

Supporting Information

Nickel/Briphos-catalyzed direct transamidation of unactivated secondary amides using trimethylsilyl chloride

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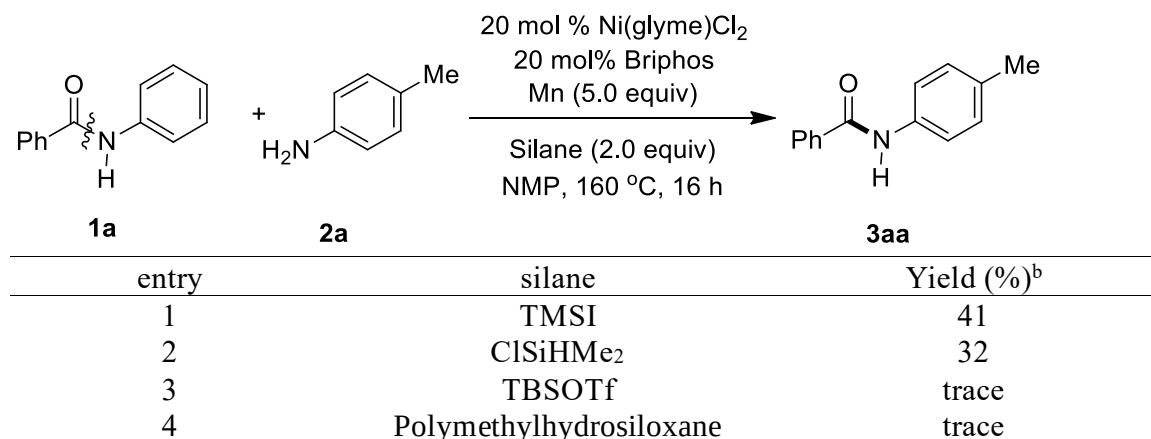
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Content

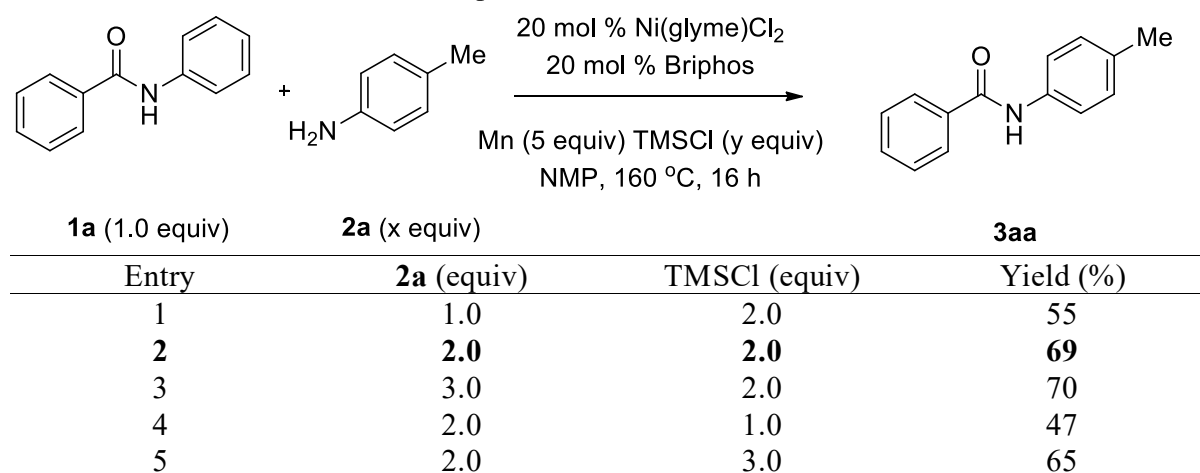
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Scheme S1. Effect of silane in Ni-catalyzed transamidation of *N*-phenylbenzamide and *p*-toluidine ^a



^aReaction conditions: **1a** (0.3 mmol), **2a** (0.6 mmol), Ni(glyme)Cl₂ (0.06 mmol), Briphos (0.06 mmol), Mn (1.5 mmol) and silane (0.6 mmol) were reacted in NMP (1.2 mL) at 160 °C for 16 h. ^bDetermined by gas chromatography and ¹H NMR with internal standard.

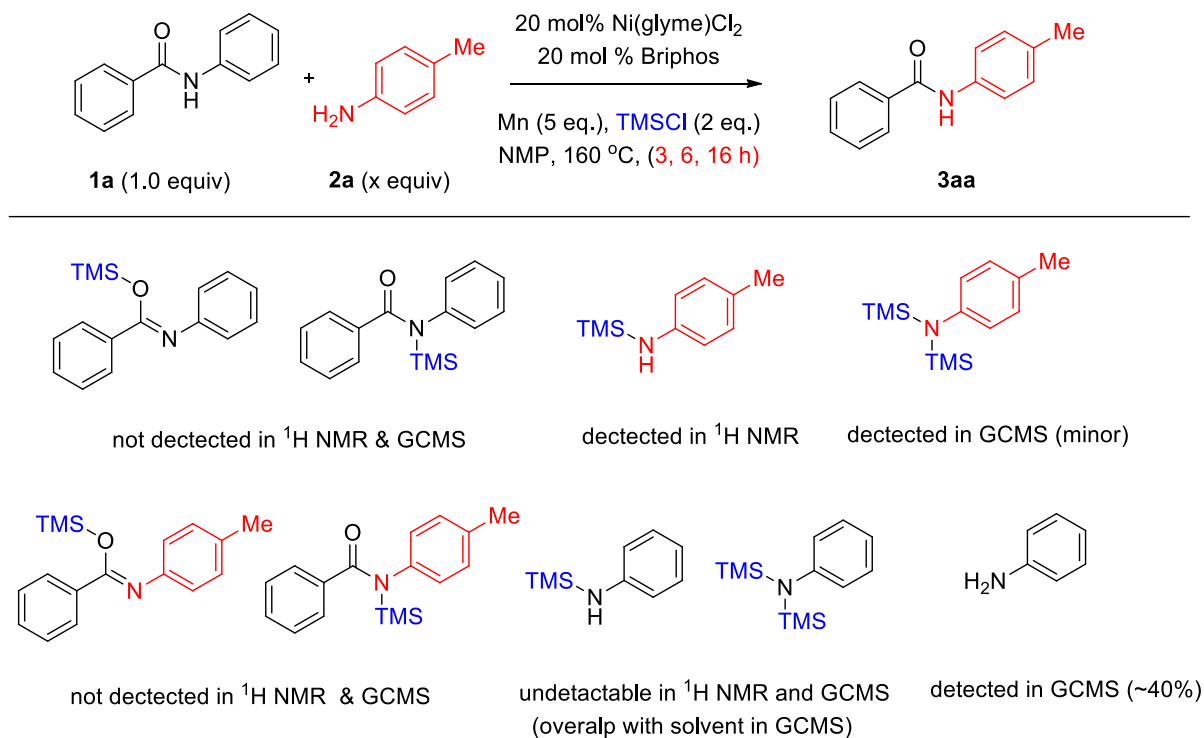
Scheme S2. Effect of the amount of *p*-toluidine and TMSCl. ^a



^aReaction conditions: **1a** (0.3 mmol), **2a**, Ni(glyme)Cl₂ (0.06 mmol), Briphos (0.06 mmol), Mn (1.5 mmol) and TMSCl were reacted in NMP (1.2 mL) at 160 °C for 16 h. ^bDetermined by gas chromatography and ¹H NMR with internal standard.

Scheme S3. Attempts to detect possible intermediates in the reaction mixture.

Check the reaction mixtures by ^1H NMR and GCMS at 3 h, 6 h, and 16 h



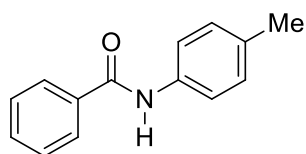
General Information

All reagents and solvents were purchased and used without further purification. Analytical thin layer chromatography (TLC) was performed on TLC Silica gel 60 F254. The TLC plates were visualized by shortwave (254 nm) or long-wave (360 nm) UV light. Flash chromatography on silica gel (230–400 mesh) was performed. ^1H NMR (400, 500 MHz) and ^{13}C NMR (100, 126 MHz) spectra were recorded in CDCl_3 . ^1H NMR assignment abbreviations are the following; singlet (s), doublet (d), triplet (t), quartet (q), quintet (quintet), broad singlet (bs), doublet of doublets (dd), triplet of doublets (td), doublet of a triplets (dt) and multiplet (m).

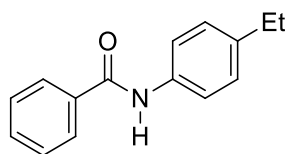
General Procedure

N-Phenylbenzamide (2.0 mmol), amine (4.0 mmol), $\text{Ni}(\text{glyme})\text{Cl}_2$ (0.4 mmol), Briphos (0.4 mmol), Mn (10.0 mmol), TMSCl (4.0 mmol) and *N*-Methylpyrrolidone (5 mL) was added into a clean and dried glass vial under aerobic condition. The suspension was stirred for 16 h at 160 $^\circ\text{C}$. After cooling, the reaction mixture was diluted with 50 mL EtOAc and 50 mL water. The organic layer was extracted by extraction funnel and dried over anhydrous MgSO_4 . Evaporation of the solvent under reduced pressure provided the crude product, which was purified by column chromatography (20% ethyl acetate in hexane) to afford the final product.

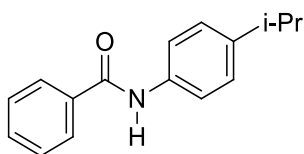
Characterization Data



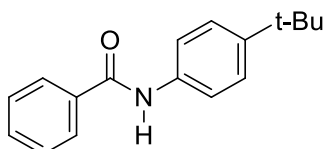
***N*-(*p*-Tolyl)benzamide (3aa)¹** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with *p*-toluidine (429 mg, 4.0 mmol) to provide **3aa** (275 mg, 1.3 mmol, 65% yield) as a white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.89 – 7.79 (m, 3H), 7.56 – 7.49 (m, 3H), 7.49 – 7.44 (m, 2H), 7.16 (d, J = 8.2 Hz, 2H), 2.34 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 165.7, 135.4, 135.1, 134.3, 131.7, 129.6, 128.8, 127.0, 120.3, 20.9; MS (EI) m/z : 211 $[\text{M}]^+$.



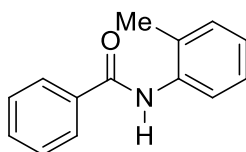
***N*-(4-Ethylphenyl)benzamide (3ab)²** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with 4-ethylaniline (485 mg, 4.0 mmol) to provide **3ab** (297 mg, 1.32 mmol, 66% yield) as a white solid; ^1H NMR (500 MHz, CDCl_3) δ 7.89 (s, 1H), 7.87 – 7.84 (m, 2H), 7.56 – 7.50 (m, 3H), 7.45 (dd, J = 10.3, 4.6 Hz, 2H), 7.18 (d, J = 8.4 Hz, 2H), 2.63 (q, J = 7.6 Hz, 2H), 1.23 (t, J = 7.6 Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 165.7, 140.6, 135.5, 135.1, 131.7, 128.7, 128.4, 127.0, 120.4, 28.3, 15.6; MS (EI) m/z : 225 $[\text{M}]^+$.



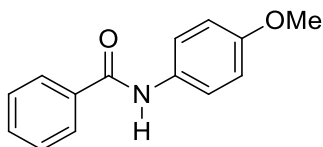
***N*-(4-Isopropylphenyl)benzamide (3ac)³** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with 4-isopropylaniline (541 mg, 4.0 mmol) to provide **3ac** (359 mg, 1.5 mmol, 75% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 7.89 – 7.85 (m, 2H), 7.83 (s, 1H), 7.61 – 7.52 (m, 3H), 7.51 – 7.46 (m, 2H), 7.24 (d, *J* = 8.4 Hz, 2H), 2.92 (dt, *J* = 13.8, 6.9 Hz, 1H), 1.26 (d, *J* = 6.9 Hz, 6H); ¹³C NMR (126 MHz, CDCl₃) δ 165.7, 145.3, 135.6, 135.1, 131.7, 128.8, 127.0(2C), 120.4, 33.7, 24.0; MS (EI) *m/z*: 239 [M]⁺.



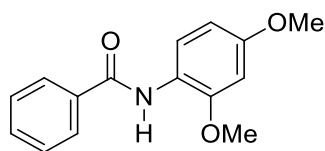
***N*-(4-(*tert*-Butyl)phenyl)benzamide (3ad)⁴** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with 4-(*tert*-butyl)aniline (597 mg, 4.0 mmol) to provide **3ad** (377 mg, 1.6 mmol, 80% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 7.88 – 7.84 (m, 2H), 7.82 (s, 1H), 7.59 – 7.51 (m, 3H), 7.48 (ddt, *J* = 8.2, 6.7, 1.2 Hz, 2H), 7.40 – 7.37 (m, 2H), 1.32 (s, 9H); ¹³C NMR (126 MHz, CDCl₃) δ 165.7, 147.6, 135.3, 135.1, 131.7, 128.7, 127.0, 125.9, 120.0, 34.4, 31.4; MS (EI) *m/z*: 253 [M]⁺.



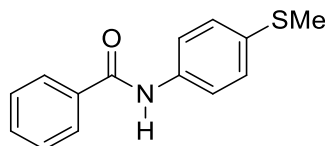
***N*-(*o*-Tolyl)benzamide (3ae)⁵** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with *o*-toluidine (429 mg, 4.0 mmol) to provide **3ae** (177 mg, 0.84 mmol, 42% yield) as a white solid; ¹H NMR (400 MHz, CDCl₃) δ 7.92 (d, *J* = 7.9 Hz, 1H), 7.90 – 7.85 (m, 2H), 7.72 (s, 1H), 7.58 – 7.53 (m, 1H), 7.51 – 7.45 (m, 2H), 7.24 (dd, *J* = 13.9, 6.2 Hz, 2H), 7.11 (td, *J* = 7.5, 1.2 Hz, 1H), 2.32 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 165.7, 135.8, 135.0, 131.9, 130.6, 129.4, 128.9, 127.1, 126.9, 125.4, 123.2, 17.9; MS (EI) *m/z*: 211 [M]⁺.



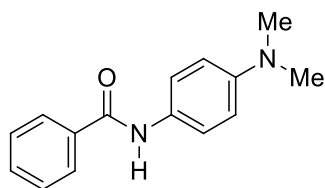
***N*-(4-Methoxyphenyl)benzamide (3ag)⁵** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with 4-methoxyaniline (493 mg, 4.0 mmol) to provide **3ag** (432 mg, 1.9 mmol, 95% yield) as a yellow solid; ¹H NMR (400 MHz, CDCl₃) δ 7.90 (s, 1H), 7.85 (d, *J* = 7.3 Hz, 2H), 7.55–7.51 (m, 3H), 7.45 (t, *J* = 7.4 Hz, 2H), 6.91 – 6.87 (m, 2H), 3.80 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 165.7, 156.6, 135.0, 131.7, 131.0, 128.7, 127.0, 122.2, 114.2, 55.5; MS (EI) *m/z*: 227 [M]⁺.



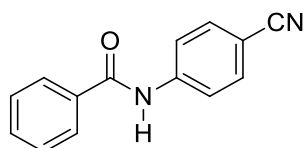
***N*-(2,4-Dimethoxyphenyl)benzamide (3ah)⁶** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with 2,4-dimethoxyaniline (613 mg, 4.0 mmol) to provide **3ah** (319 mg, 1.24 mmol, 62% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 8.41 (d, *J* = 8.5 Hz, 1H), 8.34 (s, 1H), 7.90 – 7.86 (m, 2H), 7.55 – 7.52 (m, 1H), 7.49 (ddt, *J* = 8.4, 6.7, 1.5 Hz, 2H), 6.55 – 6.51 (m, 2H), 3.90 (s, 3H), 3.82 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 165.0, 156.5, 149.5, 135.4, 131.5, 128.7, 127.0, 121.4, 120.7, 103.8, 98.7, 55.8, 55.6; MS (EI) *m/z*: 257 [M]⁺.



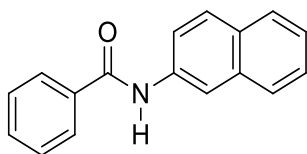
***N*-(4-(Methylthio)phenyl)benzamide (3ai)⁷** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with 4-(methylthio)aniline (557 mg, 4.0 mmol) to provide **3ai** (380 mg, 1.56 mmol, 78% yield) as a purple solid; ¹H NMR (500 MHz, DMSO-*d*₆) δ 10.28 (s, 1H), 7.99 – 7.94 (m, 2H), 7.80 – 7.74 (m, 2H), 7.62 – 7.58 (m, 1H), 7.57 – 7.52 (m, 2H), 7.32 – 7.26 (m, 2H), 2.48 (s, 3H); ¹³C NMR (126 MHz, DMSO-*d*₆) δ 165.9, 137.1, 135.3, 132.8, 132.0, 128.9, 128.1, 127.3, 121.4, 15.9; MS (EI) *m/z*: 243 [M]⁺.



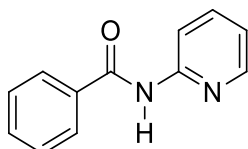
***N*-(4-(Dimethylamino)phenyl)benzamide (3aj)⁷** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with N1,N1-dimethylbenzene-1,4-diamine (375 mg, 4.0 mmol) to provide **3aj** (380 mg, 1.56 mmol, 78% yield) as a purple solid; ¹H NMR (500 MHz, CDCl₃) δ 7.87 (d, *J* = 7.4 Hz, 2H), 7.75 (s, 1H), 7.55-7.46 (m, 5H), 6.75 (d, *J* = 9.0 Hz, 2H), 2.95 (s, 6H); ¹³C NMR (126 MHz, CDCl₃) δ 165.5, 148.2, 135.3, 131.5, 128.7, 127.7, 127.0, 122.1, 113.0, 40.9; MS (EI) *m/z*: 240 [M]⁺.



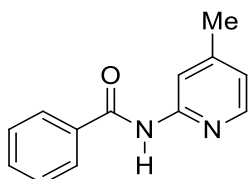
***N*-(4-Cyanophenyl)benzamide (3ak)⁸** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with 4-aminobenzonitrile (375 mg, 4.0 mmol) to provide **3ak** (169 mg, 0.76 mmol, 38% yield) as a white solid; ¹H NMR (500 MHz, DMSO-*d*₆) δ 10.67 (s, 1H), 8.04 – 8.00 (m, 2H), 7.99 – 7.95 (m, 2H), 7.86 – 7.82 (m, 2H), 7.66 – 7.62 (m, 1H), 7.60 – 7.55 (m, 2H); ¹³C NMR (126 MHz, , DMSO-*d*₆) δ 166.7, 144.0, 134.9, 133.6, 132.5, 129.0, 128.3, 120.6, 119.6, 105.8; MS (EI) *m/z*: 222 [M]⁺.



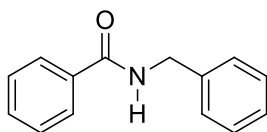
***N*-(Naphthalen-2-yl)benzamide (3al)⁶** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with naphthalen-2-amine (573 mg, 4.0 mmol) to provide **3al** (237 mg, 0.96 mmol, 48% yield) as a white solid; ¹H NMR (400 MHz, CDCl₃) δ 8.28 (s, 1H), 7.96 (d, *J* = 7.2 Hz, 3H), 7.91 – 7.86 (m, 2H), 7.73 (d, *J* = 8.3 Hz, 1H), 7.60 – 7.55 (m, 1H), 7.54 – 7.47 (m, 5H); ¹³C NMR (100 MHz, CDCl₃) δ 166.4, 134.8, 134.2, 132.4, 131.9, 128.9, 128.8, 127.6, 127.3, 126.4, 126.2, 126.1, 125.7, 121.5, 120.9; MS (EI) *m/z*: 247 [M]⁺.



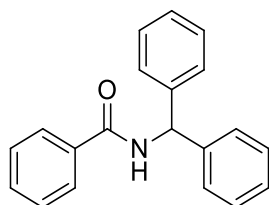
***N*-(Pyridin-2-yl)benzamide (3am)⁹** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with pyridin-2-amine (376 mg, 4.0 mmol) to provide **3am** (285 mg, 1.44 mmol, 72% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 8.69 (s, 1H), 8.40 (dt, *J* = 8.4, 1.0 Hz, 1H), 8.28 (ddd, *J* = 4.9, 1.9, 0.9 Hz, 1H), 7.93 (ddd, *J* = 7.1, 3.0, 1.7 Hz, 2H), 7.79 – 7.75 (m, 1H), 7.60 – 7.56 (m, 1H), 7.53 – 7.48 (m, 2H), 7.07 (ddd, *J* = 7.4, 4.9, 1.0 Hz, 1H); ¹³C NMR (126 MHz, CDCl₃) δ 165.7, 151.5, 147.9, 138.5, 134.3, 132.3, 128.9, 127.2, 120.0, 114.1; MS (EI) *m/z*: 198 [M]⁺.



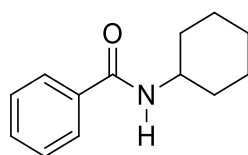
***N*-(4-Methylpyridin-2-yl)benzamide (3an)⁹** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with 4-methylpyridin-2-amine (433 mg, 4.0 mmol) to provide **3an** (340 mg, 1.6 mmol, 80% yield) as a yellow solid; ¹H NMR (400 MHz, CDCl₃) δ 8.78 (s, 1H), 8.25 (s, 1H), 8.09 (d, *J* = 5.1 Hz, 1H), 7.92 (dd, *J* = 8.3, 1.2 Hz, 2H), 7.56 (ddd, *J* = 6.5, 3.8, 1.3 Hz, 1H), 7.52 – 7.46 (m, 2H), 6.88 (dd, *J* = 5.1, 0.7 Hz, 1H), 2.40 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 165.8, 151.6, 150.0, 147.5, 134.4, 132.2, 128.8, 127.2, 121.1, 114.7, 21.4; MS (EI) *m/z*: 212 [M]⁺.



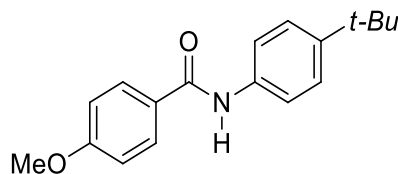
***N*-Benzylbenzamide (3ao)¹** : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with phenylmethanamine (429 mg, 4.0 mmol) to provide **3ao** (190 mg, 0.9 mmol, 45% yield) as a white oil; ¹H NMR (500 MHz, CDCl₃) δ 7.79 (d, *J* = 7.1 Hz, 2H), 7.52 – 7.47 (m, 1H), 7.42 (t, *J* = 7.5 Hz, 2H), 7.36 – 7.27 (m, 5H), 6.55 (s, 1H), 4.64 (d, *J* = 5.7 Hz, 2H); ¹³C NMR (126 MHz, CDCl₃) δ 167.3, 138.1, 134.3, 131.5, 128.7, 128.5, 127.9, 127.6, 126.9, 44.1; MS (EI) *m/z*: 211 [M]⁺.



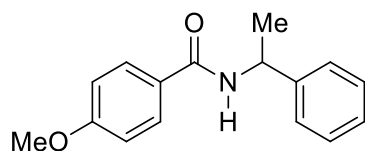
***N*-Benzhydrylbenzamide (3ap)**¹⁰ : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with diphenylmethanamine (733 mg, 4.0 mmol) to provide **3ap** (368 mg, 1.28 mmol, 64% yield) as a white solid; ¹H NMR (400 MHz, CDCl₃) δ 7.80 (d, *J* = 7.1 Hz, 2H), 7.48 (t, *J* = 7.4 Hz, 1H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.35-7.23 (m, 10H), 6.78 (d, *J* = 7.5 Hz, 1H), 6.44 (d, *J* = 7.9 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 165.5, 141.5, 134.3, 131.7, 128.8, 128.7, 127.6, 127.6, 127.1, 57.5; MS (EI) *m/z*: 273 [M]⁺.



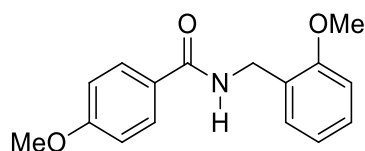
***N*-Cyclohexylbenzamide (3aq)**¹ : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with cyclohexanamine (397 mg, 4.0 mmol) to provide **3aq** (342 mg, 1.68 mmol, 84% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 7.80 – 7.73 (m, 2H), 7.51 – 7.47 (m, 1H), 7.45 – 7.39 (m, 2H), 6.10 (d, *J* = 5.5 Hz, 1H), 4.02 – 3.95 (m, 1H), 2.04 (dd, *J* = 12.8, 3.9 Hz, 2H), 1.79 – 1.73 (m, 2H), 1.69 – 1.63 (m, 1H), 1.47 – 1.38 (m, 2H), 1.23 (tdd, *J* = 12.2, 9.7, 3.4 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 166.6, 135.1, 131.2, 128.5, 126.9, 48.7, 33.2, 25.6, 25.0; MS (EI) *m/z*: 203 [M]⁺.



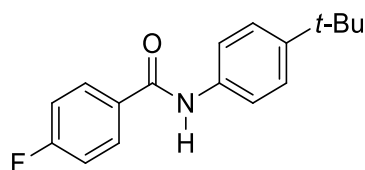
***N*-(4-(tert-Butyl)phenyl)-4-methoxybenzamide (3bd)**¹¹ : 4-Methoxy-*N*-phenylbenzamide (455 mg, 2.0 mmol) reacted with 4-(tert-butyl)aniline (597 mg, 4.0 mmol) to provide **3bd** (476 mg, 1.68 mmol, 84% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 7.86 – 7.79 (m, 3H), 7.56 – 7.52 (m, 2H), 7.38 – 7.34 (m, 2H), 6.96 – 6.92 (m, 2H), 3.85 (s, 3H), 1.32 (s, 9H); ¹³C NMR (126 MHz, CDCl₃) δ 165.2, 162.4, 147.3, 135.5, 128.9, 127.3, 125.8, 120.0, 113.9, 55.4, 34.4, 31.4; MS (EI) *m/z*: 283 [M]⁺.



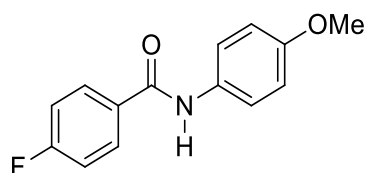
4-Methoxy-*N*-(1-phenylethyl)benzamide (3bs)¹² : 4-Methoxy-*N*-phenylbenzamide (455 mg, 2.0 mmol) reacted with 1-phenylethanamine (485 mg, 4.0 mmol) to provide **3bs** (296 mg, 1.16 mmol, 58% yield) as a white solid; ¹H NMR (400 MHz, CDCl₃) δ 7.77 – 7.72 (m, 2H), 7.41 – 7.32 (m, 4H), 7.29 – 7.26 (m, 1H), 6.92 – 6.88 (m, 2H), 6.30 (d, *J* = 7.3 Hz, 1H), 5.33 (p, *J* = 7.0 Hz, 1H), 3.83 (s, 3H), 1.59 (d, *J* = 6.9 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 166.1, 162.1, 143.3, 128.7, 127.4, 126.8, 126.3, 113.7, 55.4, 49.1; MS (EI) *m/z*: 255 [M]⁺.



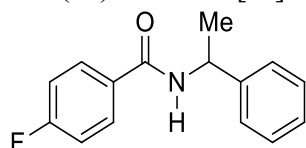
4-Methoxy-*N*-(2-methoxybenzyl)benzamide (3bt)¹³ : 4-Methoxy-*N*-phenylbenzamide (455 mg, 2.0 mmol) reacted with (2-methoxyphenyl)methanamine (549 mg, 4.0 mmol) to provide **3bt** (309 mg, 1.14 mmol, 57% yield) as a white solid; ¹H NMR (400 MHz, CDCl₃) δ 7.75 – 7.71 (m, 2H), 7.35 (dd, *J* = 7.4, 1.6 Hz, 1H), 7.29 (dd, *J* = 7.9, 1.6 Hz, 1H), 6.96 – 6.89 (m, 4H), 6.57 (s, 1H), 4.63 (d, *J* = 5.8 Hz, 2H), 3.88 (s, 3H), 3.83 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 166.7, 162.0, 157.7, 130.0, 128.9, 128.7, 127.1, 126.4, 120.8, 113.7, 110.4, 55.5, 55.4, 40.0; MS (EI) *m/z*: 271 [M]⁺.



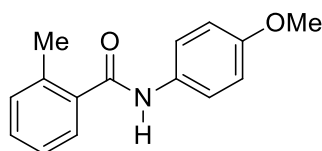
***N*-(4-(tert-Butyl)phenyl)-4-fluorobenzamide (3cd)**⁴ : 4-Fluoro-*N*-phenylbenzamide (430 mg, 2.0 mmol) reacted with 4-(tert-butyl)aniline (597 mg, 4.0 mmol) to provide **3cd** (348 mg, 1.6 mmol, 80% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 7.91 – 7.81 (m, 3H), 7.53 (d, *J* = 8.7 Hz, 2H), 7.39 – 7.35 (m, 2H), 7.15 – 7.09 (m, 2H), 1.32 (s, 9H); ¹³C NMR (126 MHz, CDCl₃) δ 164.8 (d, *J*_{C-F} = 253.3 Hz), 164.7, 147.8, 132.1, 131.2 (d, *J*_{C-F} = 2.5 Hz), 129.4 (d, *J*_{C-F} = 8.8 Hz), 125.9, 120.2, 115.8 (d, *J*_{C-F} = 21.4 Hz), 34.5, 31.4; MS (EI) *m/z*: 271 [M]⁺.



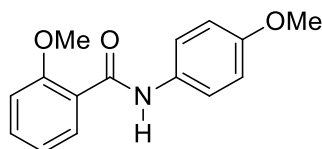
4-Fluoro-*N*-(4-methoxyphenyl)benzamide (3cg)¹⁴ : 4-Fluoro-*N*-phenylbenzamide (430 mg, 2.0 mmol) reacted with 4-methoxyaniline (493 mg, 4.0 mmol) to provide **3cg** (348 mg, 1.42 mmol, 71% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 7.89 (dd, *J* = 8.5, 5.3 Hz, 2H), 7.68 (s, 1H), 7.53 (d, *J* = 8.7 Hz, 2H), 7.17 (t, *J* = 8.6 Hz, 2H), 6.93 (d, *J* = 9.0 Hz, 2H), 3.83 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 164.8 (d, *J*_{C-F} = 253.3 Hz), 164.7, 156.8, 131.2 (d, *J*_{C-F} = 3.8 Hz), 130.8, 129.3 (d, *J*_{C-F} = 8.8 Hz), 122.2, 115.8 (d, *J*_{C-F} = 22.7 Hz), 114.3, 55.5; MS (EI) *m/z*: 245 [M]⁺.



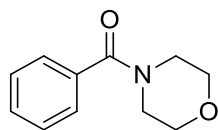
4-Fluoro-*N*-(1-phenylethyl)benzamide (3cs)¹⁰ : 4-Fluoro-*N*-phenylbenzamide (430 mg, 2.0 mmol) reacted with 1-phenylethanamine (485g, 4.0 mmol) to provide **3cs** (277 mg, 1.14 mmol, 57% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 7.81 – 7.76 (m, 2H), 7.41 – 7.34 (m, 4H), 7.31 – 7.27 (m, 1H), 7.12 – 7.06 (m, 2H), 6.37 (d, *J* = 6.4 Hz, 1H), 5.33 (quin, *J* = 7.0 Hz, 1H), 1.61 (d, *J* = 6.9 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 164.7 (d, *J*_{C-F} = 252 Hz), 165.5, 143.0, 130.7 (d, *J*_{C-F} = 2.5 Hz), 129.3 (d, *J*_{C-F} = 8.8 Hz), 128.8, 127.5, 126.3, 115.6 (d, *J*_{C-F} = 21.4 Hz), 49.3, 21.7; MS (EI) *m/z*: 243 [M]⁺.



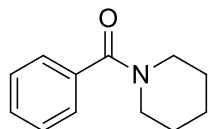
***N*-(4-Methoxyphenyl)-2-methylbenzamide (3dg)**¹⁴ : 2-Methyl-*N*-phenylbenzamide (423 mg, 2.0 mmol) reacted with 4-methoxyaniline (493 mg, 4.0 mmol) to provide **3dg** (367 mg, 1.52 mmol, 76% yield) as a white solid; ¹H NMR (400 MHz, CDCl₃) δ 7.93 (s, 1H), 7.66 (s, 1H), 7.62 (dd, *J* = 5.8, 2.8 Hz, 1H), 7.52 (d, *J* = 8.9 Hz, 2H), 7.36 – 7.28 (m, 2H), 6.90 – 6.82 (m, 2H), 3.79 (s, 3H), 2.38 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 166.0, 156.6, 138.6, 135.0, 132.4, 131.2, 128.6, 127.8, 124.0, 122.2, 114.2, 55.5, 21.4; MS (EI) *m/z*: 241 [M]⁺.



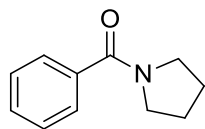
2-Methoxy-*N*-(4-methoxyphenyl)benzamide (3eg)¹⁵ : 2-Methoxy-*N*-phenylbenzamide (455 mg, 2.0 mmol) reacted with 4-methoxyaniline (493 mg, 4.0 mmol) to provide **3eg** (329 mg, 1.28 mmol, 64% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 9.67 (s, 1H), 8.28 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.58 (d, *J* = 8.9 Hz, 2H), 7.50 – 7.46 (m, 1H), 7.12 (t, *J* = 7.4 Hz, 1H), 7.02 (d, *J* = 8.3 Hz, 1H), 6.90 (d, *J* = 8.8 Hz, 2H), 4.04 (d, *J* = 1.0 Hz, 3H), 3.81 (d, *J* = 1.2 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 163.0, 157.2, 156.3, 133.0, 132.5, 124.1, 122.1, 121.8, 121.6, 114.1, 111.5, 56.2, 55.5; MS (EI) *m/z*: 257 [M]⁺.



Morpholino(phenyl)methanone (5a)¹⁶ : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with morpholine (348 mg, 4.0 mmol) to provide **5a** (92 mg, 0.48 mmol, 24% yield) as a white oil; ¹H NMR (500 MHz, CDCl₃) δ 7.43 – 7.40 (m, 5H), 3.80 – 3.46 (m, 8H); ¹³C NMR (126 MHz, CDCl₃) δ 170.5, 135.3, 129.9, 128.6, 127.1, 66.9, 48.2, 42.6; MS (EI) *m/z*: 191 [M]⁺.

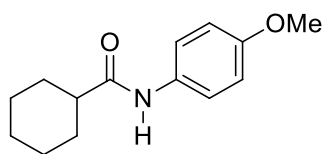


Phenyl(piperidin-1-yl)methanone (5b)¹⁷ : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with piperidine (340, 4.0 mmol) to provide **5b** (79 mg, 0.42 mmol, 21% yield) as a white oil; ¹H NMR (500 MHz, CDCl₃) δ 7.38 (s, 5H), 3.70 (s, 2H), 3.33 (s, 2H), 1.67 (s, 4H), 1.50 (s, 2H); ¹³C NMR (126 MHz, CDCl₃) δ 170.3, 136.5, 129.3, 128.4, 126.8, 48.8, 43.1, 26.5, 25.6, 24.6; MS (EI) *m/z*: 189 [M]⁺.



Phenyl(pyrrolidin-1-yl)methanone (5c)¹⁷ : *N*-Phenylbenzamide (394 mg, 2.0 mmol) reacted with pyrrolidine (284 mg, 4.0 mmol) to provide **5c** (77 mg, 0.44 mmol, 22% yield) as a white oil; ¹H NMR (500 MHz, CDCl₃) δ 7.53 – 7.48 (m, 2H), 7.41 – 7.36 (m, 3H), 3.64 (t, *J* = 7.0 Hz, 2H), 3.42 (t, *J* = 6.7 Hz, 2H), 1.95 (dd, *J* = 14.0, 6.6 Hz, 2H), 1.89 – 1.83 (m, 2H); ¹³C

NMR (126 MHz, CDCl₃) δ 169.7, 137.2, 129.7, 128.2, 127.1, 49.6, 46.2, 26.4, 24.5; MS (EI) m/z : 175 [M]⁺.



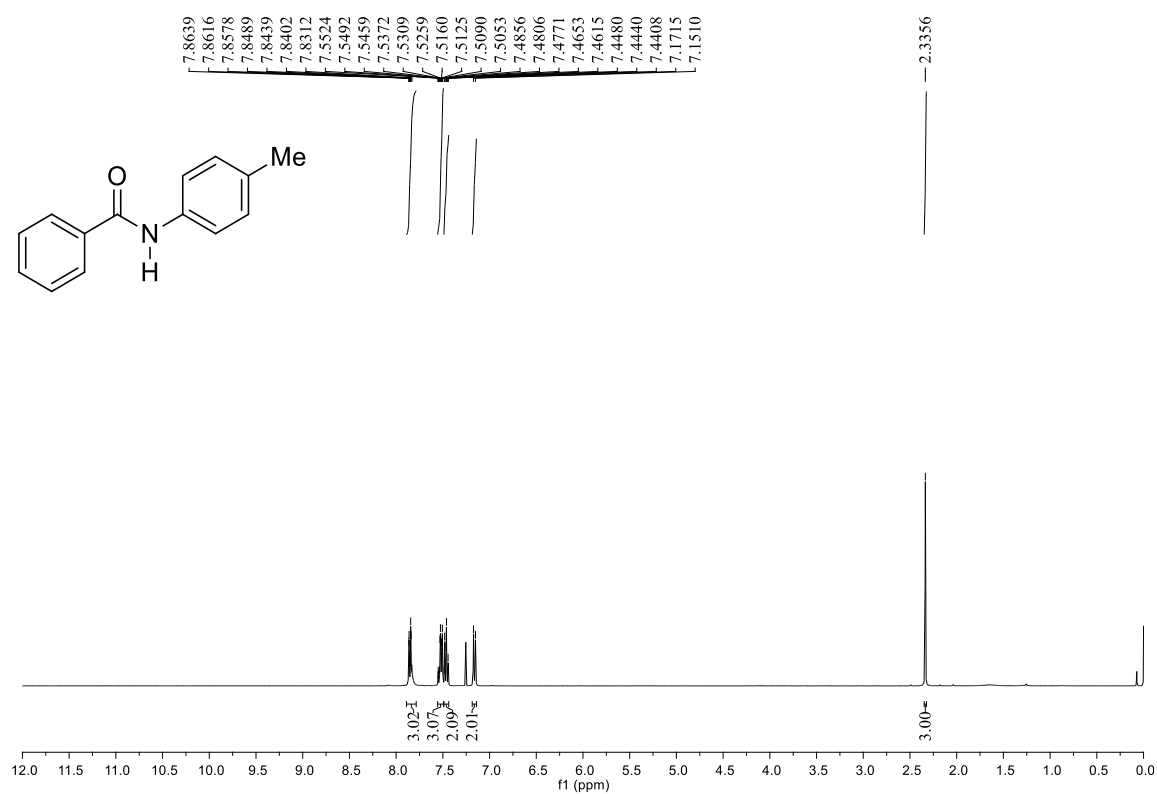
***N*-(4-Methoxyphenyl)cyclohexanecarboxamide (7)**¹⁷ : *N*-Phenylcyclohexanecarboxamide (407 mg, 2.0 mmol) reacted with 4-methoxyaniline (493 mg, 4.0 mmol) to provide **7** (397 mg, 1.7 mmol, 85% yield) as a white solid; ¹H NMR (500 MHz, CDCl₃) δ 7.44 – 7.40 (m, 2H), 7.15 (s, 1H), 6.87 – 6.83 (m, 2H), 3.79 (s, 3H), 2.21 (ddd, J = 11.8, 7.6, 3.5 Hz, 1H), 1.95 (d, J = 13.4 Hz, 2H), 1.84 (dd, J = 9.4, 6.6 Hz, 2H), 1.70 (dd, J = 7.6, 6.2 Hz, 1H), 1.58 – 1.50 (m, 2H), 1.35 – 1.24 (m, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 174.2, 156.2, 131.2, 121.6, 114.1, 55.5, 46.4, 29.7, 25.7; MS (EI) m/z : 233 [M]⁺.

Reference

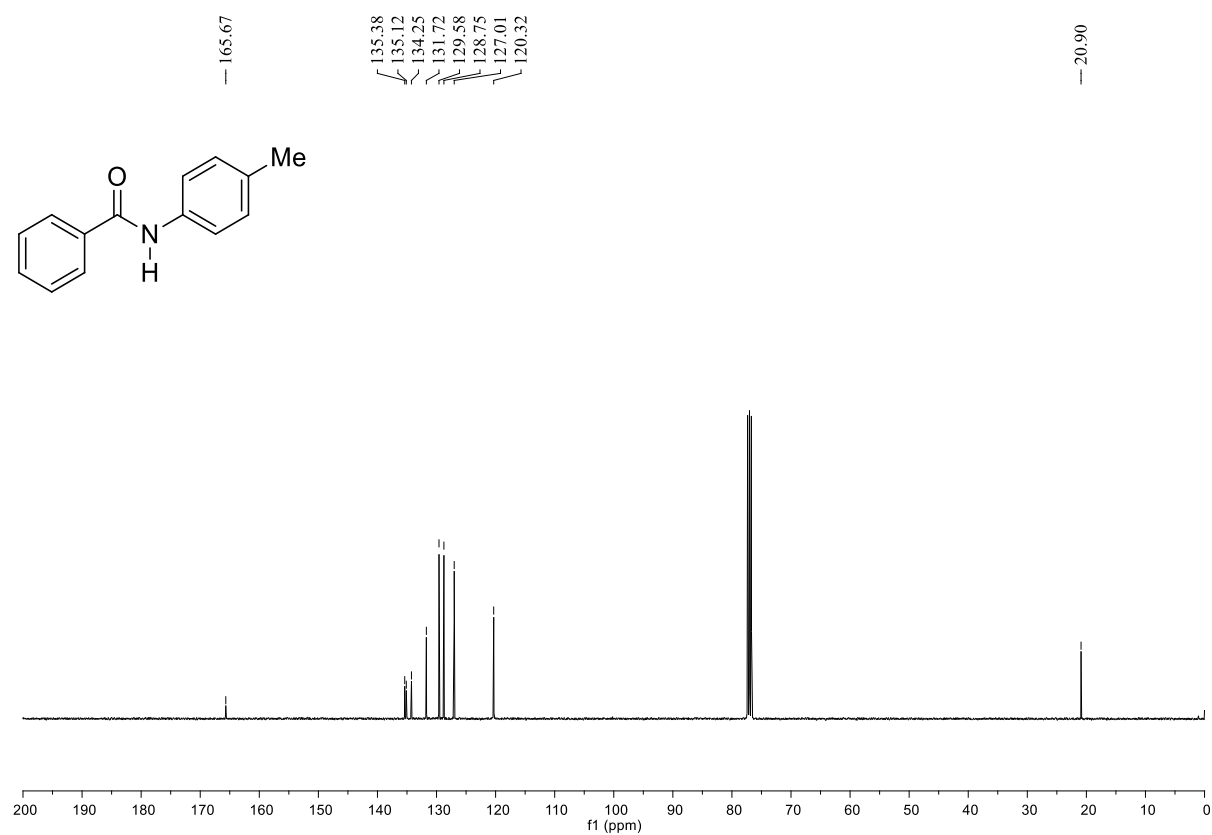
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N-(*p*-Tolyl)benzamide-3aa

¹H NMR

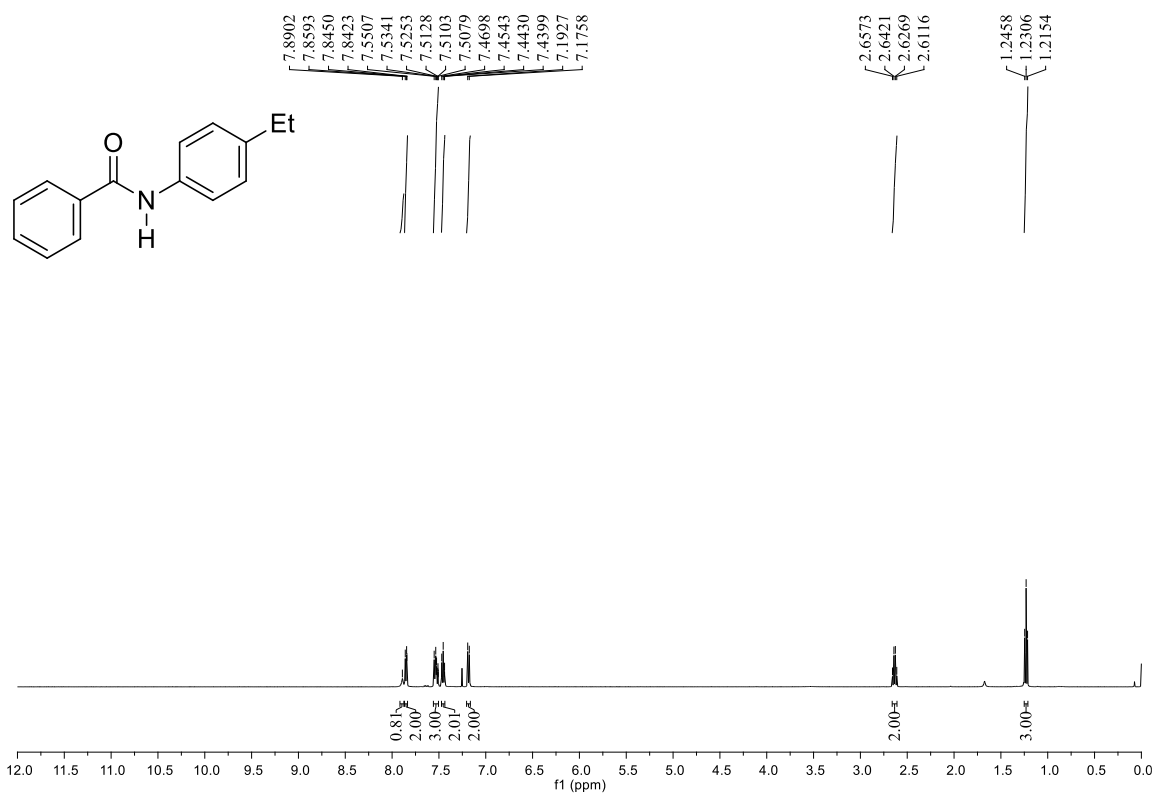


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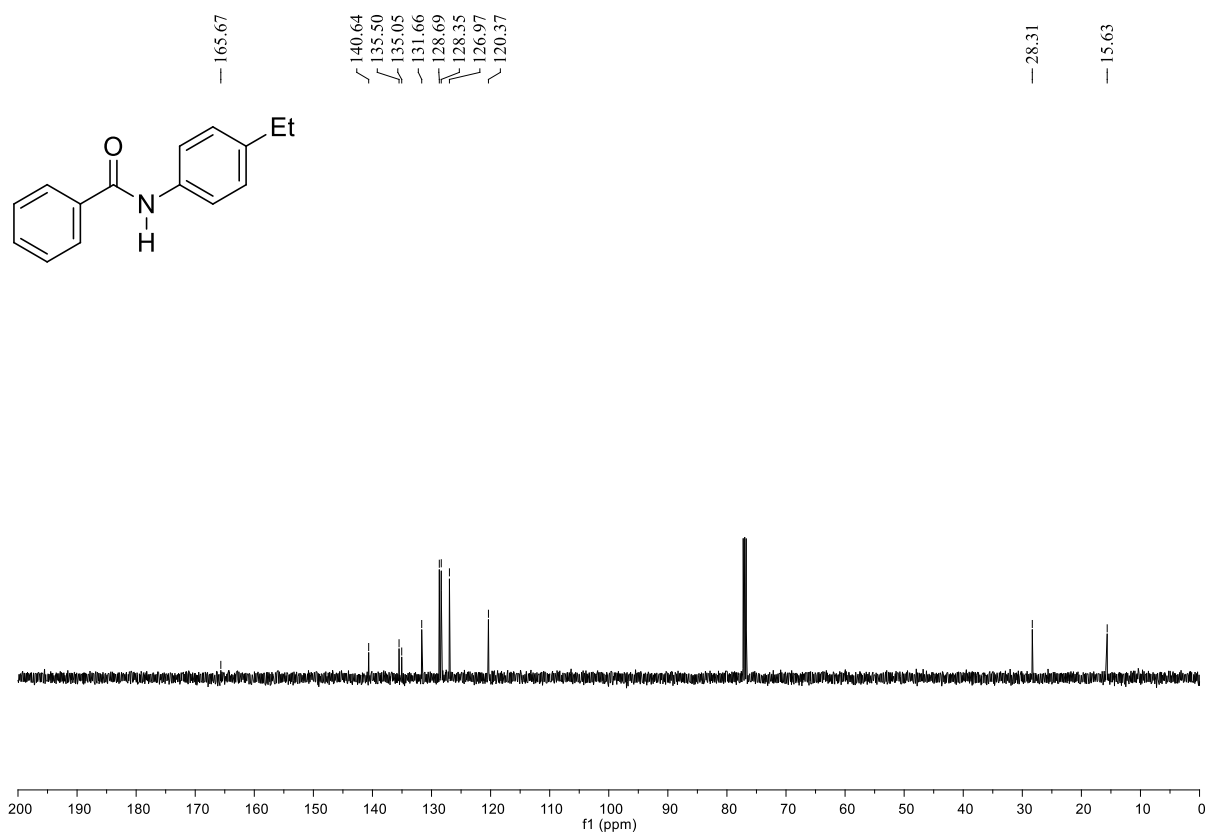


***N*-(4-Ethylphenyl)benzamide-3ab**

¹H NMR

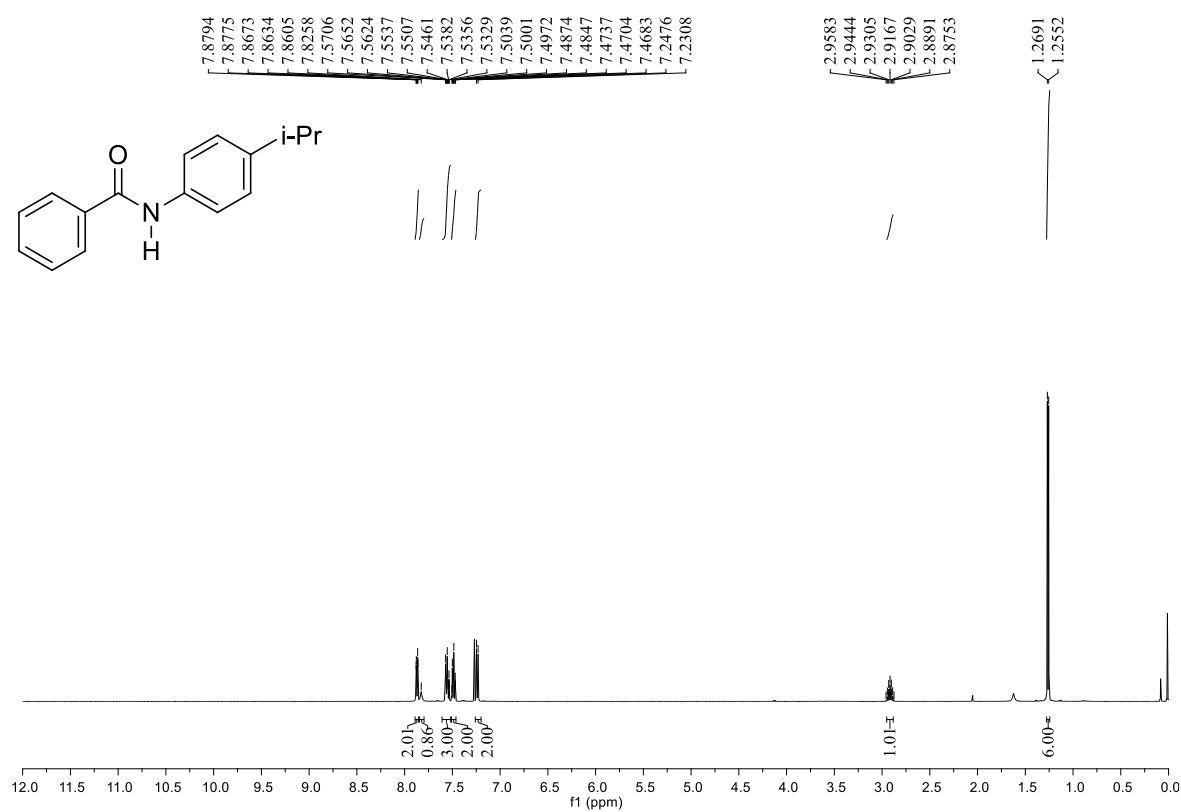


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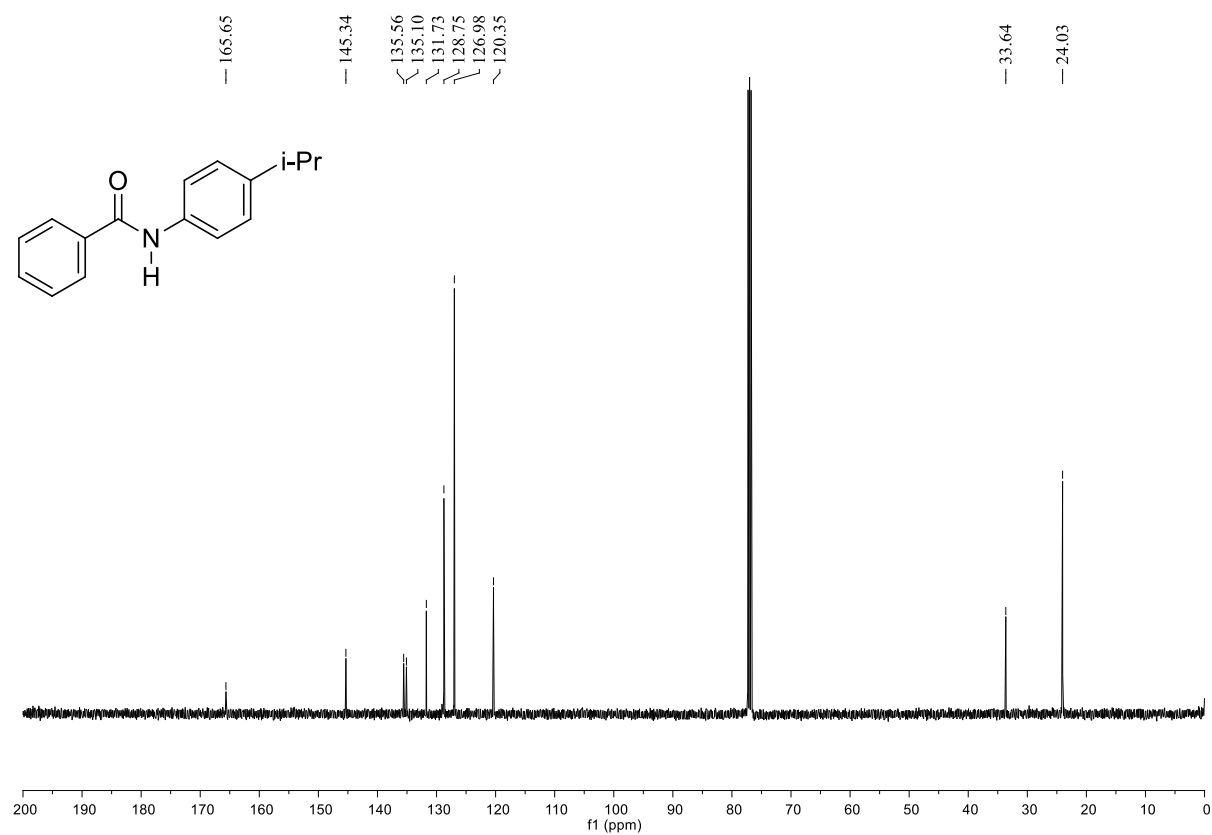


***N*-(4-Isopropylphenyl)benzamide-3ac**

¹H NMR

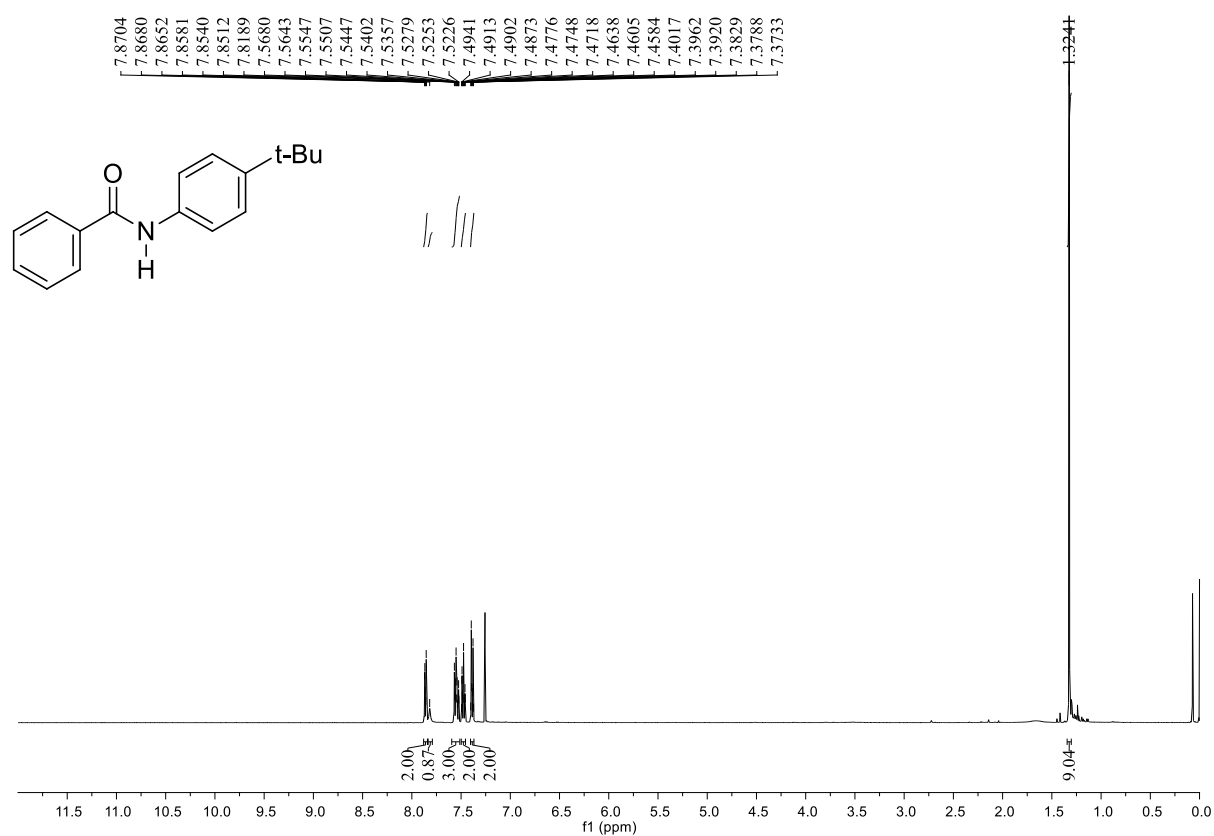


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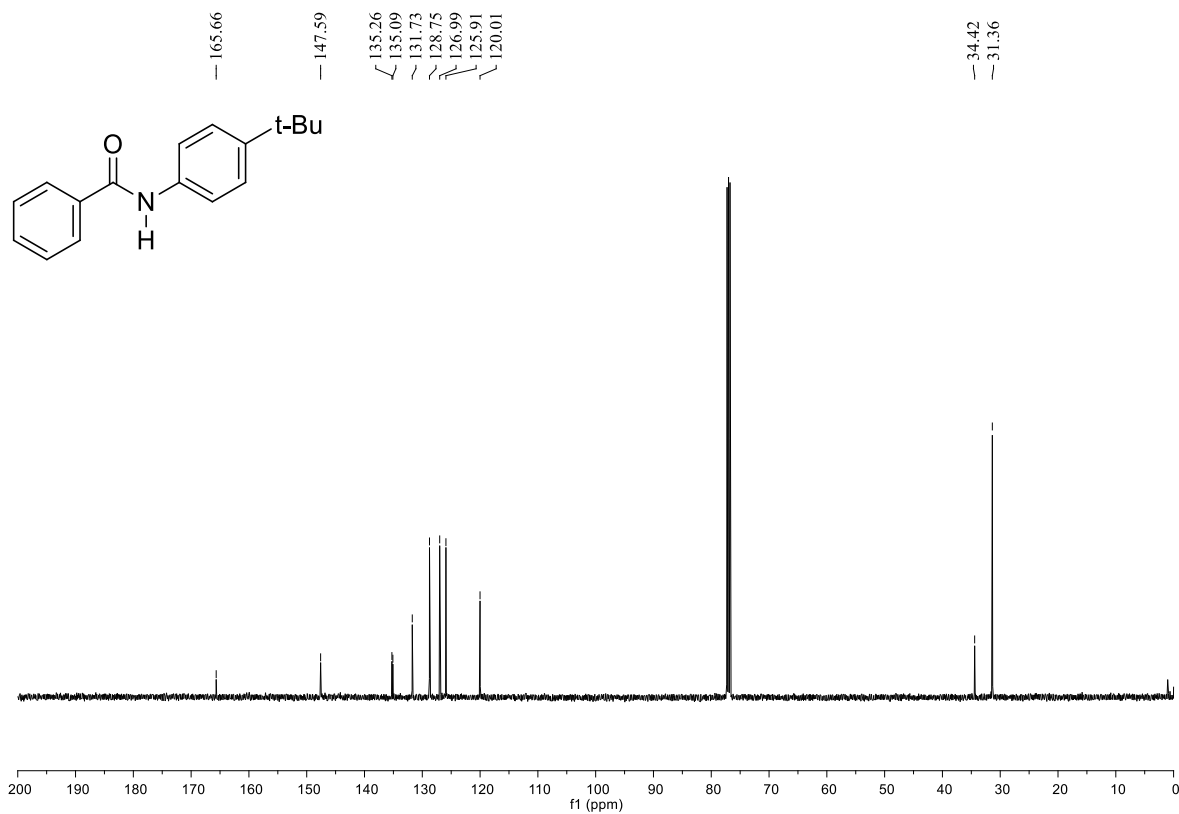


***N*-(4-(*tert*-Butyl)phenyl)benzamide-3ad**

¹H NMR

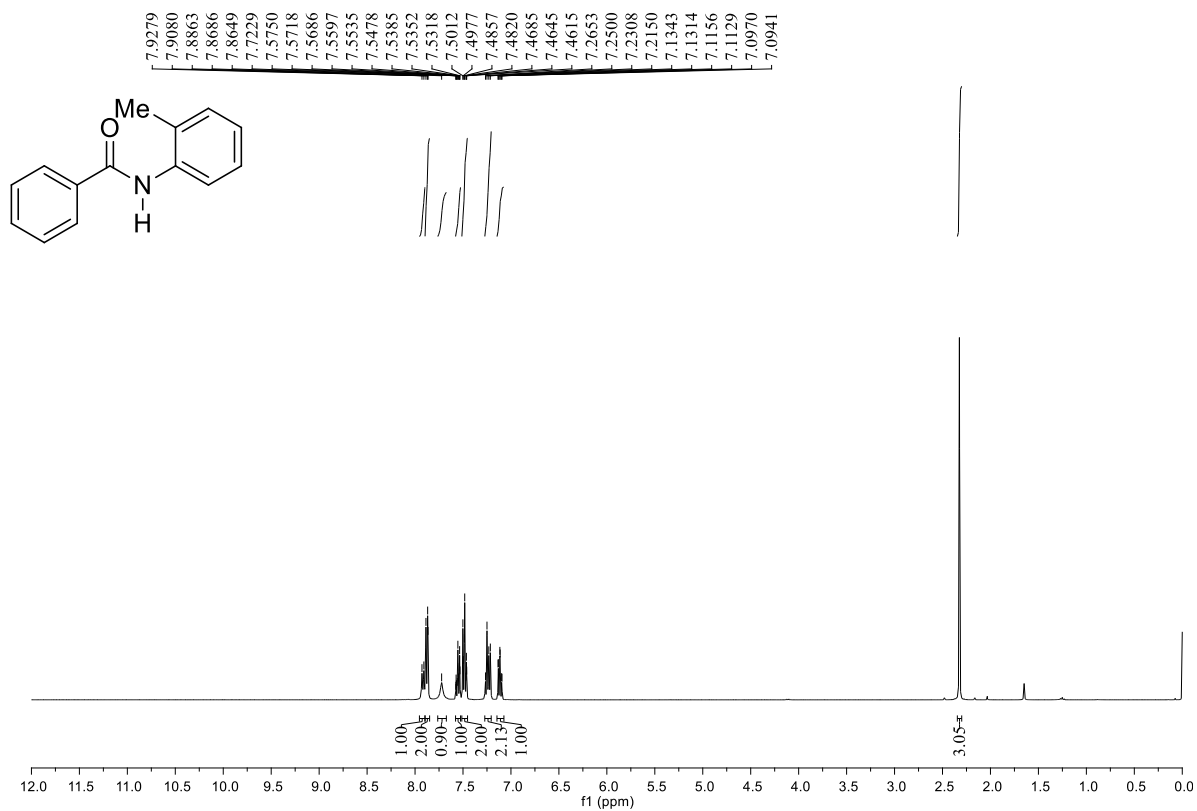


¹³C NMR

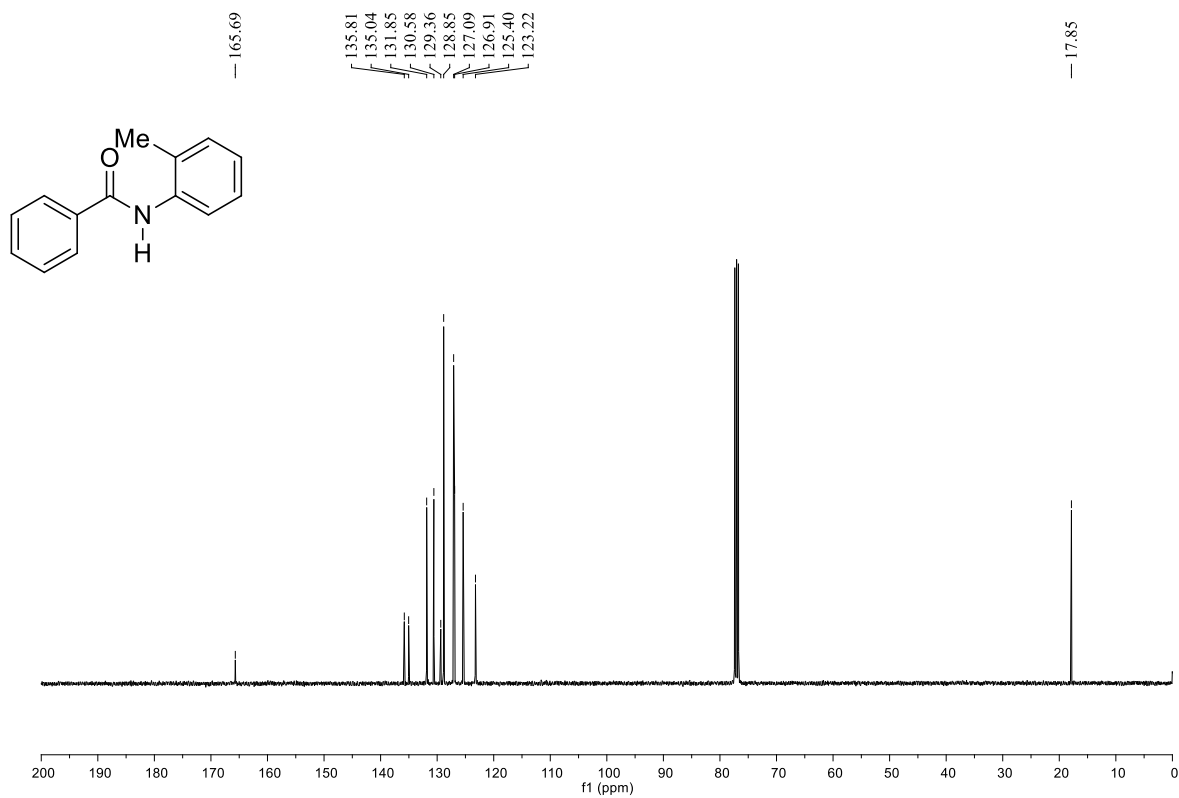


N-(*o*-Tolyl)benzamide-3ae

¹H NMR

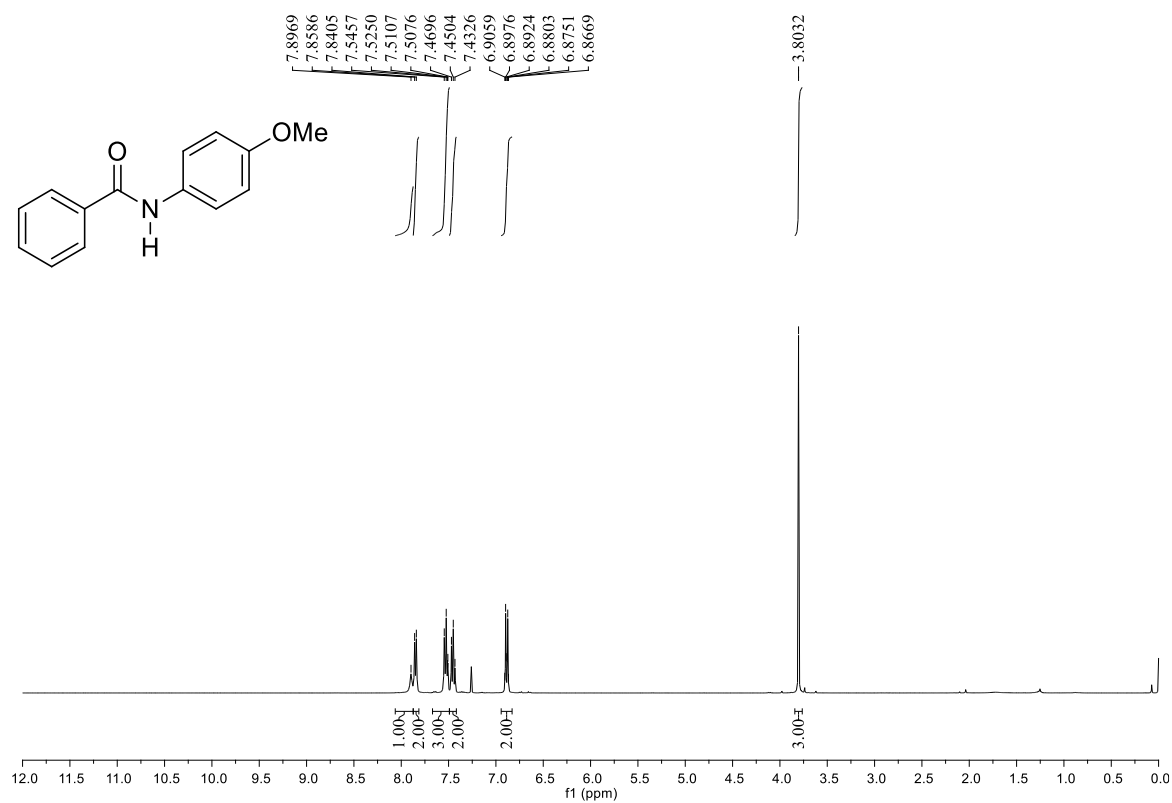


¹³C NMR

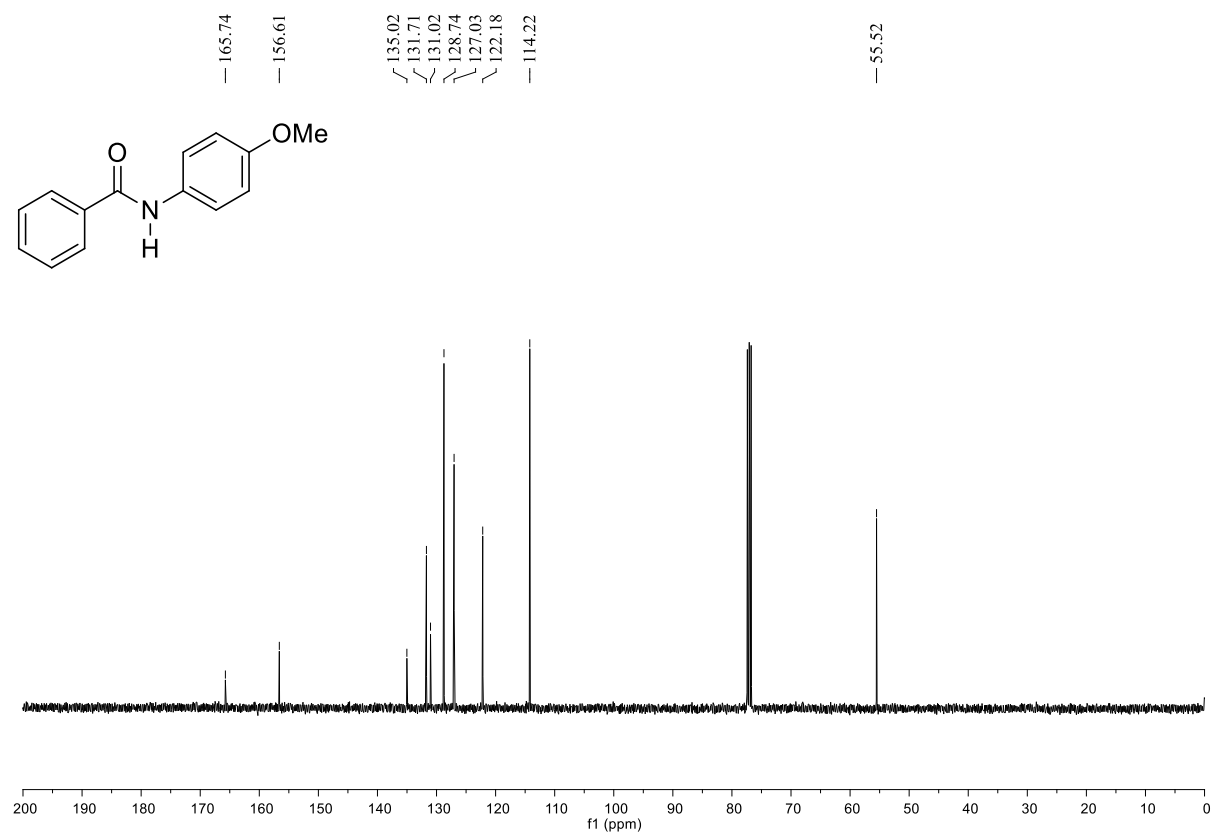


***N*-(4-Methoxyphenyl)benzamide-3ag**

¹H NMR

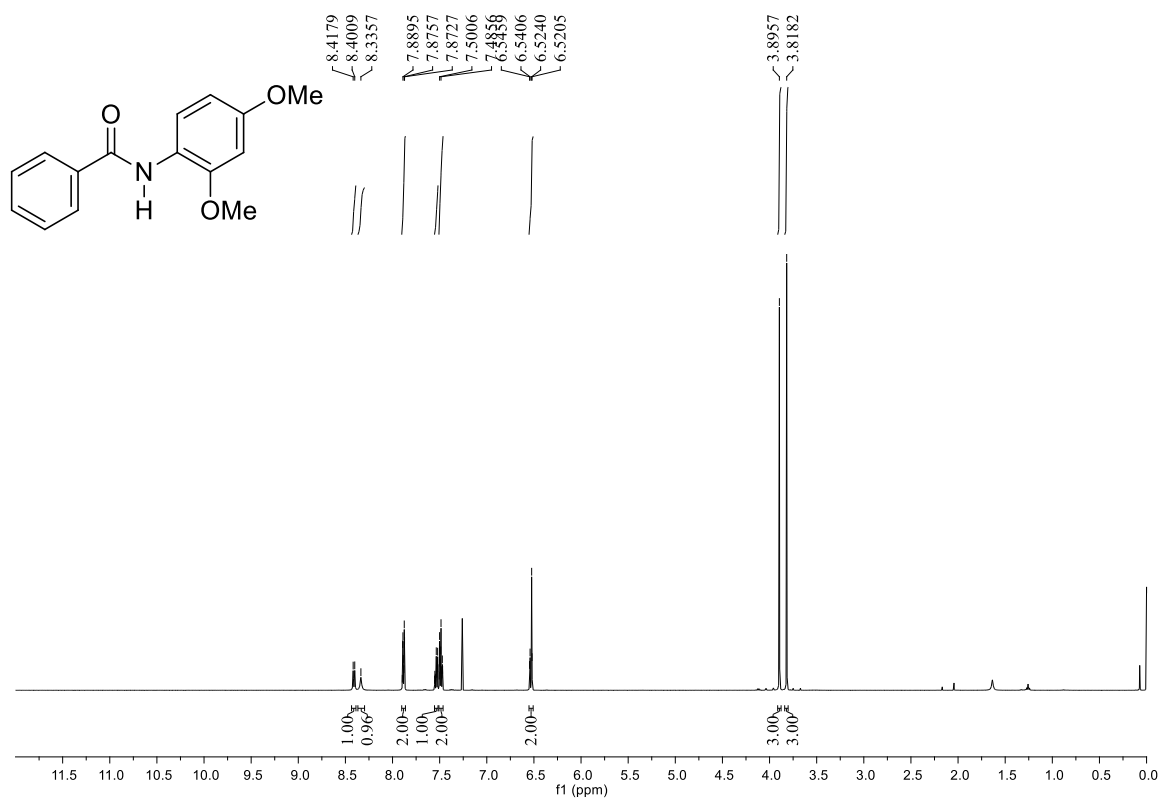


¹³C NMR

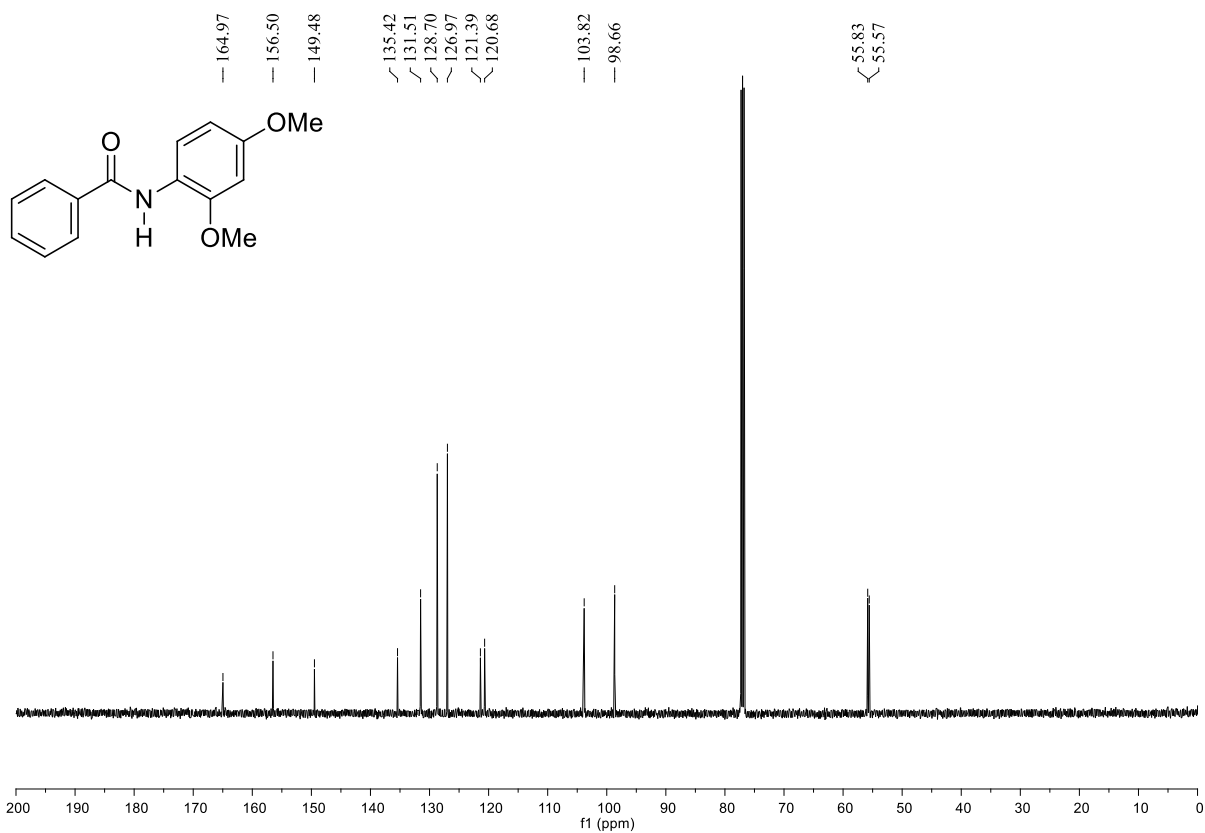


***N*-(2,4-Dimethoxyphenyl)benzamide-3ah**

¹H NMR

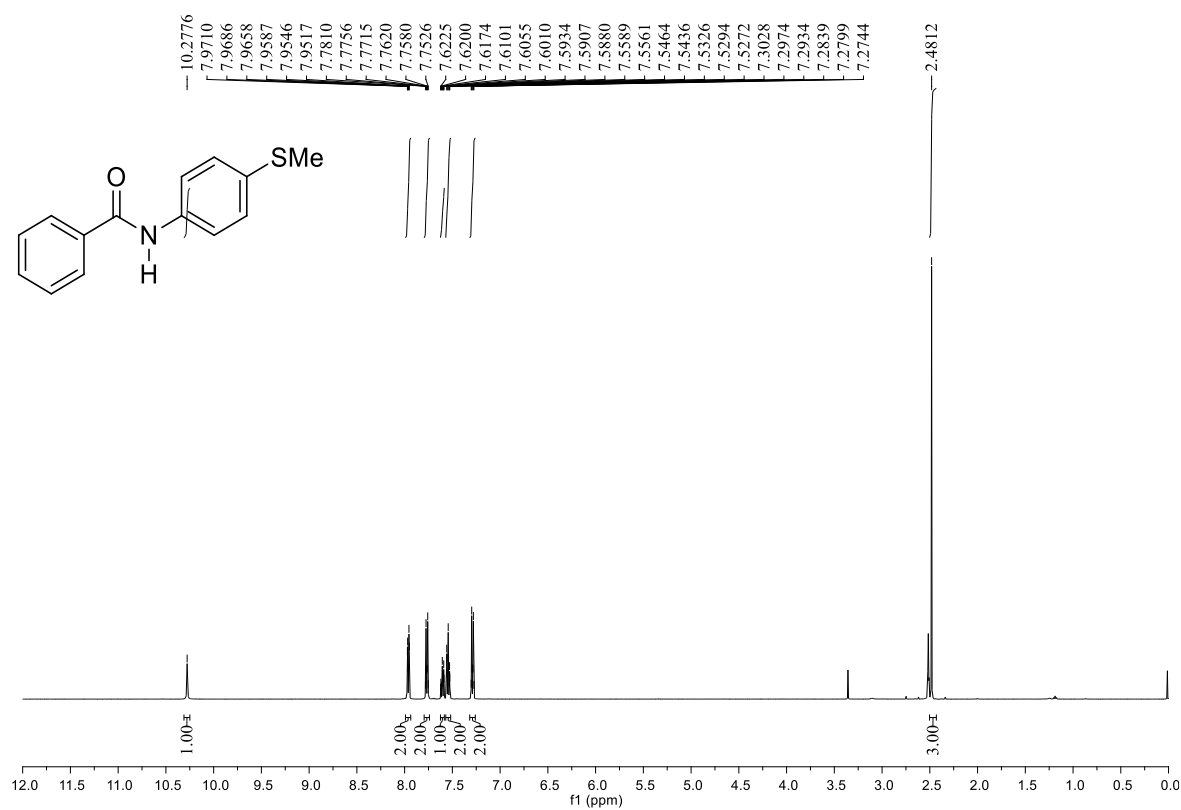


¹³C NMR

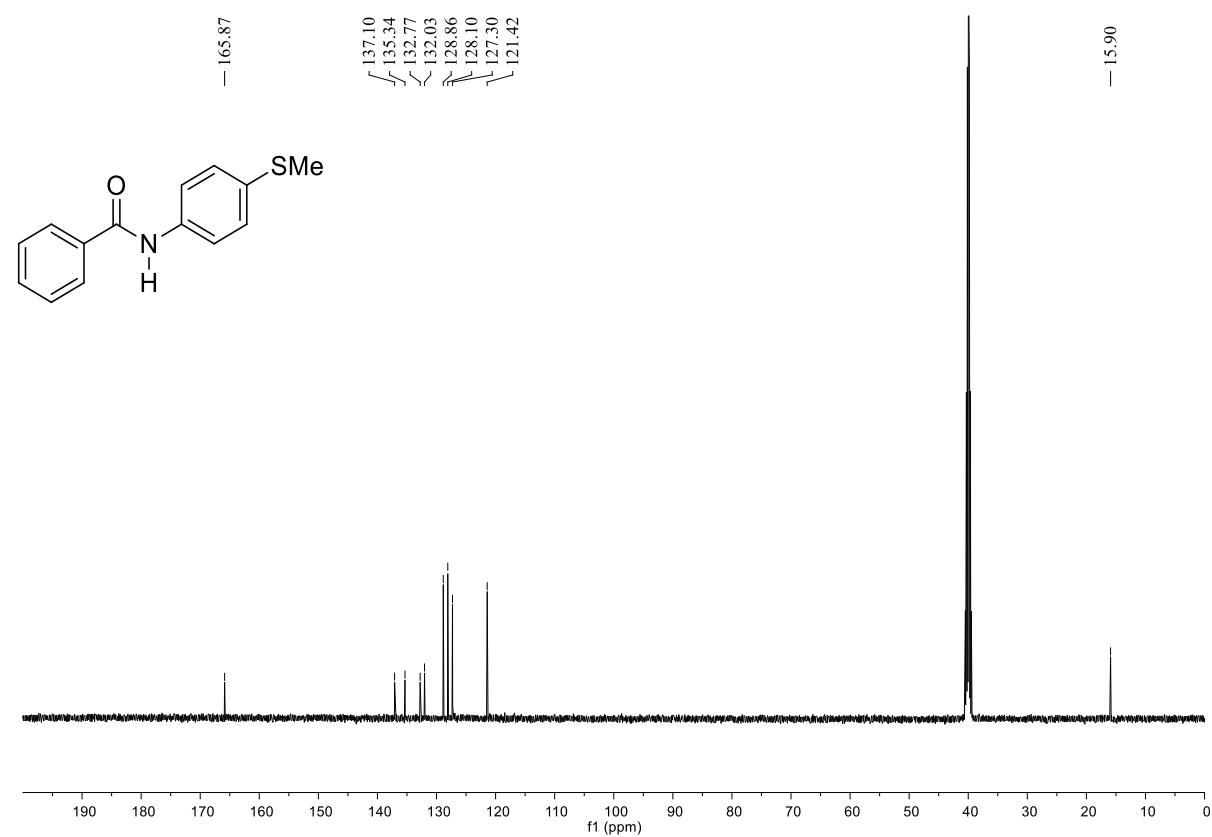


***N*-(4-(Methylthio)phenyl)benzamide-3ai**

¹H NMR

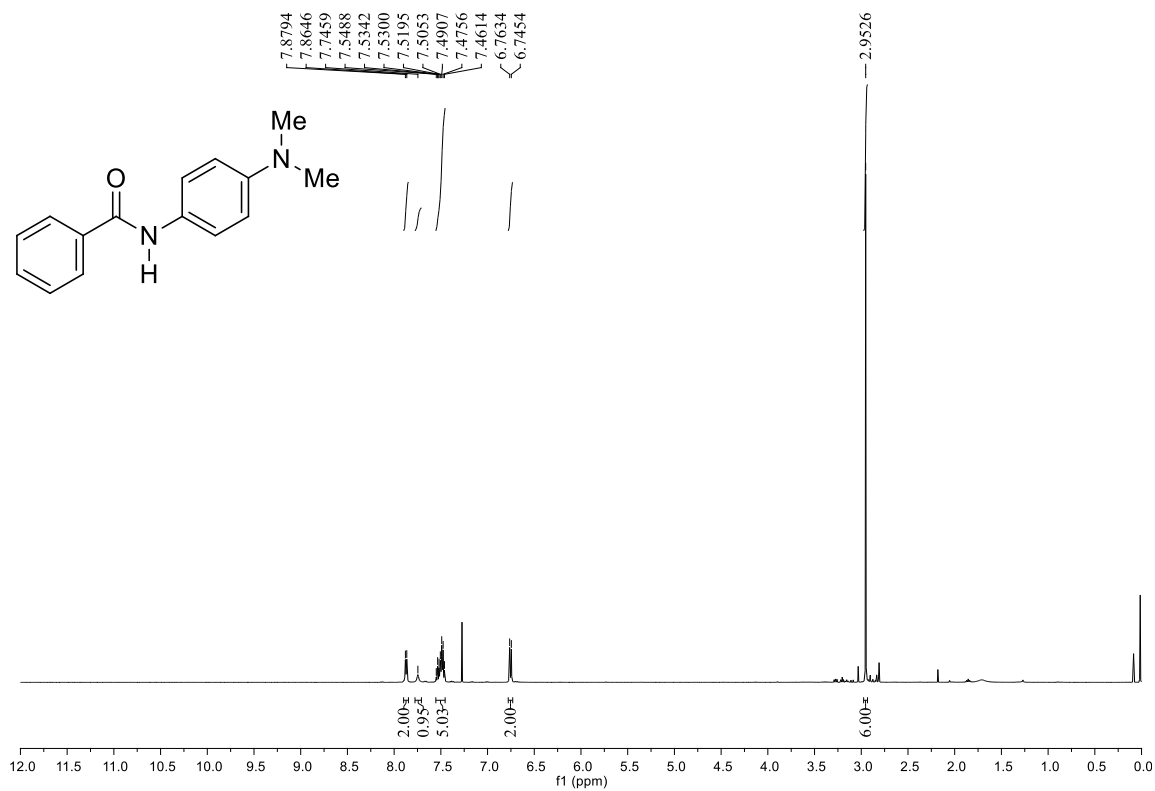


¹³C NMR

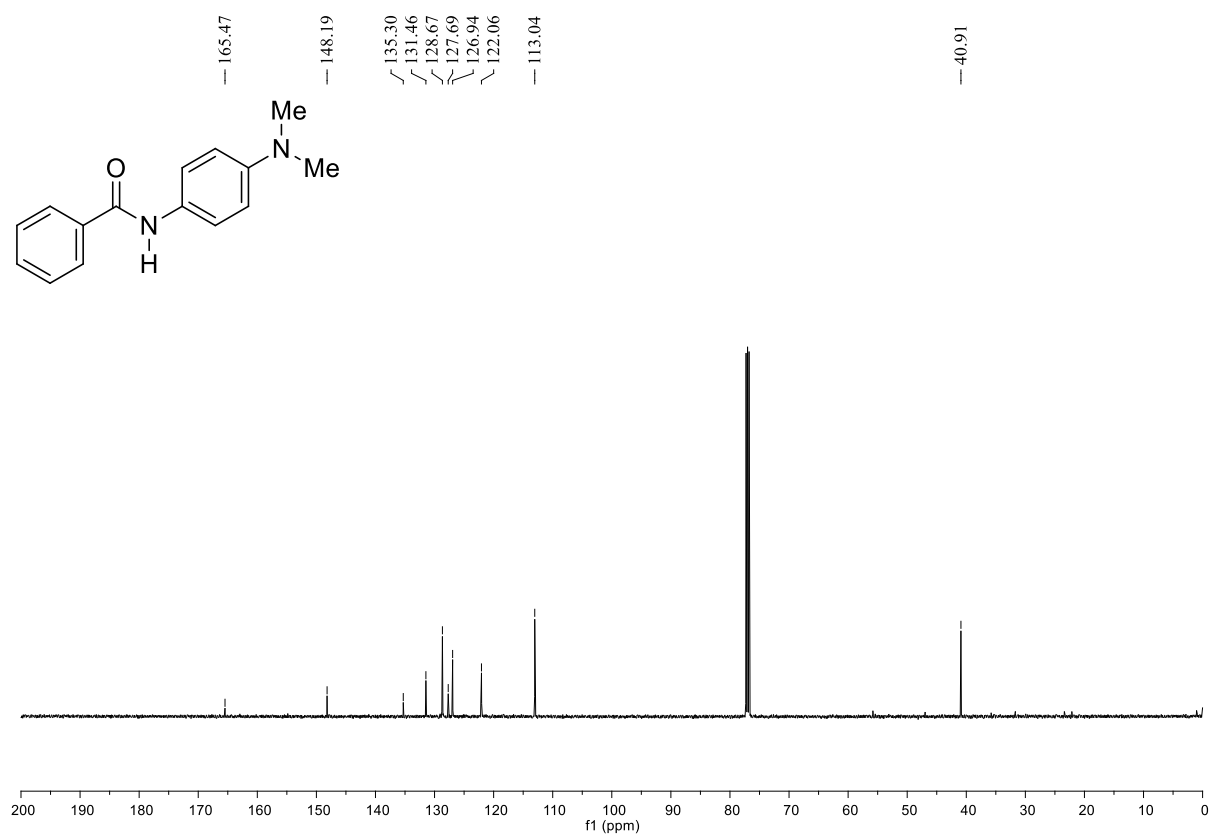


N-(4-(Dimethylamino)phenyl)benzamide-3aj

¹H NMR

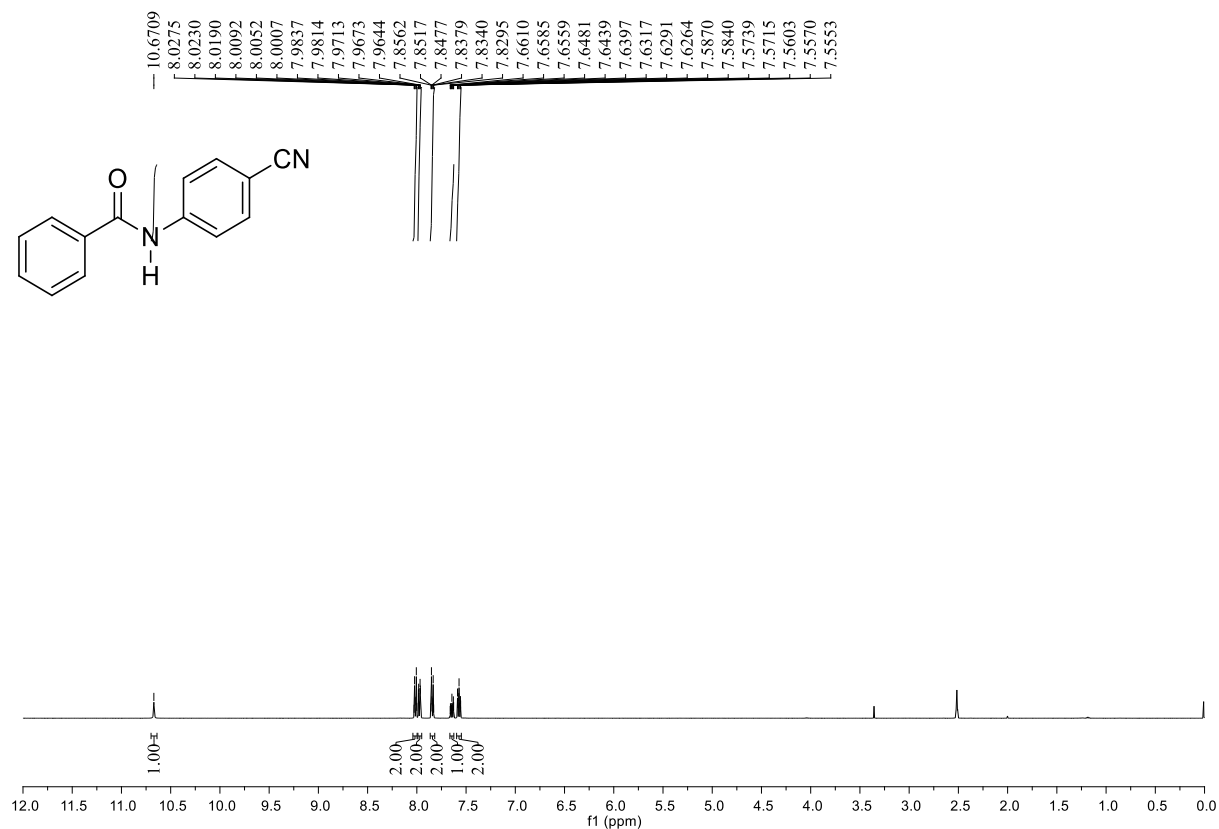


¹³C NMR

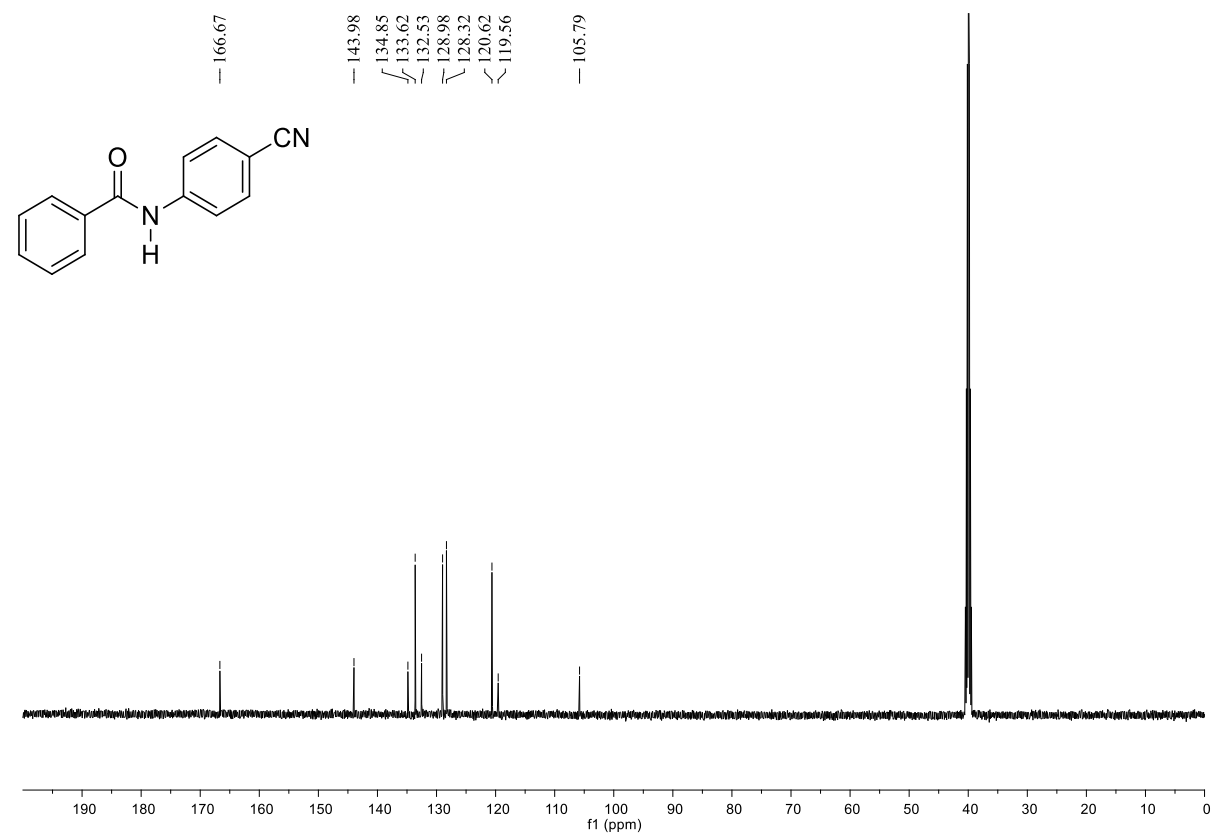


***N*-(4-Cyanophenyl)benzamide-3ak**

¹H NMR

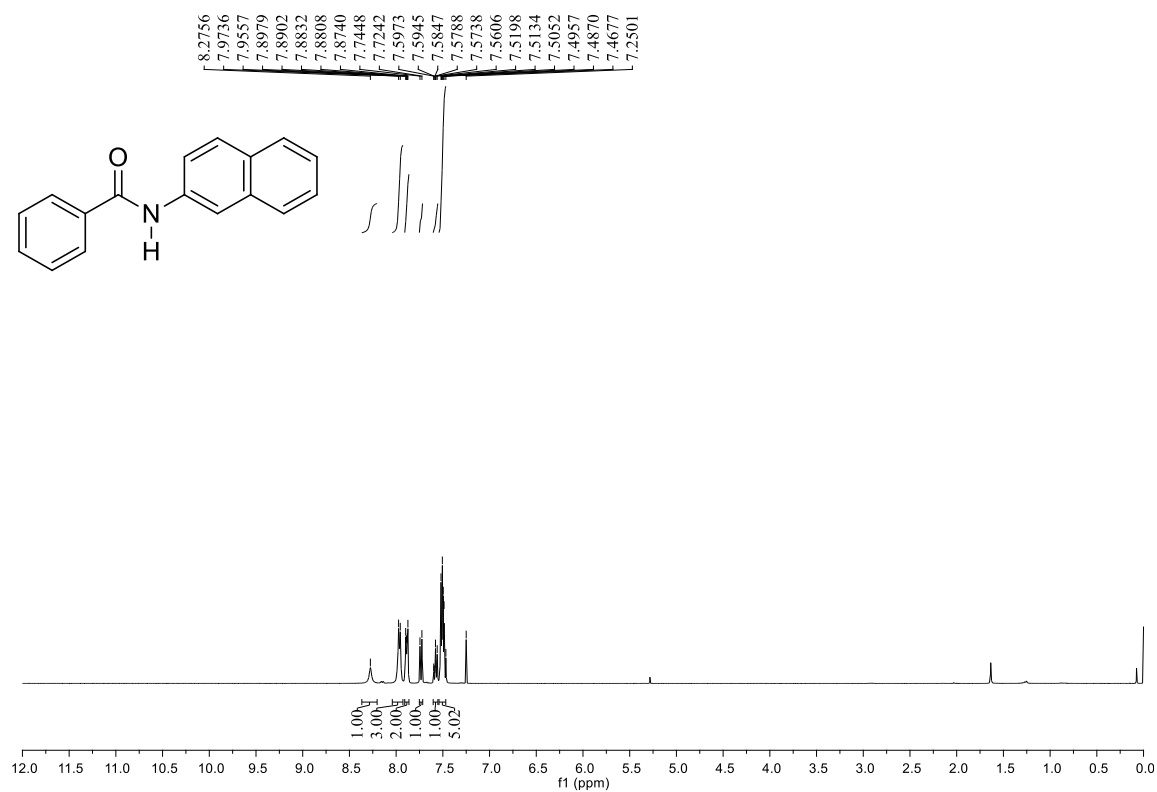


¹³C NMR

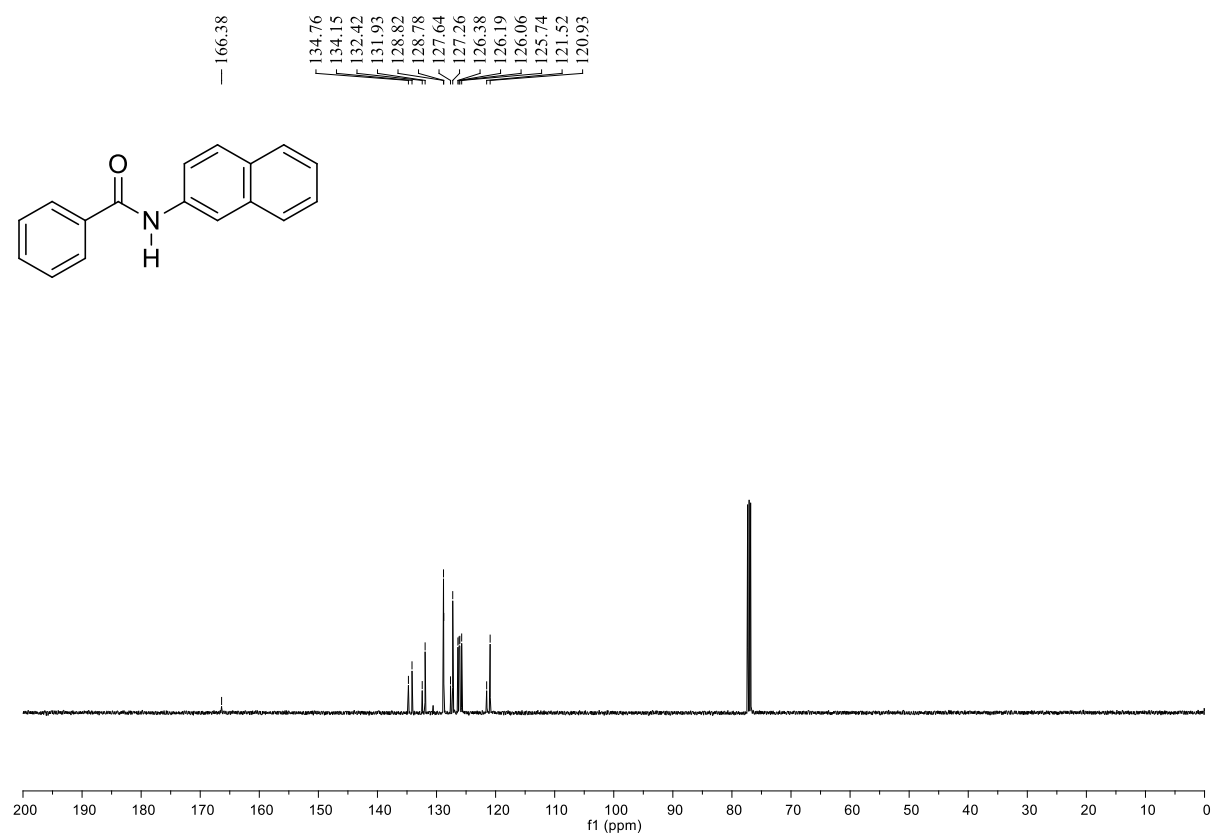


N-(Naphthalen-2-yl)benzamide-3al

¹H NMR

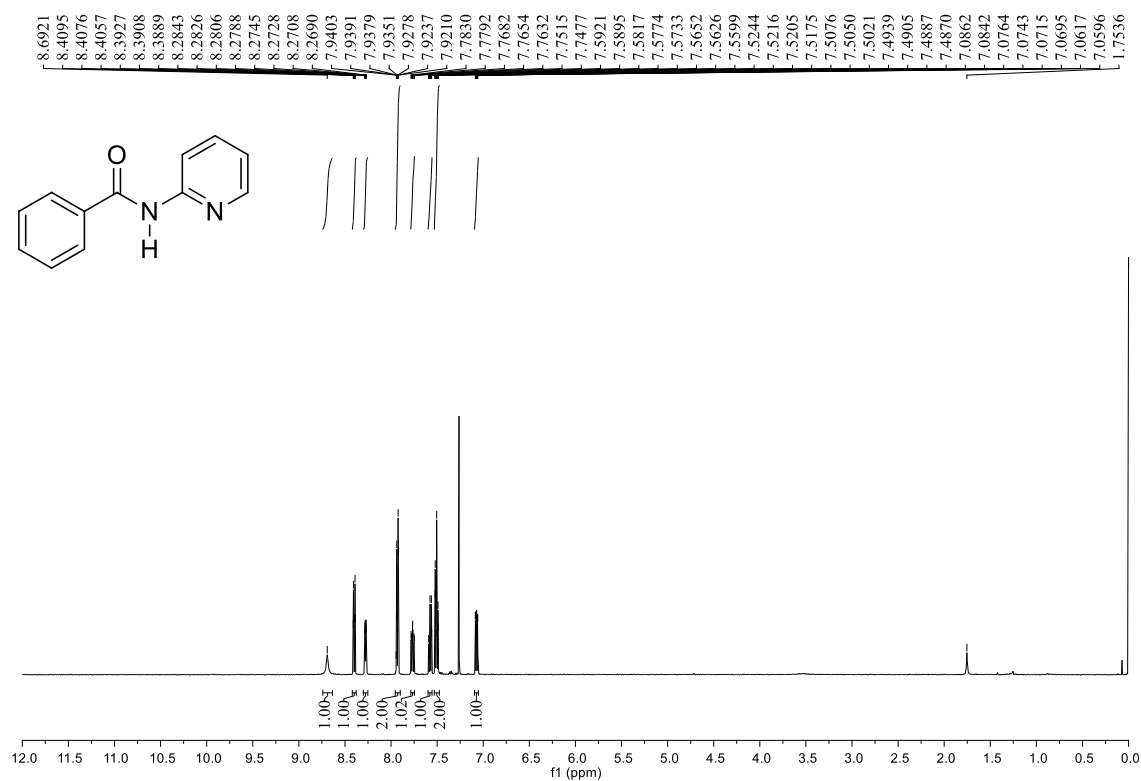


¹³C NMR

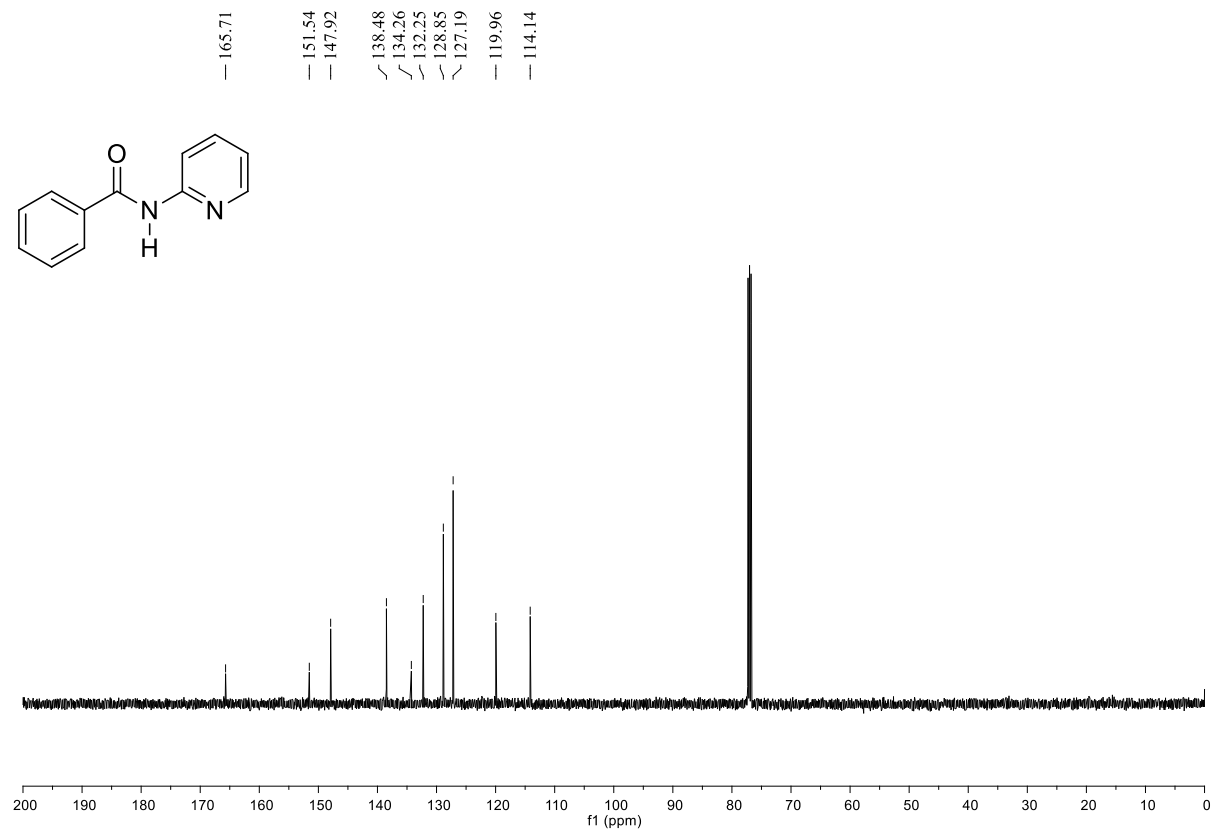


N-(Pyridin-2-yl)benzamide-3am

¹H NMR

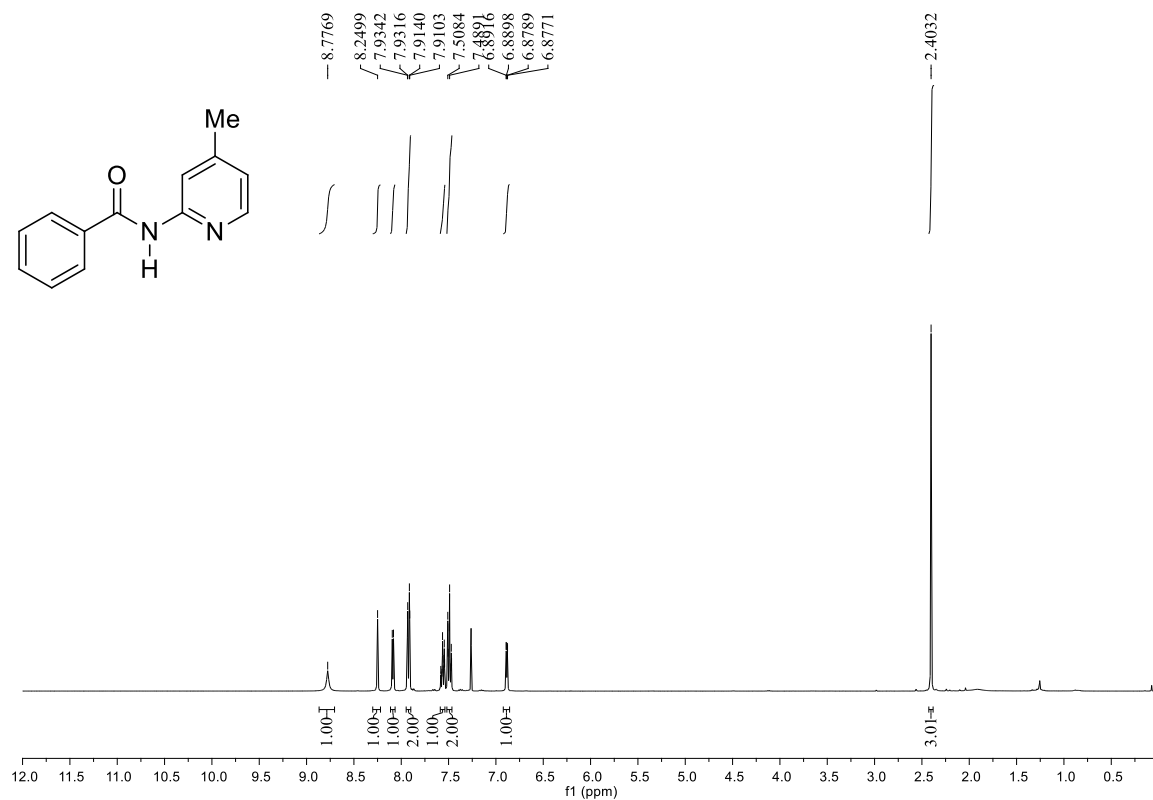


¹³C NMR

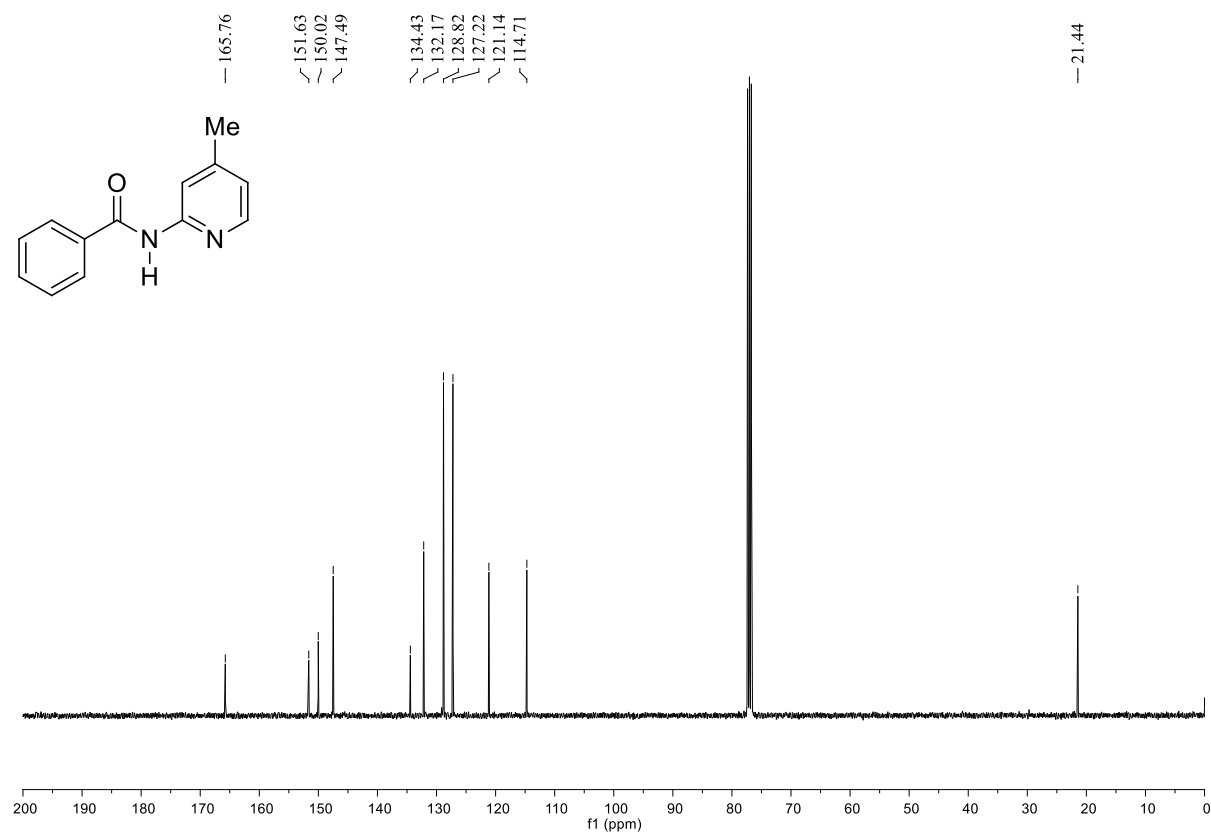


***N*-(4-Methylpyridin-2-yl)benzamide-3an**

¹H NMR

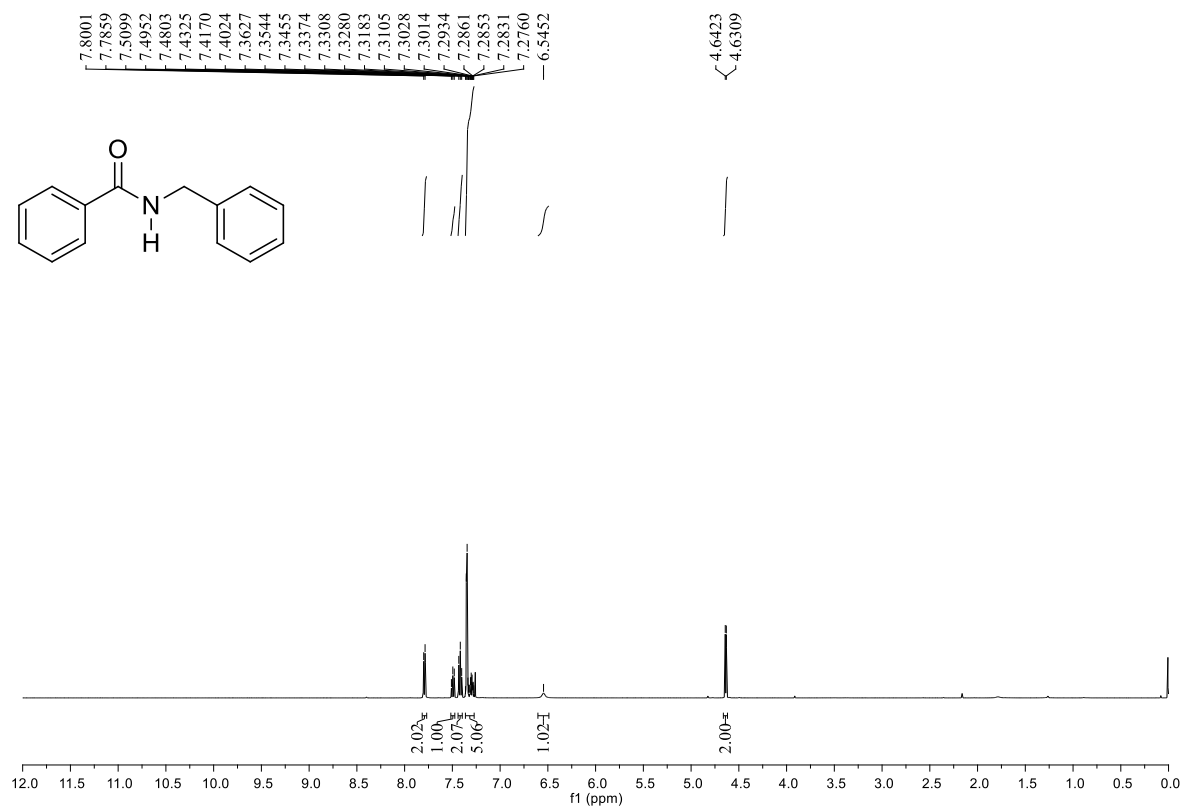


¹³C NMR

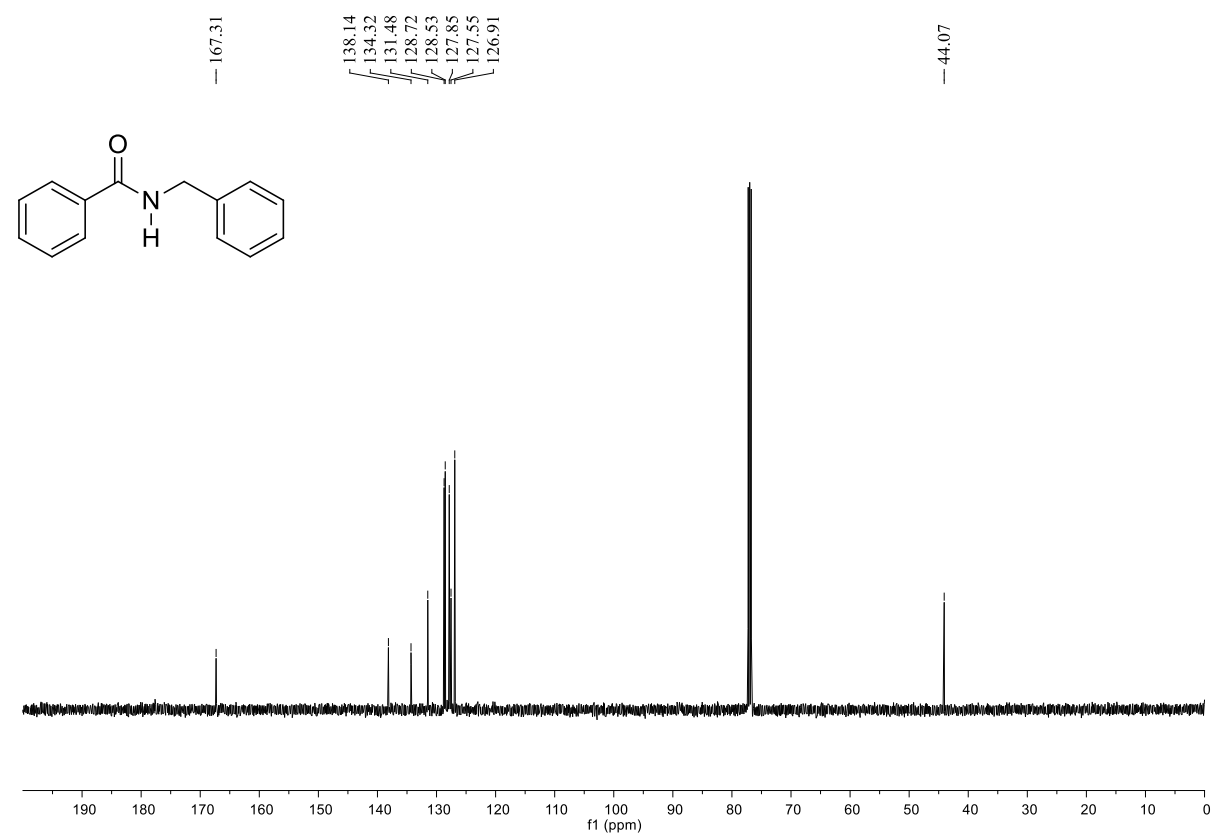


N-Benzylbenzamide-3ao

¹H NMR

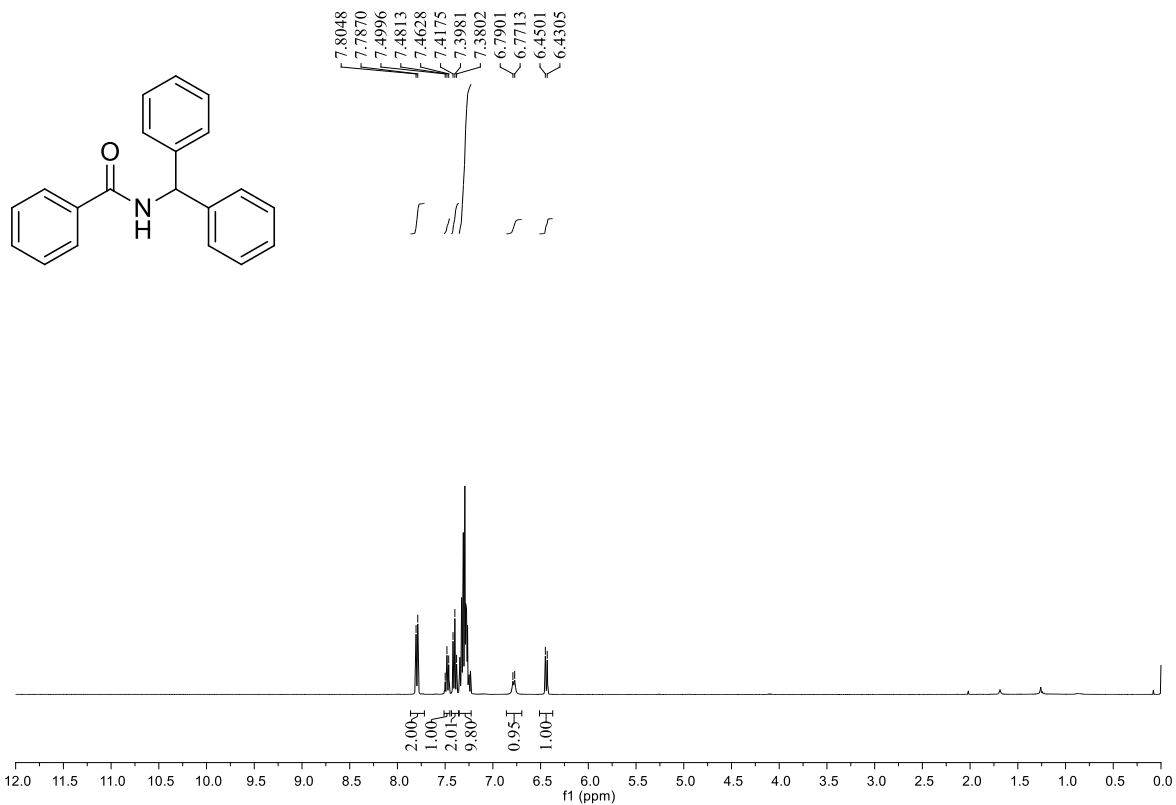


¹³C NMR

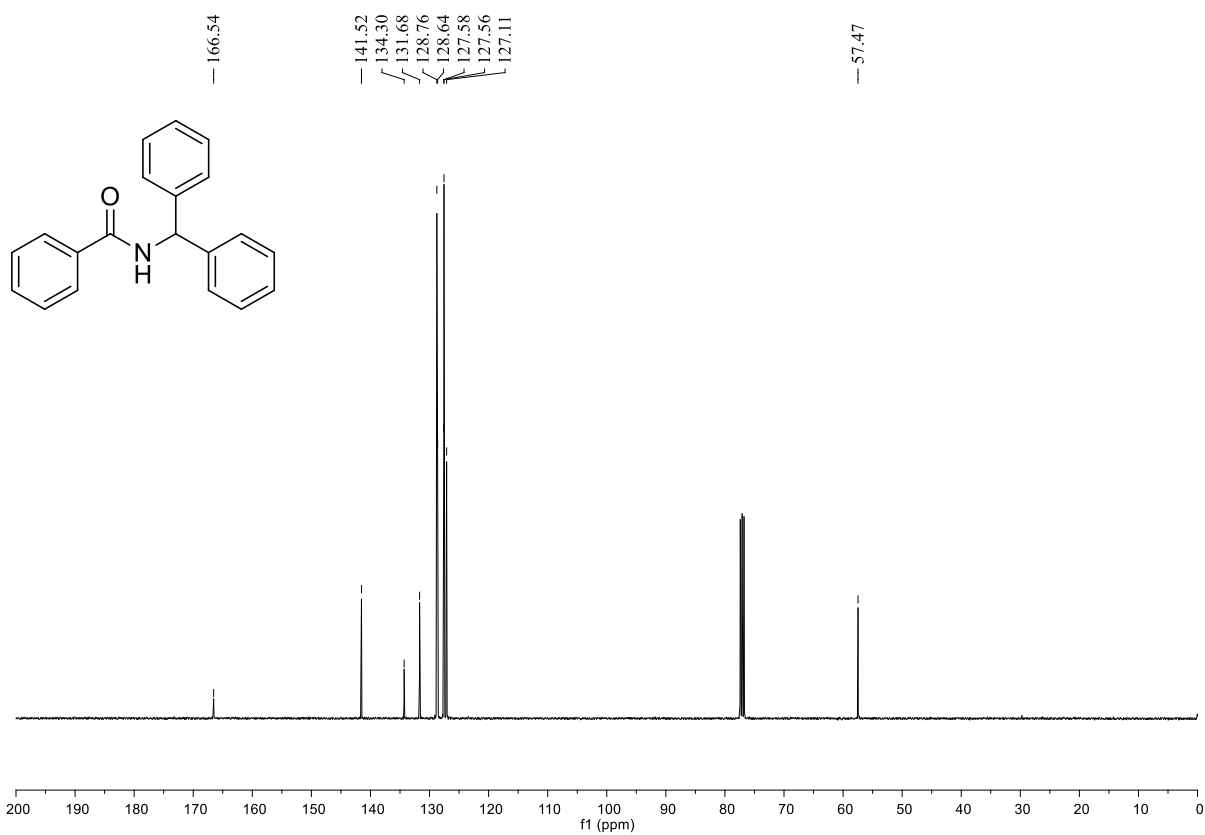


N-Benzhydrylbenzamide-3ap

¹H NMR

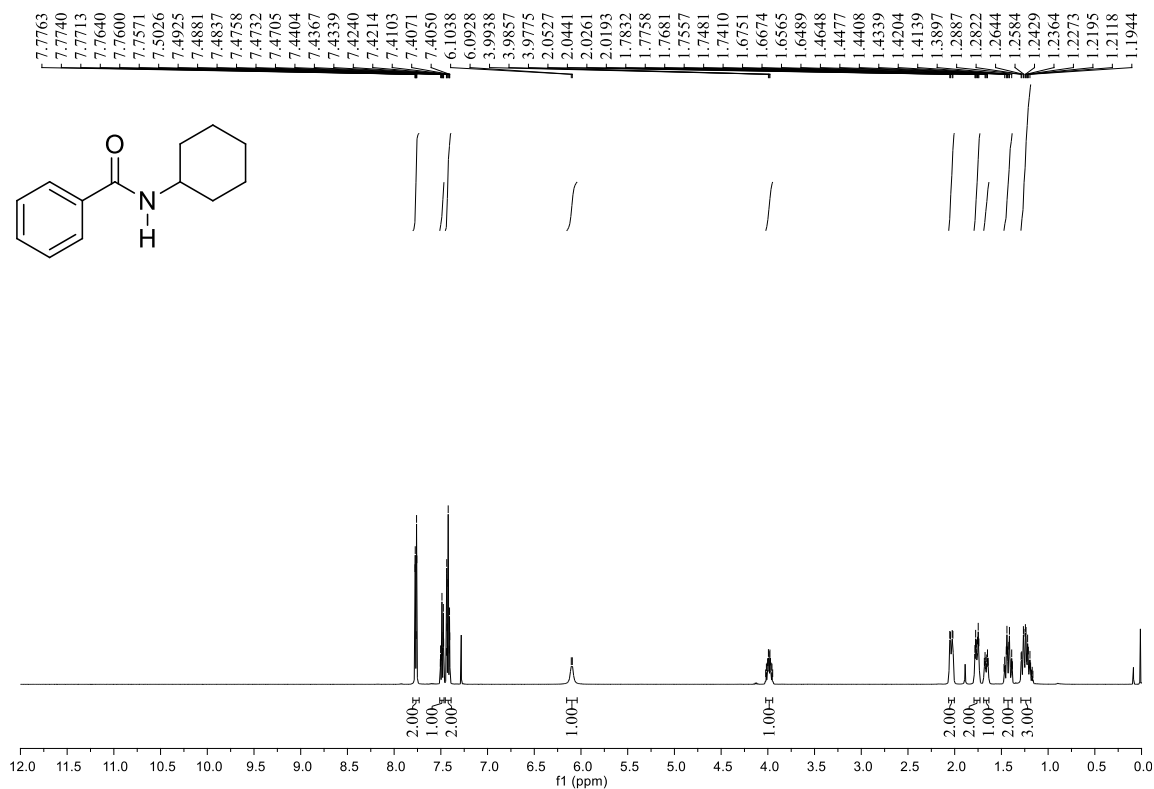


¹³C NMR

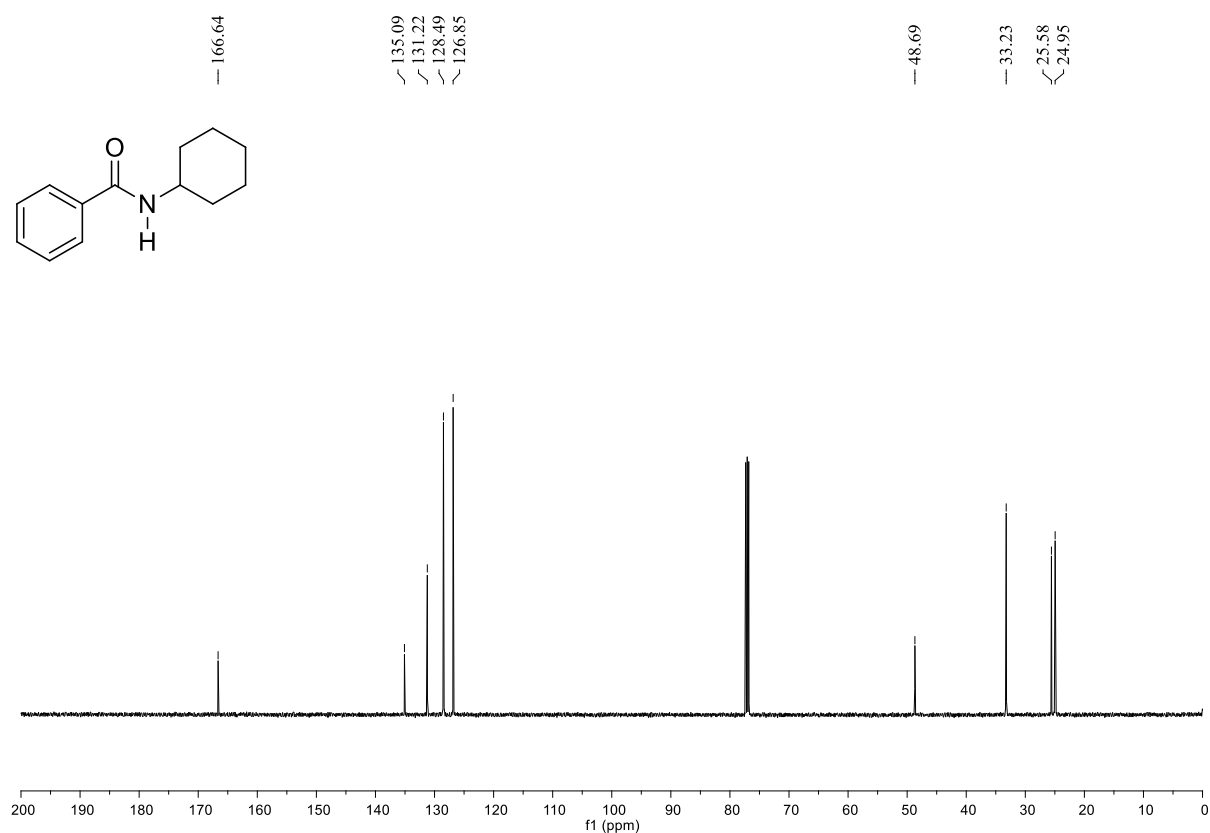


N-Cyclohexylbenzamide-3aq

¹H NMR

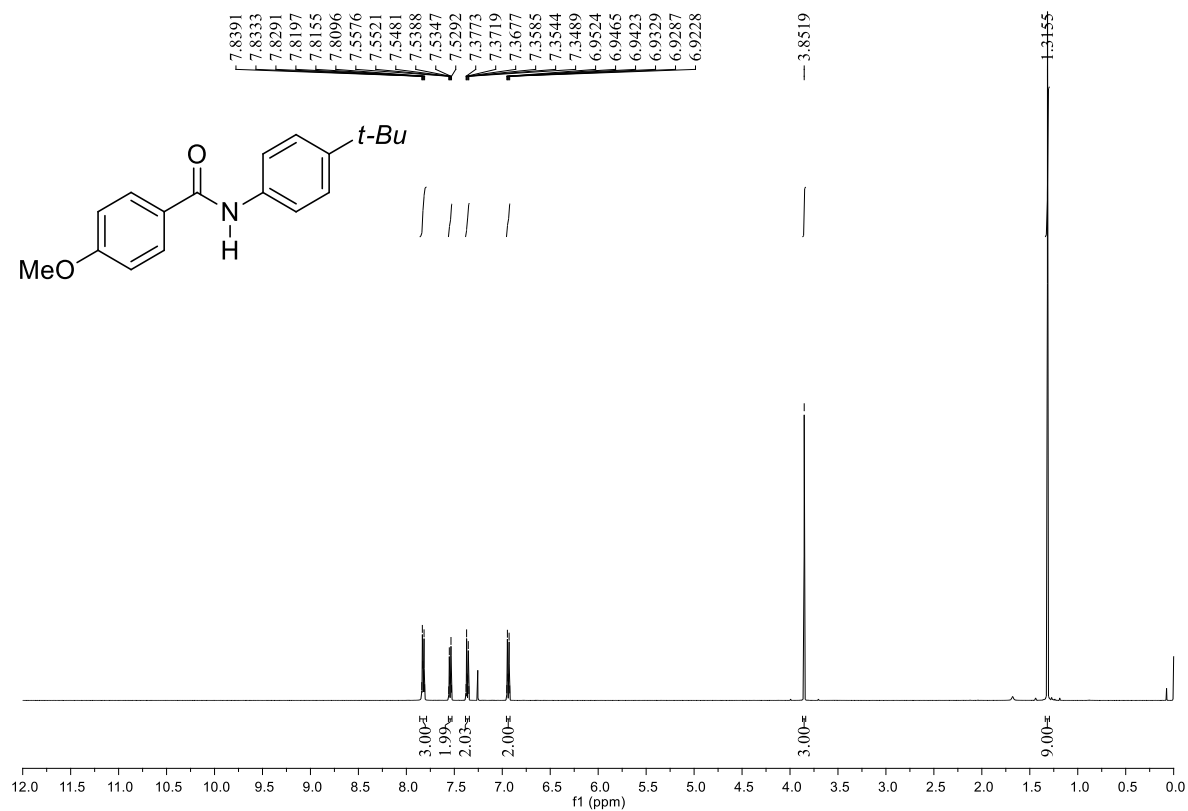


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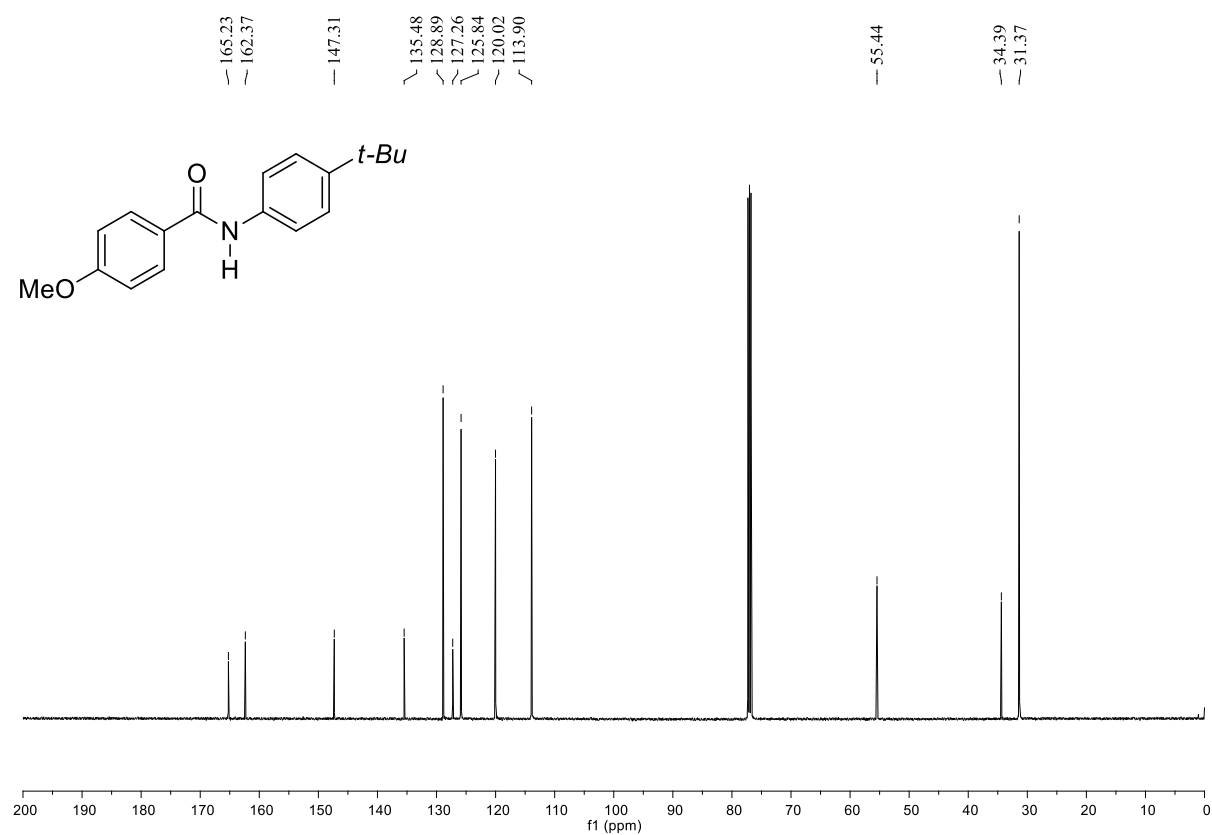


***N*-(4-(*tert*-Butyl)phenyl)-4-methoxybenzamide-3bd**

¹H NMR



¹³C NMR

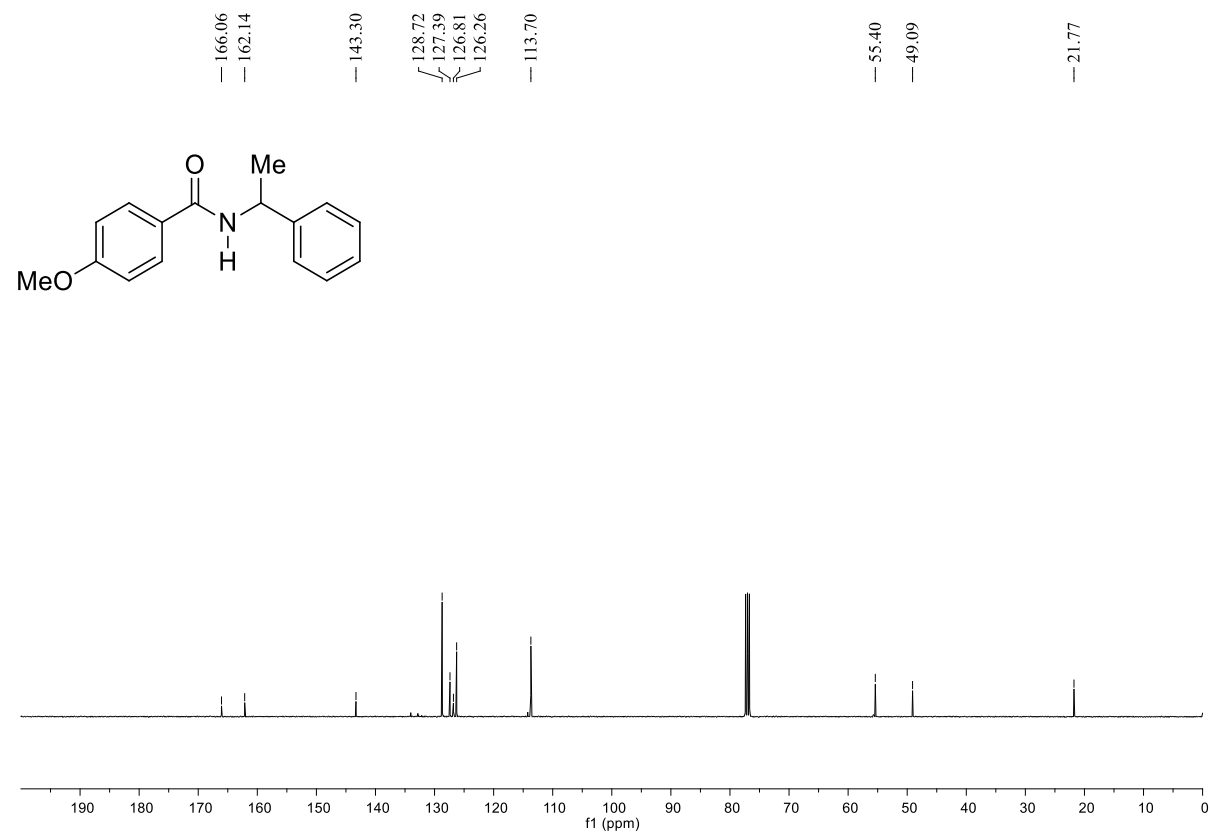


4-Methoxy-N-(1-phenylethyl)benzamide-3bs

¹H NMR

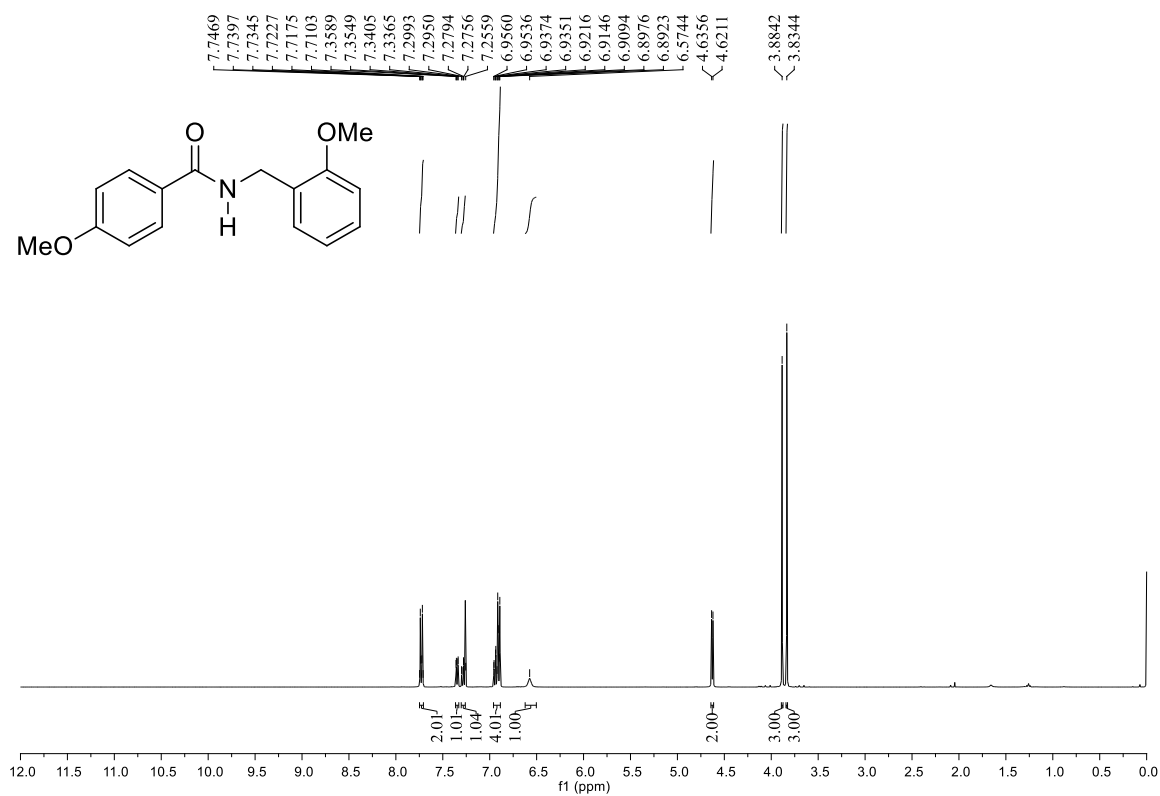


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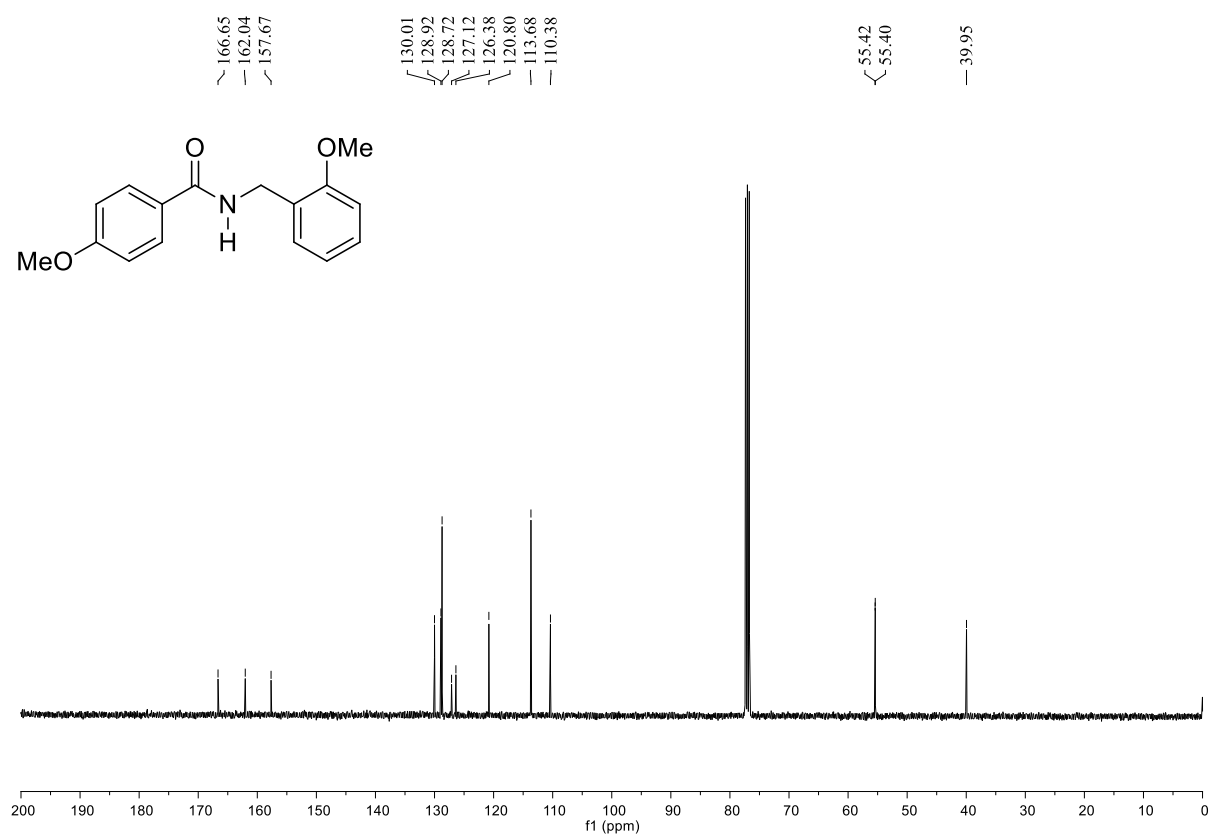


4-Methoxy-N-(2-methoxybenzyl)benzamide-3bt

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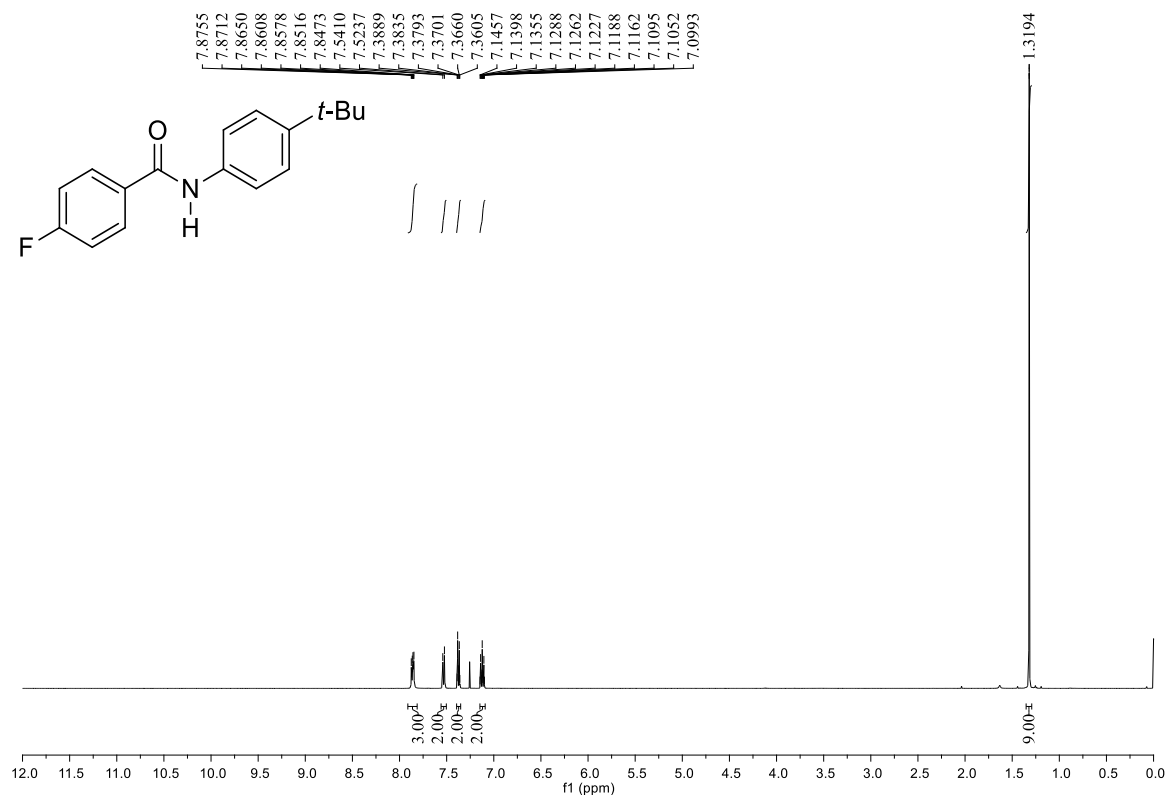


¹³C NMR

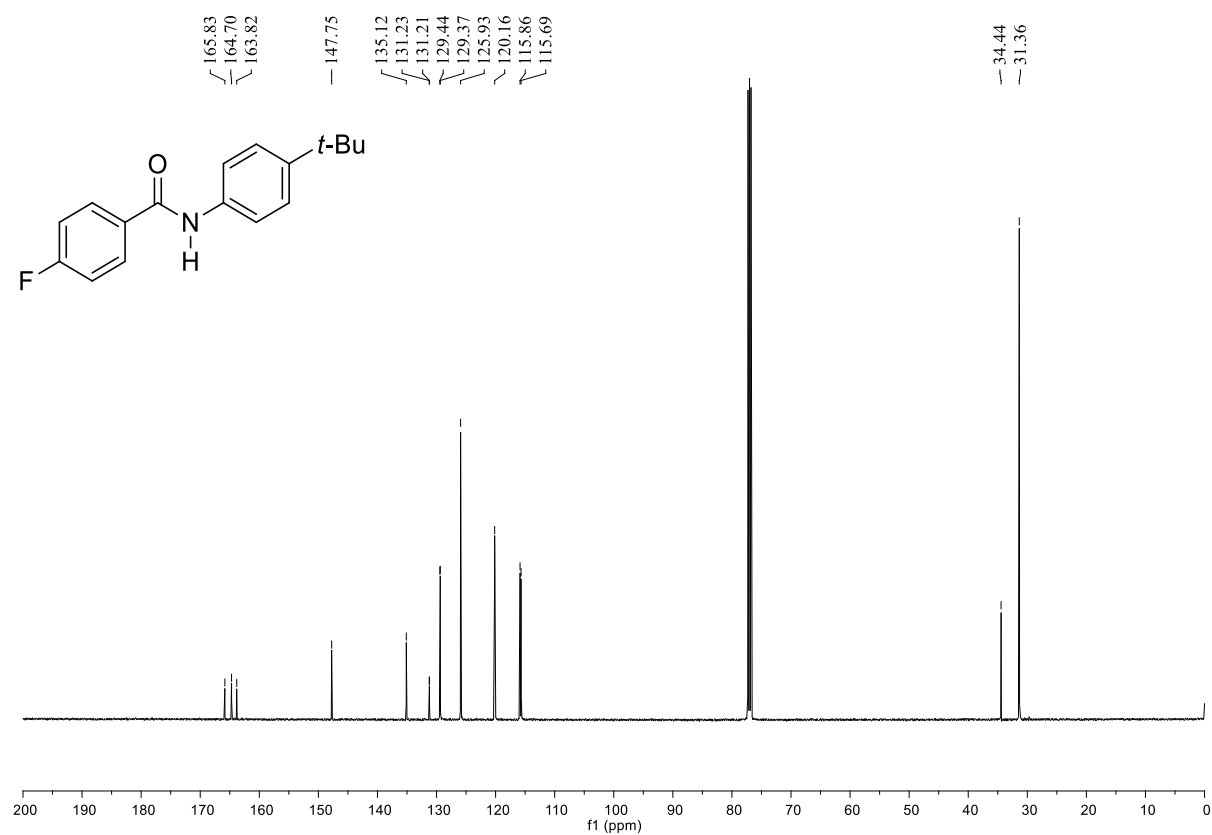


***N*-(4-(*tert*-Butyl)phenyl)-4-fluorobenzamide-3cd**

¹H NMR

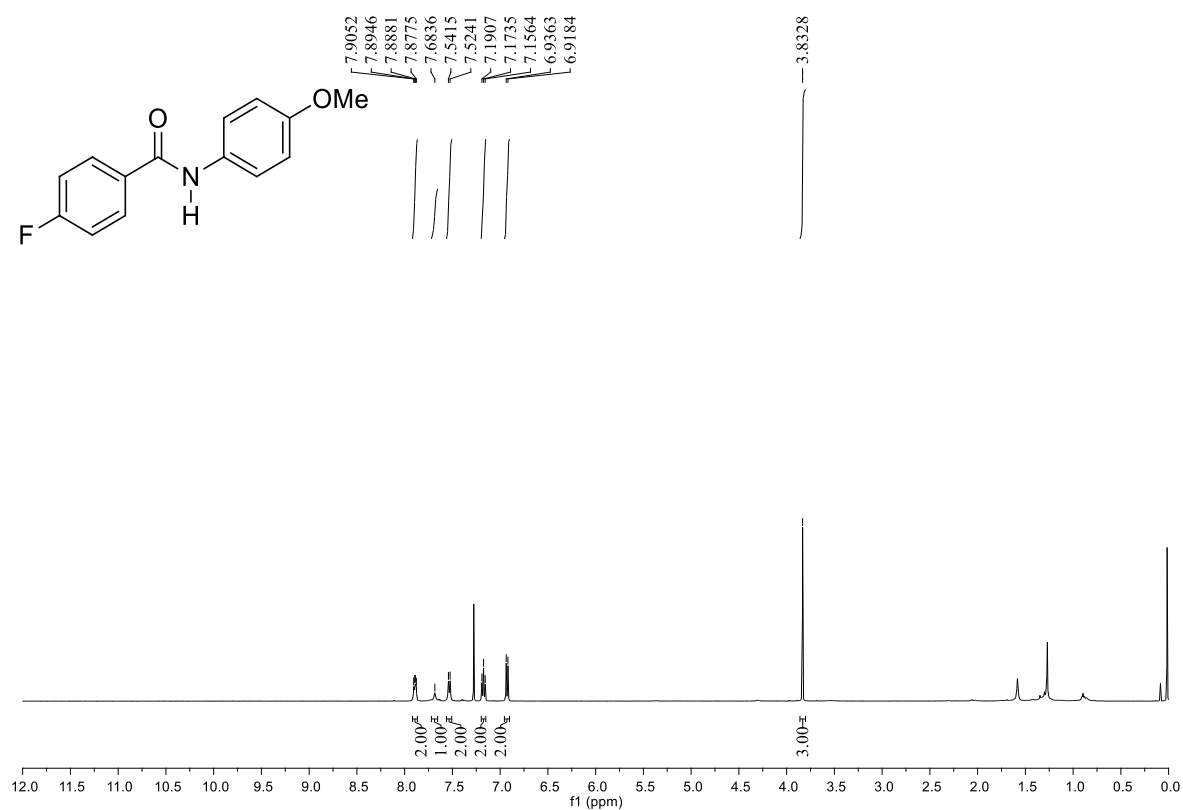


¹³C NMR

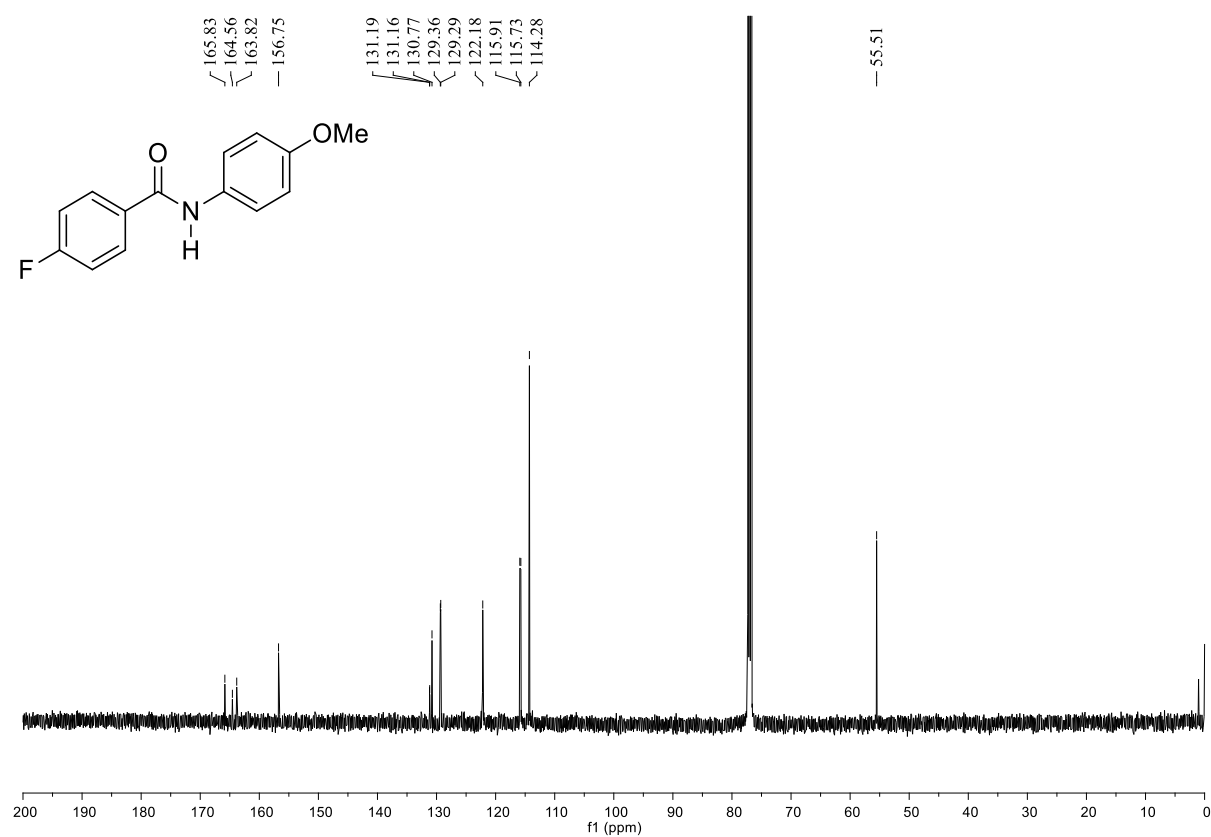


4-Fluoro-*N*-(4-methoxyphenyl)benzamide-3cg

¹H NMR

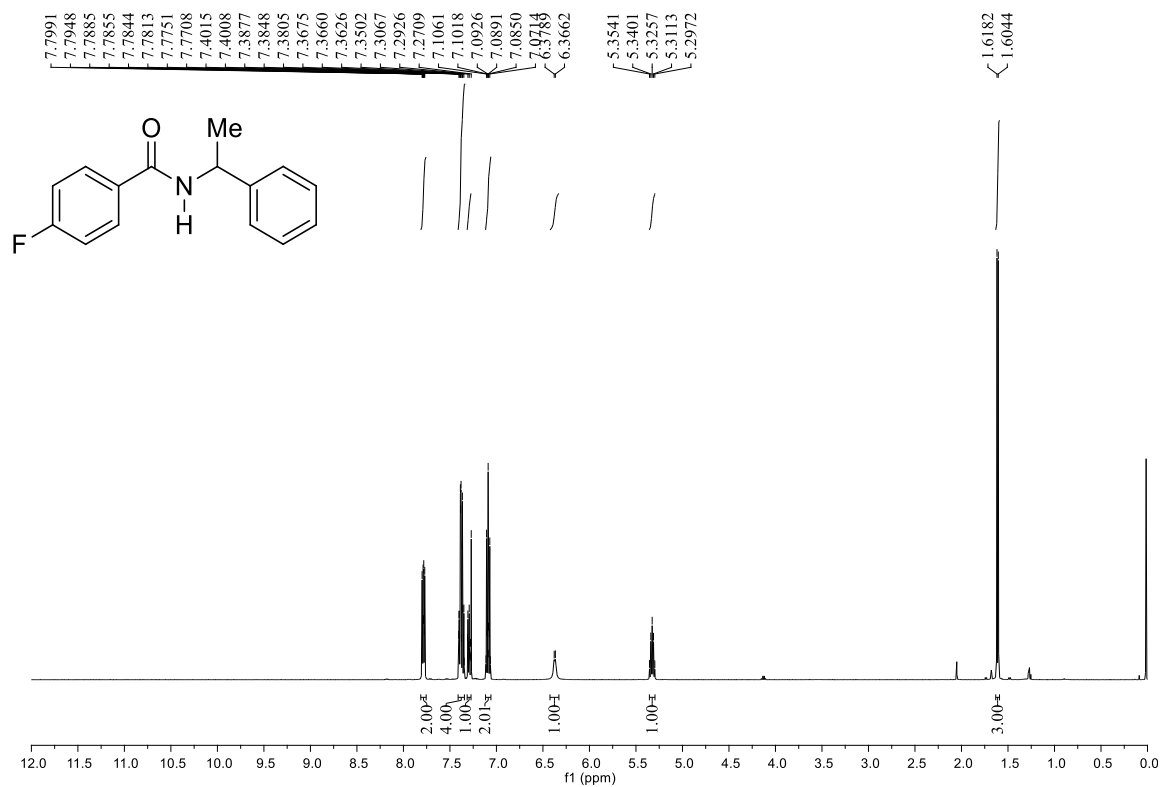


¹³C NMR

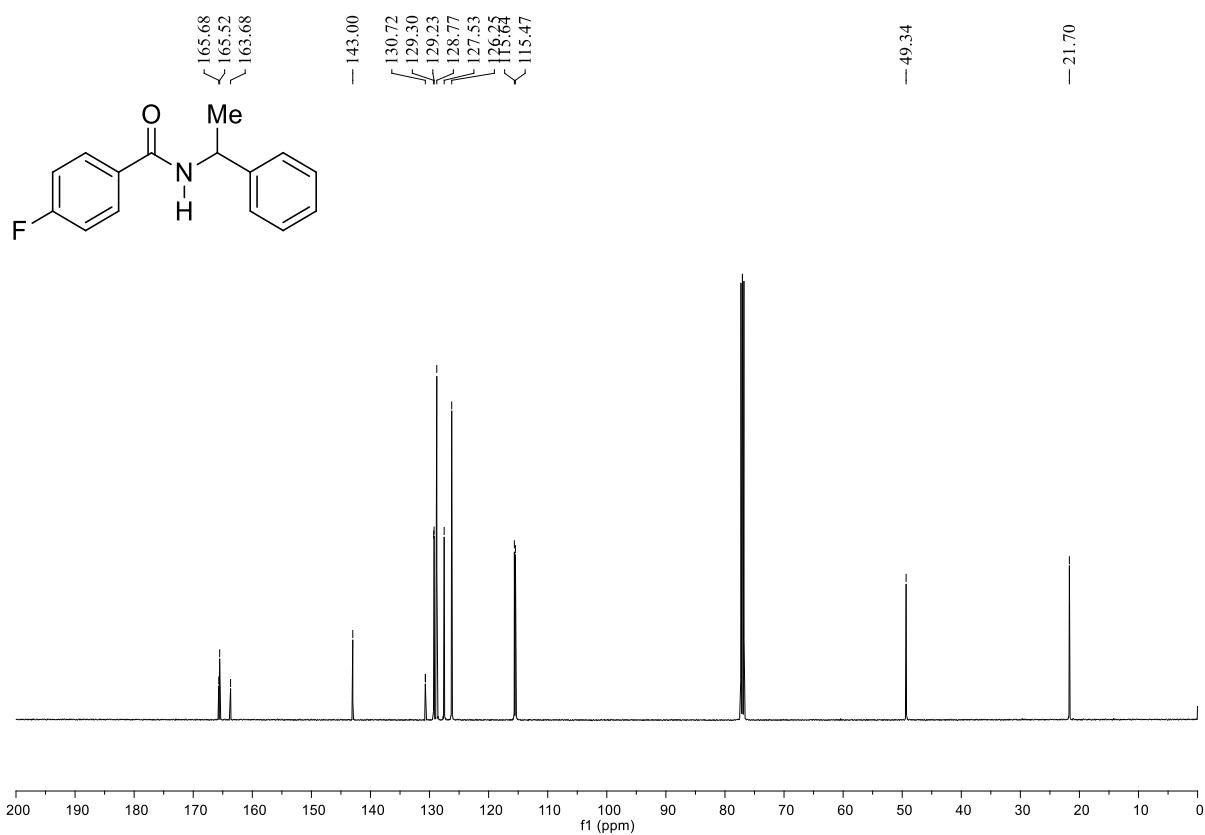


4-Fluoro-N-(1-phenylethyl)benzamide-3cs

¹H NMR

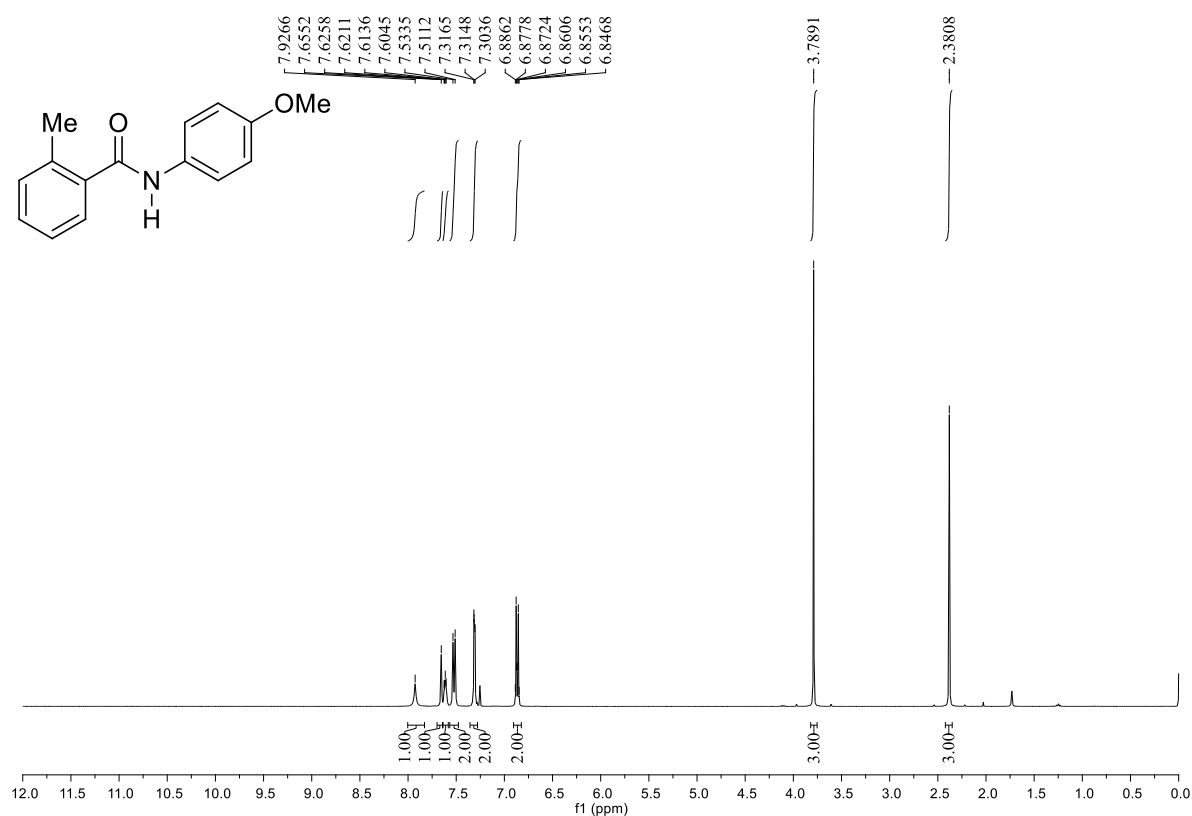


¹³C NMR

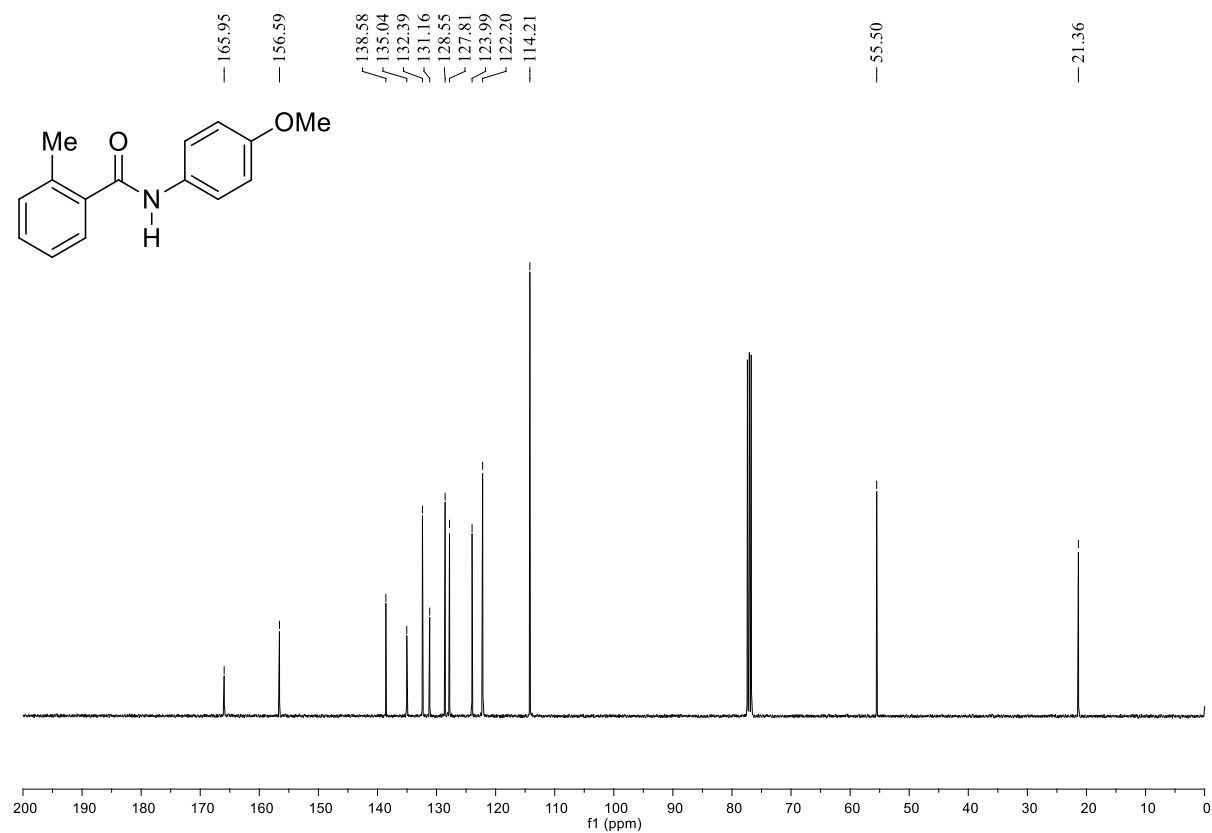


***N*-(4-Methoxyphenyl)-2-methylbenzamide-3dg**

¹H NMR

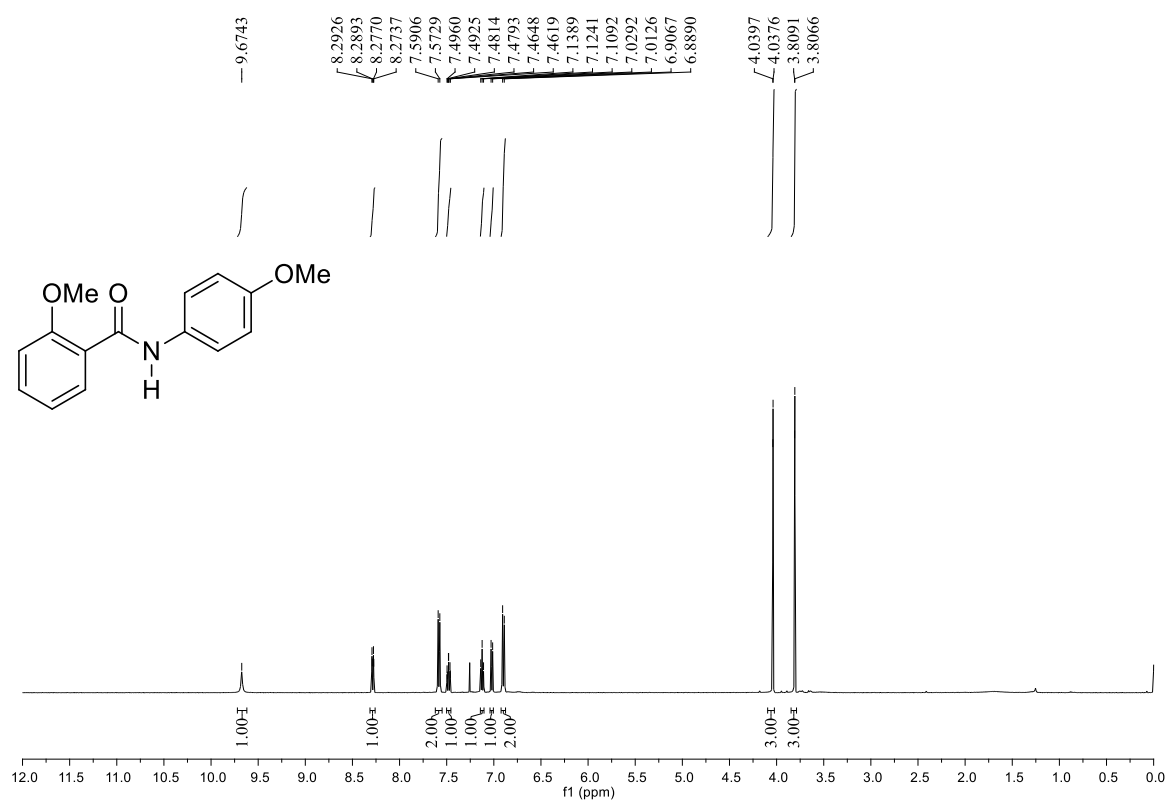


¹³C NMR

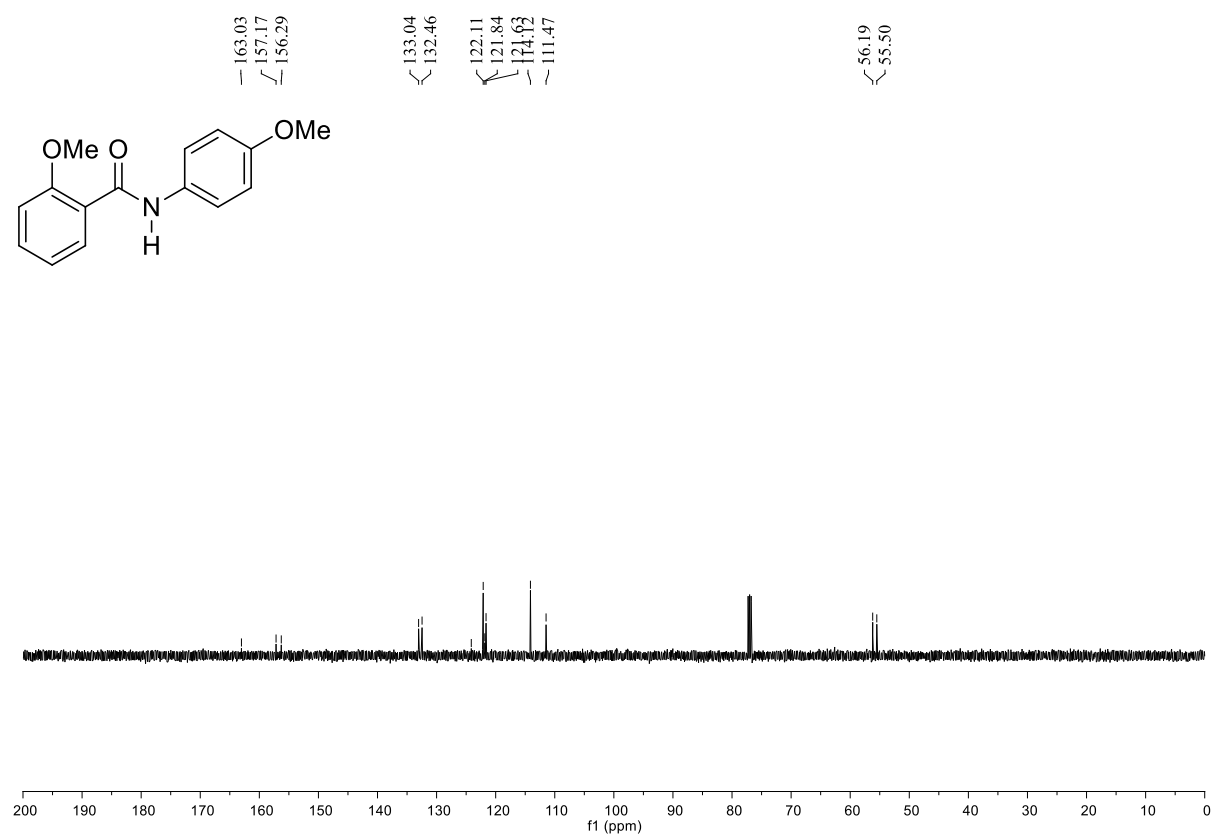


2-Methoxy-*N*-(4-methoxyphenyl)benzamide-3eg

¹H NMR

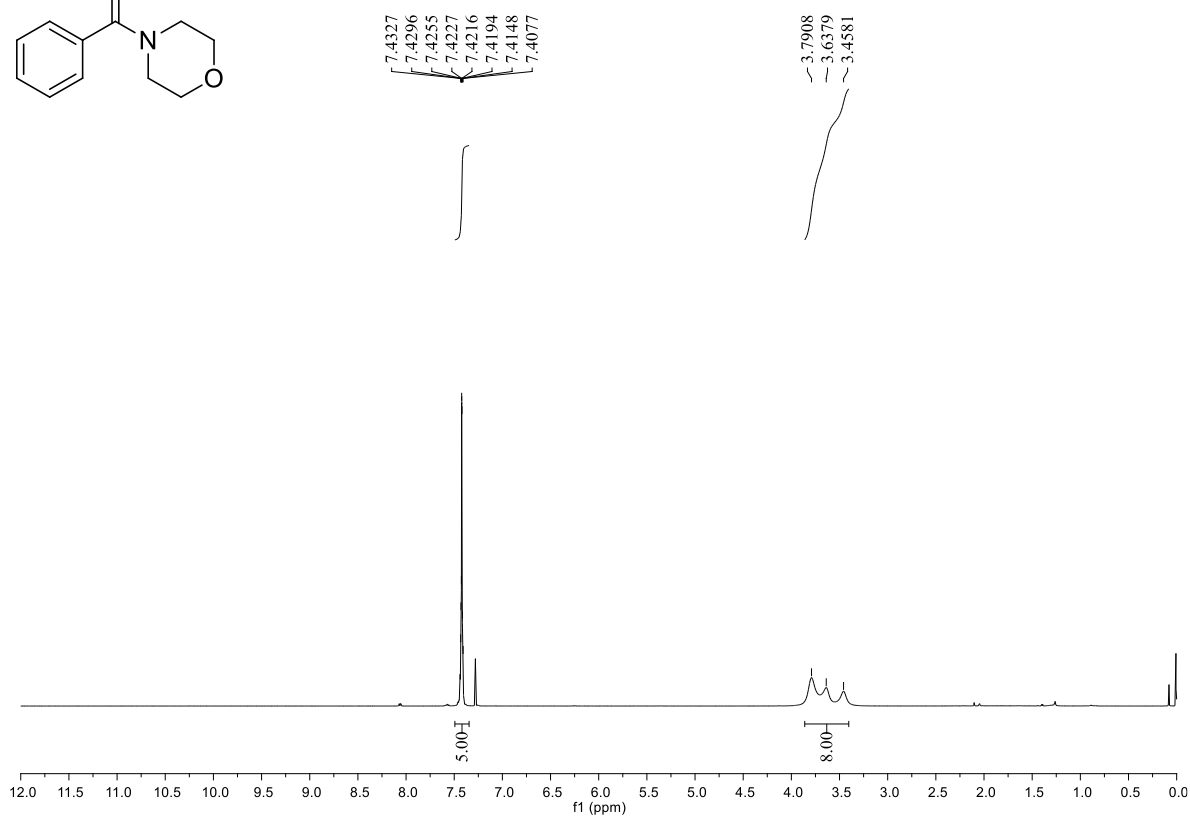
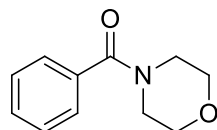


¹³C NMR

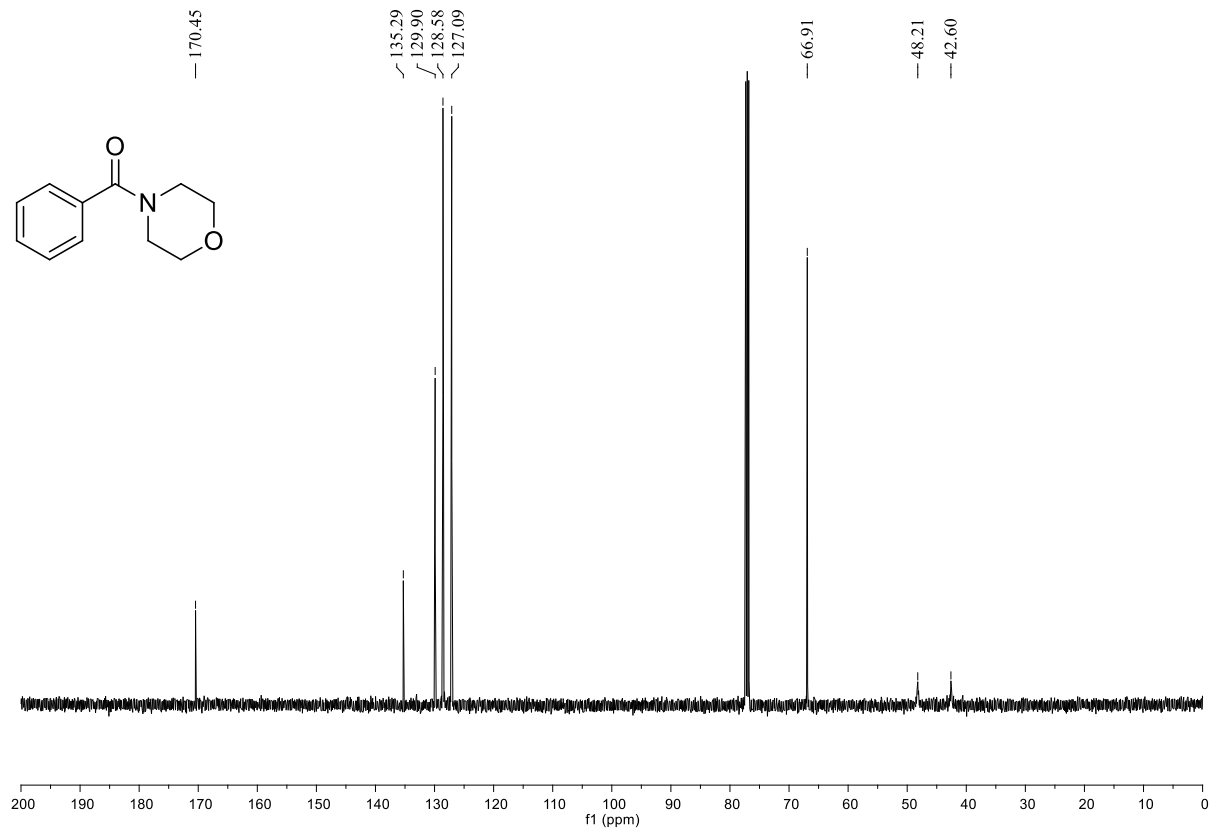
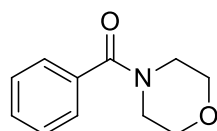


Morpholino(phenyl)methanone-5a

^1H NMR

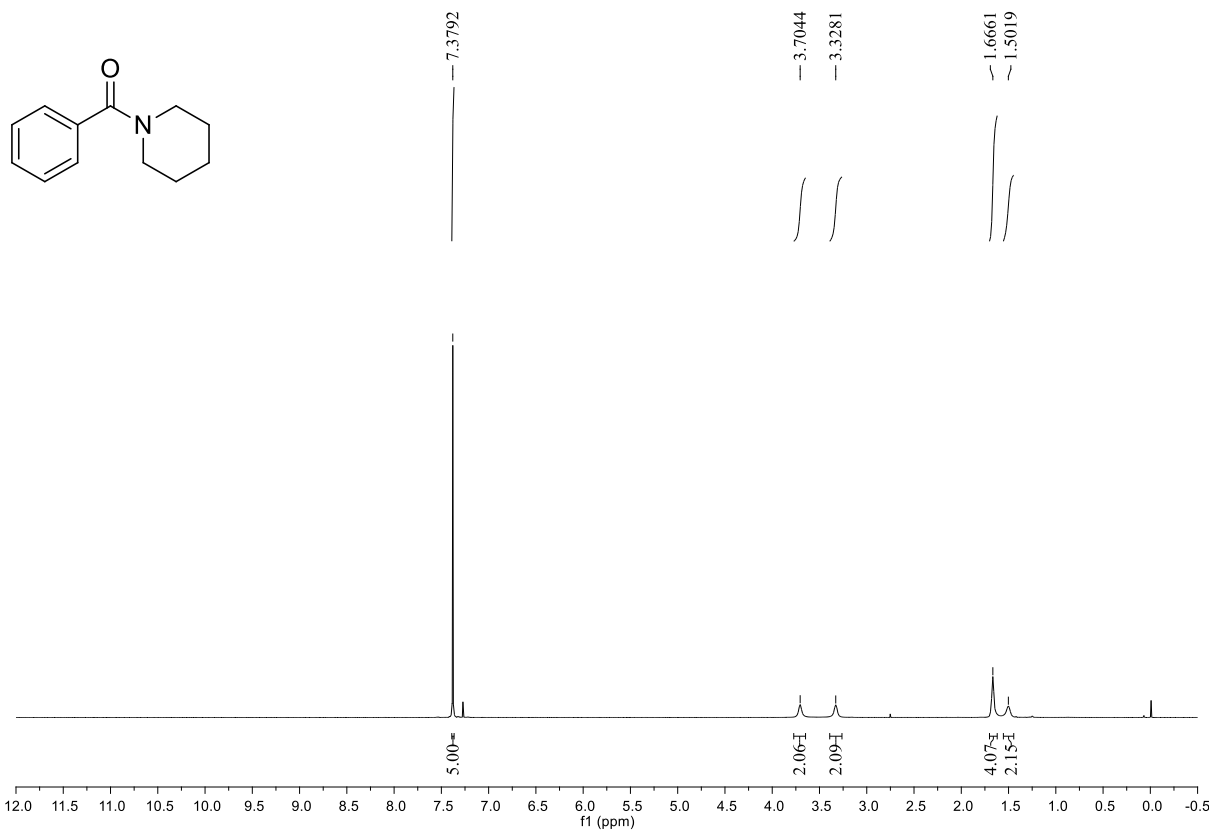


^{13}C NMR

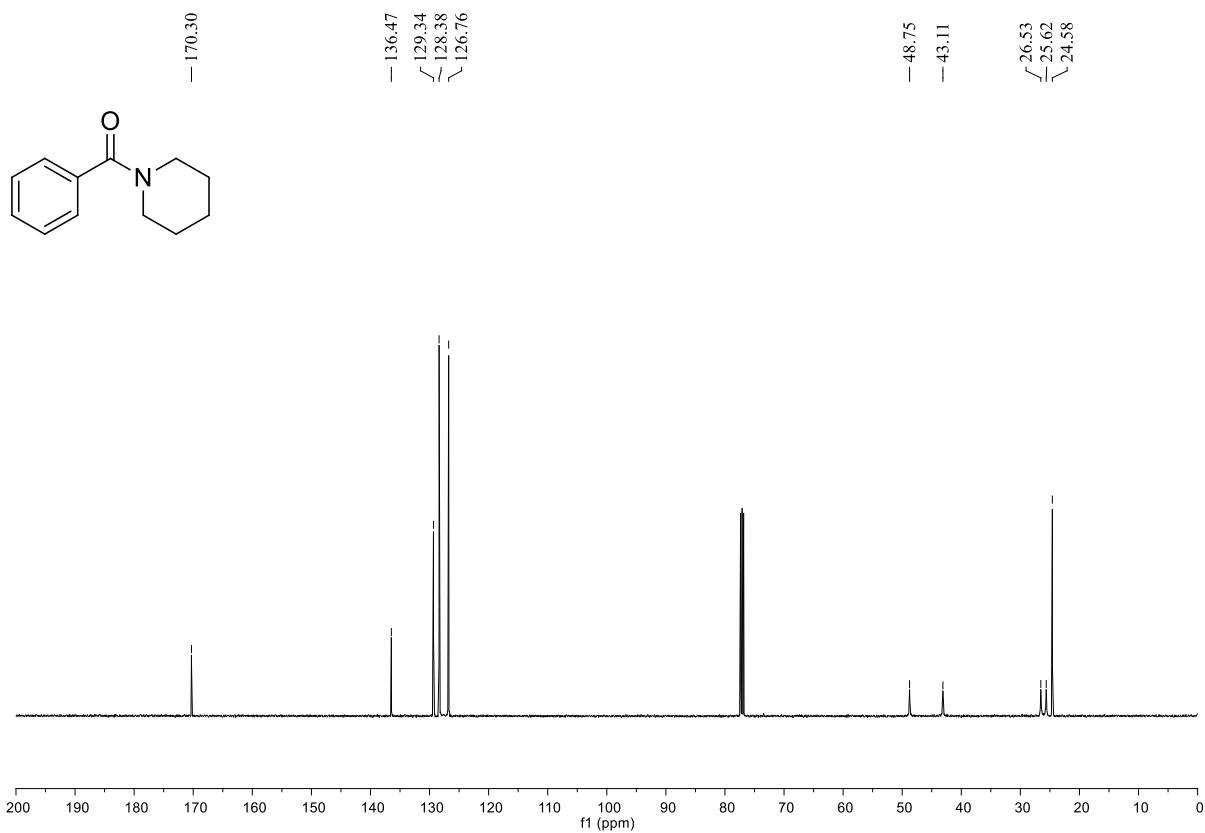


Phenyl(piperidin-1-yl)methanone-5b

¹H NMR

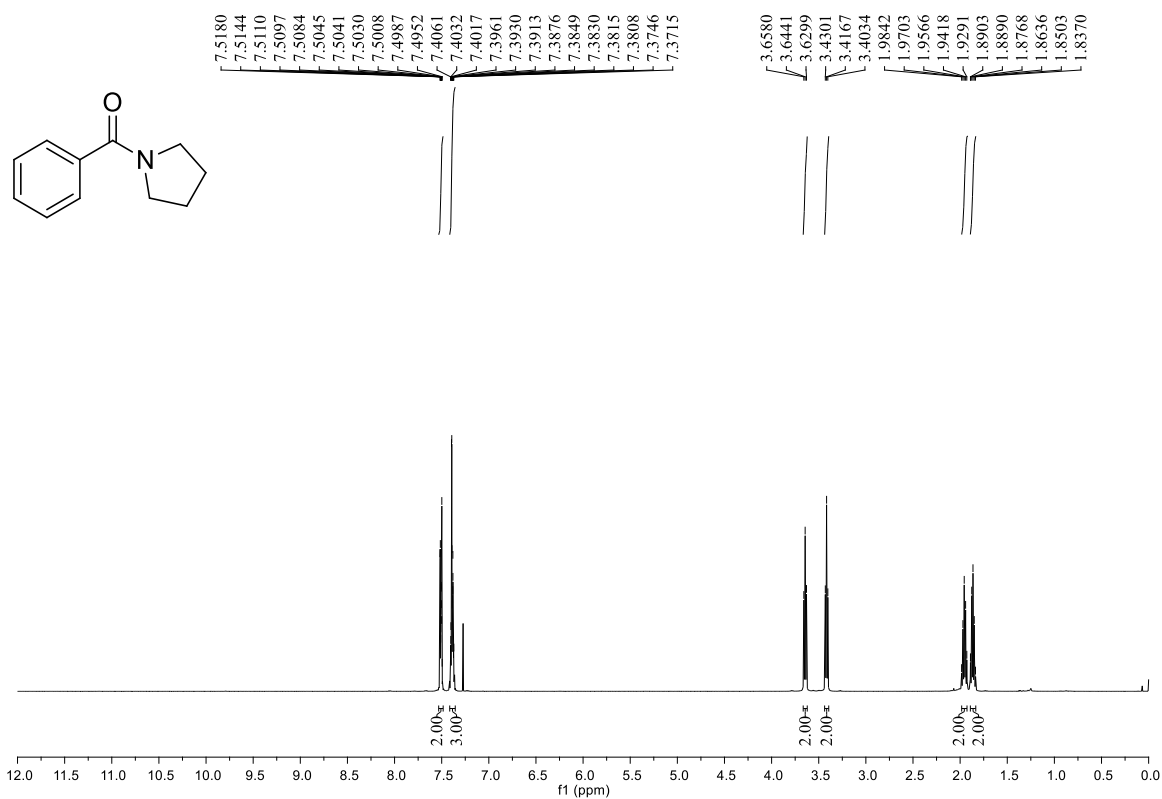


¹³C NMR

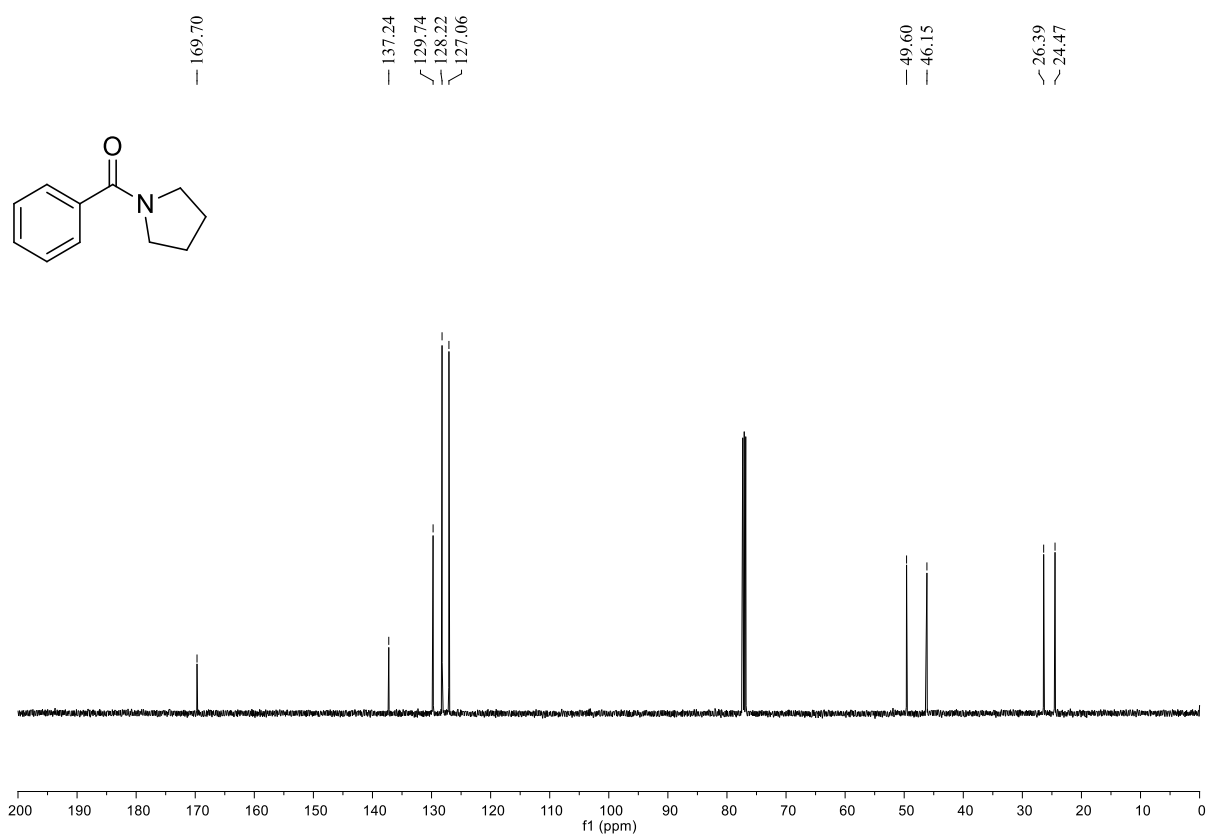


Phenyl(pyrrolidin-1-yl)methanone-5c

¹H NMR

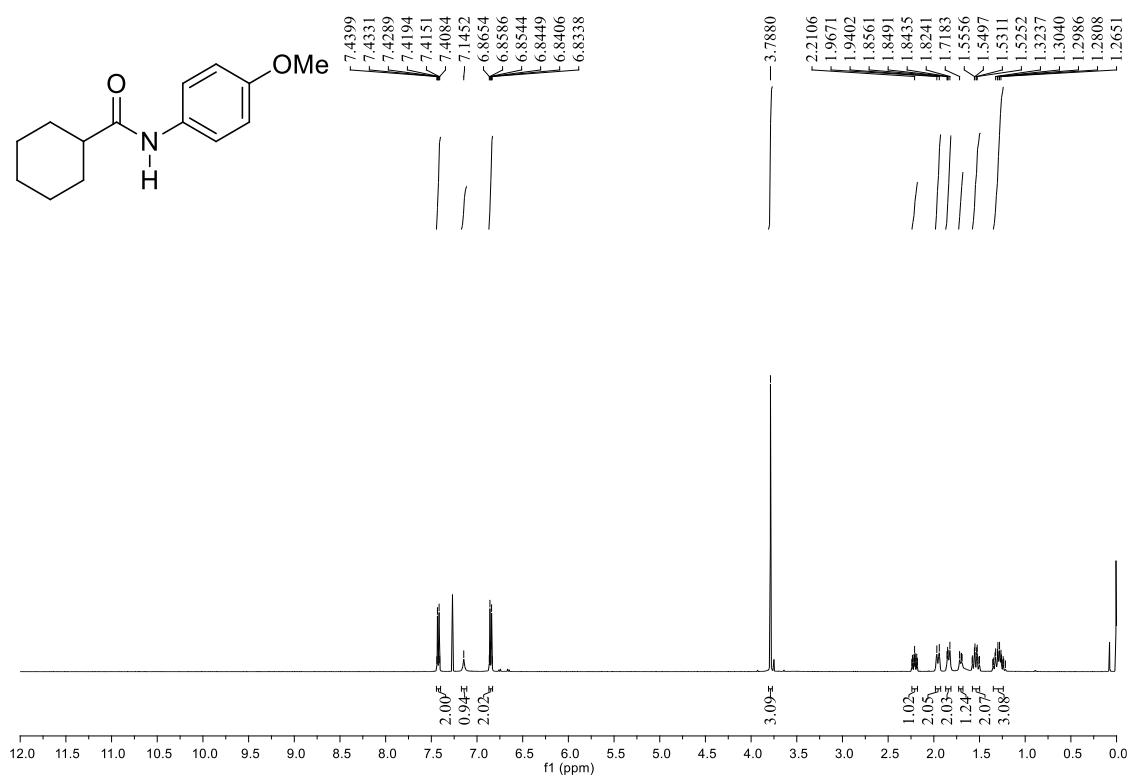


¹³C NMR



***N*-(4-Methoxyphenyl)cyclohexanecarboxamide-7**

¹H NMR



¹³C NMR

