

R_f (*n*-hexane/EtOAc 1:1): 0.2.

m.p.: 71–72 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.62 (t, *J* = 7.7 Hz, 1H), 7.43 (d, *J* = 8.3 Hz, 2H), 7.19 (d, *J* = 7.7 Hz, 1H), 7.07 (d, *J* = 7.7 Hz, 1H), 6.95 (d, *J* = 8.0 Hz, 2H), 6.89 (td, *J* = 8.0, 1.6 Hz, 1H), 6.71 (s, 1H), 6.60 (d, *J* = 6.4 Hz, 1H), 6.49 (dd, *J* = 16.3, 7.9 Hz, 2H), 4.69 (t, *J* = 7.4 Hz, 1H), 3.54 (dd, *J* = 14.3, 8.2 Hz, 1H), 3.20 (dd, *J* = 14.3, 6.9 Hz, 1H), 2.46 (s, 3H), 2.25 (s, 3H).

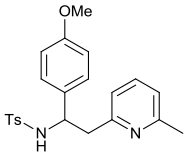
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.6, 156.8, 154.9, 142.7, 138.2, 137.4, 129.1, 128.7, 128.5, 126.9, 125.7, 122.2, 121.5, 119.1, 116.1, 57.9, 42.7, 23.3, 21.5.

MS (ESI) m/z: Calcd for $C_{21}H_{22}N_2O_3S$ 382.14; Found 383.14 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{21}H_{23}N_2O_3S$ 383.1424; Found 383.1418.

IR (ATR): 3299, 2959, 1596, 1458, 1328, 1246, 1152, 1057, 748, 663, 545.

***N*-(1-(4-Methoxyphenyl)-2-(6-methylpyridin-2-yl)ethyl)-4-methylbenzenesulfonamide (4ac).**



Prepared from *p*-anisaldehyde (1.2 equiv, 0.6 mmol, 0.07 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (160 mg, 81%).

R_f (*n*-hexane/EtOAc 1:1): 0.3.

m.p.: 126–127 °C.

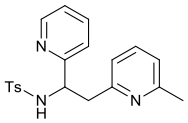
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.50–7.30 (m, 4H), 7.15–7.02 (m, 4H), 7.00 (d, *J* = 7.7 Hz, 1H), 6.74 (d, *J* = 7.5 Hz, 1H), 6.72–6.67 (m, 2H), 4.56–4.48 (m, 1H), 3.74 (s, 3H), 3.05–2.87 (m, 2H), 2.57 (s, 3H), 2.33 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 158.9, 157.8, 157.2, 142.6, 137.8, 137.4, 133.4, 129.2, 128.0, 127.1, 121.7, 121.1, 113.7, 57.7, 55.3, 43.9, 24.5, 21.5.

MS (ESI) m/z: Calcd for $C_{22}H_{24}N_2O_3S$ 396.15; Found 397.12 $[M + H]^+$.

Analytical data are consistent with literature.[3]

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-(pyridin-2-yl)ethyl)benzenesulfonamide (4ad).



Prepared from 2-pyridinecarboxaldehyde (1.2 equiv, 0.6 mmol, 0.06 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (117 mg, 63%).

R_f (*n*-hexane/EtOAc 1:2): 0.2.

m.p.: 122–123 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.45 (dd, *J* = 4.8, 0.7 Hz, 1H), 7.54–7.49 (m, 3H), 7.36–7.27 (m, 2H), 7.23 (s, 1H), 7.10–7.05 (m, 3H), 6.93 (d, *J* = 7.7 Hz, 1H), 6.73 (d, *J* = 7.5 Hz, 1H), 4.68 (s, 1H), 3.11–2.99 (m, 2H), 2.51 (s, 3H), 2.32 (s, 3H).

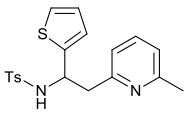
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 160.2, 157.7, 156.8, 148.9, 142.9, 137.1, 137.0, 136.6, 129.4, 127.2, 122.3, 121.8, 121.5, 121.2, 58.8, 42.9, 24.5, 21.6.

MS (ESI) m/z: Calcd for $C_{20}H_{21}N_3O_2S$ 367.14; Found 368.18 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{22}N_3O_2S$ 368.1427; Found 368.1433.

IR (ATR): 3088, 2974, 1595, 1450, 1325, 1157, 1064, 952, 789, 661, 545.

4-Methyl-*N*-(2-(6-methylpyridin-2-yl)-1-(thiophen-2-yl)ethyl)benzenesulfonamide (4ae).



Prepared from 2-thiophenecarboxaldehyde (1.2 equiv, 0.6 mmol, 0.06 mL) according to TP 2 using (1s)-(+)-10-camphorsulfonic acid. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (123 mg, 66%).

R_f (*n*-hexane/EtOAc 1:2): 0.7.

m.p.: 94–96 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.74 (s, 1H), 7.55 (d, *J* = 8.3 Hz, 2H), 7.41 (t, *J* = 7.7 Hz, 1H), 7.11 (d, *J* = 8.0 Hz, 2H), 7.04 (d, *J* = 5.0 Hz, 1H), 6.99 (d, *J* = 7.7 Hz, 1H), 6.83 – 6.75 (m, 3H), 5.02–4.96 (m, 1H), 3.16–3.01 (m, 2H), 2.55 (s, 3H), 2.35 (s, 3H).

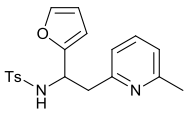
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 156.8, 145.2, 142.8, 138.0, 137.3, 129.3, 127.1, 126.4, 125.1, 124.8, 121.8, 121.4, 53.8, 43.5, 24.5, 21.6.

MS (ESI) m/z: Calcd for $C_{19}H_{20}N_2O_2S_2$ 372.10; Found 373.13 $[M + H]^+$.

HRMS (MALDI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{21}N_2O_2S_2$ 373.1039; Found 373.1045.

IR (ATR): 3261, 2979, 1594, 1456, 1319, 1151, 1052, 958, 809, 664, 544.

***N*-(1-(Furan-2-yl)-2-(6-methylpyridin-2-yl)ethyl)-4-methylbenzenesulfonamide (4af).**



Prepared from 2-furaldehyde (1.2 equiv, 0.6 mmol, 0.05 mL) according to TP 2 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 9:1→4:1) afforded the analytically pure product as colorless solid (121 mg, 67%).

R_f (*n*-hexane/EtOAc 1:2): 0.7.

m.p.: 100–101 °C.

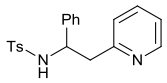
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.59 (d, *J* = 8.3 Hz, 2H), 7.40 (t, *J* = 7.7 Hz, 1H), 7.19–7.10 (m, 4H), 6.97 (d, *J* = 7.7 Hz, 1H), 6.77 (d, *J* = 7.6 Hz, 1H), 6.12 (dd, *J* = 3.2, 1.8 Hz, 1H), 6.01 (d, *J* = 3.2 Hz, 1H), 4.78 (dd, *J* = 7.0, 4.8 Hz, 1H), 3.12 (dd, *J* = 14.2, 7.5 Hz, 1H), 3.03 (dd, *J* = 14.2, 4.6 Hz, 1H), 2.52 (s, 3H), 2.36 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.8, 156.8, 153.5, 142.9, 141.8, 137.8, 137.2, 129.4, 127.1, 121.6, 121.0, 110.2, 107.4, 51.8, 40.6, 24.5, 21.6.

MS (ESI) m/z: Calcd for $C_{19}H_{20}N_2O_3S$ 356.12; Found 357.16 $[M + H]^+$.

Analytical data are consistent with literature.[2]

4-Methyl-*N*-(1-phenyl-2-(pyridin-2-yl)ethyl)benzenesulfonamide (4ag).



Prepared from 2-picoline (2.5 equiv, 1.25 mmol, 0.12 mL) according to TP 3 using (1s)-(+)-10-camphorsulfonic acid. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (104 mg, 59%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 119–120 °C.

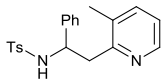
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.50 (dd, *J* = 4.8, 0.7 Hz, 1H), 7.48 (d, *J* = 8.1 Hz, 3H), 7.22 (d, *J* = 4.9 Hz, 1H), 7.19–7.10 (m, 6H), 7.07 (d, *J* = 8.0 Hz, 2H), 6.88 (d, *J* = 7.7 Hz, 1H), 4.66 (dt, *J* = 7.4, 5.1 Hz, 1H), 3.07–2.99 (m, 2H), 2.34 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.7, 148.9, 142.8, 141.0, 137.7, 137.1, 129.3, 128.3, 127.4, 127.2, 126.8, 124.2, 122.1, 57.9, 44.0, 21.6.

MS (ESI) m/z: Calcd for $C_{20}H_{20}N_2O_2S$ 352.12; Found 353.12 $[M + H]^+$.

Analytical data are consistent with literature.[2]

4-Methyl-*N*-(2-(3-methylpyridin-2-yl)-1-phenylethyl)benzenesulfonamide (4ai).



Prepared from 2,3-lutidine (2.5 equiv, 1.25 mmol, 0.14 mL) according to TP 3 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (89 mg, 48%).

R_f (*n*-hexane/EtOAc 1:1): 0.5.

m.p.: 109–110 °C.

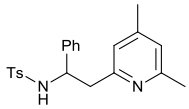
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.35 (d, *J* = 3.8 Hz, 1H), 7.47 (d, *J* = 8.2 Hz, 2H), 7.31 (d, *J* = 6.2 Hz, 2H), 7.20–7.12 (m, 5H), 7.10–7.03 (m, 3H), 4.62 (dd, *J* = 11.0, 6.1 Hz, 1H), 3.03 (d, *J* = 6.1 Hz, 2H), 2.34 (s, 3H), 1.98 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 156.1, 146.3, 142.7, 141.3, 138.4, 137.7, 132.1, 129.3, 128.3, 127.4, 127.1, 126.7, 122.2, 57.0, 40.5, 21.6, 18.6.

MS (ESI) m/z: Calcd for $C_{21}H_{22}N_2O_2S$ 366.14; Found 367.11 $[M + H]^+$.

Analytical data are consistent with literature.[3]

***N*-(2-(4,6-Dimethylpyridin-2-yl)-1-phenylethyl)-4-methylbenzenesulfonamide (4aj).**



Prepared from 2,4,6-collidine (2.5 equiv, 1.25 mmol, 0.17 mL) according to TP 3 using (1s)-(+)-10-camphorsulfonic acid. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as yellowish oil (117 mg, 62%).

R_f (*n*-hexane/EtOAc 1:1): 0.5.

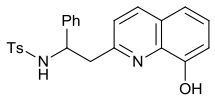
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.57 (s, 1H), 7.42 (d, *J* = 8.2 Hz, 2H), 7.23–7.15 (m, 5H), 7.04 (d, *J* = 8.0 Hz, 2H), 6.83 (s, 1H), 6.57 (s, 1H), 4.51 (t, *J* = 6.5 Hz, 1H), 2.91 (d, *J* = 5.7 Hz, 2H), 2.53 (s, 3H), 2.33 (s, 3H), 2.19 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 157.5, 156.8, 148.6, 142.6, 141.4, 137.7, 129.2, 128.3, 127.4, 127.1, 126.9, 122.7, 122.1, 58.4, 43.7, 24.2, 21.6, 21.0.

MS (ESI) m/z: Calcd for $C_{22}H_{24}N_2O_2S$ 380.16; Found 381.11 $[M + H]^+$.

Analytical data are consistent with literature.[3]

_N_-(2-(8-Hydroxyquinolin-2-yl)-1-phenylethyl)-4-methylbenzenesulfonamide (4ak).



Prepared from 8-hydroxyquinoline (2.5 equiv, 1.25 mmol, 198.0 mg) according to TP 3 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless solid (120 mg, 57%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 129–130 °C.

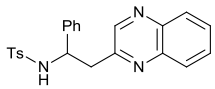
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.92 (d, *J* = 8.4 Hz, 1H), 7.43 (t, *J* = 7.9 Hz, 1H), 7.35 (d, *J* = 8.2 Hz, 2H), 7.27 (s, 1H), 7.26–7.13 (m, 7H), 7.08 (d, *J* = 8.4 Hz, 1H), 6.85 (d, *J* = 8.0 Hz, 2H), 5.56 (s, 1H), 4.88 (t, *J* = 7.1 Hz, 1H), 3.31 (d, *J* = 6.7 Hz, 2H), 2.23 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 155.6, 152.0, 143.0, 141.2, 137.6, 137.0, 129.2, 128.7, 127.8, 127.6, 127.3, 126.8, 126.6, 126.0, 122.7, 117.8, 110.8, 57.8, 45.7, 21.5.

MS (ESI) m/z: Calcd for $C_{24}H_{22}N_2O_3S$ 418.14; Found 419.06 $[M + H]^+$.

Analytical data are consistent with literature.[3]

4-Methyl-_N_-(1-phenyl-2-(quinoxalin-2-yl)ethyl)benzenesulfonamide (4al).



Prepared from 2-methylquinoxaline (2.5 equiv, 1.25 mmol, 0.16 mL) according to TP 3 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1➞1:2) afforded the analytically pure product as colorless solid (51 mg, 25%).

R_f (*n*-hexane/EtOAc 1:1): 0.4.

m.p.: 131–132 °C.

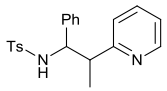
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.44 (s, 1H), 8.05 (td, *J* = 8.4, 1.2 Hz, 2H), 7.79 (dtd, *J* = 15.0, 7.0, 1.5 Hz, 2H), 7.39 (d, *J* = 8.2 Hz, 2H), 7.26–7.18 (m, 5H), 6.86 (d, *J* = 8.0 Hz, 2H), 6.36 (d, *J* = 5.5 Hz, 1H), 4.81 (dd, *J* = 7.8, 5.5 Hz, 1H), 3.37–3.22 (m, 2H), 2.23 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 152.9, 145.7, 143.0, 141.64, 141.55, 140.7, 137.1, 130.6, 129.9, 129.4, 129.3, 129.1, 128.8, 127.9, 126.9, 126.6, 57.7, 42.5, 21.5.

MS (ESI) m/z: Calcd for $C_{23}H_{21}N_3O_2S$ 403.14; Found 404.06 $[M + H]^+$.

Analytical data are consistent with literature.[3]

4-Methyl-*N*-(1-phenyl-2-(pyridin-2-yl)propyl)benzenesulfonamide (4an).



Prepared from 2-ethylpyridine (2.5 equiv, 1.25 mmol, 0.14 mL) according to TP 3 using Amberlyst-15. Purification by flash column chromatography (*n*-hexane/EtOAc 4:1→7:3→1:1) afforded the analytically pure product as colorless oil (177 mg, 97%, mixture of diastereomers, d.r. = 2.4:1).

R_f (*n*-hexane/EtOAc 1:1): 0.6.

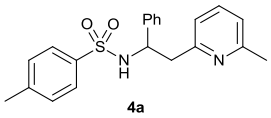
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.54–8.50 (m, 1.41H), 7.51–7.41 (m, 4.23H), 7.22–6.96 (m, 9.81H), 6.92–6.85 (m, 2.82H), 6.79 (d, *J* = 7.8 Hz, 1H), 6.72 (d, *J* = 7.8 Hz, 0.41H), 4.59 (t, *J* = 6.0 Hz, 0.41H), 4.51 (t, *J* = 4.8 Hz, 1H), 3.24–3.17 (m, 1H), 3.13–3.07 (m, 0.41H), 2.32 (s, 1.23H), 2.31 (s, 3H), 1.23 (d, *J* = 7.0 Hz, 1.23H), 1.20 (d, *J* = 7.2 Hz, 3H).
(mixture of diastereomers; peaks not assigend to individual diastereomers)

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$): δ 162.2, 161.9, 142.7, 142.5, 141.0, 139.0, 138.4, 137.5, 136.9, 136.8, 129.22, 129.18, 128.2, 128.0, 127.8, 127.6, 127.2, 127.1, 127.03, 126.95, 126.8, 126.4, 123.6, 122.6, 122.11, 122.06, 62.7, 62.3, 47.0, 45.8, 21.5, 19.4, 19.0, 15.2.
(mixture of diastereomers; peaks not assigend to individual diastereomers)

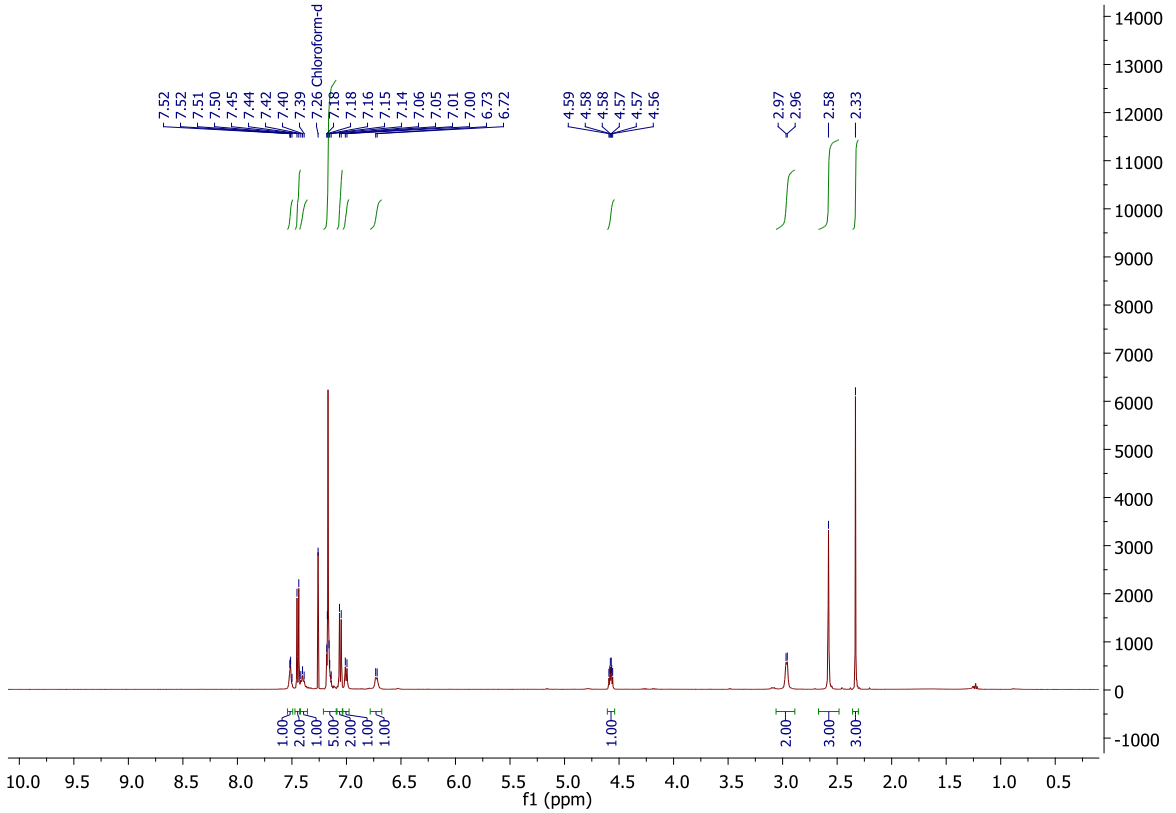
MS (ESI) m/z: Calcd for $C_{21}H_{22}N_2O_2S$ 366.14; Found 367.10 $[M + H]^+$.

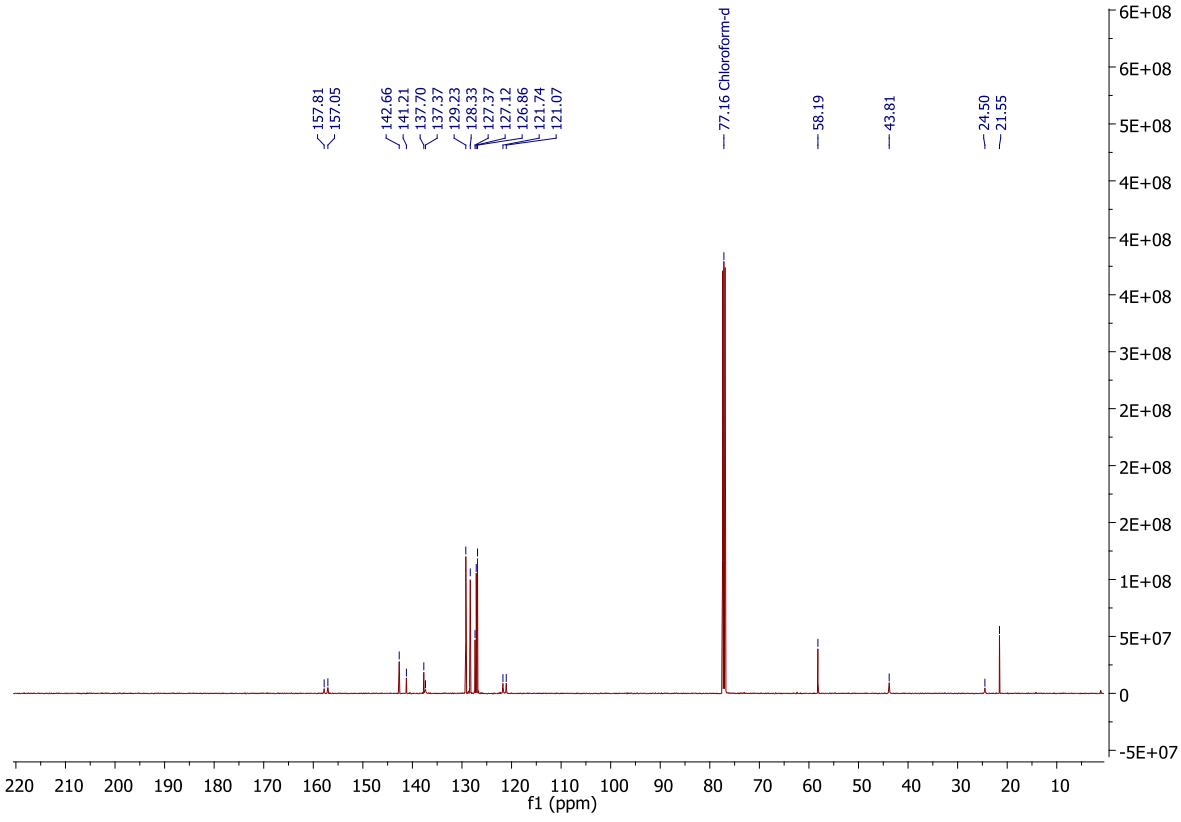
Analytical data are consistent with literature.[2]

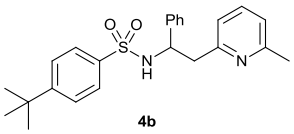
4 ^{1}H and ^{13}C NMR Spectra



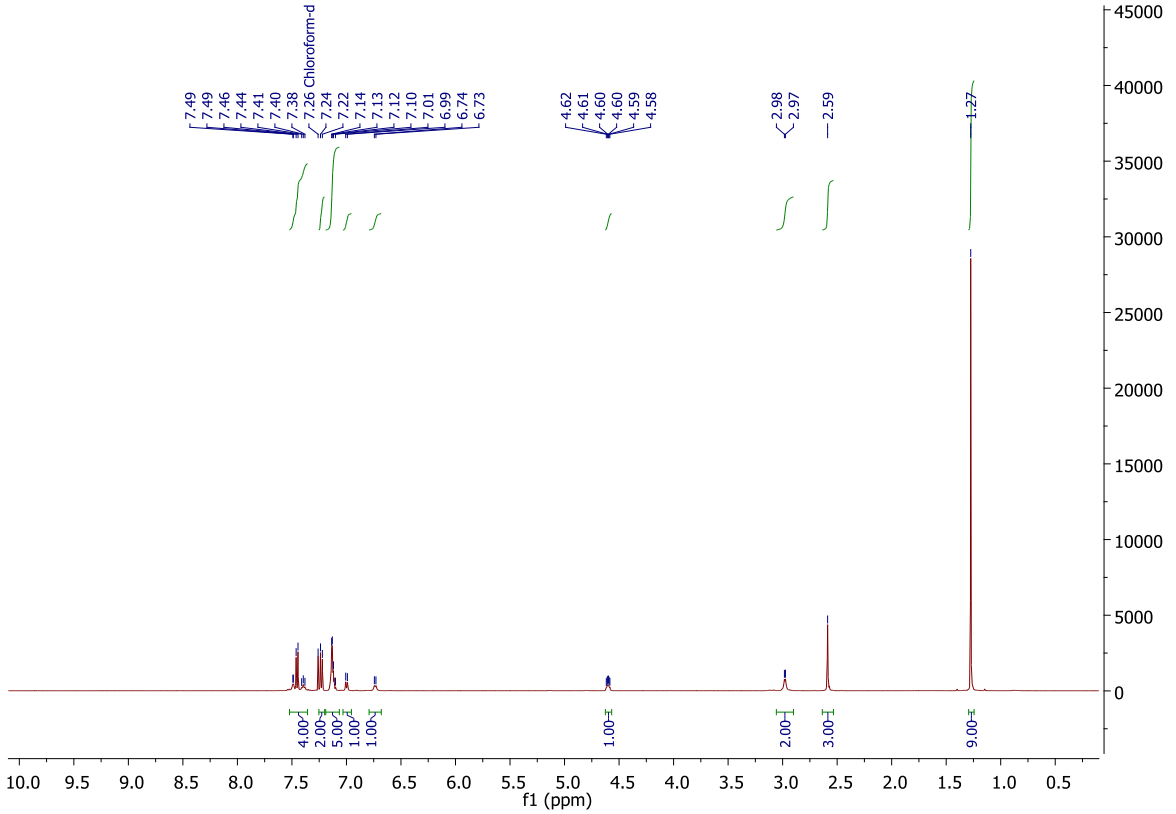
4a






Ph
O
O
S
N
H
N

4b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7.49
7.46
7.44
7.41
7.40
7.38
7.26 Chloroform-d
7.24
7.22
7.14
7.13
7.12
7.10
7.01
6.99
6.74
6.73
4.62
4.61
4.60
4.60
4.59
4.58
2.98
2.97
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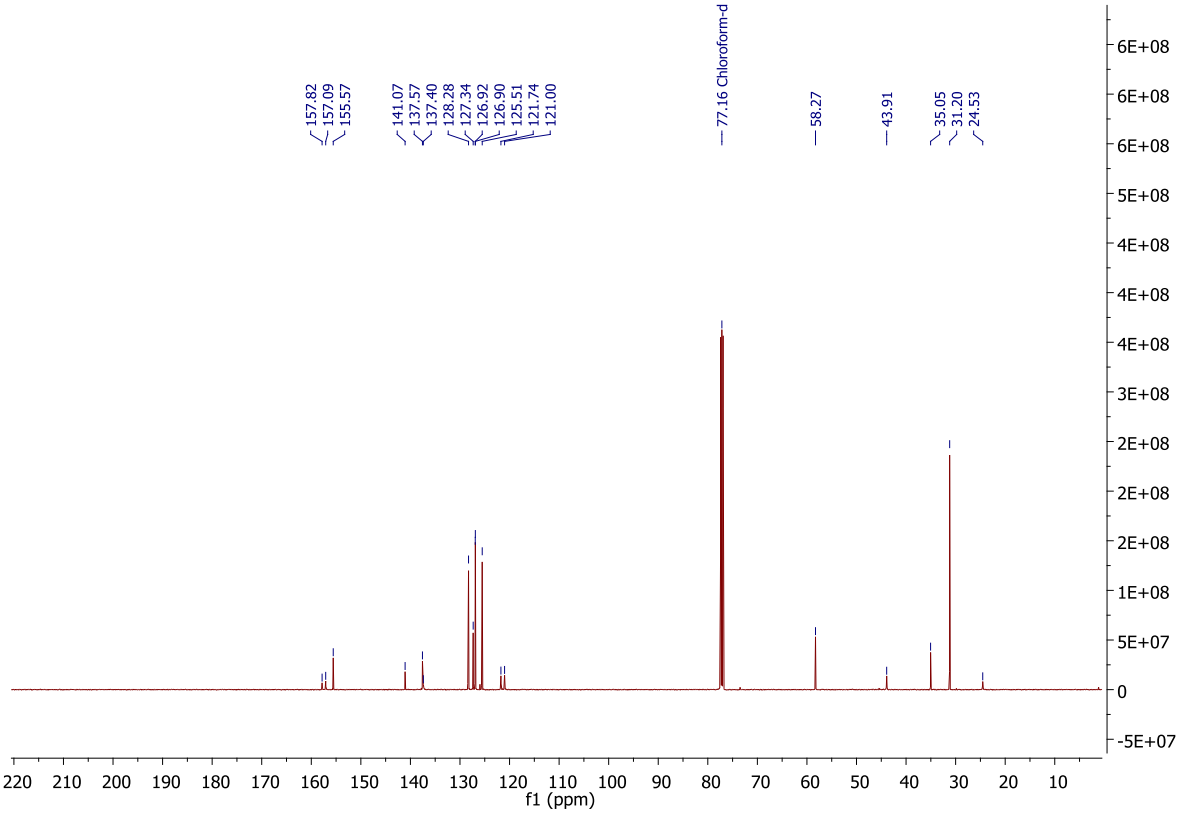
1.00
2.00
3.00
9.00
f1 (ppm)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157.09
155.57
141.07
137.57
137.40
128.28
127.34
126.92
126.90
125.51
121.74
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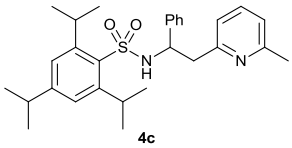
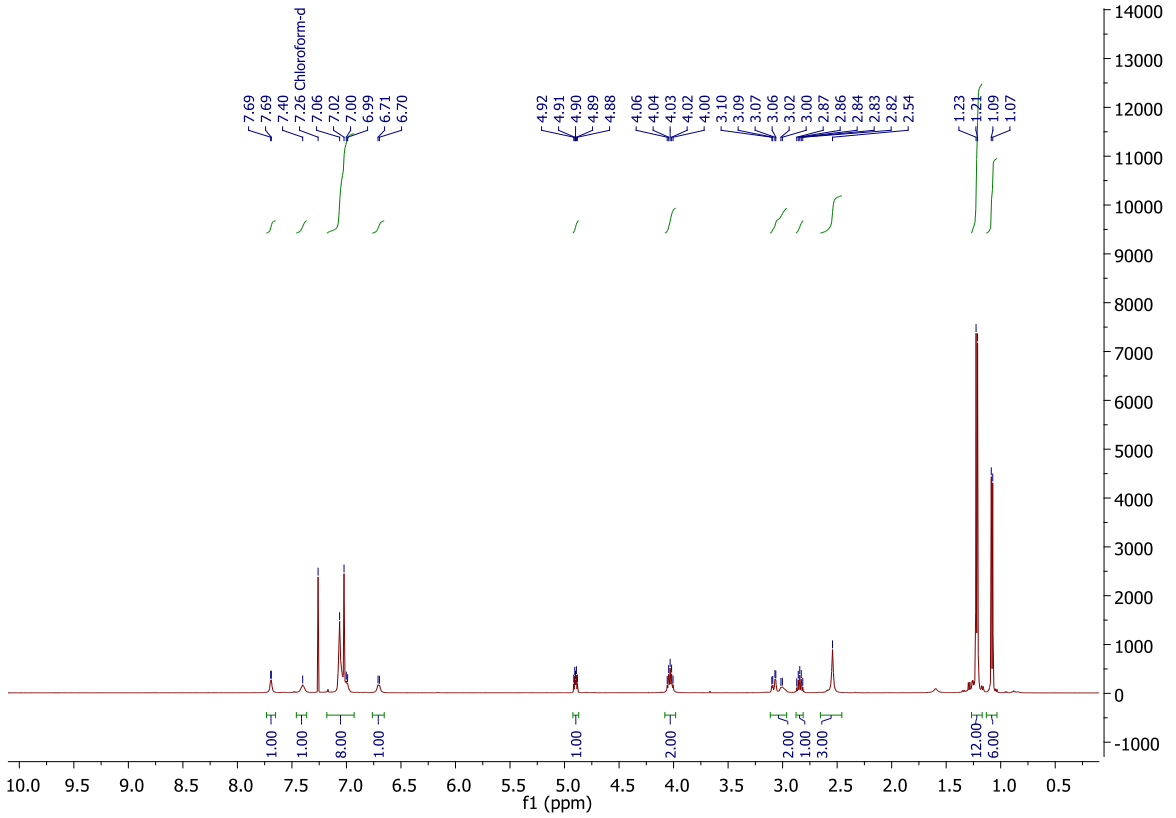
43.91
35.05
31.20
24.53
f1 (ppm)


Ph
O
O
S
N
H
N

4c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7.69
7.40
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7.02
7.00
6.99
6.71
6.70
4.92
4.91
4.90
4.89
4.88
4.06
4.04
4.03
4.02
4.00
3.10
3.09
3.07
3.06
3.02
3.00
2.87
2.86
2.84
2.83
2.82
2.54
1.23
1.21
1.09
1.07
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1.00
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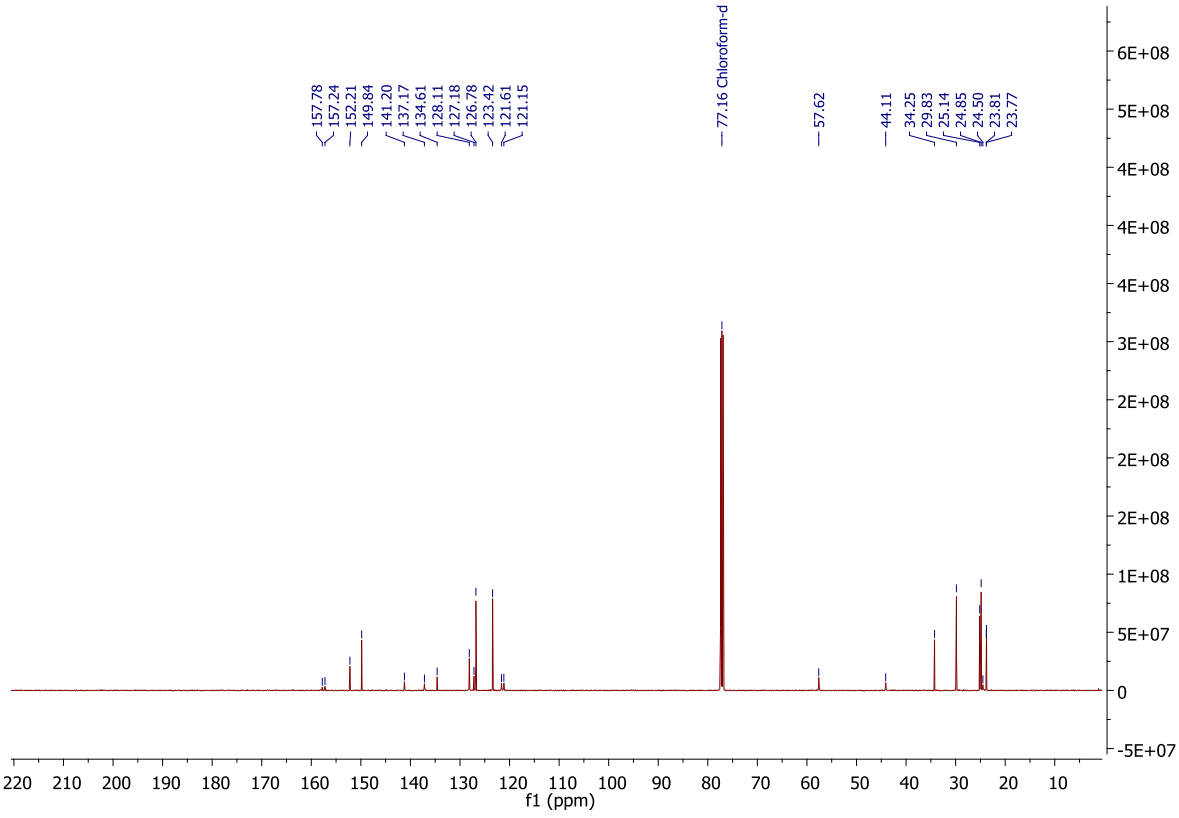
1.00
2.00
2.00
1.00
3.00
12.00
6.00
f1 (ppm)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141.20
137.17
134.61
128.11
127.18
126.78
123.42
121.61
121.15
77.16 Chloroform-d
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25.14
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24.50
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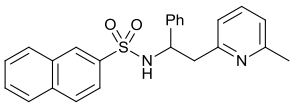
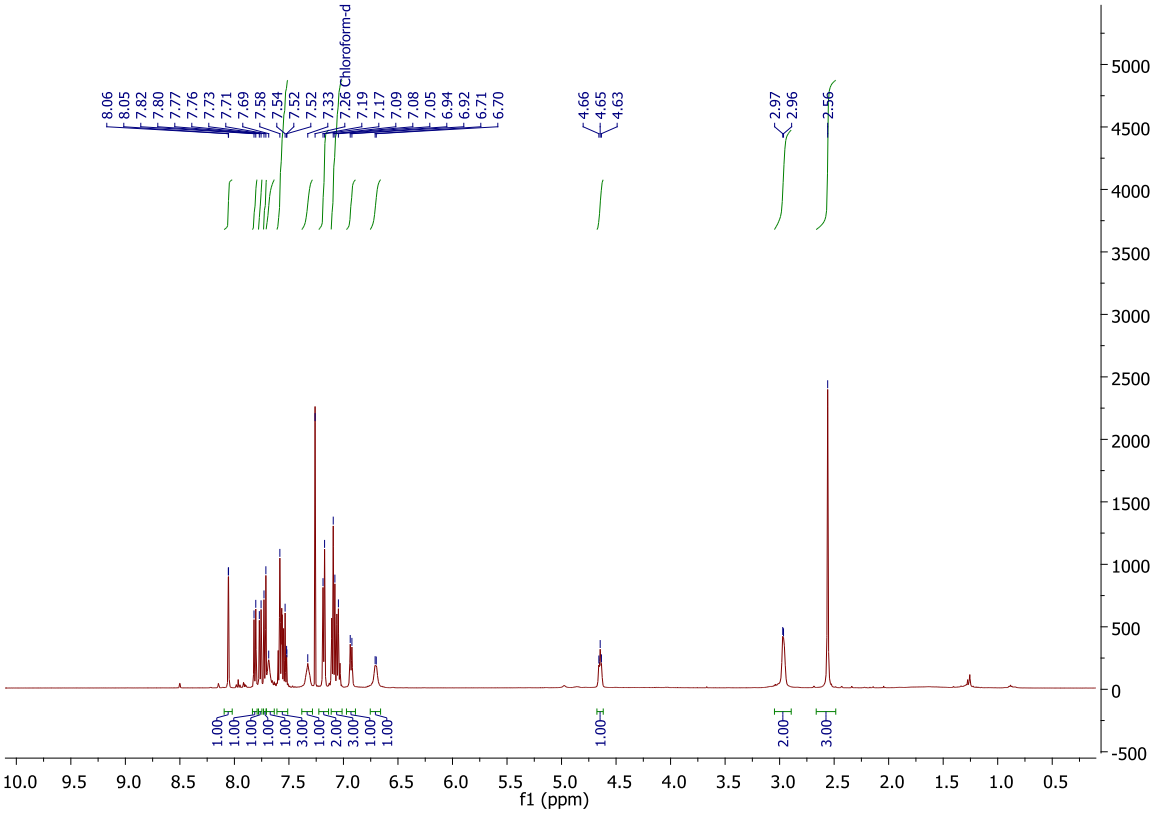
f1 (ppm)


O
O
S
N
H
Ph
N

4d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7.76
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7.58
7.54
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7.52
7.33
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7.19
7.17
7.09
7.08
7.05
6.94
6.92
6.71
6.70
4.66
4.65
4.63
2.97
2.96
2.56
1.00
1.00
1.00
1.00
1.00
3.00
1.00
2.00
3.00
1.00
1.00
1.00
2.00
3.00
5000
4500
4000
3500
3000
2500
2000
1500
1000
500
0
-500
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
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5.0
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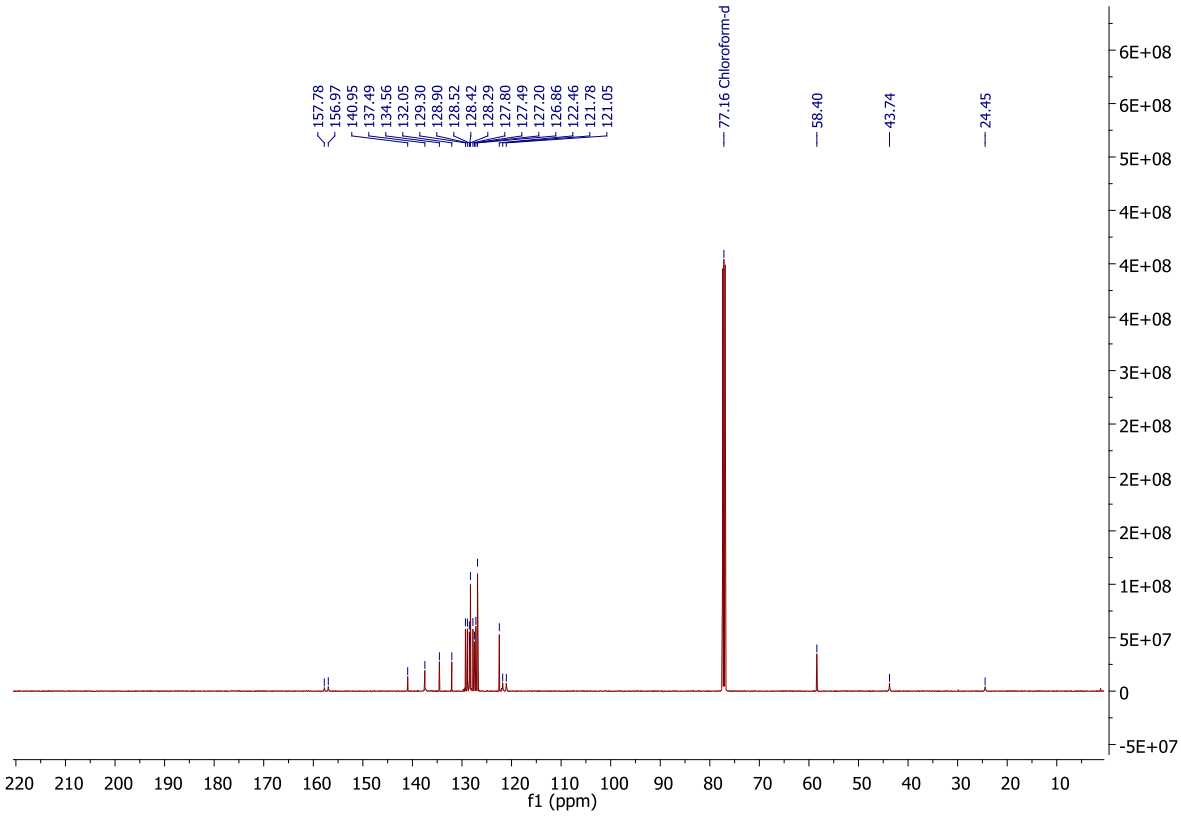
0.5
f1 (ppm)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128.42
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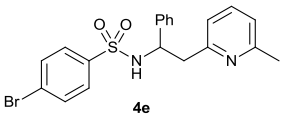
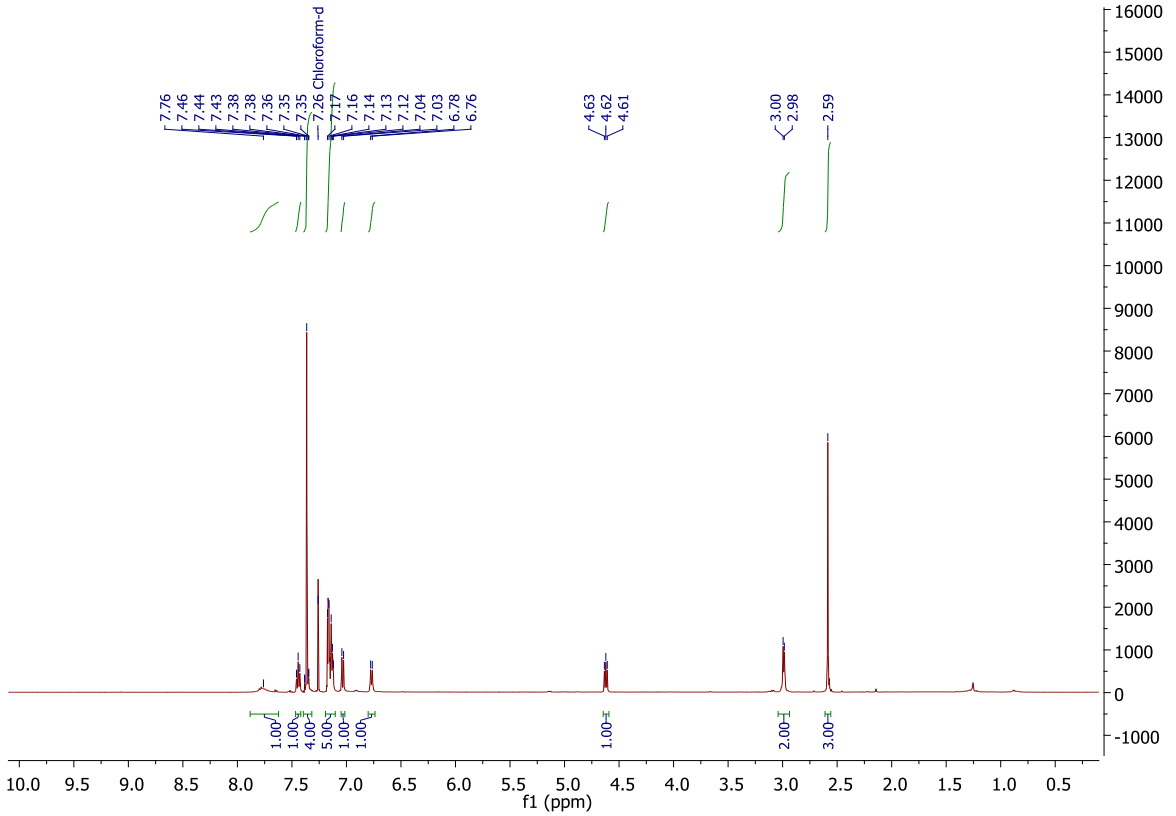
127.49
127.20
126.86
122.46
121.78
121.05
77.16 Chloroform-d
58.40
43.74
24.45
6E+08
6E+08
5E+08
4E+08
4E+08
4E+08
3E+08
2E+08
2E+08
2E+08
1E+08
5E+07
0
-5E+07
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
f1 (ppm)


O
O
S
N
H
Ph
N
Br

4e


7.76
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7.43
7.38
7.38
7.36
7.35
7.35
7.26 Chloroform-d
7.17
7.16
7.14
7.13
7.12
7.04
7.03
6.78
6.76
4.63
4.62
4.61
3.00
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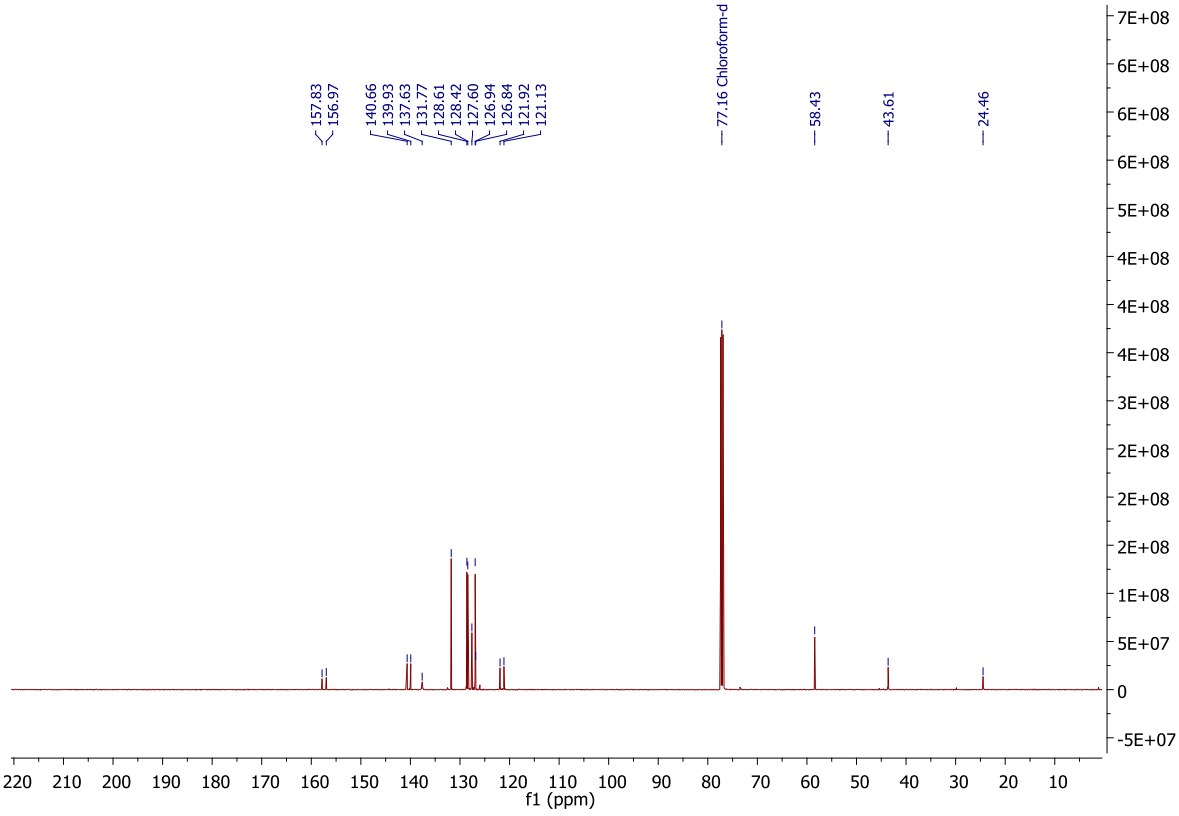
1.00
2.00
3.00
f1 (ppm)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139.93
137.63
131.77
128.61
128.42
127.60
126.94
126.84
121.92
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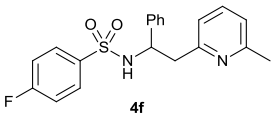
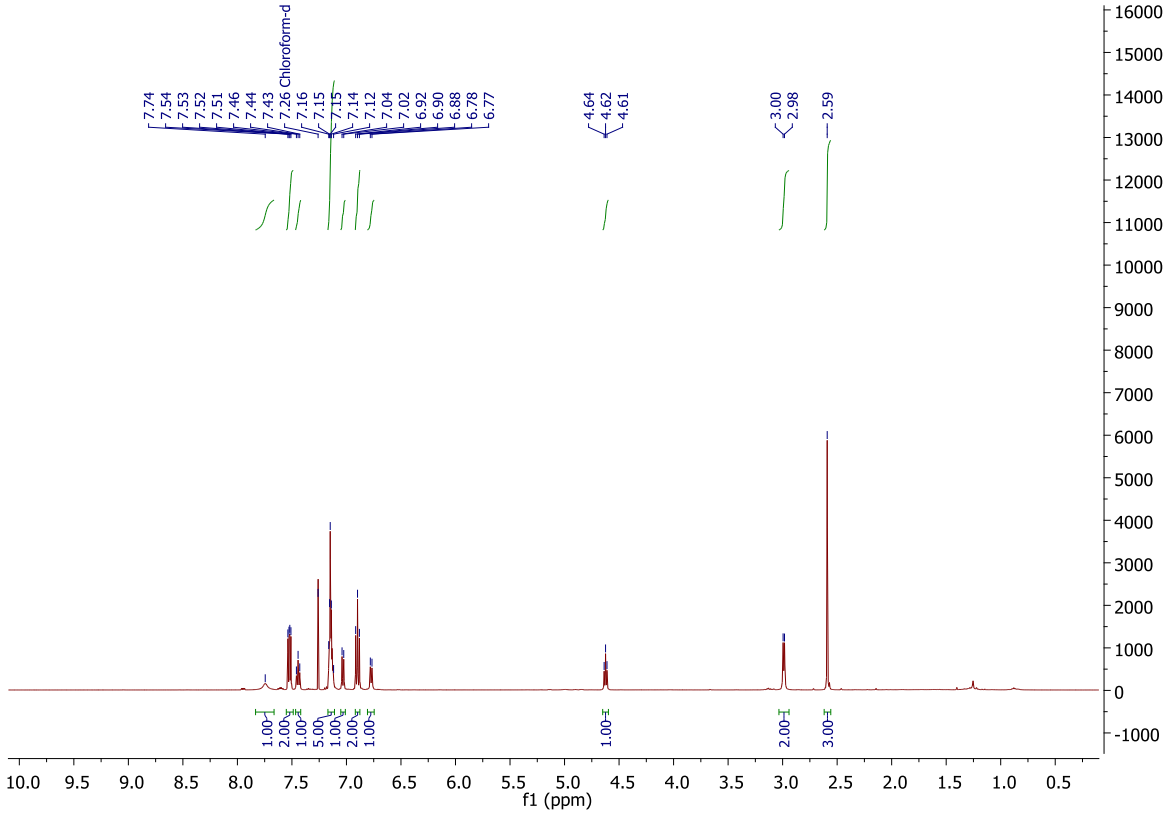
58.43
43.61
24.46
f1 (ppm)


O
O
S
N
H
Ph
N
F

4f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7.26 Chloroform-d
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7.15
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7.12
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7.02
6.92
6.90
6.88
6.78
6.77
4.64
4.62
4.61
3.00
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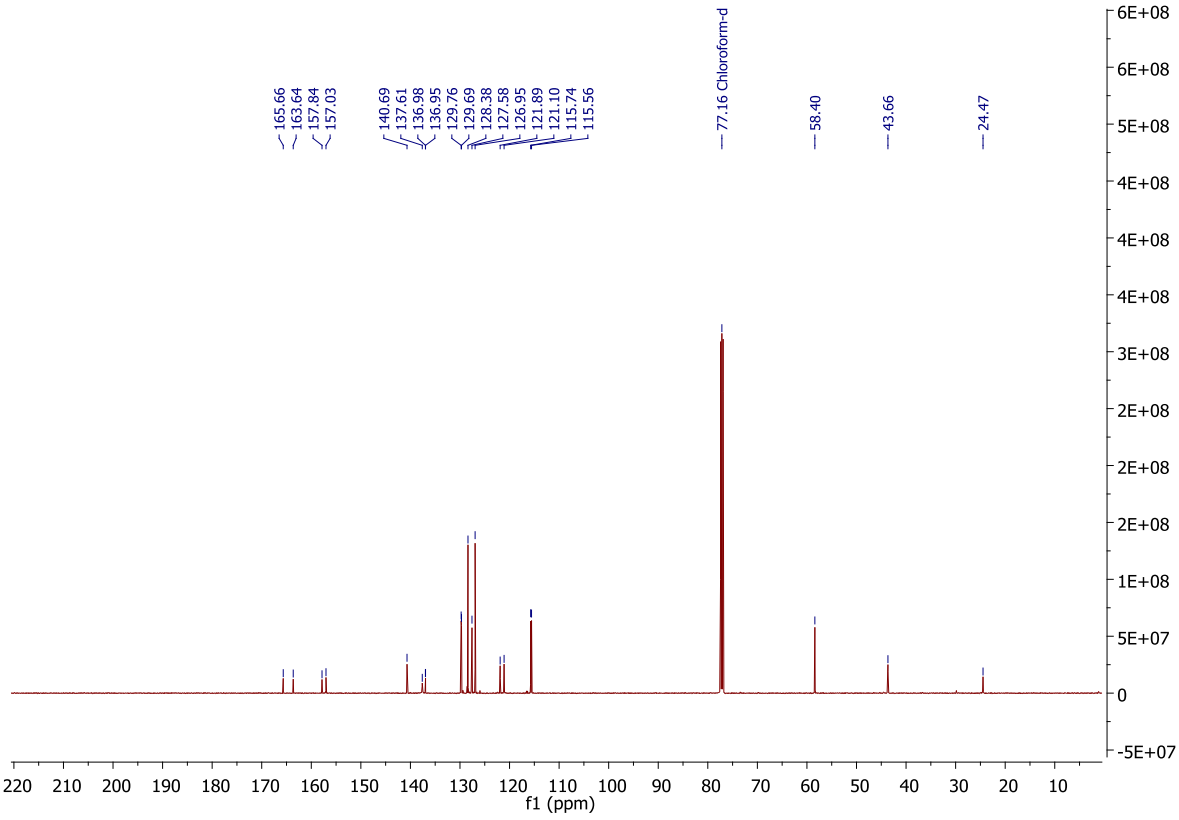
1.00
1.00
2.00
3.00
f1 (ppm)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137.61
136.98
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129.76
129.69
128.38
127.58
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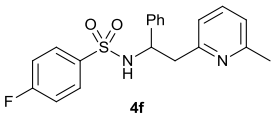
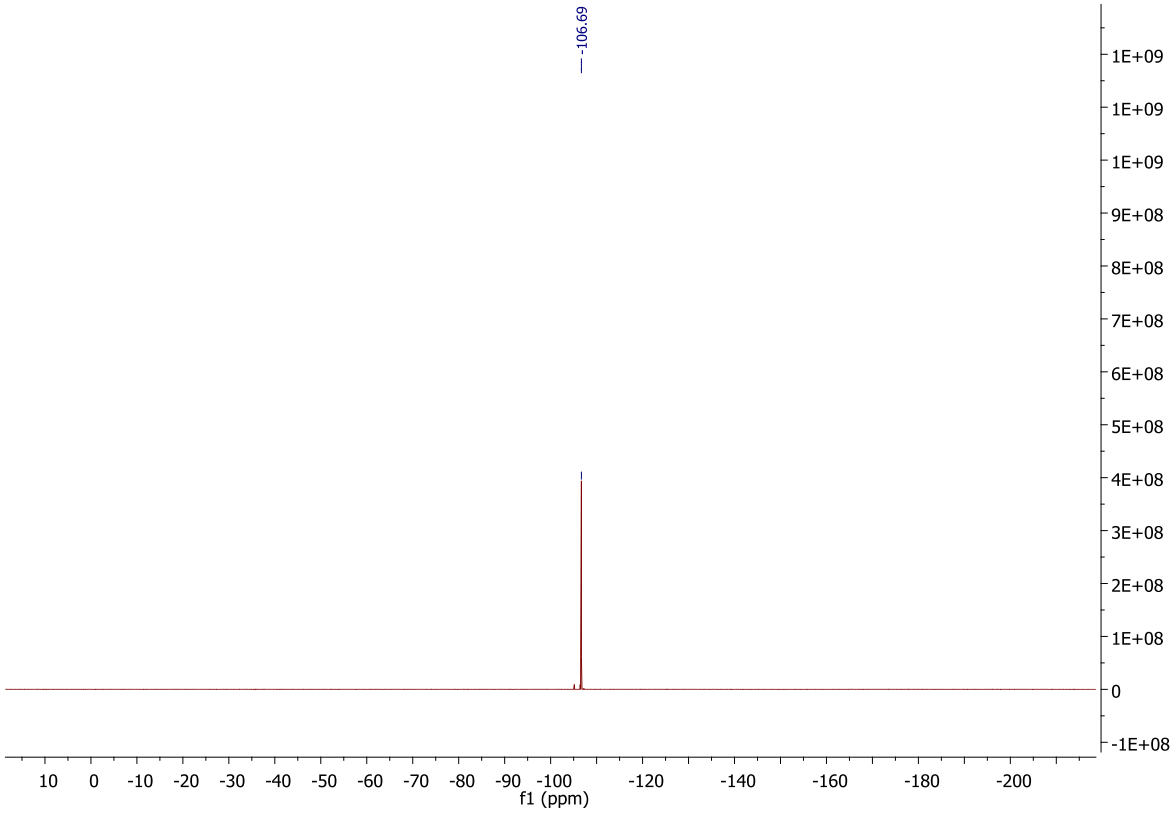
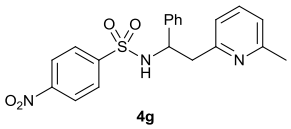
58.40
43.66
24.47
f1 (ppm)



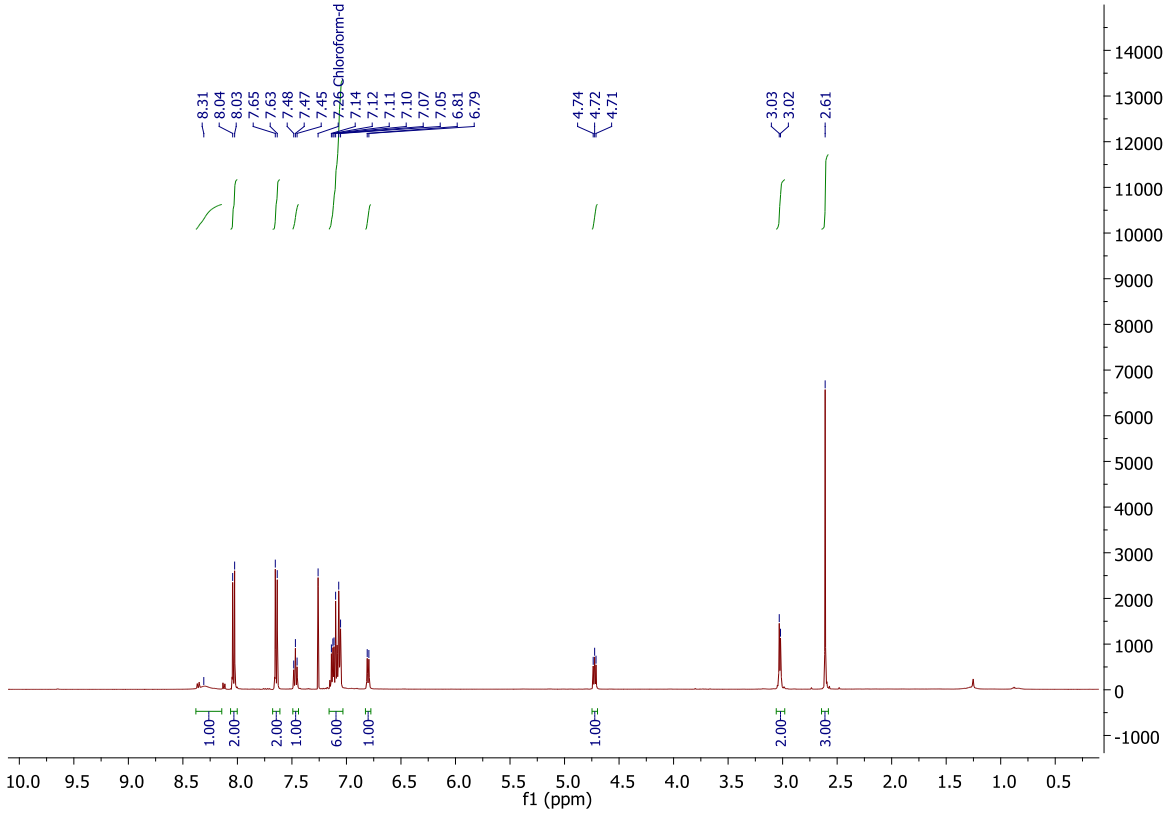
4f

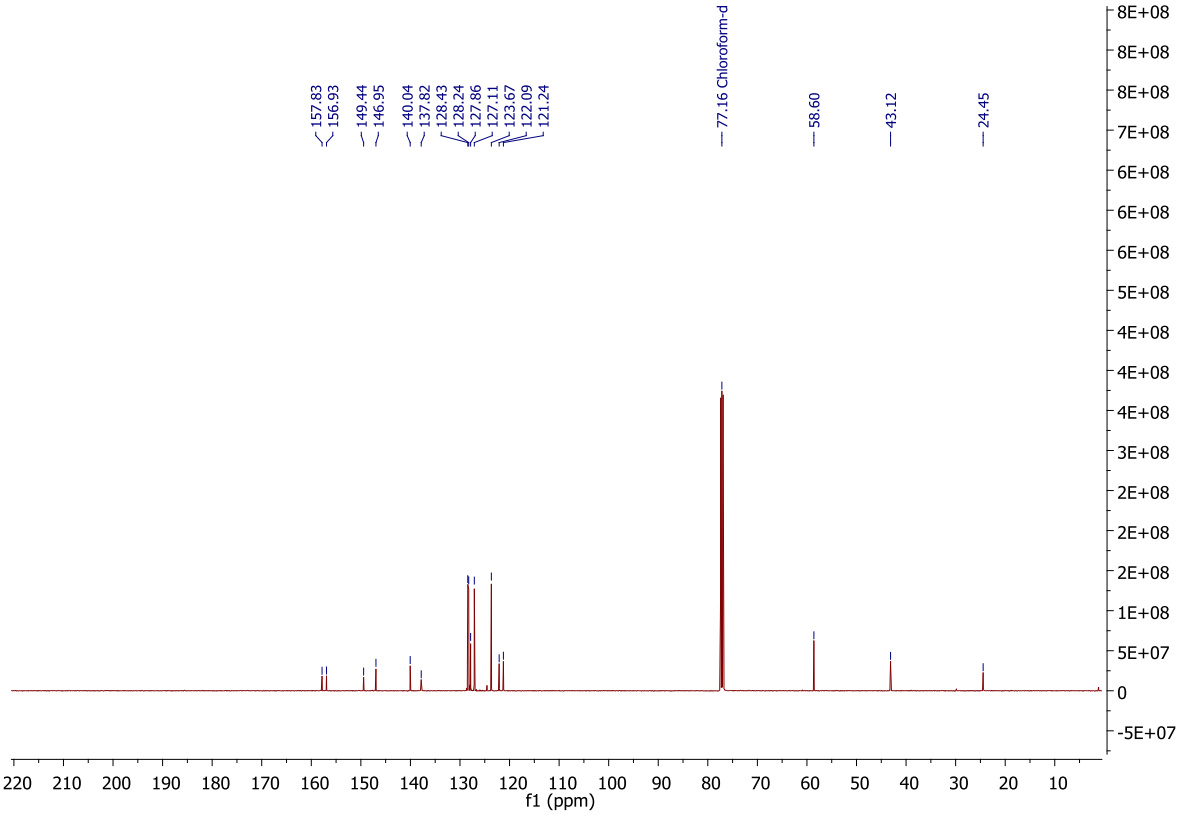
$^{19}F\{^{1}H\}$ NMR (282 MHz, $CDCl_3$)

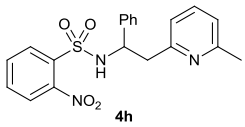



O
O
S
N
H
Ph
N
O_2N

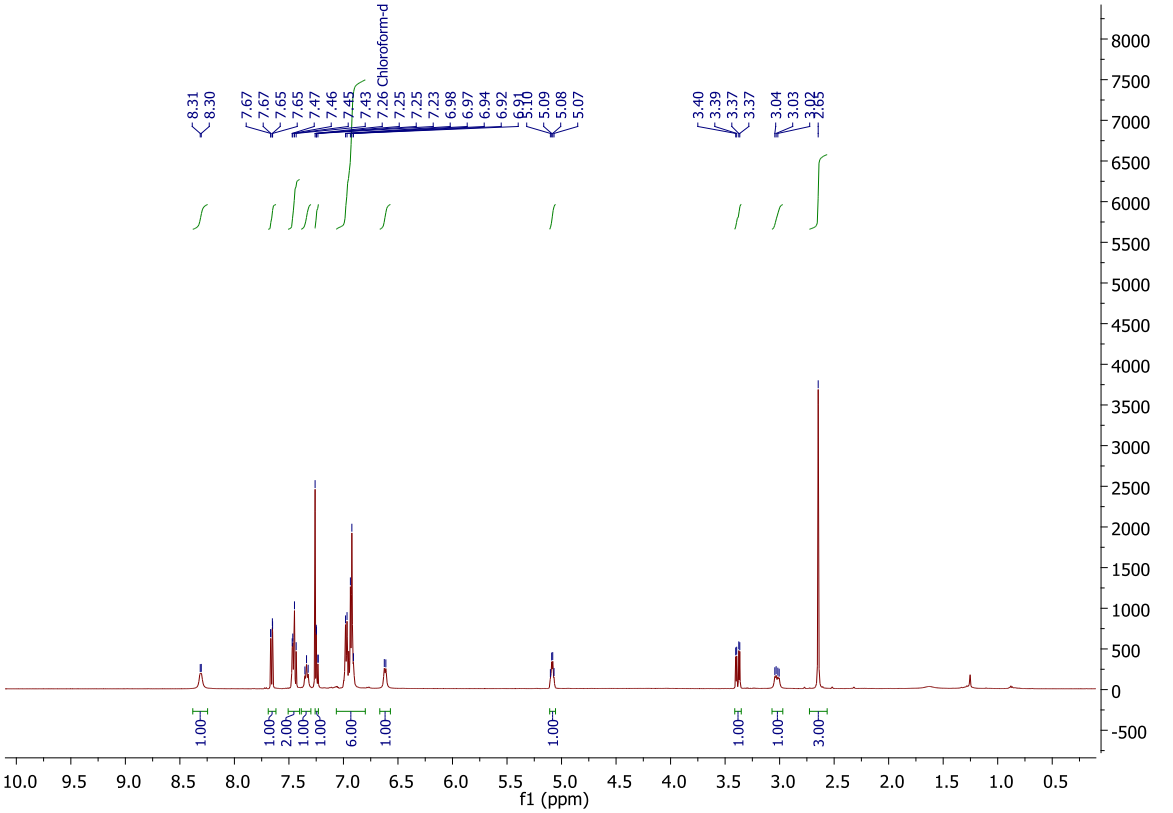
4g


8.31
8.04
8.03
7.65
7.63
7.48
7.47
7.45
7.26 Chloroform-d
7.14
7.12
7.11
7.10
7.07
7.05
6.81
6.79
4.74
4.72
4.71
3.03
3.02
2.61
1.00
2.00
2.00
1.00
6.00
1.00
1.00
2.00
3.00
f1 (ppm)


157.83
156.93
149.44
146.95
140.04
137.82
128.43
128.24
127.86
127.11
123.67
122.09
121.24
77.16 Chloroform-d
58.60
43.12
24.45
f1 (ppm)


O
O
S
N
H
Ph
N
NO2

4h


8.31
8.30
7.67
7.67
7.65
7.65
7.47
7.46
7.45
7.43
7.26 Chloroform-d
7.25
7.25
7.23
6.98
6.97
6.94
6.92
6.91
5.10
5.09
5.08
5.07
3.40
3.39
3.37
3.37
3.04
3.03
3.02
2.85
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1.00
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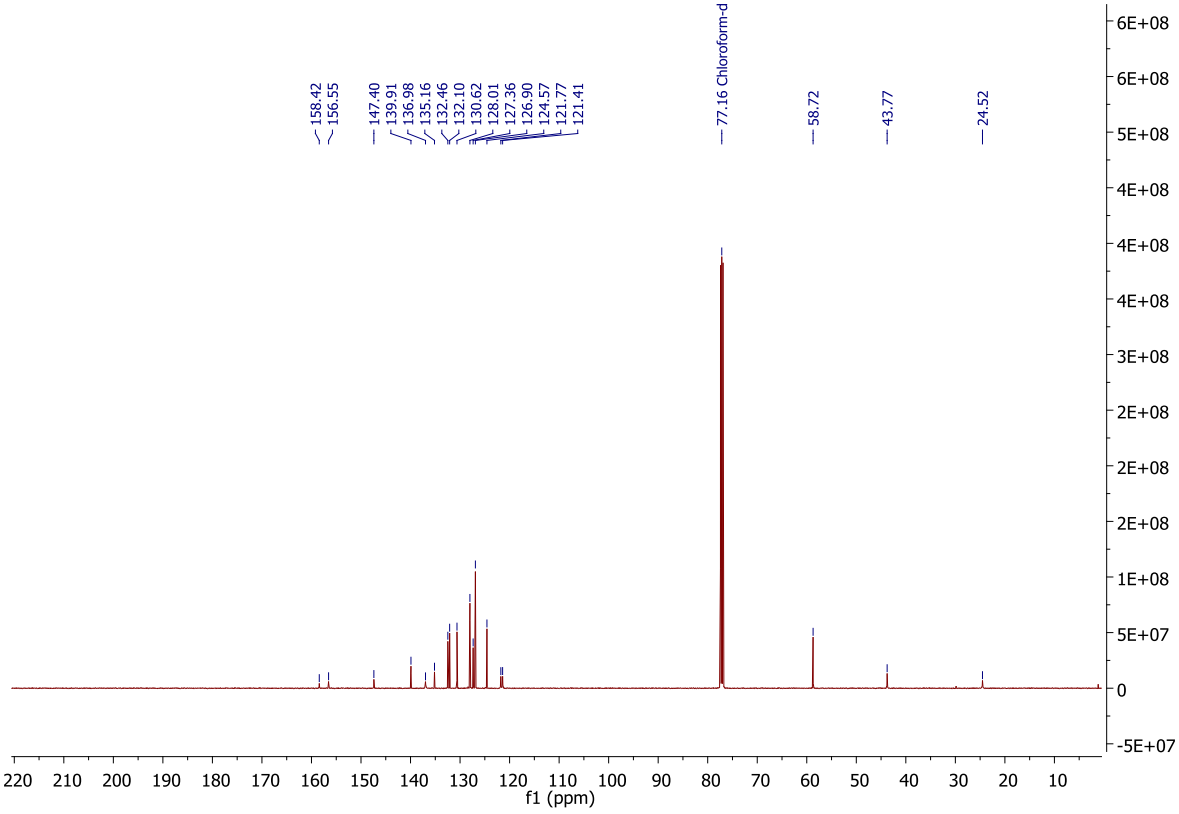
1.00
1.00
6.00
1.00
1.00
1.00
1.00
3.00
f1 (ppm)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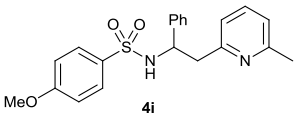
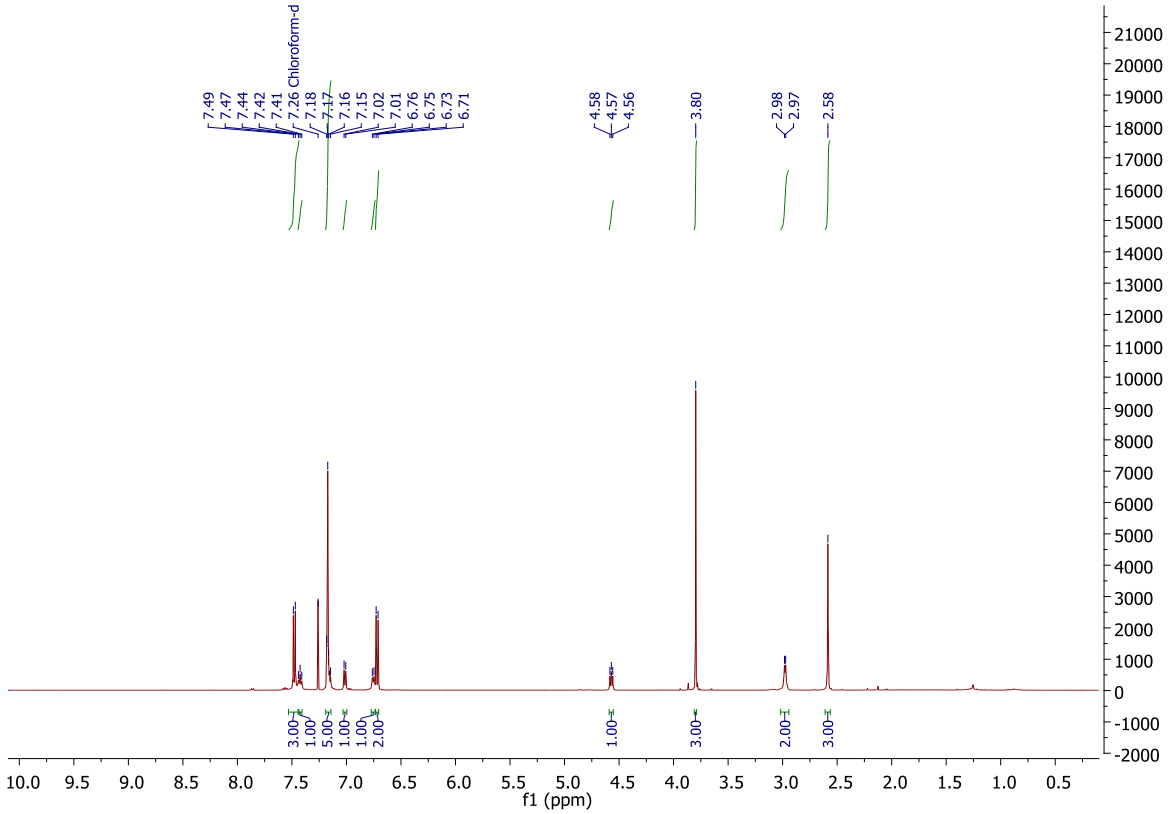
139.91
136.98
135.16
132.46
132.10
130.62
128.01
127.36
126.90
124.57
121.77
121.41
77.16 Chloroform-d
58.72
43.77
24.52
f1 (ppm)


O
O
S
N
H
Ph
N
MeO

4i


7.49
7.47
7.44
7.42
7.41
7.26 Chloroform-d
7.18
7.17
7.16
7.15
7.02
7.01
6.76
6.75
6.73
6.71
4.58
4.57
4.56
3.80
2.98
2.97
2.58
3.00
1.00
5.00
1.00
1.00
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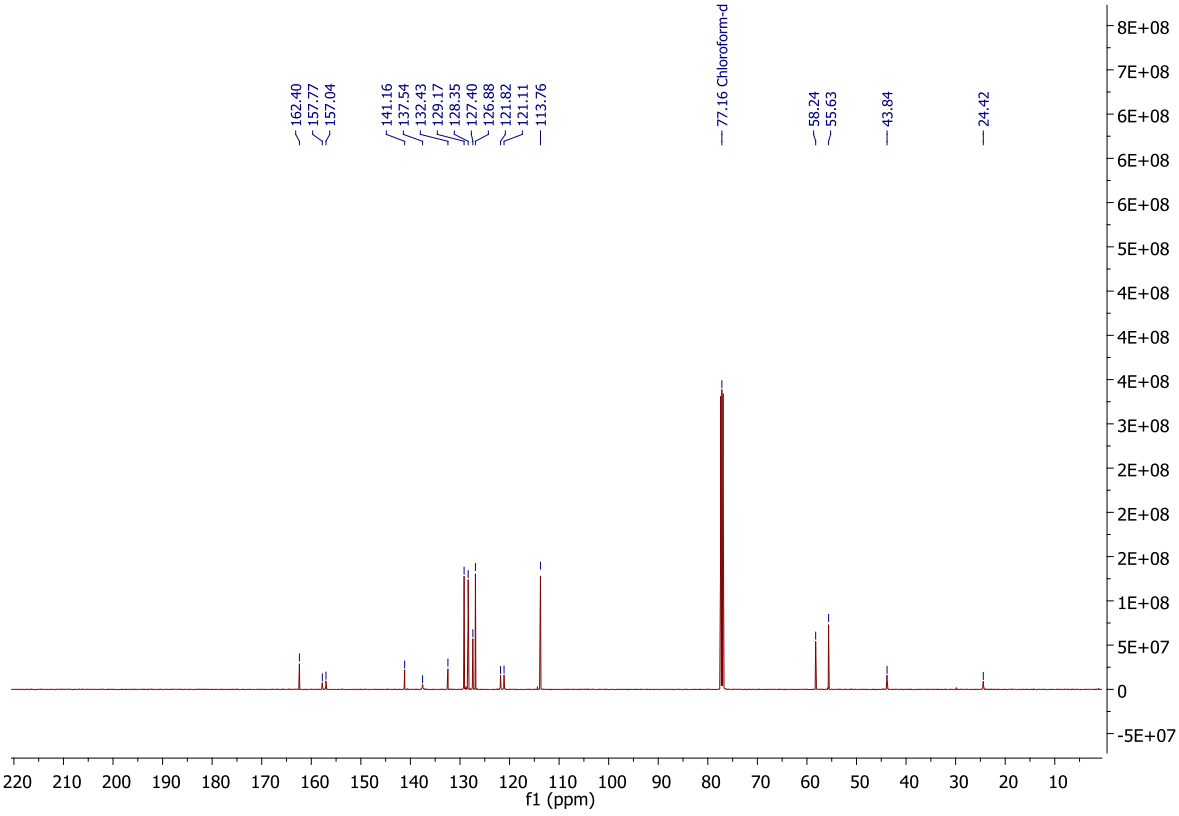
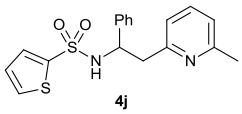
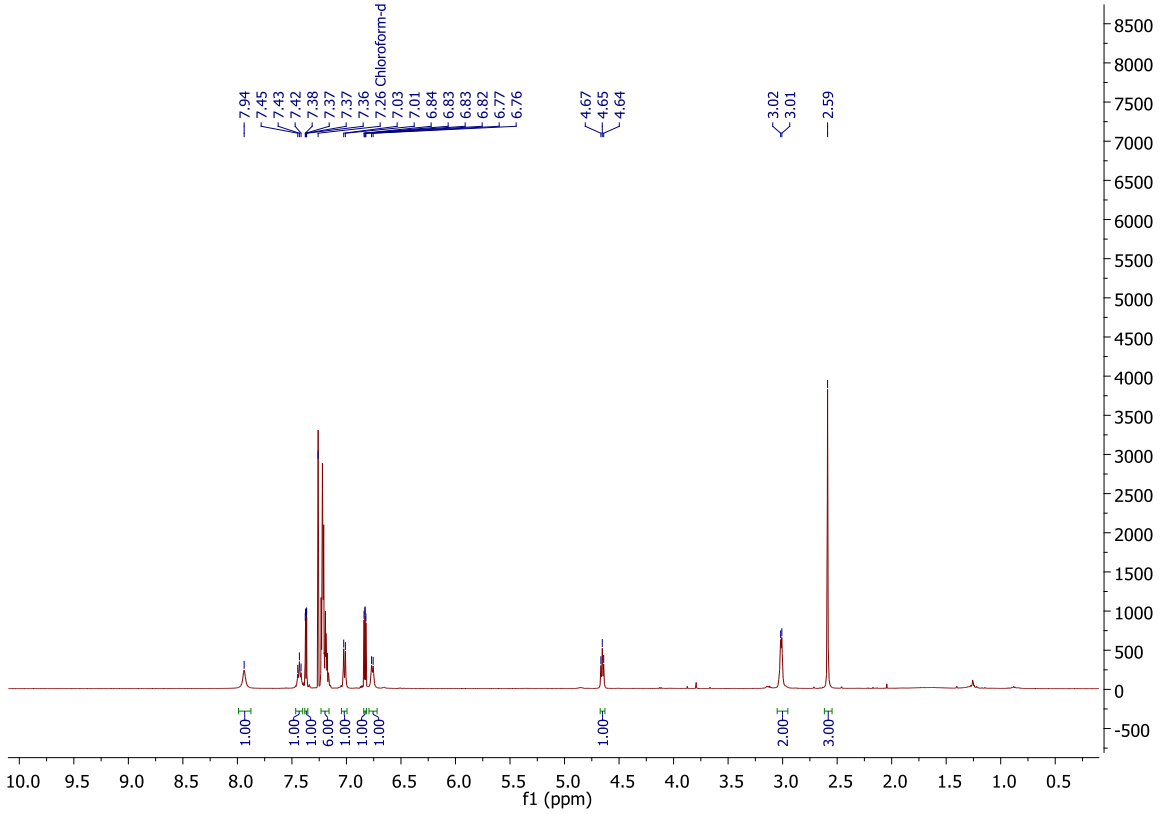
1.00
3.00
2.00
3.00
f1 (ppm)


162.40
157.77
157.04
141.16
137.54
132.43
129.17
128.35
127.40
126.88
121.82
121.11
113.76
77.16 Chloroform-d
58.24
55.63
43.84
24.42
f1 (ppm)


O
O
S
N
H
Ph
N
S

4j


7.94
7.45
7.43
7.42
7.38
7.37
7.37
7.36
7.26 Chloroform-d
7.03
7.01
6.84
6.83
6.83
6.82
6.77
6.76
4.67
4.65
4.64
3.02
3.01
2.59
1.00
1.00
1.00
6.00
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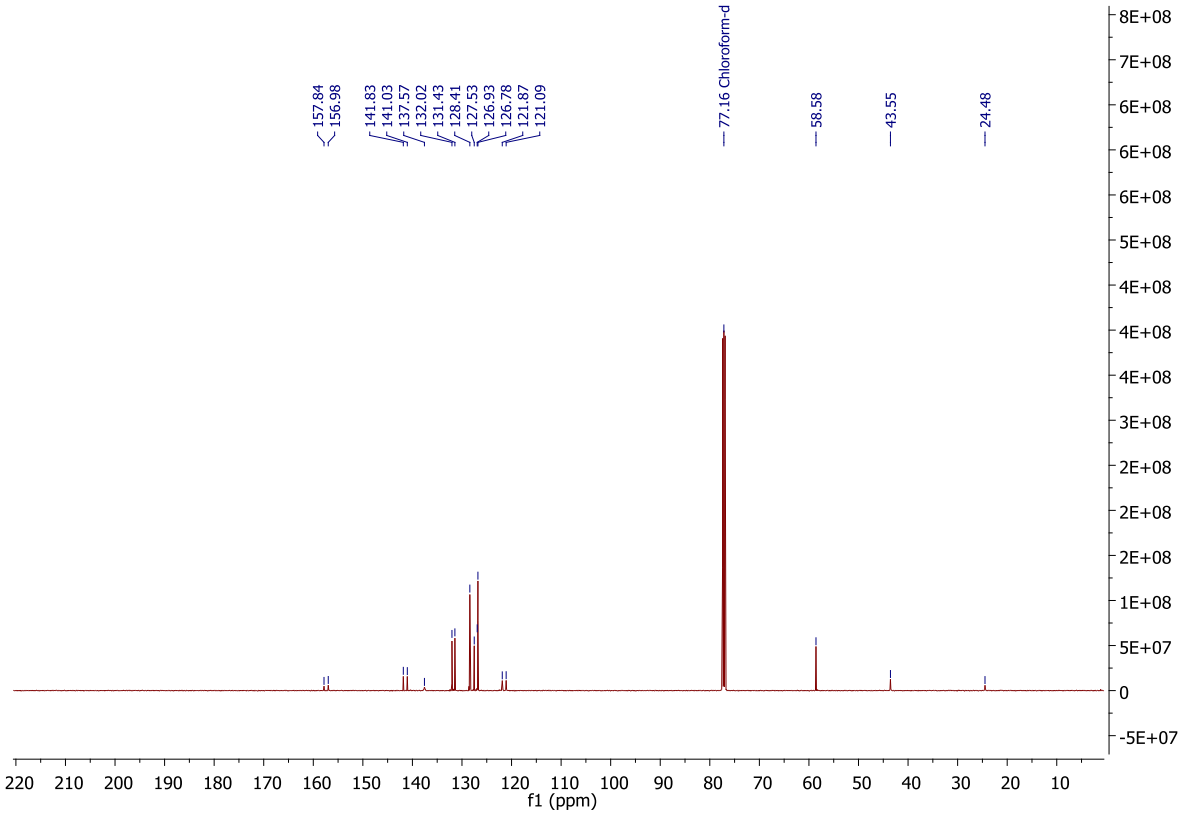
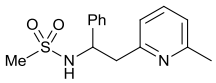
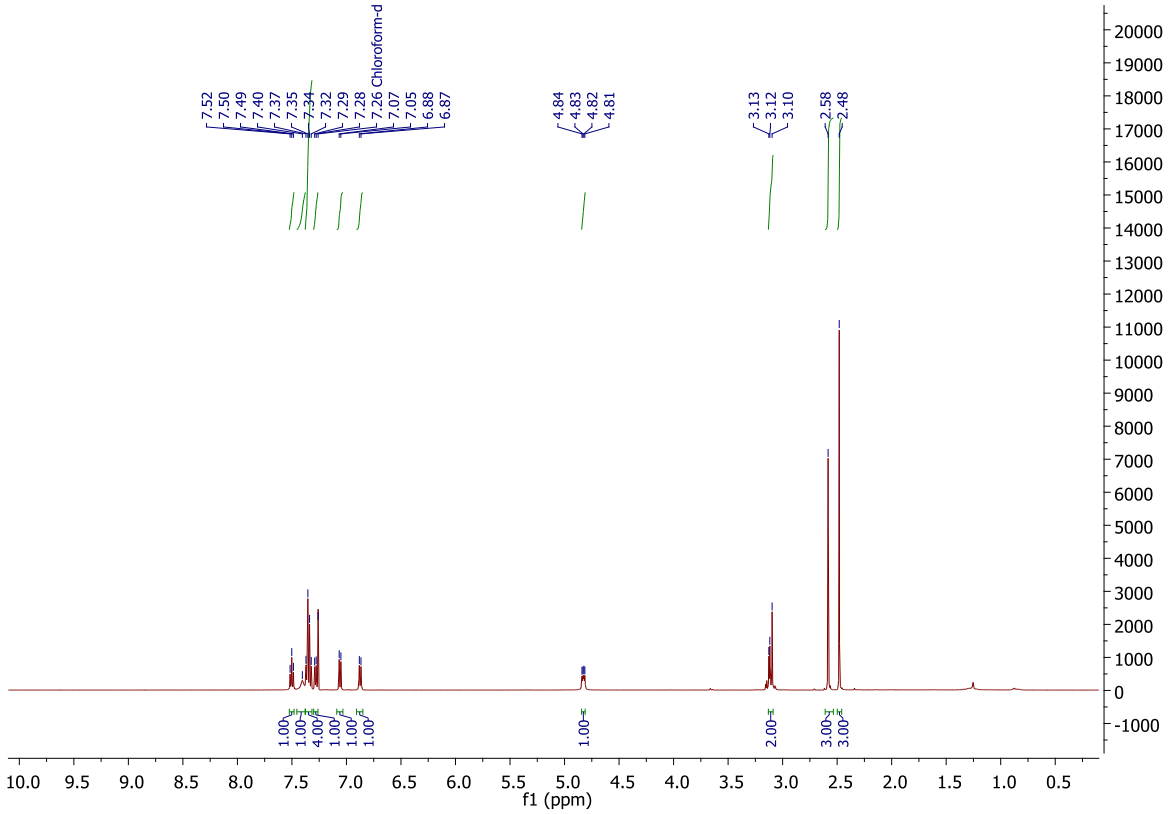
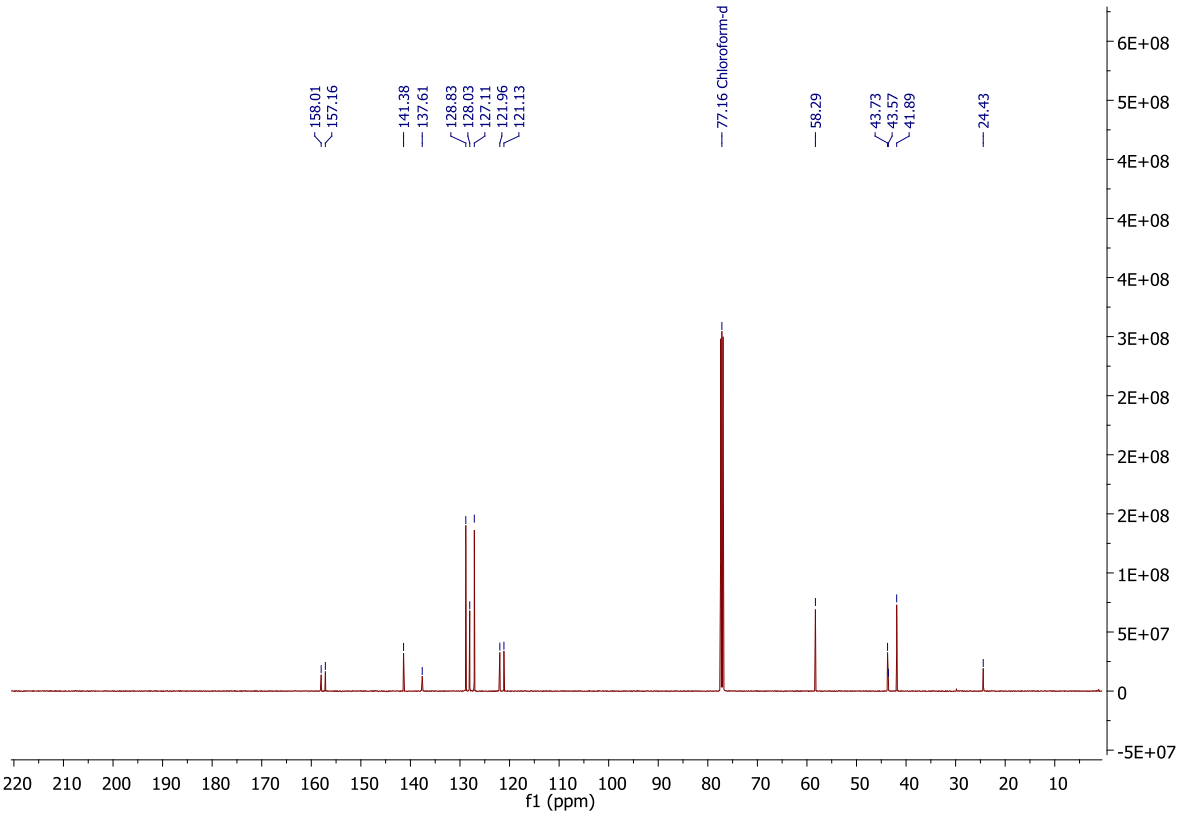
1.00
1.00
2.00
3.00
f1 (ppm)

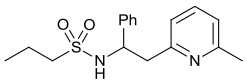

157.84
156.98
141.83
141.03
137.57
132.02
131.43
128.41
127.53
126.93
126.78
121.87
121.09
77.16 Chloroform-d
58.58
43.55
24.48
f1 (ppm)


O
O
S
Me
N
H
Ph
N

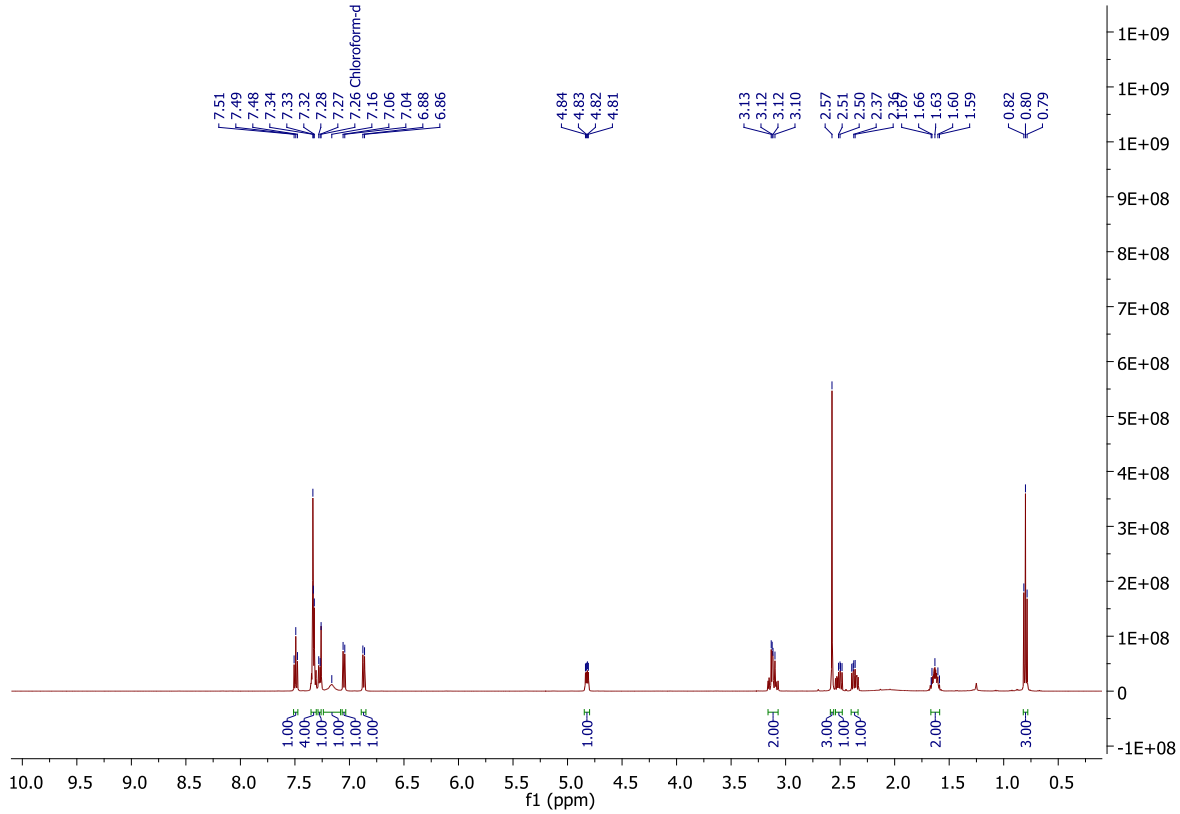
4k


7.52
7.50
7.49
7.40
7.37
7.35
7.34
7.32
7.29
7.28
7.26 Chloroform-d
7.07
7.05
6.88
6.87
4.84
4.83
4.82
4.81
3.13
3.12
3.10
2.58
2.48
1.00
1.00
4.00
1.00
1.00
1.00
2.00
3.00
3.00
f1 (ppm)


158.01
157.16
141.38
137.61
128.83
128.03
127.11
121.96
121.13
77.16 Chloroform-d
58.29
43.73
43.57
41.89
24.43
f1 (ppm)


O
O
S
N
H
Ph
N

4l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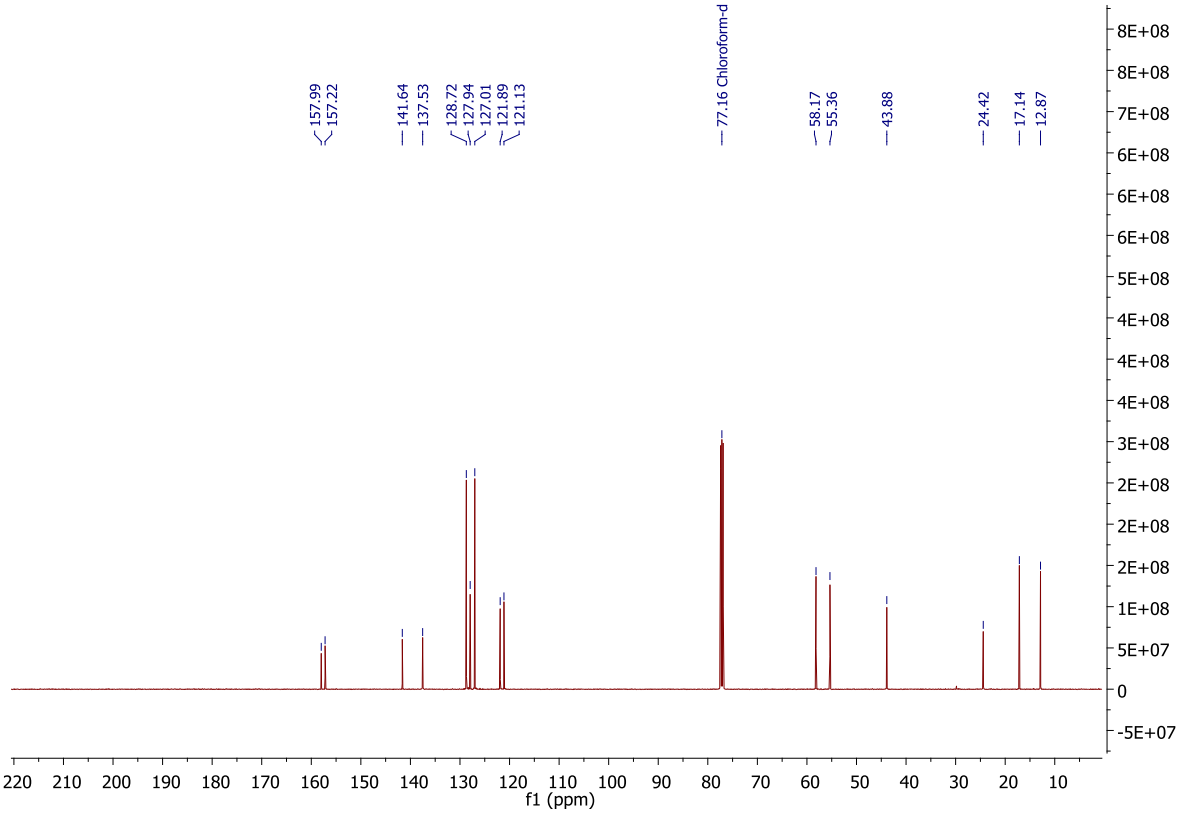
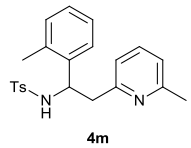
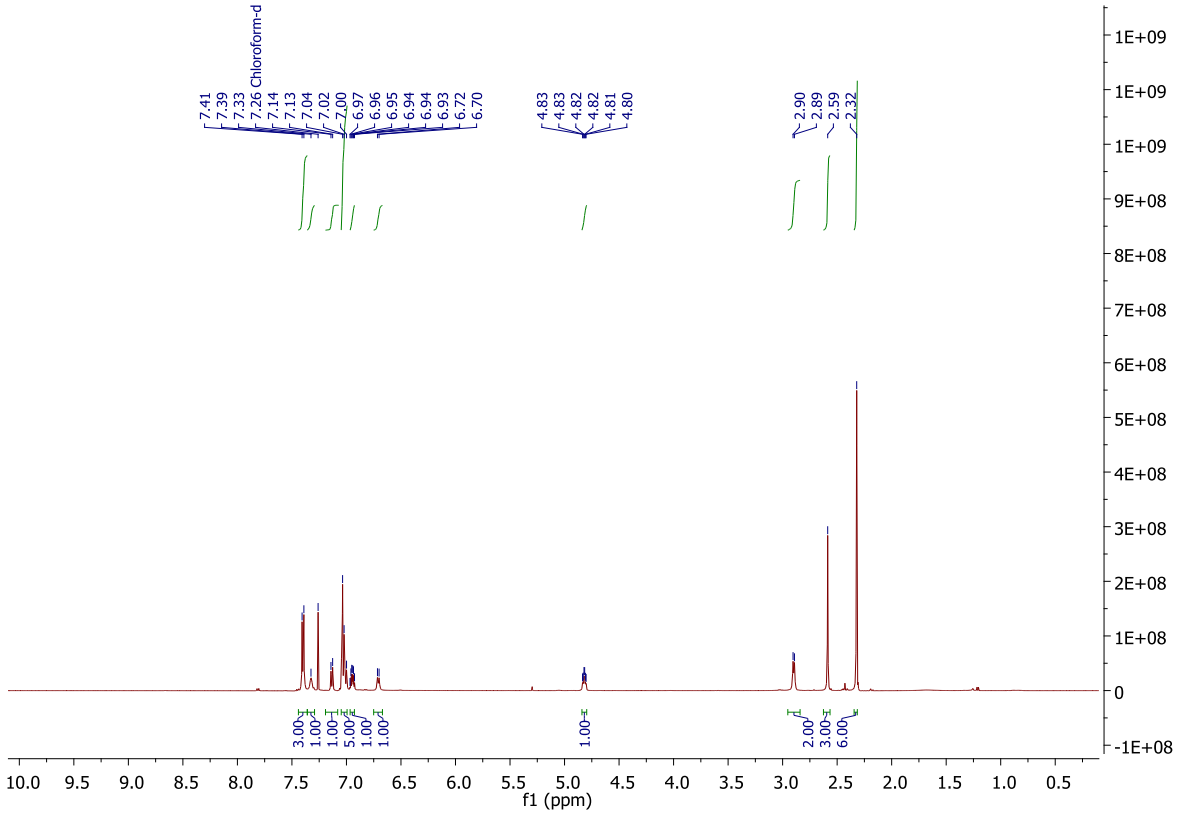
7.49
7.48
7.34
7.33
7.32
7.28
7.27
7.26 Chloroform-d
7.16
7.06
7.04
6.88
6.86
4.84
4.83
4.82
4.81
3.13
3.12
3.12
3.10
2.57
2.51
2.50
2.37
2.36
1.67
1.66
1.63
1.60
1.59
0.82
0.80
0.79
1.00
4.00
1.00
1.00
1.00
1.00
2.00
3.00
1.00
2.00
3.00
f1 (ppm)


157.99
157.22
141.64
137.53
128.72
127.94
127.01
121.89
121.13
77.16 Chloroform-d
58.17
55.36
43.88
24.42
17.14
12.87
f1 (ppm)


Ts
N
H
N

4m


7.41
7.39
7.33
7.26 Chloroform-d
7.14
7.13
7.04
7.02
7.00
6.97
6.96
6.95
6.94
6.94
6.93
6.72
6.70
4.83
4.83
4.82
4.82
4.81
4.80
2.90
2.89
2.59
2.32
3.00
1.00
1.00
5.00
1.00
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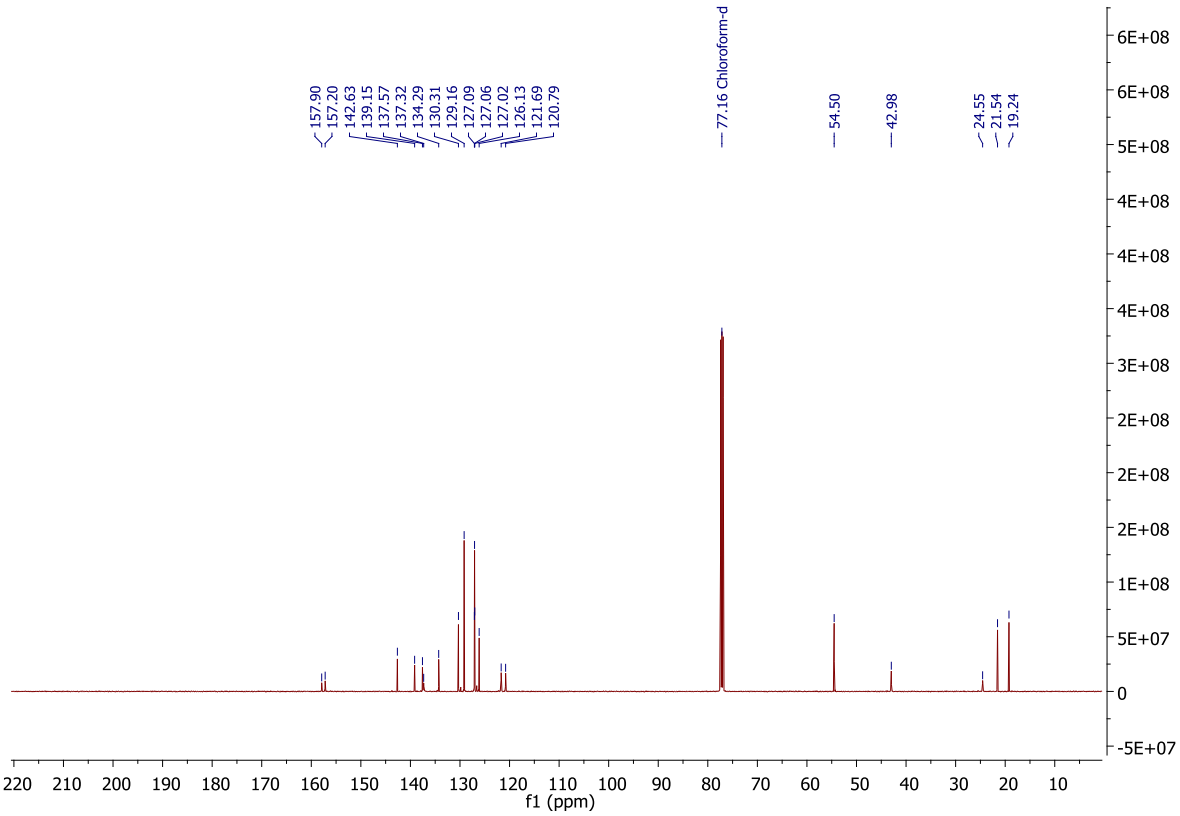
1.00
2.00
3.00
6.00
f1 (ppm)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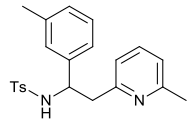
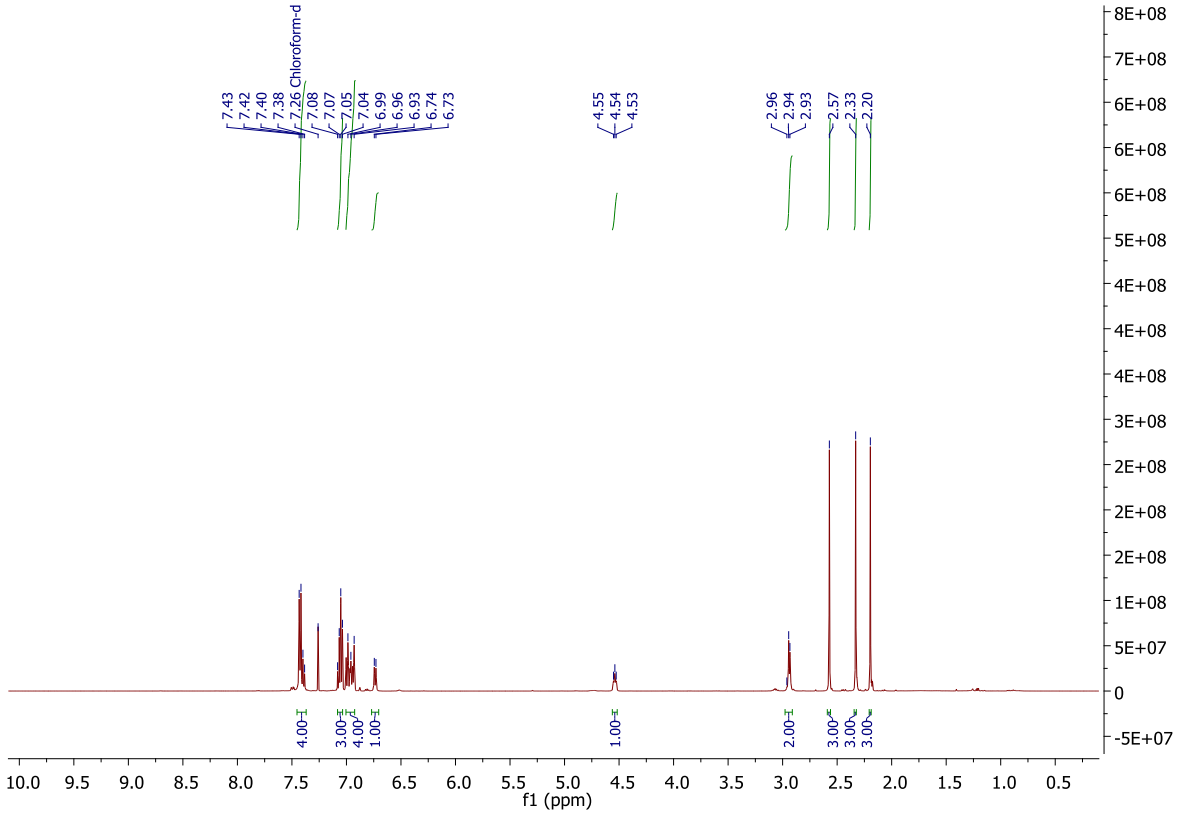
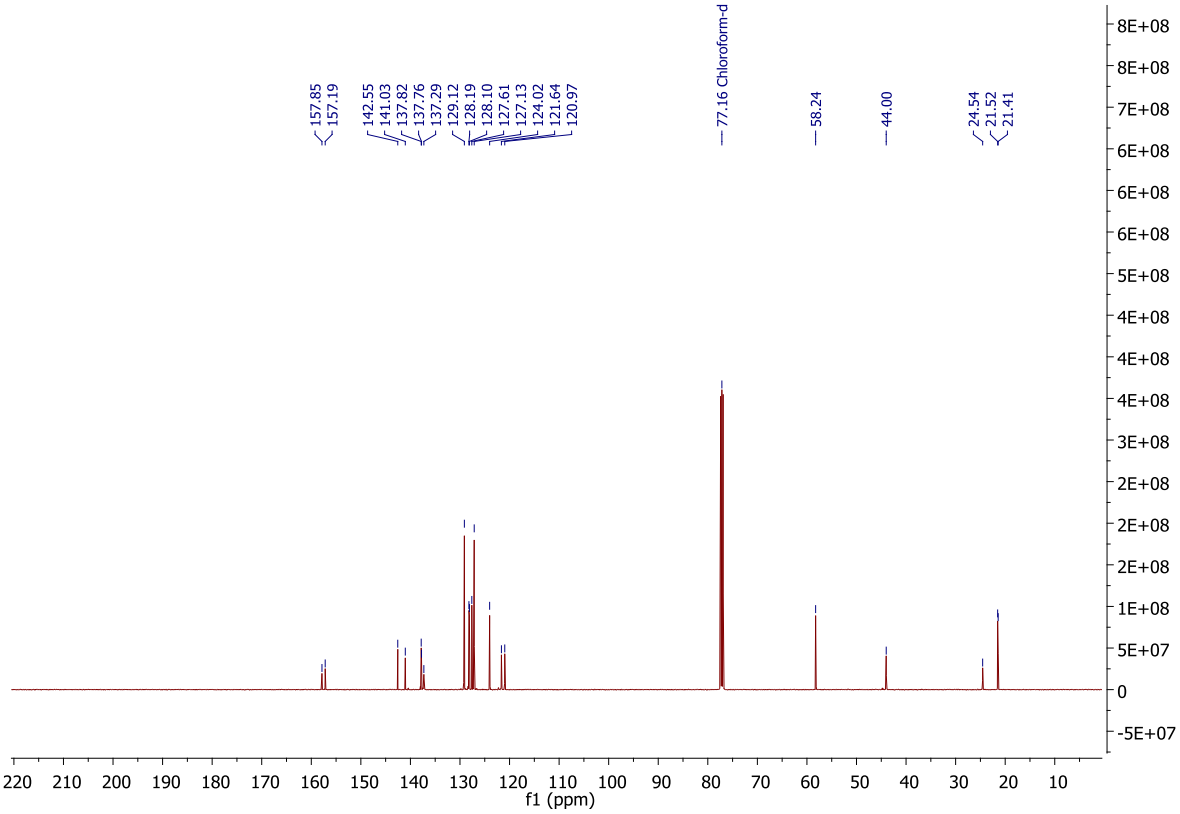
157.20
142.63
139.15
137.57
137.32
134.29
130.31
129.16
127.09
127.06
127.02
126.13
121.69
120.79
77.16 Chloroform-d
54.50
42.98
24.55
21.54
19.24
f1 (ppm)


Ts
N
H
N

4n


7.43
7.42
7.40
7.38
7.26 Chloroform-d
7.08
7.07
7.05
7.04
6.99
6.96
6.93
6.74
6.73
4.55
4.54
4.53
2.96
2.94
2.93
2.57
2.33
2.20
4.00
3.00
4.00
1.00
1.00
2.00
3.00
3.00
3.00
f1 (ppm)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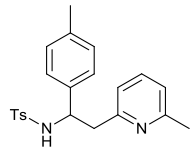
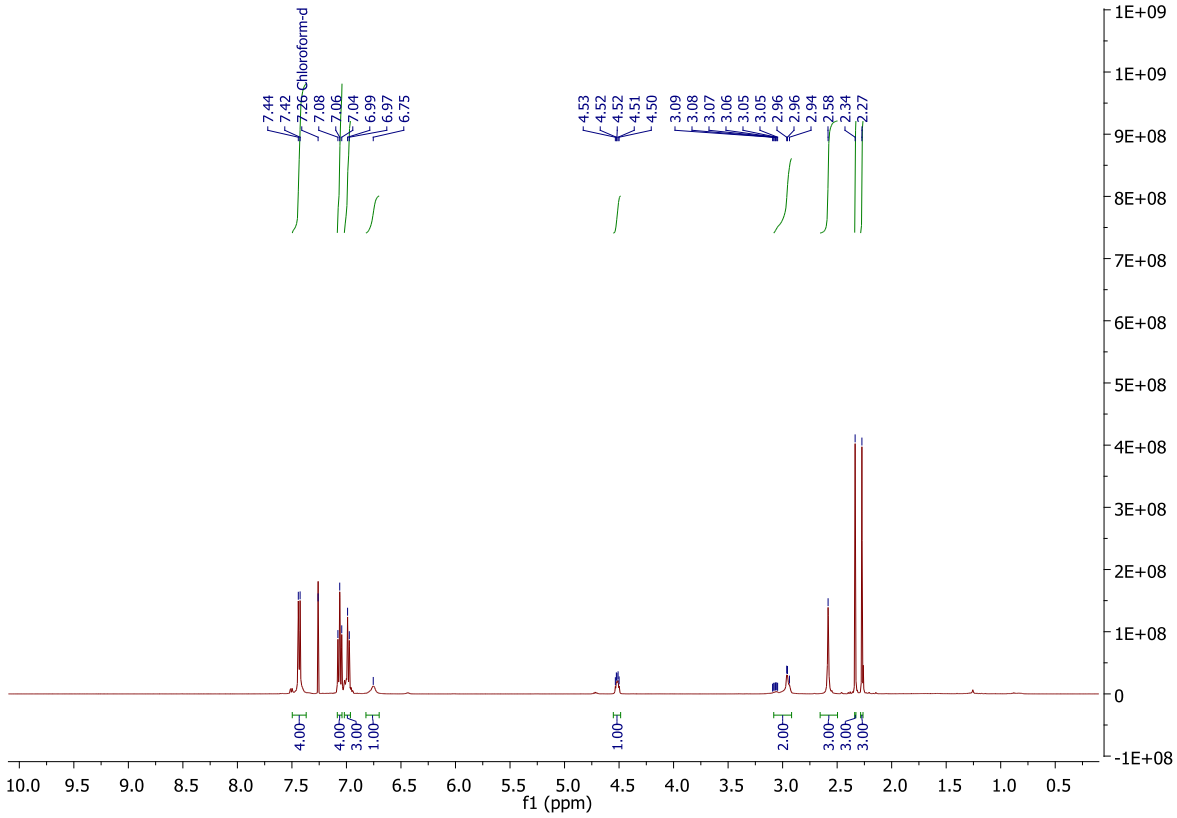
157.19
142.55
141.03
137.82
137.76
137.29
129.12
128.19
128.10
127.61
127.13
124.02
121.64
120.97
77.16 Chloroform-d
58.24
44.00
24.54
21.52
21.41
f1 (ppm)


Ts
N
H
N

4o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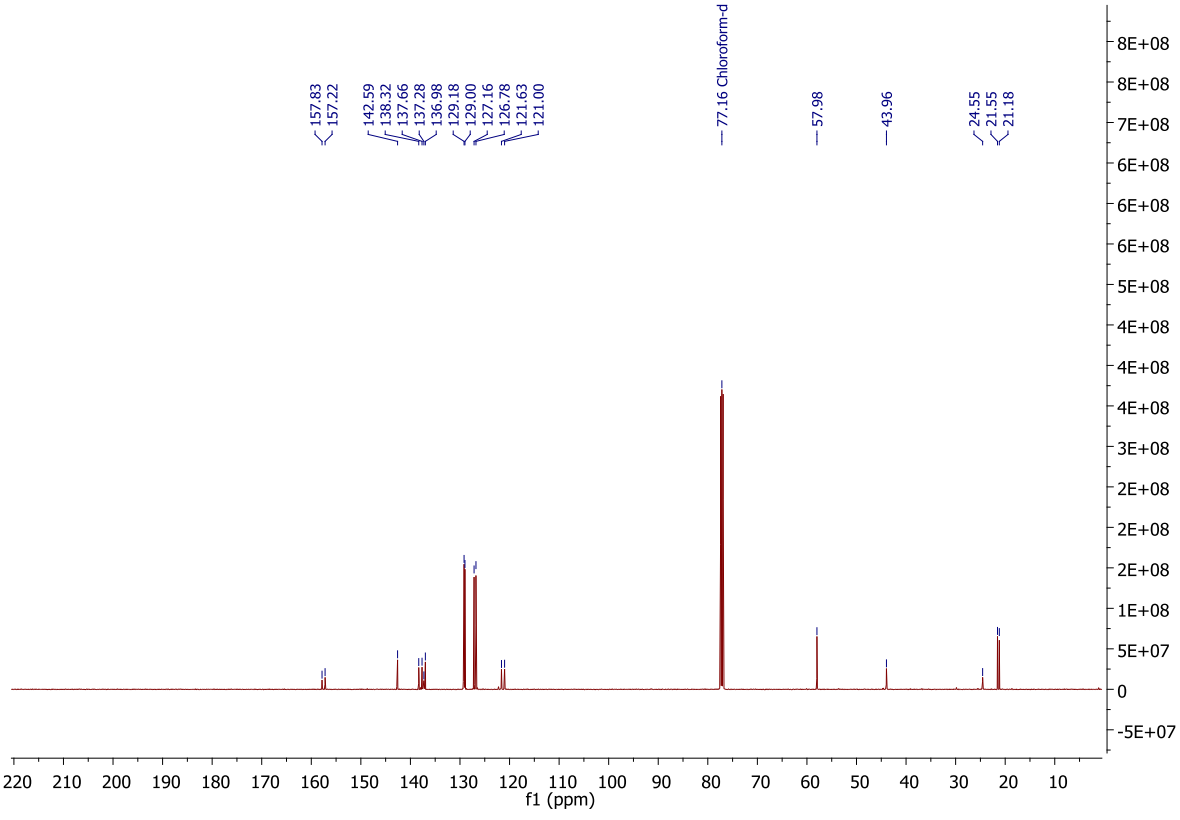
7.42
7.26 Chloroform-d
7.08
7.06
7.04
6.99
6.97
6.75
4.53
4.52
4.52
4.51
4.50
3.09
3.08
3.07
3.06
3.05
3.05
2.96
2.96
2.94
2.58
2.34
2.27
4.00
4.00
3.00
1.00
1.00
2.00
3.00
3.00
3.00
f1 (ppm)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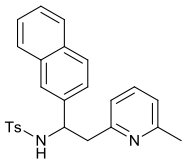
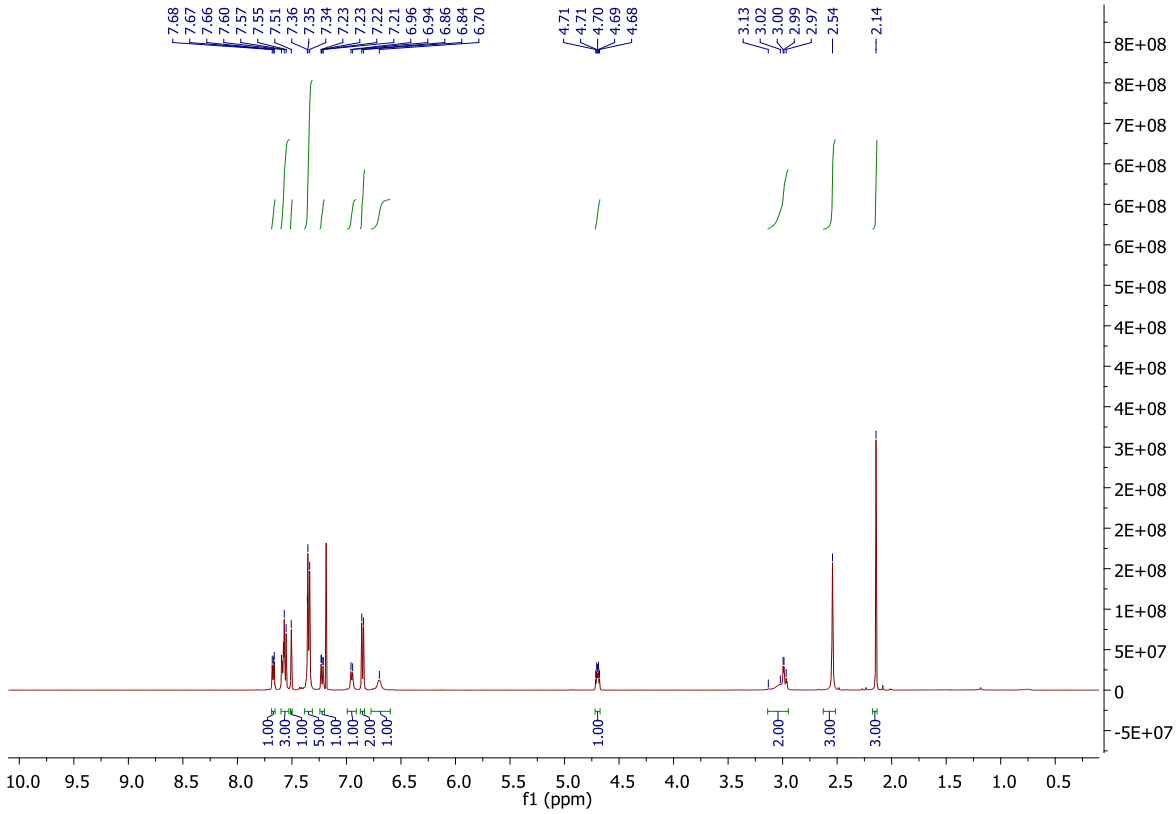
157.22
142.59
138.32
137.66
137.28
136.98
129.18
129.00
127.16
126.78
121.63
121.00
77.16 Chloroform-d
57.98
43.96
24.55
21.55
21.18
f1 (ppm)


Ts
N
H
N

4p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7.60
7.57
7.55
7.51
7.36
7.35
7.34
7.23
7.23
7.22
7.21
6.96
6.94
6.86
6.84
6.70
4.71
4.71
4.70
4.69
4.68
3.13
3.02
3.00
2.99
2.97
2.54
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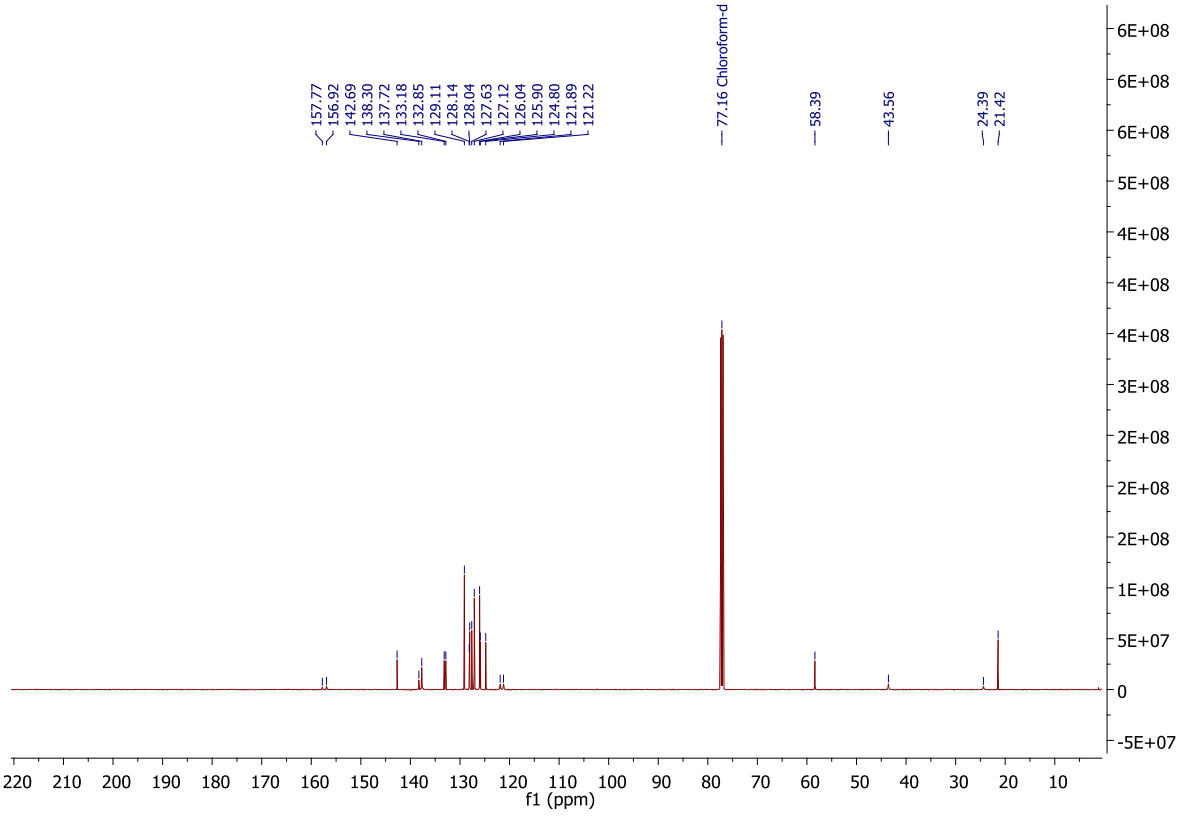
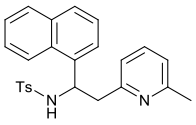
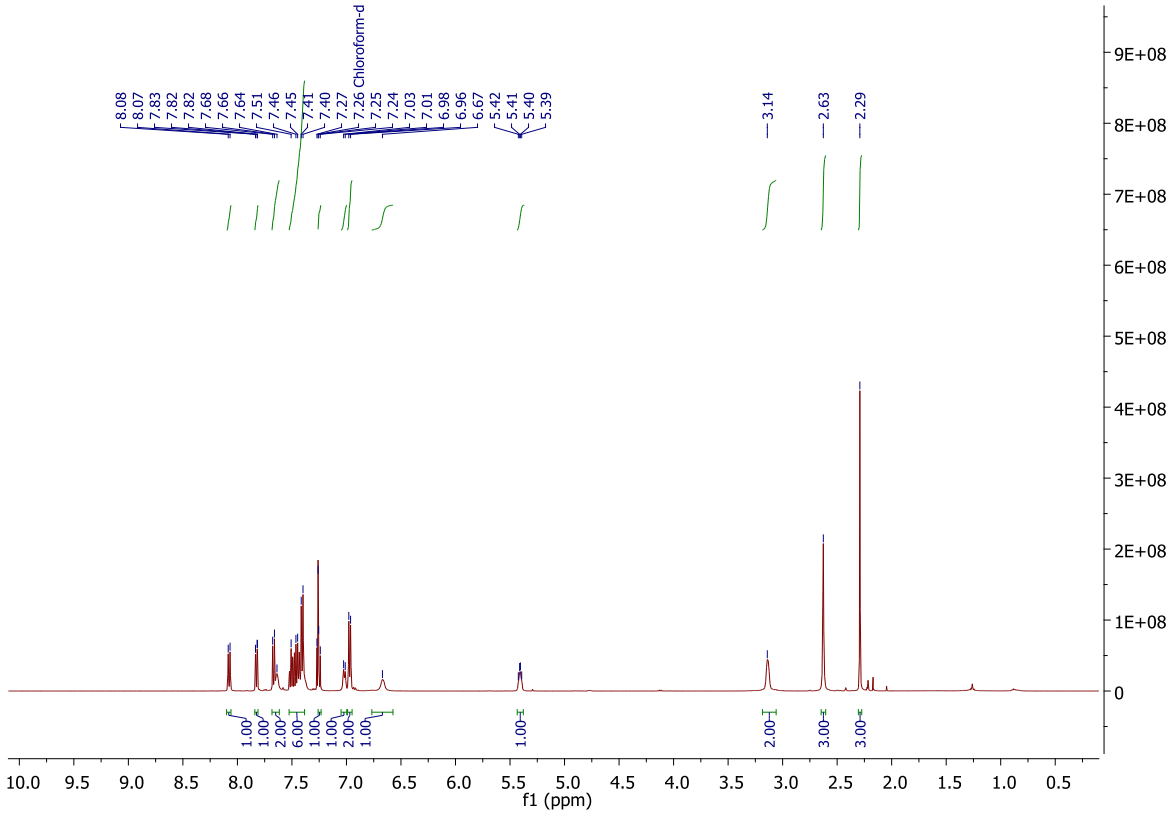
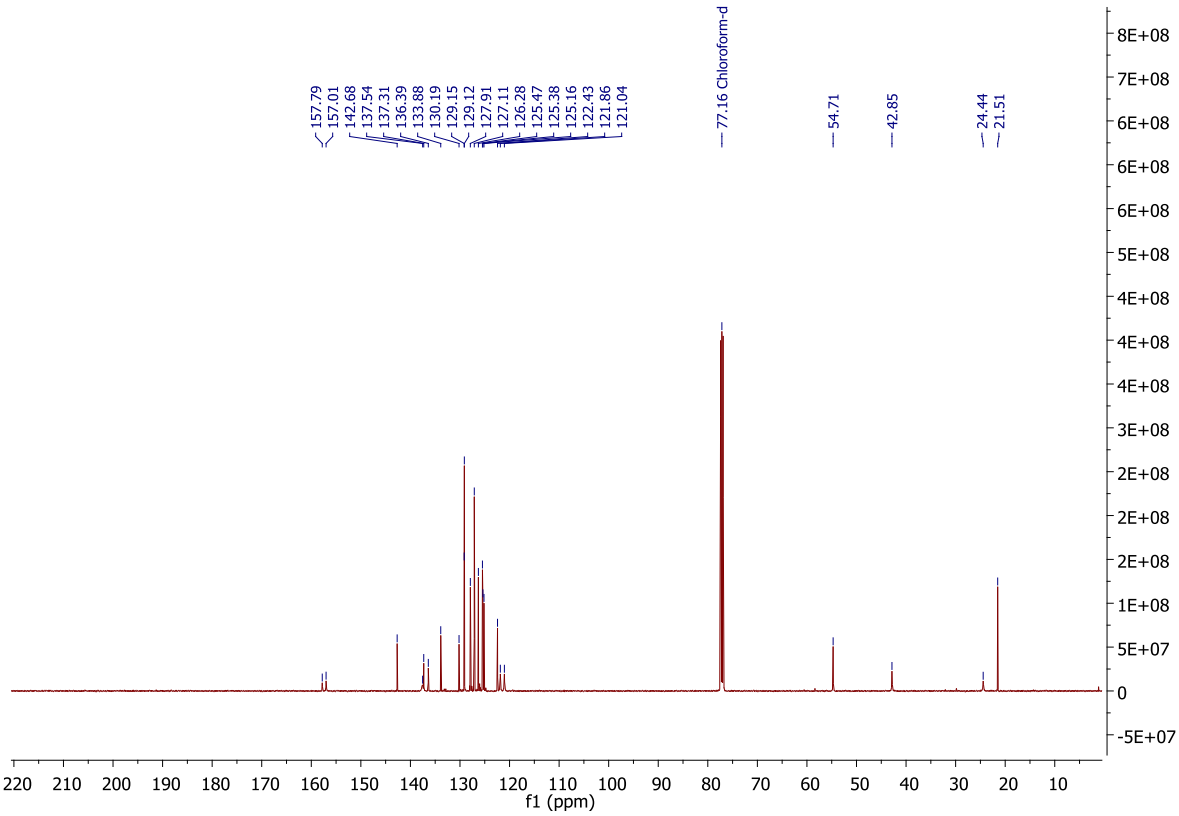
1.00
2.00
3.00
3.00
f1 (ppm)

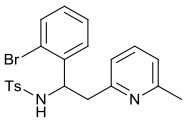

157.77
156.92
142.69
138.30
137.72
133.18
132.85
129.11
128.14
128.04
127.63
127.12
126.04
125.90
124.80
121.89
121.22
77.16 Chloroform-d
58.39
43.56
24.39
21.42
f1 (ppm)


Ts
N
H
N

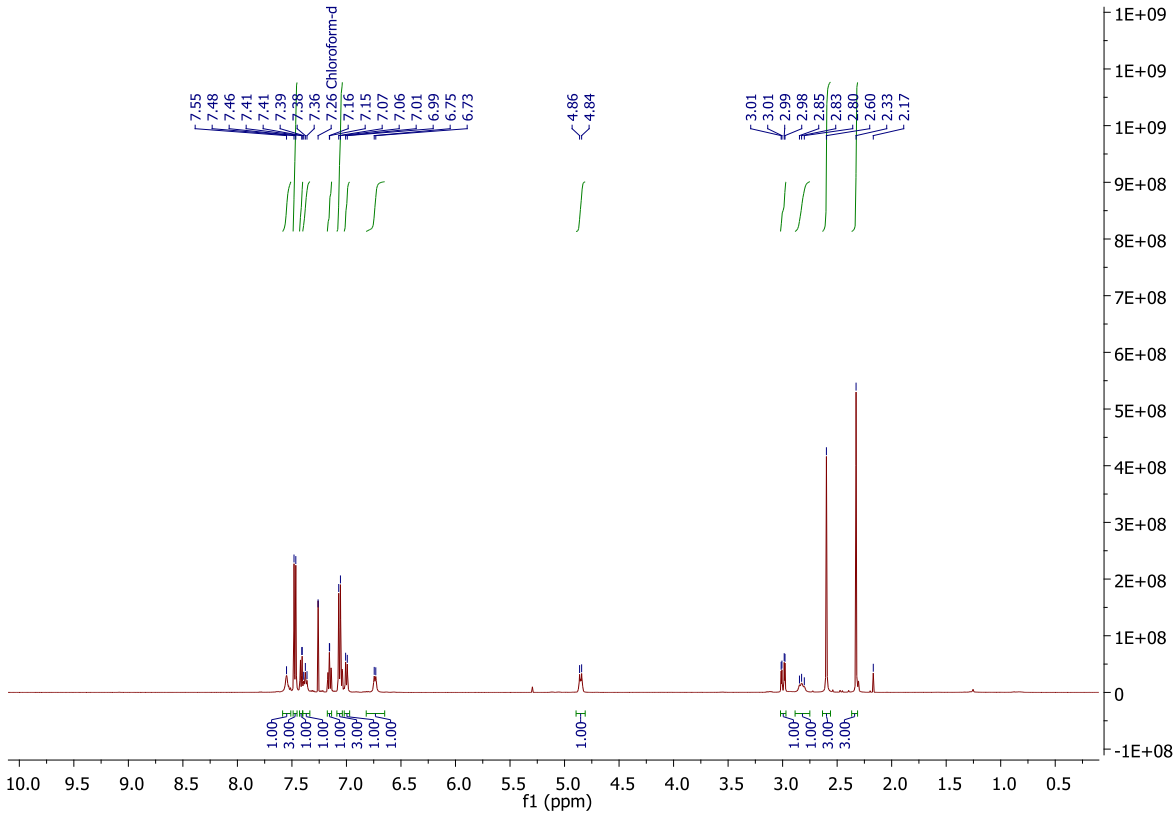
4q


8.08
8.07
7.83
7.82
7.82
7.68
7.66
7.64
7.51
7.46
7.45
7.41
7.40
7.27
7.26 Chloroform-d
7.25
7.24
7.03
7.01
6.98
6.96
6.67
5.42
5.41
5.40
5.39
3.14
2.63
2.29
1.00
1.00
2.00
6.00
1.00
1.00
2.00
1.00
1.00
2.00
3.00
3.00
9E+08
8E+08
7E+08
6E+08
5E+08
4E+08
3E+08
2E+08
1E+08
0
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5
f1 (ppm)


157.79
157.01
142.68
137.54
137.31
136.39
133.88
130.19
129.15
129.12
127.91
127.11
126.28
125.47
125.38
125.16
122.43
121.86
121.04
77.16 Chloroform-d
54.71
42.85
24.44
21.51
8E+08
7E+08
6E+08
6E+08
6E+08
5E+08
4E+08
4E+08
4E+08
3E+08
2E+08
2E+08
2E+08
1E+08
5E+07
0
-5E+07
220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)


Br
Ts
N
H
N

4r


7.55
7.48
7.46
7.41
7.41
7.39
7.38
7.36
7.26 Chloroform-d
7.16
7.15
7.07
7.06
7.01
6.99
6.75
6.73
4.86
4.84
3.01
3.01
2.99
2.98
2.85
2.83
2.80
2.60
2.33
2.17
1.00
3.00
1.00
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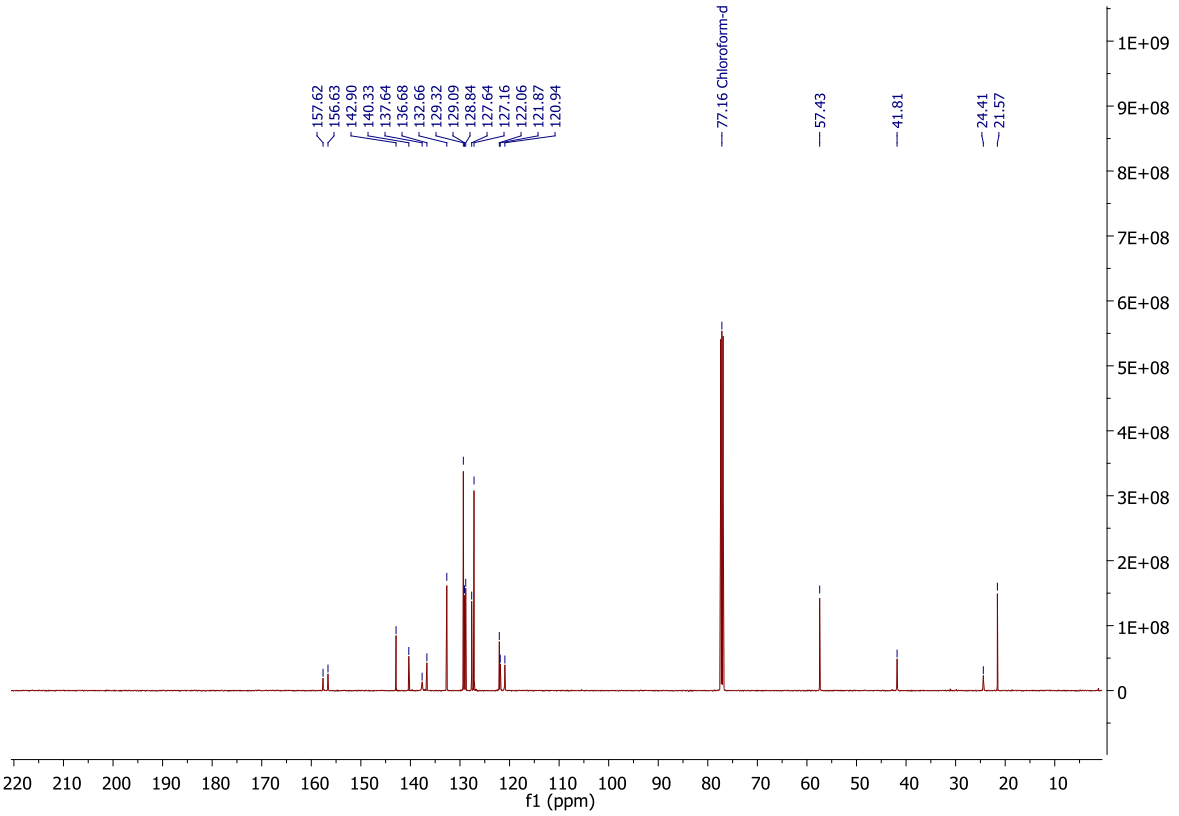
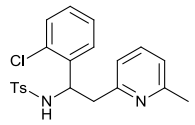
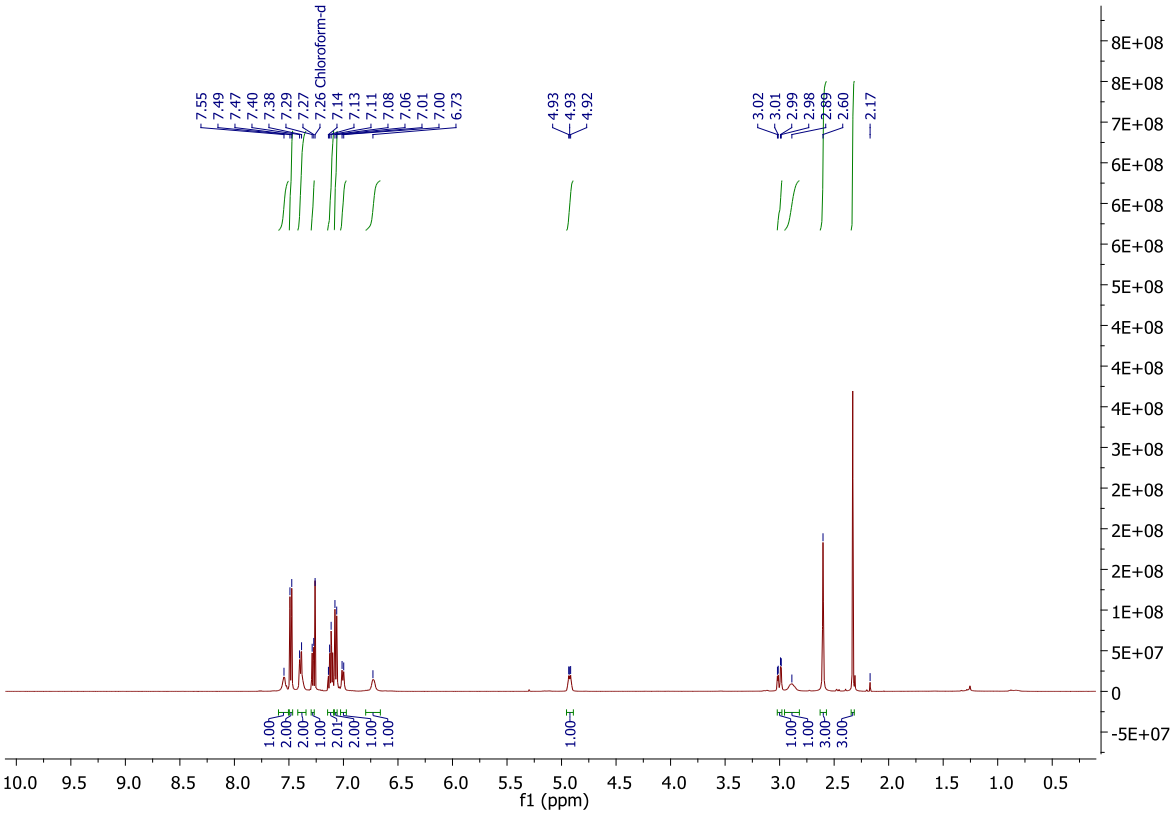
3.00
1.00
1.00
1.00
1.00
1.00
3.00
3.00
f1 (ppm)


157.62
156.63
142.90
140.33
137.64
136.68
132.66
129.32
129.09
128.84
127.64
127.16
122.06
121.87
120.94
77.16 Chloroform-d
57.43
41.81
24.41
21.57
f1 (ppm)


Cl
Ts
N
H
N

4s


7.55
7.49
7.47
7.40
7.38
7.29
7.27
7.26 Chloroform-d
7.14
7.13
7.11
7.08
7.06
7.01
7.00
6.73
4.93
4.93
4.92
3.02
3.01
2.99
2.98
2.89
2.60
2.17
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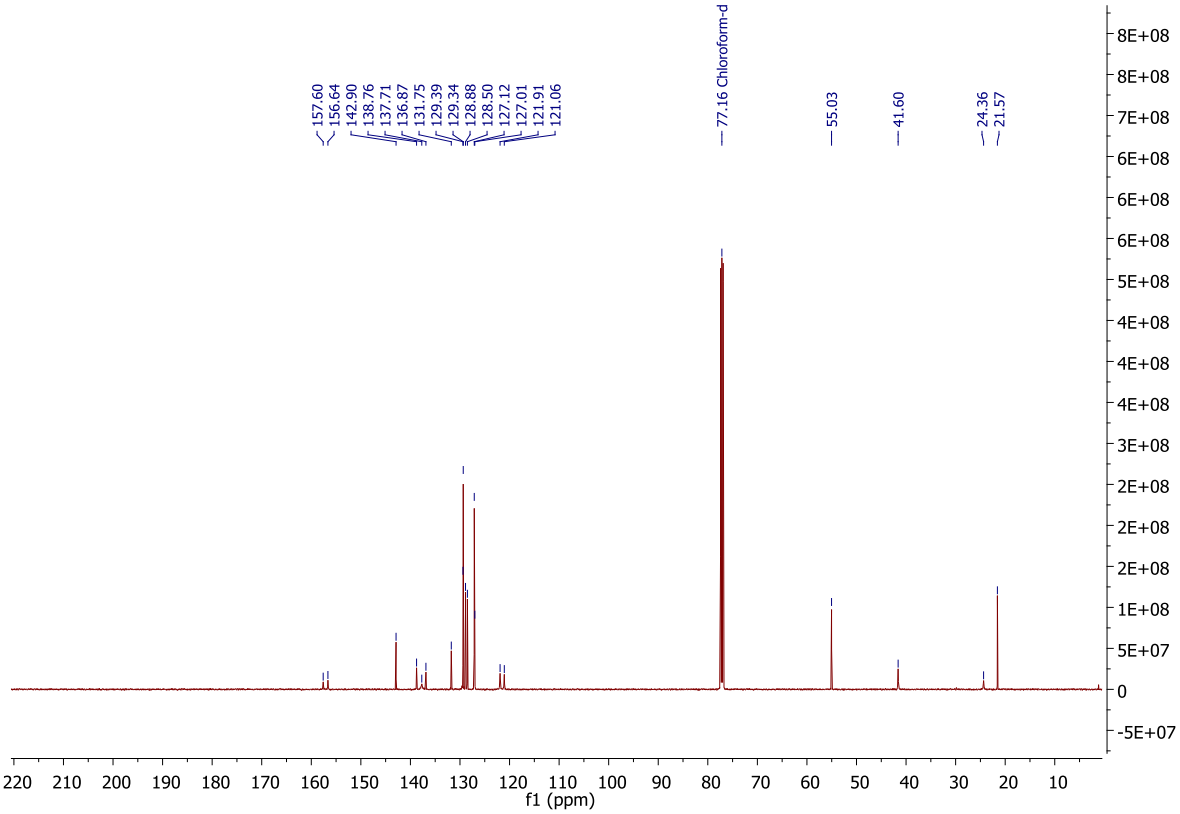
1.00
1.00
3.00
3.00
f1 (ppm)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156.64
142.90
138.76
137.71
136.87
131.75
129.39
129.34
128.88
128.50
127.12
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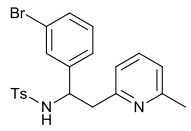
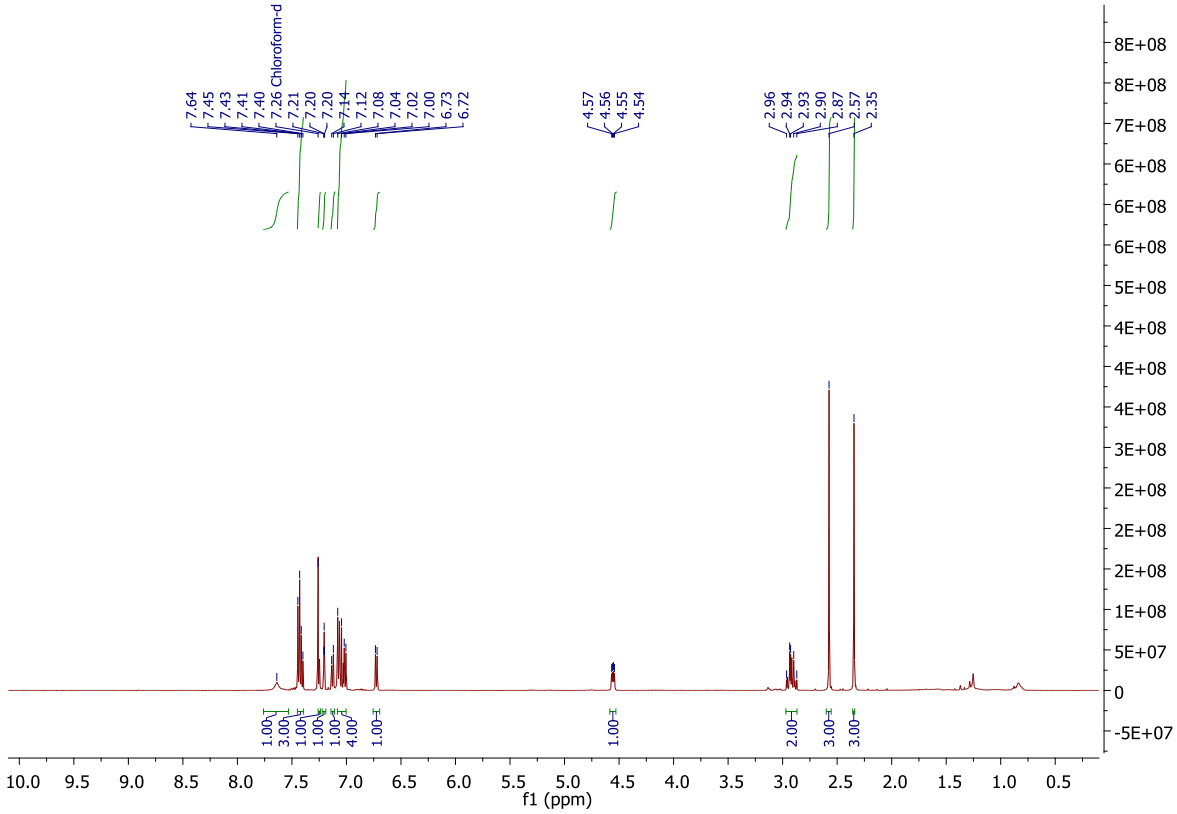
121.06
77.16 Chloroform-d
55.03
41.60
24.36
21.57
f1 (ppm)


Br
Ts
N
H
N

4t


7.64
7.45
7.43
7.41
7.40
7.26 Chloroform-d
7.21
7.20
7.20
7.14
7.12
7.08
7.04
7.02
7.00
6.73
6.72
4.57
4.56
4.55
4.54
2.96
2.94
2.93
2.90
2.87
2.57
2.35
1.00
3.00
1.00
1.00
1.00
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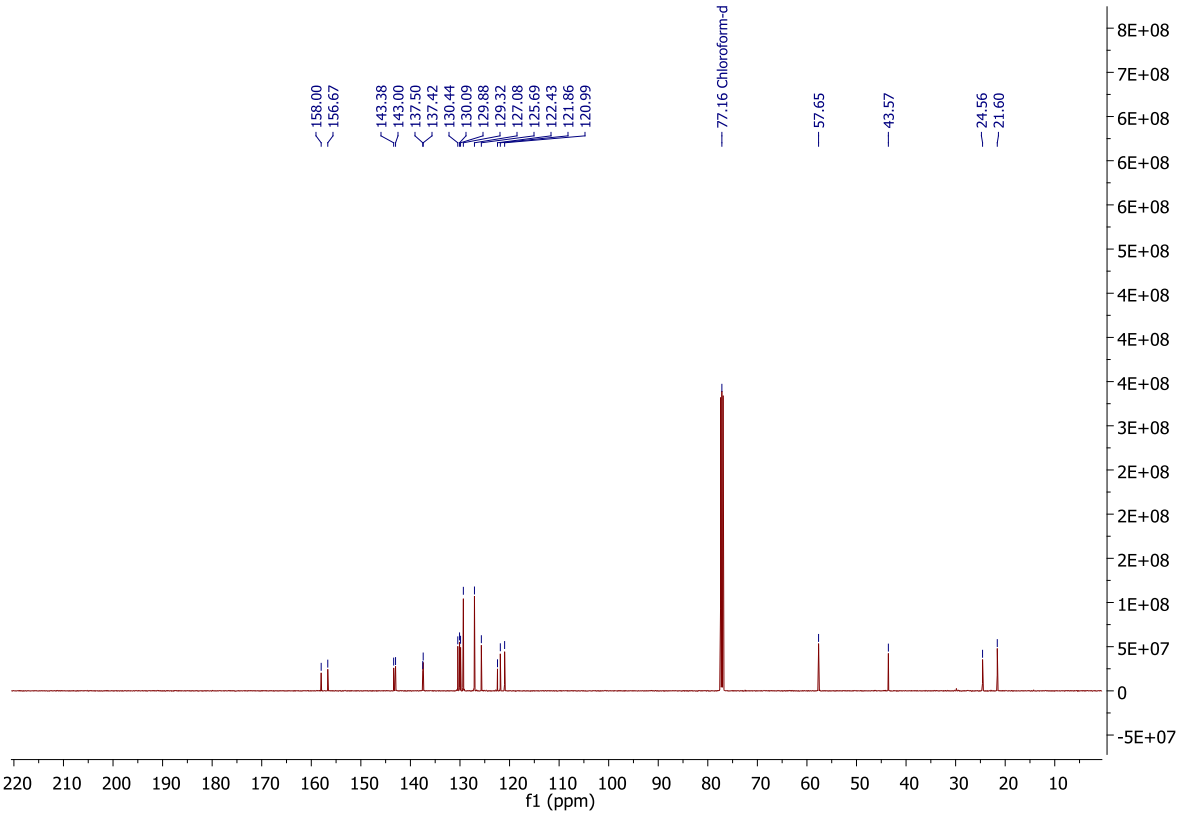
1.00
2.00
3.00
3.00
f1 (ppm)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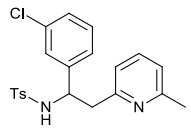
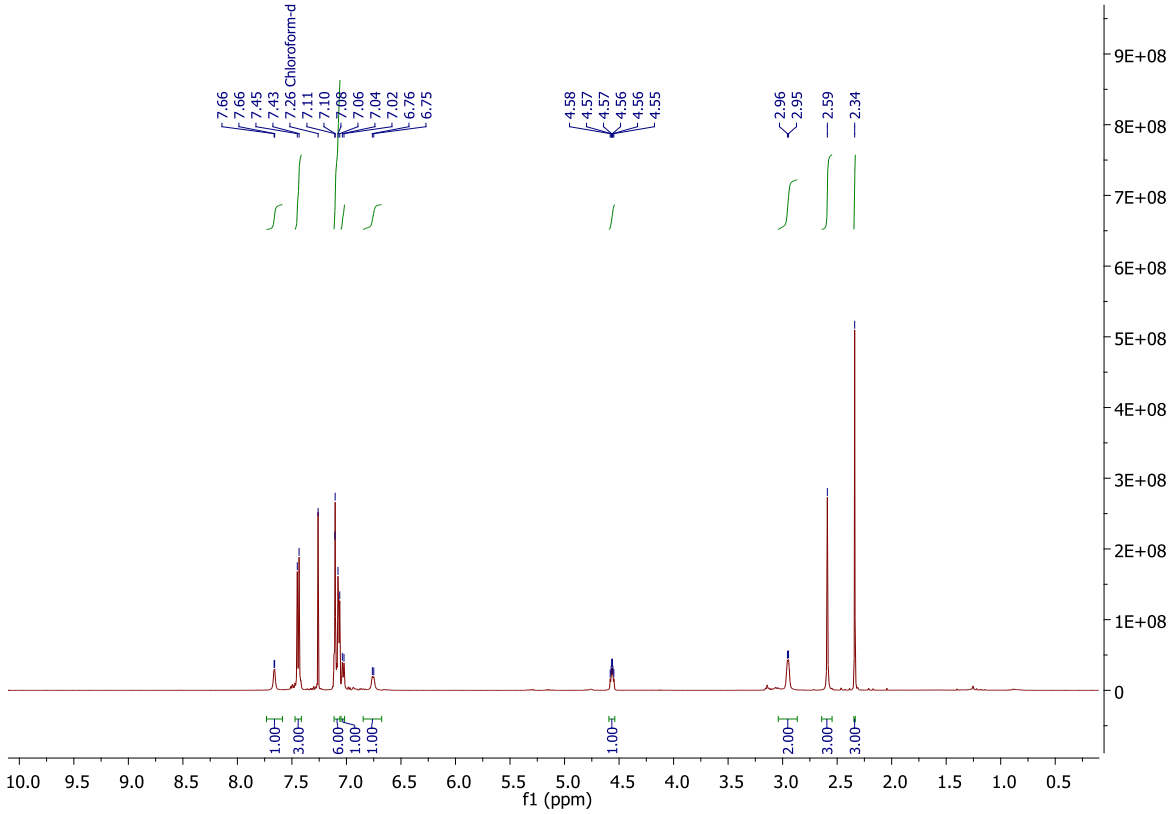
143.00
137.50
137.42
130.44
130.09
129.88
129.32
127.08
125.69
122.43
121.86
120.99
77.16 Chloroform-d
57.65
43.57
24.56
21.60
f1 (ppm)


Cl
Ts
N
H
N

4u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7.66
7.45
7.43
7.26 Chloroform-d
7.11
7.10
7.08
7.06
7.04
7.02
6.76
6.75
4.58
4.57
4.57
4.56
4.56
4.55
2.96
2.95
2.59
2.34
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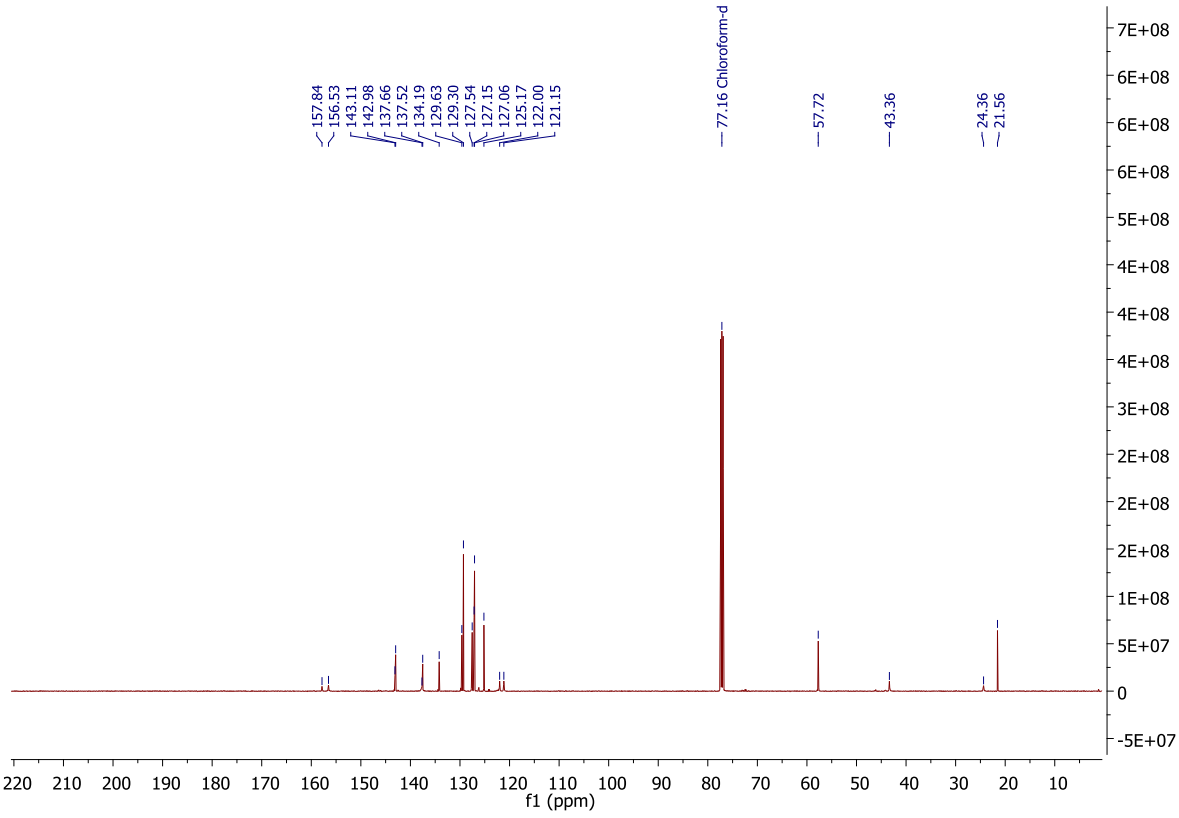
3.00
6.00
1.00
1.00
1.00
2.00
3.00
3.00
f1 (ppm)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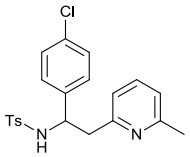
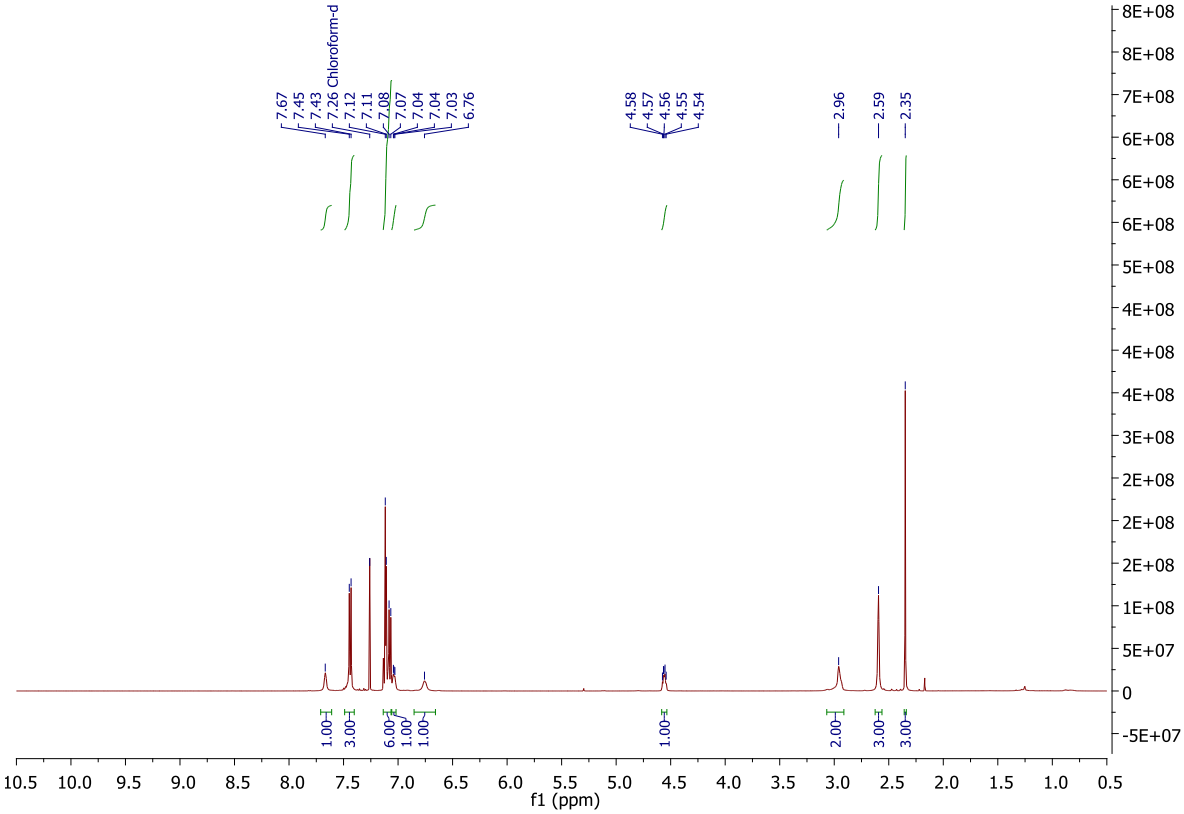
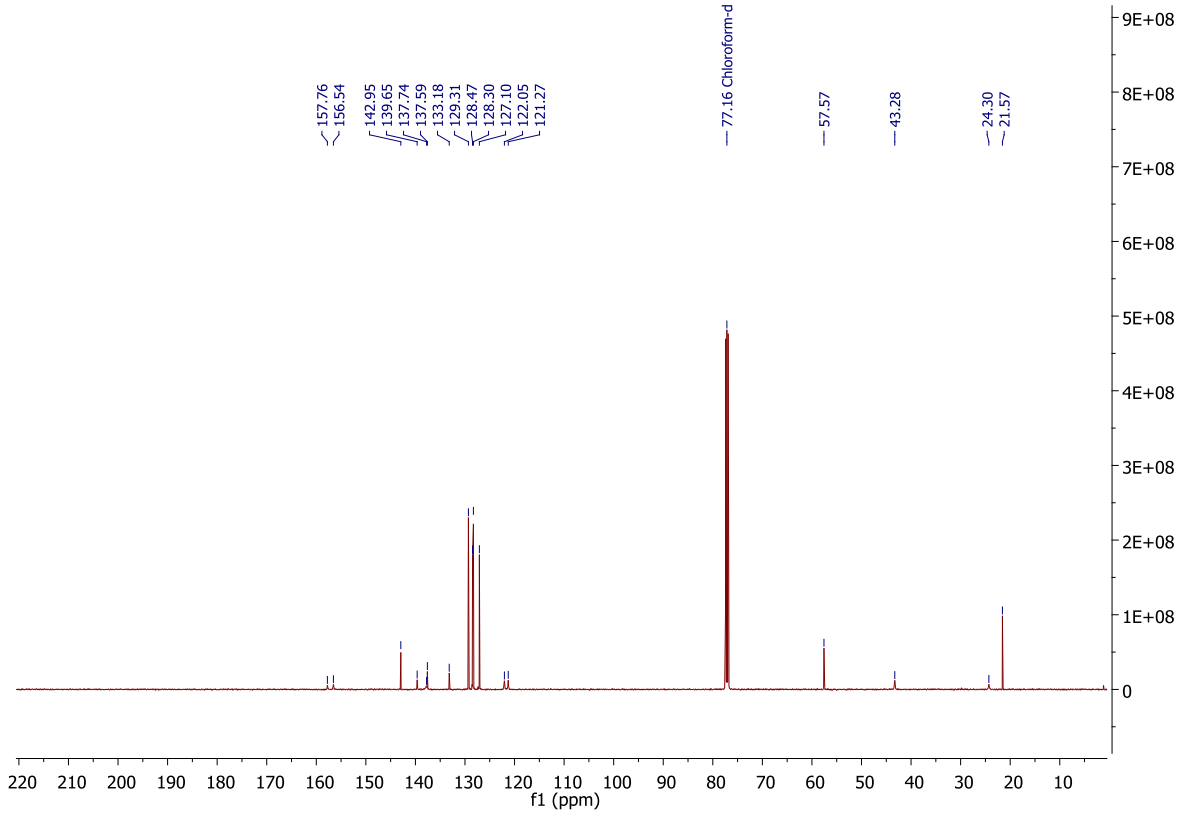
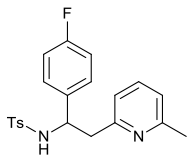
156.53
143.11
142.98
137.66
137.52
134.19
129.63
129.30
127.54
127.15
127.06
125.17
122.00
121.15
77.16 Chloroform-d
57.72
43.36
24.36
21.56
f1 (ppm)


Cl
Ts
N
H
N

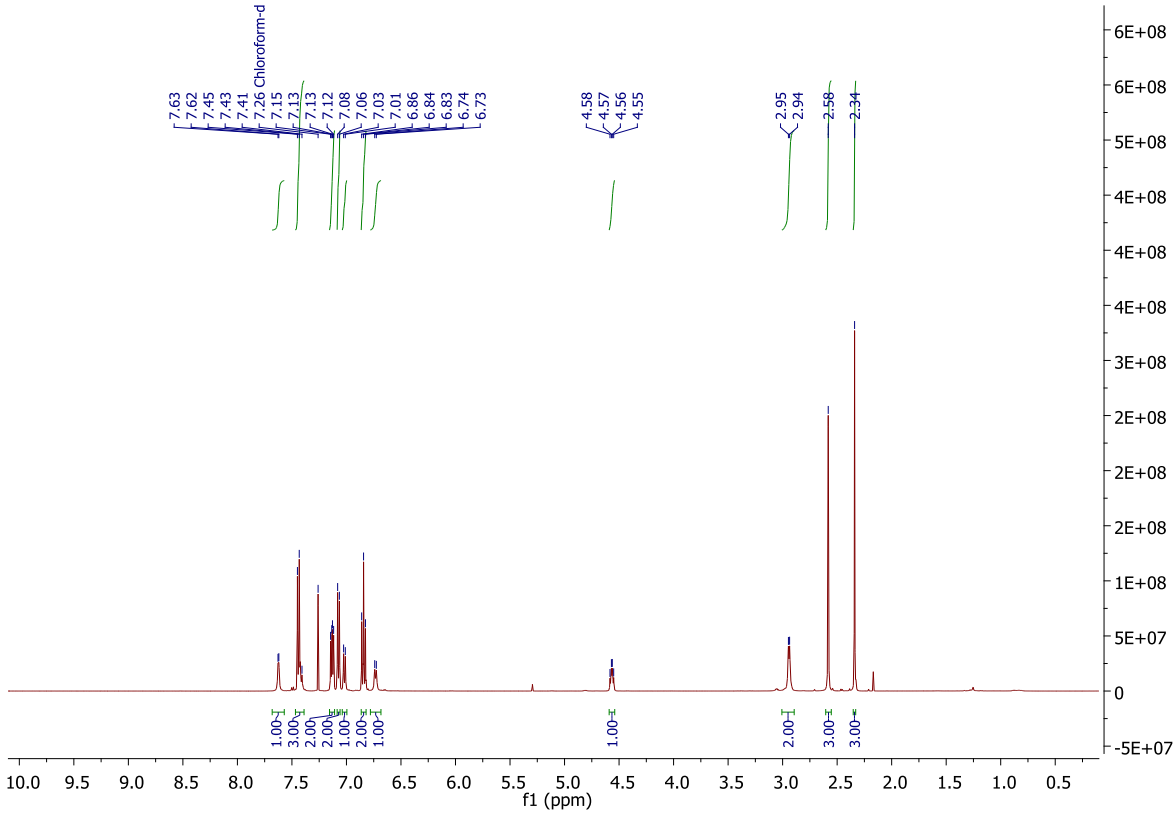
4v

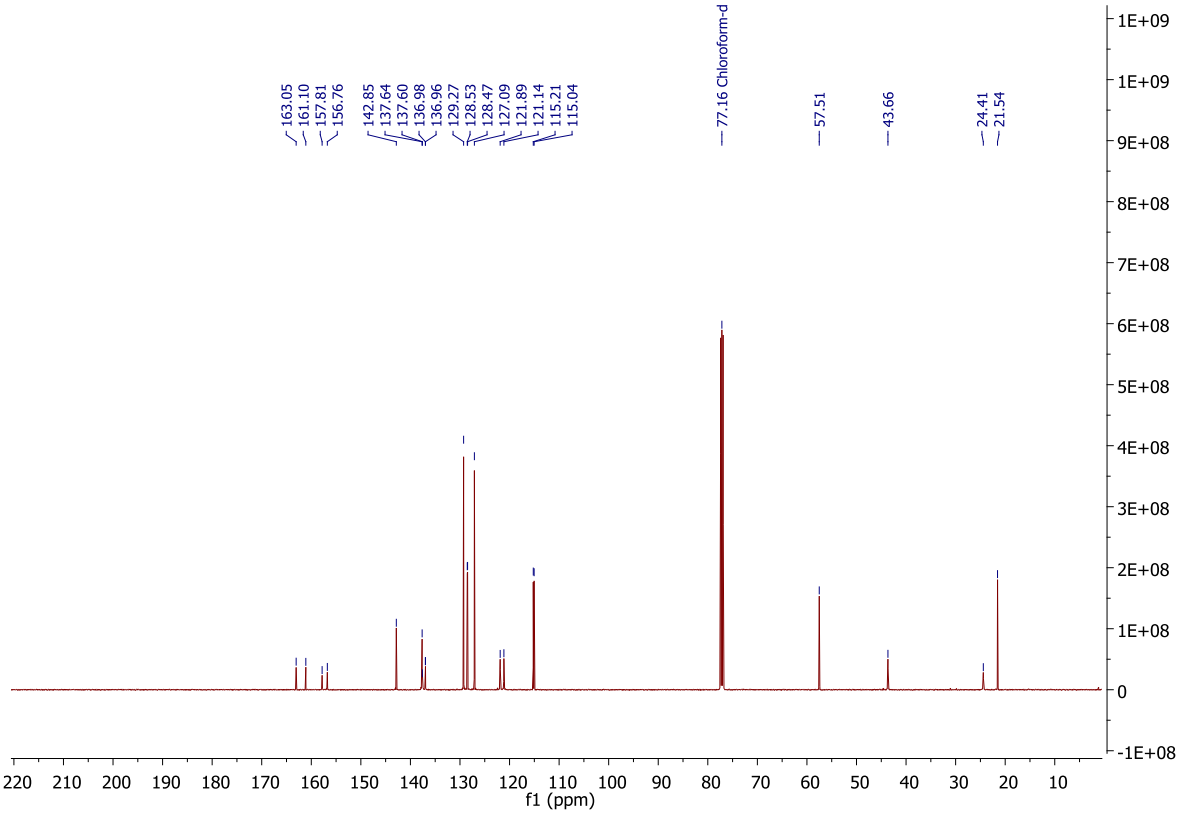

7.67
7.45
7.43
7.26 Chloroform-d
7.12
7.11
7.08
7.07
7.04
7.04
7.03
6.76
4.58
4.57
4.56
4.55
4.54
2.96
2.59
2.35
1.00
3.00
6.00
1.00
1.00
1.00
2.00
3.00
3.00
f1 (ppm)

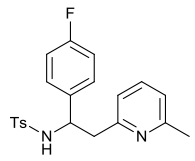

157.76
156.54
142.95
139.65
137.74
137.59
133.18
129.31
128.47
128.30
127.10
122.05
121.27
77.16 Chloroform-d
57.57
43.28
24.30
21.57
f1 (ppm)


F
Ts
N
H
N

4w

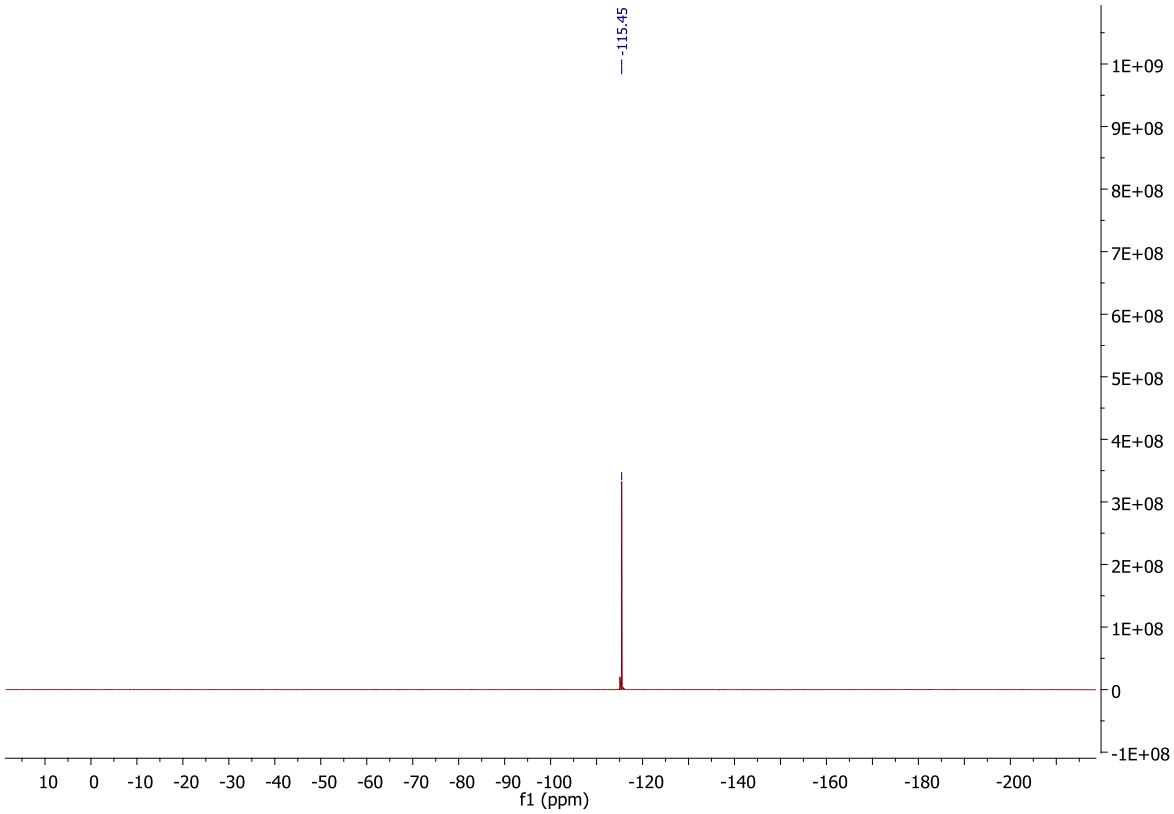

7.63
7.62
7.45
7.43
7.41
7.26 Chloroform-d
7.15
7.13
7.13
7.12
7.08
7.06
7.03
7.01
6.86
6.84
6.83
6.74
6.73
4.58
4.57
4.56
4.55
2.95
2.94
2.58
2.34
1.00
3.00
2.00
2.00
1.00
2.00
1.00
1.00
2.00
3.00
3.00
f1 (ppm)

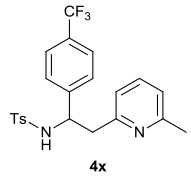

163.05
161.10
157.81
156.76
142.85
137.64
137.60
136.98
136.96
129.27
128.53
128.47
127.09
121.89
121.14
115.21
115.04
77.16 Chloroform-d
57.51
43.66
24.41
21.54
f1 (ppm)



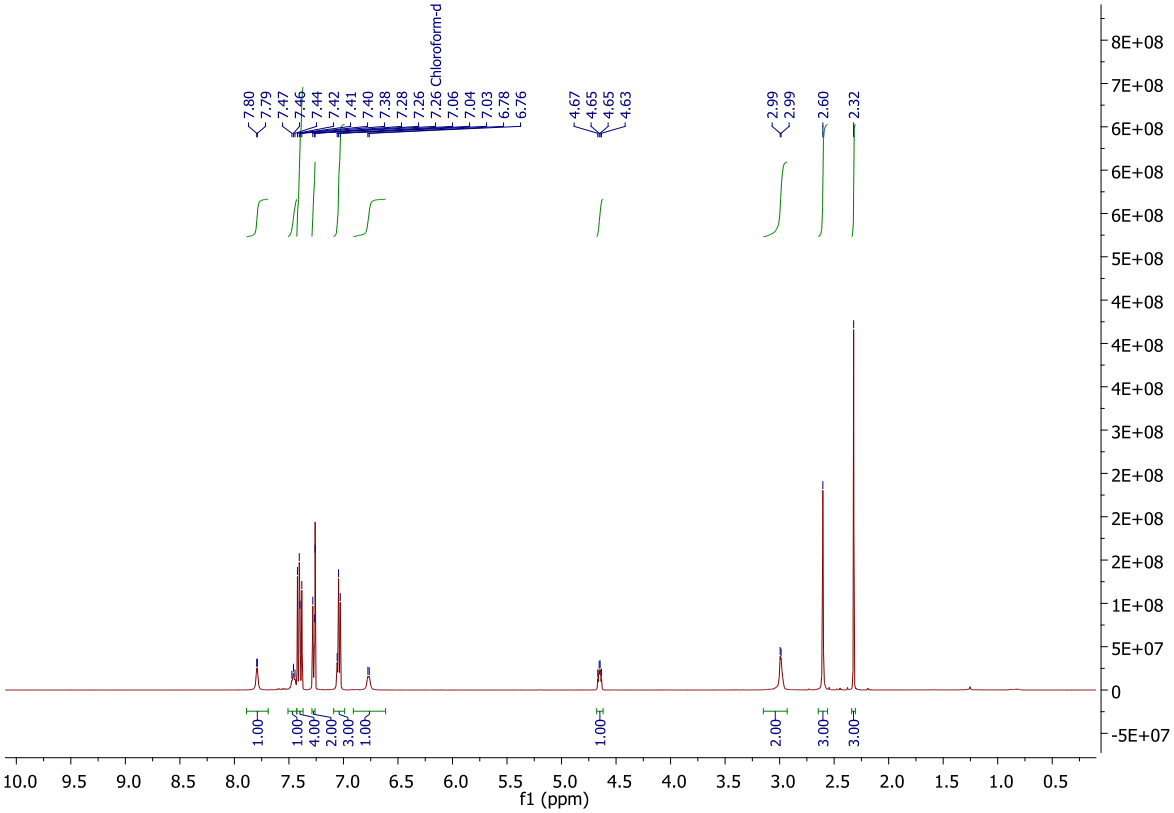
4w

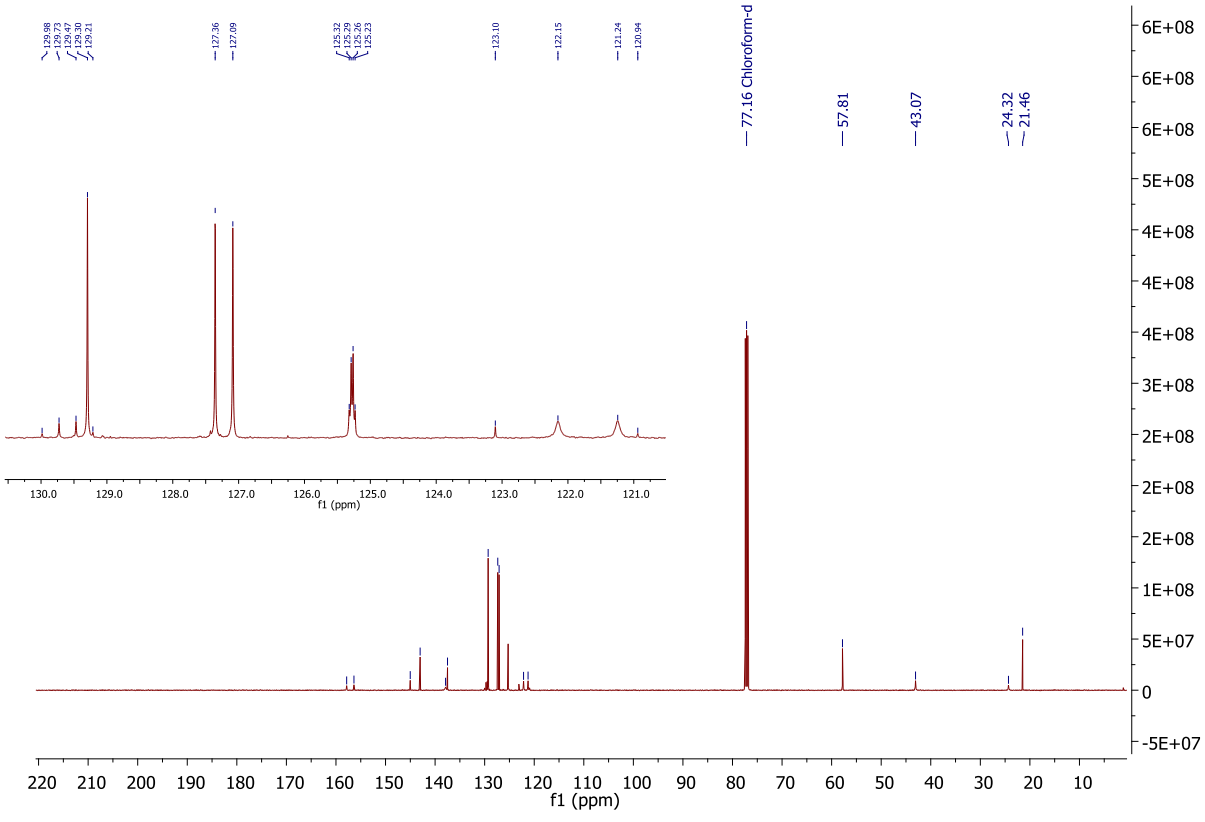
$^{19}F\{^{1}H\}$ NMR (282 MHz, $CDCl_3$)

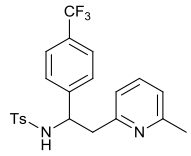



CF3
Ts
N
H
N

4x

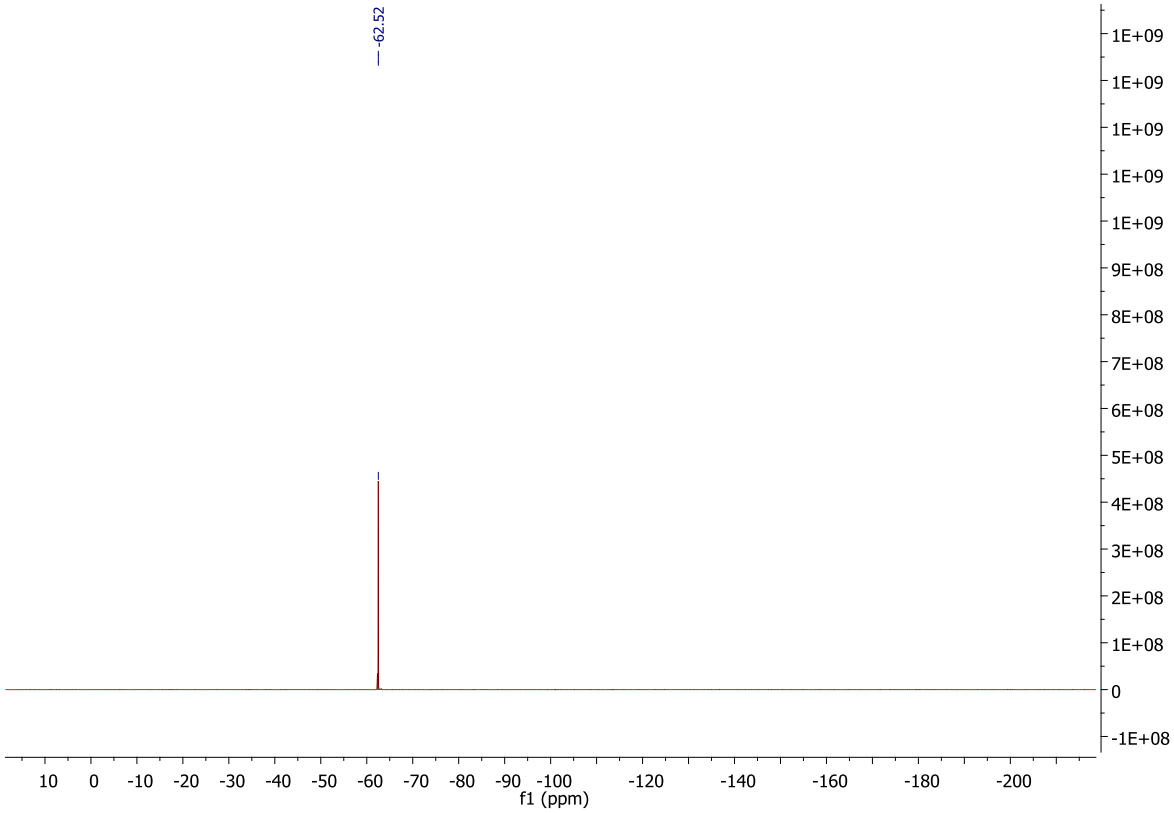

7.80
7.79
7.47
7.46
7.44
7.42
7.41
7.40
7.38
7.28
7.26
7.26 Chloroform-d
7.06
7.04
7.03
6.78
6.76
4.67
4.65
4.65
4.63
2.99
2.99
2.60
2.32
1.00
1.00
4.00
2.00
3.00
1.00
1.00
2.00
3.00
3.00
f1 (ppm)

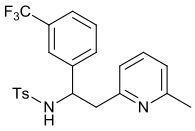

129.98
129.73
129.47
129.30
129.21
127.36
127.09
125.32
125.29
125.26
125.23
123.10
122.15
121.24
120.94
77.16 Chloroform-d
57.81
43.07
24.32
21.46
f1 (ppm)
f1 (ppm)



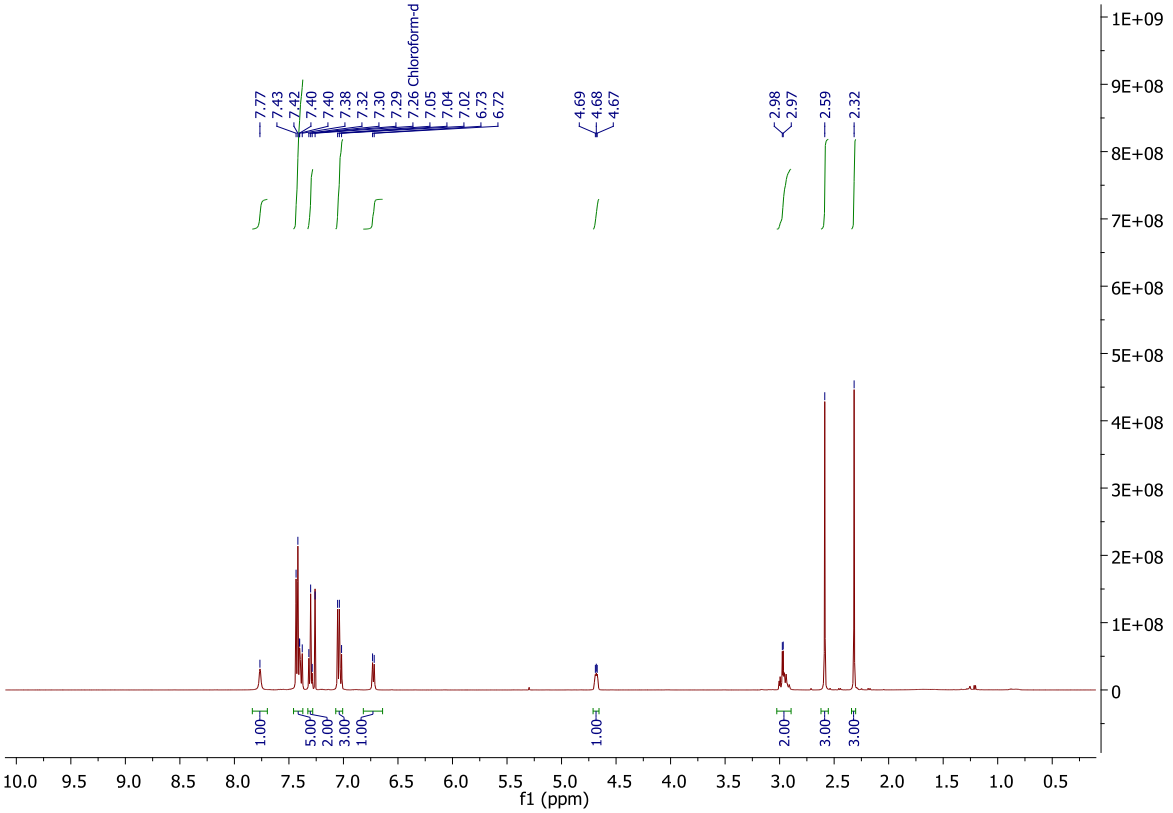
4x

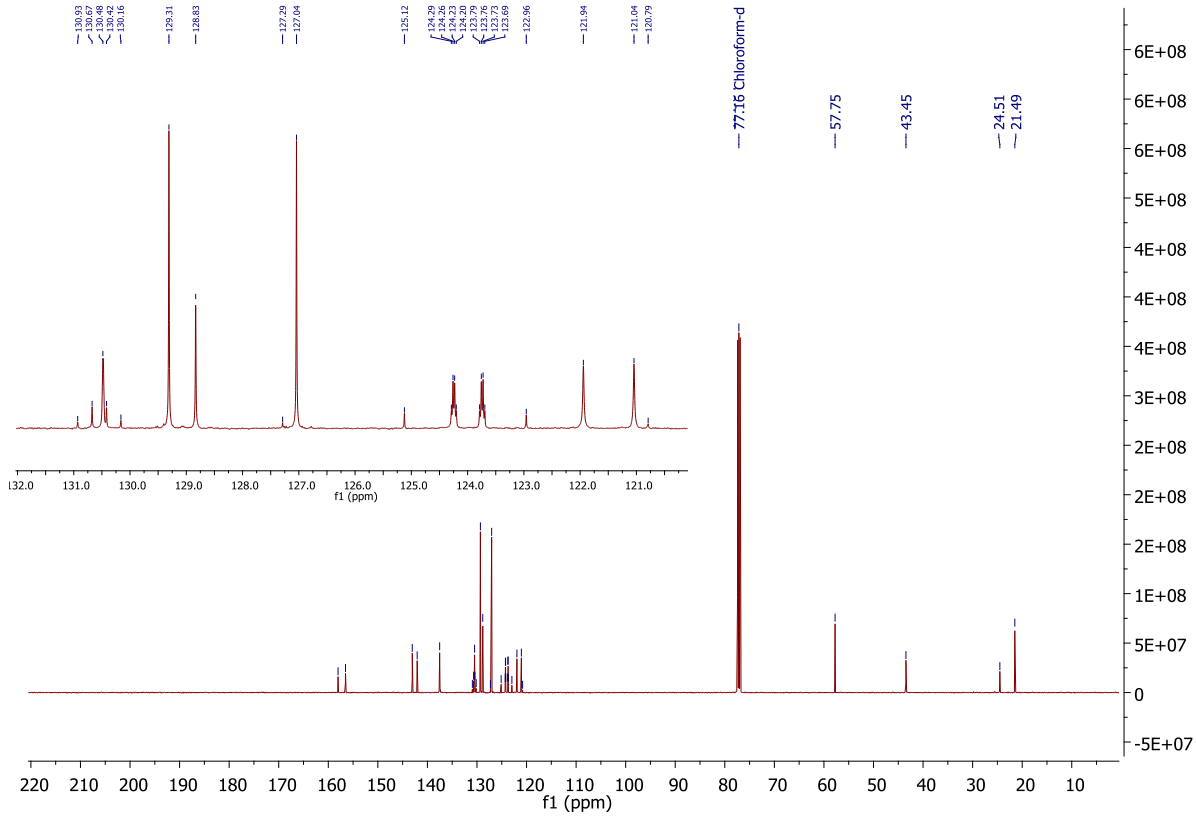
$^{19}F\{^{1}H\}$ NMR (282 MHz, $CDCl_3$)

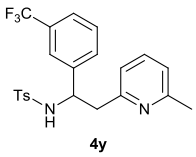



F3C
Ts
N
H
N

4y

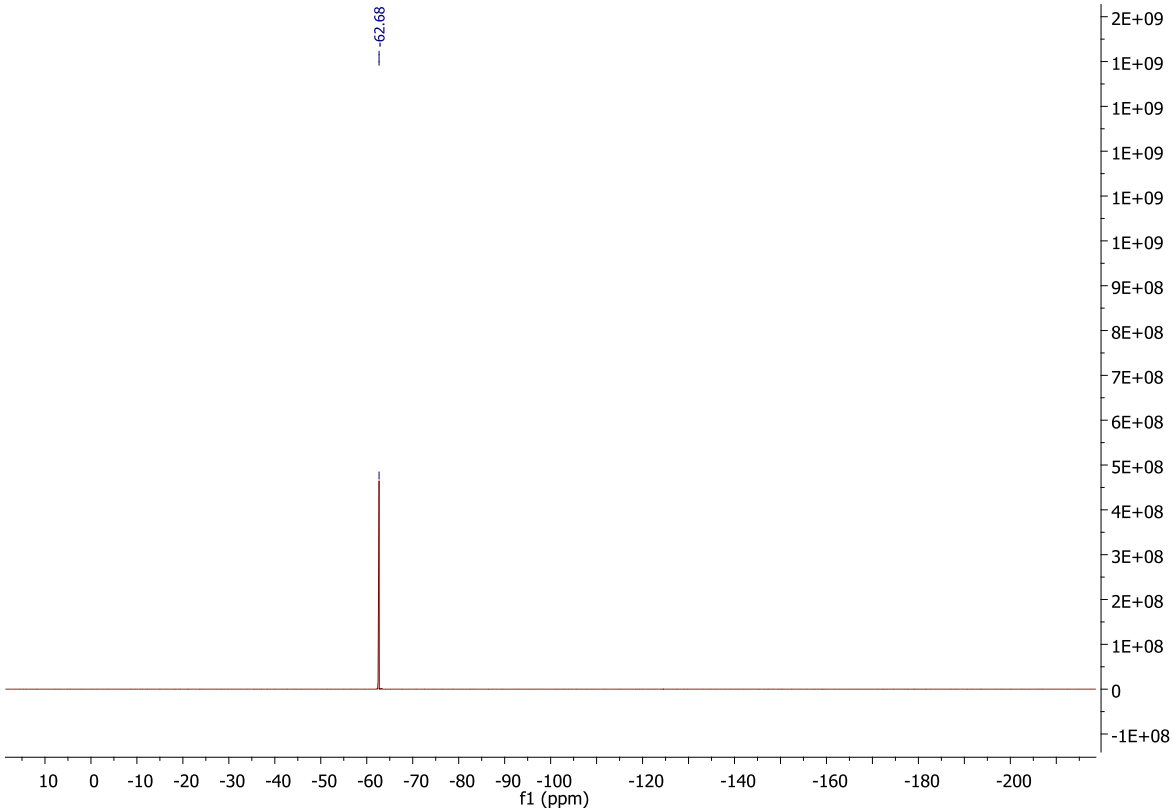

7.77
7.43
7.42
7.40
7.40
7.38
7.32
7.30
7.29
7.26 Chloroform-d
7.05
7.04
7.02
6.73
6.72
4.69
4.68
4.67
2.98
2.97
2.59
2.32
1.00
5.00
2.00
3.00
1.00
1.00
2.00
3.00
3.00
f1 (ppm)

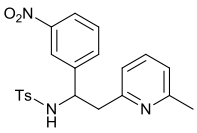

130.93
130.67
130.48
130.42
130.16
129.31
128.83
127.29
127.04
125.12
124.29
124.26
124.23
124.20
123.79
123.76
123.73
123.69
122.96
121.94
121.04
120.79
77.16 Chloroform-d
57.75
43.45
24.51
21.49
f1 (ppm)



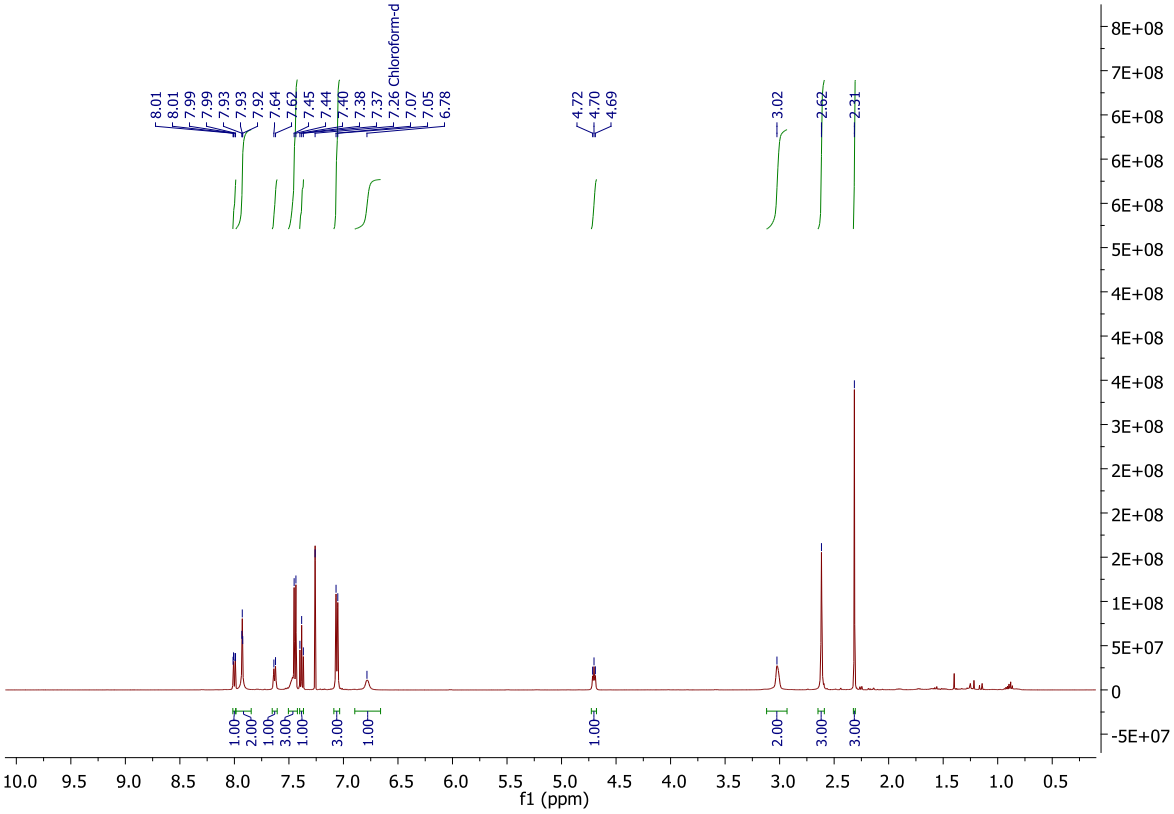
4y

$^{19}F\{^{1}H\}$ NMR (282 MHz, $CDCl_3$)




O2N
Ts
N
H
N

4z


8.01
8.01
7.99
7.99
7.93
7.93
7.92
7.64
7.62
7.45
7.44
7.40
7.38
7.37
7.26 Chloroform-d
7.07
7.05
6.78
4.72
4.70
4.69
3.02
2.62
2.31
1.00
2.00
1.00
3.00
1.00
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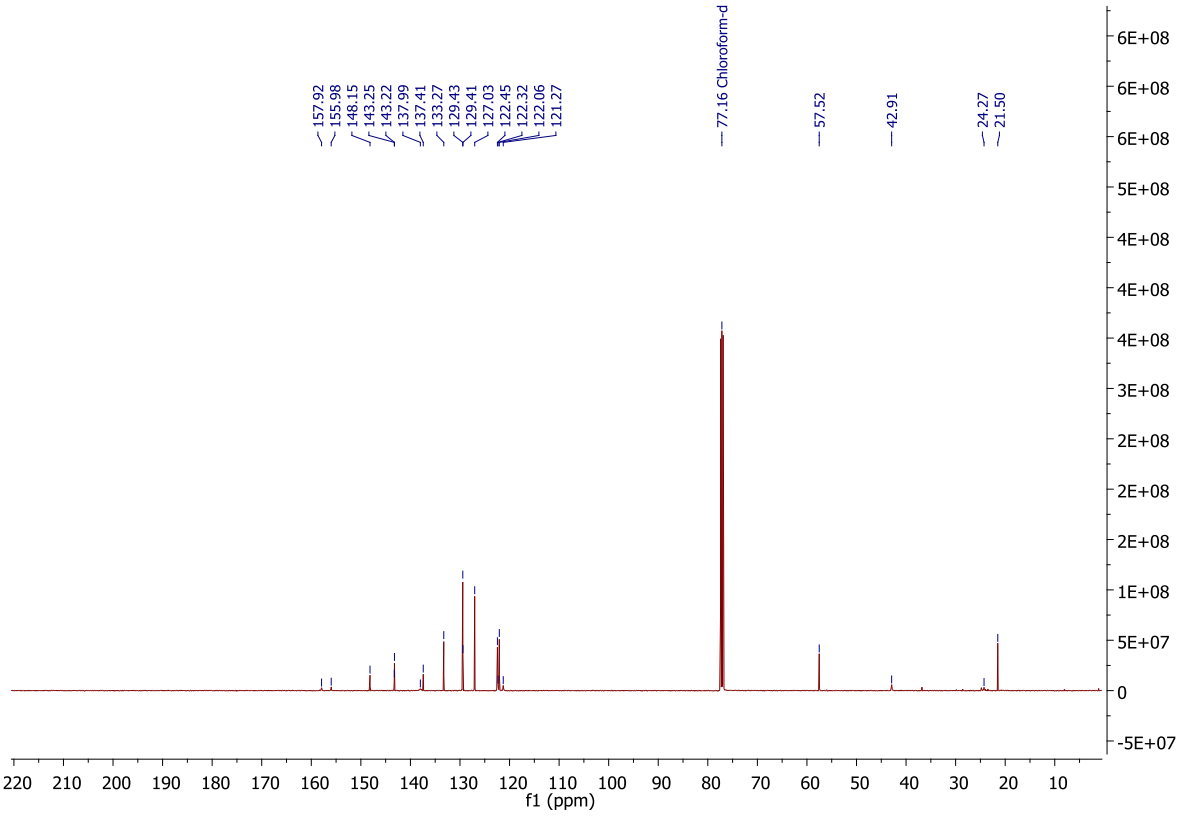
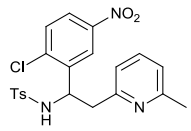
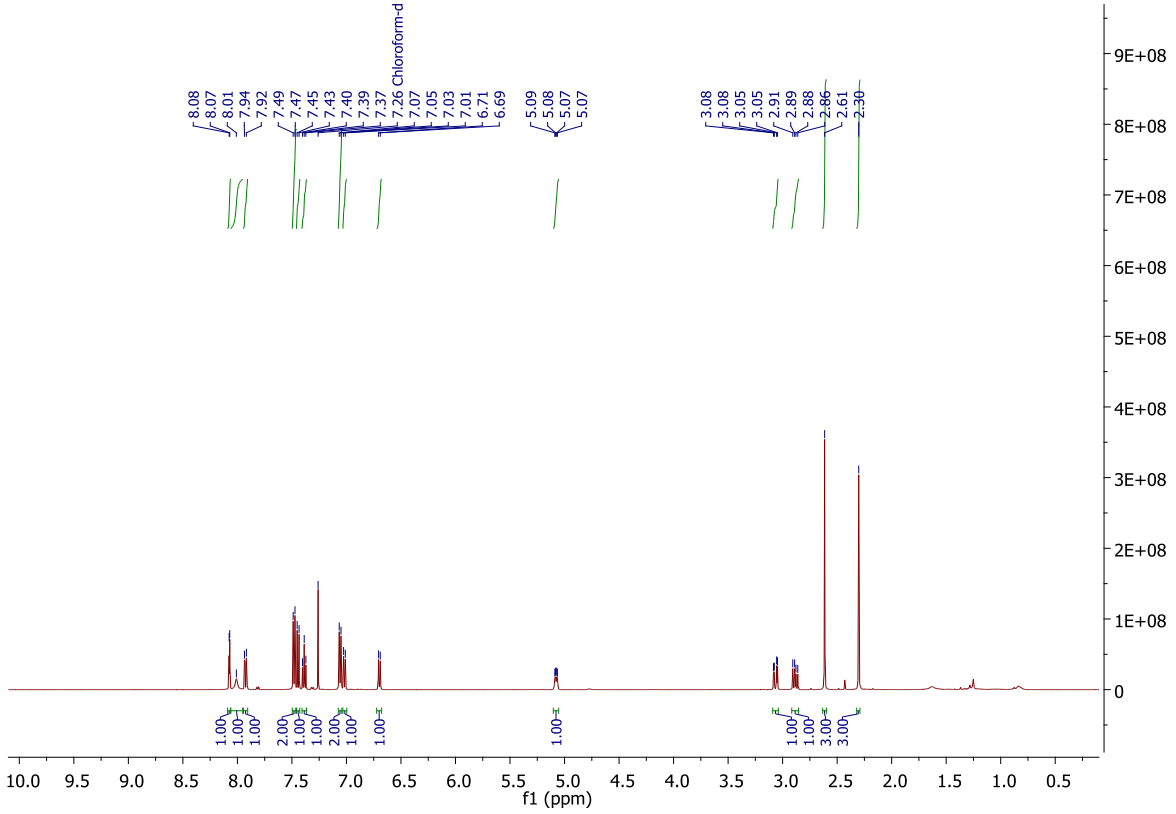
1.00
2.00
3.00
3.00
f1 (ppm)


157.92
155.98
148.15
143.25
143.22
137.99
137.41
133.27
129.43
129.41
127.03
122.45
122.32
122.06
121.27
77.16 Chloroform-d
57.52
42.91
24.27
21.50
f1 (ppm)


NO2
Cl
Ts
N
H
N

4aa


8.08
8.07
8.01
7.94
7.92
7.49
7.47
7.45
7.43
7.40
7.39
7.37
7.26 Chloroform-d
7.07
7.05
7.03
7.01
6.71
6.69
5.09
5.08
5.07
5.07
3.08
3.08
3.05
3.05
2.91
2.89
2.88
2.86
2.61
2.30
1.00
1.00
1.00
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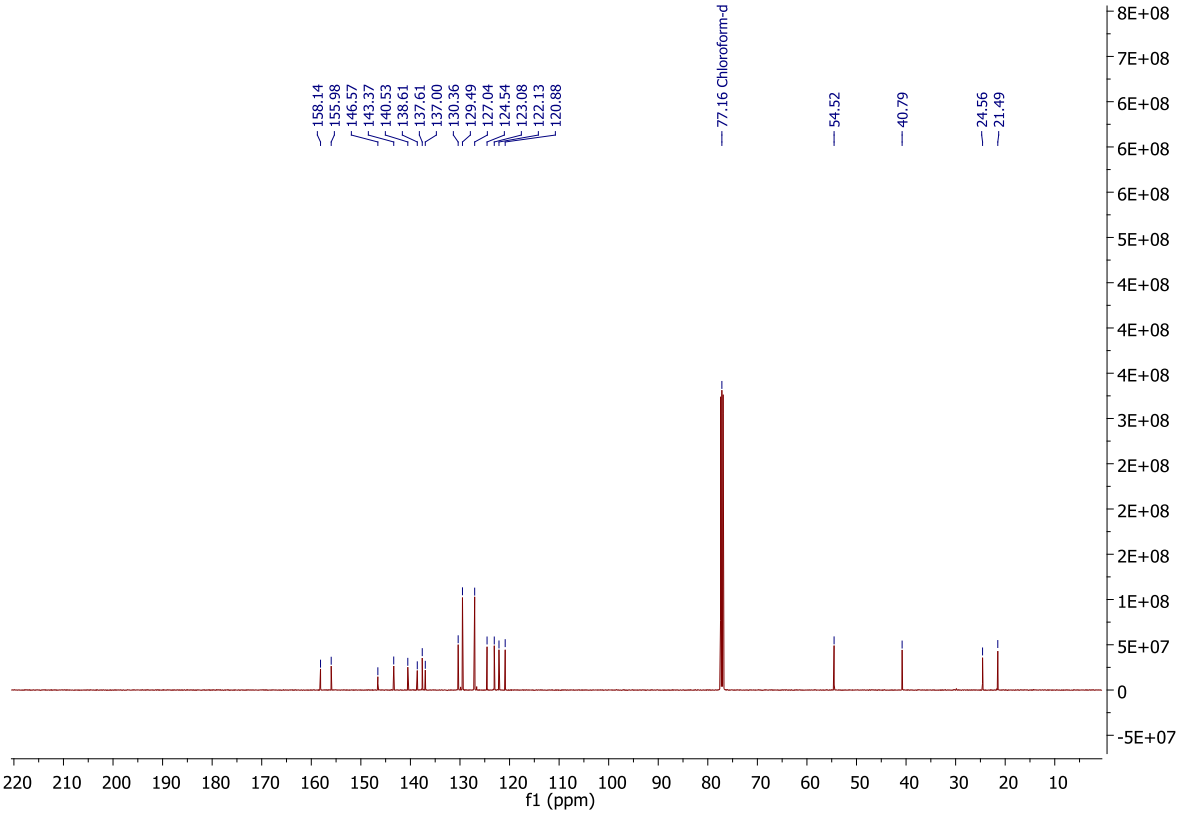
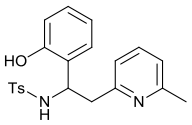
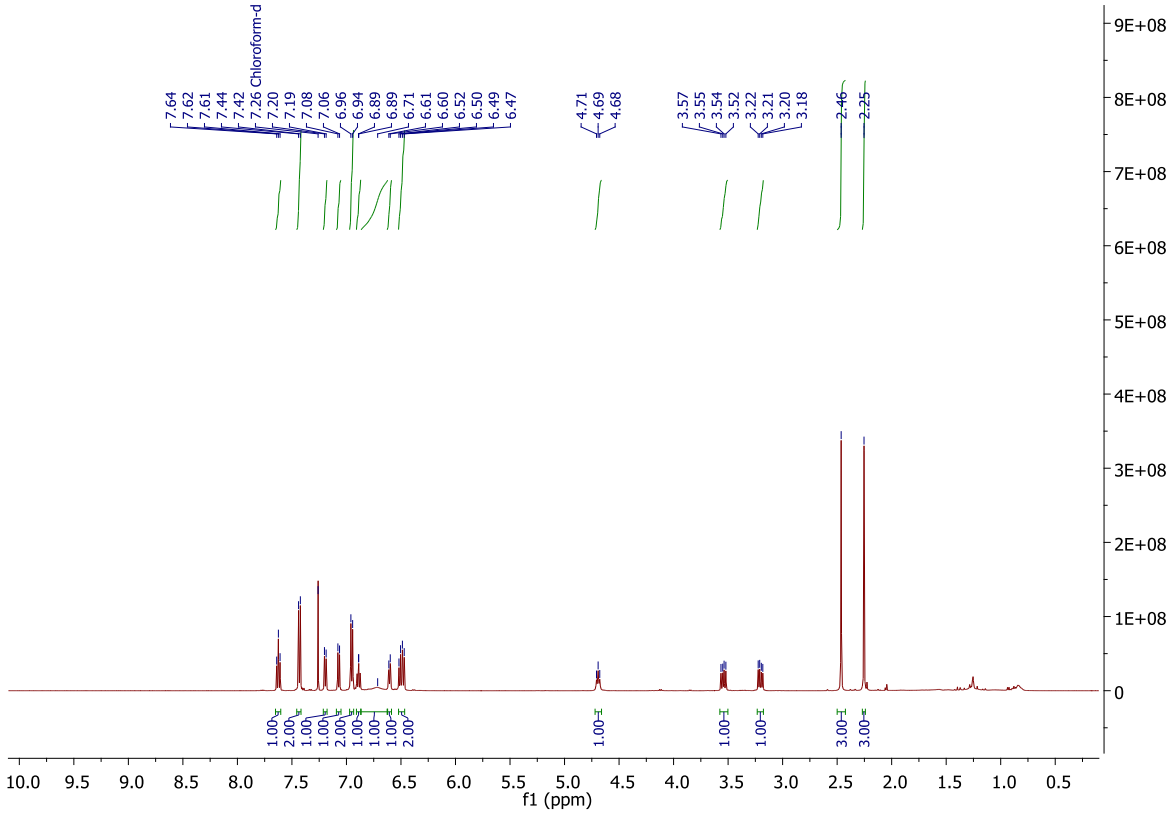
f1 (ppm)


158.14
155.98
146.57
143.37
140.53
138.61
137.61
137.00
130.36
129.49
127.04
124.54
123.08
122.13
120.88
77.16 Chloroform-d
54.52
40.79
24.56
21.49
f1 (ppm)


HO
Ts
N
H
N

4ab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6.47
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4.69
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3.55
3.54
3.52
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3.21
3.20
3.18
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2.25
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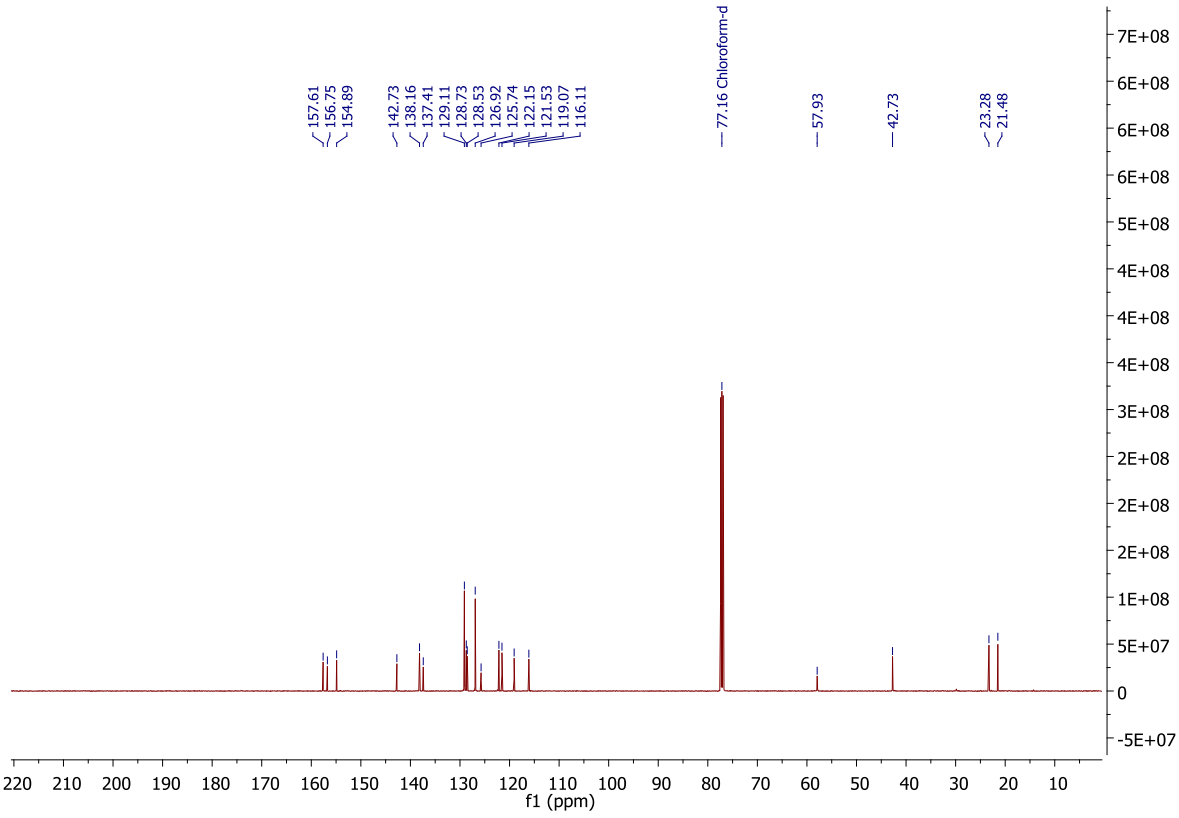
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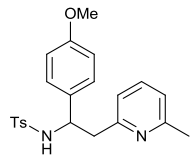
f1 (ppm)


OMe
Ts
N
H
N

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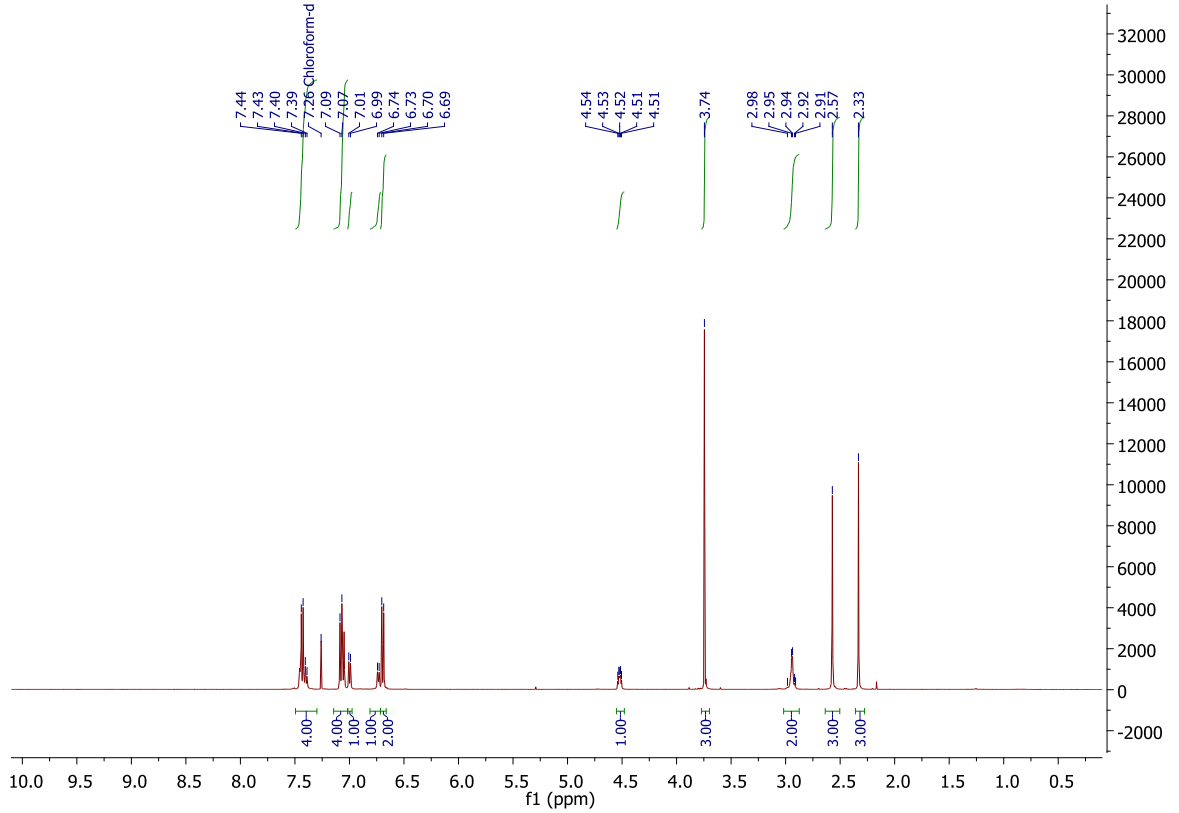
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6.70
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4.53
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4.51
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2.95
2.94
2.92
2.91
2.57
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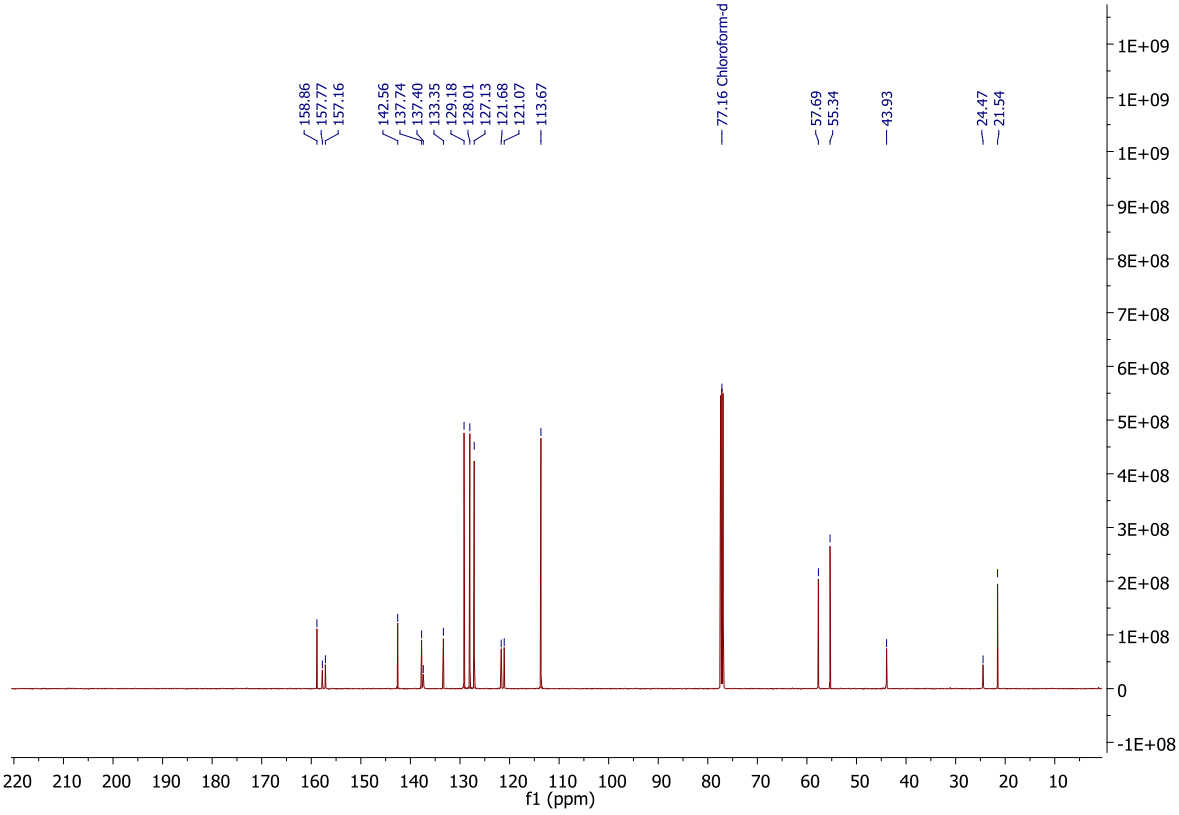
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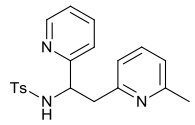
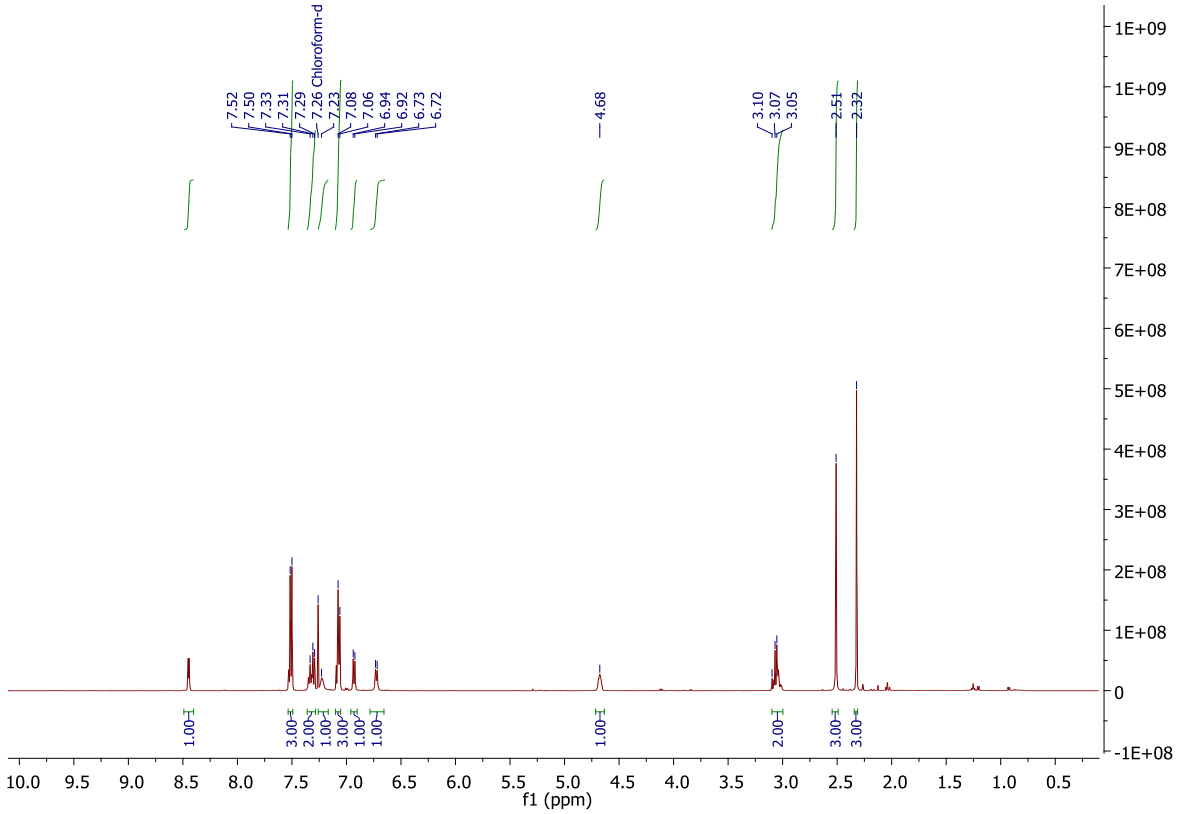

Ts
N
H
N
N

4ad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4.68
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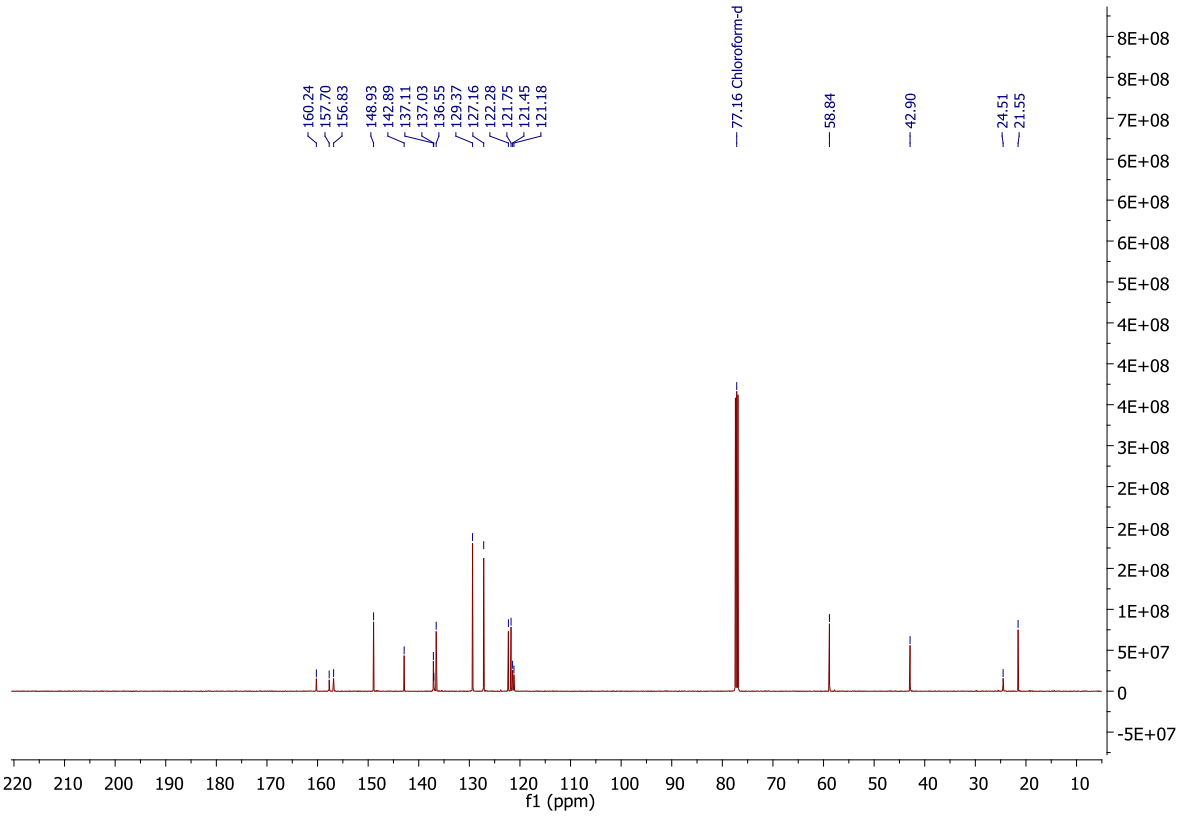
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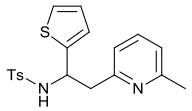
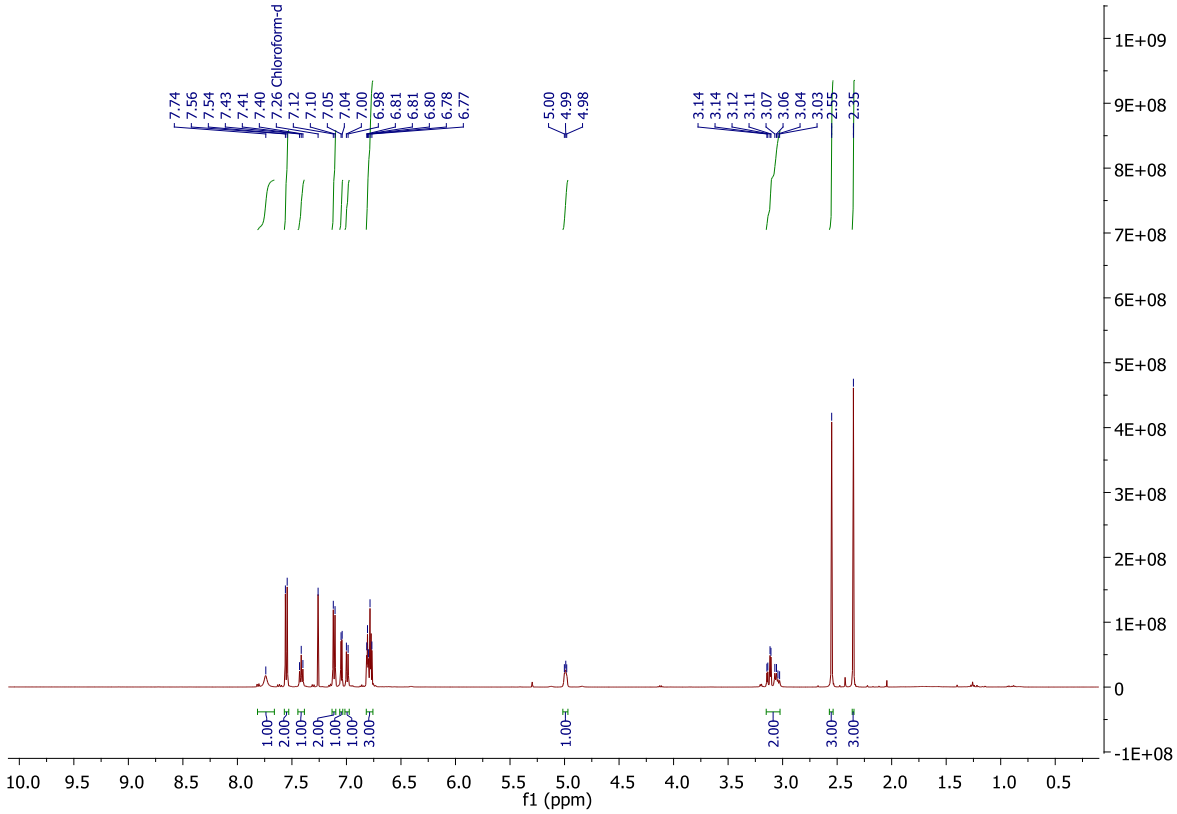
58.84
42.90
24.51
21.55
f1 (ppm)


S
Ts
N
H
N

4ae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7.05
7.04
7.00
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6.81
6.80
6.78
6.77
5.00
4.99
4.98
3.14
3.14
3.12
3.11
3.07
3.06
3.04
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2.55
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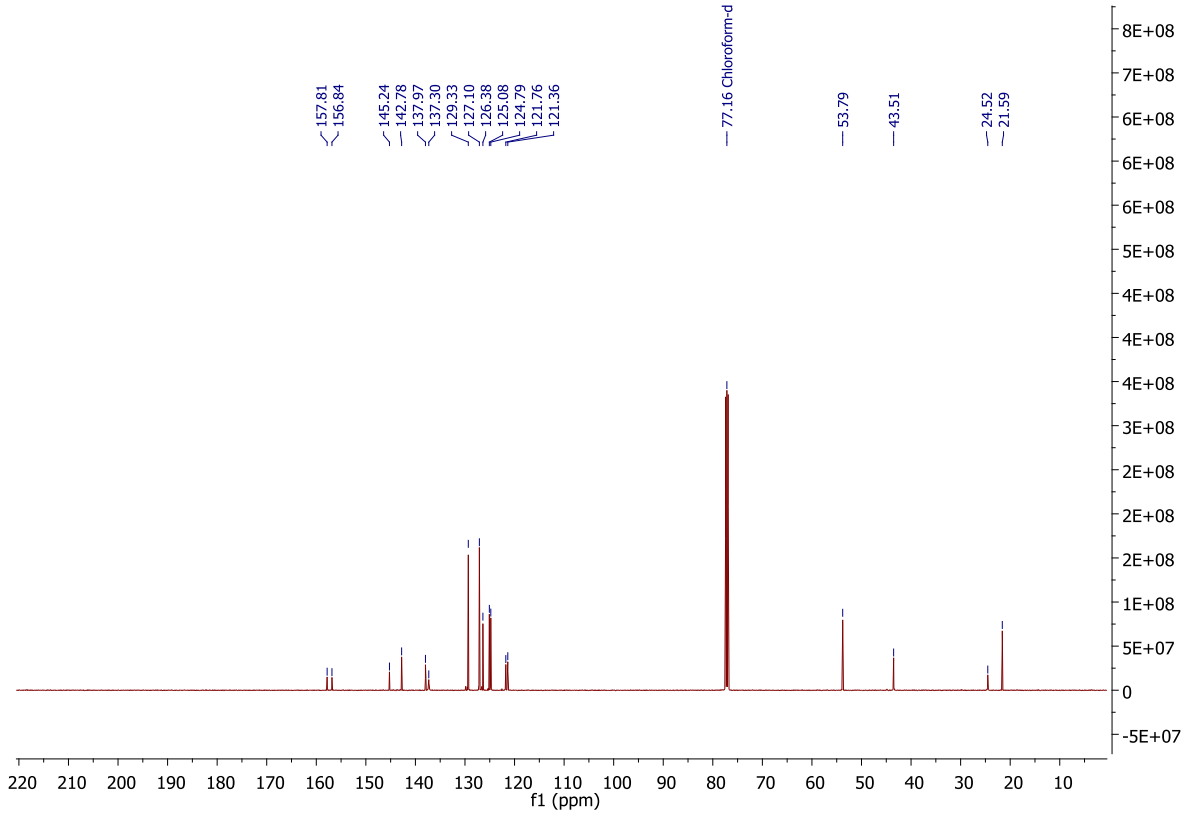
f1 (ppm)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137.30
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127.10
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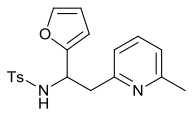
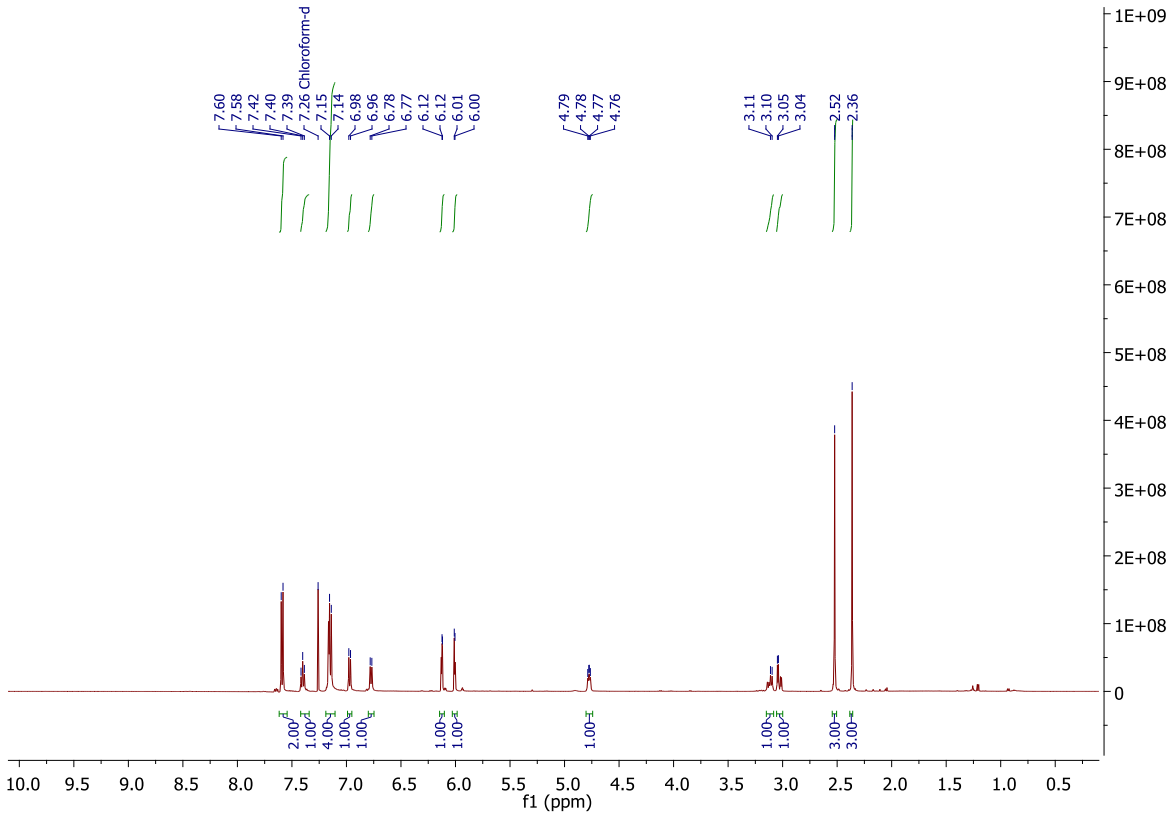
77.16 Chloroform-d
53.79
43.51
24.52
21.59
f1 (ppm)


Ts
N
H
O
N

4af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6.12
6.01
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4.78
4.77
4.76
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3.04
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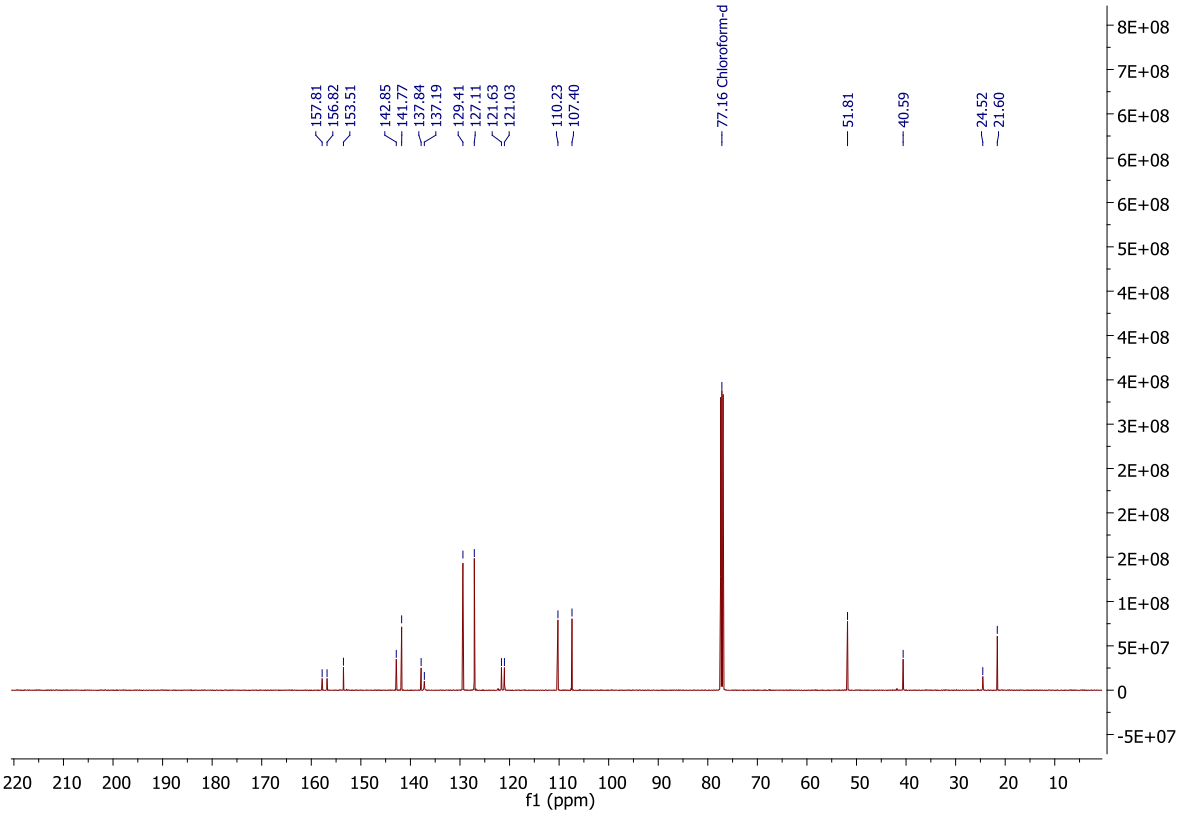
f1 (ppm)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137.19
129.41
127.11
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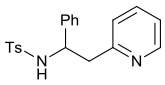
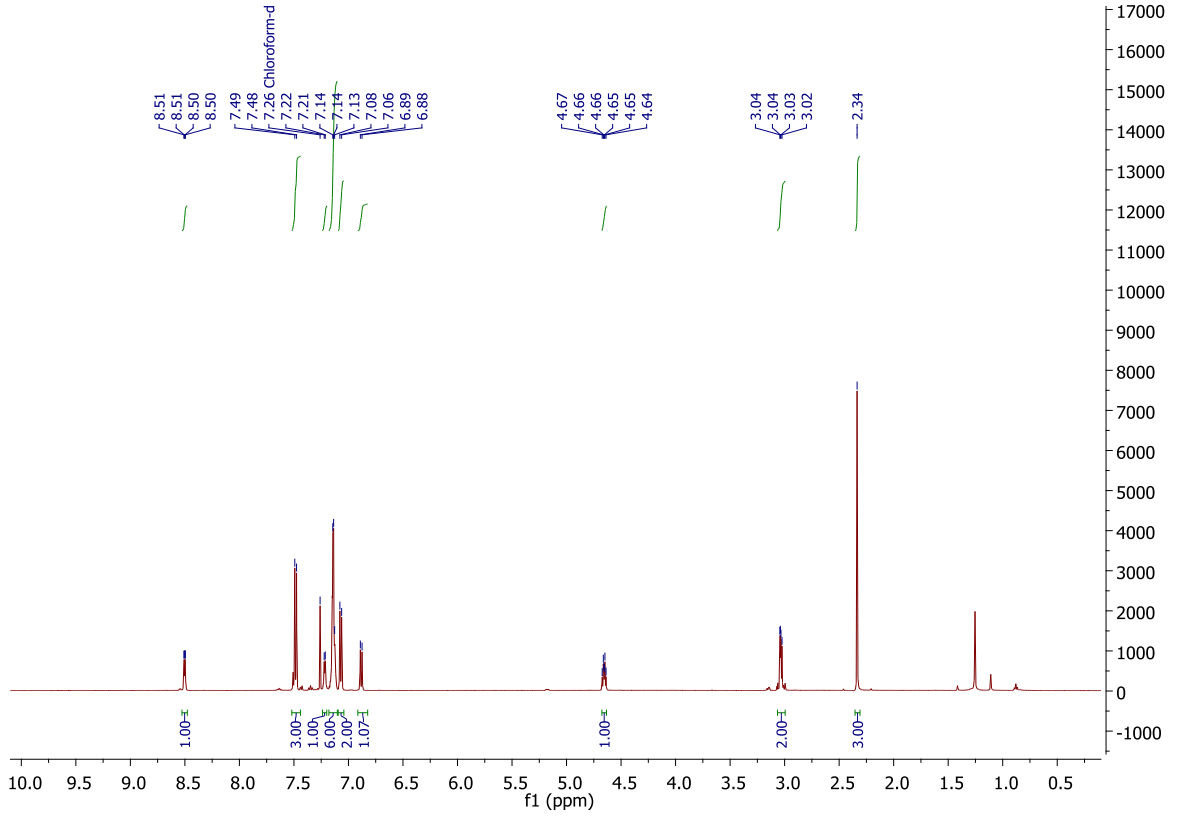
110.23
107.40
77.16 Chloroform-d
51.81
40.59
24.52
21.60
f1 (ppm)


Ph
Ts
N
H
N

4ag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7.48
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7.21
7.14
7.14
7.13
7.08
7.06
6.89
6.88
4.67
4.66
4.66
4.65
4.65
4.64
3.04
3.04
3.03
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1.00
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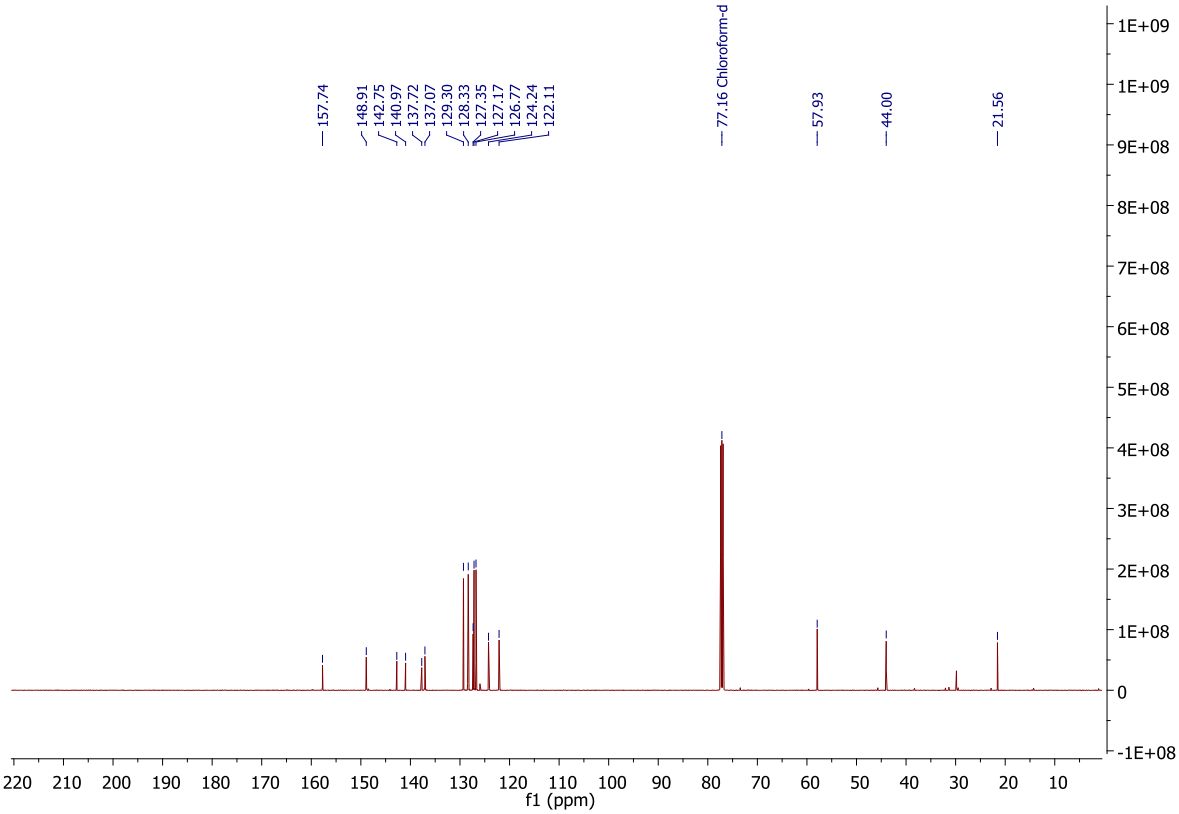
3.00
f1 (ppm)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137.07
129.30
128.33
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126.77
124.24
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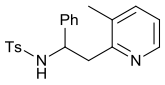
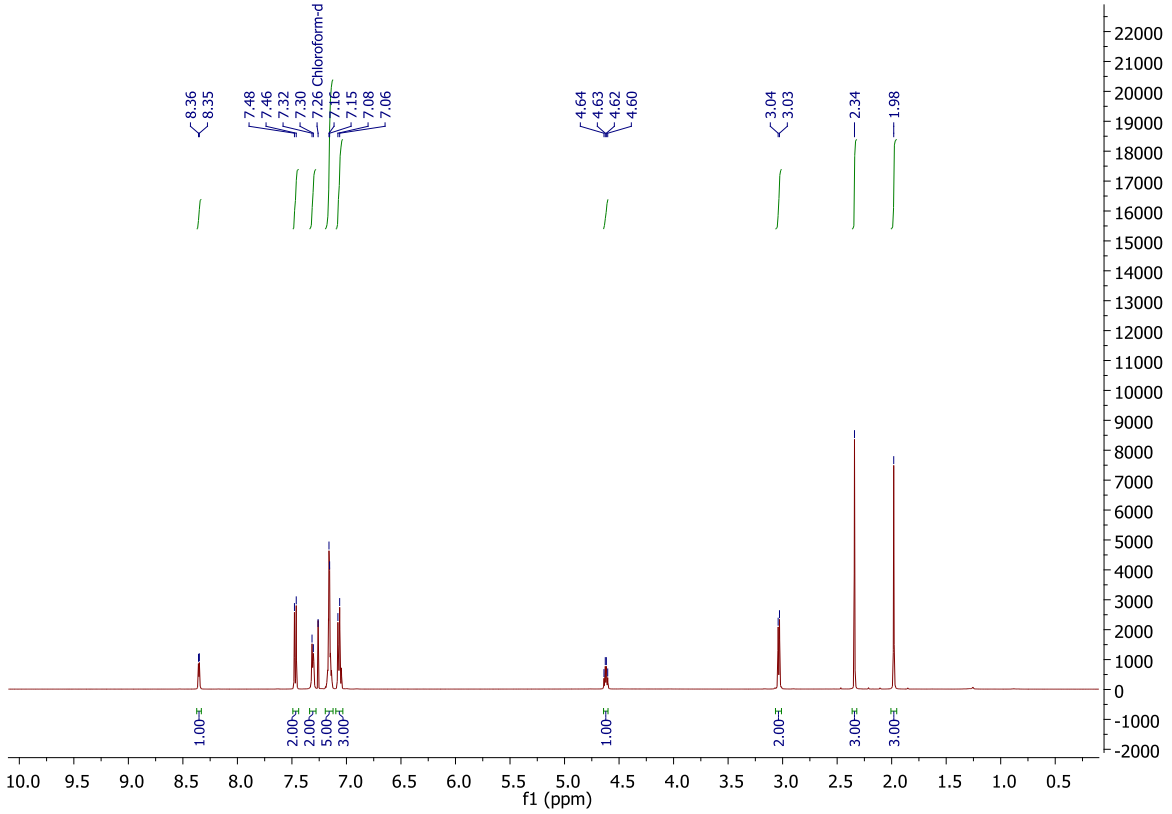
57.93
44.00
21.56
f1 (ppm)


Ph
Ts
N
H
N

4ai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7.32
7.30
7.26 Chloroform-d
7.16
7.15
7.08
7.06
4.64
4.63
4.62
4.60
3.04
3.03
2.34
1.98
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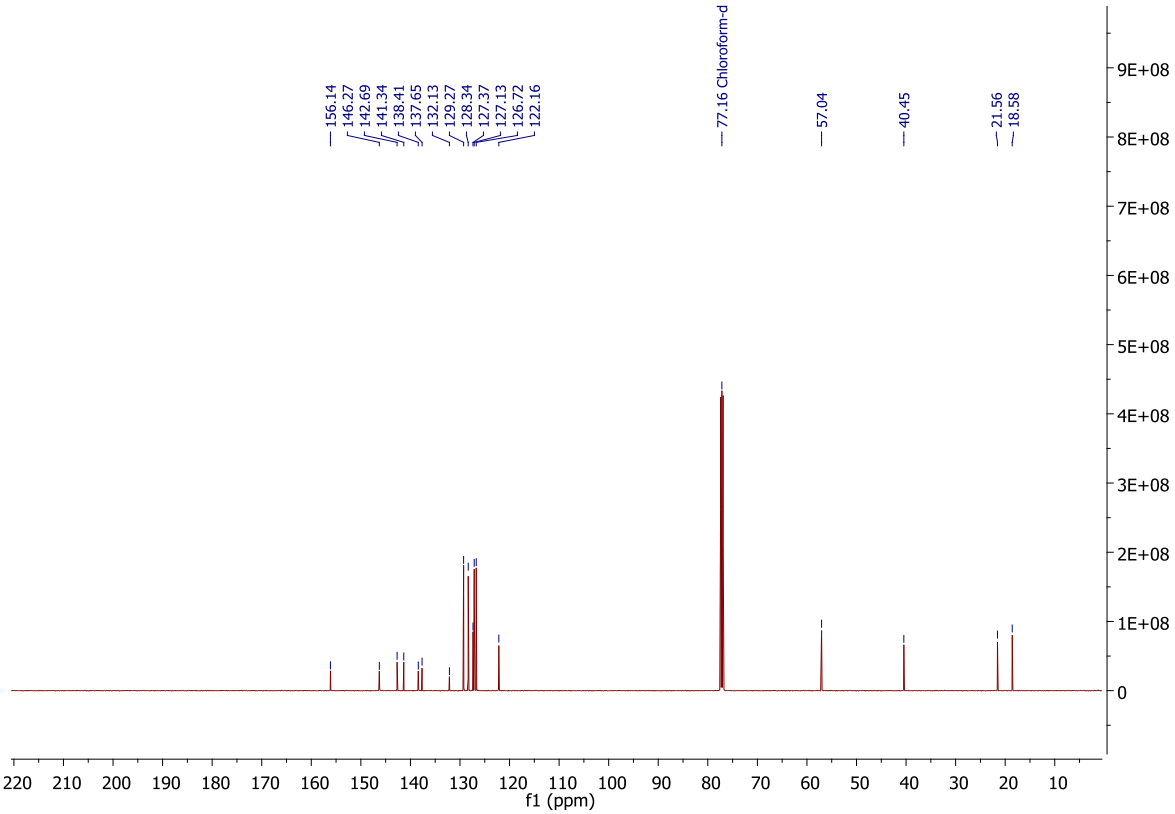
5.00
3.00
1.00
2.00
3.00
3.00
f1 (ppm)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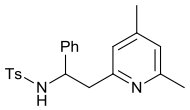
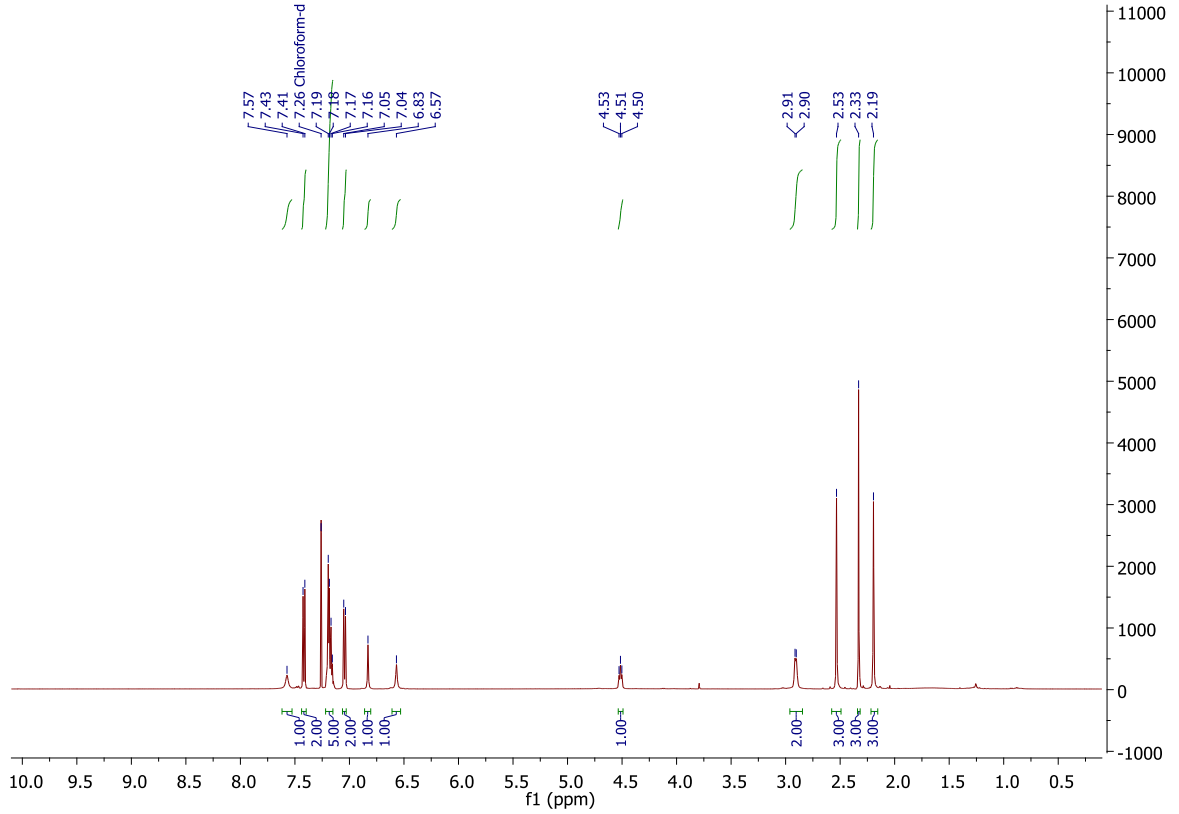
141.34
138.41
137.65
132.13
129.27
128.34
127.37
127.13
126.72
122.16
77.16 Chloroform-d
57.04
40.45
21.56
18.58
f1 (ppm)


Ph
Ts
N
H
N

4aj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7.04
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6.57
4.53
4.51
4.50
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2.19
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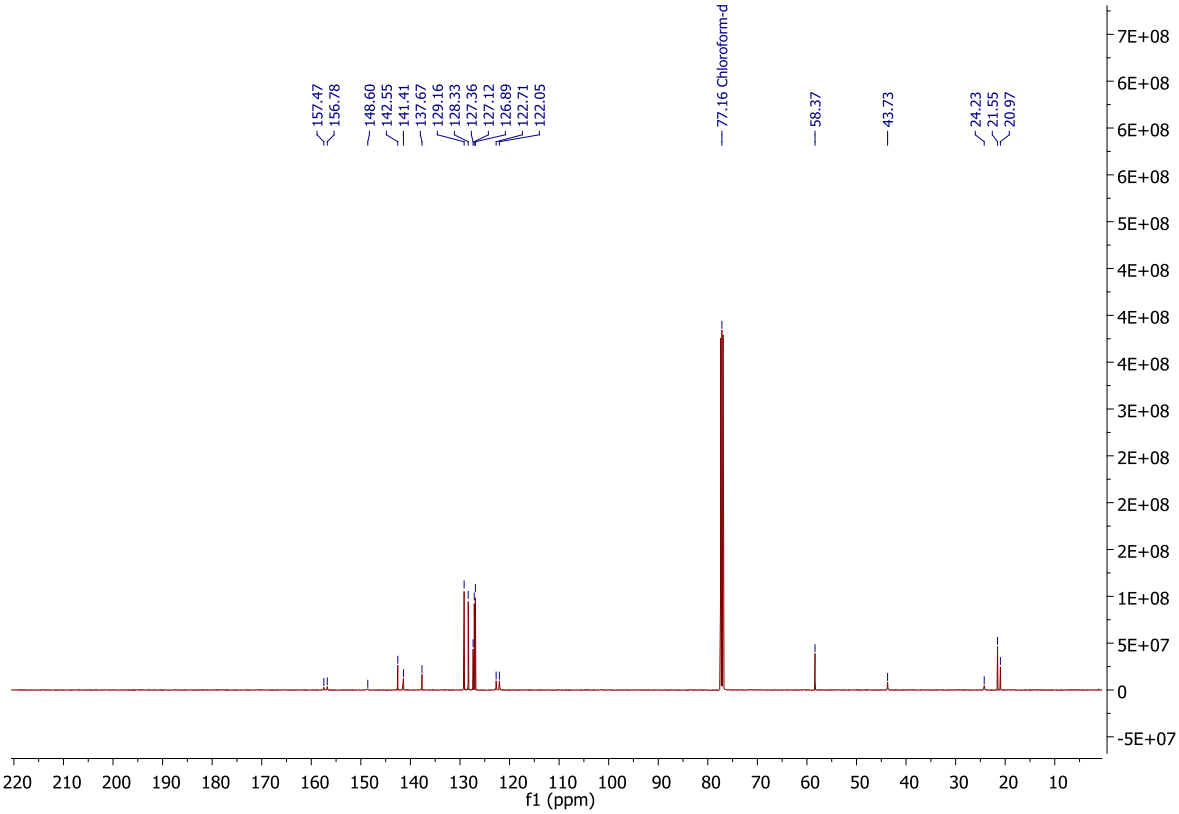
3.00
f1 (ppm)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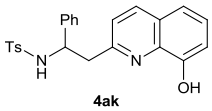
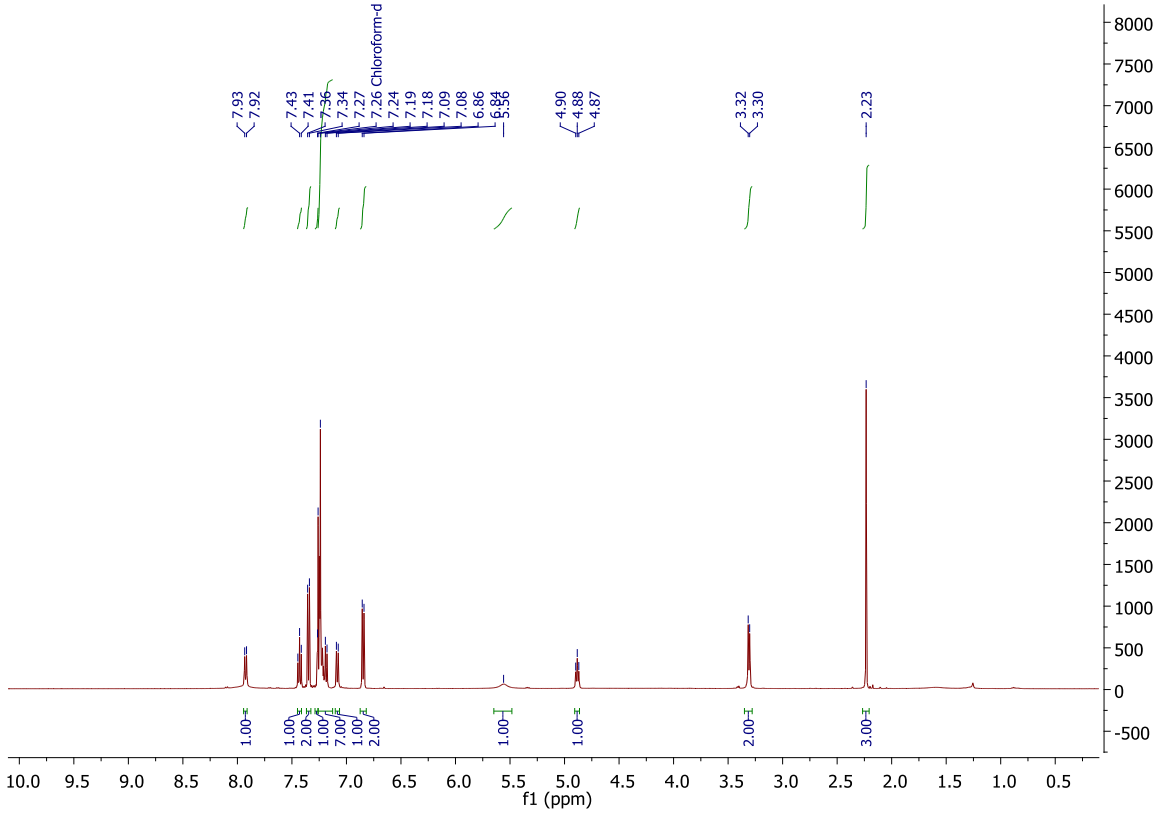
122.05
77.16 Chloroform-d
58.37
43.73
24.23
21.55
20.97
f1 (ppm)


Ph
Ts
N
H
N
OH

4ak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7.08
6.86
6.84
5.56
4.90
4.88
4.87
3.32
3.30
2.23
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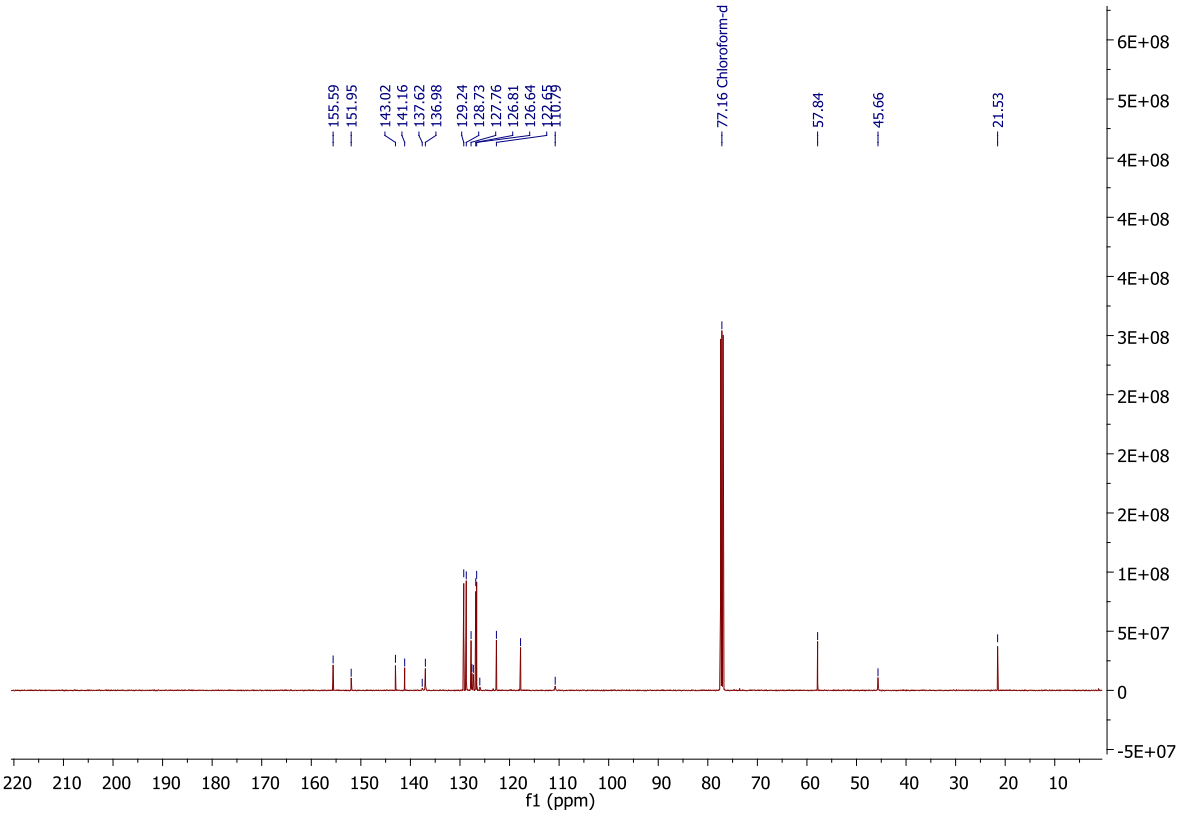
f1 (ppm)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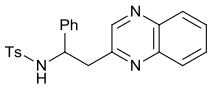
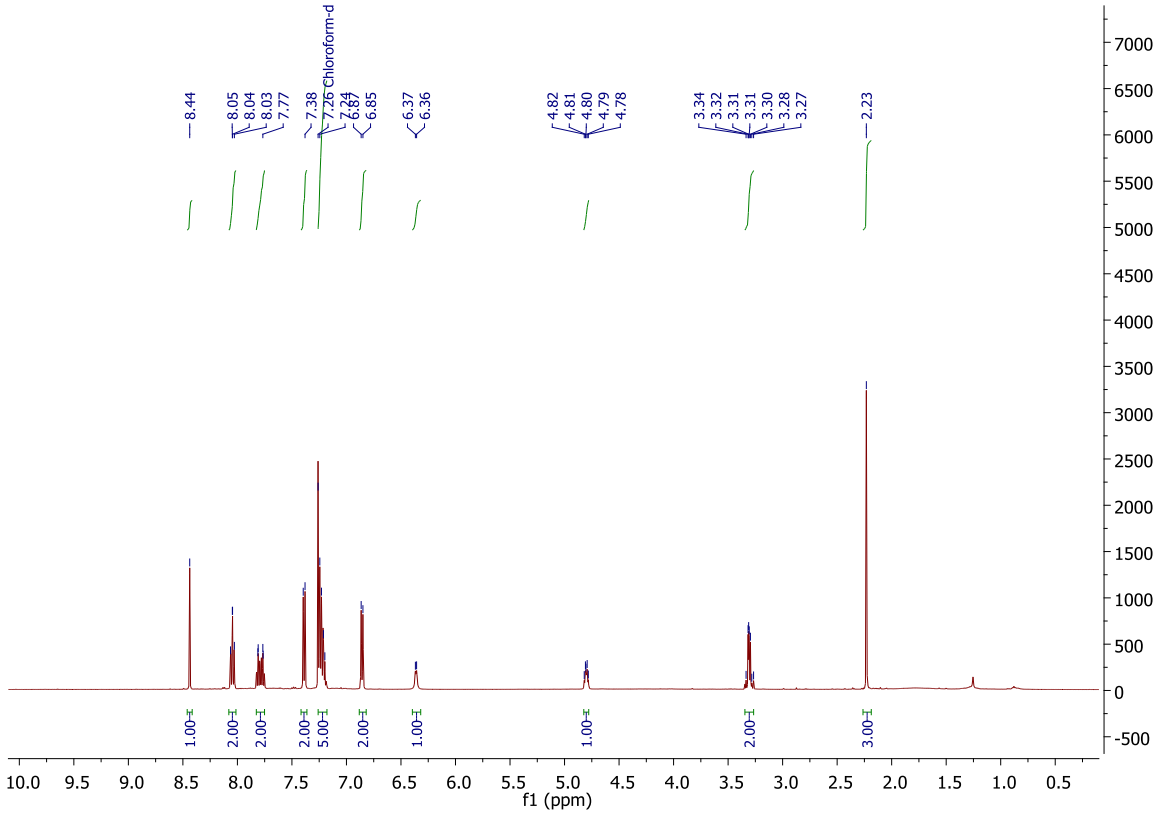
126.64
77.16 Chloroform-d
57.84
45.66
21.53
f1 (ppm)


Ph
Ts
N
H
N
N

4al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6.36
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4.81
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3.28
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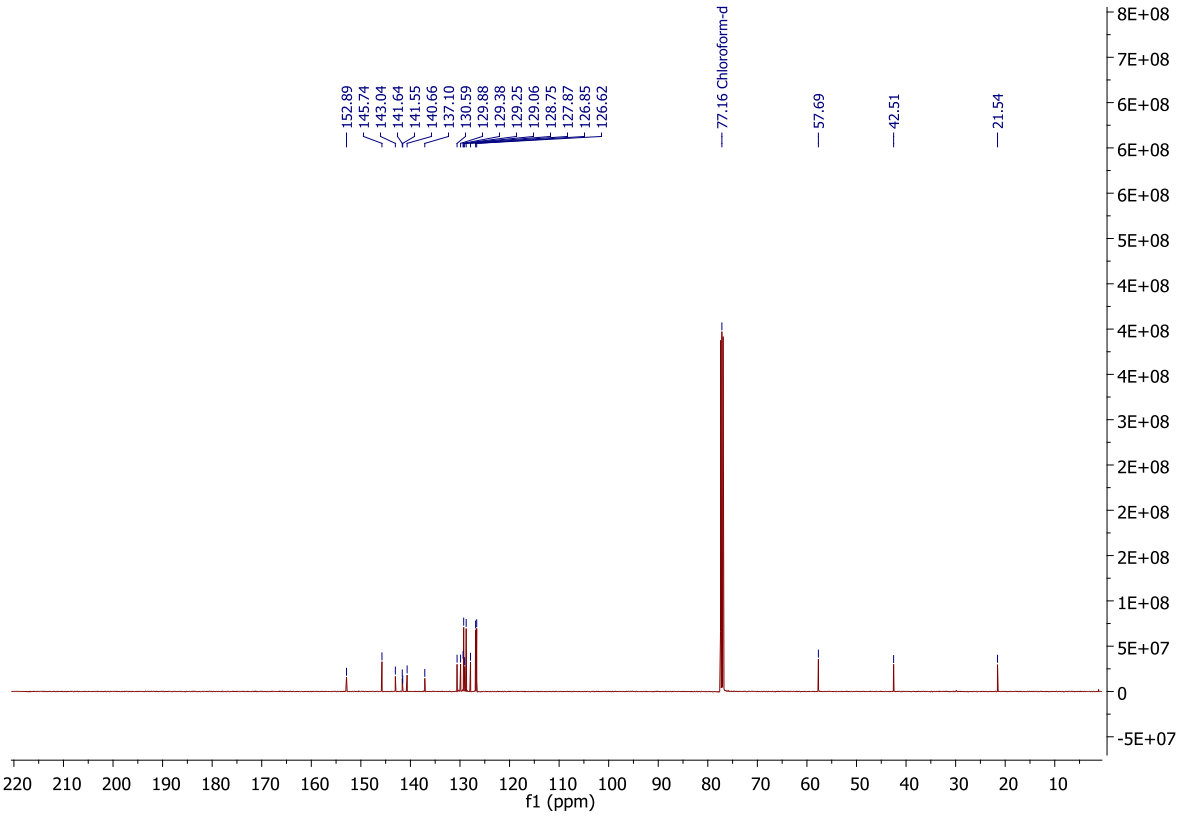
f1 (ppm)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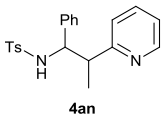
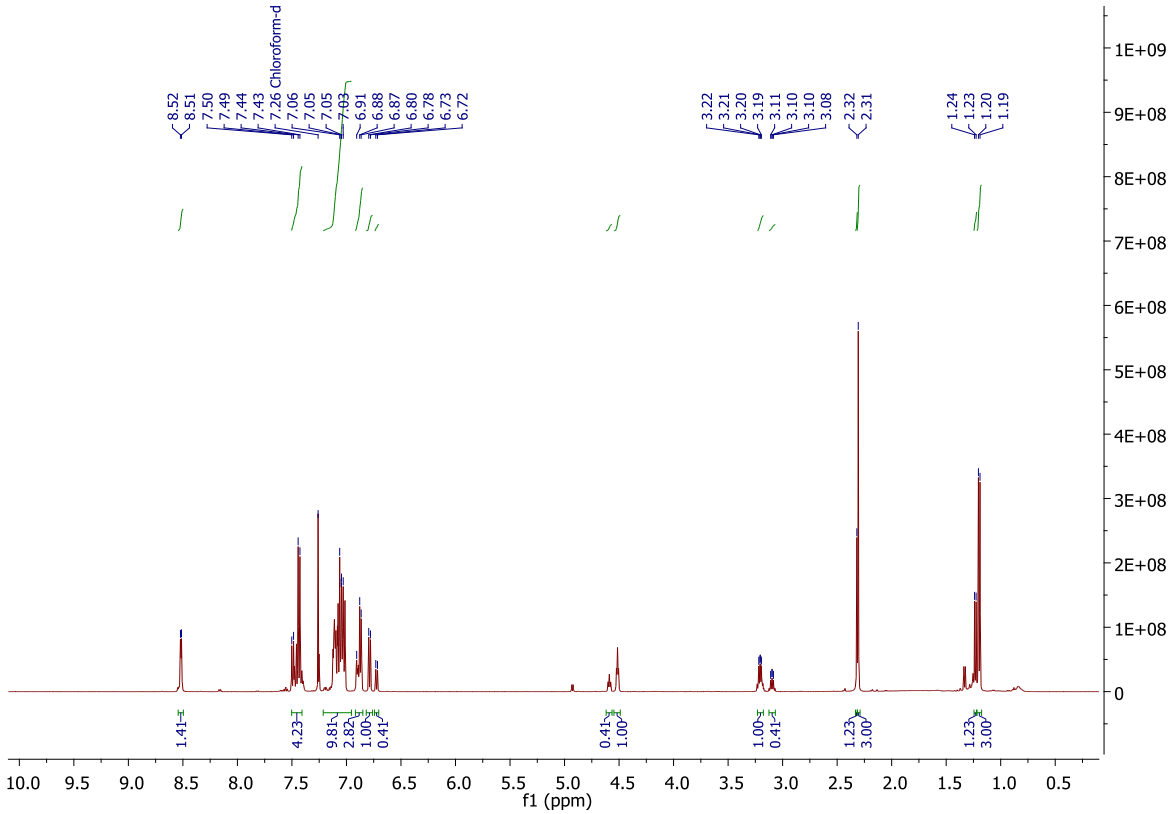
126.85
126.62
77.16 Chloroform-d
57.69
42.51
21.54
f1 (ppm)


Ph
Ts
N
H
N

4an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7.05
7.05
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6.91
6.88
6.87
6.80
6.78
6.73
6.72
3.22
3.21
3.20
3.19
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3.10
3.10
3.08
2.32
2.31
1.24
1.23
1.20
1.19
1.41
4.23
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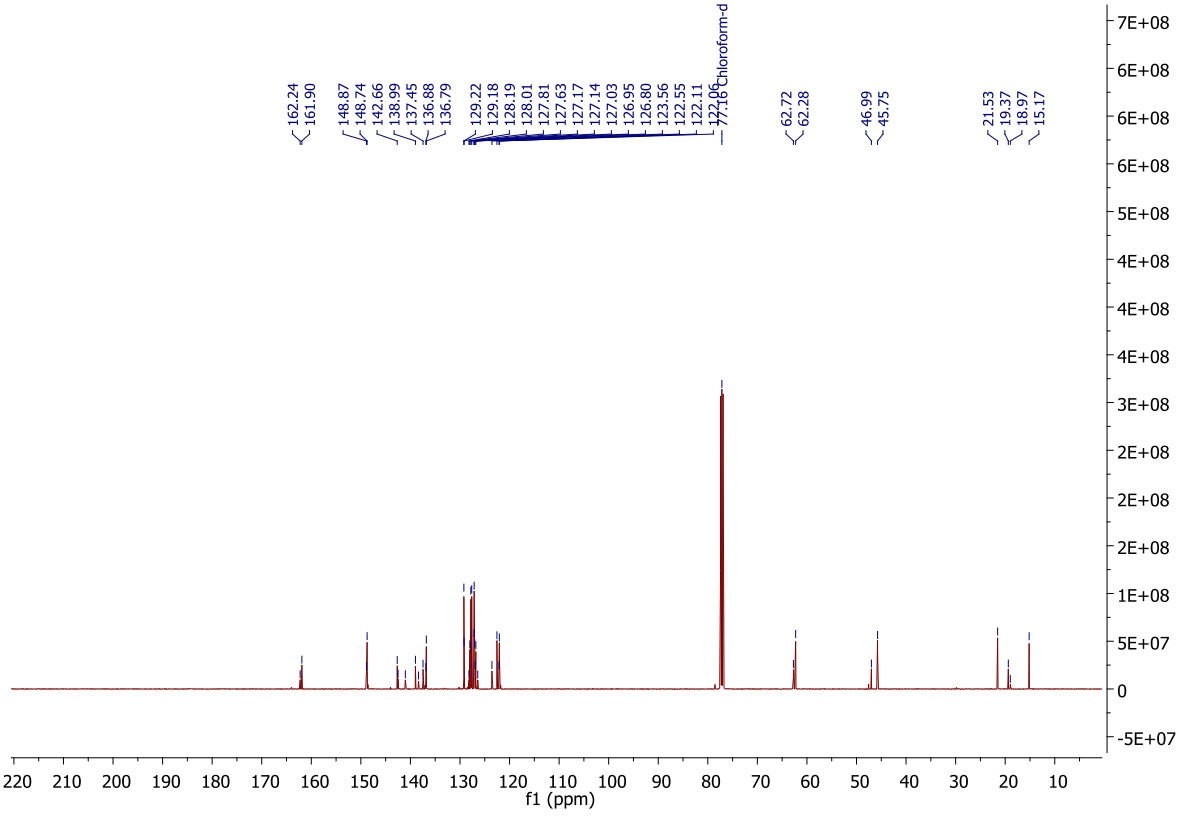
f1 (ppm)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127.14
127.03
126.95
126.80
123.56
122.55
122.11
122.06
77.16 Chloroform-d
62.72
62.28
46.99
45.75
21.53
19.37
18.97
15.17
f1 (ppm)

5 References

[1] For synthesis of the sulfonamides, see: a) Y. A. Aizina, I. B. Rozentsveig, G. G. Levkovskaya, A. N. Mirskova, *Russ. J. Org. Chem.* **2003**, *39*, 1334; b) T. Hayashi, M. Kawai, N. Tokunaga, *Angew. Chem. Int. Ed.* **2004**, *43*, 6125; c) A. R. Katritzky, S. Hanci, N. K. Meher, *ARKIVOC* **2009**, *iv*, 115.

[2] H. Komai, T. Yoshino, S. Matsunaga, M. Kanai, *Sythesis* **2012**, *44*, 2185.

[3] B. Qian, S. Guo, J. Shao, Q. Zhu, L. Yang, C. Xia, H. Huang, *J. Am. Chem. Soc.* **2010**, *132*, 3650.