

Supporting Information

The [4 + 1] cyclization reaction of 2-hydroxyimides and the trimethylsulfoxonium iodide for the synthesis 3-amino-2,3- dihydrobenzofurans

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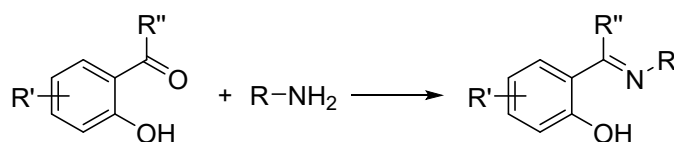
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1. General information

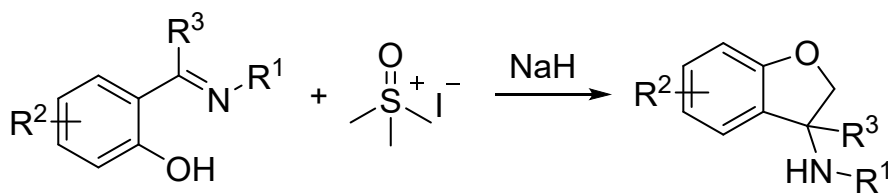
Unless otherwise noted, all chemicals were purchased from commercial suppliers (Adamas, Aladdin, J&K etc) and used without further purification. ^1H NMR and ^{13}C NMR were recorded at ambient temperature on a 400 MHz spectrometer (101 MHz for ^{13}C NMR). NMR experiments are reported in δ units, parts per million (ppm), and were referenced to CDCl_3 (δ 7.26 or 77.0 ppm) as the internal standard. The coupling constants J are given in Hertz. Mass spectra were recorded on BRUKER AutoflexIII Smartbeam MS-spectrometer. High resolution mass spectra (HRMS) were recorded on Bruker microTof by using ESI method. Column chromatography was performed using EM silica gel 60 (300–400 mesh).

2. General procedures and characterization data for all reaction products¹



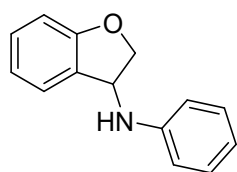
Scheme S1

The typical experimental procedure for synthesis of 2-((phenylimino)methyl)phenol **1a**: Salicylaldehyde (1.0 mmol, 0.104 mL), aniline (1.1 mmol, 0.101 mL) and ethanol absolute (5.0 mL) was charged in a 25 mL round bottom flask equipped with stirring bar. Then, the acetic acid (0.1 mmol, 0.006 mL) and 4Å MS was added into the reaction system. The reaction was heated up to 80 °C and was refluxed for 6 h. After the reaction finished, the mixture was cooled to -10 °C. The pure product **1a** was obtained by filtration and recrystallization.

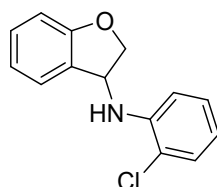


Scheme S2

The typical experimental procedure for synthesis of *N*-phenyl-2,3-dihydrobenzofuran-3-amine **2a**: trimethylsulfoxonium iodide (0.6 mmol, 0.196 mg), DMSO (5.0 mL) and NaH (0.8 mmol, w% 60%, 0.032 g) were added into a 25 mL schlenk flask under N₂ atmosphere at room temperature with a magnetic stirrer bar. After 15 minutes of stirring, the 2-((phenylimino)methyl)phenol **1a** (0.4 mmol, 52.6 mg) was added slowly. The mixture was continue stirred for 3 h at 40 °C under N₂ atmosphere. After the reaction finished, the reaction mixture was allowed to attain room temperature and was diluted with CH₂Cl₂ (3×10 mL). The mixture was filtered through Celite pad and washed sufficiently with H₂O (3×20 mL). The filtrate was concentrated under reduced pressure and then purified by column chromatography using hexane/ethyl acetate as eluents to afford the desired pure product **2a**.

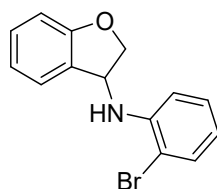


N-phenyl-2,3-dihydrobenzofuran-3-amine (**2a**). Colorless liquid. ¹H NMR (400 MHz, CDCl₃) δ 7.30 (d, *J* = 7.2 Hz, 1H), 7.23-7.12 (m, 3H), 6.91-6.80 (m, 2H), 6.73 (t, *J* = 7.2 Hz, 1H), 6.52 (d, *J* = 8.4 Hz, 2H), 5.10 (s, 1H), 4.61 (q, *J* = 7.2, 9.6 Hz, 1H), 4.31 (q, *J* = 7.2, 9.6 Hz, 1H), 3.89 (s, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 160.0, 146.2, 129.9, 129.3, 127.2, 125.2, 120.7, 118.0, 113.0, 110.1, 77.4, 55.0. HRMS (ESI) Calcd. for C₁₄H₁₄NO [M+H]⁺, 212.1075. Found: m/z 212.1078.

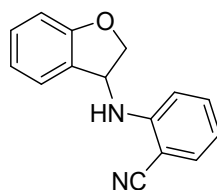


N-(2-chlorophenyl)-2,3-dihydrobenzofuran-3-amine (**2b**). Colorless liquid. ¹H NMR (400 MHz, CDCl₃) δ 7.37 (d, *J* = 7.2 Hz, 2H), 7.29-7.20 (m, 2H), 7.19-7.10 (m, 1H), 6.96-6.84 (m, 2H), 6.72-6.62 (m, 2H), 5.26-5.14 (m, 1H), 4.71 (q, *J* = 7.2, 9.2 Hz, 1H), 4.61 (d, *J* = 6.8 Hz, 1H),

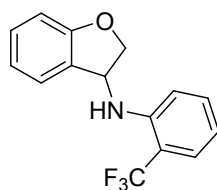
4.36 (q, $J = 4.4, 9.6$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.1, 142.3, 130.2, 129.5, 127.7, 126.7, 125.2, 121.0, 119.5, 118.0, 111.3, 110.3, 77.5, 55.1. HRMS (ESI) Calcd. for $\text{C}_{14}\text{H}_{13}\text{ClNO}$ $[\text{M}+\text{H}]^+$, 246.0686. Found: m/z 246.0688.



N-(2-bromophenyl)-2,3-dihydrobenzofuran-3-amine (**2c**). Colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.45-7.38 (m, 1H), 7.35 (d, $J = 7.6$ Hz, 1H), 7.27-7.20 (m, 1H), 7.19-7.12 (m, 1H), 6.95-6.81 (m, 2H), 6.66-6.52 (m, 2H), 5.22-5.11 (m, 1H), 4.71 (q, $J = 7.2, 9.6$ Hz, 1H), 4.62 (d, $J = 6.8$ Hz, 1H), 4.33 (q, $J = 4.4, 7.6$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.1, 143.3, 132.7, 130.2, 128.4, 126.6, 125.1, 121.0, 118.5, 111.3, 110.3, 109.9, 77.4, 55.3. HRMS (ESI) Calcd. for $\text{C}_{14}\text{H}_{13}\text{BrNO}$ $[\text{M}+\text{H}]^+$, 290.0181. Found: m/z 290.0185.

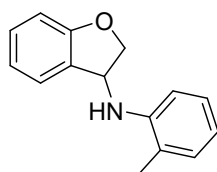


2-((2,3-dihydrobenzofuran-3-yl)amino)benzonitrile (**2d**). Colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.46-7.37 (m, 2H), 7.35 (d, $J = 7.6$ Hz, 1H), 7.29-7.22 (m, 1H), 6.78-6.66 (m, 2H), 6.93 (t, $J = 7.2$ Hz, 1H), 6.88 (d, $J = 8.0$ Hz, 1H), 6.78-6.66 (m, 2H), 5.34-5.17 (m, 1H), 4.83 (d, $J = 6.9$ Hz, 1H), 4.74 (q, $J = 7.6, 10.0$ Hz, 1H), 4.36 (q, $J = 4.0, 9.6$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.0, 148.2, 134.2, 133.1, 130.4, 125.6, 125.1, 121.1, 117.38, 117.3, 110.7, 110.3, 96.4, 76.9, 54.8. HRMS (ESI) Calcd. for $\text{C}_{15}\text{H}_{13}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$, 237.1028. Found: m/z 237.1031.

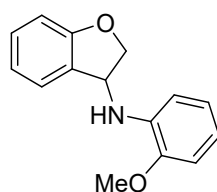


N-(2-(trifluoromethyl)phenyl)-2,3-dihydrobenzofuran-3-amine (**2e**). Colorless liquid.

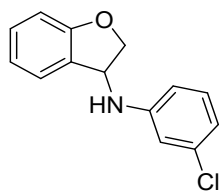
¹H NMR (400 MHz, CDCl₃) δ 7.47 (d, *J* = 7.6 Hz, 1H), 7.42-7.31 (m, 2H), 7.25 (t, *J* = 7.6 Hz, 1H), 6.94 (t, *J* = 7.2 Hz, 1H), 6.89 (d, *J* = 8.0 Hz, 1H), 6.78 (t, *J* = 7.6 Hz, 1H), 6.72 (d, *J* = 8.4 Hz, 1H). **¹³C NMR** (101 MHz, CDCl₃) δ 160.2, 144.0 (d, *J* = 1.2 Hz), 133.1, 130.4, 128.9, 127.0 (q, *J* = 5.3, 10.9 Hz), 126.5, 126.2, 125.0, 123.5, 121.2 (d, *J* = 39.1 Hz), 116.9, 114.3 (q, *J* = 29.2, 58.6 Hz), 112.1, 110.4, 77.6, 55.5. **HRMS** (ESI) Calcd. for C₁₅H₁₃F₃NO [M+H]⁺, 280.0949. Found: m/z 280.0950.



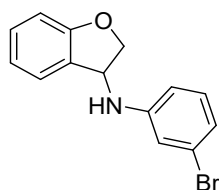
N-(*o*-tolyl)-2,3-dihydrobenzofuran-3-amine (**2f**). Colorless liquid. **¹H NMR** (400 MHz, CDCl₃) δ 7.36 (d, *J* = 7.6 Hz, 1H), 7.27-7.19 (m, 1H), 7.17-7.10 (m, 1H), 7.07 (d, *J* = 7.6 Hz), 6.94-6.83 (m, 2H), 6.74-6.67 (m, 1H), 6.59 (d, *J* = 7.6 Hz, 1H), 5.19 (q, *J* = 6.0, 10.0 Hz, 1H), 4.71 (q, *J* = 7.6, 9.6 Hz, 1H), 4.36 (q, *J* = 4.0, 9.6 Hz, 1H), 3.78 (d, *J* = 4.8 Hz, 1H), 2.05 (s, 3H). **¹³C NMR** (101 MHz, CDCl₃) δ 160.2, 144.3, 130.4, 130.0, 127.4, 127.0, 125.2, 122.4, 120.8, 117.7, 110.2, 109.9, 78.0, 55.1, 17.4. **HRMS** (ESI) Calcd. for C₁₅H₁₆NO [M+H]⁺, 226.1232. Found: m/z 226.1233.



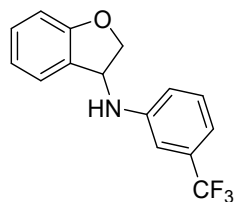
N-(2-methoxyphenyl)-2,3-dihydrobenzofuran-3-amine (**2g**). Colorless liquid. **¹H NMR** (400 MHz, CDCl₃) δ 7.39 (d, *J* = 7.2 Hz, 1H), 7.23 (t, *J* = 8.0 Hz, 1H), 6.96-6.85 (m, 3H), 6.78 (d, *J* = 8.0 Hz, 1H), 6.73 (t, *J* = 7.6 Hz, 1H), 6.65 (d, *J* = 7.6 Hz, 1H), 5.22 (q, *J* = 7.2 Hz, 1H), 4.75 (q, *J* = 7.2, 9.6 Hz, 1H), 4.55 (d, *J* = 6.0 Hz, 1H), 4.39 (q, *J* = 4.0, 9.6 Hz, 1H), 3.79 (s, 3H). **¹³C NMR** (101 MHz, CDCl₃) δ 160.2, 147.0, 136.3, 130.0, 127.5, 125.4, 121.1, 120.8, 117.4, 110.2, 110.0, 109.7, 77.8, 55.2, 55.0. **HRMS** (ESI) Calcd. for C₁₅H₁₆NO₂ [M+H]⁺, 242.1181. Found: m/z 242.1182.



N-(3-chlorophenyl)-2,3-dihydrobenzofuran-3-amine (**2h**). Colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.31 (d, $J = 7.2$ Hz, 1H), 7.23 (t, $J = 7.6$ Hz, 1H), 7.07 (t, $J = 8.0$ Hz, 1H), 6.94-6.82 (m, 2H), 6.71 (d, $J = 7.6$ Hz, 1H), 6.54 (s, 1H), 6.44 (dd, $J = 1.6, 8.4$ Hz, 1H), 5.16-5.06 (m, 1H), 4.64 (q, $J = 7.2, 9.6$ Hz, 1H), 4.33 (q, $J = 3.6, 9.6$ Hz, 1H), 4.01 (d, $J = 7.2$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.1, 147.4, 135.1, 130.4, 130.2, 126.8, 125.2, 120.9, 118.0, 112.7, 111.4, 110.3, 77.3, 55.1. HRMS (ESI) Calcd. for $\text{C}_{14}\text{H}_{12}\text{ClNO}$ $[\text{M}+\text{H}]^+$, 245.0607. Found: m/z 245.0609.

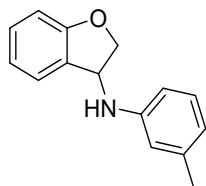


N-(3-bromophenyl)-2,3-dihydrobenzofuran-3-amine (**2i**). Colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.34 (d, $J = 7.6$ Hz, 1H), 7.25 (t, $J = 8.0$ Hz, 1H), 7.03 (t, $J = 8.0$ Hz, 1H), 6.96-6.82 (m, 3H), 6.74 (t, $J = 2.0$ Hz, 1H), 6.51 (q, $J = 5.6, 8.0$ Hz, 1H), 5.15 (q, $J = 3.2, 6.8$ Hz, 1H), 4.68 (q, $J = 7.2, 9.6$ Hz, 1H), 4.37 (q, $J = 4.0, 9.6$ Hz, 1H), 4.01 (d, $J = 6.0$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.1, 147.6, 130.7, 130.3, 126.8, 125.2, 123.4, 121.04, 121.0, 115.6, 111.8, 110.4, 77.4, 55.2. HRMS (ESI) Calcd. for $\text{C}_{14}\text{H}_{13}\text{BrNO}$ $[\text{M}+\text{H}]^+$, 290.0181. Found: m/z 290.0184.

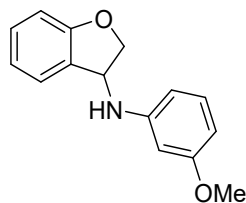


N-(3-(trifluoromethyl)phenyl)-2,3-dihydrobenzofuran-3-amine (**2j**). Colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.33 (d, $J = 7.6$ Hz, 1H), 7.30-7.20 (m, 2H), 7.00 (d, $J = 7.6$ Hz,

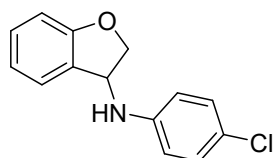
1H), 6.96-6.84 (m, 2H), 6.79 (s, 1H), 6.74 (d, $J = 8.4$ Hz, 1H), 5.19 (d, $J = 3.6$ Hz, 1H), 4.68 (q, $J = 7.6, 9.6$ Hz, 1H), 4.36 (q, $J = 4.0, 10.0$ Hz, 1H), 4.15 (s, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.1, 146.5, 131.9 (q, $J = 31.6, 63.3$ Hz), 130.4, 129.9, 128.2, 126.7, 125.5, 125.2, 122.8, 121.0, 120.1, 116.0, 114.6 (q, $J = 3.9, 7.7$ Hz), 110.4, 109.3 (q, $J = 4.0, 7.8$ Hz), 77.3, 55.2. **HRMS** (ESI) Calcd. for $\text{C}_{15}\text{H}_{13}\text{F}_3\text{NO}$ $[\text{M}+\text{H}]^+$, 280.0949. Found: m/z 280.0950.



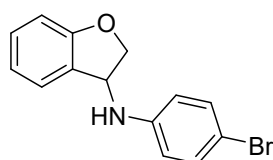
N-(*m*-tolyl)-2,3-dihydrobenzofuran-3-amine (**2k**). Colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.33 (d, $J = 7.2$ Hz, 1H), 7.22 (t, $J = 7.6$ Hz, 1H), 7.08 (t, $J = 8.0$ Hz, 1H), 6.94-6.82 (m, 2H), 6.59 (d, $J = 7.6$ Hz, 1H), 6.47-6.35 (m, 2H), 5.17 (q, $J = 4.0, 6.4$ Hz, 1H), 4.67 (q, $J = 7.2, 9.6$ Hz, 1H), 4.37 (q, $J = 4.0, 9.6$ Hz, 1H), 3.87 (s, 1H), 2.28 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.1, 146.4, 139.2, 130.0, 129.3, 127.4, 125.2, 120.8, 119.1, 114.0, 110.28, 110.2, 77.7, 55.3, 21.5. **HRMS** (ESI) Calcd. for $\text{C}_{15}\text{H}_{16}\text{NO}$ $[\text{M}+\text{H}]^+$, 226.1232. Found: m/z 226.1233.



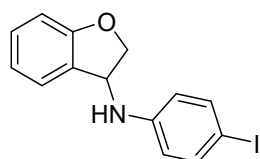
N-(3-methoxyphenyl)-2,3-dihydrobenzofuran-3-amine (**2l**). Colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.26 (d, $J = 7.6$ Hz, 1H), 7.21-7.12 (m, 1H), 7.03 (t, $J = 8.0$ Hz, 1H), 6.88-6.75 (m, 2H), 6.26 (dd, $J = 2.0, 8.4$ Hz, 1H), 6.15 (dd, $J = 2.0, 8.4$ Hz, 1H), 6.08 (t, $J = 2.0$ Hz, 1H), 5.09 (q, $J = 4.0, 7.2$ Hz, 1H), 4.61 (q, $J = 7.2, 9.6$ Hz, 1H), 4.32 (q, $J = 4.0, 9.6$ Hz, 1H), 3.89 (s, 1H), 3.68 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.9, 160.1, 147.7, 130.2, 130.1, 127.2, 125.2, 120.9, 110.3, 106.2, 103.1, 99.4, 77.6, 55.3, 55.0. **HRMS** (ESI) Calcd. for $\text{C}_{15}\text{H}_{16}\text{NO}_2$ $[\text{M}+\text{H}]^+$, 242.1181. Found: m/z 242.1184.



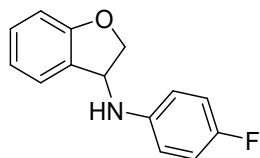
N-(4-chlorophenyl)-2,3-dihydrobenzofuran-3-amine (**2m**) White solid, m.p. (105-106 °C). **¹H NMR** (400 MHz, CDCl₃) δ 7.24 (d, *J* = 7.6 Hz, 1H), 7.19-7.11 (m, 1H), 7.09-7.00 (m, 2H), 6.85-6.74 (m, 2H), 6.46-6.37 (m, 2H), 5.02 (q, *J* = 3.6, 7.2 Hz, 1H), 4.55 (q, *J* = 7.2, 9.6 Hz, 1H), 4.25 (q, *J* = 4.0, 9.6 Hz, 1H), 3.86 (s, 1H). **¹³C NMR** (101 MHz, CDCl₃) δ 160.4, 144.8, 130.2, 129.2, 126.9, 125.2, 122.6, 120.9, 114.2, 110.3, 77.2, 55.3. **HRMS** (ESI) Calcd. for C₁₄H₁₃ClNO [M+H]⁺, 246.0686. Found: m/z 246.0688.



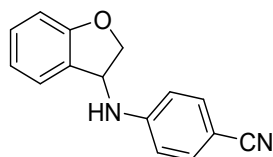
N-(4-bromophenyl)-2,3-dihydrobenzofuran-3-amine (**2n**). White solid, m.p. (108-109 °C). **¹H NMR** (400 MHz, CDCl₃) δ 7.31 (d, *J* = 7.2 Hz, 1H), 7.27-7.19 (m, 3H), 6.94-6.81 (m, 2H), 6.50-6.38 (m, 2H), 5.15-5.04 (m, 1H), 4.62 (q, *J* = 7.2, 9.6 Hz, 1H), 4.30 (q, *J* = 3.6, 9.6 Hz, 1H), 3.97 (d, *J* = 8.0 Hz, 1H). **¹³C NMR** (101 MHz, CDCl₃) δ 159.9, 145.2, 132.0, 130.2, 126.8, 125.1, 120.9, 114.6, 110.3, 109.6, 77.1, 55.1. **HRMS** (ESI) Calcd. for C₁₄H₁₃BrNO [M+H]⁺, 290.0181. Found: m/z 290.0185.



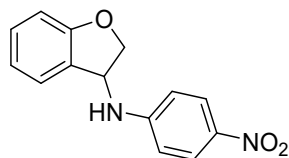
N-(4-iodophenyl)-2,3-dihydrobenzofuran-3-amine (**2o**). Yellow solid, m.p. (116-117 °C). **¹H NMR** (400 MHz, CDCl₃) δ 7.47 (d, *J* = 8.8 Hz, 2H), 7.35 (d, *J* = 7.6 Hz, 1H), 7.28-7.23 (m, 1H), 6.98-6.84 (m, 2H), 6.39 (d, *J* = 8.8 Hz, 2H), 5.21-5.09 (m, 1H), 4.74-4.62 (m, 1H), 4.44-4.30 (m, 1H), 3.99 (s, 1H). **¹³C NMR** (101 MHz, CDCl₃) δ 160.1, 145.9, 138.0, 130.0, 126.9, 125.2, 121.0, 115.4, 110.4, 78.9, 55.2. **HRMS** (ESI) Calcd. for C₁₄H₁₃INO [M+H]⁺, 338.0042. Found: m/z 338.0044.



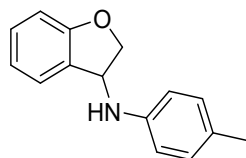
N-(4-fluorophenyl)-2,3-dihydrobenzofuran-3-amine (**2p**). Colorless liquid. $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.32 (d, $J = 7.2$ Hz, 1H), 7.26-7.19 (m, 1H), 6.95-6.83 (m, 4H), 6.57-6.48 (m, 2H), 5.11 (q, $J = 4.0, 6.8$ Hz, 1H), 4.67 (q, $J = 7.2, 10.0$ Hz, 1H), 4.35 (q, $J = 4.0, 9.6$ Hz, 1H), 3.82 (s, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 160.0, 157.2 (d, $J = 234.7$ Hz), 142.6 (d, $J = 1.6$ Hz), 130.1, 127.2, 125.2, 120.8, 116.0 (d, $J = 22.1$ Hz), 114.1 (d, $J = 7.4$ Hz), 110.3, 77.3, 55.8. **HRMS** (ESI) Calcd. for $\text{C}_{14}\text{H}_{13}\text{FNO}$ $[\text{M}+\text{H}]^+$, 230.0981. Found: m/z 230.0984.



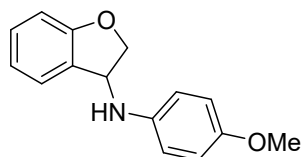
4-((2,3-dihydrobenzofuran-3-yl)amino)benzonitrile (**2q**). Colorless liquid. $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.47 (d, $J = 8.8$ Hz, 2H), 7.36 (d, $J = 7.2$ Hz, 1H), 7.32-7.24 (m, 1H), 6.95 (t, $J = 7.2$ Hz, 1H), 6.89 (d, $J = 8.4$ Hz, 1H), 6.61 (d, $J = 8.8$ Hz, 2H), 5.27-5.18 (m, 1H), 4.72 (q, $J = 7.2, 10.0$ Hz, 1H), 4.51 (d, $J = 7.2$ Hz, 1H), 4.40 (q, $J = 3.6, 9.6$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 160.2, 149.4, 133.9, 130.6, 126.0, 125.1, 121.2, 119.9, 112.6, 110.6, 101.0, 77.0, 54.8. **HRMS** (ESI) Calcd. for $\text{C}_{15}\text{H}_{13}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$, 237.1028. Found: m/z 237.1030.



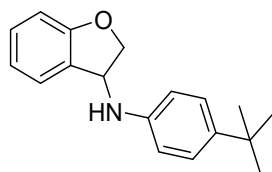
N-(4-nitrophenyl)-2,3-dihydrobenzofuran-3-amine (**2r**). Yellow liquid. $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.14 (d, $J = 8.8$ Hz, 2H), 7.38 (d, $J = 7.2$ Hz, 1H), 7.30 (t, $J = 8.0$ Hz, 1H), 6.97 (t, $J = 7.6$ Hz, 1H), 6.91 (d, $J = 8.0$ Hz, 1H), 6.59 (d, $J = 9.2$ Hz, 2H), 5.33-5.24 (m, 1H), 4.80-4.67 (m, 2H), 4.41 (q, $J = 3.6, 9.6$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 160.2, 151.3, 138.9, 130.8, 126.5, 125.7, 125.2, 121.3, 111.5, 110.7, 77.0, 55.1. **HRMS** (ESI) Calcd. for $\text{C}_{14}\text{H}_{13}\text{N}_2\text{O}_3$ $[\text{M}+\text{H}]^+$, 257.0926. Found: m/z 257.0927.



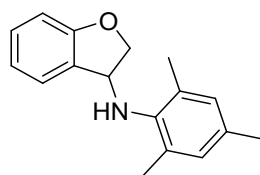
N-(*p*-tolyl)-2,3-dihydrobenzofuran-3-amine (**2s**). Colorless liquid. $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.31 (d, $J = 7.2$ Hz, 1H), 7.23-7.17 (m, 1H), 7.00 (d, $J = 8.0$ Hz, 2H), 6.90-6.81 (m, 2H), 6.48 (d, $J = 8.4$ Hz, 2H), 5.11 (q, $J = 4.0, 7.2$ Hz, 1H), 4.62 (q, $J = 7.6, 9.6$ Hz, 1H), 4.33 (q, $J = 4.0, 9.6$ Hz, 1H), 3.78 (s, 1H), 2.23 (s, 3H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 160.0, 144.0, 129.9, 129.8, 127.4, 127.3, 125.2, 120.7, 113.3, 110.1, 77.5, 55.4, 20.3. **HRMS** (ESI) Calcd. for $\text{C}_{15}\text{H}_{16}\text{NO}$ $[\text{M}+\text{H}]^+$, 226.1232. Found: m/z 226.1234.



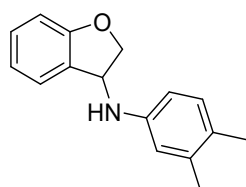
N-(4-methoxyphenyl)-2,3-dihydrobenzofuran-3-amine (**2t**). White solid, m.p. (50-51 $^\circ\text{C}$). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.30 (d, $J = 7.2$ Hz, 1H), 7.24-7.17 (m, 1H), 6.91-6.81 (m, 2H), 6.80-6.74 (m, 2H), 6.58-6.51 (m, 2H), 5.09 (q, $J = 4.0, 7.2$ Hz, 1H), 4.63 (q, $J = 7.2, 9.6$ Hz, 1H), 4.34 (q, $J = 4.0, 9.6$ Hz, 1H), 3.71 (s, 3H), 3.66 (s, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 159.9, 152.4, 140.3, 129.8, 127.5, 125.1, 120.6, 114.8, 114.6, 110.1, 77.3, 56.0, 55.5. **HRMS** (ESI) Calcd. for $\text{C}_{15}\text{H}_{16}\text{NO}_2$ $[\text{M}+\text{H}]^+$, 242.1181. Found: m/z 242.1184.



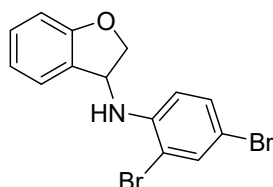
N-(4-(*tert*-butyl)phenyl)-2,3-dihydrobenzofuran-3-amine (**2u**). Colorless liquid. $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.34 (d, $J = 7.2$ Hz, 1H), 7.29-7.18 (m, 3H), 6.95-6.82 (m, 2H), 6.57 (d, $J = 8.4$ Hz, 2H), 5.16 (q, $J = 4.0, 7.2$ Hz, 1H), 4.69 (q, $J = 7.2, 9.6$ Hz, 1H), 4.40 (q, $J = 4.0, 9.2$ Hz, 1H), 3.87 (s, 1H), 1.29 (s, 9H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 160.1, 143.9, 140.9, 130.0, 127.4, 126.2, 125.2, 120.8, 112.7, 110.2, 77.8, 55.4, 33.8, 31.4. **HRMS** (ESI) Calcd. for $\text{C}_{18}\text{H}_{22}\text{NO}$ $[\text{M}+\text{H}]^+$, 268.1701. Found: m/z 268.1706.



N-mesityl-2,3-dihydrobenzofuran-3-amine (**4a**). Colorless liquid. $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.19 (t, $J = 8.0$ Hz, 1H), 7.05 (d, $J = 7.2$ Hz, 1H), 6.89-6.77 (m, 4H), 4.85 (q, $J = 3.2, 7.2$ Hz, 1H), 4.51 (q, $J = 9.6, 10.0$ Hz, 1H), 4.39 (q, $J = 3.2, 9.6$ Hz, 1H), 3.21 (s, 1H), 2.23 (s, 3H), 2.15 (s, 6H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 159.7, 140.9, 129.6, 129.59, 129.5, 128.8, 125.2, 120.6, 110.2, 77.2, 58.9, 20.5, 18.5. **HRMS** (ESI) Calcd. for $\text{C}_{17}\text{H}_{20}\text{NO}$ $[\text{M}+\text{H}]^+$, 254.1545. Found: m/z 254.1547.

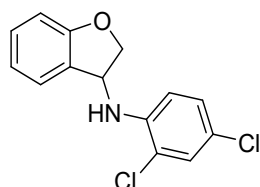


N-(3,4-dimethylphenyl)-2,3-dihydrobenzofuran-3-amine (**4b**). Colorless liquid. $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.24 (d, $J = 7.6$ Hz, 1H), 7.17-7.07 (m, 1H), 6.85 (d, $J = 8.0$ Hz, 1H), 6.83-6.71 (m, 2H), 6.32 (s, 1H), 6.29 (dd, $J = 2.0, 8.0$ Hz, 1H), 5.06 (q, $J = 4.0, 7.2$ Hz, 1H), 4.57 (q, $J = 3.2, 9.6$ Hz, 1H), 4.26 (q, $J = 4.0, 9.6$ Hz, 1H), 3.65 (s, 1H), 2.10 (s, 3H), 2.07 (s, 3H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 160.1, 144.5, 137.6, 130.4, 130.0, 127.6, 126.3, 125.2, 120.8, 115.2, 110.6, 110.2, 77.7, 55.6, 20.0, 18.6. **HRMS** (ESI) Calcd. for $\text{C}_{16}\text{H}_{18}\text{NO}$ $[\text{M}+\text{H}]^+$, 240.1388. Found: m/z 240.1390.

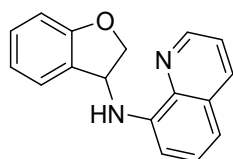


N-(2,4-dibromophenyl)-2,3-dihydrobenzofuran-3-amine (**4c**). White solid, m.p. (89-90 °C). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.58 (s, 1H), 7.37 (t, $J = 7.2$ Hz, 1H), 7.35-7.26 (m, 2H), 7.02-6.85 (m, 2H), 6.55 (d, $J = 8.4$ Hz, 1H), 5.19 (q, $J = 7.2$ Hz, 1H), 4.74 (q, $J = 8.0, 8.8$ Hz, 1H),

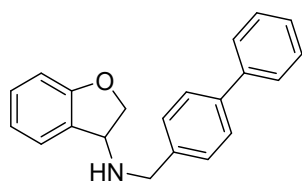
4.63 (s, 1H), 4.41-4.30 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.2, 142.6, 134.8, 131.2, 130.5, 126.4, 125.2, 121.2, 112.4, 110.5, 110.4, 109.1, 77.3, 55.5. HRMS (ESI) Calcd. for $\text{C}_{14}\text{H}_{12}\text{Br}_2\text{NO}$ $[\text{M}+\text{H}]^+$, 367.9286. Found: m/z 367.9287.



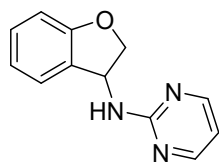
N-(2,4-dichlorophenyl)-2,3-dihydrobenzofuran-3-amine (**4d**). White solid, m.p. (66-67 °C). ^1H NMR (400 MHz, CDCl_3) δ 7.37 (d, $J = 7.2$ Hz, 1H), 7.32-7.22 (m, 2H), 7.14 (dd, $J = 3.2, 8.8$ Hz, 1H), 6.95 (t, $J = 7.6$ Hz, 1H), 6.91 (d, $J = 8.4$ Hz, 1H), 5.25-5.15 (m, 1H), 4.73 (q, $J = 7.6, 9.6$ Hz, 1H), 4.58 (d, $J = 6.8$ Hz, 1H), 4.37 (q, $J = 4.0, 9.6$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.2, 141.2, 130.4, 129.2, 127.7, 126.4, 125.2, 122.1, 120.0, 111.9, 110.5, 77.3, 55.4. HRMS (ESI) Calcd. for $\text{C}_{14}\text{H}_{12}\text{Cl}_2\text{NO}$ $[\text{M}+\text{H}]^+$, 280.0296. Found: m/z 280.0297.



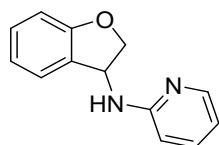
N-(2,3-dihydrobenzofuran-3-yl)quinolin-8-amine (**4e**). Brown liquid. ^1H NMR (400 MHz, CDCl_3) δ 8.65 (d, $J = 3.6$ Hz, 1H), 8.06 (d, $J = 8.4$ Hz, 1H), 7.51-7.33 (m, 3H), 7.26 (t, $J = 8.4$ Hz, 1H), 7.13 (d, $J = 8.0$ Hz, 1H), 7.01-6.85 (m, 2H), 6.74 (d, $J = 7.2$ Hz, 1H), 6.48 (s, 1H), 5.42 (d, $J = 4.0$ Hz, 1H), 4.82 (t, $J = 8.0$ Hz, 1H), 4.52 (q, $J = 4.0, 9.6$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.3, 147.1, 143.1, 138.2, 135.9, 130.0, 128.7, 127.4, 127.2, 125.5, 121.6, 120.9, 114.9, 110.3, 104.9, 77.6, 54.9. HRMS (ESI) Calcd. for $\text{C}_{17}\text{H}_{15}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$, 263.1184. Found: m/z 263.1187.



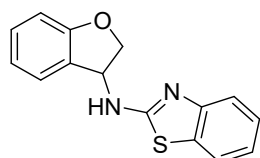
N-([1,1'-biphenyl]-4-ylmethyl)-2,3-dihydrobenzofuran-3-amine (**4f**). White solid, m.p. (48-49 °C). ¹H NMR (400 MHz, CDCl₃) δ 7.56 (t, *J* = 8.8 Hz, 4H), 7.42 (t, *J* = 8.0 Hz, 4H), 7.38-7.29 (m, 2H), 7.25-7.17 (m, 1H), 6.91 (t, *J* = 7.2 Hz, 1H), 6.86 (d, *J* = 8.0 Hz, 1H), 4.95-4.48 (m, 2H), 4.47-4.36 (m, 1H), 3.91 (q, *J* = 13.2, 30.4 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 160.0, 140.8, 140.1, 138.9, 129.5, 128.7, 128.6, 128.5, 127.2, 127.0, 120.5, 110.2, 77.2, 59.3, 50.4. **HRMS** (ESI) Calcd. for C₂₁H₂₀NO [M+H]⁺, 302.1545. Found: m/z 302.1548.



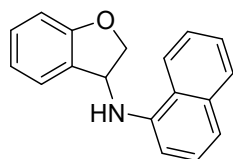
N-(2,3-dihydrobenzofuran-3-yl)pyrimidin-2-amine (**4g**). White solid, m.p. (157-158 °C). ¹H NMR (400 MHz, CDCl₃) δ 8.05 (s, 2H), 7.37 (d, *J* = 7.2 Hz, 1H), 7.28-7.20 (m, 1H), 6.91 (dd, *J* = 8.4, 16.0 Hz, 2H), 6.50 (t, *J* = 4.8 Hz, 2H), 5.75-5.62 (m, 1H), 4.79 (q, *J* = 7.6, 9.6 Hz, 1H), 4.40 (q, *J* = 4.0, 9.6 Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 161.4, 160.5, 157.9, 130.0, 126.8, 125.5, 120.9, 111.1, 110.3, 78.4, 53.3. **HRMS** (ESI) Calcd. for C₁₂H₁₂N₃O [M+H]⁺, 214.0980. Found: m/z 214.0984.



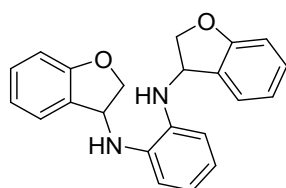
N-(2,3-dihydrobenzofuran-3-yl)pyridin-2-amine (**4h**). White solid, m.p. (168-169 °C). ¹H NMR (400 MHz, CDCl₃) δ 8.13 (d, *J* = 4.4 Hz, 1H), 7.49-7.33 (m, 2H), 7.30-7.20 (m, 1H), 6.97-6.83 (m, 2H), 6.63 (q, *J* = 4.2, 6.4 Hz, 1H), 6.40 (d, *J* = 8.0 Hz, 1H), 5.70-5.57 (m, 1H), 4.79 (q, *J* = 7.2, 9.6 Hz, 1H), 4.67 (d, *J* = 6.8 Hz, 1H), 4.41 (q, *J* = 4.0, 9.6 Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 160.4, 157.2, 148.0, 137.3, 130.0, 127.3, 125.2, 120.8, 113.6, 110.3, 108.4, 78.5, 53.5. **HRMS** (ESI) Calcd. for C₁₃H₁₃N₂O [M+H]⁺, 213.1028. Found: m/z 213.1029.



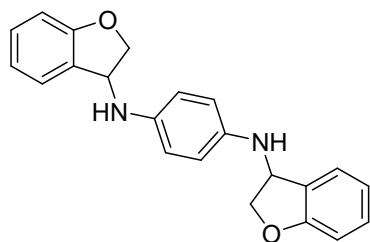
N-(2,3-dihydrobenzofuran-3-yl)benzo[d]thiazol-2-amine (**4i**). White solid, m.p. (174-175 °C). ¹H NMR (400 MHz, CDCl₃) δ 7.57 (dd, *J* = 8.0, 12.8 Hz, 2H), 7.43 (d, *J* = 7.6 Hz, 1H), 7.36-7.22 (m, 2H), 6.98-6.85 (m, 2H), 5.68 (q, *J* = 3.6, 7.6 Hz, 1H), 5.52 (s, 1H), 4.80 (q, *J* = 7.2, 10.0 Hz, 1H), 4.56 (q, *J* = 3.6, 10.4 Hz, 1H), 5.68 (q, *J* = 3.6, 7.6 Hz, 1H), 5.52 (s, 1H), 4.80 (q, *J* = 7.2, 10.4 Hz, 1H), 4.56 (q, *J* = 3.6, 10.4 Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 165.0, 160.4, 152.2, 130.6, 126.5, 125.7, 125.5, 122.1, 121.1, 120.8, 119.4, 110.5, 77.7, 56.7. HRMS (ESI) Calcd. for C₁₅H₁₃N₂OS [M+H]⁺, 269.0749. Found: m/z 269.0750.



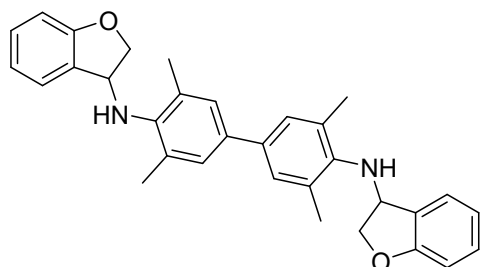
N-(naphthalen-1-yl)-2,3-dihydrobenzofuran-3-amine (**4j**). White solid, m.p. (131-132 °C). ¹H NMR (400 MHz, CDCl₃) δ 7.80 (d, *J* = 8.0 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 2H), 7.41-7.33 (m, 2H), 7.29 (t, *J* = 7.6 Hz, 2H), 7.00-6.89 (m, 2H), 6.61 (d, *J* = 7.2 Hz, 1H), 5.37 (q, *J* = 4.0, 10.8 Hz, 1H), 4.82 (q, *J* = 7.6, 9.6 Hz, 1H), 4.62 (s, 1H), 4.50 (q, *J* = 4.0, 9.6 Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 160.4, 141.6, 134.4, 130.3, 128.7, 127.3, 126.3, 126.0, 125.4, 125.0, 123.4, 121.0, 119.9, 118.4, 110.4, 77.8, 55.5. HRMS (ESI) Calcd. for C₁₈H₁₆NO [M+H]⁺, 262.1232. Found: m/z 262.1235.



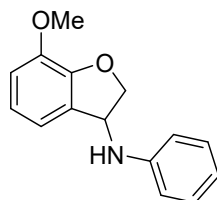
*N*¹,*N*²-bis(2,3-dihydrobenzofuran-3-yl)benzene-1,2-diamine (**4k**). Yellow liquid. ¹H NMR (400 MHz, CDCl₃) δ 7.29 (d, *J* = 7.6 Hz, 2H), 7.21 (t, *J* = 8.0 Hz, 2H), 6.92-6.81 (m, 6H), 6.72-6.65 (m, 2H), 5.12 (s, 2H), 4.74-4.65 (m, 2H), 4.37 (q, *J* = 4.0, 9.6 Hz, 2H), 3.58 (s, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 160.2, 135.8, 130.0, 127.5, 127.4, 125.4, 125.3, 120.9, 120.1, 113.2, 113.1, 110.2, 77.6, 77.5, 55.58, 55.5. HRMS (ESI) Calcd. for C₂₂H₂₁N₂O₂ [M+H]⁺, 345.1603. Found: m/z 345.1605.



N',N'-bis(2,3-dihydrobenzofuran-3-yl)benzene-1,4-diamine (**4l**). Yellow liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.35 (d, $J = 7.2$ Hz, 2H), 7.24 (t, $J = 6.0$ Hz, 2H), 6.97-6.82 (m, 4H), 6.59 (s, 4H), 5.15 (q, $J = 4.0, 6.8$ Hz, 2H), 4.68 (t, $J = 7.6$ Hz, 2H), 4.42 (q, $J = 3.6, 9.6$ Hz, 2H), 3.59 (s, 2H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.1, 139.3, 130.0, 127.7, 125.2, 120.8, 115.5, 110.3, 77.5, 56.5. HRMS (ESI) Calcd. for $\text{C}_{22}\text{H}_{21}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 345.1603. Found: m/z 345.1604.



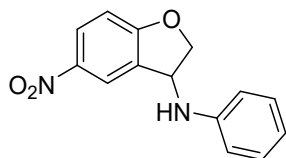
N',N'-bis(2,3-dihydrobenzofuran-3-yl)-3,3',5,5'-tetramethyl-[1,1'-biphenyl]-4,4'-diamine (**4m**). Colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.27-7.17 (m, 6H), 7.09 (d, $J = 7.6$ Hz, 2H), 6.92-6.79 (m, 4H), 4.95 (q, $J = 3.2, 7.6$ Hz, 2H), 4.56 (t, $J = 9.6$ Hz, 2H), 4.44 (q, $J = 3.2, 10.0$ Hz, 2H), 3.39 (s, 2H), 2.25 (s, 12H). ^{13}C NMR (101 MHz, CDCl_3) δ 159.7, 142.6, 134.8, 129.7, 128.7, 127.2, 125.2, 120.7, 110.3, 77.4, 58.9, 18.9. HRMS (ESI) Calcd. for $\text{C}_{32}\text{H}_{33}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 477.2542. Found: m/z 477.2545.



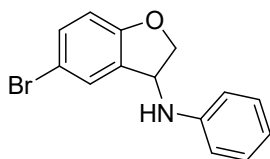
7-methoxy-*N*-phenyl-2,3-dihydrobenzofuran-3-amine (**6a**). White solid, m.p. (80-81 $^{\circ}\text{C}$). ^1H NMR (400 MHz, CDCl_3) δ 7.23-7.16 (m, 2H), 6.95 (dd, $J = 1.0, 7.2$ Hz, 1H), 6.90-6.81 (m, 2H), 6.76 (t, $J = 7.2$ Hz, 1H), 6.59 (d, $J = 7.6$ Hz, 2H), 5.21 (q, $J = 4.0, 6.4$ Hz, 1H), 4.75 (q, $J = 7.2, 10.0$ Hz, 1H), 4.45 (q, $J = 4.0, 9.6$ Hz, 1H), 3.96 (s, 1H), 3.87 (s, 3H). ^{13}C NMR (101 MHz,

CDCl₃) δ 148.5, 146.2, 144.8, 129.3, 128.3, 121.5, 118.1, 116.9, 113.0, 112.3, 78.1, 55.8, 55.7.

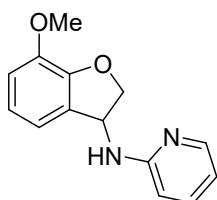
HRMS (ESI) Calcd. for C₁₅H₁₆NO₂ [M+H]⁺, 242.1181. Found: m/z 242.1184.



5-nitro-*N*-phenyl-2,3-dihydrobenzofuran-3-amine (**6b**). Yellow liquid. **¹H NMR** (400 MHz, CDCl₃) δ 8.26 (s, 1H), 8.22 (dd, *J* = 2.0, 8.8 Hz, 1H), 7.24 (t, *J* = 6.8 Hz, 2H), 6.94 (d, *J* = 8.8 Hz, 1H), 6.82 (t, *J* = 7.2 Hz, 1H), 6.65 (d, *J* = 8.0 Hz, 2H), 5.31 (q, *J* = 7.2, 9.6 Hz, 1H), 4.91 (q, *J* = 8.0, 10.0 Hz, 1H), 3.99 (d, *J* = 7.2 Hz, 1H). **¹³C NMR** (101 MHz, CDCl₃) δ 165.4, 145.6, 142.2, 129.6, 128.9, 127.3, 121.9, 119.0, 113.3, 110.4, 79.6, 54.5. **HRMS** (ESI) Calcd. for C₁₄H₁₃N₂O₃ [M+H]⁺, 257.0926. Found: m/z 257.0929.

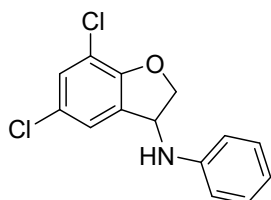


5-bromo-*N*-phenyl-2,3-dihydrobenzofuran-3-amine (**6c**). Colorless liquid. **¹H NMR** (400 MHz, CDCl₃) δ 7.44 (s, 1H), 7.34 (d, *J* = 8.4 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 2H), 6.83-6.71 (m, 2H), 6.62 (d, *J* = 7.6 Hz, 2H), 5.19 (s, 1H), 4.72 (t, *J* = 7.6 Hz, 1H), 4.45-4.34 (m, 1H), 3.92 (s, 1H). **¹³C NMR** (101 MHz, CDCl₃) δ 159.3, 146.0, 132.9, 129.7, 129.5, 128.2, 118.5, 113.2, 112.4, 111.9, 78.1, 55.2. **HRMS** (ESI) Calcd. for C₁₄H₁₃BrNO [M+H]⁺, 290.0181. Found: m/z 290.0182.

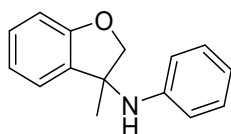


N-(7-methoxy-2,3-dihydrobenzofuran-3-yl)pyridin-2-amine (**6d**). White solid, m.p. (85-86 °C). **¹H NMR** (400 MHz, CDCl₃) δ 8.12 (dd, *J* = 0.8, 4.8 Hz, 1H), 7.47-7.36 (m, 1H), 6.99 (dd, *J* = 0.4, 7.2 Hz, 1H), 6.92-6.81 (m, 2H), 6.67-6.59 (m, 1H), 6.40 (d, *J* = 8.4 Hz, 1H), 5.71-5.60 (m, 1H), 4.86 (q, *J* = 3.6, 9.6 Hz, 1H), 4.72 (d, *J* = 7.2 Hz, 1H), 4.46 (q, *J* = 4.0, 10.0 Hz,

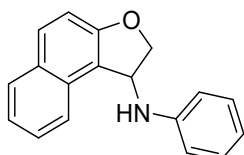
1H), 3.89 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 157.1, 148.8, 147.9, 144.8, 137.3, 128.2, 121.5, 117.0, 113.5, 112.3, 108.4, 79.1, 55.9, 54.0. **HRMS** (ESI) Calcd. for C₁₄H₁₅N₂O₂ [M+H]⁺, 243.1134. Found: m/z 243.1136.



5,7-dichloro-*N*-phenyl-2,3-dihydrobenzofuran-3-amine (**6e**). Colorless liquid. ¹H NMR (400 MHz, CDCl₃) δ 7.19 (t, *J* = 9.2 Hz, 4H), 6.78 (t, *J* = 7.6 Hz, 1H), 6.59 (d, *J* = 8.4 Hz, 2H), 5.22 (s, 1H), 4.79 (q, *J* = 3.6, 9.6 Hz, 1H), 4.43 (q, *J* = 4.4, 9.6 Hz, 1H), 3.91 (s, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 154.8, 145.6, 130.3, 129.7, 129.5, 125.8, 123.7, 118.8, 116.2, 113.3, 78.6, 55.8. **HRMS** (ESI) Calcd. for C₁₄H₁₂Cl₂NO [M+H]⁺, 280.0296. Found: m/z 280.0298.



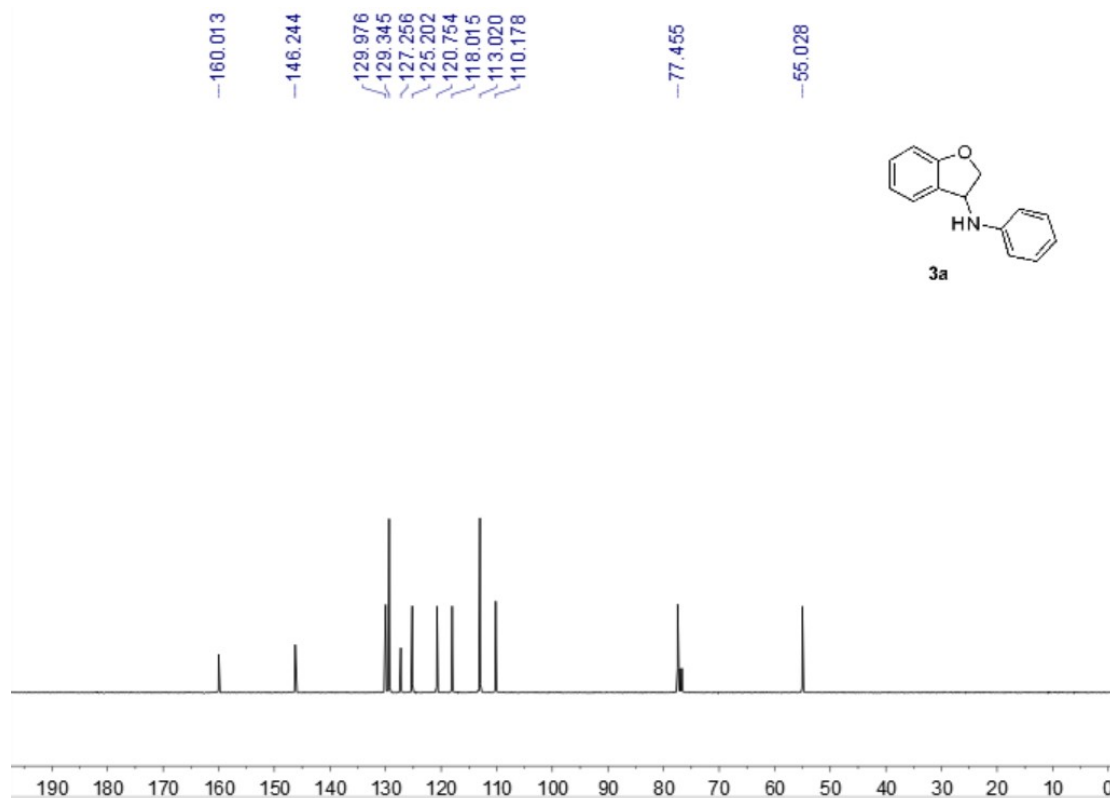
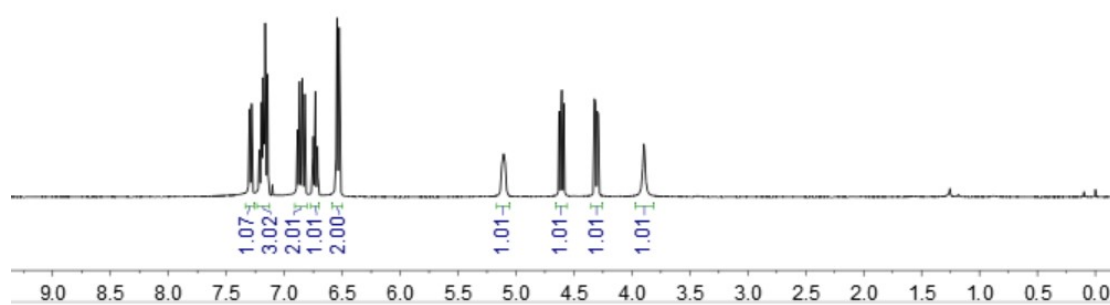
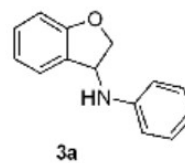
3-methyl-*N*-phenyl-2,3-dihydrobenzofuran-3-amine (**6f**). Colorless liquid. ¹H NMR (400 MHz, CDCl₃) δ 7.27-7.16 (m, 2H), 7.07 (t, *J* = 7.6 Hz, 2H), 6.94-6.83 (m, 2H), 6.68 (t, *J* = 7.2 Hz, 1H), 6.45 (d, *J* = 8.0 Hz, 2H), 4.80 (d, *J* = 5.2 Hz, 1H), 4.30 (d, *J* = 9.2 Hz, 1H), 4.00 (s, 1H), 1.71 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 159.4, 144.9, 132.0, 129.4, 129.1, 123.0, 120.9, 118.0, 114.9, 110.2, 79.2, 62.0, 29.2. **HRMS** (ESI) Calcd. for C₁₅H₁₆NO [M+H]⁺, 226.1232. Found: m/z 226.1233.

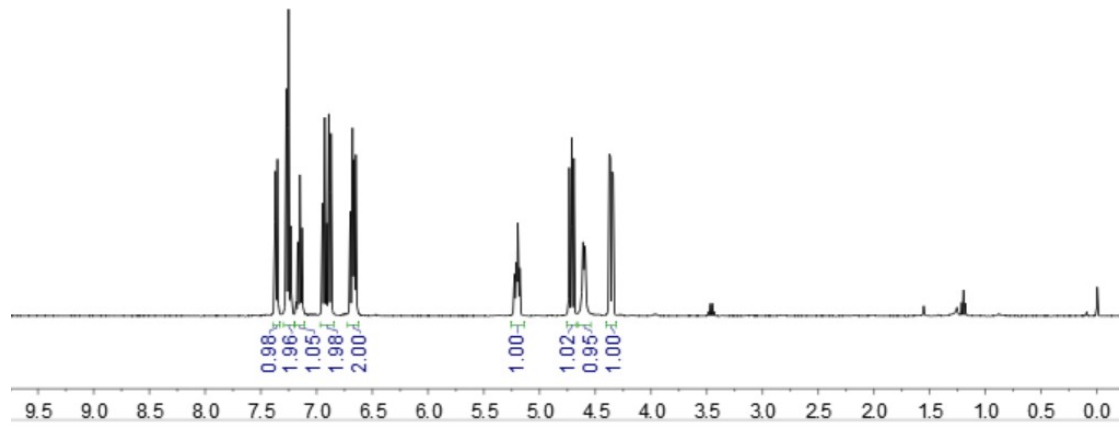
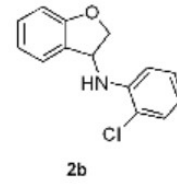


N-phenyl-1,2-dihydronaphtho[2,1-*b*]furan-1-amine (**6g**). White solid, m.p. (127-128 °C). ¹H NMR (400 MHz, CDCl₃) δ 7.89-7.73 (m, 3H), 7.45 (t, *J* = 3.6 Hz, 1H), 7.33 (t, *J* = 7.6 Hz, 1H), 7.23 (t, *J* = 8.0 Hz, 2H), 7.17 (d, *J* = 8.8 Hz, 1H), 6.78 (t, *J* = 7.2 Hz, 1H), 6.64 (d, *J* = 8.0 Hz,

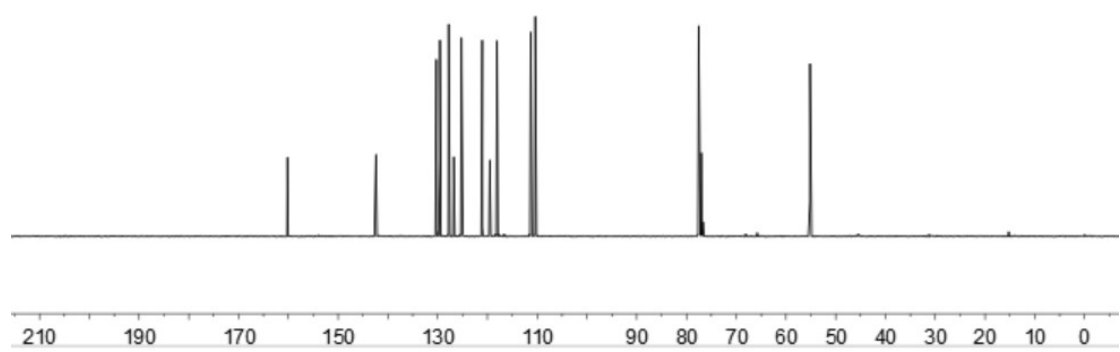
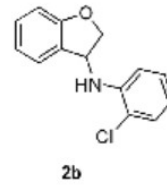
2H), 5.57 (s, 1H), 4.82 (t, $J = 7.2$ Hz, 1H), 4.65 (q, $J = 2.8, 9.6$ Hz, 1H), 4.06 (s, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 158.4, 146.5, 131.4, 130.6, 129.5, 128.8, 127.3, 123.3, 122.3, 118.0, 117.9, 113.0, 112.5, 78.7, 55.1. **HRMS** (ESI) Calcd. for $\text{C}_{18}\text{H}_{16}\text{NO}$ $[\text{M}+\text{H}]^+$, 262.1232. Found: m/z 262.1235.

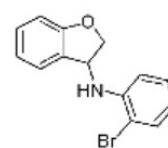
3. NMR spectra copies



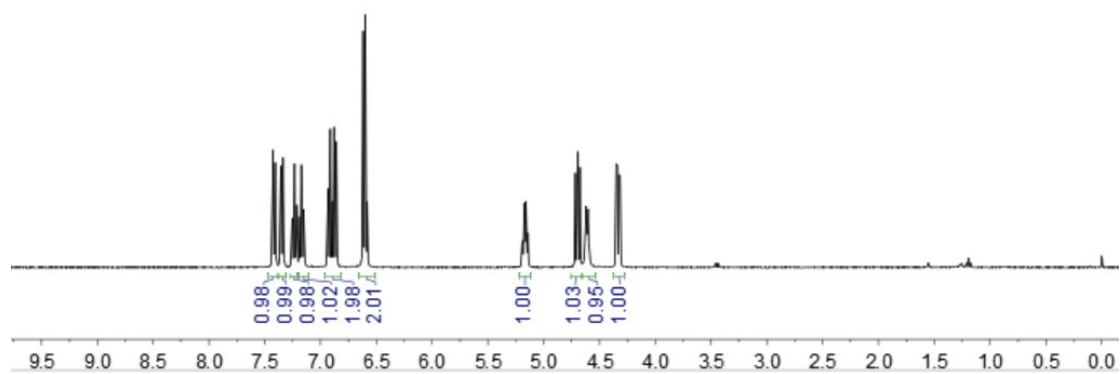


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129.539
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126.746
125.216
121.041
119.524
118.073
111.306
110.372
77.546
55.159



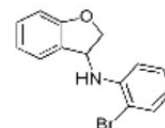


2c

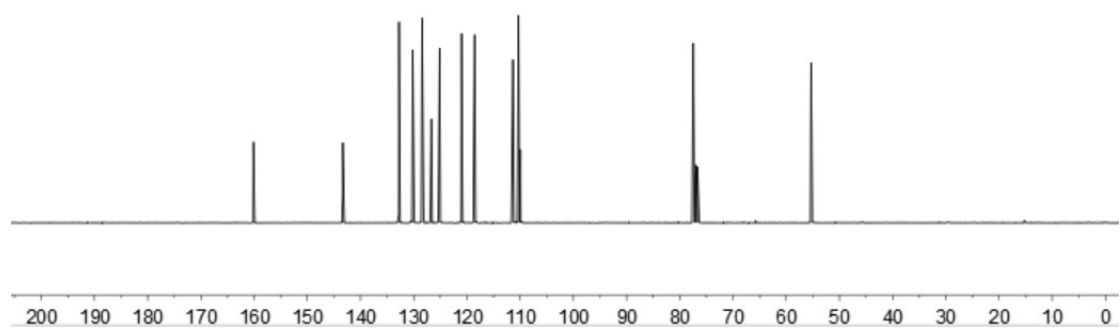


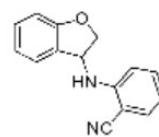
Chemical shift values (ppm) for the ¹³C NMR spectrum:

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- 125.133
- 121.003
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- 111.361
- 110.315
- 109.969
- 77.476
- 55.306

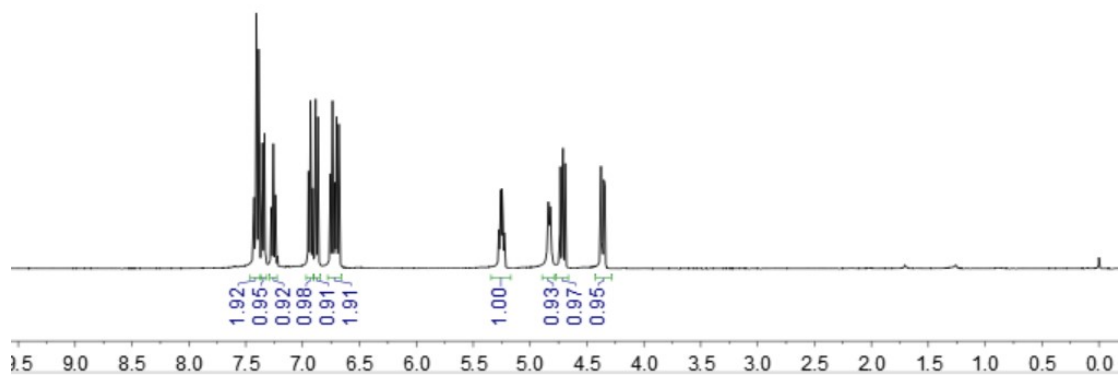


2c

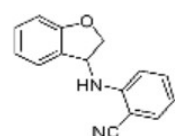




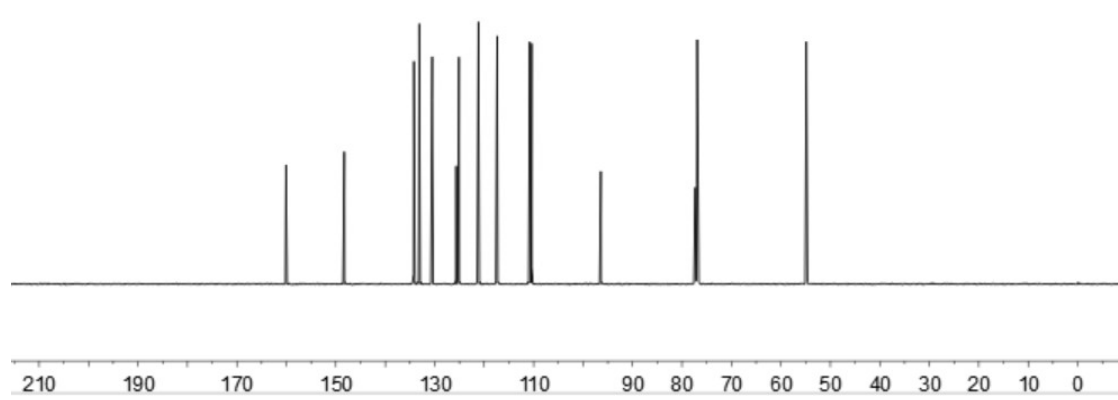
2d

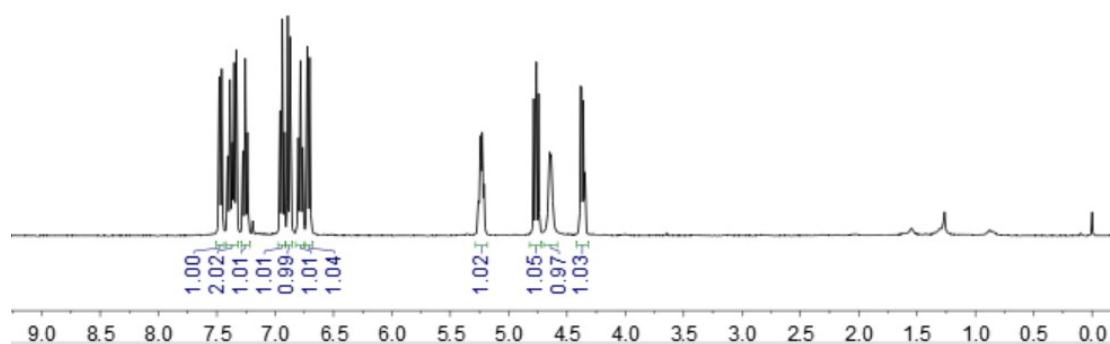
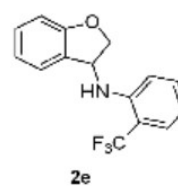


- 160.059
- 148.285
- 134.202
- 133.126
- 130.499
- 125.673
- 125.100
- 121.160
- 117.385
- 117.316
- 110.784
- 110.397
- 96.415
- 76.918
- 54.860

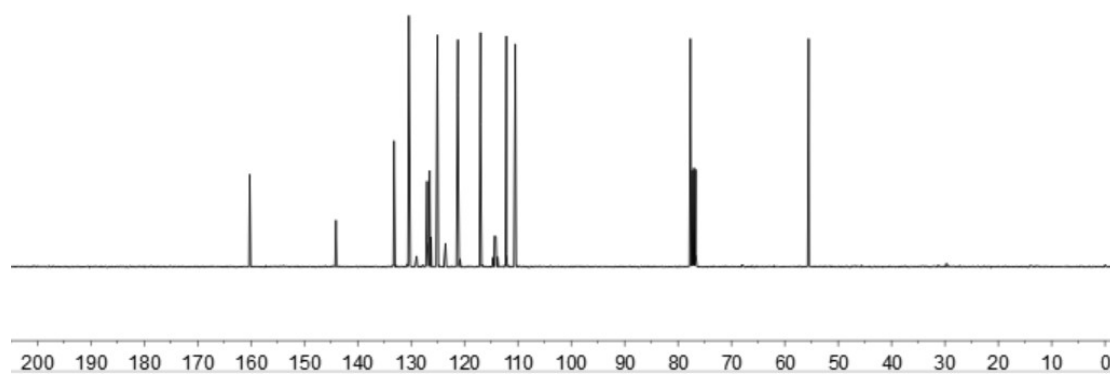
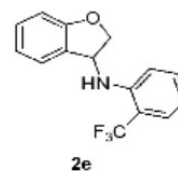


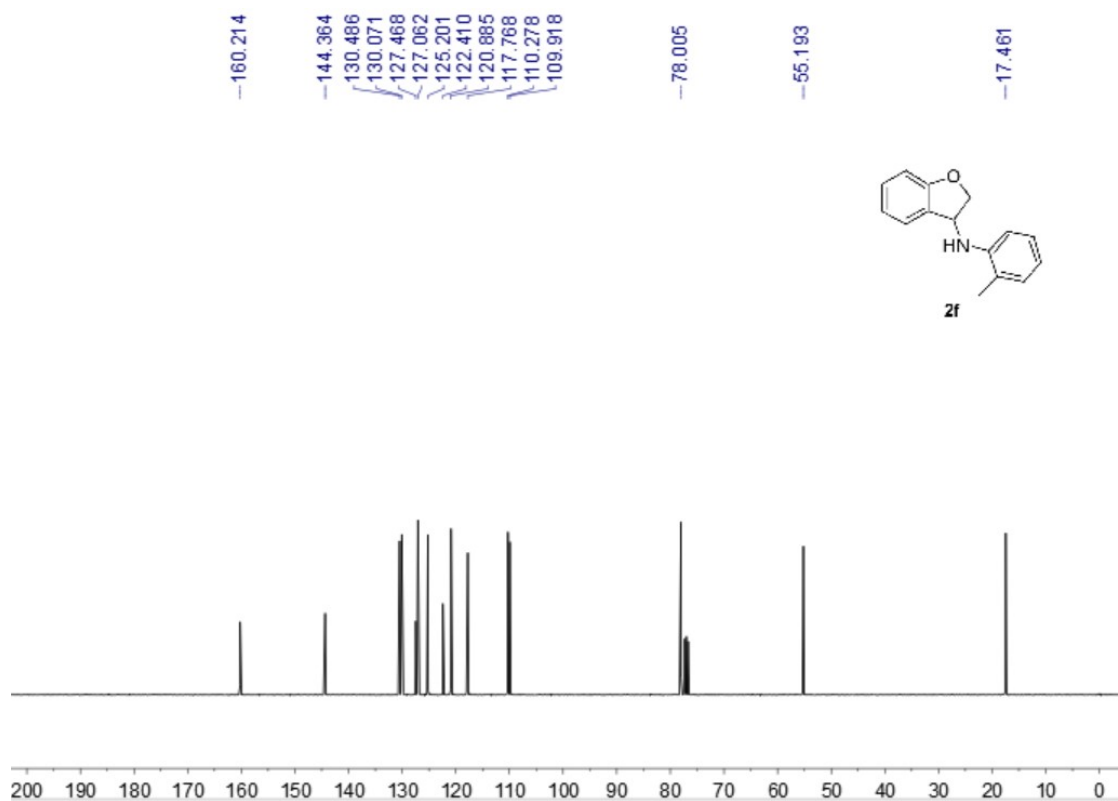
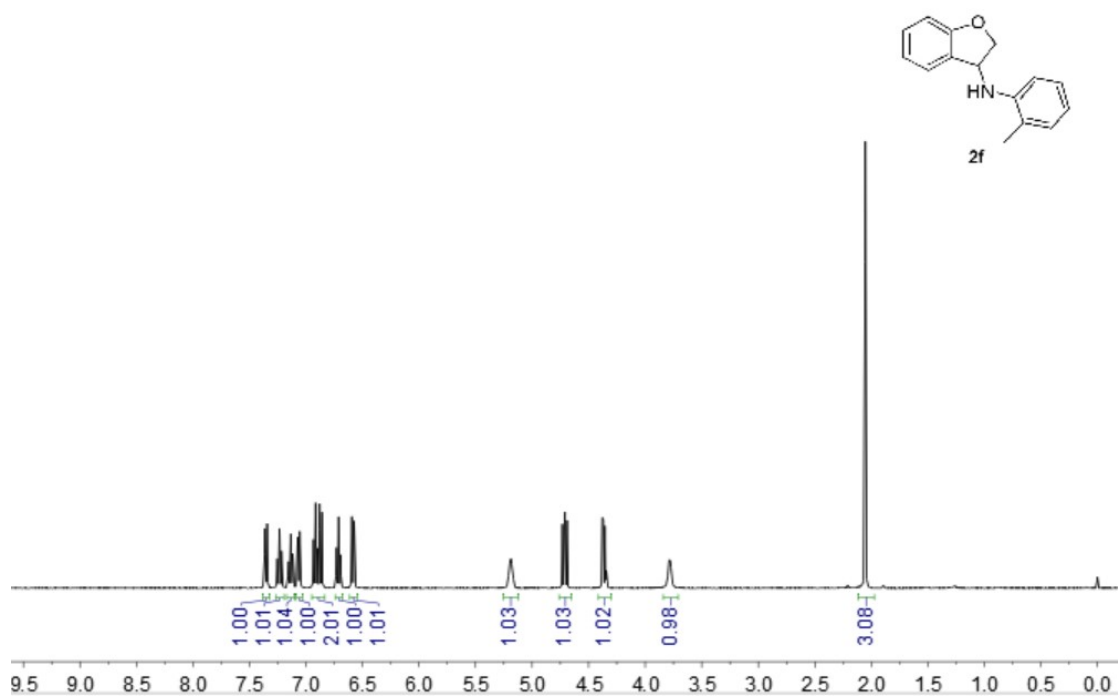
2d

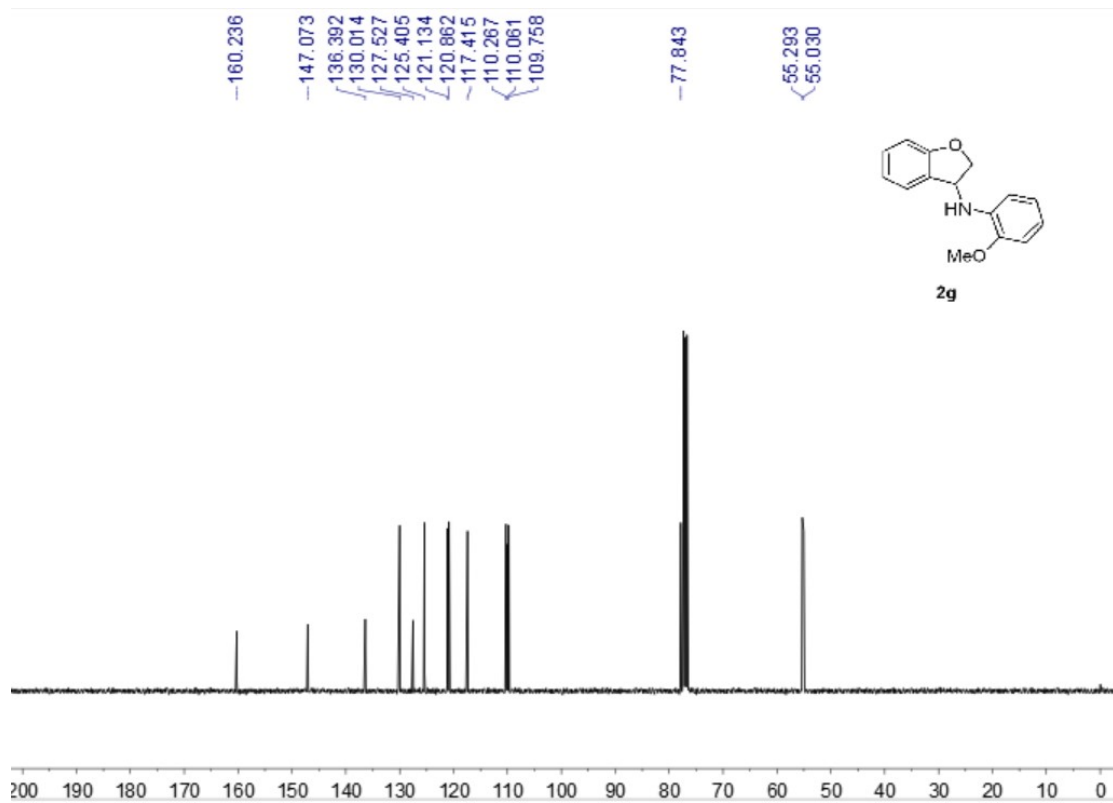
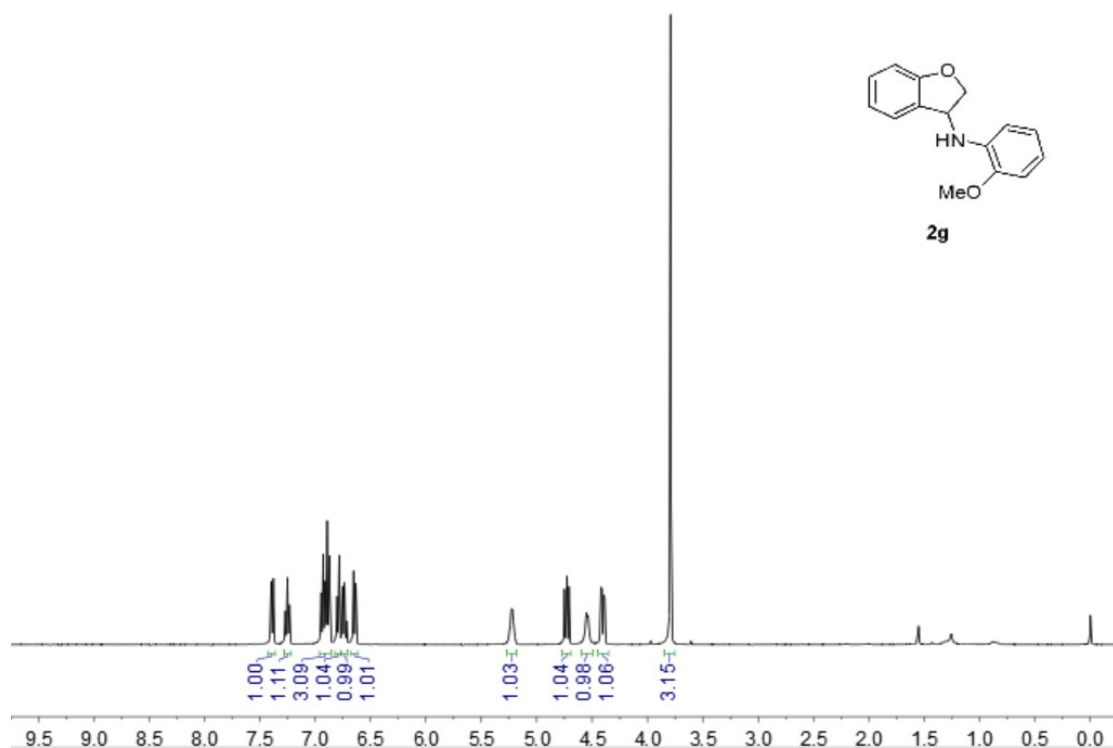


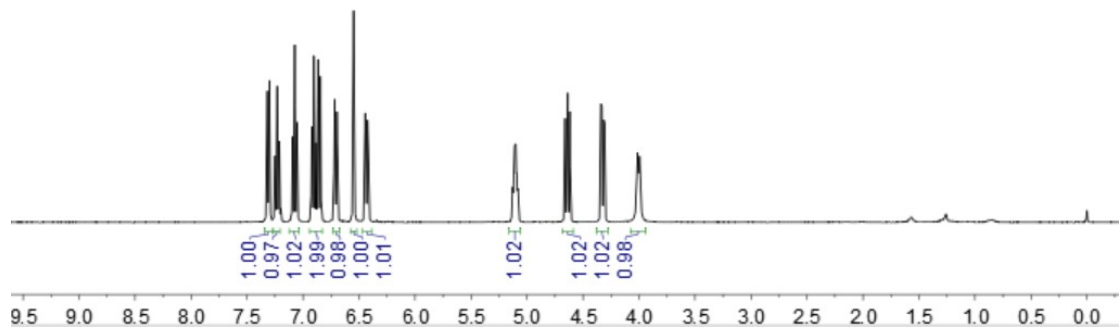
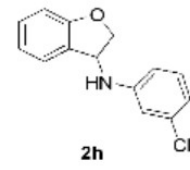


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 -55.521

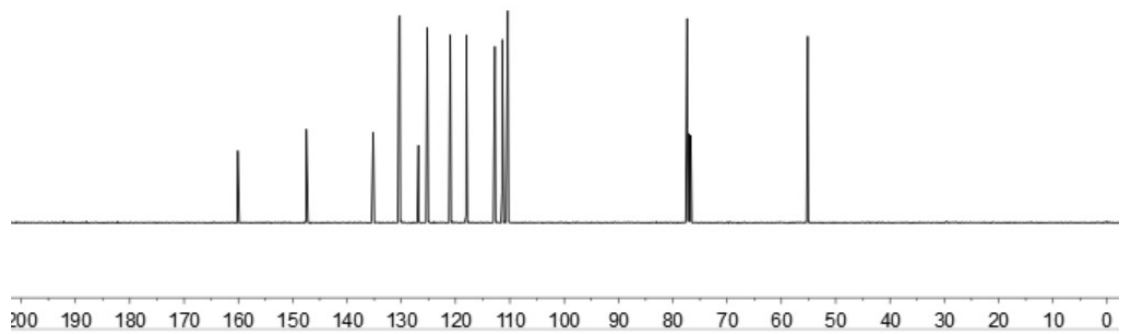
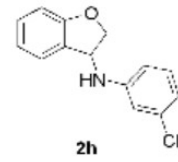


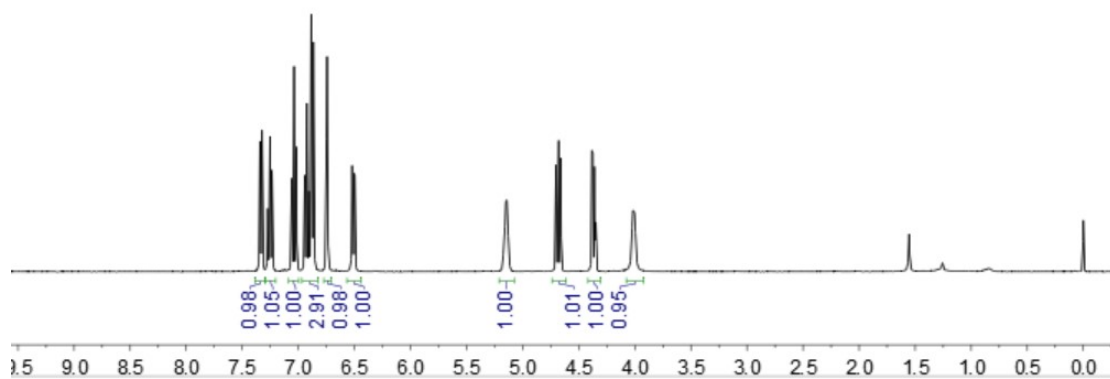
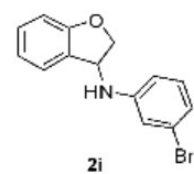




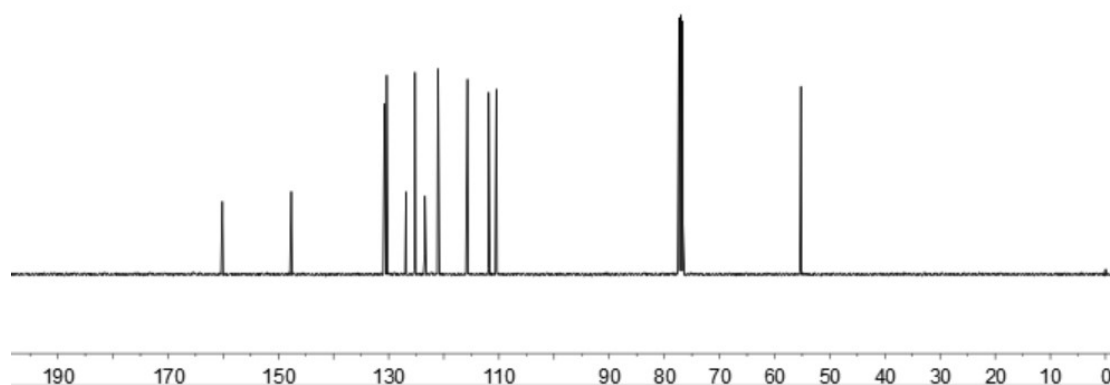
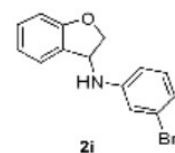


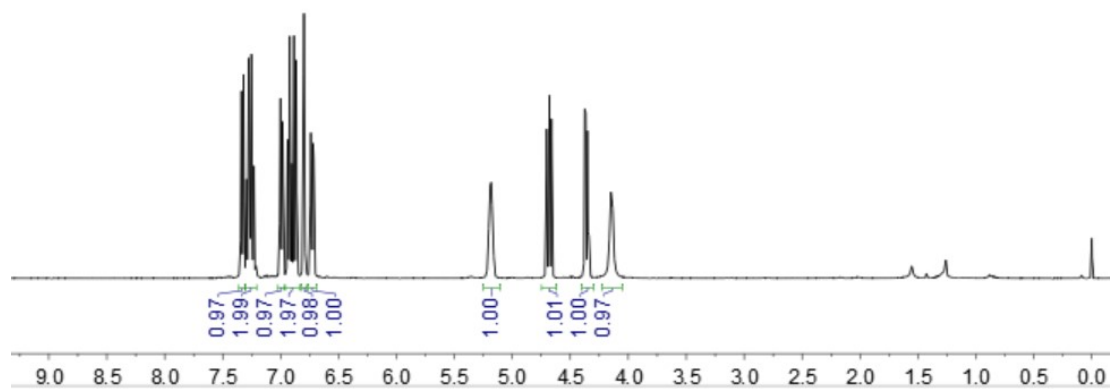
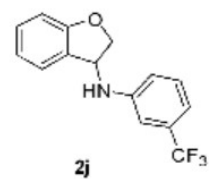
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-77.355
-55.151



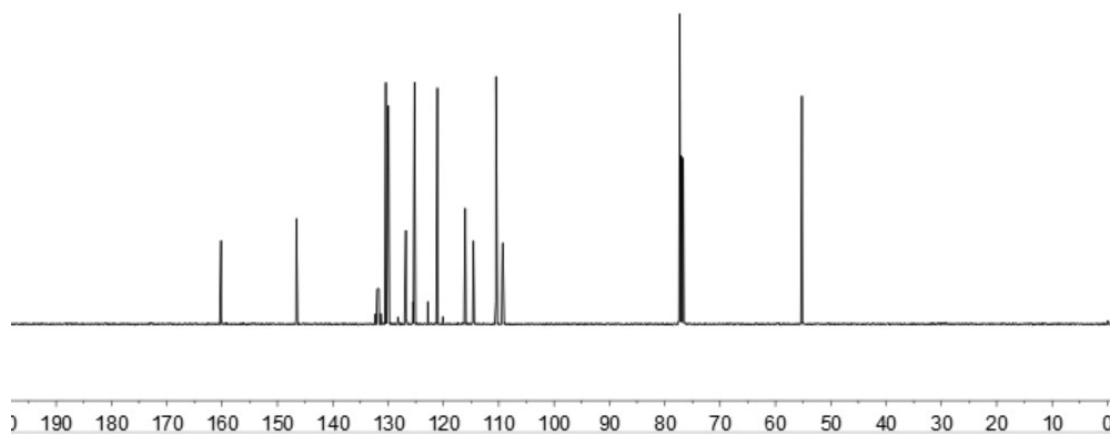
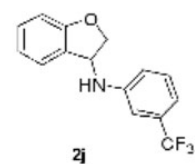


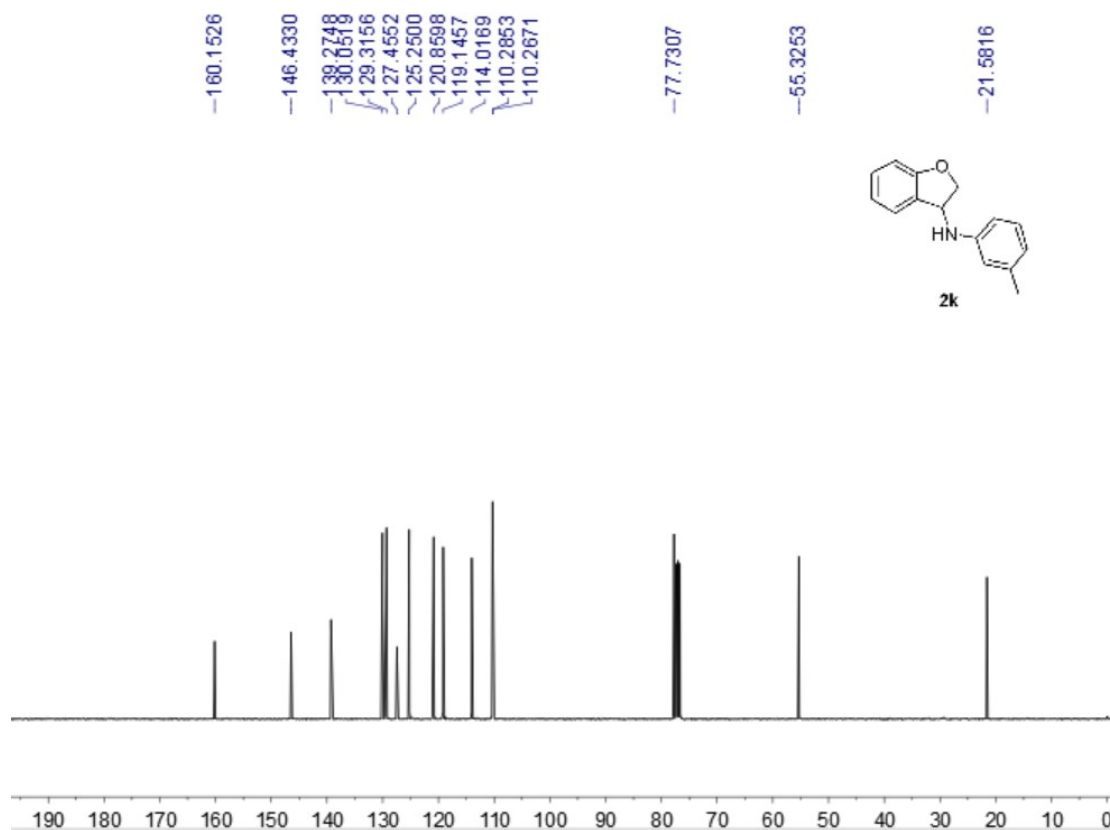
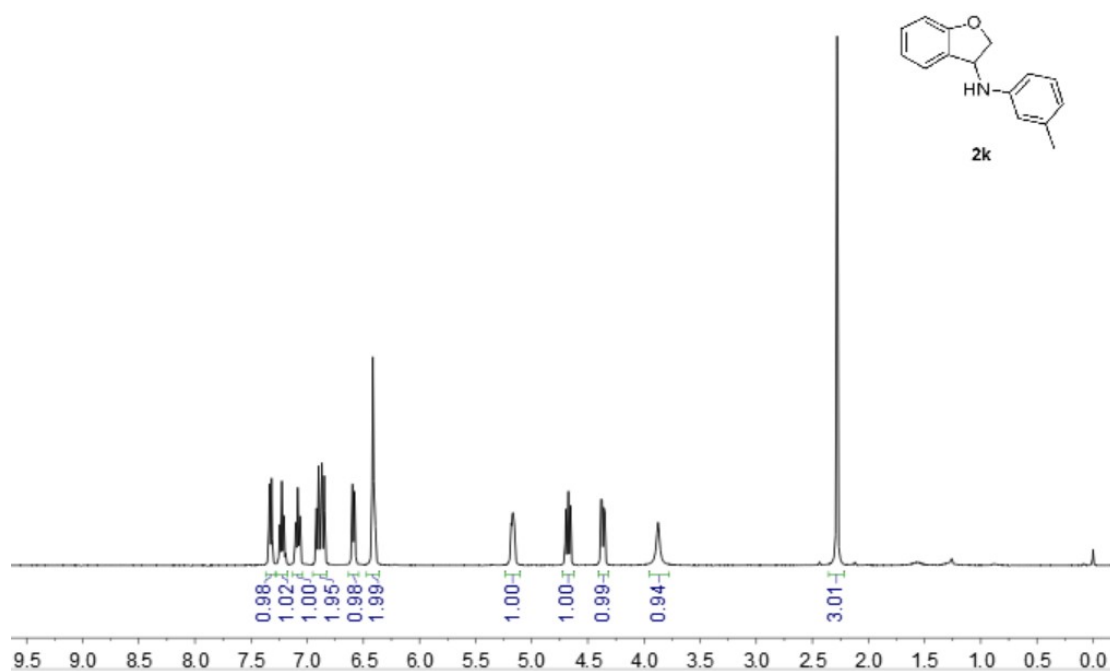
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 121.011
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 111.869
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 -55.223

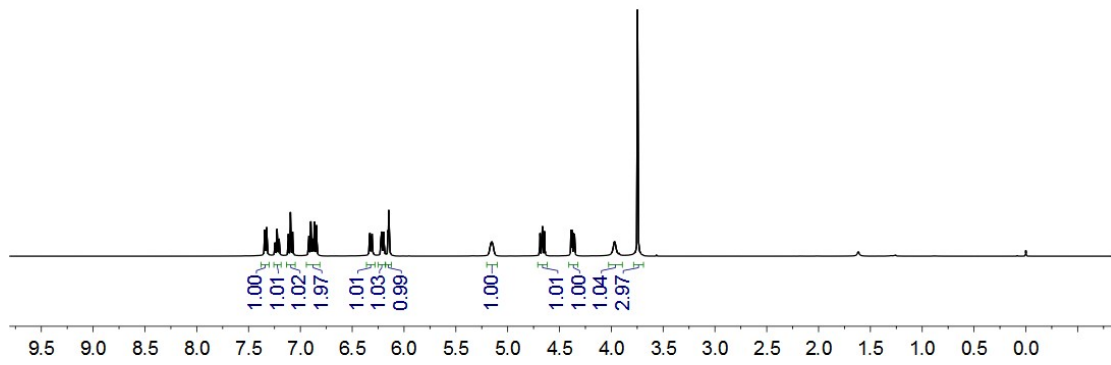
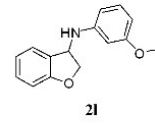




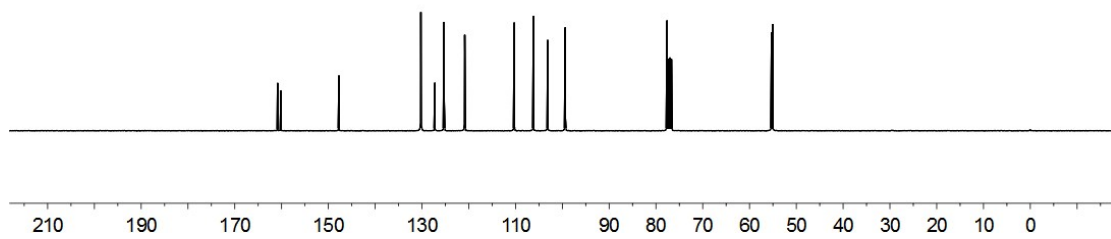
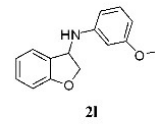
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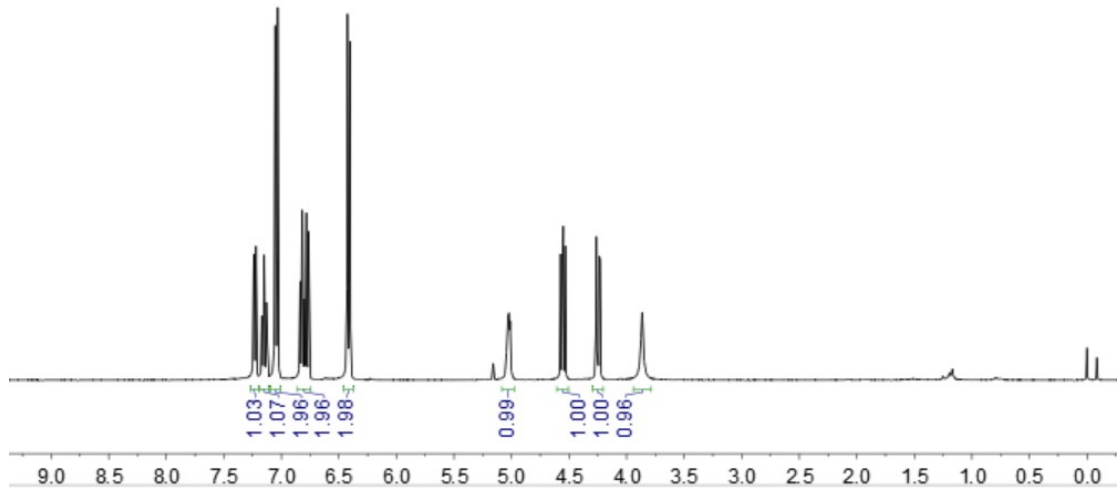
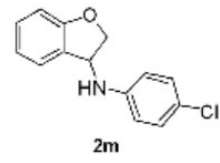




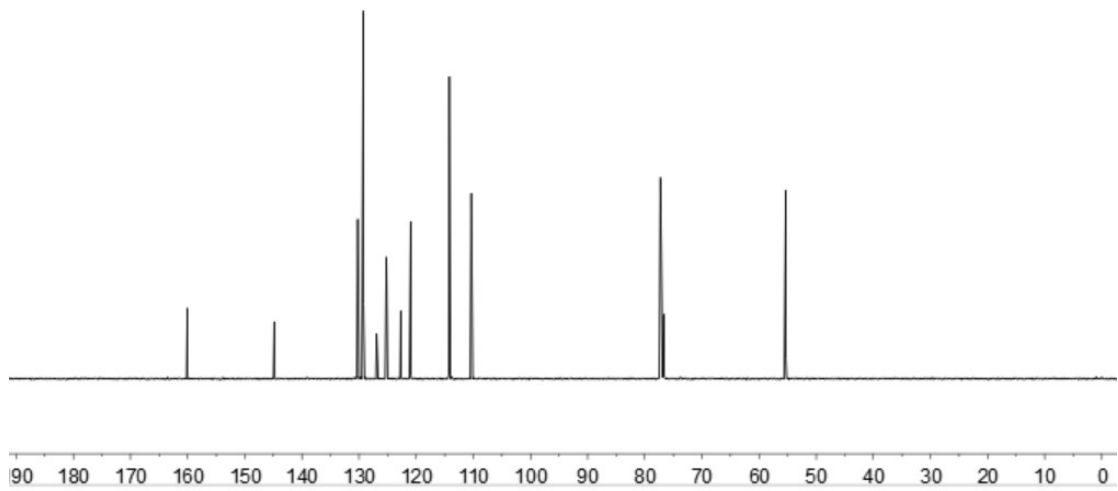
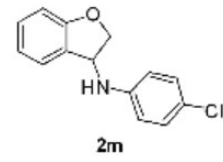


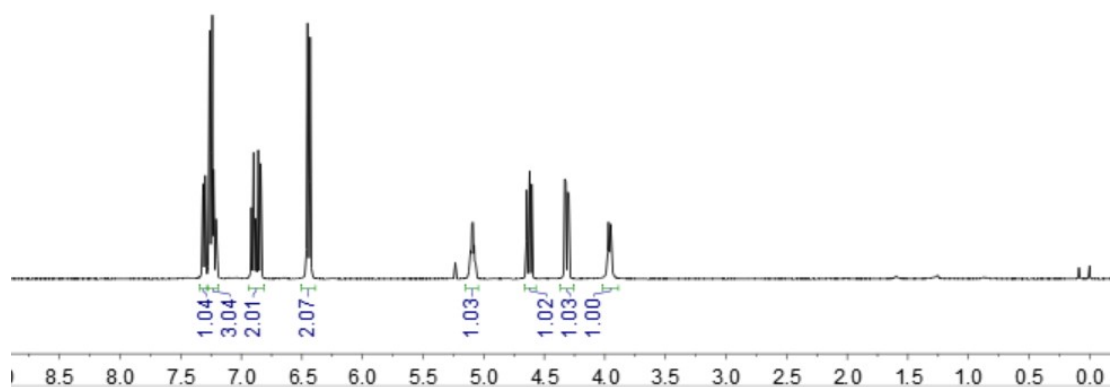
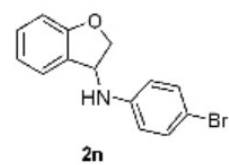
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55.030



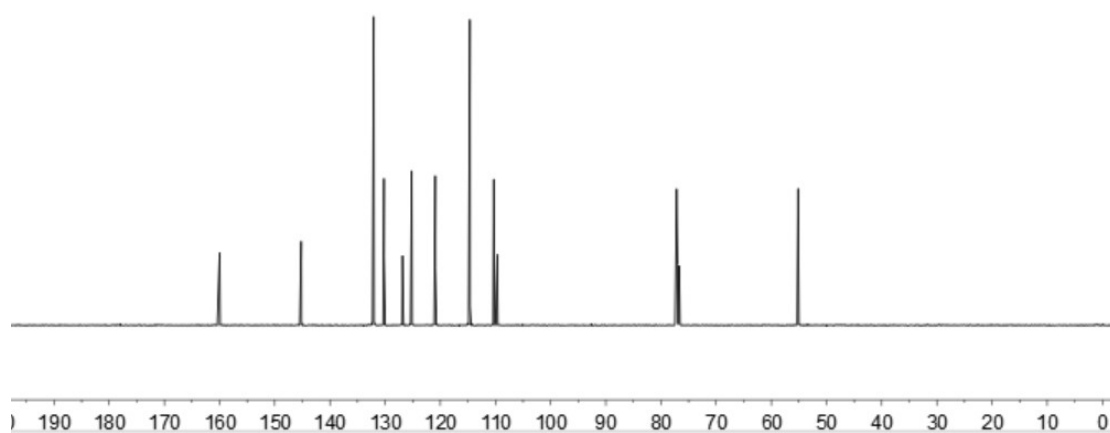
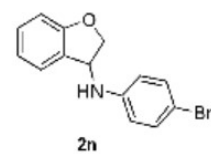


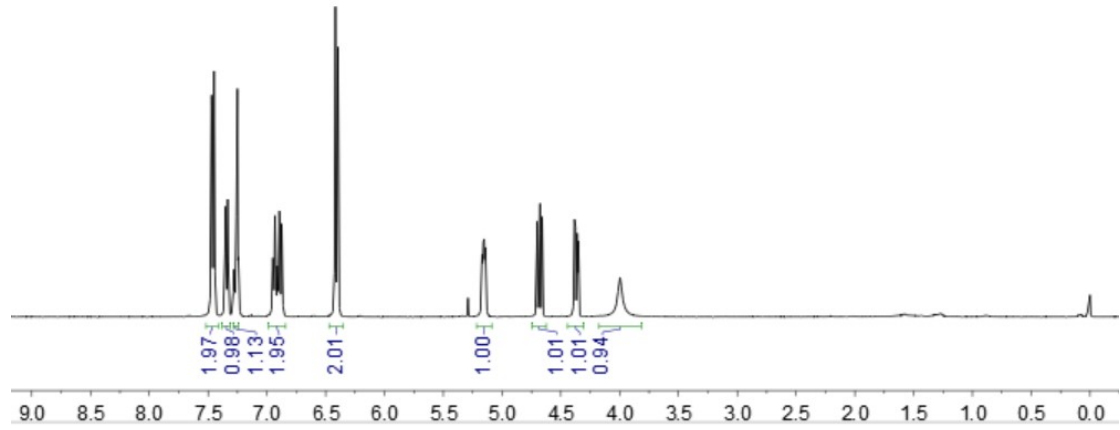
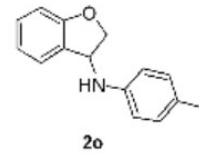
Chemical shift values (ppm):
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 -77.260
 -55.321





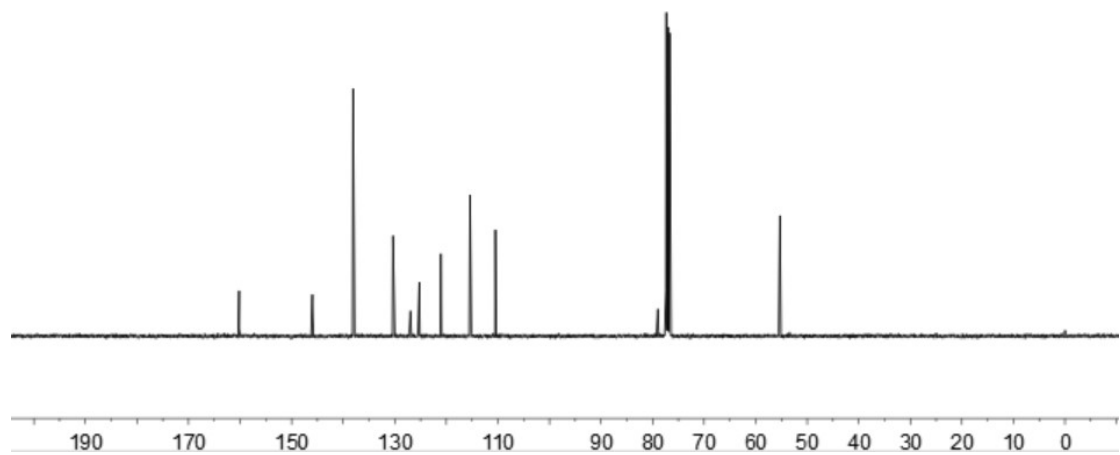
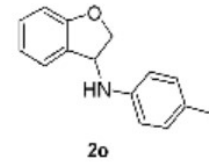
Chemical shift values (ppm): -159.987, -145.214, 132.062, 130.203, 126.843, 125.186, 120.914, 114.642, 110.306, 109.670, -77.167, -55.147.

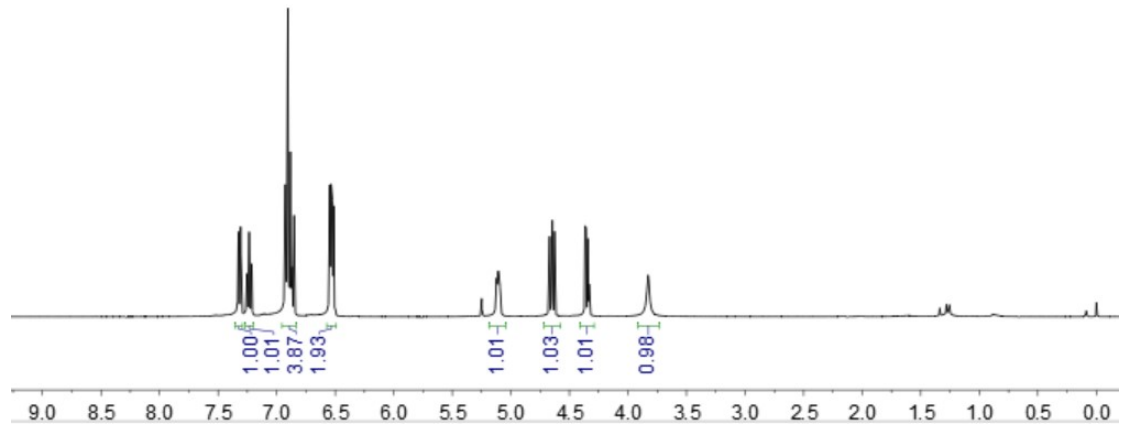
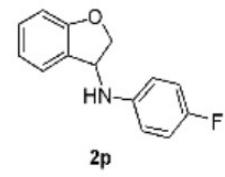




Chemical shift values (ppm) for the ¹³C NMR spectrum:

- 160.190
- 145.983
- 138.088
- 130.348
- 126.956
- 125.237
- 121.056
- 115.401
- 110.471
- 78.976
- 55.299

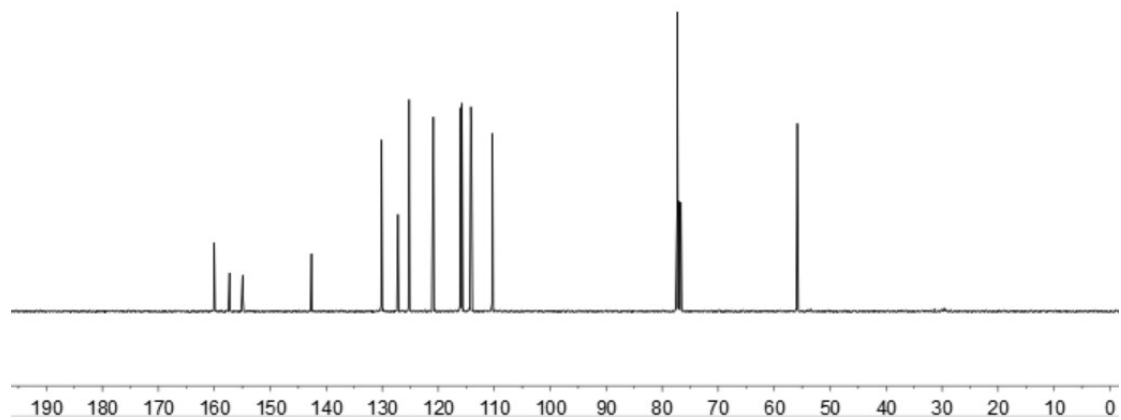
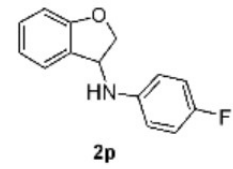


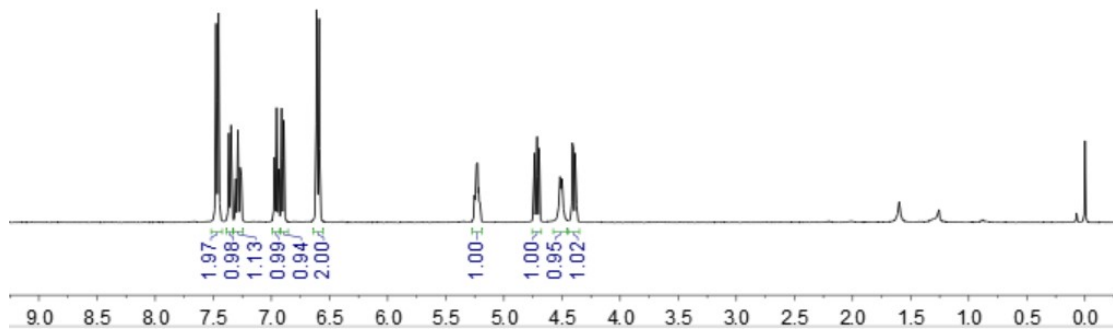
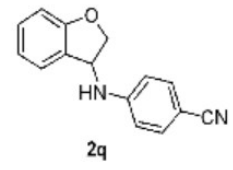


160.038
 157.271
 154.924
 142.645
 142.629
 130.144
 127.202
 125.212
 120.890
 116.011
 115.790
 114.172
 114.098
 110.327

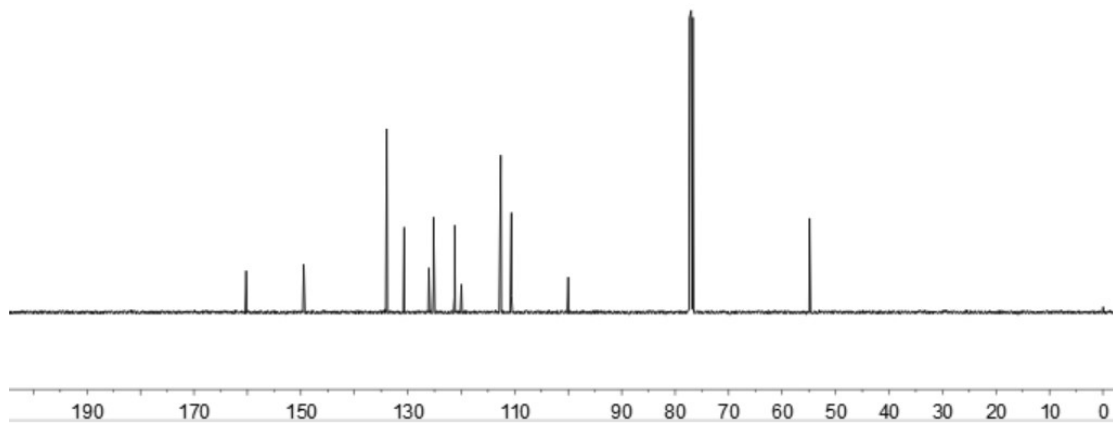
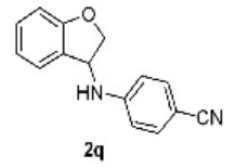
-77.315

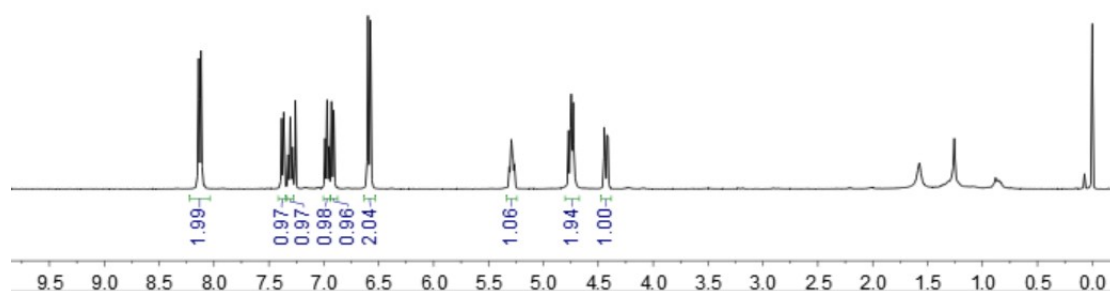
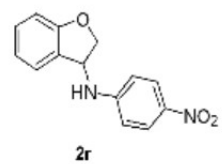
-55.859



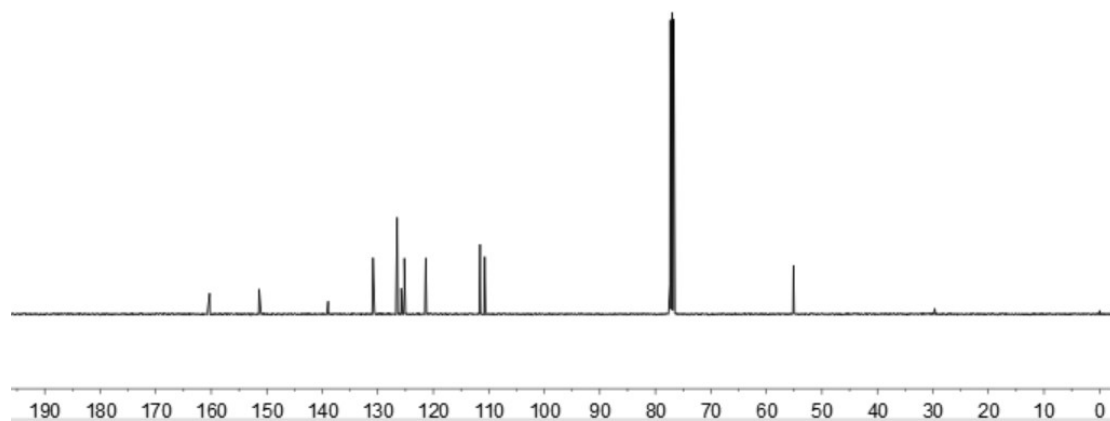
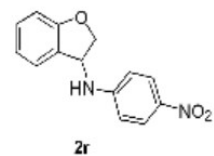


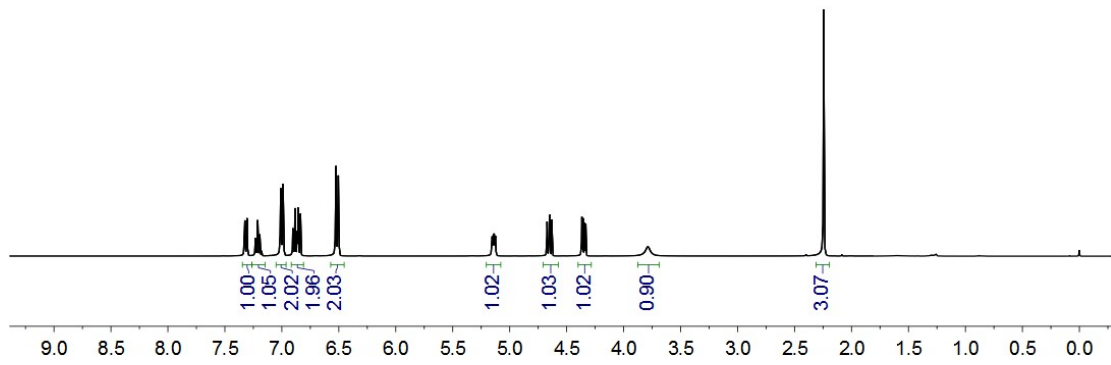
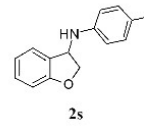
Chemical shift values (ppm):
 -160.234
 -149.458
 -133.933
 -130.678
 -126.067
 -125.194
 -121.240
 -119.981
 -112.614
 -110.620
 -100.005
 -77.098
 -54.858



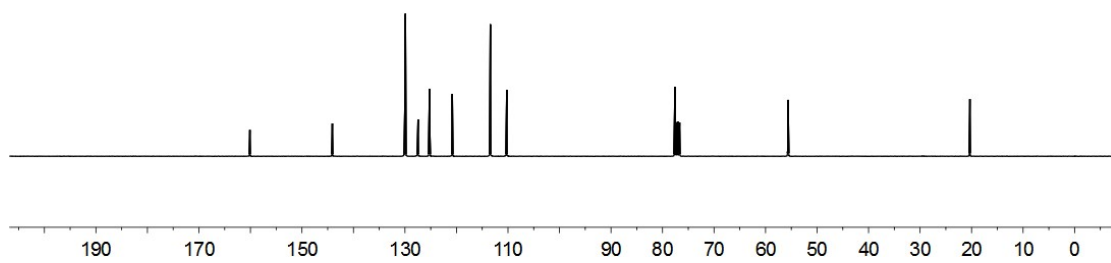
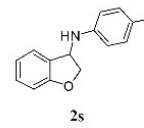


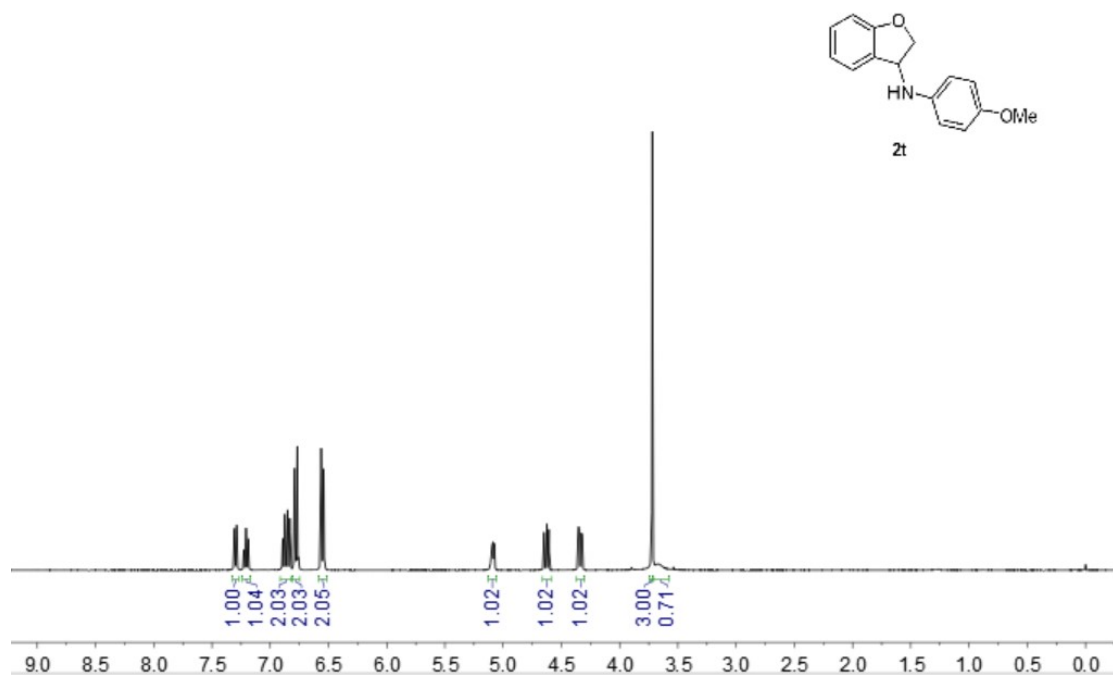
—160.298
—151.379
—138.940
—130.861
—126.518
—125.776
—125.205
—121.356
—111.576
—110.733
—77.035
—55.109



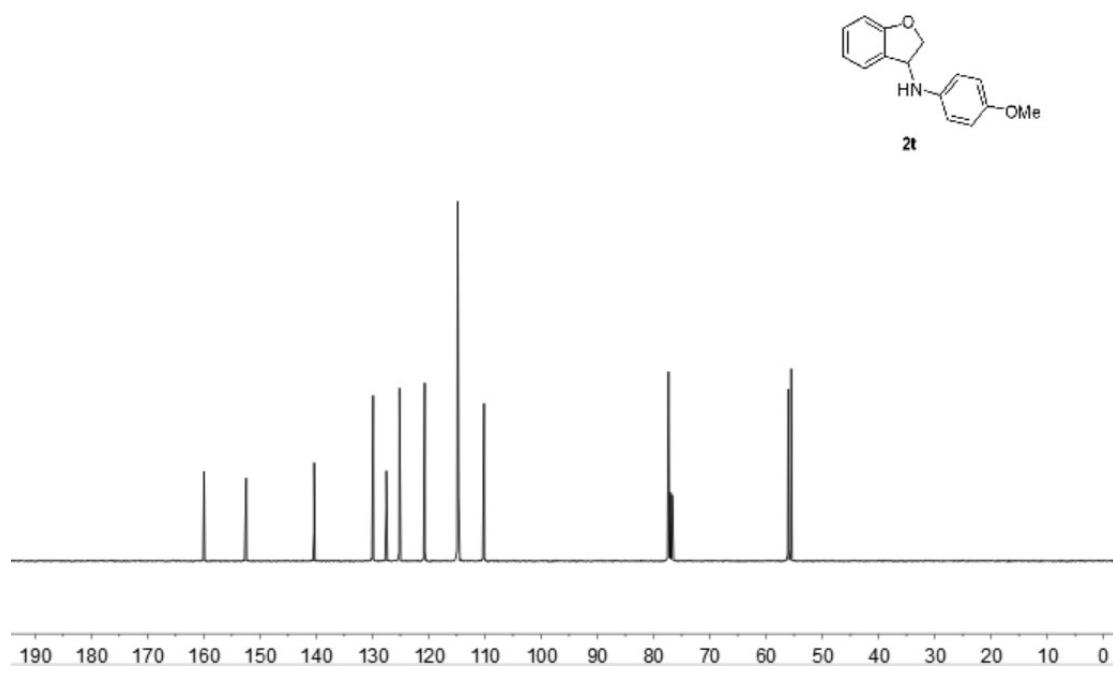


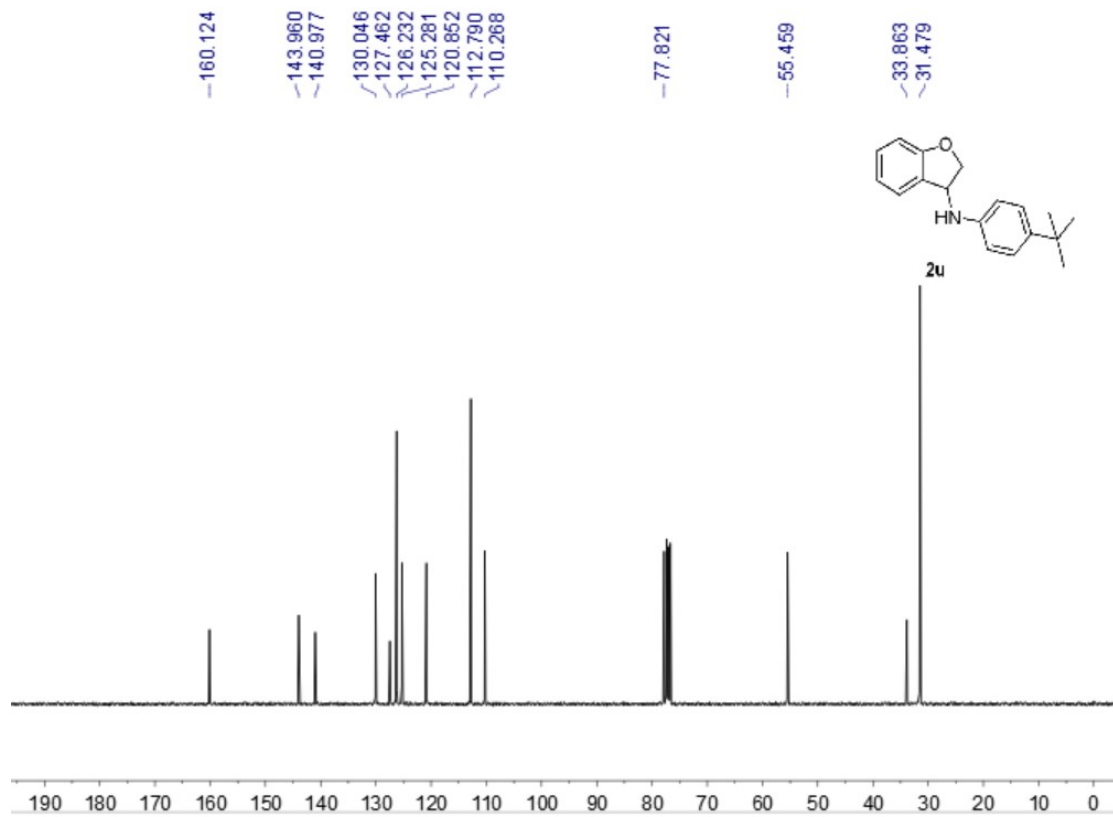
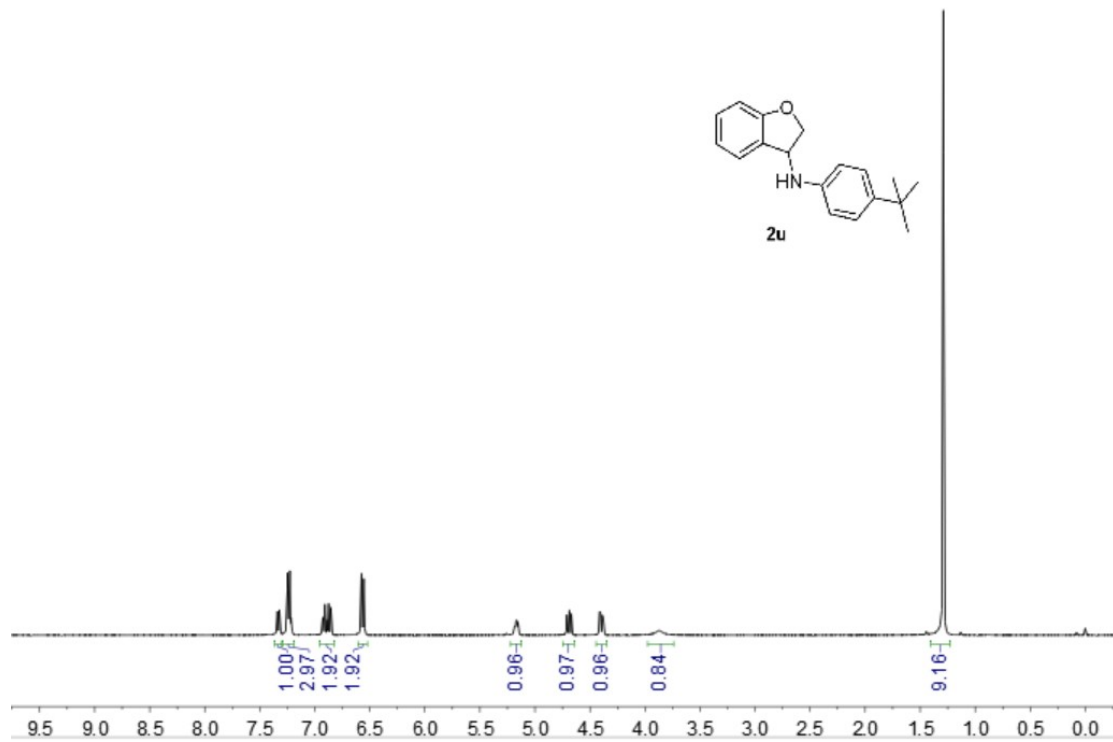
-160.109
-144.100
129.978
129.908
127.556
127.460
125.235
120.807
113.429
110.244
-77.586
-55.621
-20.330

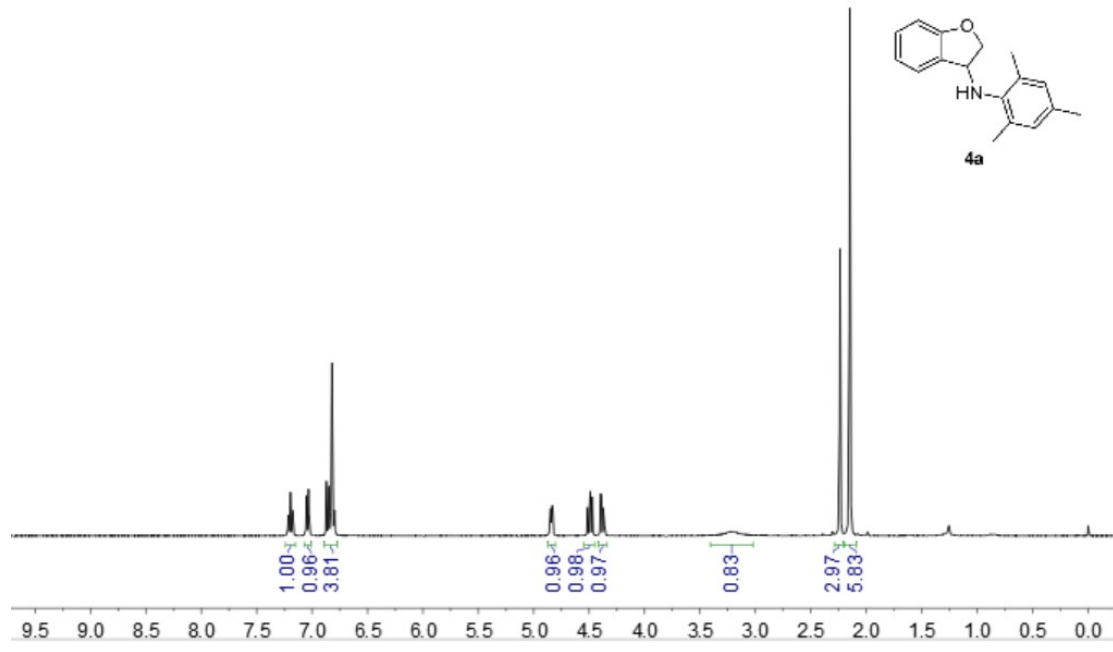




-159.939
 -152.443
 -140.366
 -129.870
 -127.516
 -125.183
 -120.891
 -114.836
 -110.138
 -77.330
 -56.053
 -55.513



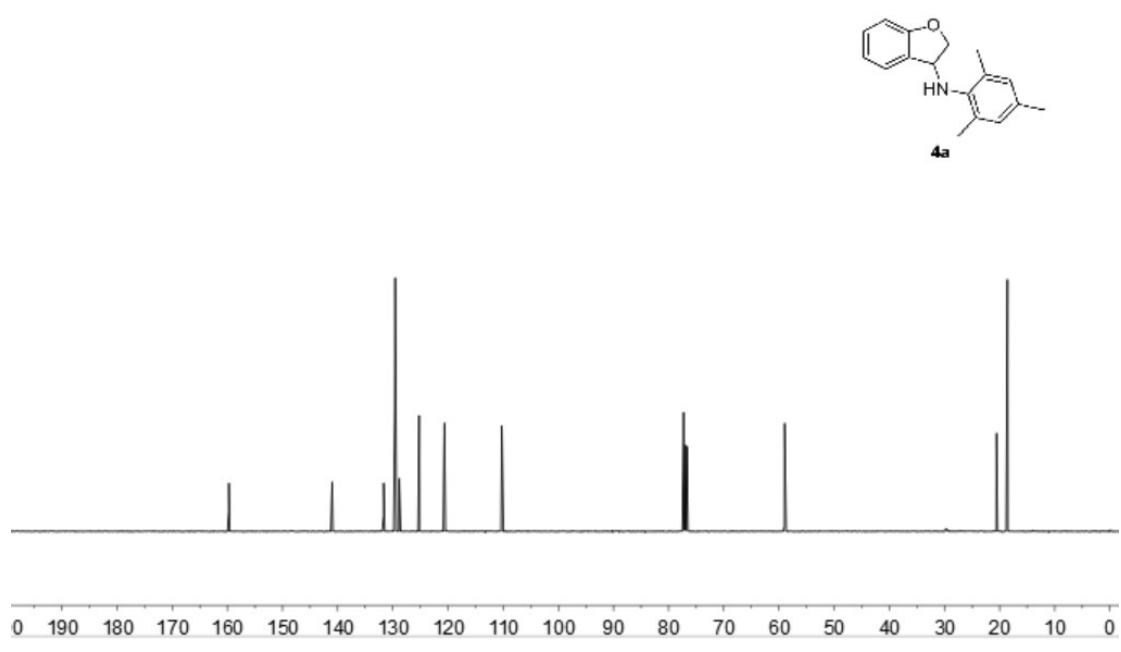


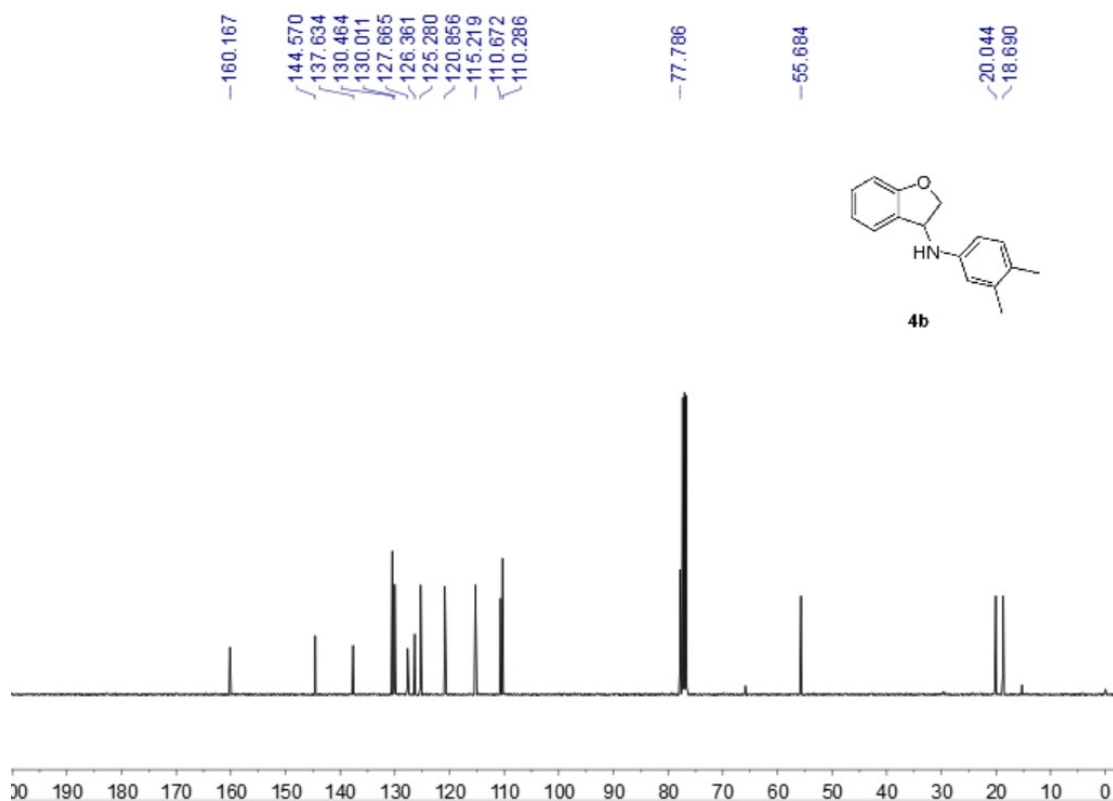
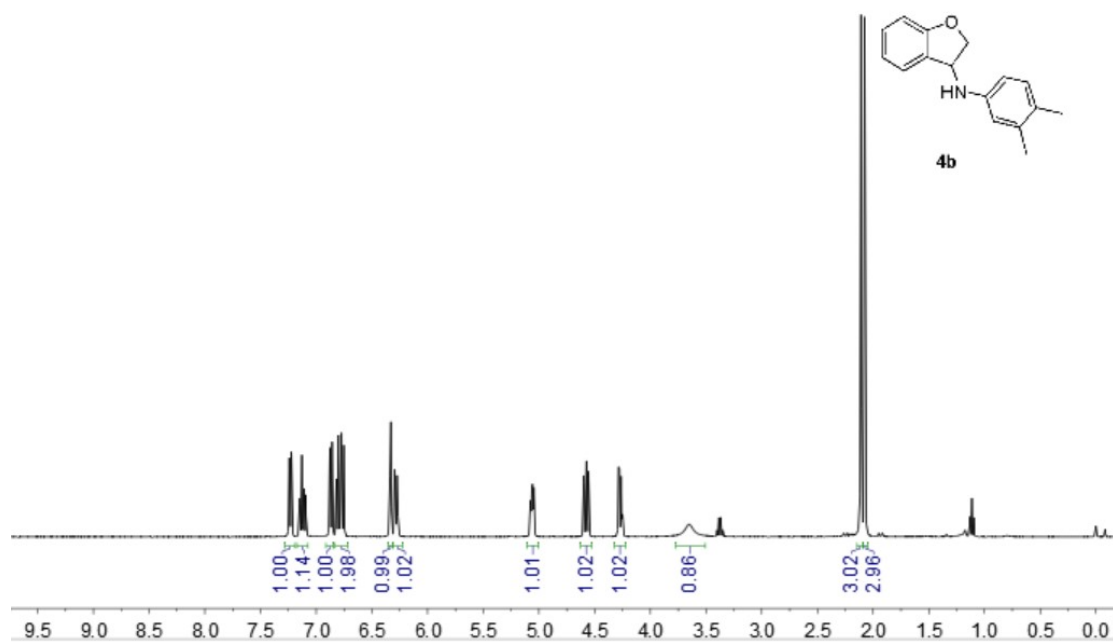


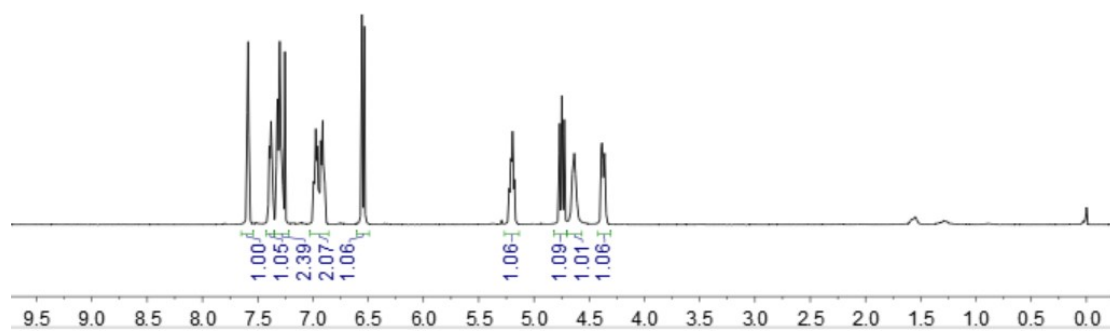
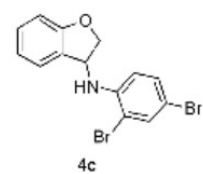
Chemical structure of 4a: Cc1cc(C)cc(NC2Cc3ccccc3O2)c1

¹³C NMR spectrum (ppm):

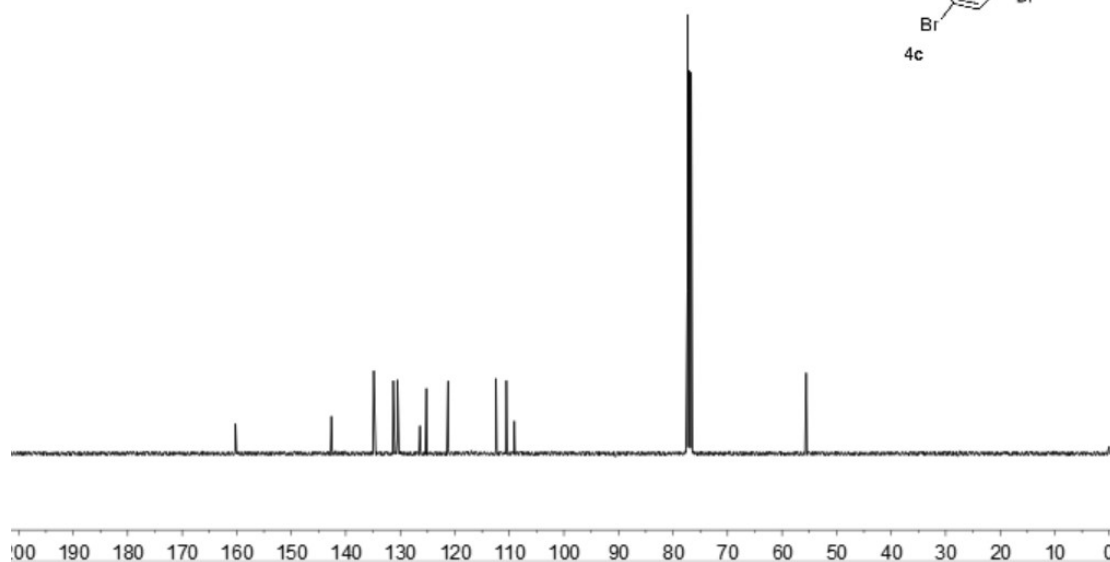
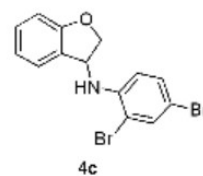
- 159.717
- 140.992
- 129.639
- 129.597
- 129.566
- 128.828
- 125.226
- 110.549
- 77.289
- 58.968
- 20.553
- 18.588

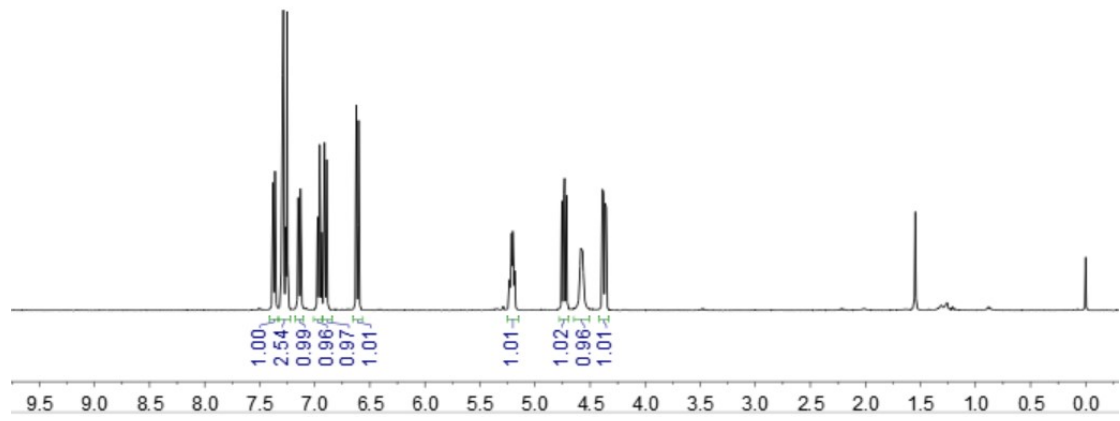
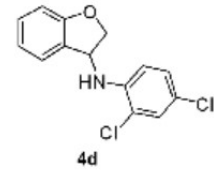




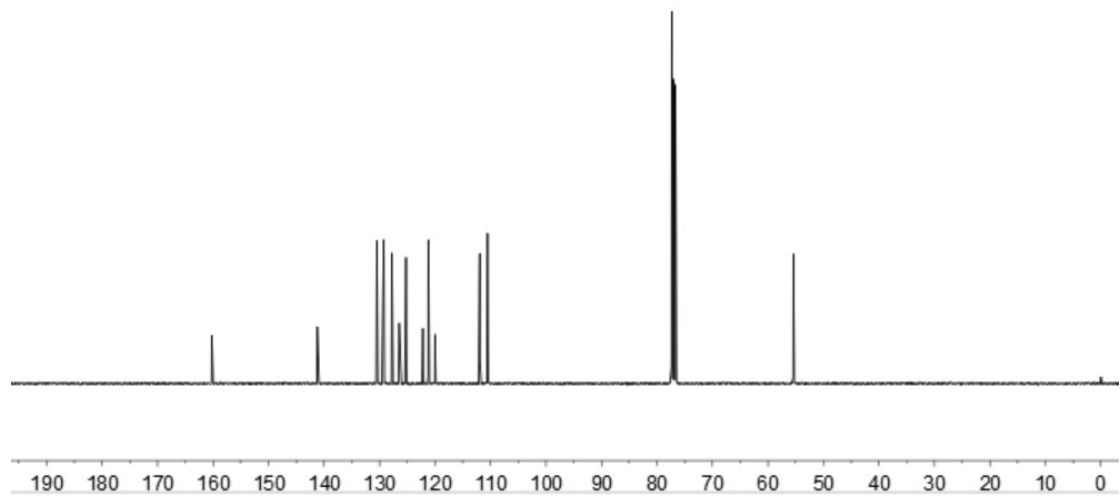
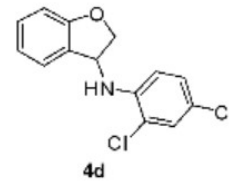


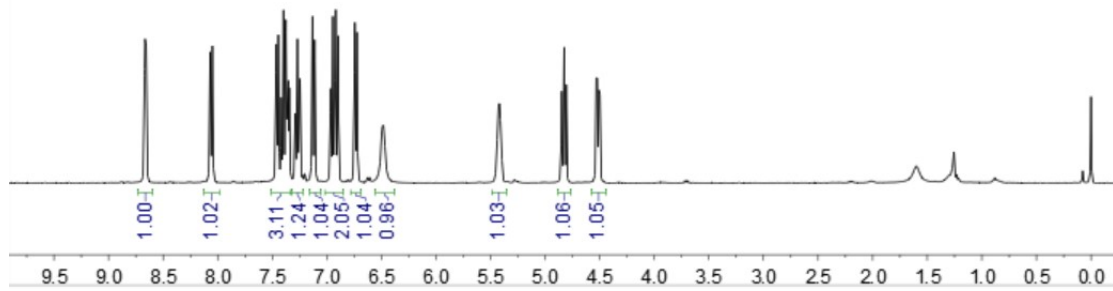
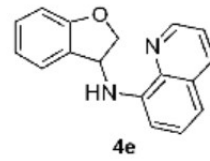
Chemical shift values (ppm): -160.232, 142.635, 134.867, 131.283, 130.518, 126.410, 125.214, 121.246, 112.454, 110.554, 110.432, 109.105, -77.316, -55.580.





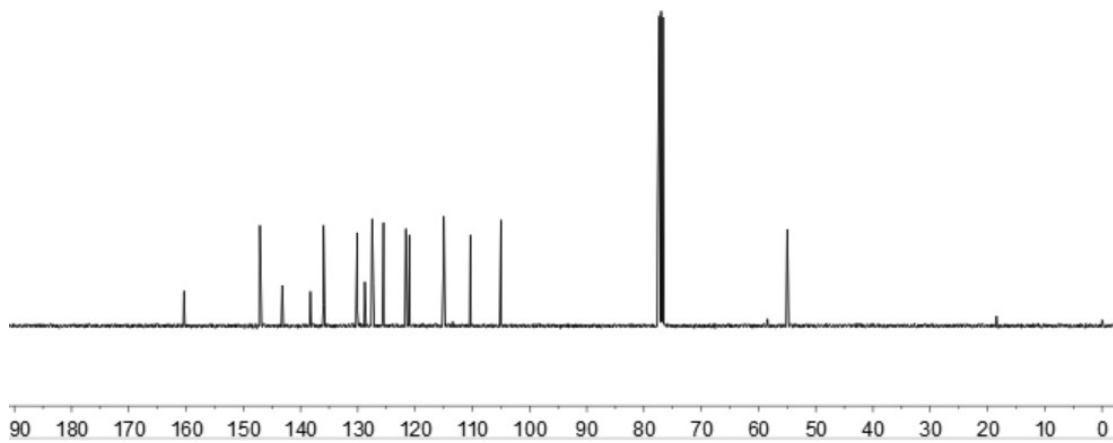
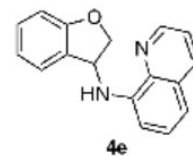
Chemical shift values (ppm):
 -160.226
 -141.205
 -130.491
 -129.242
 -127.779
 -126.459
 -125.222
 -122.193
 -121.204
 -120.000
 -111.932
 -110.536
 -77.322
 -55.403

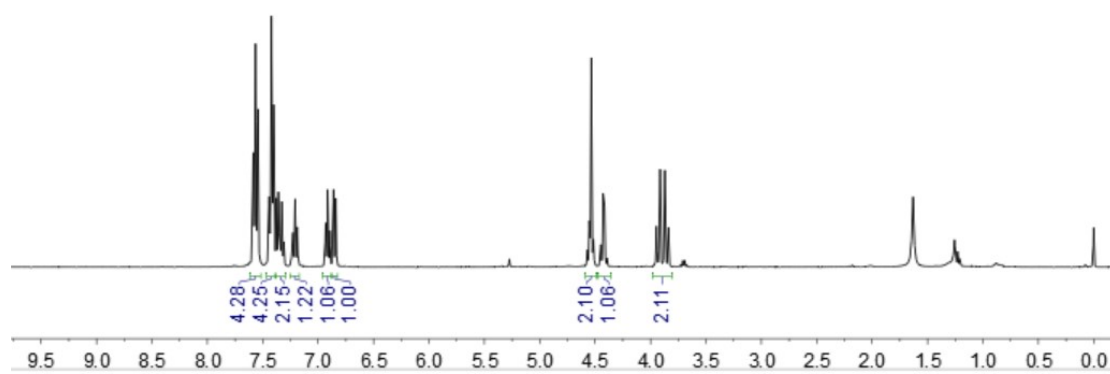
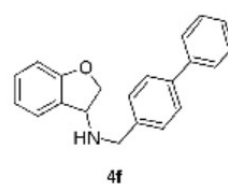




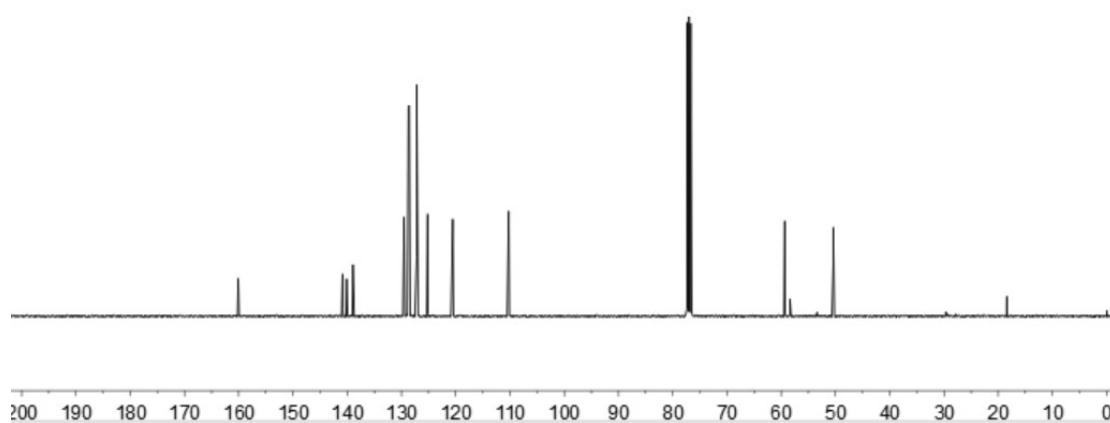
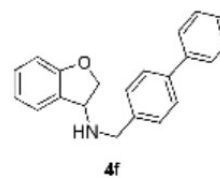
Chemical shift values (ppm) for the ¹³C NMR spectrum:

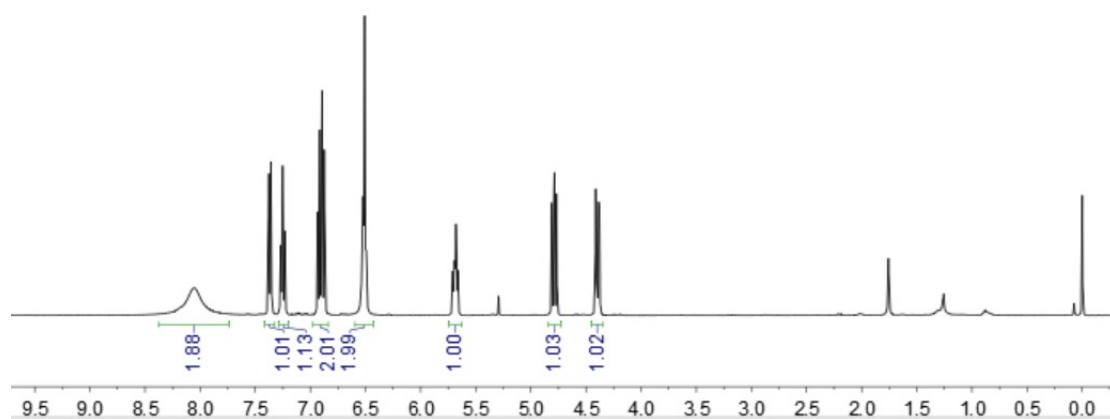
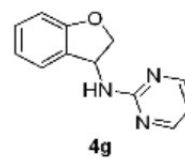
- 160.363
- 147.114
- 143.197
- 138.276
- 135.986
- 130.090
- 128.781
- 127.493
- 127.289
- 125.516
- 121.610
- 120.984
- 114.979
- 110.317
- 104.976
- 77.620
- 54.977



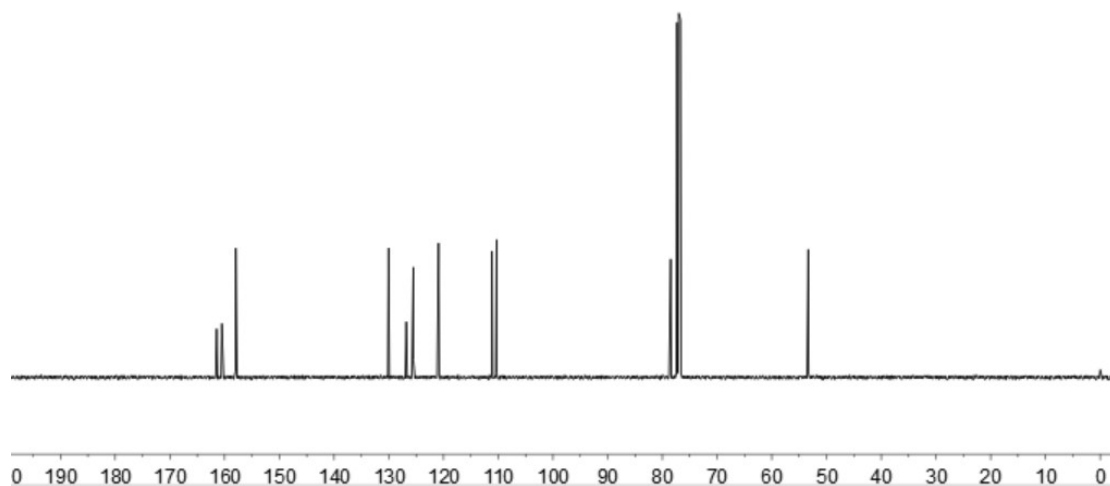
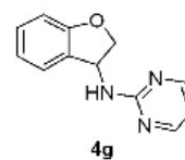


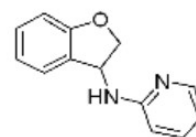
Chemical shift values (ppm): -160.084, -140.870, -140.107, -138.941, -128.728, -128.570, -127.205, -127.024, -125.199, -77.213, -59.348, -50.401



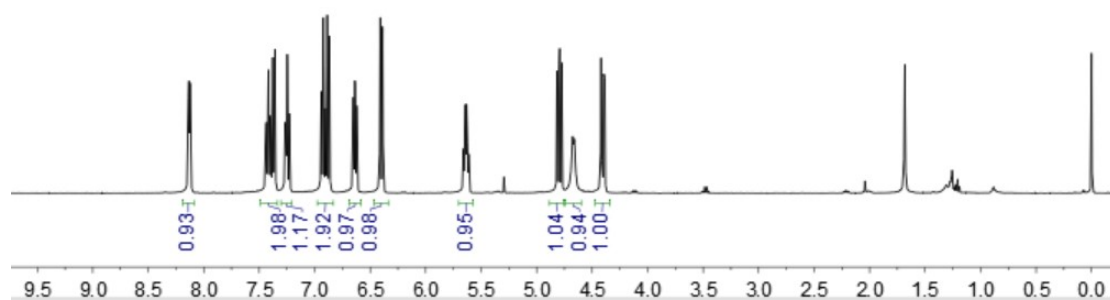


161.462
160.509
157.952
130.040
126.806
125.508
120.911
111.133
110.309
-78.484
-53.350

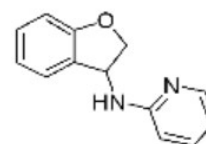




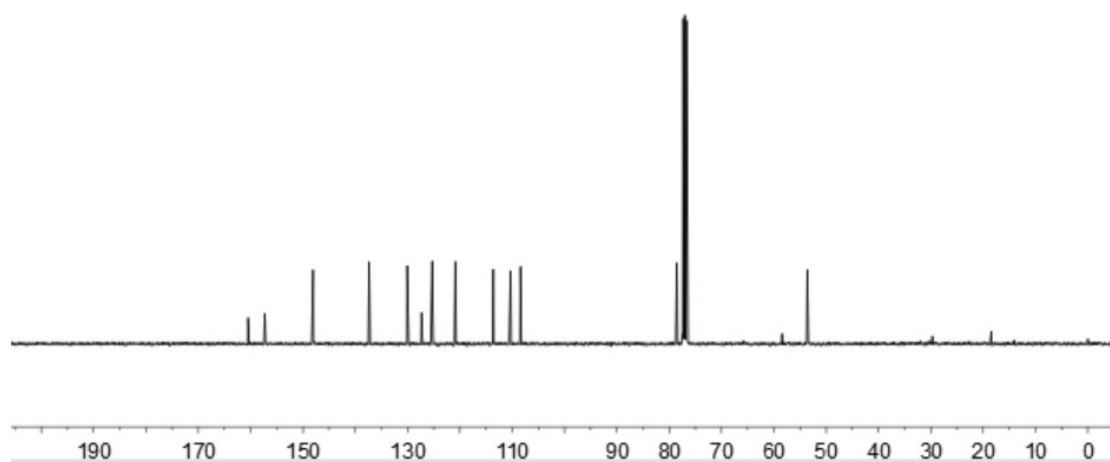
4h

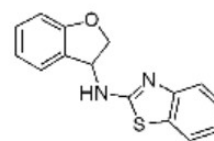


160.478
157.284
148.043
137.343
130.047
127.317
125.283
120.864
113.615
110.301
108.406
-78.520
-53.582

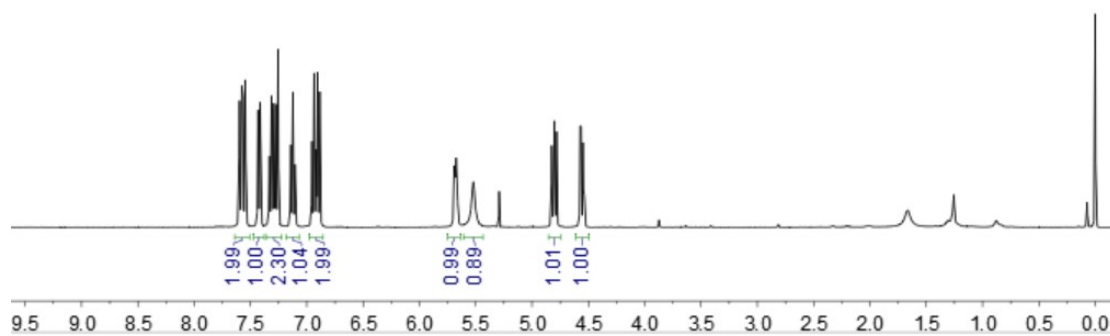


4h





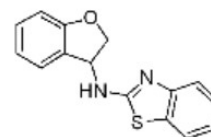
4i



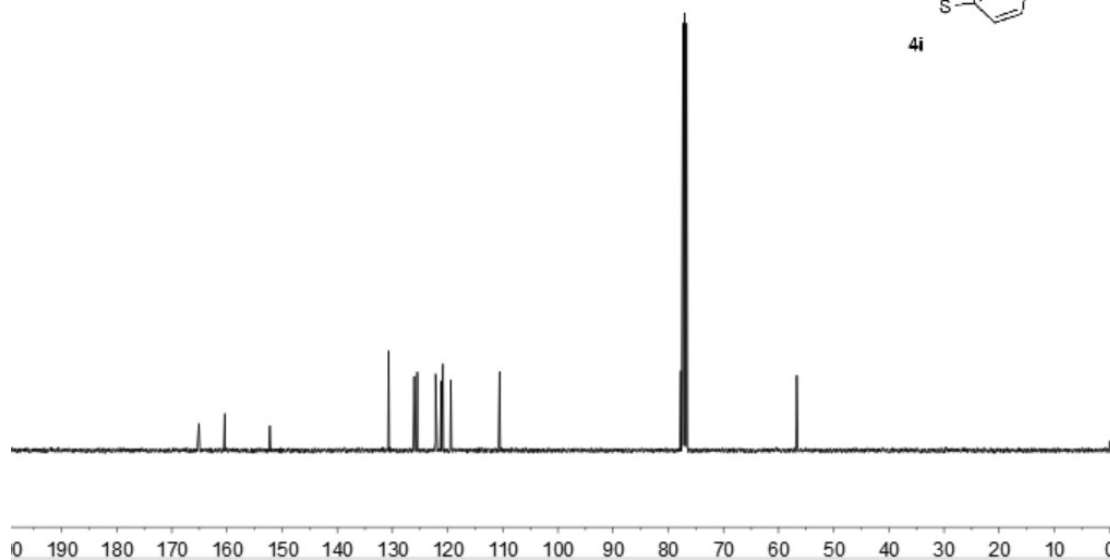
165.0808
160.4117
152.2403
130.6959
126.0554
125.7712
125.5025
122.1780
121.1794
120.8507
119.4175
110.5727

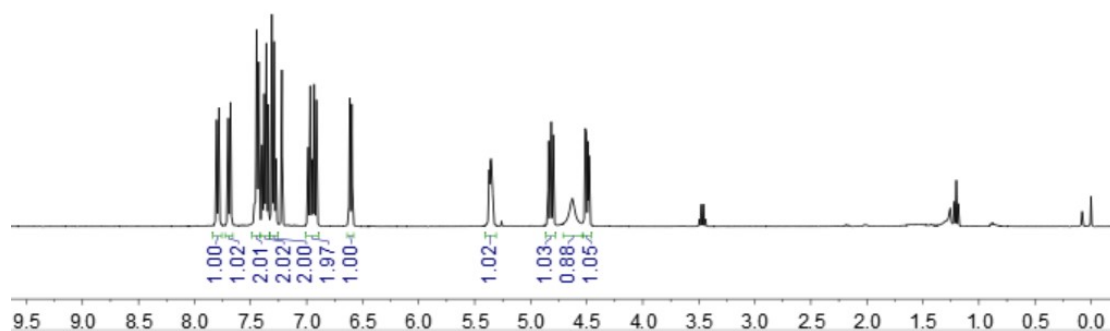
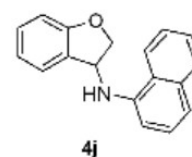
-77.7294

-56.7088

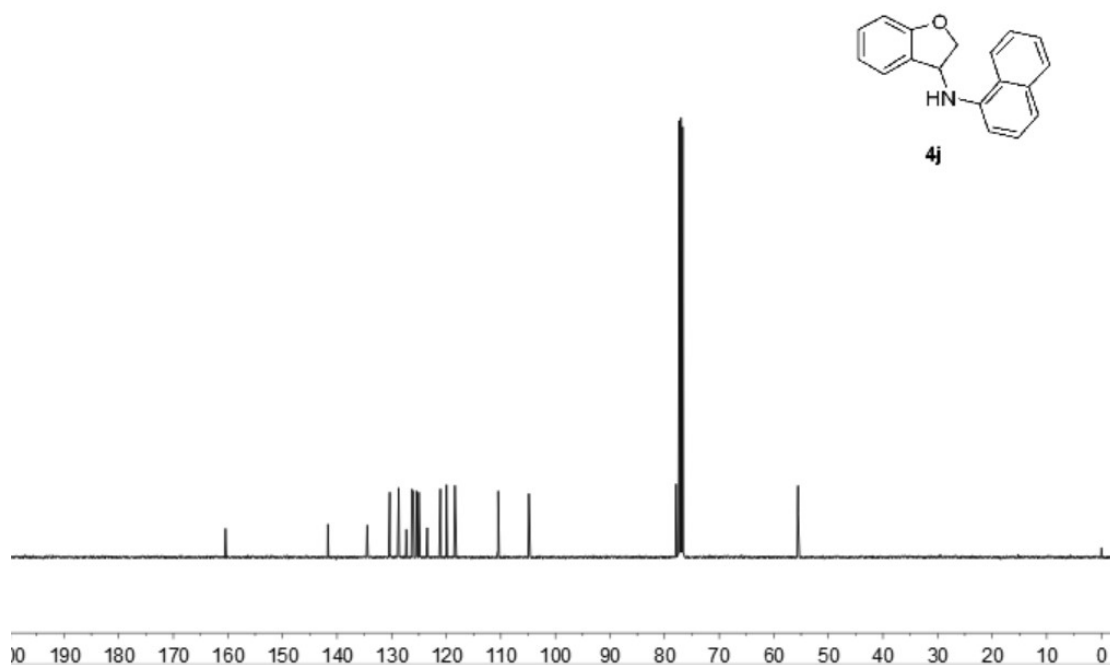
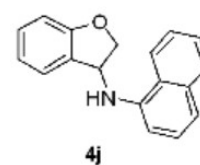


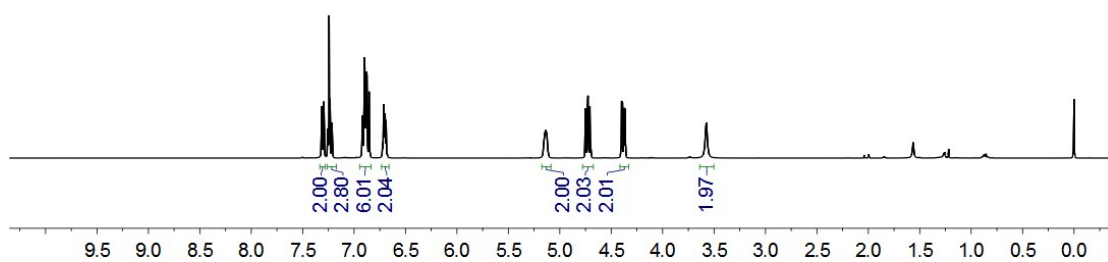
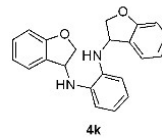
4i



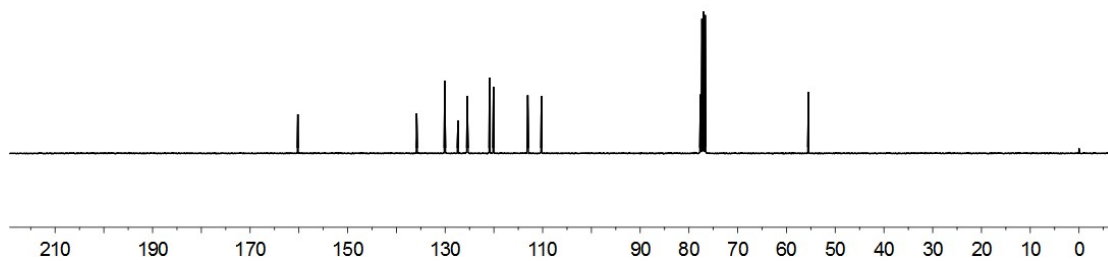
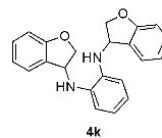


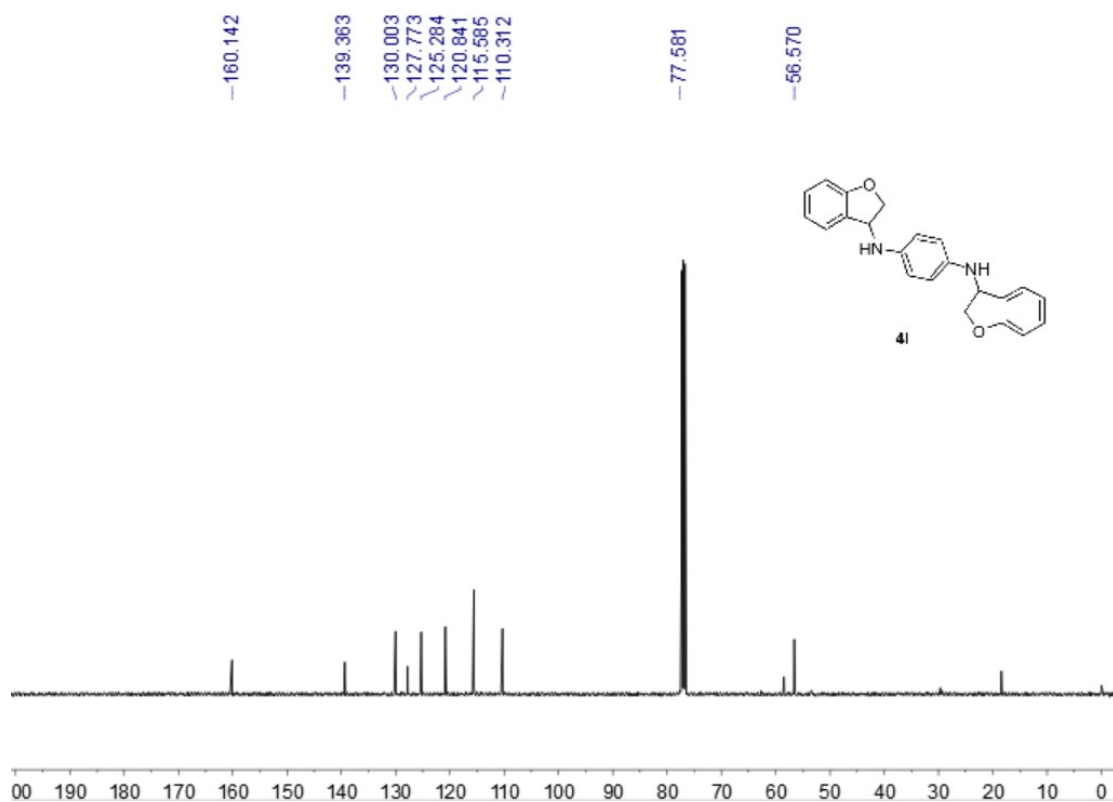
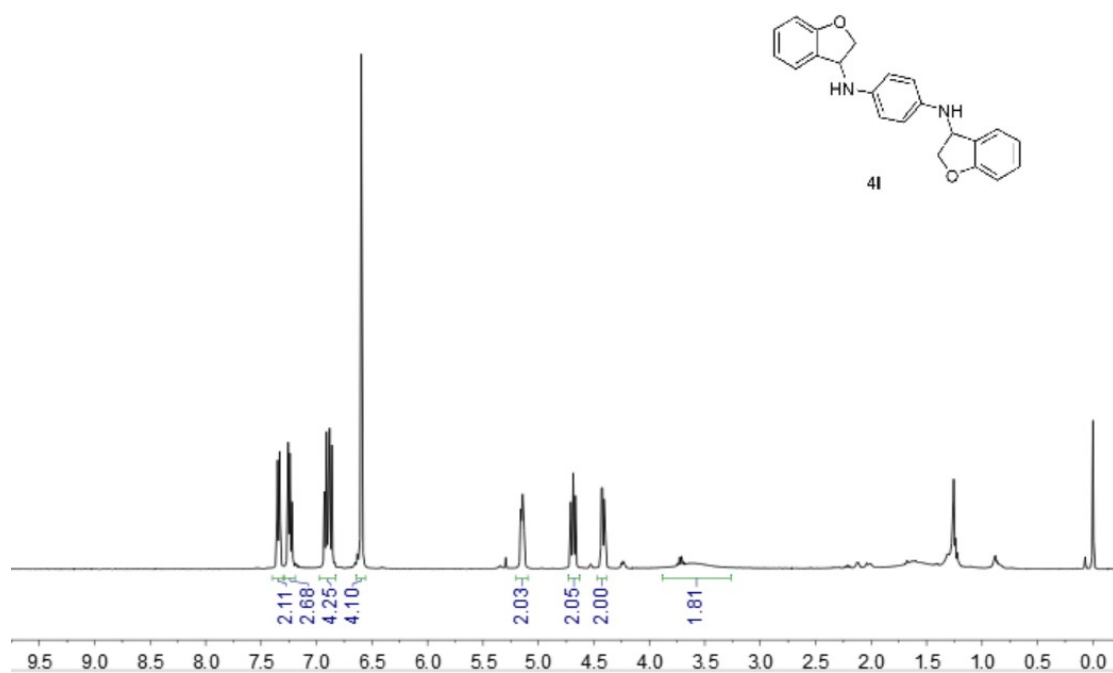
Chemical shift values (ppm): -160.432, 141.691, 134.477, 130.348, 128.700, 127.315, 126.310, 126.031, 125.472, 125.005, 123.477, 121.070, 119.946, 118.406, 110.482, 104.864, -77.879, -55.562

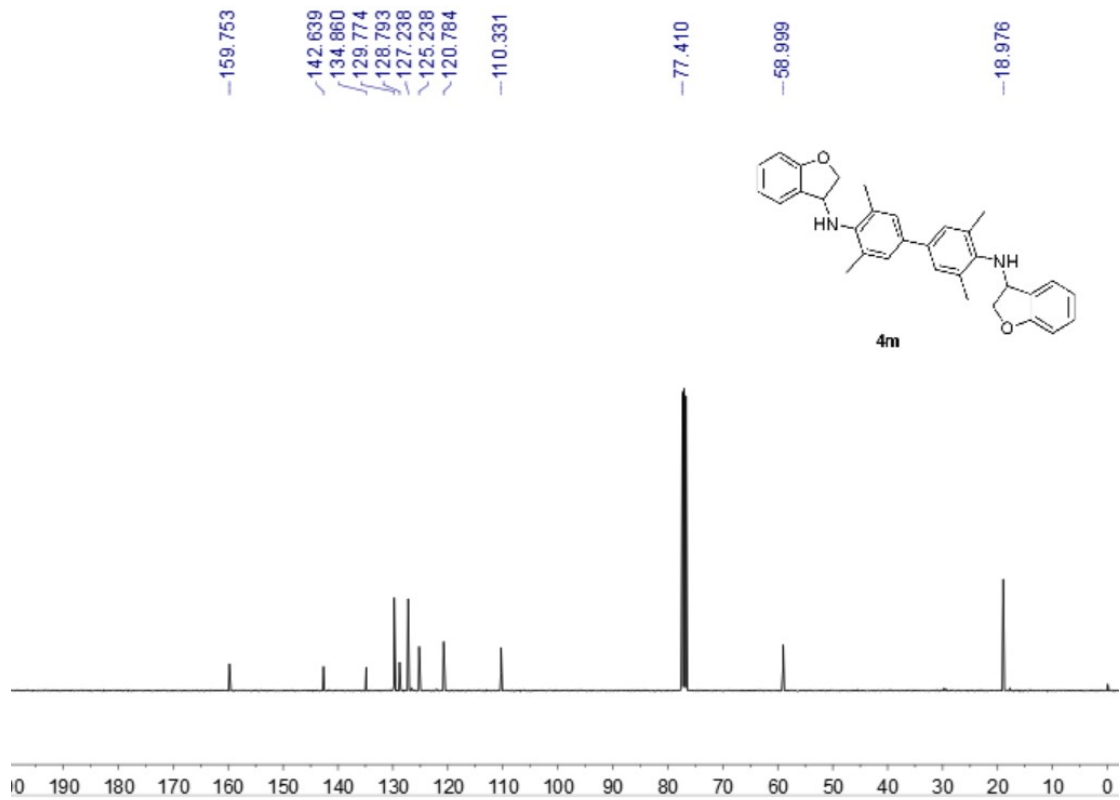
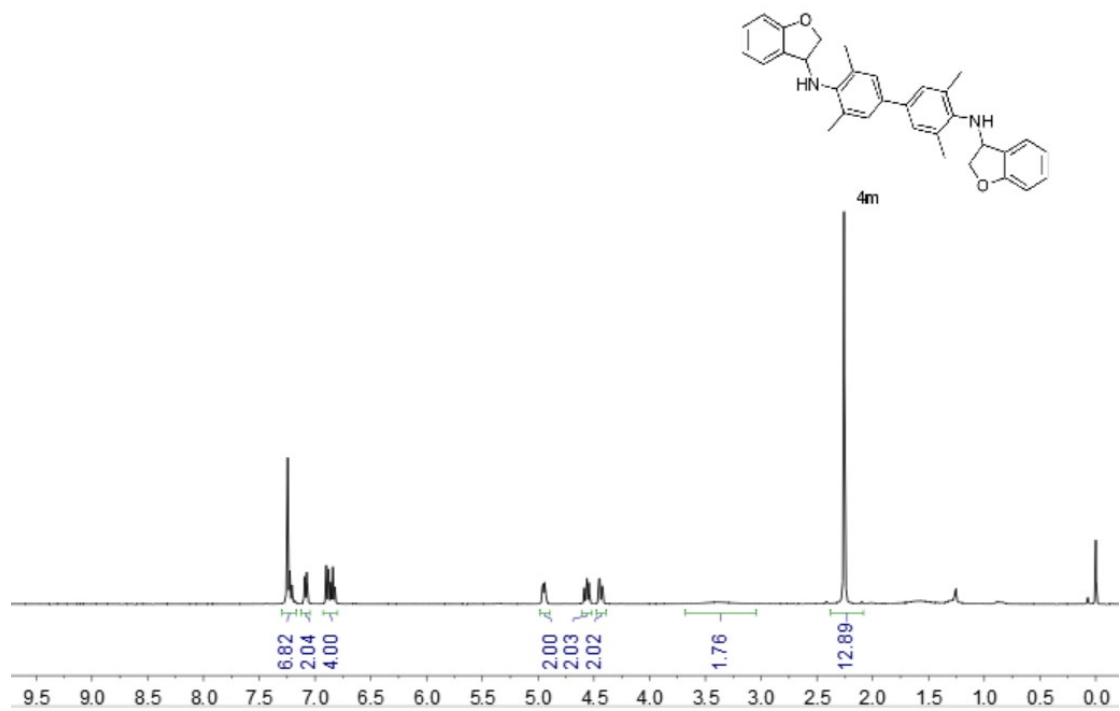


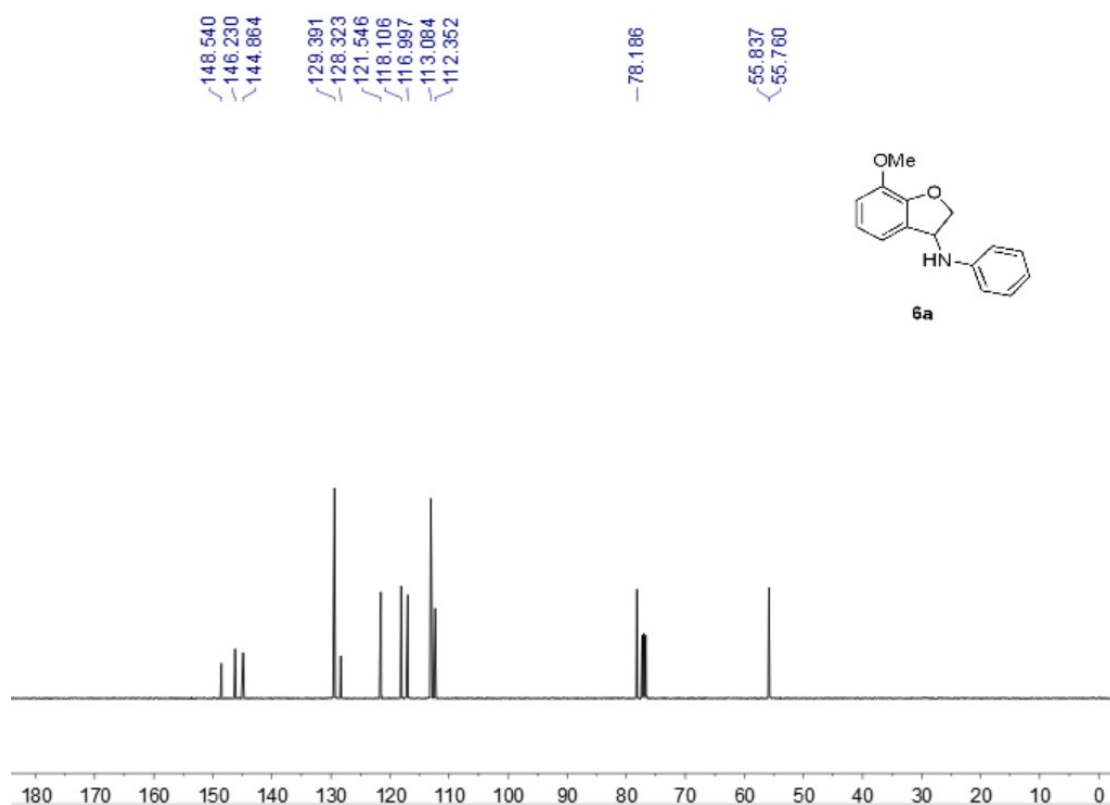
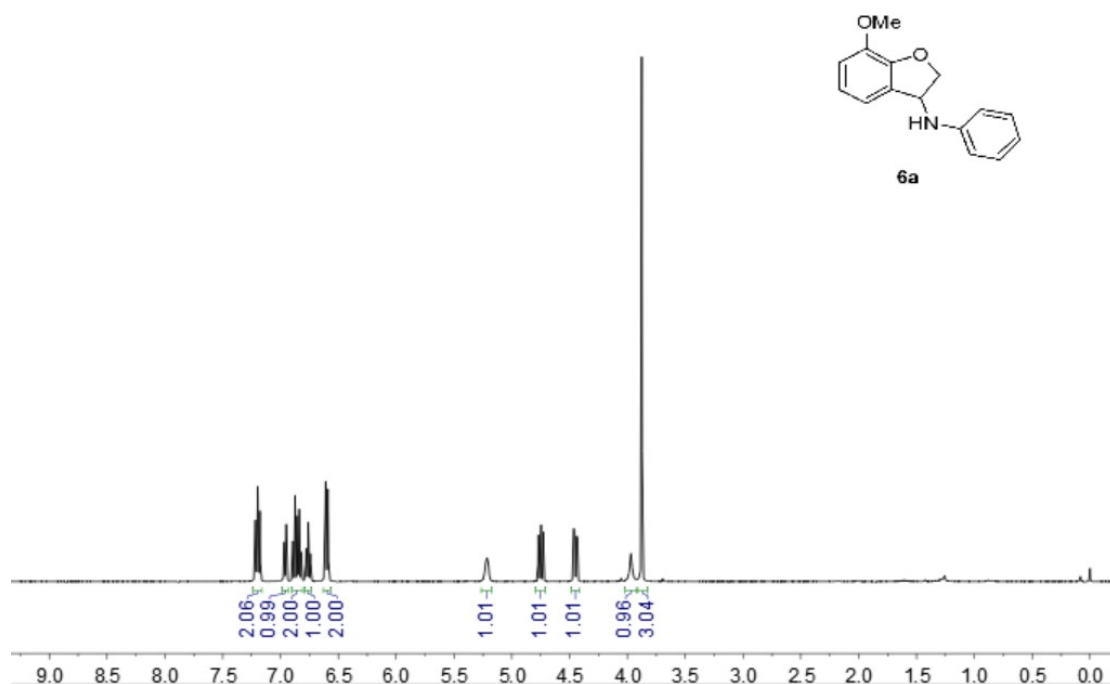


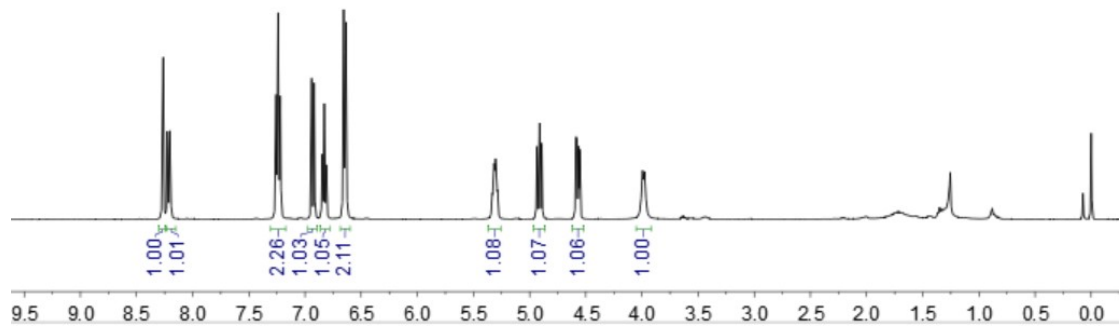
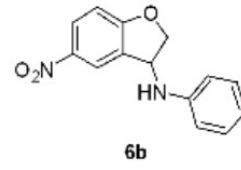
-160.216
 -135.832
 -130.083
 -127.361
 -125.484
 -120.902
 -120.086
 -113.050
 -110.268
 -77.645
 -55.490





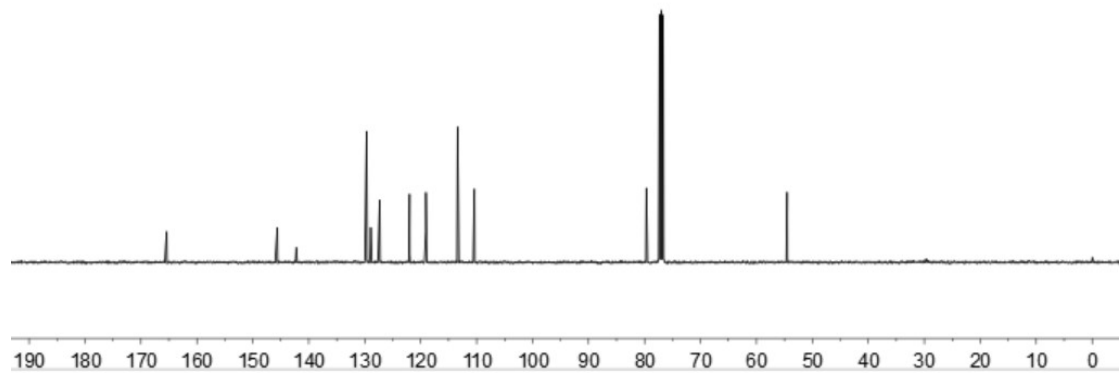
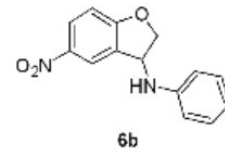


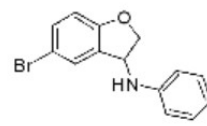




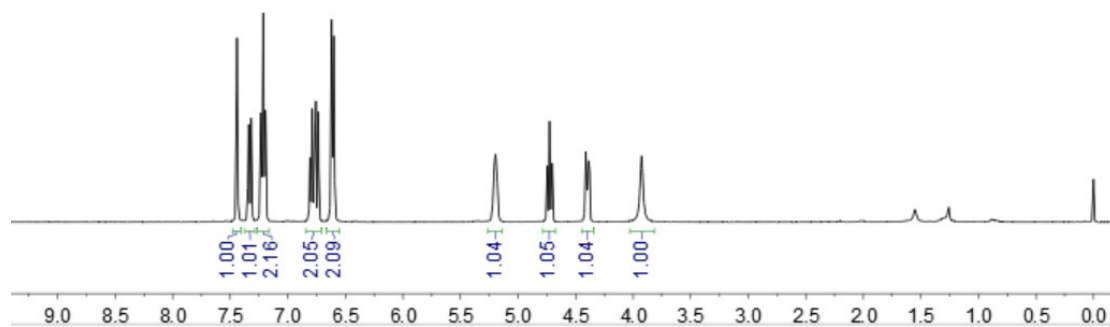
Chemical shift values (ppm) for ¹³C NMR:

- 165.401
- 145.619
- 142.228
- 129.656
- 128.923
- 127.323
- 121.995
- 119.064
- 113.394
- 110.407
- 79.663
- 54.524

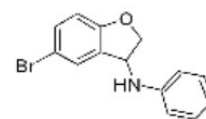




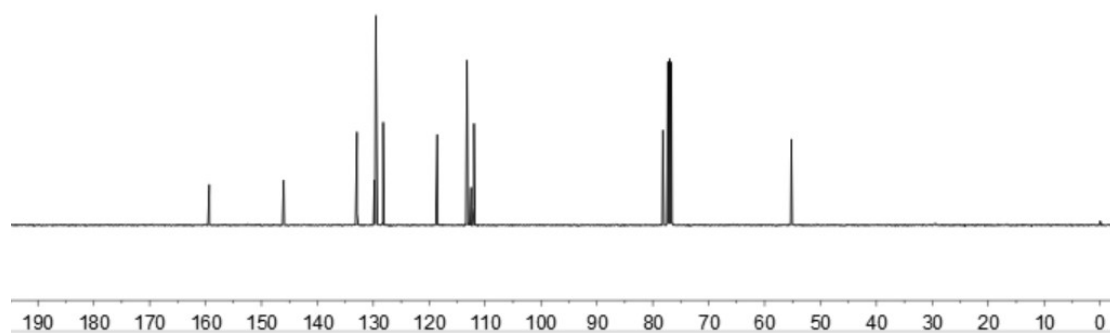
6c

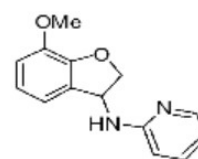


-159.343
-146.024
132.916
129.798
129.552
128.224
118.569
113.241
112.499
111.981
-78.196
-55.212

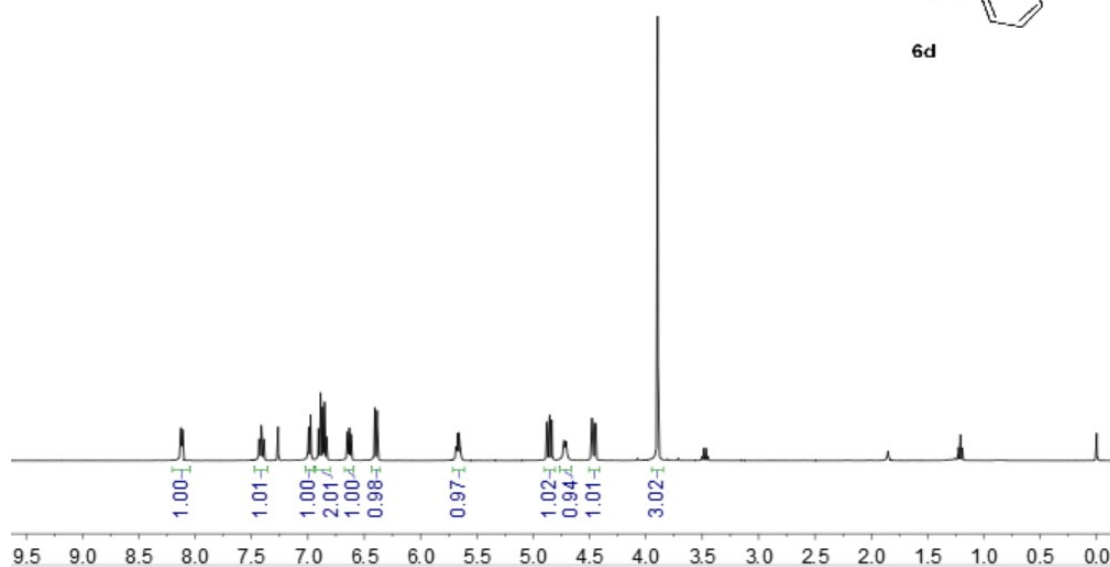


6c

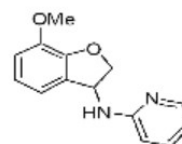




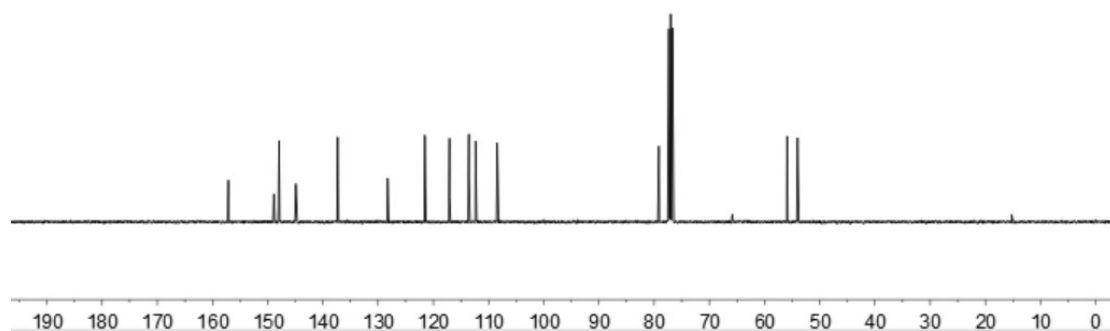
6d

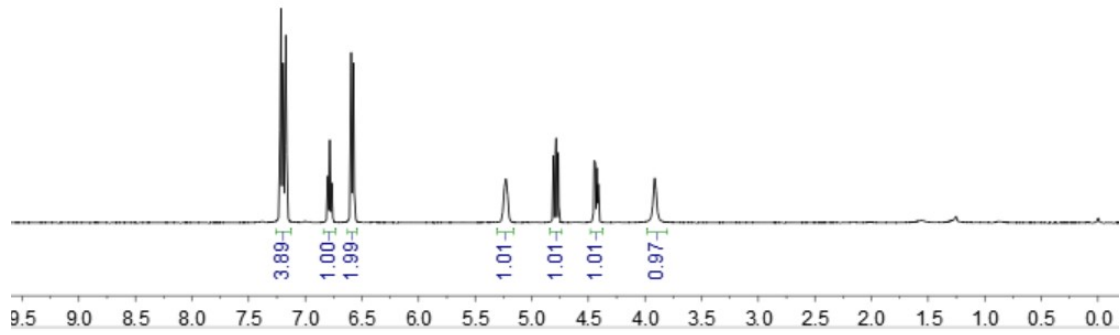
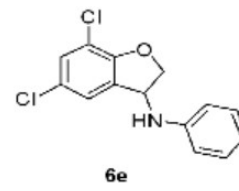


157.124
148.877
147.940
144.896
137.320
128.265
121.526
117.064
113.552
112.340
108.427
79.134
55.904
54.016

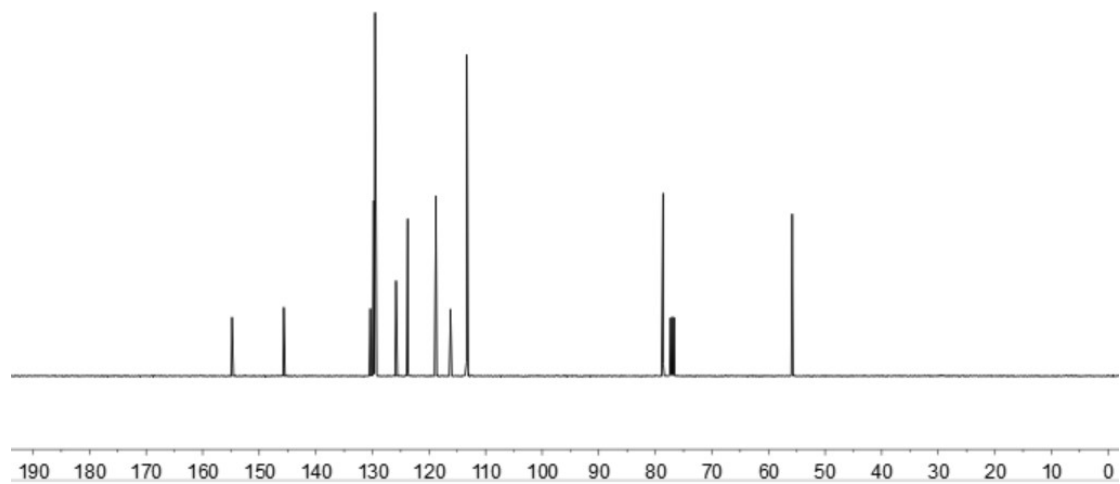
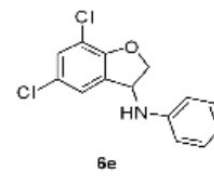


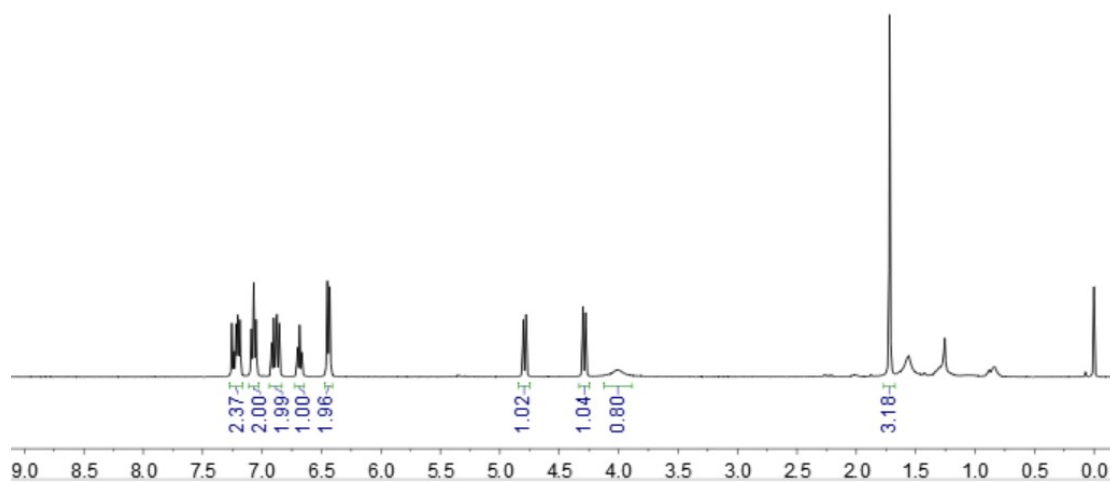
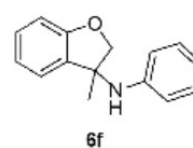
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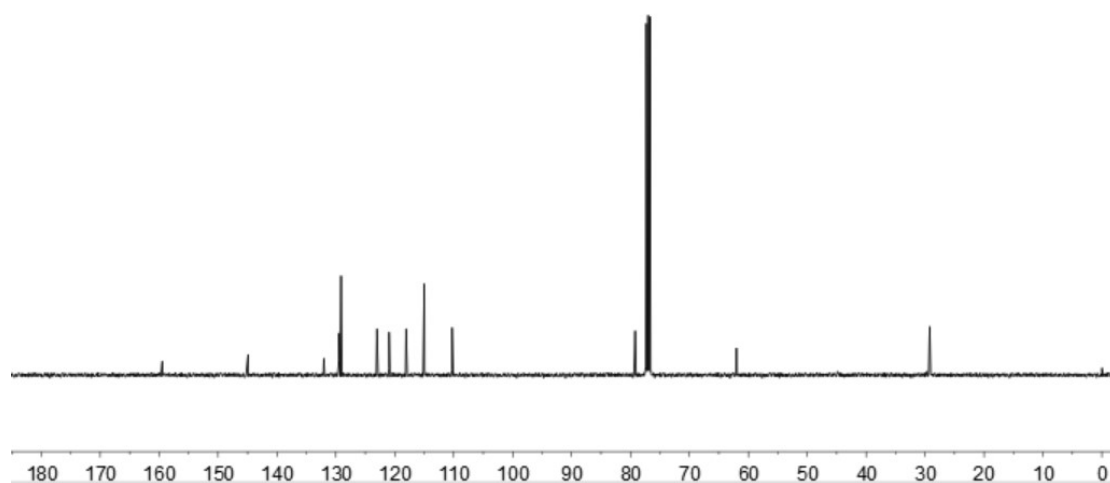
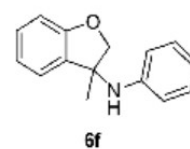


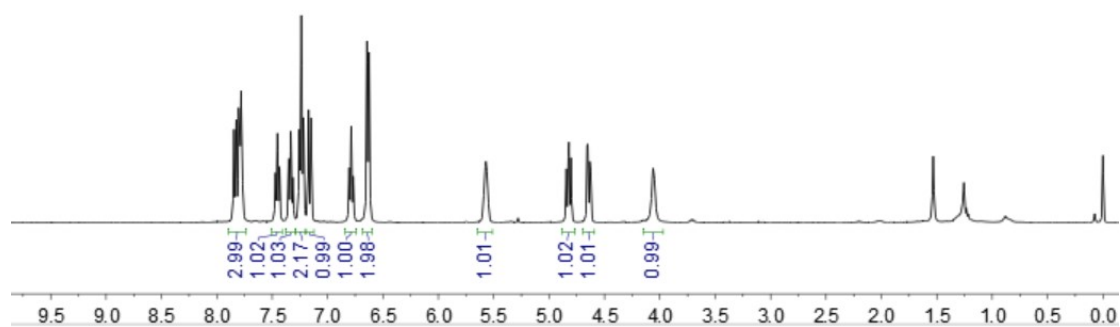
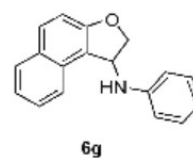
Chemical shift values (ppm):
-154.820
-145.662
-130.381
-129.782
-129.538
-125.827
-123.763
-118.808
-116.222
-113.314
-78.632
-55.808



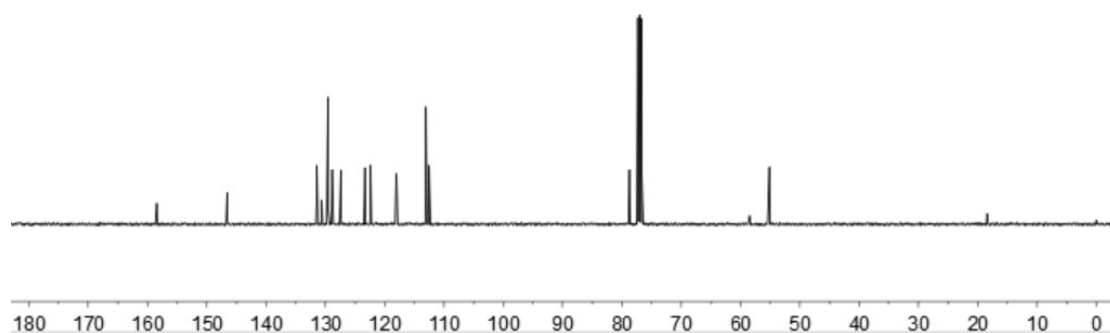
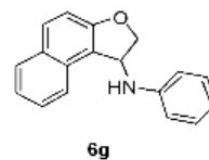


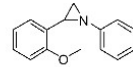
Chemical shift values (ppm):
-159.473
-144.913
-132.034
-129.468
-129.116
-123.030
-120.965
-118.075
-114.983
-110.268
-79.205
-62.005
-29.218



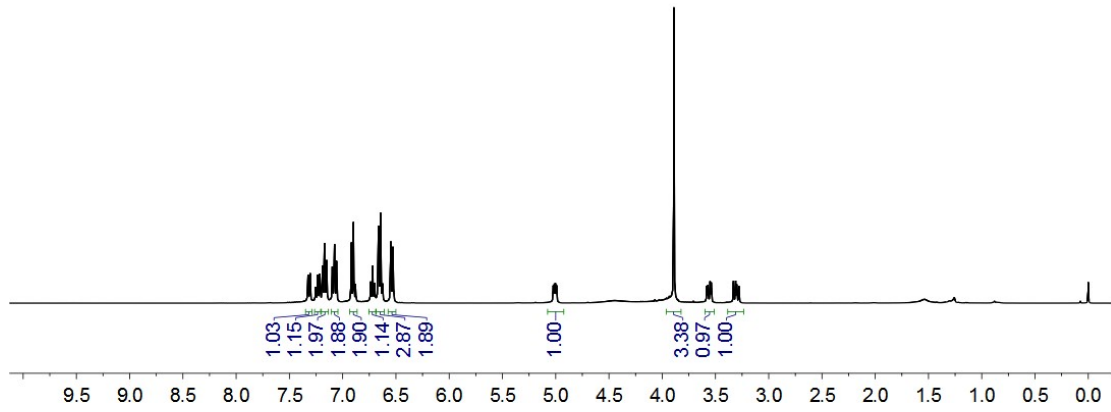


Chemical shift values (ppm):
-158.419
-146.538
-131.444
-130.614
-129.545
-128.854
-127.361
-123.318
-122.389
-118.022
-117.916
-113.063
-112.531
-78.730
-55.131

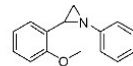




2a'



157.008
148.149
147.297
129.242
129.089
128.642
128.414
127.385
120.862
117.753
117.594
113.658
113.188
110.595
55.301
52.559
48.710



2a'

