



Supporting Information

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Iron-Catalyzed *N*-Arylation of Nitrogen Nucleophiles**

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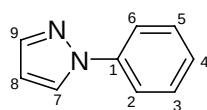
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General information: All reagents were purchased from commercial suppliers and used without further purification. All experiments were carried out under argon. Flash chromatography was carried out with Merck silica gel 60 (63-200 mesh). Analytical TLC was performed with Merck silica gel 60 F₂₅₄ plates, and the products were visualized by UV detection. ¹H NMR and ¹³C NMR (300 or 400 MHz and 75 or 100 MHz, respectively) spectra were recorded in CDCl₃. Chemical shifts (δ) are reported in ppm using TMS as internal standard, and spin-spin coupling constants (*J*) are given in Hz.

General procedure for *N*-arylation of nitrogen nucleophiles: An oven-dried tube was charged with the *N*-nucleophile (1.0 equiv), FeCl₃ (0.10 equiv) and K₃PO₄ (2.0 equiv). Under an argon atmosphere the aryl halide (1.5 equiv) and DMEDA (0.20 equiv) was added followed by dry toluene (1 mL/mmol of nucleophile). The tube was sealed under argon and the mixture was heated to 135 °C. After stirring at 135 °C for 24 h, the heterogeneous mixture was cooled to room temperature and diluted with dichloromethane. The resulting solution was directly filtered through a pad of silica gel

and concentrated to yield the product, which was purified by silica gel chromatography to yield the *N*-arylated product. The identity and purity of the products was confirmed by ^1H and ^{13}C NMR spectroscopic analysis.

1-Phenyl-1*H*-pyrazole¹ (3a). Following the general procedure using 1*H*-pyrazole (300 mg, 4.32 mmol) and iodobenzene (0.73 mL, 6.48 mmol) provided 500 mg (80% yield) of the coupling product as a yellowish oil after purification by flash chromatography (1:1 pentane/ethyl acetate) of the crude brown oil.

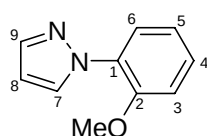


^1H -NMR (400 MHz, CDCl_3) δ 7.91 (dd, $J^3 = 2.5$ Hz, $J^4 = 0.5$ Hz, 1H, H-7), 7.68-7.72 (m, 3H, H-2,6,9), 7.41-7.46 (m, 2H, H-3,5), 7.25-7.29 (m, 1H, H-4), 6.45 (dd, $J^3 = 2.5$ Hz, $J^3 = 1.8$ Hz, 1H, H-8).

^{13}C -NMR (400 MHz, CDCl_3) δ 141.0 (C-9), 140.1 (C-1), 129.4 (C-3,5), 126.7 (C-7), 126.4 (C-4), 119.2 (C-2,6), 107.6 (C-8).

All spectral data correspond to those given in the literature.¹

1-(2-Methoxyphenyl)-1*H*-pyrazole² (3b). Following the general procedure using 1*H*-pyrazole (50 mg, 0.72 mmol) and 2-iodoanisole (0.14 mL, 1.08 mmol) provided 52 mg (41% yield) of the coupling product as a colorless oil after purification by flash chromatography (1:1 pentane/ethyl acetate) of the crude oil.



¹ H.-J. Cristau, P. P. Cellier, J.-F. Spindler, M. Taillefer, *Org. Lett.* **2004**, 6, 695.

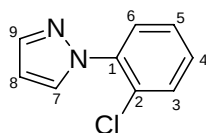
² T. Asaumi, N. Chatani, T. Matsuo, F. Kakiuchi, S. Murai, *J. Org. Chem.* **2003**, 68, 7538.

^1H -NMR (400 MHz, CDCl_3) δ 8.01 (d, $J = 2.2$ Hz, 1H), 7.68-7.72 (m, 2H), 7.23-7.28 (m, 1H), 6.99-7.05 (m, 2H), 6.39-6.40 (m, 1H), 3.81 (s, 3H).

^{13}C -NMR (400 MHz, CDCl_3) δ 151.2 (C), 139.9 (CH), 131.5 (CH), 129.7 (C), 127.9 (CH), 125.2 (CH), 121.1 (CH), 112.3 (CH), 106.2 (CH), 55.9 (CH_3).

All spectral data correspond to those given in the literature.²

1-(2-Chlorophenyl)-1H-pyrazole³ (3c). Following the general procedure using 1H-pyrazole (50 mg, 0.72 mmol) and 1-chloro-2-iodobenzene (0.13 mL, 1.08 mmol) provided 23 mg (18% yield) of the coupling product as a yellowish oil after purification by flash chromatography (1:1 pentane/ethyl acetate) of the crude oil.



^1H -NMR (300 MHz, CDCl_3) δ 7.88 (dd, $J^3 = 2.2$ Hz, $J^4 = 0.5$ Hz, 1H, H-7), 7.75 (d, $J = 1.5$ Hz, 1H, H-9), 7.57-7.71 (m, 1H), 7.50-7.53 (m, 1H), 7.30-7.45 (m, 2H), 6.47-6.48 (m, 1H, H-8).

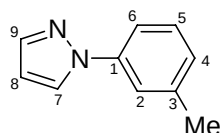
^{13}C -NMR (300 MHz, CDCl_3) δ 140.9 (C-9), 138.2 (C), 131.3 (CH), 130.6 (CH), 129.0 (CH), 128.4 (C), 127.8 (CH), 127.7 (CH), 106.6 (C-8).

All spectral data correspond to those given in the literature.³

1-(3-Methylphenyl)-1H-pyrazole⁴ (3d). Following the general procedure using 1H-pyrazole (50 mg, 0.72 mmol) and 3-iodotoluene (0.14 mL, 1.08 mmol) provided 93 mg (82% yield) of the coupling product as a yellowish oil after purification by flash chromatography (dichloromethane) of the crude oil.

³ D. Kalyani, A. R. Dick, W. Q. Anani, M. S. Sanford, *Tetrahedron* **2006**, 62, 11483.

⁴ T.-H. Kwon, H. S. Cho, M. K. Kim, J.-W. Kim, J.-J. Kim, K. H. Lee, S. J. Park, I.-S. Shin, H. Kim, D. M. Shin, Y. K. Chung, J.-I. Hong, *Organometallics* **2005**, 24, 1578.

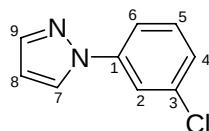


$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 7.87 (d, $J^3 = 2.5$ Hz, 1H, H-7), 7.70 (d, $J^3 = 1.4$ Hz, 1H), 7.53 (s, 1H), 7.42-7.45 (m, 1H), 7.29 (t, $J = 7.8$ Hz, 1H), 7.06 (d, $J = 7.4$ Hz, 1H), 6.42 (t, $J = 2.2$ Hz, 1H, H-9), 2.54 (s, 3H).

$^{13}\text{C-NMR}$ (400 MHz, CDCl_3) δ 140.9 (CH), 140.1 (C), 139.4 (C), 129.2 (CH), 127.2 (CH), 126.8 (CH), 119.9 (CH), 116.2 (CH), 107.5 (CH), 21.6 (CH_3).

All spectral data correspond to those given in the literature.⁴

1-(3-Chlorophenyl)-1H-pyrazole⁵ (3e). Following the general procedure using 1H-pyrazole (50 mg, 0.72 mmol) and 1-chloro-3-iodobenzene (0.16 mL, 1.08 mmol) provided 111 mg (87% yield) of the coupling product as a yellowish oil after purification by flash chromatography (dichloromethane) of the crude oil.



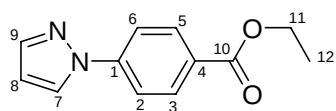
$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 7.89 (dd, $J^3 = 2.48$ Hz, $J^4 = 0.5$ Hz, 1H, H-7), 7.74 (d, $J = 1.9$ Hz, 1H), 7.71 (d, $J = 1.6$ Hz, 1H), 7.55-7.58 (m, 1H), 7.35 (t, $J^2 = 8.1$ Hz, 1H), 7.22-7.25 (m, 1H), 6.45-6.46 (m, 1H, H-9).

$^{13}\text{C-NMR}$ (400 MHz, CDCl_3) δ 141.5 (C-9), 141.0 (C), 135.2 (C), 130.4 (CH), 126.7 (CH), 126.3 (CH), 119.4 (CH), 116.9 (CH), 108.1 (C-8).

All spectral data correspond to those given in the literature.⁵

⁵ U. Maeder, A. von Zelewsky, H. Stoeckli-Evans, *Helv. Chim. Acta* **1992**, 75, 1320.

4-Pyrazol-1-yl-benzoic acid ethylester⁶ (3f). Following the general procedure using 1*H*-pyrazole (50 mg, 0.72 mmol) and 4-iodobenzoic acid ethylester (0.18 mL, 1.08 mmol) provided 114 mg (74% yield) of the coupling product as a white solid after purification by flash chromatography (dichloromethane) of the crude oil.



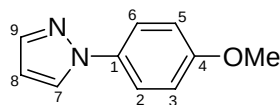
Mp: 63-64 °C (Lit.⁶ mp 66 °C).

¹H-NMR (400 MHz, CDCl₃) δ 8.14 (d, J = 8.8 Hz, 2H, H-3,5), 8.11 (d, J = 2.2 Hz, 1H, H-7), 7.75-7.78 (m, 3H, H-2,6,9), 6.47-6.48 (m, 1H, H-3), 4.38 (q, J = 7.2 Hz, 2H, H-11), 1.40 (t, J = 7.2, 3H, H-12).

¹³C-NMR (400 MHz, CDCl₃) δ 165.7 (C-10), 143.1 (C-1), 141.8 (C-9), 131.0 (C-3,5), 128.0 (C-4), 126.8 (C-7), 118.2 (C-5,6), 108.4 (C-8), 61.1 (C-11), 14.5 (C-12).

All spectral data correspond to those given in the literature.⁶

1-(4-Methoxyphenyl)-1*H*-pyrazole⁷ (3g). Following the general procedure using 1*H*-pyrazole (50 mg, 0.72 mmol) and either 4-iodoanisole (258 mg, 1.08 mmol) or 4-bromoanisole (0.13 mL, 1.08 mmol) provided 109 mg (87% yield) and 80 mg (64% yield), respectively, of the coupling product as a yellowish oil after purification by flash chromatography (pentane) of the crude oil.



¹H-NMR (400 MHz, CDCl₃) δ 7.80 (dd, J^3 = 2.2 Hz, J^4 = 0.5 Hz, 1H, H-7), 7.68-7.69 (m, 1H, H-9), 7.55-7.59 (m, 2H, H-2,6), 6.92-6.97 (m, 2H, H-3,5), 6.41-6.42 (m, 1H, H-8), 3.81 (s, 3H, CH₃).

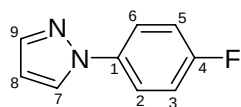
⁶ M. Taillefer, N. Xia, A. Ouani, *Angew. Chem.* **2007**, *119*, 952; *Angew. Chem., Int. Ed.* **2007**, *46*, 934.

⁷ H.-J. Cristau, P. P. Cellier, J.-F. Spindler, M. Taillefer, *Eur. J. Org. Chem.* **2004**, 695.

^{13}C -NMR (400 MHz, CDCl_3) δ 158.1 (C-4), 140.5 (C-9), 134.0 (C-1), 126.7 (C-7), 120.8 (C-2,6), 114.5 (C-3,5), 107.1 (C-8), 55.6 (CH_3).

All spectral data correspond to those given in the literature.⁷

1-(4-Fluorophenyl)-1H-pyrazole⁸ (3h). Following the general procedure using 1H-pyrazole (50 mg, 0.72 mmol) and 1-fluoro-4-iodobenzene (0.12 mL, 1.08 mmol) provided 57 mg (46% yield) of the coupling product as a yellowish oil after purification by flash chromatography (pentane) of the crude oil.

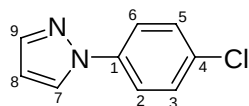


^1H -NMR (400 MHz, CDCl_3) δ 7.84-7.85 (m, 1H, H-7), 7.70 (d, $J = 1.1$ Hz, 1H), 7.62-7.67 (m, 2H), 7.11-7.16 (m, 2H), 6.46 (dd, $J = 2.5$ Hz, $J = 1.9$ Hz, 1H).

^{13}C -NMR (400 MHz, CDCl_3) δ 160.5 (d, $J^2 = 245.7$ Hz, C-4), 141.0 (C-9), 136.5 (C-1), 126.8 (C-7), 120.9 (d, $J^4 = 8.4$ Hz, C-2,6), 116.2 (d, $J^3 = 22.9$ Hz, C-3,5), 107.7 (C-8).

All spectral data correspond to those given in the literature.⁸

1-(4-Chlorophenyl)-1H-pyrazole⁷ (3i). Following the general procedure using 1H-pyrazole (50 mg, 0.72 mmol) and 1-chloro-4-iodobenzene (257 mg, 1.08 mmol) provided 72 mg (56% yield) of the coupling product as a white solid after purification by flash chromatography (pentane) of the crude oil.



Mp: 53-54 °C (Lit.⁷ mp 52 °C).

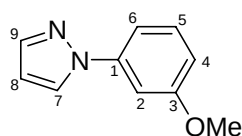
^1H -NMR (300 MHz, CDCl_3) δ 7.87-7.89 (m, 1H, H-7), 7.72 (d, $J^3 = 1.7$ Hz, 1H, H-9), 7.61-7.66 (m, 2H, H-3,5), 7.38-7.43 (m, 2H, H-2,6), 6.46-6.48 (m, 1H, H-8).

⁸ A. de la Hoz, M. C. Pardo, *Magnetic Resonance in Chemistry*, **1989**, 27, 603.

^{13}C -NMR (300 MHz, CDCl_3) δ 141.4 (C-9), 138.7 (C-1), 131.9 (C-4), 129.5 (C-3,5), 126.7 (C-7), 120.3 (C-2,6), 107.9 (C-8).

All spectral data correspond to those given in the literature.⁷

1-(3-Methoxyphenyl)-1H-pyrazole⁶ (3j). Following the general procedure using 1H-pyrazole (50 mg, 0.72 mmol) and 3-bromoanisole (0.14 mL, 1.08 mmol) provided 49 mg (39% yield) of the coupling product as a yellow oil after purification by flash chromatography (dichloromethane) of the crude oil.

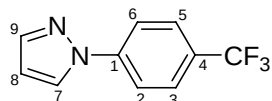


^1H -NMR (300 MHz, CDCl_3) δ 7.90-7.91 (m, 1H, H-7), 7.32 (d, $J = 1.2$ Hz, 1H, H-9), 7.30-7.36 (m, 2H, H-4,6), 7.20-7.25 (m, 1H, H-3), 6.80-6.84 (m, 1H, H-2), 6.45 (dd, $J = 2.5$ Hz, $J = 1.7$ Hz, 1H, H-8), 3.86 (s, 3H, CH_3).

^{13}C -NMR (300 MHz, CDCl_3) δ 160.5 (C-3), 141.3 (C-1), 141.0 (C-9), 130.2 (C-5), 126.9 (C-7), 112.4 (C-4), 111.2 (C-6), 107.6 (C-8), 105.1 (C-2), 55.5 (CH_3).

All spectral data correspond to those given in the literature.⁶

1-(4-Trifluoromethylphenyl)-1H-pyrazole⁶ (3k). Following the general procedure using 1H-pyrazole (50 mg, 0.72 mmol) and 4-bromobenzotrifluoride (0.15 mL, 1.08 mmol) provided 57 mg (37% yield) of the coupling product as a white solid after purification by flash chromatography (pentane) of the crude oil.



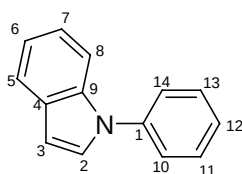
Mp: 93-94 °C (Lit.⁶ mp 93 °C).

^1H -NMR (400 MHz, CDCl_3) δ 7.97 (dd, $J^3 = 2.5$ Hz, $J^4 = 0.5$ Hz, 1H, H-7), 7.82 (d, $J^2 = 8.5$ Hz, 2H, H-3,5), 7.76 (d, $J^3 = 1.4$ Hz, 1H, H-9), 7.69 (d, $J^2 = 8.5$ Hz, 2H, H-2,6), 6.50-6.51 (m, 1H, H-8).

^{13}C -NMR (400 MHz, CDCl_3) δ 142.5 (C-1), 141.9 (C-9), 126.8 (C-7), 126.7 (m, C-3,5), 125.2 (C-4), 122.5 (C-10), 118.8 (C-2,6), 108.5 (C-8).

All spectral data correspond to those given in the literature.⁶

1-Phenyl-1*H*-indole⁹ (4). Following the general procedure using 1*H*-indole (100 mg, 0.85 mmol) and iodobenzene (0.14 mL, 1.28 mmol) provided 99 mg (60% yield) of the coupling product as a yellow oil after purification by flash chromatography (pentane) of the crude oil.



^1H -NMR (300 MHz, CDCl_3) δ 7.65-7.69 (m, 1H), 7.52-7.56 (m, 1H), 7.40-7.46 (m, 4H), 7.27-7.31 (m, 1H), 7.10-7.25 (m, 2H), 6.65 (dd, $J^3 = 3.3$ Hz, $J^5 = 0.7$ Hz, 1H).

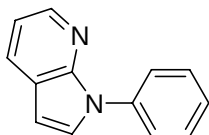
^{13}C -NMR (300 MHz, CDCl_3) δ 139.9 (C-1), 135.9 (C-7), 129.7 (C-2,6), 129.5 (C-12), 128.1 (C-14), 126.5 (C-4), 124.5 (C-3,5), 122.5 (C-9), 121.3 (C-11), 120.5 (C-10), 110.7 (C-8), 103.7 (C-13).

All spectral data correspond to those given in the literature.⁹

1-Phenyl-7-aza-1*H*-indole¹⁰ (5a). Following the general procedure using 7-aza-1*H*-indole (100 mg, 0.83 mmol) and iodobenzene (0.14 mL, 1.28 mmol) provided 135 mg (84% yield) of the coupling product as a yellow oil after purification by flash chromatography (pentane) of the crude oil.

⁹ H.-J. Cristau, P. P. Cellier, J.-F. Spindler, M. Taillefer, *Chem. Eur. J.* **2004**, *10*, 5607.

¹⁰ C. S. Hong, J. Y. Seo, E. K. Yum, *Tetrahedron Lett.* **2007**, *48*, 4831.

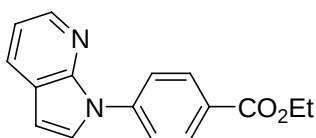


$^1\text{H-NMR}$ (300 MHz, CDCl_3) δ 8.36 (dd, $J = 3.8$ Hz, $J = 1.7$ Hz, 1H), 7.93 (dd, $J = 7.9$ Hz, $J = 1.7$ Hz, 1H), 7.71-7.76 (m, 2H), 7.46-7.52 (m, 3H), 7.27-7.30 (m, 1H), 7.10 (dd, $J = 7.9$ Hz, $J = 4.7$ Hz, 1H), 6.58 (d, $J = 3.5$ Hz, 1H).

$^{13}\text{C-NMR}$ (300 MHz, CDCl_3) δ 147.5 (C), 143.6 (CH), 138.5 (C), 129.4 (CH), 129.1 (CH), 127.9 (CH), 126.3 (CH), 124.0 (CH), 121.6 (C), 116.7 (CH), 101.7 (CH).

All spectral data correspond to those given in the literature.¹⁰

4-(7-Aza-1H-indol-1-yl)-benzoic acid ethylester¹¹ (5b). Following the general procedure using 7-aza-1H-indole (100 mg, 0.83 mmol) and 4-iodobenzoic acid ethylester (0.21 mL, 1.08 mmol) provided 155 mg (74% yield) of the coupling product as a colorless oil after purification by flash chromatography (dichloromethane) of the crude oil.

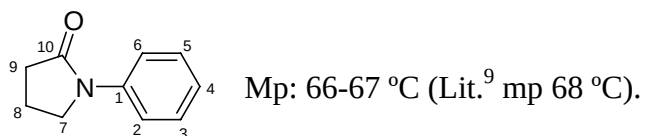


$^1\text{H-NMR}$ (300 MHz, CDCl_3) δ 8.38 (dd, $J = 4.7$ Hz, $J = 1.5$ Hz, 1H), 8.17-8.22 (m, 2H), 7.92-7.98 (m, 3H), 7.55 (d, $J = 3.9$ Hz, 1H), 7.15 (dd, $J = 7.7$ Hz, $J = 4.7$ Hz, 1H), 6.65 (d, $J = 3.7$ Hz, 1H), 4.40 (q, $J = 7.2$ Hz, 2H), 1.41 (t, $J = 7.2$ Hz, 3H).

$^{13}\text{C-NMR}$ (300 MHz, CDCl_3) δ 166.1 (C), 147.5 (C), 143.7 (CH), 142.3 (C), 130.9 (CH), 129.3 (CH), 127.6 (C), 127.1 (CH), 122.6 (CH), 122.0 (C), 117.2 (CH), 102.9 (CH), 61.0 (CH_2), 14.4 (CH_3).

¹¹ T. Takahiro, S. Toshinobu, H. Chikara, T. Masakazu, WO 043401, **2007**.

1-Phenyl-pyrrolidin-2-one⁹ (6a). Following the general procedure using pyrrolidin-2-one (100 mg, 1.17 mmol) and iodobenzene (0.19 mL, 1.75 mmol) provided 101 mg (53% yield) of the coupling product as a yellowish solid after purification by flash chromatography (1:1 n-pentane/ethyl acetate) of the crude oil.



¹H-NMR (300 MHz, CDCl₃) δ 7.58-7.62 (m, 2H, H-3,5), 7.32-7.39 (m, 2H, H-2,6), 7.10-7.16 (m, 1H, H-4), 3.85 (t, J = 7.0 Hz, 2H, H-7), 2.60 (t, J = 8.0 Hz, 2H, H-9), 2.09-2.19 (m, 2H, H-8).

¹³C-NMR (300 MHz, CDCl₃) δ 174.2 (C-10), 139.4 (C-1), 128.8 (C-3,5), 124.5 (C-4), 119.9 (C-2,6), 48.8 (C-7), 32.8 (C-8), 18.0 (C-9).

All spectral data correspond to those given in the literature.⁹

1-(3-Methylphenyl)-pyrrolidin-2-one¹² (6b). Following the general procedure using pyrrolidin-2-one (100 mg, 1.17 mmol) and 3-iodotoluene (0.22 mL, 1.75 mmol) provided 101 mg (53% yield) of the coupling product as a white solid after purification by flash chromatography (1:1 n-pentane/ethyl acetate) of the crude oil.



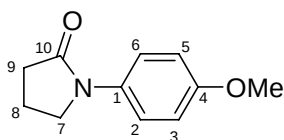
¹H-NMR (400 MHz, CDCl₃) δ 7.43 (s, 1H), 7.37-7.38 (m, 1H), 7.23 (t, J = 7.7 Hz, 1H), 6.95 (d, J = 7.4 Hz, 1H), 3.82 (t, J = 7.1 Hz, 2H, H-7), 2.57 (t, J = 8.1 Hz, 2H, H-9), 2.35 (s, 3H), 2.03-2.15 (m, 2H, H-8).

¹² D. G. Billing, J. C. A. Boeyens, L. Denner, K. E. du Plooy, G. C. Long, J. P. Michael, *Acta Crystallogr., Sect. B* **1991**, 47, 284.

^{13}C -NMR (400 MHz, CDCl_3) δ 174.1 (C-10), 139.3 (C), 138.6 (C), 128.6 (CH), 125.3 (CH), 120.8 (CH), 118.1 (CH), 49.0 (C-7), 32.9 (C-8), 21.7 (CH_3), 18.2 (C-9).

All spectral data correspond to those given in the literature.¹²

1-(4-Methoxyphenyl)-pyrrolidin-2-one¹³ (6b). Following the general procedure using pyrrolidin-2-one (100 mg, 1.17 mmol) and 4-iodoanisole (418 mg, 1.75 mmol) provided 115 mg (51% yield) of the coupling product as a white solid after purification by flash chromatography (1:1 n-pentane/ethyl acetate) of the crude oil.



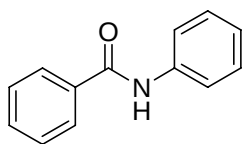
Mp: 112-114 °C (Lit.¹³ mp 108 °C).

^1H -NMR (400 MHz, CDCl_3) δ 7.48 (d, J = 9.3 Hz, 2H), 6.88 (d, J = 9.3 Hz, 2H), 3.78-3.80 (m, 2H, H-7), 3.79 (s, 3H), 2.54-2.58 (m, 2H, H-9), 2.10-2.16 (m, 2H, H-8).

^{13}C -NMR (400 MHz, CDCl_3) δ 173.8 (C-10), 156.4 (C), 132.6 (C), 121.7 (CH), 113.9 (CH), 55.5 (CH_3), 49.2 (C-7), 32.6 (C-8), 18.1 (C-9).

All spectral data correspond to those given in the literature.¹³

N-phenylbenzamide⁹ (7a). Following the general procedure using benzamide (100 mg, 0.83 mmol) and iodoabenzene (0.14 mL, 1.24 mmol) provided 128 mg (78% yield) of the coupling product as a white solid after purification by flash chromatography (dichloromethane) of the crude oil.



Mp: 162-163 °C (Lit.⁹ mp 164 °C).

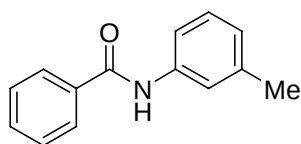
¹³ C. J. Easton, M. J. Pitt, C. M. Ward, *Tetrahedron* **1995**, 51, 12781.

^1H -NMR (400 MHz, CD_3OD) δ 7.87-7.93 (m, 2H), 7.67-7.70 (m, 2H), 7.45-7.57 (m, 3H), 7.33-7.36 (m, 2H), 7.12-7.16 (m, 1H).

^{13}C -NMR (400 MHz, CD_3OD) δ 167.5 (C), 138.2 (C), 134.8 (C), 131.5 (CH), 128.5 (CH), 128.2 (CH), 127.3 (CH), 124.3 (CH), 121.0 (CH).

All spectral data correspond to those given in the literature.⁹

***N*-(3-Methylphenyl)benzamide¹⁴ (7b).** Following the general procedure using benzamide (100 mg, 0.83 mmol) and 1-chloro-3-iodobenzene (0.15 mL, 1.24 mmol) provided 138 mg (79% yield) of the coupling product as a white solid after purification by flash chromatography (dichloromethane) of the crude oil.



Mp: 117-119 °C (Lit.¹⁴ mp 120-123 °C).

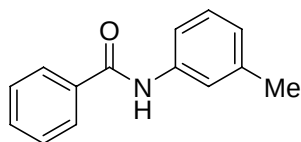
^1H -NMR (400 MHz, CDCl_3) δ 8.27 (br s, 1H, NH), 7.79-7.83 (m, 2H), 7.32-7.47 (m, 5H), 7.16 (t, J = 7.9 Hz, 1H), 6.92 (d, J = 7.7 Hz, 1H).

^{13}C -NMR (400 MHz, CDCl_3) δ 166.1 (C), 138.9 (C), 138.0 (C), 135.0 (C), 131.7 (CH), 128.8 (CH), 128.6 (CH), 127.2 (CH), 125.4 (CH), 121.2 (CH), 117.7 (CH), 21.5 (CH_3).

All spectral data correspond to those given in the literature.¹⁴

***N*-(3-Chlorophenyl)benzamide¹⁴ (7c).** Following the general procedure using benzamide (100 mg, 0.83 mmol) and 1-chloro-3-iodobenzene (0.18 mL, 1.24 mmol) provided 186 mg (97% yield) of the coupling product as a white solid after purification by flash chromatography (dichloromethane) of the crude oil.

¹⁴ A. M. C. H. van den Nieuwendijk, D. Pietra, L. Heitman, A. Göblyös, A. P. Ijzerman, *J. Med. Chem.* **2004**, 47, 663.

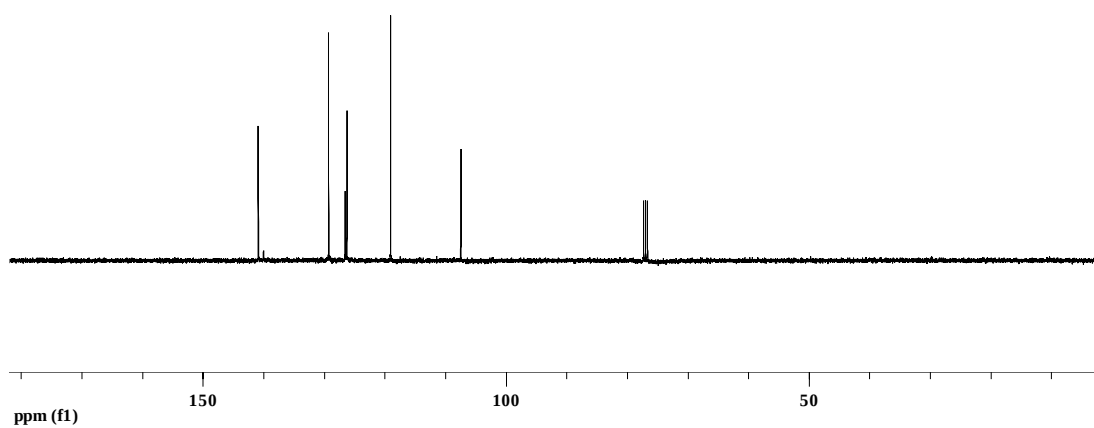
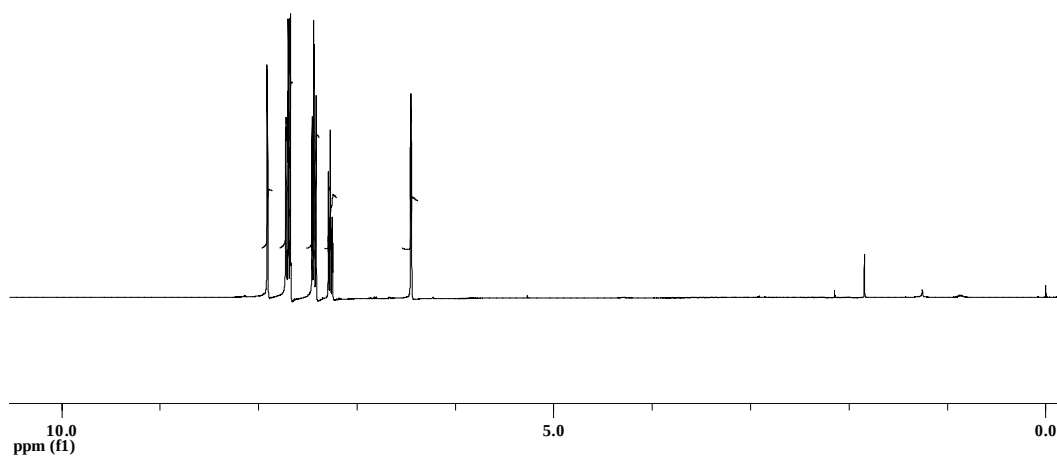


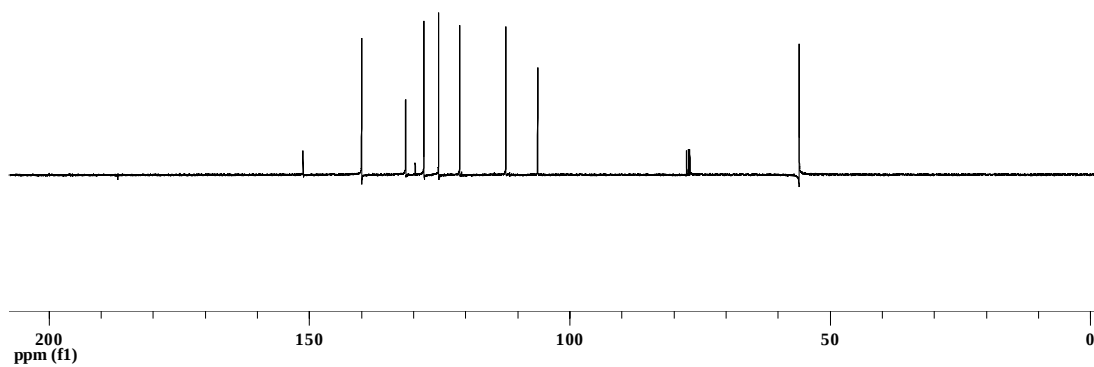
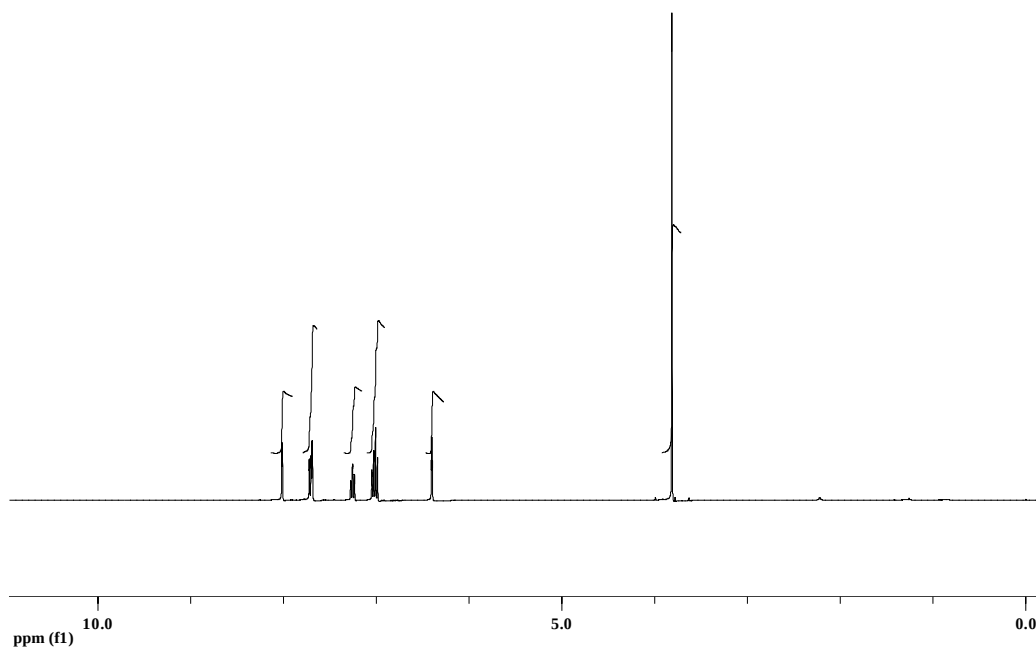
Mp: 125-126 °C (Lit.¹⁴ mp 123-124 °C).

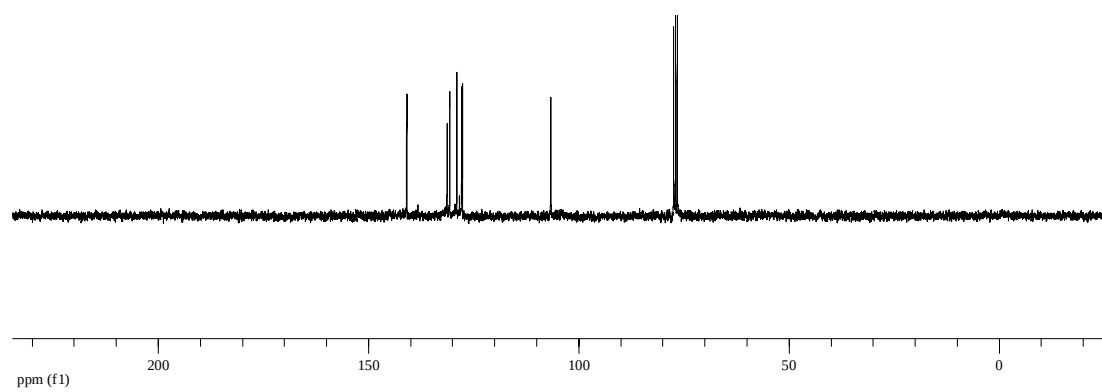
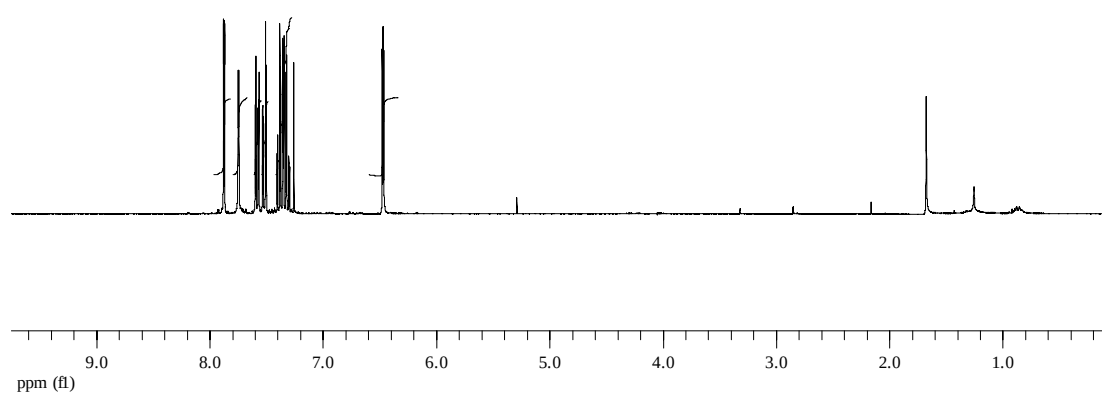
¹H-NMR (400 MHz, CDCl₃) δ 8.51 (br s, 1H, NH), 7.75-7.81 (m, 2H), 7.72 (t, J = 1.9 Hz, 1H), 7.44-7.47 (m, 2H), 7.33 (t, J = 7.7 Hz, 2H), 7.14-7.19 (m, 2H).

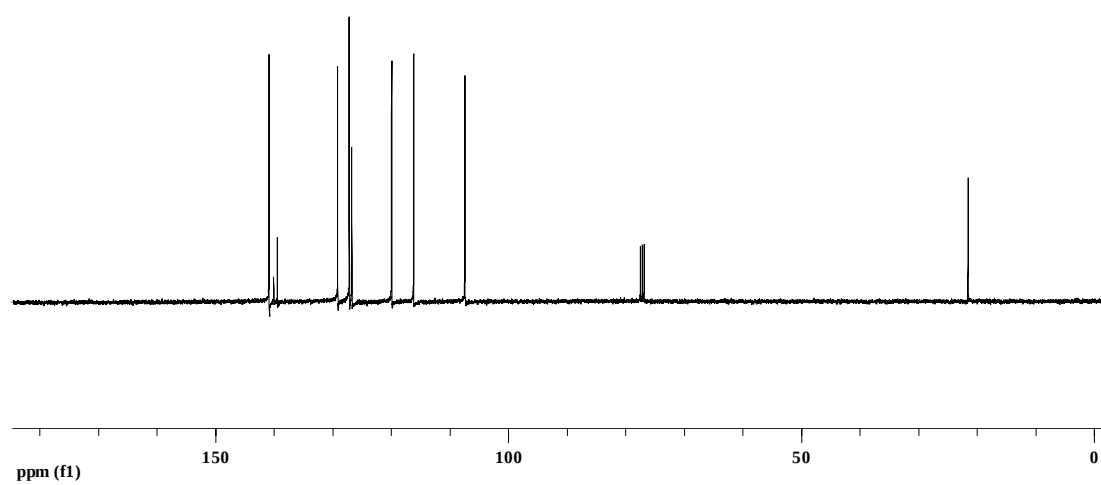
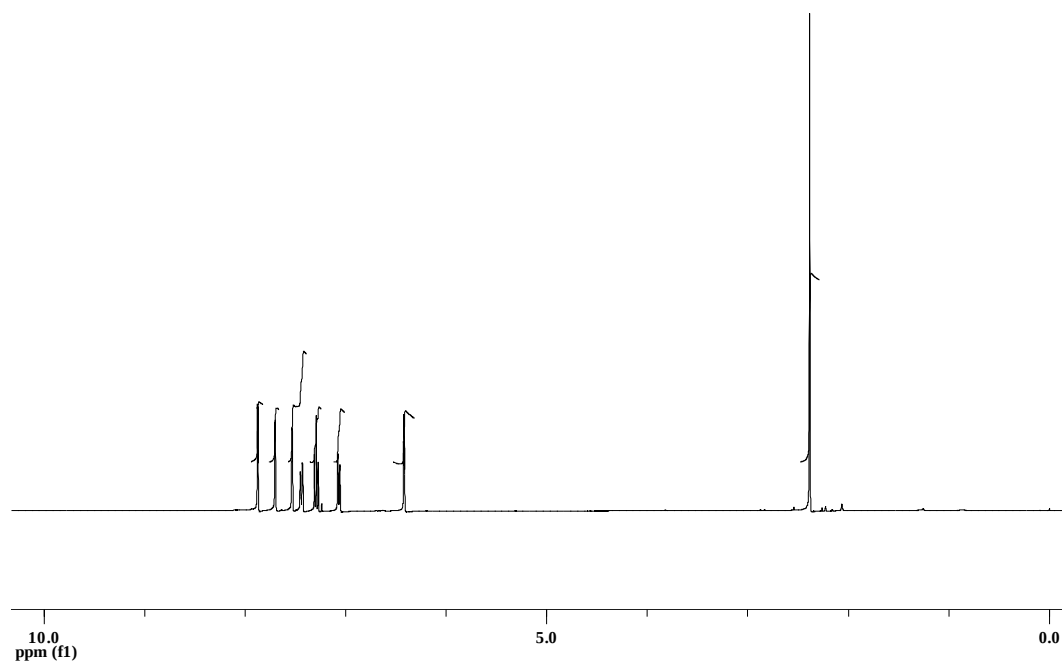
¹³C-NMR (400 MHz, CDCl₃) δ 166.5 (C), 139.1 (C), 134.5 (C), 134.4 (C), 131.9 (CH), 129.9 (CH), 128.6 (CH), 127.1 (CH), 124.5 (CH), 120.7 (CH), 118.6 (CH).

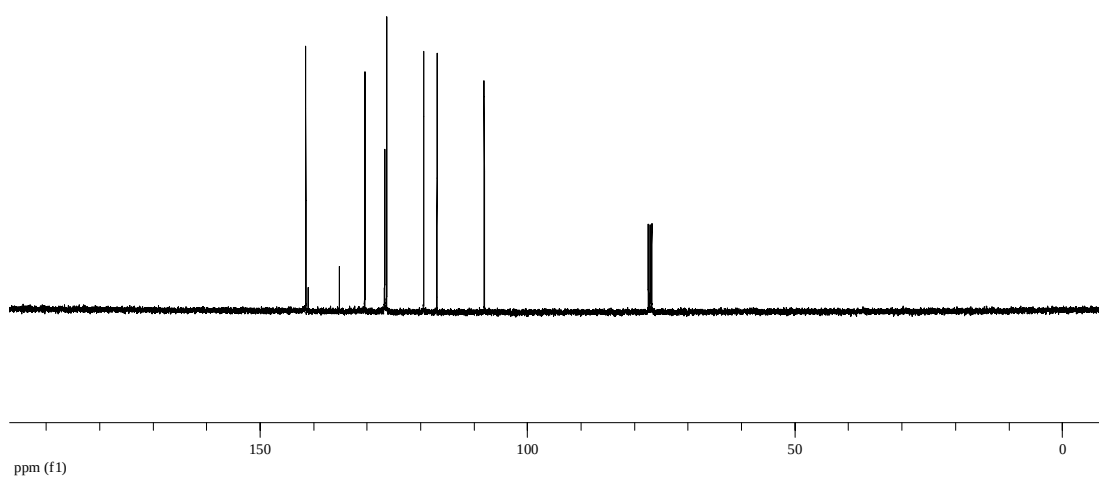
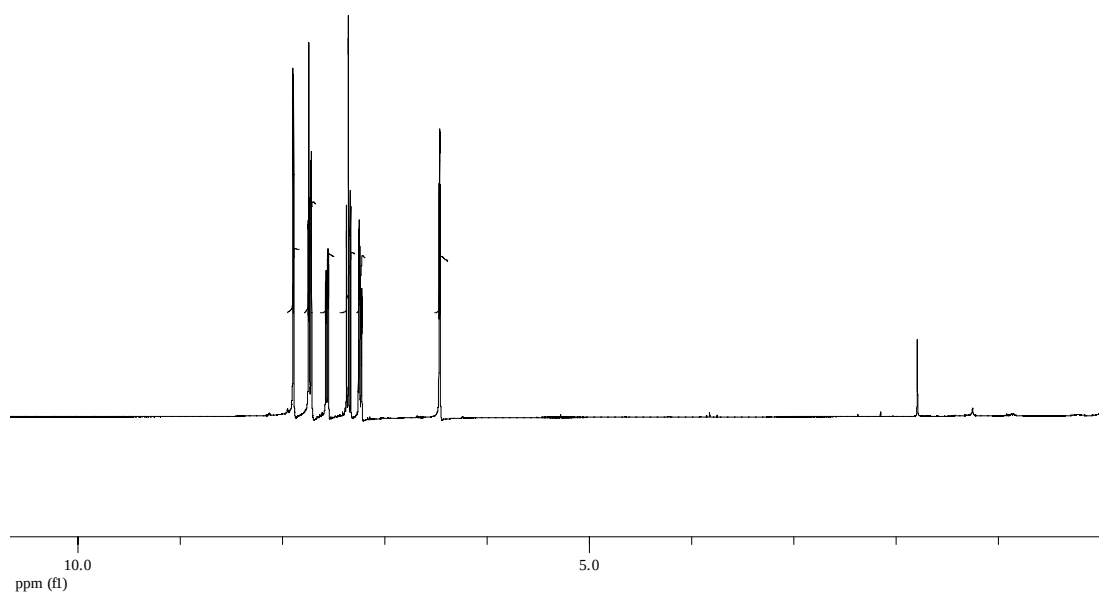
All spectral data correspond to those given in the literature.¹⁴

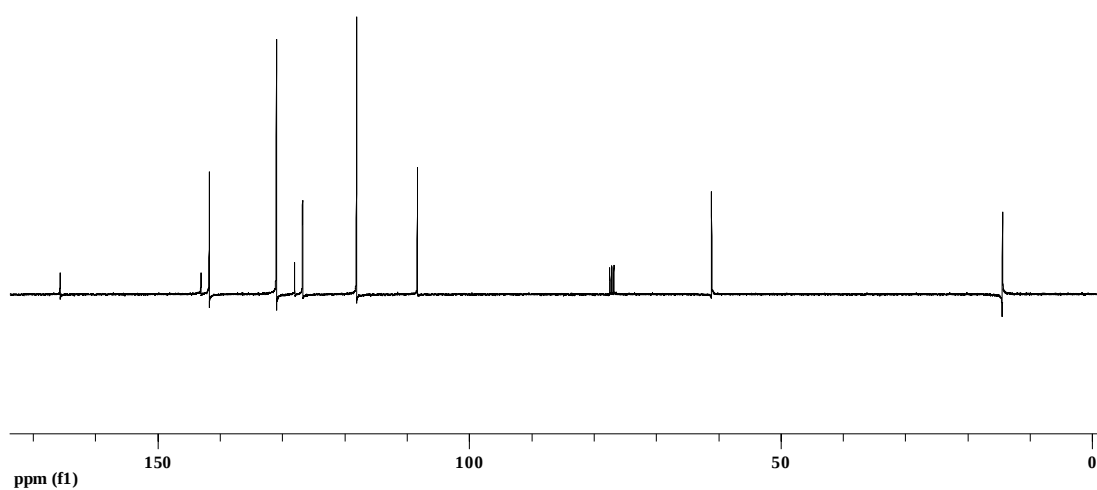
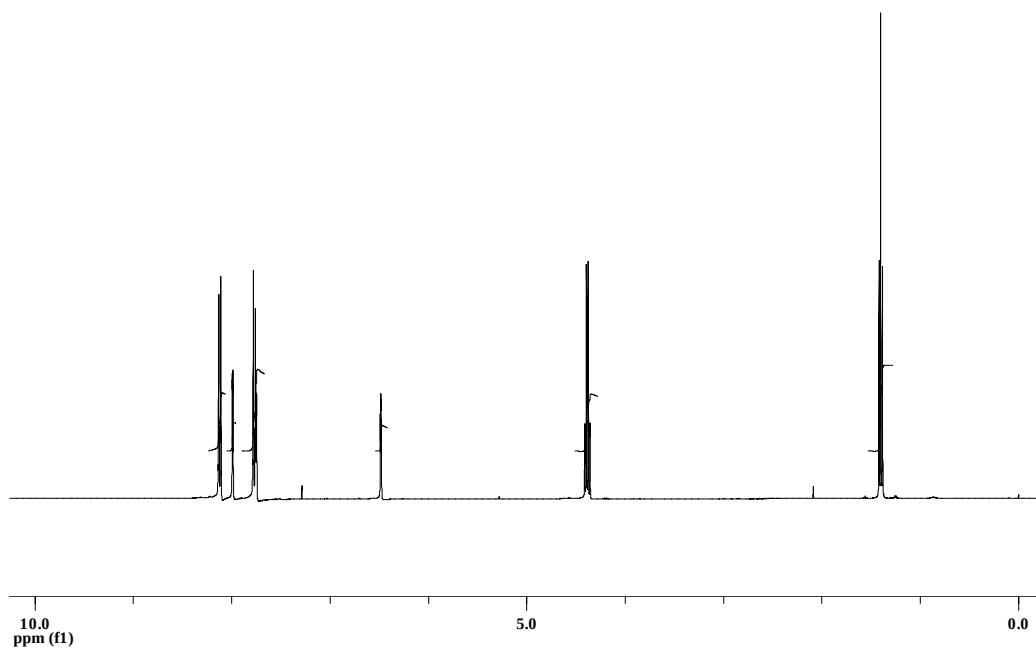
1-Phenyl-1*H*-pyrazole (3a)

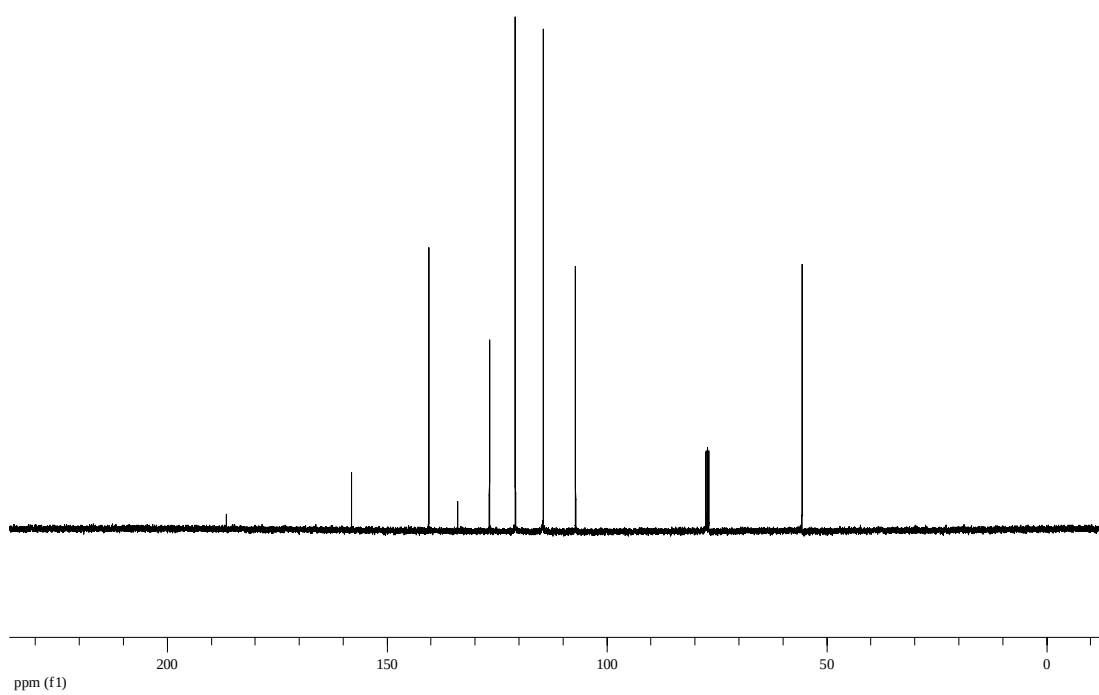
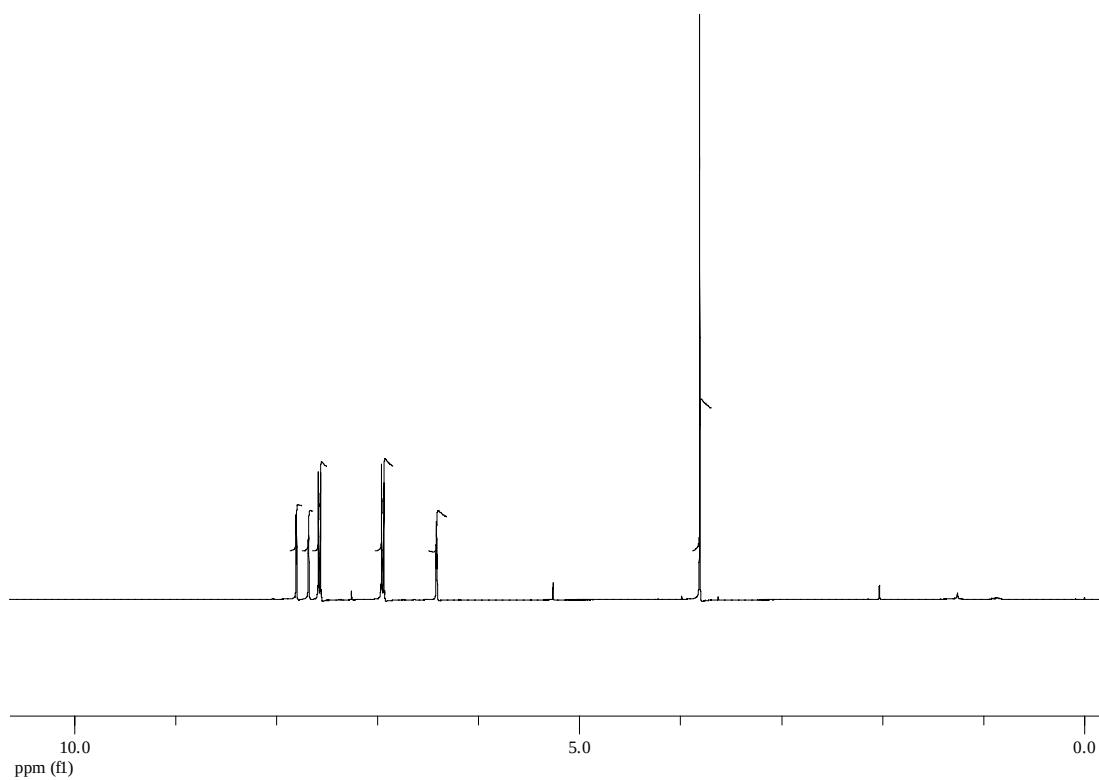
1-(2-Methoxyphenyl)-1*H*-pyrazole (3b)

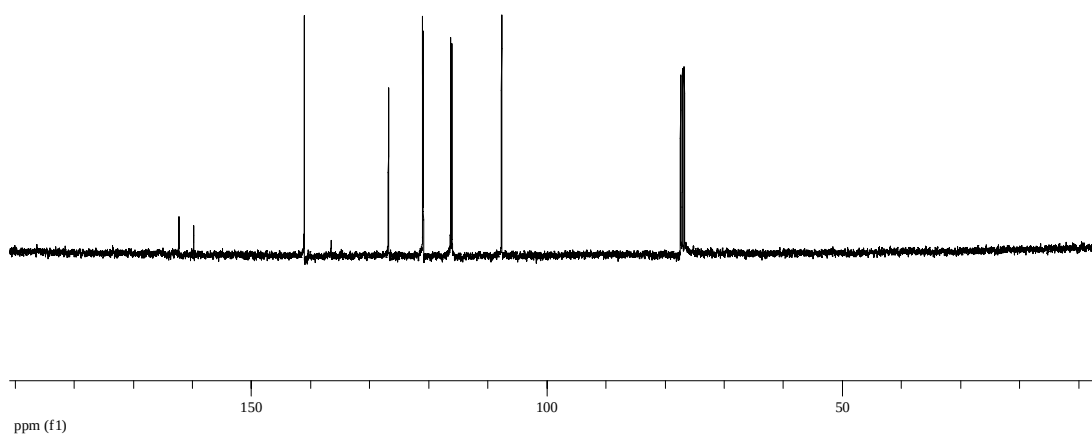
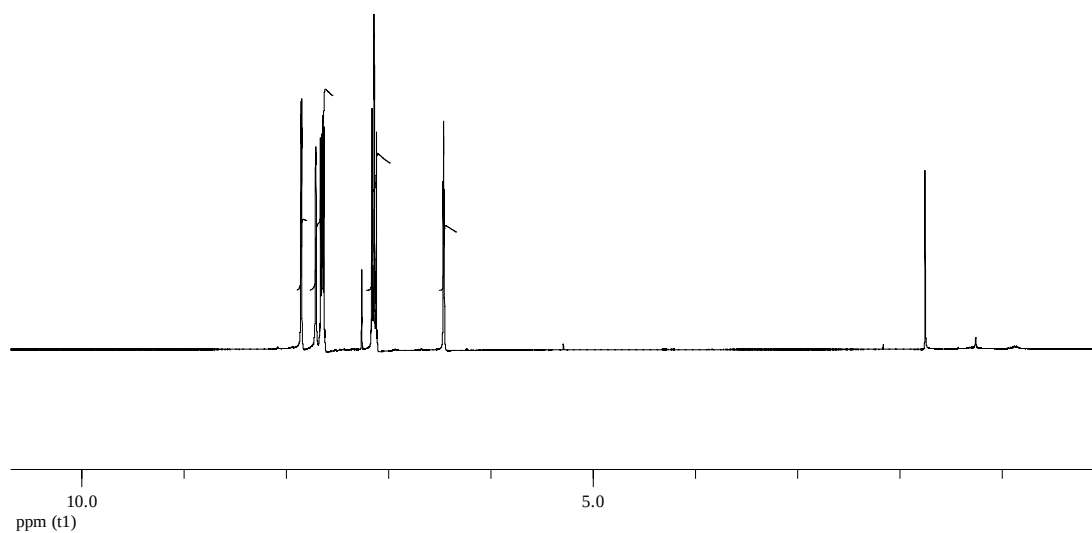
1-(2-Chlorophenyl)-1H-pyrazole (3c)

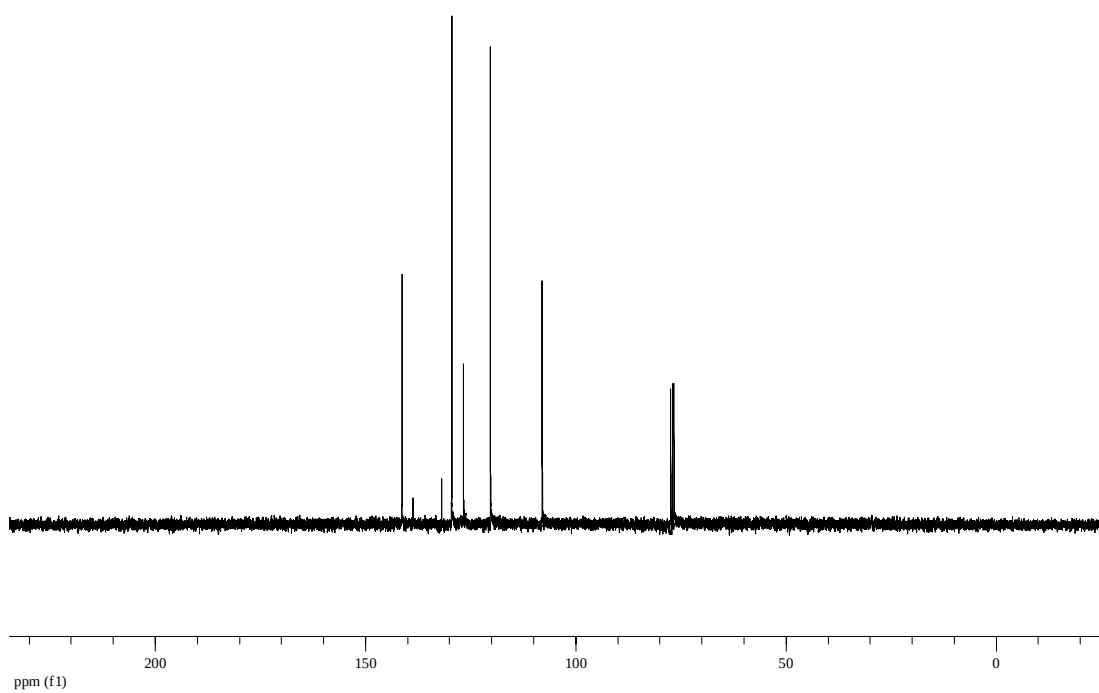
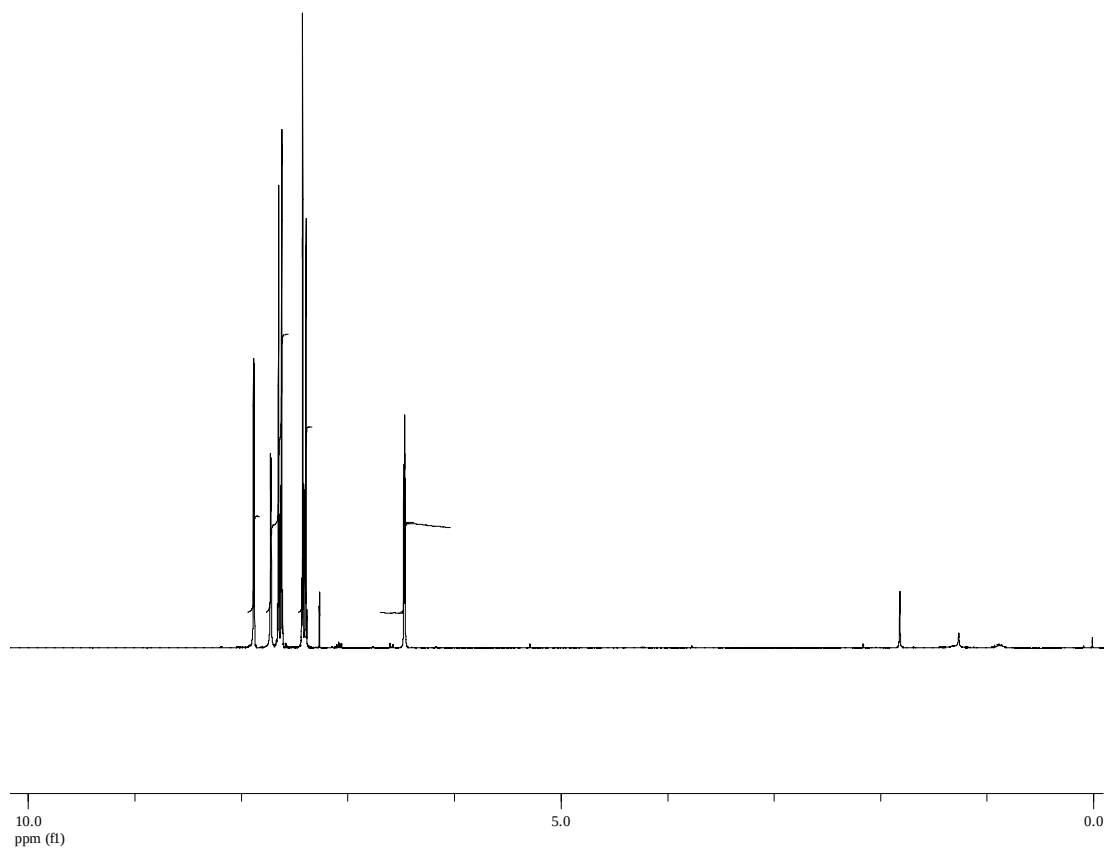
1-(3-Tolyl)-1*H*-pyrazole (3d)

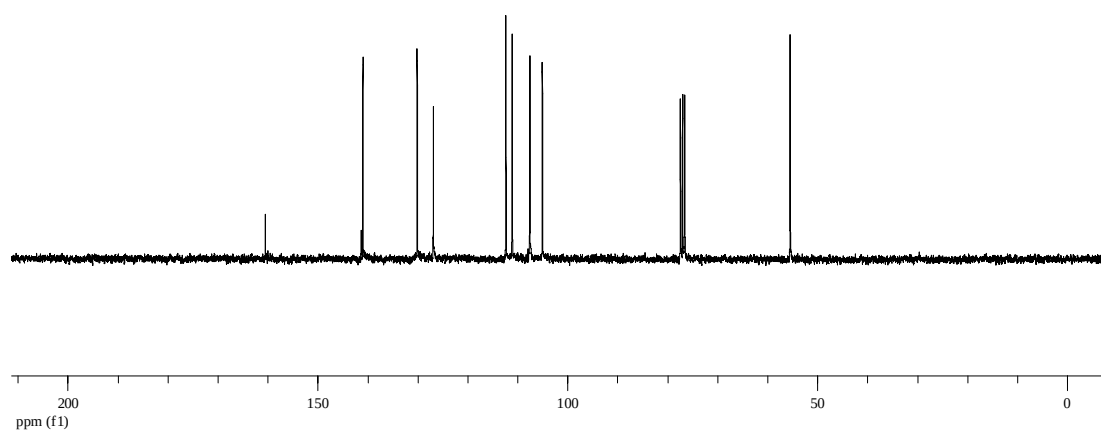
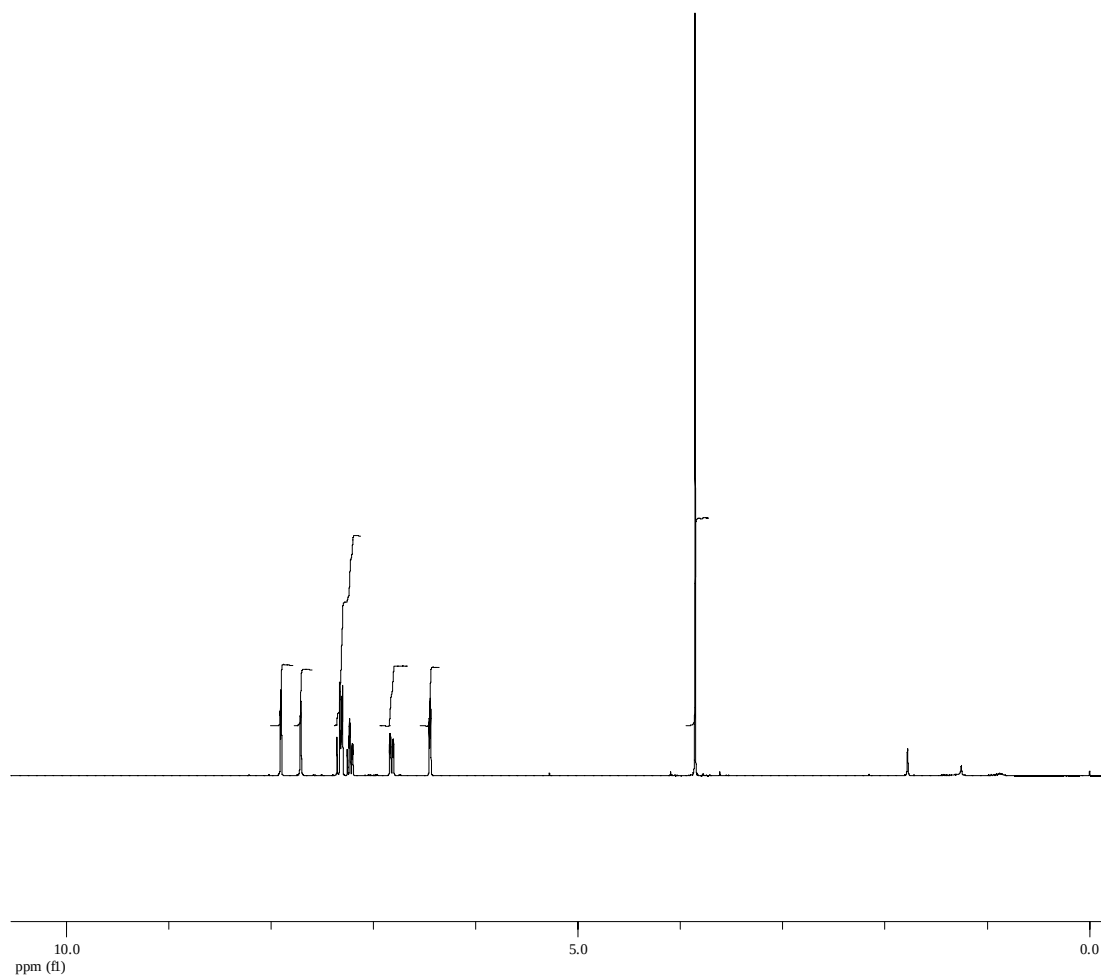
1-(3-Chlorophenyl)-1H-pyrazole (3e)

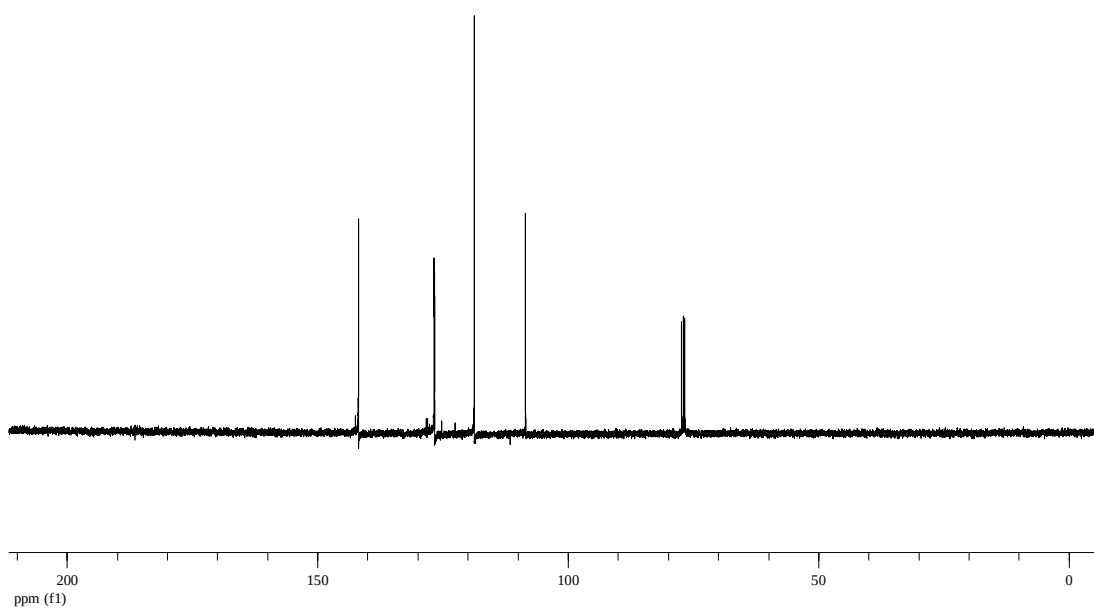
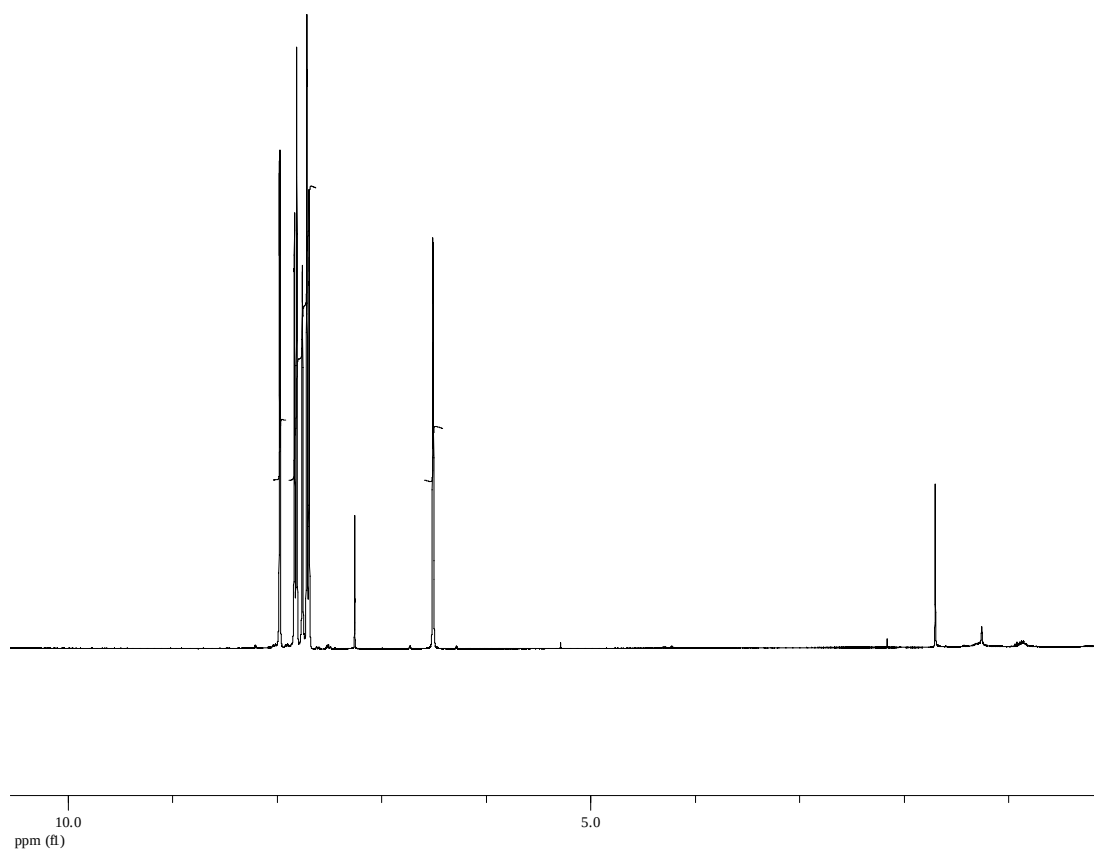
4-Pyrazol-1-yl-benzoic acid ethylester (3f)

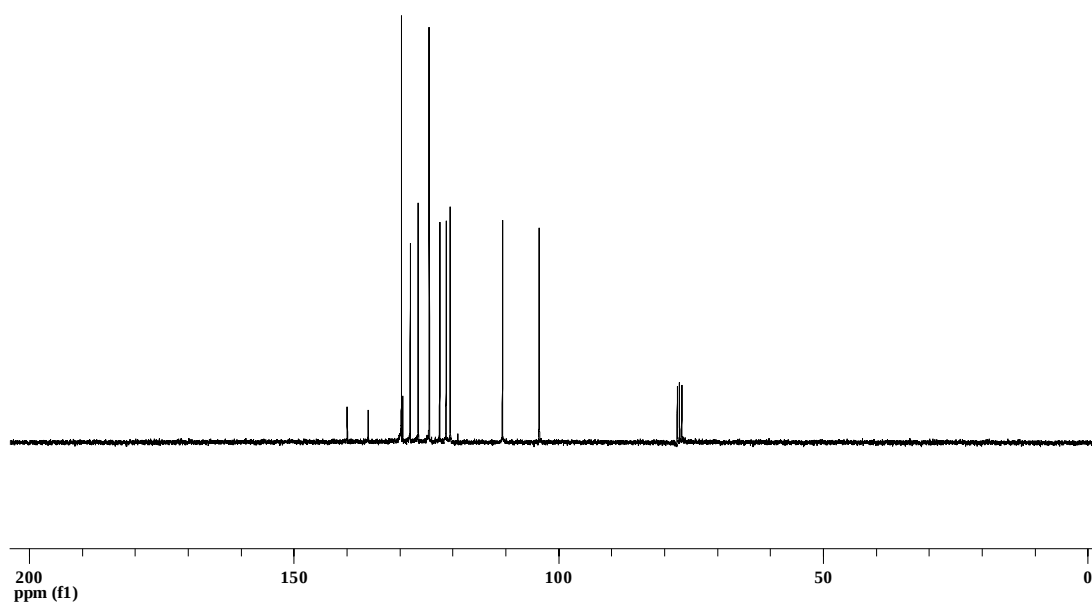
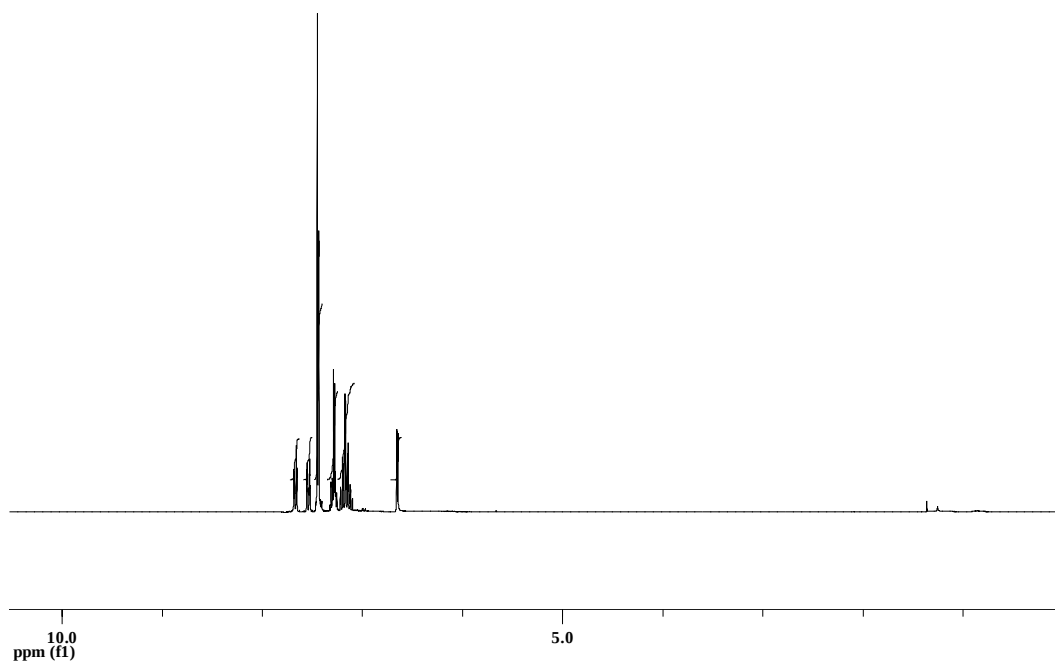
1-(4-Methoxyphenyl)-1*H*-pyrazole (3g)

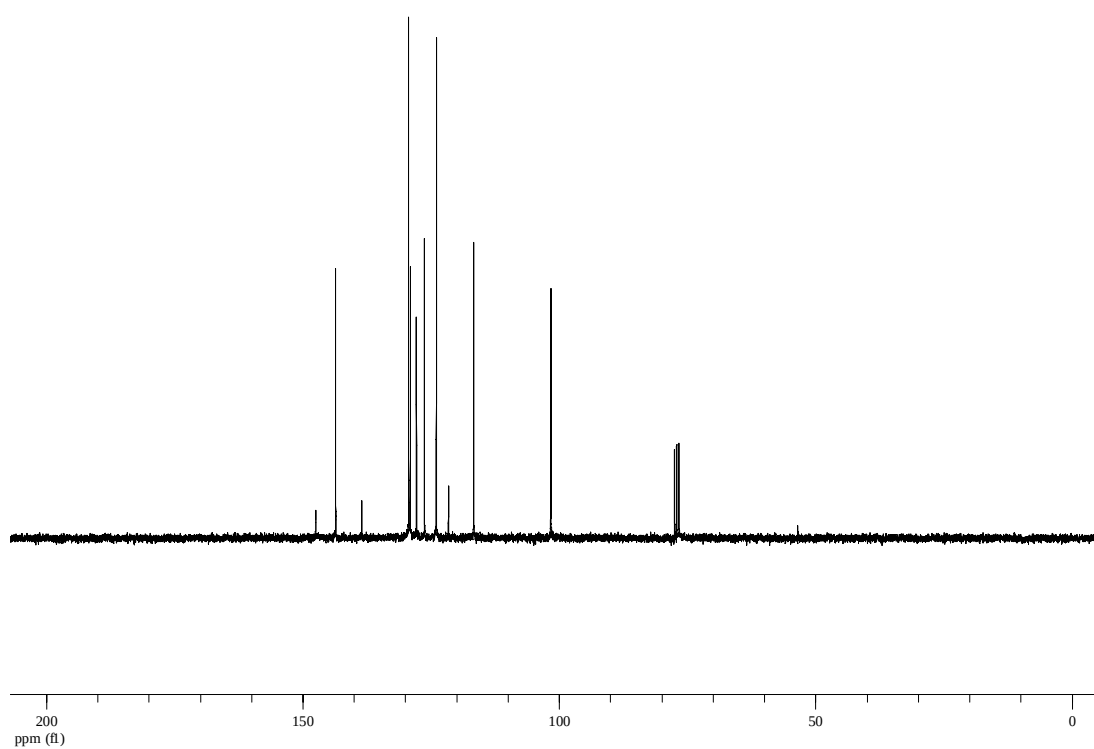
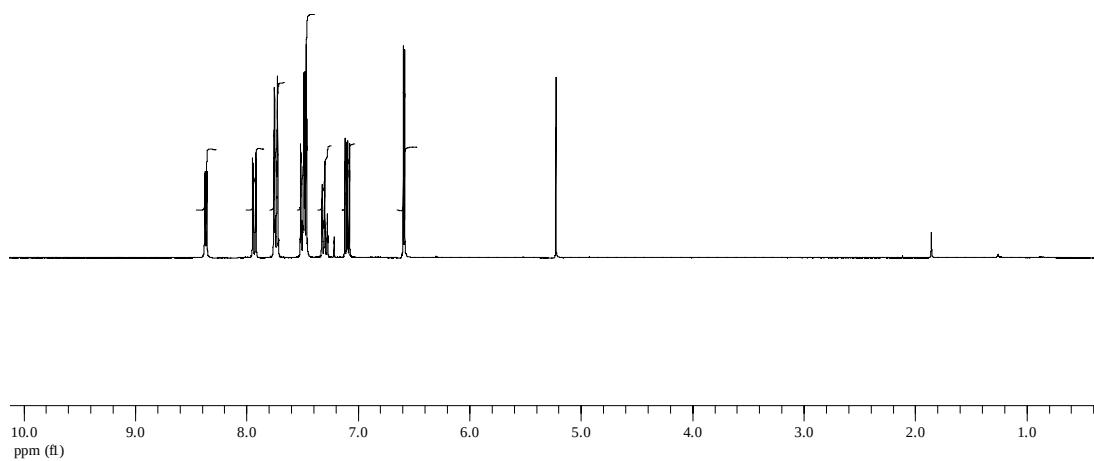
1-(4-Fluorophenyl)-1*H*-pyrazole (3h)

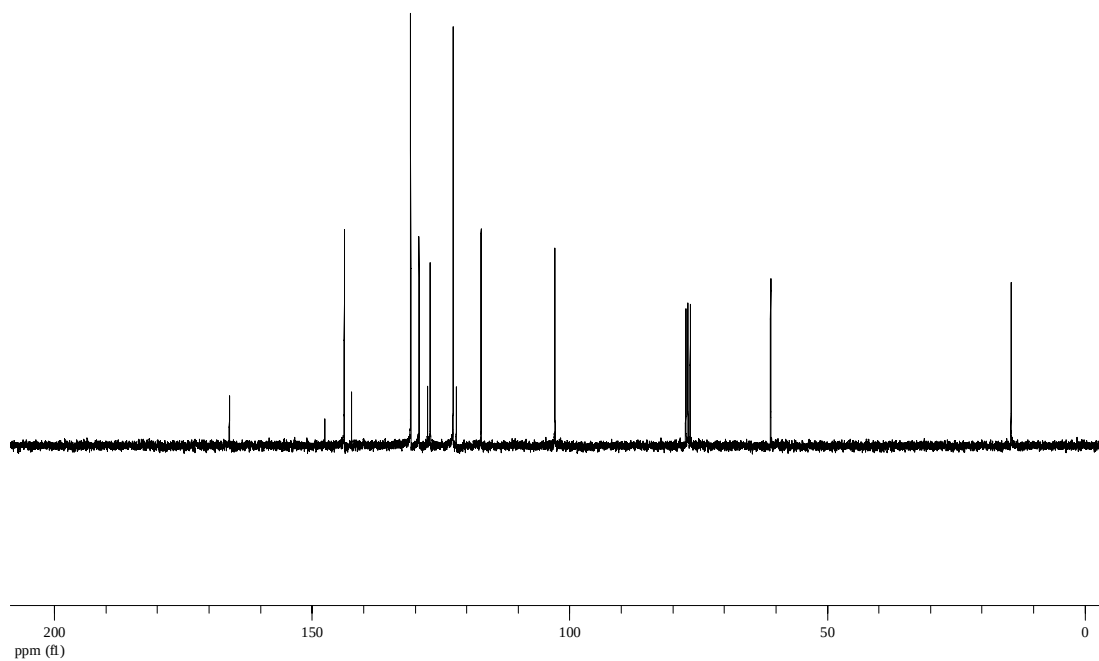
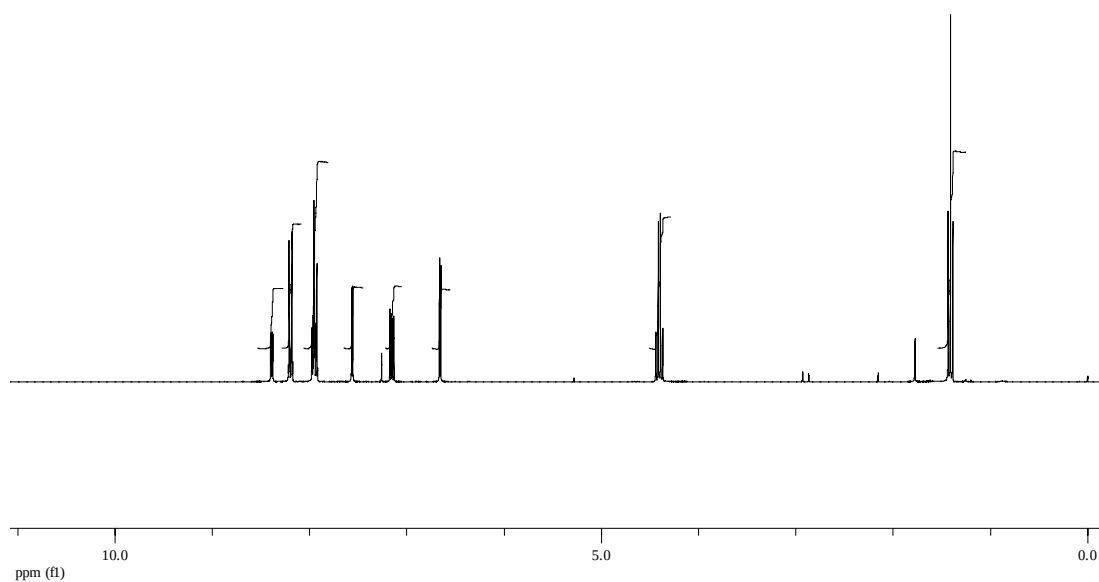
1-(4-Chlorophenyl)-1H-pyrazole (3i)

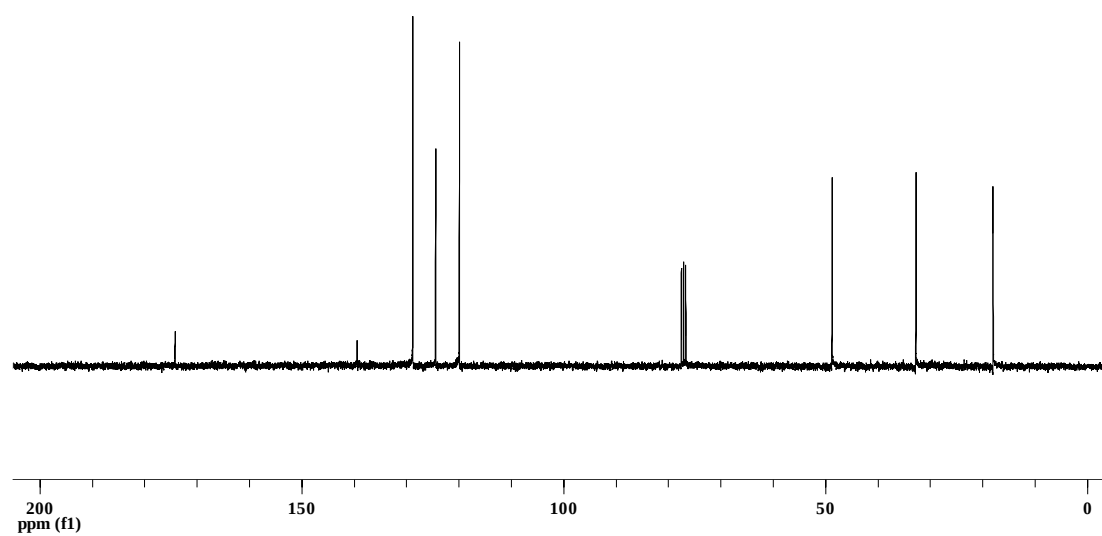
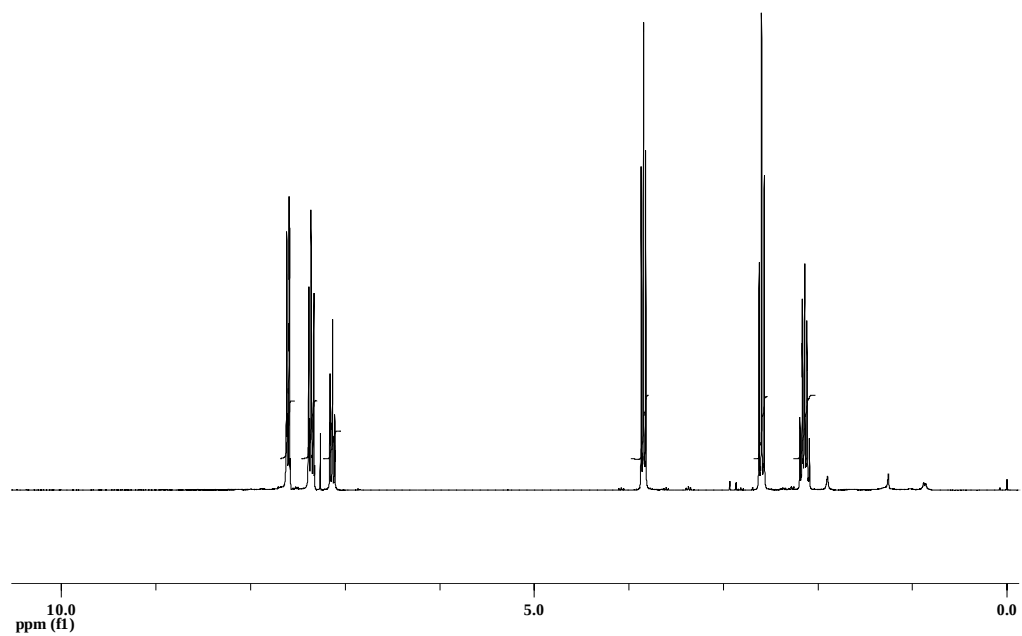
1-(3-Methoxyphenyl)-1*H*-pyrazole (3j)

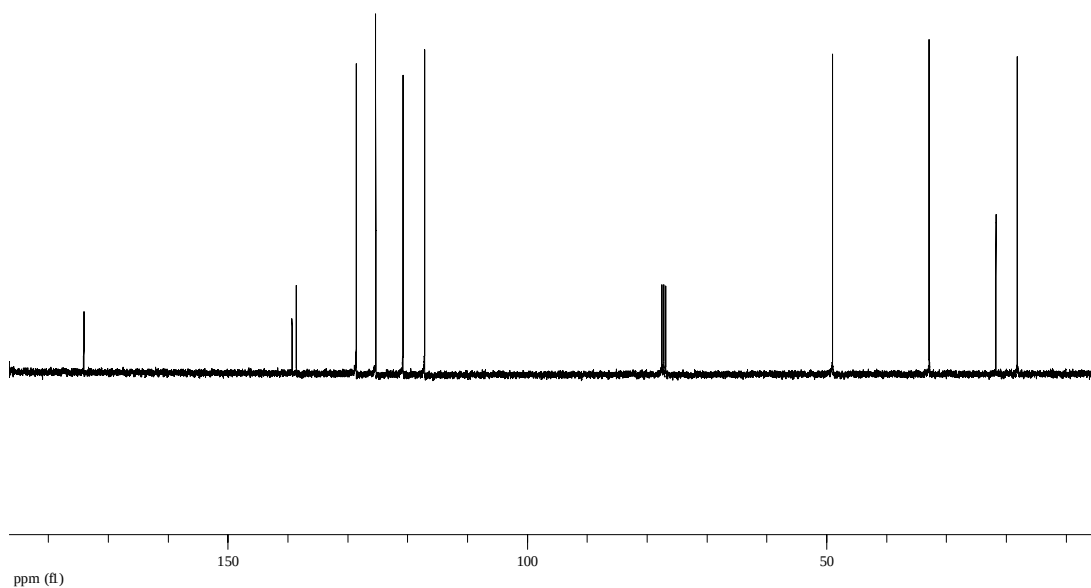
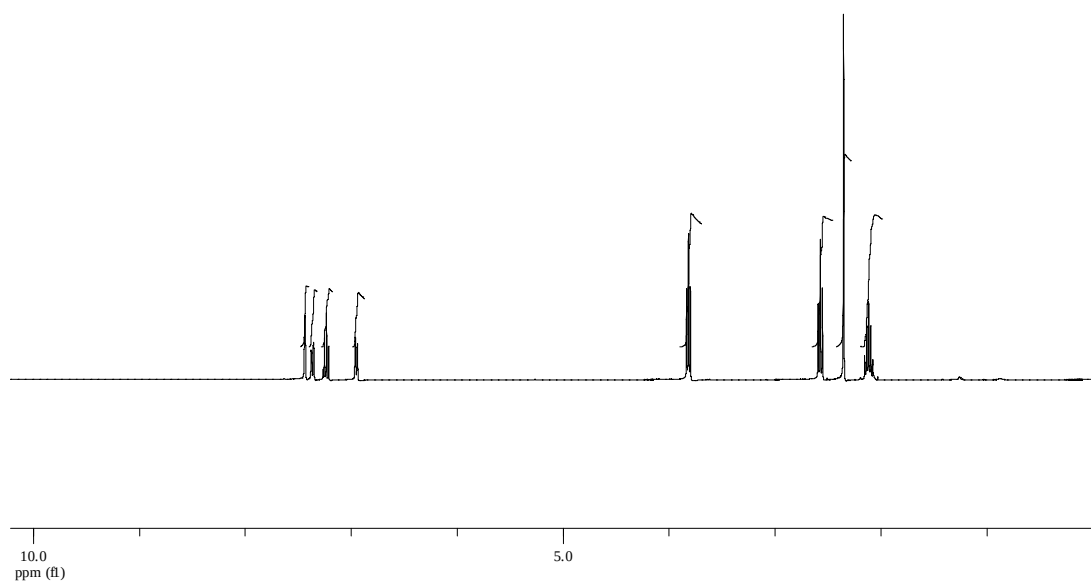
1-(4-Trifluoromethylphenyl)-1H-pyrazole (3k)

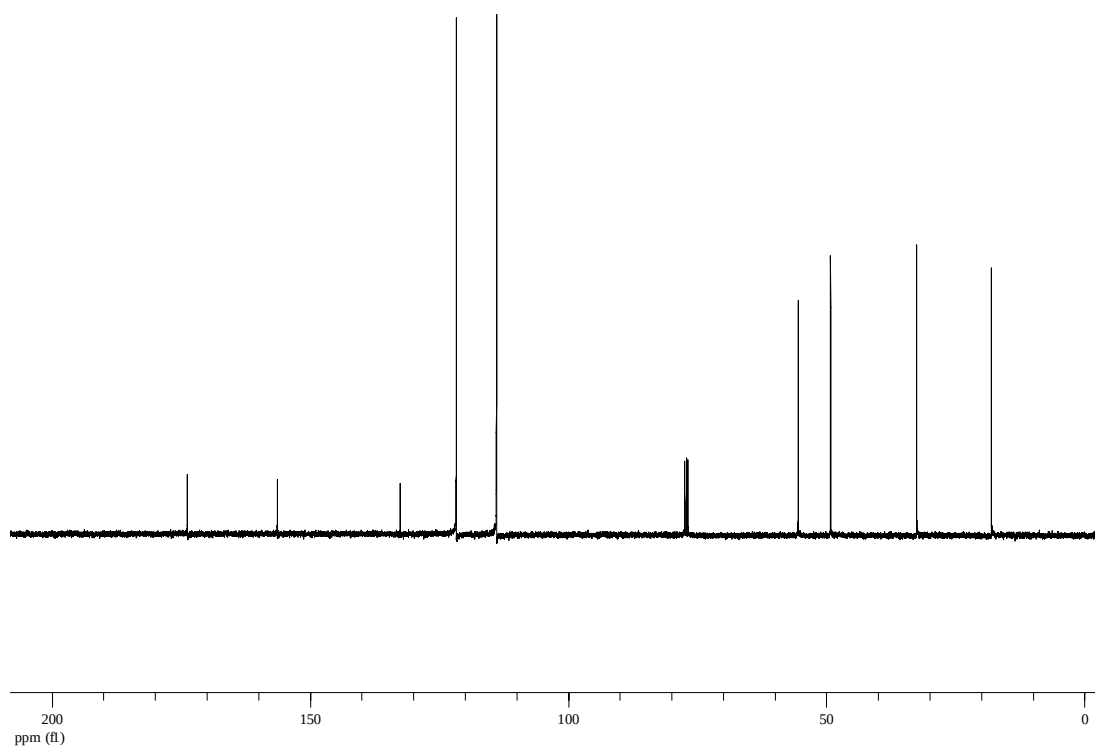
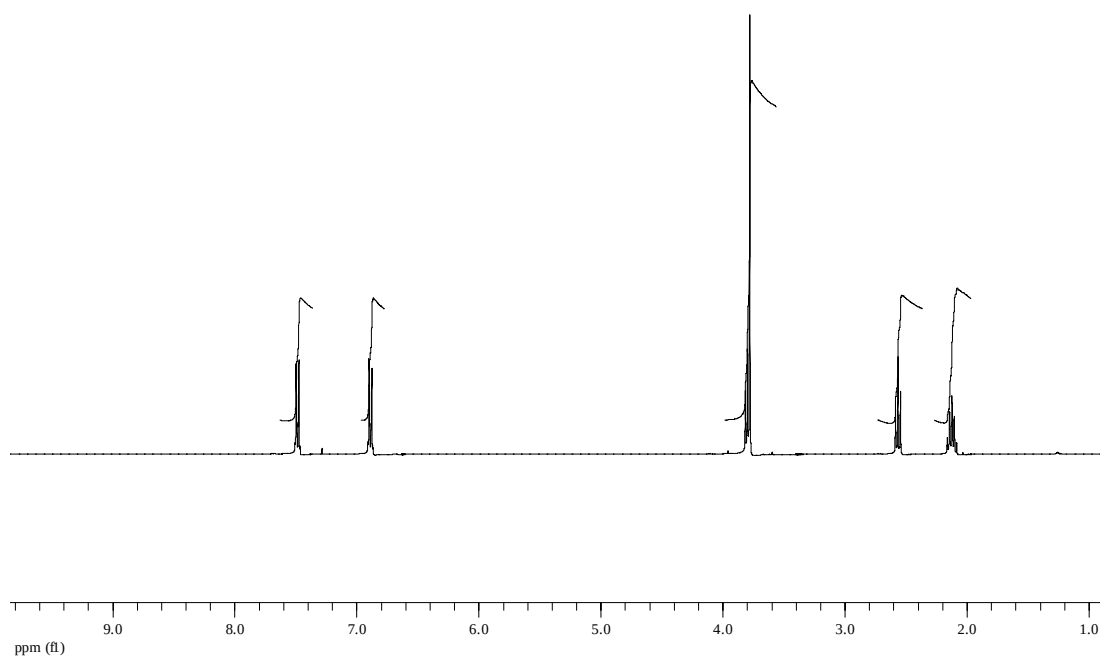
1-Phenyl-1*H*-indole (4)

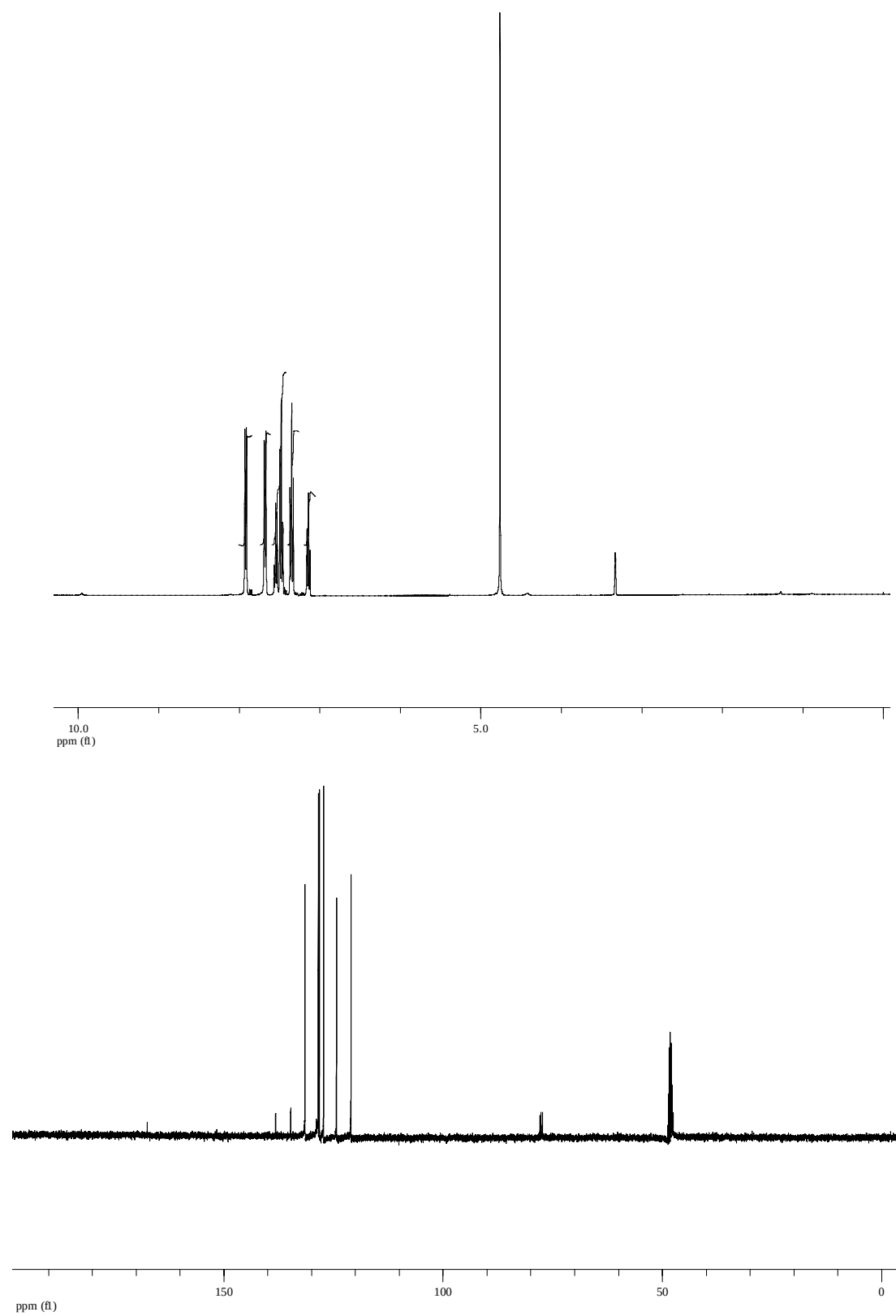
1-Phenyl-7-aza-1*H*-indole (5a)

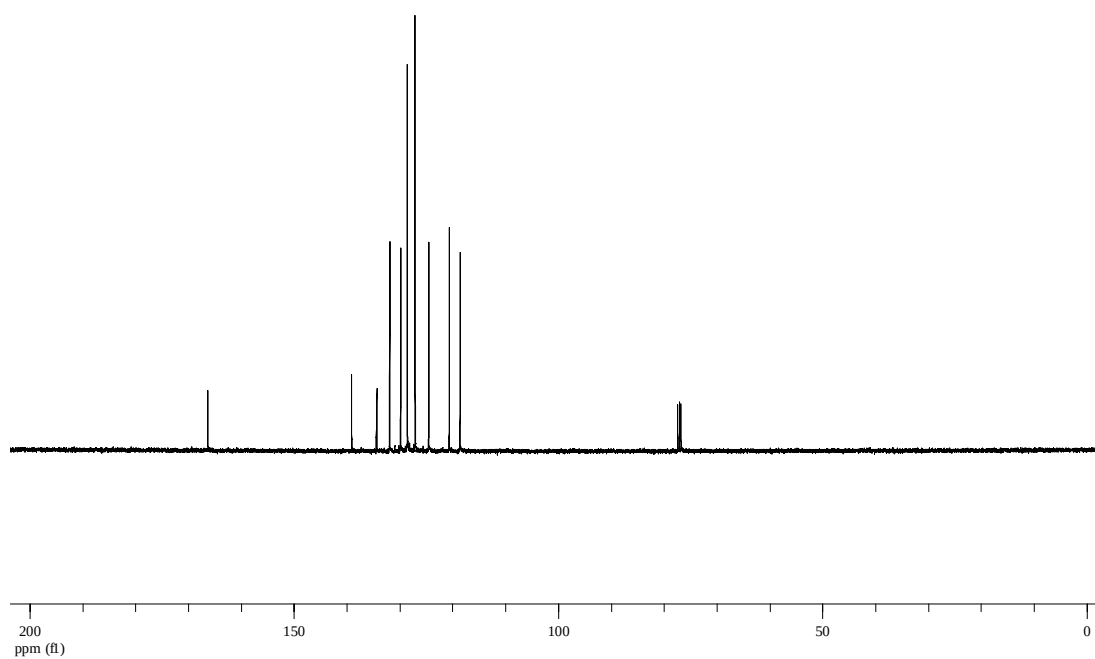
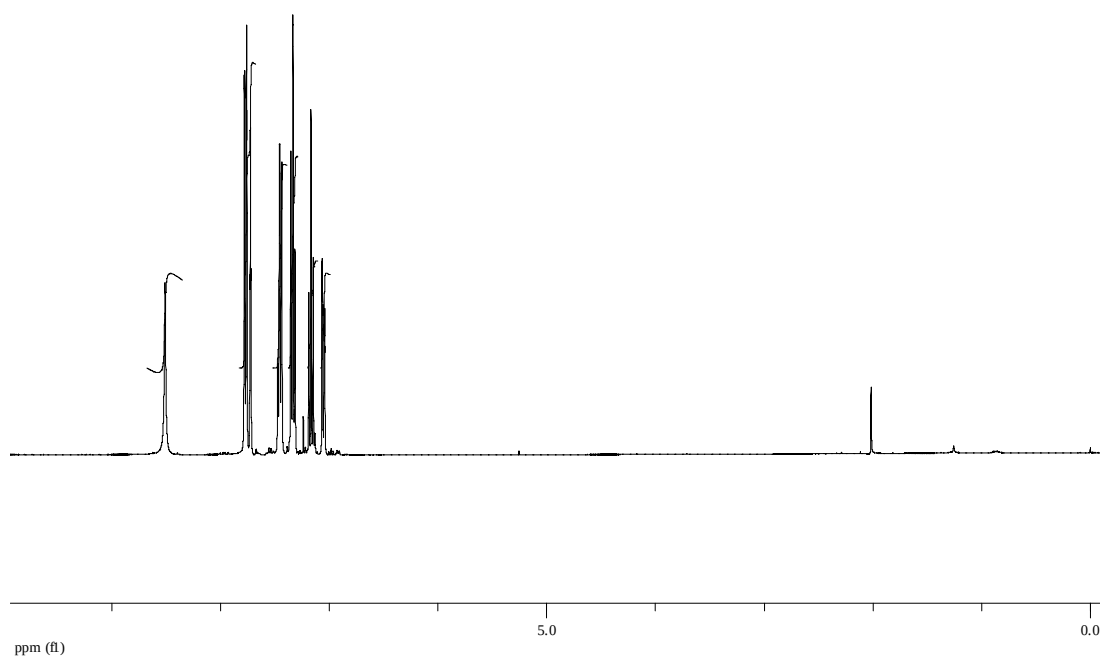
4-(7-Aza-1*H*-indol-1-yl)-benzoic acid ethylester (5b)

1-Phenyl-pyrrolidin-2-one (6a)

1-(3-Methylphenyl)-pyrrolidin-2-one (6b)

1-(4-Methoxyphenyl)-pyrrolidin-2-one (6c)

***N*-phenylbenzamide (7a)**

***N*-(3-Chlorophenyl)benzamide (7b)**

***N*-(3-Methylphenyl)benzamide (7c)**