Supporting Information

Metal-free transamidation of benzoylpyrrolidin-2-one and amines under aqueous conditions.

Devaneyan Joseph, Myeong Seong Park, Sunwoo Lee*

Department of Chemistry Chonnam National University, Gwangju, 61186, Republic of Korea

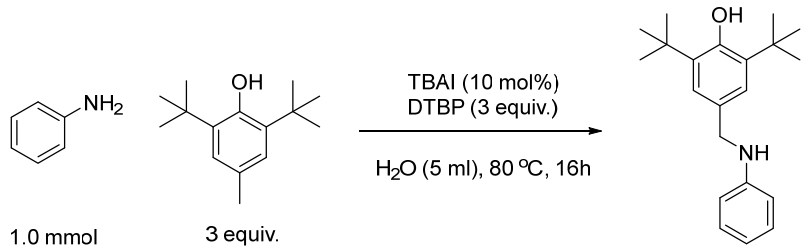
E-mail: sunwoo@chonnma.ac.kr

Table of contents

General Information

All reagents were purchased and used without further purification. ^{1}H spectra were recorded in $CDCl_3$ and DMSO-d_6 500 MHz NMR spectrometers and data are reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, quint = quintet, sept = septet, m = multiplet, br = broad), coupling constants (Hz) and integration. ^{13}C spectra were recorded in $CDCl_3$ and DMSO-d_6 on 126 MHz NMR spectrometers and resonances (δ) are given in ppm.

Radical quenching experiment: Characterization of Compound 8



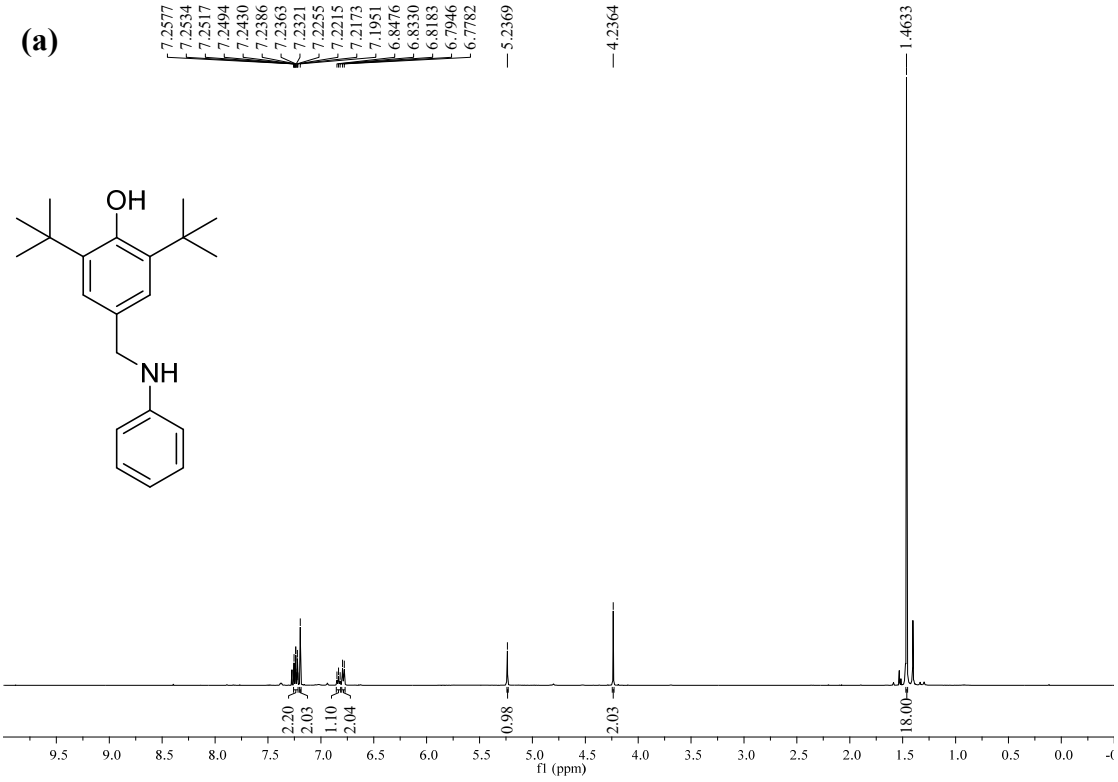
To a 20 mL vial equipped with a magnetic stirrer was added aniline (1.0 mmol, 1.0 equiv), 2,6-bis(1,1-dimethylethyl)-4-methylphenol (3 mmol, 3 equiv), tetrabutylammonium iodide (36.94 mg, 0.1 mmol), water (5 mL, 0.2 M) and DTBP (438 mg, 3.0 mmol). The mixture was then stirred at 85 °C for 16 hours. After the indicated time, the reaction mixture was cooled down to room temperature, diluted with EtOAc (20 mL) and washed with water (20 mL × 2). The organic layer is separated and dried over anhydrous Mg_2SO_4 and concentrated under vacuum. The crude product was purified through column chromatography with *n*-hexane.

2,6-Di-*tert*-butyl-4-((phenylamino)methyl)phenol (8)

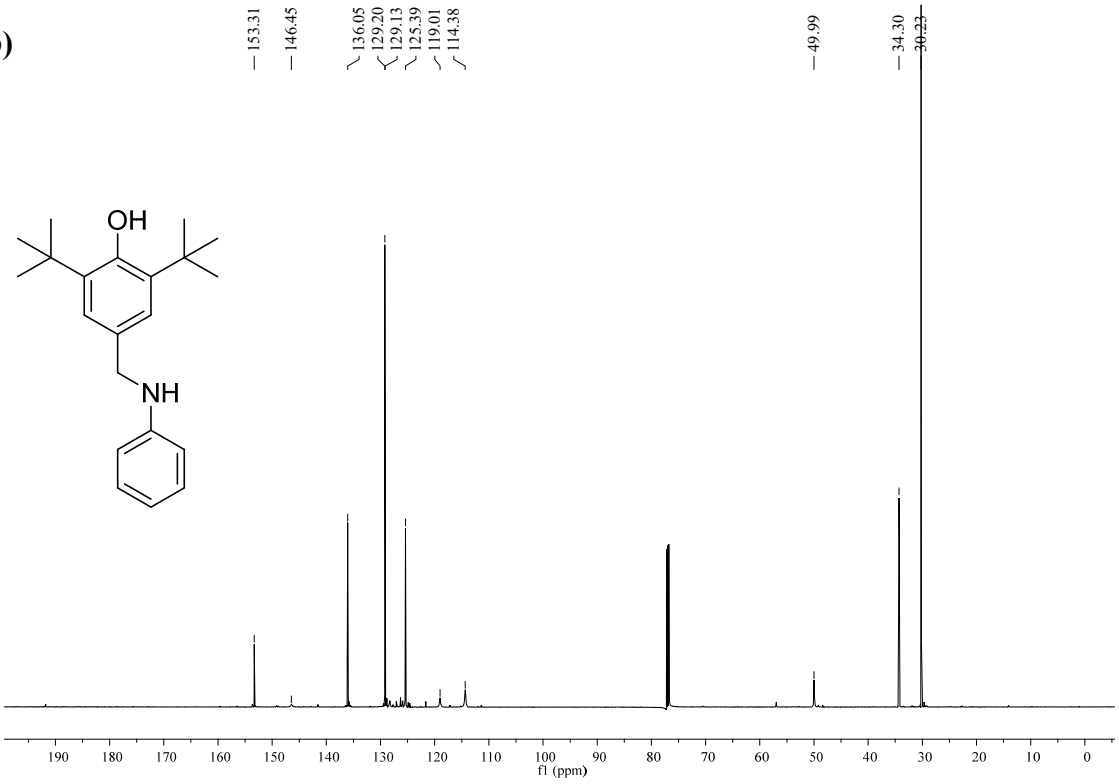
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.26 – 7.22 (m, 2H), 7.20 (s, 2H), 6.83 (t, J = 7.3 Hz, 1H), 6.79 (d, J = 8.2 Hz, 2H), 5.24 (s, 1H), 4.24 (s, 2H), 1.46 (s, 18H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 153.3, 146.5, 136.1, 129.2, 129.1, 125.4, 119.0, 114.4, 50.0, 34.3, 30.2; HRMS (FDTOF) m/z [M]+ calcd for $C_{21}H_{29}NO$ 311.2233, found 311.2244.

NMR analysis of radical quenching experiment:

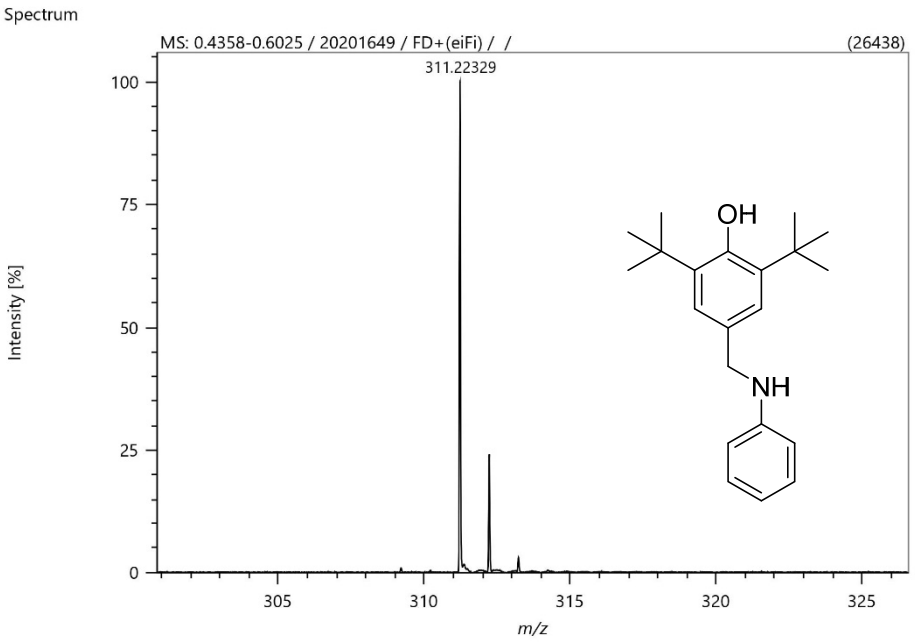
(a)



(b)



(c)



Elemental Composition

Parameters		Elements Set 1:				
Tolerance:	30.00 mDa	Symbol	C	H	N	O
Electron:	Odd/Even	Min	5	5	1	1
Charge:	+1	Max	21	29	1	1
DBE:	-90.0 - 90.0					

Results

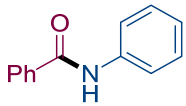
Mass	Intensity	Formula	Calculated Mass	Mass Difference [mDa]	Mass Difference [ppm]	DBE
311.22329	26438.36	C21 H29 N O	311.22437	-1.08	-3.47	8.0

Figure S1. Analysis of amine radical is quenched by radical scavenger BHT. (a) 1H-NMR spectra and (b) ^{13}C-NMR spectra, (c) HRMS of **(8)**.

General procedure for the synthesis of product

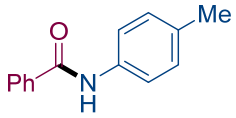
To a 20 mL vial equipped with a magnetic stirrer was added primary amine substrate (1.0 mmol, 1.0 equiv), benzoylpyrrolidin-2-one derivative (1.1 mmol, 1.1 equiv), tetrabutylammonium iodide (36.94 mg, 0.1 mmol), water (5 mL, 0.2 M) and DTBP (438 mg, 3.0 mmol, 3.0 equiv. The mixture was then stirred at 85 °C for 16 hours. After the indicated time, the reaction mixture was cooled down to room temperature, diluted with EtOAc (20 mL) and washed with water (20 mL × 2). The organic layer is separated and dried over anhydrous Mg_2SO_4 and concentrated under vacuum. The crude product was purified through column chromatography with EtOAc/*n*-hexane.

Characterization Data for the Products



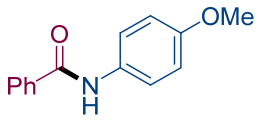
***N*-Phenylbenzamide (3aa)**[1]

According to the general procedure, aniline (93.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3aa** (187 mg, 0.95 mmol, 95% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 0.5:9.5). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (d, *J* = 7.4 Hz, 2H), 7.76 (s, 1H) 7.58 (d, *J* = 7.9 Hz, 2H), 7.48 (m, 1H), 7.44 – 7.41 (m, 2H), 7.32 – 7.29 (m, 2H), 7.09 (t, *J* = 7.4 Hz, 1H); ^{13}CNMR (126 MHz, $CDCl_3$) δ 165.8, 137.9, 135.0, 131.8, 129.1, 128.8, 127.0, 124.6, 120.2; MS (EI) m/z: 197 (M^+).



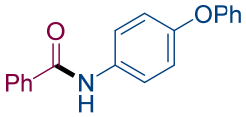
***N*-(*p*-Tolyl)benzamide (3ab)**[2]

According to the general procedure, *p*-toluidine (107.2 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ab** (207 mg, 0.98 mmol, 98% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 0.5:9.5); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.81 – 7.79 (m, 2H), 7.75 (s, 1H), 7.48 – 7.44 (m, 3H), 7.41 – 7.38 (m, 2H), 7.09 (d, *J* = 8.2 Hz, 2H), 2.27 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.6, 135.3, 135.1, 134.2, 131.7, 129.5, 128.7, 127.0, 120.3, 20.9; MS (EI) m/z: 211 (M^+).



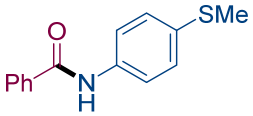
***N*-(4-Methoxyphenyl)benzamide (3ac)**[2]

According to the general procedure, 4-methoxyaniline (123.2 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ac** (216 mg, 0.98 mmol, 98% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.6 Hz, 2H), 7.55 – 7.45 (m, 3H), 7.48 – 7.44 (t, *J* = 7.5 Hz, 2H), 6.90 (d, *J* = 8.9 Hz, 2H), 3.81 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.7, 156.6, 135.0, 131.7, 131.0, 128.7, 127.0, 122.1, 114.2, 55.5; MS (EI) m/z: 227 (M^+).



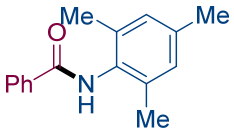
***N*-(4-Phenoxyphenyl)benzamide (3ad)**[3]

According to the general procedure, 4-phenoxyaniline (185.2 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ad** (260 mg, 0.90 mmol, 90% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.5:8.5); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.88 – 7.86 (m, 3H), 7.61 – 7.59 (m, 2H), 7.55 (tt, *J* = 7.3, 1.25 Hz, 1H), 7.50 – 7.47 (m, 2H), 7.35 – 7.31 (m, 2H), 7.11 – 7.08 (m, 1H), 7.04 – 6.99 (m, 4H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.7, 157.4, 153.7, 134.8, 133.3, 131.8, 129.7, 128.8, 127.0, 123.1, 122.0, 119.6, 118.5; MS (EI) m/z: 289 (M^+).



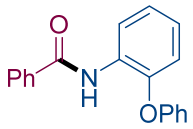
***N*-(4-(Methylthio)phenyl)benzamide (3ae)**[4]

According to the general procedure, 4-(methylthio)aniline (139.2 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ae** (224 mg, 0.92 mmol, 92% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.5:8.5); ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.25 (s, 1H), 7.96-7.94 (m, 2H), 7.76 – 7.75 (m, 2H), 7.60 – 7.57 (m, 1H), 7.54 – 7.51 (m, 2H), 7.28 – 7.27 (m, 2H), 2.47 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6) δ 165.9, 137.1, 135.3, 132.8, 132.0, 128.8, 128.1, 127.3, 121.4, 15.9; MS (EI) m/z: 243 (M^+).



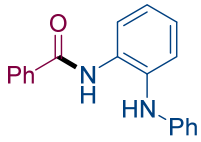
***N*-Mesitylbenzamide (3af)**[5]

According to the general procedure, 2,4,6-trimethylaniline (146 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3af** (146 mg, 0.61 mmol, 61% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.93 – 7.91 (m, 2H), 7.56 (tt, *J* = 7.3, 1.3 Hz, 1H), 7.51 – 7.48 (m, 2H), 7.32 (s, 1H), 6.94 (s, 2H), 2.30 (s, 3H), 2.25 (s, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.0, 137.1, 135.3, 134.6, 131.7, 131.2, 129.0, 128.7, 127.2, 21.0, 18.4. MS (EI) m/z: 239 (M^+).



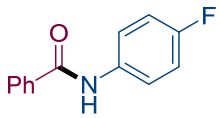
***N*-(2-Phenoxyphenyl)benzamide (3ag)**[6]

According to the general procedure, 2-phenoxyaniline (185 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ag** (225 mg, 0.78 mmol, 78% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.5:8.5); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.64 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.53 (s, 1H), 7.82 – 7.80 (m, 2H), 7.53 (tt, *J* = 6.05, 1.3 Hz, 1H), 7.47 – 7.44 (m, 2H), 7.40 – 7.36 (m, 2H), 7.21 – 7.15 (m, 2H), 7.09 – 7.05 (m, 3H), 6.92 (dd, *J* = 8.1, 1.4 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.3, 156.3, 145.8, 134.9, 131.8, 130.0, 129.8, 128.7, 127.0, 124.13, 124.11,124.0, 120.8, 118.6, 117.8; MS (EI) m/z: 289 (M^+).



***N*-(2-(Phenylamino)phenyl)benzamide (3ah)**[7]

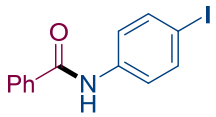
According to the general procedure, *N*-phenyl-1,2-benzenediamine (184 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ah** (233 mg, 0.81 mmol, 81% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 2.0:8.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.43 (s, 1H), 8.19 (dd, *J* = 8.0, 1.1 Hz, 1H), 7.67 – 7.65 (m, 2H), 7.49 (tt, J = 7.4, 1.3 Hz, 1H), 7.40 – 7.37 (m, 2H), 7.28 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.25 – 7.19 (m, 3H), 7.14 (td, *J* = 7.7, 1.6 Hz, 1H), 6.89 (tt, *J* = 7.5, 1.1 Hz, 1H), 6.82 – 6.80 (m, 2H), 5.69 (s, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.7, 144.8, 134.6, 133.3, 132.7, 131.8, 129.5, 128.7, 127.0, 125.4, 125.3, 124.4, 122.1, 120.4, 116.2; MS (EI) m/z: 288 (M^+).



***N*-(4-Fluorophenyl)benzamide (3ai)**[5]

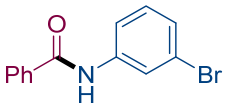
According to the general procedure 4-fluoroaniline (111.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ai** (196 mg, 0.90 mmol, 90% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.14 (dd, *J* = 8.2, 1.0 Hz, 1H), 7.89 (d, *J* = 7.2 Hz, 2H), 7.67 – 7.57 (m, 3H), 7.53 –

7.50 (m, 2H), 7.11 – 7.08 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.7, 159.5 (d, J = 244.4 Hz), 134.7, 133.9 (d, J = 2.5 Hz), 132.0, 128.9, 127.0, 122.0 (d, J =8.8 Hz), 115.8 (d, J = 22.7 Hz); MS (EI) m/z: 215 (M^+).



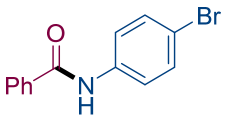
***N*- (4-Iodophenyl)benzamide (3aj)**[5]

According to the general procedure 4-iodoaniline (219.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3aj** (276 mg, 0.85 mmol, 85% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 – 7.77 (m, 2H), 7.71 (s, 1H), 7.62 – 7.60 (m, 2H), 7.52 – 7.7.49 (m, 1H), 7.43 (t, J = 7.5 Hz, 2H), 7.37 (d, J = 8.8 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.6, 138.0, 137.7, 134.6, 132.1, 128.9, 127.0, 121.9, 87.8; MS (EI) m/z: 323 (M^+).



***N*-(3-Bromophenyl)benzamide (3ak)**[8]

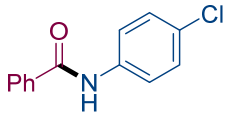
According to the general procedure 3-bromoaniline (172.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ak** (276 mg, 0.75 mmol, 75% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.02 (s, 1H), 7.91 (t, J = 2.0 Hz, 1H), 7.85 – 7.84 (m, 2H), 7.57 – 7.53 (m, 2H), 7.48 – 7.45z (m, 2H), 7.28 (dq, J = 8.0, 1.0 Hz, 1H), 7.21 (t, J = 8.0 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.9, 139.2, 134.5, 132.1, 130.3, 128.8, 127.5, 127.1, 123.2, 122.7, 118.8; MS (EI) m/z: 276 (M^+).



***N*-(4-Bromophenyl)benzamide(3al)**[5]

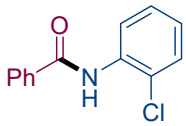
According to the general procedure 4-bromoaniline (172.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3al** (218 mg, 0.79 mmol, 79% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 – 7.78 (m, 2H), 7.74 (s, 1H), 7.51 – 7.47 (m, 3H), 7.44 – 7.41 (m, 4H); ^{13}C NMR

(126 MHz, $CDCl_3$) δ 165.6, 142.4, 137.0, 134.6, 132.1, 128.9, 127.0, 121.7, 117.2; MS (EI) m/z: 276 (M^+).



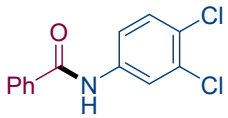
N-(4-Chlorophenyl)benzamide (3am)[5]

According to the general procedure 3-chloroaniline (127.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3am** (198 mg, 0.85 mmol, 85% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 7.3 Hz, 2H), 7.84 (s, 1H), 7.61 – 7.55 (m, 3H), 7.49 (t, *J* = 7.5 Hz, 2H), 7.33 (d, *J* = 8.8 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.7, 136.5, 134.6, 132.0, 129.5, 129.1, 128.8, 127.0, 121.4; MS (EI) m/z: 231 (M^+)



***N*-(2-Chlorophenyl)benzamide (3an)**[9]

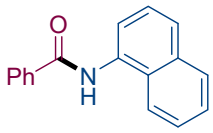
According to the general procedure 2-chloroaniline (127.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3an** (184 mg, 0.80 mmol, 80% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 – 7.84 (m, 2H), 7.78 (t, *J* = 2.0 Hz, 1H), 7.56 (tt, *J* = 7.45, 1.2 Hz, 1H), 7.51 – 7.48 (m, 3H), 7.29 (t, *J* = 8.1 Hz, 1H), 7.13 (d, *J* = 8.5 0.9 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.7, 139.0, 134.8, 134.5, 132.1, 130.1, 128.9, 127.0, 124.6, 120.3, 118.1; MS (EI) m/z: 231 (M^+).



***N*-(3,4-Dichlorophenyl)benzamide (3ao)**[10]

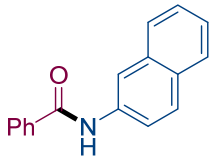
According to the general procedure 3,4-dichloroaniline (162.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ao** (253 mg, 0.95 mmol, 95% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.96 (s, 1H), 7.88 (d, *J* = 2.5 Hz, 1H), 7.84 – 7.83 (m, 2H), 7.56 (tt, *J* = 7.4, 1.5 Hz

1H), 7.49 – 7.46f (m, 3H), 7.39 (d, J = 8.7 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.8, 137.3, 134.2, 132.8, 132.2, 130.52, 128.9, 127.7, 127.0, 121.9, 119.4; MS (EI) m/z: 266 (M^+).



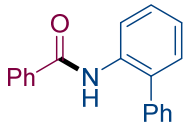
_N_-(Naphthalen-1-yl)benzamide (3ap)[11]

According to the general procedure naphthalen-1-amine (143.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ap** (170 mg, 0.69 mmol, 69% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.27 (s, 1H), 8.01 – 7.97 (m, 3H), 7.91 – 7.89 (m, 2H), 7.75 (d, J = 8.2 Hz, 1H), 7.59 (t, J = 7.4 Hz, 1H), 7.53 – 7.49 (m, 5H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.3, 134.8, 134.1, 132.3, 131.9, 128.83, 128.79, 127.5, 127.2, 126.4, 126.10, 126.02, 125.7, 121.3, 120.7; MS (EI) m/z: 247 (M^+).



_N_-(Naphthalen-2-yl)benzamide (3aq)[1]

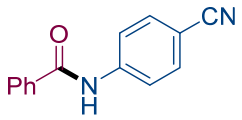
According to the general procedure naphthalen-1-amine (143.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3aq** (225 mg, 0.91 mmol, 91% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.28 (s, 1H), 7.96 (d, J = 7.2 Hz, 3H), 7.91 – 7.85 (m, 2H), 7.73 (d, J = 8.3 Hz, 1H), 7.60 – 7.55 (m, 1H), 7.54 – 7.44 (m, 5H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.4, 134.8, 134.2, 132.4, 131.9, 128.82, 128.80, 127.6, 127.3, 126.4, 126.2, 126.1, 125.7, 121.5, 120.9; MS (EI) m/z: 247 (M^+).



_N_-([1,1'-Biphenyl]-2-yl)benzamide (3ar)[1]

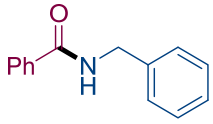
According to the general procedure naphthalen-1-amine (169.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ar** (218 mg, 0.80 mmol, 80% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.54 (d, J = 7.9 Hz, 1H), 8.01 (s, 1H), 7.62 – 7.59 (m, 2H), 7.54 – 7.48 (m, 3H), 7.47-

7.42 (m, 4H), 7.41 – 7.37 (m, 2H), 7.31 (dd, *J* =7.6, 1.65 Hz, 1H), 7.22 (td, *J* = 7.5, 1.2 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.0, 138.0, 134.9, 134.7, 132.3, 131.7, 130.0, 129.3, 129.2, 128.7, 128.6, 128.2, 126.8, 124.3, 121.1; MS (EI) m/z: 273 (M^+).



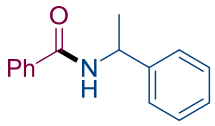
N-(4-Cyanophenyl)benzamide (3as)[5]

According to the general procedure 4-aminobenzonitrile (118.0 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3as** (153 mg, 0.69 mmol, 69% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 2.5:7.5); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.08 (s, 1H), 7.88 – 7.86 (m, 2H), 7.81 – 7.79 (m, 2H), 7.66 – 7.64 (m, 2H), 7.59 (tt, *J* = 7.45,1.25 Hz, 1H), 7.53 – 7.49 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.9, 142.0, 134.1, 133.3, 132.5, 129.0, 127.1, 119.9, 118.8, 107.4; MS (EI) m/z: 222 (M^+).



N-benzylbenzamide (3at)[12]

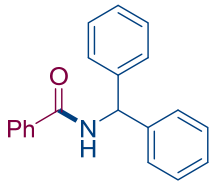
According to the general procedure phenylmethanamine (107.2 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3at** (200 mg, 0.95 mmol, 95% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.2:8.8); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.81 – 7.78 (m, 2H), 7.51 (tt, *J* = 7.4, 1.3 Hz, 1H), 7.46 – 7.42 (m, 2H), 7.37 (d, *J* = 4.5 Hz, 4H), 7.33 – 7.29 (m, 1H), 6.36 (s, 1H), 4.66 (d, *J* = 5.6 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 167.3, 138.1, 134.4, 131.6, 128.8, 128.6, 127.9, 127.7, 126.9, 44.2; MS (EI) m/z: 211 (M^+).



***N*-(1-Phenylethyl)benzamide (3au)**[13]

According to the general procedure 1-phenylethan-1-amine (121.2 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3au** (165 mg, 0.65 mmol, 65% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.2:8.8); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 – 7.66 (m, 2H), 7.43 – 7.38 (m, 1H), 7.36 – 7.30 (m, 4H), 7.29 – 7.24 (m,

2H), 7.22 – 7.18 (m, 1H), 6.34 (d, J = 6.3 Hz, 1H), 5.26 (p, J = 7.0 Hz, 1H), 1.53 (d, J = 6.9 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.6, 143.1, 134.6, 131.5, 128.7, 128.6, 127.5, 127.0, 126.3, 49.2, 21.7; MS (EI) m/z: 225 (M^+).

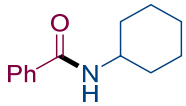


_N_-Benzhydrylbenzamide (3av)[13]

According to the general procedure 1-phenylethan-1-amine (183.3 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3av** (137 mg, 0.48 mmol, 48% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.2:8.8); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.76 – 7.71 (m, 2H), 7.43 – 7.40 (m, 1H), 7.36 – 7.32 (m, 2H), 7.29 – 7.23 (m, 4H), 7.23 – 7.17 (m, 6H), 6.67 (d, J = 7.4 Hz, 1H), 6.37 (d, J = 7.8 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.5, 141.5, 134.2, 131.7, 128.8, 128.6, 127.6, 127.5, 127.1, 57.5; MS (EI) m/z: 287 (M^+).

_N_-Hexylbenzamide (3aw)[14]

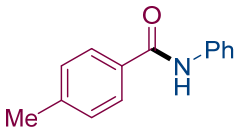
According to the general procedure hexan-1-amine (101.2 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3aw** (180 mg, 0.88 mmol, 88% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.78 – 7.75 (m, 2H), 7.48 (tt, J = 7.3, 1.3 Hz, 1H), 7.43 – 7.39 (m, 2H), 6.41 (s, 1H), 3.44 (t, J = 6.9 Hz, 2H), 1.64 – 1.58 (m, 2H), 1.38 – 1.29 (m, 6H), 0.92 – 0.86 (m, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 167.7, 134.6, 131.4, 128.5, 126.9, 40.2, 31.5, 29.6, 26.7, 22.6, 14.0; MS (EI) m/z: 205 (M^+).



_N_-Cyclohexylbenzamide (3ax)[5]

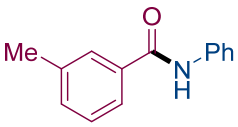
According to the general procedure cyclohexanamine (99.2 mg, 1.0 mmol, 1.0 equiv) and 1-benzoylpyrrolidin-2-one (208.0 mg, 1.1 mmol, 1.1 equiv) gave **3ax** (152 mg, 0.75 mmol, 75%

yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.69 – 7.67 (m, 2H), 7.41 (m, 1H), 7.36 – 7.33 (m, 2H), 5.94 (s, 1H), 3.91 (m, 1H), 1.98 – 1.94 (m, 2H), 1.68 (dt, *J* = 13.9Hz, 3.8 Hz, 2H), 1.58 (dt, *J* = 13 Hz, 3.8 Hz, 1H), 1.40 – 1.31 (m, 2H), 1.21 – 1.08 (m, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.6, 135.1, 131.3, 128.5, 126.8, 48.7, 33.3, 25.6, 24.9; MS (EI) m/z: 203 (M^+).



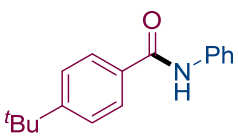
4-Methyl-*N*-phenylbenzamide (3ba)[15]

According to the general procedure aniline (93.1 mg, 1.0 mmol, 1.0 equiv) and 1-(4-methylbenzoyl)pyrrolidin-2-one (223.0 mg, 1.1 mmol, 1.0 equiv) gave **3ba** (196 mg, 0.93 mmol, 93% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.15 (s, 1H), 7.89 – 7.86 (m, 2H), 7.78 – 7.76 (m, 2H), 7.36 – 7.32 (m, 4H), 7.11-7.07 (m, 1H), 2.39 (s, 3H); ^{13}C NMR (126 MHz, DMSO-d_6) δ 165.3, 141.5, 139.2, 132.1, 128.9, 128.5, 127.7, 123.5, 120.3, 21.0; MS (EI) m/z: 211 (M^+).



3-Methyl-*N*-phenylbenzamide (3ca)[15]

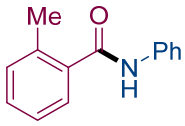
According to the general procedure aniline (93.1 mg, 1.0 mmol, 1.0 equiv) and 1-(3-methylbenzoyl)pyrrolidin-2-one (223.0 mg, 1.1 mmol, 1.1 equiv) gave **3ca** (192 mg, 0.91 mmol, 91% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.89 (s, 1H), 7.69 (s, 1H), 7.67 – 7.62 (m, 3H), 7.40 – 7.35 (m, 4H), 7.18 – 7.14 (m, 1H), 2.43 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.0, 138.7, 138.0, 135.0, 132.6, 129.8, 128.6, 127.8, 124.5, 124.0, 120.2, 21.4; MS (EI) m/z: 211 (M^+).



4-(*tert*-Butyl)-*N*-phenylbenzamide (3da)[16]

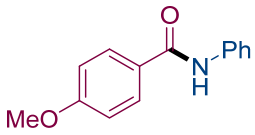
According to the general procedure aniline (93.1 mg, 1.0 mmol, 1.0 equiv) and 1-(4-(*tert*-butyl)benzoyl)pyrrolidin-2-one (270.0 mg, 1.1 mmol, 1.1 equiv) gave **3da** (238 mg, 0.94 mmol,

94% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.5:8.5); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.88 (s, 1H), 7.84 – 7.80 (m, 2H), 7.67 – 7.64 (m, 2H), 7.52 – 7.48 (m, 2H), 7.40 – 7.35 (m, 2H), 7.18 – 7.13 (m, 1H), 1.36 (s, 9H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.7, 155.4, 138.0, 132.1, 129.1, 126.9, 125.7, 124.4, 120.1, 35.0, 31.2; MS (EI) m/z: 253 (M^+).



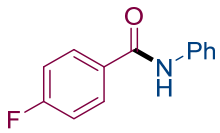
2-Methyl-*N*-phenylbenzamide (3ea)[15]

According to the general procedure aniline (93.1 mg, 1.0 mmol, 1.0 equiv) and 1-(2-methylbenzoyl)pyrrolidin-2-one (223.0 mg, 1.1 mmol, 1.1 equiv) gave **3ea** (139 mg, 0.66 mmol, 66% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.63 (d, *J* = 7.6 Hz, 2H), 7.51 (s, 1H), 7.49 (d, *J* = 7.6 Hz, 1H), 7.40-7.4 (m, 3H), 7.29-7.27 (m, 2H), 7.18-7.15 (m, 1H), 2.52 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.0, 138.0, 136.4, 131.3, 130.3, 129.1, 126.9, 125.9, 124.6, 119.85, 119.81, 19.8; MS (EI) m/z: 211 (M^+).



4-Methoxy-*N*-phenylbenzamide (3fa)[1]

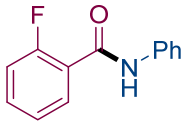
According to the general procedure aniline (93.1 mg, 1.0 mmol, 1.0 equiv) and 1-(4-methoxybenzoyl)pyrrolidin-2-one (241.0 mg, 1.1 mmol, 1.1 equiv) gave **3fa** (216 mg, 0.95 mmol, 95% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 2.0:8.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.88 – 7.83 (m, 2H), 7.75 (s, 1H), 7.64 (dd, *J* = 8.5, 1.0 Hz, 2H), 7.38 (dd, *J* = 8.4, 7.5 Hz, 2H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.00-6.97 (m, 2H), 3.89 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.2, 162.5, 138.1, 129.1, 128.9, 127.1, 124.3, 120.1, 114.0, 55.5; MS (EI) m/z: 227 (M^+).



4-Fluoro-*N*-phenylbenzamide (3ga)[1]

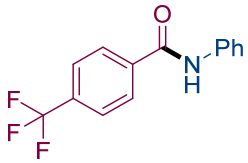
According to the general procedure aniline (93.1 mg, 1.0 mmol, 1.0 equiv) and 1-(4-fluorobenzoyl)pyrrolidin-2-one (228.0 mg, 1.1 mmol, 1.1 equiv) gave **3ga** (198 mg, 0.92 mmol,

92% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.5:8.5); ^{1}H NMR (500 MHz, DMSO-d$_6$) δ 10.25 (s, 1H), 8.07 – 8.01 (m, 2H), 7.79 – 7.73 (m, 2H), 7.39 – 7.32 (m, 4H), 7.12 – 7.07 (m, 1H); ^{13}C NMR (126 MHz, DMSO-d$_6$) δ 165.5, 164.2 (d, *J* = 171.0 Hz), 139.5, 131.8 (d, *J* = 3.0 Hz), 130.83 (d, *J* = 9.1 Hz), 129.1, 124.2, 120.9, 115.8 (d, *J* = 21.8 Hz) ; MS (EI) m/z: 215 (M^+).



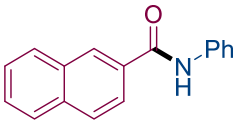
2-Fluoro-*N*-phenylbenzamide (3ha)[17]

According to the general procedure aniline (93.1 mg, 1.0 mmol, 1.0 equiv) and 1-(2-fluorobenzoyl)pyrrolidin-2-one (228.0 mg, 1.1 mmol, 1.1 equiv) gave **3ha** (163 mg, 0.76 mmol, 76% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.5:8.5); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.48 (d, *J* = 14.3 Hz, 1H), 8.17 (td, *J* = 8.0, 1.8 Hz, 1H), 7.68 (d, *J* = 7.6 Hz, 2H), 7.55 – 7.50 (m, 1H), 7.42 – 7.36 (m, 2H), 7.32 (td, *J* = 7.8, 1.0 Hz, 1H), 7.21 – 7.15 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 161.3 (d, *J* = 3.5 Hz), 160.4 (d, *J* = 247.0 Hz), 137.7, 133.7 (d, *J* = 9.4 Hz), 132.2 (d, *J* = 1.7 Hz), 129.1, 125.1 (d, *J* = 3.2 Hz), 124.8, 121.4 (d, *J* = 11.3 Hz), 120.54 (s), 116.1 (d, *J* = 25.1 Hz); MS (EI) m/z: 215 (M^+).



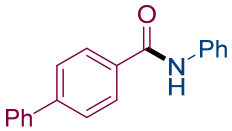
***N*-Phenyl-4-(trifluoromethyl)benzamide (3ia)**[18]

According to the general procedure aniline (93.1 mg, 1.0 mmol, 1.0 equiv) and 1-(4-(trifluoromethyl)benzoyl)pyrrolidin-2-one (283.0 mg, 1.1 mmol, 1.1 equiv) gave **3ia** (217 mg, 0. mmol, 82% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 3.0:7.0); ^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 10.45 (s, 1H), 8.14 (d, *J* = 8.1 Hz, 2H), 7.90 (d, *J* = 8.2 Hz, 2H), 7.77 (d, *J* = 7.7 Hz, 2H), 7.36 (t, *J* = 7.9 Hz, 2H), 7.12 (t, *J* = 7.4 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-*d*$_6$) δ 164.8, 139.3, 139.25 (q, *J* = 1.26 Hz), 131.8 (q, *J* = 31.5 Hz), 129.1, 129.0, 125.8 (q, *J* = 3.8 Hz), 125.5 (q, *J* = 273.4 Hz), 124.5, 120.9; MS (EI) m/z: 265 (M^+).



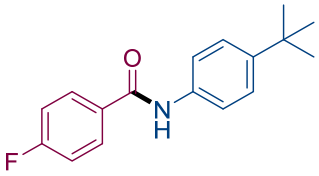
***N*-Phenyl-2-naphthamide (3ja)**[16]

According to the general procedure aniline (93.1 mg, 1.0 mmol, 1.0 equiv) and 1-(2-naphthoyl)pyrrolidin-2-one (263.0 mg, 1.1 mmol, 1.1 equiv) gave **3ja** (217 mg, 0.88 mmol, 88% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 2.0:8.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.93 – 7.81 (m, 5H), 7.63 (d, *J* = 7.7 Hz, 2H), 7.55 – 7.48 (m, 2H), 7.33 (t, *J* = 7.9 Hz, 2H), 7.11 (t, *J* = 7.4 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.8, 137.8, 134.9, 132.6, 132.2, 129.2, 129.0, 128.8, 127.9, 127.8, 127.5, 127.0, 124.6, 123.5, 120.2; MS (EI) m/z: 247 (M^+).



***N*-Phenyl-[1,1'-biphenyl]-4-carboxamide (3ka)**[16]

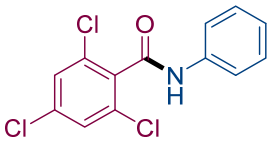
According to the general procedure aniline (93.1 mg, 1.0 mmol, 1.0 equiv) and 1-([1,1'-biphenyl]-4-carbonyl)pyrrolidin-2-one (292.8 mg, 1.1 mmol, 1.1 equiv) gave **3ka** (235 mg, 0.86 mmol, 86% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 2.0:8.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.90 – 7.88 (m, 2H), 7.78 (s, 1H), 7.65 (d, *J* = 8.3 Hz, 2H), 7.61 – 7.56 (m, 4H), 7.43 – 7.40 (m, 2H), 7.36 – 7.31 (m, 3H), 7.10 (t, *J* = 7.4 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 165.4, 144.7, 139.9, 137.9, 133.6, 129.1, 129.0, 128.1, 127.6, 127.5, 127.2, 124.6, 120.2;MS (EI) m/z: 273 (M^+).



***N*-(4-(*tert*-Butyl)phenyl)-4-fluorobenzamide (3ly)**[12]

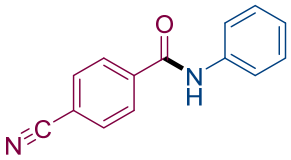
According to the general procedure 4-(*tert*-butyl)aniline (149.2 mg, 1.0 mmol, 1.0 equiv) and 1-(4-fluorobenzoyl)pyrrolidin-2-one (228.0 mg, 1.1 mmol, 1.1 equiv) gave **3ly** (228 mg, 0.84 mmol, 84% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 2.5:7.5); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 – 7.75 (m, 3H), 7.53 (d, *J* = 8.7 Hz, 2H), 7.39 – 7.35 (m, 2H), 7.15 – 7.09 (m, 2H), 1.32 (s, 9H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 164.8 (d, *J* = 252.5 Hz), 164.7,

147.8, 135.1, 131.2 (d, J = 3.1 Hz), 129.4 (d, J = 9.0 Hz), 125.9, 120.2, 115.8 (d, J = 21.9 Hz), 34.4, 31.4; MS (EI) m/z: 271 (M^+).



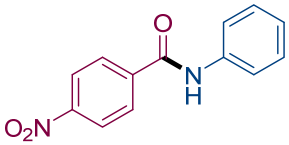
2,4,6-Trichloro-*N*-phenylbenzamide (3ma)

According to the general procedure aniline (93 mg, 1.0 mmol, 1.0 equiv) and 1-(2,4,6-trichlorobenzoyl)pyrrolidin-2-one (319 mg, 1.1 mmol, 1.1 equiv) gave **3ma** (182 mg, 0.67 mmol, 67% yield) after column chromatography (*n*-Hexane : EtOAc= 8.5:1.5; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.50 (s, 1H), 7.58 – 7.54 (m, 2H), 7.35 – 7.31 (m, 2H), 7.24 (s, 2H), 7.20 – 7.16 (m, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.0, 137.2, 135.8, 134.3, 132.9, 129.0, 128.0, 125.2, 120.5; HRMS (FDTOF) m/z [M]+ calcd for $C_{13}H_8Cl_3NO$ 298.9667, found 298.9666.



4-Cyano-*N*-phenylbenzamide (3na)[19]

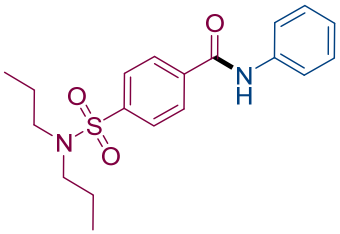
According to the general procedure aniline (93 mg, 1.0 mmol, 1.0 equiv) and 1-(4-Cyanobenzoyl)pyrrolidin-2-one (235 mg, 1.1 mmol, 1.1 equiv) gave **3na** (204 mg, 0.92 mmol, 92% yield) after column chromatography ($CHCl_3$: EtOAc= 70:1 (1% Et_3N) v/v); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.04 (s, 1H), 7.97 (d, J = 8.2 Hz, 2H), 7.76 (d, J = 8.2 Hz, 2H), 7.63 (d, J = 7.9 Hz, 2H), 7.39 (t, J = 7.9 Hz, 2H), 7.20 (t, J = 7.4 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d_6) δ 164.1, 139.0, 138.7, 132.4, 128.7, 128.5, 124.1, 120.4, 118.3, 113.8; MS (EI) m/z: 222 (M^+).



4-Nitro-*N*-phenylbenzamide (3oa)[19]

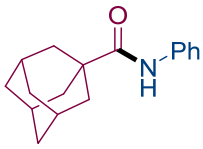
According to the general procedure aniline (93 mg, 1.0 mmol, 1.0 equiv) and 1-(4-nitrobenzoyl)pyrrolidin-2-one (257 mg, 1.1 mmol, 1.1 equiv) gave **3oa** (213 mg, 0.88 mmol, 88% yield) after column chromatography ($CHCl_3$: EtOAc= 60:1 (1% Et_3N) v/v); ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.56 (s, 1H), 8.38 – 8.35 (m, 2H), 8.20 – 8.17 (m, 2H), 7.79 – 7.77 (m, 2H), 7.39 – 7.36 (m, 2H), 7.14 (tt, J = 7.6, 1.1 Hz, 1H); ^{13}C NMR (126 MHz, DMSO-d_6) δ

164.3, 149.6, 141.1, 139.2, 129.7, 129.2, 124.6, 124.0, 120.9; MS (EI) m/z: 242 (M^+).



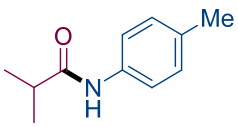
4-(*N*,*N*-dipropylsulfamoyl)-*N*-phenylbenzamide (3pa)[20]

According to the general procedure aniline (93 mg, 1.0 mmol, 1.0 equiv) and 4-(2-oxopyrrolidine-1-carbonyl)-*N*,*N*-dipropylbenzenesulfonamide (387 mg, 1.1 mmol, 1.1 equiv) gave **3pa** (317 mg, 0.88 mmol, 88% yield) after column chromatography (*n*-Hexane : EtOAc= 6:4); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.59 (s, 1H), 7.96 – 7.91 (m, 2H), 7.73 (dt, *J* = 8.4, 1.8 Hz, 4H), 7.39 – 7.34 (m, 2H), 7.19 – 7.15 (m, 1H), 3.10 – 3.05 (m, 4H), 1.58 – 1.49 (m, 4H), 0.89 – 0.83 (m, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 164.8, 142.5, 138.8, 137.9, 129.0, 128.1, 127.2, 124.8, 120.4, 50.0, 21.9, 11.1; MS (EI) m/z: 360 (M^+).



***N*-phenyladamantane-1-carboxamide (3ra)**[16]

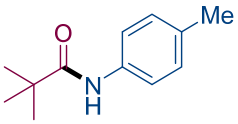
According to the general procedure aniline (93 mg, 1.0 mmol, 1.0 equiv) and 1-(adamantane-1-carbonyl)pyrrolidin-2-one (272 mg, 1.1 mmol, 1.1 equiv) gave **3ra** (156 mg, 0.61 mmol, 61% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.5:8.5); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.56 – 7.54 (m, 2H), 7.38 (s, 1H), 7.33 – 7.28 (m, 2H), 7.11 – 7.07 (m, 1H), 2.10 (br, 3H), 1.97(m, 6H), 1.80-1.73 (m, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 176.1, 138.1, 128.9, 124.1, 120.0, 41.5, 39.3, 36.4, 28.2; MS (EI) m/z: 255 (M^+).



***N*-(*p*-Tolyl)*iso*-butyramide (3sb)**[2]

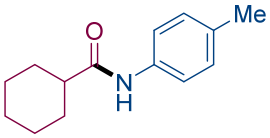
According to the general procedure *p*-toluidine (107 mg, 1.0 mmol, 1.0 equiv) and 1-isobutyrylpyrrolidin-2-one (171 mg, 1.1 mmol, 1.1 equiv) gave **3sb** (122 mg, 0.75 mmol, 75% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.42 (d, *J* = 8.4 Hz, 2H), 7.28 (s, 1H), 7.11 (d, *J* = 8.2 Hz, 2H), 2.55 – 2.47 (m, 1H),

2.31 (s, 3H), 1.25 (d, J = 6.9 Hz, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 175.2, 135.5, 133.7, 129.4, 119.9, 36.6, 20.8, 19.6; MS (EI) m/z: 163 (M^+).



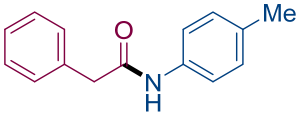
_N_-(_p_-Tolyl)pivalamide (3tb)[2]

According to the general procedure _p_-toluidine (107 mg, 1.0 mmol, 1.0 equiv) and 1 1-pivaloylpyrrolidin-2-one (127 mg, 1.1 mmol, 1.1 equiv) gave **3tb** (133 mg, 0.67 mmol, 67% yield) after column chromatography (eluent: EtOAc: _n_-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.50 – 7.35 (m, 2H), 7.28 (s, 1H), 7.15 – 7.10 (m, 2H), 2.32 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 176.4, 135.4, 133.8, 129.4, 120.0, 39.5, 27.7, 20.8. MS (EI) m/z: 177 (M^+).



_N_-(_p_-Tolyl)cyclohexanecarboxamide (3ub)[2]

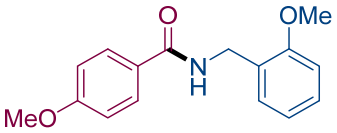
According to the general procedure _p_-toluidine (107 mg, 1.0 mmol, 1.0 equiv) and 1-(cyclohexanecarbonyl)pyrrolidin-2-one (214.5 mg, 1.1 mmol, 1.1 equiv) gave **3ub** (171 mg, 0.79 mmol, 79% yield) after column chromatography (eluent: EtOAc: _n_-hexane = 1.0:9.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.41 (d, J = 8.4 Hz, 2H), 7.19 (s, 1H), 7.12 (d, J = 8.2 Hz, 2H), 2.31 (s, 3H), 2.22 (tt, J = 11.7, 3.5 Hz, 1H), 1.96 (m, J = 13.3 Hz, 2H), 1.85 – 1.83 (m, 2H), 1.58 – 1.51 (m, 2H), 1.36 – 1.21 (m, 4H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 174.2, 135.5, 133.7, 129.4, 119.8, 46.5, 29.7, 25.7, 20.8; MS (EI) m/z: 217 (M^+).



2-Phenyl-_N_-(_p_-tolyl)acetamide (3vb)[14]

According to the general procedure _p_-toluidine (107 mg, 1.0 mmol, 1.0 equiv) and 1-(2-phenylacetyl)pyrrolidin-2-one (214.5 mg, 1.1 mmol, 1.1 equiv) gave **3vb** (205 mg, 0.91 mmol, 91% yield) after column chromatography (eluent: EtOAc: _n_-hexane = 2.0.0:8.0); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.44 – 7.38 (m, 2H), 7.36-7.33 (m, 3H), 7.30 (d, J = 8.4 Hz, 2H), 7.12 (s, 1H),

7.09 (d, J = 8.2 Hz, 2H), 3.74 (s, 2H), 2.30 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.0, 135.0, 134.5, 134.1, 129.5, 129.4, 129.2, 127.6, 119.8, 44.8, 20.8; MS (EI) m/z: 225 (M^+).



4-methoxy-*N*-(2-methoxybenzyl)benzamide (3wz)[12]

According to the general procedure (2-methoxyphenyl)methanamine (137 mg, 1.0 mmol, 1.0 equiv) and 1-(4-methoxybenzoyl)pyrrolidin-2-one (241 mg, 1.1 mmol, 1.1 equiv) gave **3wz** (238 mg, 0.88 mmol, 88% yield) after column chromatography (eluent: EtOAc: *n*-hexane = 2.5.0:7.5); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.75 – 7.71 (m, 2H), 7.35 (dd, J = 7.4, 1.6 Hz, 1H), 7.29 (dd, J = 7.9, 1.6 Hz, 1H), 6.96 – 6.87 (m, 4H), 6.57 (s, 1H), 4.63 (d, J = 5.8 Hz, 2H), 3.88 (s, 3H), 3.83 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.7, 162.0, 157.7, 130.0, 128.9, 128.7, 127.1, 126.4, 120.8, 113.7, 110.4, 55.4, 55.4, 40.0; MS (EI) m/z: 271 (M^+)

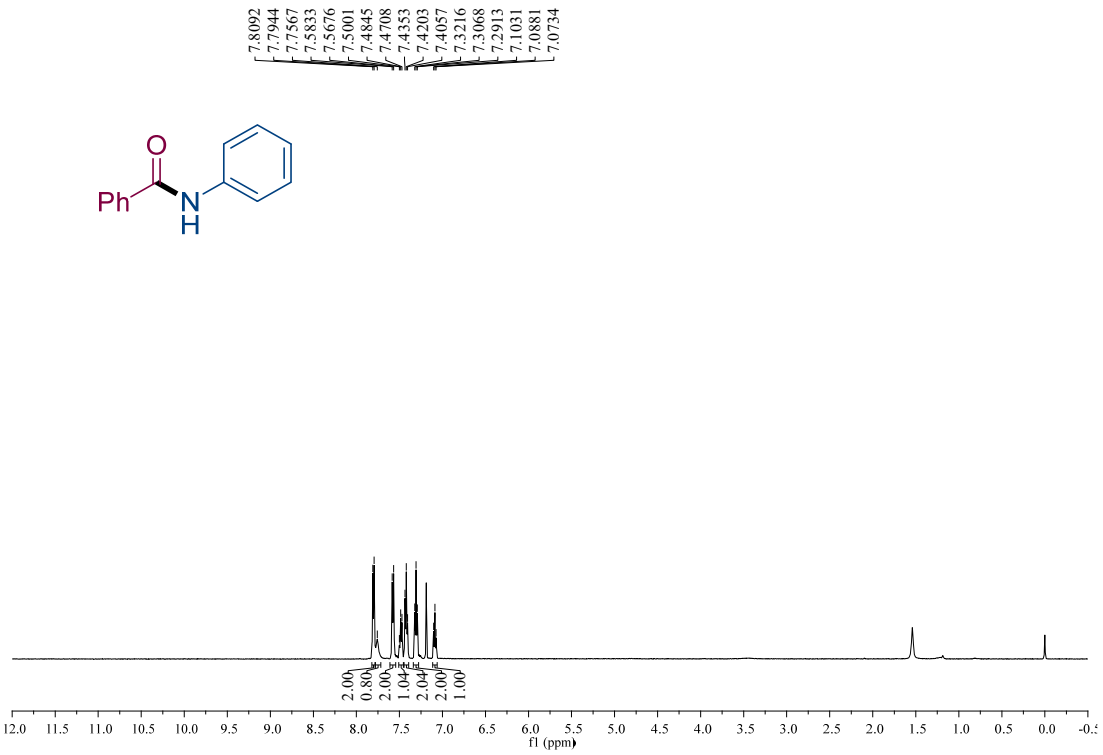
Reference:

1. T. Ben Halima, J. K. Vandavasi, M. Shkoor and S. G. Newman, *ACS Catal.*, 2017, **7**, 2176-2180.
2. G. Li, C. L. Ji, X. Hong and M. Szostak, *J. Am. Chem. Soc.*, 2019, **141**, 11161-11172.
3. W. Chen, J. Li, D. Fang, C. Feng and C. Zhang, *Org. Lett.*, 2008, **10**, 4565-4568.
4. C. W. Cheung, N. Shen, S.-P. Wang, A. Ullah, X. Hu and J.-A. Ma, *Org. Chem. Fron.t*, 2019, **6**, 756-761.
5. W. Fan, Y. Yang, J. Lei, Q. Jiang and W. Zhou, *J. Org. Chem.*, 2015, **80**, 8782-8789.
6. K. Gao, C. B. Yu, W. Li, Y. G. Zhou and X. Zhang, *Chem. Commun.*, 2011, **47**, 7845-7847.
7. I. Nagao, T. Ishizaka and H. Kawanami, *Green Chem.*, 2016, **18**, 3494-3498.
8. M. I. El-Sheikh, A. Marks and E. R. Biehl, *J. Org. Chem.*, 1981, **46**, 3256-3259.
9. X. Wan, Z. Ma, B. Li, K. Zhang, S. Cao, S. Zhang and Z. Shi, *J. Am. Chem. Soc.*, 2006, **128**, 7416-7417.
10. Z. Xu, X. Du, M. Zheng and S. Chen, *Synlett*, 2006, **2006**, 1953-1955.
11. T. Punniyamurthy, S. Jammi, S. Krishnamoorthy, P. Saha, D. Kundu, S. Sakthivel, M. Ali and R. Paul, *Synlett*, 2009, **2009**, 3323-3327.
12. S. Yu, T. Shin, M. Zhang, Y. Xia, H. Kim and S. Lee, *Org. Lett.*, 2018, **20**, 7563-7566.
13. Z. Wang, Y. Zhang, H. Fu, Y. Jiang and Y. Zhao, *Org. Letters.*, 2008, **10**, 1863-1866.
14. Z. Zhang, Y. Yu and L. S. Liebeskind, *Org. Lett.*, 2008, **10**, 3005-3008.
15. T. Miura, Y. Takahashi and M. Murakami, *Chem. Commun.*, 2007, 3577-3579.
16. S. M. Wang, C. Zhao, X. Zhang and H. L. Qin, *Org. Biomol. Chem.*, 2019, **17**, 4087-4101.
17. E. Racine, F. Monnier, J.-P. Vors and M. Taillefer, *Org. lett.*, 2011, **13**, 2818-2821.
18. G. Li and M. Szostak, *Nat. Commun.*, 2018, **9**, 4165.
19. Q. Hu, L. Wang, C. Wang, Y. Wu, Z. Ding and R. Yuan, *RSC Adv.*, 2017, **7**, 37200-37207.
20. M. D'Ascenzio, S. Carradori, D. Secci, D. Vullo, M. Ceruso, A. Akdemir and C. T. Supuran, *Bioorg. Med. Chem.*, 2014, **22**, 3982-3988.

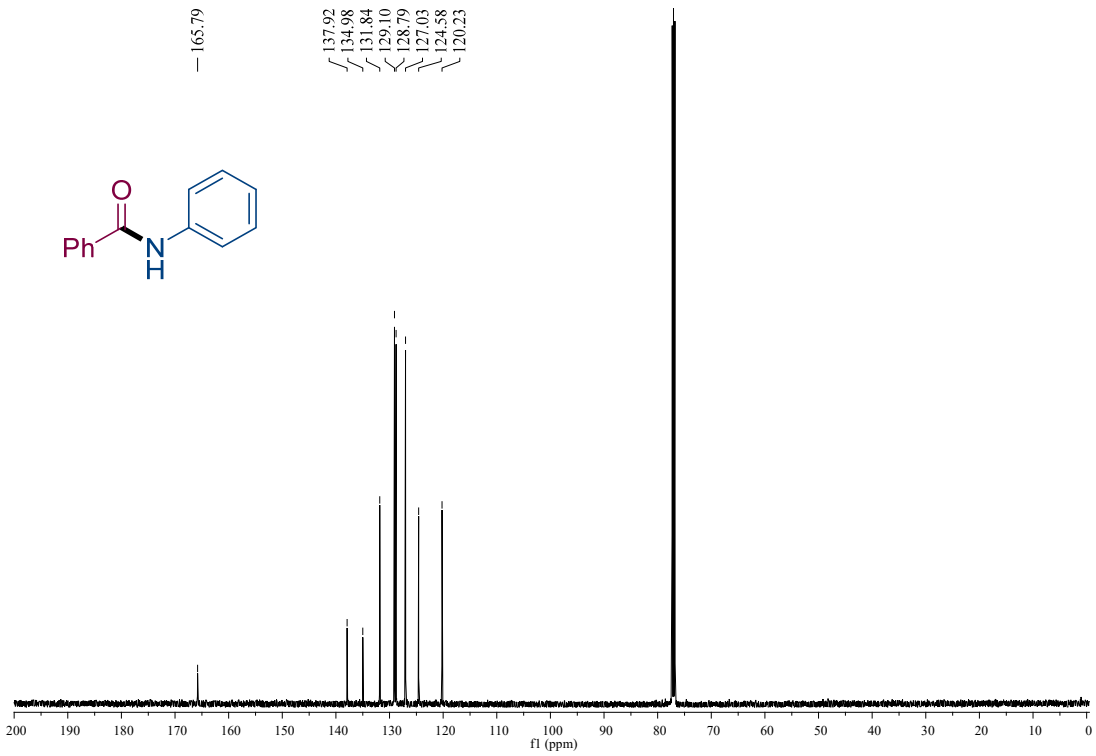
Copy of NMR Spectra

N-phenylbenzamide (3aa)

^{1}H NMR

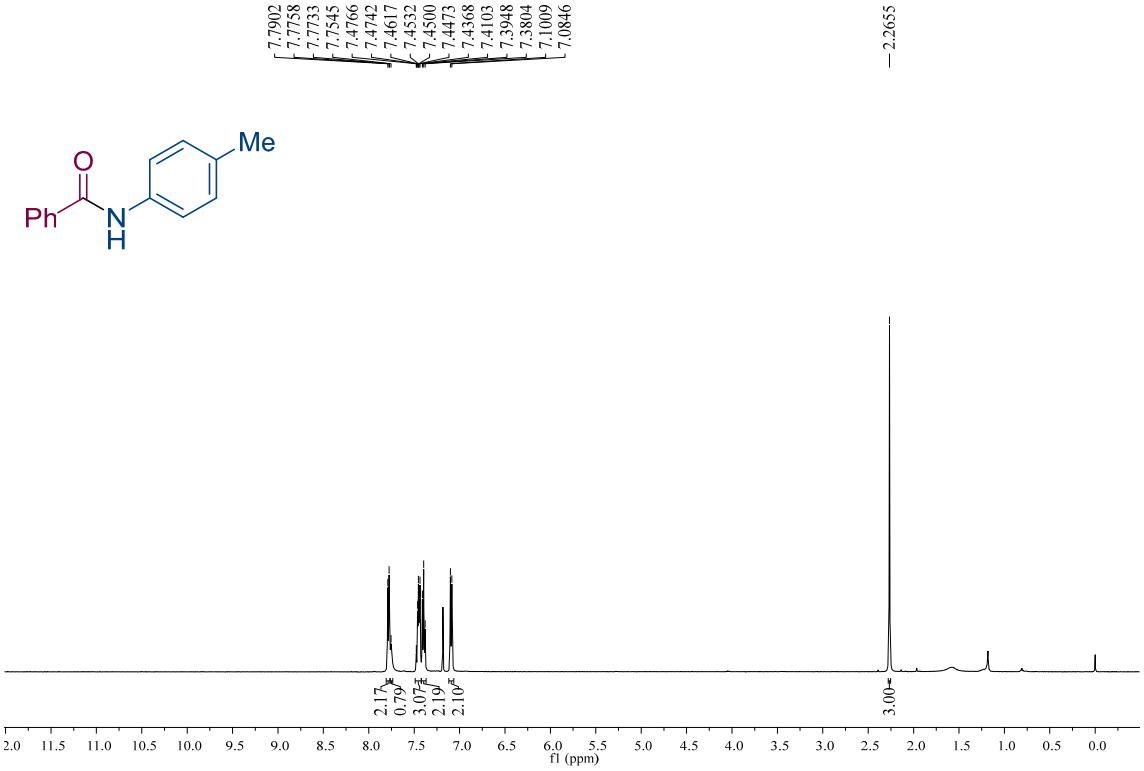


^{13}C NMR

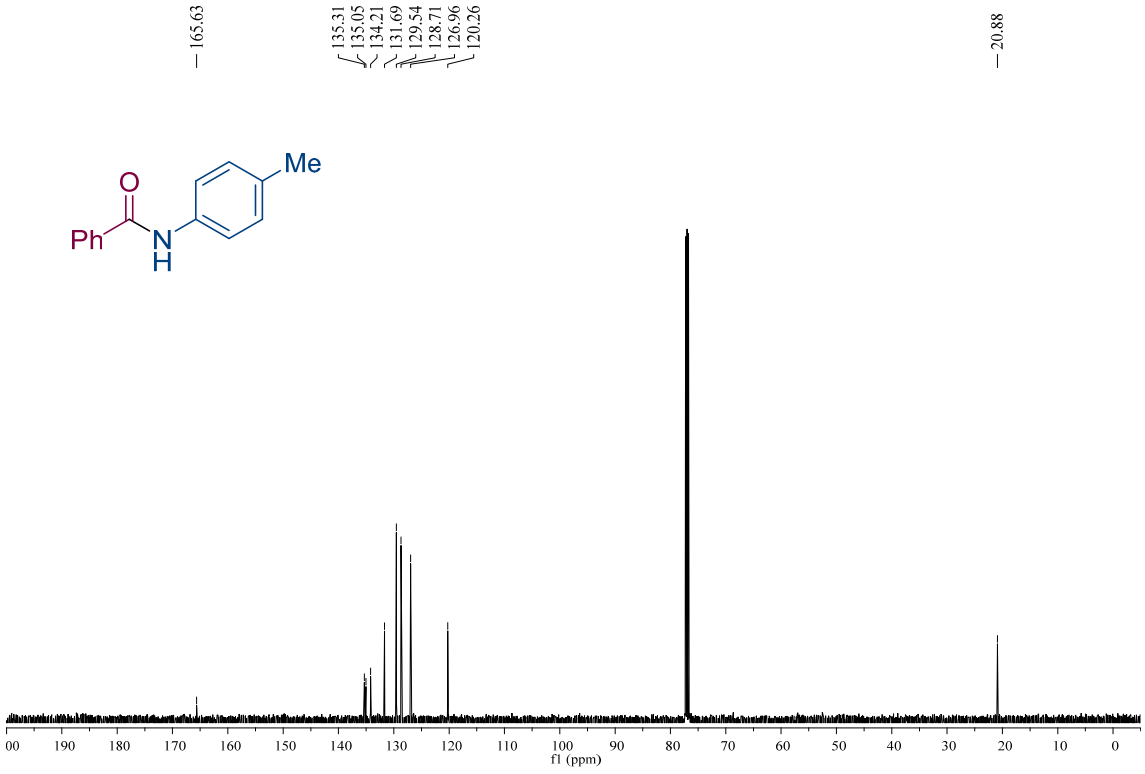


N-(*p*-Tolyl)benzamide (3ab)

^{1}H-NMR

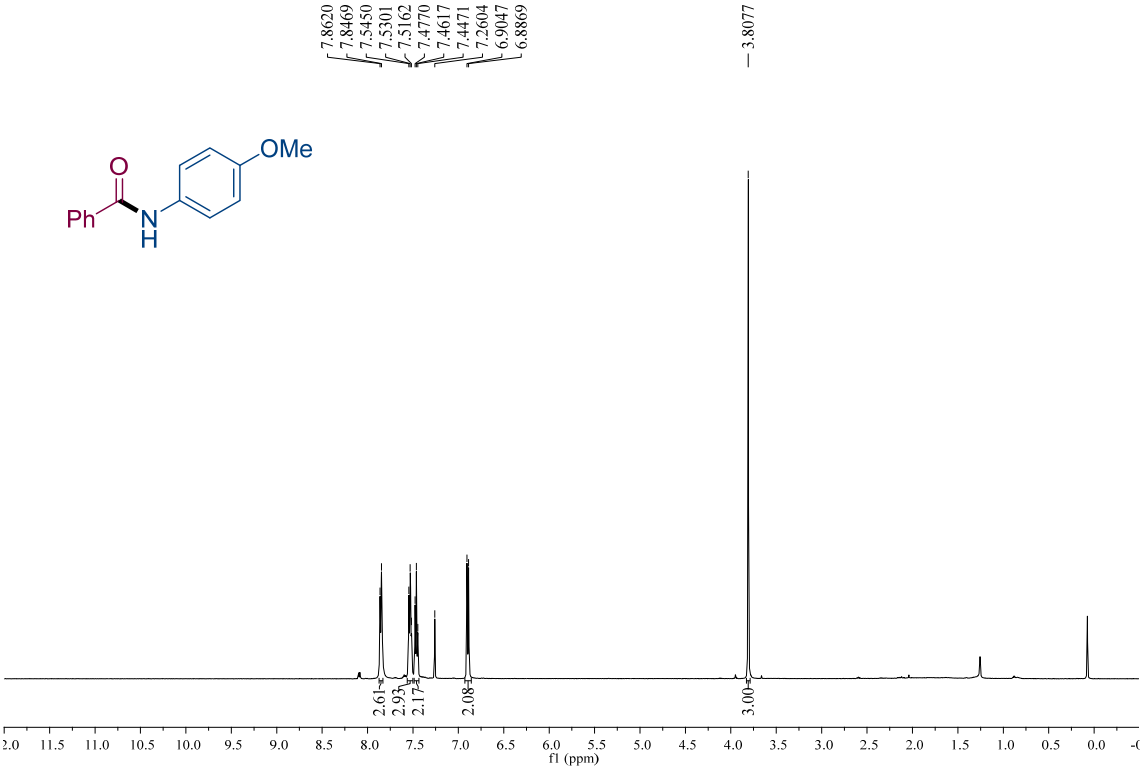


^{13}C-NMR

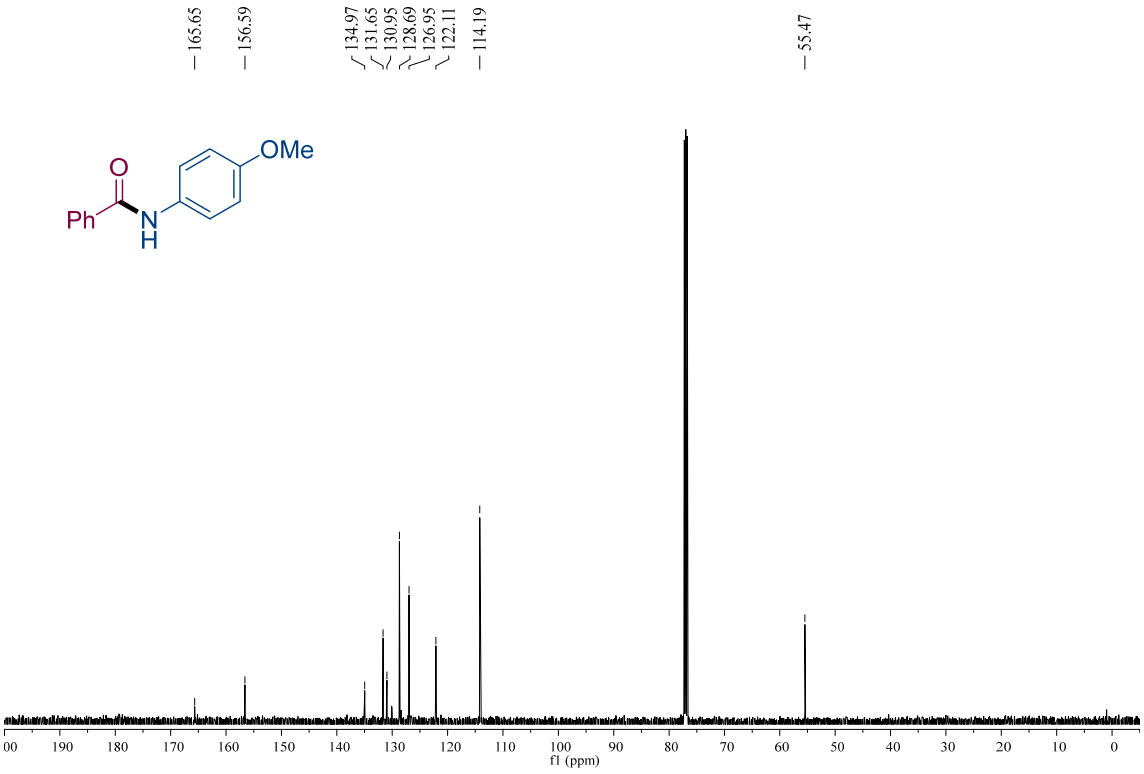


N-(4-Methoxyphenyl)benzamide (3ac)

^{1}H-NMR

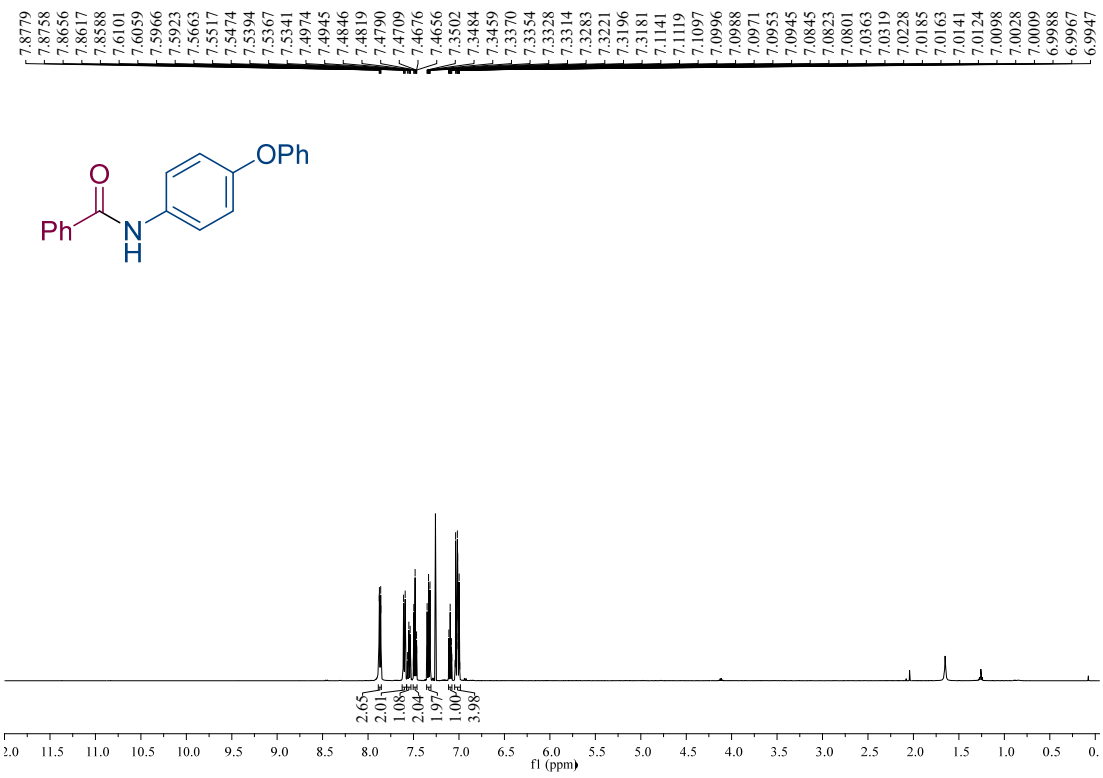


^{13}C-NMR

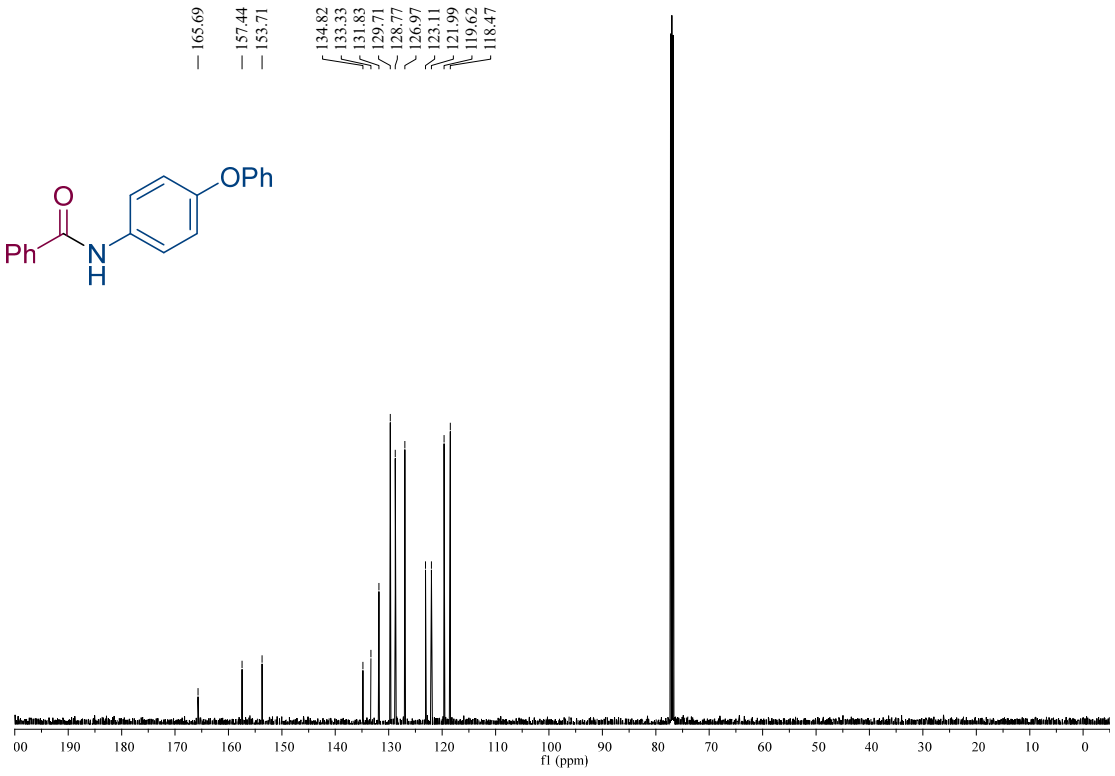


N-(4-Phenoxyphenyl)benzamide (3ad):

^{1}H-NMR

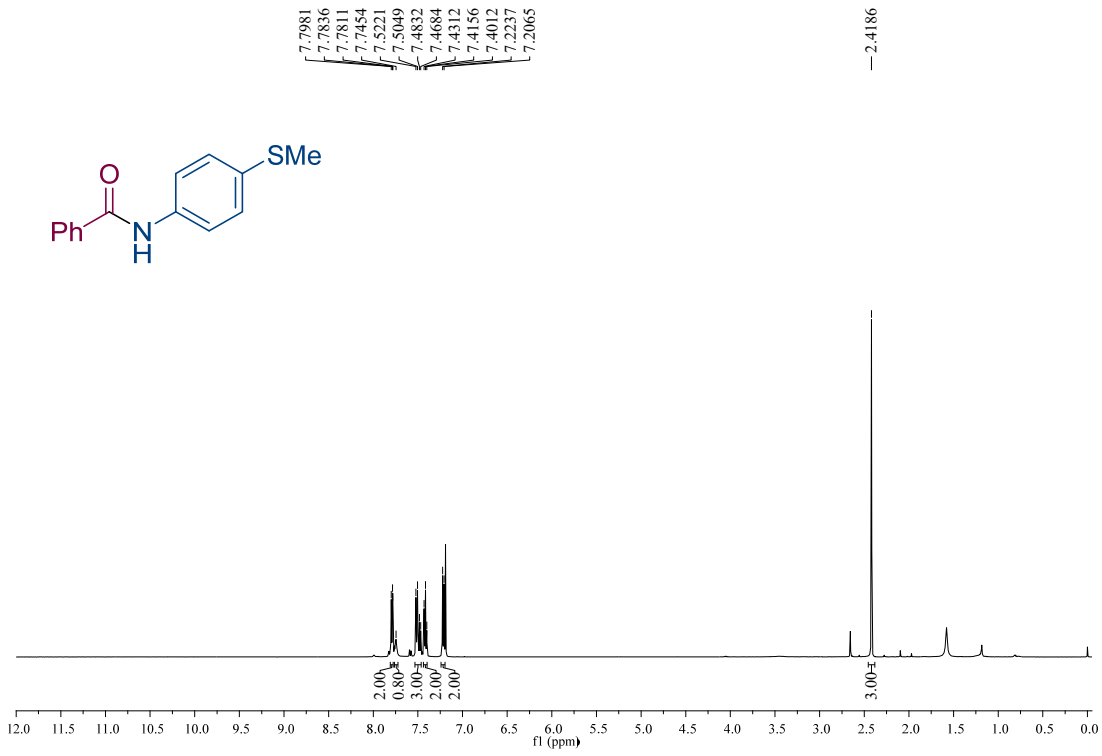


^{13}C-NMR

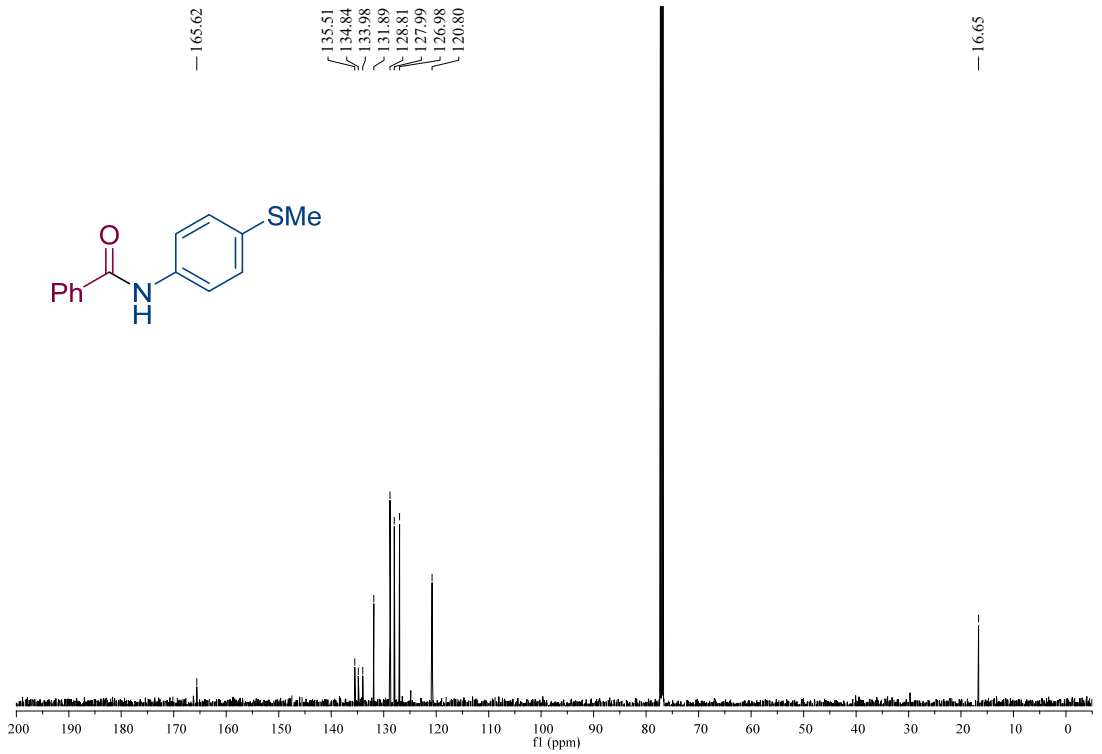


N-(4-(Methylthio)phenyl)benzamide (3ae):

^{1}H-NMR

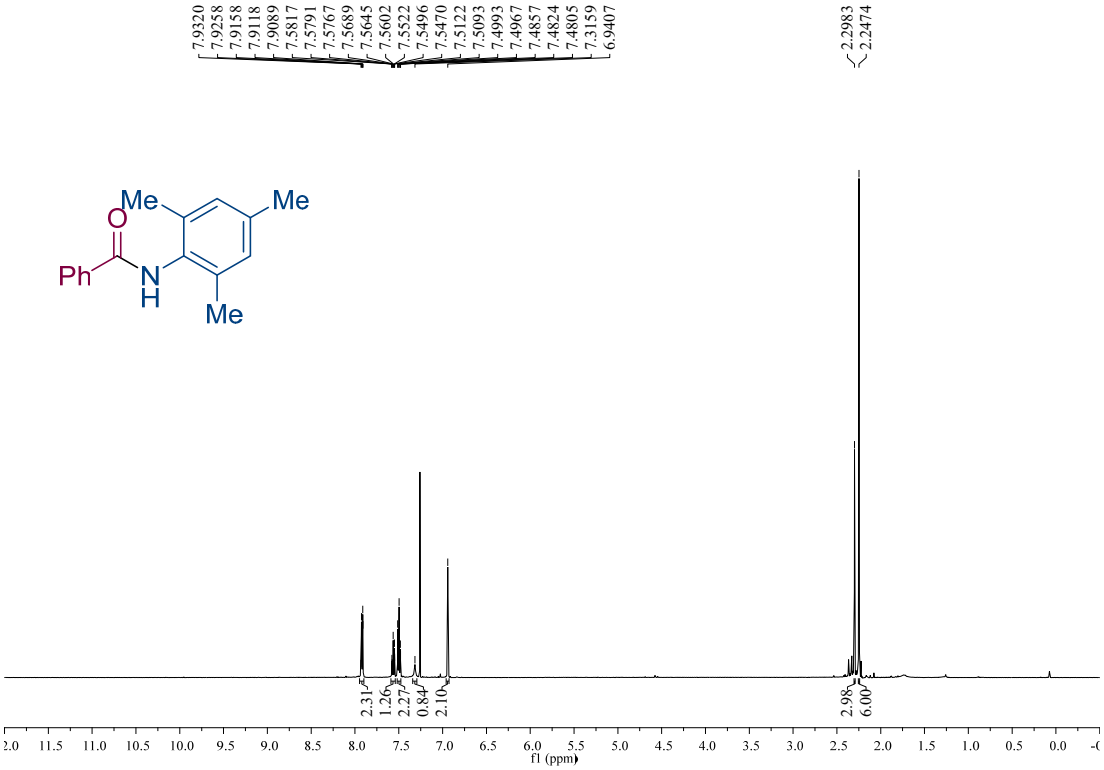


^{13}C-NMR

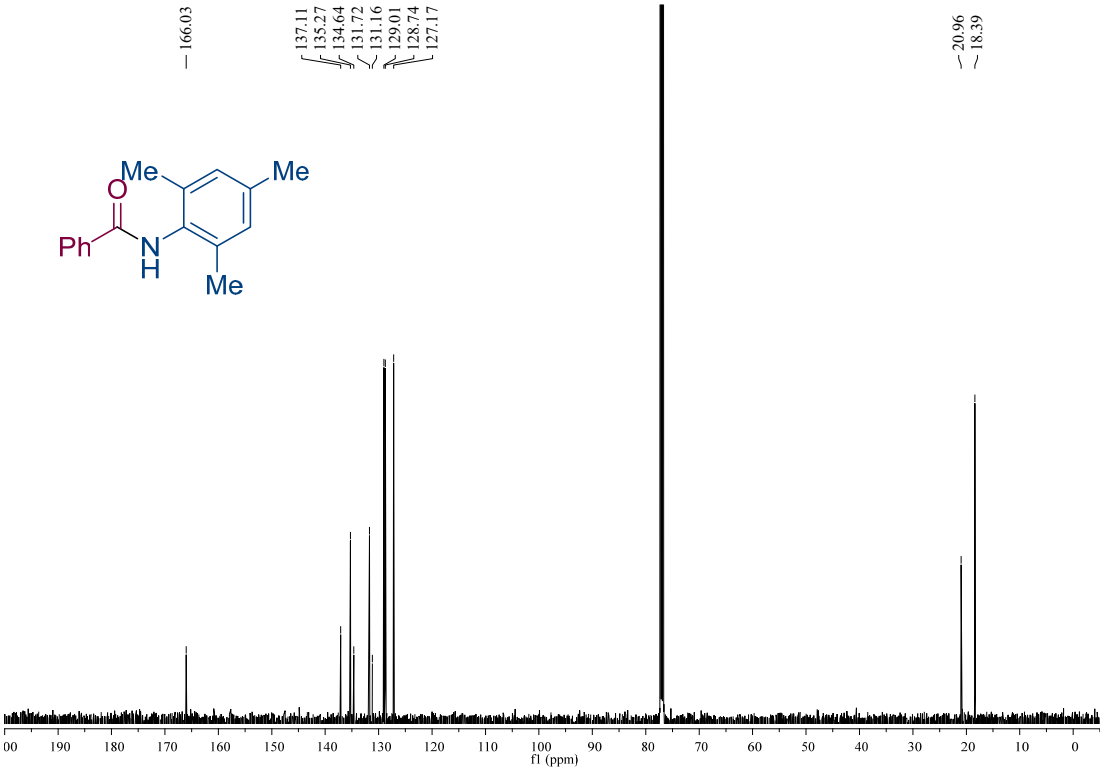


N-Mesitylbenzamide (3af):

^{1}H-NMR

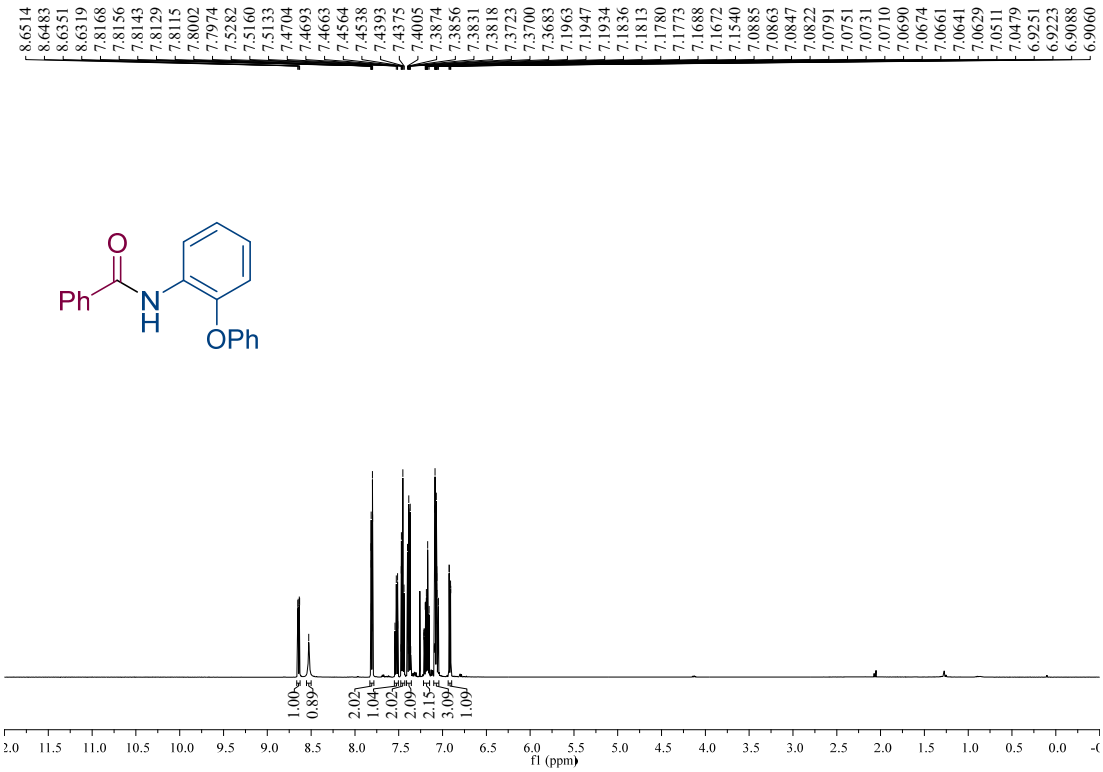


^{13}C-NMR

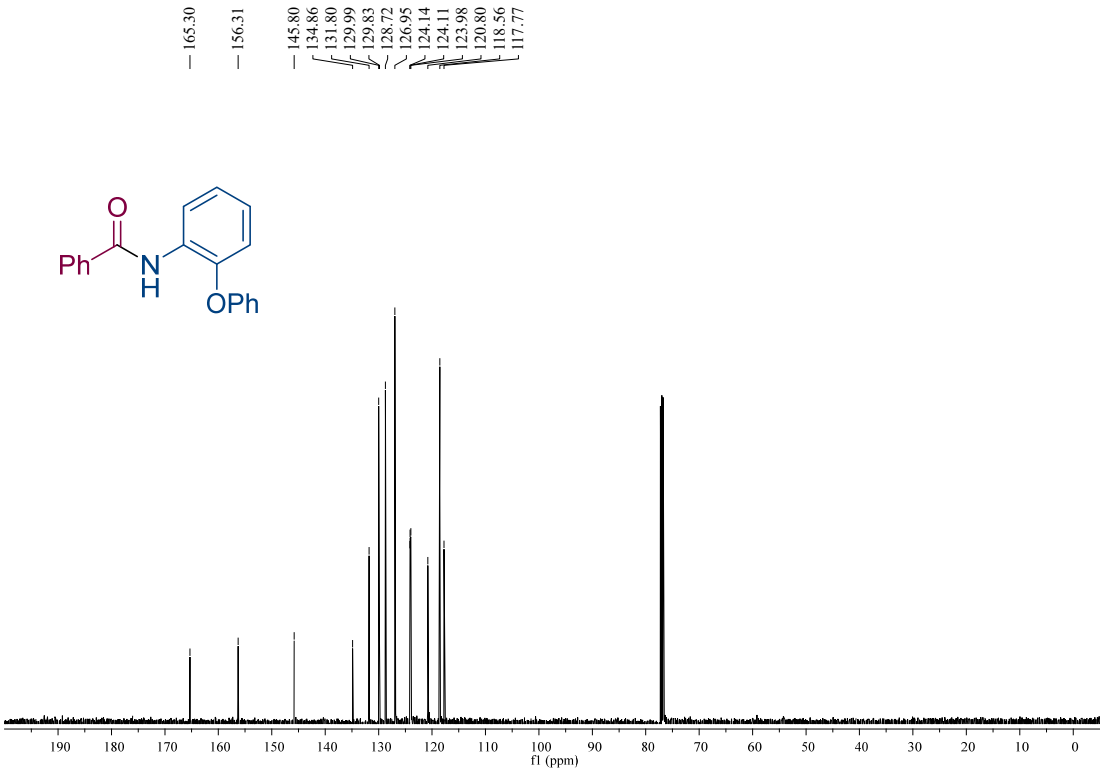


N-(2-Phenoxyphenyl)benzamide (3ag)

^{1}H-NMR

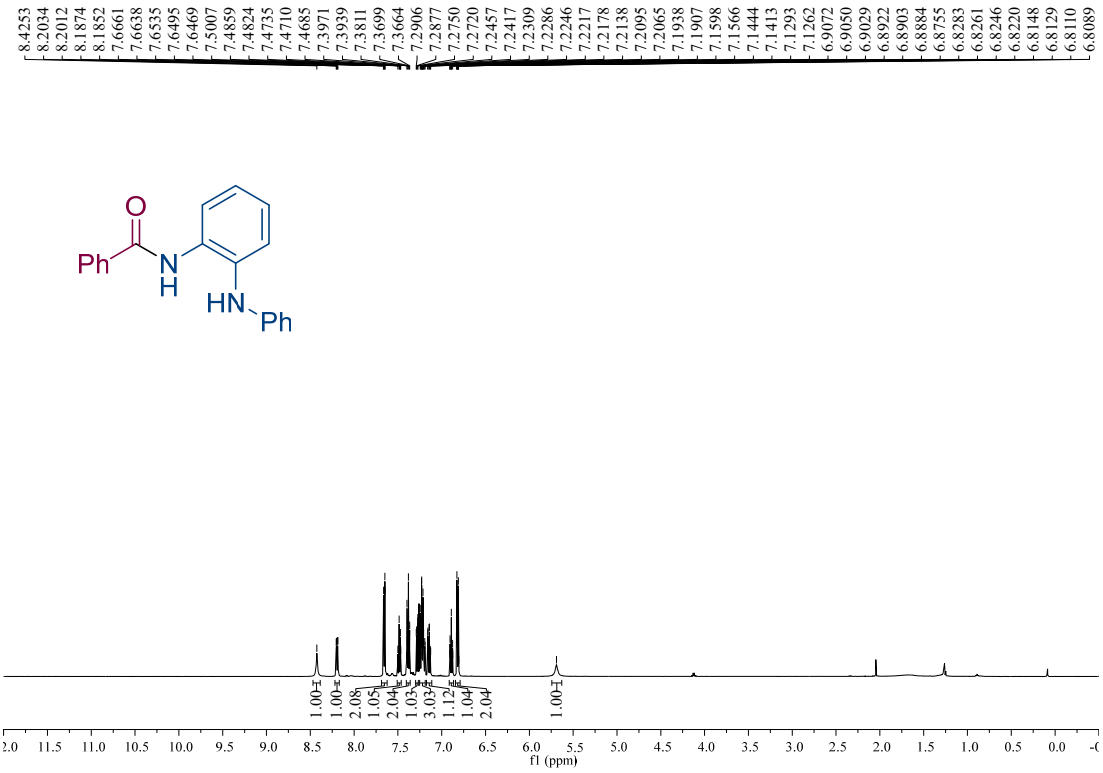


^{13}C-NMR

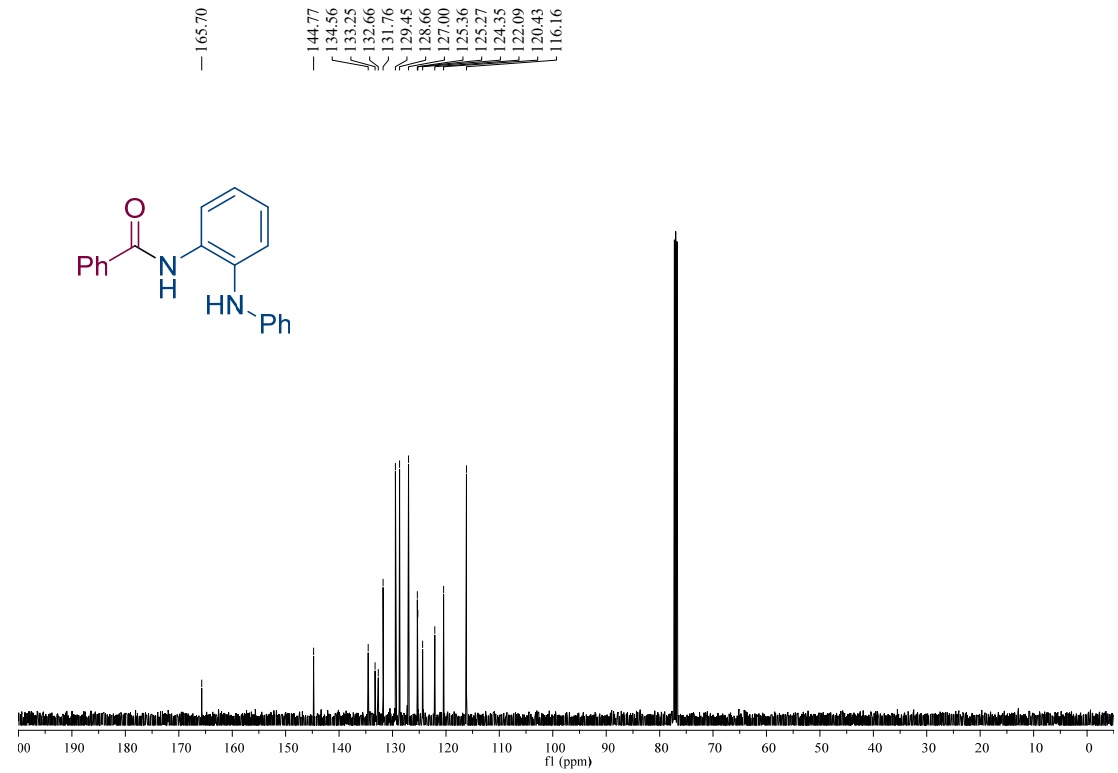


N-(2-(Phenylamino)phenyl)benzamide (3ah)

^{1}H-NMR

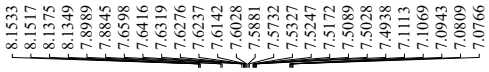


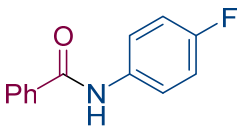
^{13}C-NMR

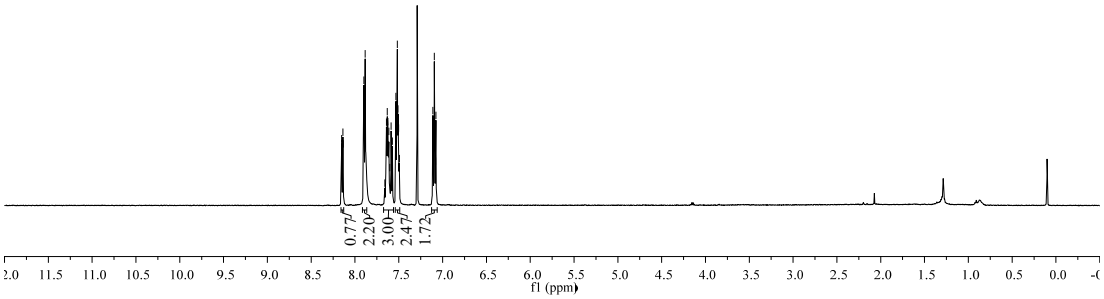


N-(4-Fluorophenyl)benzamide (3ai)

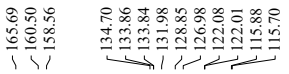
^{1}H-NMR

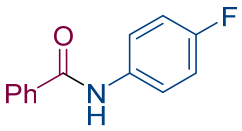






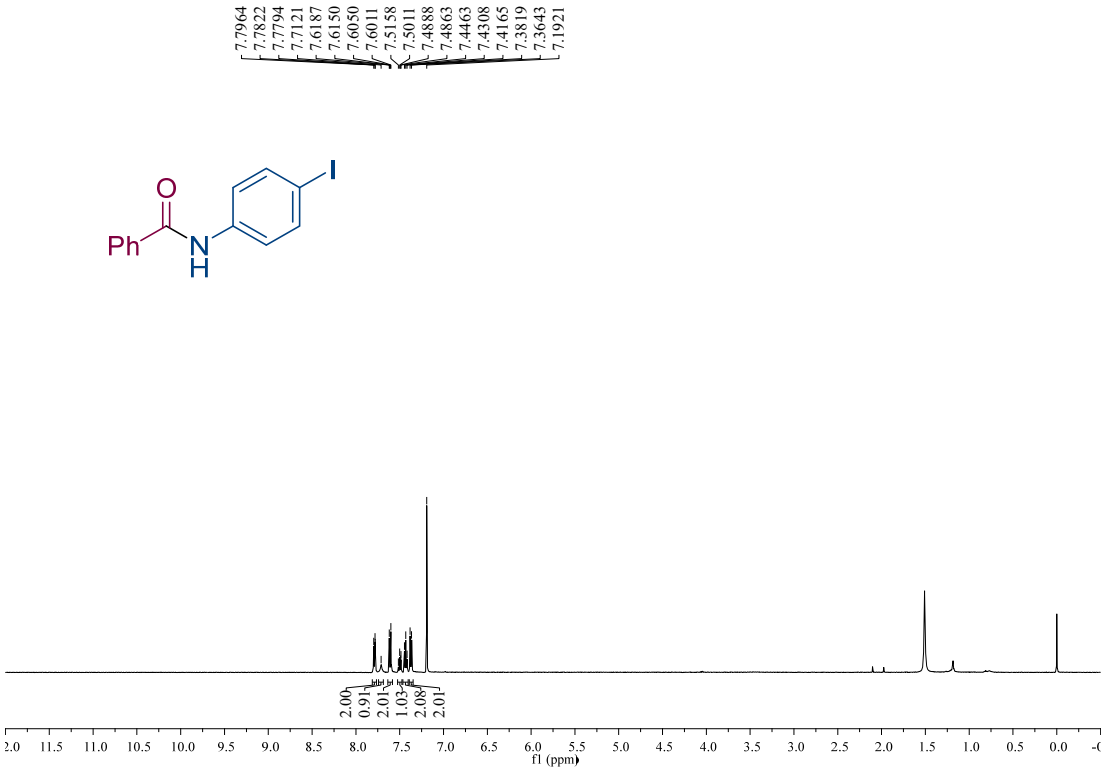
^{13}C-NMR



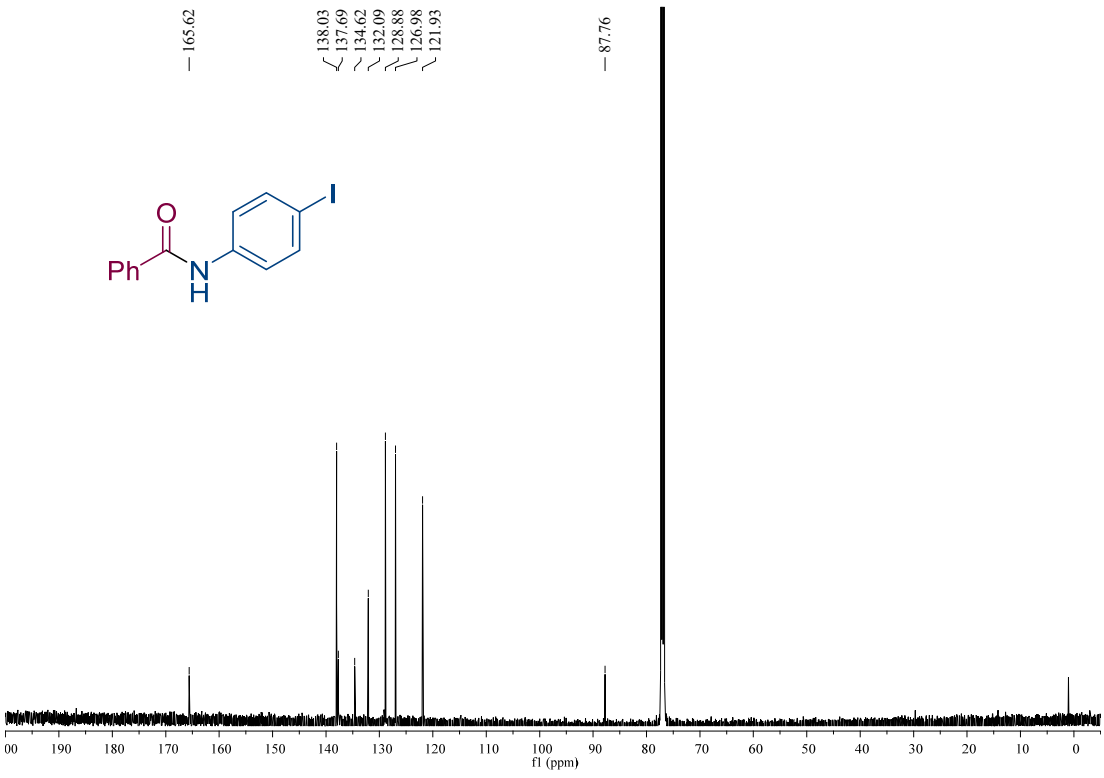


N-(4-Iodophenyl)benzamide (3aj)

^{1}H-NMR

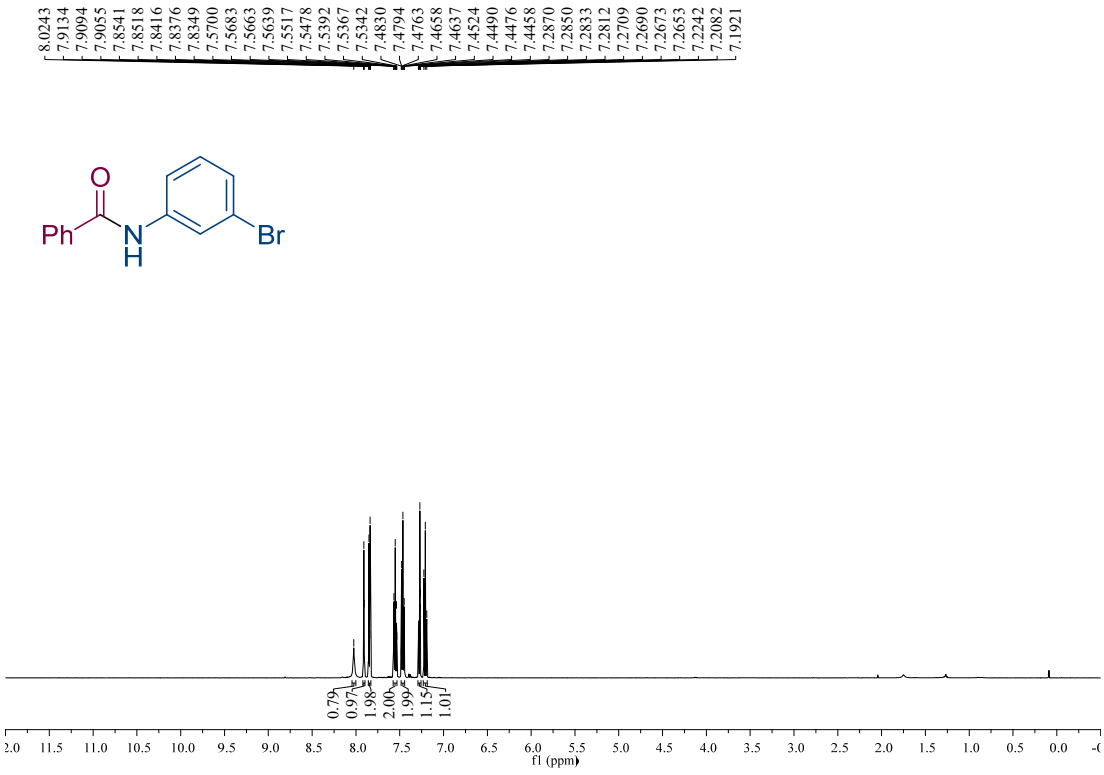


^{13}C-NMR

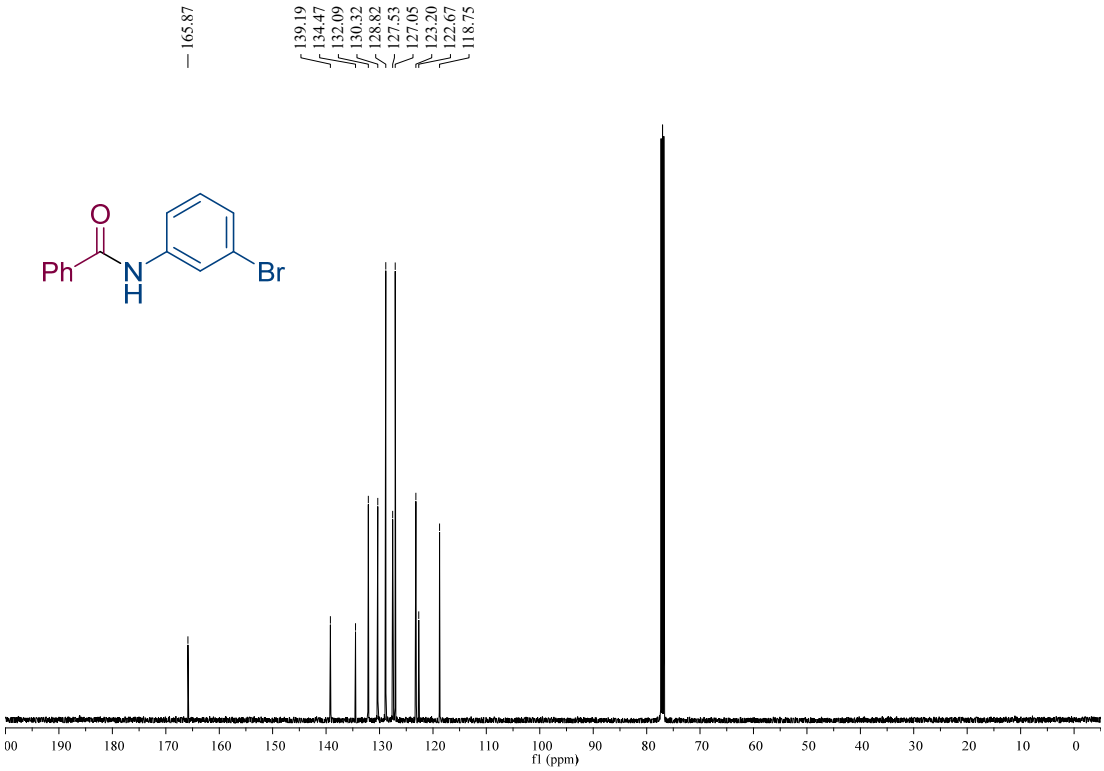


N-(3-Bromophenyl)benzamide (3ak)

^{1}H-NMR

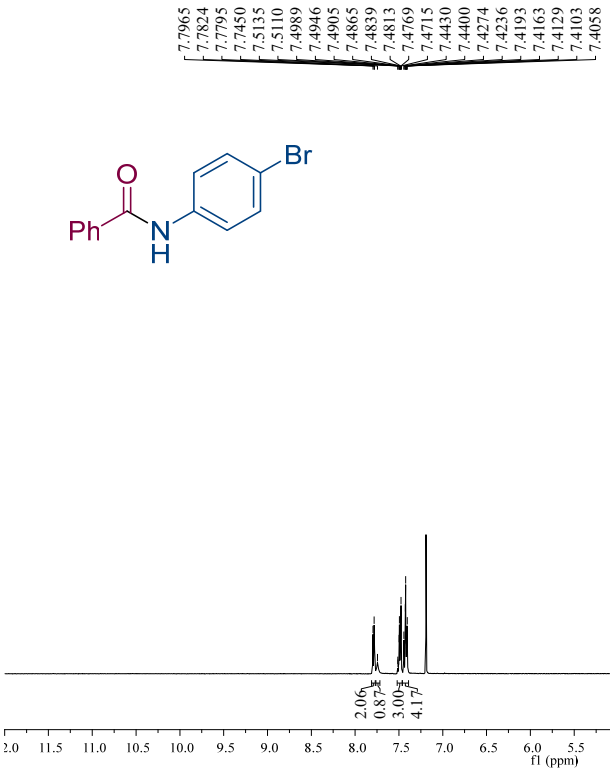


^{13}C-NMR

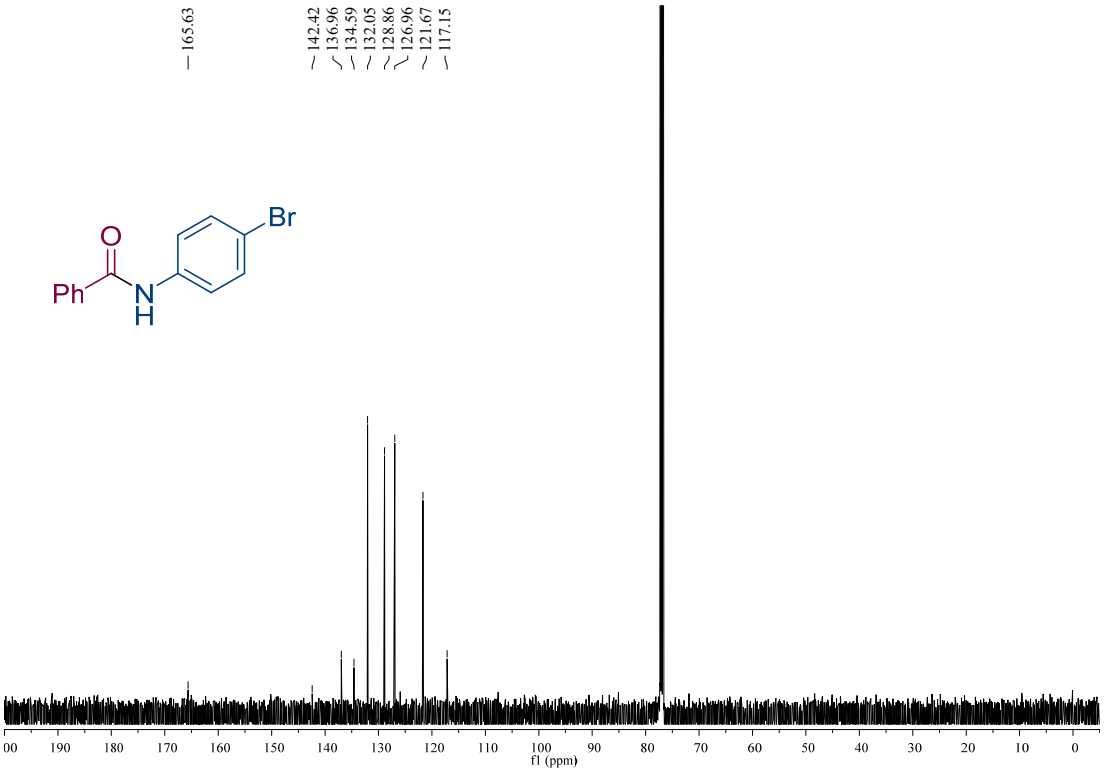


N-(4-Bromophenyl)benzamide (3al)

^{1}H-NMR

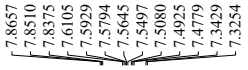


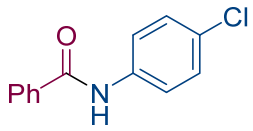
^{13}C-NMR

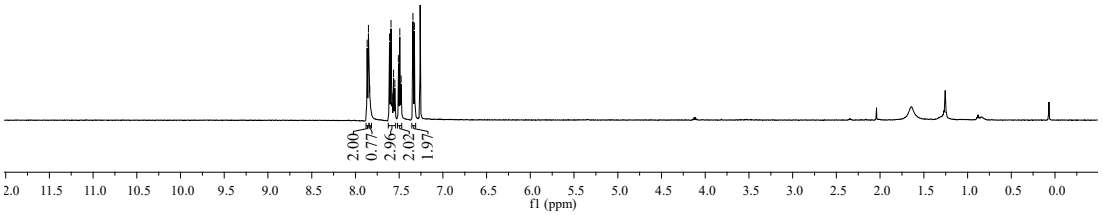


N-(4-Chlorophenyl)benzamide (3am)

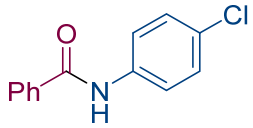
^{1}H-NMR





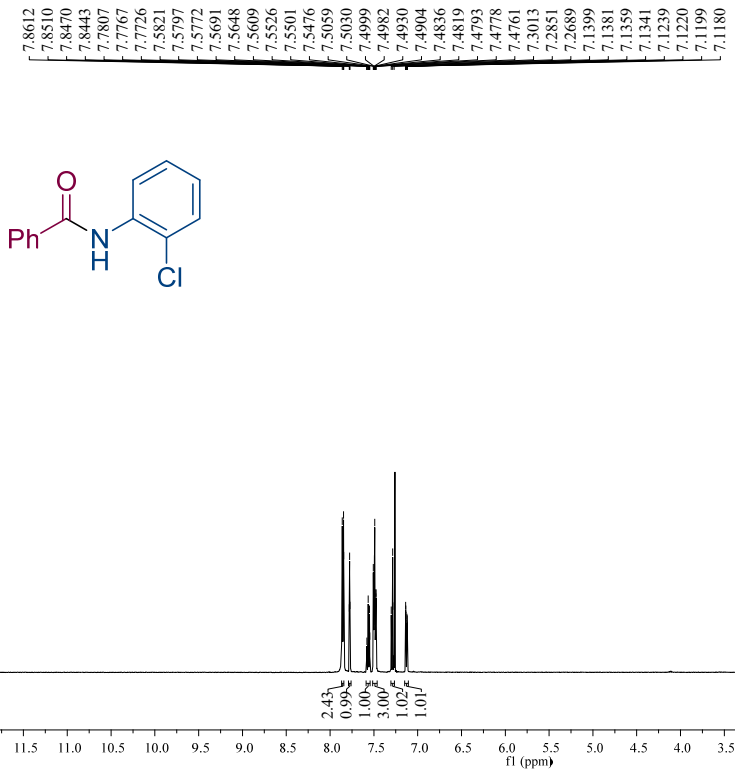


^{13}C-NMR

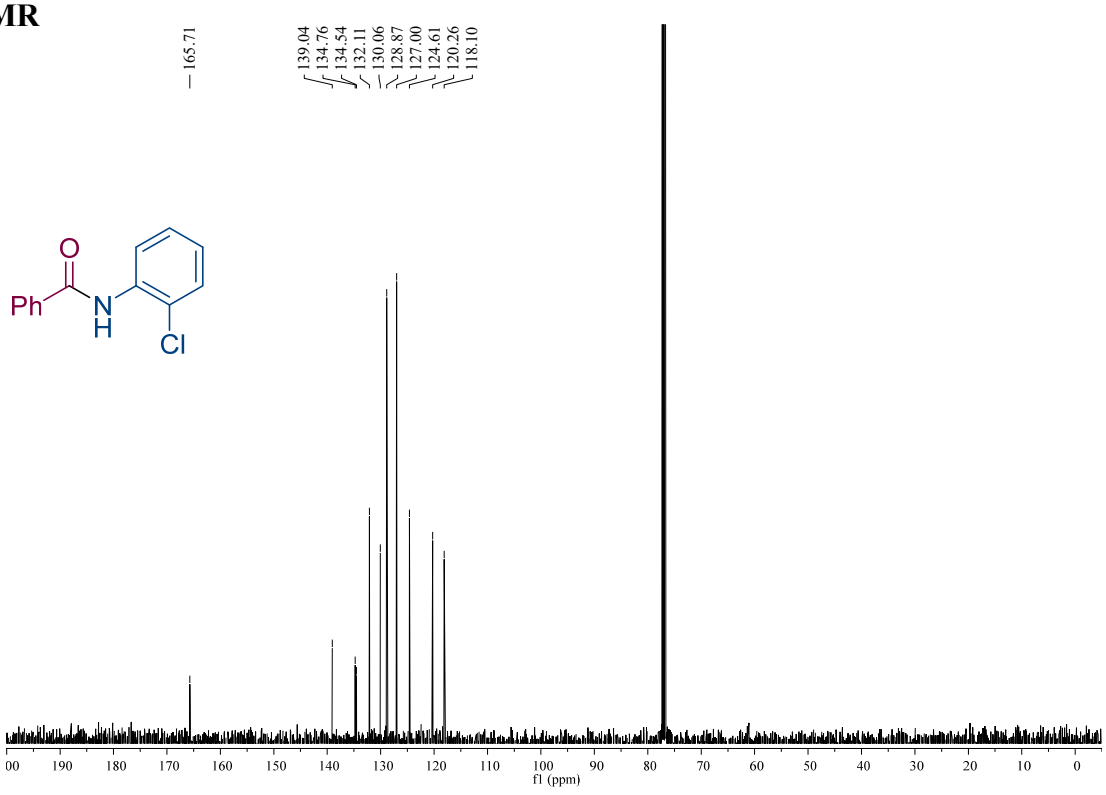


N-(2-Chlorophenyl)benzamide (3an)

^{1}H-NMR

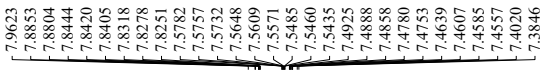


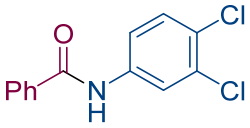
^{13}C-NMR

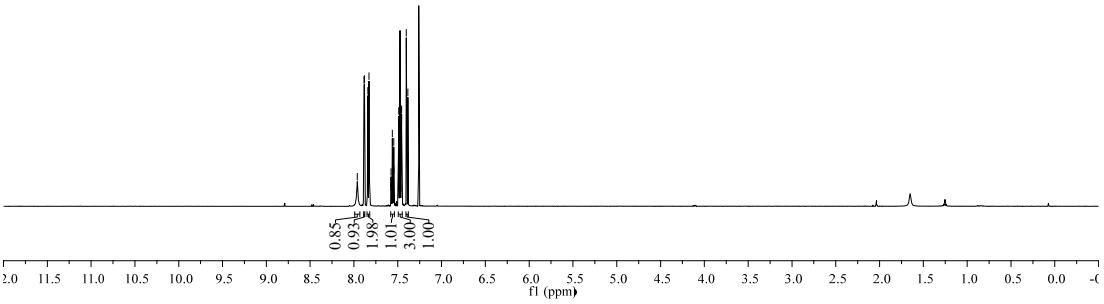


N-(3,4-Dichlorophenyl)benzamide (3ao)

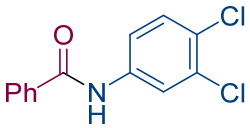
^{1}H-NMR





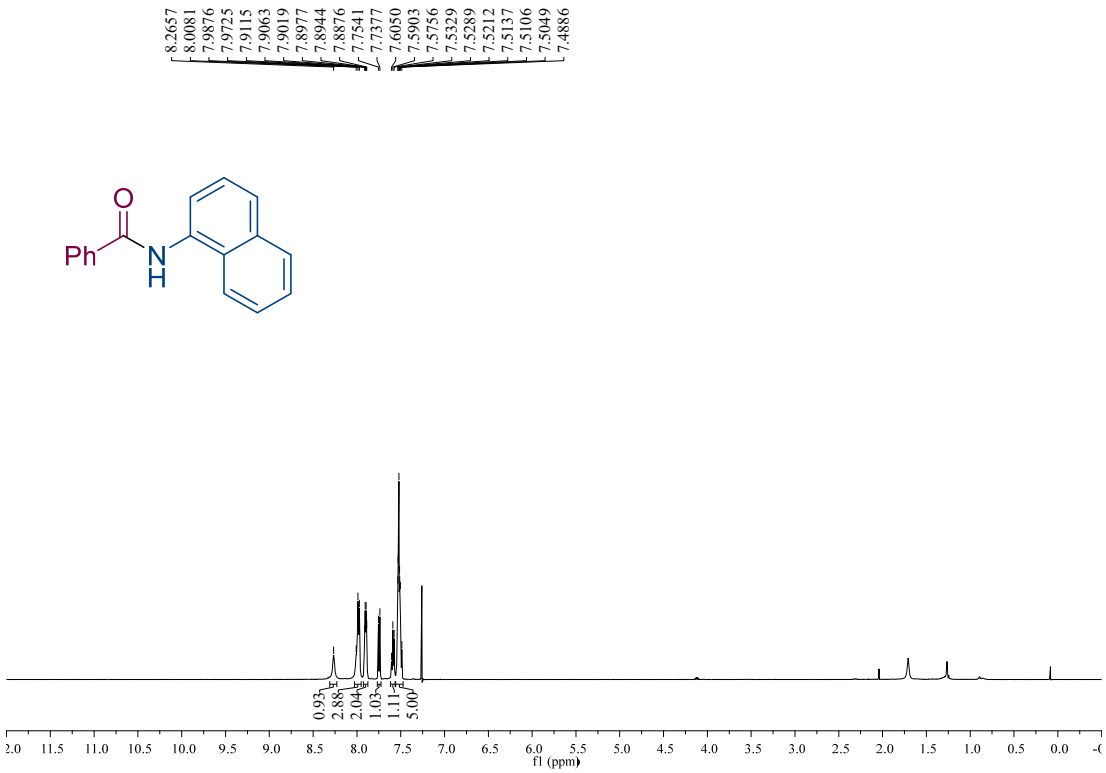


^{13}C-NMR

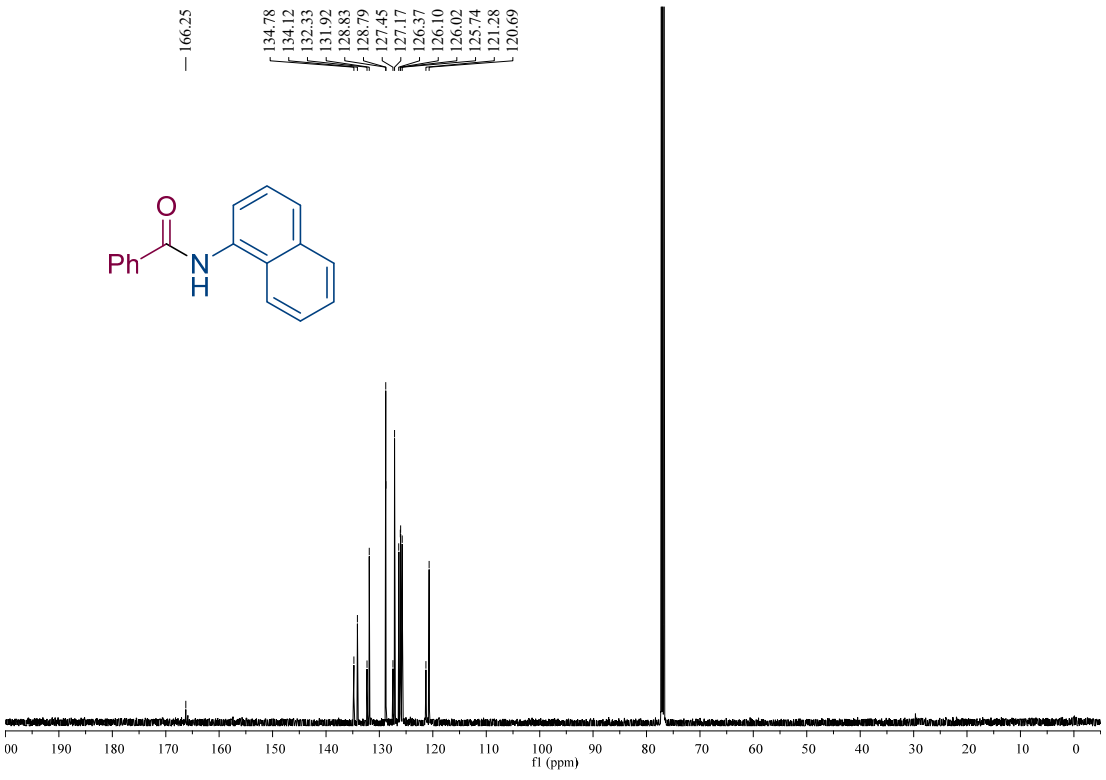


N-(Naphthalen-1-yl)benzamide (3ap)

^{1}H-NMR

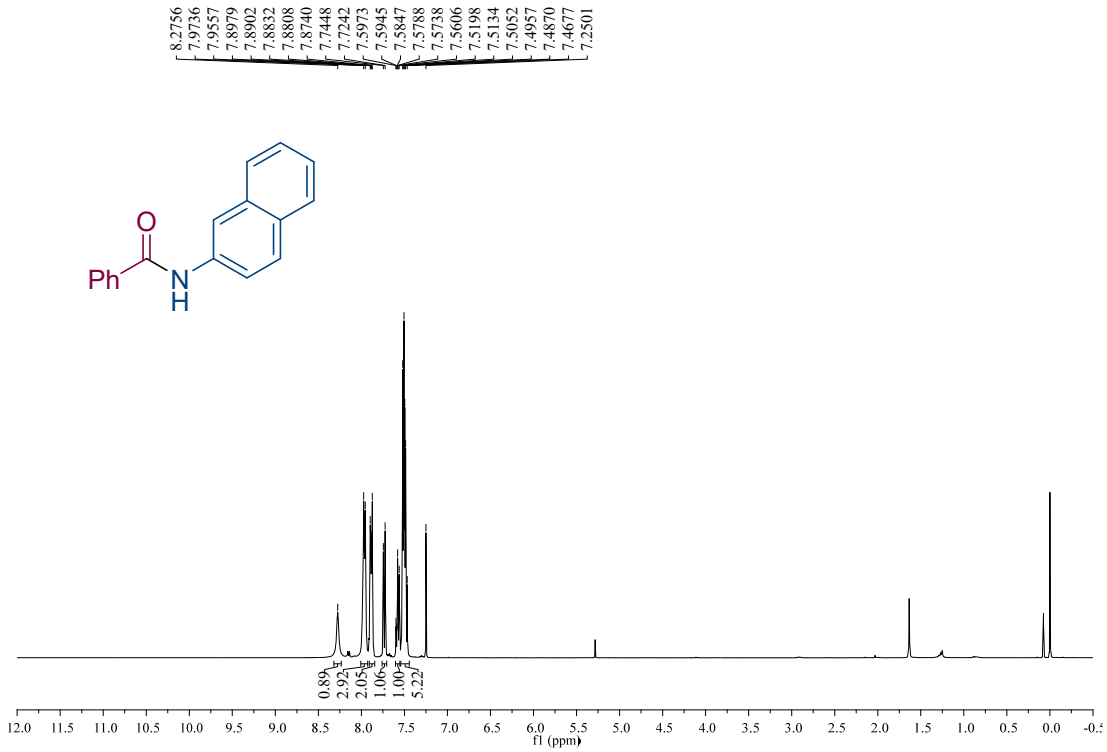


^{13}C-NMR

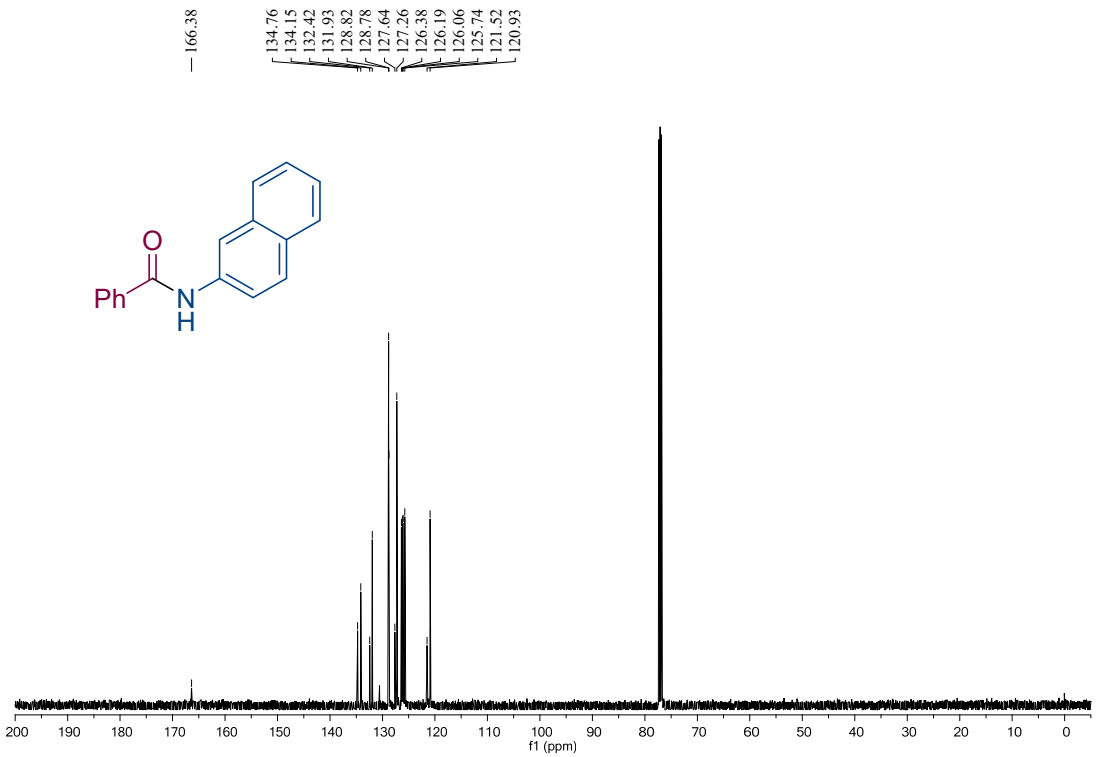


N-(Naphthalen-2-yl)benzamide (3aq)

^{1}H-NMR

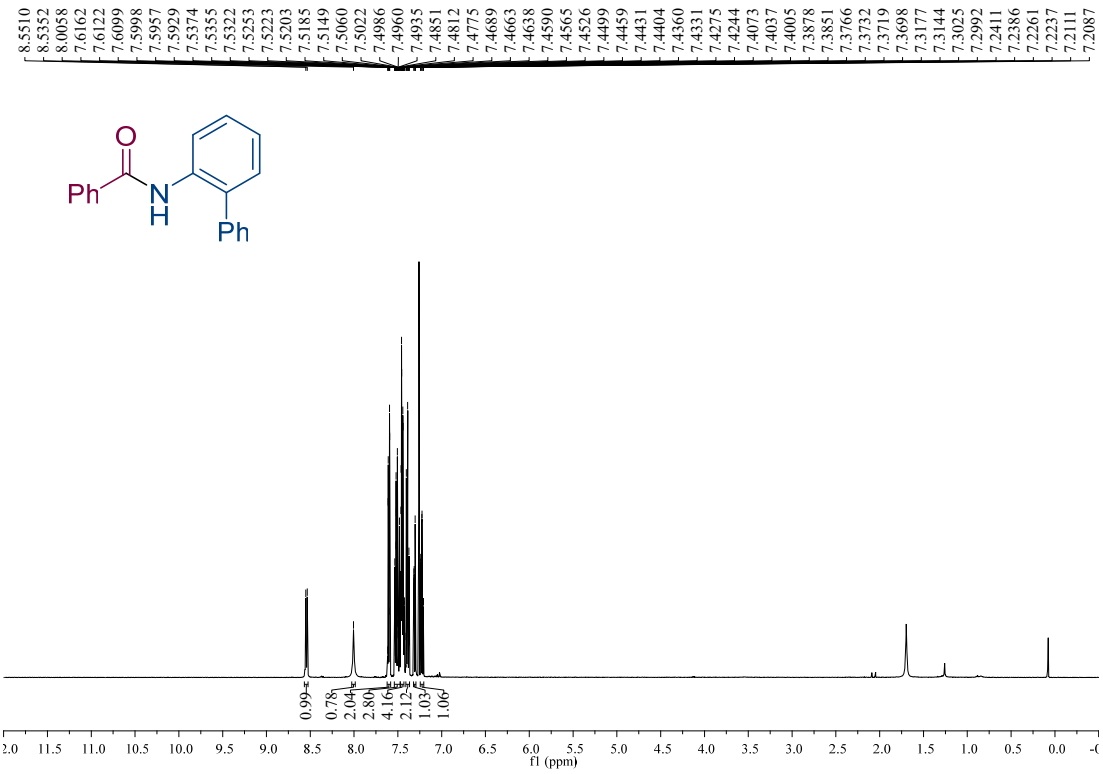


^{13}C-NMR

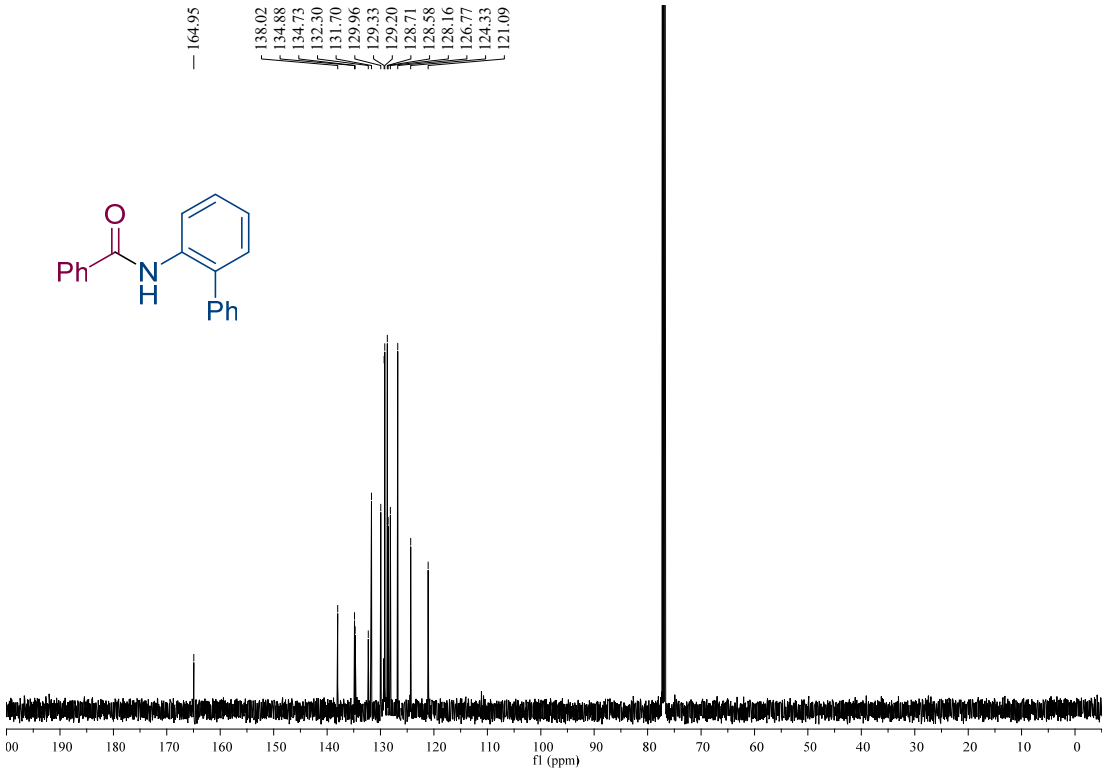


N-([1,1'-Biphenyl]-2-yl)benzamide (3ar)

^{1}H-NMR

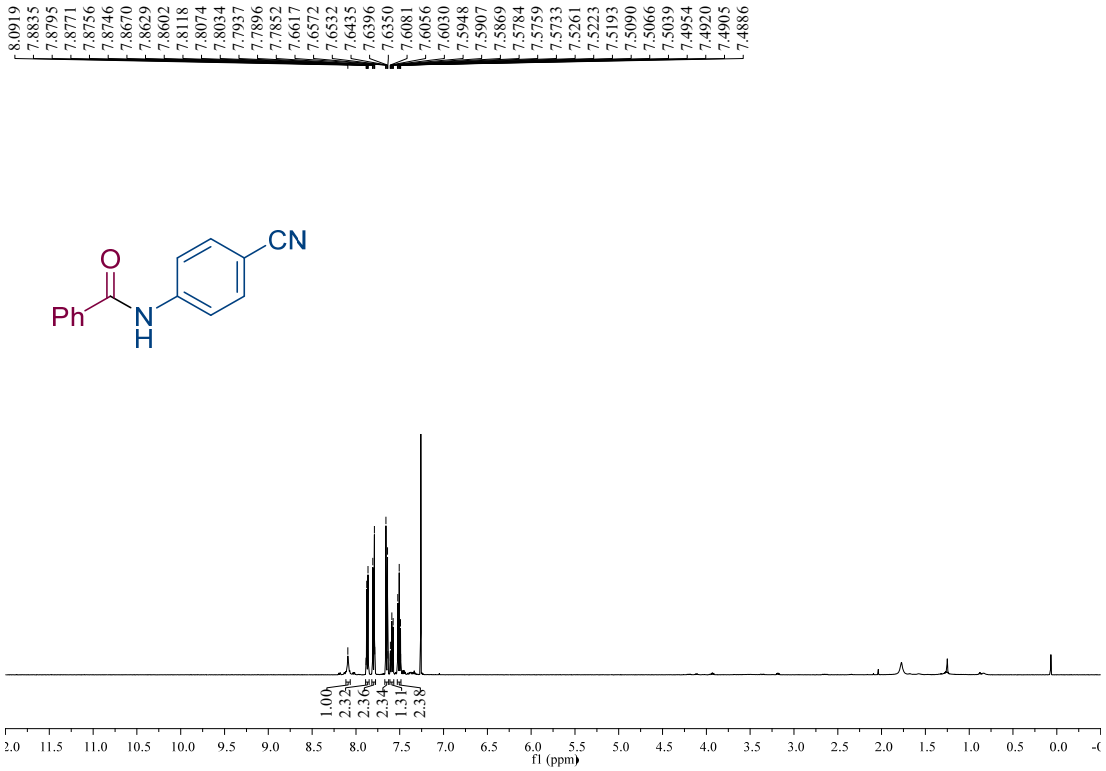


^{13}C-NMR

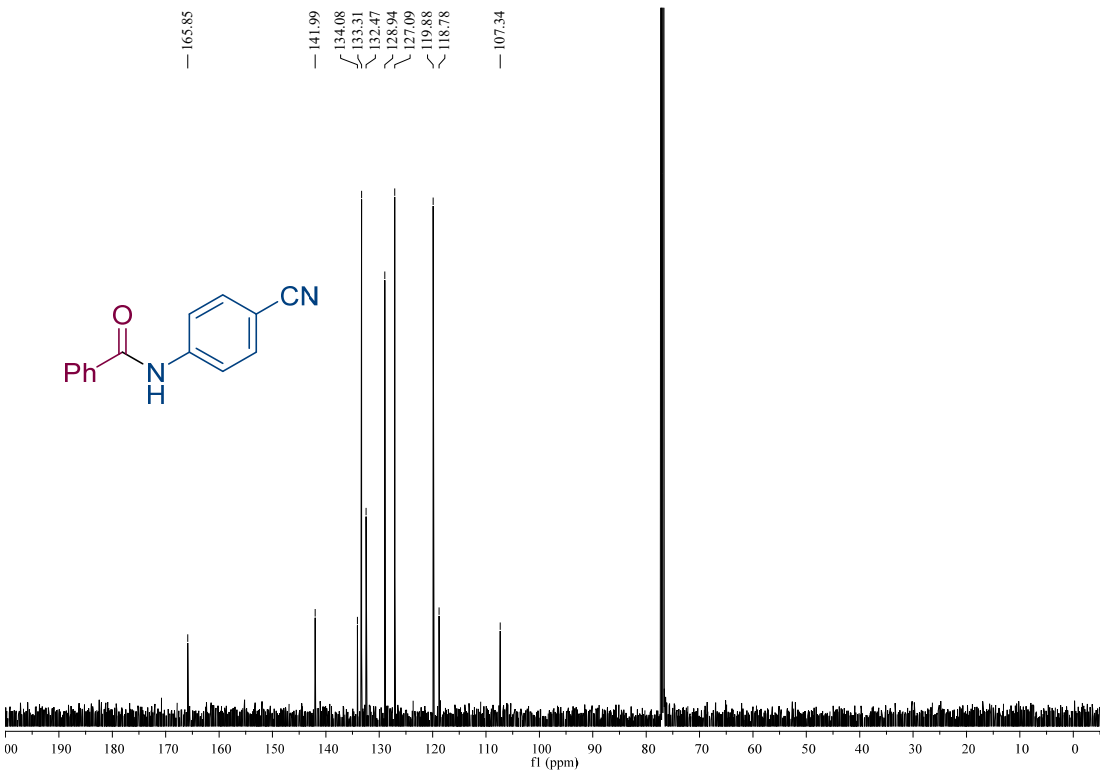


N-(4-Cyanophenyl)benzamide (3as)

[1]H-NMR

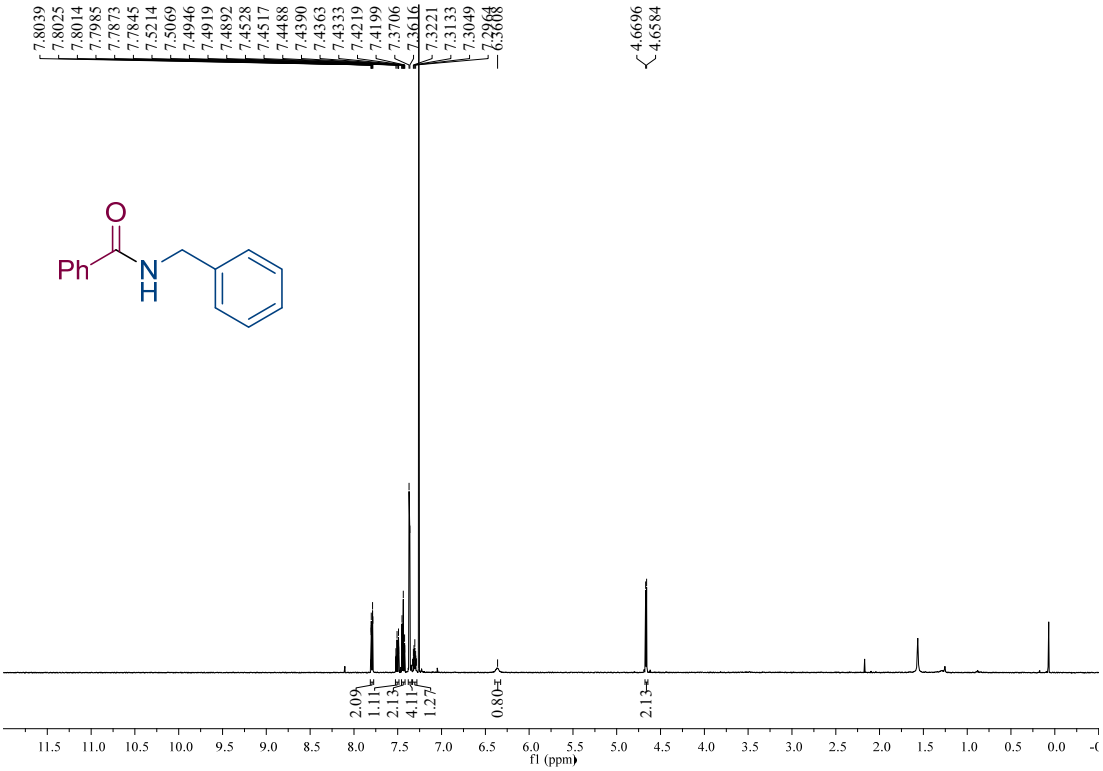


[13]C-NMR

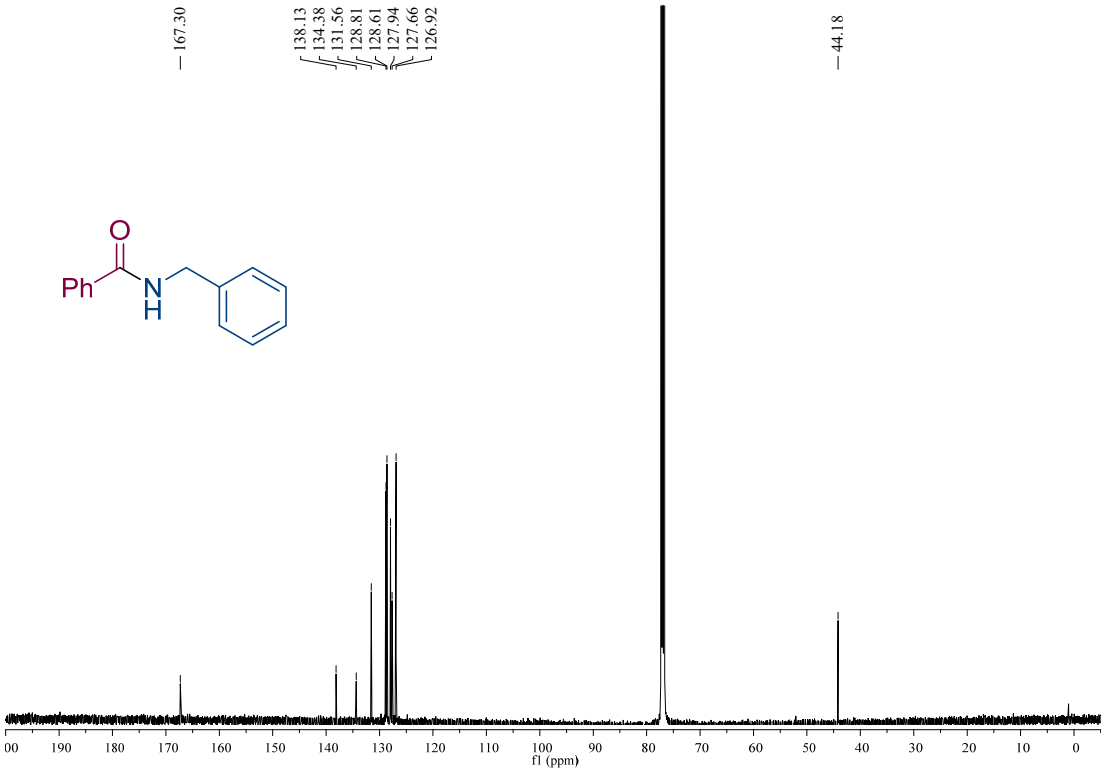


N-Benzylbenzamide (3at)

^{1}H-NMR

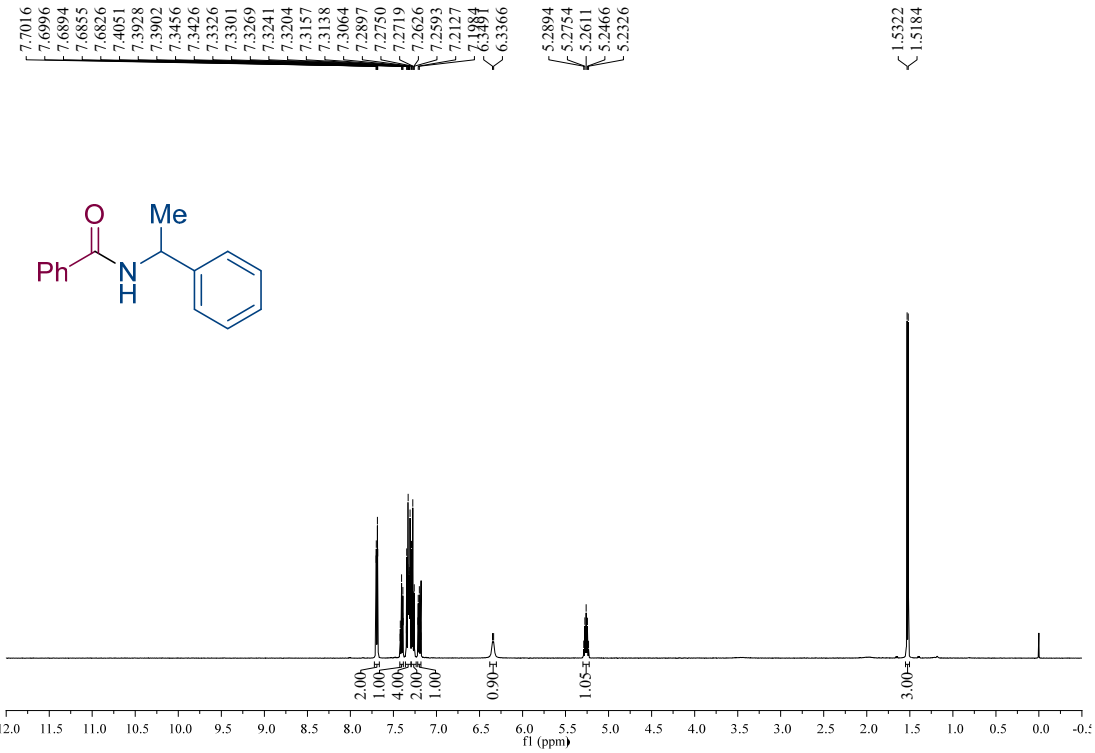


^{13}C-NMR

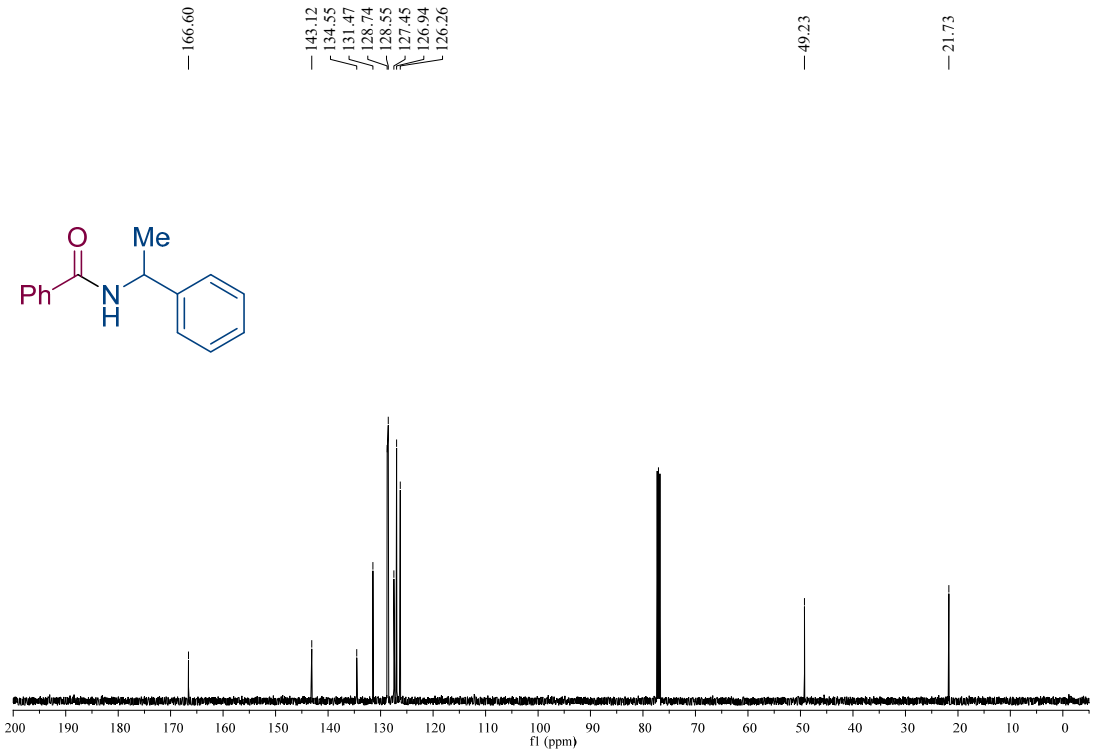


N-(1-Phenylethyl)benzamide (3au)

^{1}H-NMR

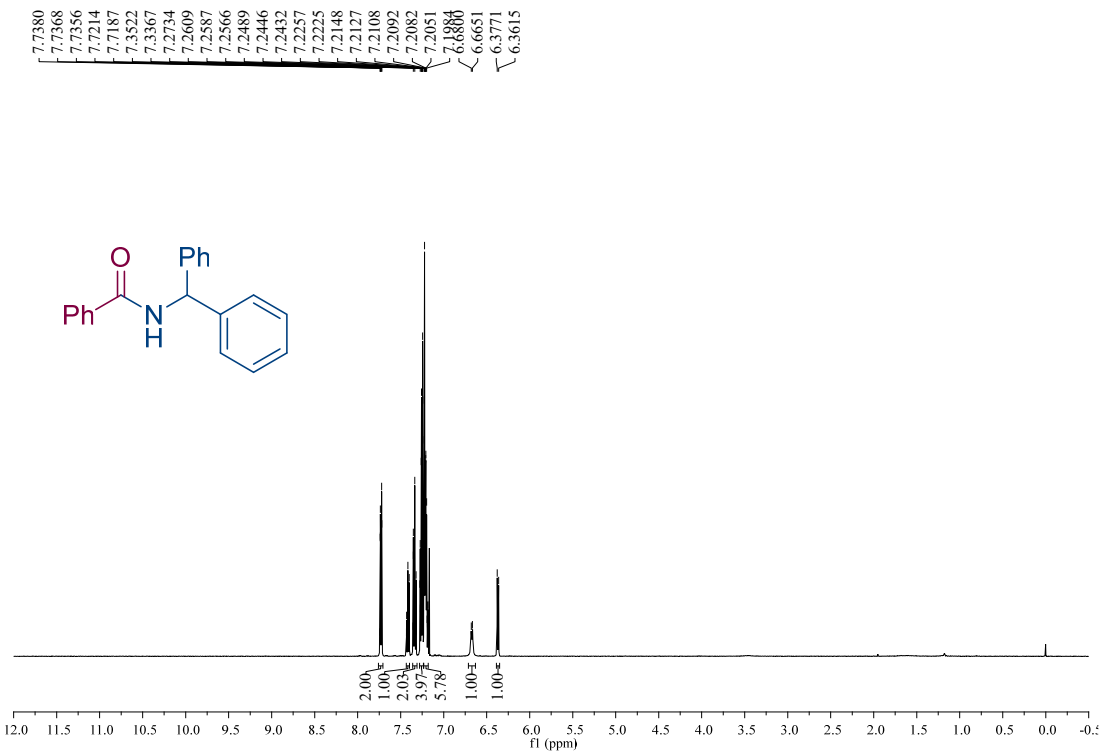


^{13}C-NMR

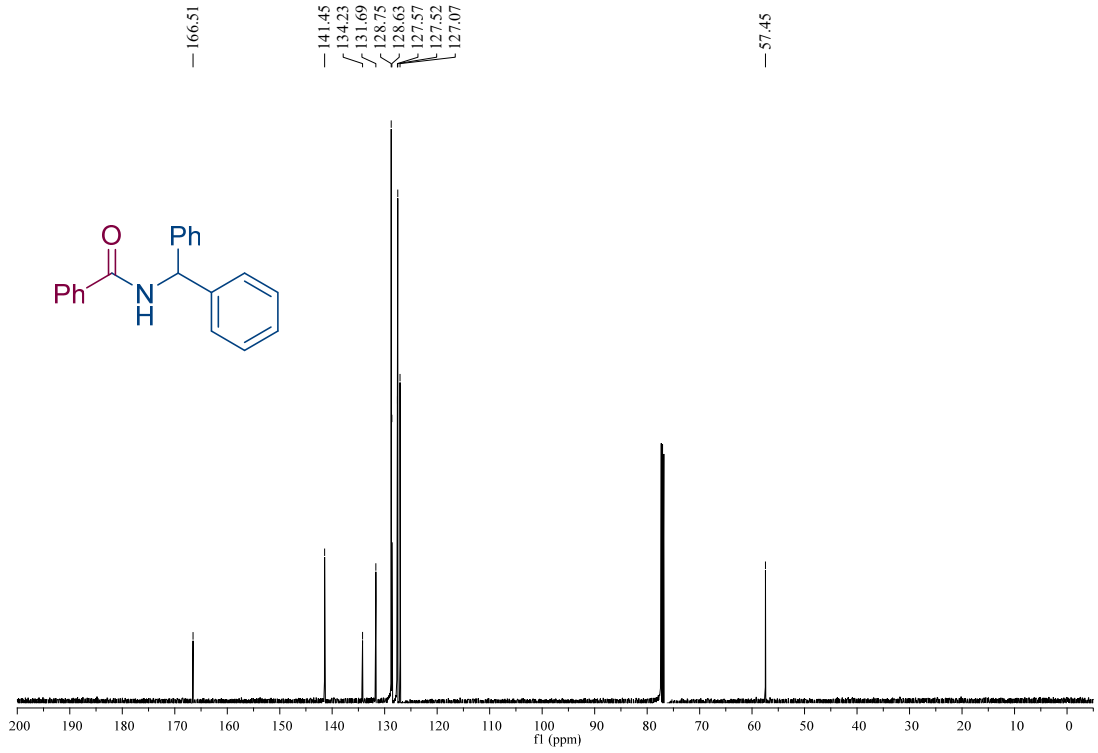


N-Benzhydrylbenzamide (3av)

^{1}H-NMR

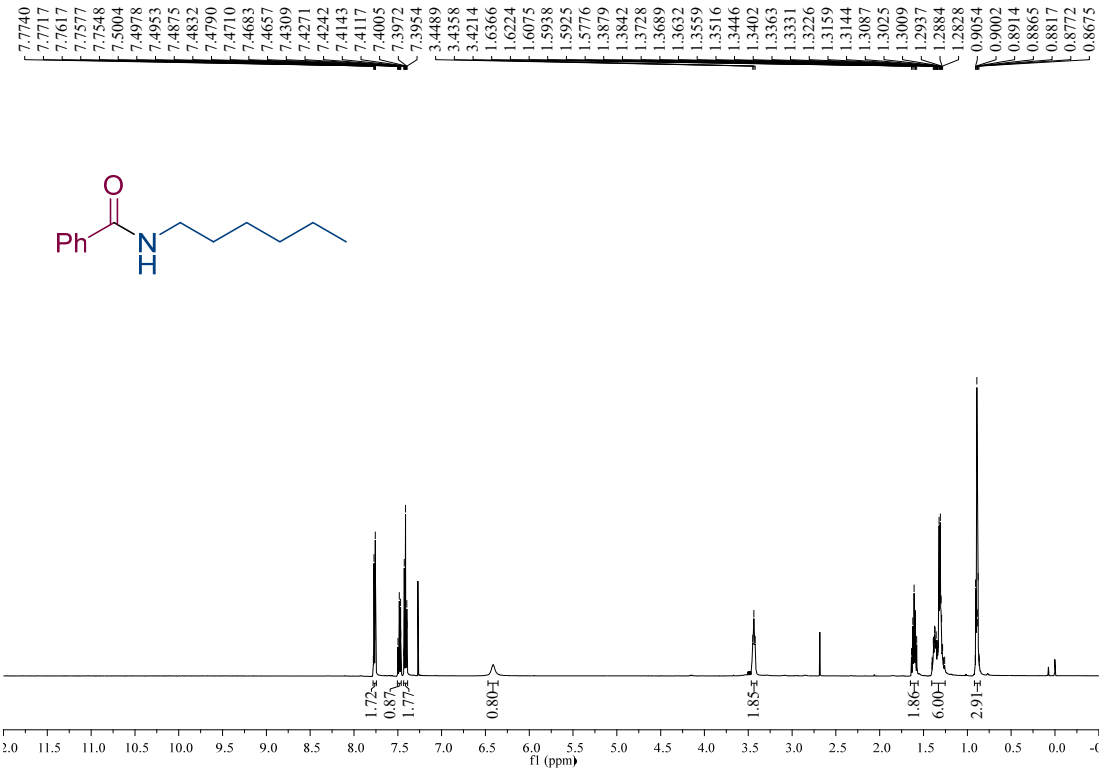


^{13}C-NMR

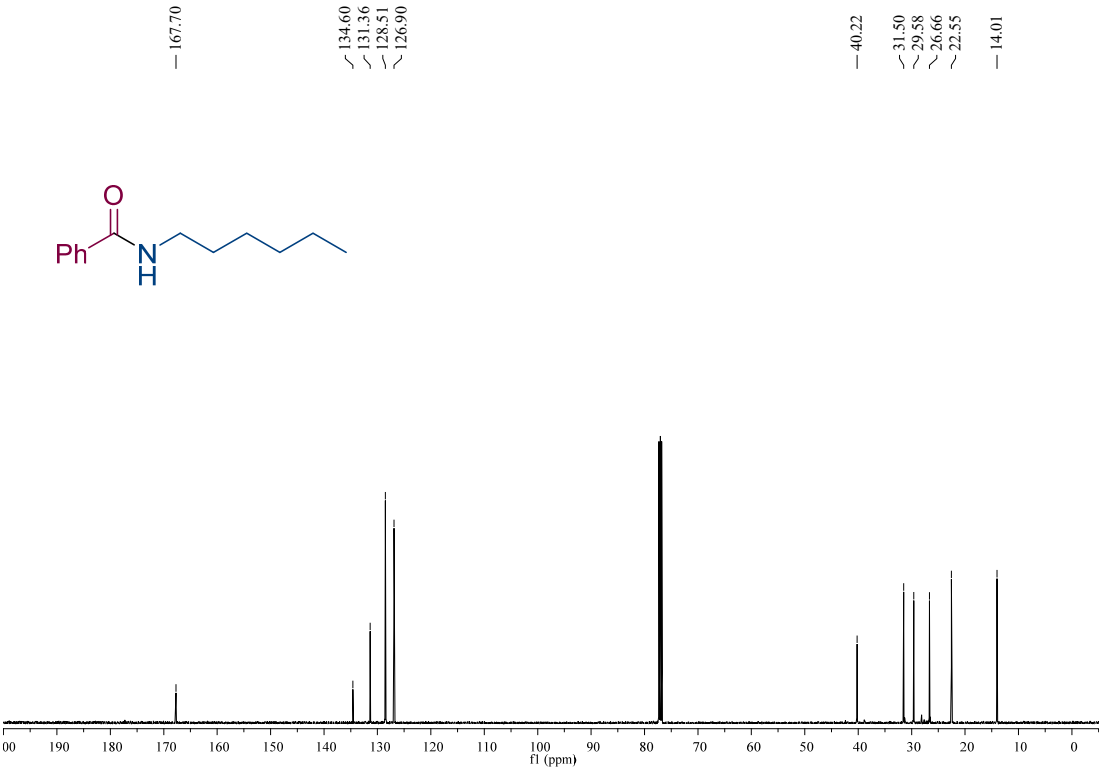


N-Hexylbenzamide (3aw)

^{1}H-NMR

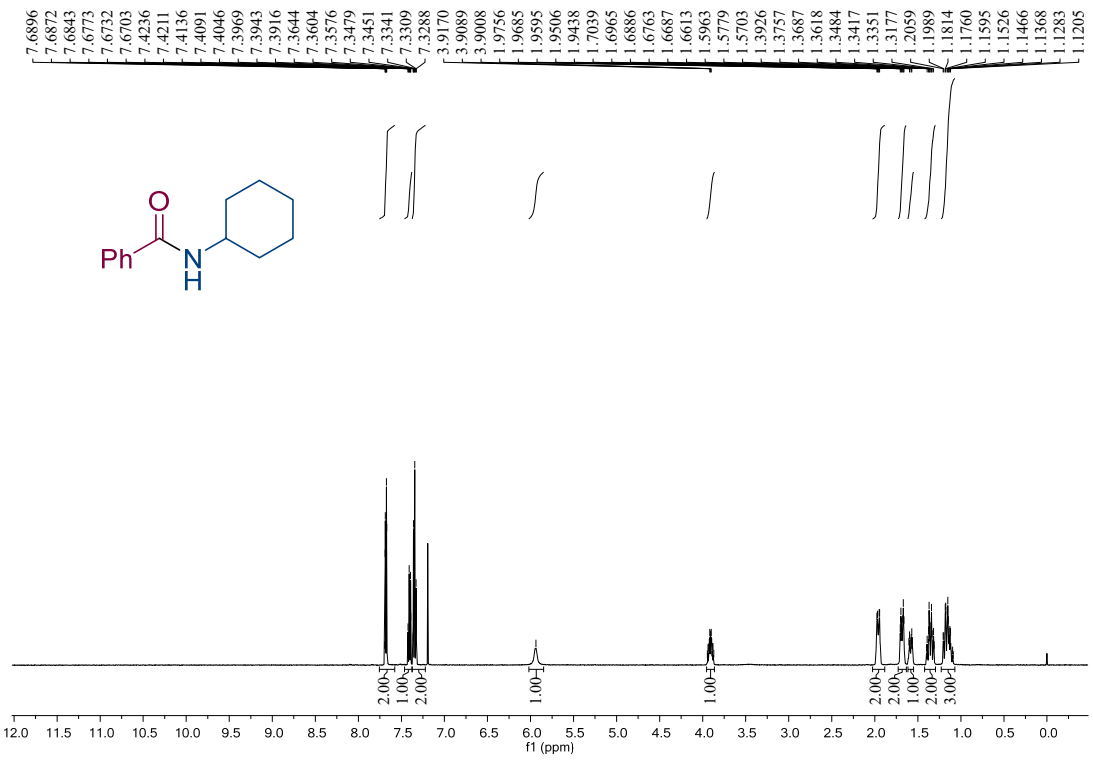


^{13}C-NMR

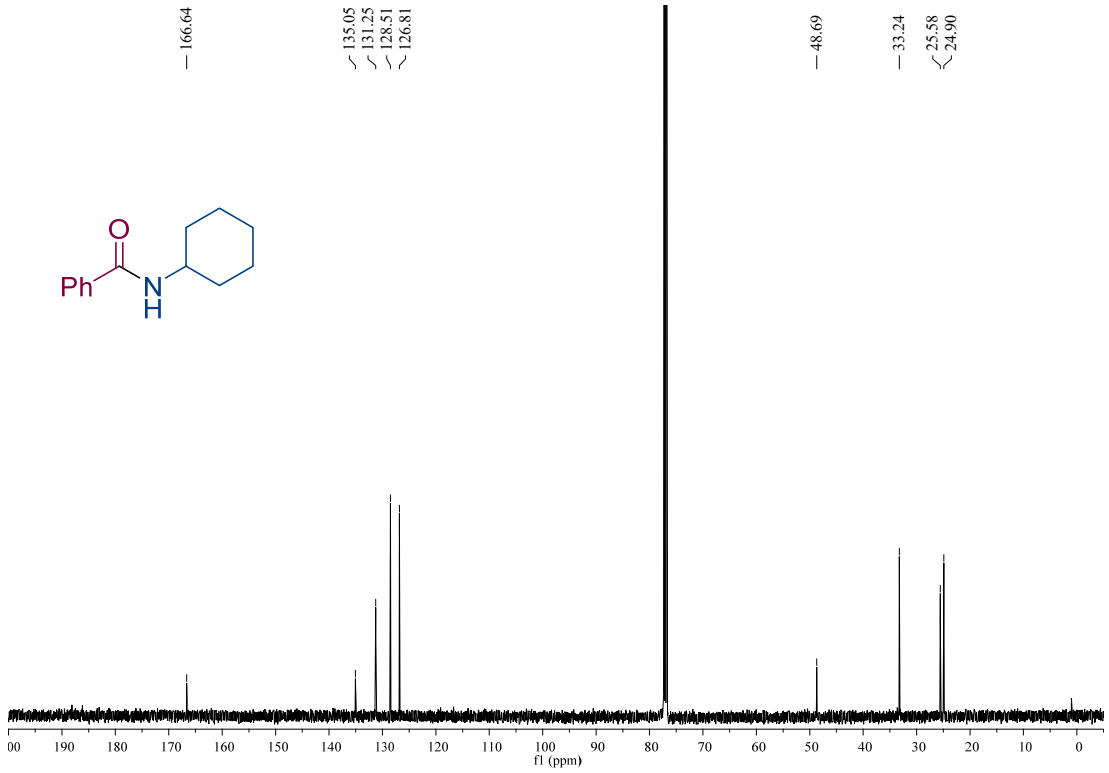


N-Cyclohexylbenzamide (3ax)

^{1}H-NMR

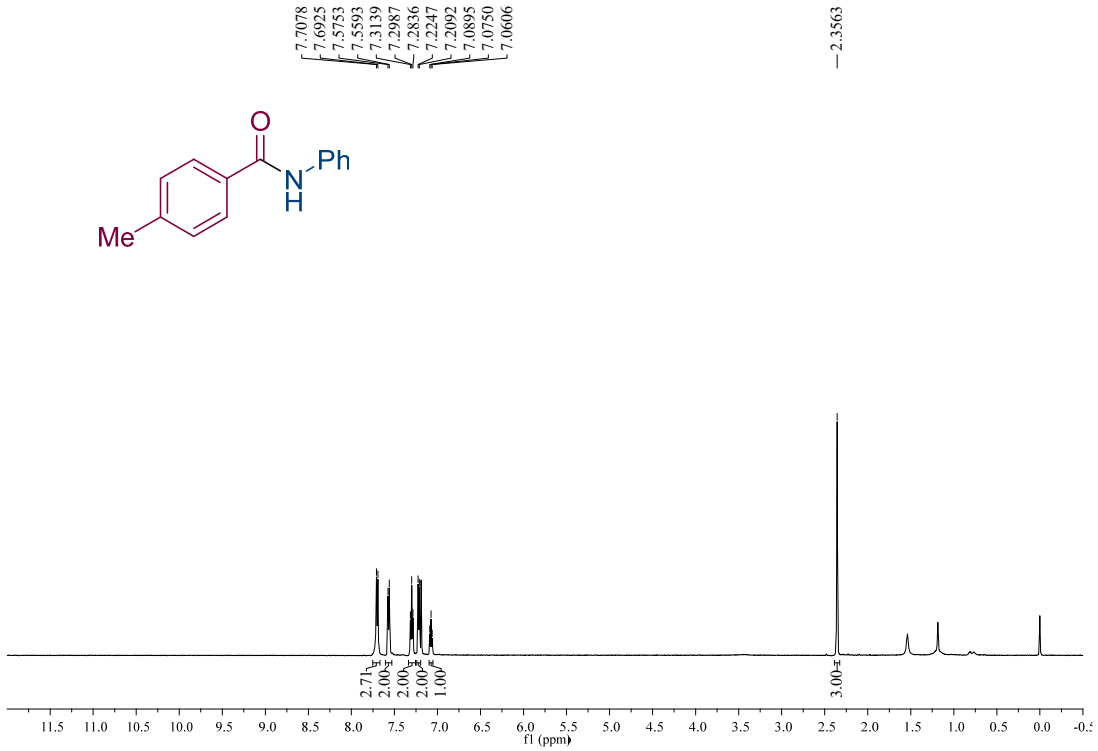


^{13}C-NMR

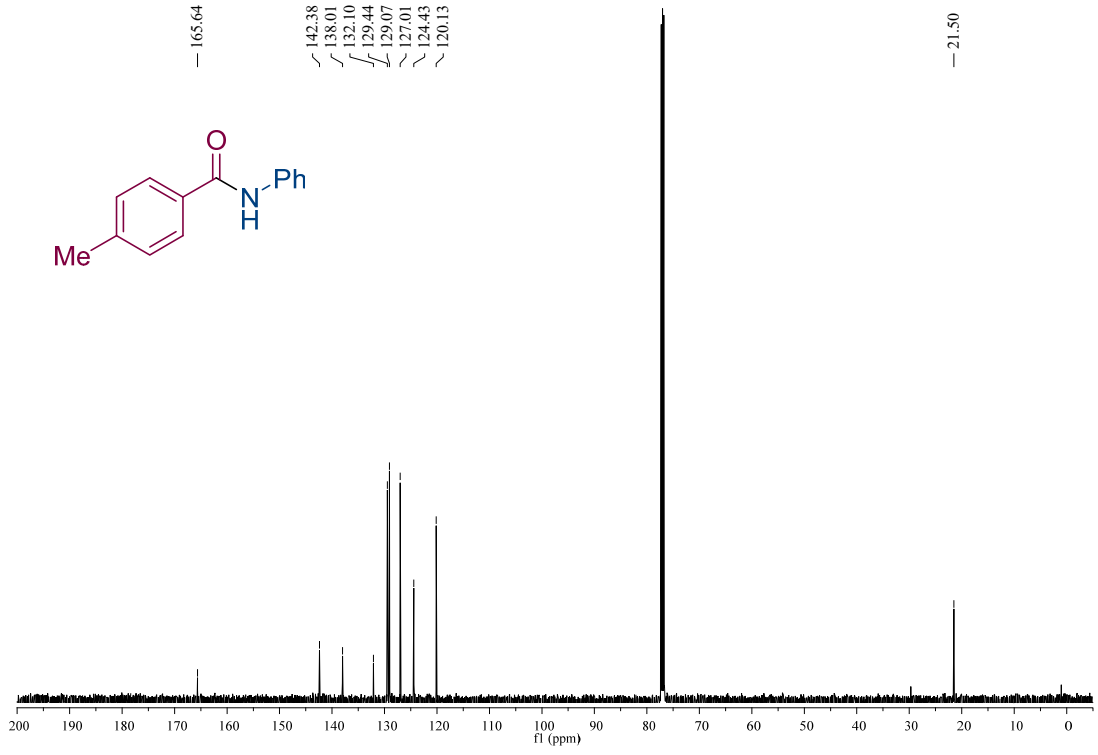


4-Methyl-*N*-phenylbenzamide (3ba)

^{1}H-NMR

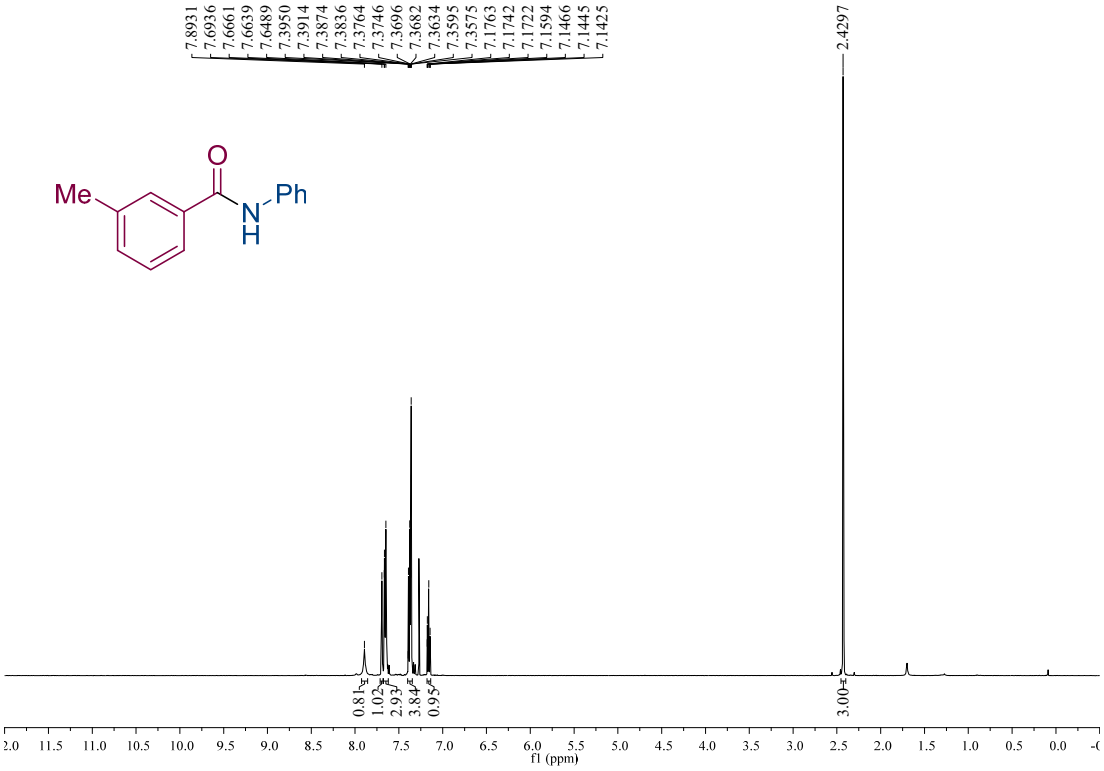


^{13}C-NMR

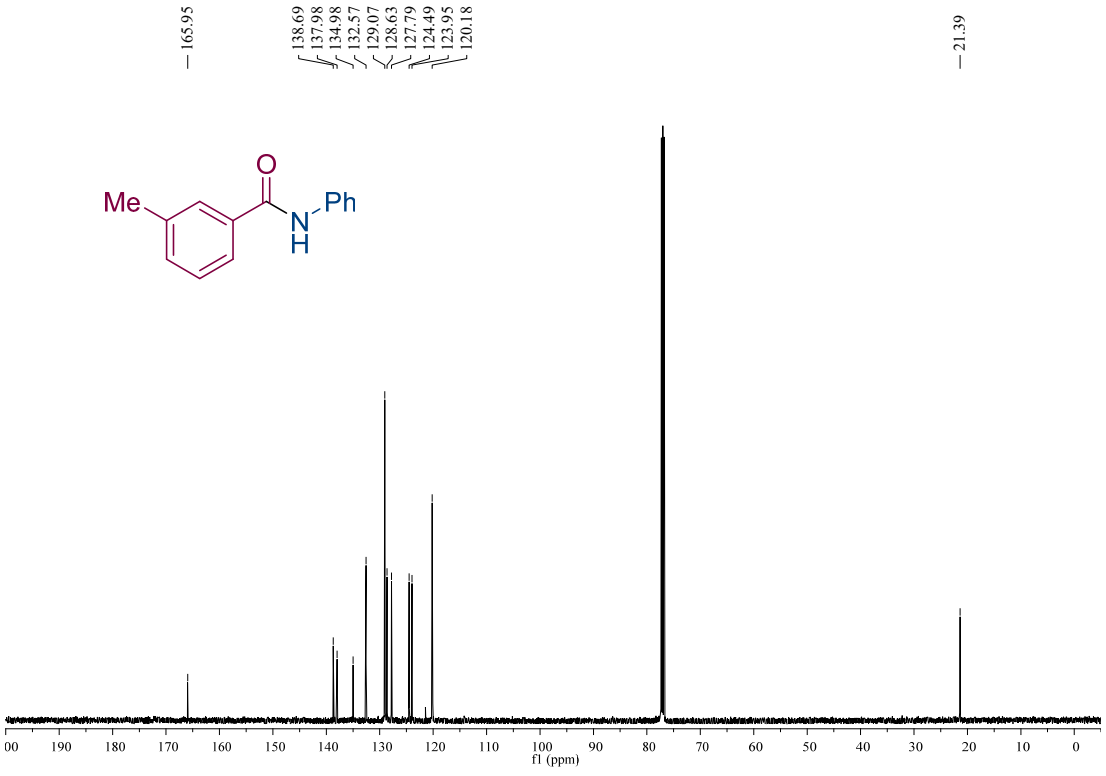


3-Methyl-*N*-phenylbenzamide (3ca)

^{1}H-NMR

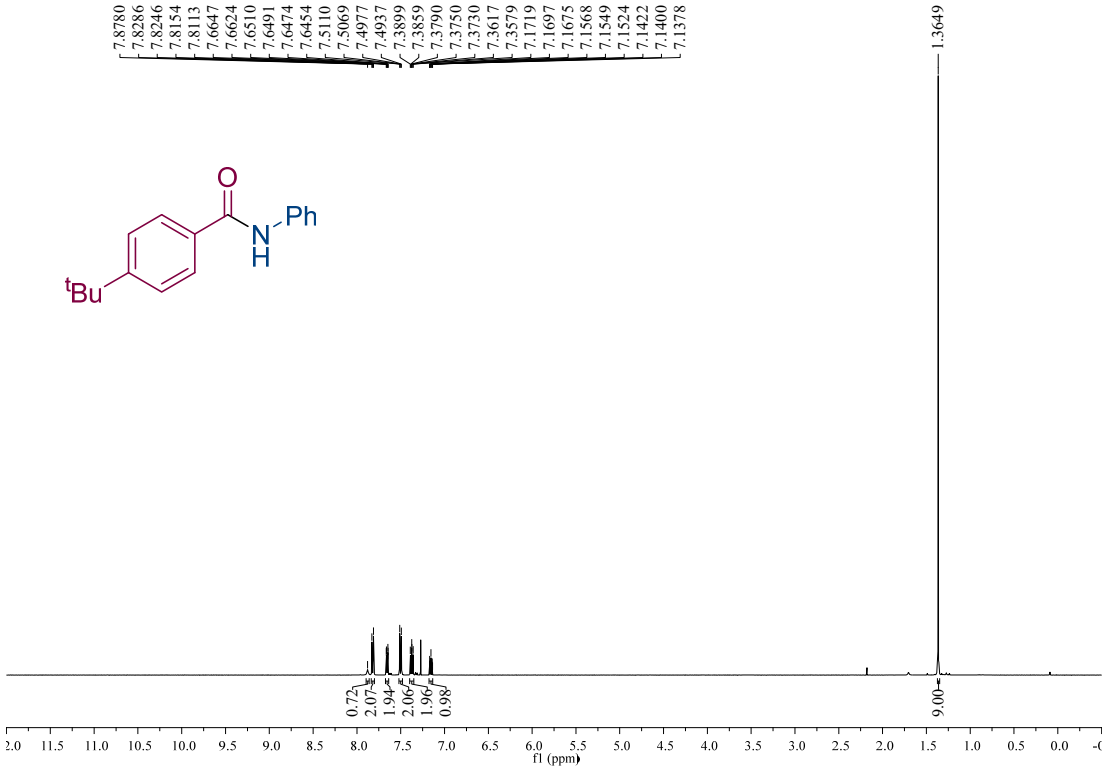


^{13}C-NMR

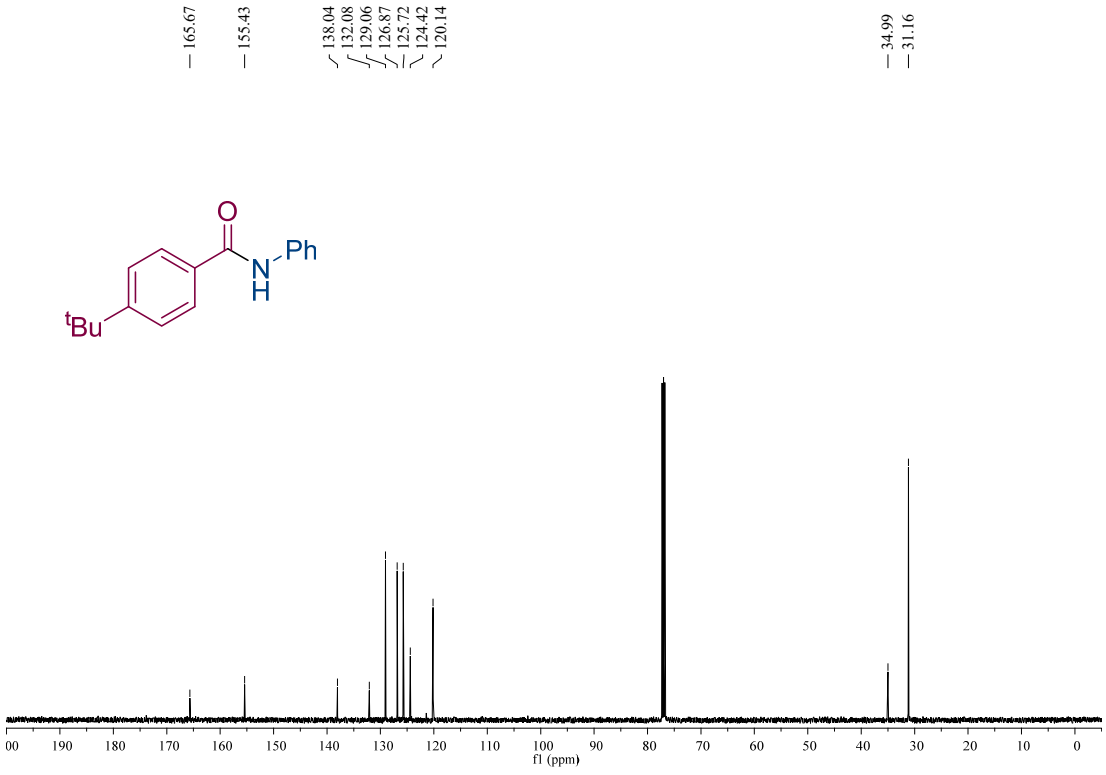


4-(*tert*-Butyl)-*N*-phenylbenzamide (3da)

^{1}H-NMR

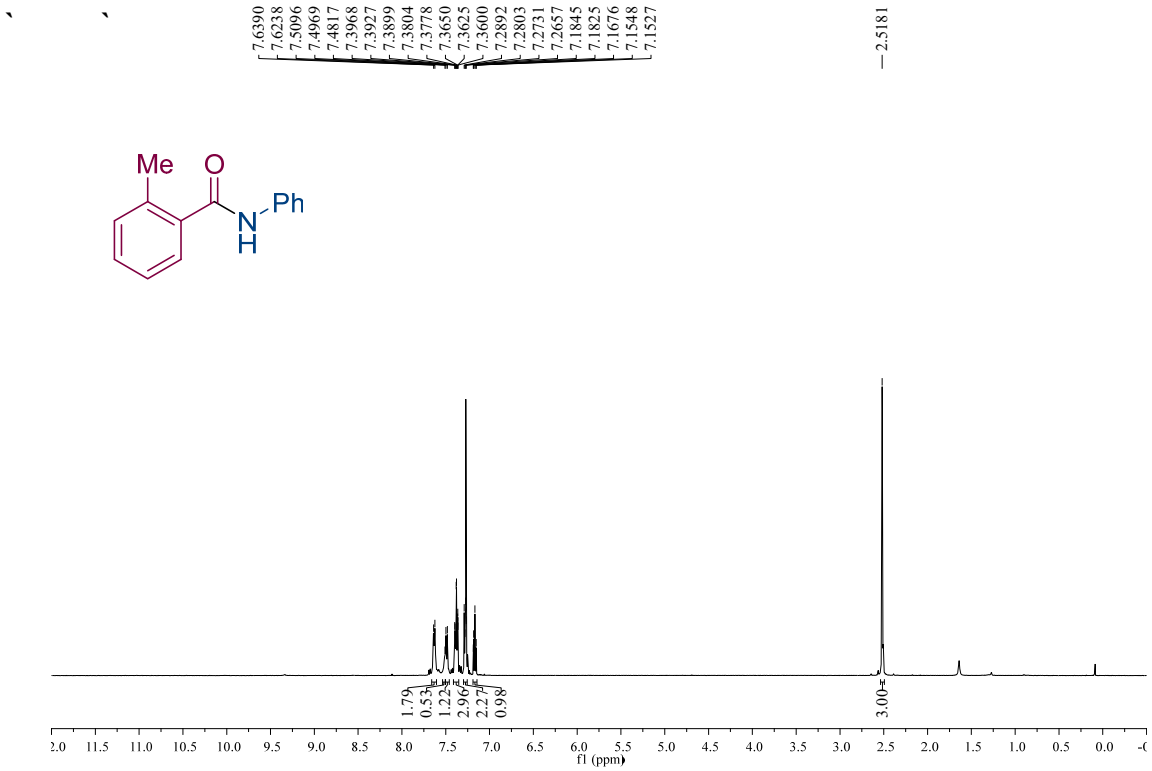


^{13}C-NMR

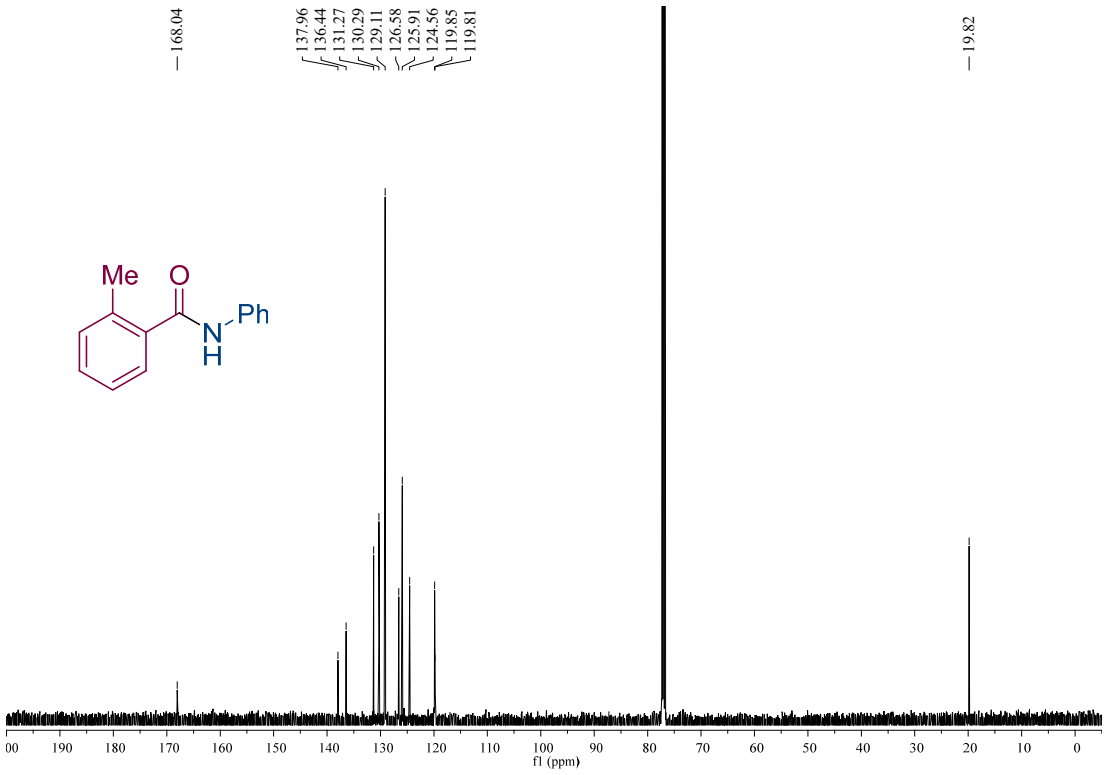


2-Methyl-*N*-phenylbenzamide (3ea)

^{1}H-NMR

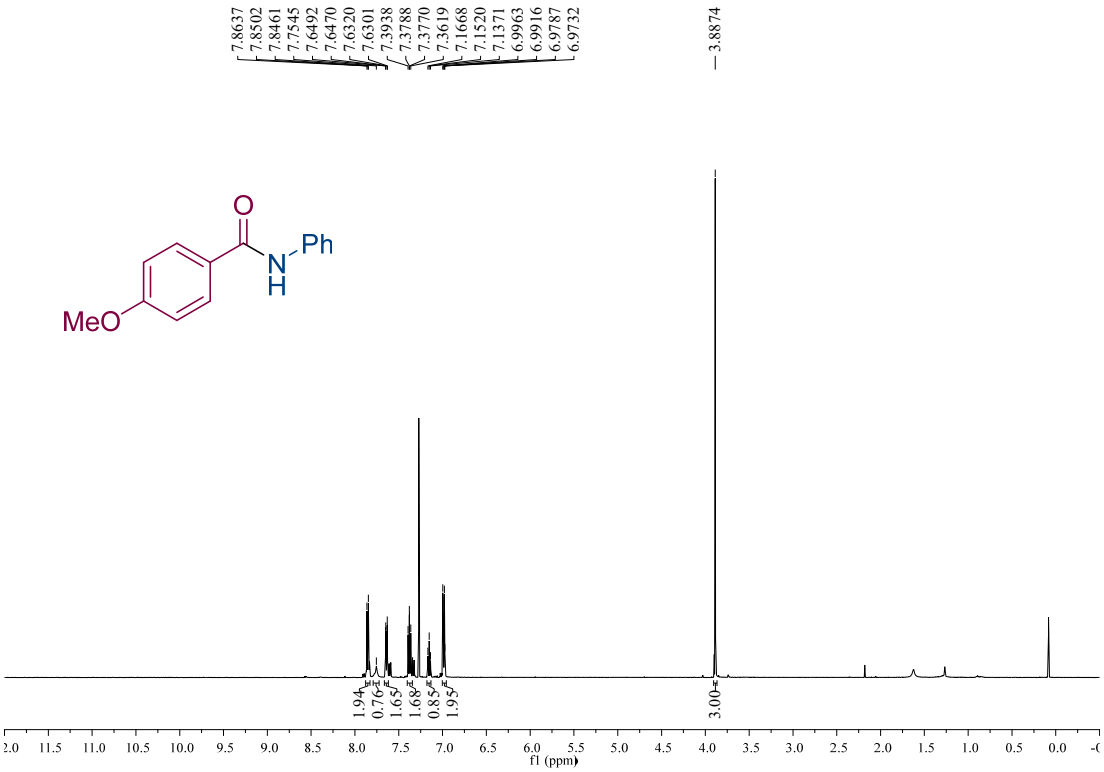


^{13}C-NMR

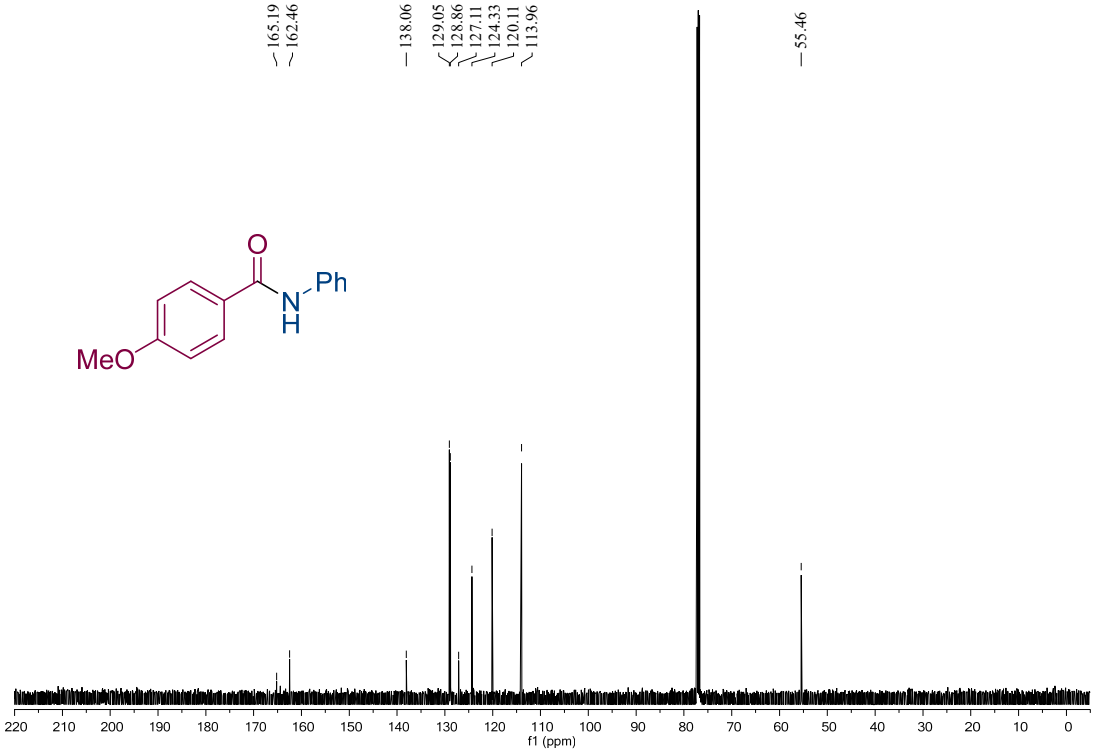


4-Methoxy-*N*-phenylbenzamide (3fa)

^{1}H-NMR

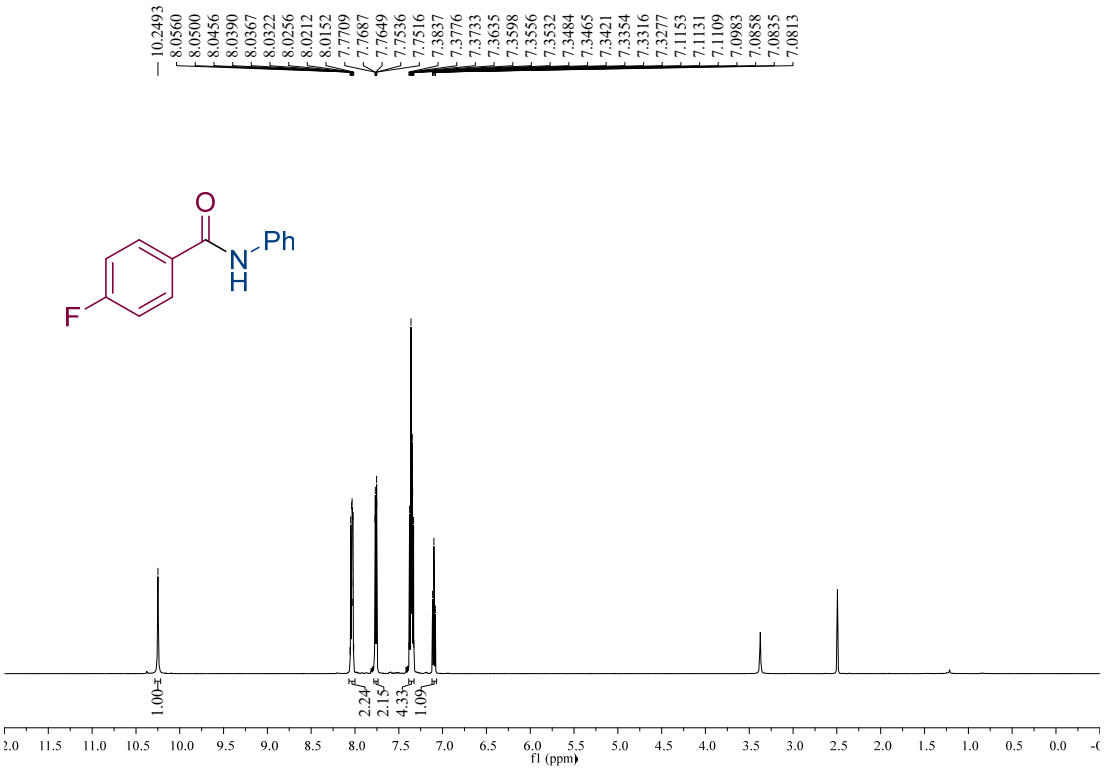


^{13}C-NMR

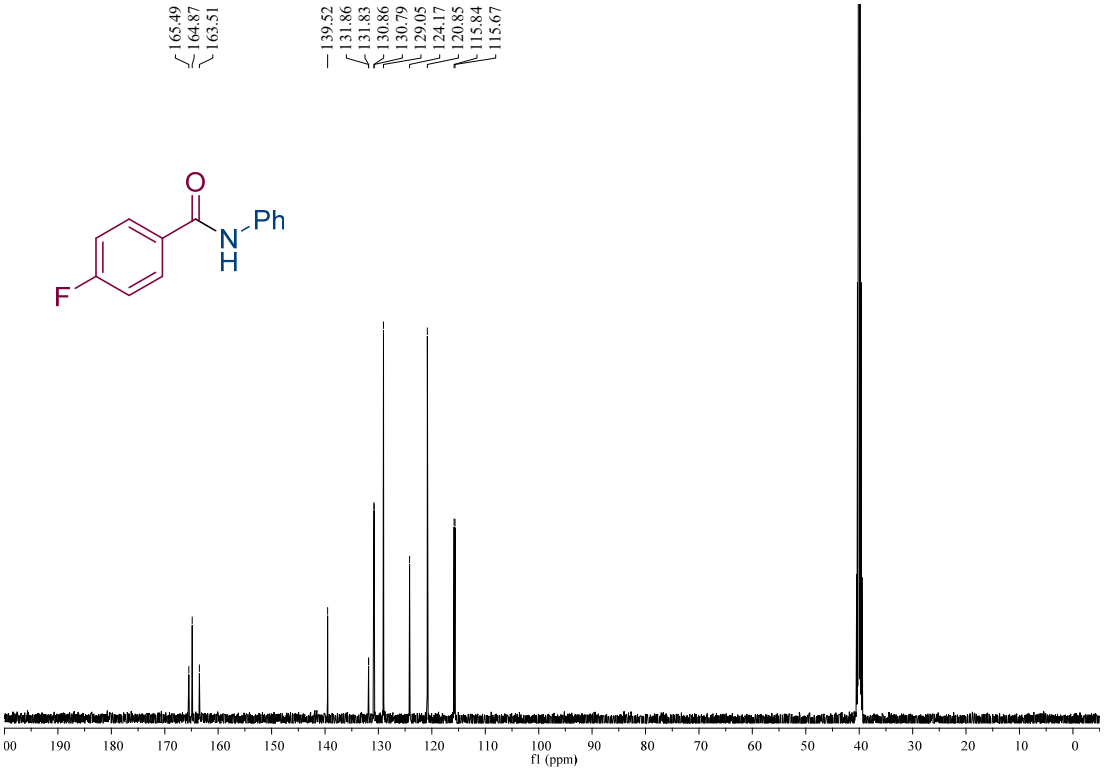


4-Fluoro-*N*-phenylbenzamide (3ga)

^{1}H-NMR

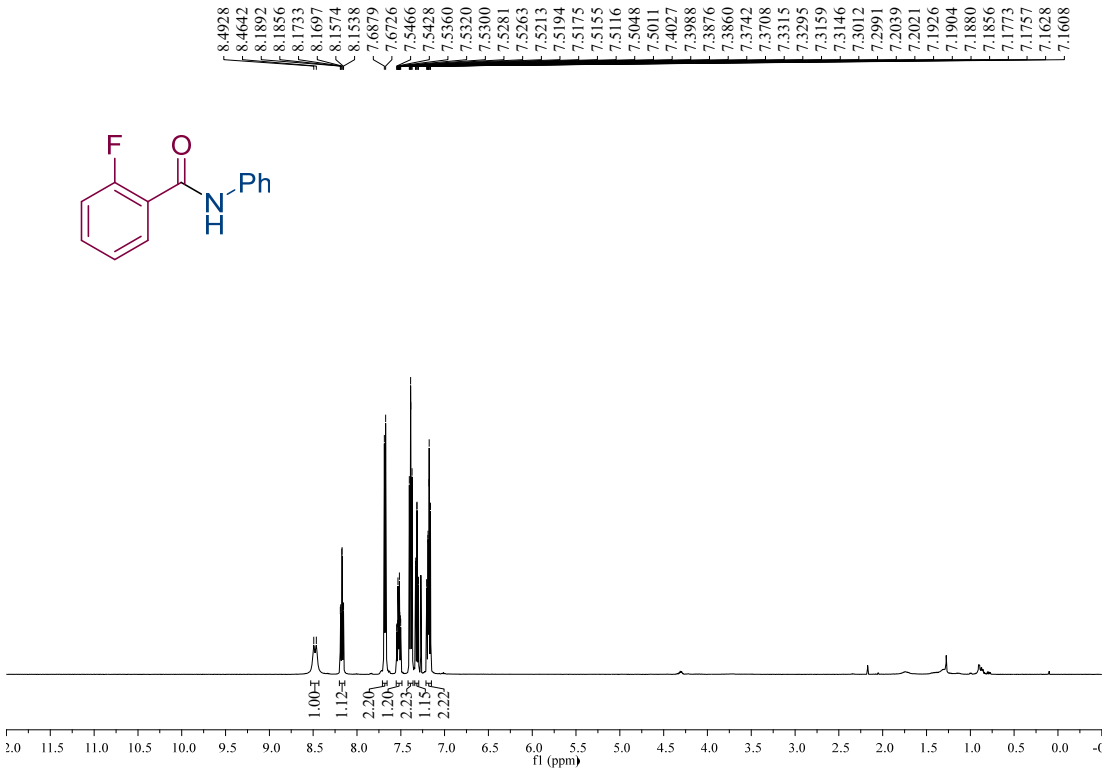


^{13}C-NMR

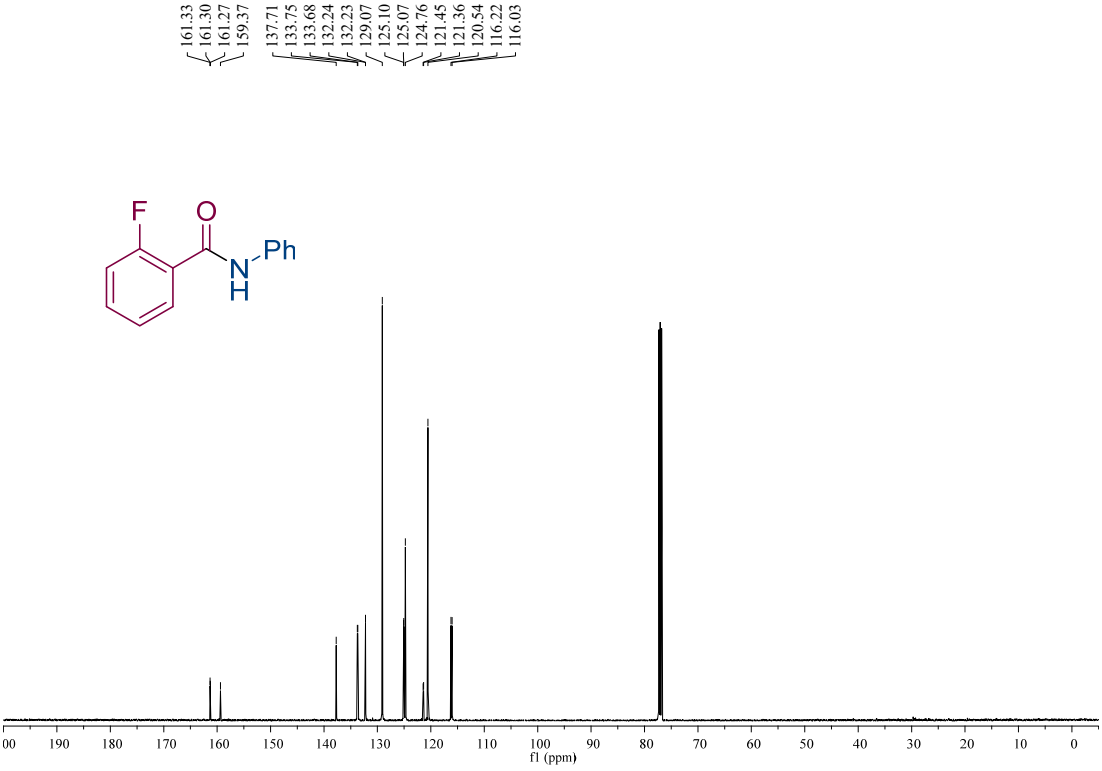


N-Phenyl-4-fluorobenzamide (3ha)

^{1}H-NMR

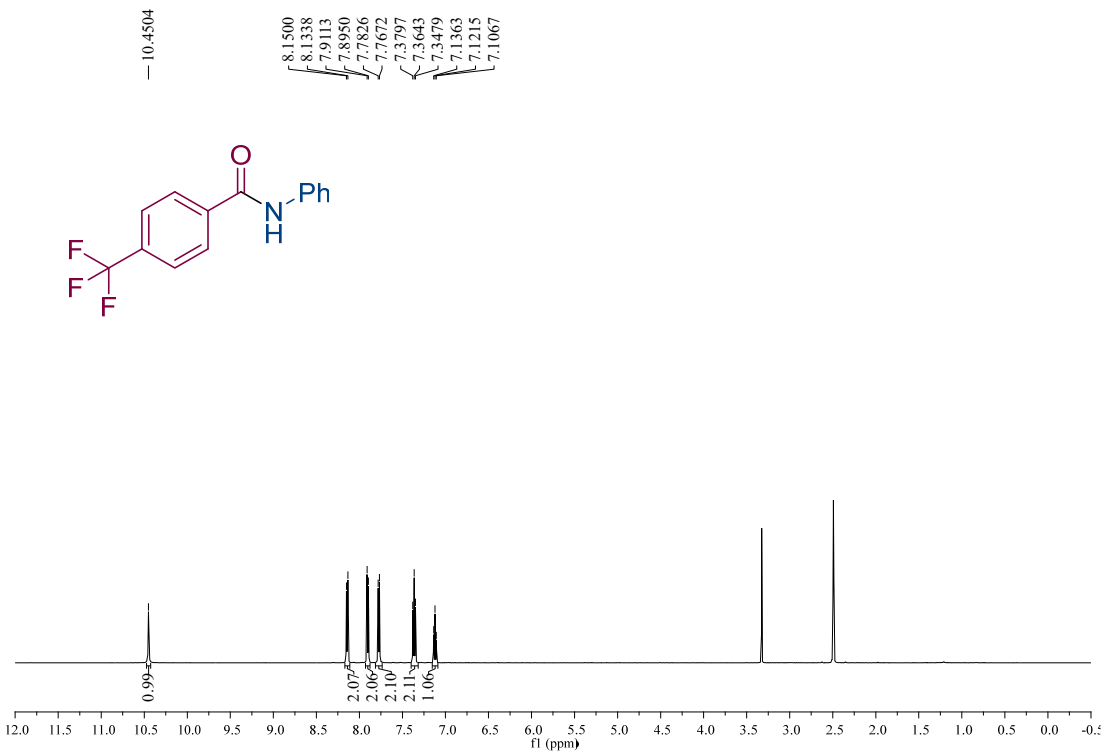


^{13}C-NMR

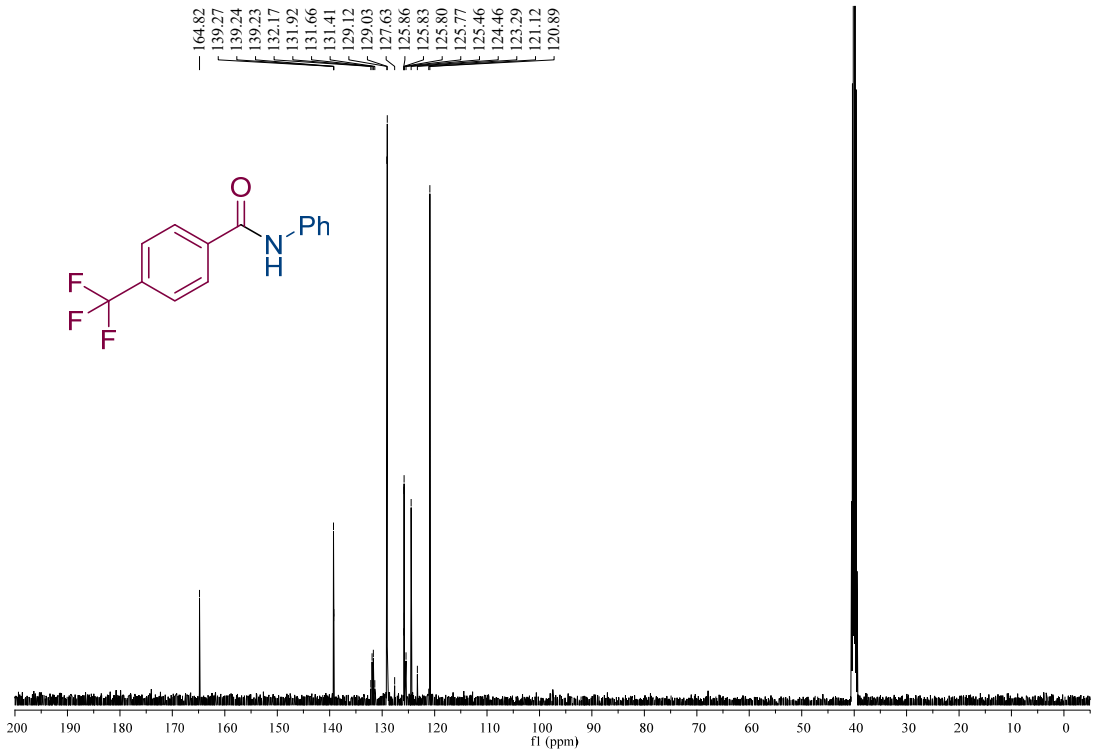


N-Phenyl-4-(trifluoromethyl)benzamide (3ia)

^{1}H-NMR

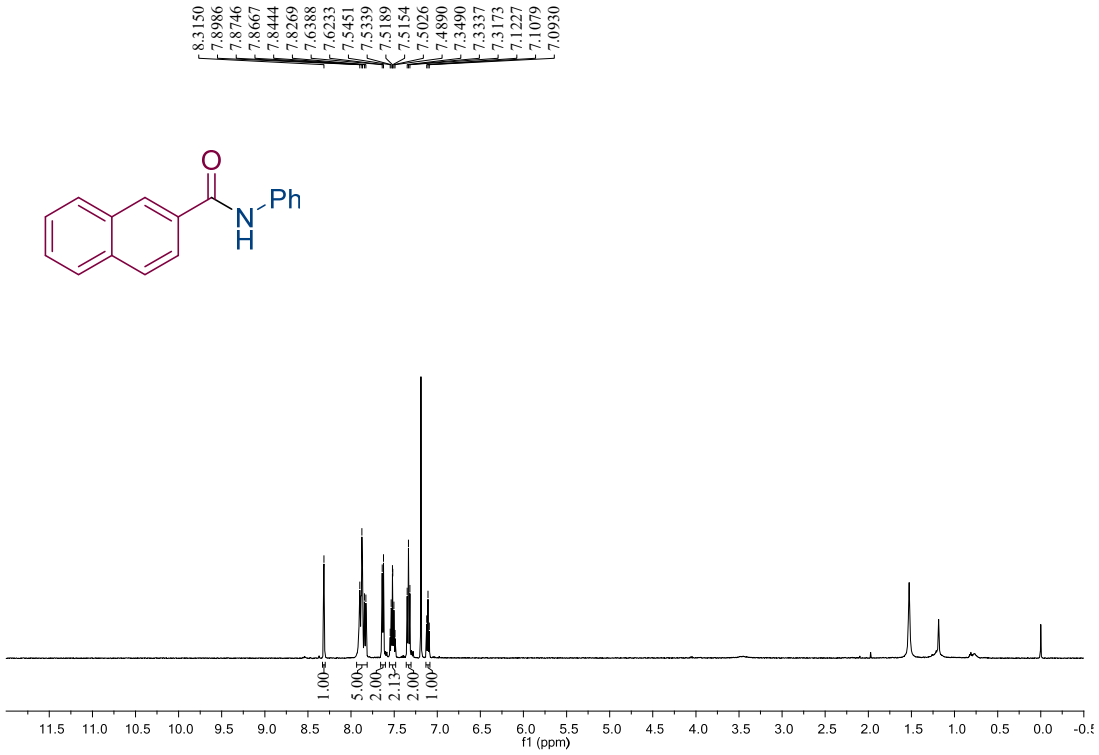


^{13}C-NMR

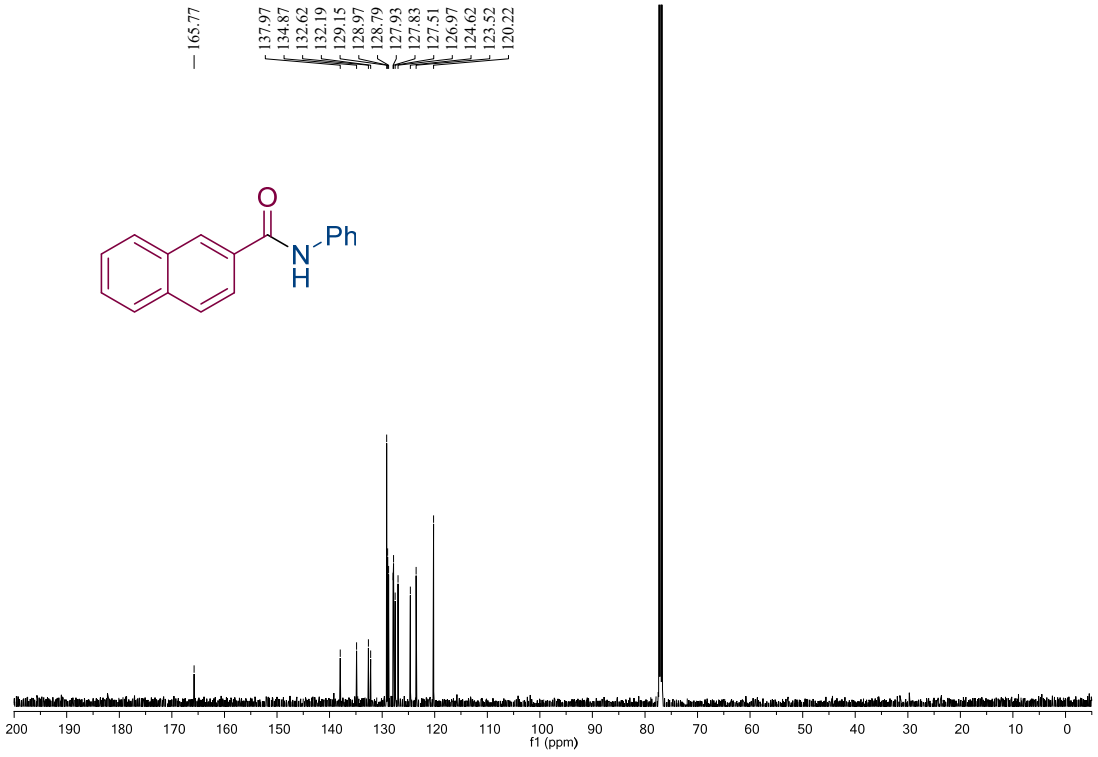


N-Phenyl-2-naphthamide (3ja)

^{1}H-NMR

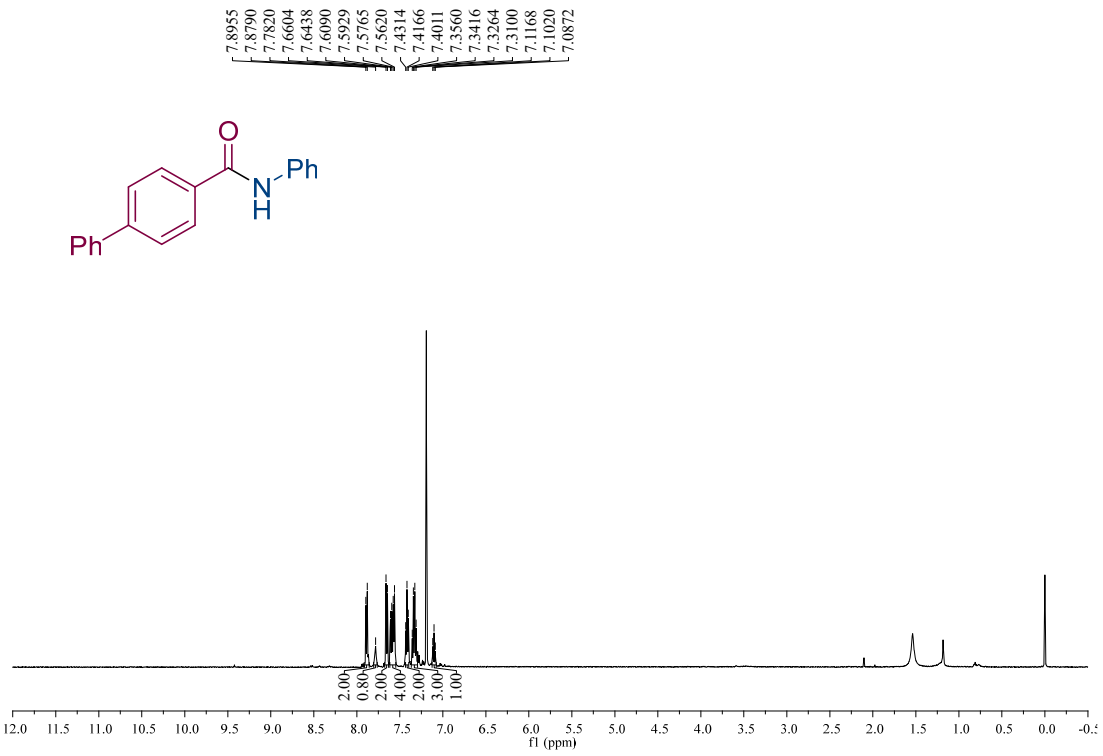


^{13}C-NMR

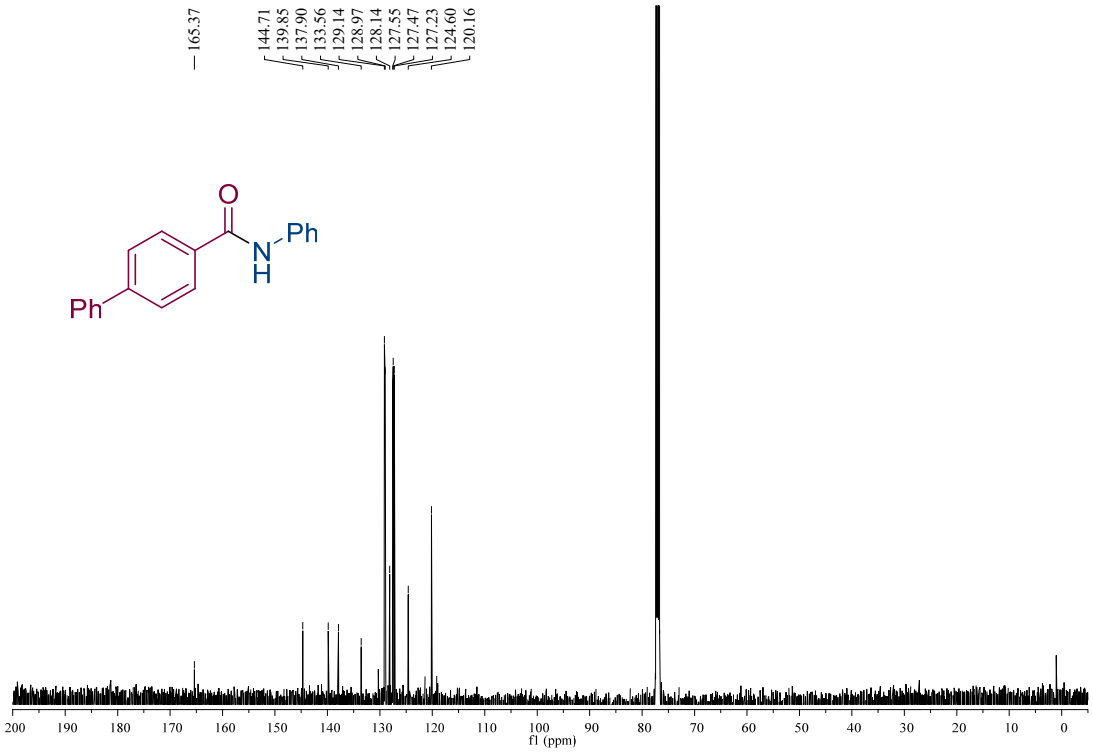


N-Phenyl-[1,1'-biphenyl]-4-carboxamide (3ka)

^{1}H-NMR

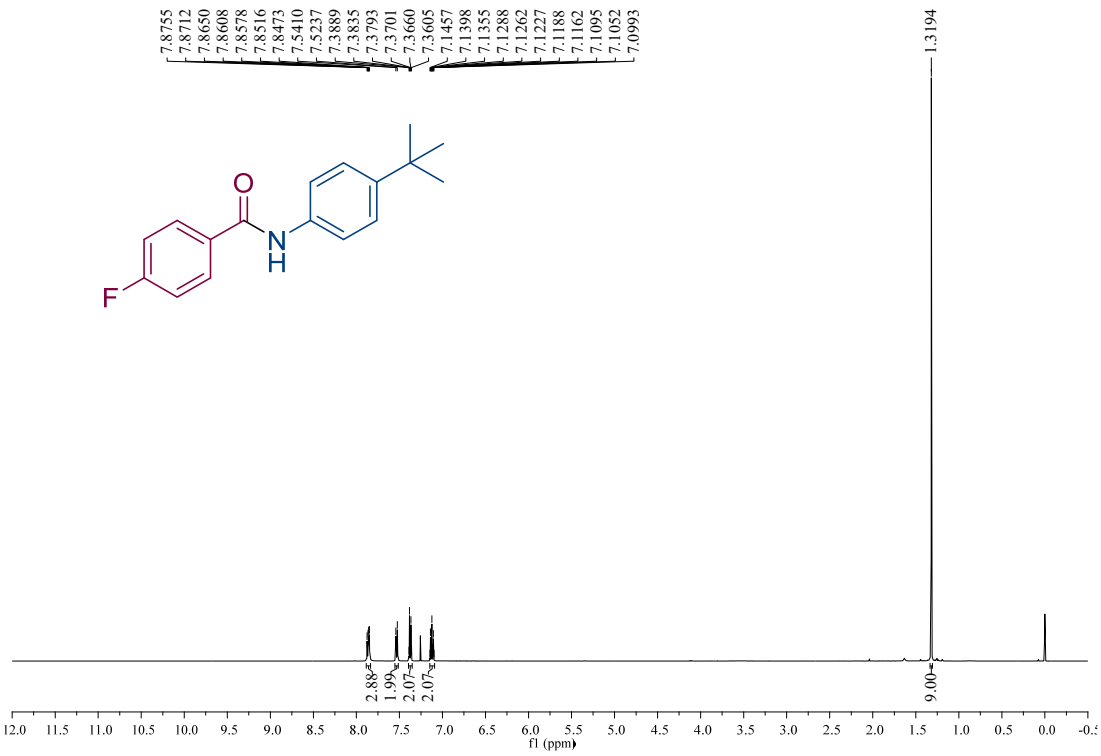


^{13}C-NMR

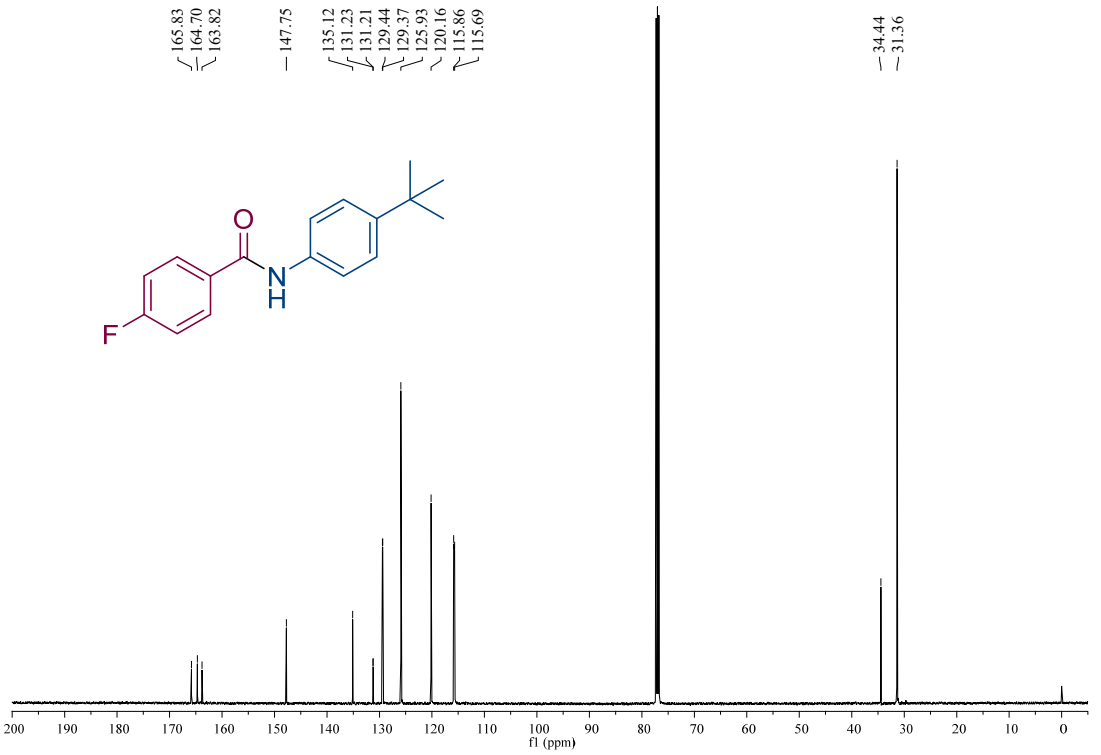


N-(4-(*tert*-butyl)phenyl)-4-fluorobenzamide (3ly)

^{1}H-NMR

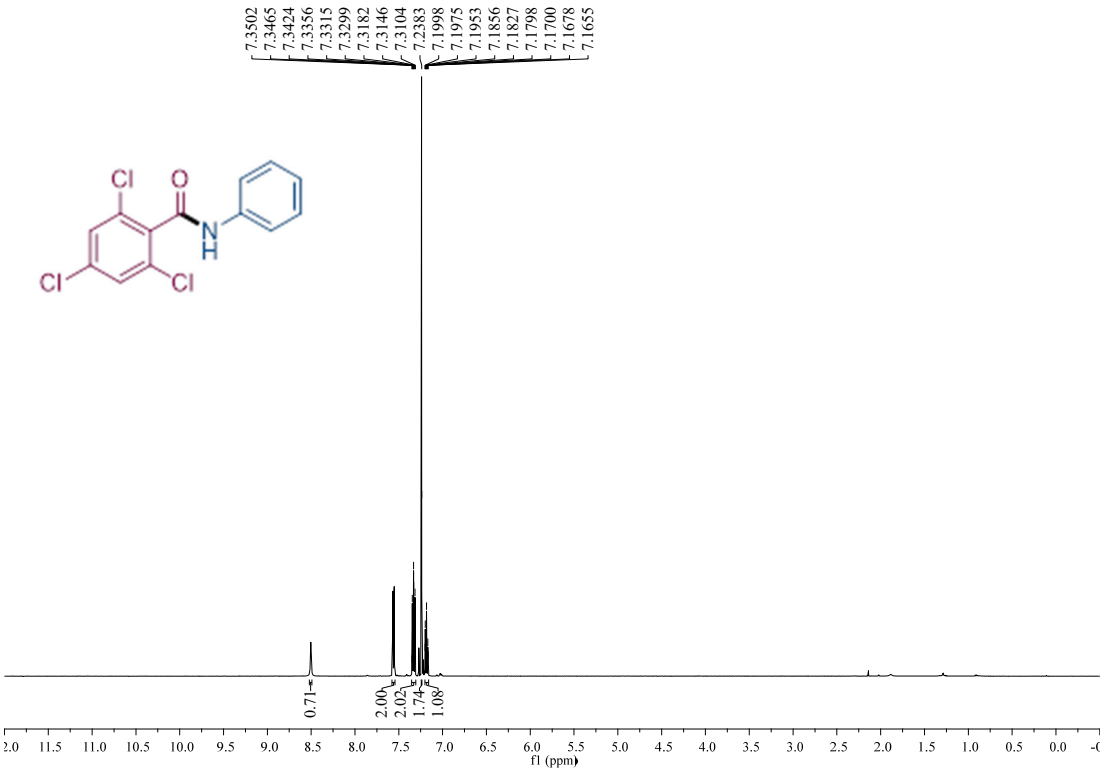


^{13}C-NMR

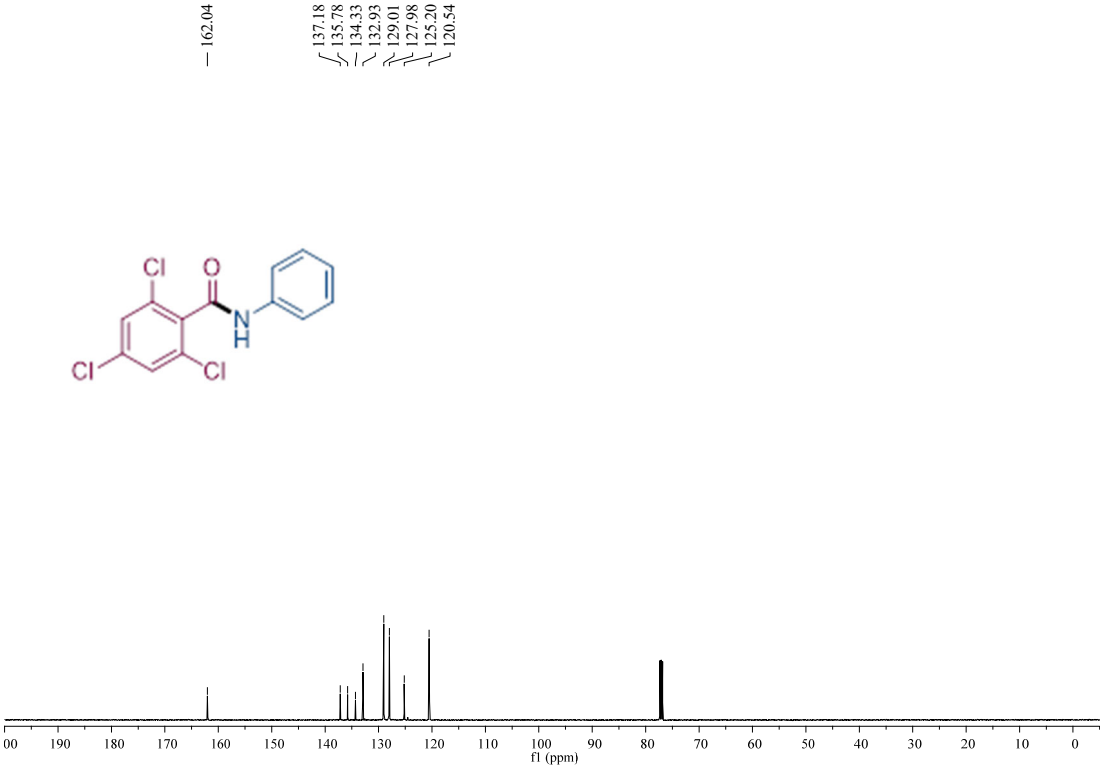


2,4,6-Trichloro-*N*-phenylbenzamide (3ma)

^{1}H-NMR

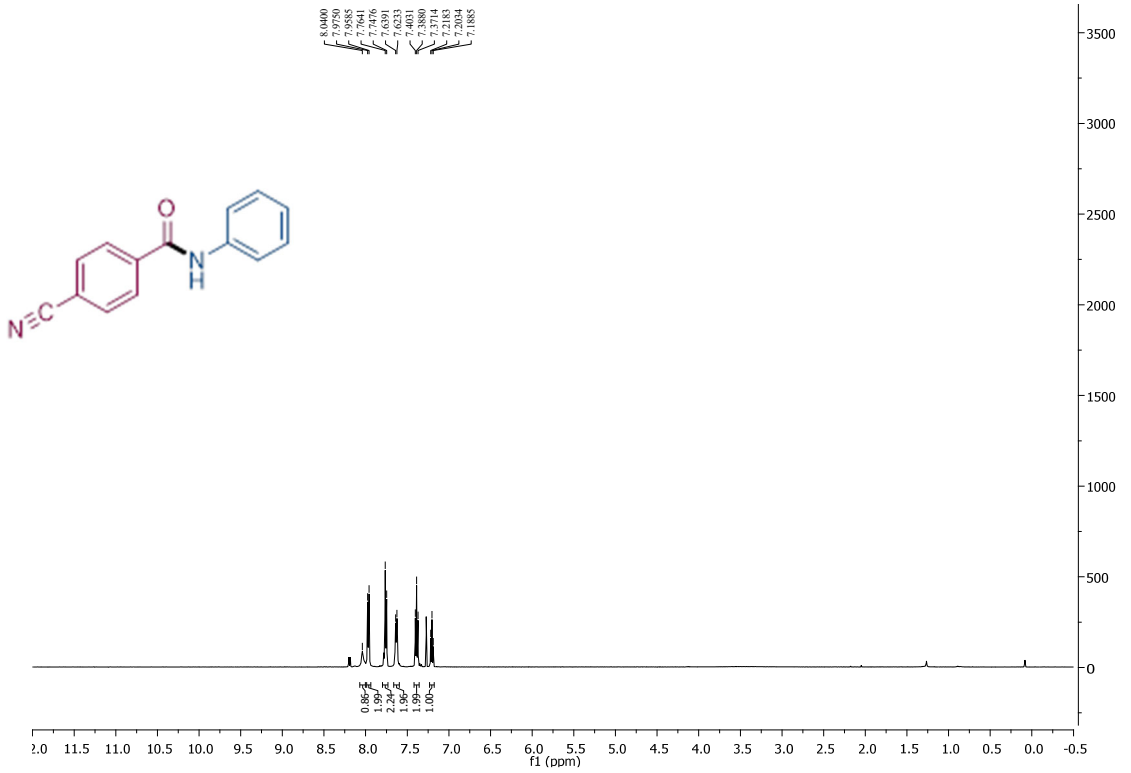


^{13}C-NMR

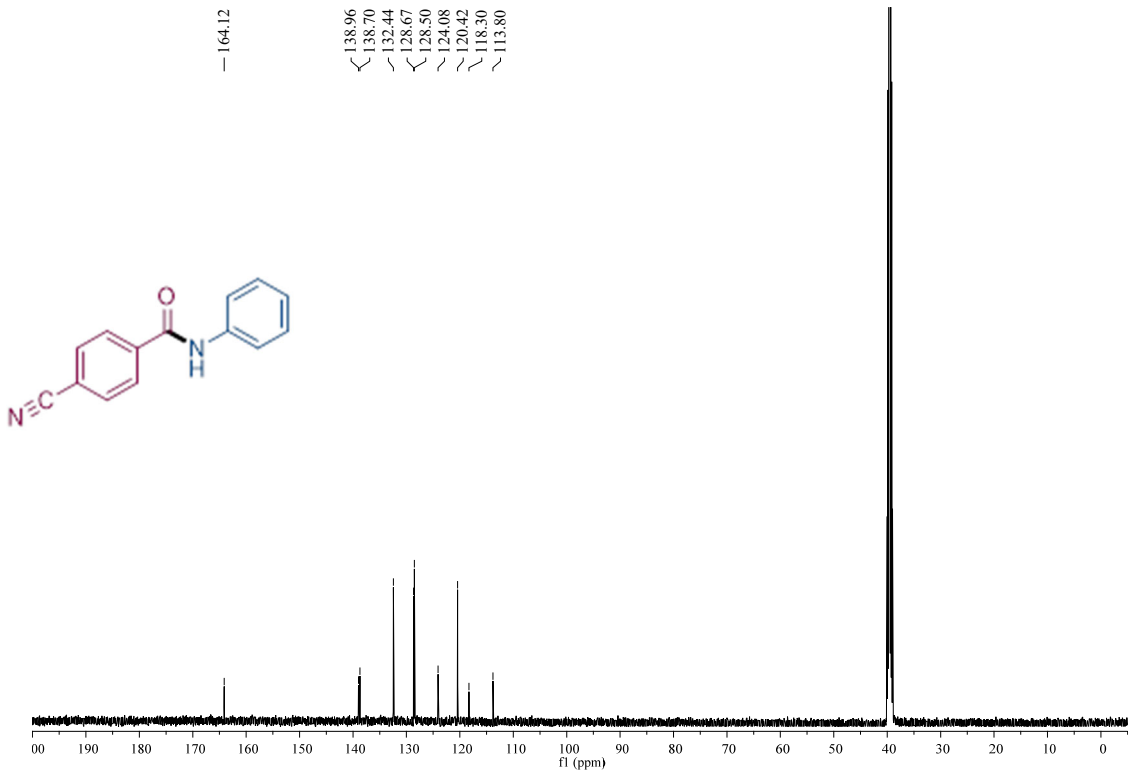


4-Cyano-*N*-phenylbenzamide (3na)

^{1}H-NMR



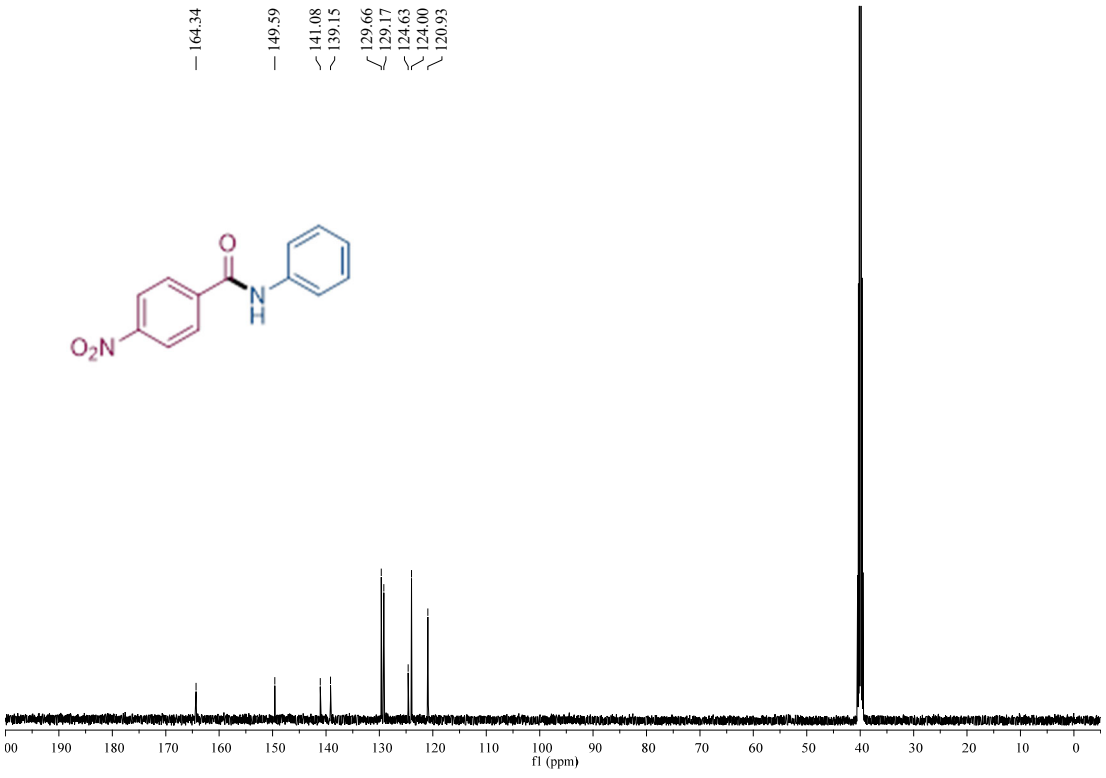
^{13}C-NMR



4-Nitro-*N*-phenylbenzamide (3oa)

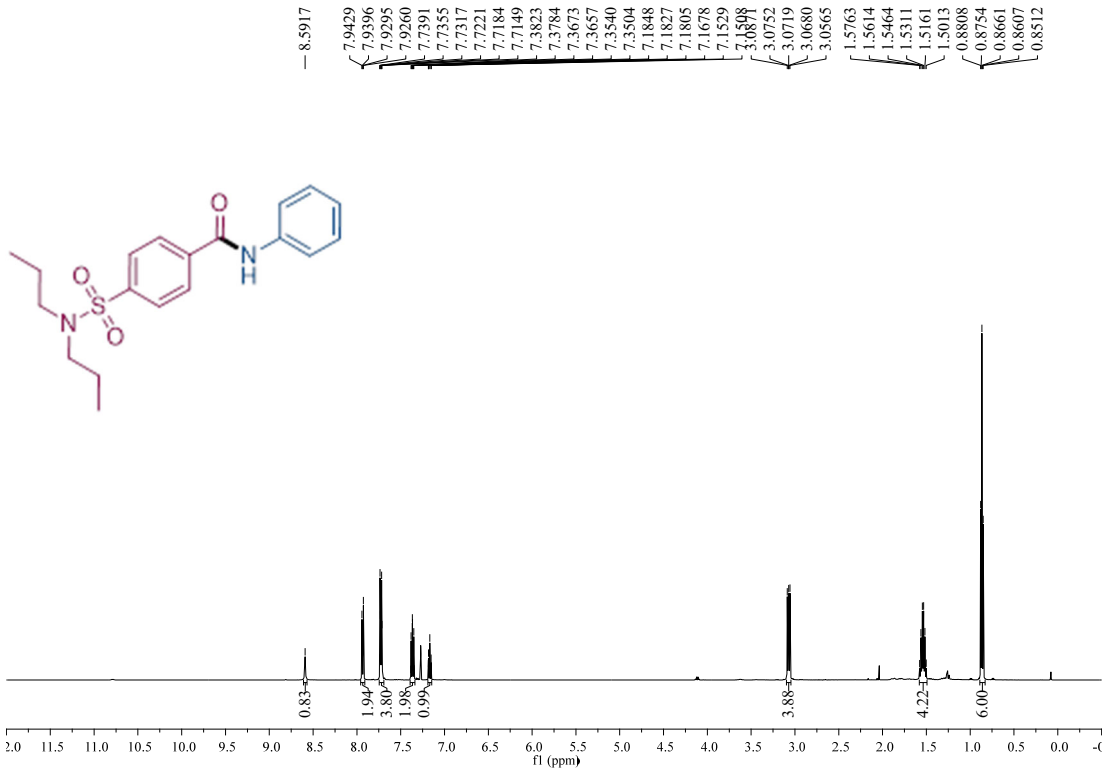
^{1}H-  **NMR**

^{13}C-NMR

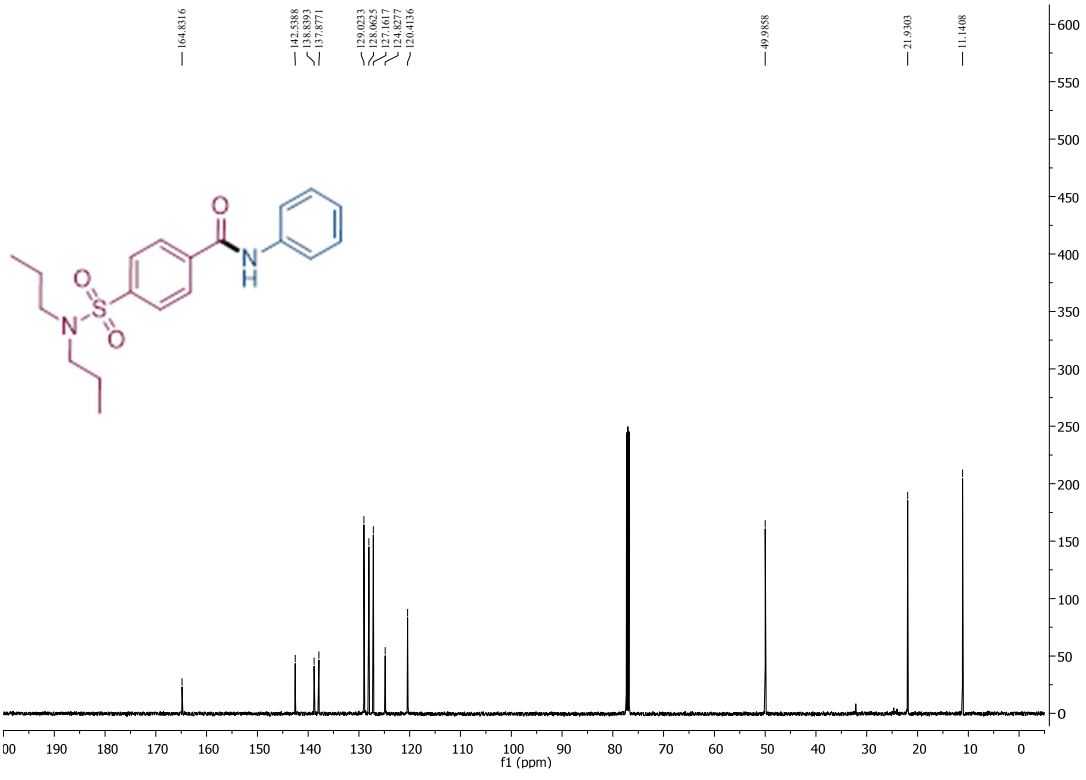


4-(*N*,*N*-dipropylsulfamoyl)-*N*-phenylbenzamide (3pa)

^{1}H-NMR

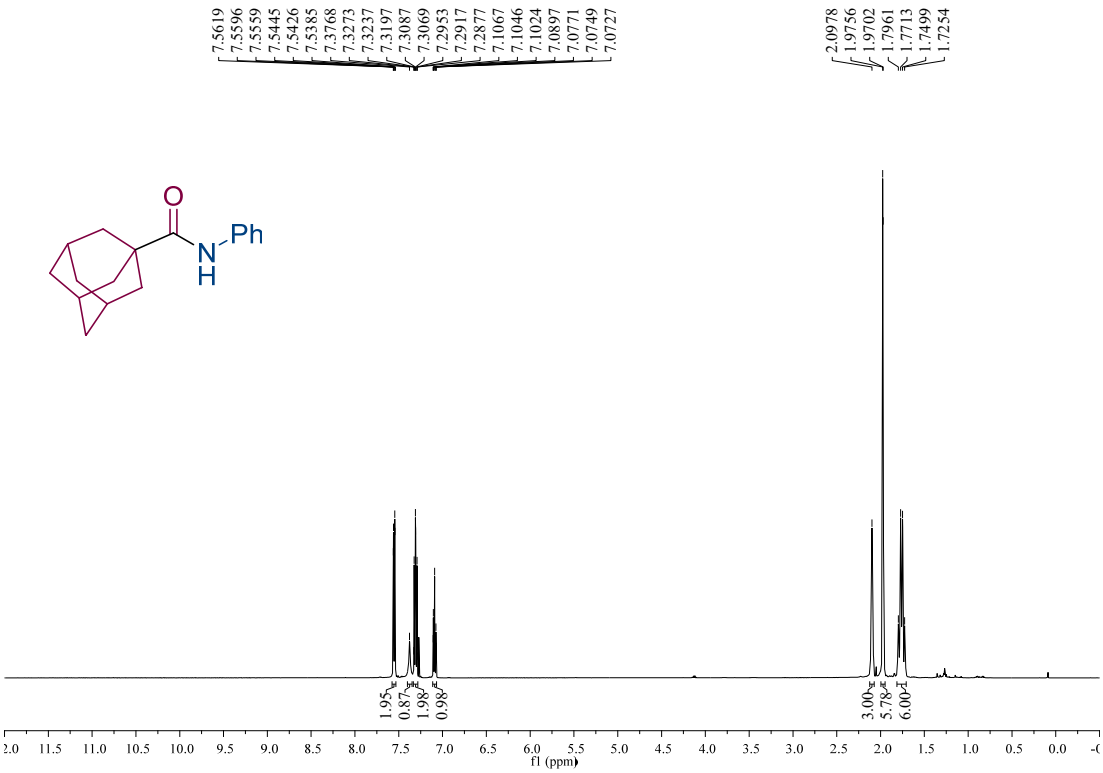


^{13}C-NMR

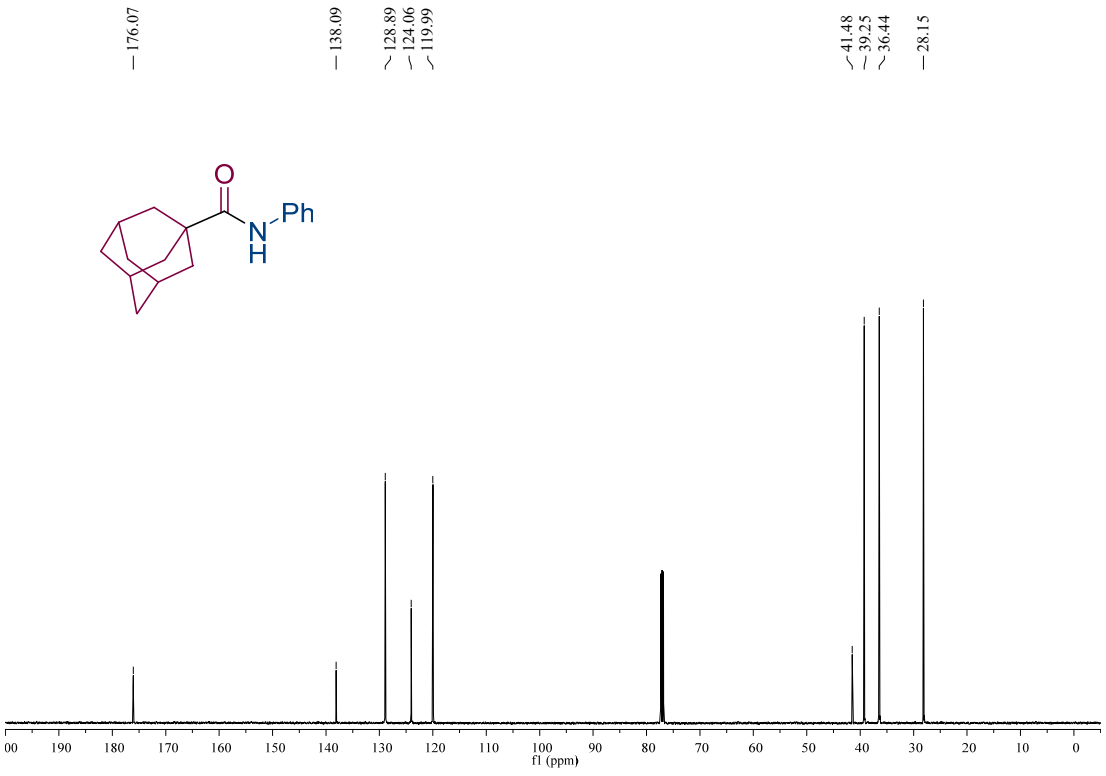


N-Phenyladamantane-1-carboxamide (3ra)

^{1}H-NMR

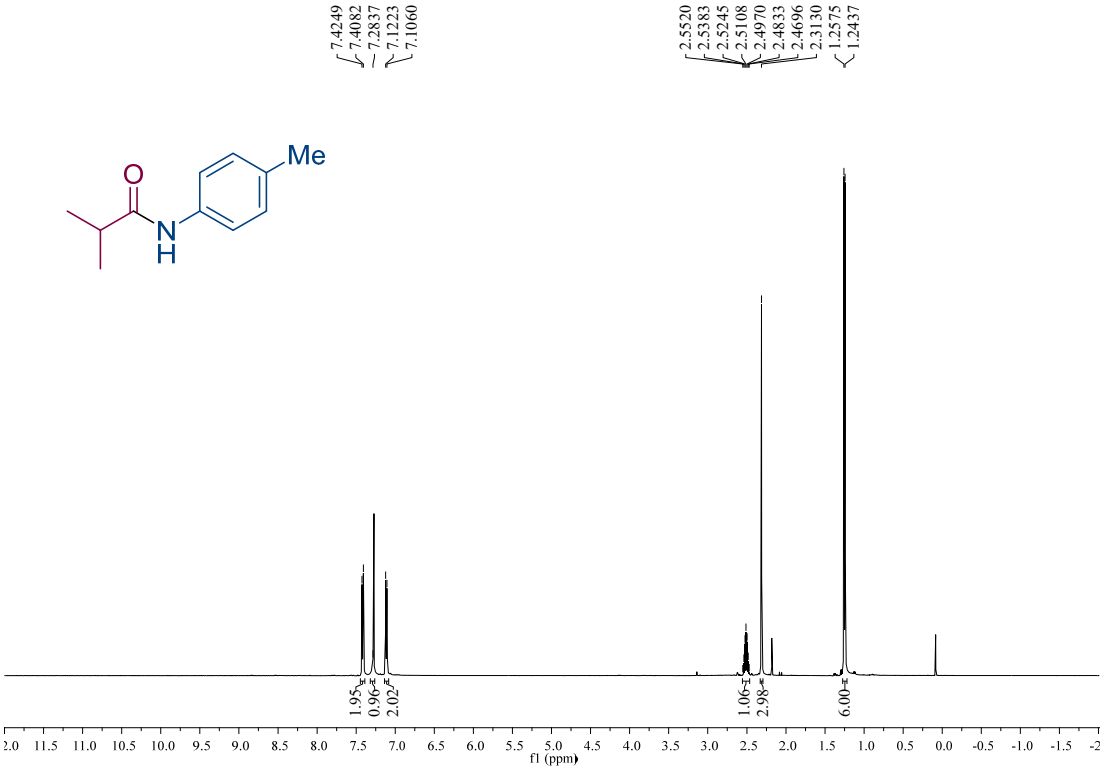


^{13}C-NMR

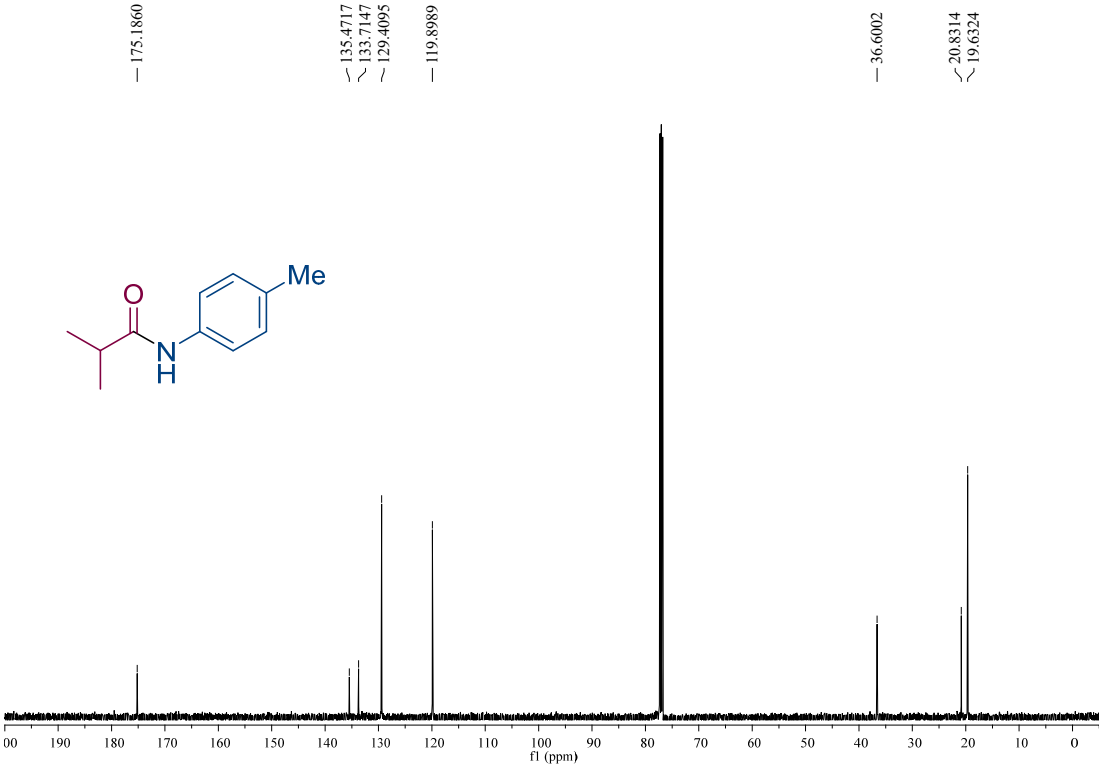


N-(*p*-Tolyl)-*iso*-butyramide (3sb)

^{1}H-NMR

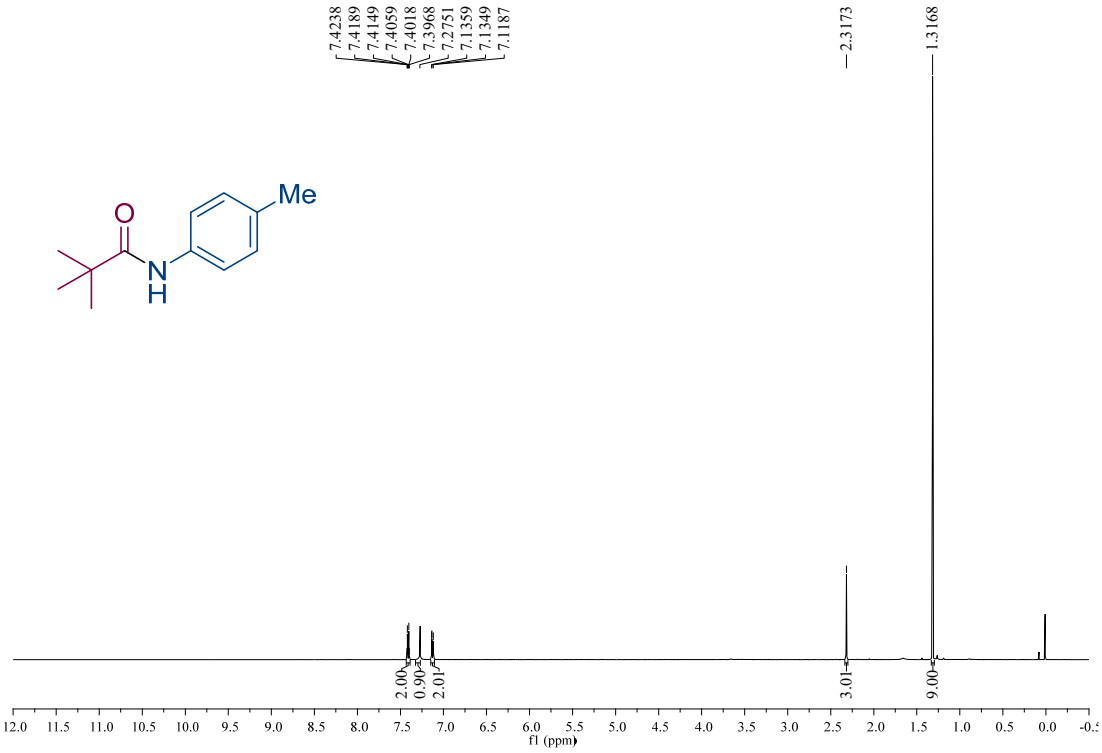


^{13}C-NMR

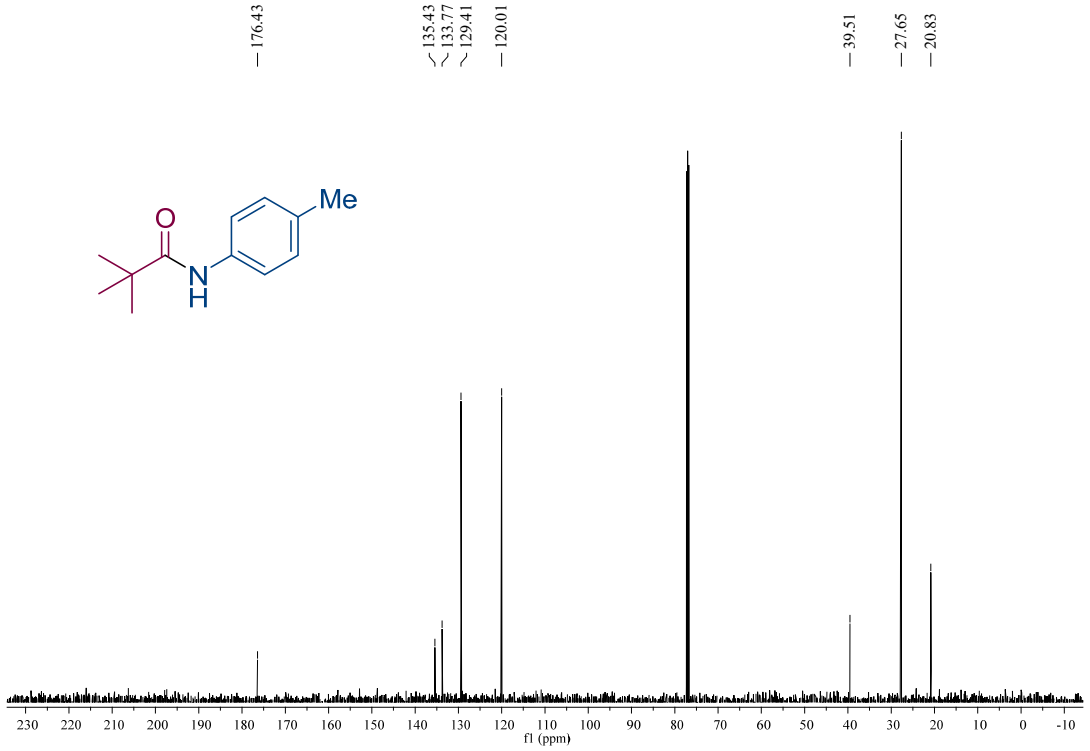


N-(*p*-Tolyl)cyclohexanecarboxamide (3tb)

^{1}H-NMR

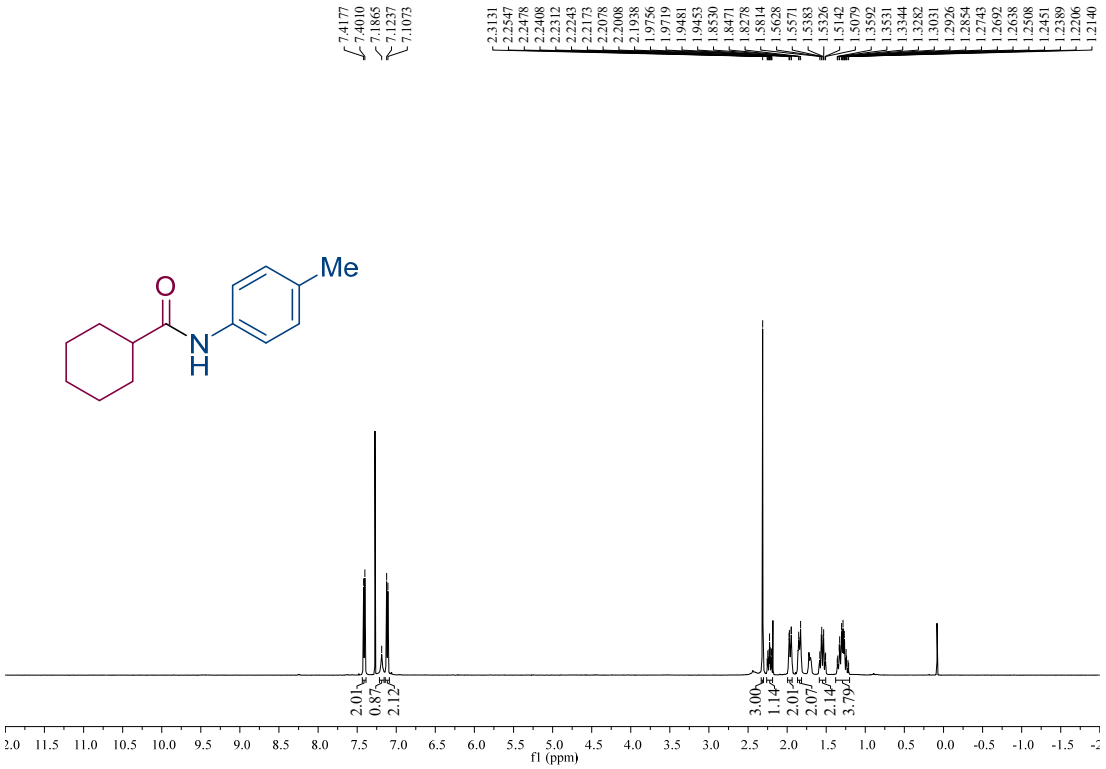


^{13}C-NMR

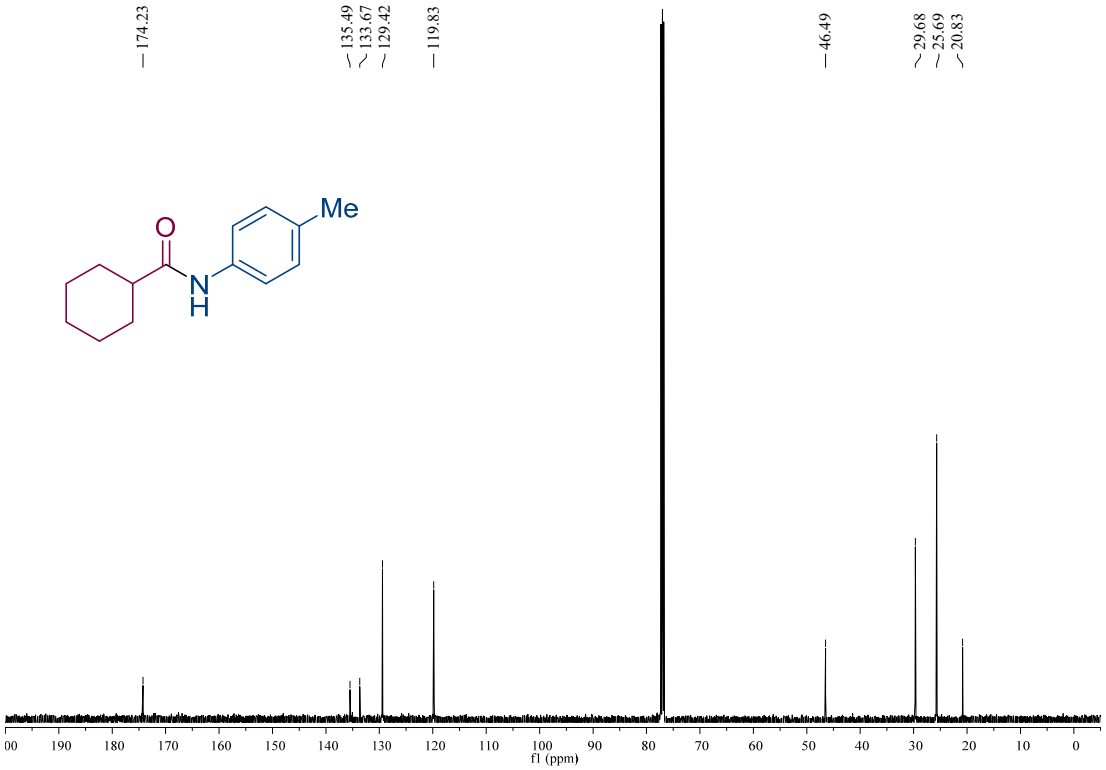


2-Phenyl-*N*-(*p*-tolyl)acetamide (3ub)

^{1}H-NMR

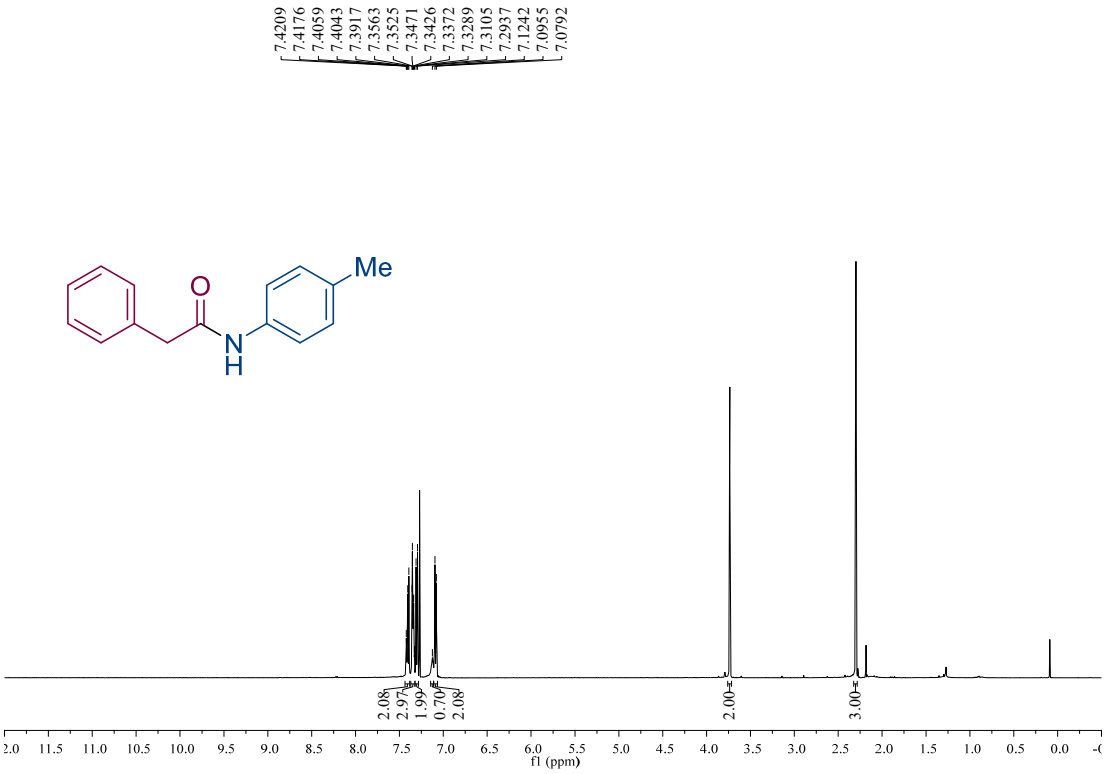


^{13}C-NMR

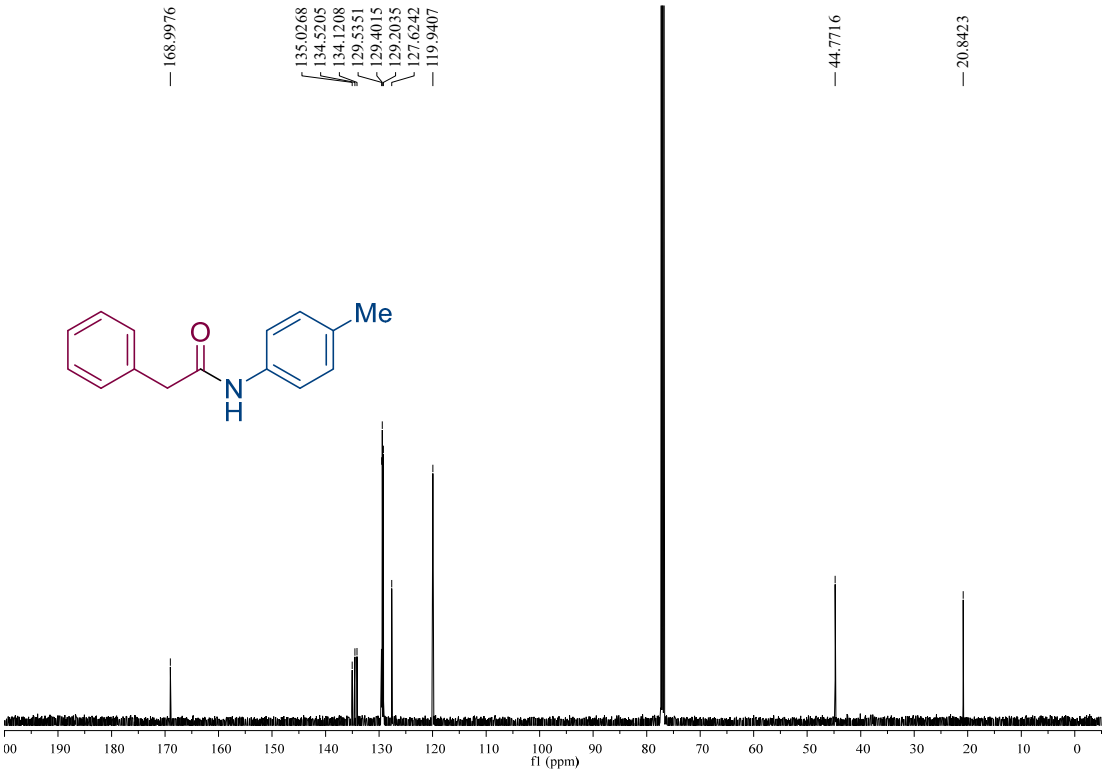


2-Phenyl-*N*-(*p*-tolyl)acetamide (3vb)

^{1}H-NMR

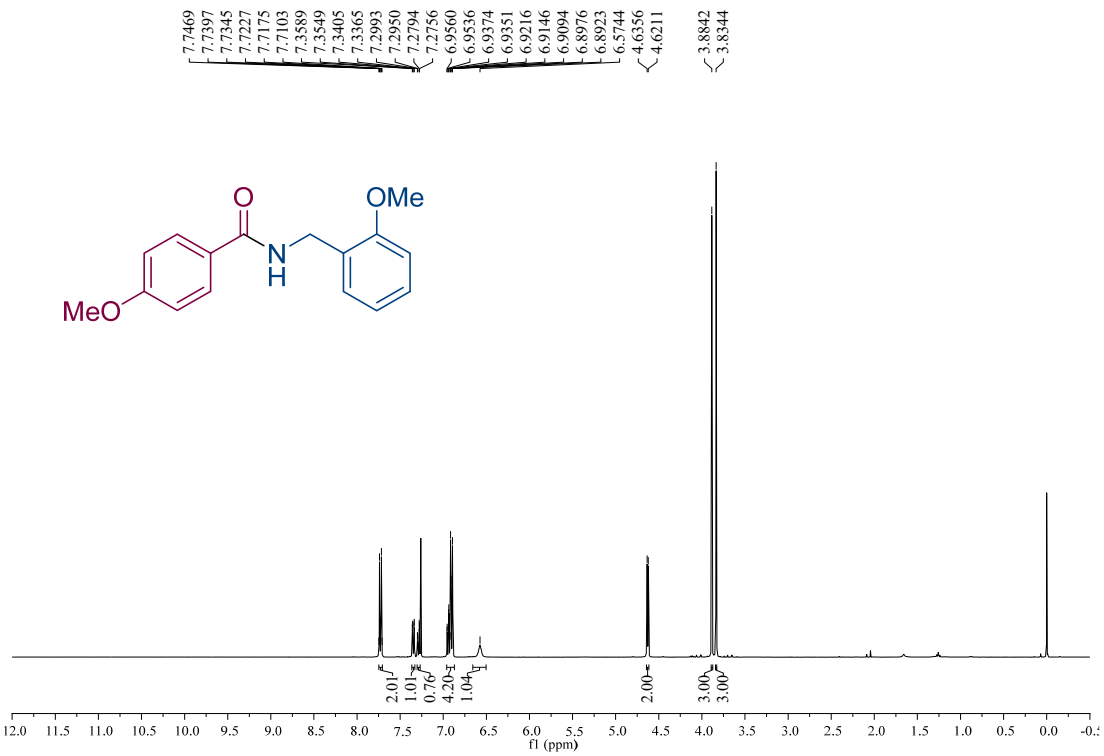


^{13}C-NMR



4-Methoxy-*N*-(2-methoxybenzyl)benzamide (3wz)

^{1}H-NMR



^{13}C-NMR