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Supporting Information

Gold(I)-Catalyzed Intramolecular Hydroamination and Ring-Opening of Sulfonamide-Substituted 2-(Arylmethylene)cyclopropylcarbinols

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General Remarks. ^{1}H and ^{13}C NMR spectra were recorded at 400 (or 300) and 100 (or 75) MHz, respectively. Mass and HRMS spectra were recorded by ESI or EI method. The employed solvents were dry up by standard methods when necessary. Commercially obtained reagents were used without further purification. All reactions were monitored by TLC with silica gel coated plates. Flash column chromatography was carried out using 300-400 mesh silica gel at increased pressure.

General procedure for Au(I)-catalyzed intramolecular hydroamination and ring-opening of sulfonamide-substituted 2-(arylmethylene)cyclopropylcarbinols under the standard reaction conditions. Under an argon atmosphere, sulfonamide-substituted 2-(arylmethylene)cyclopropylcarbinol **1** (0.2 mmol, 1.0 equiv) was dissolved in 1,2-dichloroethane (DCE) (2.0 mL) in an Schlenk tube, and $(Ph_3P)AuCl/AgOTf$ (10 mol %) were added. Then, the reaction mixture was stirred at 80 ^{o}C until the reaction completed. The solvent was removed under reduced pressure and the residue was purified by a flash column chromatography (SiO_2) to give the corresponding products **2** in moderate to excellent yields.

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Optimization of reaction conditions

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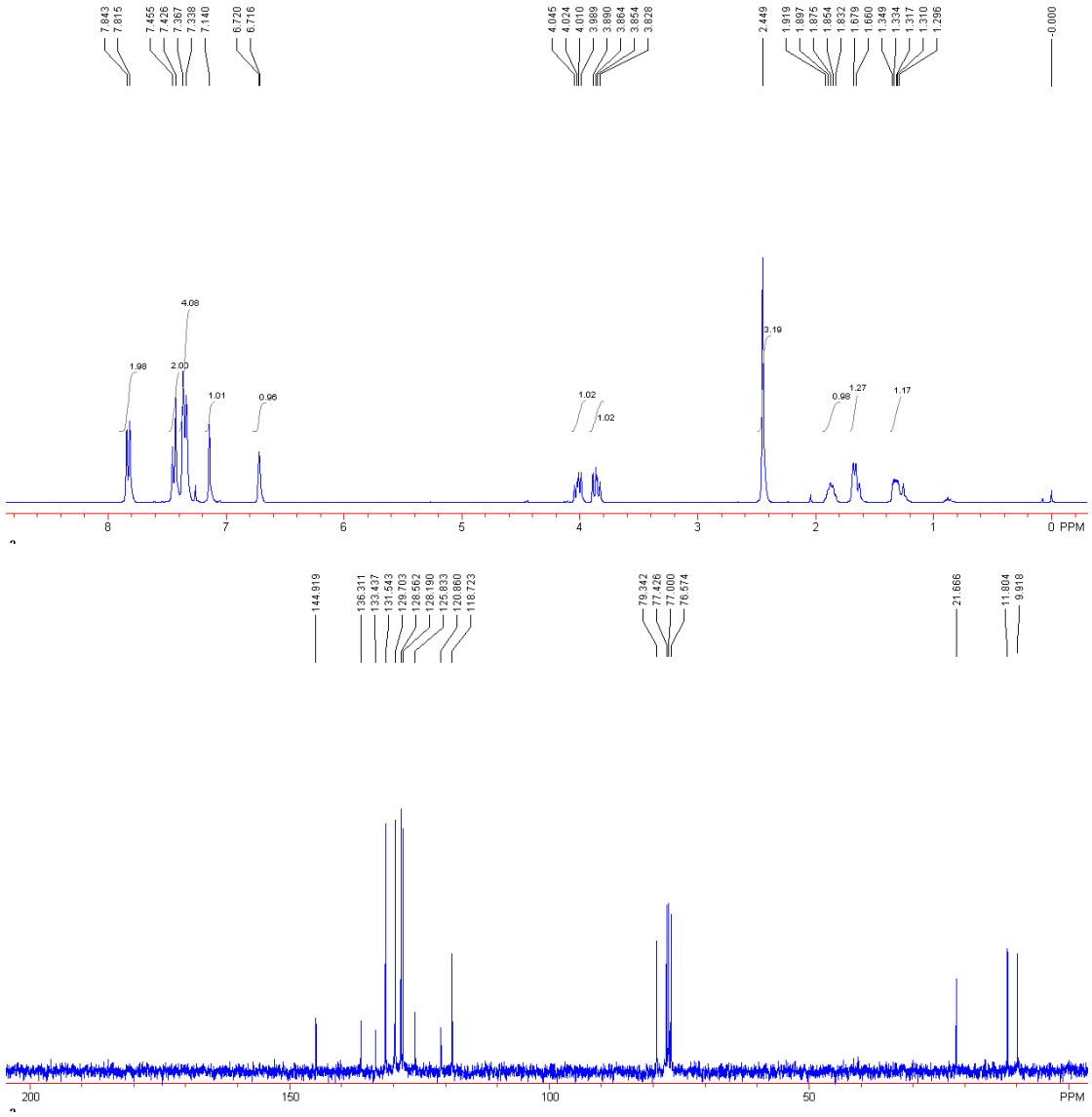
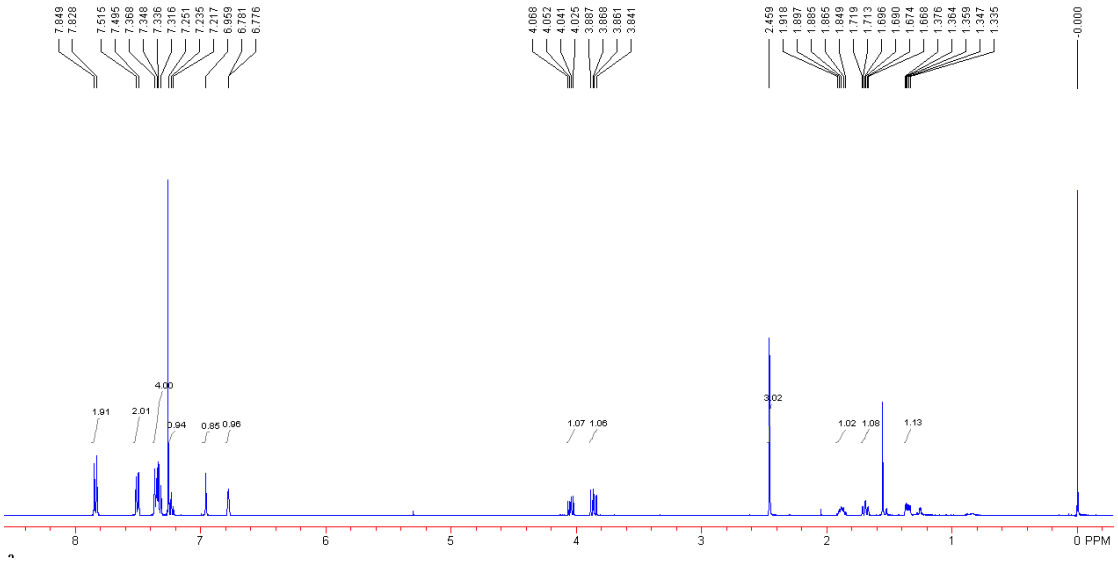
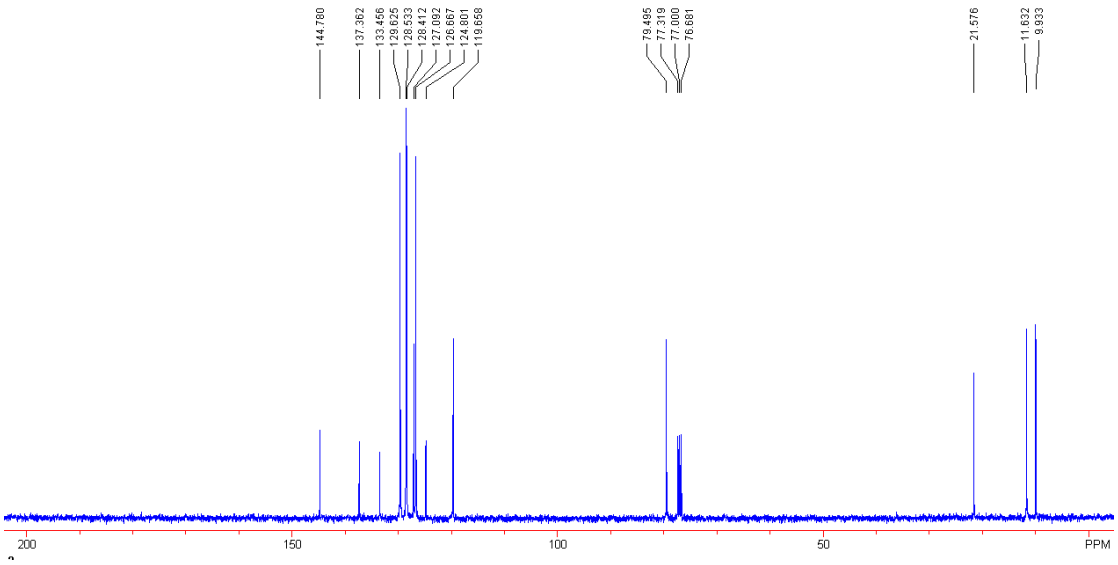
General procedures for the preparation of starting materials[1]

Compound 1a: A white solid. Mp: 113-115 ^{o}C. IR (CH_2Cl_2) ν 3242, 2930, 1597, 1486, 1395, 1163, 1007, 817, 718 cm^{-1}. ^{1}H NMR ($CDCl_3$, 300 MHz, TMS) δ 1.30-1.35 (m, 1H, CH_2), 1.66-1.68 (m, 1H, CH_2), 1.83-1.92 (m, 1H, CH), 2.45 (s, 3H, CH_3), 3.86 (dd, J = 10.8 Hz, J = 7.8 Hz, 1H, CH_2), 4.02 (dd, J = 10.8 Hz, J = 6.3 Hz, 1H, CH_2), 6.72 (d, J = 1.2 Hz, 1H, CH), 7.14 (s, 1H, NH), 7.35 (d, J = 8.7 Hz, 4H, Ar), 7.44 (d, J = 8.7 Hz, 2H, Ar), 7.83 (d, J = 8.7 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 75 MHz, TMS) δ 9.9, 11.8, 21.7, 79.3, 118.7, 120.9, 125.8, 128.2, 128.6, 129.7, 131.5, 133.4, 136.3, 144.9. MS (ESI) *m/e* 408 (M^{+}+H). HRMS (ESI) Calcd. for $C_{18}H_{19}NO_3SBr$ (M^{+}+H): 408.0264, Found: 408.0261.

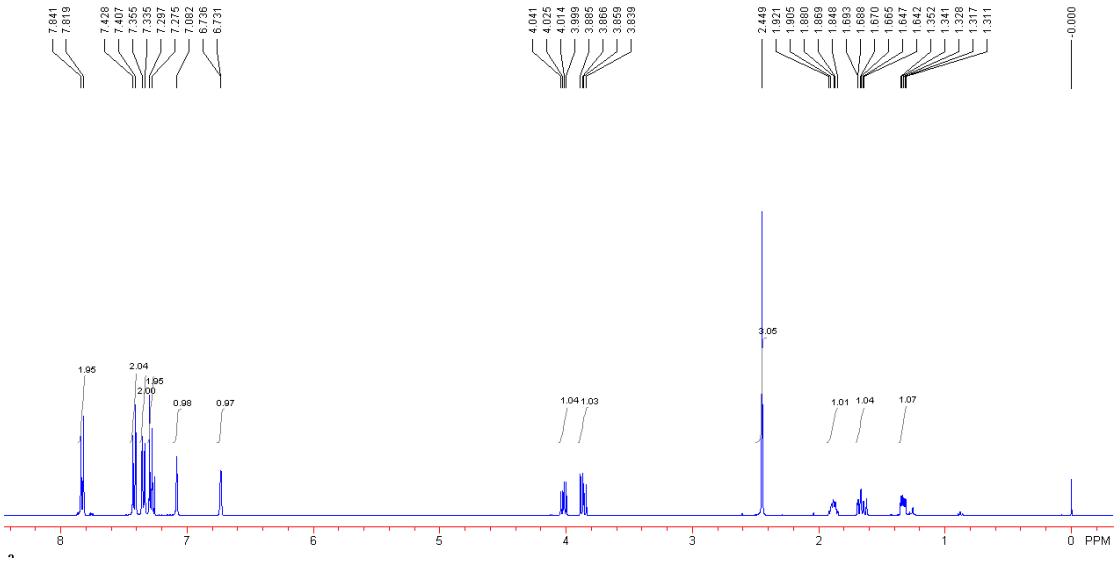


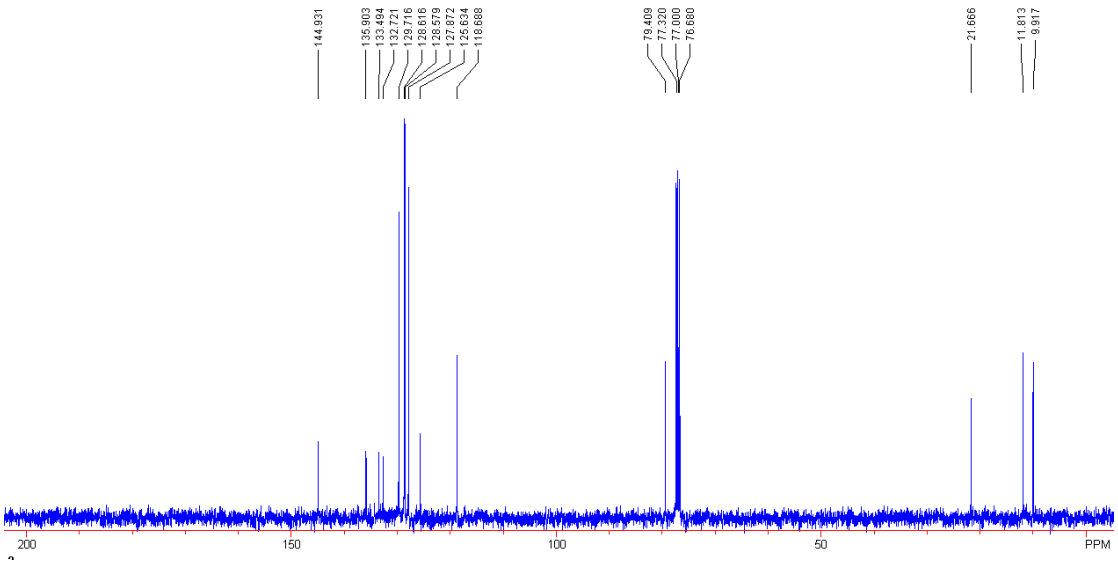
Compound 1b: A white solid. Mp: 118-120 ^{o}C. IR (CH_2Cl_2) ν 3229, 1331, 1163, 1020, 817, 717 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 1.34-1.38 (m, 1H, CH_2), 1.67-1.72 (m, 1H, CH_2), 1.85-1.92 (m, 1H, CH), 2.46 (s, 3H, CH_3), 3.86 (dd, J = 10.8 Hz, J = 8.0 Hz, 1H, CH_2), 4.05 (dd, J = 10.8 Hz, J = 6.4 Hz, 1H, CH_2), 6.78 (d, J = 2.0 Hz, 1H, CH), 6.96 (s, 1H, NH), 7.24 (t, J = 7.2 Hz, 1H, Ar), 7.32-7.37 (m, 4H, Ar), 7.50 (d, J = 8.4 Hz, 2H, Ar), 7.84 (d, J = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 9.9, 11.6, 21.6, 79.5, 119.6, 124.8, 126.7, 127.1, 128.4, 128.5, 129.6, 133.4, 137.4, 144.8. MS (ESI) *m/e* 330 (M^{+}+H). HRMS (ESI) Calcd. for $C_{18}H_{20}NO_3S$ (M^{+}+H): 330.1158, Found: 330.1166.



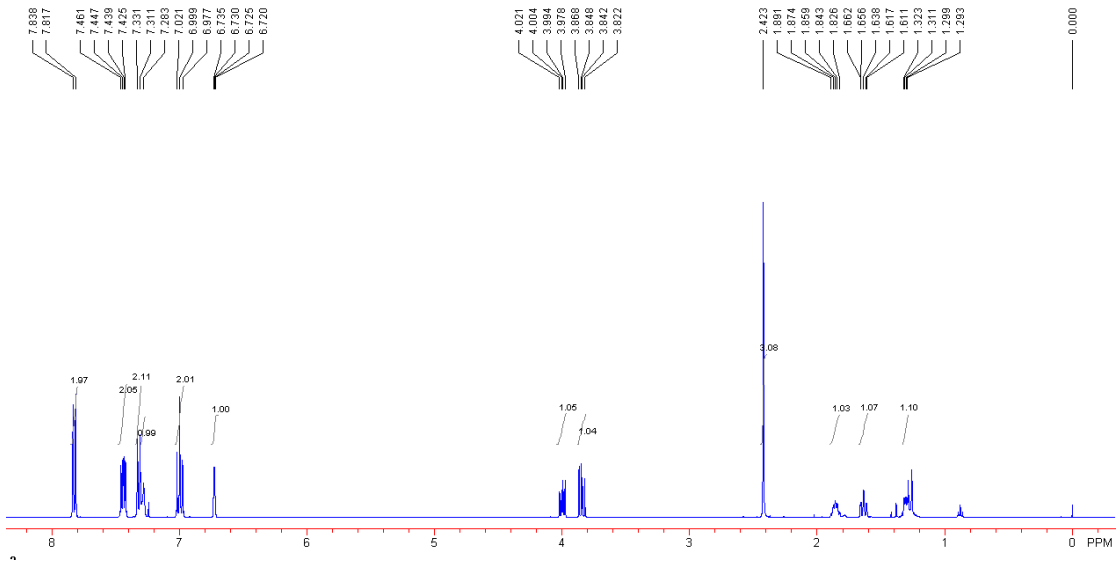


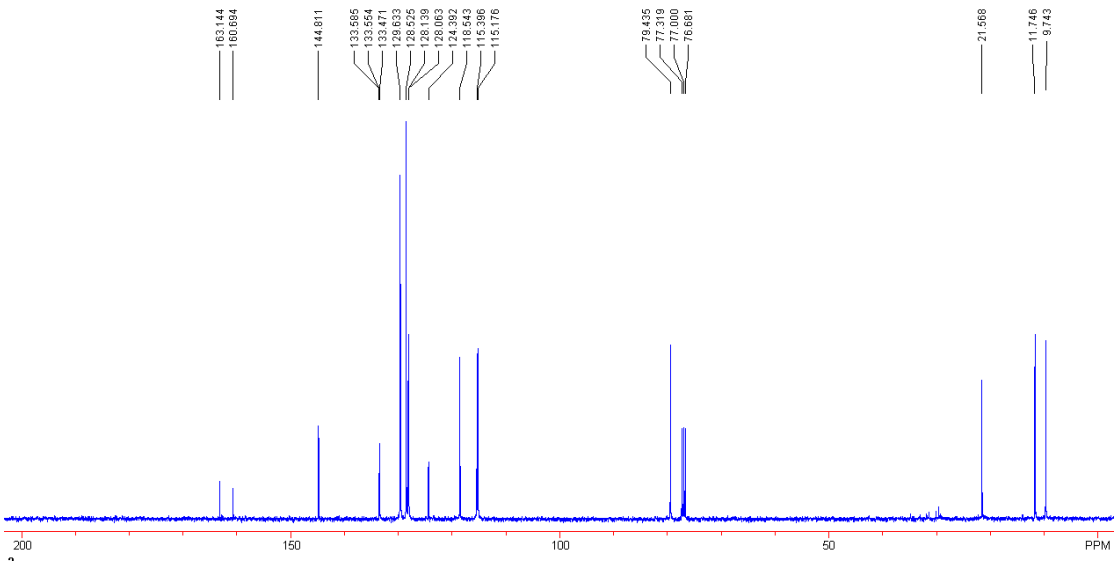
Compound 1c: A white solid. Mp: 106-108 ^{o}C. IR (CH_2Cl_2) ν 3240, 1597, 1489, 1327, 1162, 1089, 811, 712 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 1.31-1.35 (m, 1H, CH_2), 1.64-1.69 (m, 1H, CH_2), 1.85-1.92 (m, 1H, CH), 2.45 (s, 3H, CH_3), 3.86 (dd, J = 10.8 Hz, J = 8.0 Hz, 1H, CH_2), 4.02 (dd, J = 10.8 Hz, J = 6.4 Hz, 1H, CH_2), 6.73 (d, J = 2.0 Hz, 1H, CH), 7.08 (s, 1H, NH), 7.29 (d, J = 8.8 Hz, 2H, Ar), 7.34 (d, J = 8.0 Hz, 2H, Ar), 7.42 (d, J = 8.0 Hz, 2H, Ar), 7.83 (d, J = 8.8 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 9.9, 11.8, 21.7, 79.4, 118.7, 125.6, 127.9, 128.58, 128.62, 129.7, 132.7, 133.5, 135.9, 144.9. MS (ESI) *m/e* 386 (M^++Na). HRMS (ESI) Calcd. for $C_{18}H_{18}NO_3SClNa$ (M^++Na): 386.0588, Found: 386.0596.

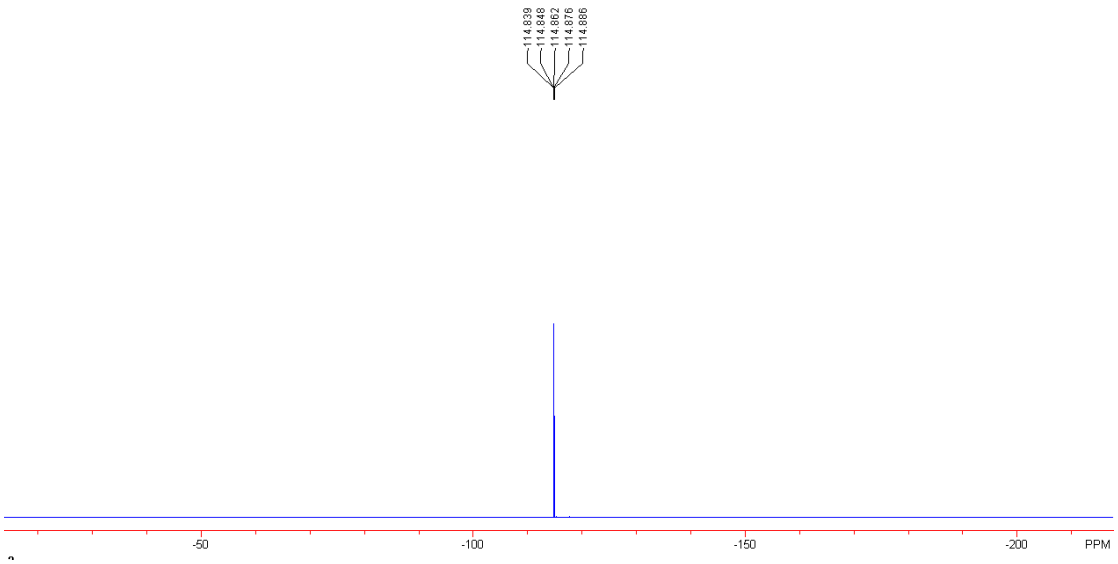




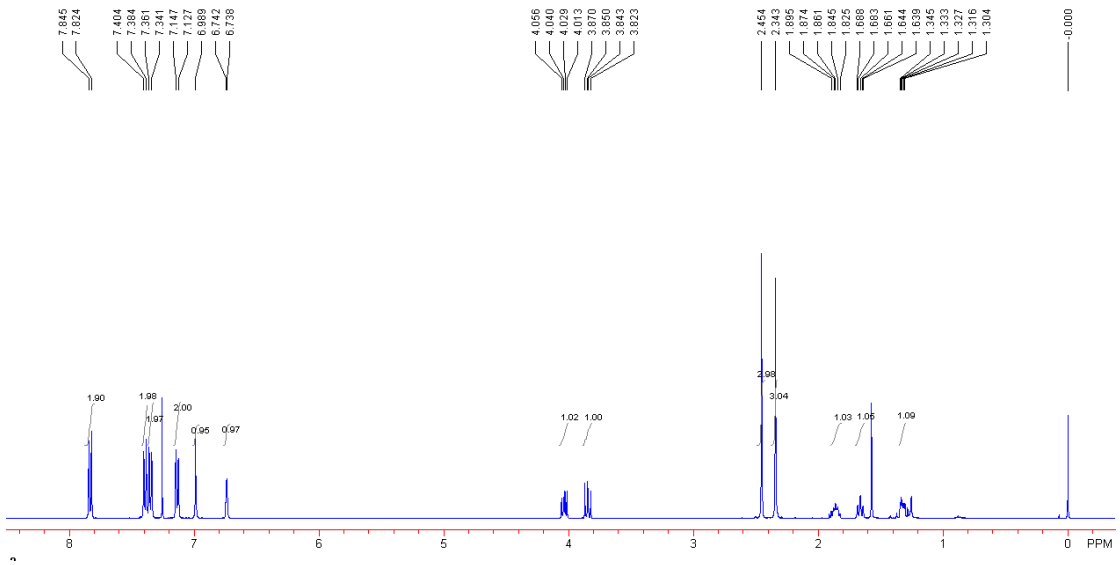
Compound 1d: A white solid. Mp: 130-132 ^{o}C. IR (CH_2Cl_2) ν 3225, 1508, 1334, 1165, 813, 728 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 1.29-1.32 (m, 1H, CH_2), 1.61-1.66 (m, 1H, CH_2), 1.83-1.89 (m, 1H, CH), 2.42 (s, 3H, CH_3), 3.84 (dd, *J* = 10.4 Hz, *J* = 8.0 Hz, 1H, CH_2), 4.00 (dd, *J* = 10.4 Hz, *J* = 6.4 Hz, 1H, CH_2), 6.73 (q, *J* = 2.0 Hz, 1H, CH), 7.00 (t, *J* = 8.8 Hz, 2H, Ar), 7.28 (s, 1H, NH), 7.32 (d, *J* = 8.0 Hz, 2H, Ar), 7.42-7.46 (m, 2H, Ar), 7.83 (d, *J* = 8.0 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 9.7, 11.7, 21.6, 79.4, 115.3 (d, *J* = 22.0 Hz), 118.5, 124.4, 128.1 (d, *J* = 7.6 Hz), 128.5, 129.6, 133.5, 133.6 (d, *J* = 3.1 Hz), 144.8, 161.9 (d, *J* = 245.0 Hz). ^{19}F NMR ($CDCl_3$, 376 MHz, CF_3COOH) δ -114.89 ~ -114.84 (m). MS (ESI) *m/e* 370 (M^++Na). HRMS (ESI) Calcd. for $C_{18}H_{18}NO_3FSNa$ (M^++Na): 370.0884, Found: 370.0888.

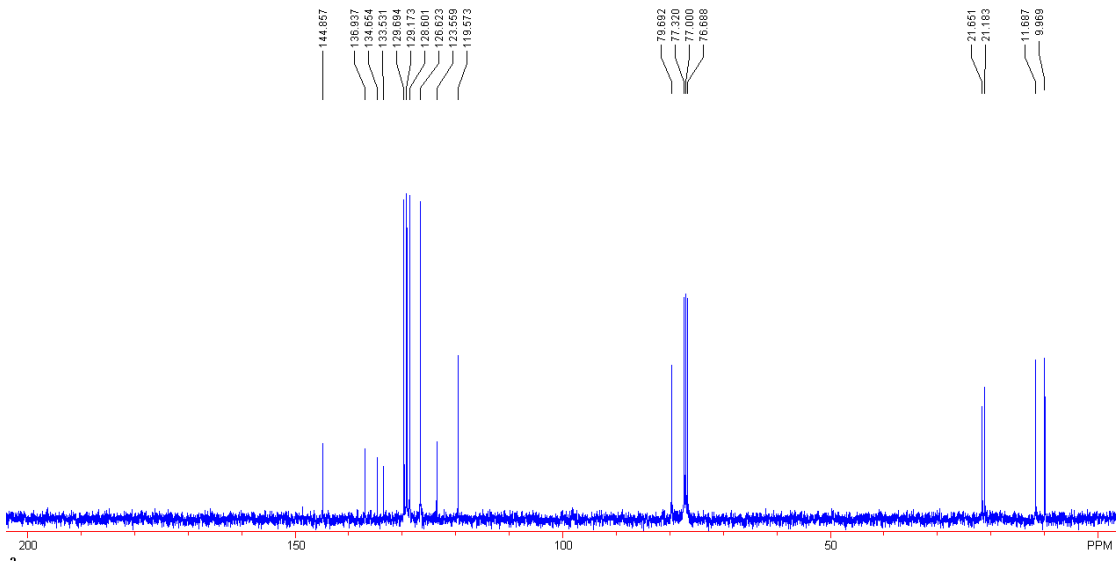



163.144
160.694
144.811
133.585
133.554
133.471
129.633
128.525
128.139
128.063
124.392
118.543
115.396
115.176
79.435
77.319
77.000
76.681
21.568
11.746
9.743
200
150
100
50
PPM

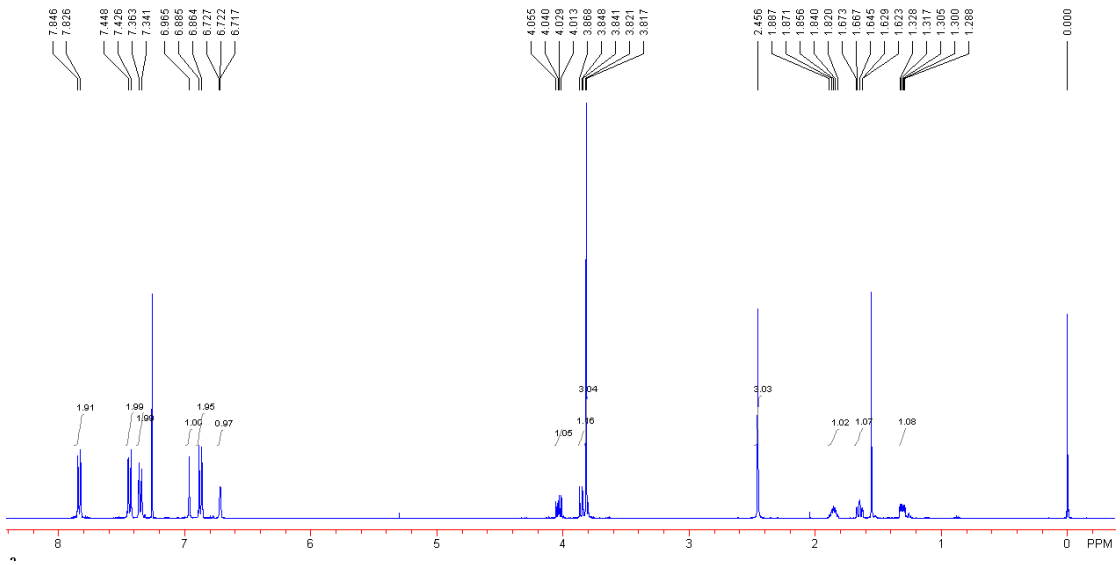

114.839
114.848
114.862
114.876
114.886
-50
-100
-150
-200
PPM

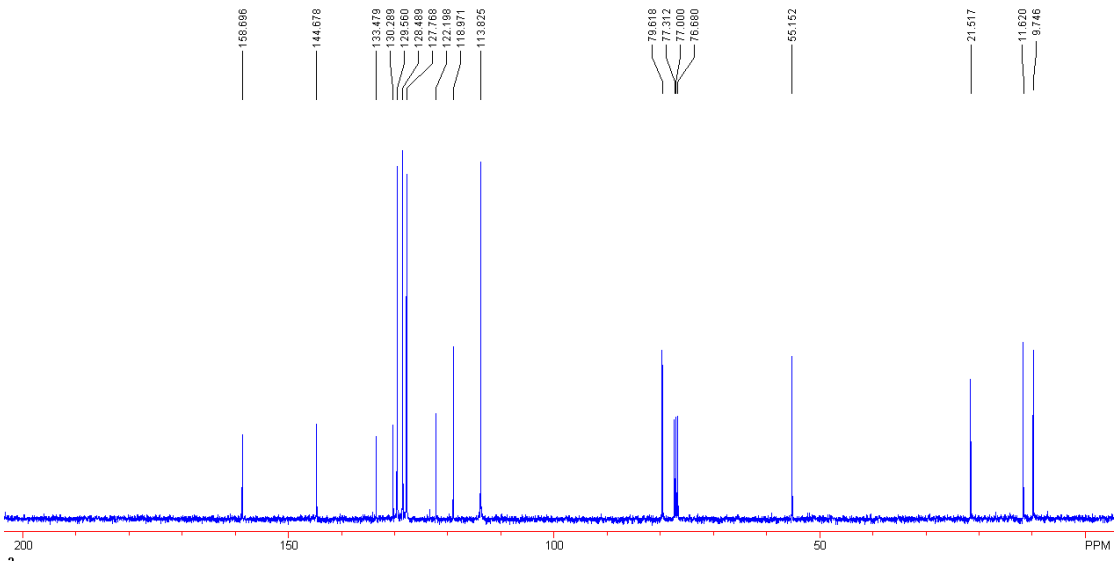
Compound 1e: A white solid. Mp: 120-122 ^{o}C. IR (CH_2Cl_2) ν 3226, 2921, 1328, 1163, 1029, 807, 712 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 1.30-1.34 (m, 1H, CH_2), 1.64-1.69 (m, 1H, CH_2), 1.82-1.90 (m, 1H, CH), 2.34 (s, 3H, CH_3), 2.45 (s, 3H, CH_3), 3.85 (dd, J = 10.8 Hz, J = 8.0 Hz, 1H, CH_2), 4.03 (dd, J = 10.8 Hz, J = 6.4 Hz, 1H, CH_2), 6.74 (d, J = 1.6 Hz, 1H, CH), 6.99 (s, 1H, NH), 7.14 (d, J = 8.0 Hz, 2H, Ar), 7.35 (d, J = 8.0 Hz, 2H, Ar), 7.39 (d, J = 8.0 Hz, 2H, Ar), 7.83 (d, J = 8.0 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 10.0, 11.7, 21.2, 21.6, 79.7, 119.6, 123.6, 126.6, 128.6, 129.2, 129.7, 133.5, 134.6, 136.9, 144.8. MS (ESI) *m/e* 344 (M^++H). HRMS (ESI) Calcd. for $C_{19}H_{22}NO_3S$ (M^++H): 344.1315, Found: 344.1313.



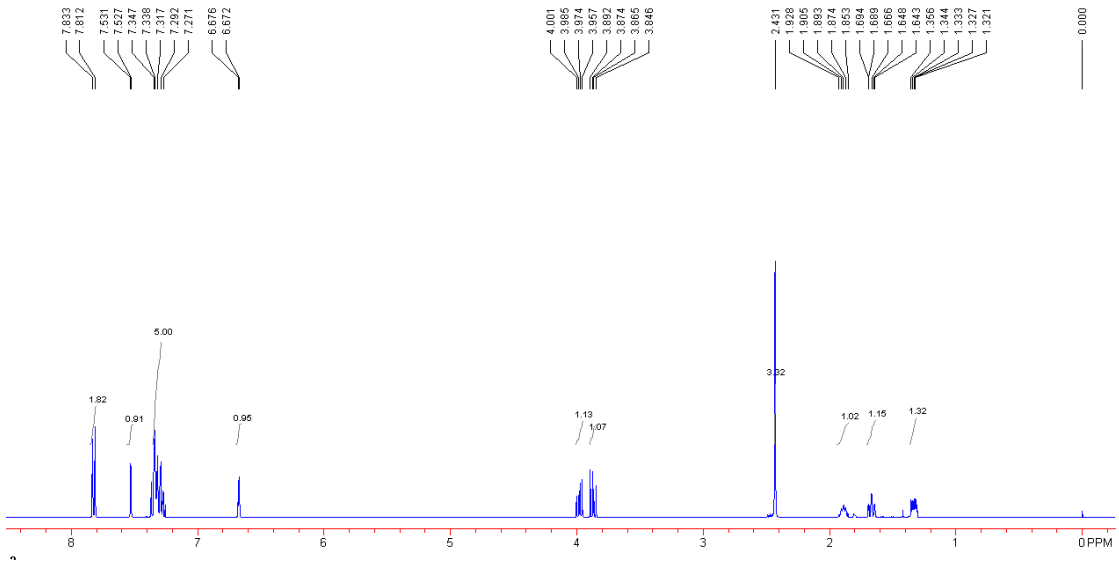

144.857
136.937
134.654
133.531
129.694
129.173
128.601
126.623
123.559
119.573
79.692
77.320
77.000
76.688
21.651
21.183
11.687
9.969
200
150
100
50
PPM

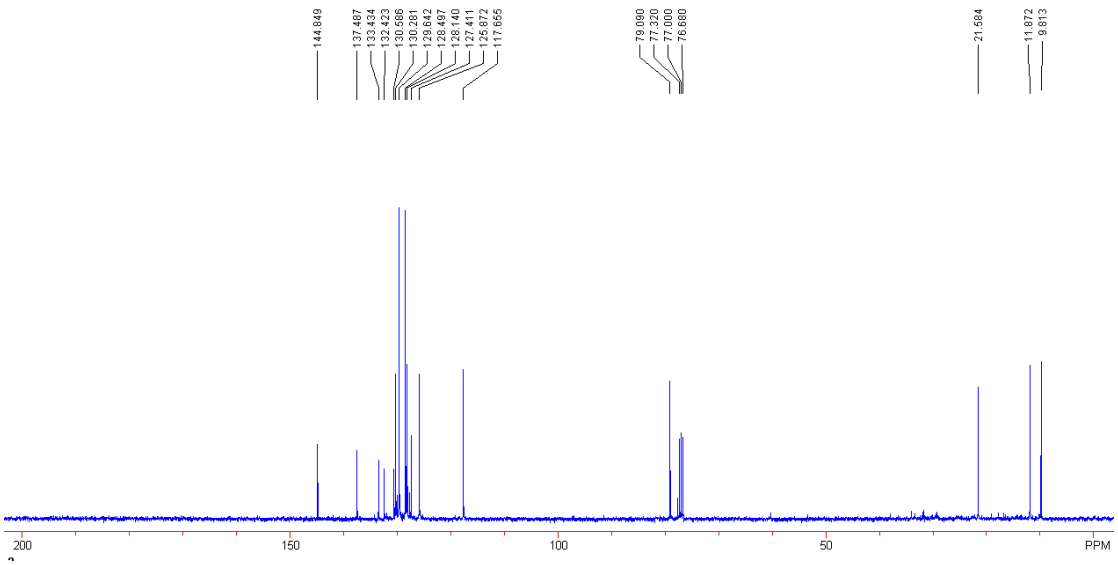
Compound 1f: A white solid. Mp: 86-88 ^{o}C. IR (CH_2Cl_2) ν 3226, 2928, 1511, 1328, 1164, 1028, 815, 729 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 1.29-1.33 (m, 1H, CH_2), 1.62-1.67 (m, 1H, CH_2), 1.82-1.89 (m, 1H, CH), 2.46 (s, 3H, CH_3), 3.82 (s, 3H, CH_3O), 3.84 (dd, *J* = 10.8 Hz, *J* = 8.0 Hz, 1H, CH_2), 4.03 (dd, *J* = 10.8 Hz, *J* = 6.4 Hz, 1H, CH_2), 6.72 (q, *J* = 2.0 Hz, 1H, CH), 6.87 (d, *J* = 8.4 Hz, 2H, Ar), 6.96 (s, 1H, NH), 7.35 (d, *J* = 8.8 Hz, 2H, Ar), 7.44 (d, *J* = 8.8 Hz, 2H, Ar), 7.84 (d, *J* = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 9.7, 11.6, 21.5, 55.2, 79.6, 113.8, 119.0, 122.2, 127.8, 128.5, 129.6, 130.3, 133.5, 144.7, 158.7. MS (ESI) *m/e* 360 (M^++H). HRMS (ESI) Calcd. for $C_{19}H_{22}NO_4S$ (M^++H): 360.1264, Found: 360.1271.



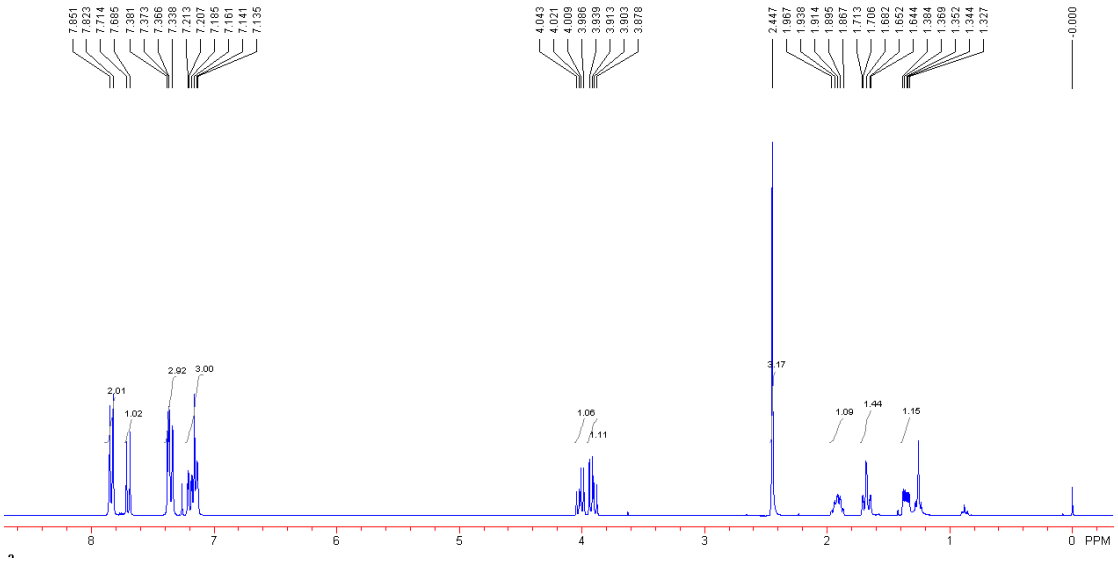

158.696
144.678
133.479
130.289
129.560
128.489
127.768
122.198
118.971
113.825
79.618
77.312
77.000
76.680
55.152
21.517
11.620
9.746
200
150
100
50
PPM

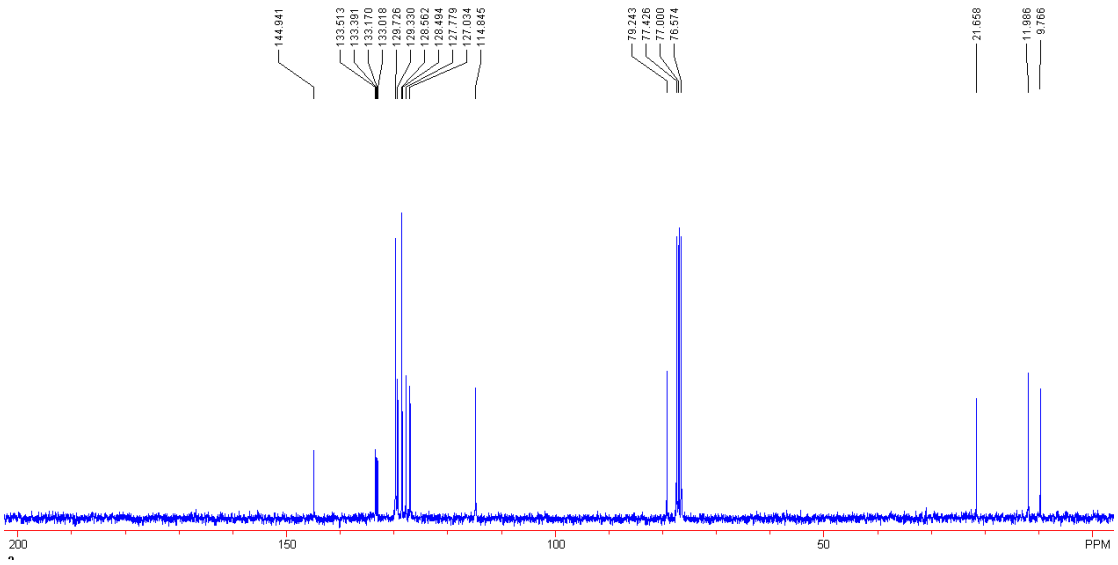
Compound 1g: A white solid. Mp: 94-96 ^{o}C. IR (CH_2Cl_2) ν 3200, 2921, 1471, 1326, 1161, 809, 731 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 1.32-1.36 (m, 1H, CH_2), 1.64-1.69 (m, 1H, CH_2), 1.85-1.93 (m, 1H, CH), 2.43 (s, 3H, CH_3), 3.87 (dd, *J* = 11.2 Hz, *J* = 7.6 Hz, 1H, CH_2), 3.98 (dd, *J* = 10.8 Hz, *J* = 6.8 Hz, 1H, CH_2), 6.67 (q, *J* = 1.6 Hz, 1H, CH), 7.27-7.35 (m, 5H, Ar), 7.53 (d, *J* = 1.6 Hz, 1H, Ar), 7.82 (d, *J* = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 9.8, 11.9, 21.6, 79.1, 117.6, 125.9, 127.4, 128.1, 128.5, 129.6, 130.3, 130.6, 132.4, 133.4, 137.5, 144.8. MS (ESI) *m/e* 420 (M^++Na). HRMS (ESI) Calcd. for $C_{18}H_{17}Cl_2NNaO_3S$ (M^++Na): 420.0198, Found: 420.0194.



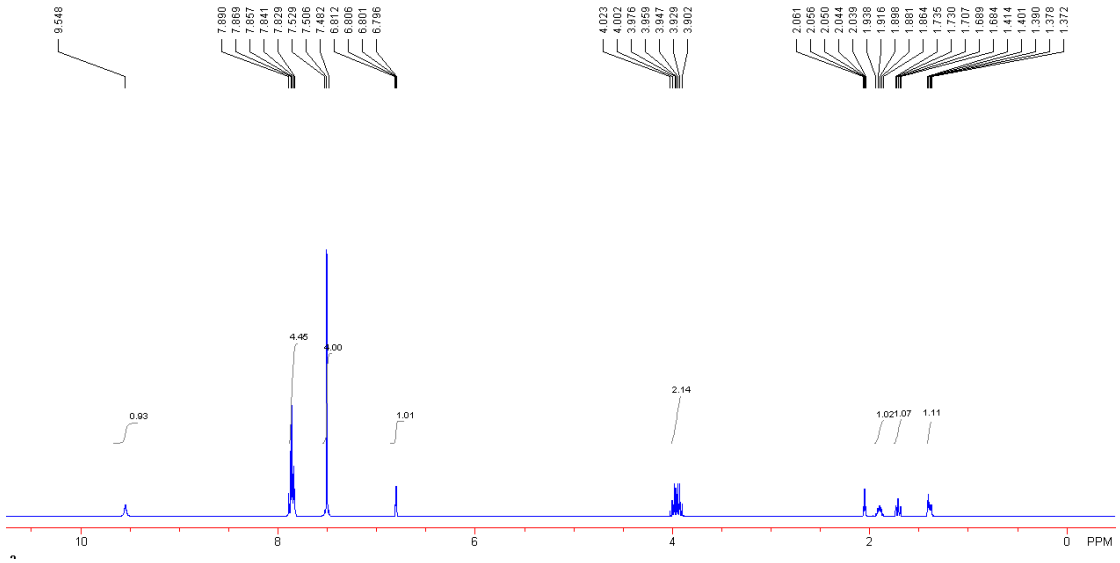

144.849
137.487
133.434
132.423
130.586
130.281
129.642
128.497
128.140
127.411
125.872
117.655
79.090
77.320
77.000
76.680
21.584
11.872
9.813
200
150
100
50
PPM

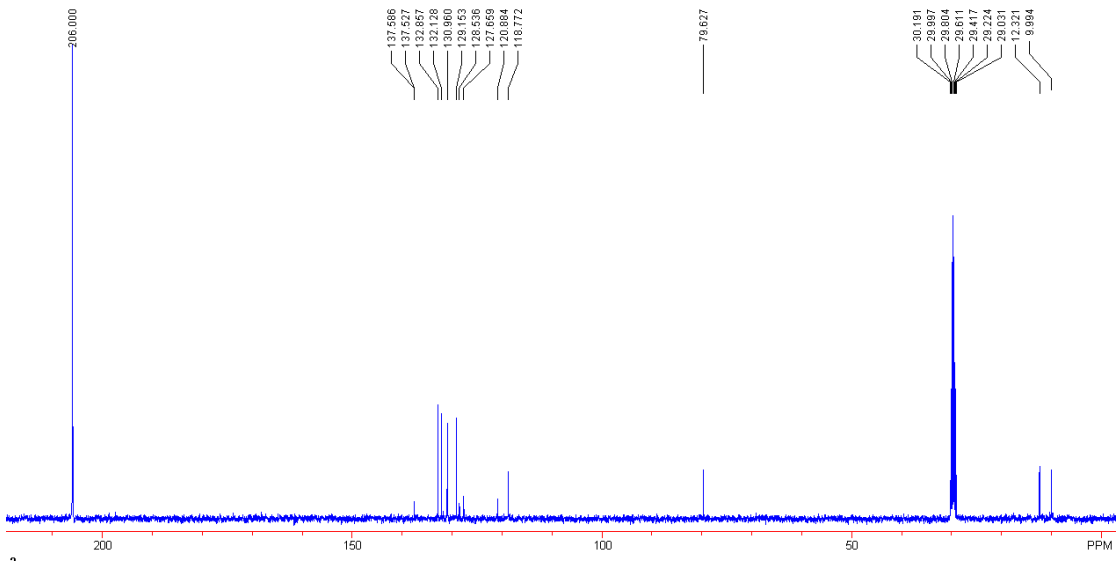
Compound 1h: A white solid. Mp: 130-132 ^{o}C. IR (CH_2Cl_2) ν 3246, 1472, 1332, 1165, 1045, 809, 725 cm^{-1}. ^{1}H NMR ($CDCl_3$, 300 MHz, TMS) δ 1.33-1.38 (m, 1H, CH_2), 1.64-1.71 (m, 1H, CH_2), 1.87-1.97 (m, 1H, CH), 2.45 (s, 3H, CH_3), 3.91 (dd, J = 10.5 Hz, J = 7.5 Hz, 1H, CH_2), 4.02 (dd, J = 10.5 Hz, J = 6.6 Hz, 1H, CH_2), 7.14-7.21 (m, 3H, Ar), 7.34-7.38 (m, 3H, Ar), 7.70 (d, J = 8.7 Hz, 1H, Ar), 7.84 (d, J = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 75 MHz, TMS) δ 9.8, 12.0, 21.6, 79.2, 114.8, 127.0, 127.8, 128.5, 128.6, 129.3, 129.7, 133.0, 133.2, 133.4, 133.5, 144.9. MS (ESI) *m/e* 420 (M^{+}+Na). HRMS (ESI) Calcd. for $C_{18}H_{17}Cl_2NNaO_3S$ (M^{+}+Na): 420.0198, Found: 420.0205.



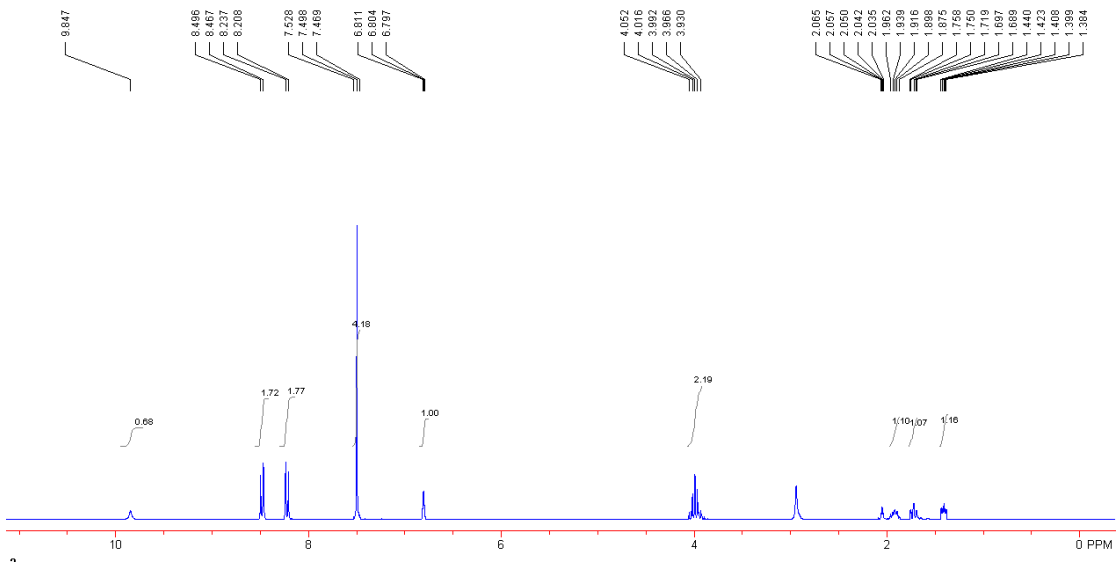


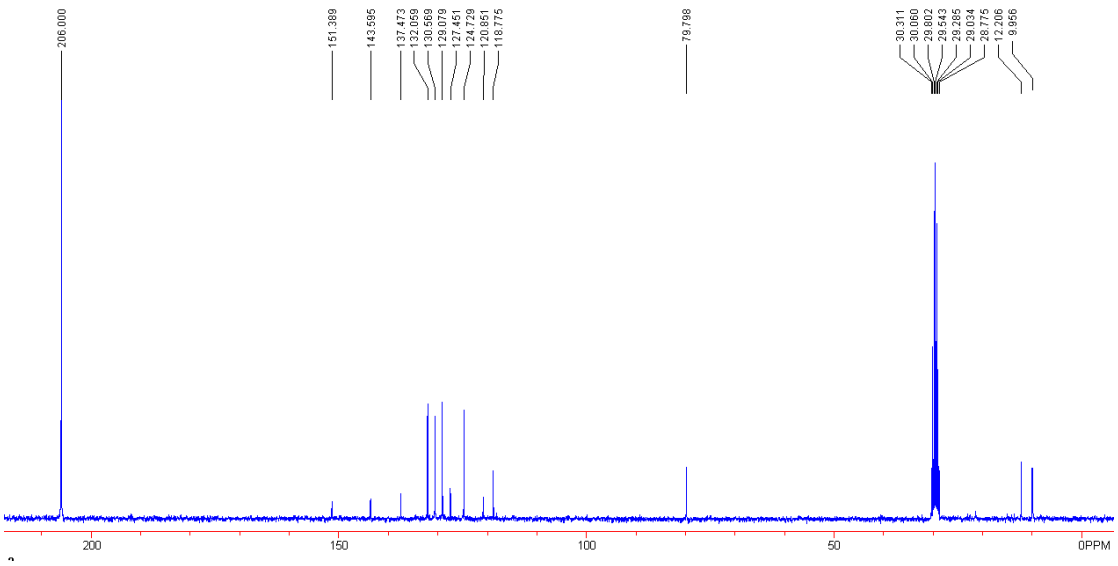
Compound 1i: A white solid. Mp: 173-175 ^{o}C. IR (CH_2Cl_2) ν 3240, 2923, 1573, 1338, 1164, 1009, 748 cm^{-1}. ^{1}H NMR (CD_3COCD_3, 400 MHz, TMS) δ 1.37-1.41 (m, 1H, CH_2), 1.68-1.74 (m, 1H, CH_2), 1.86-1.94 (m, 1H, CH), 3.90-4.02 (m, 2H, CH_2), 6.80 (q, J = 2.0 Hz, 1H, CH), 7.49 (d, J = 9.6 Hz, 2H, Ar), 7.52 (d, J = 9.6 Hz, 2H, Ar), 7.83-7.89 (m, 4H, Ar), 9.55 (s, 1H, NH). ^{13}C NMR (CD_3COCD_3, 100 MHz, TMS) δ 10.0, 12.3, 79.6, 118.8, 120.9, 127.6, 128.5, 129.2, 131.0, 132.1, 132.8, 137.5, 137.6. MS (ESI) *m/e* 494 (M^++Na). HRMS (ESI) Calcd. for $C_{17}H_{15}Br_2NNaO_3S$ (M^++Na): 493.9032, Found: 493.9019.



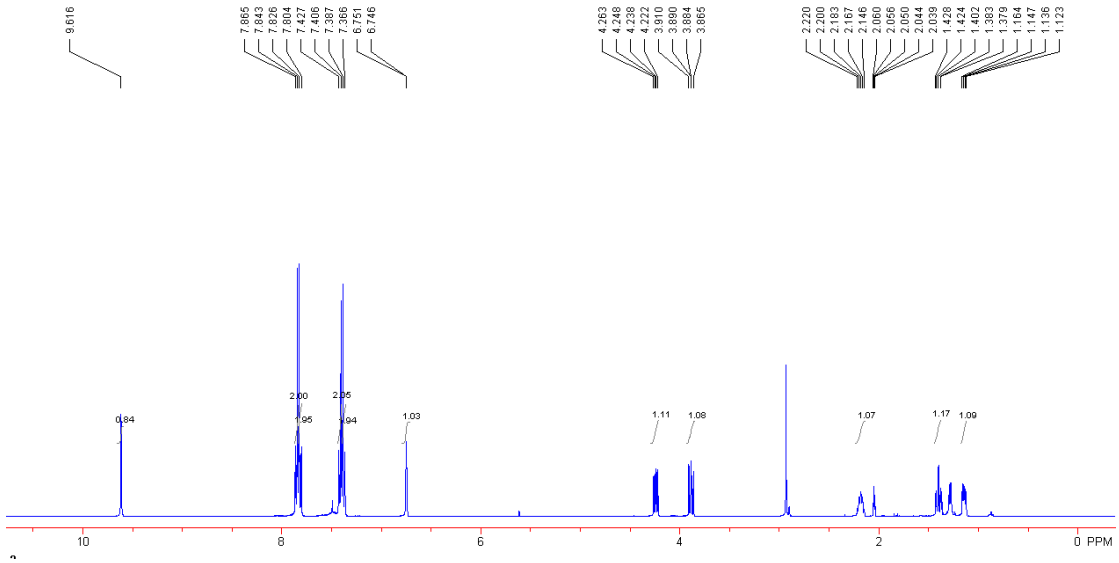

206.000
137.586
137.527
132.857
132.128
130.960
129.153
128.536
127.659
120.884
118.772
79.627
30.191
29.997
29.804
29.611
29.417
29.224
29.031
12.321
9.994
200
150
100
50
PPM

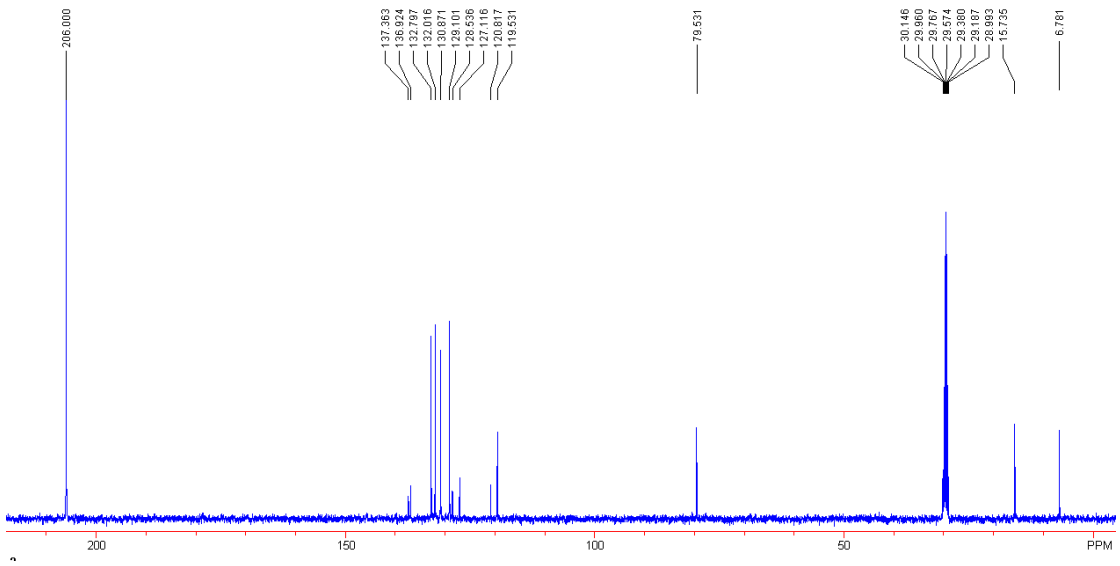
Compound 1j: A light yellow solid. Mp: 181-183 ^{o}C. IR (CH_2Cl_2) ν 3213, 2918, 1537, 1349, 1163, 1009, 741 cm^{-1}. ^{1}H NMR (CD_3COCD_3, 300 MHz, TMS) δ 1.38-1.44 (m, 1H, CH_2), 1.69-1.76 (m, 1H, CH_2), 1.88-1.96 (m, 1H, CH), 3.93-4.05 (m, 2H, CH_2), 6.81 (q, J = 2.1 Hz, 1H, CH), 7.48 (d, J = 9.0 Hz, 2H, Ar), 7.51 (d, J = 9.0 Hz, 2H, Ar), 8.22 (d, J = 8.7 Hz, 2H, Ar), 8.48 (d, J = 8.7 Hz, 2H, Ar), 9.85 (s, 1H, NH). ^{13}C NMR (CD_3COCD_3, 75 MHz, TMS) δ 10.0, 12.2, 79.8, 118.8, 120.8, 124.7, 127.4, 129.1, 130.6, 132.0, 137.5, 143.6, 151.4. MS (ESI) *m/e* 461 (M^++Na). HRMS (ESI) Calcd. for $C_{17}H_{15}BrN_2NaO_5S$ (M^++Na): 460.9777, Found: 460.9768.



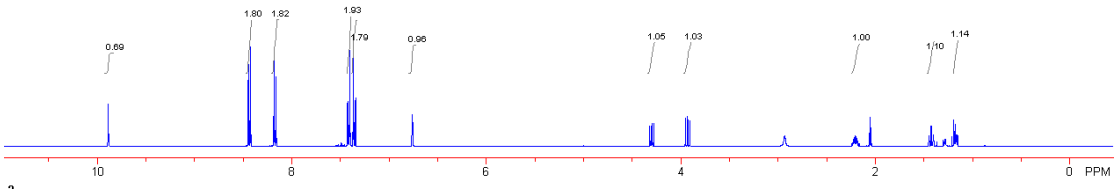

206.000
151.389
143.595
137.473
132.059
130.569
129.079
127.451
124.729
120.851
118.775
79.798
30.311
30.060
29.802
29.543
29.285
29.034
28.775
12.206
9.956
200
150
100
50
0PPM

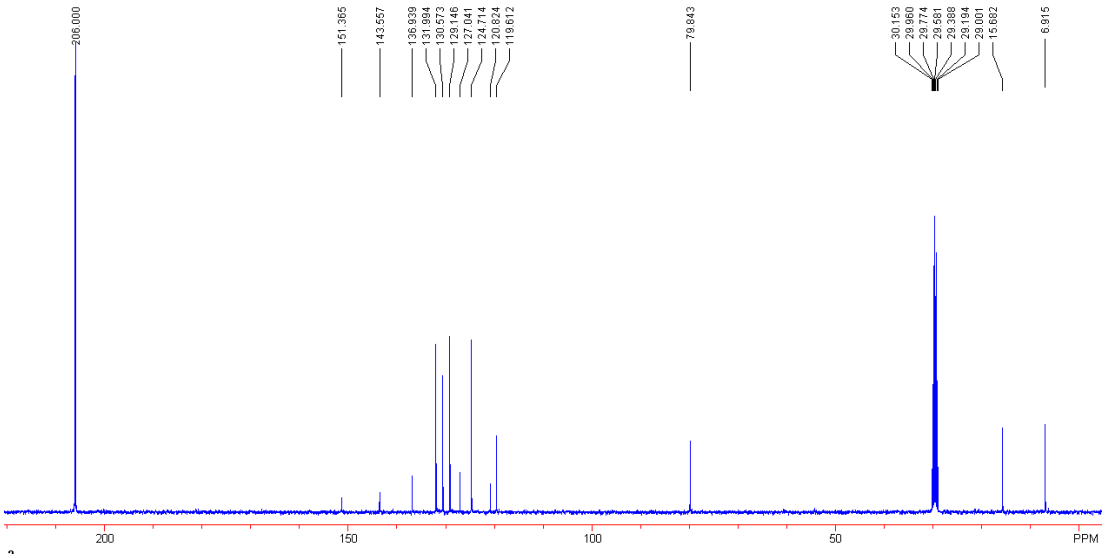
Compound 1k: A white solid. Mp: 169-171 ^{o}C. IR (CH_2Cl_2) ν 3204, 2949, 1341, 1174, 1008, 858, 756 cm^{-1}. ^{1}H NMR (CD_3COCD_3, 400 MHz, TMS) δ 1.12-1.16 (m, 1H, CH_2), 1.38-1.43 (m, 1H, CH_2), 2.15-2.22 (m, 1H, CH), 3.89 (dd, *J* = 10.4 Hz, *J* = 8.0 Hz, 1H, CH_2), 4.24 (dd, *J* = 10.4 Hz, *J* = 6.4 Hz, 1H, CH_2), 6.75 (d, *J* = 2.0 Hz, 1H, CH), 7.38 (d, *J* = 8.4 Hz, 2H, Ar), 7.42 (d, *J* = 8.4 Hz, 2H, Ar), 7.82 (d, *J* = 8.8 Hz, 2H, Ar), 7.85 (d, *J* = 8.8 Hz, 2H, Ar), 9.62 (s, 1H, NH). ^{13}C NMR (CD_3COCD_3, 100 MHz, TMS) δ 6.8, 15.7, 79.5, 119.5, 120.8, 127.1, 128.5, 129.1, 130.9, 132.0, 132.8, 136.9, 137.4. MS (ESI) *m/e* 494 (M^++Na). HRMS (ESI) Calcd. for $C_{17}H_{15}Br_2NNaO_3S$ (M^++Na): 493.9032, Found: 493.9009.



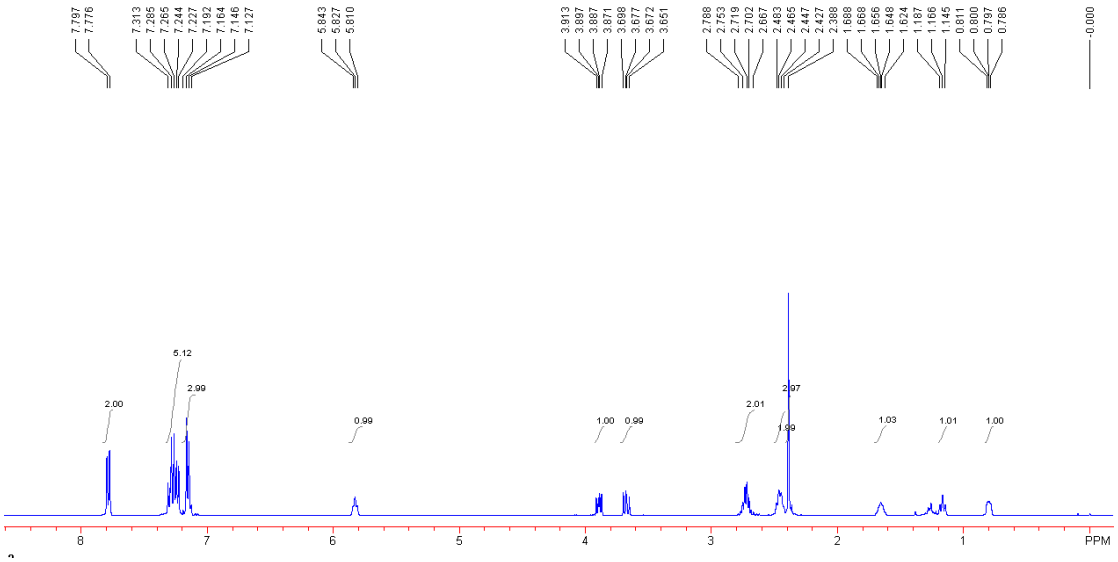

206.000
137.363
136.924
132.797
132.016
130.871
129.101
128.536
127.116
120.817
119.531
79.531
30.146
29.960
29.767
29.574
29.380
29.187
28.993
15.735
6.781
200
150
100
50
PPM

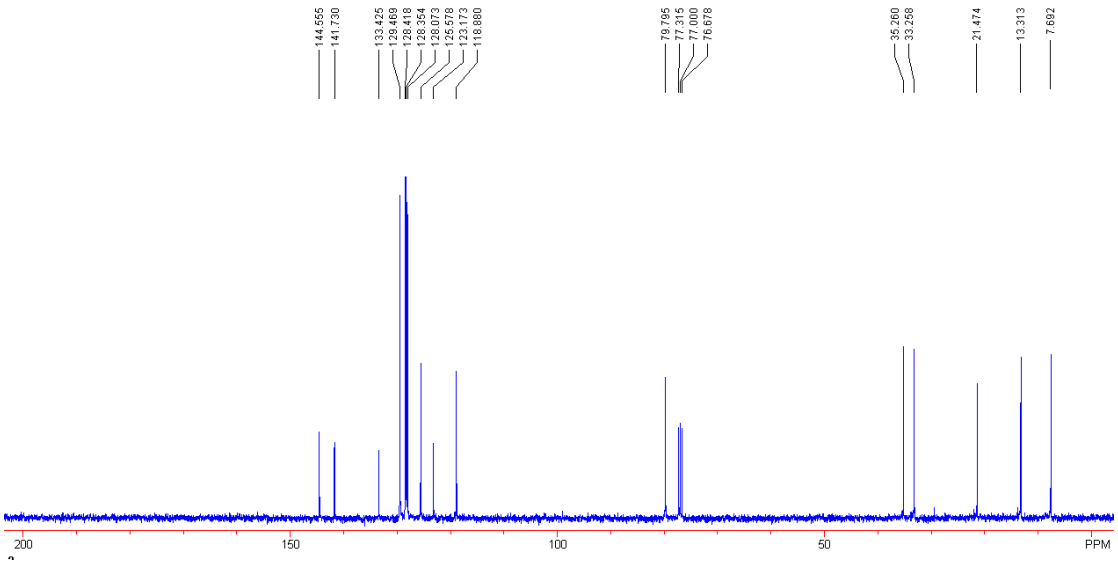
Compound 1l: A light yellow solid. Mp: 160-162 ^{o}C. IR (CH_2Cl_2) ν 3197, 1532, 1346, 1161, 1007, 740 cm^{-1}. ^{1}H NMR (CD_3COCD_3, 400 MHz, TMS) δ 1.15-1.19 (m, 1H, CH_2), 1.40-1.45 (m, 1H, CH_2), 2.16-2.24 (m, 1H, CH), 3.93 (dd, J = 10.4 Hz, J = 8.4 Hz, 1H, CH_2), 4.30 (dd, J = 10.4 Hz, J = 6.4 Hz, 1H, CH_2), 6.76 (q, J = 2.0 Hz, 1H, CH), 7.35 (d, J = 8.4 Hz, 2H, Ar), 7.42 (d, J = 8.4 Hz, 2H, Ar), 8.17 (d, J = 8.8 Hz, 2H, Ar), 8.44 (d, J = 8.8 Hz, 2H, Ar), 9.89 (s, 1H, NH). ^{13}C NMR (CD_3COCD_3, 100 MHz, TMS) δ 6.9, 15.7, 79.8, 119.6, 120.8, 124.7, 127.0, 129.1, 130.6, 132.0, 136.9, 143.6, 151.4. MS (ESI) *m/e* 461 (M^++Na). HRMS (ESI) Calcd. for $C_{17}H_{15}BrN_2NaO_5S$ (M^++Na): 460.9777, Found: 460.9769.



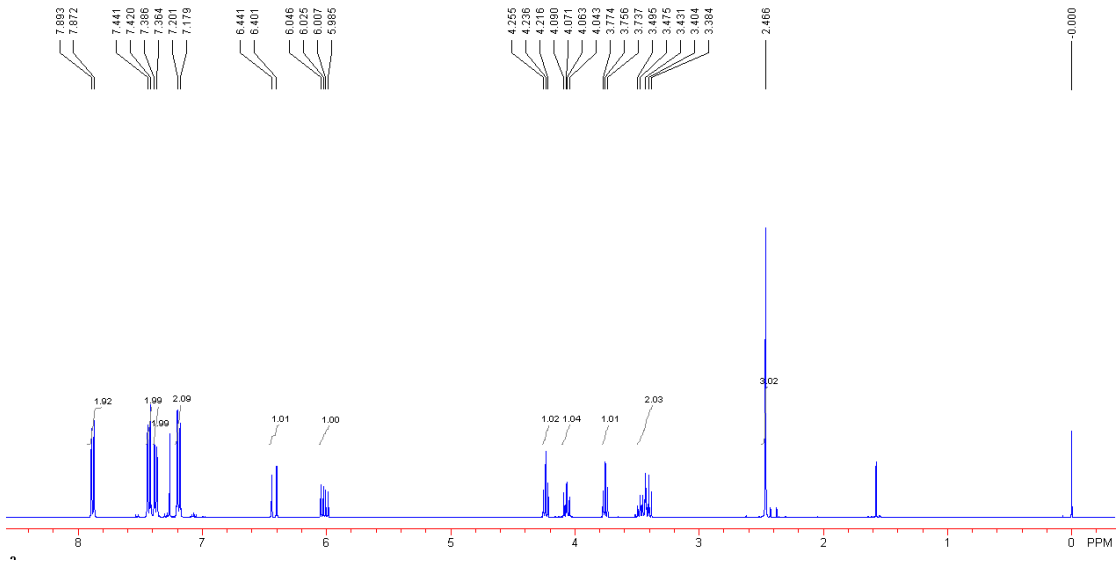

206.000
151.365
143.557
136.939
131.994
130.573
129.146
127.041
124.714
120.824
119.612
79.843
30.153
29.960
29.774
29.581
29.388
29.194
29.001
15.682
6.915
200
150
100
50
PPM

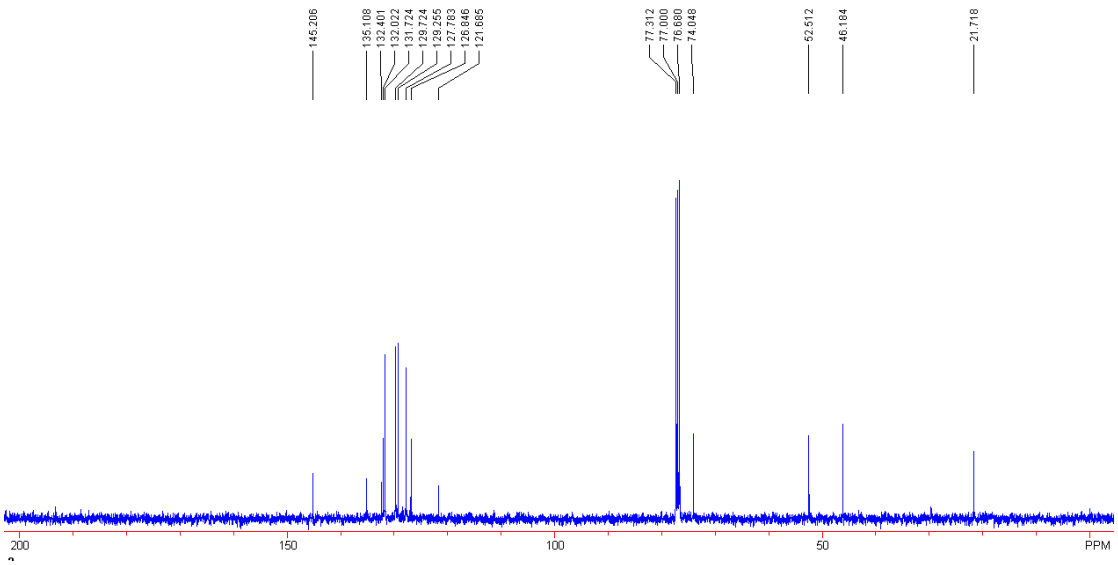
Compound 1m: A white solid. Mp: 60-62 °C. IR (CH_2Cl_2) ν 3226, 2930, 1330, 1162, 1019, 817, 697 cm^{-1}. ^1H NMR ($CDCl_3$, 400 MHz, TMS) δ 0.79-0.81 (m, 1H, CH_2), 1.17 (t, J = 8.4 Hz, 1H, CH_2), 1.62-1.69 (m, 1H, CH), 2.39 (s, 3H, CH_3), 2.43-2.48 (m, 2H, CH_2), 2.67-2.79 (m, 2H, CH_2), 3.67 (dd, J = 10.4 Hz, J = 8.4 Hz, 1H, CH_2), 3.89 (dd, J = 10.4 Hz, J = 6.4 Hz, 1H, CH_2), 5.83 (t, J = 6.4 Hz, 1H, CH), 7.13-7.19 (m, 3H, Ar), 7.23-7.31 (m, 5H, Ar), 7.79 (d, J = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 7.7, 13.3, 21.5, 33.2, 35.3, 79.8, 118.9, 123.2, 125.6, 128.1, 128.35, 128.42, 129.5, 133.4, 141.7, 144.6. MS (ESI) *m/e* 380 (M^++Na). HRMS (ESI) Calcd. for $C_{20}H_{23}NNaO_3S$ (M^++Na): 380.1291, Found: 380.1285.



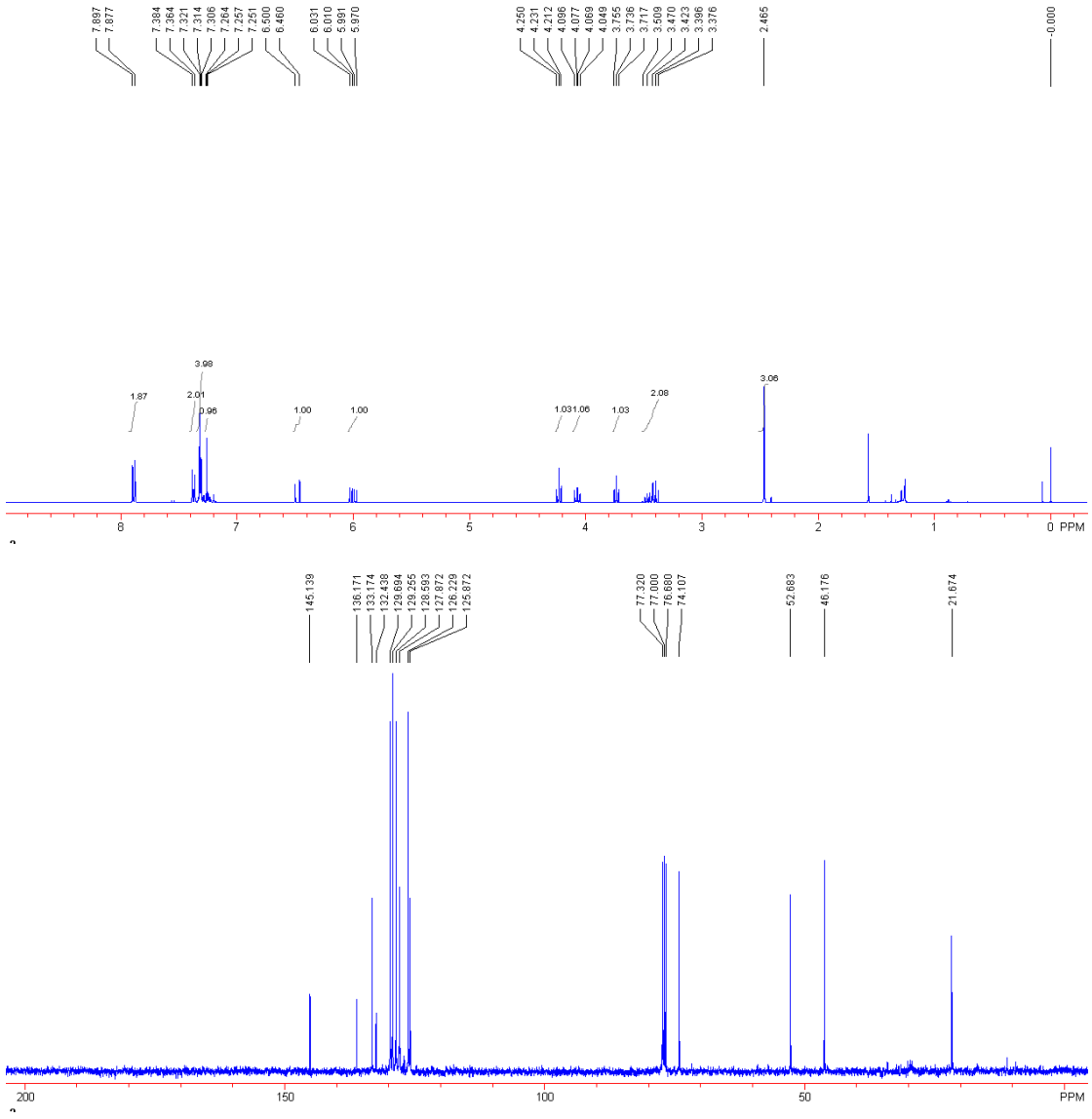


Compound 2a: Yield: 90 mg, 95%. A white solid. Mp: 164-166 ^{o}C. IR (CH_2Cl_2) ν 3010, 2924, 1276, 1154, 750 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 2.47 (s, 3H, CH_3), 3.38-3.50 (m, 2H, CH_2), 3.76 (t, *J* = 7.6 Hz, 1H, CH_2), 4.07 (dd, *J* = 11.2 Hz, *J* = 8.0 Hz, 1H, CH_2), 4.24 (t, *J* = 8.0 Hz, 1H, CH_2), 6.02 (dd, *J* = 16.0 Hz, *J* = 8.8 Hz, 1H, CH), 6.42 (d, *J* = 16.0 Hz, 1H, CH), 7.19 (d, *J* = 8.8 Hz, 2H, Ar), 7.38 (d, *J* = 8.8 Hz, 2H, Ar), 7.43 (d, *J* = 8.4 Hz, 2H, Ar), 7.88 (d, *J* = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 21.7, 46.2, 52.5, 74.0, 121.7, 126.8, 127.8, 129.2, 129.7, 131.7, 132.0, 132.4, 135.1, 145.2. MS (ESI) *m/e* 408 (M^++H). HRMS (ESI) Calcd. for $C_{18}H_{19}NO_3SBr$ (M^++H): 408.0264, Found: 408.0258.

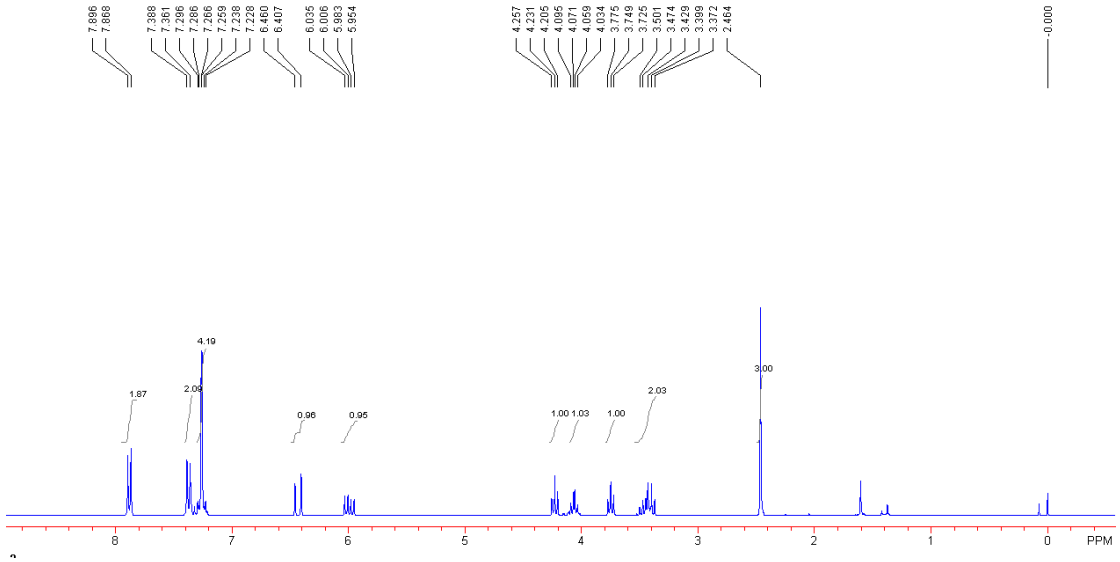


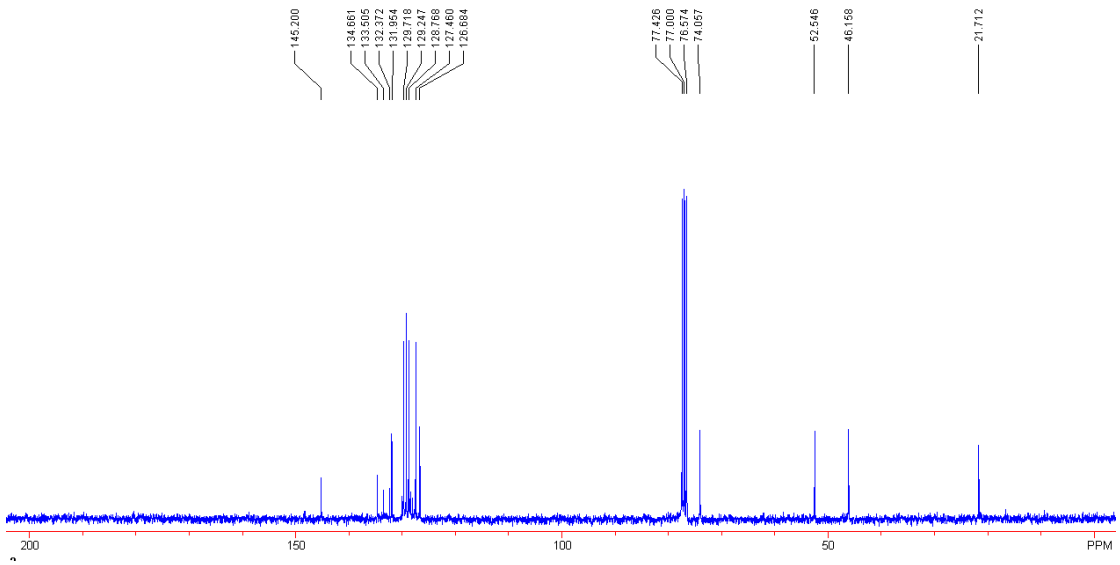

145.206
135.108
132.401
132.022
131.724
131.144
129.724
129.255
127.793
126.846
121.685
77.312
77.000
76.680
74.048
52.512
46.184
21.718
200
150
100
50
PPM

Compound 2b: Yield: 52 mg, 79%. A white solid. Mp: 138-140 ^{o}C. IR (CH_2Cl_2) ν 2921, 1325, 1159, 1024, 757, 696 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 2.46 (s, 3H, CH_3), 3.38-3.51 (m, 2H, CH_2), 3.74 (t, J = 7.6 Hz, 1H, CH_2), 4.07 (dd, J = 11.2 Hz, J = 7.6 Hz, 1H, CH_2), 4.23 (t, J = 7.6 Hz, 1H, CH_2), 6.00 (dd, J = 16.0 Hz, J = 8.4 Hz, 1H, CH), 6.48 (d, J = 16.0 Hz, 1H, CH), 7.25-7.26 (m, 1H, Ar), 7.31-7.32 (m, 4H, Ar), 7.37 (d, J = 8.0 Hz, 2H, Ar), 7.89 (d, J = 8.0 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 21.7, 46.2, 52.7, 74.1, 125.9, 126.2, 127.9, 128.6, 129.2, 129.7, 132.4, 133.2, 136.2, 145.1. MS (ESI) *m/e* 330 (M^++H). HRMS (ESI) Calcd. for $C_{18}H_{20}NO_3S$ (M^++H): 330.1158, Found: 330.1159.

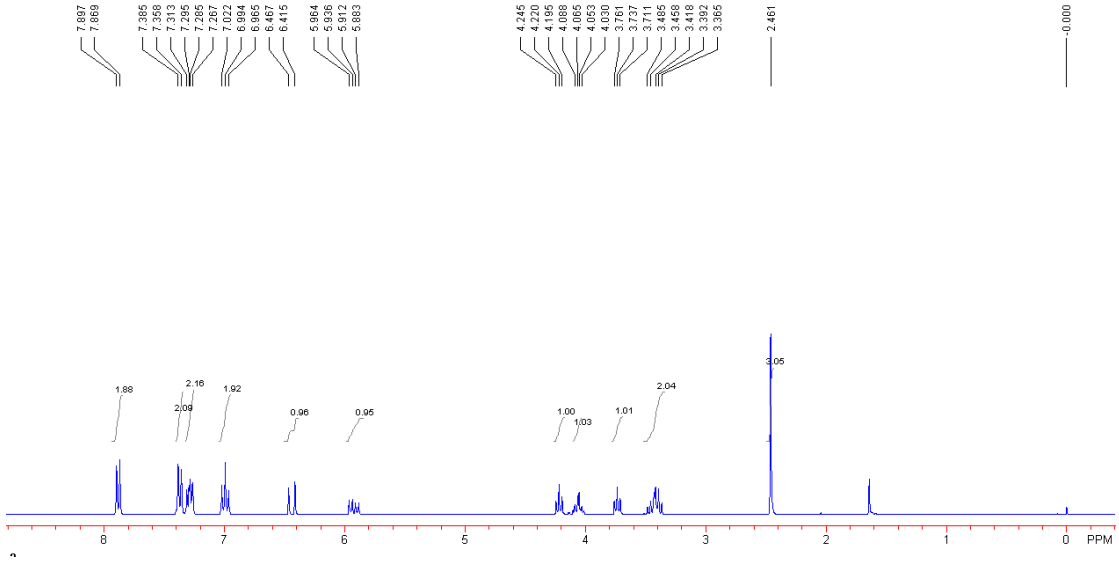


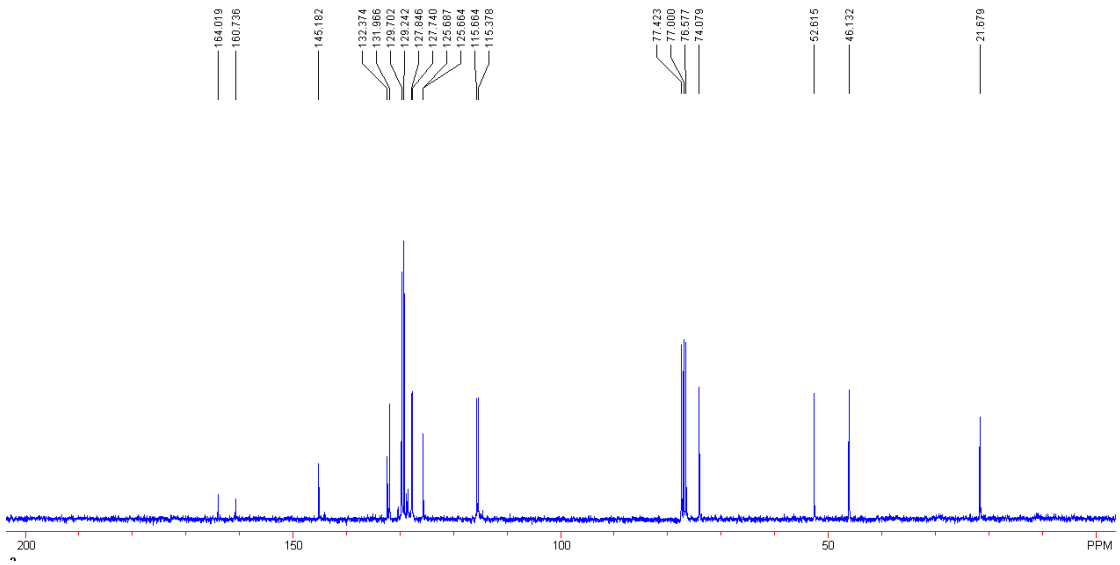
Compound 2c: Yield: 60 mg, 86%. A light yellow solid. Mp: 156-158 ^{o}C. IR (CH_2Cl_2) ν 2922, 2853, 1329, 1153, 1088, 977, 811, 668 cm^{-1}. ^{1}H NMR ($CDCl_3$, 300 MHz, TMS) δ 2.46 (s, 3H, CH_3), 3.37-3.50 (m, 2H, CH_2), 3.75 (t, J = 7.2 Hz, 1H, CH_2), 4.06 (dd, J = 11.1 Hz, J = 7.5 Hz, 1H, CH_2), 4.23 (t, J = 7.5 Hz, 1H, CH_2), 5.99 (dd, J = 15.9 Hz, J = 8.7 Hz, 1H, CH), 6.43 (d, J = 15.9 Hz, 1H, CH), 7.23-7.30 (m, 4H, Ar), 7.37 (d, J = 8.4 Hz, 2H, Ar), 7.88 (d, J = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 75 MHz, TMS) δ 21.7, 46.2, 52.5, 74.0, 126.7, 127.5, 128.8, 129.2, 129.7, 132.0, 132.4, 133.5, 134.7, 145.2. MS (ESI) *m/e* 364 (M^{+}+H). HRMS (ESI) Calcd. for $C_{18}H_{19}NO_3SCl$ (M^{+}+H): 364.0769, Found: 364.0755.

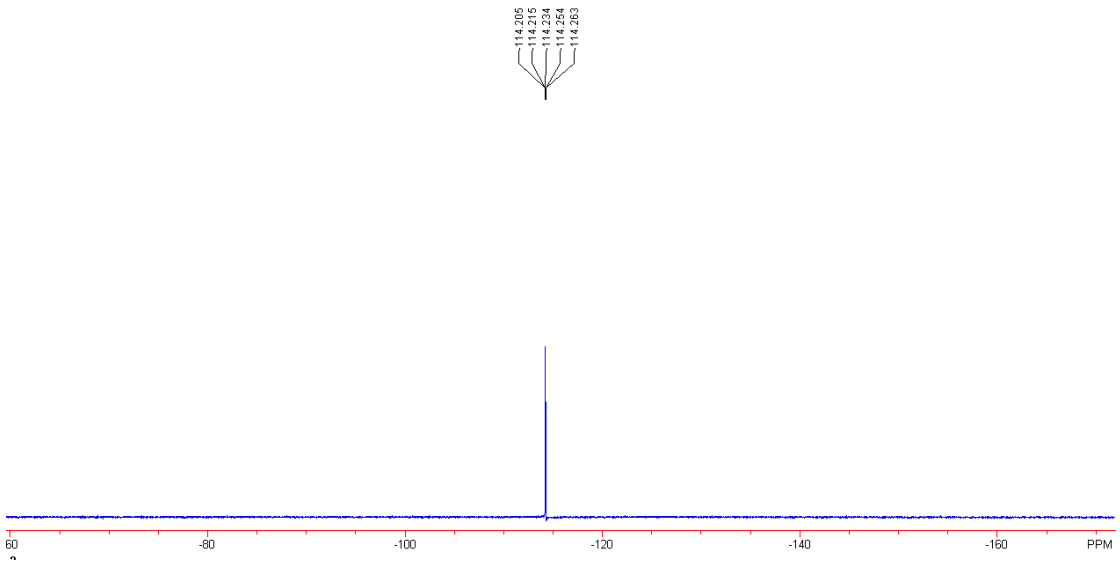



145.200
134.661
133.505
132.372
131.954
129.718
129.247
128.768
127.460
126.684
77.426
77.000
76.574
74.057
52.546
46.158
21.712
200
150
100
50
PPM

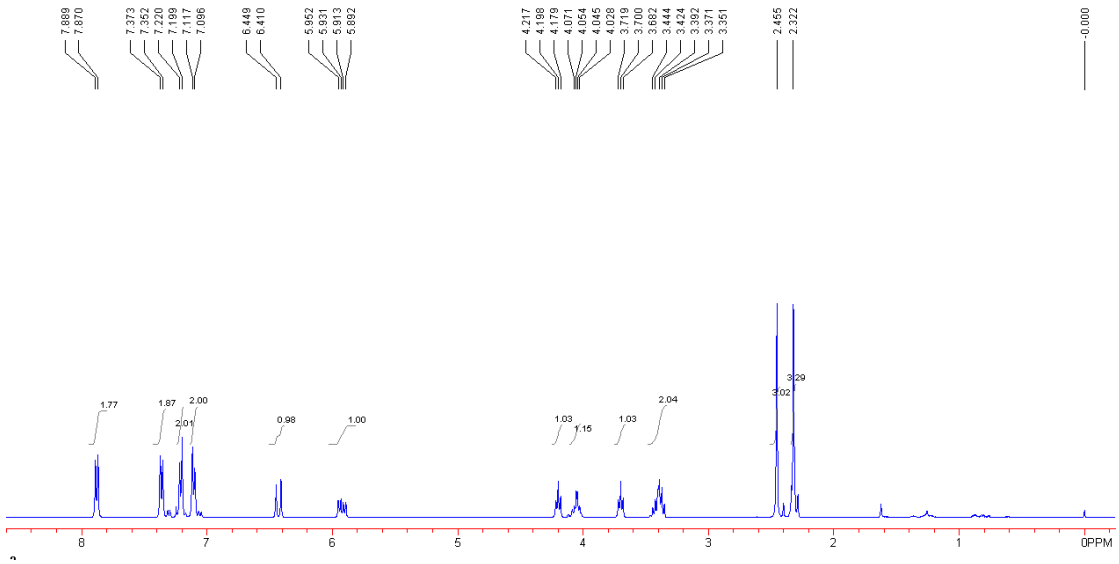
Compound 2d: Yield: 46 mg, 83%. A light yellow solid. Mp: 130-132 ^{o}C. IR (CH_2Cl_2) ν 2921, 1506, 1331, 1156, 1091, 814, 668 cm^{-1}. ^{1}H NMR ($CDCl_3$, 300 MHz, TMS) δ 2.46 (s, 3H, CH_3), 3.36-3.48 (m, 2H, CH_2), 3.74 (t, *J* = 7.2 Hz, 1H, CH_2), 4.06 (dd, *J* = 10.5 Hz, *J* = 6.9 Hz, 1H, CH_2), 4.22 (t, *J* = 7.5 Hz, 1H, CH_2), 5.92 (dd, *J* = 15.6 Hz, *J* = 8.4 Hz, 1H, CH), 6.44 (d, *J* = 15.6 Hz, 1H, CH), 6.99 (t, *J* = 8.4 Hz, 2H, Ar), 7.29 (dd, *J* = 8.4 Hz, *J* = 5.4 Hz, 2H, Ar), 7.37 (d, *J* = 8.1 Hz, 2H, Ar), 7.88 (d, *J* = 8.1 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 75 MHz, TMS) δ 21.7, 46.1, 52.6, 74.1, 115.5 (d, *J* = 21.4 Hz), 125.7 (d, *J* = 1.7 Hz), 127.8 (d, *J* = 8.0 Hz), 129.2, 129.7, 132.0, 132.4, 145.2, 162.4 (d, *J* = 246.2 Hz). ^{19}F NMR ($CDCl_3$, 282 MHz, CF_3COOH) δ -114.26 ~ -114.20 (m). MS (ESI) *m/e* 370 (M^++Na). HRMS (ESI) Calcd. for $C_{18}H_{18}FNNaO_3S$ (M^++Na): 370.0884, Found: 370.0873.

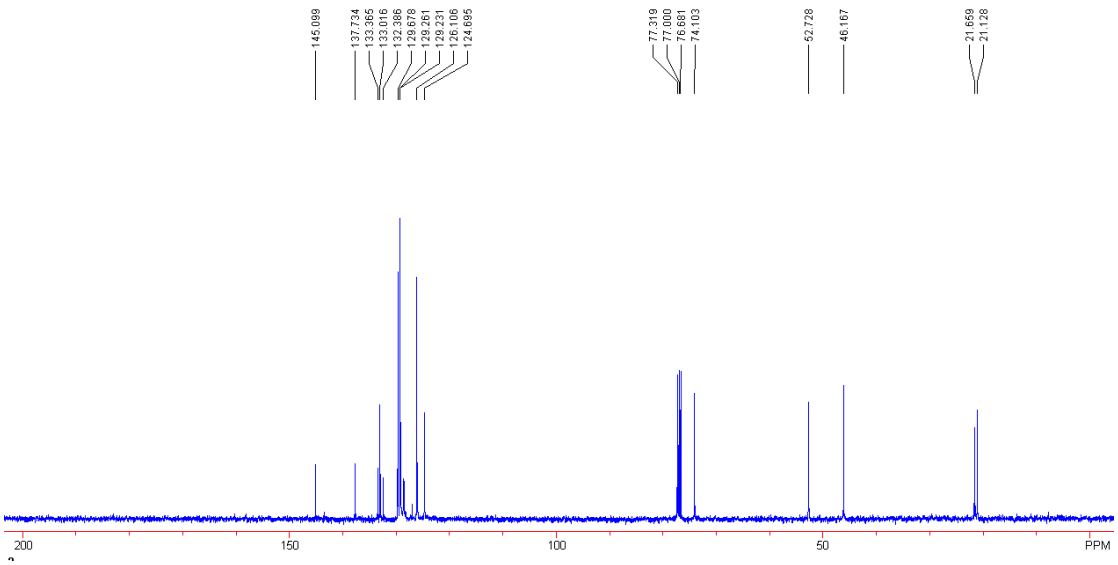



164.019
160.736
145.182
132.374
131.966
129.702
129.242
127.846
127.740
125.687
125.664
115.664
115.378
77.423
77.000
76.577
74.079
52.615
46.132
21.679
200
150
100
50
PPM

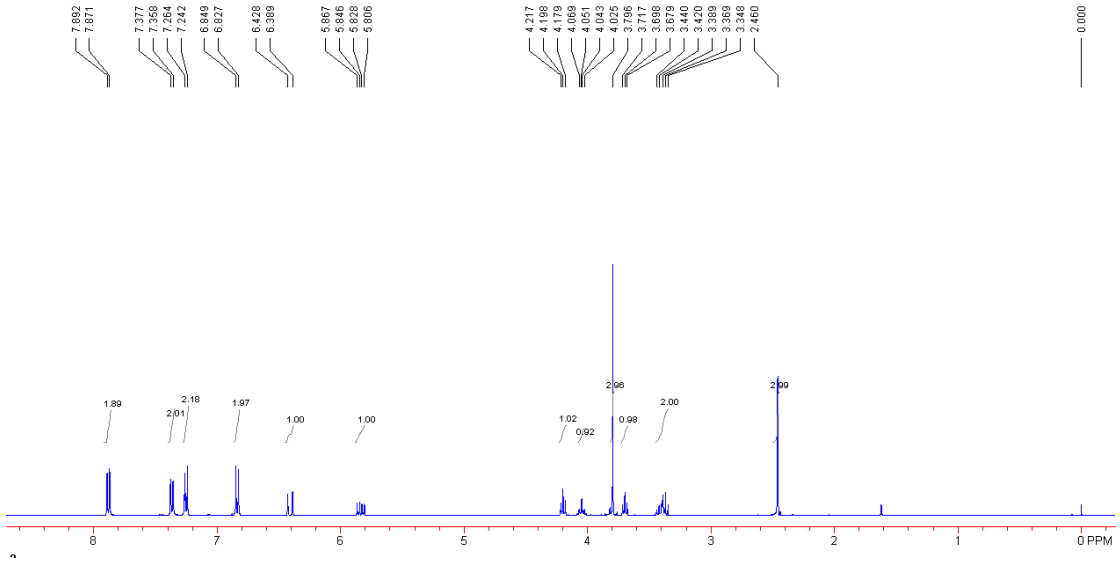

114.205
114.215
114.234
114.254
114.263
-80
-100
-120
-140
-160
PPM

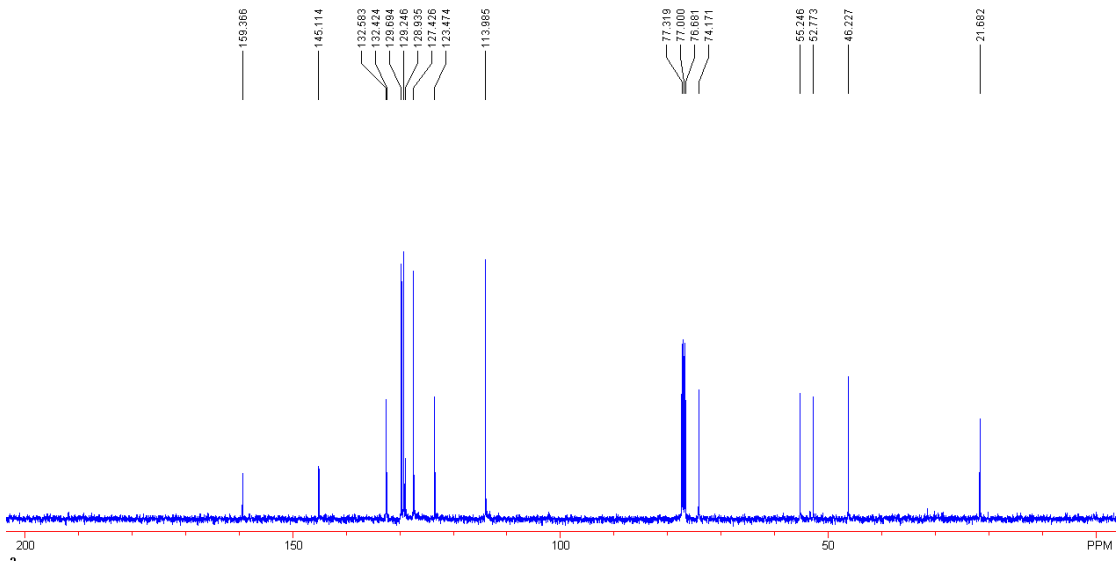
Compound 2e: Yield: 52 mg, 78%. A white solid. Mp: 109-111 ^{o}C. IR (CH_2Cl_2) ν 2958, 1325, 1162, 1088, 800, 675 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 2.32 (s, 3H, CH_3), 2.46 (s, 3H, CH_3), 3.35-3.44 (m, 2H, CH_2), 3.70 (t, J = 7.6 Hz, 1H, CH_2), 4.05 (dd, J = 10.4 Hz, J = 6.8 Hz, 1H, CH_2), 4.20 (t, J = 7.6 Hz, 1H, CH_2), 5.92 (dd, J = 15.6 Hz, J = 8.4 Hz, 1H, CH), 6.43 (d, J = 15.6 Hz, 1H, CH), 7.11 (d, J = 8.4 Hz, 2H, Ar), 7.21 (d, J = 8.4 Hz, 2H, Ar), 7.36 (d, J = 8.4 Hz, 2H, Ar), 7.88 (d, J = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 21.1, 21.6, 46.2, 52.7, 74.1, 124.7, 126.1, 129.2, 129.3, 129.7, 132.4, 133.0, 133.4, 137.7, 145.1. MS (ESI) *m/e* 364 (M^++H). HRMS (ESI) Calcd. for $C_{19}H_{22}NO_3S$ (M^++H): 344.1315, Found: 344.1311.



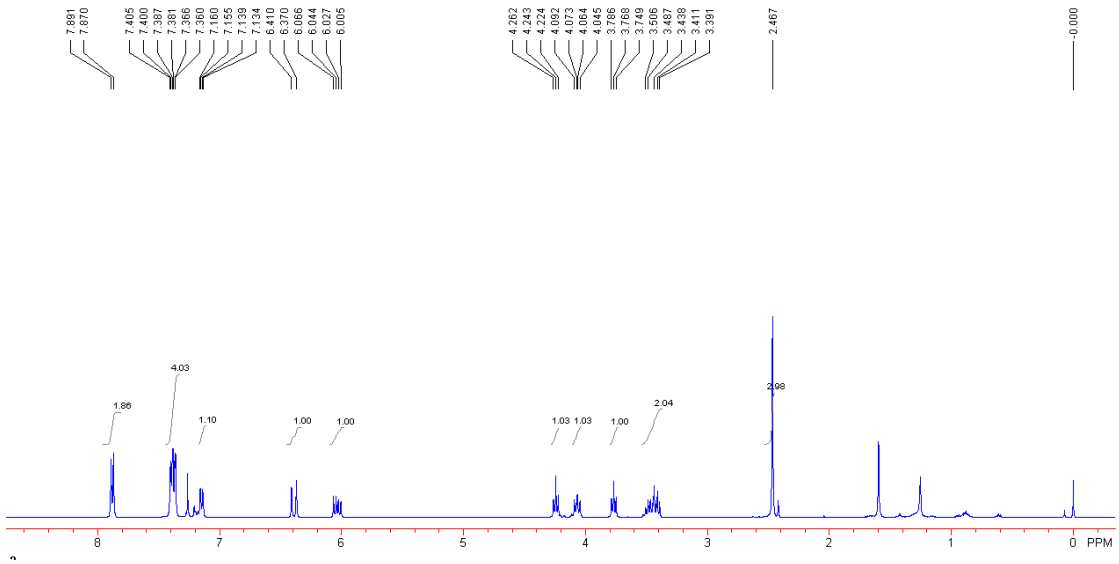

145.099
137.734
133.365
133.016
132.386
129.678
129.261
129.231
126.106
124.695
77.319
77.000
76.681
74.103
52.728
46.167
21.659
21.128
200
150
100
50
PPM

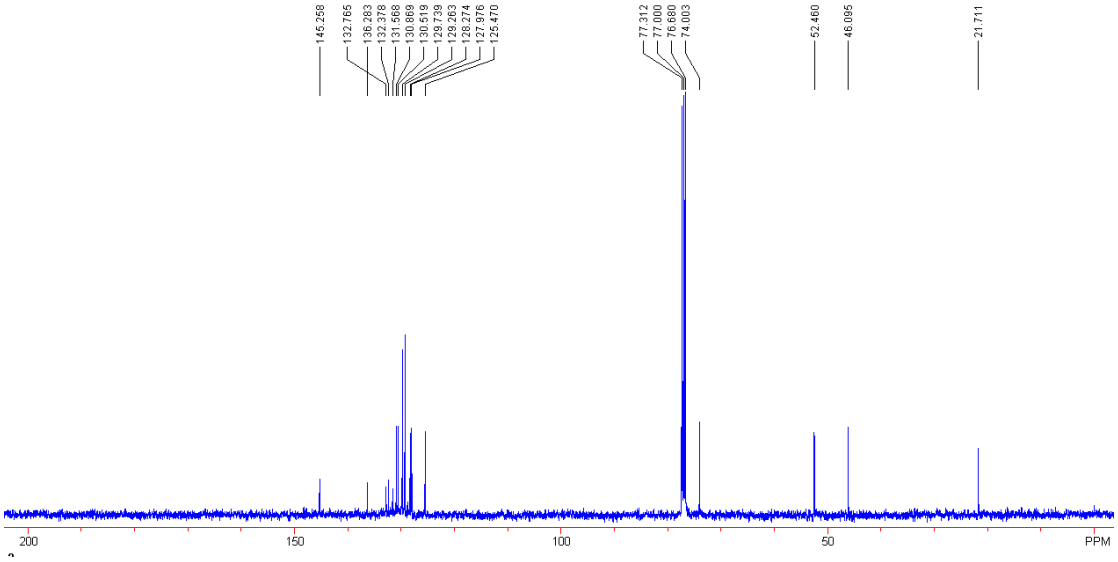
Compound 2f: Yield: 40 mg, 67%. A light yellow solid. Mp: 108-110 ^{o}C. IR (CH_2Cl_2) ν 2920, 1511, 1329, 1246, 1155, 816, 686 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 2.46 (s, 3H, CH_3), 3.35-3.44 (m, 2H, CH_2), 3.70 (t, *J* = 7.6 Hz, 1H, CH_2), 3.80 (s, 3H, CH_3O), 4.05 (dd, *J* = 10.4 Hz, *J* = 7.2 Hz, 1H, CH_2), 4.20 (t, *J* = 7.6 Hz, 1H, CH_2), 5.84 (dd, *J* = 15.6 Hz, *J* = 8.4 Hz, 1H, CH), 6.41 (d, *J* = 15.6 Hz, 1H, CH), 6.84 (d, *J* = 8.8 Hz, 2H, Ar), 7.25 (d, *J* = 8.8 Hz, 2H, Ar), 7.37 (d, *J* = 8.4 Hz, 2H, Ar), 7.88 (d, *J* = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 21.7, 46.2, 52.8, 55.2, 74.2, 114.0, 123.5, 127.4, 128.9, 129.2, 129.7, 132.4, 132.6, 145.1, 159.4. MS (ESI) *m/e* 360 (M^++H). HRMS (ESI) Calcd. for $C_{19}H_{22}NO_4S$ (M^++H): 360.1264, Found: 360.1259.



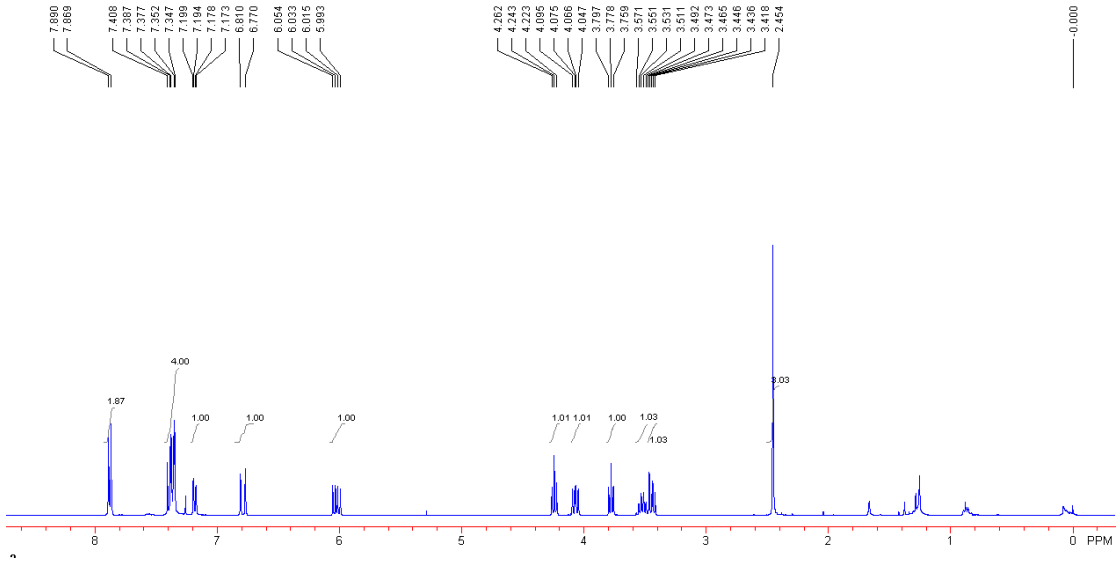

159.366
145.114
132.583
132.424
129.694
129.246
128.935
127.426
123.474
113.985
77.319
77.000
76.681
74.171
55.246
52.773
46.227
21.682
200
150
100
50
PPM

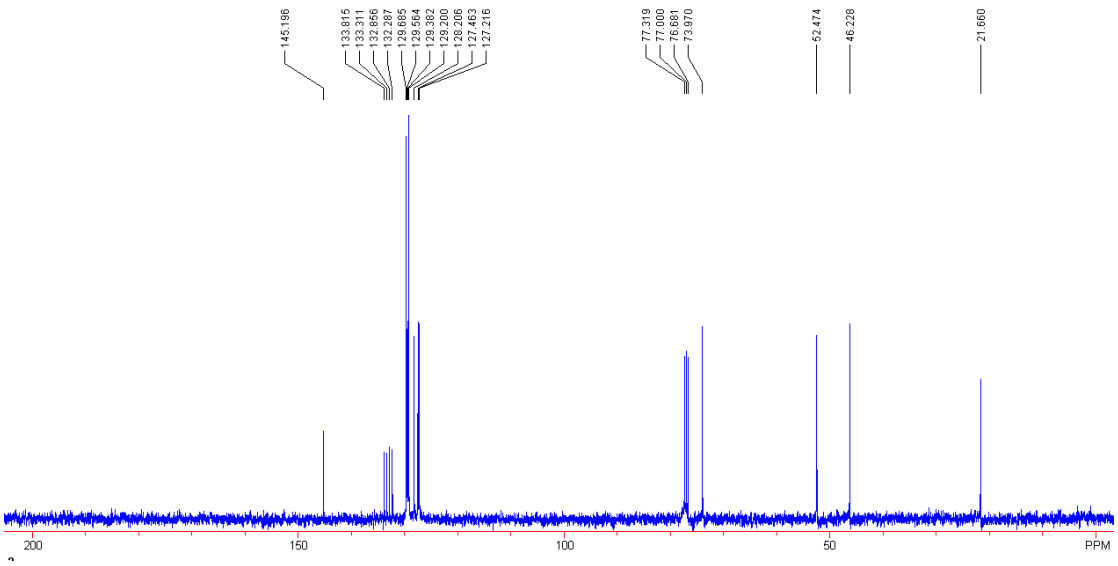
Compound 2g: Yield: 38 mg, 50%. A light yellow solid. Mp: 138-140 ^{o}C. IR (CH_2Cl_2) ν 2921, 1336, 1156, 1013, 812, 683 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 2.47 (s, 3H, CH_3), 3.39-3.51 (m, 2H, CH_2), 3.77 (t, *J* = 7.6 Hz, 1H, CH_2), 4.07 (dd, *J* = 11.2 Hz, *J* = 7.6 Hz, 1H, CH_2), 4.24 (t, *J* = 7.6 Hz, 1H, CH_2), 6.04 (dd, *J* = 15.6 Hz, *J* = 8.8 Hz, 1H, CH), 6.39 (d, *J* = 15.6 Hz, 1H, CH), 7.15 (dd, *J* = 8.4 Hz, *J* = 2.0 Hz, 1H, Ar), 7.38 (dt, *J* = 8.4 Hz, *J* = 2.0 Hz, 4H, Ar), 7.88 (d, *J* = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 21.7, 46.1, 52.5, 74.0, 125.5, 128.0, 128.3, 129.3, 129.7, 130.5, 130.9, 131.6, 132.4, 132.8, 136.3, 145.2. MS (ESI) *m/e* 420 (M^++Na). HRMS (ESI) Calcd. for $C_{18}H_{17}Cl_2NNaO_3S$ (M^++Na): 420.0198, Found: 420.0189.



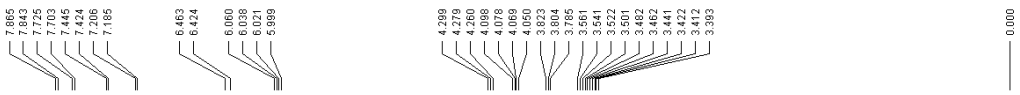

145.258
132.765
136.283
132.378
131.568
130.669
130.519
129.739
129.263
128.274
127.976
125.470
77.312
77.000
76.680
74.003
52.460
46.095
21.711
200
150
100
50
PPM

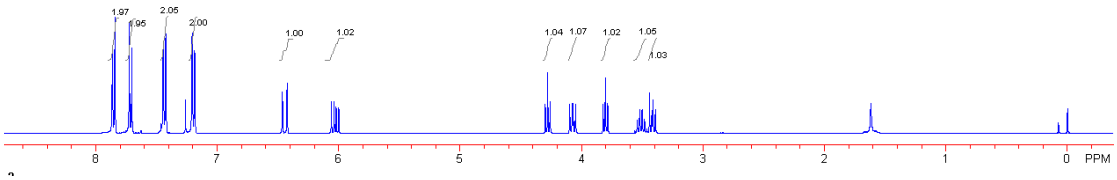
Compound 2h: Yield: 52 mg, 69%. A light yellow solid. Mp: 105-107 ^{o}C. IR (CH_2Cl_2) ν 2923, 1473, 1330, 1156, 1030, 809, 686 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 2.45 (s, 3H, CH_3), 3.44 (dd, J = 11.6 Hz, J = 7.6 Hz, 1H, CH_2), 3.47-3.57 (m, 1H, CH_2), 3.78 (t, J = 7.6 Hz, 1H, CH_2), 4.07 (dd, J = 11.6 Hz, J = 7.6 Hz, 1H, CH_2), 4.24 (t, J = 7.6 Hz, 1H, CH_2), 6.02 (dd, J = 16.0 Hz, J = 8.8 Hz, 1H, CH), 6.79 (d, J = 16.0 Hz, 1H, CH), 7.19 (dd, J = 8.4 Hz, J = 2.0 Hz, 1H, Ar), 7.35-7.41 (m, 4H, Ar), 7.88 (d, J = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 21.7, 46.2, 52.5, 74.0, 127.2, 127.5, 128.2, 129.2, 129.4, 129.6, 129.7, 132.3, 132.8, 133.3, 133.8, 145.2. MS (ESI) *m/e* 420 (M^++Na). HRMS (ESI) Calcd. for $C_{18}H_{17}Cl_2NNaO_3S$ (M^++Na): 420.0198, Found: 420.0200.

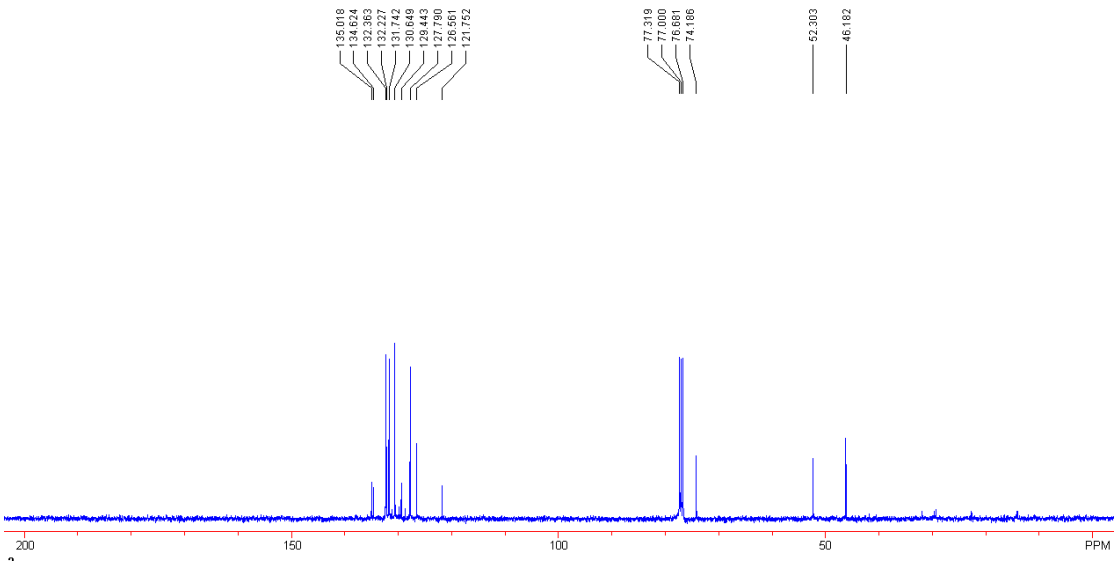



145.196
133.815
133.311
132.856
132.287
129.685
129.564
129.382
129.200
128.206
127.463
127.216
77.319
77.000
76.681
73.970
52.474
46.228
21.660
200
150
100
50
PPM

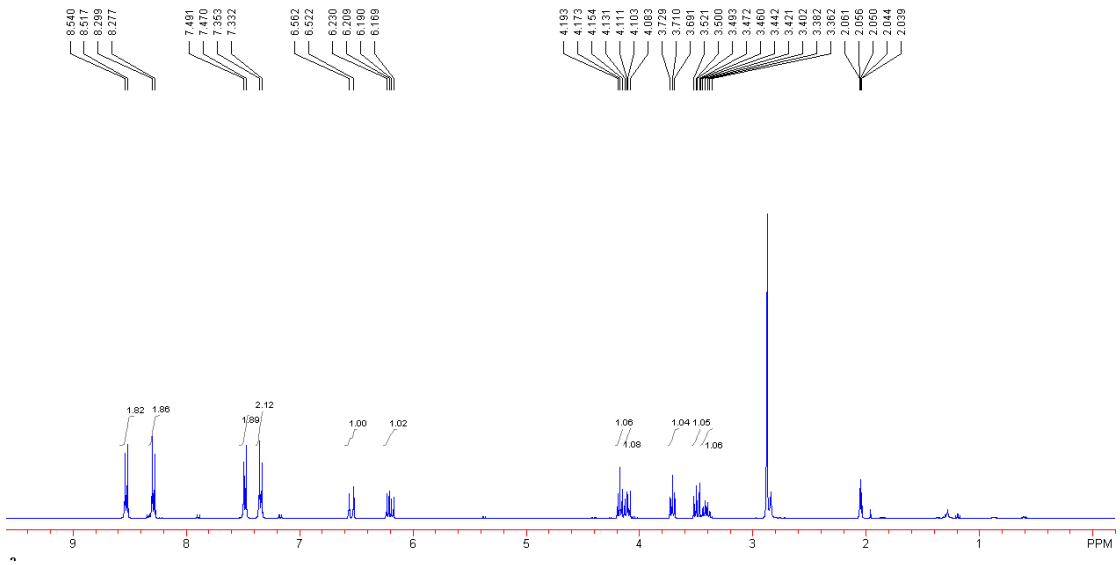
Compound 2i: Yield: 74 mg, 79%. A light yellow solid. Mp: 112-114 ^{o}C. IR (CH_2Cl_2) ν 2925, 1336, 1172, 977, 809, 739 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 3.42 (dd, *J* = 11.6 Hz, *J* = 7.6 Hz, 1H, CH_2), 3.46-3.56 (m, 1H, CH), 3.80 (t, *J* = 7.6 Hz, 1H, CH_2), 4.07 (dd, *J* = 11.6 Hz, *J* = 7.6 Hz, 1H, CH_2), 4.28 (t, *J* = 7.6 Hz, 1H, CH_2), 6.03 (dd, *J* = 15.6 Hz, *J* = 8.8 Hz, 1H, CH), 6.44 (d, *J* = 15.6 Hz, 1H, CH), 7.20 (d, *J* = 8.4 Hz, 2H, Ar), 7.43 (d, *J* = 8.4 Hz, 2H, Ar), 7.71 (d, *J* = 8.8 Hz, 2H, Ar), 7.85 (d, *J* = 8.8 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 46.2, 52.3, 74.2, 121.8, 126.6, 127.8, 129.4, 130.6, 131.7, 132.2, 132.4, 134.6, 135.0. MS (ESI) *m/e* 493 (M^{+}+Na). HRMS (ESI) Calcd. for $C_{17}H_{15}Br_2NNaO_3S$ (M^{+}+Na): 493.9032, Found: 493.9020.

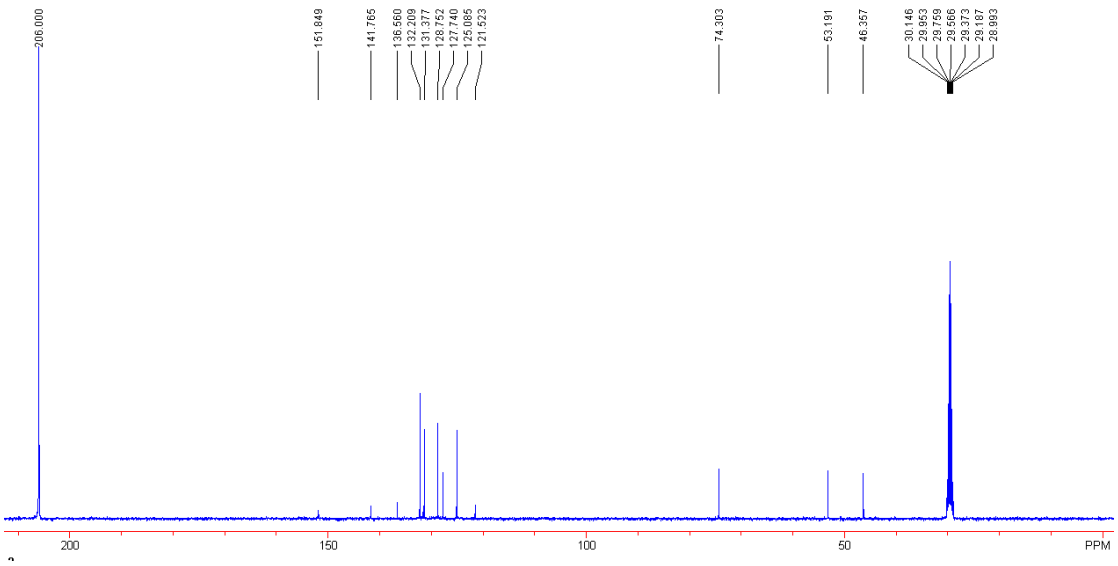




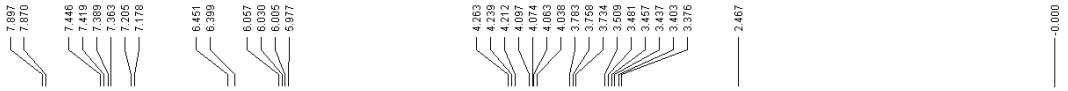

135.018
134.624
132.363
132.227
131.742
130.649
129.443
127.790
126.561
121.752
77.319
77.000
76.681
74.186
52.303
46.182
200
150
100
50
PPM

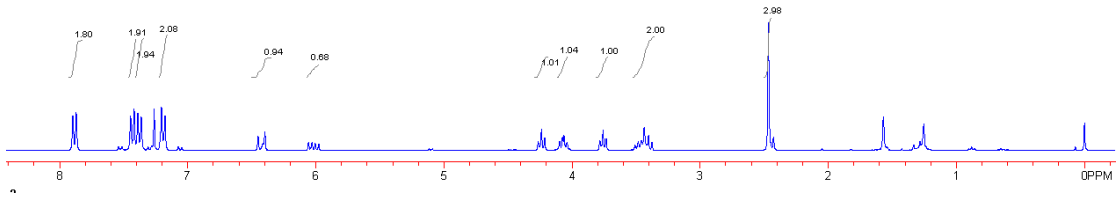
Compound 2j: Yield: 72 mg, 84%. A light yellow solid. Mp: 147-149 ^{o}C. IR (CH_2Cl_2) ν 2929, 1526, 1346, 1175, 737, 682 cm^{-1}. ^{1}H NMR (CD_3COCD_3, 400 MHz, TMS) δ 3.36-3.46 (m, 1H, CH), 3.50 (dd, J = 11.2 Hz, J = 8.4 Hz, 1H, CH_2), 3.71 (t, J = 7.6 Hz, 1H, CH_2), 4.11 (dd, J = 11.2 Hz, J = 8.0 Hz, 1H, CH_2), 4.17 (t, J = 7.6 Hz, 1H, CH_2), 6.20 (dd, J = 16.0 Hz, J = 8.4 Hz, 1H, CH), 6.54 (d, J = 16.0 Hz, 1H, CH), 7.34 (d, J = 8.4 Hz, 2H, Ar), 7.48 (d, J = 8.4 Hz, 2H, Ar), 8.29 (d, J = 8.8 Hz, 2H, Ar), 8.53 (d, J = 8.8 Hz, 2H, Ar). ^{13}C NMR (CD_3COCD_3, 100 MHz, TMS) δ 46.4, 53.2, 74.3, 121.5, 125.1, 127.7, 128.8, 131.4, 132.2, 136.6, 141.8, 151.8. MS (ESI) *m/e* 461 (M^++Na). HRMS (ESI) Calcd. for $C_{17}H_{15}BrN_2NaO_5S$ (M^++Na): 460.9777, Found: 460.9915.



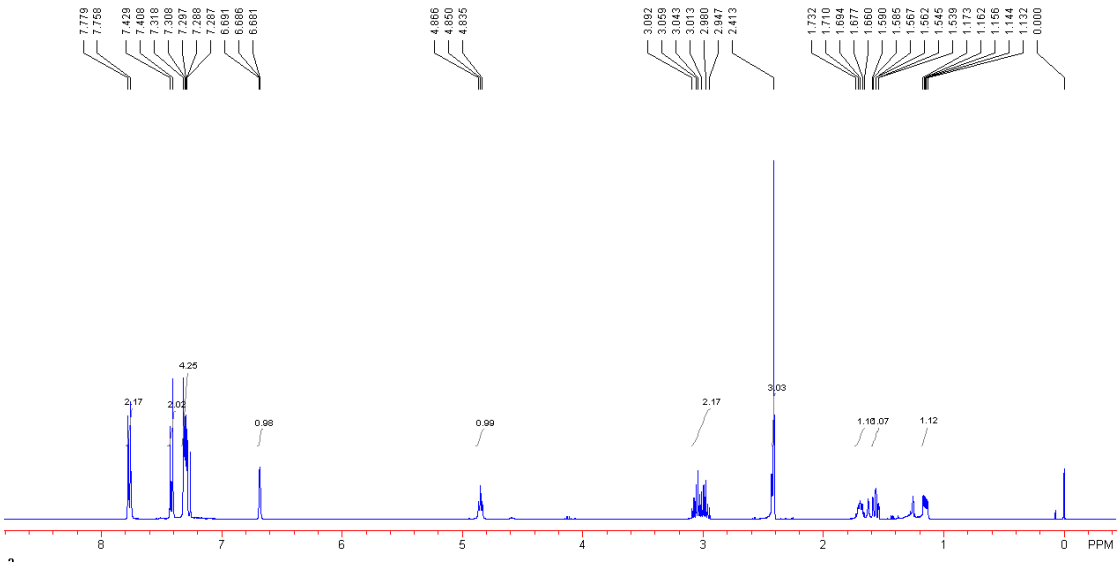

206.000
151.849
141.765
136.560
132.209
131.377
128.752
127.740
125.085
121.523
74.303
53.191
46.357
30.146
29.953
29.759
29.566
29.373
29.187
28.993
200
150
100
50
PPM

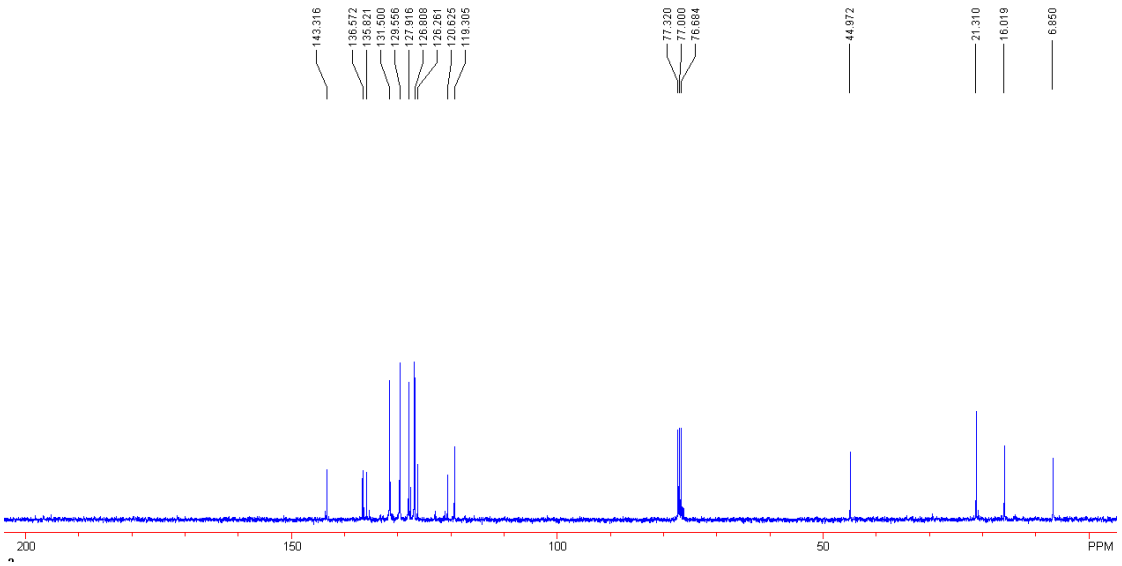
Compound [D]-**2a**: ^{1}H NMR ($CDCl_3$, 300 MHz, TMS) δ 2.47 (s, 3H, CH_3), 3.38-3.51 (m, 2H, CH_2), 3.76 (t, *J* = 7.5 Hz, 1H, CH_2), 4.07 (dd, *J* = 10.8 Hz, *J* = 7.5 Hz, 1H, CH_2), 4.24 (t, *J* = 7.2 Hz, 1H, CH_2), 6.02 (dd, *J* = 15.6 Hz, *J* = 8.4 Hz, 0.68H, CH), 6.42 (d, *J* = 15.6 Hz, 1H, CH), 7.19 (d, *J* = 8.1 Hz, 2H, Ar), 7.38 (d, *J* = 8.1 Hz, 2H, Ar), 7.43 (d, *J* = 8.1 Hz, 2H, Ar), 7.88 (d, *J* = 8.1 Hz, 2H, Ar).

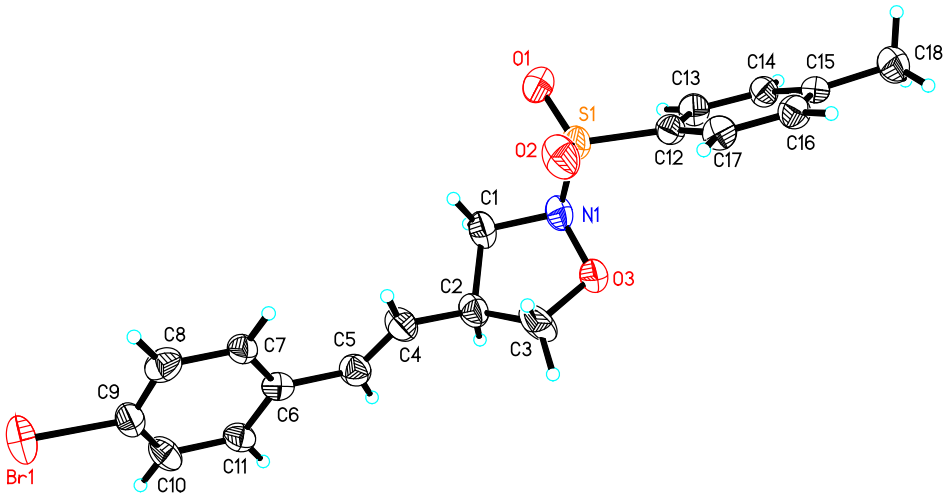




(E)-N-((2-(4-bromobenzylidene)cyclopropyl)methyl)-4-methylbenzenesulfonamide 3a: A colorless oil. IR (CH_2Cl_2) ν 3244, 2928, 1482, 1391, 1160, 1009, 816, 718 cm^{-1}. ^1H NMR ($CDCl_3$, 400 MHz, TMS) δ 1.13-1.17 (m, 1H, CH_2), 1.54-1.59 (m, 1H, CH_2), 1.66-1.73 (m, 1H, CH), 2.41 (s, 3H, CH_3), 2.95-3.09 (m, 2H, CH_2), 4.85 (t, J = 6.4 Hz, 1H, NH), 6.68 (d, J = 2.0 Hz, 1H, CH), 7.29-7.32 (m, 4H, Ar), 7.42 (d, J = 8.4 Hz, 2H, Ar), 7.77 (d, J = 8.4 Hz, 2H, Ar). ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 6.8, 16.0, 21.3, 45.0, 119.3, 120.6, 126.3, 126.8, 127.9, 129.6, 131.5, 135.8, 136.6, 143.3. MS (EI) *m/z* (%) 391 (M^+, 4.68), 281 (9.84), 207 (29.17), 184 (82.31), 155 (84.51), 128 (95.90), 91 (100.00), 65 (23.57). HRMS (EI) Calcd. for $C_{18}H_{18}NO_2SBr$ (M^+): 391.0242, Found: 391.0238.







The crystal data of **2a** have been deposited in CCDC with number 816966. Empirical Formula: $C_{18}H_{18}BrNO_3S$; Formula Weight: 408.30; Crystal Color, Habit: colorless; Crystal Dimensions: 0.315 x 0.156 x 0.089 mm; Crystal System: Monoclinic; Lattice Type: Primitive; Lattice Parameters: a = 11.4860(17)Å, b = 7.4766(11)Å, c = 20.639(3)Å, $\alpha = 90^o$, $\beta = 95.970(3)^o$, $\gamma = 90^o$, V = 1762.8(4)Å^3; Space group: P2(1)/n; Z = 4; D_{calc}= 1.538 g/cm^3; F_{000} = 832; Final R indices [I>2sigma(I)] R1 = 0.0579, wR2 = 0.1295.

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References

1): For the preparation of 2-(arylmethylene)cyclopropylcarbinols, see: (a) A. Turcant and L. M. Corre, *Tetrahedron Lett.* **1976**, *17*, 985; (b) L.M. Corre, A. Hercouet and B. Bessieres, *J. Org. Chem.* **1994**, *59*, 5483.