Supporting Information

Copper-catalyzed 1,3-aminoazidation of arylcyclopropanes: a facile access to 1,3-diamine derivatives

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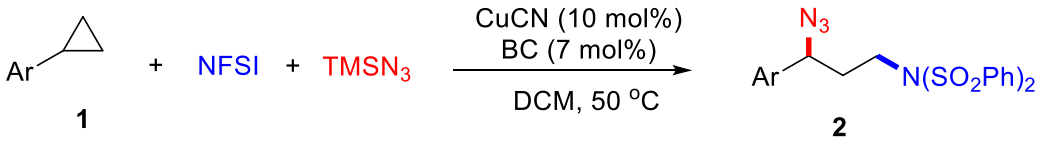
1. General Information

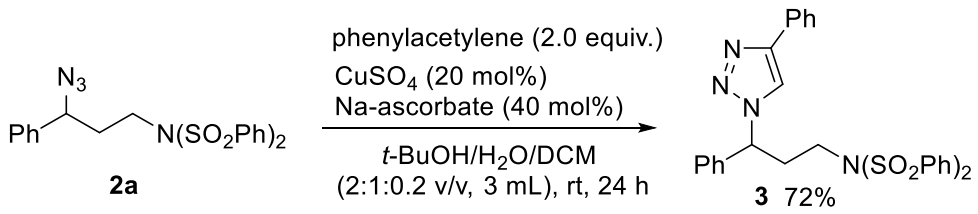
All reactions were performed under nitrogen atmosphere in flame dried flasks and monitored by thin layer chromatography (TLC) using Macherey-Nagel 0.20 mm silica gel 60 plates. Flash column chromatography was performed on silica gel 60 (particle size 300-400 mesh ASTM, purchased from Taizhou, China). Arylcyclopropanes **1** were prepared according to the previous literature.[1,2] ^{1}H NMR spectra were recorded on a 400, 500 and 600 MHz spectrometer, ^{13}C NMR spectra were recorded on a 150 MHz instrument, and ^{19}F NMR spectra were recorded on a 470, and 565 MHz instrument. ^{1}H and ^{13}C NMR spectra are reported in parts per million (ppm) downfield from an internal standard, tetramethylsilane (0 ppm for ^{1}H NMR) and $CDCl_3$ (77.0 ppm for ^{13}C NMR), respectively. IR spectra were recorded on a Magna 560 spectrometer. High-resolution mass spectra (HRMS) were recorded on Bruck microtof or Hybrid Quadrupole-Orbitrap GC-MS/MS system (Q Exactive GC).

2. General Procedure for the Synthes of 1,3-Diamine Derivatives (2a-2y)

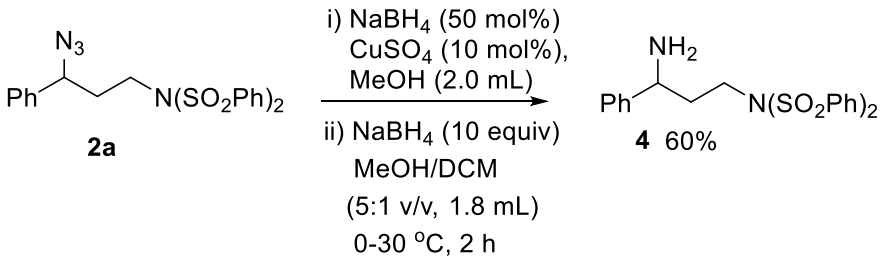


In a nitrogen-filled glove box, a flame-dried screw-cap reaction tube equipped with a Teflon-coated magnetic stir bar was charged with CuCN (10 mol%) and BC (7 mol%). Anhydrous CH_2Cl_2 (2.0 mL) was added and the reaction mixture was stirred for 10 min and NFSI (1.5 equiv.) was added. Then cyclopropane **1** (0.3 mmol, 38 μL), $TMSN_3$ (0.45 mmol, 1.5 equiv.) was added at room temperature. The tube was sealed with a Thermo Scientific PTFE screw cap equipped with a septum, removed from the glove box. The reaction mixture was stirred at 50 °C. After 23 h the reaction mixture was quenched with water, extracted with CH_2Cl_2 (3×10 mL). The combined organic layers were concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel.

3. Transformation of 2a[3,4]

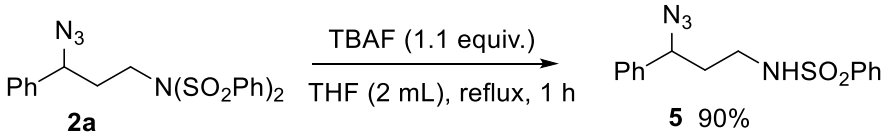


A flame-dried screw-cap reaction tube equipped with a Teflon-coated magnetic stir bar, **2a** (91.3 mg, 0.2 mmol) and phenylacetylene (44 μL, 0.4 mmol, 2.0 equiv.) in ^{t}BuOH/H_2O/DCM (2:1:0.2 v/v, 3 mL) were added. Then, $CuSO_4$ (6.9 mg, 0.04 mmol, 0.2 equiv.) and sodium ascorbate (17.0 mg, 0.08 mmol, 0.4 equiv.) were added and the reaction mixture was stirred for 24 h at room temperature. After completion of the reaction, H_2O (5 mL) was added, and the mixture was extracted with CH_2Cl_2 (3×10 mL). The combined organic layer was dried over Na_2SO_4, filtered and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1, v/v) to give the product **3**.



To a solution of $CuSO_4$ (3.7 mg, 0.02 mmol, 0.1 equiv.) in MeOH (2.0 mL) at 0 °C, $NaBH_4$ (4.5 mg, 0.1 mmol, 0.5 equiv.) was added. Then, **2a** (91.3 mg, 0.2 mmol) in MeOH (1.5 mL) and DCM (0.3 mL) was added to the resulting black suspension. The reaction was continued by the addition of $NaBH_4$ (76.8 mg, 2.0 mmol, 10 equiv.) in four portions during 1 h at 0 °C. The reaction was allowed to warm up to 30 °C over 2 h. When TLC showed starting material was totally consumed and the reaction mixture was filtered over celite. The celite was washed with MeOH (20 mL) and the solvent was removed under reduced pressure. The crude product was diluted with CH_2Cl_2 (10

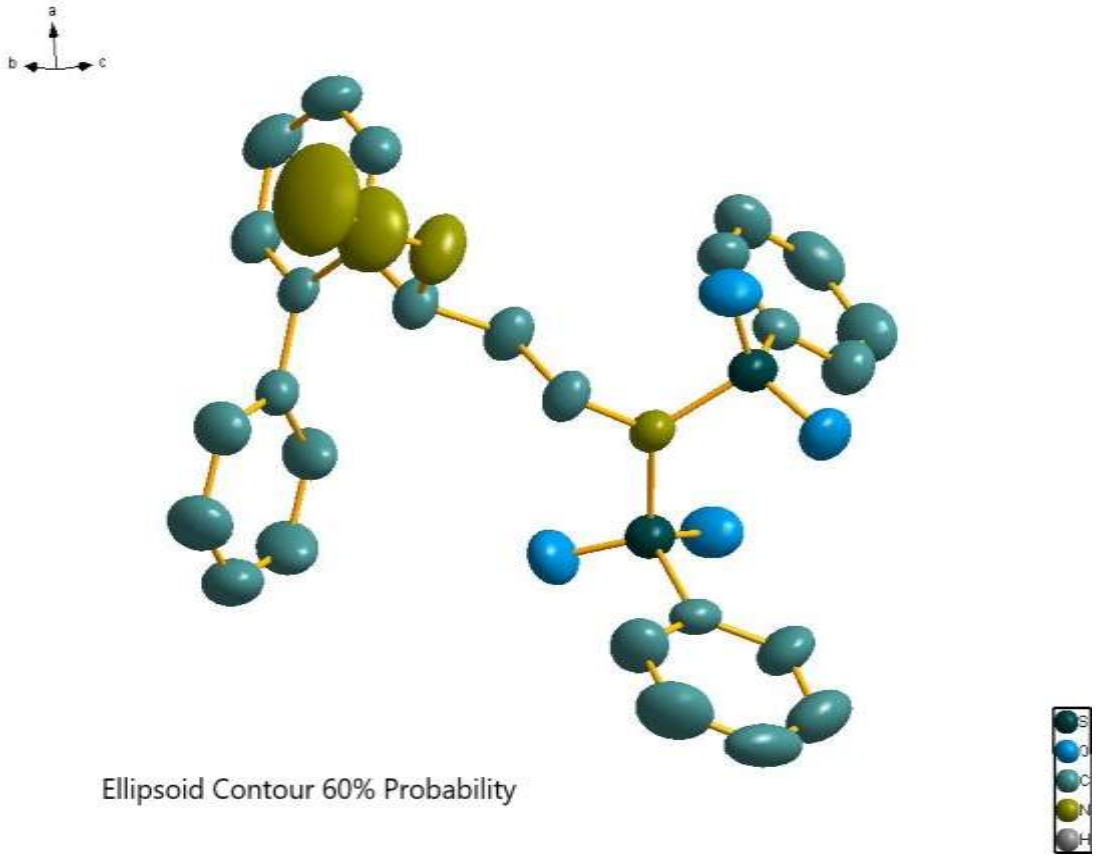
mL), washed with water (10 mL) and brine (10 mL). The organic layer was dried over $MgSO_4$, filtered, and concentrated to afford **4**.



A THF (2 mL) solution of TBAF (0.06 mL, 0.22 mmol, 1.1 equiv.) was added dropwise to a THF (2 mL) solution of **2a** (91.3 mg, 0.2 mmol) at room temperature. Then, the reaction mixture was warmed to 70 °C and stirred for 1 h. The reaction was diluted with H_2O and extracted with EtOAc (3×10 mL). The organic layer was dried over $MgSO_4$, filtered, concentrated. The residue was purified by flash column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v) to give **5**.

4. X-ray Single Crystal Structure of 2o

Ellipsoid Contour 60% Probability

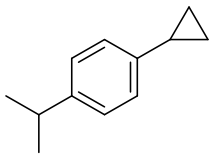


Supplementary Figure 1. X-Ray Crystallography of 2o

CCDC number	1843066
Empirical formula	$C_{27}H_{24}N_4O_4S_2$
Formula weight	532.62
Temperature	293(2) K
Wavelength	0.71073 A
Crystal system, Space group	monoclinic, P 21
Unit cell dimensions	a = 9.943 A alpha = 90 deg. b = 19.423 A beta = 94.84 deg.

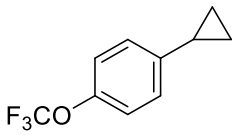
	c = 27.408 A gamma = 90 deg.
Volume	5274.2 A^3
Z, Calculated density	4, 1.342 Mg/m^3
Reflections collected / unique	65925 / 9313 [R(int) = 0.0766]
F(000)	2224
Absorption correction	Semi-empirical from equivalents
Max. and min. transmission	1.0000 and 0.7928
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	9313 / 0 / 667
Goodness-of-fit on F^2	0.819
Final R indices [I>2sigma(I)]	R1 = 0.0549, wR2 = 0.1647
R indices (all data)	R1 = 0.0899, wR2 = 0.2051
Largest diff. peak and hole	0.459 and -0.453 e.A^-3
$^{a}R_1 = \Sigma\|\|F_o\|-\|Fc\|\|/\Sigma\|Fo\|$; $^{b}wR_2 = \Sigma[w(F_o^2-F_c^2)^2]/\Sigma[w(F_o^2)^2]^{1/2}$	

5. Characterization Data of New Compounds



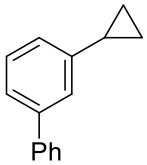
1-cyclopropyl-4-isopropylbenzene (1c)

Purification by column chromatography on silica gel (petroleum ether) colorless oil (yield 0.833 g, 52%); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.12 (d, *J* = 7.6 Hz, 2H), 7.00 (d, *J* = 7.6 Hz, 2H), 2.89 – 2.82 (m, 1H), 1.87 – 1.84(m, 1H), 1.23 (d, *J* = 6.8 Hz, 6H), 0.91 (d, *J* = 8.0 Hz, 2H), 0.66 (d, *J* = 5.2 Hz, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 145.9, 141.2, 126.3, 125.6, 33.7, 24.1, 15.0, 8.9; IR (neat): ν (cm^{-1}) 3081, 3050, 2960, 1517, 1461, 1382, 1363, 821; HRMS (EI) (m/z): Calcd for $C_{12}H_{16}^{+}$ (M^{+}) 160.12465, found 160.12470.



1-cyclopropyl-4-(trifluoromethoxy)benzene (1j)

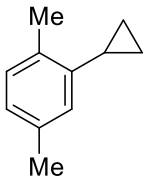
Purification by column chromatography on silica gel (petroleum ether) colorless oil (yield 1.192 g, 59%); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.10 – 7.04 (m, 4H), 1.92 – 1.85 (m, 1H), 0.99 – 0.95 (m, 2H), 0.69 – 0.65 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 147.1, 142.8, 126.9, 120.9, 120.6 (*J* = q, 256.3Hz), 14.9, 9.3; ^{19}F NMR (470 MHz, $CDCl_3$) δ = -58.1 (s, 1CF_3O); IR (neat): ν (cm^{-1}) 3086, 3012, 1512, 1267, 811; HRMS (EI) (m/z): Calcd for $C_{10}H_9OF_3^{+}$ (M^{+}) 202.06000, found 202.06006.



3-cyclopropyl-1,1'-biphenyl (1r)

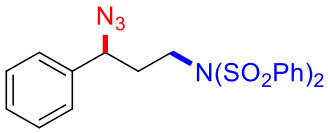
Purification by column chromatography on silica gel (petroleum ether) colorless oil (yield 1.262 g, 65%); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.58 – 7.56 (m, 2H), 7.43 (t, *J* = 7.2 Hz, 2H), 7.37 – 7.29 (m, 4H), 7.04 (d, *J* = 7.2 Hz, 1H), 1.99 – 1.92 (m, 1H),

1.01 – 0.96 (m, 2H), 0.77 – 0.73 (m, 2H); $^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 150 MHz) δ = 144.4, 141.4, 141.3, 128.7, 127.18, 127.15, 124.7, 124.5; 124.3, 15.5, 9.2; IR (neat): ν (cm^{-1}) 3080, 3059, 3030, 1601, 1480, 756, 699; HRMS (EI) (m/z): Calcd for $C_{15}H_{14}^{+}$ (M^{+}) 194.10900, found 194.10899.



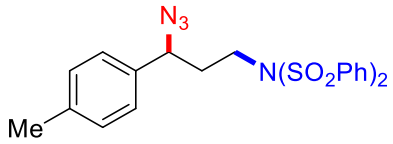
2-cyclopropyl-1,4-dimethylbenzene (1v)

Purification by column chromatography on silica gel (petroleum ether) colorless oil (yield 0.657 g, 45%); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.02 (d, *J* = 7.6 Hz, 1H), 6.89 (d, *J* = 7.6 Hz, 1H), 6.78 (s, 1H), 2.37 (s, 3H), 2.27 (s, 3H), 1.88 – 1.81 (m, 1H), 0.92 – 0.87 (m, 2H), 0.64 – 0.60 (m, 2H); $^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 150 MHz) δ = 141.0, 135.1, 134.6, 129.5, 126.2, 126.2, 21.0, 19.1, 13.3, 6.7; IR (neat): ν (cm^{-1}) 3080, 3012, 2920, 1502, 1456, 807; HRMS (EI) (m/z): Calcd for $C_{11}H_{14}^{+}$ (M^{+}) 146.10900, found 146.10890.



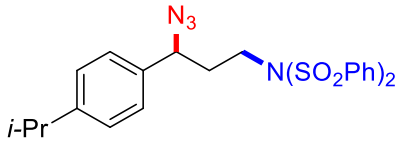
***N*-(3-azido-3-phenylpropyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2a)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a colorless solid (yield 113.6 mg, 83%), mp 50 – 51 °C; ^{1}H NMR (600 MHz, $CDCl_3$) *δ* = 7.96 (d, *J* = 8.4 Hz 4H), 7.65 (t, *J* = 7.8 Hz, 2H), 7.54 (t, *J* = 7.8 Hz, 4H), 7.40 – 7.34 (m, 3H), 7.24 – 7.20 (m, 2H), 4.44 (dd, *J* = 9.0, 5.4 Hz, 1H), 3.83 – 3.78 (m, 1H), 3.72 – 3.67 (m, 1H), 2.17 – 2.10 (m, 2H); $^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 150 MHz) *δ* = 139.6, 138.3, 134.0, 129.2, 129.0, 128.6, 128.2, 126.8, 63.4, 46.2, 36.0; IR (neat): ν (cm^{-1}) 3066, 2104, 1450, 1374, 1166, 1087, 756, 685, 551; HRMS (ESI) (m/z): Calcd for $C_{21}H_{20}N_4N_aO_4S_2$ ($[M + Na]^{+}$), 479.0824, found, 479.0829.



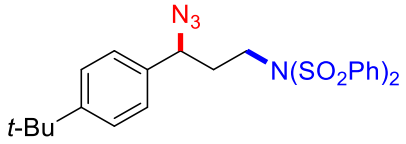
***N*-(3-azido-3-(p-tolyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2b)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow oil (yield 84.6 mg, 60%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.97 (d, J = 8.4 Hz, 4H), 7.65 (t, J = 7.2 Hz, 2H), 7.54 (t, J = 7.8 Hz, 4H), 7.19 (d, J = 7.8 Hz, 2H), 7.11 (d, J = 7.8 Hz, 2H), 4.40 (dd, J = 8.4, 6.0 Hz, 1H), 3.81 – 3.77 (m, 1H), 3.71 – 3.67 (m, 1H), 2.36 (s, 3H), 2.15 – 2.09 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 139.6, 138.5, 135.2, 133.9, 129.6, 129.1, 128.2, 126.8, 63.2, 46.3, 35.9, 21.1; IR (neat): ν (cm^{-1}) 3065, 2925, 2101, 1448, 1374, 1168, 1087, 823, 753, 686, 551; HRMS (ESI) (m/z): Calcd for $C_{22}H_{22}N_4NaO_4S_2$ ([M + Na]$^{+}$), 493.0975, found, 493.0976.



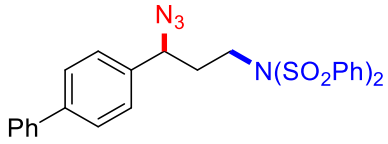
***N*-(3-azido-3-(4-isopropylphenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2c)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a colorless solid (yield 119.6 mg, 80%), mp 90 – 91 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.97 (d, J = 7.8 Hz, 4H), 7.65 (t, J = 7.2 Hz, 2H), 7.54 (t, J = 7.8 Hz, 4H), 7.24 (d, J = 7.8 Hz, 2H), 7.15 (d, J = 7.8 Hz, 2H), 4.40 (dd, J = 7.8, 6.0 Hz, 1H), 3.82 – 3.77 (m, 1H), 3.70 – 3.65 (m, 1H), 2.97 – 2.88 (m, 1H), 2.22 – 2.07 (m, 2H), 1.26 (d, J = 7.2 Hz, 6H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 149.4, 139.6, 135.6, 133.9, 129.1, 128.2, 127.0, 126.8, 63.20, 46.3, 35.9, 33.8, 23.89, 23.88; IR (neat): ν (cm^{-1}) 3063, 2960, 2867, 2104, 1450, 1368, 1163, 1088, 761, 687, 552; HRMS (ESI) (m/z): Calcd for $C_{24}H_{26}N_4NaO_4S_2$ ([M + Na]$^{+}$), 521.1288, found, 521.1301.



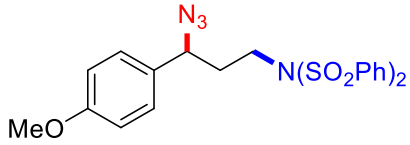
***N*-(3-azido-3-(4-(tert-butyl)phenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2d)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow solid (yield 113.7 mg, 74%), mp 60 – 61 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.96 (d, J = 7.8 Hz, 4H), 7.64 (t, J = 7.2 Hz, 2H), 7.52 (t, J = 7.8 Hz, 4H), 7.40 (d, J = 7.8 Hz, 2H), 7.16 (d, J = 8.4 Hz, 2H), 4.41 (dd, J = 8.4, 5.4 Hz, 1H), 3.80 – 3.78 (m, 1H), 3.68 – 3.66 (m, 1H), 2.18 – 2.12 (m, 2H), 1.33 (s, 9H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 151.6, 139.6, 135.2, 133.9, 129.1, 128.2, 126.5, 125.8, 63.1, 46.3, 35.9, 34.6, 31.3; IR (neat): ν (cm^{-1}) 3064, 2963, 2101, 1449, 1374, 1168, 1087, 740, 686, 551; HRMS (ESI) (m/z): Calcd for $C_{25}H_{28}N_4NaO_4S_2$ ([M + Na]$^+$), 535.1444, found, 535.1454.



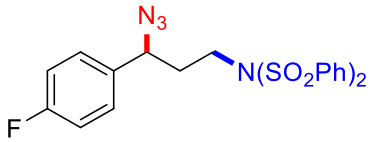
***N*-(3-([1,1'-biphenyl]-4-yl)-3-azidopropyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2e)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a colorless solid (yield 111.7 mg, 70%), mp 132 – 133 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.97 (d, J = 7.8 Hz, 4H), 7.64 – 7.58 (m, 6H), 7.52 (t, J = 7.8 Hz, 4H), 7.44 (t, J = 7.8 Hz, 2H), 7.36 (t, J = 7.8 Hz, 1H), 7.29 (d, J = 8.4 Hz, 2H), 4.49 (dd, J = 9.0, 5.4 Hz, 1H), 3.85 – 3.80 (m, 1H), 3.75 – 3.70 (m, 1H), 2.23 – 2.14 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 141.5, 140.2, 139.5, 137.2, 133.9, 129.1, 128.8, 128.1, 127.6, 127.2, 127.0, 63.0, 46.2, 35.9; IR (neat): ν (cm^{-1}) 2099, 1447, 1376, 1166, 1076, 811, 749, 684, 554; HRMS (ESI) (m/z): Calcd for $C_{27}H_{24}N_4NaO_4S_2$ ([M + Na]$^+$), 555.1131, found, 555.1134.



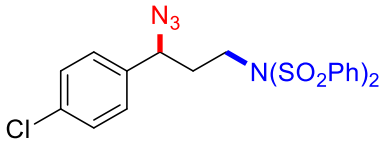
***N*-(3-azido-3-(4-methoxyphenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2f)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 30:1, v/v) affords the title compound as a colorless oil (yield 48.2 mg, 33%); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, J = 7.5 Hz, 4H), 7.66 (t, J = 7.5 Hz, 2H), 7.55 (t, J = 8.0 Hz, 4H), 7.16 (d, J = 8.5 Hz, 2H), 6.91 (d, J = 9.0 Hz, 2H), 4.41 – 4.35 (m, 1H), 3.83 (s, 3H), 3.80 – 3.76 (m, 1H), 3.70 – 3.63 (m, 1H), 2.12 – 2.10 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 159.8, 139.6, 134.0, 130.2, 129.2, 128.18, 128.16, 114.3, 63.0, 55.3, 46.3, 35.9; IR (neat): ν (cm^{-1}) 3066, 2958, 2101, 1448, 1373, 1168, 1086, 752, 685, 549; HRMS (ESI) (m/z): Calcd for $C_{22}H_{22}N_4NaO_5S_2$ ([M + Na]$^+$), 509.0924, found 509.0915.



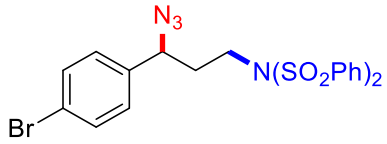
***N*-(3-azido-3-(4-fluorophenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2g)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow solid (yield 76.8 mg, 54%), mp 71 – 72 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.96 (d, J = 8.4 Hz, 4H), 7.65 (t, J = 7.2 Hz, 2H), 7.53 (t, J = 7.8 Hz, 4H), 7.20 – 7.19 (m, 2H), 7.07 (t, J = 8.4 Hz, 2H), 4.43 (dd, J = 9.0, 6.0 Hz, 1H), 3.82 – 3.77 (m, 1H), 3.71 – 3.67 (m, 1H), 2.15 – 2.07 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 162.6 (d, J = 247.6 Hz), 139.5, 134.2 (d, J = 3.1 Hz), 134.0, 129.1, 128.5 (d, J = 8.2 Hz), 128.1, 115.9 (d, J = 21.1 Hz), 62.6, 46.1; 36.0; ^{19}F NMR (565 MHz, $CDCl_3$) δ = -112.83 – -112.87 (m, 1F); IR (neat): ν (cm^{-1}) 3068, 2960, 2105, 1448, 1375, 1220, 1086, 823, 687, 550; HRMS (ESI) (m/z): Calcd for $C_{21}H_{19}FN_4NaO_4S_2$ ([M + Na]$^+$), 497.0724, found 497.0713.



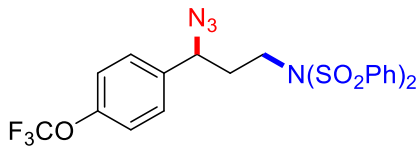
***N*-(3-azido-3-(4-chlorophenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2h)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a yellow oil (yield 117.6 mg, 80%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.95 (d, J = 7.8 Hz, 4H), 7.65 (t, J = 7.8 Hz, 2H), 7.54 (t, J = 7.8 Hz, 4H), 7.35 (d, J = 8.4 Hz, 2H), 7.16 (d, J = 8.4 Hz, 2H), 4.43 (dd, J = 8.4, 5.4 Hz, 2H), 3.81 – 3.76 (m, 1H), 3.72 – 3.66 (m, 1H), 2.14 – 2.06 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 139.4, 136.9, 134.4, 134.0, 129.2, 129.1, 128.1, 128.1, 62.6, 46.0, 36.0; IR (neat): ν (cm^{-1}) 3067, 2102, 1448, 1375, 1168, 1089, 827, 747, 686, 552; HRMS (ESI) (m/z): Calcd for $C_{21}H_{19}ClN_4NaO_4S_2$ ([M + Na]$^+$), 513.0428, found 513.0434.



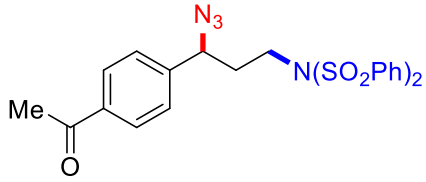
***N*-(3-azido-3-(4-bromophenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2i)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a colorless oil (yield 99.3 mg, 62%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.96 (d, J = 7.8 Hz, 4H), 7.66 (t, J = 7.2 Hz, 2H), 7.56 – 7.50 (m, 6H), 7.10 (d, J = 8.4 Hz, 2H), 4.42 (dd, J = 8.4, 5.4 Hz, 1H), 3.80 – 3.75 (m, 1H), 3.71 – 3.66 (m, 1H), 2.15 – 2.04 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 139.4, 137.4, 134.0, 132.1, 129.2, 128.4, 128.1, 122.5, 62.6, 46.0, 35.9; IR (neat): ν (cm^{-1}) 3066, 2961, 2102, 1448, 1374, 1167, 1088, 751, 687, 551; HRMS (ESI) (m/z): Calcd for $C_{21}H_{19}BrN_4NaO_4S_2$ ([M + Na]$^+$), 556.9923, found 556.9930.



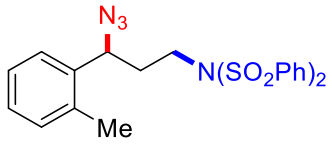
***N*-(3-azido-3-(4-(trifluoromethoxy)phenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2j)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a colorless solid (yield 105.3 mg, 65%), mp 52 – 53 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.97 (d, J = 7.8 Hz, 4H), 7.67 (t, J = 7.8 Hz, 2H), 7.55 (t, J = 7.2 Hz, 4H), 7.27 – 7.23 (m, 4H), 4.48 (dd, J = 9.0, 5.4 Hz, 1H), 3.81 – 3.77 (m, 1H), 3.72 – 3.67 (m, 1H), 2.17 – 2.07 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 149.2, 139.5, 137.2, 134.0, 129.2, 128.3, 128.1, 121.4, 120.4 (q, J = 257.8 Hz), 62.5, 46.0, 36.1; ^{19}F NMR (470 MHz, $CDCl_3$) δ = -57.8 (s, 1CF_3O); IR (neat): ν (cm^{-1}) 3069, 2103, 1510, 1449, 1376, 1261, 1167, 1087, 754, 686, 550; HRMS (ESI) (m/z): Calcd for $C_{22}H_{19}F_3N_4NaO_5S_2$ ([M + Na]$^+$), 563.0641, found 563.0634.



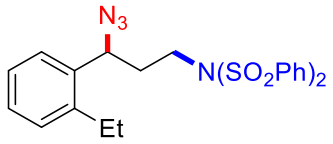
***N*-(3-(4-acetylphenyl)-3-azidopropyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2k)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1, v/v) affords the title compound as a yellow oil (yield 79.2 mg, 53%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.98 – 7.96 (m, 6H), 7.66 (t, J = 7.8 Hz, 2H), 7.54 (t, J = 7.8 Hz, 4H), 7.32 (d, J = 7.8 Hz, 2H), 4.54 (dd, J = 8.4, 5.4 Hz, 1H), 3.81 – 3.75 (m, 2H), 2.61 (s, 3H), 2.15 – 2.08 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 197.3, 143.5, 139.4, 137.2, 134.0, 129.2, 129.0, 128.1, 126.9, 62.8, 46.0, 36.0, 26.6; IR (neat): ν (cm^{-1}) 3065, 2102, 1684, 1448, 1373, 1167, 1086, 752, 686, 550; HRMS (ESI) (m/z): Calcd for $C_{23}H_{22}N_4NaO_5S_2$ ([M + Na]$^+$), 521.0924, found 521.0915.



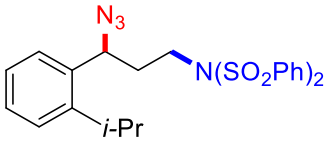
***N*-(3-azido-3-(o-tolyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2l)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a colorless solid (yield 118.5 mg, 84%), mp 82 – 83 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.95 (d, J = 8.4, 4H), 7.63 (t, J = 7.2 Hz, 2H), 7.52 (t, J = 8.4 Hz, 4H), 7.28 – 7.21 (m, 3H), 7.19 – 7.17 (m, 1H), 4.69 (dd, J = 9.0, 4.2 Hz, 1H), 3.87 – 3.82 (m, 1H), 3.77 – 3.72 (m, 1H), 2.29 (s, 3H), 2.16 – 2.07 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 139.5, 136.2, 135.5, 133.9, 131.0, 129.1, 128.3, 128.1, 126.5, 126.1, 59.8, 46.4, 35.1, 19.1; IR (neat): ν (cm^{-1}) 3067, 2959, 2102, 1449, 1377, 1167, 1087, 751, 686, 551; HRMS (ESI) (m/z): Calcd for $C_{22}H_{22}N_4NaO_4S_2$ ([M + Na]$^+$), 493.0975, found 493.0984.



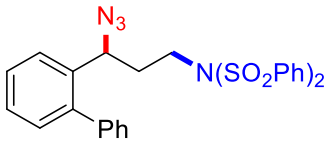
***N*-(3-azido-3-(2-ethylphenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2m)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a yellow oil (yield 108.9 mg, 75%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.97 (d, J = 7.8 Hz, 4H), 7.64 (t, J = 7.8 Hz, 2H), 7.52 (t, J = 8.4 Hz, 4H), 7.29 – 7.24 (m, 3H), 7.22 – 7.21 (m, 1H), 4.74 (dd, J = 9.6, 5.4 Hz, 1H), 3.88 – 3.84 (m, 1H), 3.76 – 3.71 (m, 1H), 2.63 – 2.58 (m, 2H), 2.17 – 2.10 (m, 2H), 1.19 (t, J = 7.8 Hz, 3H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 141.6, 139.5, 135.6, 133.9, 129.2, 129.1, 128.5, 128.1, 126.6, 126.3, 59.0, 46.5, 35.9, 25.3, 15.7; IR (neat): ν (cm^{-1}) 3066, 2967, 2102, 1448, 1375, 1168, 1087, 753, 686, 551; HRMS (ESI) (m/z): Calcd for $C_{23}H_{24}N_4NaO_4S_2$ ([M + Na]$^+$), 507.1131, found 507.1133.



***N*-(3-azido-3-(2-isopropylphenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2n)**

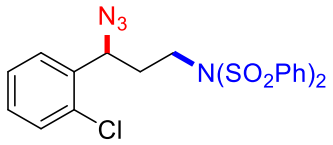
Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a colorless solid (yield 98.6 mg, 66%), mp 93 – 94 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.97 (d, J = 7.8 Hz, 4H), 7.64 (t, J = 7.2 Hz, 2H), 7.53 (t, J = 8.4 Hz, 4H), 7.34 – 7.30 (m, 2H), 7.27 – 7.23 (m, 2H), 4.81 (dd, J = 8.4, 6.9 Hz, 1H), 3.87 – 3.83 (m, 1H), 3.76 – 3.71 (m, 1H), 3.14 – 3.09 (m, 1H), 2.17 – 2.13 (m, 2H), 1.24 – 1.21 (m, 6H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 146.4, 139.5, 134.7, 133.9, 129.1, 128.7, 128.1, 126.5, 126.3, 126.0, 59.1, 46.5, 36.0, 28.4, 24.4, 23.9; IR (neat): ν (cm^{-1}) 3067, 2965, 2102, 1448, 1381, 1183, 1087, 750, 686, 550; HRMS (ESI) (m/z): Calcd for $C_{24}H_{26}N_4NaO_4S_2$ ([M + Na]$^{+}$), 521,1288, found 521.1305.



***N*-(3-([1,1'-biphenyl]-2-yl)-3-azidopropyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2o)**

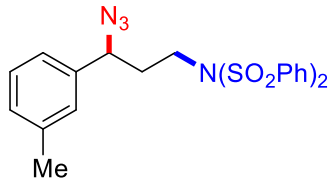
Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a colorless solid (yield 135.7 mg, 85%), mp 110 – 111 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.83 (d, J = 7.2 Hz, 4H), 7.55 (t, J = 7.2 Hz, 2H), 7.43 (t, J = 7.8 Hz, 4H), 7.38 – 7.34 (m, 4H), 7.33 – 7.28 (m, 2H), 7.19 (d, J = 7.8 Hz, 1H), 7.14 (d, J = 7.2 Hz, 2H), 4.46 (dd, J = 9.0, 6.0 Hz, 1H), 3.61 – 3.56 (m, 1H), 3.39 – 3.34 (m, 1H), 2.08 – 1.99 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 141.8, 139.9, 139.4, 135.7, 133.9, 130.3, 129.3, 129.1, 128.5, 128.2, 128.1, 127.5, 126.4, 59.3, 46.1, 36.1; IR (neat): ν (cm^{-1}) 3061, 2959, 2109, 1448, 1369, 1170,

1084, 752, 685, 552; HRMS (ESI) (m/z): Calcd for $C_{27}H_{24}N_4NaO_4S_2$ ([M + Na]$^+$), 555.1131, found 555.1152.



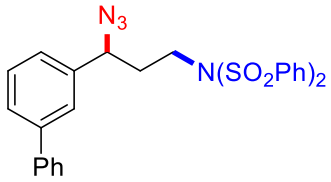
***N*-(3-azido-3-(2-chlorophenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2p)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow solid (yield 95.6 mg, 65%) , mp 70 – 71 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.98 (d, J = 7.8 Hz, 4H), 7.64 (t, J = 7.8 Hz, 2H), 7.54 (t, J = 7.8 Hz, 4H), 7.39 (dd, J = 7.8, 1.2 Hz, 2H), 7.35 – 7.30 (m, 1H), 7.29 – 7.25 (m, 1H), 5.03 (dd, J = 8.4, 4.8 Hz, 1H), 3.87 – 3.82 (m, 1H), 3.79 – 3.74 (m, 1H), 2.20 – 2.14 (m, 1H), 2.09 – 2.03 (m, 1H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 139.5, 136.2, 134.0, 132.8, 129.9, 129.6, 129.2, 128.2, 127.6, 127.5, 59.7, 46.0, 35.1; IR (neat): ν (cm^{-1}) 3067, 2960, 2103, 1446, 1376, 1168, 1088, 749, 551; HRMS (ESI) (m/z): Calcd for $C_{21}H_{19}ClN_4NaO_4S_2$ ([M + Na]$^+$), 513.0428, found 513.0423.



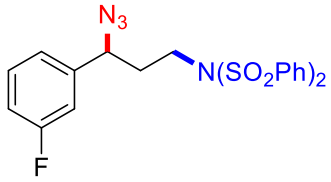
***N*-(3-azido-3-(m-tolyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2q)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow oil (yield 98.7 mg, 70%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.97 (d, J = 8.4 Hz, 4H), 7.65 (t, J = 6.6 Hz 2H), 7.54 (t, J = 8.4 Hz, 4H), 7.28 – 7.25 (m, 1H), 7.16 (d, J = 7.8 Hz, 1H), 7.02 (d, J = 67.2 Hz, 2H), 4.40 (dd, J = 8.4, 5.4 Hz, 1H), 3.83 – 3.78 (m, 1H), 3.72 – 3.67 (m, 1H), 2.37 (s, 3H), 2.16 – 2.09 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 139.6, 138.7, 138.2, 133.9, 129.4, 129.1, 128.8, 128.2, 127.5, 123.8, 63.4, 46.3, 36.0, 21.4; IR (neat): ν (cm^{-1}) 3066, 2958, 2102, 1448, 1375, 1168, 1086, 753, 686, 551; HRMS (ESI) (m/z): Calcd for $C_{22}H_{22}N_4NaO_4S_2$ ([M + Na]$^+$), 493.0975, found 493.0973.



***N*-(3-([1,1'-biphenyl]-3-yl)-3-azidopropyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2r)**

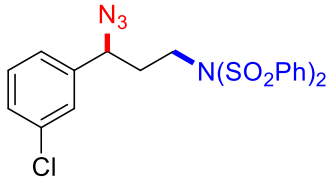
Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow oil (yield 108.6 mg, 68%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.96 (d, J = 7.8 Hz, 4H), 7.62 – 7.57 (m, 5H), 7.50 (t, J = 7.8 Hz, 4H), 7.46 – 7.44 (m, 3H), 7.41 (s, 1H), 7.38 (t, J = 7.2 Hz, 1H), 7.21 (d, J = 7.2 Hz, 1H), 4.51 (dd, J = 8.4, 6.0 Hz, 1H), 3.85 – 3.80 (m, 1H), 3.75 – 3.70 (m, 1H), 2.23 – 2.14 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 142.0, 140.5, 139.5, 138.9, 133.9, 129.4, 129.1, 128.8, 128.1, 127.6, 127.4, 127.2, 125.6, 125.5, 63.3, 46.1, 36.0; IR (neat): ν (cm^{-1}) 3064, 2962, 2099, 1447, 1372, 1165, 1085, 755, 684, 550; HRMS (ESI) (m/z): Calcd for $C_{27}H_{24}N_4NaO_4S_2$ ($[M + Na]^+$), 555.1131, found 555.1140.



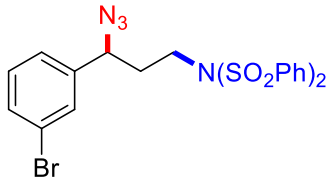
***N*-(3-azido-3-(3-fluorophenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2s)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow solid (yield 71.1 mg, 50%), mp 69 – 70 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.98 (d, J = 7.8 Hz, 4H), 7.67 (t, J = 7.2 Hz, 2H), 7.56 (t, J = 7.8 Hz, 4H), 7.36 (q, J = 7.8 Hz, 1H), 7.06 – 7.03 (m, 1H), 7.00 (d, J = 7.8 Hz, 1H), 6.91 (d, J = 9.6 Hz, 1H), 4.45 (dd, J = 9.0, 5.4 Hz, 1H), 3.82 – 3.78 (m, 1H), 3.75 – 3.71 (m, 1H), 2.13 – 2.05 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 163.0 (d, J = 247.5 Hz), 141.0 (d, J = 6.9 Hz), 139.5, 134.0, 130.6 (d, J = 8.3 Hz), 129.2, 128.2, 122.4 (d, J = 2.9 Hz), 115.6 (d, J = 21.0 Hz), 113.7 (d, J = 22.0 Hz), 62.7, 46.0, 36.0; ^{19}F NMR (565 MHz, $CDCl_3$) δ = -111.58 – -111.62 (m, 1F); IR

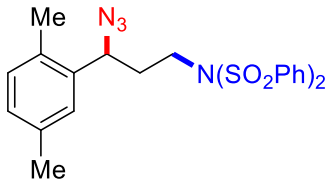
(neat): ν (cm^{-1}) 3066, 2923, 2101, 1447, 1373, 1167, 1085, 752, 684, 549; HRMS (ESI) (m/z): Calcd for $C_{21}H_{19}FN_4NaO_4S_2$ ([M + Na]$^+$), 497.0724, found 497.0731.



***N*-(3-azido-3-(3-chlorophenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2t)** Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow oil (yield 91.1 mg, 62%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.98 (d, J = 7.8 Hz, 4H), 7.67 (t, J = 7.8 Hz, 2H), 7.56 (t, J = 7.8 Hz, 4H), 7.33 – 7.30 (m, 2H), 7.17 (s, 1H), 7.12 – 7.11 (m, 1H), 4.43 (dd, J = 9.0, 5.4 Hz, 1H), 3.81 – 3.70 (m, 2H), 2.16 – 2.01 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 140.6, 139.5, 134.9, 134.0, 130.3, 129.2, 128.8, 128.2, 126.9, 124.9, 62.7, 46.0, 36.1; IR (neat): ν (cm^{-1}) 3067, 2961, 2104, 1448, 1376, 1167, 1087, 751, 686, 551; HRMS (ESI) (m/z): Calcd for $C_{21}H_{19}ClN_4NaO_4S_2$ ([M + Na]$^+$), 513.0428, found 513.0438.

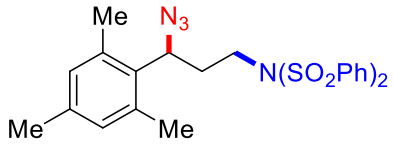


***N*-(3-azido-3-(3-bromophenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2u)** Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow oil (yield 96.1 mg, 60%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.98 (d, J = 7.8 Hz, 4H), 7.68 (t, J = 7.2 Hz, 2H), 7.57 (t, J = 7.8 Hz, 4H), 7.48 (d, J = 7.8 Hz, 1H), 7.33 (s, 1H), 7.28 – 7.25 (m, 2H), 7.16 (d, J = 7.8 Hz, 1H), 4.42 (dd, J = 8.4, 4.8 Hz, 1H), 3.82 – 3.70 (m, 2H), 2.12 – 2.02 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 140.9, 139.5, 134.1, 131.7, 130.6, 129.8, 129.2, 128.2, 125.4, 123.0, 62.6, 46.0, 36.1; IR (neat): ν (cm^{-1}) 3066, 2103, 1447, 1374, 1168, 1086, 750, 685, 550; HRMS (ESI) (m/z): Calcd for $C_{21}H_{19}BrN_4NaO_4S_2$ ([M + Na]$^+$), 556.9923, found 556.9924.



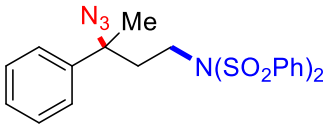
***N*-(3-azido-3-(2,5-dimethylphenyl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2v)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow oil (yield 78.4 mg, 54%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.96 (d, J = 7.2 Hz, 4H), 7.64 (t, J = 7.8 Hz, 2H), 7.53 (t, J = 8.4 Hz, 4H), 7.07 (d, J = 6.6 Hz, 2H), 7.04 – 7.03 (m, 1H), 4.66 (dd, J = 9.0, 4.8 Hz, 1H), 3.85 – 3.81 (m, 1H), 3.77 – 3.71 (m, 1H), 2.33 (s, 3H), 2.24 (s, 3H), 2.18 – 2.06 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 139.5, 136.0, 136.0, 133.9, 132.3, 130.9, 129.1, 129.0, 128.1, 126.8, 59.9, 46.4, 35.1, 21.1, 18.6; IR (neat): ν (cm^{-1}) 3066, 2924, 2102, 1447, 1380, 1166, 1088, 750, 552; HRMS (ESI) (m/z): Calcd for $C_{23}H_{24}N_4NaO_4S_2$ ([M + Na]$^{+}$), 507.1131, found 507.1102.



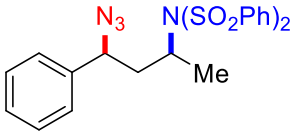
***N*-(3-azido-3-mesitylpropyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2w)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow solid (yield 74.7 mg, 50%), mp 108 – 109 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.97 (d, J = 7.2 Hz, 4H), 7.64 (t, J = 7.8 Hz, 2H), 7.53 (t, J = 7.8 Hz, 4H), 6.84 (s, 2H), 5.04 (dd, J = 10.2, 4.8 Hz, 1H), 3.91 – 3.87 (m, 1H), 3.68 – 3.64 (m, 1H), 2.35 (s, 9H), 2.26 (s, 3H), 2.23 – 2.19 (m, 1H), 2.07 – 2.03 (m, 1H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 139.5, 137.6, 136.4, 133.9, 131.2, 129.1, 128.5, 128.1, 59.4, 46.8, 33.7, 20.7, 20.5; IR (neat): ν (cm^{-1}) 3066, 2924, 2099, 1448, 1376, 1168, 1087, 753, 685, 550; HRMS (ESI) (m/z): Calcd for $C_{24}H_{26}N_4NaO_4S_2$ ([M + Na]$^{+}$), 521.1288, found 521.1297.



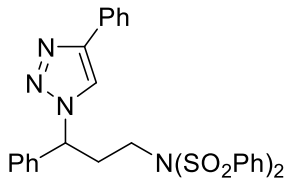
***N*-(3-azido-3-phenylbutyl)-*N*-(phenylsulfonyl)benzenesulfonamide (2x)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a light yellow oil (yield 90.3 mg, 64%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.86 (d, J = 7.2 Hz, 4H), 7.63 (t, J = 7.2 Hz, 2H), 7.51 (t, J = 7.8 Hz, 4H), 7.42 – 7.38 (m, 2H), 7.37 – 7.37 (m, 2H), 7.32 (t, J = 7.2 Hz, 1H), 3.72 – 3.67 (m, 1H), 3.36 – 3.01 (m, 1H), 2.31 – 2.21 (m, 2H); 1.67 (s, 3H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 141.8, 139.4, 133.9, 129.1, 128.8, 128.1, 127.7, 125.4, 65.3, 45.1, 41.4, 26.4; IR (neat): ν (cm^{-1}) 3064, 2972, 2108, 1448, 1375, 1169, 1086, 741, 686, 550; HRMS (ESI) (m/z): Calcd for $C_{22}H_{22}N_4NaO_4S_2$ ([M + Na]$^+$), 493.0975, found 493.0977.



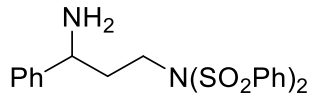
***N*-(4-azido-4-phenylbutan-2-yl)-*N*-(phenylsulfonyl)benzenesulfonamide (2y)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 25:1, v/v) affords the title compound as a a mixture of two diastereoisomers which is inseparable. The ratio of the two diastereoisomers was determined by ^{1}H NMR spectroscopy (d.r. = 2:1). The mixture was colorless solid (yield 90.3 mg, 80%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 8.06 (d, J = 7.8 Hz, 2.07H), 7.98 (d, 7.8 Hz 4H), 7.66 (t, J = 7.2 Hz, 3.07H), 7.67 – 7.53 (m, 6.13H), 7.39 – 7.32 (m, 4.60H), 7.18 – 7.14 (m, 3.03H), 4.42 – 4.35 (m, 0.98H), 4.35 – 4.28 (m, 2H), 2.58 – 2.53 (m, 1H), 2.47 – 2.39 (m, 0.54H), 2.10 –2.05 (m, 1H), 1.98 – 1.94 (m, 0.52H), 1.49 (d, J = 6.6 Hz, 1.64H), 1.32 (d, J = 6.6 Hz, 3H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 138.7, 138.4, 133.9, 128.92, 128.87, 128.6, 128.4, 126.9, 63.3, 57.5, 41.4, 20.3; IR (neat): ν (cm^{-1}) 2930, 2103, 1367, 1167, 1085, 755, 685, 554; HRMS (ESI) (m/z): Calcd for $C_{22}H_{22}N_4NaO_4S_2$ ([M + Na]$^+$), 493.0975, found 493.0977.

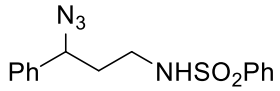


***N*-(3-phenyl-3-(4-phenyl-1H-1,2,3-triazol-1-yl)propyl)-*N*-(phenylsulfonyl)benzenesulfonamide (3)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1, v/v) affords the title compound as a colorless solid (yield 80.4 mg, 72%), mp 148 – 149 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.86 (d, J = 7.8 Hz, 4H), 7.82 (d, J = 7.8 Hz, 2H), 7.76 (s, 1H), 7.63 (t, J = 7.2 Hz, 2H), 7.49 (t, J = 7.8 Hz, 4H), 7.40 (t, J = 7.2 Hz, 2H), 7.36 (d, J = 7.2 Hz, 3H), 7.32 (t, J = 7.2 Hz, 1H), 7.26 – 7.25 (m, 2H), 5.69 (dd, J = 9.6, 4.8 Hz, 1H), 3.81 – 3.76 (m, 1H), 3.65 – 3.60 (m, 1H), 3.07 – 3.00 (m, 1H), 2.75 – 2.70 (m, 1H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 147.9, 139.0, 138.1, 134.0, 130.4, 129.2, 129.1, 128.84, 128.79, 128.2, 128.1, 126.7, 125.6, 119.3, 62.2, 46.0, 35.1; IR (neat): ν (cm^{-1}) 3064, 1450, 1371, 1171, 1085, 754, 687, 547; HRMS (ESI) (m/z): Calcd for $C_{29}H_{26}N_4NaO_4S_2$ ([M + Na]$^{+}$), 581.1288, found 581.1305.

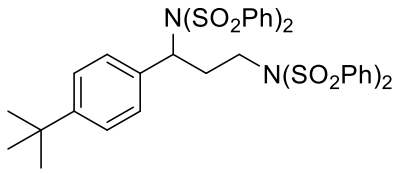


***N*-(3-amino-3-phenylpropyl)-*N*-(phenylsulfonyl)benzenesulfonamide (4)** yellow oil (yield 51.6 mg, 60%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.94 (d, J = 7.8 Hz, 4H), 7.63 (t, J = 7.2 Hz, 2H), 7.51 (t, J = 7.2 Hz, 4H), 7.33 (t, J = 7.2 Hz, 2H), 7.27 (t, J = 7.2 Hz, 1H), 7.21 (d, J = 7.2 Hz, 2H), 3.87 – 3.80 (m, 2H), 3.66 – 3.61 (m, 1H), 2.14 – 2.00 (m, 2H), 1.54 (s, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 145.1, 139.6, 133.8, 129.0, 128.6, 128.1, 127.3, 126.1, 53.6, 46.8, 38.8; IR (neat): ν (cm^{-1}) 3064, 2923, 1448, 1373, 1167, 1086, 856, 743, 687, 551; HRMS (ESI) (m/z): Calcd for $C_{21}H_{23}N_2O_4S_2$ ([M + H]$^{+}$), 431.1094, found 431.1096.



***N*-(3-azido-3-phenylpropyl)benzenesulfonamide (5)**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v) affords the title compound as a yellow oil (yield 56.9 mg, 90%); ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.87 (d, J = 7.8 Hz, 2H), 7.59 (t, J = 7.8 Hz, 1H), 7.52 (t, J = 7.2 Hz, 2H), 7.36 – 7.30 (m, 3H), 7.21 (d, J = 7.2 Hz, 2H), 5.07 (s, 1H), 4.53 (dd, J = 8.4, 6.0 Hz, 1H), 3.14 – 2.94 (m, 2H), 1.98 – 1.80 (m, 2H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 139.7, 138.6, 132.7, 129.2, 128.9, 128.5, 127.0, 126.7, 63.6, 40.3, 36.0; IR (neat): ν (cm^{-1}) 3285, 3064, 2933, 2096, 1448, 1326, 1160, 1093, 755, 695; HRMS (ESI) (m/z): Calcd for $C_{15}H_{16}N_4NaO_2S$ ([M + Na]$^{+}$), 339.0886, found 339.0883.



***N,N*'-(1-(4-(tert-butyl)phenyl)butane-1,4-diyl)bis(N-(phenylsulfonyl)benzenesulfonamide) (2d')**

Purification by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1, v/v) affords the title compound as a colorless solid (yield 36.8 mg, 16%); mp 102 – 103 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.91 (d, J = 7.6 Hz, 5H), 7.64 (t, J = 7.2Hz, 3H), 7.52 (t, J = 7.6 Hz, 7H), 7.46 (d, J = 8.0 Hz, 4H), 7.33 (d, J = 8.0 Hz, 5H), 5.50 (d, J = 7.2 Hz, 1H), 3.50 – 3.41(m, 2H), 3.28 – 3.15(m, 1H), 2.32 – 2.18(m, 1H), 1.36 (s, 9H); ^{13}C{^{1}H} NMR ($CDCl_3$, 150 MHz) δ = 151.9, 139.4, 133.9, 131.1, 129.6, 129.1, 128.2, 125.3, 61.8, 46.7, 34.6, 33.0, 31.4; IR (neat): ν (cm^{-1}) 3067, 2961, 1449, 1376, 1169, 1086, 863, 686, 551; HRMS (ESI) (m/z): Calcd for $C_{37}H_{38}N_2NaO_8S_4$ ([M + Na]$^{+}$), 789.1403, found 789.1405.

6. Reference

1 C. R. Pitts, B. Ling, J. A. Snyder, A. E. Bragg and T. Lectka, *J. Am. Chem. Soc.,* 2016, **138**, 6598.

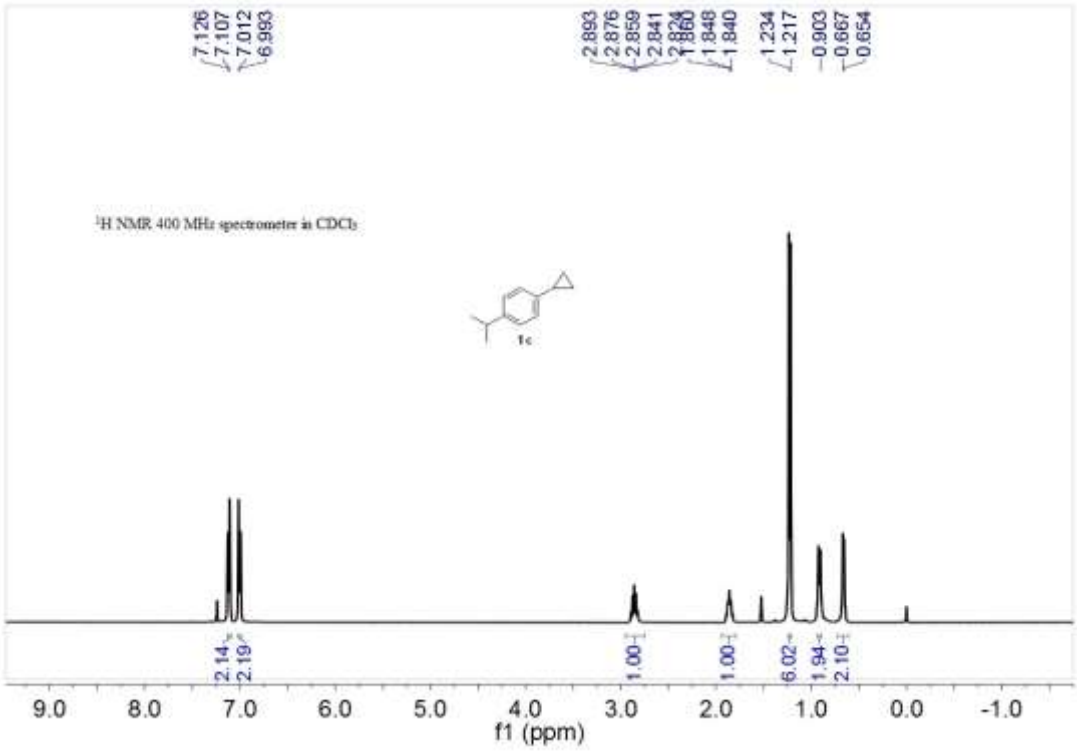
2 Z. Yang, J. C. Lorenz and Y. Shi, *Tetrahedron Lett*., 1998, **39**, 8621.

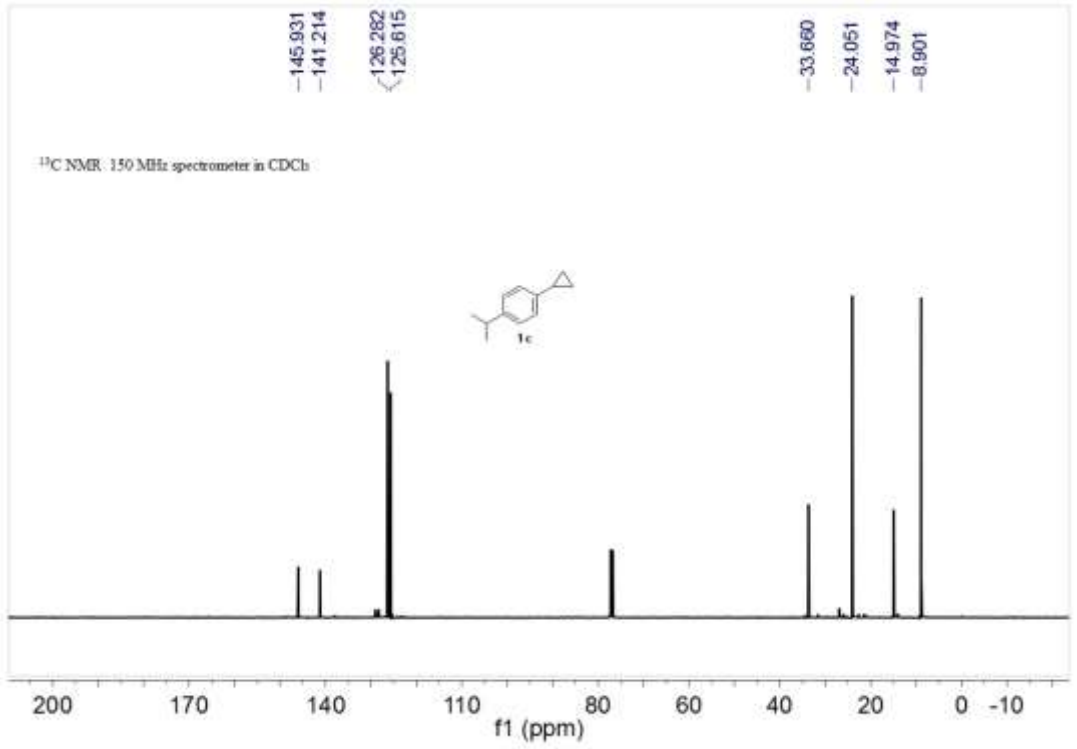
3 B. Zhang and A. Studer, *Org. Lett.,* 2014, **16**, 1790.

4 A. Yasuhara, M. Kameda and T. Sakamoto, *Chem. Pharm. Bull*., 1999, **47**, 809.

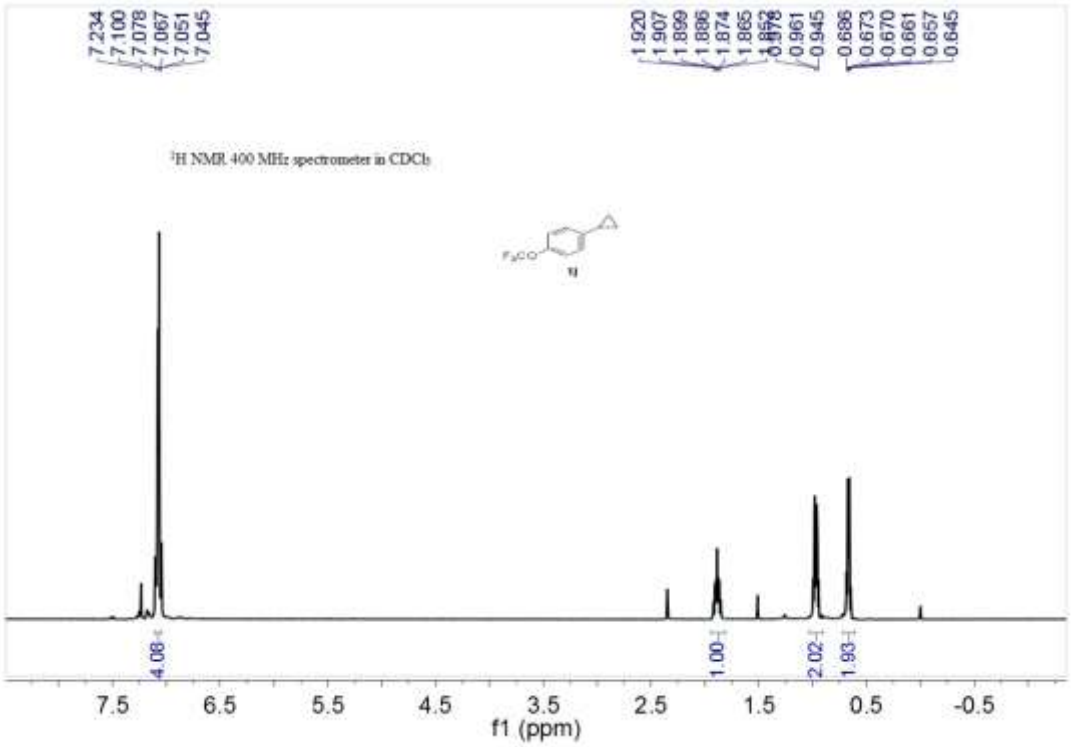
7. ^{1}H, ^{13}C and ^{19}F NNR Spectra of New Compounds

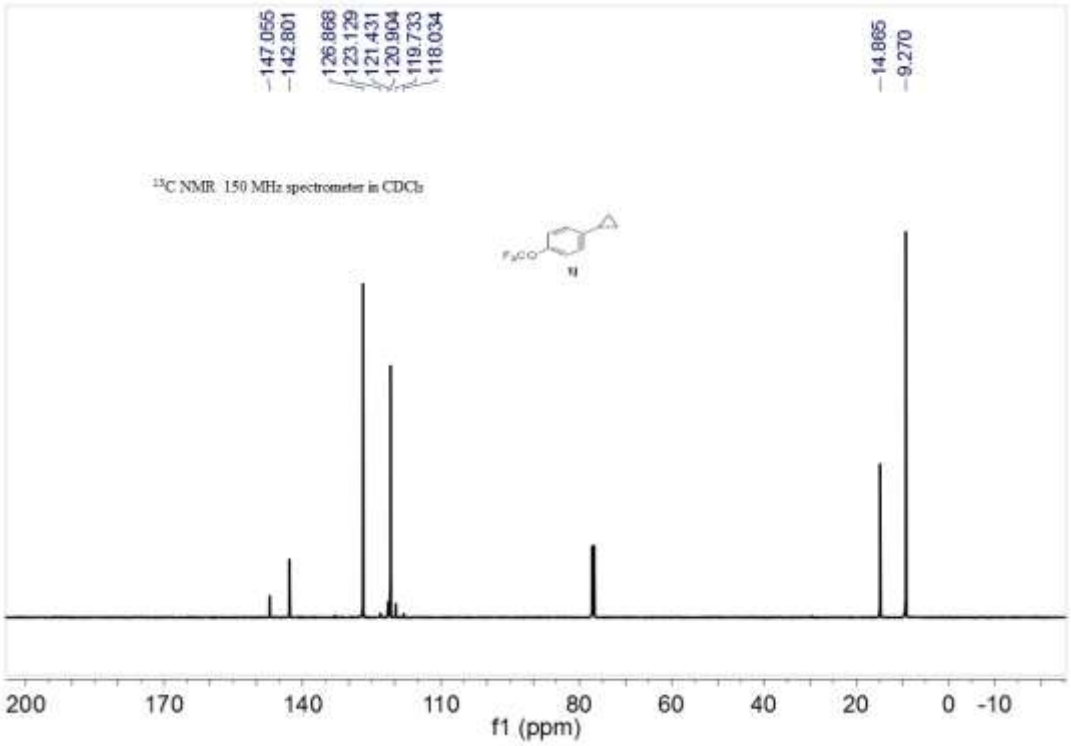
Compound 1c

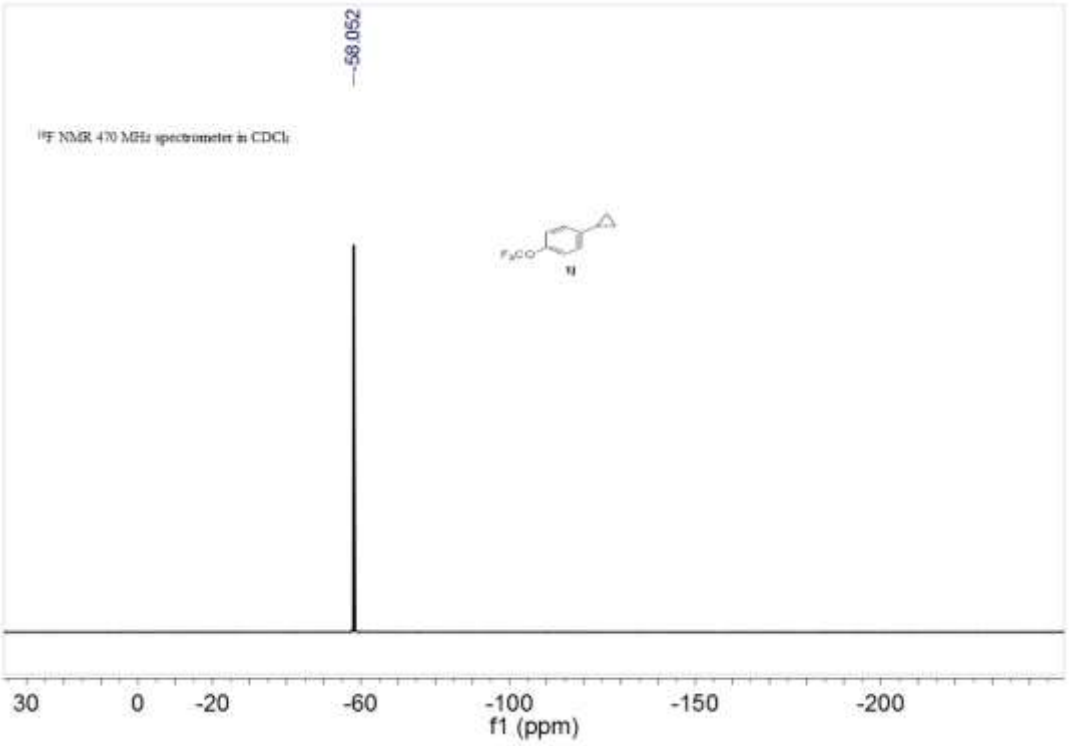




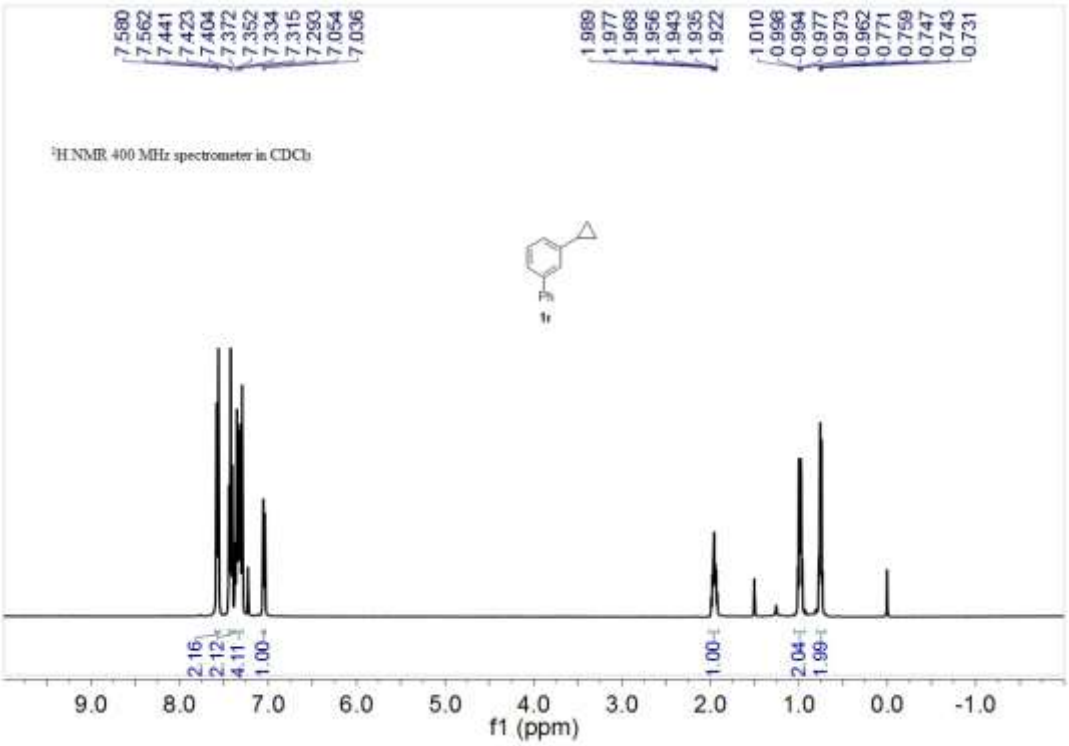
Compound 1j

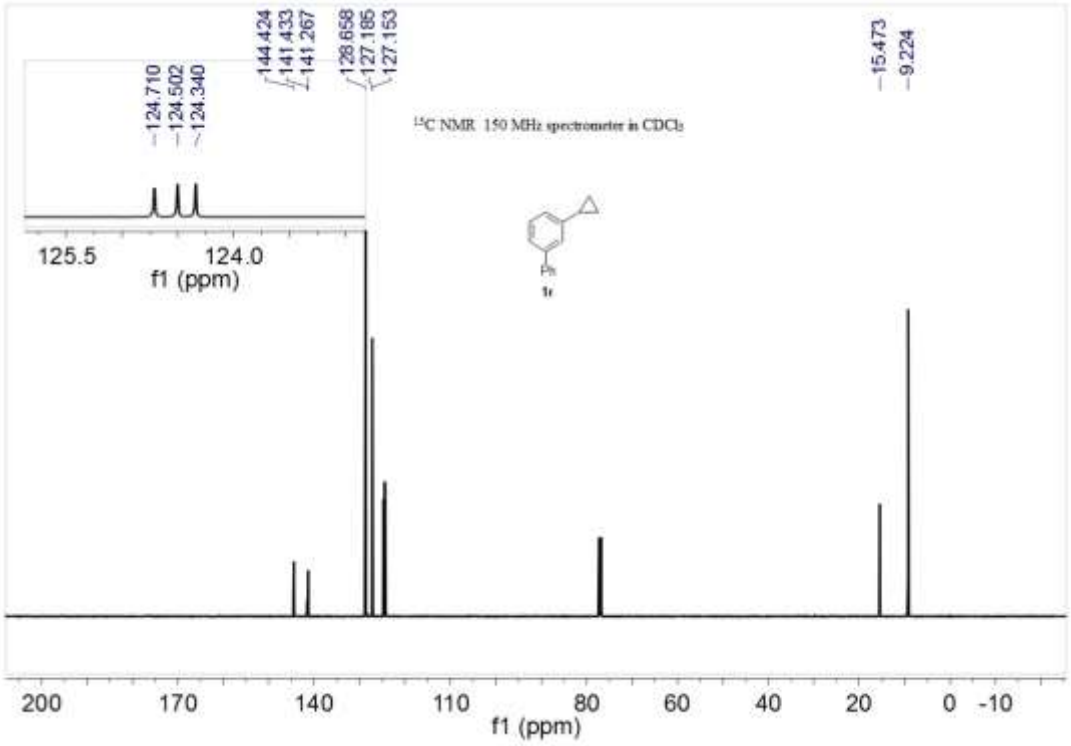

7.234
7.100
7.078
7.067
7.051
7.045
1.920
1.907
1.899
1.886
1.874
1.865
0.961
0.945
0.686
0.673
0.670
0.661
0.657
0.645
¹H NMR 400 MHz spectrometer in CDCl₃
4.08
1.00
2.02
1.93
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)


147.055
142.801
126.868
123.129
121.431
120.904
119.733
118.034
14.865
9.270
¹³C NMR 150 MHz spectrometer in CDCl₃
200
170
140
110
80
60
40
20
0
-10
f1 (ppm)

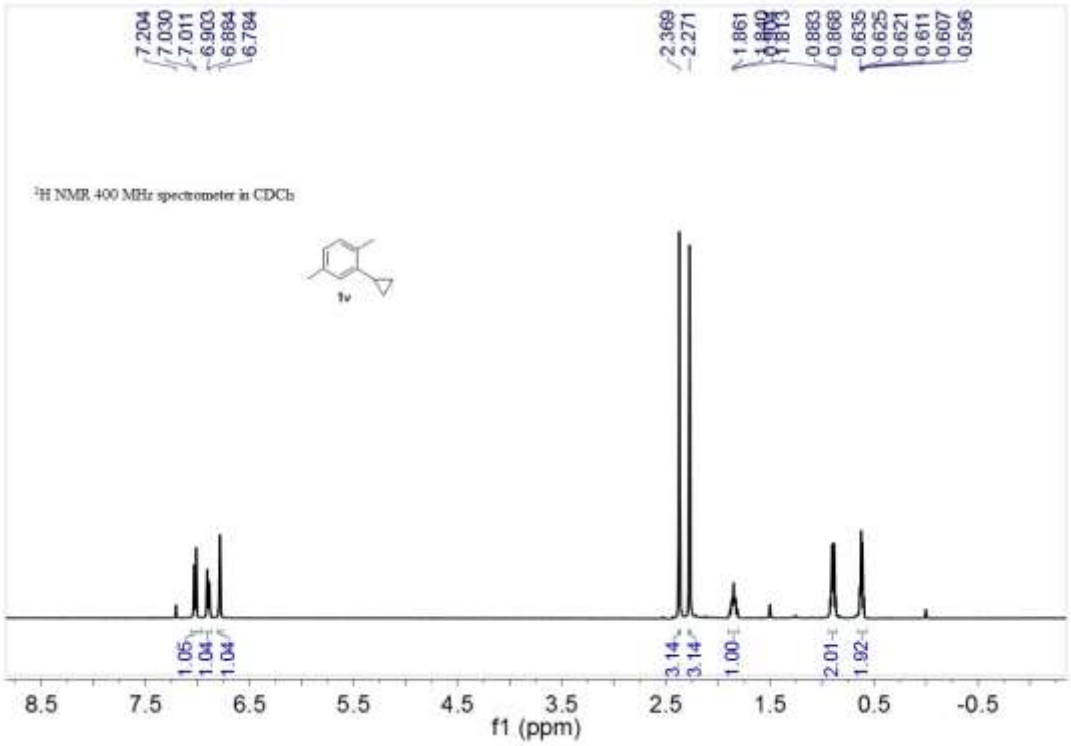

-58.052
^{19}F NMR 470 MHz spectrometer in CDCl$_3$
30
0
-20
-60
-100
-150
-200
f1 (ppm)

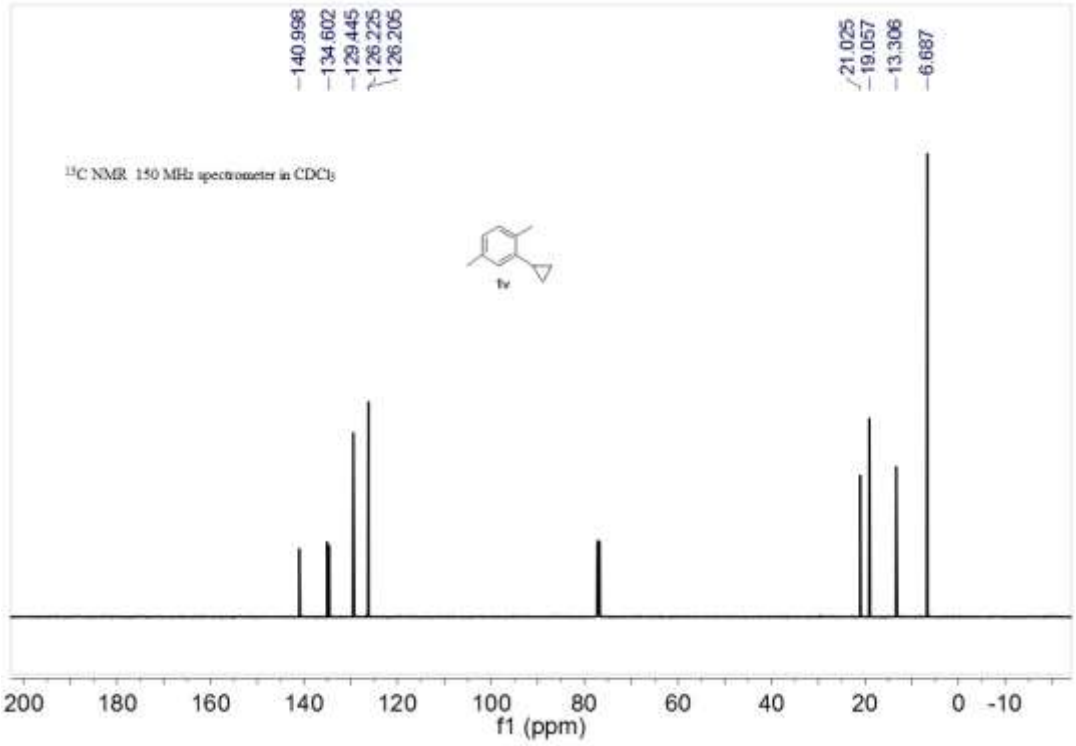
Compound 1r


7.580
7.562
7.441
7.423
7.404
7.372
7.352
7.334
7.315
7.293
7.054
7.036
1.989
1.977
1.968
1.956
1.943
1.935
1.922
1.010
0.996
0.994
0.977
0.973
0.962
0.771
0.759
0.747
0.743
0.731
^{1}H NMR 400 MHz spectrometer in CDCl$_3$
2.16
2.12
4.11
1.00
1.00
2.04
1.99
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
-1.0
f1 (ppm)

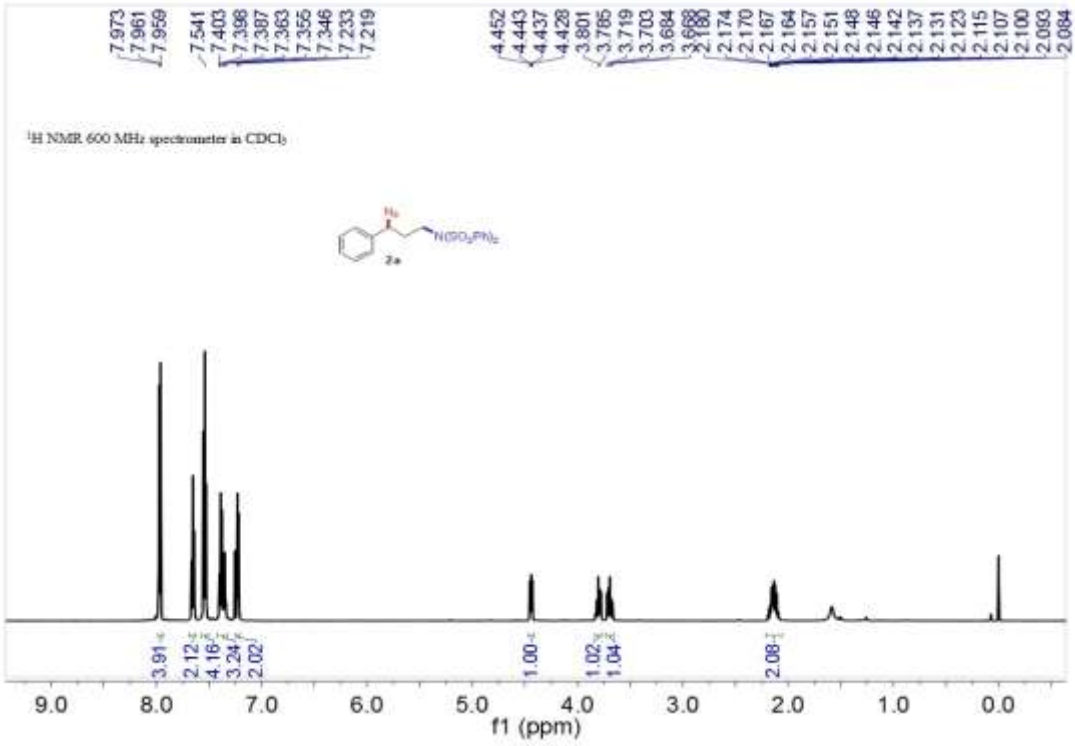

144.424
141.433
141.267
128.658
127.185
127.153
124.710
124.502
124.340
15.473
9.224
13C NMR 150 MHz spectrometer in CDCl3
125.5
124.0
f1 (ppm)
1v
200
170
140
110
80
60
40
20
0
-10
f1 (ppm)

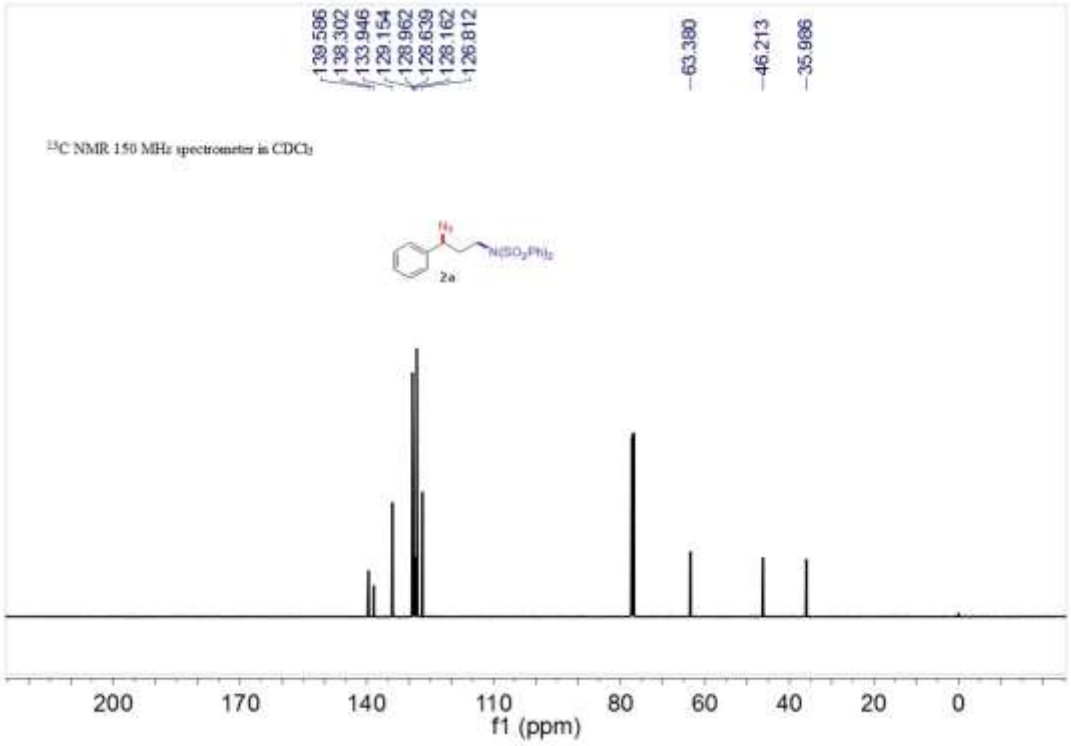
Compound 1v


7.204
7.030
7.011
6.903
6.884
6.784
2.369
2.271
1.861
0.883
0.868
0.635
0.625
0.621
0.611
0.607
0.596
1H NMR 400 MHz spectrometer in CDCl3
1v
1.05
1.04
1.04
3.14
3.14
1.00
2.01
1.92
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)

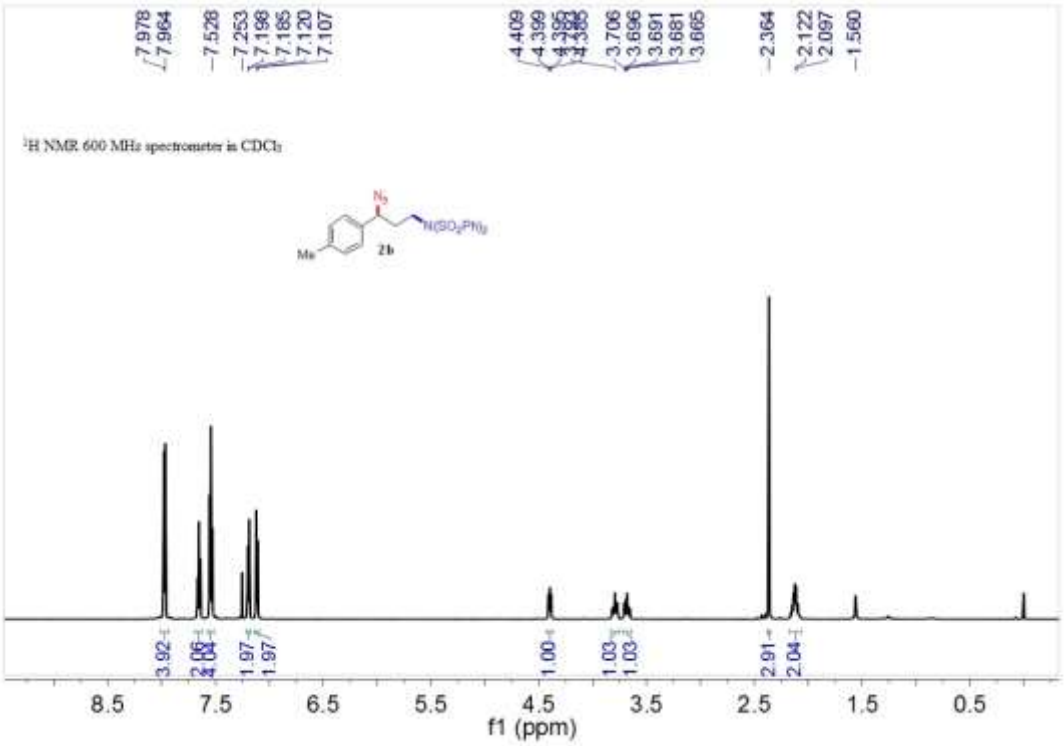

140.998
134.602
129.445
126.225
126.205
21.025
19.057
13.306
6.687
13C NMR 150 MHz spectrometer in CDCl3
fv
200
180
160
140
120
100
80
60
40
20
0
-10
f1 (ppm)

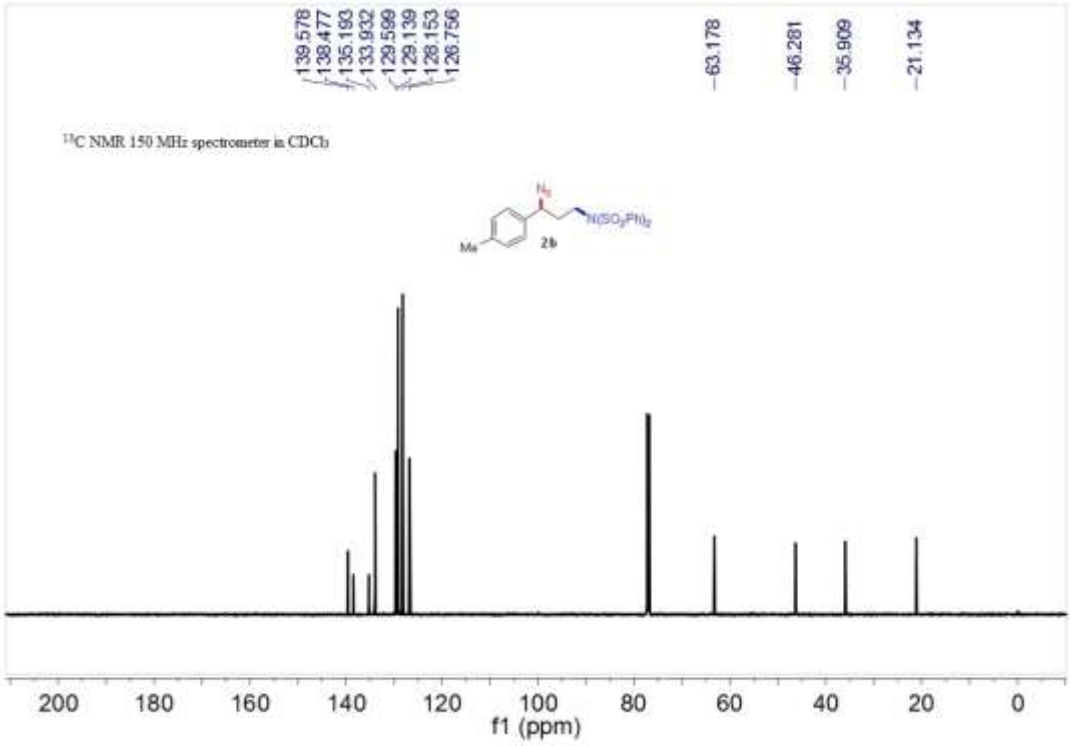
Compound 2a


7.973
7.961
7.959
7.541
7.403
7.398
7.387
7.363
7.355
7.346
7.233
7.219
4.452
4.443
4.437
4.428
3.801
3.785
3.719
3.703
3.684
2.174
2.170
2.167
2.164
2.157
2.151
2.148
2.146
2.142
2.137
2.131
2.123
2.115
2.107
2.100
2.093
2.084
1H NMR 600 MHz spectrometer in CDCl3
2a
3.91
2.12
4.16
3.24
2.02
1.00
1.02
1.04
2.08
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

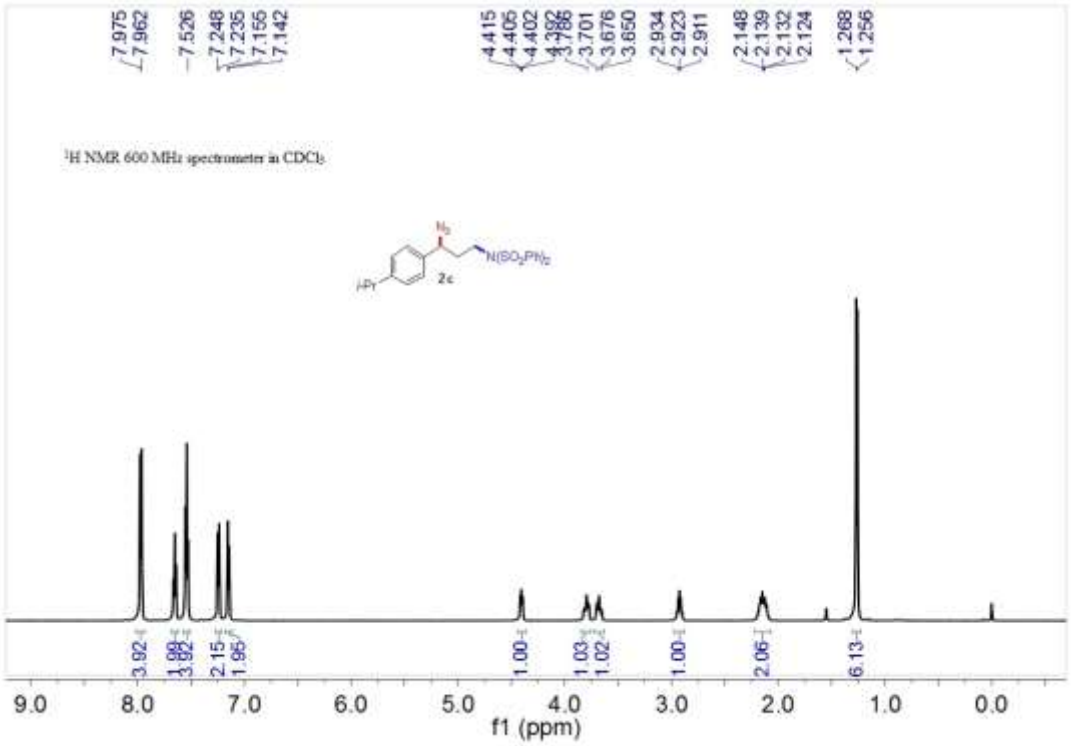

139.586
138.302
133.946
129.154
128.962
128.639
128.162
126.812
63.380
46.213
35.986
13C NMR 150 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
2a
200
170
140
110
80
60
40
20
0
f1 (ppm)

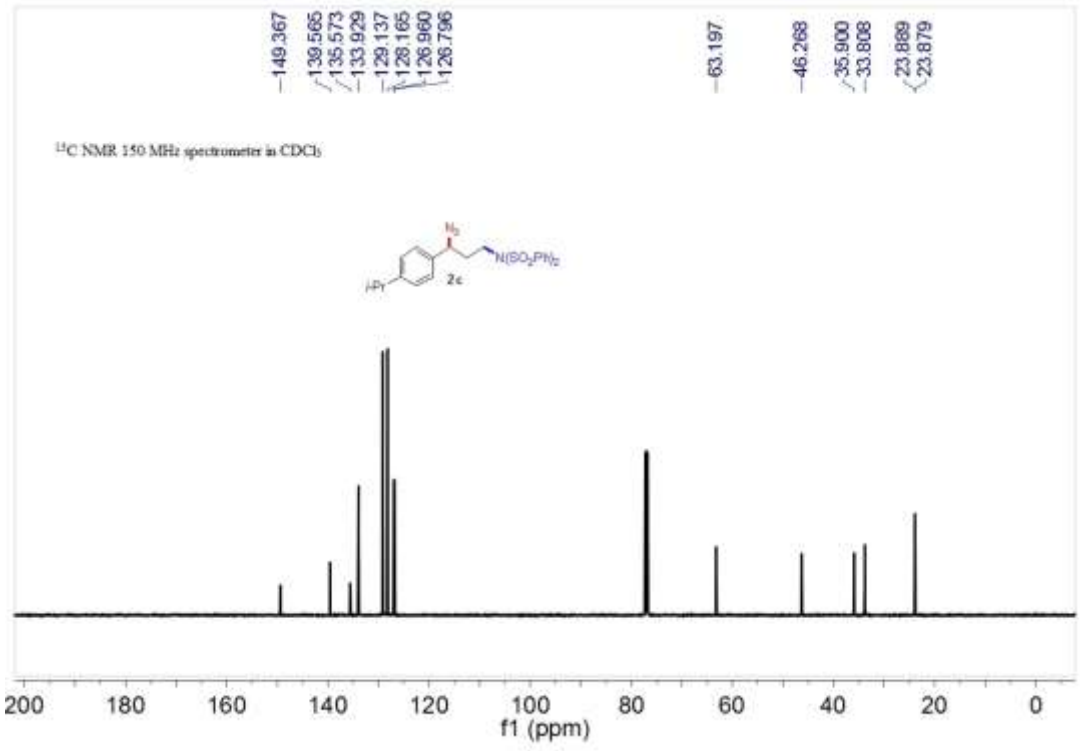
Compound 2b


7.978
7.964
7.528
7.253
7.198
7.185
7.120
7.107
4.409
4.399
3.706
3.696
3.691
3.681
3.665
2.364
2.122
2.097
1.560
1H NMR 600 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
Me
2b
3.92
2.06
1.97
1.97
1.00
1.03
1.03
2.91
2.04
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
f1 (ppm)

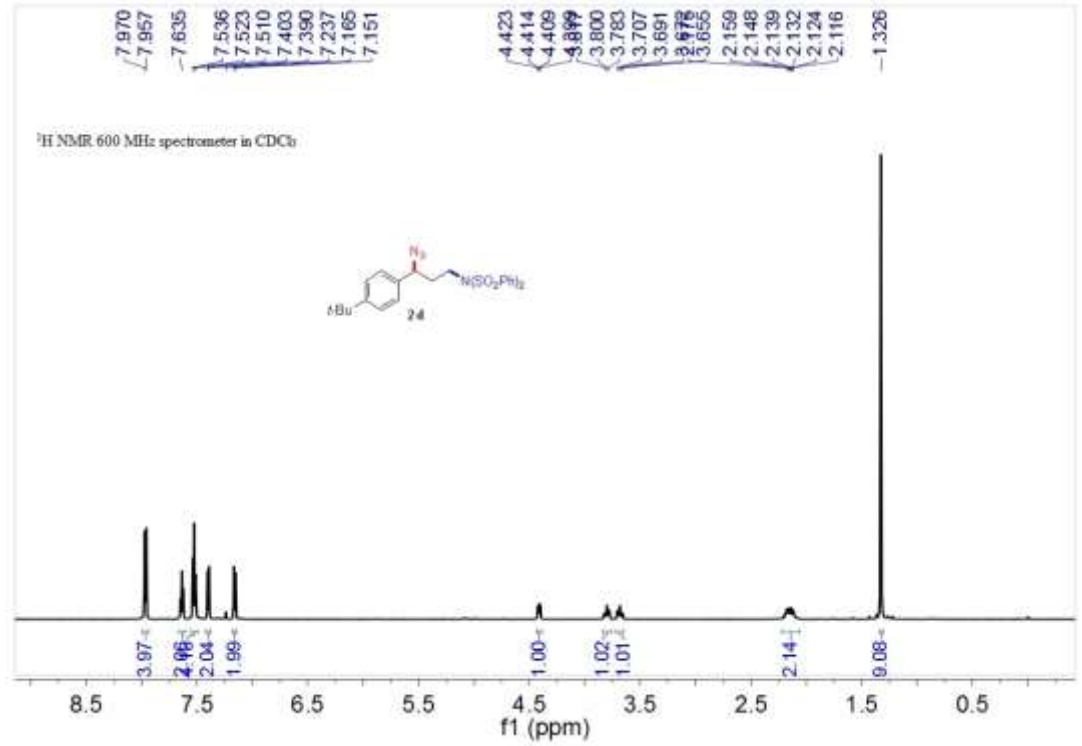

139.578
138.477
135.193
133.932
129.599
129.139
128.153
126.756
63.178
46.281
35.909
21.134
^{13}C NMR 150 MHz spectrometer in CDCl$_3$
Me
2b
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

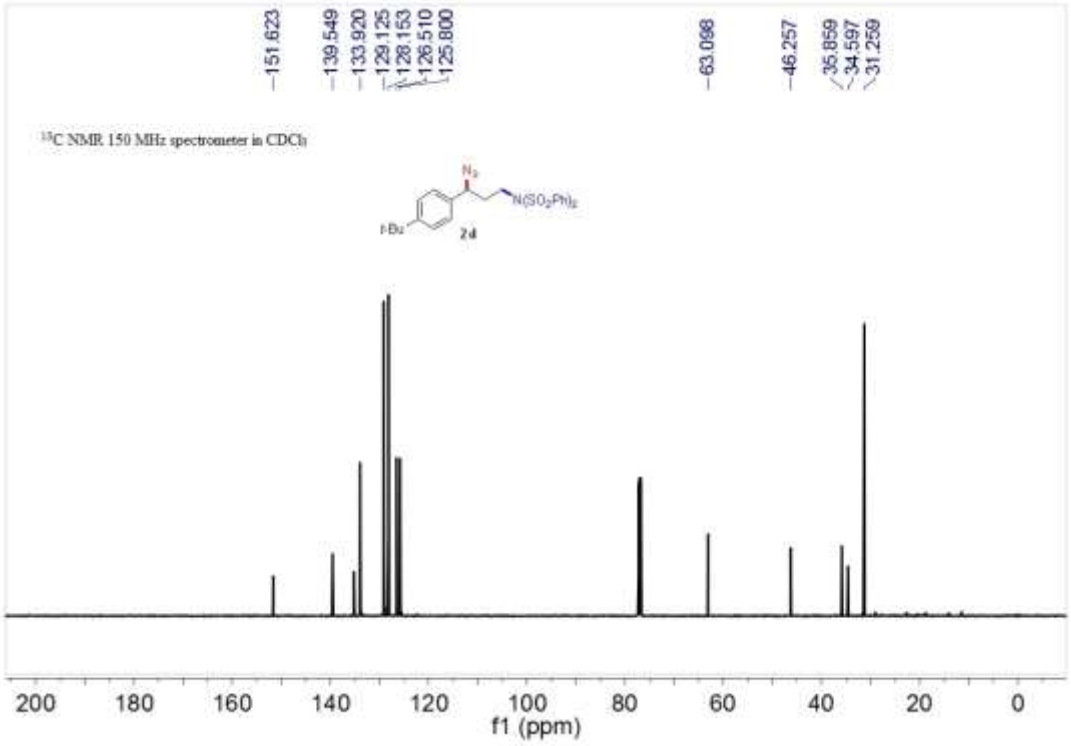
Compound 2c


7.975
7.962
7.526
7.248
7.235
7.155
7.142
4.415
4.406
4.402
3.701
3.676
3.650
2.934
2.923
2.911
2.148
2.139
2.132
2.124
1.268
1.256
^{1}H NMR 600 MHz spectrometer in CDCl$_3$
2c
3.92
1.96
2.15
1.00
1.03
1.02
1.00
2.06
6.13
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

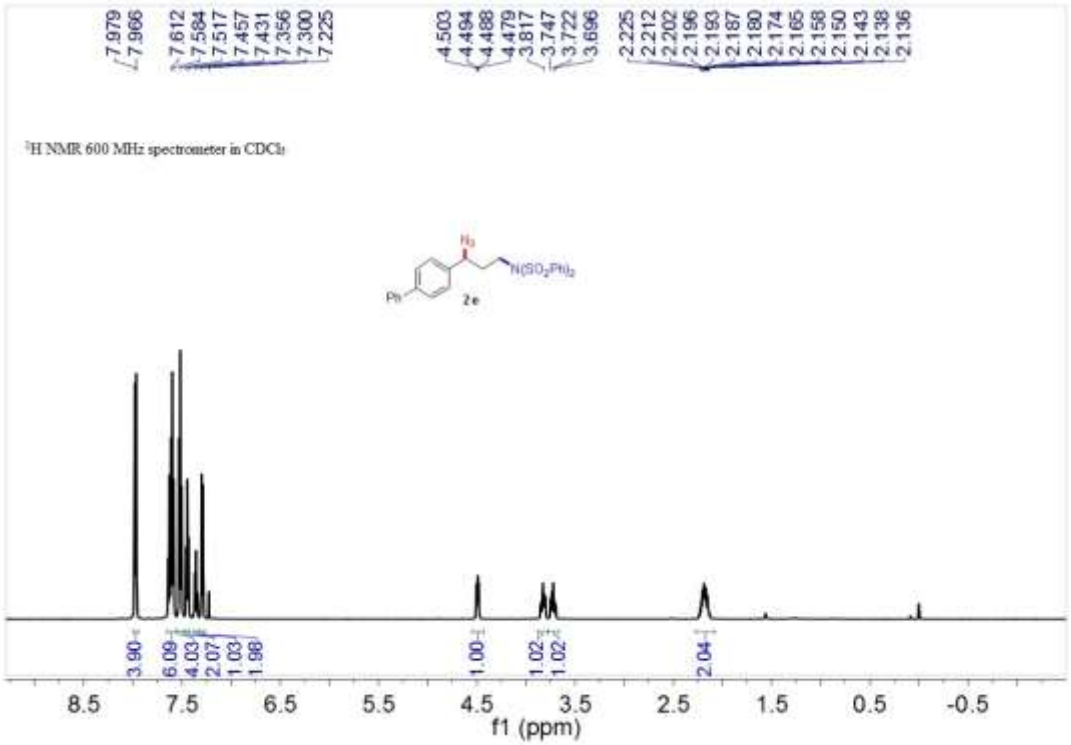

149.367
139.565
135.573
133.929
129.137
128.165
126.960
126.796
63.197
46.268
35.900
33.808
23.889
23.879
13C NMR 150 MHz spectrometer in CDCl3
2c
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

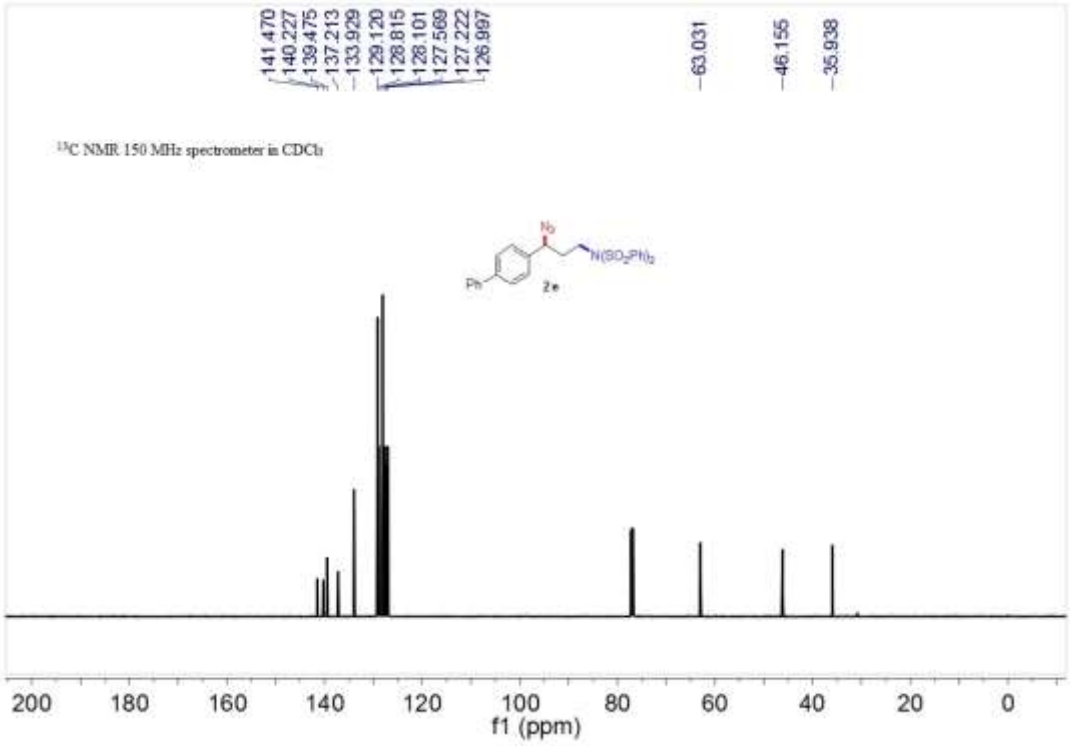
Compound 2d


7.970
7.957
7.635
7.536
7.523
7.510
7.403
7.390
7.237
7.165
7.151
4.423
4.414
4.409
3.800
3.783
3.707
3.691
3.655
2.159
2.148
2.139
2.132
2.124
2.116
1.326
1H NMR 600 MHz spectrometer in CDCl3
2d
3.97
2.04
1.99
1.00
1.02
1.01
2.14
9.08
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
f1 (ppm)

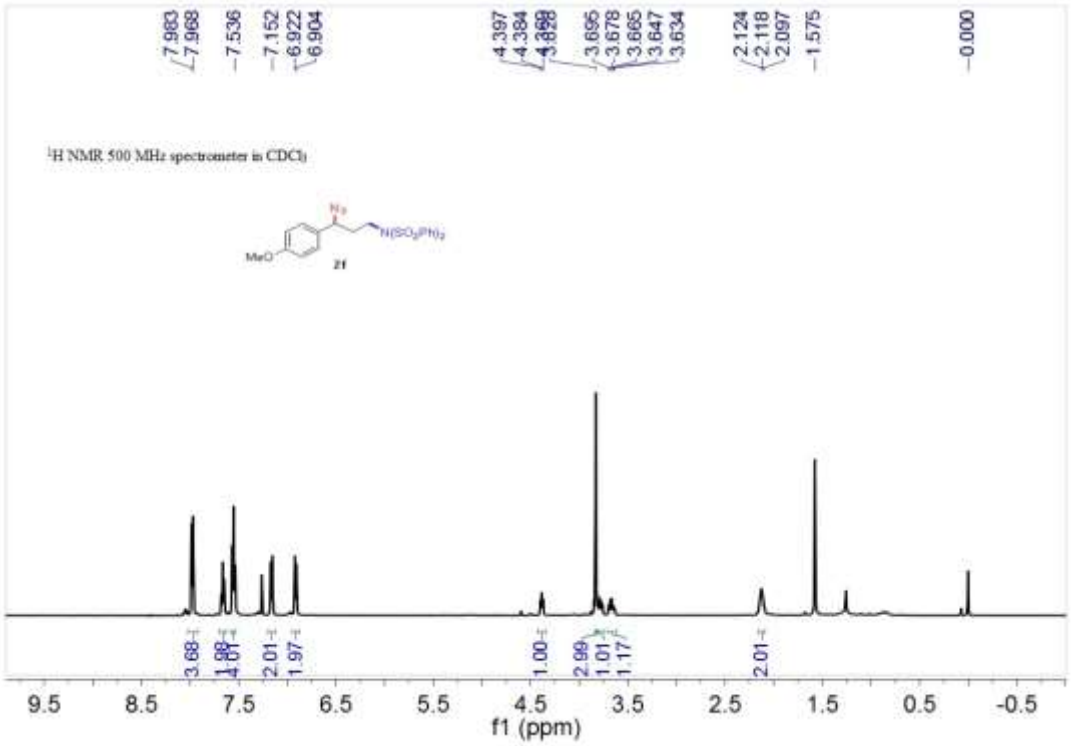

151.623
139.549
133.920
129.125
128.153
126.510
125.800
63.098
46.257
35.859
34.597
31.259
^{13}C NMR 150 MHz spectrometer in CDCl$_3$
N_3
$N(SO_2Ph)_2$
tBu
2d
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

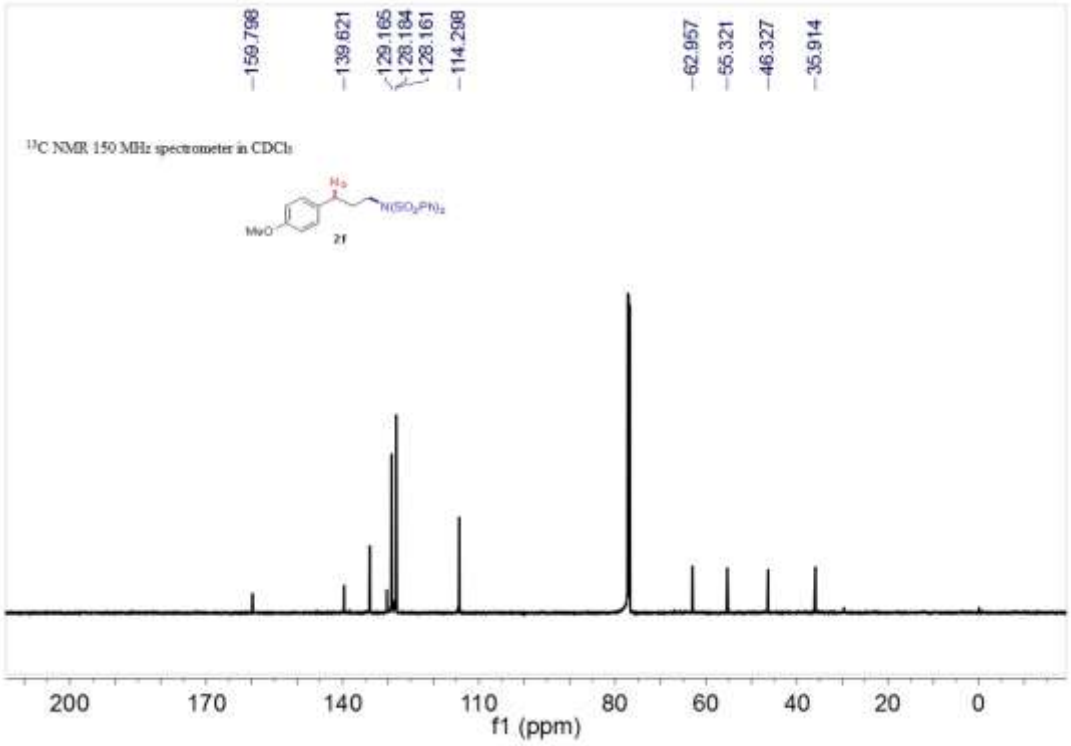
Compound 2e


7.979
7.966
7.612
7.584
7.517
7.457
7.431
7.356
7.300
7.225
4.503
4.494
4.488
4.479
3.817
3.747
3.722
3.696
2.225
2.212
2.202
2.196
2.193
2.187
2.180
2.174
2.165
2.158
2.150
2.143
2.138
2.136
^{1}H NMR 600 MHz spectrometer in CDCl$_3$
N_3
$N(SO_2Ph)_2$
Ph
2e
3.90
6.09
4.03
2.07
1.03
1.98
1.00
1.02
1.02
2.04
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)

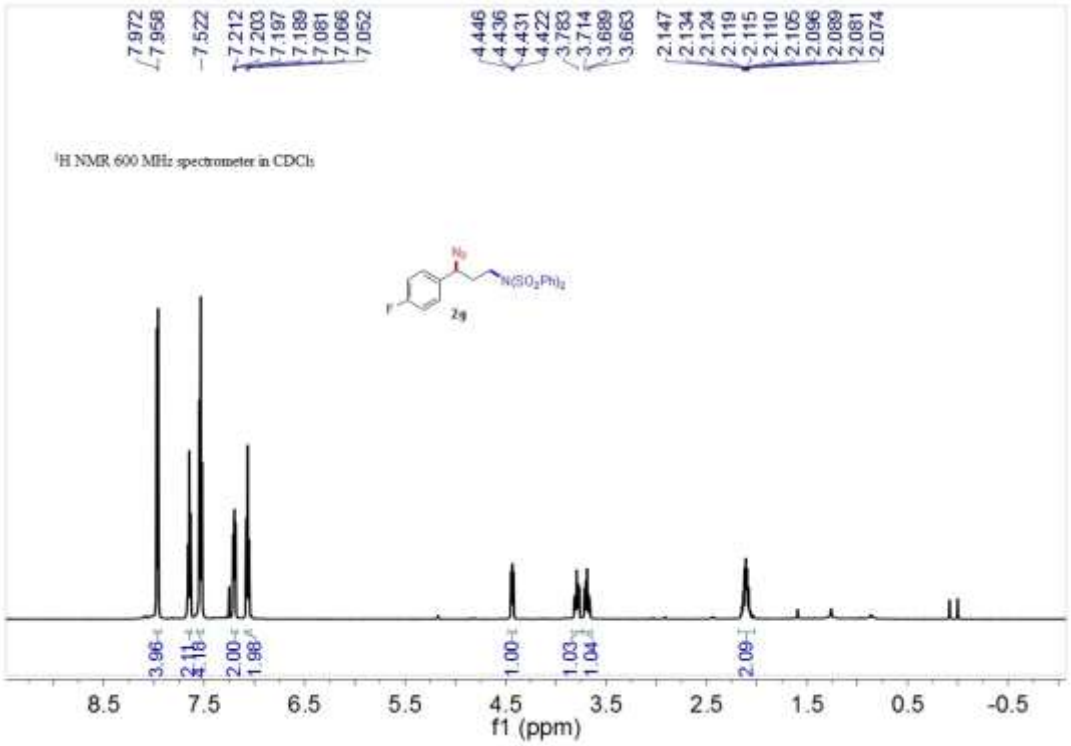

141.470
140.227
139.475
137.213
133.929
129.120
128.815
128.101
127.569
127.222
126.997
63.031
46.155
35.938
13C NMR 150 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
Ph
2e
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

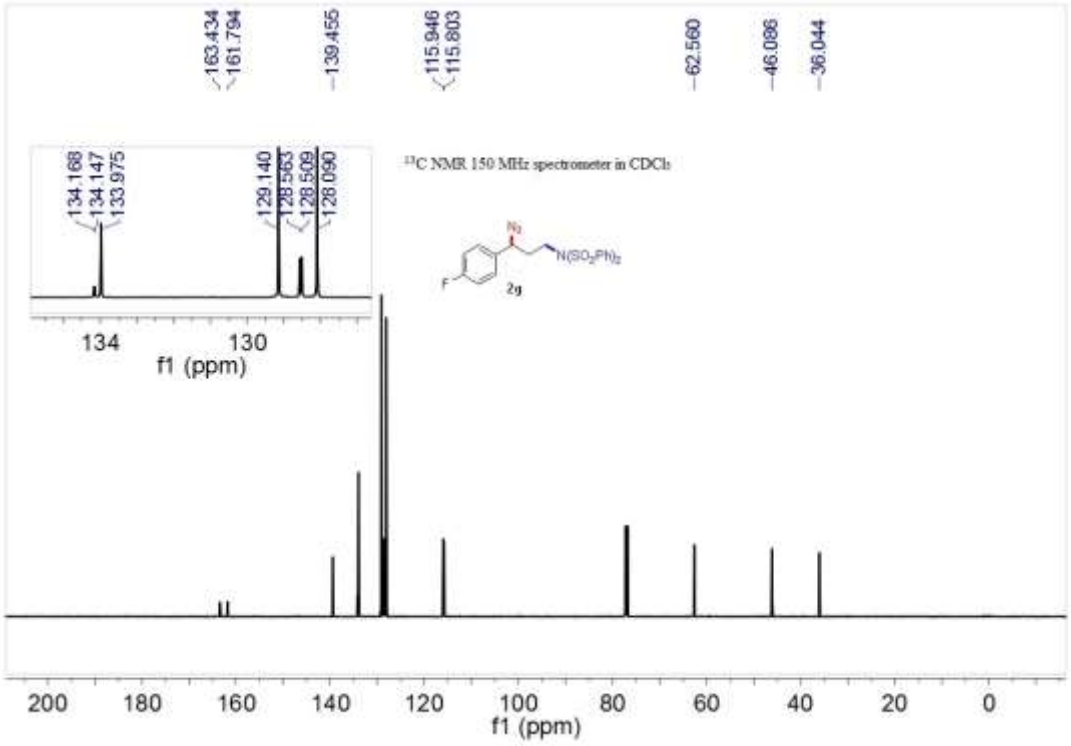
Compound 2f

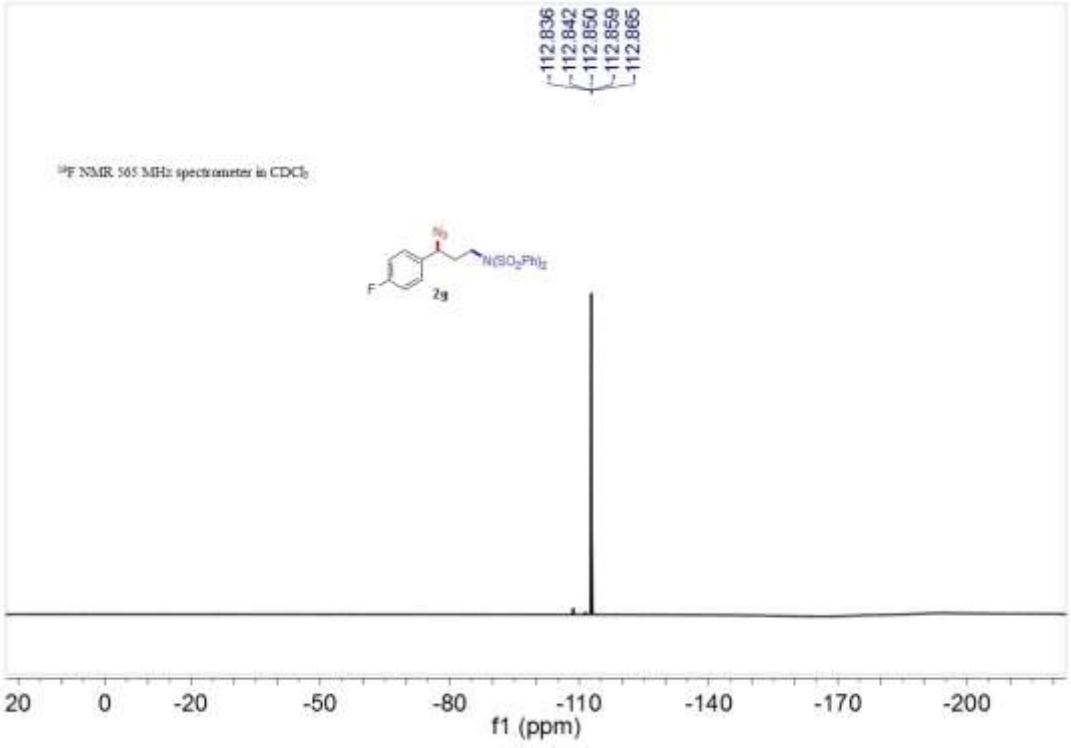

7.983
7.968
7.536
7.152
6.922
6.904
4.397
4.384
3.695
3.678
3.665
3.647
3.634
2.124
2.118
2.097
1.575
0.000
1H NMR 500 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
MeO
2f
3.68
1.00
2.99
1.01
1.17
2.01
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)


159.798
139.621
129.165
128.184
128.161
114.298
62.957
55.321
46.327
35.914
13C NMR 150 MHz spectrometer in CDCl3
MeO
N(SO2Ph)2
2f
200
170
140
110
80
60
40
20
0
f1 (ppm)

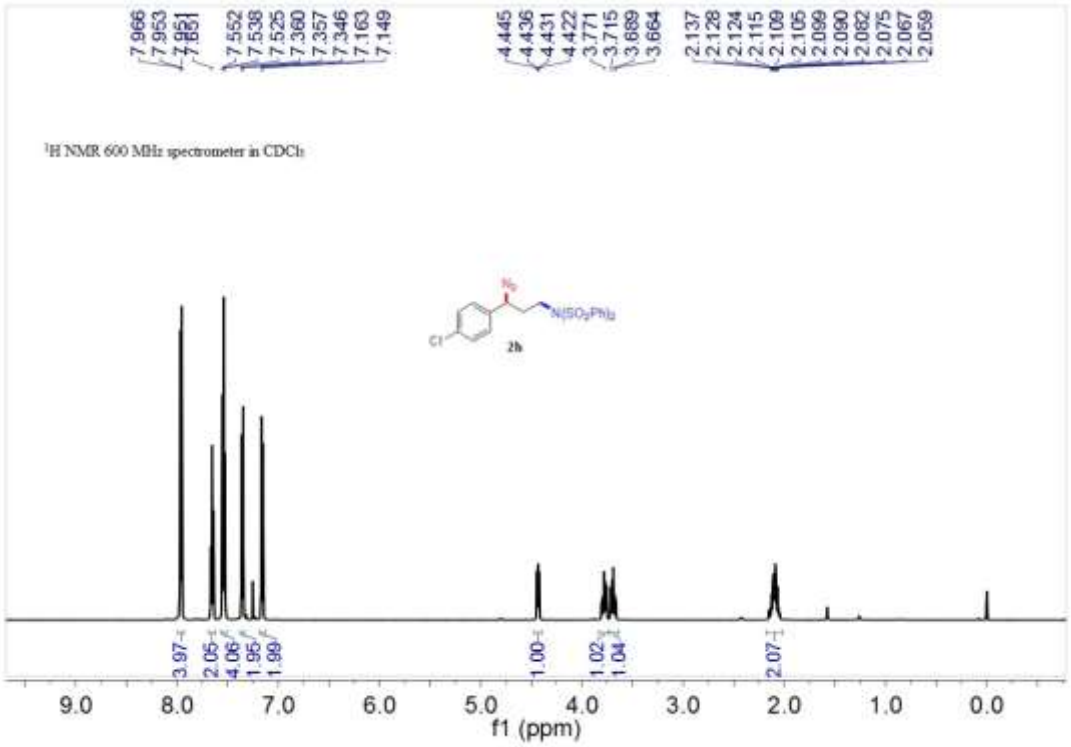
Compound 2g

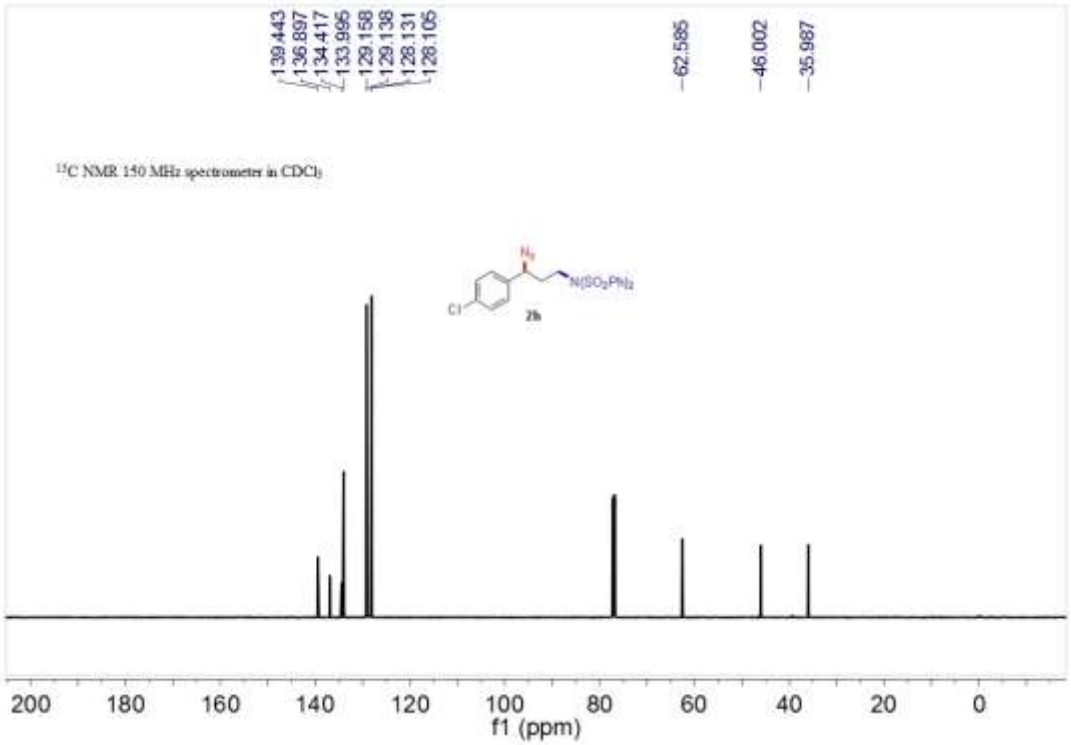

7.972
7.958
7.522
7.212
7.203
7.197
7.189
7.081
7.066
7.052
4.446
4.436
4.431
4.422
3.783
3.714
3.689
3.663
2.147
2.134
2.124
2.119
2.115
2.110
2.105
2.096
2.089
2.081
2.074
1H NMR 600 MHz spectrometer in CDCl3
F
N(SO2Ph)2
2g
3.96
2.11
2.18
2.00
1.98
1.00
1.03
1.04
2.09
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)


163.434
161.794
139.455
115.946
115.803
62.560
46.086
36.044
134.168
134.147
133.975
129.140
128.563
128.509
128.090
^{13}C NMR 150 MHz spectrometer in CDCl$_3$
N$_2$
N(SO$_2$Ph)$_2$
F
2g
134
130
f1 (ppm)
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

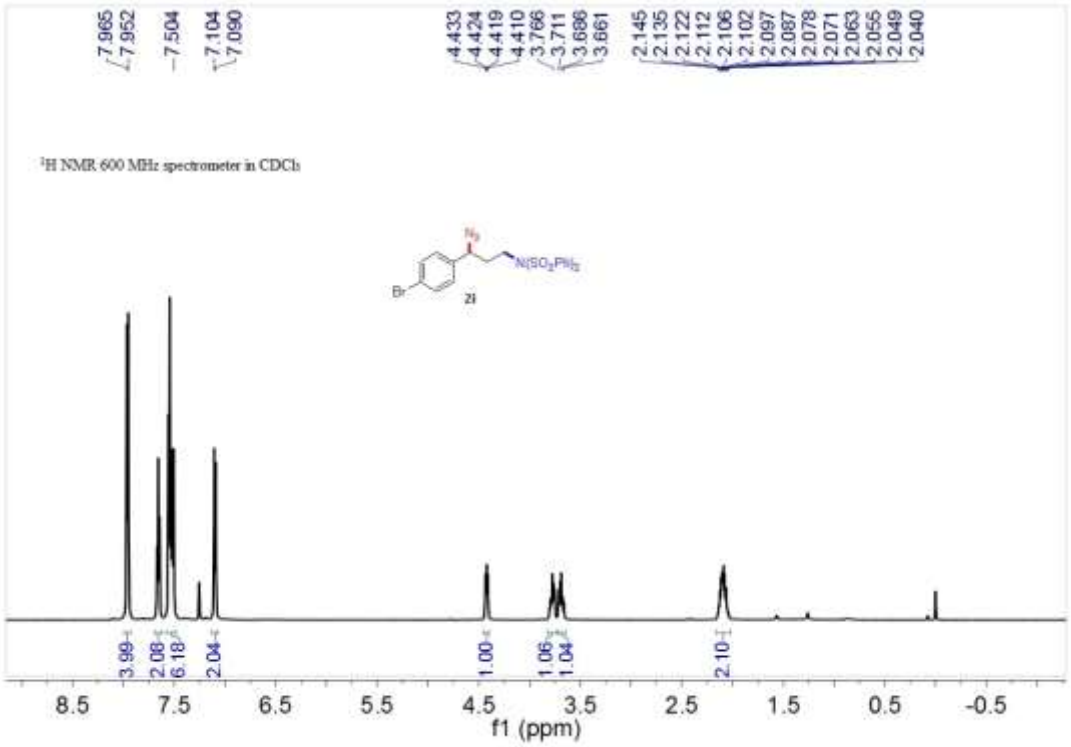

112.836
112.842
112.850
112.859
112.865
^{19}F NMR 565 MHz spectrometer in CDCl$_3$
N$_2$
N(SO$_2$Ph)$_2$
F
2g
20
0
-20
-50
-80
-110
-140
-170
-200
f1 (ppm)

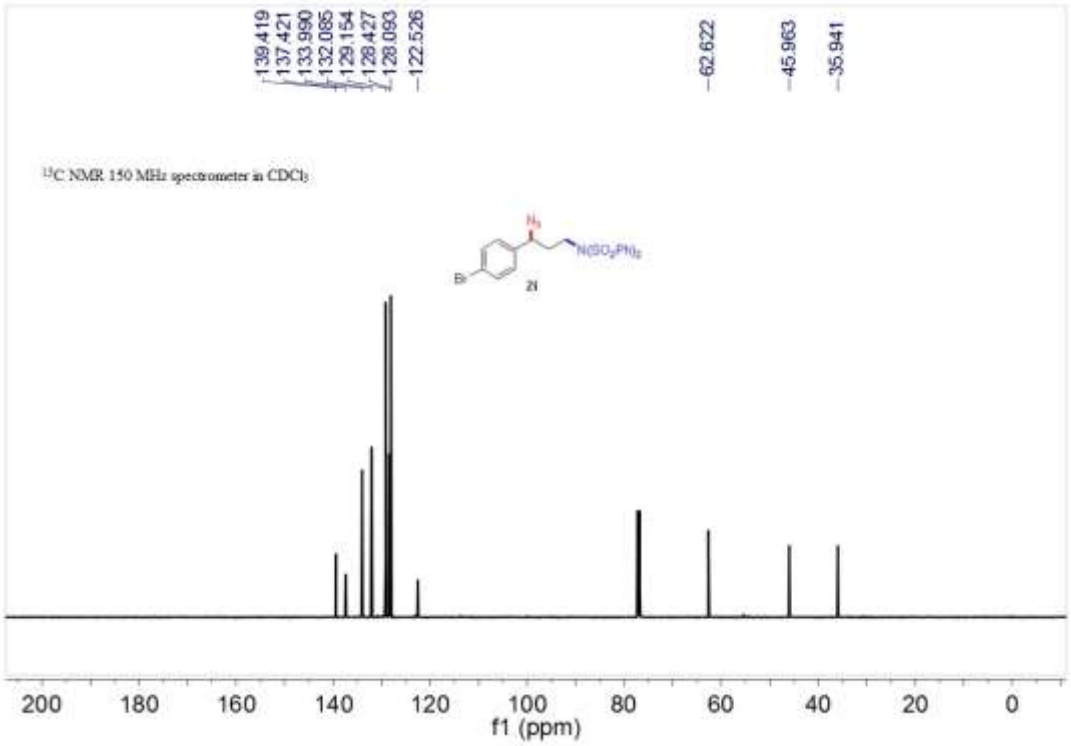
Compound 2h


7.966
7.953
7.951
7.851
7.552
7.538
7.525
7.360
7.357
7.346
7.163
7.149
4.445
4.436
4.431
4.422
3.771
3.715
3.689
3.664
2.137
2.128
2.124
2.115
2.109
2.105
2.099
2.090
2.082
2.075
2.067
2.059
¹H NMR 600 MHz spectrometer in CDCl₃
N₃
N(SO₂Ph)₂
Cl
2h
3.97
2.05
4.06
1.95
1.99
1.00
1.02
1.04
2.07
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

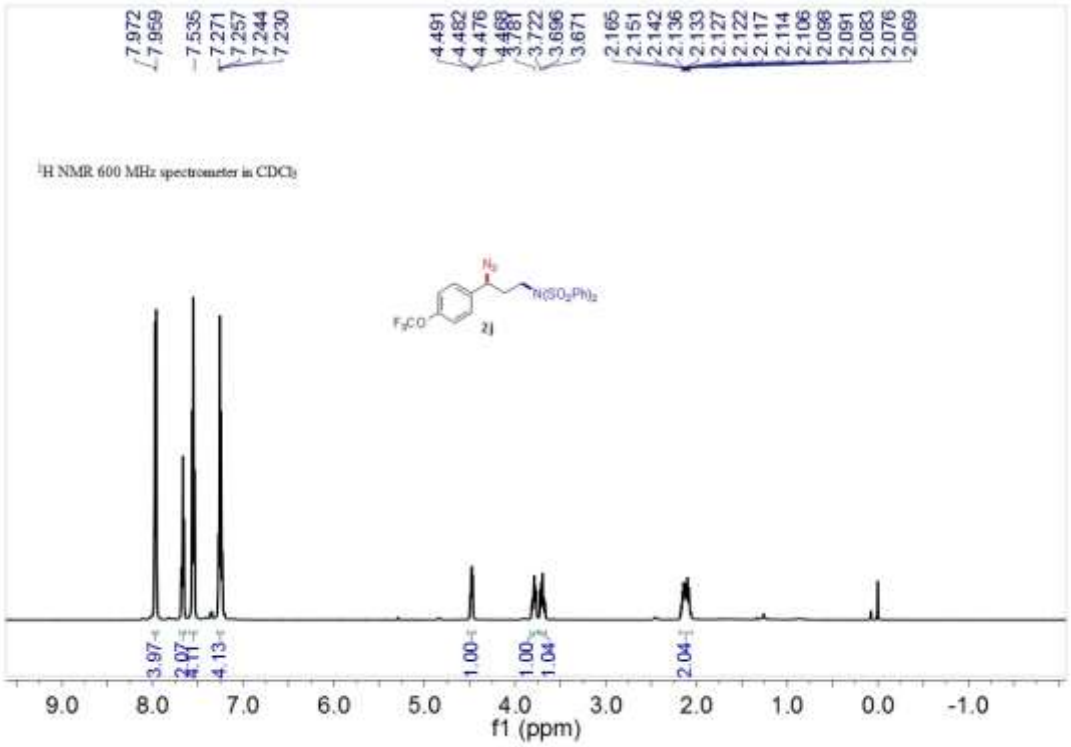

139.443
136.897
134.417
133.995
129.158
129.138
128.131
128.105
62.585
46.002
35.987
¹³C NMR 150 MHz spectrometer in CDCl₃
N₃
N(SO₂Ph)₂
Cl
2h
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

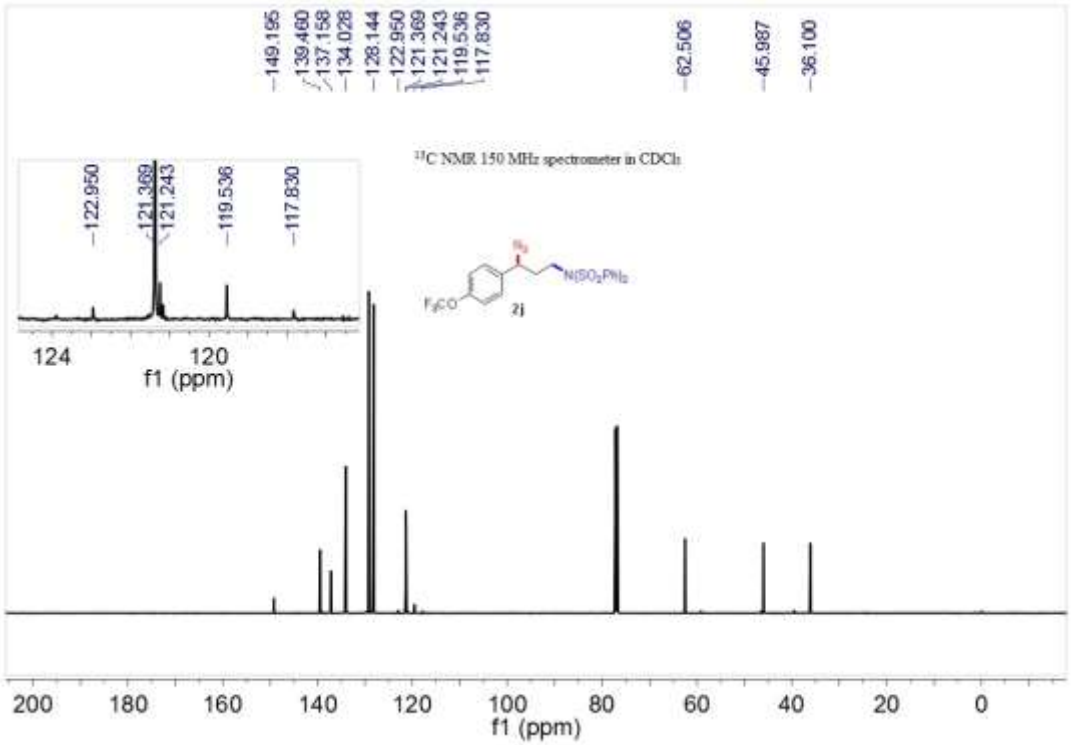
Compound 2i

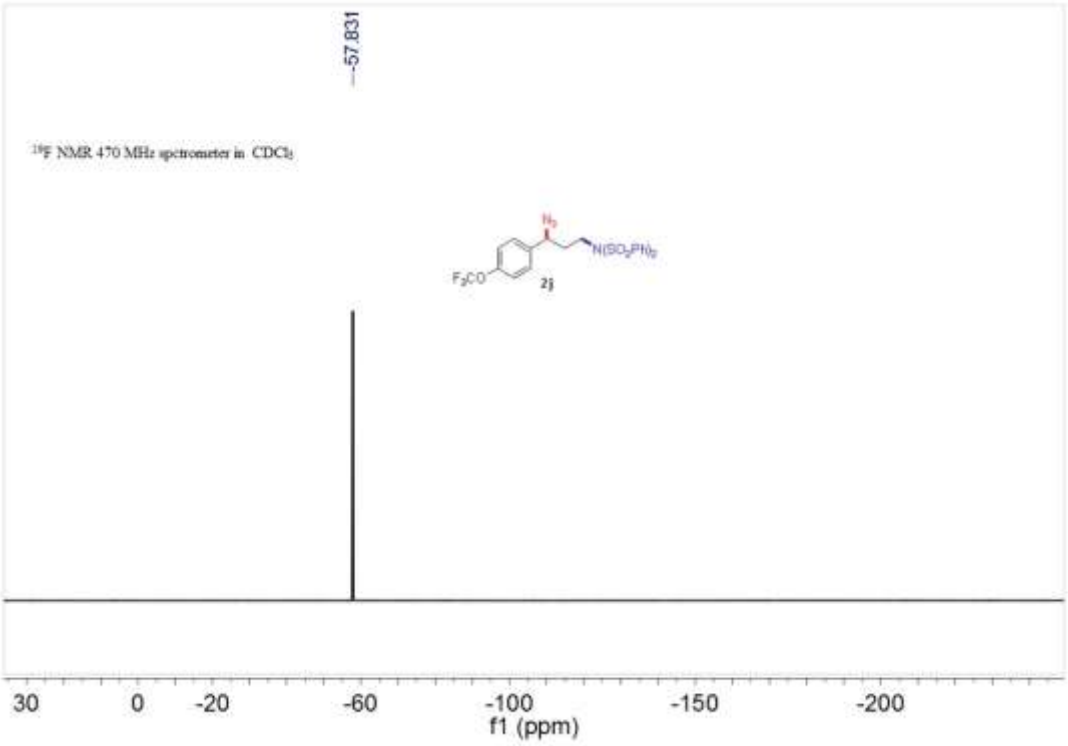

7.965
7.952
7.504
7.104
7.090
4.433
4.424
4.419
4.410
3.766
3.711
3.686
3.661
2.145
2.135
2.122
2.112
2.106
2.102
2.097
2.087
2.078
2.071
2.063
2.055
2.049
2.040
¹H NMR 600 MHz spectrometer in CDCl₃
3.99
2.08
6.18
2.04
1.00
1.06
1.04
2.10
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)


139.419
137.421
133.990
132.085
129.154
128.427
128.093
122.526
62.622
45.963
35.941
¹³C NMR 150 MHz spectrometer in CDCl₃
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

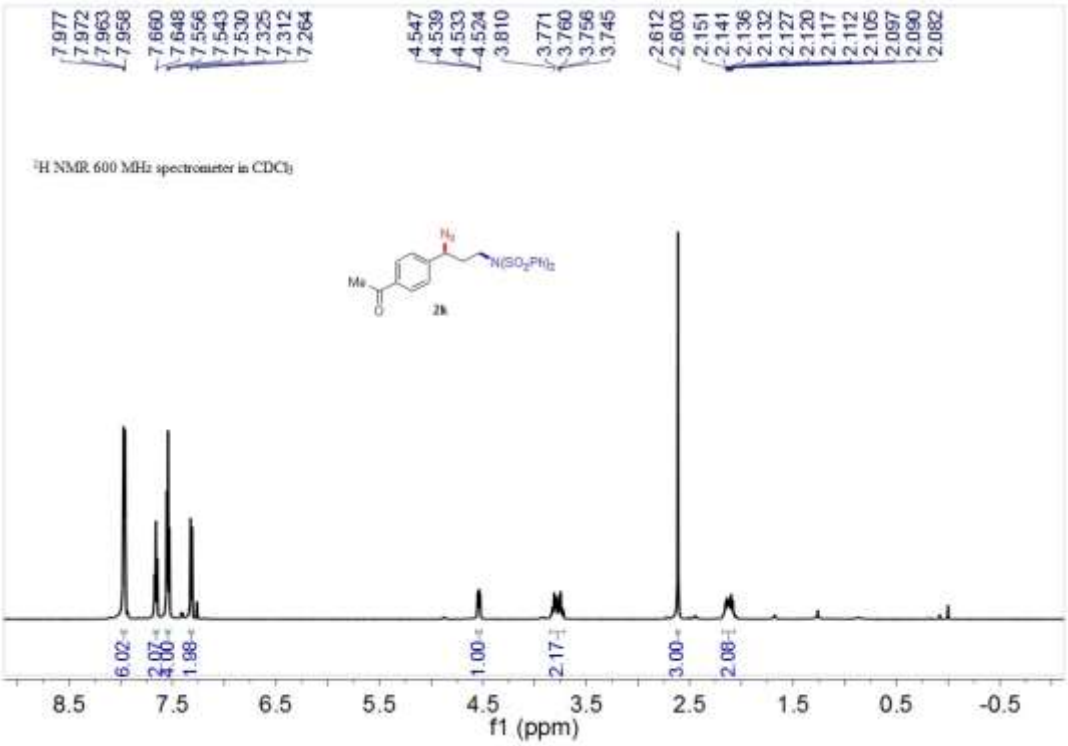
Compound 2j

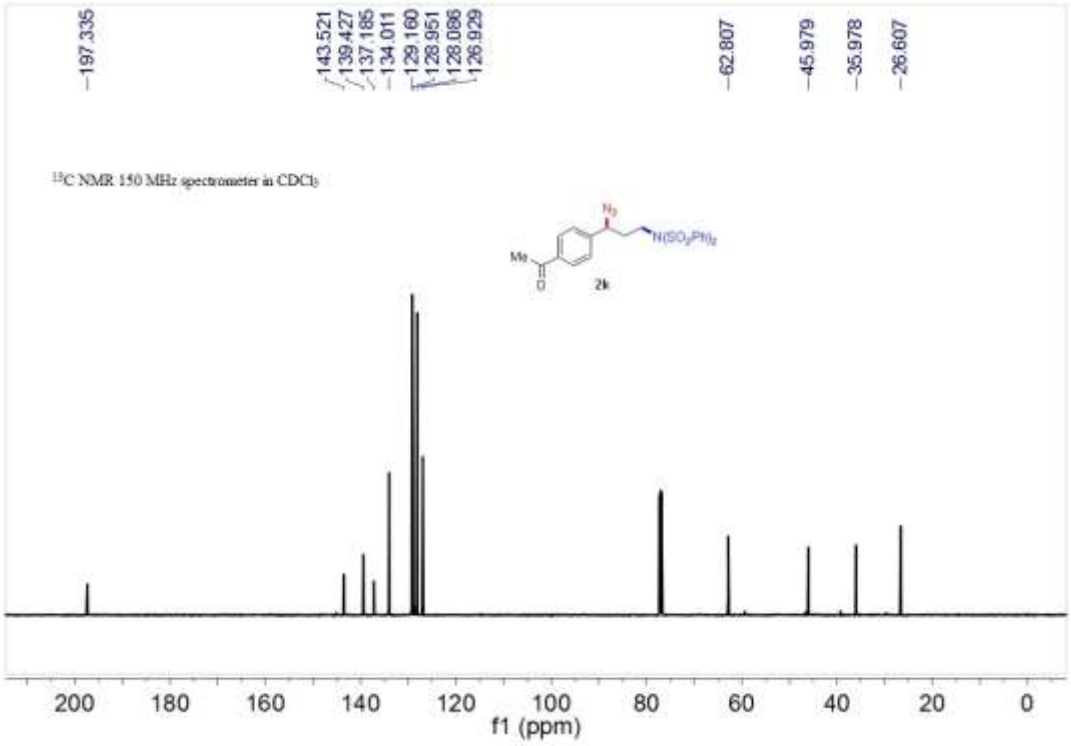

7.972
7.959
7.535
7.271
7.257
7.244
7.230
4.491
4.482
4.476
3.781
3.722
3.696
3.671
2.165
2.151
2.142
2.136
2.133
2.127
2.122
2.117
2.114
2.106
2.098
2.091
2.083
2.076
2.069
^{1}H NMR 600 MHz spectrometer in CDCl$_3$
N_3
$N(SO_2Ph)_2$
F_3CO
2j
3.97
2.07
4.11
4.13
1.00
1.00
1.04
2.04
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
-1.0
f1 (ppm)


149.195
139.460
137.158
134.028
128.144
122.950
121.369
121.243
119.536
117.830
62.506
45.987
36.100
^{13}C NMR 150 MHz spectrometer in CDCl$_3$
N_3
$N(SO_2Ph)_2$
F_3CO
2j
124
120
f1 (ppm)
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

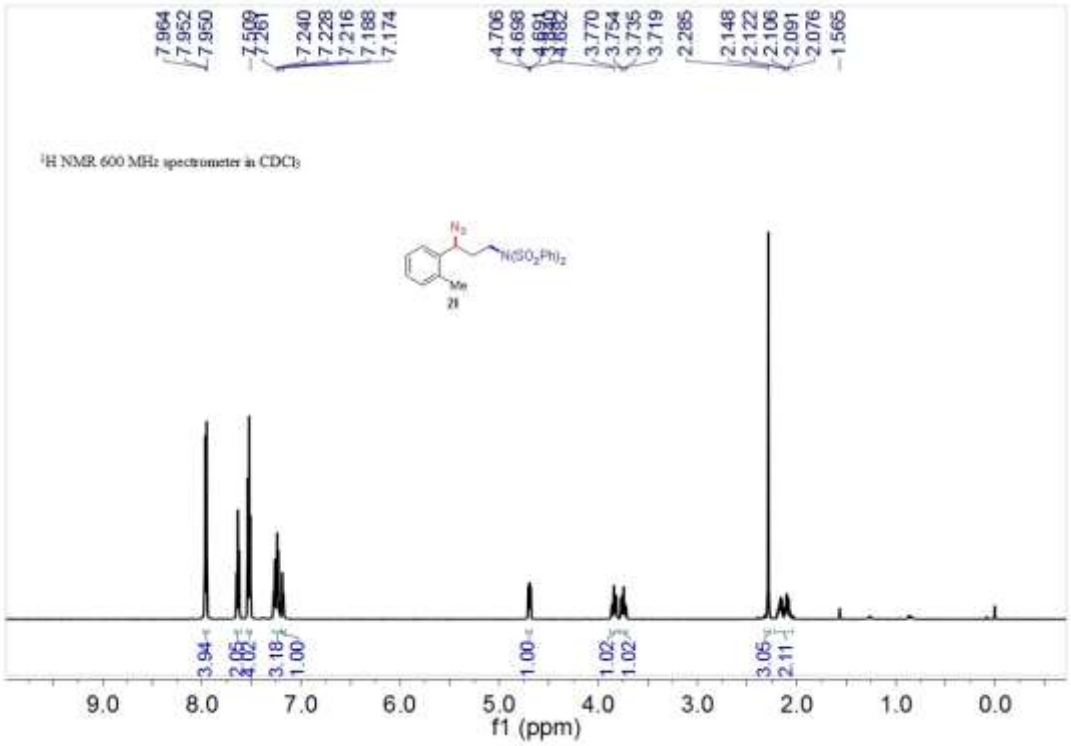

-57.831
^{19}F NMR 470 MHz spectrometer in CDCl$_3$
F_3CO
$N(SO_2Ph)_2$
2j
30
0
-20
-60
-100
-150
-200
f1 (ppm)

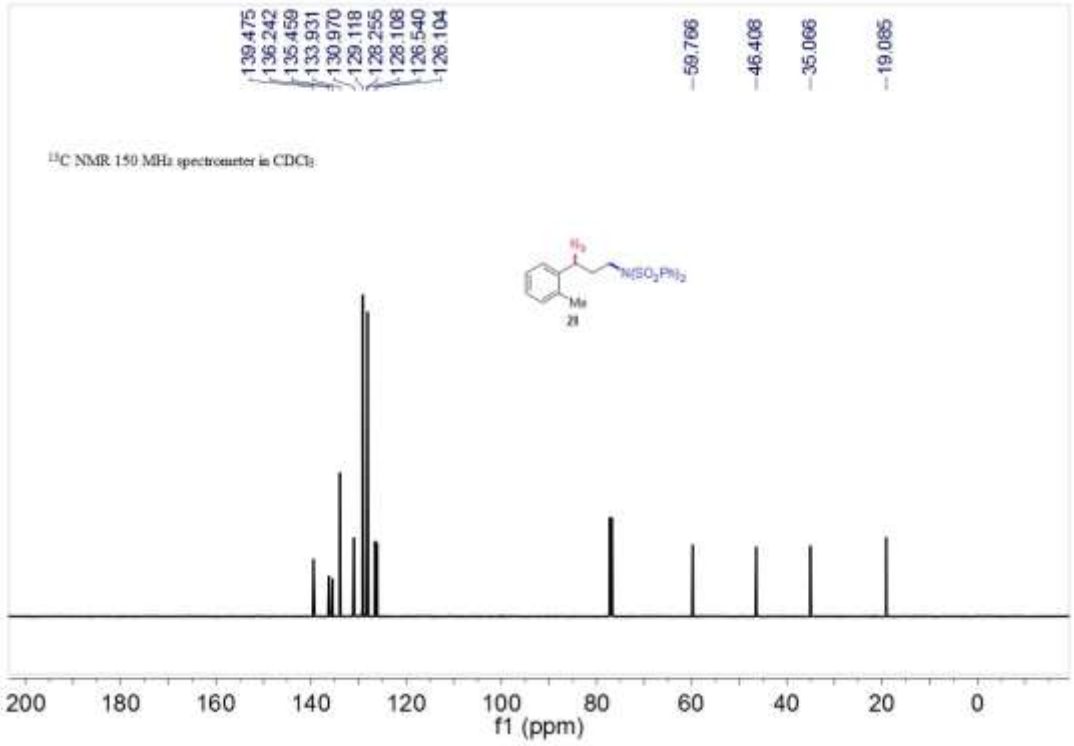
Compound 2k


7.977
7.972
7.963
7.958
7.660
7.648
7.556
7.543
7.530
7.325
7.312
7.264
4.547
4.539
4.533
4.524
3.810
3.771
3.760
3.756
3.745
2.612
2.603
2.151
2.141
2.136
2.132
2.127
2.120
2.117
2.112
2.105
2.097
2.090
2.082
^{1}H NMR 600 MHz spectrometer in CDCl$_3$
Me
$N(SO_2Ph)_2$
2k
6.02
2.07
2.00
1.98
1.00
2.17
3.00
2.08
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)

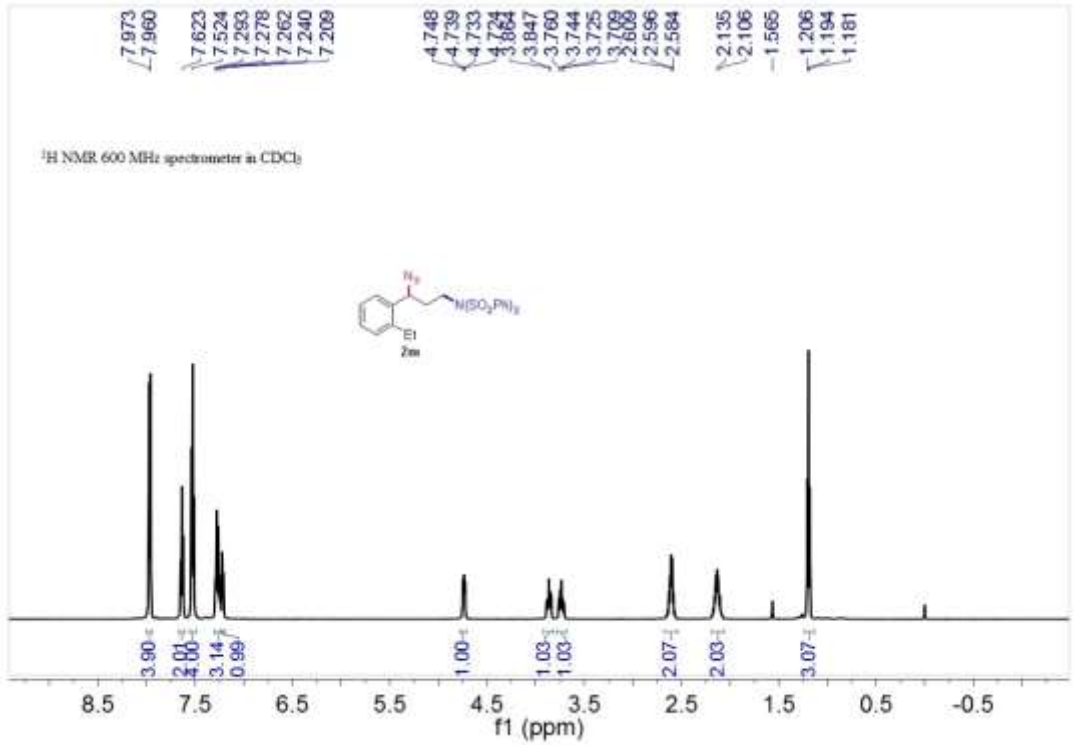

197.335
143.521
139.427
137.185
134.011
129.160
128.951
128.086
126.929
62.807
45.979
35.978
26.607
13C NMR 150 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
Me
O
2k
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

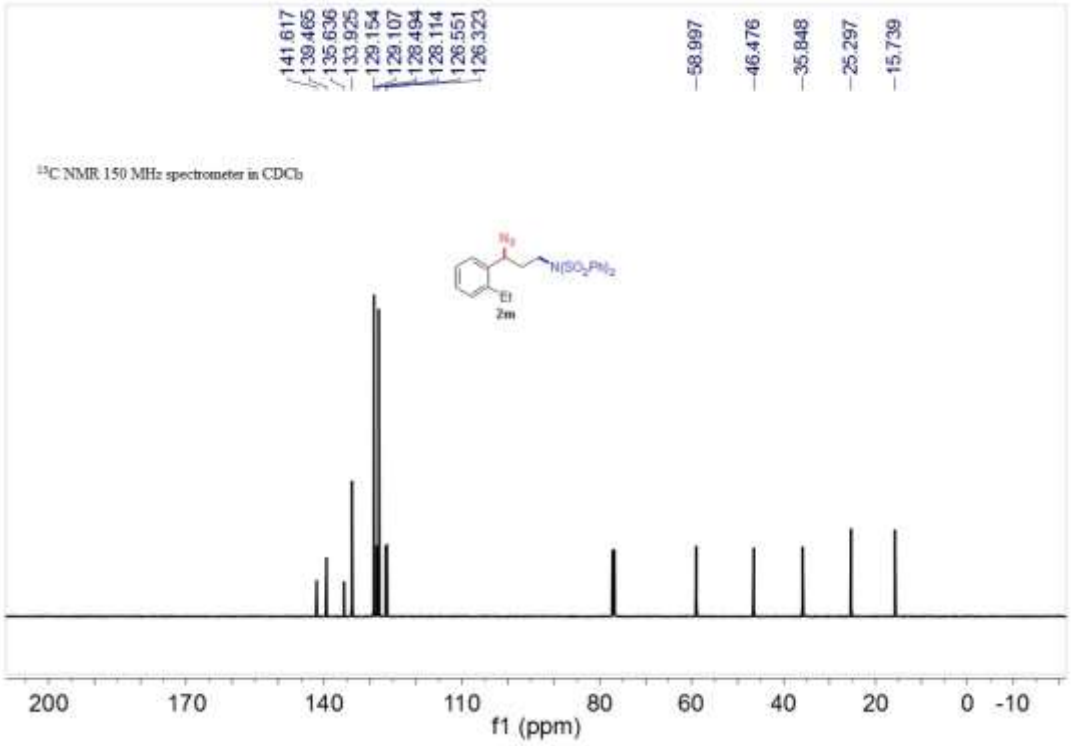
Compound 2l


7.964
7.952
7.950
7.509
7.261
7.240
7.228
7.216
7.188
7.174
4.706
4.698
3.770
3.754
3.735
3.719
2.285
2.148
2.122
2.106
2.091
2.076
1.565
1H NMR 600 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
Me
2l
3.94
2.05
2.02
3.18
1.00
1.00
1.02
1.02
3.05
2.11
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

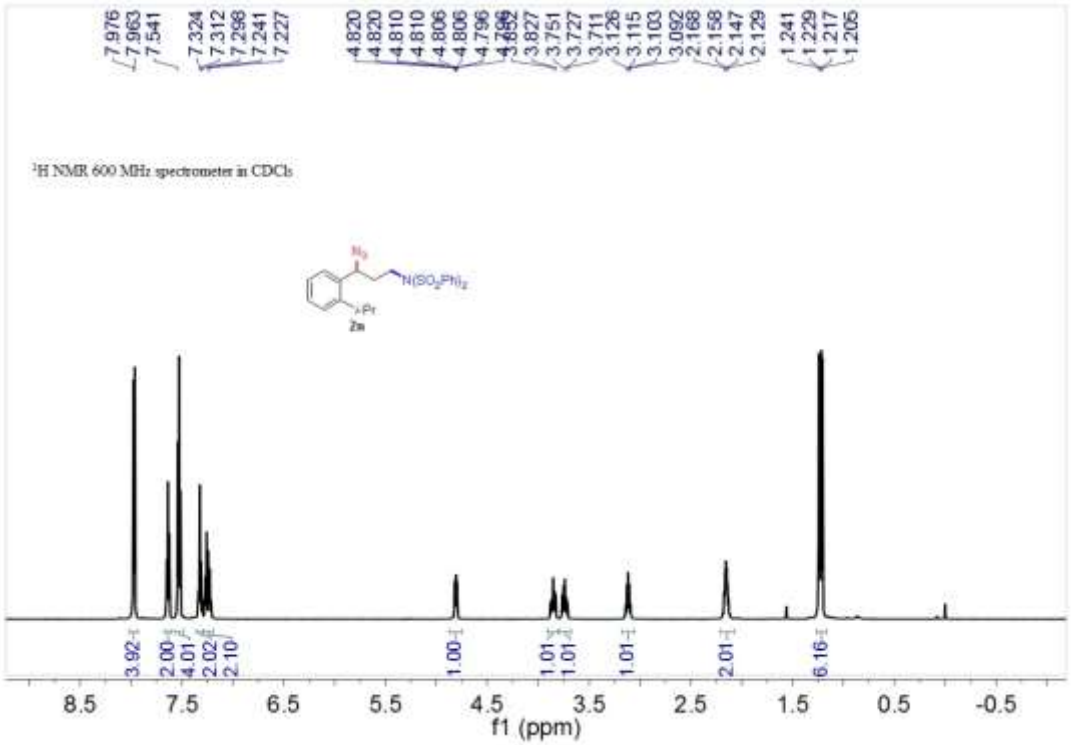

139.475
136.242
135.459
133.931
130.970
129.118
128.255
128.108
126.540
126.104
59.766
46.408
35.066
19.085
13C NMR 150 MHz spectrometer in CDCl3
Me
2l
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

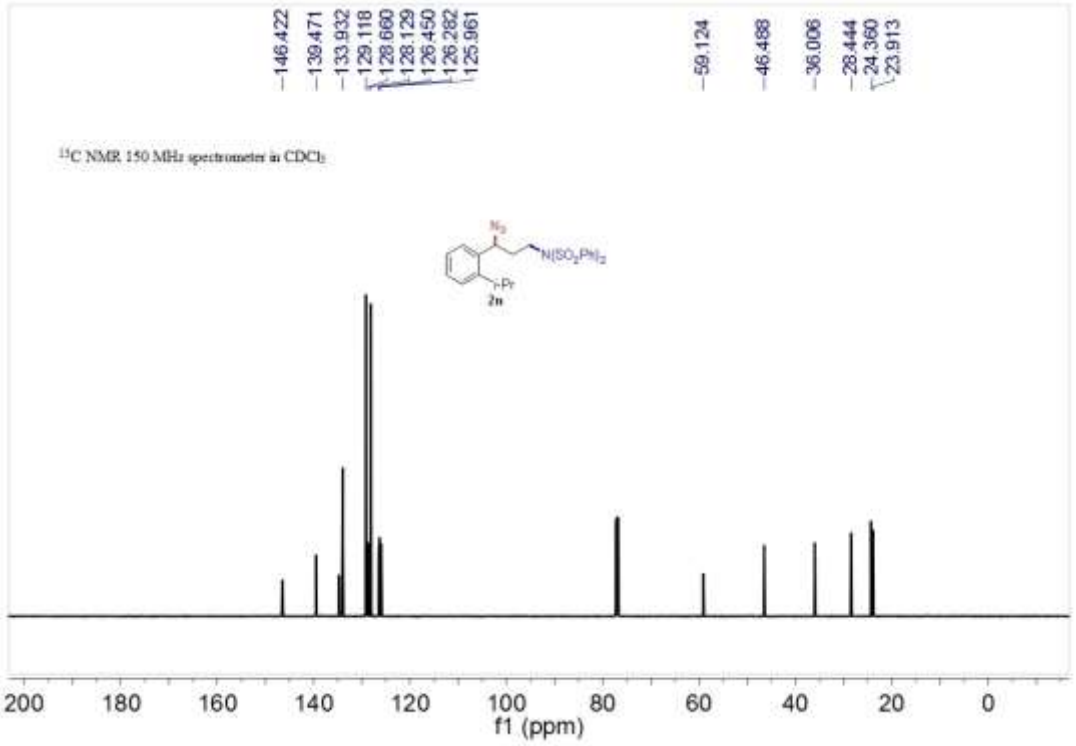
Compound 2m


7.973
7.960
7.623
7.524
7.293
7.278
7.262
7.240
7.209
4.748
4.739
4.733
3.847
3.760
3.744
3.725
2.596
2.584
2.135
2.106
1.565
1.206
1.194
1.181
1H NMR 600 MHz spectrometer in CDCl3
Et
2m
3.90
2.01
4.00
3.14
0.99
1.00
1.03
1.03
2.07
2.03
3.07
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)

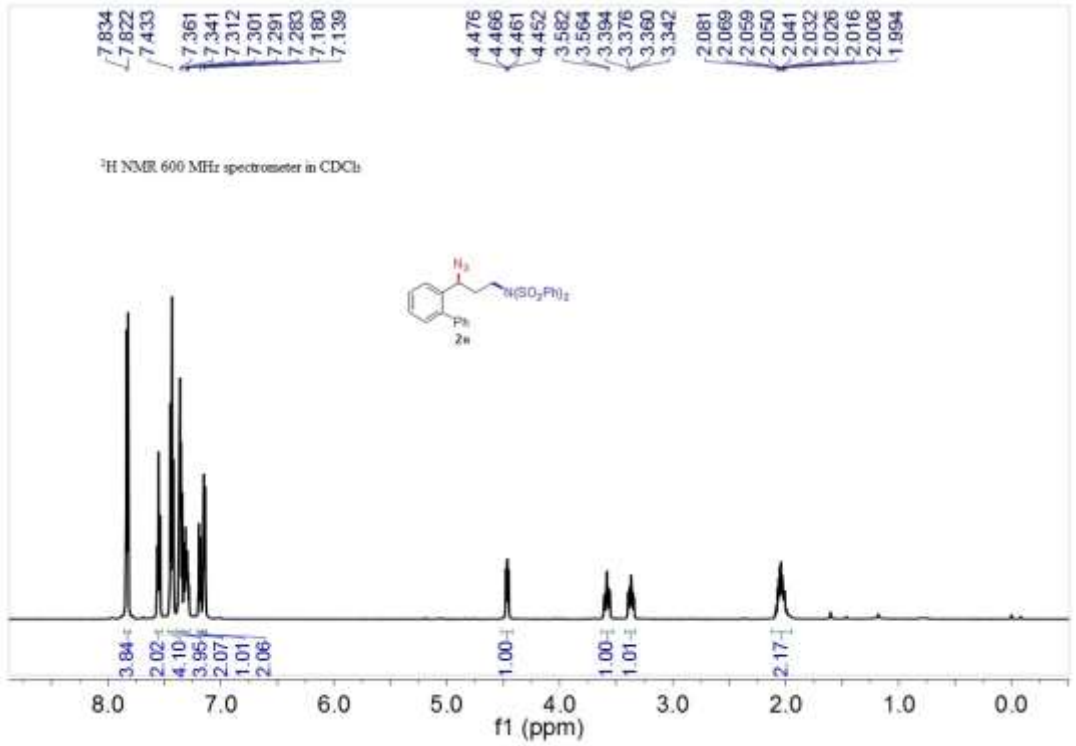

141.617
139.465
135.636
133.925
129.154
129.107
128.494
128.114
126.551
126.323
58.997
46.476
35.848
25.297
15.739
13C NMR 150 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
Et
2m
200
170
140
110
80
60
40
20
0
-10
f1 (ppm)

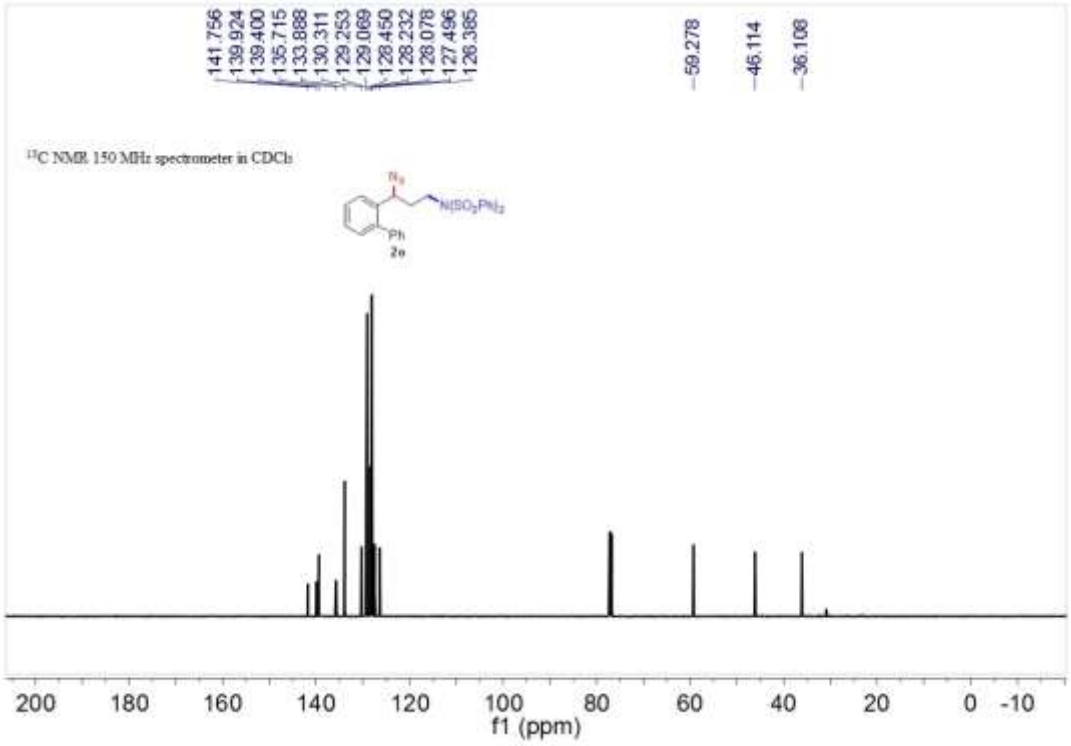
Compound 2n


7.976
7.963
7.541
7.324
7.312
7.298
7.241
7.227
4.820
4.820
4.810
4.810
4.806
4.806
4.796
3.827
3.751
3.727
3.711
3.126
3.115
3.103
3.092
2.168
2.158
2.147
2.129
1.241
1.229
1.217
1.205
1H NMR 600 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
iPr
2n
3.92
2.00
4.01
2.02
2.10
1.00
1.01
1.01
1.01
2.01
6.16
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)

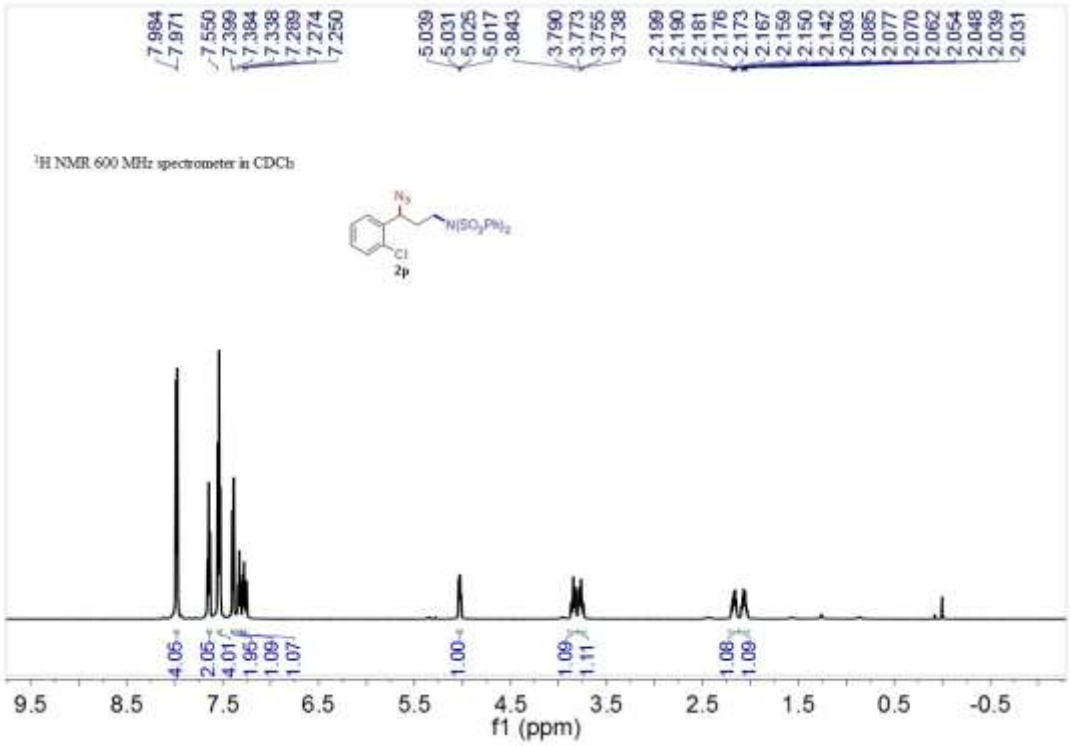

146.422
139.471
133.932
129.118
128.660
128.129
126.450
126.282
125.961
59.124
46.488
36.006
28.444
24.360
23.913
13C NMR 150 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
iPr
2n
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

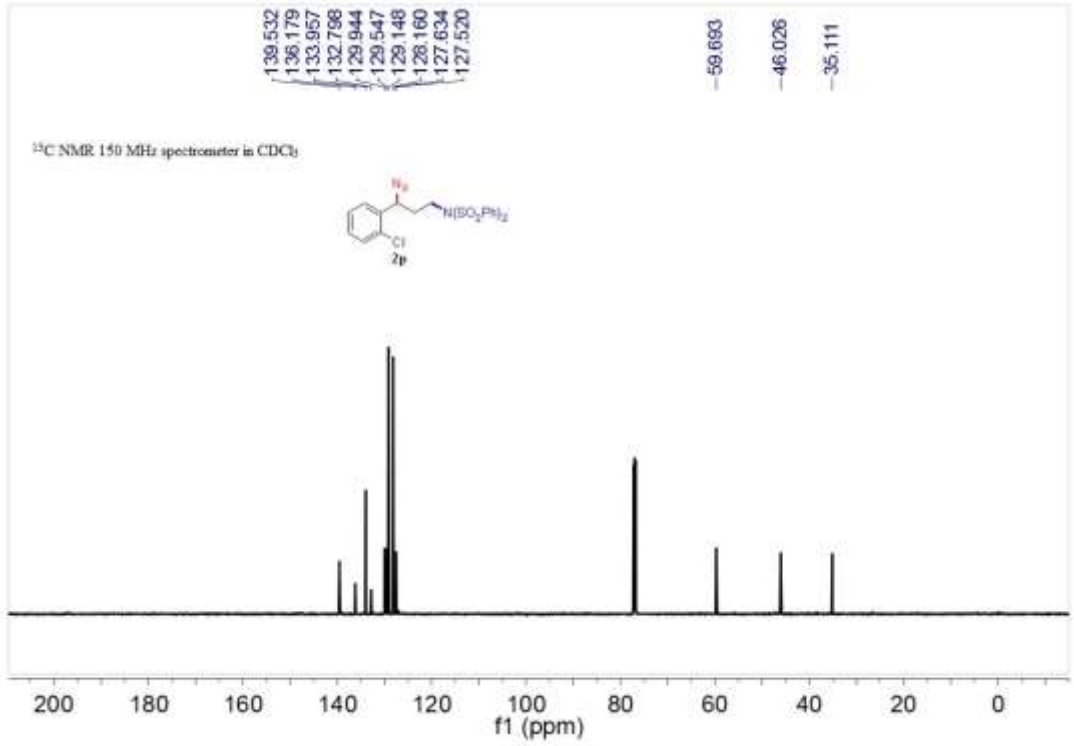
Compound 2o


7.834
7.822
7.433
7.361
7.341
7.312
7.301
7.291
7.283
7.180
7.139
4.476
4.466
4.461
4.452
3.582
3.564
3.394
3.376
3.360
3.342
2.081
2.069
2.059
2.050
2.041
2.032
2.026
2.016
2.008
1.994
1H NMR 600 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
Ph
2n
3.84
2.02
4.10
3.95
2.07
1.01
2.06
1.00
1.00
1.01
2.17
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

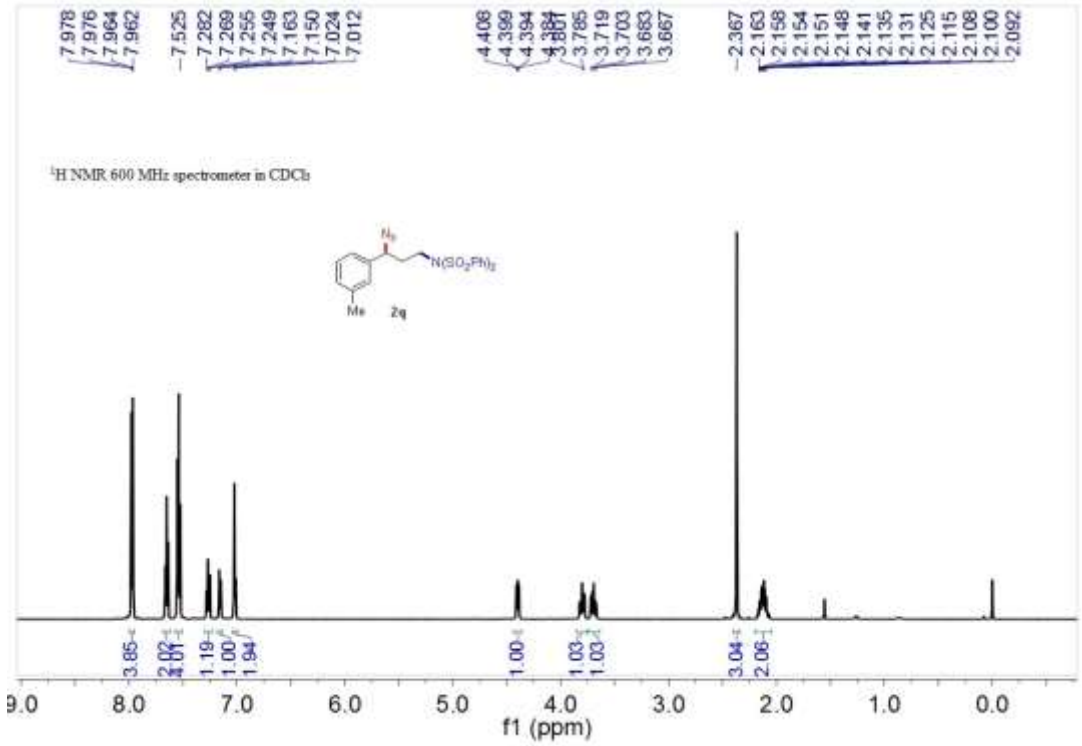

141.756
139.924
139.400
135.715
133.888
130.311
129.253
129.069
128.450
128.232
128.078
127.496
126.365
59.278
46.114
36.106
13C NMR 150 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
Ph
2o
200
180
160
140
120
100
80
60
40
20
0
-10
f1 (ppm)

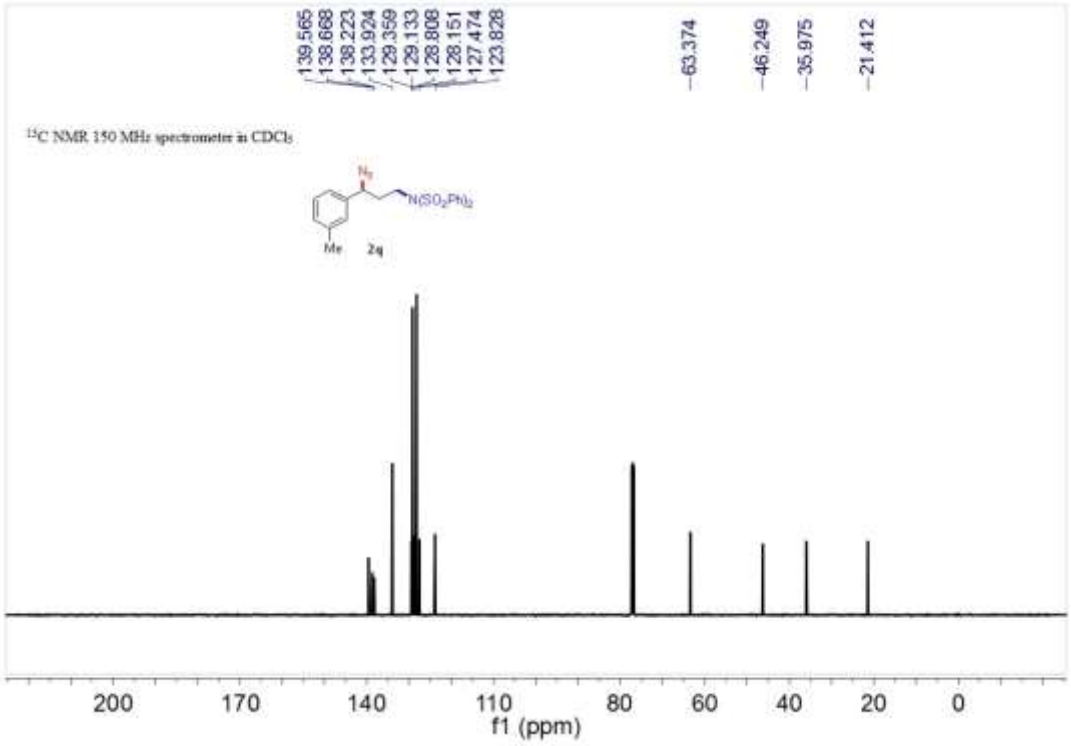
Compound 2p


7.984
7.971
7.550
7.399
7.384
7.338
7.289
7.274
7.250
5.039
5.031
5.025
5.017
3.843
3.790
3.773
3.755
3.738
2.199
2.190
2.181
2.176
2.173
2.167
2.159
2.150
2.142
2.093
2.085
2.077
2.070
2.062
2.054
2.048
2.039
2.031
1H NMR 600 MHz spectrometer in CDCl3
N3
N(SO2Ph)2
Cl
2p
4.06
2.05
4.01
1.95
1.09
1.07
1.00
1.09
1.11
1.08
1.09
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)

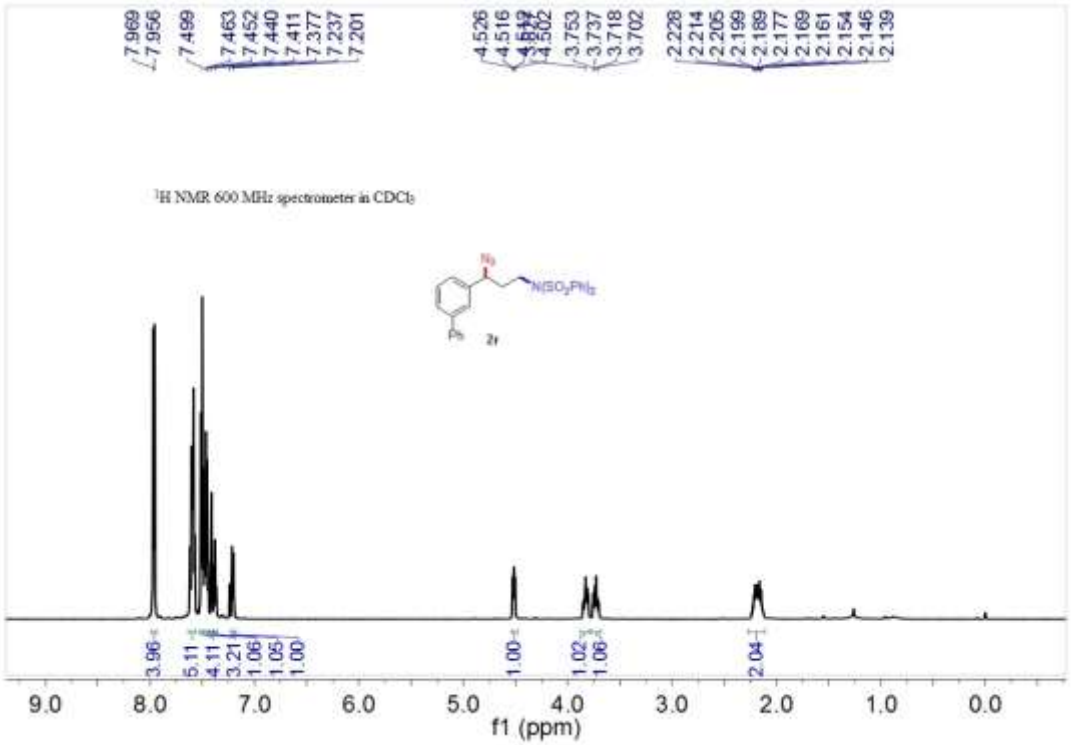

139.532
136.179
133.957
132.798
129.944
129.547
129.148
128.160
127.634
127.520
59.693
46.026
35.111
13C NMR 150 MHz spectrometer in CDCl3
2p
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

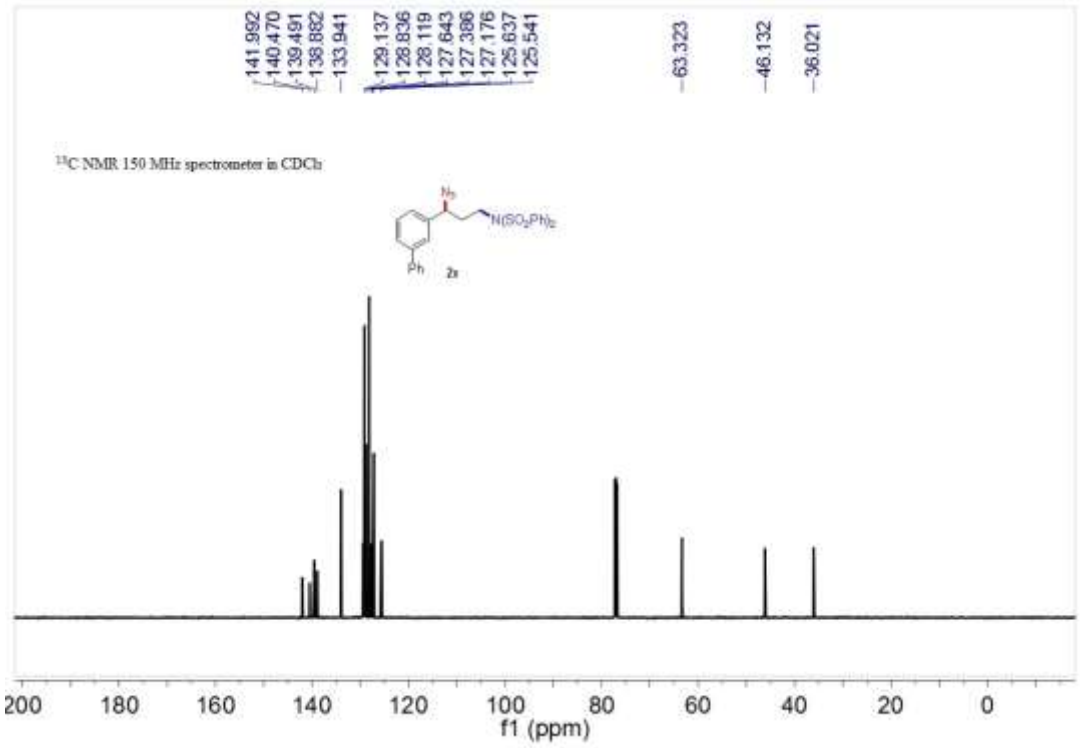
Compound 2q


7.978
7.976
7.964
7.962
7.525
7.282
7.269
7.255
7.249
7.163
7.150
7.024
7.012
4.408
4.399
4.394
3.785
3.719
3.703
3.683
3.667
2.367
2.163
2.158
2.154
2.151
2.148
2.141
2.135
2.131
2.125
2.115
2.108
2.100
2.092
1H NMR 600 MHz spectrometer in CDCl3
2q
3.85
2.02
1.19
1.00
1.94
1.00
1.03
1.03
3.04
2.06
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

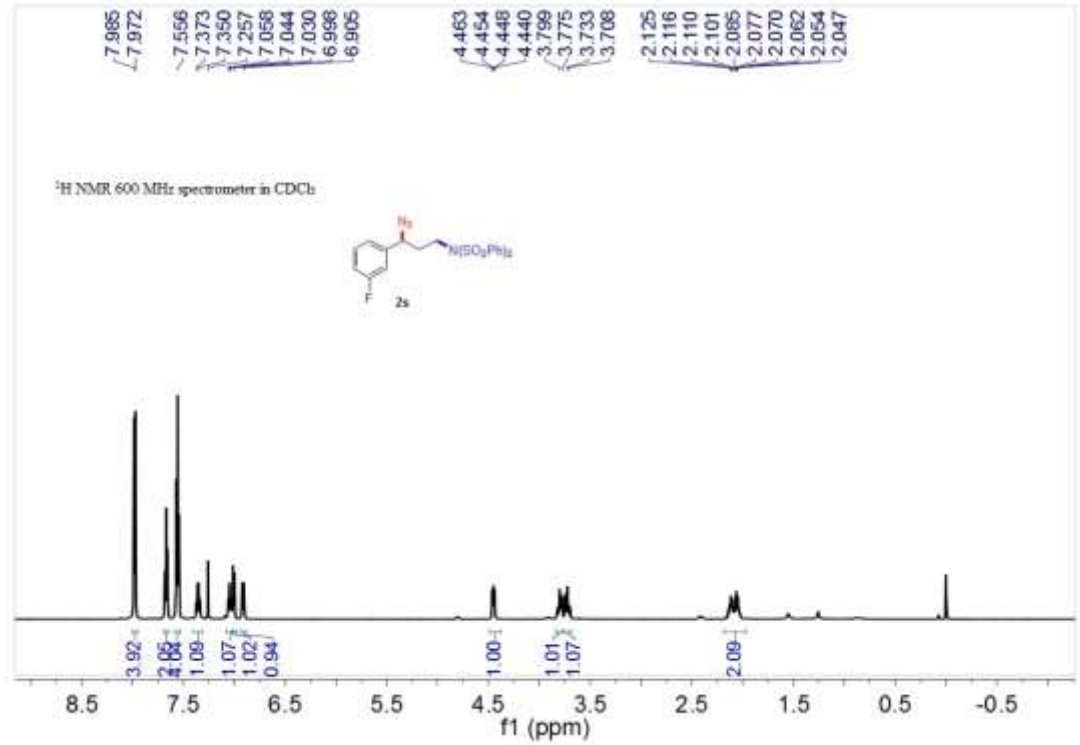

139.565
138.668
138.223
133.924
129.359
129.133
128.808
128.151
127.474
123.828
63.374
46.249
35.975
21.412
^{13}C NMR 150 MHz spectrometer in CDCl$_3$
N$_3$
N(SO$_2$Ph)$_2$
Me
2q
200
170
140
110
80
60
40
20
0
f1 (ppm)

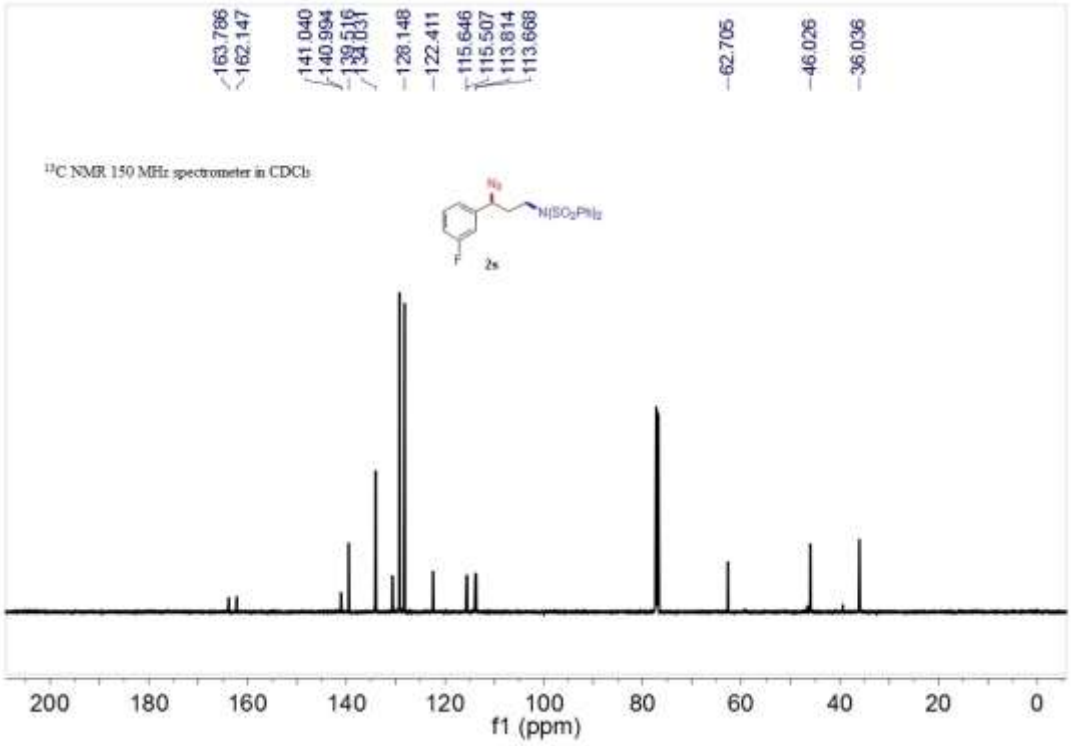
Compound 2r

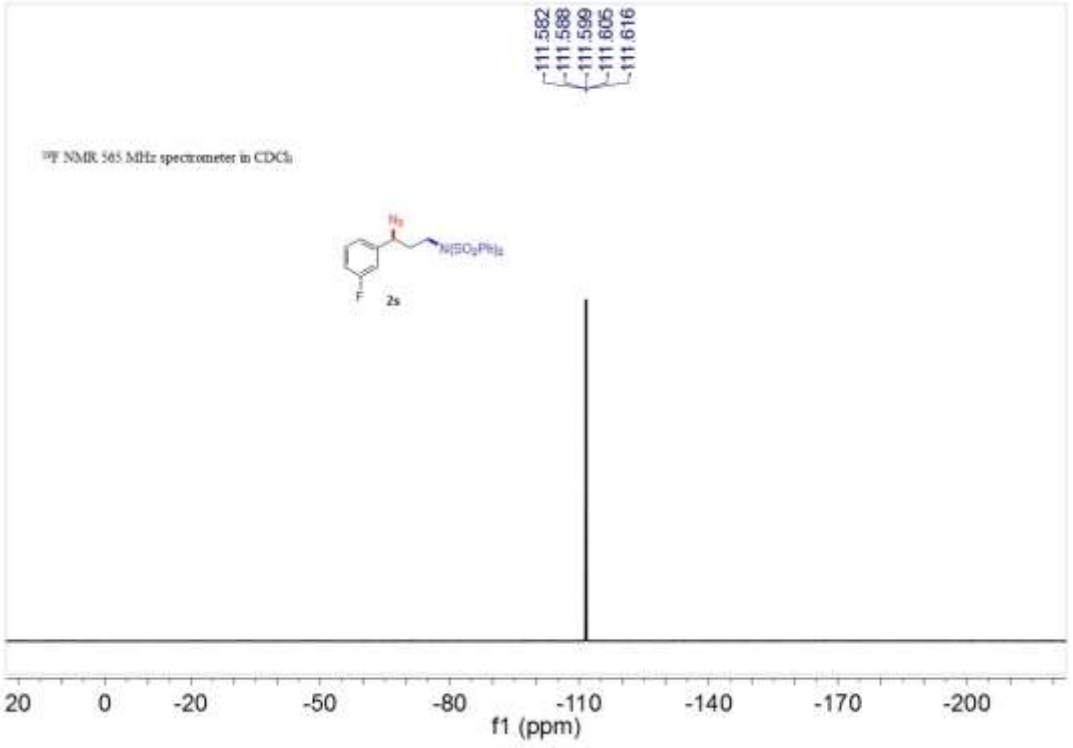

7.969
7.956
7.499
7.463
7.452
7.440
7.411
7.377
7.237
7.201
4.526
4.516
4.502
3.753
3.737
3.718
3.702
2.228
2.214
2.205
2.199
2.189
2.177
2.169
2.161
2.154
2.146
2.139
^{1}H NMR 600 MHz spectrometer in CDCl$_3$
N$_3$
N(SO$_2$Ph)$_2$
Ph
2r
3.96
5.11
4.11
3.21
1.06
1.05
1.00
1.00
1.02
1.06
2.04
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)


141.992
140.470
139.491
138.882
133.941
129.137
128.836
128.119
127.643
127.386
127.176
125.637
125.541
63.323
46.132
36.021
13C NMR 150 MHz spectrometer in CDCl3
N(SO2Ph)2
Ph
2s
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

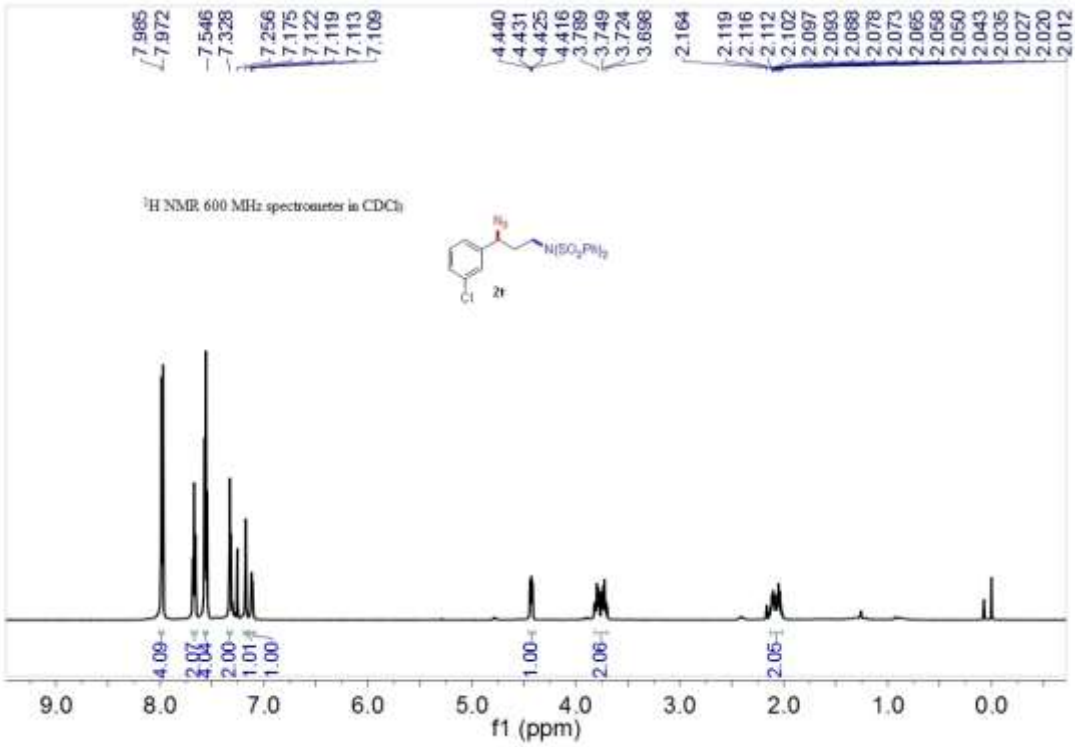
Compound 2s

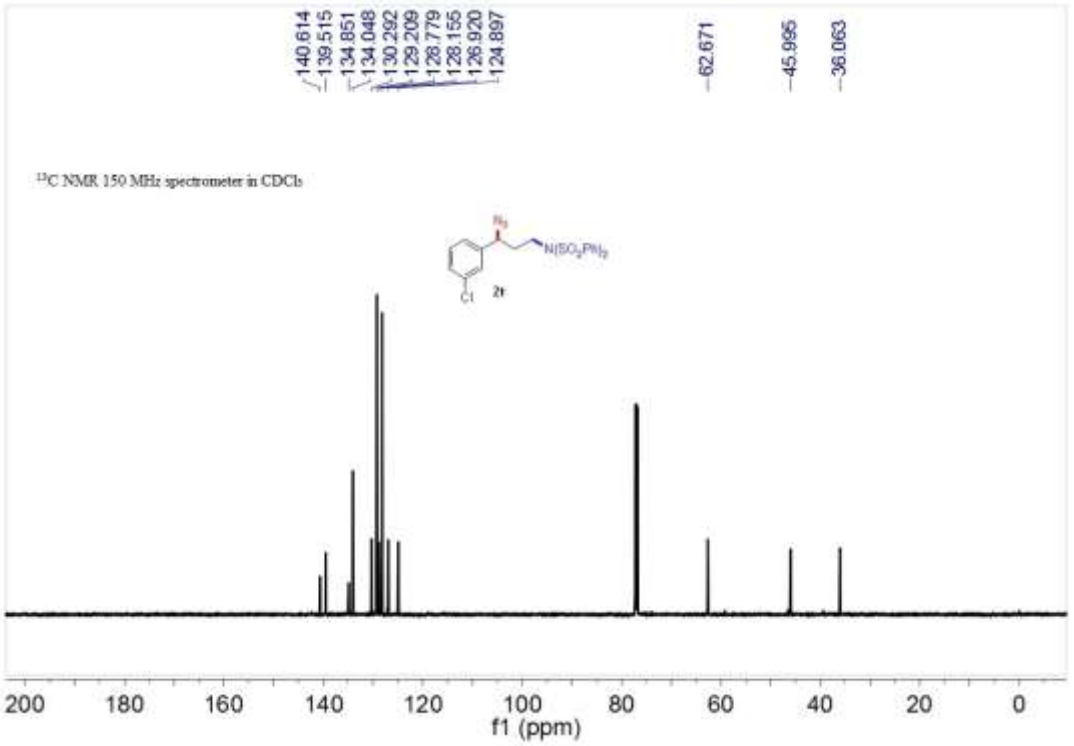

7.985
7.972
7.556
7.373
7.350
7.257
7.058
7.044
7.030
6.998
6.905
4.463
4.454
4.448
4.440
3.799
3.775
3.733
3.708
2.125
2.116
2.110
2.101
2.085
2.077
2.070
2.062
2.054
2.047
1H NMR 600 MHz spectrometer in CDCl3
N(SO2Ph)2
F
2s
3.92
1.09
1.07
1.02
0.94
1.00
1.01
1.07
2.09
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)


163.786
162.147
141.040
140.994
128.148
122.411
115.646
115.507
113.814
113.668
62.705
46.026
36.036
^{13}C NMR 150 MHz spectrometer in CDCl$_3$
N(SO$_2$Ph)$_2$
2s
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

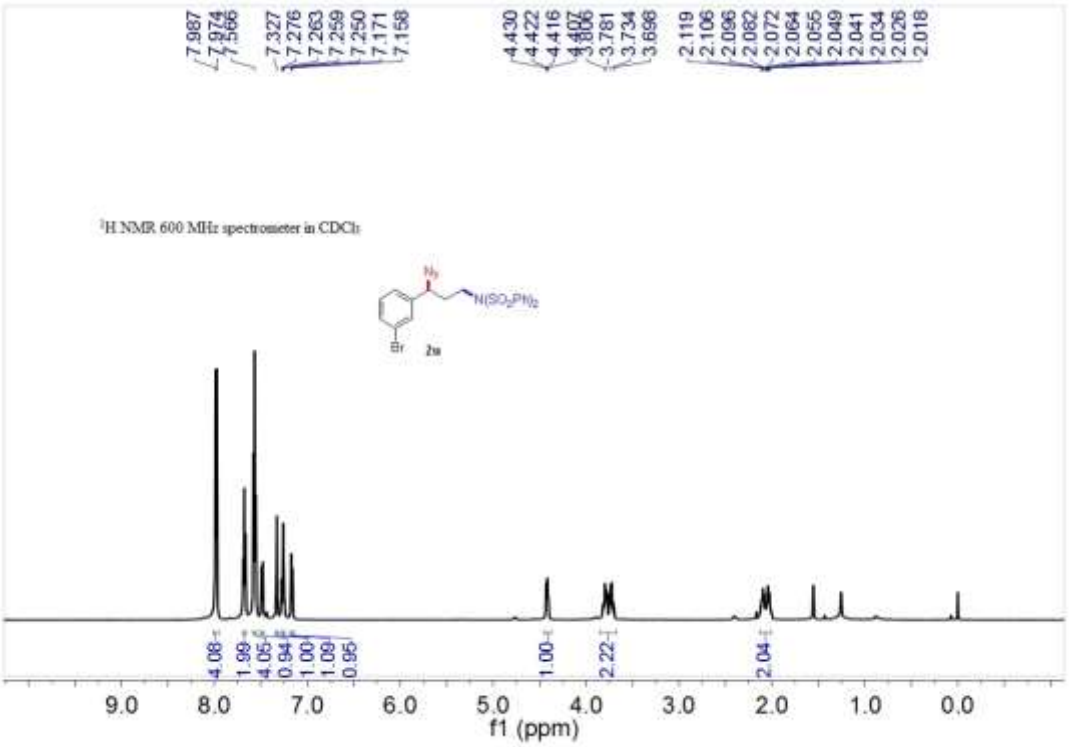

111.582
111.588
111.599
111.605
111.616
^{19}F NMR 565 MHz spectrometer in CDCl$_3$
N(SO$_2$Ph)$_2$
2s
20
0
-20
-50
-80
-110
-140
-170
-200
f1 (ppm)

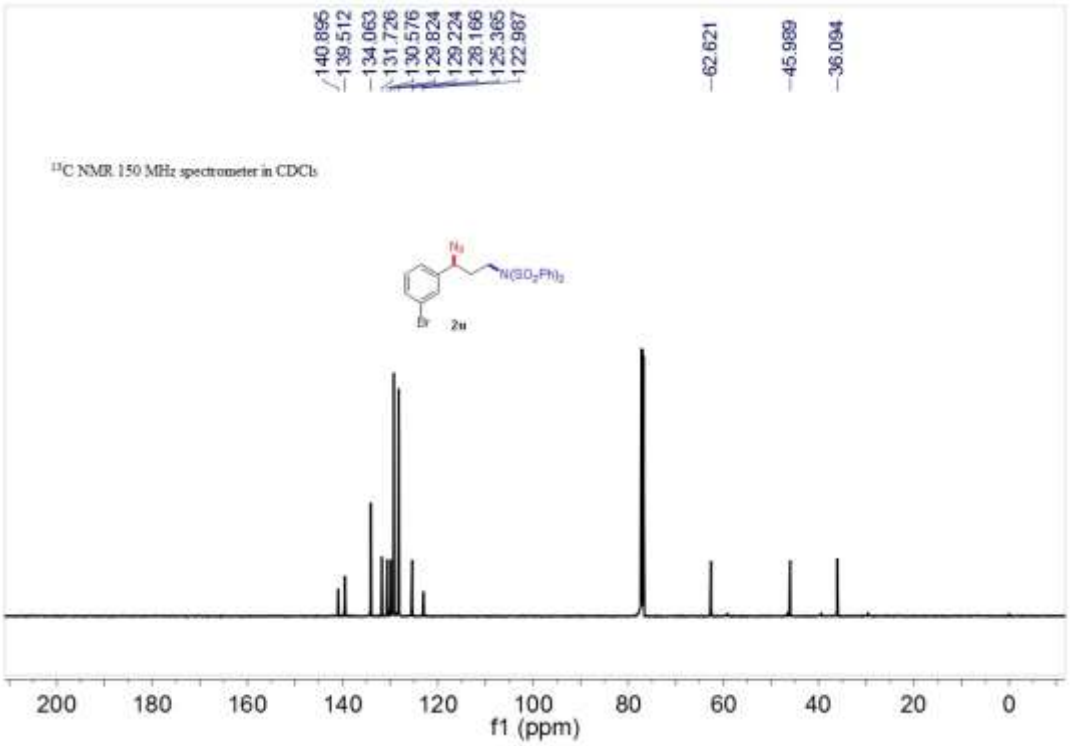
Compound 2t


7.985
7.972
7.546
7.328
7.256
7.175
7.122
7.119
7.113
7.109
4.440
4.431
4.425
4.416
3.789
3.749
3.724
3.698
2.164
2.119
2.116
2.112
2.102
2.097
2.093
2.088
2.078
2.073
2.065
2.058
2.050
2.043
2.035
2.027
2.020
2.012
¹H NMR 600 MHz spectrometer in CDCl₃
N(SO₂Ph)₂
Cl
2t
4.09
2.07
2.04
2.00
1.01
1.00
1.00
2.06
2.05
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

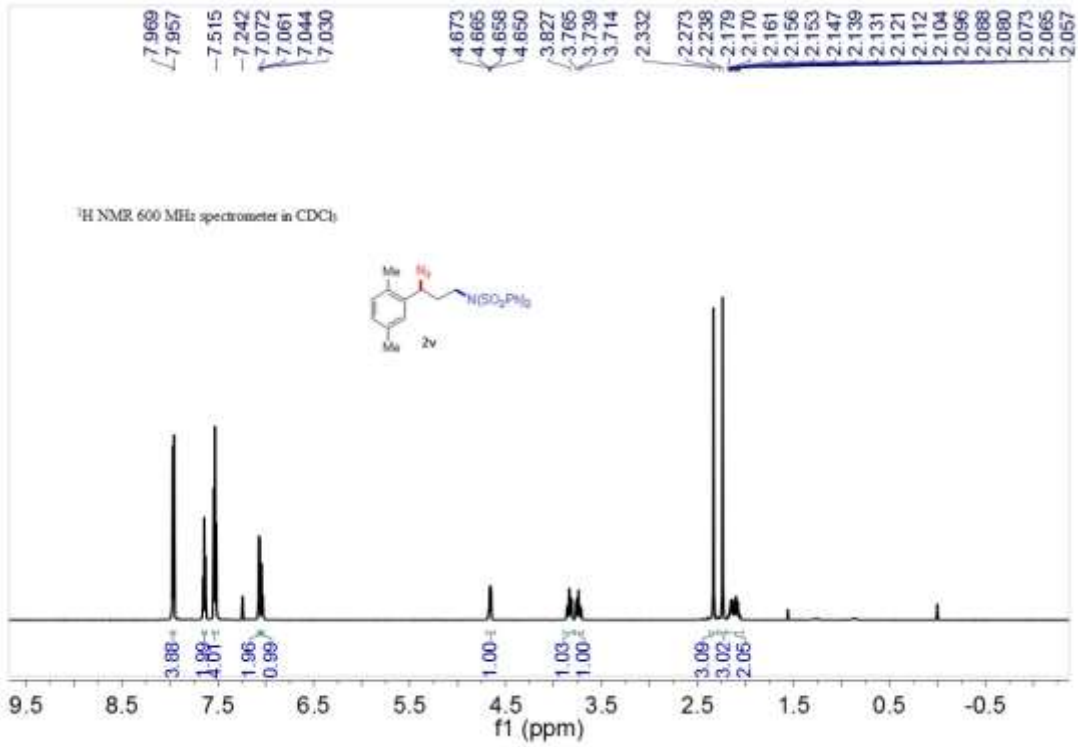

140.614
139.515
134.851
134.048
130.292
129.209
128.779
128.155
126.920
124.897
62.671
45.995
36.063
¹³C NMR 150 MHz spectrometer in CDCl₃
N(SO₂Ph)₂
Cl
2t
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

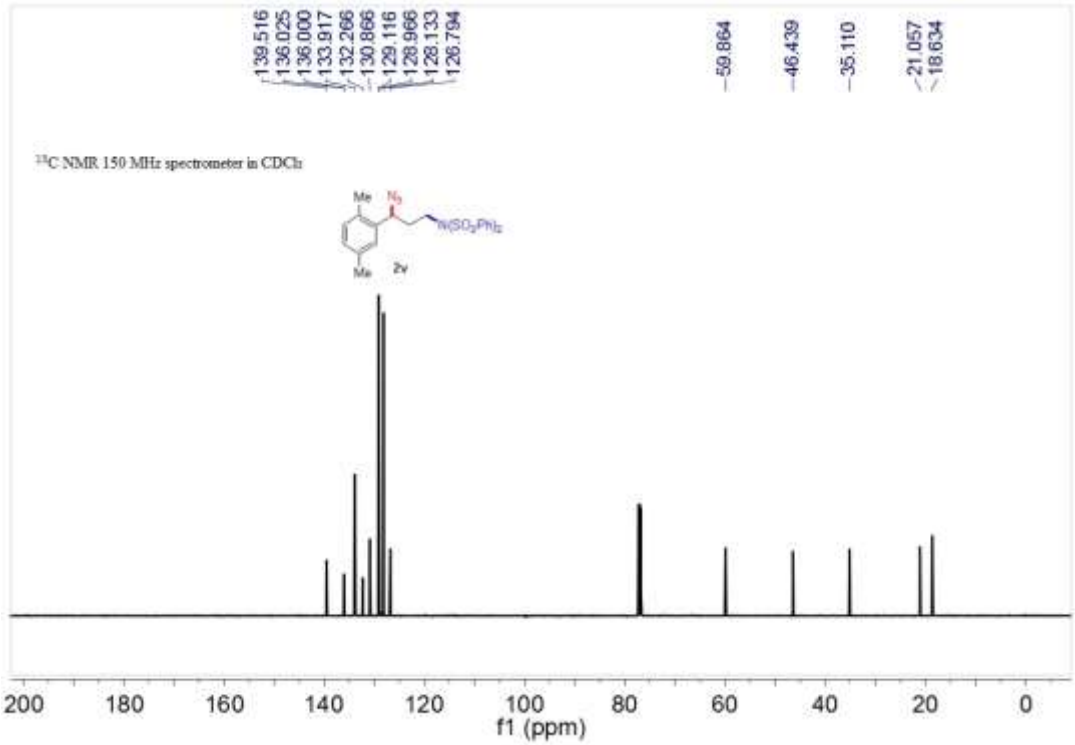
Compound 2u


7.987
7.974
7.566
7.327
7.276
7.263
7.259
7.250
7.171
7.158
4.430
4.422
4.416
4.407
3.806
3.781
3.734
3.656
2.119
2.106
2.096
2.082
2.072
2.064
2.055
2.049
2.041
2.034
2.026
2.018
^{1}H NMR 600 MHz spectrometer in CDCl$_3$
N$_3$
N(SO$_2$Ph)$_2$
Br
2u
4.08
1.99
4.05
0.94
1.00
1.09
0.95
1.00
2.22
2.04
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

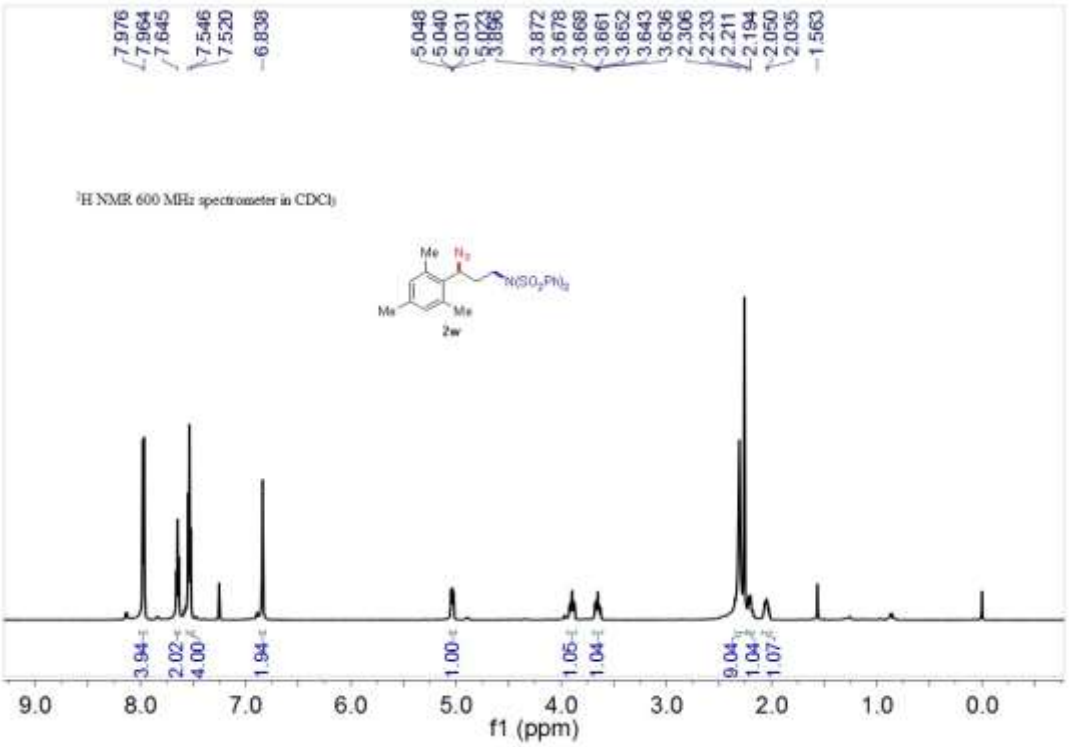

140.895
139.512
134.063
131.726
130.576
129.824
129.224
128.166
125.365
122.987
62.621
45.989
36.094
^{13}C NMR 150 MHz spectrometer in CDCl$_3$
N$_3$
N(SO$_2$Ph)$_2$
Br
2u
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

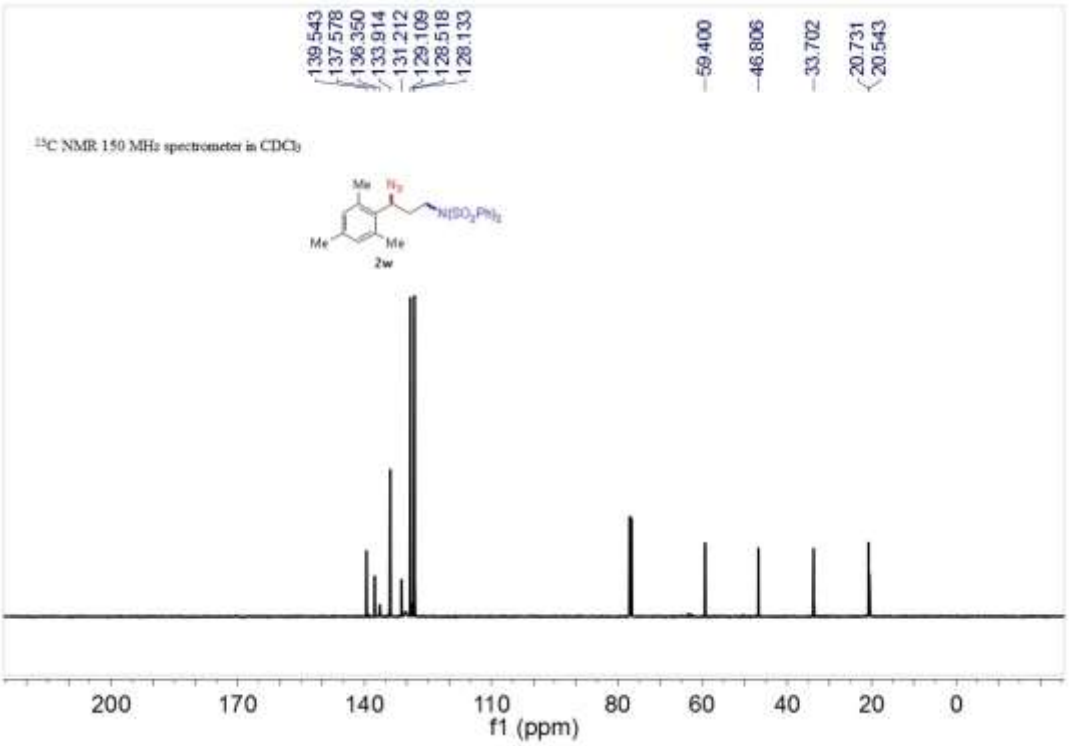
Compound 2v


7.969
7.957
7.515
7.242
7.072
7.061
7.044
7.030
4.673
4.665
4.658
4.650
3.827
3.765
3.739
3.714
2.332
2.273
2.238
2.179
2.170
2.161
2.156
2.153
2.147
2.139
2.131
2.121
2.112
2.104
2.096
2.088
2.080
2.073
2.065
2.057
¹H NMR 600 MHz spectrometer in CDCl₃
Me
N₂
N(SO₂Ph)₂
Me
2v
3.88
1.89
1.01
1.96
0.99
1.00
1.03
1.00
3.09
3.02
2.05
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)

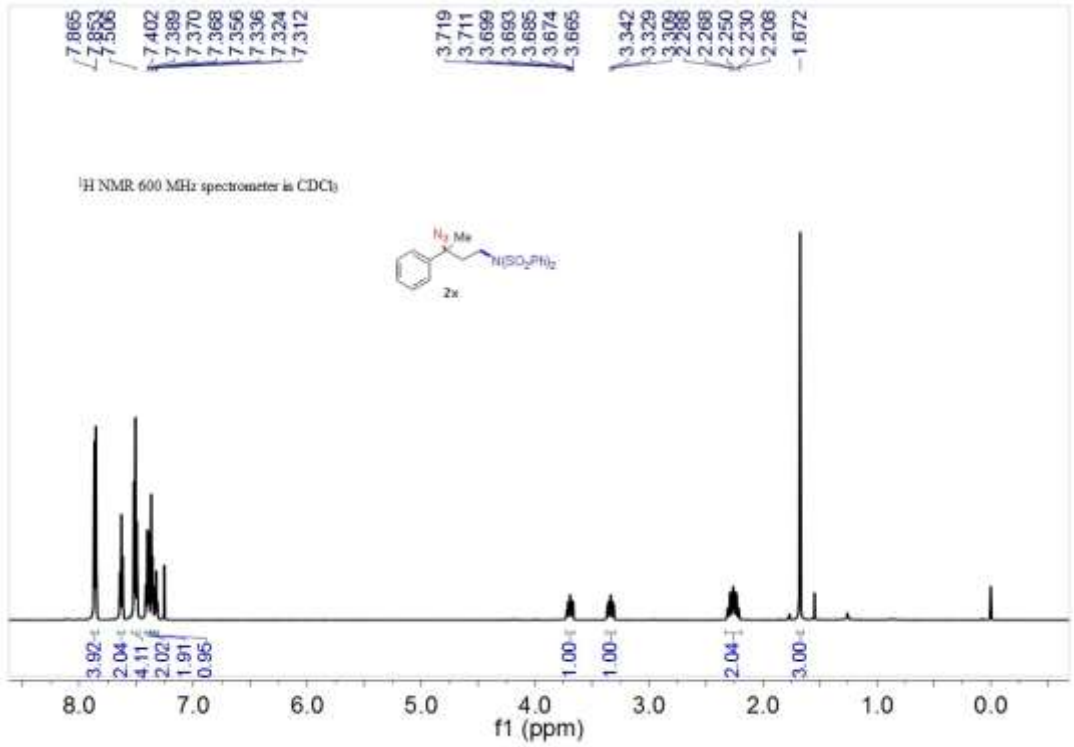

139.516
136.025
136.000
133.917
132.266
130.866
129.116
128.966
128.133
126.794
59.864
46.439
35.110
21.057
18.634
¹³C NMR 150 MHz spectrometer in CDCl₃
Me
N₂
N(SO₂Ph)₂
Me
2v
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

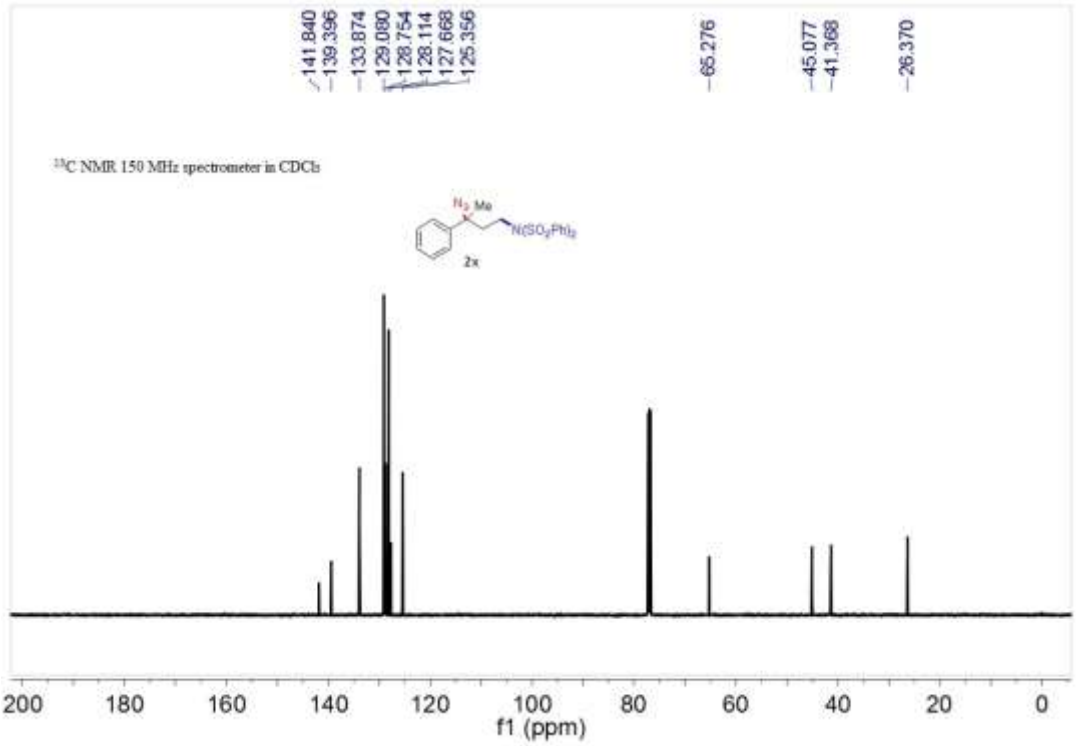
Compound 2w


7.976
7.964
7.645
7.546
7.520
6.838
5.048
5.040
5.031
3.872
3.678
3.668
3.661
3.652
3.643
3.636
2.306
2.233
2.211
2.194
2.050
2.035
1.563
¹H NMR 600 MHz spectrometer in CDCl₃
Me
N₂
N(SO₂Ph)₂
Me
Me
2w
3.94
2.02
4.00
1.94
1.00
1.05
1.04
9.04
1.04
1.07
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

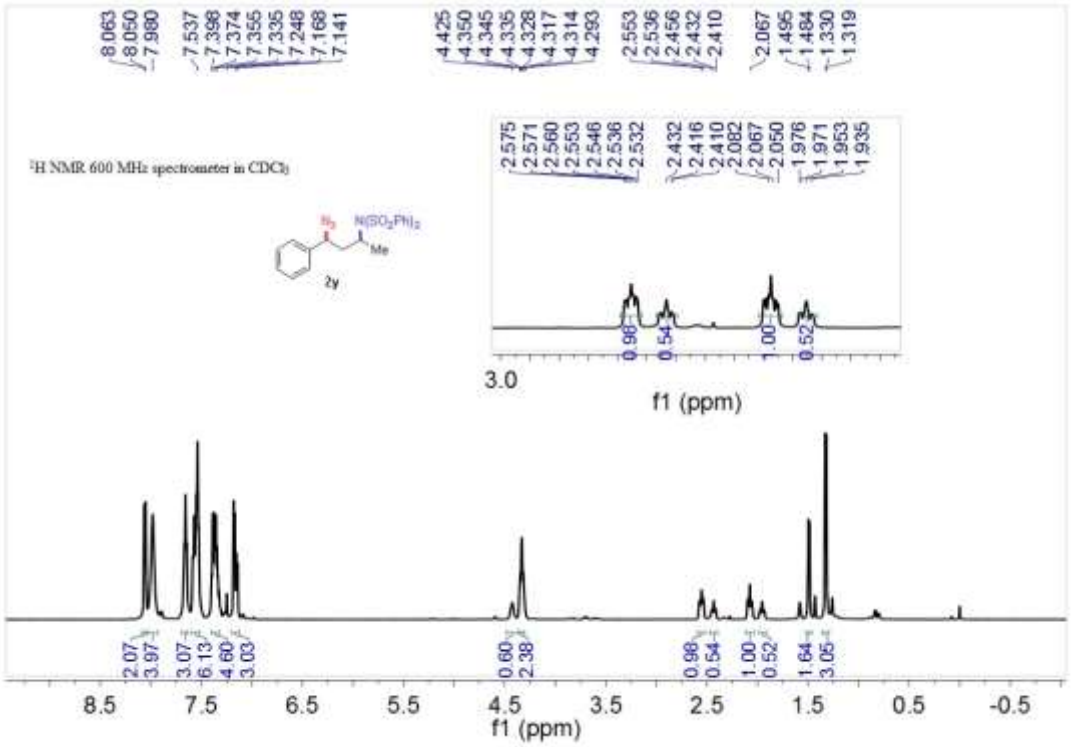

139.543
137.578
136.350
133.914
131.212
129.109
128.518
128.133
59.400
46.806
33.702
20.731
20.543
¹³C NMR 150 MHz spectrometer in CDCl₃
Me
N₂
N(SO₂Ph)₂
Me
Me
2w
200
170
140
110
80
60
40
20
0
f1 (ppm)

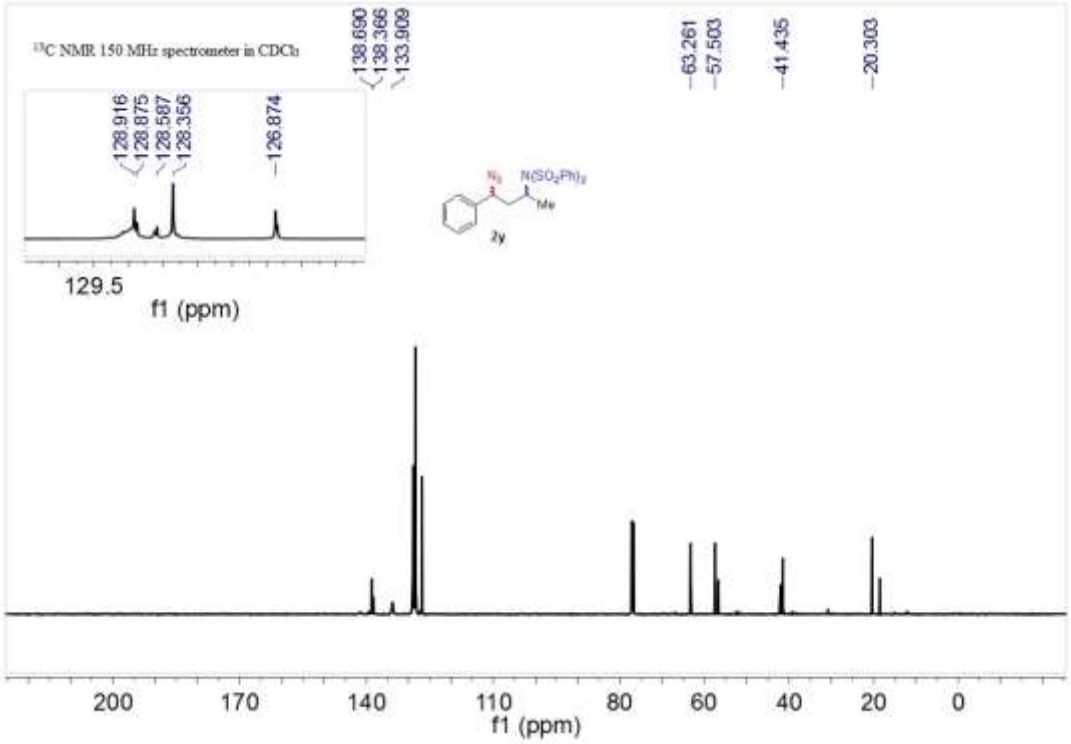
Compound 2x


7.865
7.853
7.506
7.402
7.389
7.370
7.368
7.356
7.336
7.324
7.312
3.719
3.711
3.699
3.693
3.685
3.674
3.665
3.342
3.329
2.268
2.250
2.230
2.206
1.672
¹H NMR 600 MHz spectrometer in CDCl₃
N₃ Me
N(SO₂Ph)₂
2x
3.92
2.04
4.11
2.02
1.91
0.95
1.00
1.00
2.04
3.00
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

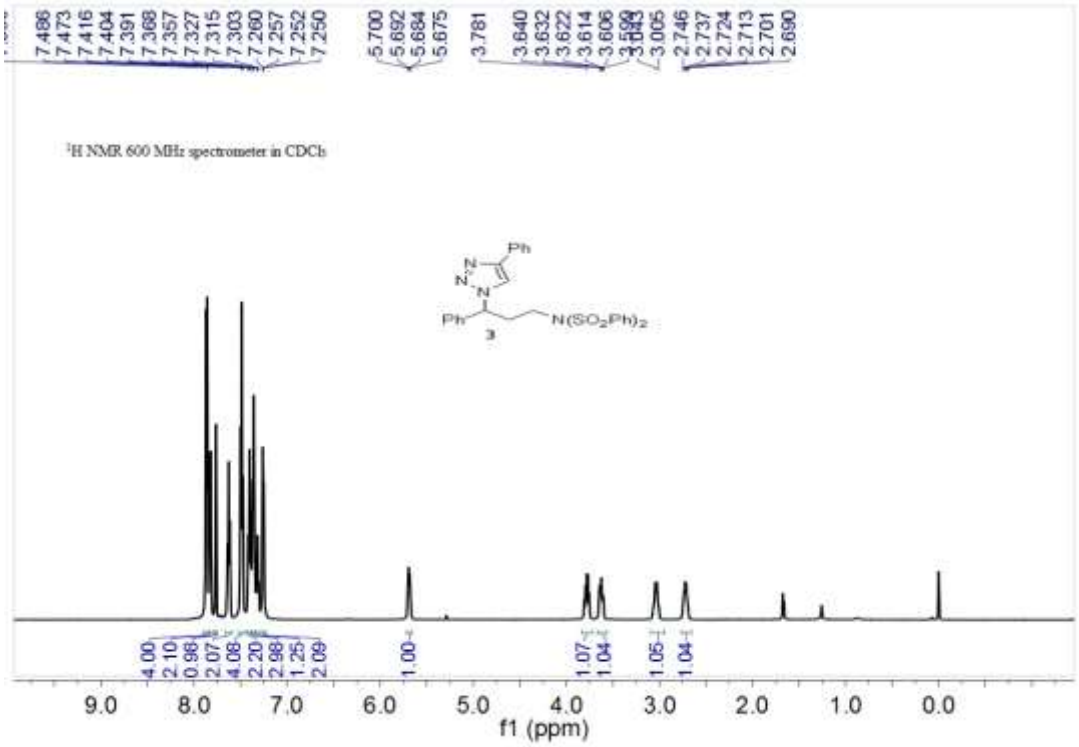

141.840
139.396
133.874
129.080
128.754
128.114
127.668
125.356
65.276
45.077
41.368
26.370
¹³C NMR 150 MHz spectrometer in CDCl₃
N₃ Me
N(SO₂Ph)₂
2x
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

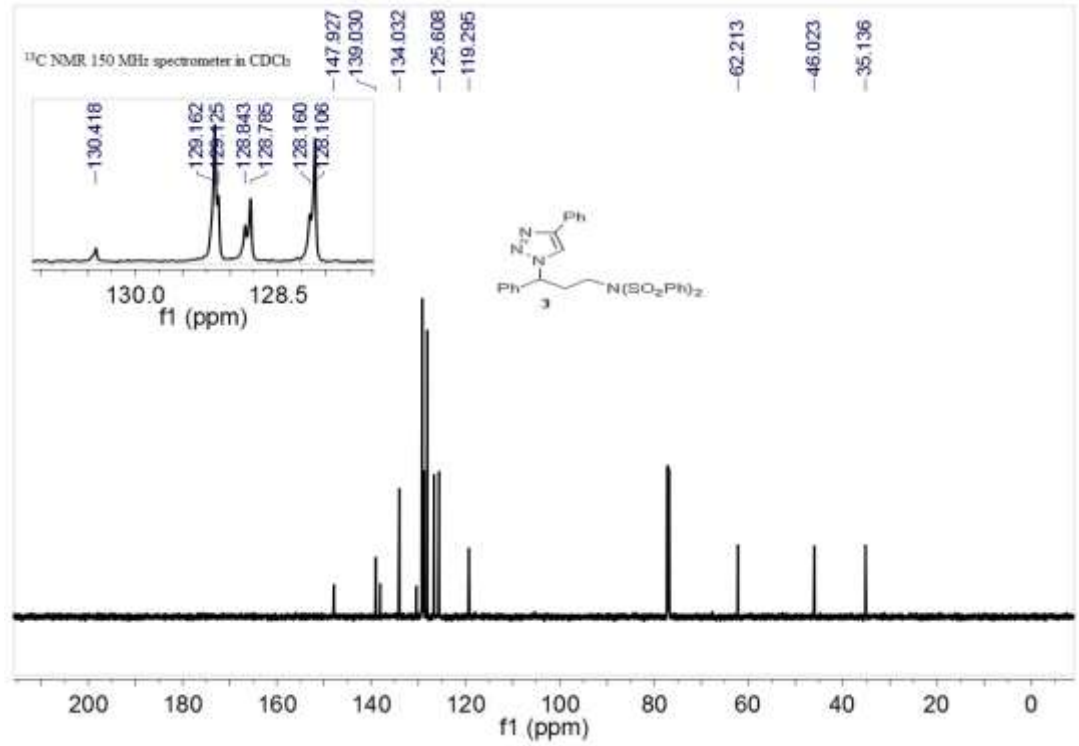
Compound 2y


8.063
8.050
7.980
7.537
7.398
7.374
7.355
7.335
7.248
7.168
7.141
4.425
4.350
4.345
4.335
4.328
4.317
4.314
4.293
2.553
2.536
2.456
2.432
2.410
2.067
1.495
1.484
1.330
1.319
^{1}H NMR 600 MHz spectrometer in CDCl$_3$
2y
2.575
2.571
2.560
2.553
2.546
2.536
2.532
2.432
2.416
2.410
2.082
2.067
2.050
1.976
1.971
1.953
1.935
0.98
0.54
1.00
0.52
3.0
f1 (ppm)
2.07
3.97
3.07
6.13
4.60
3.03
0.60
2.38
0.98
0.54
1.00
0.52
1.64
3.05
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)

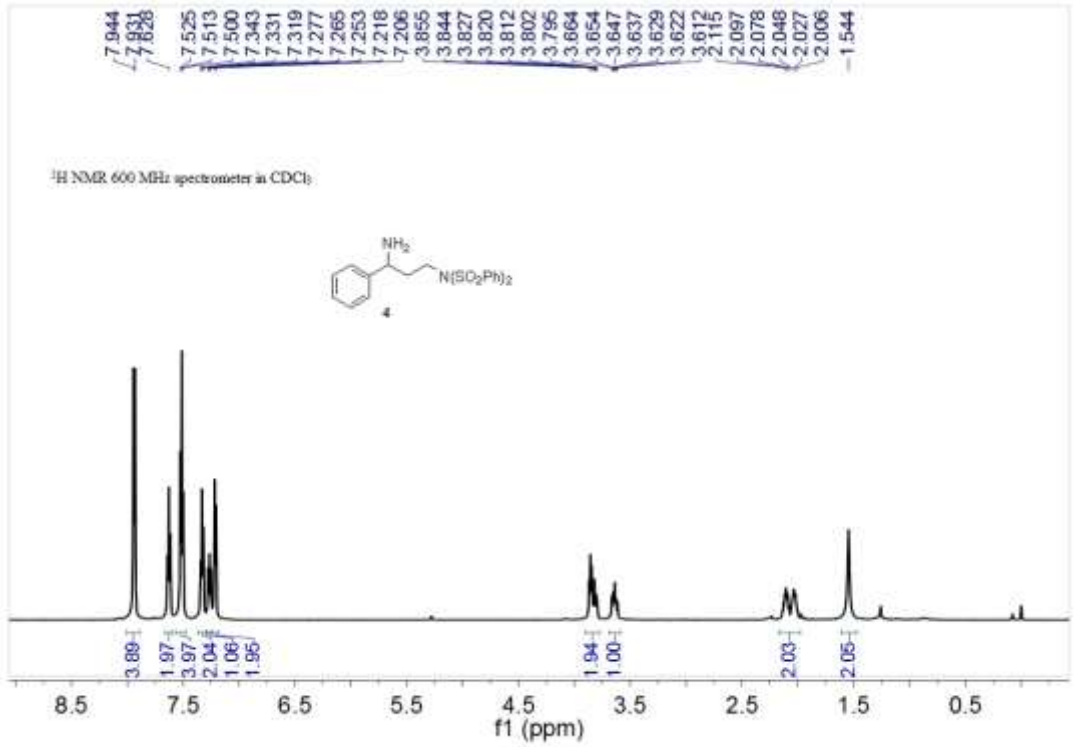

^{13}C NMR 150 MHz spectrometer in CDCl$_3$
138.690
138.366
133.909
63.261
57.503
41.435
20.303
128.916
128.875
128.587
128.356
126.874
2y
129.5
f1 (ppm)
200
170
140
110
80
60
40
20
0
f1 (ppm)

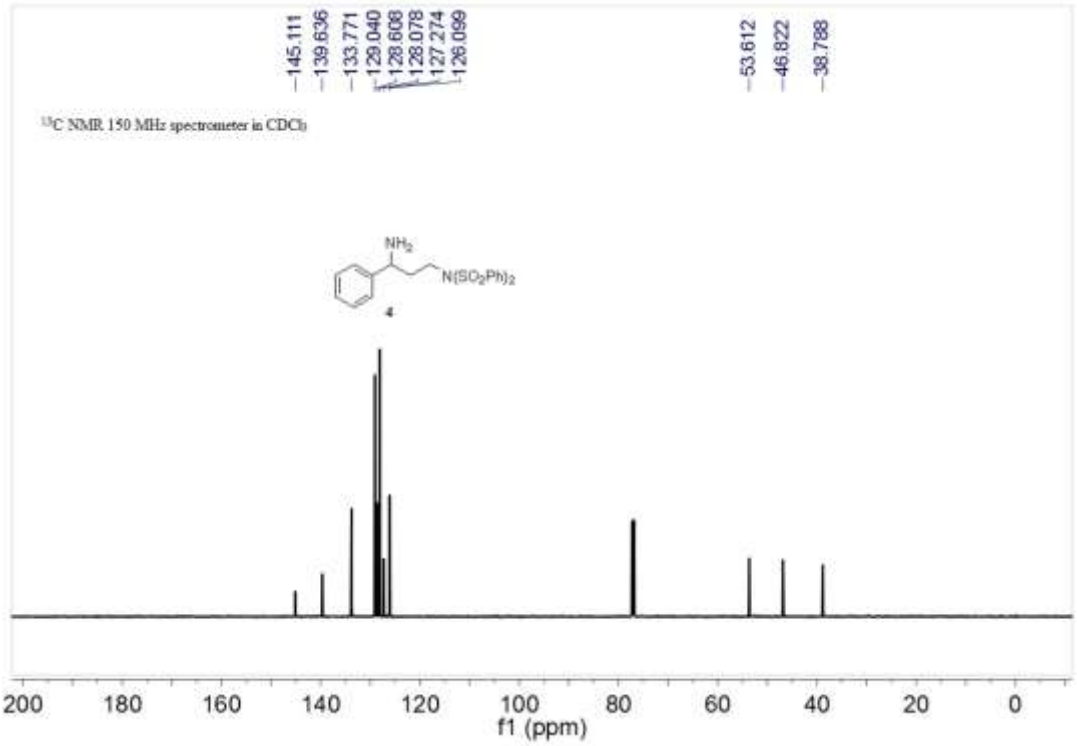
Compound 3


^{1}H NMR 600 MHz spectrometer in CDCl$_3$
7.486
7.473
7.416
7.404
7.391
7.368
7.357
7.327
7.315
7.303
7.260
7.257
7.252
7.250
5.700
5.692
5.684
5.675
3.781
3.640
3.632
3.622
3.614
3.606
3.005
2.746
2.737
2.724
2.713
2.701
2.690
Ph
N(SO$_2$Ph)$_2$
3
4.00
2.10
0.98
2.07
4.08
2.20
2.98
1.25
2.09
1.00
1.07
1.04
1.05
1.04
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

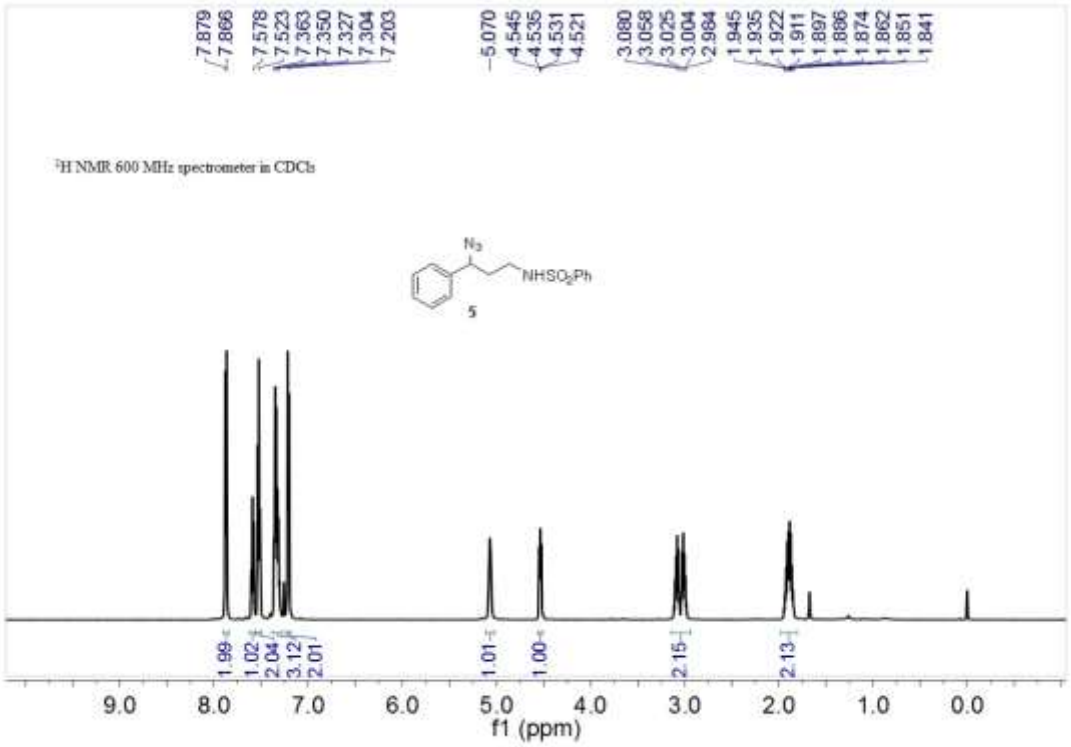

^{13}C NMR 150 MHz spectrometer in CDCl$_3$
147.927
139.030
134.032
125.608
119.295
62.213
46.023
35.136
130.418
129.162
129.125
128.843
128.785
128.160
128.106
130.0
128.5
f1 (ppm)
Ph
N(SO$_2$Ph)$_2$
3
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

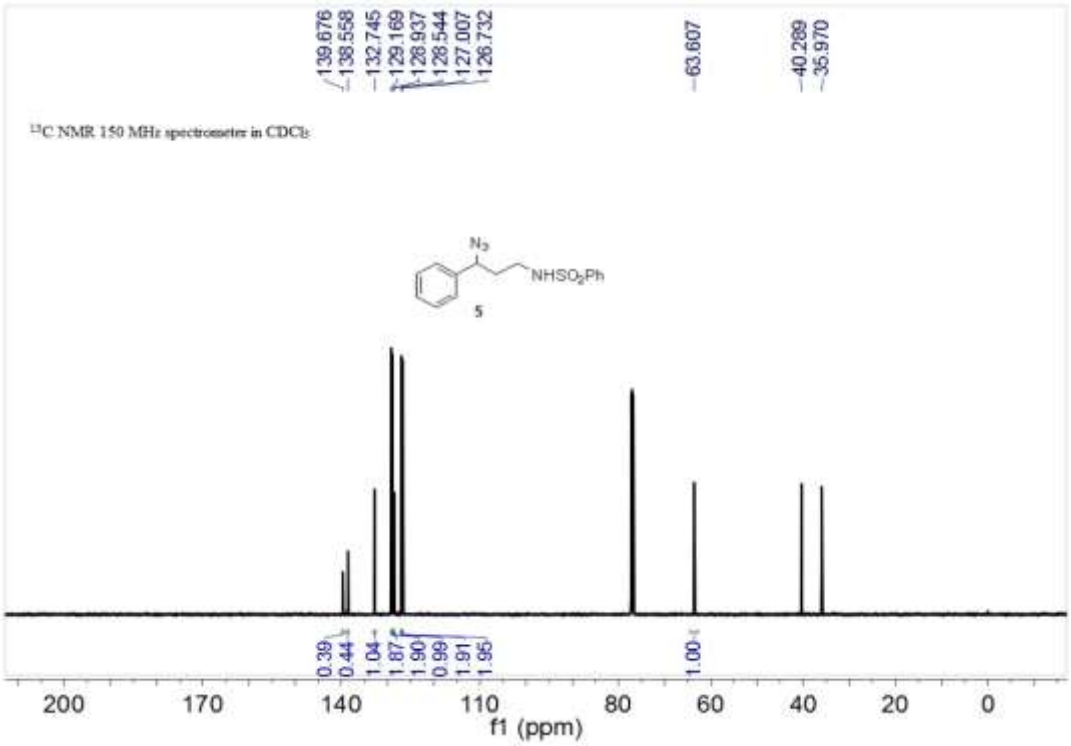
Compound 4


7.944
7.931
7.828
7.525
7.513
7.500
7.343
7.331
7.319
7.277
7.265
7.253
7.218
7.206
3.855
3.844
3.827
3.820
3.812
3.802
3.795
3.664
3.654
3.647
3.637
3.629
3.622
3.612
2.115
2.097
2.078
2.048
2.027
2.006
1.544
^{1}H NMR 600 MHz spectrometer in CDCl$_3$
NH$_2$
N(SO$_2$Ph)$_2$
4
3.89
1.97
3.97
2.04
1.06
1.95
1.94
1.00
2.03
2.05
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
f1 (ppm)

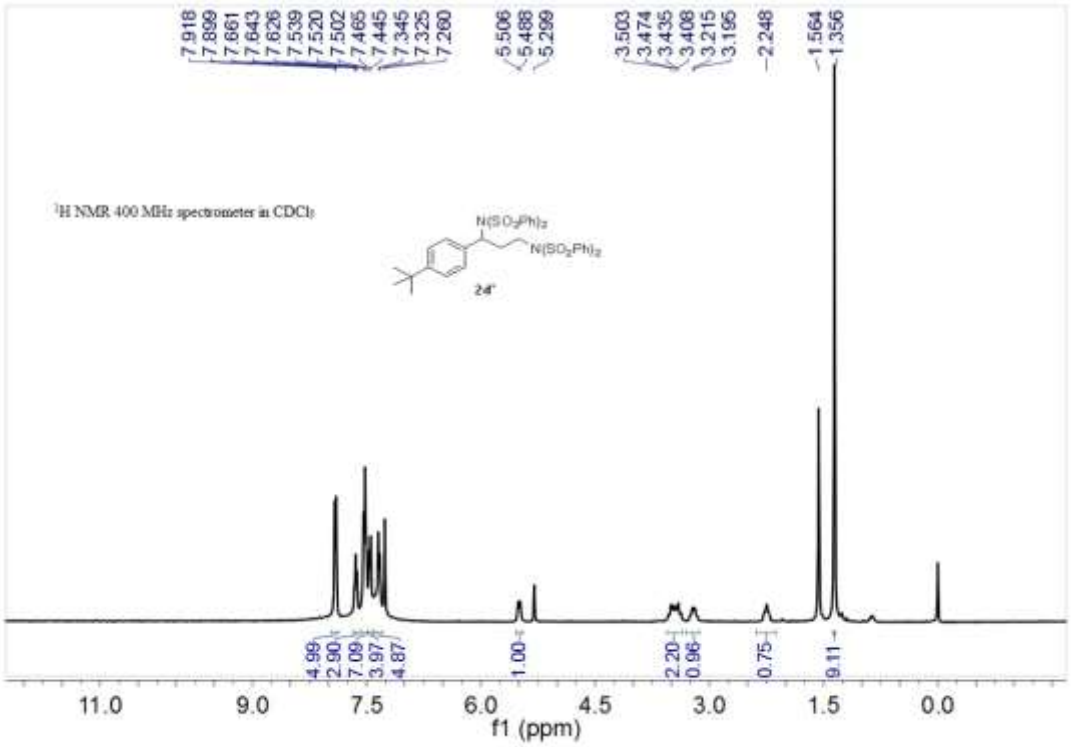

145.111
139.636
133.771
129.040
128.606
128.078
127.274
126.099
53.612
46.822
38.788
^{13}C NMR 150 MHz spectrometer in CDCl$_3$
NH$_2$
N(SO$_2$Ph)$_2$
4
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

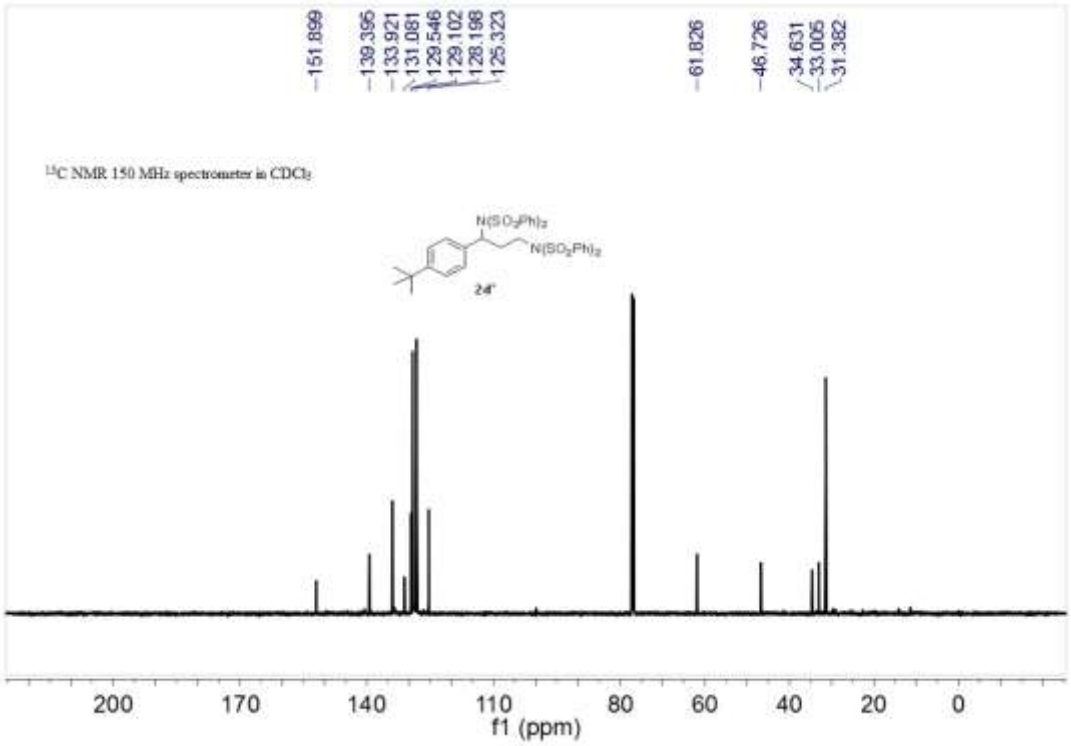
Compound 5


7.879
7.866
7.578
7.523
7.363
7.350
7.327
7.304
7.203
5.070
4.545
4.535
4.531
4.521
3.080
3.058
3.025
3.004
2.984
1.945
1.935
1.922
1.911
1.897
1.886
1.874
1.862
1.851
1.841
¹H NMR 600 MHz spectrometer in CDCl₃
N₃
NHSO₂Ph
5
1.99
1.02
2.04
3.12
2.01
1.01
1.00
2.15
2.13
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)


139.676
138.558
132.745
129.169
128.937
128.544
127.007
126.732
63.607
40.289
35.970
¹³C NMR 150 MHz spectrometer in CDCl₃
N₃
NHSO₂Ph
5
0.39
0.44
1.04
1.87
1.90
0.99
1.91
1.95
1.00
200
170
140
110
80
60
40
20
0
f1 (ppm)

Compound 2d'


7.918
7.899
7.661
7.643
7.626
7.539
7.520
7.502
7.465
7.445
7.345
7.325
7.260
5.506
5.488
5.299
3.503
3.474
3.435
3.408
3.215
3.195
2.248
1.564
1.356
¹H NMR 400 MHz spectrometer in CDCl₃
N(SO₂Ph)₂
N(SO₂Ph)₂
24'
4.99
2.90
7.09
3.97
4.87
1.00
2.20
0.96
0.75
9.11
11.0
9.0
7.5
6.0
4.5
3.0
1.5
0.0
f1 (ppm)


151.899
139.396
133.921
131.081
129.546
129.102
128.198
125.323
61.826
46.726
34.631
33.005
31.382
¹³C NMR 150 MHz spectrometer in CDCl₃
N(SO₂Ph)₂
N(SO₂Ph)₂
24'
200
170
140
110
80
60
40
20
0
f1 (ppm)