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Divergent Reactions of Oxindoles with Amino Alcohols via the Borrowing Hydrogen Process: Oxindole Ring Opening vs. C3 Alkylation

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EXPERIMENTAL

General Methods. All reactions were run in air unless otherwise noted. Column chromatography purifications were performed in flash chromatography conditions using 230−400 mesh silica gel. Analytical thin-layer chromatography (TLC) was carried out on silica gel plates (Silica Gel 60 F254). ^{1}H NMR and ^{13}C NMR spectra were recorded on a 400 MHz spectrometer, using $CDCl_3$, CD_3OD o Acetone-d_6 as solvent. Chemical shifts (δ scale) are reported in parts per million (ppm) relative to the central peak of the solvent. Coupling constants (*J* values) are given in hertz (Hz). IR spectra were obtained on FT-IR spectrometer, and absorbance is reported in cm^{-1}. Melting points were determined on a capillary melting point apparatus and are uncorrected. HRMS analysis was performed using a Q-TOF microTM mass spectrometer.

Starting Materials. 2-Oxindole (**1a**), 6-chloroindolin-2-one (**1b**), 5-methylindolin-2-one (**1c**), 6-methylindolin-2-one (**1d**), 7-methylindolin-2-one (**1e**), 5-methoxyindolin-2-one (**1f**), 1-methylindolin-2-one (**1g**), 1-phenylindolin-2-one (**1h**), 6-methoxyindolin-2-one (**1n**), *N*-benzylethanolamine (**2a**), *N*-methylethanolamine (**2b**), *N*-tritylethanolamine (**2c**), *N*-benzyl-2-methylethanolamine (**2d**), *N*-benzylpropanolamine (**2e**), *N*-acetylethanolamine (**4a**), *N*-acetyl-2-methylethanolamine (**4b**), *N*-acetyl-1-methylethanolamine (**4c**), *N*-acetylpropanolamine (**4d**), 1-bromo-3-fluorobenzene, 1-bromo-3-methoxybenzene, 4-bromo-*N*,*N*-dimethylaniline, 2-bromopyridine, 3-bromopyridine are commercial available. 4-Phenylindolin-2-one (**1o**) was synthetized as reported in literature.[1]

General Procedure for Preparation of *N*-Aryl oxindoles: A dried vial was charged with CuI (0.10 mmol), 2-oxindole (**1a**) (1.00 mmol), aryl bromide (1.20 mmol, if solid), K_2CO_3 (2.0 mmol) and a magnetic stir bar. The reaction vessel was fitted with a rubber septum. The test tube was evacuated and back-filled with dry argon. Aryl bromide (1.20 mmol, if liquid), rac-*trans*-*N*,*N*'-dimethylcyclohexane-1,2-diamine (0.20 mmol) and 1,4-dioxane (1.0 mL) were added successively. The rubber septum was removed and the reaction tube was quickly sealed with a Teflon-lined septum. The vessel was immersed in a pre-heated oil bath and stirred vigorously until TLC analysis of the crude reaction mixture indicated that the limiting reagent had been completely consumed. The reaction mixture was cooled to room temperature, diluted with ethyl acetate (15 mL), and filtered through a plug of silica, eluting with additional ethyl acetate (50 mL). The filtrate was concentrated under reduce pressure and the residue obtained was purified by flash chromatography (cyclohexane /EtOAc 1:1).

1-(3-Fluorophenyl)indolin-2-one (1i). The titled compound was prepared according to the general procedure, using 2-oxindole (**1a**) and 1-bromo-3-fluorobenzene to give **1i** (177 mg, 78%) as pink solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.59 – 7.48 (m, 1H), 7.33 (d, *J* = 8.0 Hz, 1H), 7.27 – 7.08 (m, 5H), 6.86 (d, *J* = 8.0 Hz, 1H), 3.73 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 174.2, 163.1 (d, J = 246 Hz), 144.6, 136.0 (d, *J* = 10 Hz), 130.8 (d, *J* = 10 Hz), 127.9, 124.9, 124.2, 123.1, 122.2 (d, *J* = 3 Hz), 115.2 (d, *J* = 22 Hz), 114.1 (d, J = 22 Hz), 109.4, 36.0; mp: 89 – 100 °C; IR (film): 3326, 1691 cm^{-1}; HRMS (ESI) m/z calcd. for $C_{14}H_{11}FNO$ $[M+H]^+$ 228.0819; found 228.0831.

1-(3-Methoxyphenyl)indolin-2-one (1j). The titled compound was prepared according to the general procedure, using 2-oxindole (**1a**) and 1-bromo-3-methoxybenzene to give **1j** (149 mg, 62%) as off-white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.41 (m, 1H), 7.32 (d, *J* = 7.5 Hz, 1H), 7.22 (t, *J* = 8.0 Hz, 1H), 7.08 (t, *J* = 7.5 Hz, 1H), 7.03 – 6.95 (m, 3H), 6.83 (d, *J* = 8.0 Hz, 1H), 3.84 (s,

3H), 3.72 (s, 2H); HRMS (ESI) m/z calcd. for $C_{15}H_{14}NO_2$ $[M+H]^+$ 240.1092; found 240.1085. The chemical-physical data are in accordance whit the literature.[2]

1-(3-(Dimethylamino)phenyl)indolin-2-one (1k). The titled compound was prepared according to the general procedure, using 2-oxindole (**1a**) and 4-bromo-N,N-dimethylaniline to give **1k** (155 mg, 62%) as off-white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, *J* = 8.0 Hz, 1H), 7.30 – 7.26 (m, 2H), 7.23 (t, *J* = 8.0 Hz, 1H), 7.10 (t, *J* = 8.0 Hz, 1H), 6.91 – 6.83 (m, 2H), 6.79 (d, *J* = 7.5 Hz, 1H), 3.74 (s, 2H), 3.06 (s, 6H); HRMS (ESI) m/z calcd. for $C_{16}H_{17}N_2O$ $[M+H]^+$ 253.1335; found 253.1341. The chemical-physical data are in accordance whit the literature.[2]

1-(Pyridin-2-yl)indolin-2-one (1l). The titled compound was prepared according to the general procedure, using 2-oxindole (**1a**) and 2-bromopyridine to give **1m** (108 mg, 51%) as off-white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (d, *J* = 5.0 Hz, 1H), 7.88 (t, *J* = 8.0 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.55 (d, *J* = 8.0 Hz, 1H), 7.32 – 7.25 (m, 3H), 7.12 (t, *J* = 8.0 Hz, 1H), 3.75 (s, 2H); mp: 145-147 °C. HRMS (ESI) m/z calcd. for $C_{13}H_{11}N_2O$ $[M+H]^+$ 211.0866; found 211.0857. The chemical-physical data are in accordance whit the literature.[3]

1-(Pyridin-3-yl)indolin-2-one (1m). The titled compound was prepared according to the general procedure, using 2-oxindole (**1a**) and 3-bromopyridine to give **1m** (103 mg, 49%) as off-white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.74 (br d, *J* = 2.5 Hz, 1H), 8.66 – 8.65 (m, 1H), 7.82 – 7.79 (m, 1H), 7.49 (dd, *J* = 8.0 and 5.0 Hz, 1H), 7.34 (d, *J* = 7.5 Hz, 1H), 7.24 (d, *J* = 7.5 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 6.81 (d, *J* = 8.0 Hz, 1H), 3.75 (s, 2H); mp: 165-167 °C; HRMS (ESI) m/z calcd. for $C_{13}H_{11}N_2O$ $[M+H]^+$ 211.0866; found 211.0859. The chemical-physical data are in accordance whit the literature.[4]

General Procedure for the Reaction of 2-Oxindoles with *N*-Alkylethanolamine and *N*-Acetylethanolamine. A mixture of the appropriate 2-oxindole, (0.5 mmol), Cs_2CO_3 (180 mg, 0.55 mmol), $[Cp^*IrCl_2]_2$ (10 mg, 0.0125 mmol) and the appropriate amino alcohol (0.75 mmol) was stirred at 90 °C for 16 h in a sealed vial. After cooling to room temperature, the reaction mixture was dissolved in EtOAc/MeOH 9:1 (1 mL) and filtered through a silica gel pad. The filtrate was concentrated under reduce pressure and the residue obtained was purified by flash chromatography.

3-(2-Aminophenyl)-1-benzylpyrrolidin-2-one (3a). The titled compound was prepared according to the general procedure using 2-oxindole (**1a**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 1:1) to give **3a** (117 mg, 88%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 – 7.43 (m, 1H), 7.37 – 7.29 (m, 4H), 7.22 – 7.20 (m, 1H), 7.17 – 7.12 (m, 1H), 6.78 – 6.73 (m, 2H), 4.49 (d, *J* = 15.0 Hz, 1H), 4.42 (d, *J* = 15.0 Hz, 1H), 4.40 (br s, 2H), 4.04 (dd, *J* = 9.0 and 6.0 Hz, 1H), 3.52 – 3.43 (m, 1H), 3.38 – 3.32(m, 1H), 2.49 – 2.36 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.4, 146.0, 136.3, 128.7, 128.0, 127.9, 127.6, 126.2, 124.6, 119.1, 117.4, 46.9, 45.4, 43.4, 24.1; IR (film) 1755 cm^{-1}; HRMS (ESI) m/z calcd for $C_{17}H_{19}N_2O$ $[M+H]^+$ 267.1492; found 267.1495.

3-(2-Amino-4-chlorophenyl)-1-benzylpyrrolidin-2-one (3b). The titled compound was prepared according to the general procedure using 6-chloroindolin-2-one (**1b**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 1:1) to give **3b** (75 mg, 50%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.36 – 7.30 (m, 3H), 7.23 – 7.21 (m, 2H), 6.98 (d, *J* = 8.0 Hz, 1H), 6.75 – 6.72 (m, 2H), 4.51 (br s, 2H), 4.47 (s, 2H), 3.89 (dd, *J* = 9.0 and 6.5 Hz, 1H), 3.48 – 3.32 (m, 2H), 2.46 – 2.28 (m, 2H); ^{13}C NMR (101 MHz, CDCl3) 175.0, 147.4, 136.1, 133.3, 128.8, 128.0, 127.7, 127.3, 122.9, 118.7,

116.9, 46.9, 45.4, 43.0, 24.1; IR (film): 3457, 3385, 1769 cm^{-1}; HRMS (ESI) m/z calcd. for $C_{17}H_{18}ClN_2O$ $[M+H]^+$ 301.1102; found 301.1107.

3-(2-Amino-5-methylphenyl)-1-benzylpyrrolidin-2-one (3c). The titled compound was prepared according to the general procedure using 5-methylindolin-2-one (**1c**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 6:4) to give **3c** (83 mg, 59%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28 – 7.15 (m, 5H), 6.82 (d, *J* = 8.0 Hz, 1H), 6.79 (s, 1H), 6.60 (d, *J* = 8.0 Hz, 1H), 4.41 (d, *J* = 15.0 Hz, 1H), 4.36 (d, *J* = 15.0 Hz, 1H), 3.86 (dd, *J* = 15.0 and 7.0 Hz, 1H) 3.44 – 3.32 (m, 1H), 3.31 – 3.20 (m, 1H), 2.47 – 2.18 (m, 2H), 2.16 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.4, 143.3, 136.4, 128.7, 128.5, 128.2, 128.1, 127.6, 127.0, 124.8, 117.5, 46.9, 45.4, 43.5, 24.2, 20.7; IR (film): 3497, 3385, 1743 cm^{-1}; HRMS (ESI) m/z calcd. for $C_{18}H_{21}N_2O$ $[M+H]^+$ 281.1648; found 281.1654.

3-(2-Amino-4-methylphenyl)-1-benzylpyrrolidin-2-one (3d). The titled compound was prepared according to the general procedure using 6-methylindolin-2-one (**1d**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 6:4) to give **3d** (81 mg, 58%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.36 – 7.29 (m, 4H), 7.24 – 7.22 (m, 2H), 6.96 (d, J = 8.0 Hz, 1H), 6.61 – 7.60 (m, 1H), 4.50 (d, *J* = 14.5 Hz, 1H), 4.44 (d, *J* = 14.5 Hz, 1H), 3.92 (dd, *J* = 9.5 and 5.5 Hz, 1H), 3.49 – 3.43 (m, 1H), 3.37 – 3.31 (m, 1H), 2.42 – 2.33 (m, 2H), 2.27 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.5, 145.9, 137.8, 136.3, 128.7, 128.1, 127.6, 126.1, 121.7, 119.8, 118.1, 46.8, 45.4, 43.1, 24.2, 21.0; IR (film): 3490, 3380, 1753 cm^{-1}; HRMS (ESI) m/z calcd for $C_{18}H_{21}N_2O$ $[M+H]^+$ 281.1648; found 281.1654.

3-(2-Amino-3-methylphenyl)-1-benzylpyrrolidin-2-one (3e). The titled compound was prepared according to the general procedure using 7-methylindolin-2-one (**1e**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 7:3) to give **3e** (113 mg, 81%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.36 – 7.28 (m, 5H), 7.25 – 7.23 (m, 2H), 7.01 (d, *J* = 7.5 Hz, 1H), 6.98 (d, *J* = 7.5 Hz, 1H), 6.72 (t, *J* = 7.5 Hz, 1H), 4.52 (d, *J* = 14.5 Hz, 1H), 4.35 (d, *J* = 14.5 Hz, 1H), 3.97 (dd, *J* = 9.0 and 6.0 Hz, 1H), 3.53 – 3.47 (m, 1H), 3.39 – 3.33 (m, 1H), 2.46 – 2.38 (m, 2H), 2.24 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.6, 144.3, 136.3, 129.3, 128.7, 128.0, 127.6, 124.0, 123.9, 123.5, 118.2, 46.8, 45.5, 43.4, 24.4, 17.9; IR (film): 3475, 3362, 1763 cm^{-1}; HRMS (ESI) m/z calcd for $C_{18}H_{21}N_2O$ $[M+H]^+$ 281.1648; found 281.1647.

3-(2-Amino-5-methoxyphenyl)-1-benzylpyrrolidin-2-one (3f). The titled compound was prepared according to the general procedure using 5-methoxyindolin-2-one (**1f**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 9:1) to give **3f** (75 mg, 51%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.36 – 7.23 (m, 8H), 6.74 – 6.68 (m, 2H), 4.48 (s, 2H), 3.99 – 3.96 (m, 1H), 3.74 (s, 3H), 3.49 – 3.43 (m, 1H), 3.38 – 3.32 (m, 1H), 2.44 – 2.31 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.1, 153.2, 139.5, 136.3, 128.7, 128.1, 127.7, 126.5, 118.4, 113.2, 112.6, 55.8, 46.9, 45.4, 43.6, 24.1;. IR (film): 3459, 3390, 1760 cm^{-1}; HRMS (ESI) m/z calcd for $C_{18}H_{21}N_2O_2$ $[M+H]^+$ 297.1598; found 297.1571.

1-Benzyl-3-(2-(methylamino)phenyl)pyrrolidin-2-one (3g). The titled compound was prepared according to the general procedure using 1-methylindolin-2-one (**1g**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 8:2) to give **3g** (74 mg, 53%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.36 – 7.29 (m, 3H), 7.25 – 7.20 (m, 3H), 7.10 (dd, *J* = 8.0 and 1.5 Hz, 1H), 6.77 – 6.74 (m, 2H), 4.49 (d, *J* = 14.5 Hz, 1H), 4.43 (d, *J* = 14.5 Hz, 1H), 3.95 (dd, *J* = 9.0 and 6.0 Hz, 1H), 3.53 – 3.45 (m, 1H), 3.39 – 3.33 (m, 1H), 2.87 (s, 3H), 2.44 – 2.28 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ

175.5, 148.6, 136.3, 128.8, 128.2, 128.0, 127.6, 125.6, 124.5, 117.4, 111.3, 46.8, 45.6, 43.1, 30.8, 24.4; IR (film): 3465, 1753 cm^{-1}; HRMS (ESI) m/z calcd for $C_{18}H_{21}N_2O$ $[M+H]^+$ 281.1648; found 281.1635.

1-Benzyl-3-(2-(phenylamino)phenyl)pyrrolidin-2-one (3h). The titled compound was prepared according to the general procedure using 1-phenylindolin-2-one (**1h**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 8:2) to give **3h** (123 mg, 72%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (br s, 1H), 7.49 (d, *J* = 8.0 Hz, 1H), 7.36 – 7.32 (m, 3H), 7.29 – 7.22 (m, 6H), 7.06 – 7.02 (m, 3H), 6.88 (t, *J* = 7.5 Hz, 1H), 4.53 (d, *J* = 15.0 Hz, 1H), 4.49 (d, *J* = 15.0 Hz, 1H), 4.17 (t, *J* = 8.0 Hz, 1H), 3.51 – 3.48 (m, 1H), 3.39 – 3.37 (m, 1H), 2.47 – 2.41 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.8, 144.6, 142.9, 136.2, 130.3, 129.3, 128.8, 128.0, 127.9, 127.7, 126.6, 122.3, 120.9, 119.6, 116.9, 46.9, 45.5, 43.8, 24.3; IR (film): 3455, 1784 cm^{-1}; HRMS (ESI) m/z calcd for $C_{23}H_{23}N_2O$ $[M+H]^+$ 343.1805; found 343.1826.

1-Benzyl-3-(2-((3-fluorophenyl)amino)phenyl)pyrrolidin-2-one (3i). The titled compound was prepared according to the general procedure using 1-(3-fluorophenyl)indolin-2-one **(1i)** and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 7:3) to give **3i** (128 mg, 71%) as pink solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (br s, 1H), 7.49 (dd, *J* = 8.5 and 1.5 Hz, 1H), 7.35 – 7.31 (m, 3H), 7.27 – 7.22 (m, 4H), 7.20 – 7.24 (m, 1H), 7.10 – 7.05 (m, 1H), 6.75 – 6.72 (m, 1H), 6.69 (dt, *J* = 11.5 and 2.5 Hz, 1H), 6.53 (td, *J* = 8.5 and 2.5 Hz, 1H), 4.53 (d, *J* = 15.0 Hz, 1H), 4.46 (d, *J* = 15.0 Hz, 1H), 4.13 (t, *J* = 8.0 Hz, 1H), 3.51 – 3.45 (m, 1H), 3.41 – 3.35 (m, 1H), 2.46 – 2.40 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.7, 163.9 (d, *J* = 242 Hz), 146.7 (d, *J* = 10 Hz), 141.8, 136.1, 130.3 (d, *J* = 10 Hz), 128.8, 128.0, 127.9 (d, *J* = 22 Hz), 126.6, 123.2, 122.1, 111.9 (d, *J* = 2 Hz), 105.7 (d, *J* = 22 Hz), 102.7 (d, *J* = 25 Hz), 46.9, 45.5, 43.8, 24.2; mp: 96-98 °C; IR (film): 3330, 1668, cm^{-1}; HRMS (ESI) m/z calcd for $C_{23}H_{22}FN_2O$ $[M+H]^+$ 361.1711; found 361.1736.

1-Benzyl-3-(2-((3-methoxyphenyl)amino)phenyl)pyrrolidin-2-one (3j). The titled compound was prepared according to the general procedure using 1-(3-methoxyphenyl)indolin-2-one (**1j**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 7:3) to give **3j** (123 mg, 66%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (br s, 1H), 7.53 – 7.49 (m, 1H), 7.38 – 7.30 (m, 3H), 7.29 – 7.22 (m, 4H), 7.20 – 7.13 (m, 1H), 7.07 – 7.01 (m, 1H), 6.63 – 6.56 (m, 2H), 6.46 – 6.42 (m, 1H), 4.52 (d, *J* = 15.0 Hz, 1H), 4.49 (d, *J* = 15.0 Hz, 1H), 4.18-4.12 (m, 1H), 3.79 (s, 3H), 3.51 – 3.45 (m, 1H), 3.40 – 3.34 (m, 1H), 2.46 – 2.41 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.7, 160.8, 146.1, 142.5, 136.1, 130.5, 130.0, 128.8, 128.0, 127.9, 127.7, 126.5, 122.6, 121.7, 109.4, 105.2, 102.2, 55.2, 46.9, 45.5, 43.7, 24.0; IR (film): 3333, 1683 cm^{-1}; HRMS (ESI) m/z calcd for $C_{24}H_{25}N_2O_2$ $[M+H]^+$ 373.1911; found 373.1932.

1-Benzyl-3-(2-((4-(dimethylamino)phenyl)amino)phenyl)pyrrolidin-2-one (3k). The titled compound was prepared according to the general procedure using 1-(4-(dimethylamino)phenyl)indolin-2-one (**1k**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 7:3) to give **3k** (119 mg, 62%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (br s, 1H), 7.23 – 7.00 (m, 7H), 6.99 – 6.89 (m, 2H), 6.79 (d, *J* = 9.0 Hz, 2H), 6.68-6.59 (m, 1H), 6.60 (d, *J* = 9.0 Hz, 2H), 4.41 – 4.25 (m, 2H), 3.93 (dd, *J* = 9.0 and 6.5 Hz, 1H), 3.39 – 3.28 (m, 1H), 3.25 – 3.16 (m, 1H), 2.71 (s, 6H), 2.30 – 2.22 (m, 2H); ^{13}C NMR (101 MHz, Acetone-d_6) δ 175.3, 146.4, 145.5, 137.0, 134.3, 128.6, 128.2, 127.8, 127.3, 126.5, 121.0, 119.8, 116.5, 114.2, 46.2, 45.2, 43.1, 40.6, 24.4; IR (film): 3328, 1721 cm^{-1}; HRMS (ESI) m/z calcd for $C_{25}H_{28}N_3O$ $[M+H]^+$ 386.2227; found 386.2231.

1-Benzyl-3-(2-(pyridin-2-ylamino)phenyl)pyrrolidin-2-one (3l). The titled compound was prepared according to the general procedure using 1-(pyridin-2-yl)indolin-2-one (**1l**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 1:9) to give **3l** (87 mg, 51%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (d, *J* = 3.0 Hz, 1H), 8.10 (dd, *J* = 5.0 and 1.0 Hz, 1H), 7.98 (s, 1H), 7.41 (d, *J* = 7.5 Hz, 1H), 7.33 – 7.20 (m, 8H), 7.15 – 7.12 (m, 1H), 7.07 – 7.03 (m, 1H), 4.53 – 4.44 (m, 2H), 4.17 – 4.13 (m, 1H), 3.52 – 3.48 (m, 1H), 3.41– 3.36 (m, 1H), 2.48 – 2.43 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.7, 141.9, 141.0, 140.7, 139.5, 135.9, 128.8, 128.6, 128.4, 128.0, 127.9, 127.8, 126.5, 123.7, 123.0, 122.7, 120.7, 47.0, 45.6, 43.7, 24.0; IR (film): 3308, 1758 cm^{-1}; HRMS (ESI) m/z calcd for $C_{22}H_{22}N_3O$ $[M+H]^+$ 344.1757; found 344.1786.

1-Benzyl-3-(2-(pyridin-3-ylamino)phenyl)pyrrolidin-2-one (3m). The titled compound was prepared according to the general procedure using 1-(pyridin-3-yl)indolin-2-one (**1m**) and *N*-benzylethanolamine (**2a**). The product was purified by flash chromatography (cyclohexane/EtOAc 1:9) to give **3m** (123 mg, 72%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.26 (br s, 1H), 8.19 (d, *J* = 4.0 Hz, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.46 (t, *J* = 8.0 Hz, 1H), 7.34 – 7.10 (m, 7H), 7.12 (t, *J* = 7.5 Hz, 1H), 6.73 – 6.67 (m, 2H), 4.53 (d, *J* = 14.5 Hz, 1H), 4.45 (d, *J* = 14.5 Hz, 1H), 4.14 (t, *J* = 8.0 Hz, 1H), 3.46 – 3.40 (m, 1H), 3.36 – 3.30 (m, 1H), 2.41 – 2.32 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.4, 156.9, 148.3, 139.9, 137.5, 136.2, 132.0, 128.8, 128.1, 127.9, 127.7, 126.7, 124.2, 114.4, 109.0, 47.0, 45.3, 43.9, 24.7; IR (film): 3318, 1778 cm^{-1}; HRMS (ESI) m/z calcd for $C_{22}H_{22}N_3O$ $[M+H]^+$ 344.1757; found 344.1758.

3-(2-Aminophenyl)-1-methylpyrrolidin-2-one (3n). The titled compound was prepared according to the general procedure using 2-oxindole (**1a**) and *N*-methylethanolamine (**2b**). The product was purified by flash chromatography (EtOAc/MeOH 95:5) to give **3n** (53 mg, 56%) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.10 – 7.06 (m, 2H), 6.80 – 6.73 (m, 2H), 4.40 (br s, 2H), 3.89 (dd, *J* = 9.0 and 6.5 Hz, 1H), 3.63 – 3.57 (m, 1H), 3.49 – 3.43 (m, 1H), 2.88 (s, 3H), 2.51 – 2.37 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.5, 146.1, 127.9, 126.2, 124.6, 118.9, 117.3, 48.3, 43.2, 30.0, 24.1; IR (film): 3321, 1774 cm^{-1}; HRMS (ESI) m/z calcd for $C_{11}H_{15}N_2O$ $[M+H]^+$ 191.1179; found 191.1187.

3-(2-Aminophenyl)-1-tritylpyrrolidin-2-one (3o). The titled compound was prepared according to the general procedure using 1 2-oxindole (**1a**) and *N*-tritylethanolamine (**2c**). The product was purified by flash chromatography (gradient from cyclohexane/EtOAc 9:1 to cyclohexane/EtOAc 7:3) to give **3o** (96 mg, 46%) as pink solid. ^{1}H NMR (400 MHz, CD_3OD) δ 7.46 (d, *J* = 7.0 Hz, 1H), 7.27 – 7.23 (m, 6H), 7.19 – 7.09 (m, 10H), 7.00 (t, *J* = 7.5 Hz, 1H), 6.95 (d, *J* = 7.5 Hz, 1H), 2.28 – 2.23 (m, 2H), 2.14 – 2.06 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ181.2, 145.9, 141.9, 129.4, 128.7, 128.6, 128.0, 127.9, 127.7, 126.1, 124.2, 122.3, 110.0, 71.0, 44.6, 40.3, 30.4; mp: 150 – 152 °C; IR (film): 3322, 1784 cm^{-1}; HRMS (ESI) m/z calcd for $C_{29}H_{27}N_2O$ $[M+H]^+$ 419.2118; found 419.2104.

3-(2-Aminophenyl)-1-benzyl-4-methylpyrrolidin-2-one (3p). The titled compound was prepared according to the general procedure using 2-oxindole (**1a**) and *N*-benzyl-2-methylethanolamine (**2d**). The product was purified by flash chromatography (cyclohexane/EtOAc 6:4) to give **3p** (59 mg, as a mixture of two diastereoisomers, 42%, 1/0.8) as yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 – 7.21 (m, 6.4H), 7.16 – 7.02 (m, 4.6H), 6.85 – 6.75 (m, 3.6H), 4.97 (d, *J* = 15.0 Hz, 1.8H), 4.11 (d, *J* = 15.0 Hz, 0.8H), 4.07 – 4.03 (m, 1H), 4.02 (d, *J* = 15.0 Hz, 1H), 3.93 (t, *J* = 9.5 Hz, 0.8H), 3.81 – 3.74 (m, 1H), 3.64 – 3.59 (m, 0.8H), 2.59 – 2.48 (m, 1.8H), 2.09 – 1.99 (m, 1.8H), 1.29 (d, *J* = 4.0 Hz, 2.4H), 1.26 (d, *J* = 4.0 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.5, 146.2, 146.0, 136.7, 136.4, 128.7, 128.6, 128.0, 127.94, 127.88, 127.8, 127.5, 127.4, 127.0, 126.0, 125.3, 124.8, 124.7, 124.3, 122.3,

119.1, 118.9, 117.5, 117.3, 109.5, 51.8, 51.3, 44.3, 44.04, 44.02, 42.5, 32.9, 32.6, 20.1, 19.6; (film): 3318, 1789 cm^{-1}; HRMS (ESI) m/z calcd for $C_{18}H_{21}N_2O$ $[M+H]^+$ 281.1648; found 281.1654.

3-(2-Aminophenyl)-1-benzylpiperidin-2-one (3q). The titled compound was prepared according to the general procedure using 2-oxindole (**1a**) and *N*-benzylpropanolamine (**2e**). The product was purified by flash chromatography (cyclohexane/EtOAc 1:1) to give **3q** (15 mg, 11%) as yellowish oil. ^1H NMR (400 MHz, $CDCl_3$) δ 7.36 – 7.29 (m, 5H), 7.12 – 7.06 (m, 2H), 6.82 – 6.75 (m, 2H), 4.68 (d, *J* = 14.5 Hz, 1H), 4.60 (d, *J* = 14.5 Hz, 1H), 4.05 (br s, 2H), 3.85 (dd, *J* = 9.0 and 6.0 Hz, 1H), 3.39 – 3.32 (m, 2H), 2.23 – 2.18 (m, 1H), 2.12 – 1.99 (m, 2H), 1.89 – 1.84 (m, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.1, 145.6, 137.2, 128.6, 128.2, 127.73, 127.65, 127.4, 119.2, 117.5, 50.6, 47.6, 43.9, 26.9, 22.3; IR (film): 3307, 1782 cm^{-1}; HRMS (ESI) m/z calcd for $C_{18}H_{21}N_2O$ $[M+H]^+$ 281.1648; found 281.1659.

***N*-(2-(2-Oxoindolin-3-yl)ethyl)acetamide (5a).** The titled compound was prepared according to the general procedure using 2-oxindole (**1a**) and *N*-acetylethanolamine (**4a**). The product was purified by flash chromatography (gradient from EtOAc to EtOAc/MeOH 95:5) to give **5a** (93 mg, 85%) as white solid. ^1H NMR (400 MHz, $CDCl_3$) δ 8.55 (br s, 1H), 7.28 (t, *J* = 8.0 Hz, 1H), 7.21 (t, *J* = 8.0 Hz, 1H), 7.04 (t, *J* = 8.0 Hz, 1H), 6.88 (d, *J* = 8.0 Hz, 1H), 6.39 (br s, 1H), 3.53 – 3.45 (m, 3H), 2.28 – 2.20 (m, 1H), 2.10 – 2.02 (m, 1H), 1.95 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 180.1, 170.4, 141.1, 129.2, 128.2, 124.2, 122.7, 109.8, 44.4, 37.2, 30.0, 23.2; mp: 138−140 °C; IR (film): 3280, 1740 cm^{-1}; HRMS (ESI) m/z calcd for $C_{12}H_{15}N_2O_2$ $[M+H]^+$ 219.1128; found 219.1113. The chemical-physical data are in accordance whit the literature.[5]

***N*-(2-(7-Methyl-2-oxoindolin-3-yl)ethyl)acetamide (5b).** The titled compound was prepared according to the general procedure using 7-methylindolin-2-onee (**1e**) and *N*-acetylethanolamine (**4a**). The product was purified by flash chromatography (gradient from EtOAc to EtOAc/MeOH 99:1) to give **5b** (102 mg, 88%) as off-white solid. ^1H NMR (400 MHz, $CDCl_3$) δ 8.70 (br s, 1H), 7.11 (d, *J* = 7.5 Hz, 1H), 7.08 (t, *J* = 7.5 Hz, 1H), 6.99 (t, *J* = 7.5 Hz, 1H), 6.34 (br s, 1H), 3.53 – 3.46 (m, 3H), 2.28 (s, 3H), 2.27 – 2.23 (m, 1H), 2.22 – 2.00 (m, 1H), 1.94 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 180.4, 170.2, 139.7, 129.5, 128.8, 122.7, 121.5, 119.0, 44.9, 37.4, 30.1, 23.2, 16.4; mp: 132−136 °C; IR (film): 1777, 1788 cm^{-1}; HRMS (ESI) m/z calcd. for $C_{13}H_{17}N_2O_2$ $[M+H]^+$ 233.1285; found 233.1294.

***N*-(2-(5-Methoxy-2-oxoindolin-3-yl)ethyl)acetamide (5c).** The titled compound was prepared according to the general procedure using 5-methoxyindolin-2-one (**1f**) and *N*-acetylethanolamine (**4a**). The product was purified by flash chromatography (gradient from EtOAc to EtOAc/MeOH 99:1) to give **5c** (67 mg, 54%) as white solid. ^1H NMR (400 MHz, $CDCl_3$) δ 7.62 (br s, 1H), 6.90 (s, 1H), 6.77 – 6.76 (m, 2H), 6.38 (br s, 1H), 3.78 (s, 3H), 3.50 – 3.47 (m, 3H), 2.27 – 2.22 (m, 1H), 2.08 – 2.01 (m, 1H), 1.97 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 180.0, 170.5, 156.0, 134.4, 130.5, 112.9, 111.2, 110.2, 55.8, 44.8, 37.2, 30.0, 23.2; mp: 127−130 °C; IR (film): 1760, 1748 cm^{-1}; HRMS (ESI) m/z calcd for $C_{13}H_{17}N_2O_3$ $[M+H]^+$ 249.1234; found 249.1242. The chemical-physical data are in accordance whit the literature.[6]

***N*-(2-(6-Methoxy-2-oxoindolin-3-yl)ethyl)acetamide (5d).** The titled compound was prepared according to the general procedure using 6-methoxyindolin-2-one (**1n**) and *N*-acetylethanolamine (**4a**). The product was purified by flash chromatography (gradient from EtOAc to EtOAc/MeOH 99:1) to give **5d** (87 mg, 70%) as white solid. ^1H NMR (400 MHz, $CDCl_3$) δ 7.99 (br s, 1H), 7.18 (d, *J* = 8.0 Hz, 1H), 6.56 (dd, *J* = 8.0 and 2.5 Hz, 1H), 6.48 (d, *J* = 2.5 Hz, 1H), 6.40 (br s, 1H), 3.80 (s, 3H), 3.51 – 3.44 (m, 3H), 2.23 –

2.18 (m, 1H), 2.06 – 1.99 (m, 1H), 1.98 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 180.6, 170.4, 160.1, 142.1, 124.8, 121.0, 107.2, 97.3, 55.5, 43.8, 37.2, 30.2, 23.2; mp: 145−148 °C; IR (film): 1770, 1758 cm^{-1}; HRMS (ESI) m/z calcd. for $C_{13}H_{17}N_2O_3$ $[M+H]^+$ 249.1234; found 249.1211.

***N*-(2-(2-Oxo-4-phenylindolin-3-yl)ethyl)acetamide (5e).** The titled compound was prepared according to the general procedure using 4-phenylindolin-2-one (**1o**) and *N*-acetylethanolamine (**4a**). The product was purified by flash chromatography (gradient from EtOAc to EtOAc/ MeOH 99:1) to give **5e** (84 mg, 57%) as off-white solid. ^{1}H NMR (400 MHz, CD_3OD) δ 7.63 (br s, 1H), 7.49 – 7.35 (m, 5H), 7.29 (t, *J* = 8.0 Hz, 1H), 6.98 (d, *J* = 7.5 Hz, 1H), 6.89 (d, *J* = 7.5 Hz, 1H), 3.96 (dd, *J* = 7.0 and 3.5 Hz, 1H), 2.88 – 2.83 (m, 2H), 1.91 – 1.82 (m, 1H), 1.77 (s, 3H), 1.54 – 1.47 (m, 1H); ^{13}C NMR (101 MHz, CD_3OD) δ 182.2, 173.1, 144.5, 141.4, 140.7, 130.1, 129.9, 129.4, 129.1, 127.5, 124.6, 110.3, 45.5, 37.1, 28.8, 22.7; mp: 145−148 °C; IR (film): 1743, 1758 cm^{-1}; HRMS (ESI) m/z calcd for $C_{18}H_{19}N_2O_2$ $[M+H]^+$ 295.1441; found 295.1451.

***N*-(2-(1-Methyl-2-oxoindolin-3-yl)ethyl)acetamide (5f).** The titled compound was prepared according to the general procedure using 1-methylindolin-2-one (**1g**) and *N*-acetylethanolamine (**4a**). The product was purified by flash chromatography (gradient from EtOAc to EtOAc/MeOH 99:1) to give **5f** (116 mg, 99%) as off-white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29 – 7.23 (m, 2H), 7.06 – 7.02 (m, 1H), 6.81 – 6.79 (m, 2H), 3.46 – 3.40 (m, 3.H), 3.17 (s, 3H), 2.18 – 2.13 (m, 1H), 2.03 – 1.89 (m, 1H), 1.86 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 178.0, 170.4, 143.8, 128.5, 128.2, 123.9, 122.8, 108.2, 44.0, 37.3, 30.1, 26.2, 23.2; mp: 142−146 °C; IR (film): 1767 cm^{-1}; HRMS (ESI) m/z calcd. for $C_{13}H_{17}N_2O_2$ $[M+H]^+$ 233.1285; found 233.1273.

N-(2-(2-Oxo-1-phenylindolin-3-yl)ethyl)acetamide (5g). The titled compound was prepared according to the general procedure using 1-phenylindolin-2-one (**1h**) and *N*-acetylethanolamine (**4a**). The product was purified by flash chromatography (cyclohexane/EtOAc 6:4) to give **5g** (79 mg, 54%) as off-white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 (t, *J* = 8.0 Hz, 2H), 7.44 – 7.37 (m, 4H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 6.80 (d, *J* = 8.0 Hz, 1H), 6.53 (br s, 1H), 3.69 – 3.65 (m, 1H), 3.61 – 3.42 (m, 2H), 2.38 – 2.30 (m, 1H), 2.17 – 2.08 (m, 1H), 1.92 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.6, 170.4, 143.9, 134.3, 129.7, 128.4, 128.3, 128.1, 126.6, 124.2, 123.3, 109.5, 44.2, 37.4, 30.3, 23.2; mp: 135−138 °C; IR (film): 1757 cm^{-1}; HRMS (ESI) m/z calcd. for $C_{18}H_{19}N_2O_2$ $[M+H]^+$ 295.1441; found 295.1431.

***N*-(1-(2-oxoindolin-3-yl)propan-2-yl)acetamide (5h).** The titled compound was prepared according to the general procedure using 2-oxindole (**1a**) and *N*-acetyl-2-methylethanolamine (**4b**). The product was purified by flash chromatography (EtOAc) to give **5h** (81 mg, as a mixture of two diasteroisomers, 70%, 3/1) as orange oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.17 (br s, 1.3H), 7.48 (d, *J* = 7.0 Hz, 1.3H), 7.19 (br s, 1.3H), 7.05 – 7.02 (m, 1.3H), 6.90 (d, *J* = 7.0 Hz, 1.3H), 6.55 (br s, 0.3H), 6.35 (br s, 1H), 4.44 (br s, 1H), 4.14 (br s, 0.3H), 3.58 (br s, 0.3H), 3.47 (br s, 1H), 2.21 (br s, 0.3H), 2.10 – 2.05 (m, 1H), 1.94 (s, 3H), 1.91(s, 1.5H), 1.25 (d, *J* = 5.5 Hz, 1.5H), 1.20(d, *J* = 5.5 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 180.9, 170.2, 169.5, 169.5, 141.5, 141.3, 129.8, 128.9, 128.2, 128.0, 124.7, 123.8, 122.9, 122.7, 110.0, 109.9, 43.9, 43.7, 42.9, 37.8, 36.2, 29.7, 23.4, 23.3, 22.1, 20.8; IR (film): 1755 cm^{-1}; HRMS (ESI) m/z calcd. for $C_{13}H_{17}N_2O_2$ $[M+H]^+$ 233.1285; found 233.1290.

***N*-(2-(2-oxoindolin-3-yl)propyl)acetamide (5i).** The titled compound was prepared according to the general procedure using 2-oxindole (**1a**) and *N*-acetyl-1-methylethanolamine (**4c**). The product was purified by flash chromatography (EtOAc) to give **5i** (69 mg, as a mixture of two diasteroisomers, 59%) as brown oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.56 (br s, 2H), 7.41 (d, *J* = 7.5 Hz, 1H),

7.19 – 7.14 (m, 3H), 7.09 (br s, 1H), 7.02 – 6.95 (m, 2H), 6.88 (d, *J* = 7.5 Hz, 2H), 6.64 (br s, 1H), 3.77 – 3.69 (m, 1H), 3.52 – – 3.42 (m, 2H), 3.21 – 3.15 (m, 1H), 2.61 – 2.59 (m, 1H), 2.52 – 2.50 (m, 1H), 2.00 (s, 3H), 1.97 (s, 3H), 0.81 (d, *J* = 7.0 Hz, 3H), 0.76 (d, *J* = 7.0 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 180.4, 179.7, 170.9, 170.8, 142.0, 141.9, 128.6, 128.0, 127.99, 127.98, 127.1, 125.2, 123.8, 122.5, 122.4, 109.9, 49.3, 48.3, 43.4, 43.1, 35.7, 24.9, 23.2, 23.1, 13.6, 13.3; IR (film): 1763 cm^{-1}; HRMS (ESI) m/z calcd. for $C_{13}H_{17}N_2O_2$ $[M+H]^+$ 233.1285; found 233.1290.

***N*-(3-(2-Oxoindolin-3-yl)propyl)acetamide (5j).** The titled compound was prepared according to the general procedure using 2-oxindole (**1a**) and *N*-acetylpropanolamine (**4d**). The product was purified by flash chromatography chromatography (gradient from EtOAc to EtOAc/MeOH 95:5) to give **5j** (86 mg, 74%) as pale brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.39 (br s, 1H), 7.20 – 7.16 (m, 2H), 7.00 (dd, J_1 = J_2 = 7.5 Hz, 1H), 6.90 (d, *J* = 7.5 Hz, 1H), 6.32 (br s, 1H), 3.47 (t, *J* = 5.5 Hz, 1H), 3.20 (t, *J* = 5.5 Hz, 2H), 2.01 – 1.96 (m, 2H), 1.93 (s, 3H), 1.53 (t, *J* = 7.5 Hz, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 180.6, 170.7, 141.8, 129.3, 128.0, 124.0, 122.4, 110.0, 45.6, 39.3, 27.5, 25.5, 23.2; mp: 137−139 °C; IR (film): 1761 cm^{-1}; HRMS (ESI) m/z calcd for $C_{13}H_{17}N_2O_2$ $[M+H]^+$ 233.1285; found 233.1283. The chemical-physical data are in accordance whit the literature.[7]

Procedure for large scale synthesis of compound 3a. A mixture of 2-oxindole (**1a**) (1 g, 7.52 mmol), Cs_2CO_3 (2.7 g, 8.3 mmol), $[Cp^*IrCl_2]_2$ (150 mg, 0.19 mmol) and *N*-benzylethanolamine (**2a**) (1.6 mL, 11.3 mmol) was stirred at 90 °C for 16 h in a sealed vial. After cooling to room temperature, the reaction mixture was dissolved in EtOAc/MeOH 9:1 (1 mL) and filtered through a silica gel pad. The filtrate was concentrated under reduce pressure and the residue obtained was purified by flash chromatography (cyclohexane/EtOAc 1:1) to give **3a** (1.54 g, 77%) as yellowish oil.

REFERENCES

[1] J. Cui, R. Zhang, H. Shen, J. Y. Chu, F.-J. Zhang, M. Koenig, S. H. Do, X. Li, C. C. Wei, P. C. Tang, PCT Int. Appl., 2002055517, 18 Jul 2002.

[2] R. A. Altman, A. M. Hyde, X. Huang and S. L. Buchwald, *J. Am. Chem. Soc.*, 2008, **130**, 9613.

[3] S. Bai, X. Chen, X. Hu, Y. Deng, H. Jiang and W. Zeng, *Org. Biomol. Chem.*, 2017, **15**, 3638.

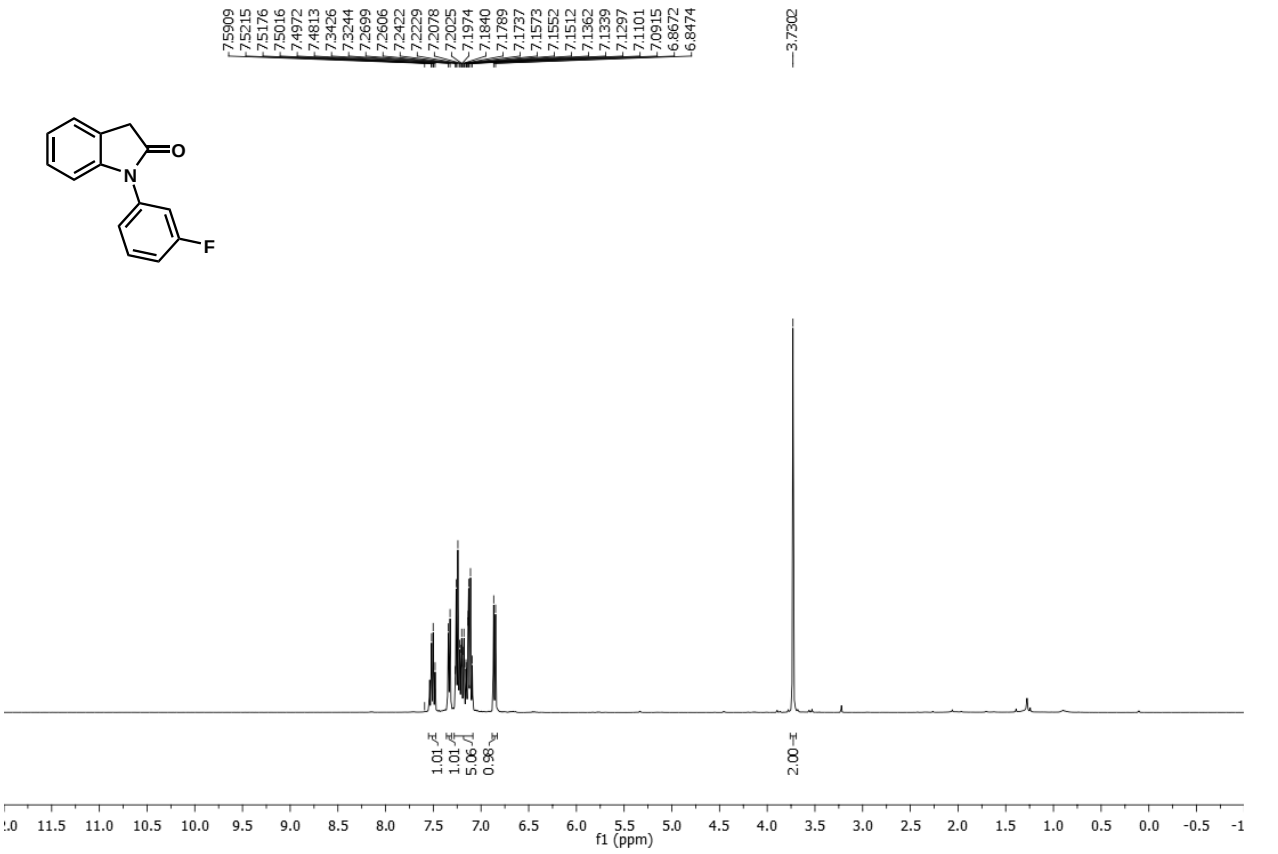
[4] Q. Deng, Y. Zhang, H. Zhu and T. Tu, *Chem. Asian J.*, 2017, **12**, 236.

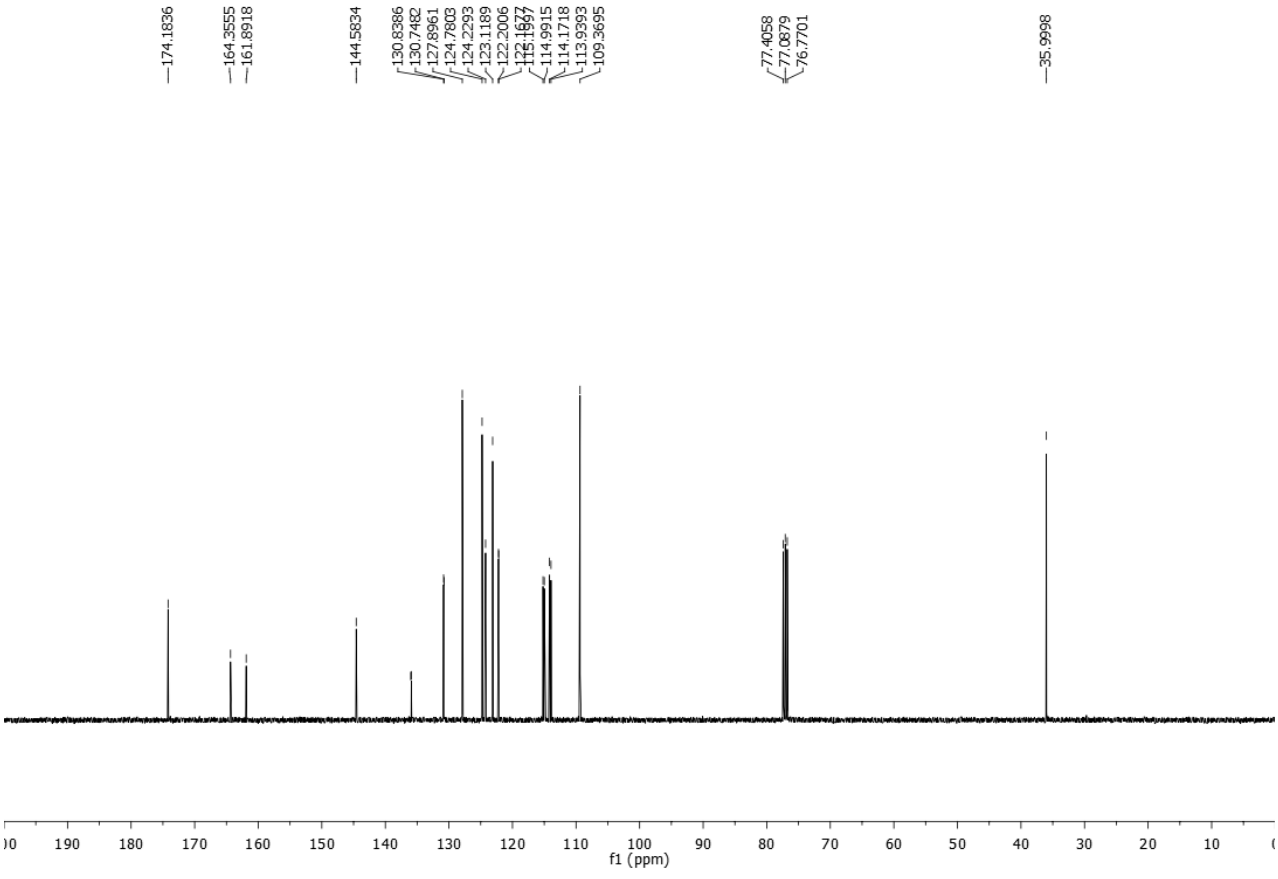
[5] M. Hasegawa, Y. Nagahama, K. Kobayashi, M. Hayashi and M. Somei, *Heterocycles*, 2000, **52**, 483.

[6] N. A. Lozinskaya, S. E. Sosonyuk, M. S. Volkova, M. Y. Seliverstov, M. V. Proskurnina, S. E. Bachurin and N. S. Zefirov, *Synthesis*, 2011, 273.

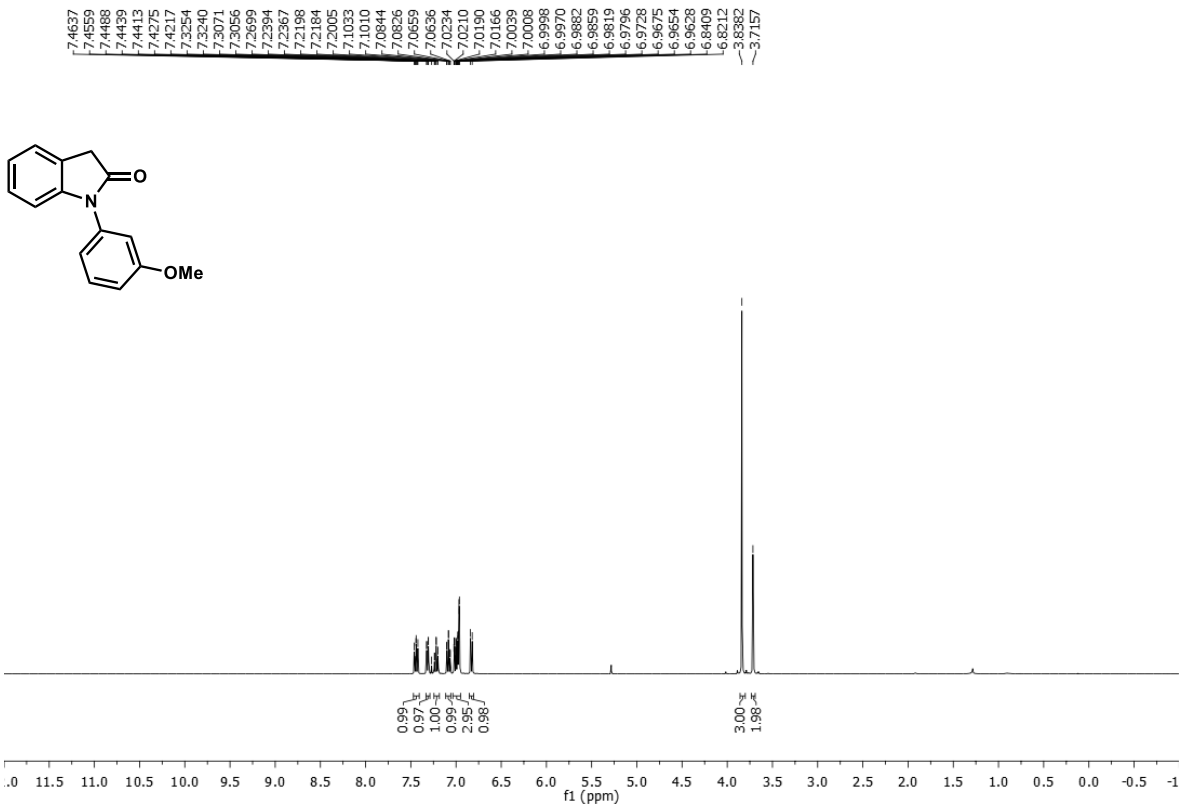
[7] M. G. Banwell, M. T. Jones, D. T.J. Loong, D. W. Lupton, D. M. Pinkerton, J. K. Ray and A. C. Willis, *Tetrahedron*, 2010, **66** 9252.

1-(3-Fluorophenyl)indolin-2-one (1i)

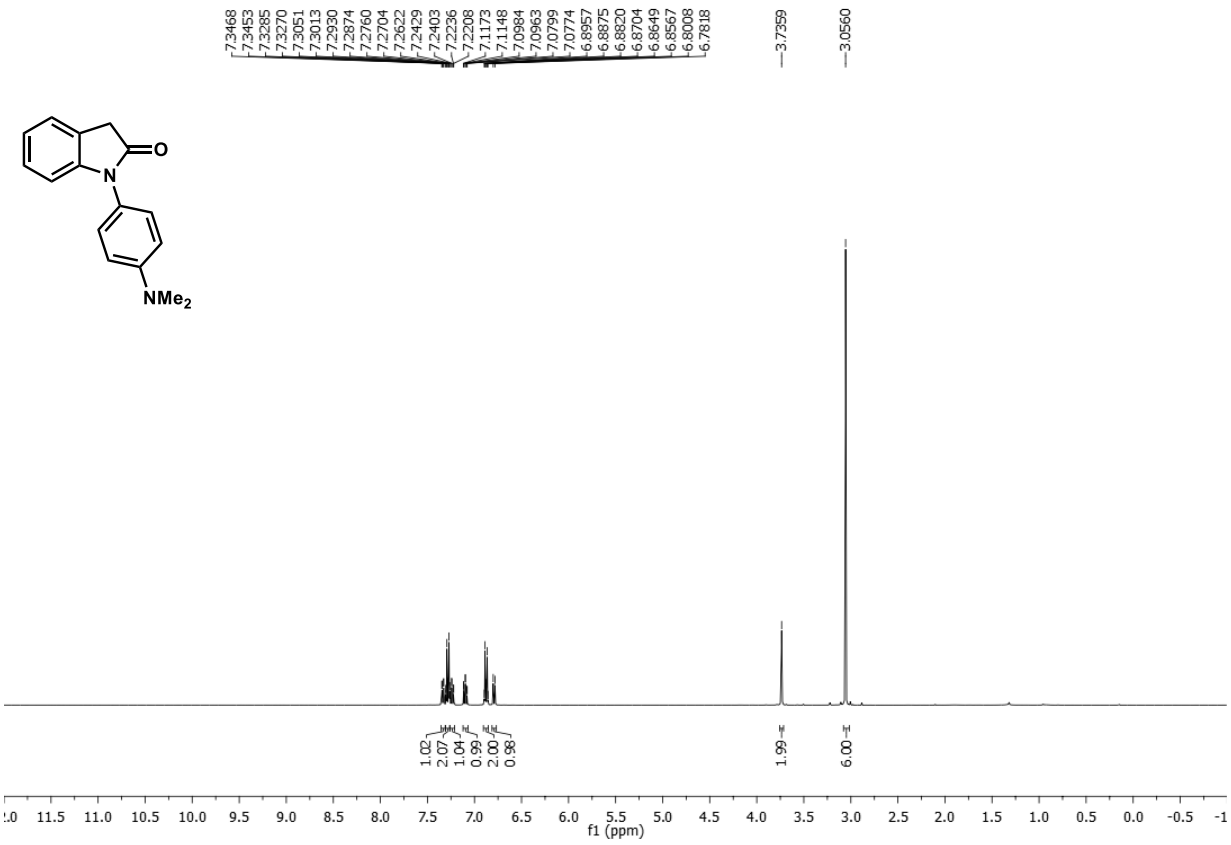




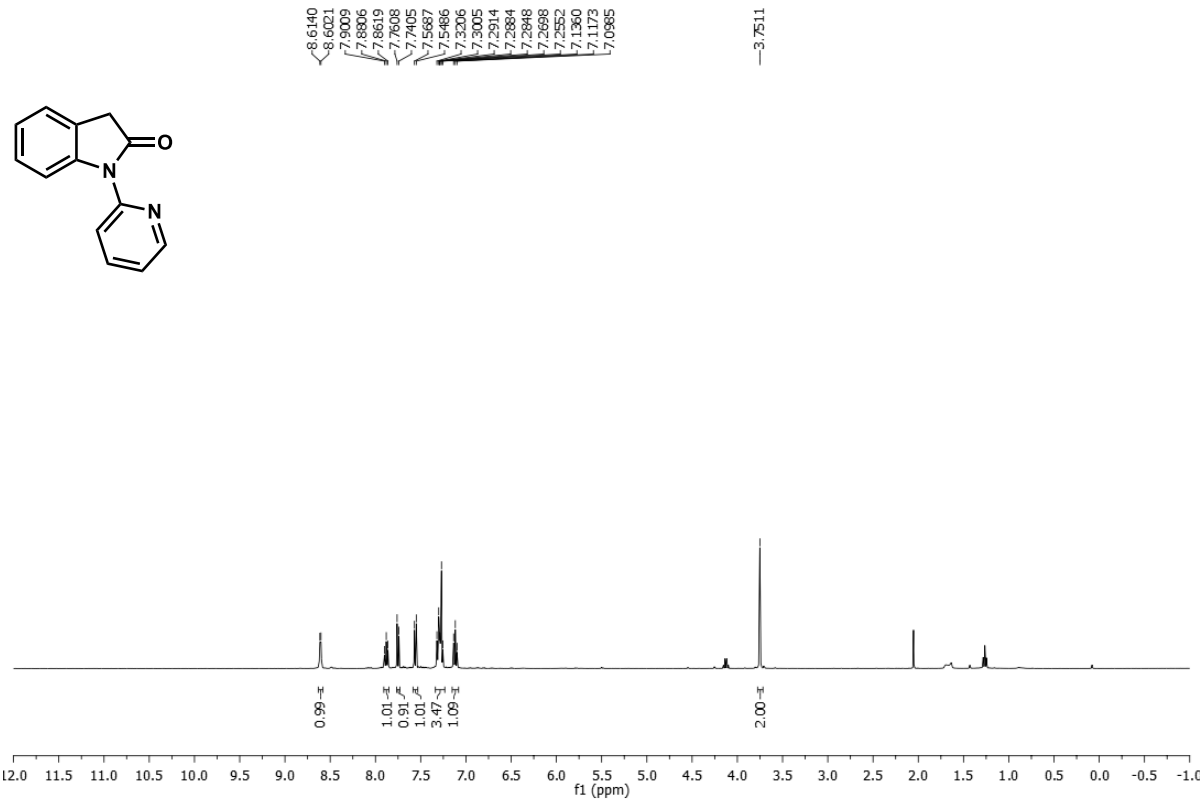
1-(3-Methoxyphenyl)indolin-2-one (1j)



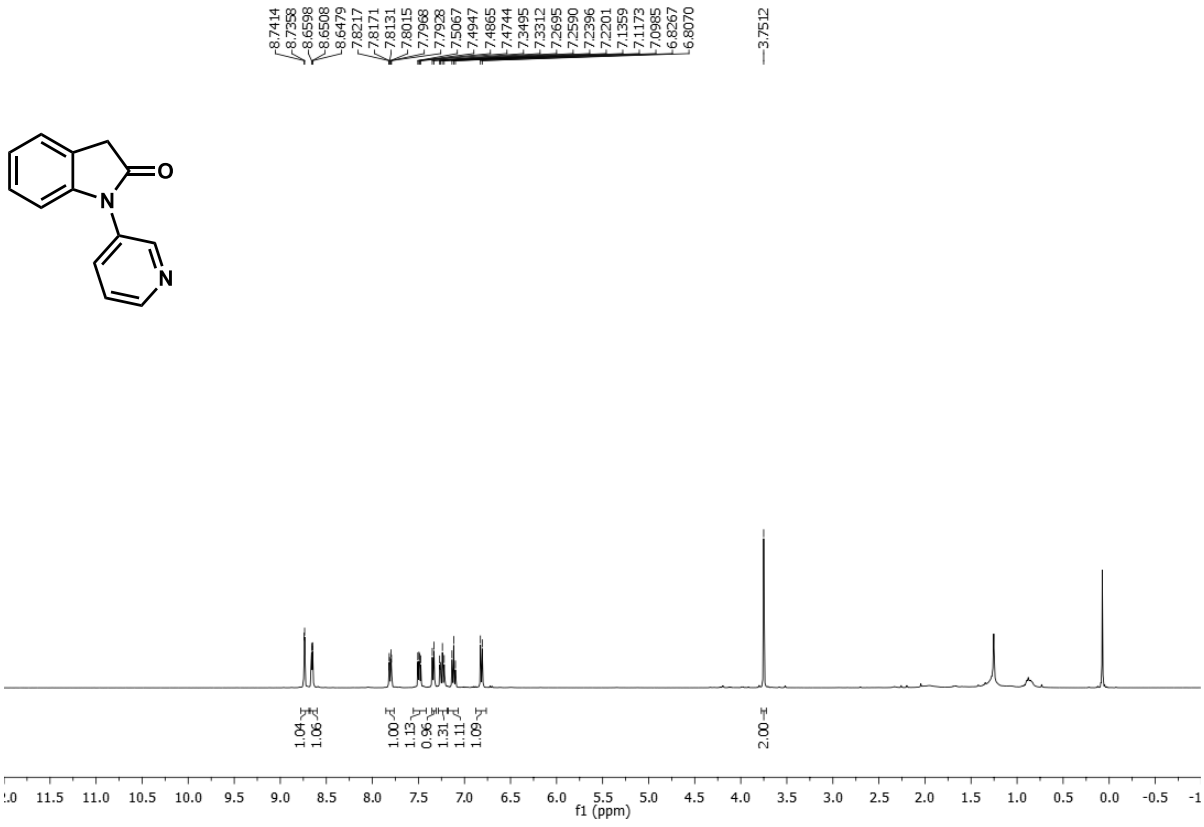
1-(3-(Dimethylamino)phenyl)indolin-2-one (1k)



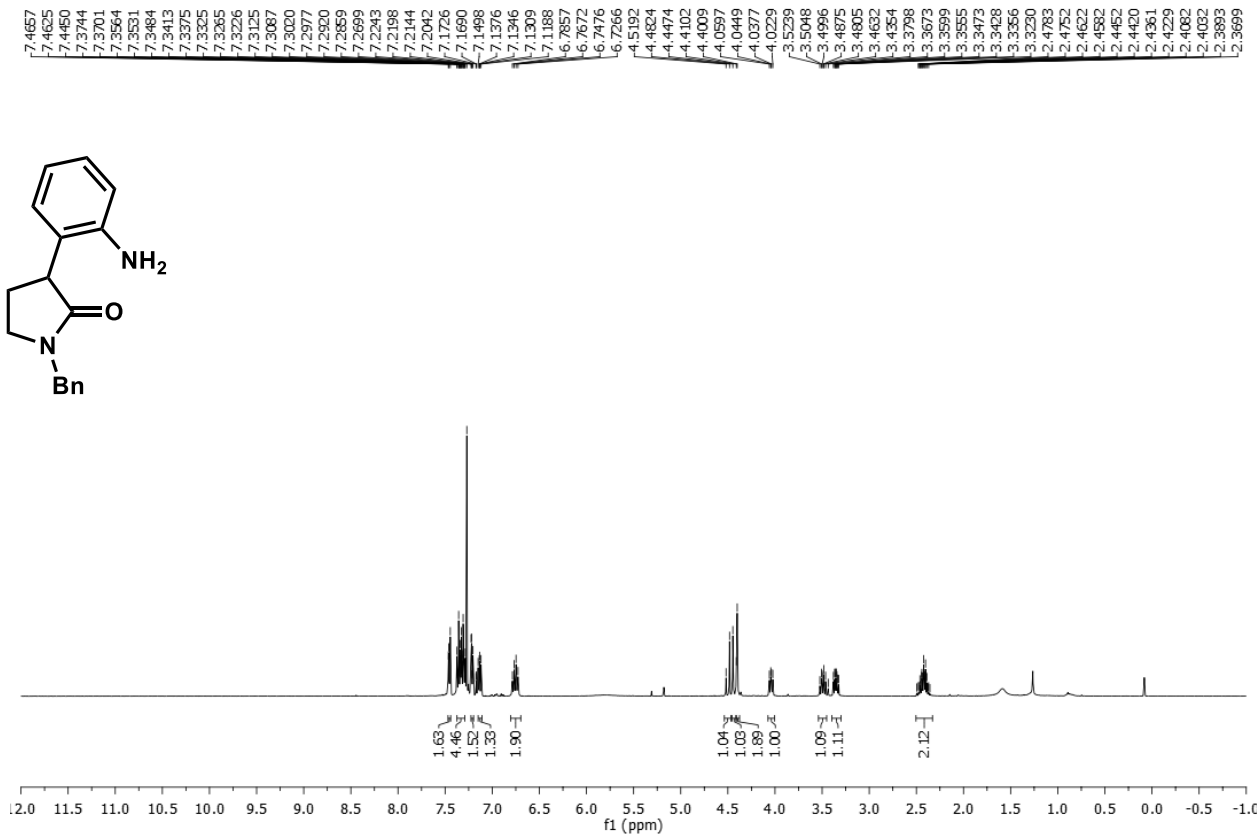
1-(Pyridin-2-yl)indolin-2-one (1l)

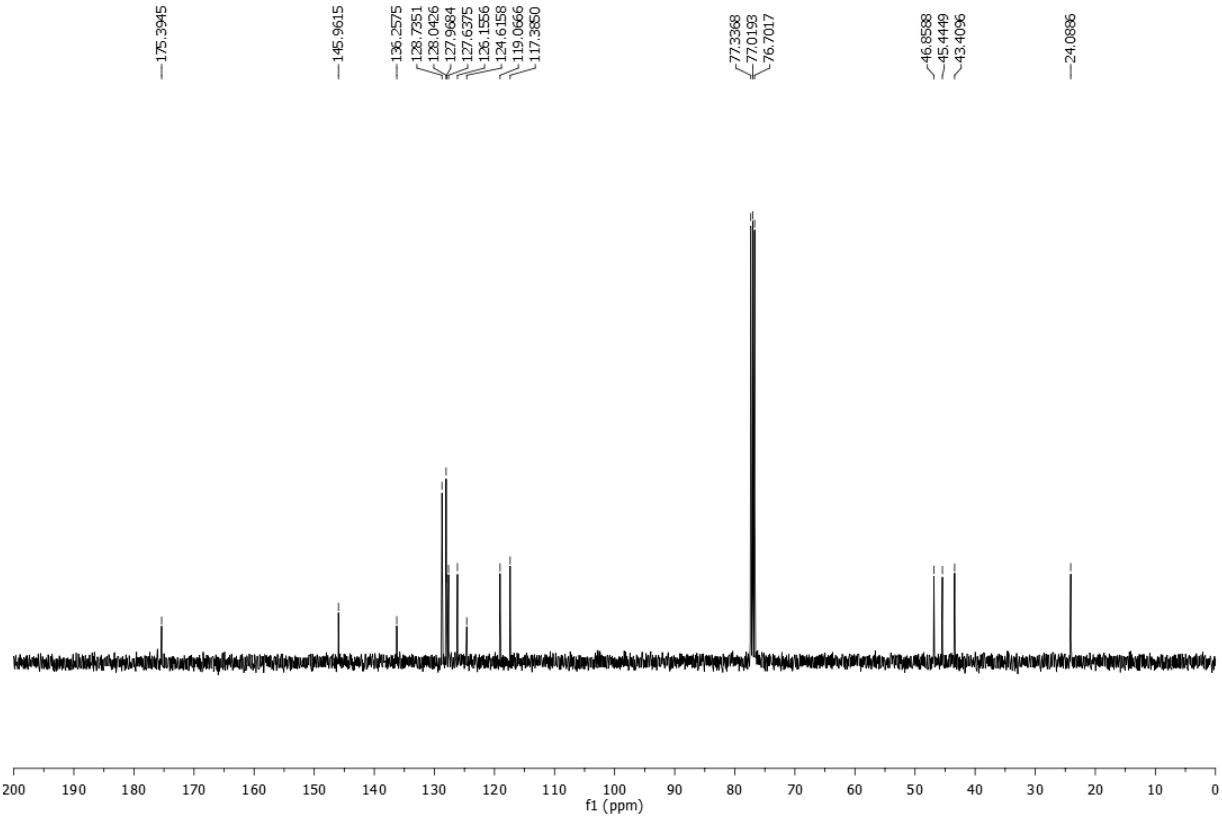


1-(Pyridin-3-yl)indolin-2-one (1m)

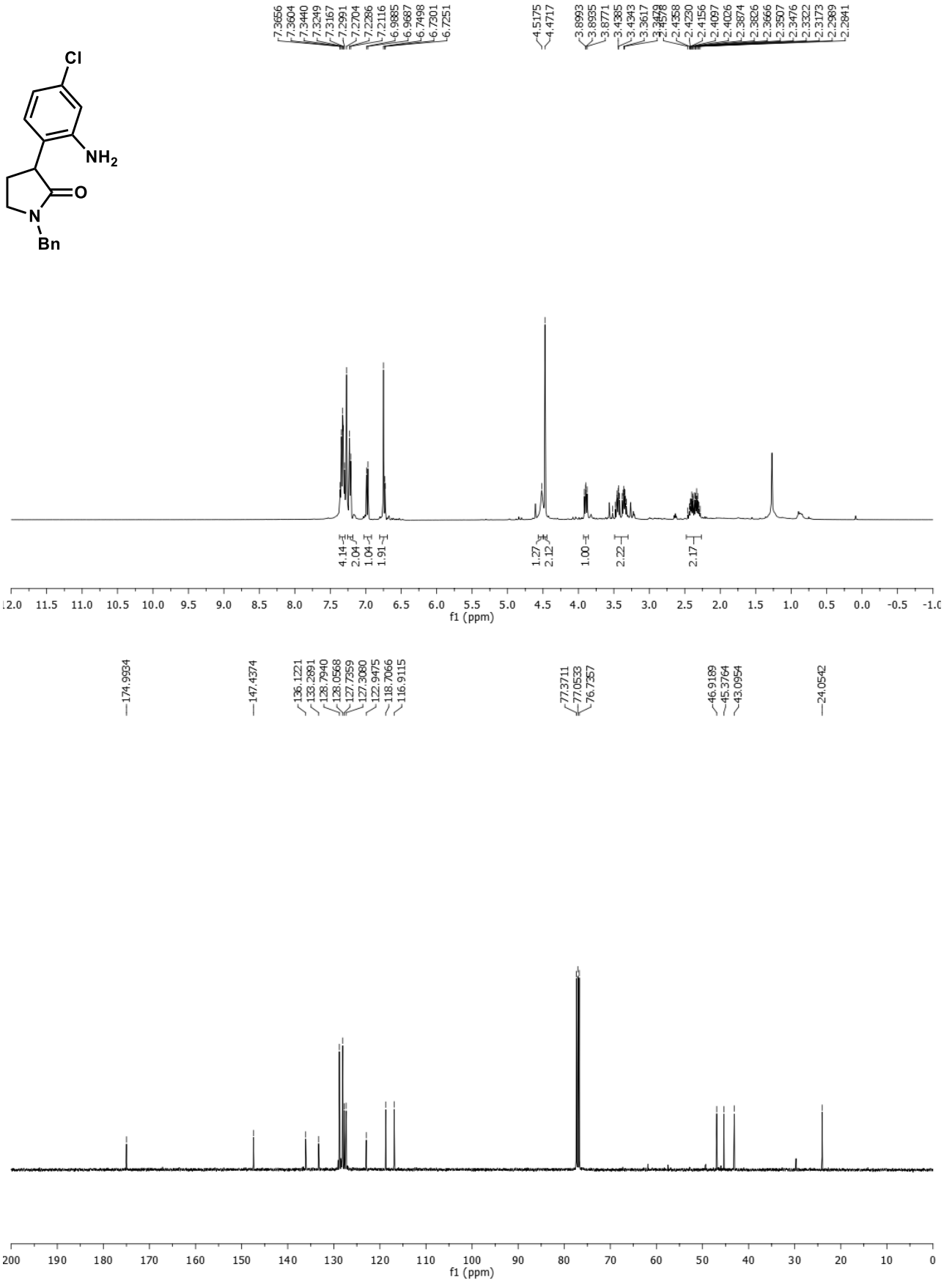


3-(2-Aminophenyl)-1-benzylpyrrolidin-2-one (3a)

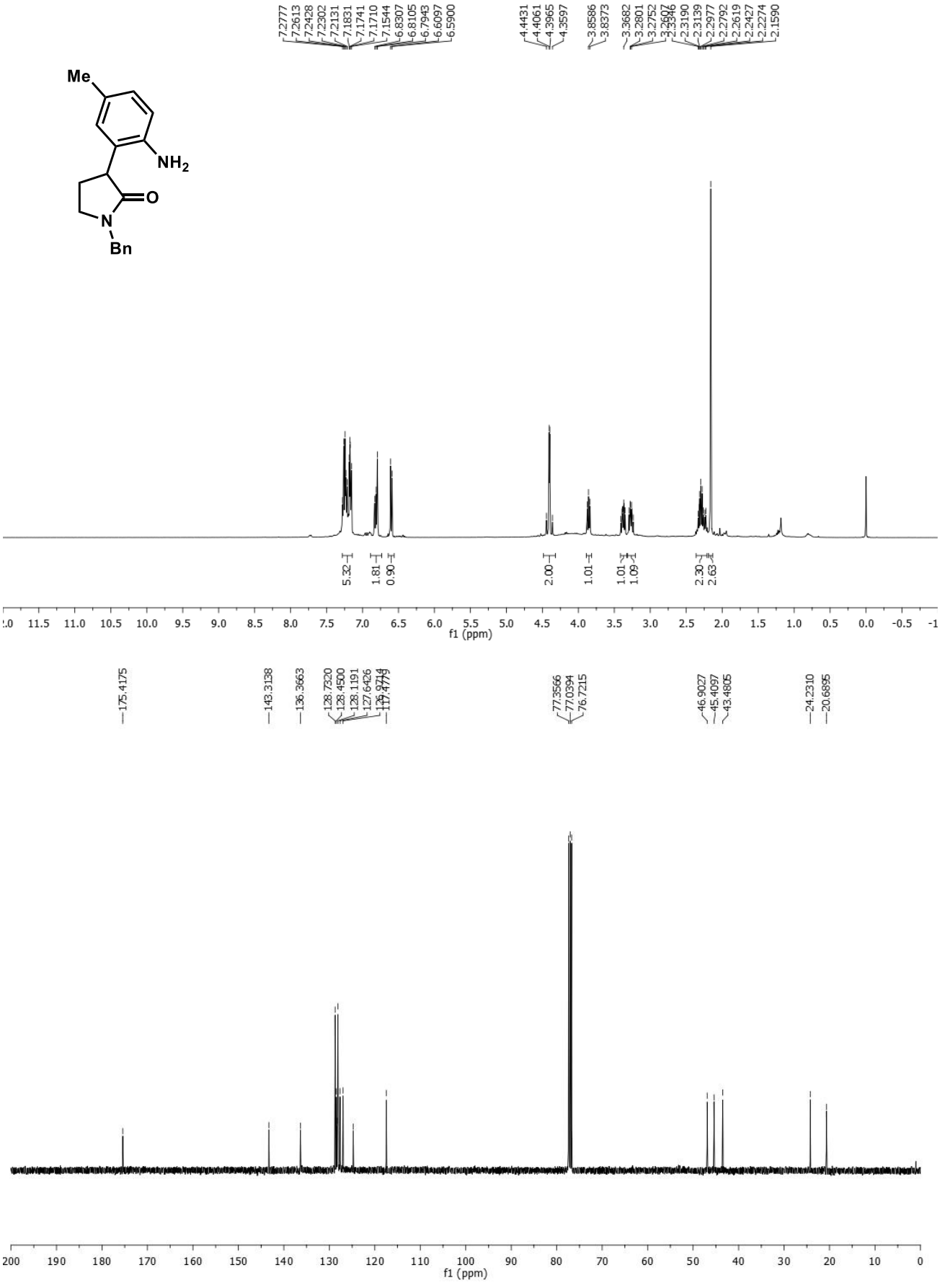




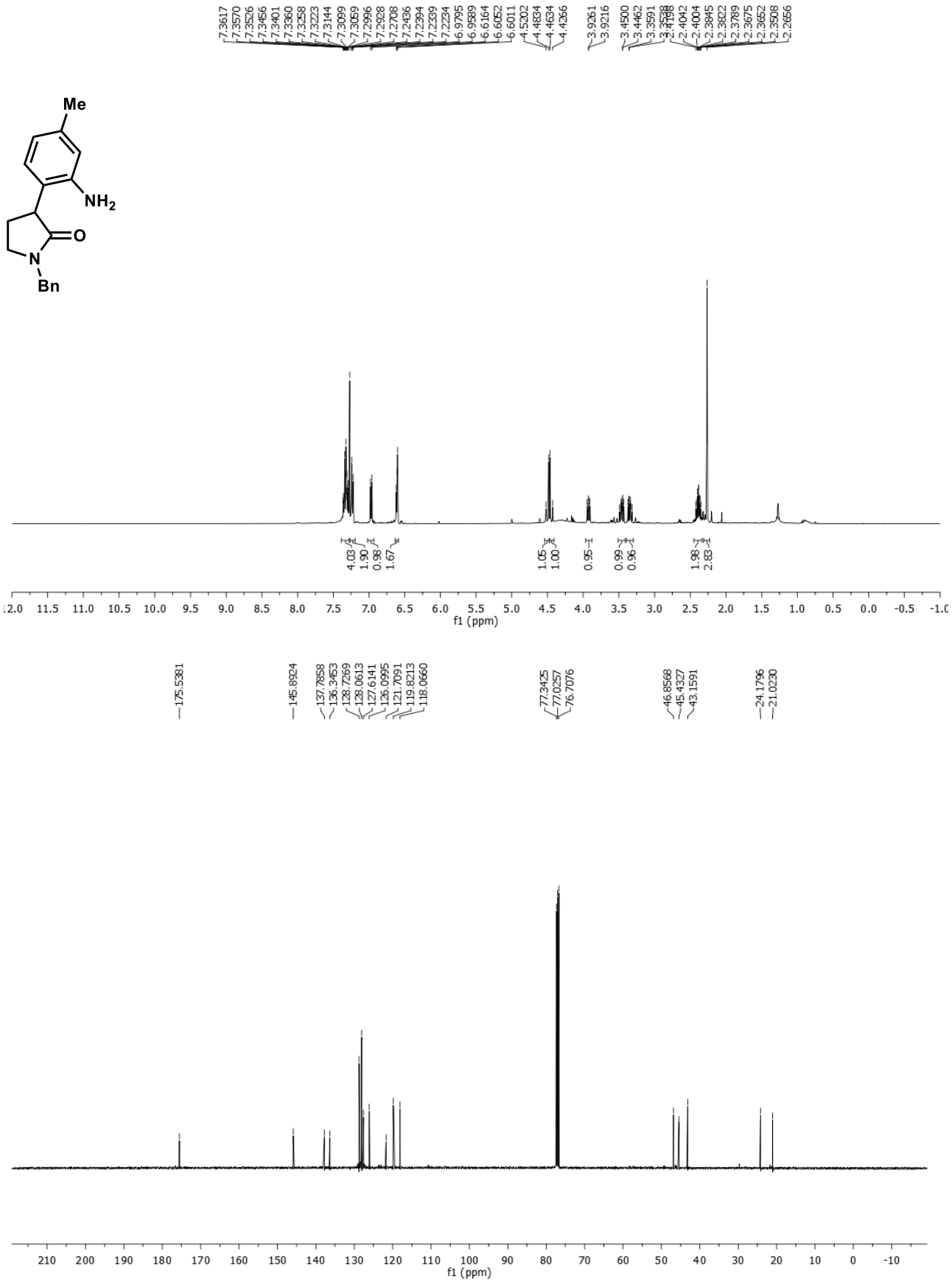
3-(2-Amino-4-chlorophenyl)-1-benzylpyrrolidin-2-one (3b)



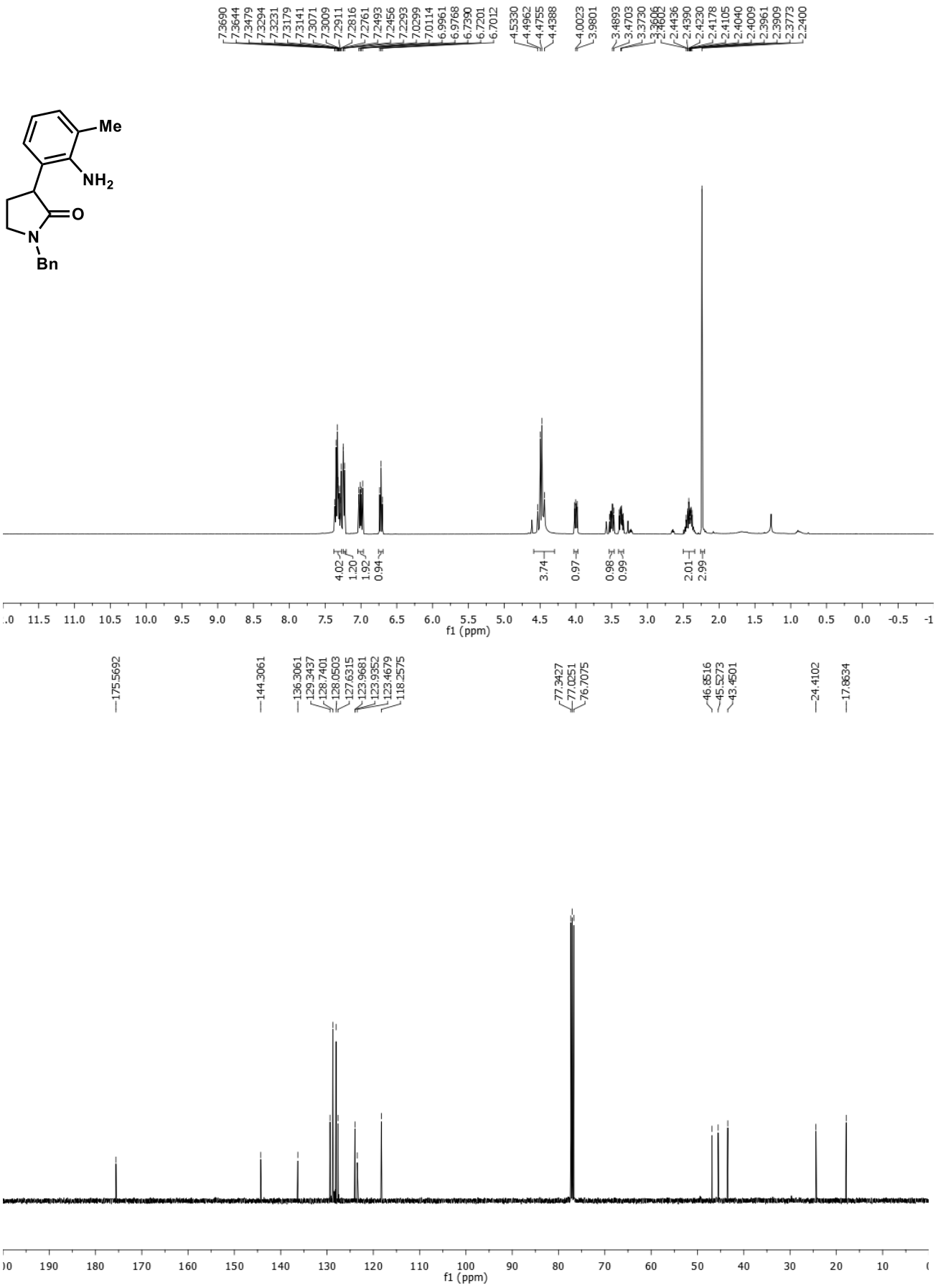
3-(2-Amino-5-methylphenyl)-1-benzylpyrrolidin-2-one (3c)



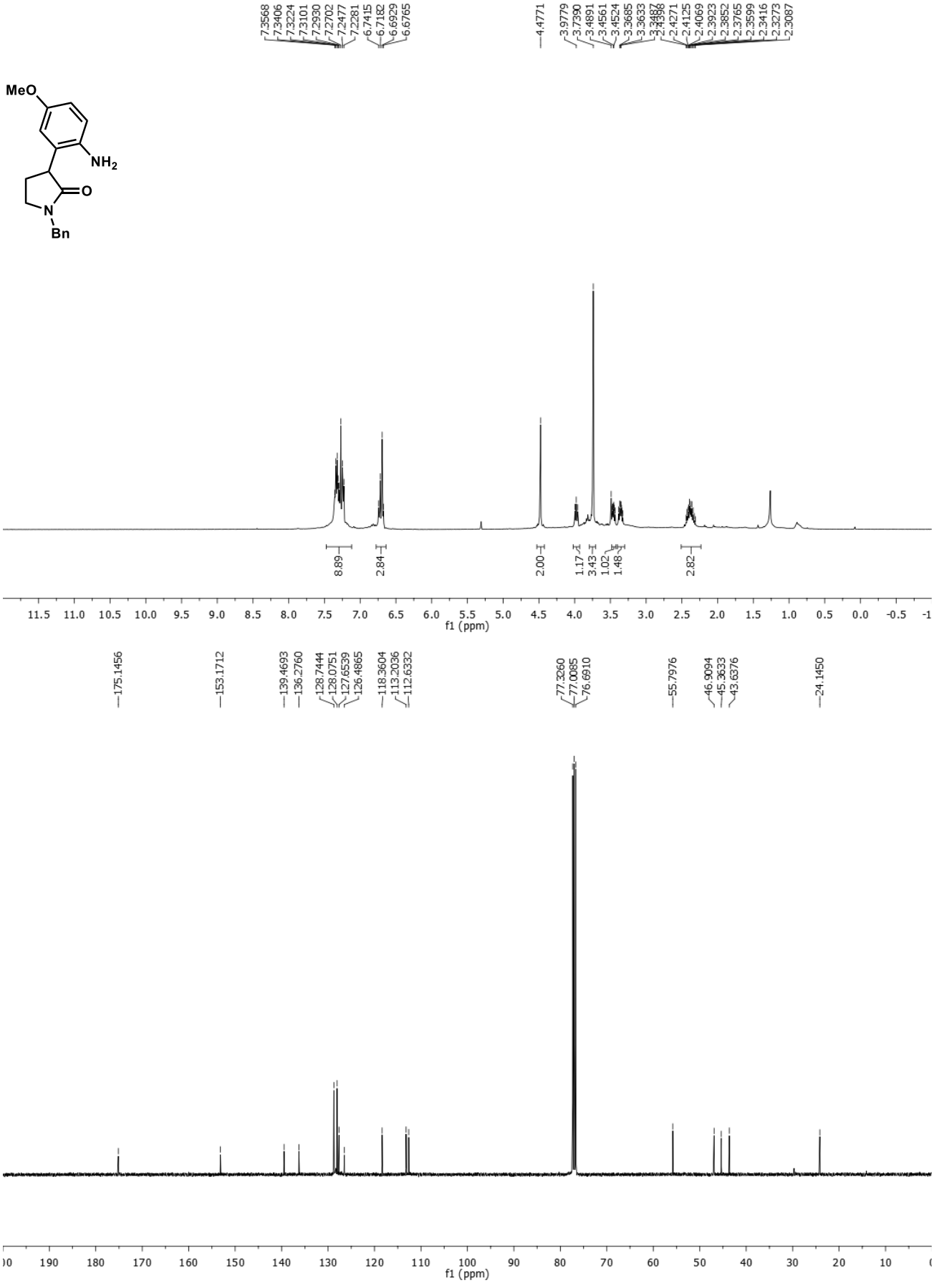
3-(2-Amino-4-methylphenyl)-1-benzylpyrrolidin-2-one (3d)



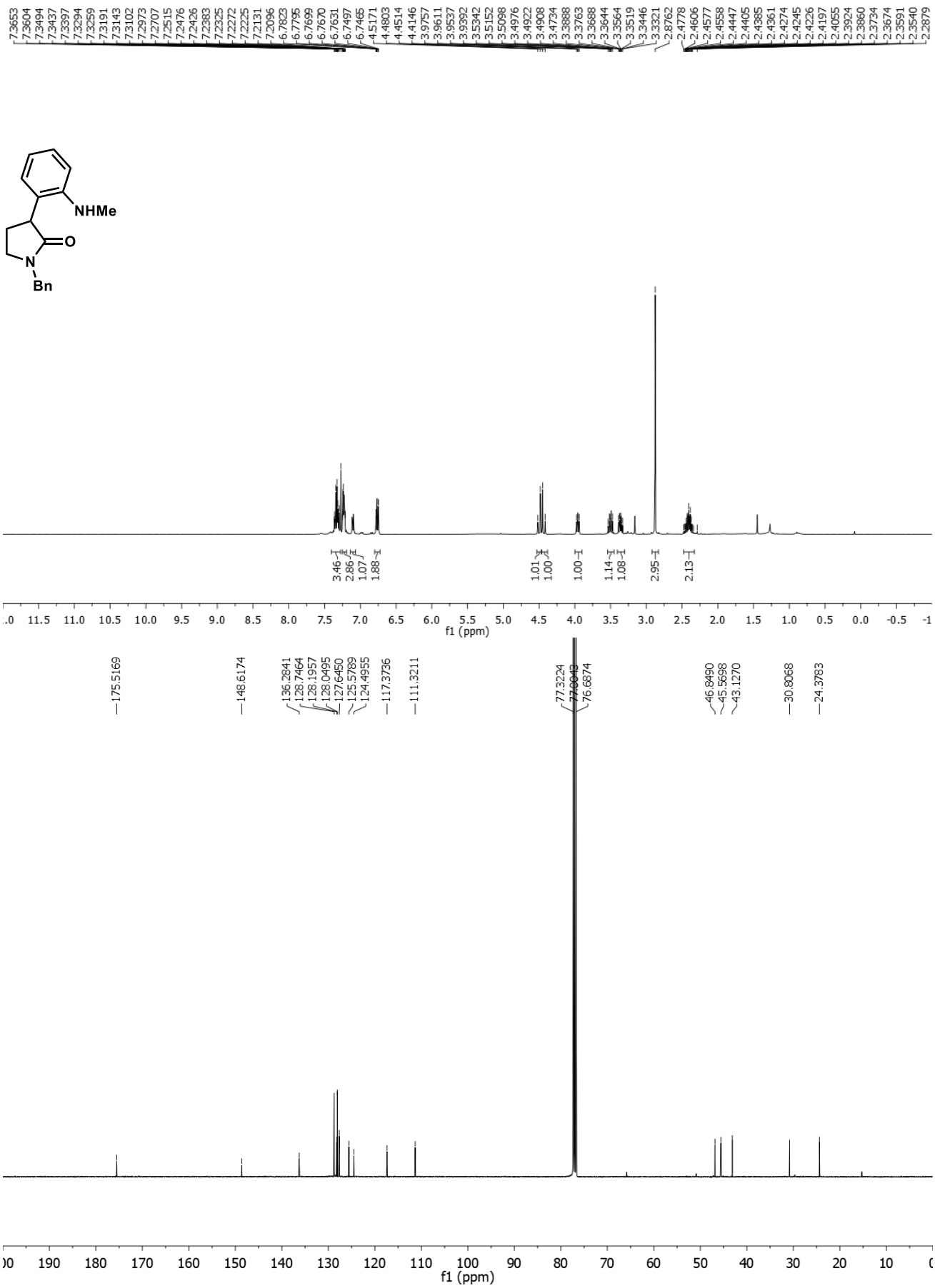
3-(2-Amino-3-methylphenyl)-1-benzylpyrrolidin-2-one (3e)



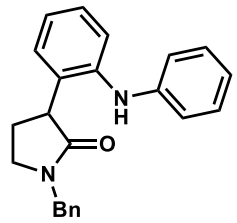
3-(2-Amino-5-methoxyphenyl)-1-benzylpyrrolidin-2-one (3f)

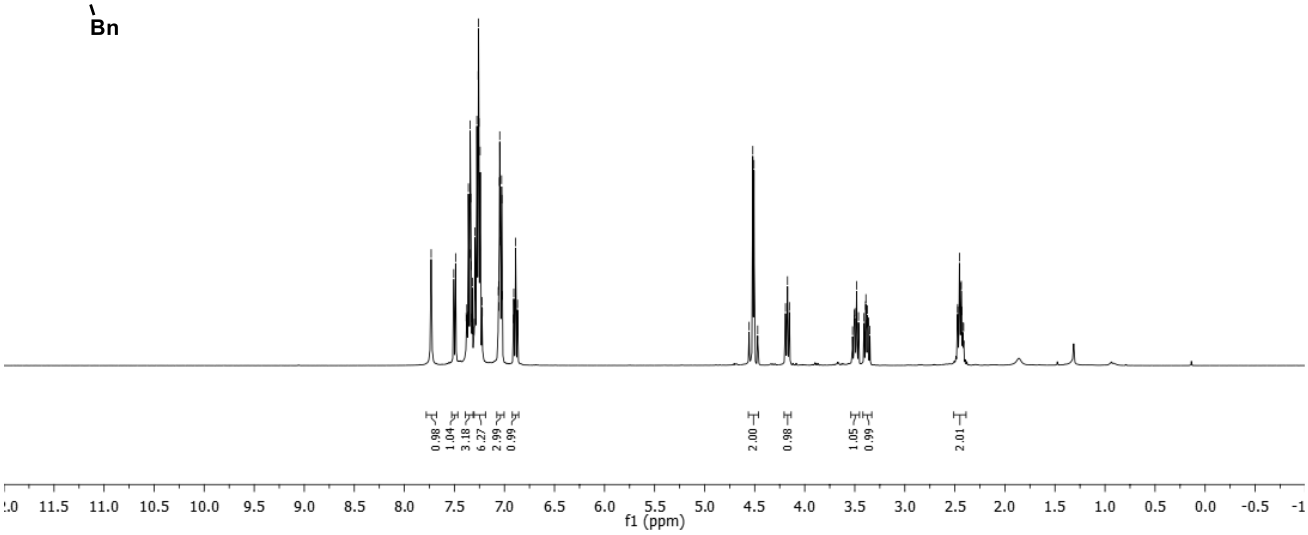


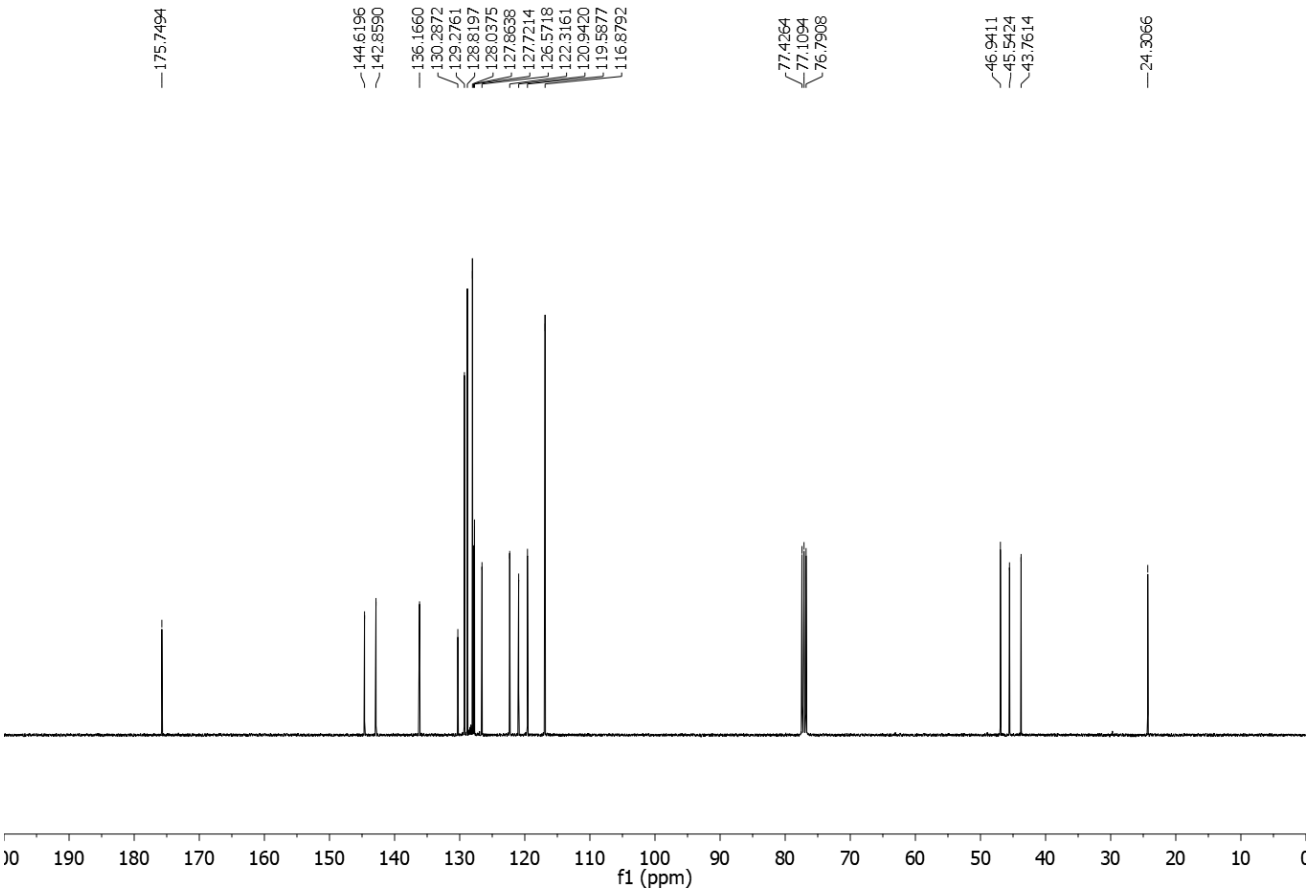
1-Benzyl-3-(2-(methylamino)phenyl)pyrrolidin-2-one (3g)



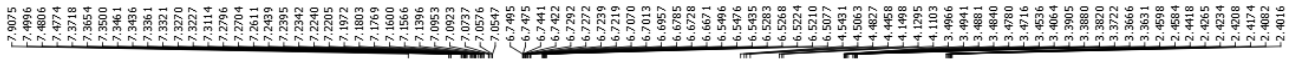
1-Benzyl-3-(2-(phenylamino)phenyl)pyrrolidin-2-one (3h)

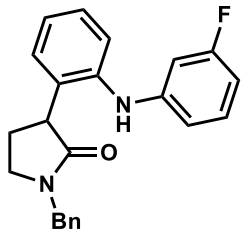


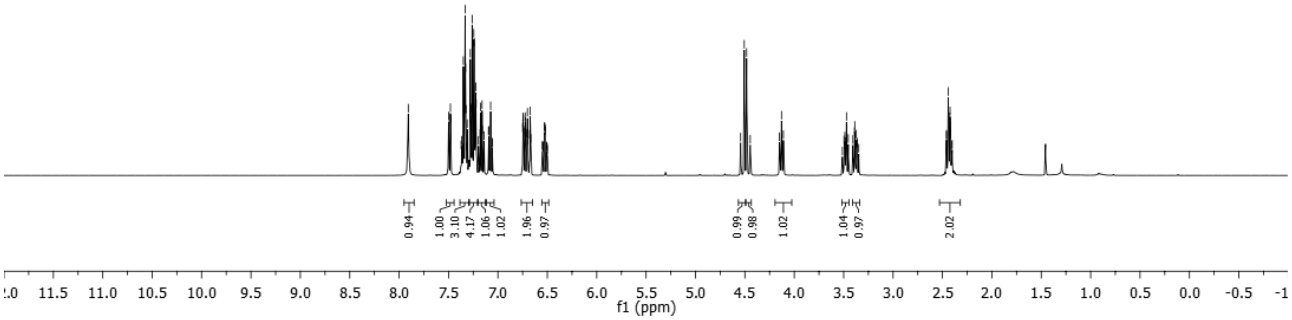


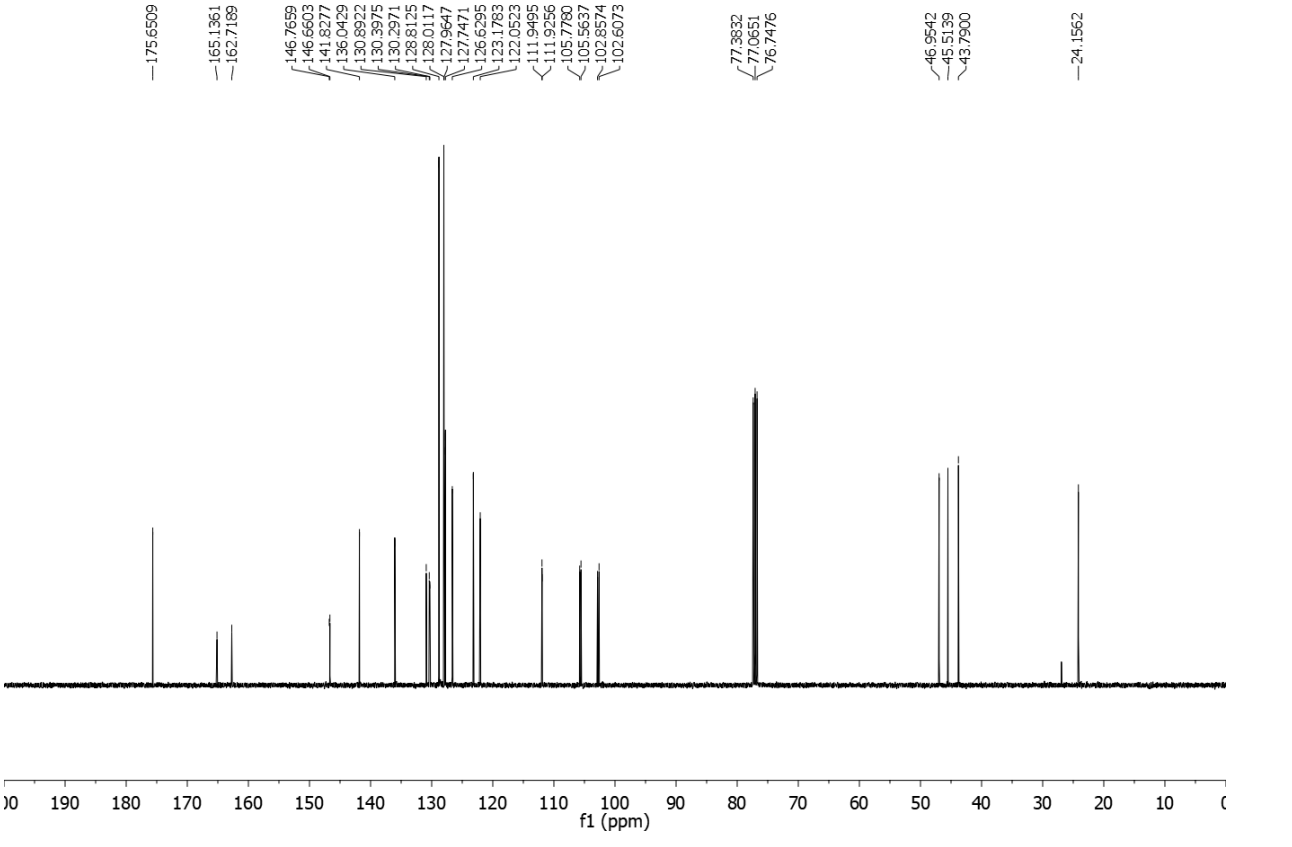


1-Benzyl-3-(2-((3-fluorophenyl)amino)phenyl)pyrrolidin-2-one (3i)

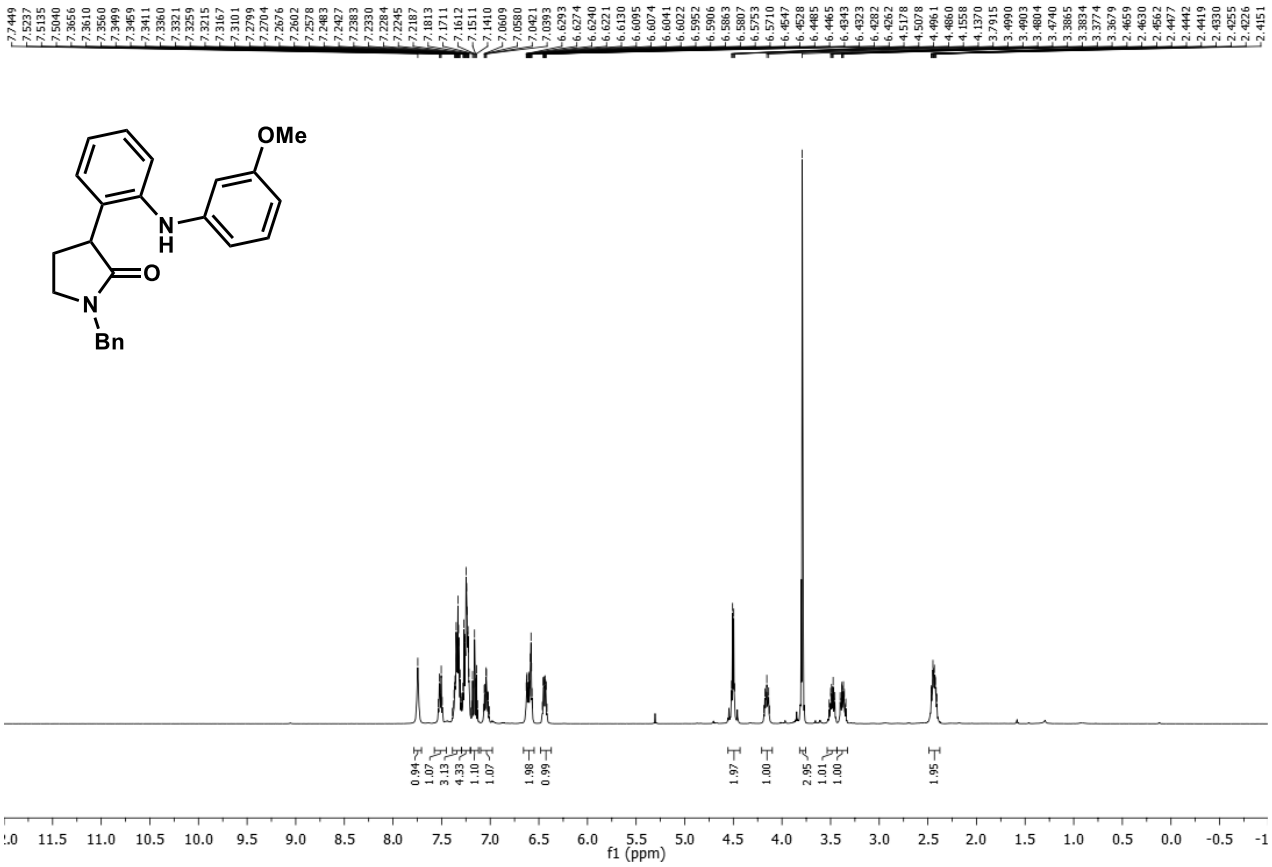


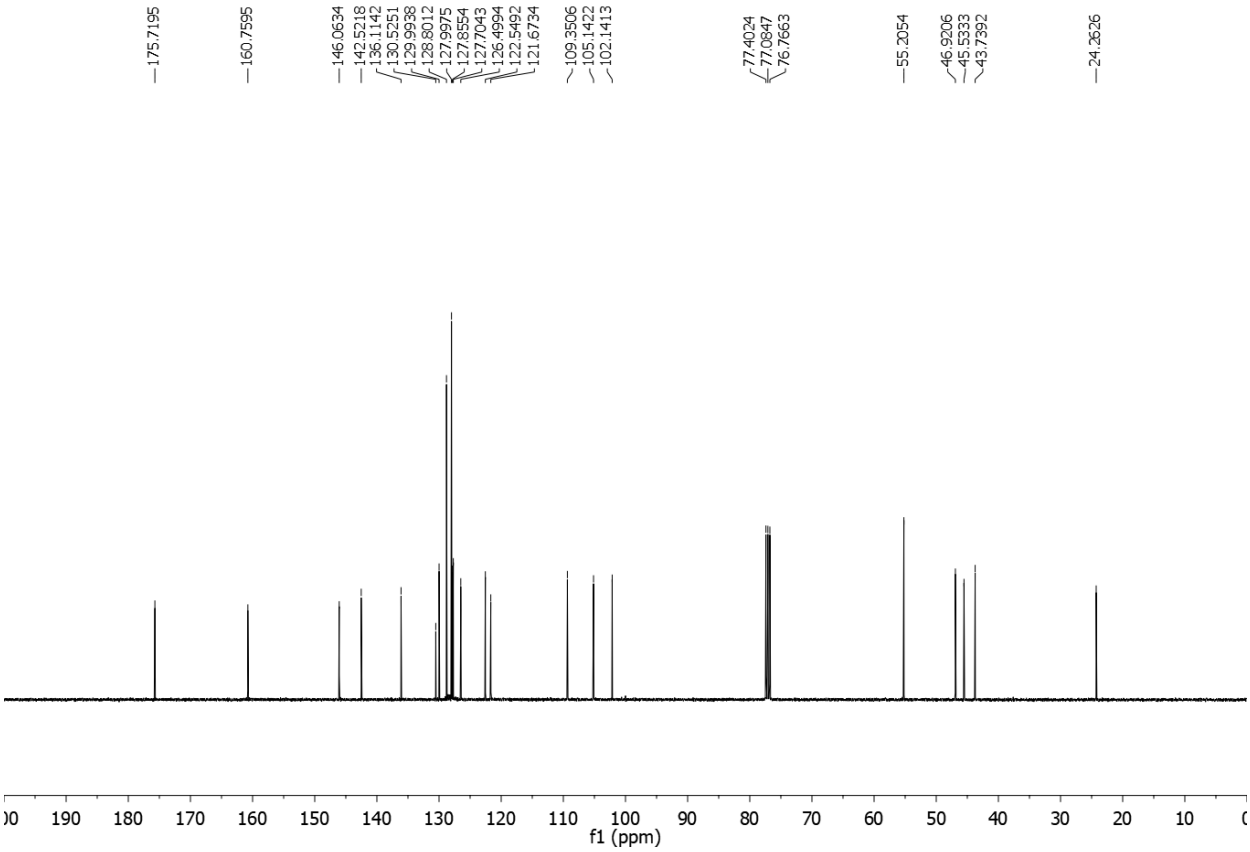




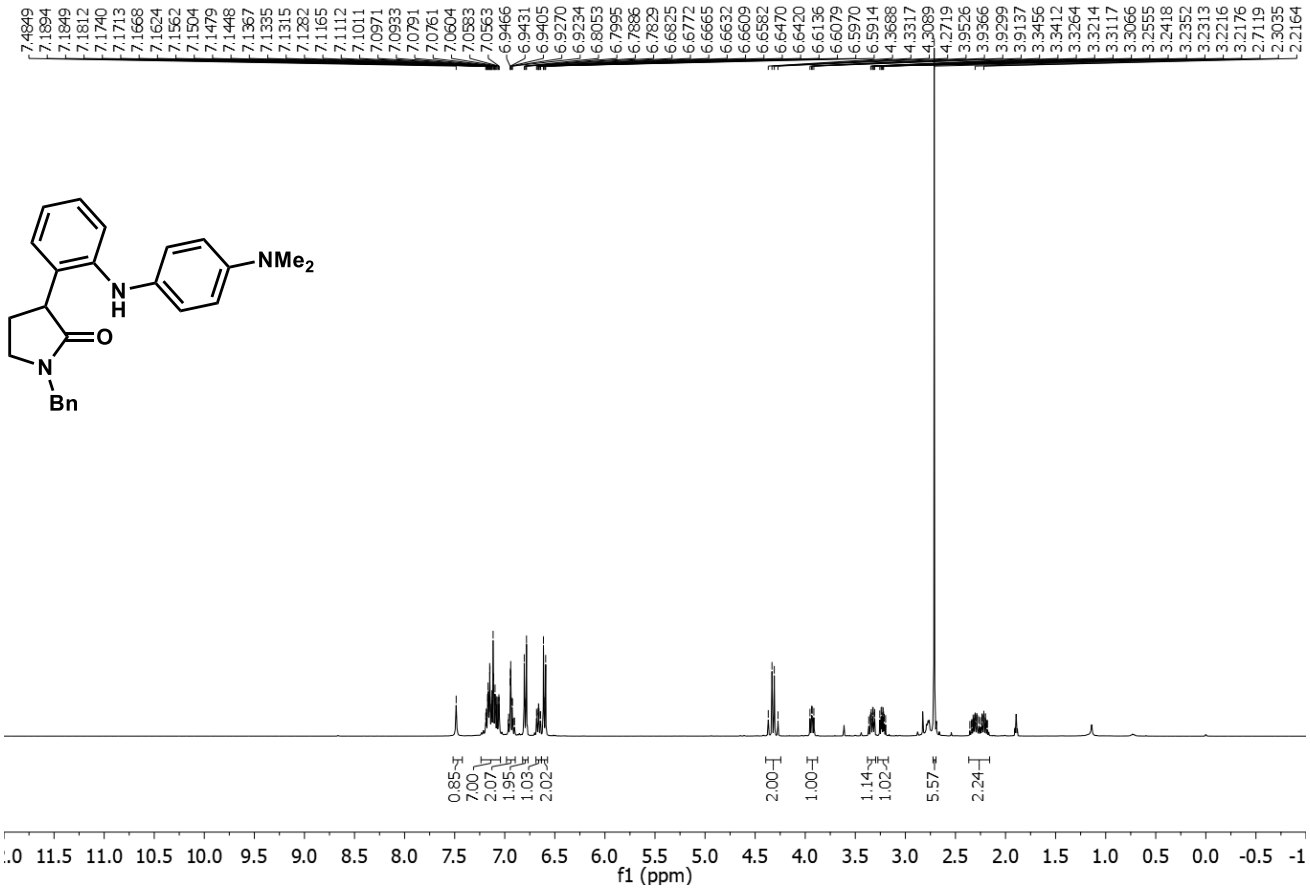


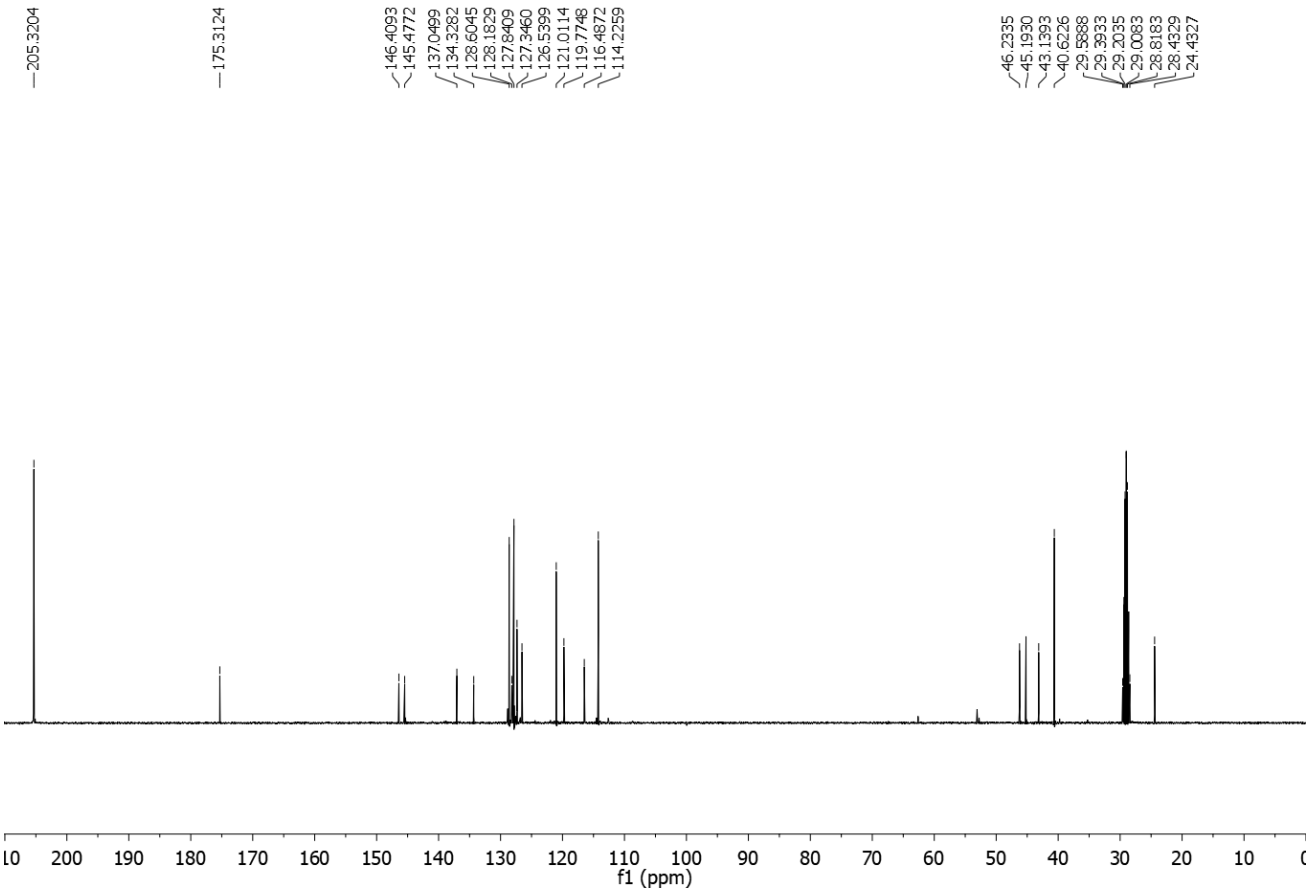
1-Benzyl-3-(2-((3-methoxyphenyl)amino)phenyl)pyrrolidin-2-one (3j)



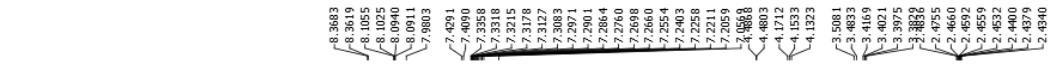


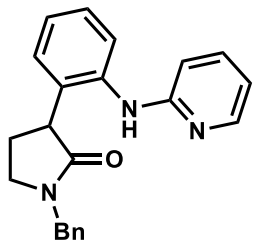
1-Benzyl-3-(2-((4-(dimethylamino)phenyl)amino)phenyl)pyrrolidin-2-one (3k)

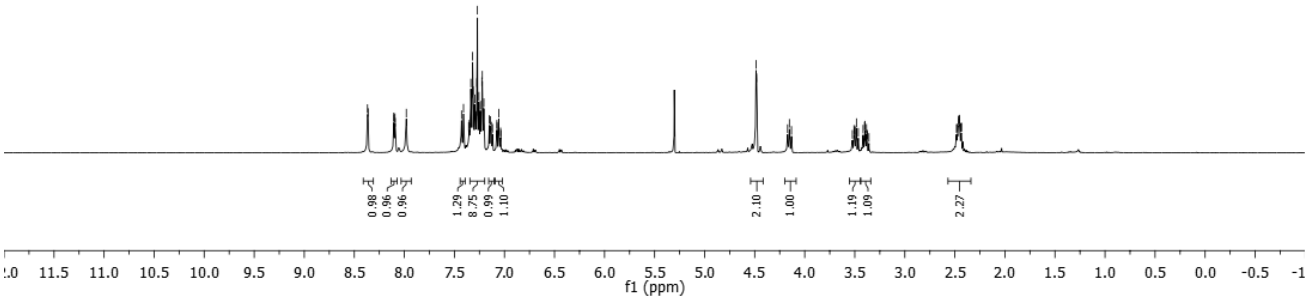


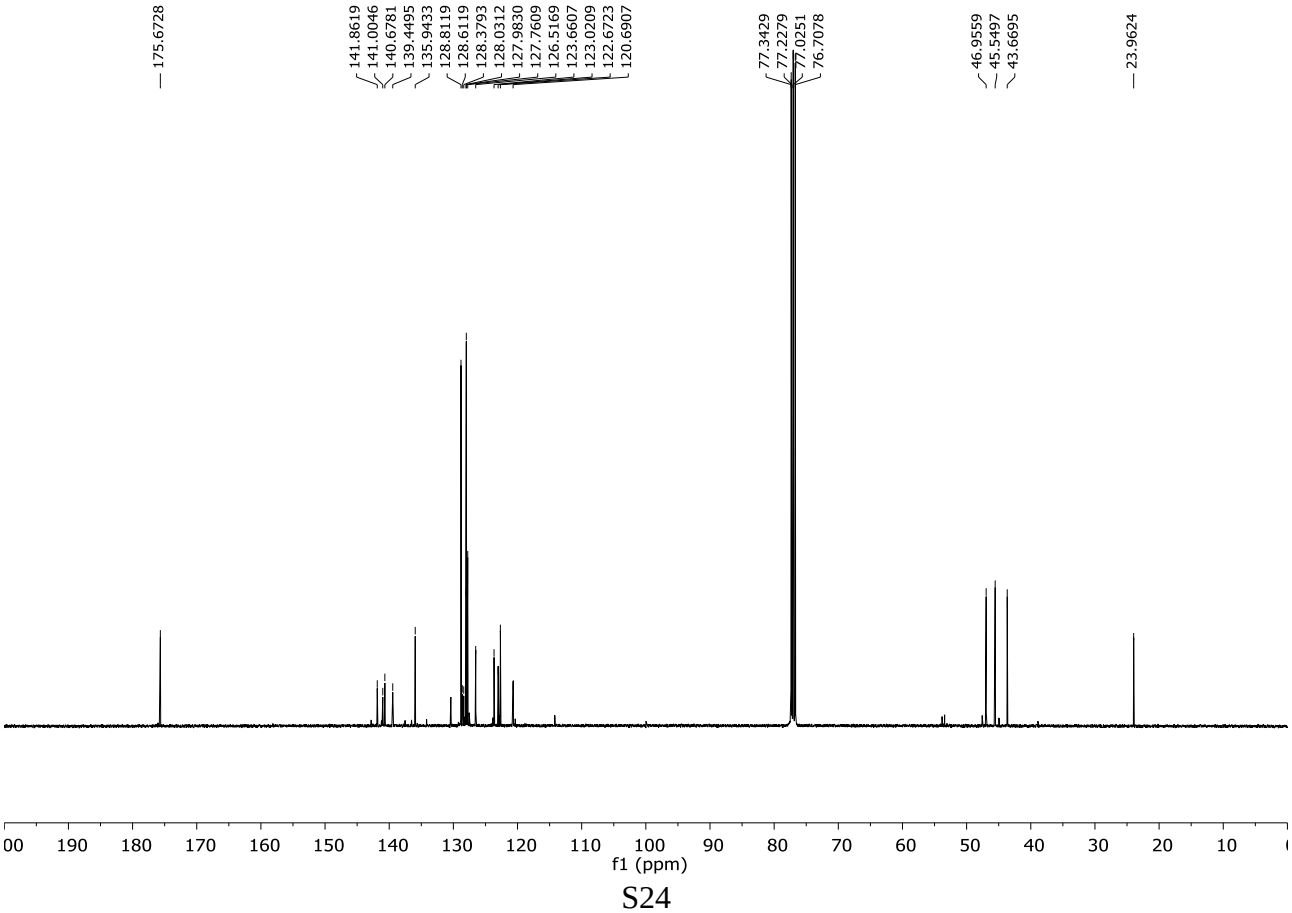


1-Benzyl-3-(2-(pyridin-2-ylamino)phenyl)pyrrolidin-2-one (3l)

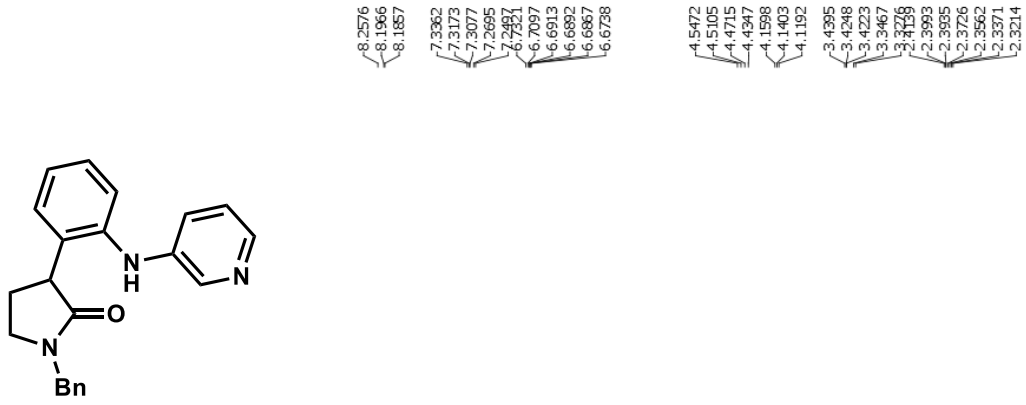


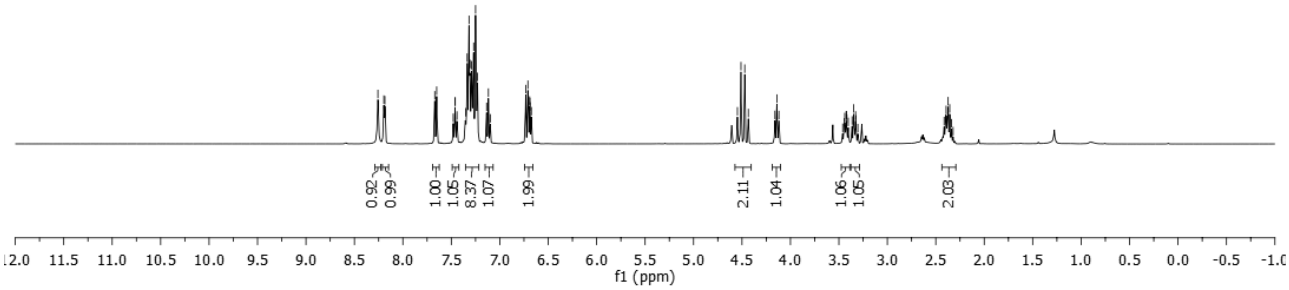


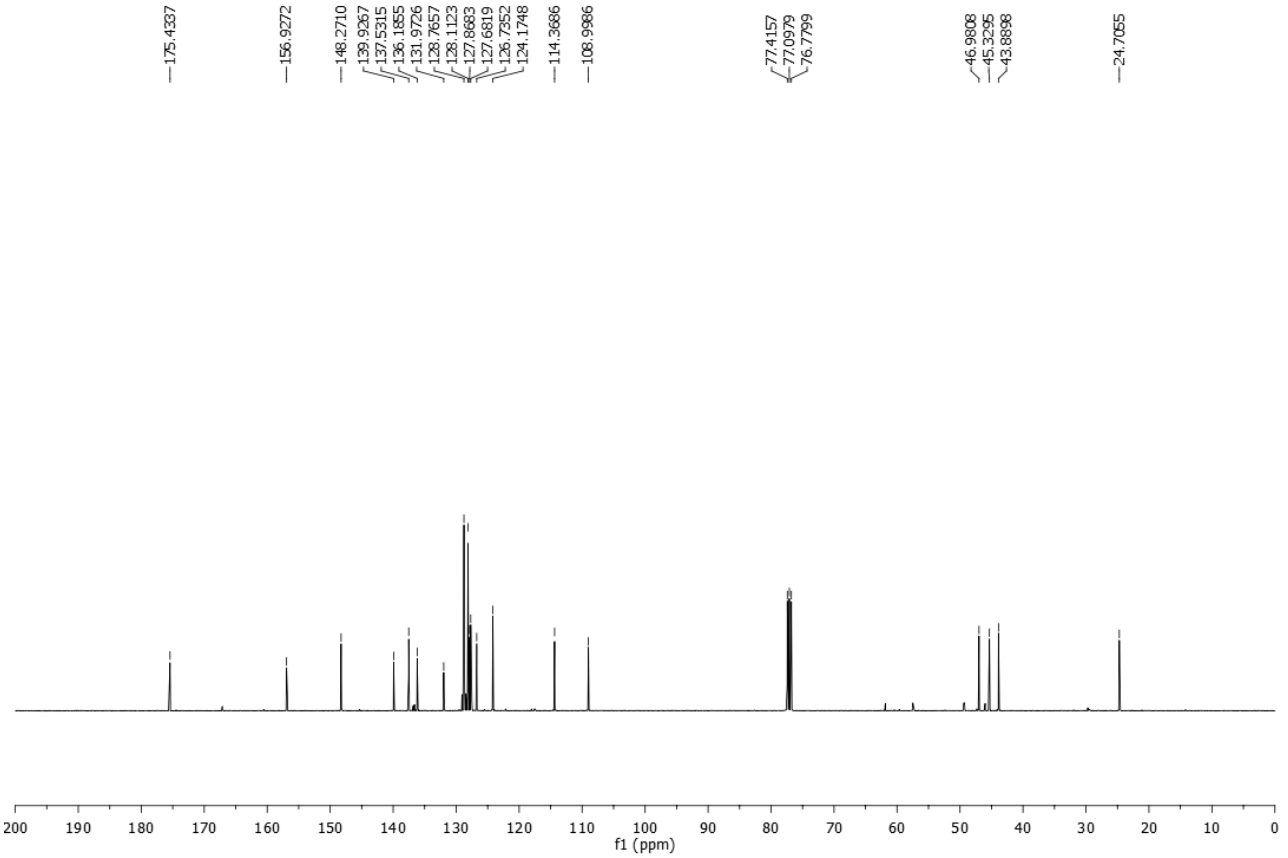




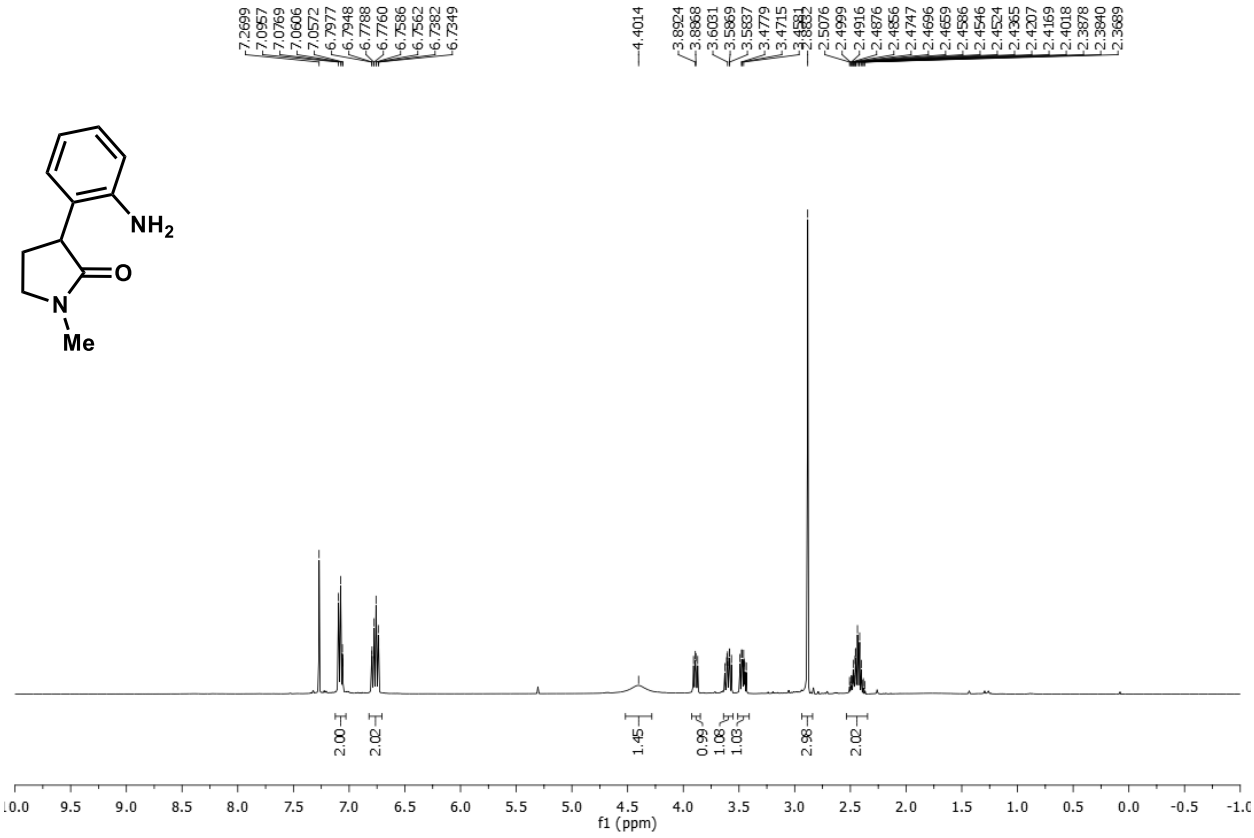
1-Benzyl-3-(2-(pyridin-3-ylamino)phenyl)pyrrolidin-2-one (3m)

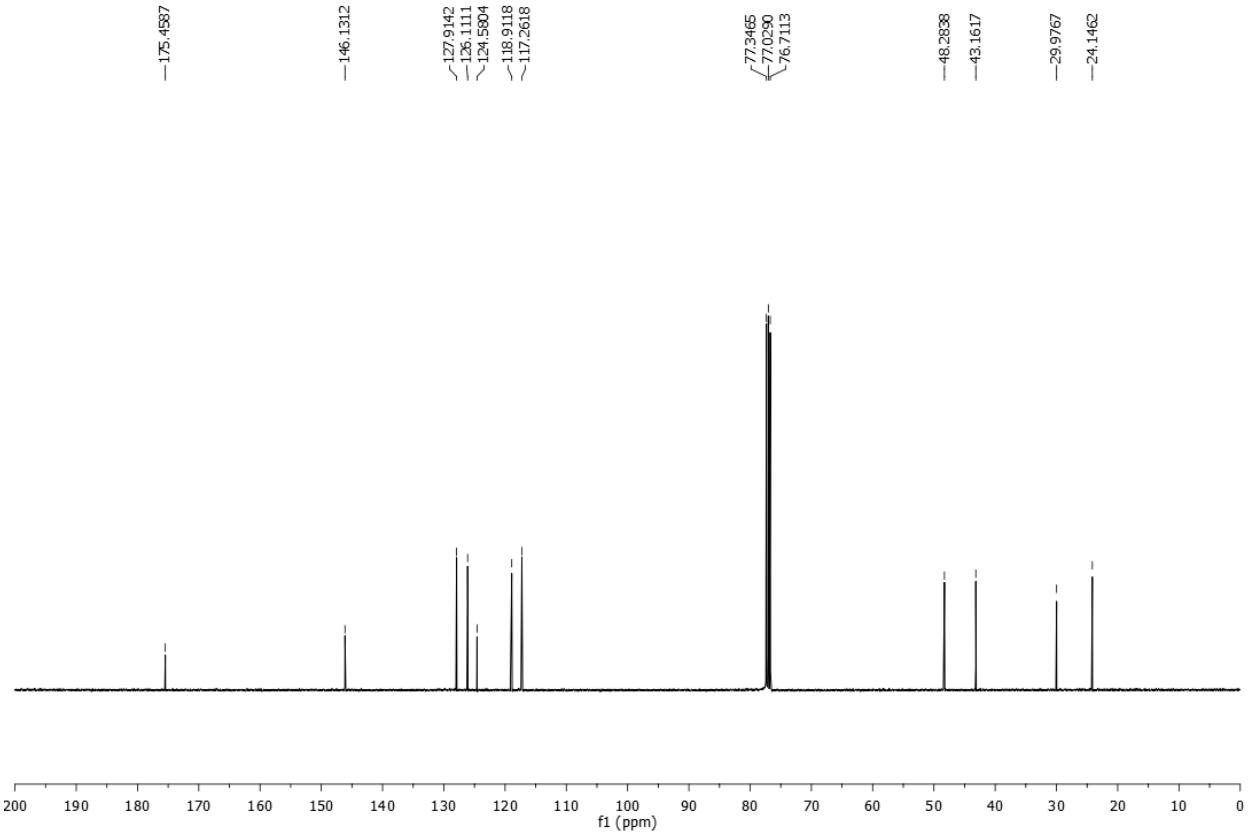




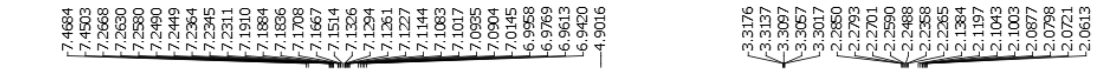


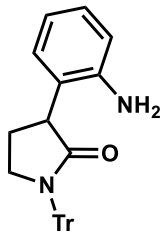
3-(2-Aminophenyl)-1-methylpyrrolidin-2-one (3n).

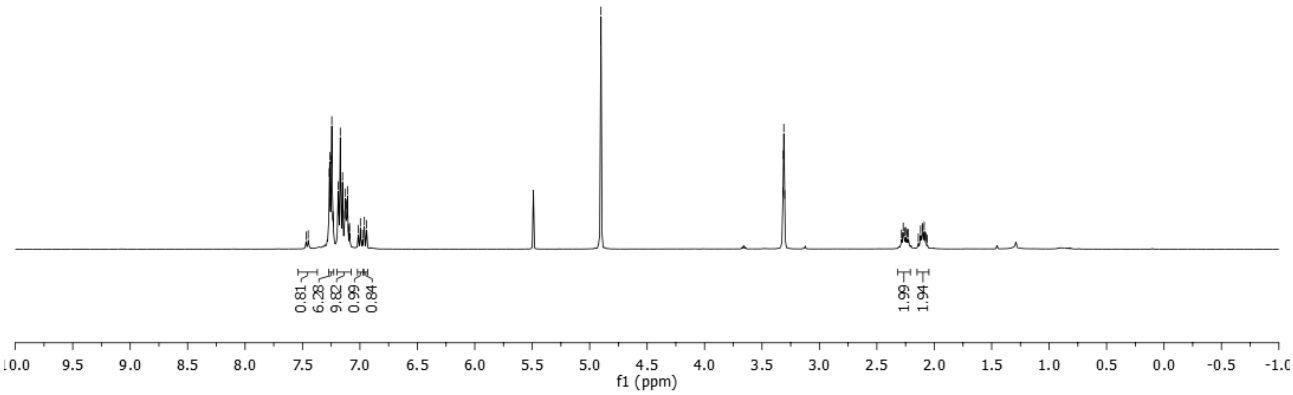


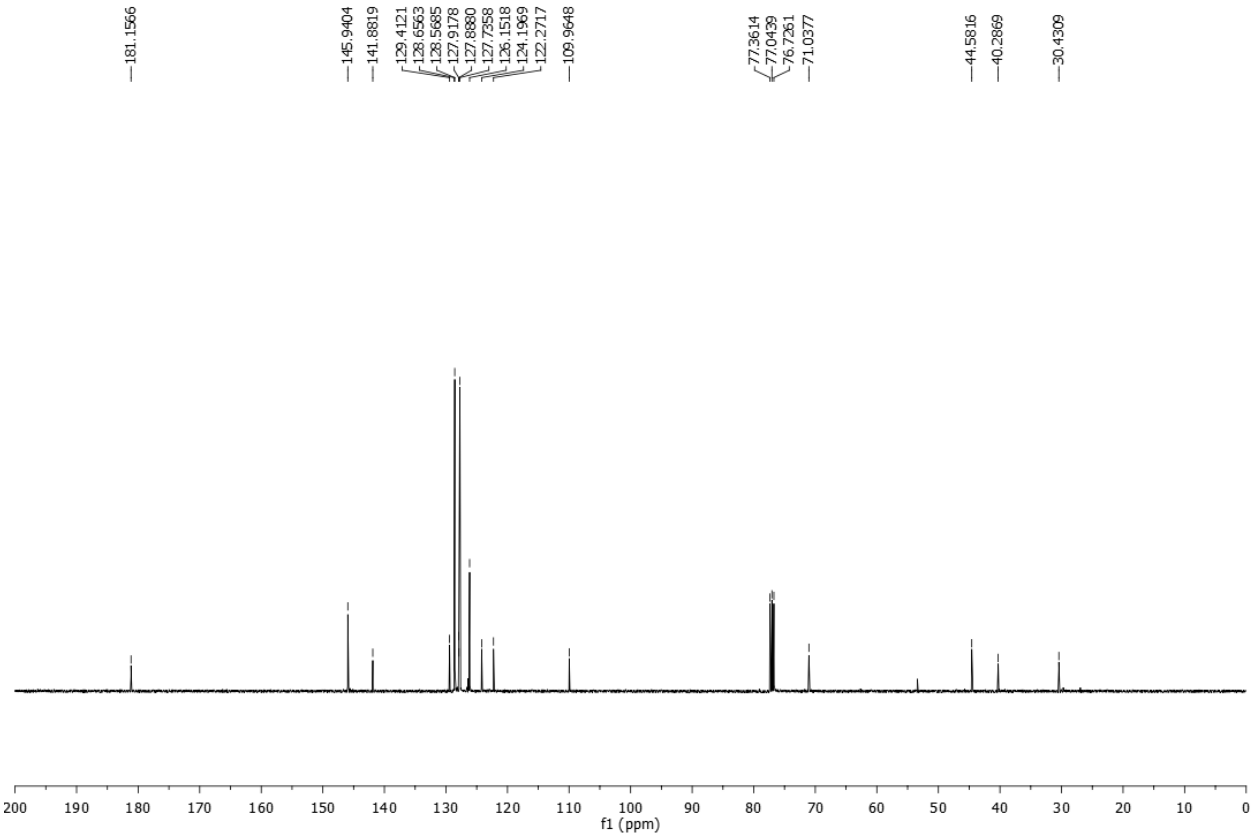


3-(2-Aminophenyl)-1-tritylpyrrolidin-2-one (3o)

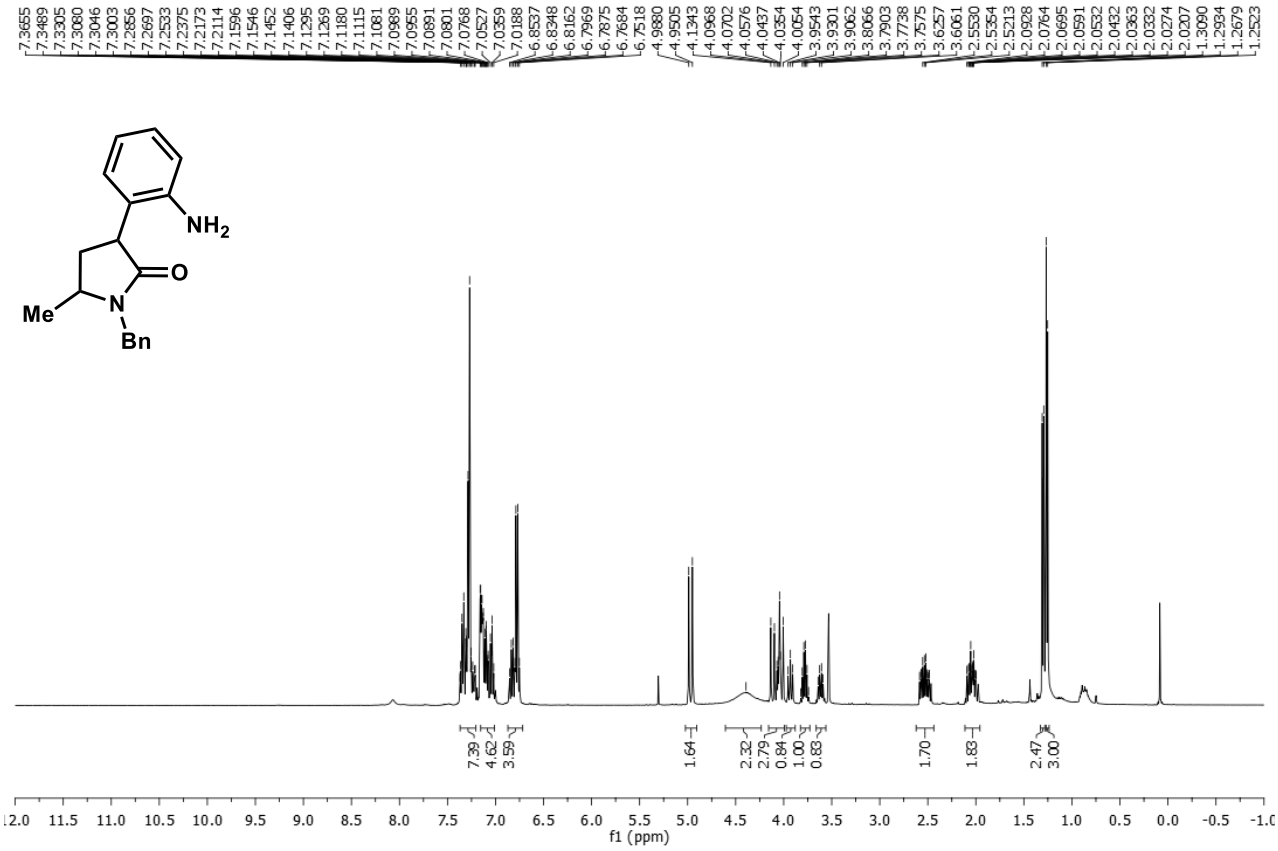


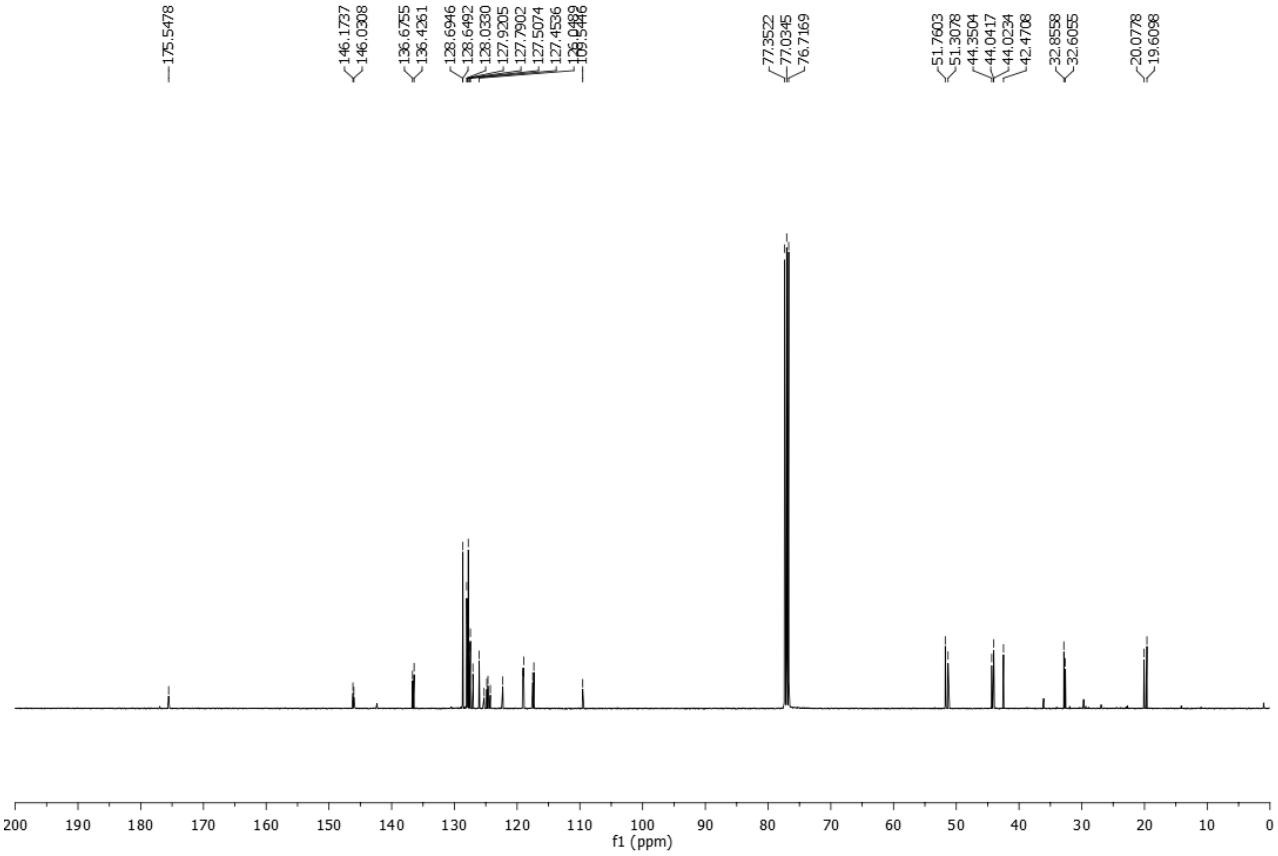




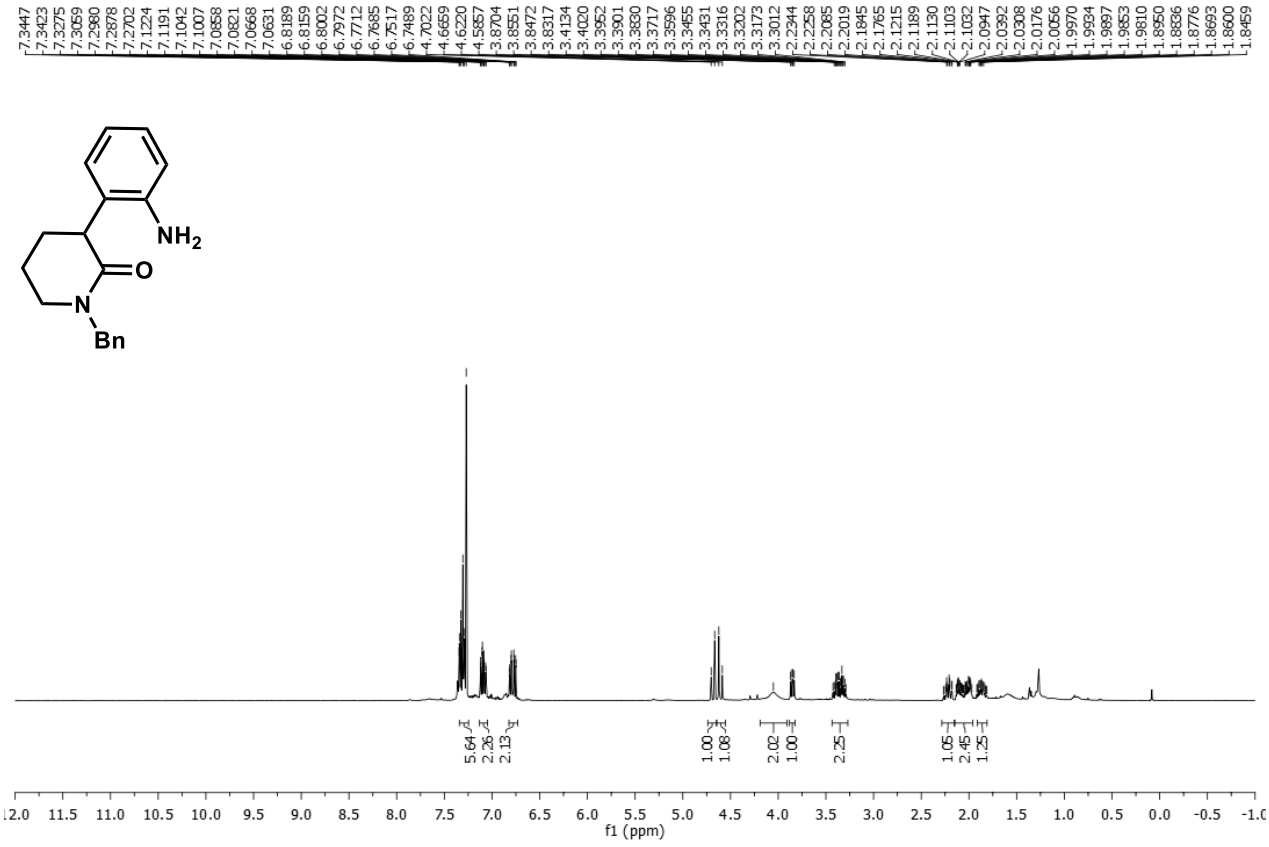


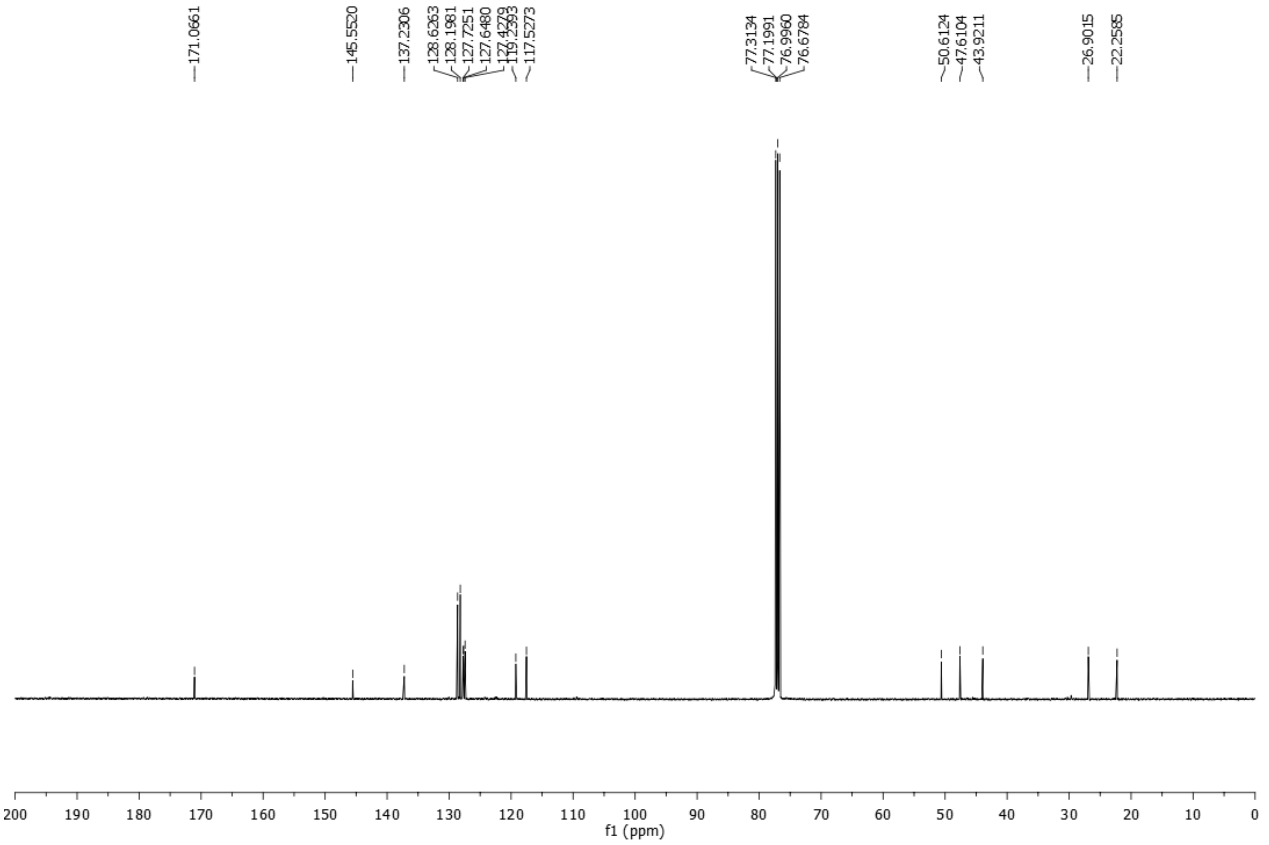
3-(2-Aminophenyl)-1-benzyl-5-methylpyrrolidin-2-one (3p).



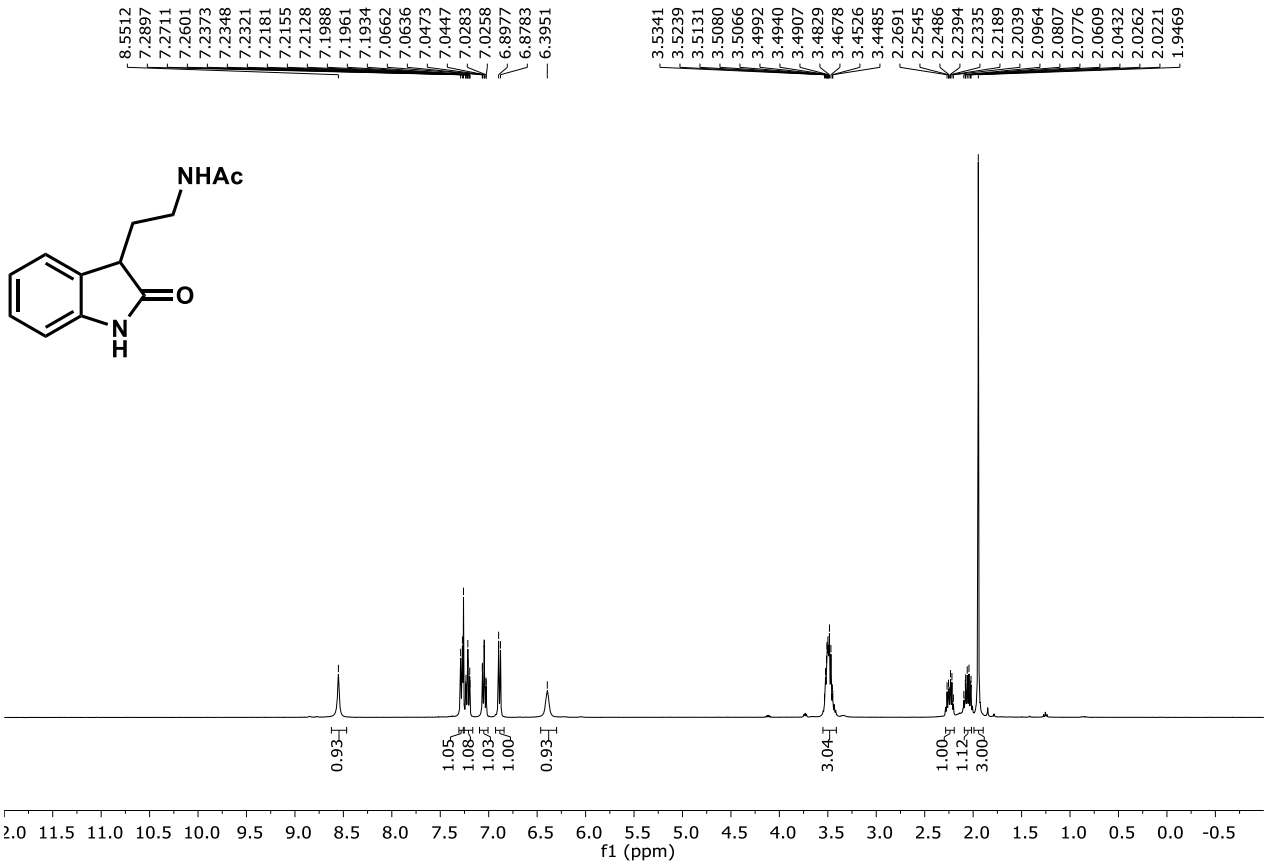


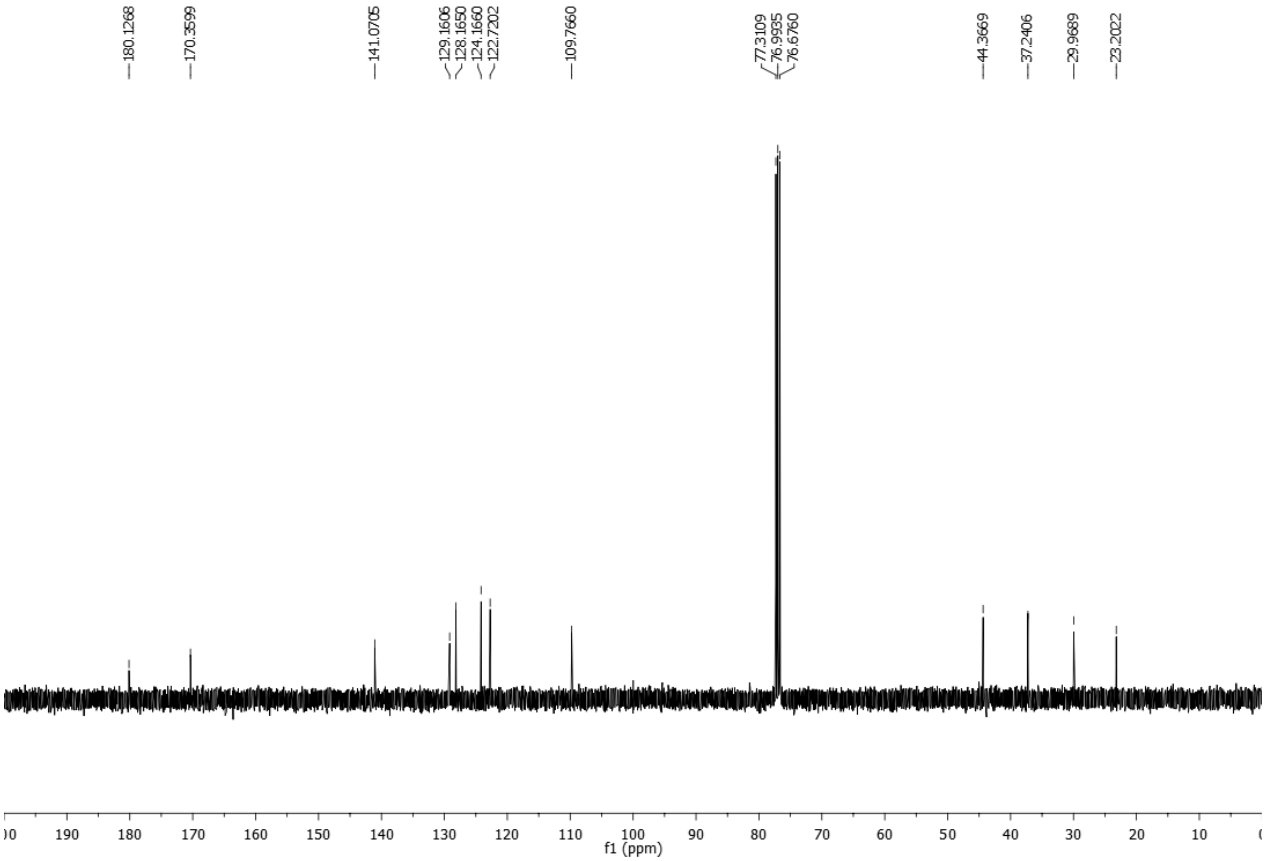
3-(2-Aminophenyl)-1-benzylpiperidin-2-one (3q)



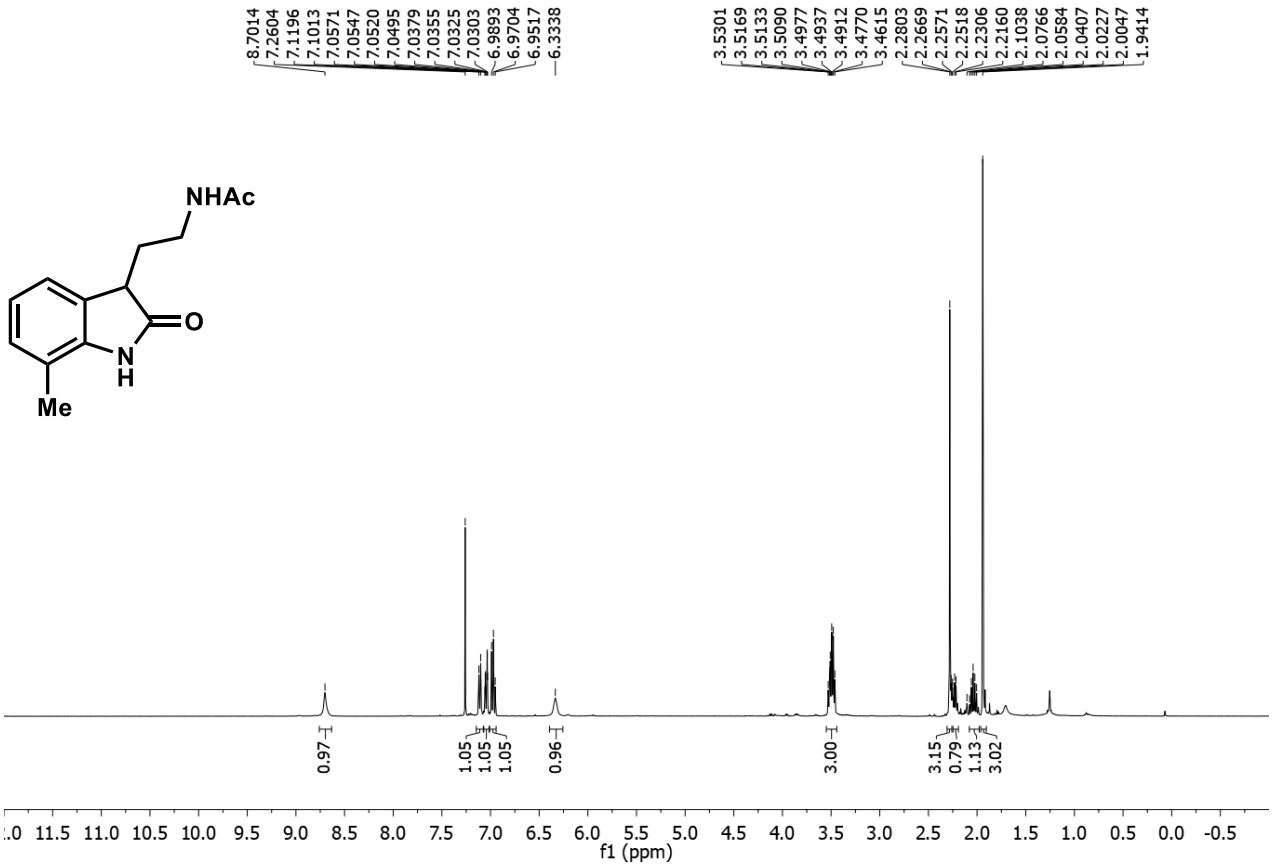


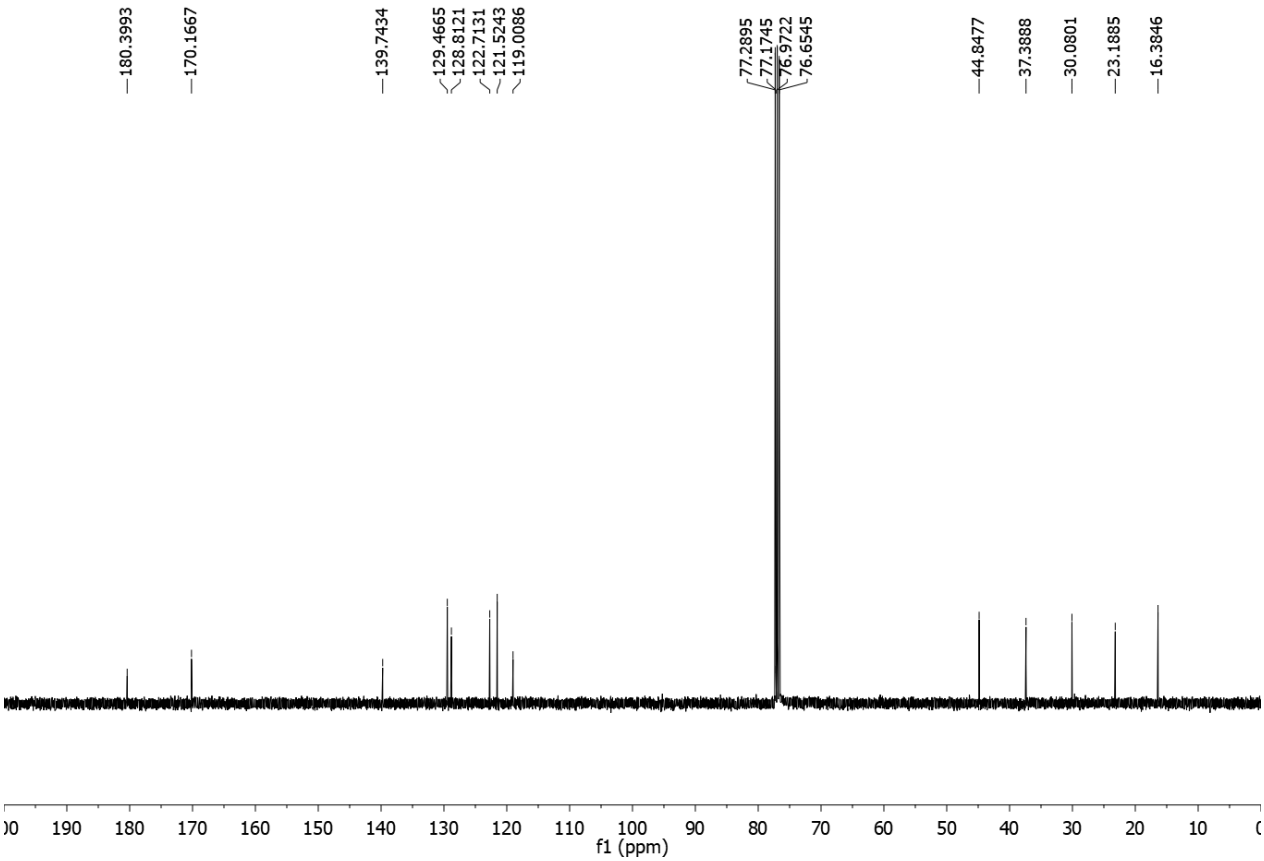
***N*-(2-(2-Oxoindolin-3-yl)ethyl)acetamide (5a)**



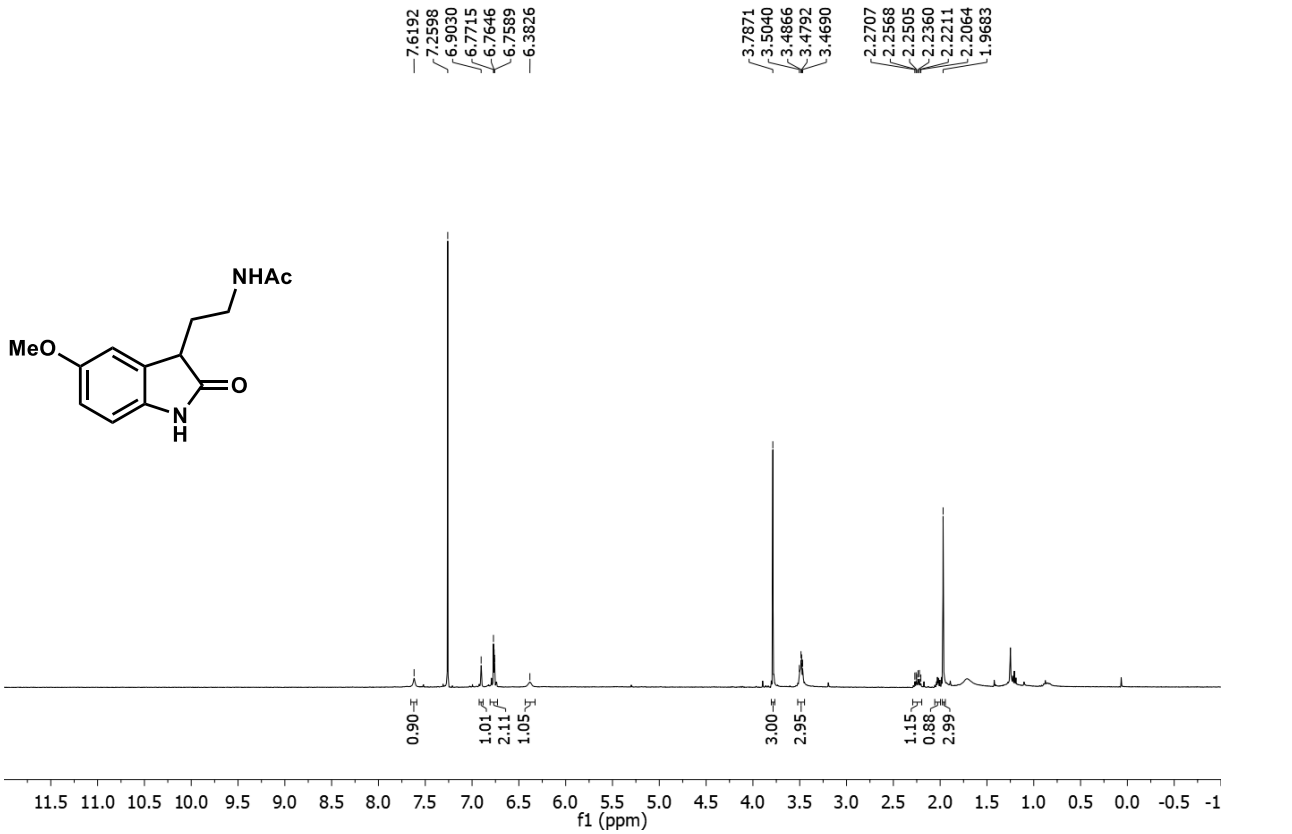


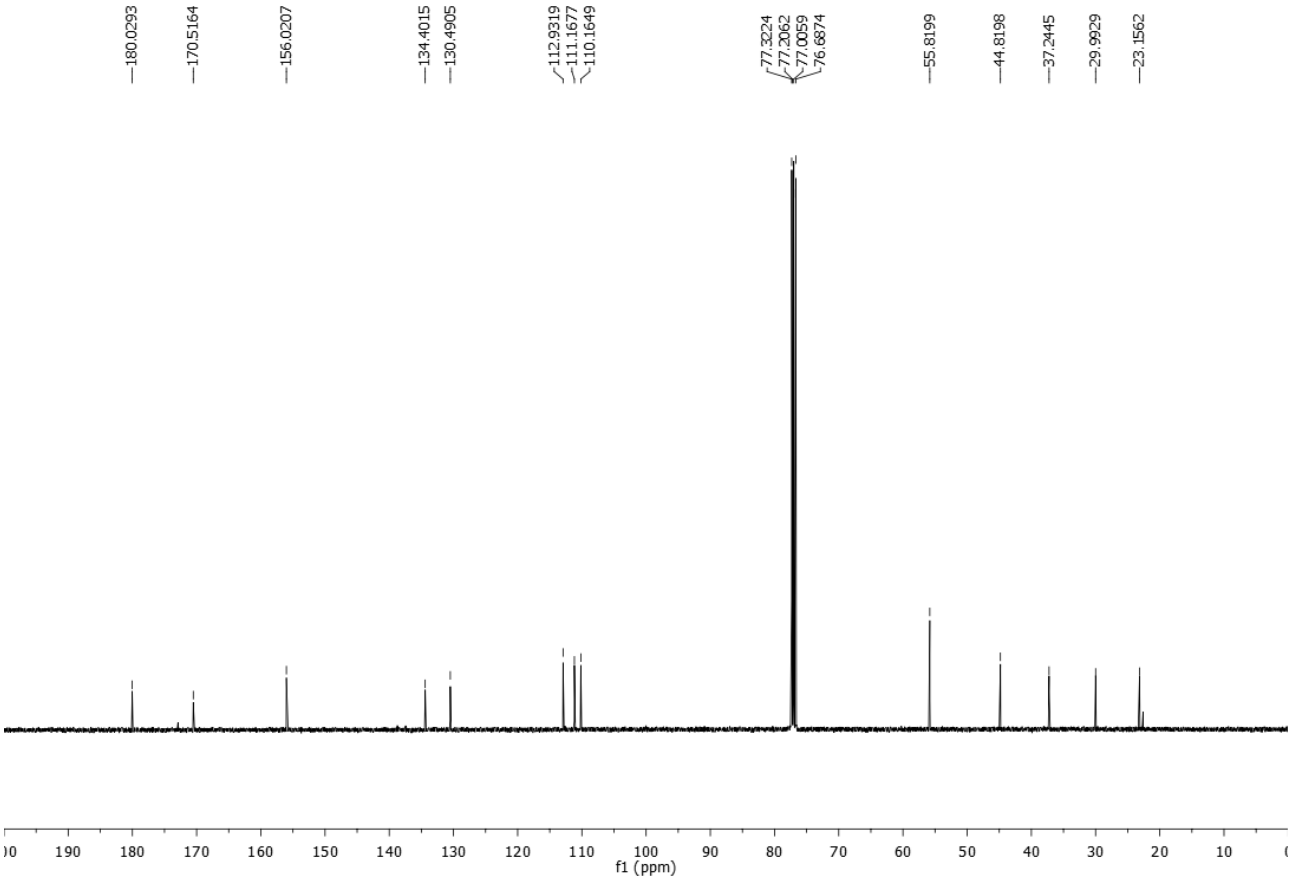
***N*-(2-(7-Methyl-2-oxoindolin-3-yl)ethyl)acetamide (5b)**



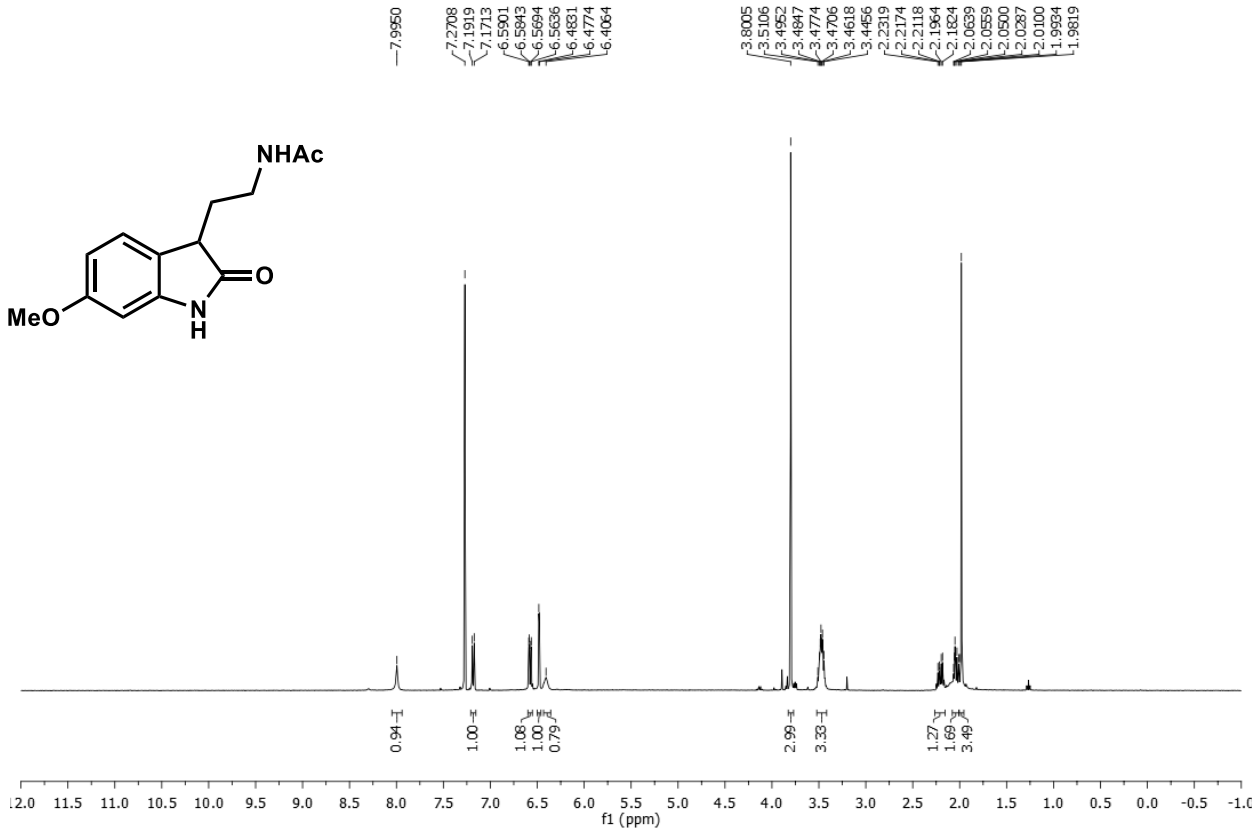


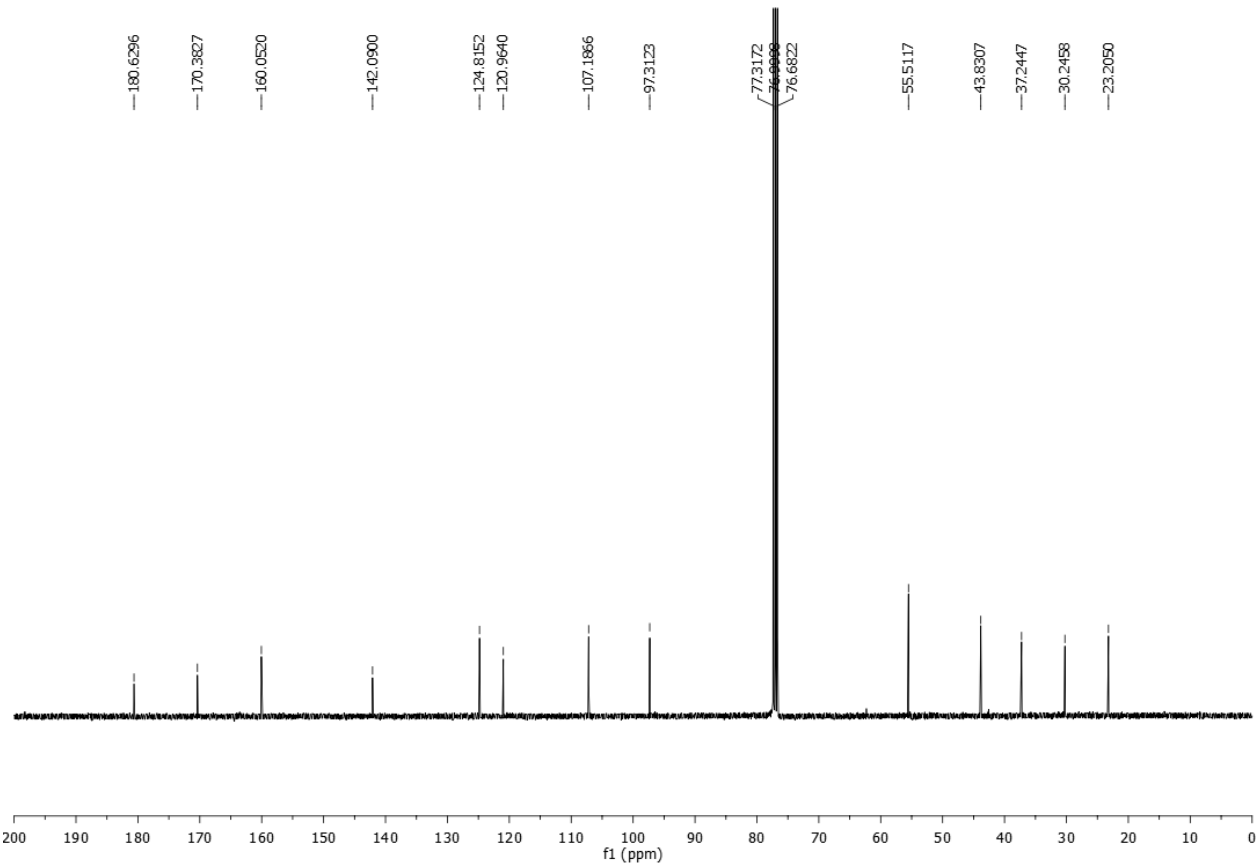
***N*-(2-(5-Methoxy-2-oxoindolin-3-yl)ethyl)acetamide (5c)**



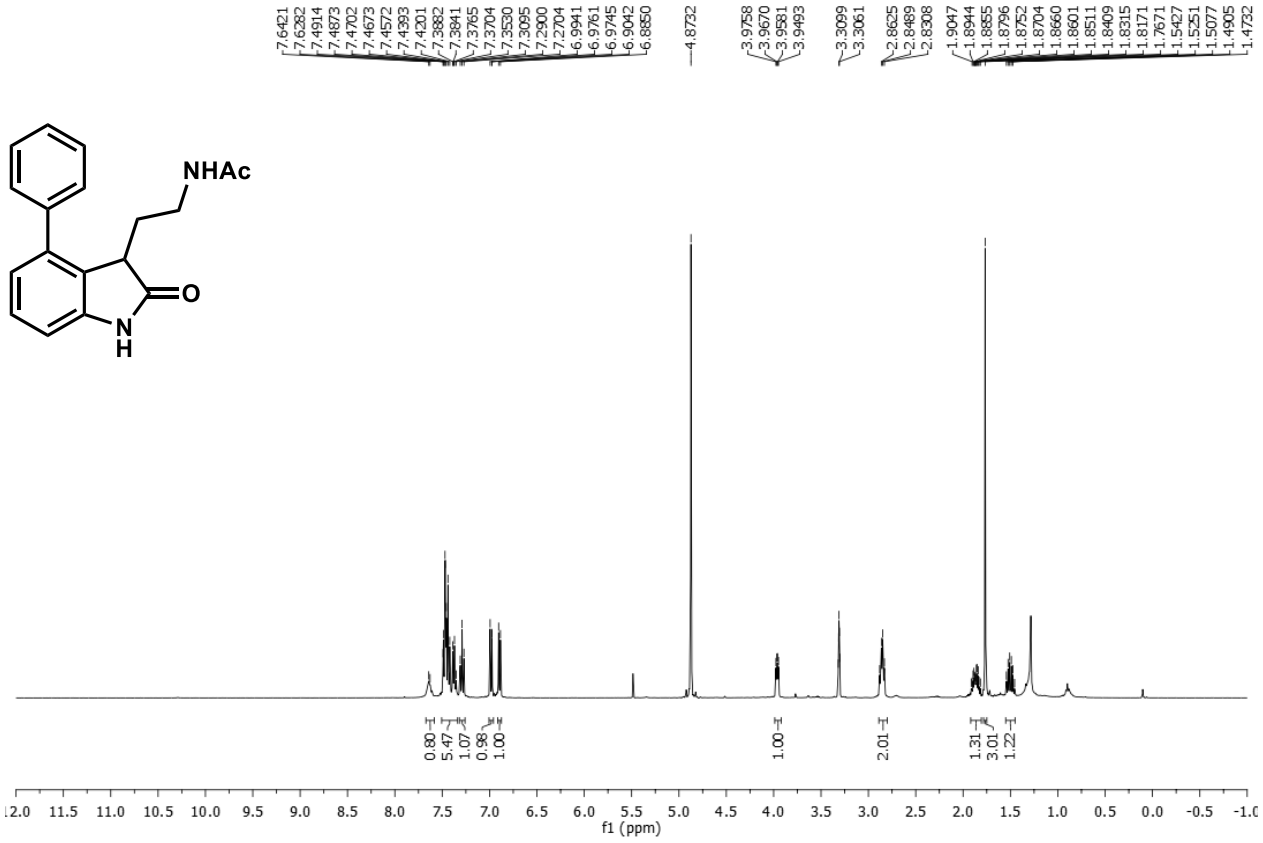


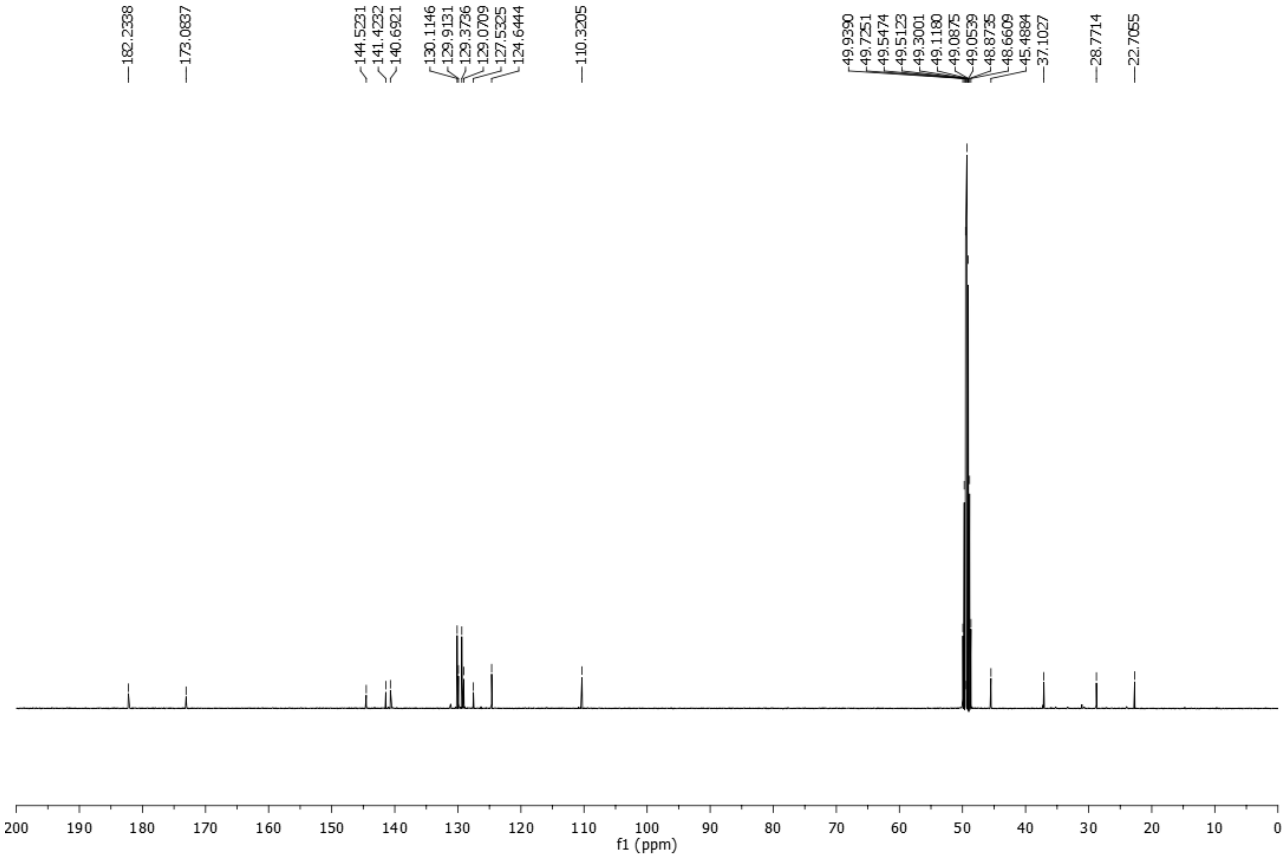
***N*-(2-(6-Methoxy-2-oxoindolin-3-yl)ethyl)acetamide (5d)**



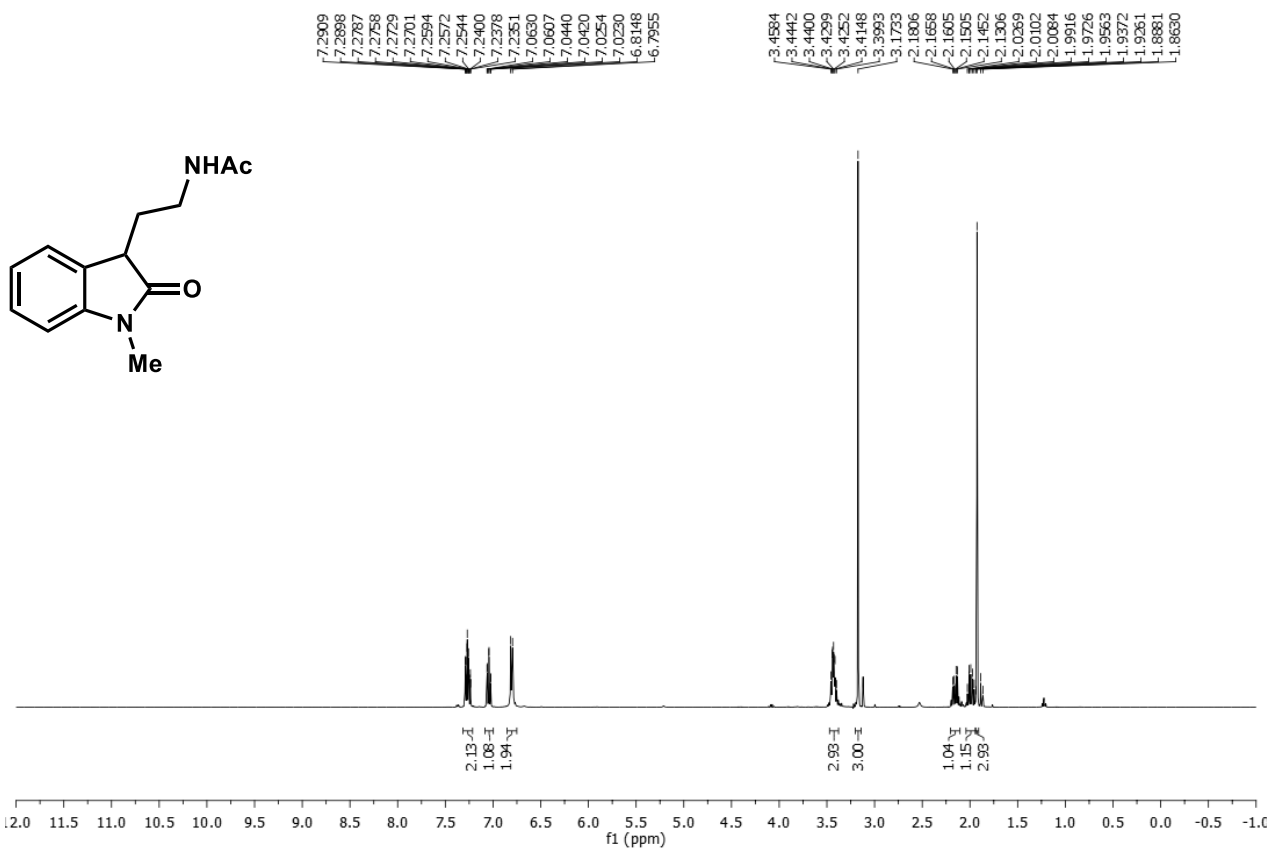


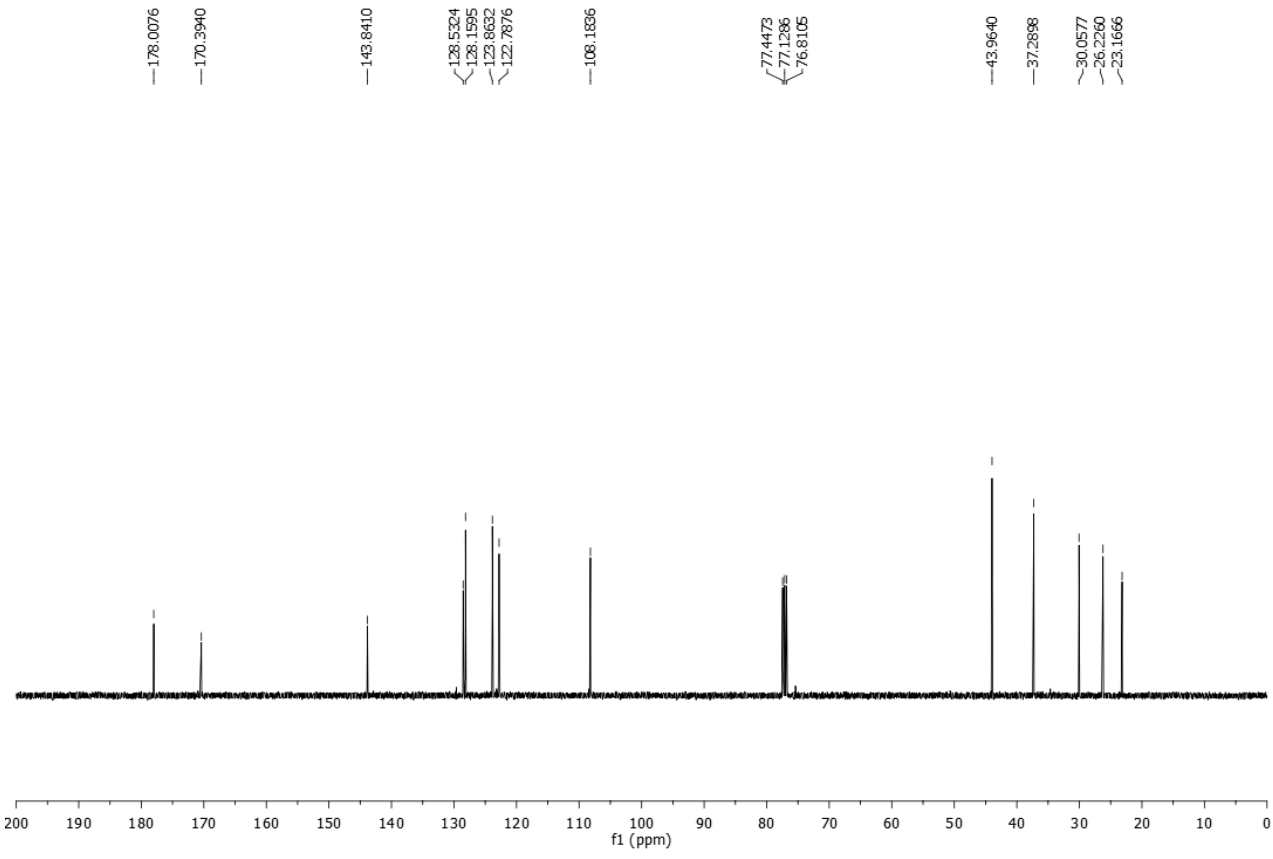
***N*-(2-(2-Ooxo-4-phenylindolin-3-yl)ethyl)acetamide (5e)**



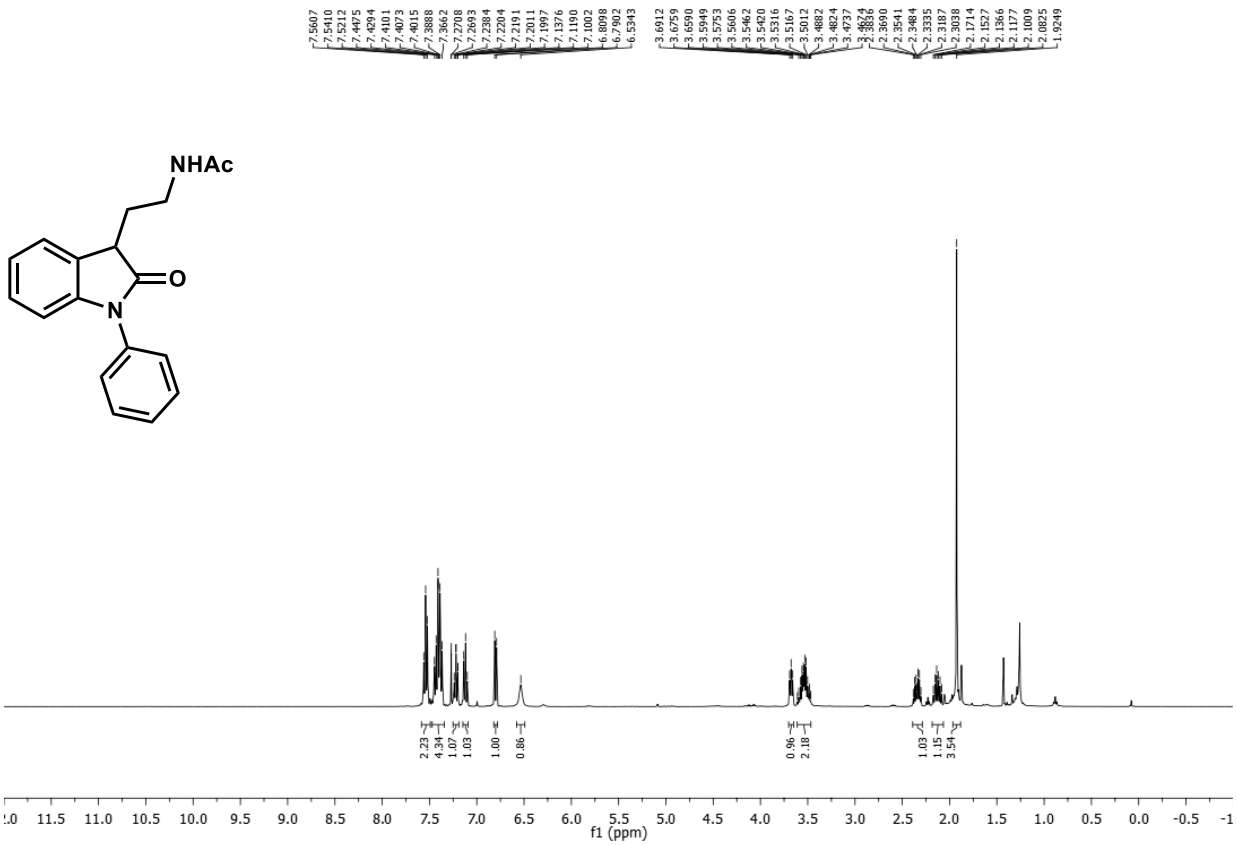


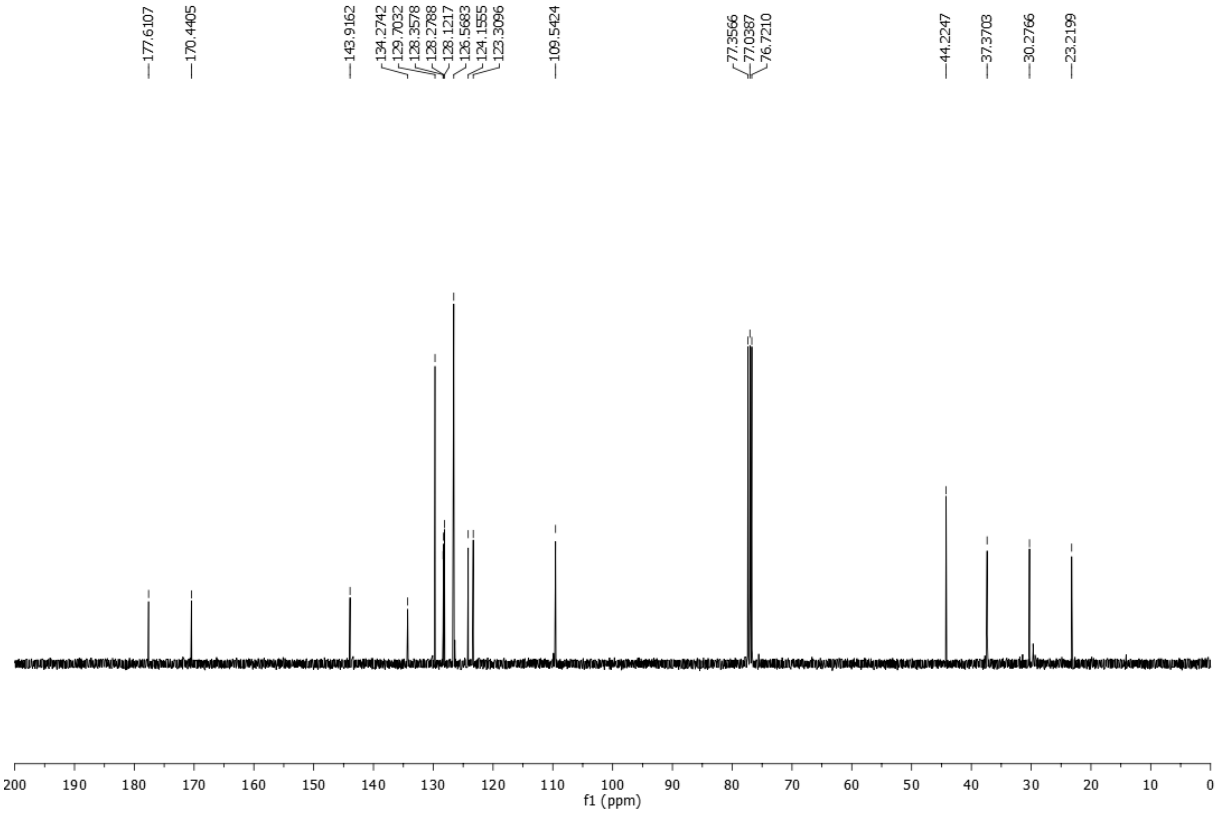
***N*-(2-(1-Methyl-2-oxoindolin-3-yl)ethyl)acetamide (5f)**



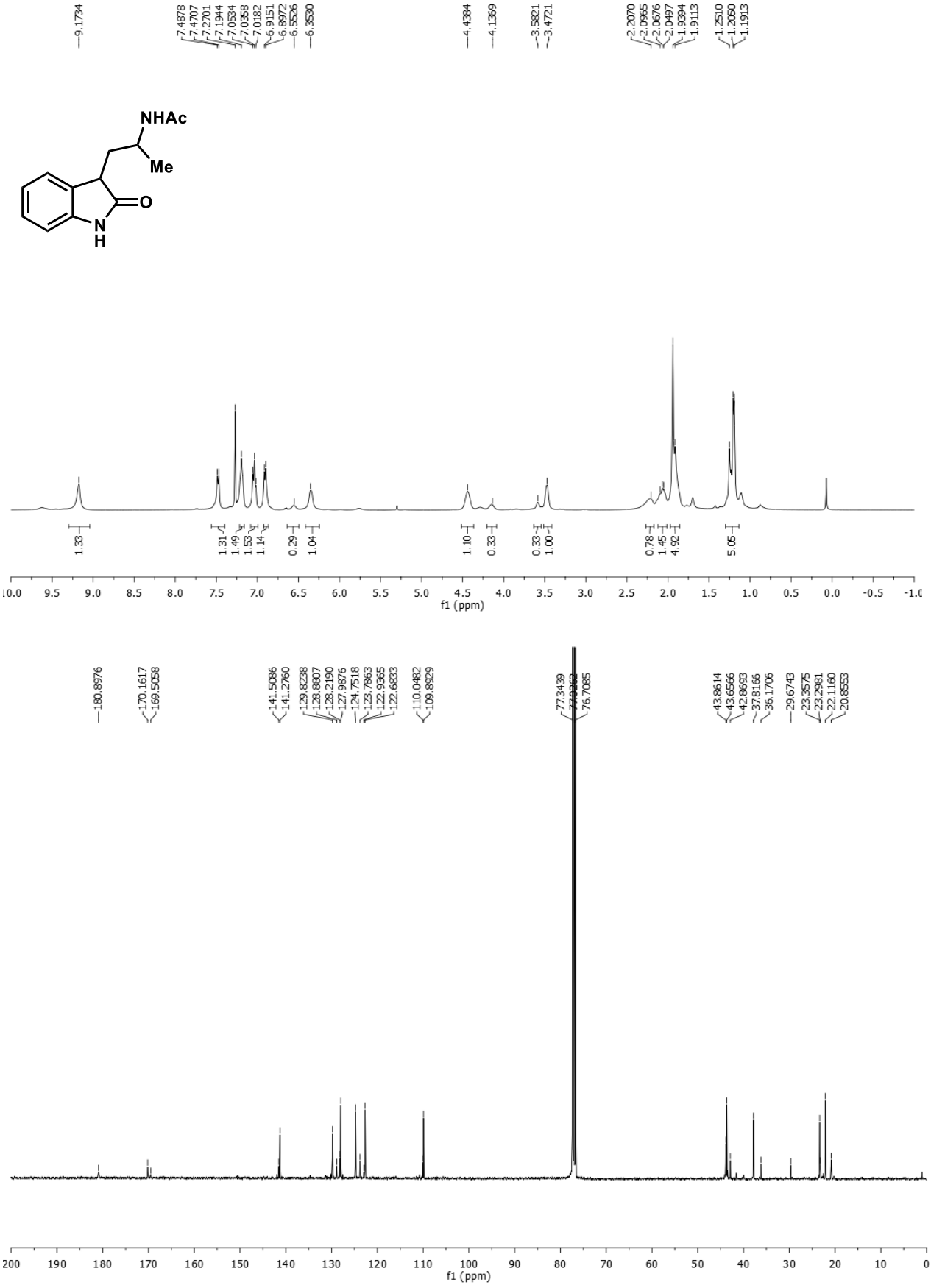


***N*-(2-(2-Oxo-1-phenylindolin-3-yl)ethyl)acetamide (5g)**

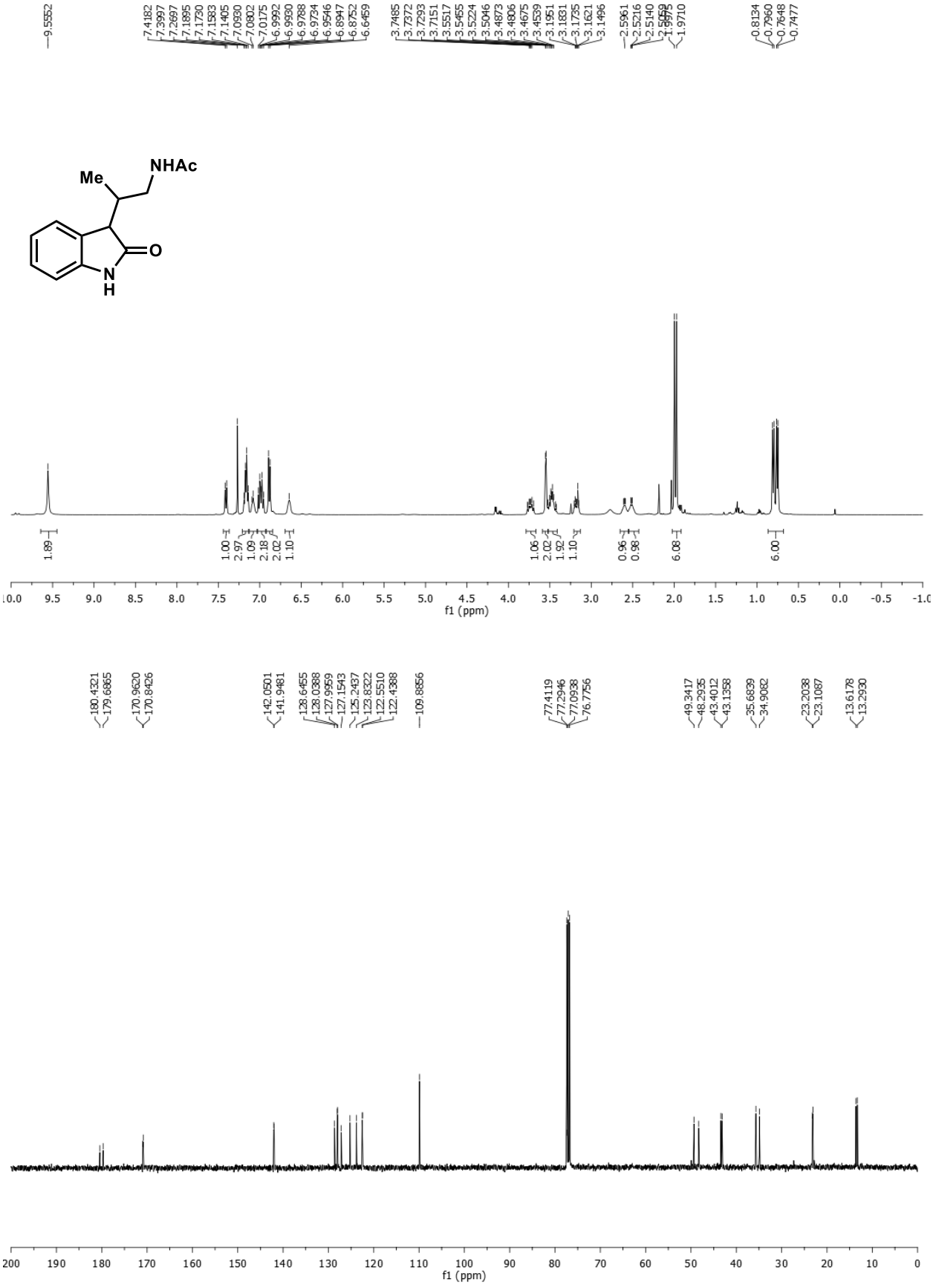




***N*-(1-(2-oxoindolin-3-yl)propan-2-yl)acetamide (5h)**



***N*-(2-(2-oxoindolin-3-yl)propyl)acetamide (5i)**



***N*-(3-(2-Oxoindolin-3-yl)propyl)acetamide (5j)**