

Synthesis of α -Amidomethyltrifluoroborates and their Use in Cross-Coupling Reactions

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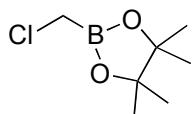
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GENERAL CONSIDERATIONS

Pd(OAc)₂ and XPhos (2-dicyclohexylphosphino-2'4'6'-triisopropylbiphenyl) were used as received. Cesium carbonate was dried in oven and stored in a dessicator under vaccum. Tetrahydrofuran and cyclopentyl methyl ether (CPME) were distilled from sodium/benzophenone prior to use and methanol was distilled over magnesium. H₂O was degassed prior to use by sparging with Ar (g) for over 30 min. Melting points (°C) are uncorrected. ¹H, ¹³C, and ¹⁹F NMR spectra were recorded at 500 MHz, 125.8 MHz, 470.8 MHz respectively. ¹¹B NMR spectra were recorded at 128.4 MHz with appropriate decoupling accessories and using a quartz NMR tube. Analytical thin-layer chromatography (TLC) was performed on silica gel aluminum plates (0.2 mm) precoated with a fluorescent indicator. Standard flash chromatography procedures were followed using 40–63 μm silica gel. Visualization was effected with ultraviolet light or ceric ammonium molybdate.



2-(Chloromethyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane 1

Preparation according to a procedure from V. K. Aggarwal *et al.*ⁱ

A stirred solution of 2-isopropoxy-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (25.8 mL, 124.8 mmol) and chlorobromomethane (9.3 mL, 137.4 mmol) in anhydrous THF (120 mL) was cooled to – 78 °C. Cold *n*-BuLi (55 mL, 137.4 mmol, 2.5 M in hexanes) was then added dropwise, and after stirring for 30 min at this temperature chlorotrimethylsilane (19.2 mL, 149.7 mmol) was added dropwise. After 10 min the flask was removed from the cooling bath and the contents were allowed to stir at rt for 24 h. H₂O (100 mL) was added and the mixture extracted with Et₂O (2 × 100 mL). The organics were combined, washed (H₂O, 2 × 100 mL), dried (MgSO₄) and concentrated *in vacuo*. The crude product was purified by flash chromatography on silica gel (gradient

elution EtOAc / hexanes 1/99 to 5/95) R_f = 0.3 (EtOAc/hexanes: 90/10) to yield to **1** as a colorless oil (17.8 g, 74%).

$^1\text{H-NMR}$ (500 MHz, CDCl_3): δ = 2.96 (s, 2H), 1.29 (s, 12H); $^{13}\text{C-NMR}$ (125.8 MHz, CDCl_3): δ = 84.7, 24.8; $^{11}\text{B-NMR}$ (128.37 MHz, CDCl_3) δ = 30.9.

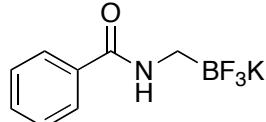
GENERAL EXPERIMENTAL PROCEDURE FOR THE PREPARATION OF **4A-M**

Freshly prepared KHMDS (1 mmol) in dry THF (2 mL), was added dropwise to a solution of 2-(chloromethyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane **1** (1 mmol) in dry THF (2 mL) cooled at -78 °C. After stirring for 15 min at -78 °C, the cooling bath was removed and the mixture was stirred for an additional 2 h at rt. Then dry MeOH (2 mmol) was added at 0 °C. After stirring for an additional 1 h at 0 °C, the acid chloride was added (2 equiv). The reaction was warmed to rt by removing the ice bath. The reaction time (2-12 h) depends on the electrophile. The reaction mixture was concentrated under vacuum to remove the THF. The remaining residue was diluted in MeOH (2 mL) and cooled to 0 °C before the addition of a saturated solution of KHF_2 (890 μL , 4 mmol). The ice bath was then removed, and after 30 min at rt the mixture was dried under vacuum.

Isolation A: The residue was triturated with hot acetone (5 x ~20 mL) and filtered. The filtrate was concentrated under vacuum until the appearance of the first crystals; Et_2O or pentane (60 mL) was then added to make the desired product precipitate.

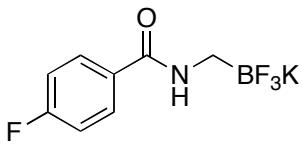
Isolation B: The residue was washed with small amounts of cold H_2O (3 x 10 mL) and then filtered. Finally, the residue was washed with Et_2O (3 x 10 mL) and dried under vacuum.

Potassium *N*-(Trifluoroboratomethyl)benzamide **4a**.



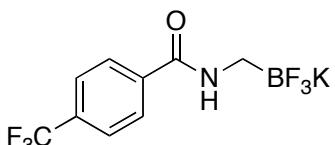
According to the general procedure and reaction with benzoyl

chloride, the product was obtained in 67% yield (161 mg, 0.67 mmol) as a white solid after following the isolation A procedure. mp > 210 °C. ¹H-NMR (500 MHz, DMSO-d6): δ = 7.74 (d, J = 7.2 Hz, 2H), 7.35-7.50 (m, 3H), 6.75 (bs, 1H), 2.11-2.27 (m, 2H); ¹³C-NMR (125.8 MHz, DMSO-d6): δ = 166.1, 135.9, 130.3, 128.1, 126.6; ¹⁹F-NMR (471 MHz, DMSO-d6) δ = -140.97; ¹¹B-NMR (128.37 MHz, DMSO-d6) δ = 3.51; IR (KBr) = 3387, 3049, 2959, 2916, 1628, 1579, 1563, 1535, 1330, 1158, 1086 cm-1; HRMS (ESI) calcd. for C₈H₈BF₃NO (M⁻) 202.0651, found 202.0644.



Potassium N-(Trifluoroboratomethyl)-4-fluorobenzamide

4b. According to the general procedure and reaction with 4-fluorobenzoyl chloride, the product was obtained in 76% yield (197 mg, 0.76 mmol) as a white solid after following the isolation A procedure. mp > 210 °C. ¹H-NMR (500 MHz, DMSO-d6): δ = 7.80-7.83 (m, 2H), 7.20 (t, J = 8.9 Hz, 2H), 6.80 (bs, 1H), 2.12-2.23 (m, 2H); ¹³C-NMR (125.8 MHz, DMSO-d6): δ = 165.1, 164.3, 162.3, 132.4 (d, J = 2.5 Hz), 129.3 (d, J = 8.8 Hz), 114.9 (d, J = 21.6 Hz); ¹⁹F-NMR (471 MHz, DMSO-d6) δ = -140.84, -111.19; ¹¹B-NMR (128.37 MHz, DMSO-d6) δ = 3.65; IR (KBr) = 3352, 3080, 2972, 2919, 1625, 1588, 1547, 1505, 1431, 1340, 1240, 1155, 1085 cm-1; HRMS (ESI) calcd. for C₈H₇NBF₄NO (M⁻) 220.0557, found 220.0555.

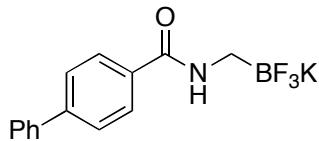


Potassium N-(Trifluoroboratomethyl)-4-(trifluoromethyl)-

benzamide 4c.

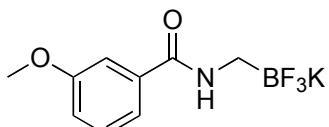
According to the general procedure and reaction with 4-(trifluoromethyl)benzoyl chloride, the product was obtained in 71% yield (219 mg, 0.71 mmol) as a white solid after following the isolation A procedure. mp > 210 °C. ¹H-NMR (500 MHz, DMSO-d6): δ = 7.89 (d, J = 7.1 Hz, 2H), 7.75 (d, J = 7.1 Hz, 2H), 7.15 (bs, 1H), 2.11-2.30 (m, 2H); ¹³C-NMR (125.8 MHz, DMSO-d6): δ = 164.9, 139.7, 130.4,

130.1, 127.7, 123.0; ^{19}F -NMR (471 MHz, DMSO-*d*6) δ = -140.70, -61.19; ^{11}B -NMR (128.37 MHz, DMSO-*d*6) δ = 2.59; IR (KBr) = 3408, 2969, 2916, 1630, 1577, 1541, 1508, 1410, 1335, 1139, 1108, 1072, 1016 cm⁻¹; HRMS (ESI) calcd. for C₉H₇NBF₆NO (M⁺) 270.0525, found 270.0523.



Potassium N-((Trifluoroboratomethyl)-[1,1'-biphenyl]-4-carboxamide 4d.

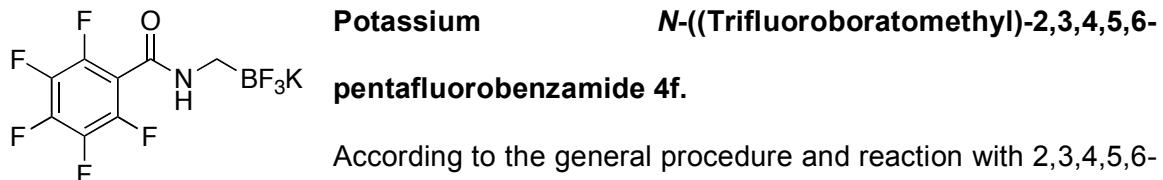
According to the general procedure and reaction with [1,1'-biphenyl]-4-carbonyl chloride, the product was obtained in 77% yield (240 mg, 0.77 mmol) as a white solid after following the isolation B procedure. mp > 210 °C. ^1H -NMR (500 MHz, DMSO-*d*6): δ = 7.83 (d, *J* = 8.8 Hz, 2H), 7.71 (d, *J* = 7.7 Hz, 4H), 7.48 (dd, *J* = 7.8, 7.1 Hz, 2H), 7.39 (dd, *J* = 7.8, 7.1 Hz, 1H), 6.75 (bs, 1H), 2.07-2.31 (m, 2H); ^{13}C -NMR (125.8 MHz, DMSO-*d*6): δ = 165.7, 141.8, 139.4, 134.8, 129.0, 127.8, 127.4, 126.8, 126.4; ^{19}F -NMR (471 MHz, DMSO-*d*6) δ = -141.07; ^{11}B -NMR (128.37 MHz, DMSO-*d*6) δ = 2.93; IR (KBr) = 3370, 3057, 3023, 2961, 2917, 1625, 1581, 1542, 1514, 1486, 1450, 1408, 1328, 1243, 1158, 1114, 1086, 1021 cm⁻¹; HRMS (ESI) calcd. for C₁₄H₁₂NBF₃NO (M⁺) 278.0964, found 278.0964.



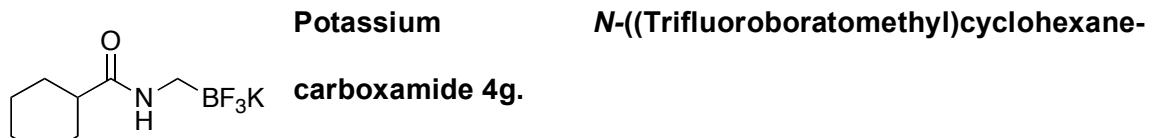
Potassium N-((trifluoroborato)methyl)-3-methoxybenzamide 4e.

According to the general procedure and reaction 3-methoxybenzoyl chloride, the product was obtained in 69% yield (187 mg, 0.69 mmol) as a white solid after following the isolation A procedure, the resulting solid was then washed with dichloromethane (3 x 5 mL). mp = 159 – 162 °C (degradation). ^1H -NMR (500 MHz, DMSO-*d*6): δ = 7.19-7.37 (m, 3H), 7.00 (d, *J* = 7.10 Hz, 1H), 6.75 (bs, 1H), 3.79 (s, 3H), 2.15 (bs, 2H); ^{13}C -NMR (125.8 MHz, DMSO-*d*6): δ = 165.8, 159.1, 137.5,

129.2, 118.7, 116.2, 111.9, 55.1; ^{19}F -NMR (471 MHz, DMSO-*d*6) δ = -140.86; ^{11}B -NMR (128.37 MHz, DMSO-*d*6) δ : 3.49; IR (KBr) = 3466, 3455, 3079, 3001, 2927, 2840, 1648, 1603, 1587, 1541, 1332, 1245, 1078, 1040, 1008 cm⁻¹; HRMS (ESI) calcd. for C₉H₁₀BF₃NO₂ (M⁺) 232.0757, found 232.0762.

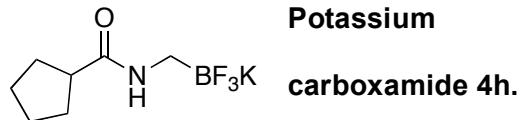


According to the general procedure and reaction with 2,3,4,5,6-pentafluorobenzoyl chloride, the product was obtained in 62% yield (205 mg, 0.62 mmol) as a white solid after following the isolation A procedure. mp = 205 °C (degradation). ^1H -NMR (500 MHz, DMSO-*d*6): δ = 7.68 (bs, 1H), 2.05-2.22 (m, 2H); ^{13}C -NMR (125.8 MHz, DMSO-*d*6): δ = 156.7, 144.1-144.0 (m), 142.2-142.0 (m), 141.4-141.2 (m), 139.5-139.2 (m), 137.8-137.4 (m), 135.9-135.5 (m), 114.4 (t, *J* = 22.2 Hz), 34.5-31.0 (m); ^{19}F -NMR (471 MHz, DMSO-*d*6) δ = -140.45--141.83 (m, 3F), -142.11 (dt, *J* = 84.1, 42.0 Hz, 2F), -155.75 (t, *J* = 21.9 Hz, 1F), -162.80 (td, *J* = 24.5, 7.5 Hz, 2F); ^{11}B -NMR (128.37 MHz, DMSO-*d*6) δ = 3.11; IR (KBr) = 3312, 3112, 2924, 1654, 1522, 1498, 1426, 1341, 1303, 1264, 1116, 1081, 1029 cm⁻¹; HRMS (ESI) calcd. for C₈H₃NBF₈NO (M⁺) 292.0180, found 292.0178.



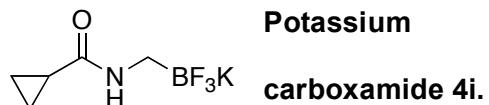
According to the general procedure and reaction with cyclohexanecarbonyl chloride, the product was obtained in 59% yield (146 mg, 0.59 mmol) as a white solid after following the isolation A procedure. mp = 207 – 209 °C (degradation). ^1H -NMR (500 MHz, DMSO-*d*6): δ = 6.01 (bs, 1H), 2.02-2.11 (m, 1H), 1.83-1.92 (m, 2H), 1.52-1.71 (m, 5H), 1.04-1.32 (m, 5H); ^{13}C -NMR (125.8 MHz, DMSO-

*d*6): δ = 175.1, 44.0, 29.6, 25.6, 25.4; ^{19}F -NMR (471 MHz, DMSO-*d*6) δ = -140.80; ^{11}B -NMR (128.37 MHz, DMSO-*d*6) δ = 3.52; IR (KBr) = 3331, 3096, 2932, 2858, 1612, 1561, 1419, 1307, 1259, 1220, 1088 cm-1; HRMS (ESI) calcd. for $\text{C}_8\text{H}_{14}\text{NBF}_3\text{NO}$ (M^-) 208.1121, found 208.1120.



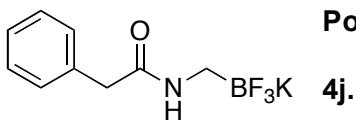
***N*-(Trifluoroboratomethyl)cyclopentane-**

According to the general procedure and reaction with cyclopentanecarbonyl chloride, the product was obtained in 60% yield (140 mg, 0.60 mmol) as a white solid after following the isolation A procedure. mp = 201 °C (degradation). ^1H -NMR (500 MHz, DMSO-*d*6): δ = 6.24 (bs, 1H), 2.54 (pent, J = 7.3 Hz, 1H), 1.86-1.98 (m, 2H), 1.61-1.73 (m, 2H), 1.49-1.61 (m, 4H), 1.38-1.49 (m, 2H); ^{13}C -NMR (125.8 MHz, DMSO-*d*6): δ = 175.3, 44.4, 30.2, 25.7; ^{19}F -NMR (471 MHz, DMSO-*d*6) δ = -140.73; ^{11}B -NMR (128.37 MHz, DMSO-*d*6) δ = 3.01; IR (KBr) = 3327, 2957, 2869, 1619, 1560, 1416, 1318, 1246, 1019 cm-1; HRMS (ESI) calcd. for $\text{C}_7\text{H}_{12}\text{NBF}_3\text{NO}$ (M^-) 194.0964, found 194.0967.



***N*-(Trifluoroboratomethyl)cyclopropane-**

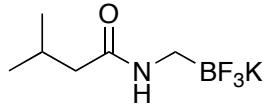
According to the general procedure and reaction with cyclopropanecarbonyl chloride, the product was obtained in 56% yield (115 mg, 0.56 mmol) as a white solid after following the isolation A procedure. mp > 210 °C. ^1H -NMR (500 MHz, DMSO-*d*6): δ = 6.63 (bs, 1H), 1.87-1.98 (m, 2H), 1.61-1.70 (m, 1H), 0.51-0.60 (m, 2H), 0.41-0.50 (m, 2H); ^{13}C -NMR (125.8 MHz, DMSO-*d*6): δ = 172.3, 13.4, 5.5; ^{19}F -NMR (471 MHz, DMSO-*d*6) δ = -140.55; ^{11}B -NMR (128.37 MHz, DMSO-*d*6) δ = 3.03; IR (KBr) = 3326, 3096, 2895, 1624, 1560, 1396, 1324, 1247, 1105, 1037 cm-1; HRMS (ESI) calcd. for $\text{C}_5\text{H}_8\text{NBF}_3\text{NO}$ (M^-) 166.0651, found 166.0652.



Potassium *N*-(Trifluoroboratomethyl)-2-phenylacetamide

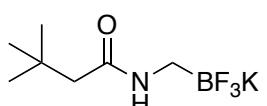
4j.

According to the general procedure and reaction with 2-phenylacetyl chloride, the product was obtained in 63% yield (161 mg, 0.63 mmol) as a white solid after following the isolation A procedure. mp = 162 – 165 °C (degradation). ¹H-NMR (500 MHz, DMSO-*d*6): δ = 7.21-7.28 (m, 4H), 7.15-7.20 (m, 1H), 6.53 (bs, 1H), 3.32 (s, 2H), 1.81-1.96 (m, 2H); ¹³C-NMR (125.8 MHz, DMSO-*d*6): δ = 170.1, 137.4, 129.1, 128.0, 126.0, 42.6; ¹⁹F-NMR (471 MHz, DMSO-*d*6) δ = -140.55; ¹¹B-NMR (128.37 MHz, DMSO-*d*6) δ = 3.49; IR (KBr) = 3325, 3085, 3034, 2910, 1624, 1553, 1455, 1434, 1342, 1303, 1101, 1027 cm-1; HRMS (ESI) calcd. for C₉H₁₀NBF₃NO (M⁺) 216.0808, found 216.0804.



Potassium *N*-(Trifluoroboratomethyl)-3-methylbutanamide

4k. According to the general procedure and reaction with 3-methylbutanoyl chloride, the product was obtained in 41% yield (91 mg, 0.41 mmol) as a white solid after following the isolation A procedure. mp = 169 - 171 °C (degradation). ¹H-NMR (500 MHz, DMSO-*d*6): δ = 0.82 (d, *J* = 6.2 Hz, 6H), 1.83-1.97 (m, 5H), 6.27 (bs, 1H); ¹³C-NMR (125.8 MHz, DMSO-*d*6): δ = 171.9, 45.1, 25.7, 22.5; ¹⁹F-NMR (471 MHz, DMSO-*d*6) δ = -140.67; ¹¹B-NMR (128.37 MHz, DMSO-*d*6) δ = 3.38; IR (KBr) = 3330, 3090, 2958, 2872, 1619, 1560, 1552, 1089, 1036 cm-1; HRMS (ESI) calcd. for C₆H₁₂NBF₃NO (M⁺) 182.0964, found 182.0964.



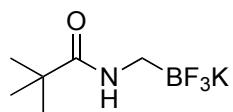
Potassium

butanamide 4l.

***N*-(Trifluoroboratomethyl)-3,3-dimethyl-**

According to the general procedure and reaction with 3,3-dimethylbutanoyl chloride, the product was obtained in 41% yield (96 mg, 0.41 mmol) as

a white solid after following the isolation A procedure. mp > 210 °C. ¹H-NMR (500 MHz, DMSO-*d*6): δ = 6.05 (bs, 1H), 1.85-1.92 (m, 4H), 0.90 (s, 9H); ¹³C-NMR (125.8 MHz, DMSO-*d*6): δ = 171.1, 49.3, 304, 29.8; ¹⁹F-NMR (471 MHz, DMSO-*d*6) δ = -140.90; ¹¹B-NMR (128.37 MHz, DMSO-*d*6) δ = 1.84; IR (KBr) = 3330, 3090, 2958, 2972, 1650, 1560, 1552, 1089, 1036 cm-1; HRMS (ESI) calcd. for C₇H₁₄NBF₃NO (M⁺) 196.1121, found 196.1120.

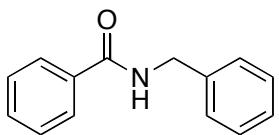


Potassium N-((trifluoroborato)methyl)pivalamide 4m.

According to the general procedure and reaction 3-methoxybenzoyl chloride, the product was obtained in 54% yield (120 mg, 0.54 mmol) as a white solid after following the isolation A procedure, the resulting solid was then washed with dichloromethane (3 x 5 mL). mp = 170 – 172 °C (degradation). ¹H-NMR (500 MHz, DMSO-*d*6): δ = 5.67 (bs, 1H), 1.88 (bs, 2H), 1.04 (s, 9H); ¹³C-NMR (125.8 MHz, DMSO-*d*6): δ = 176.8, 37.7, 27.6; ¹⁹F-NMR (471 MHz, DMSO-*d*6) δ: -141.37; ¹¹B-NMR (128.37 MHz, DMSO-*d*6) δ = 3.43; IR (KBr) = 3343, 3083, 2960, 2917, 2873, 1609, 1546, 1366, 1317, 1225, 1092, 1019, 1002 cm-1; HRMS (ESI) calcd. for C₆H₁₂BF₃NO (M⁺) 182.0964, found 182.0964.

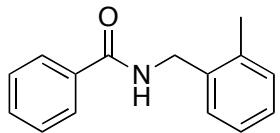
GENERAL EXPERIMENTAL PROCEDURE FOR THE SUZUKI-MIYaura CROSS-COUPLING REACTION

A solution of potassium trifluoroborate **4a-m** (0.3 mmol), Cs₂CO₃ (293 mg, 0.9 mmol), Pd(OAc)₂ (1.5 mg, 0.0075 mmol), XPhos (7.2 mg, 0.015 mmol) and electrophile (0.3 mmol) in CPME/H₂O (10:1) (3.3 mL) was heated under a Ar atmosphere in a sealed tube. The reaction was stirred at 85 °C for 6 h, then cooled to rt and diluted with H₂O (5 mL). The reaction mixture was extracted with CH₂Cl₂ (10 mL x 3). The organic layer was washed with brine (20 mL) and dried (Mg₂SO₄). The solvent was removed *in vacuo* and the crude product was purified by silica gel column chromatography.



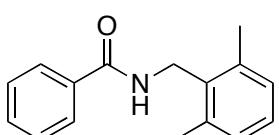
N-Benzylbenzamide 5a.ⁱⁱ

According to the general procedure and reaction with **4a** and chlorobenzene, the product was obtained in 87% yield (55 mg, 0.26 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 8:2). mp = 103 – 104 °C (litt.: 106 °C). ¹H-NMR (500 MHz, acetone-d6): δ = 8.20 (bs, 1H), 7.95 (d, J = 7.2 Hz, 2H), 7.49-7.55 (m, 1H), 7.45 (dd, J = 7.8, 7.2 Hz, 2H), 7.38 (d, J = 7.8 Hz, 2H), 7.32 (dd, J = 7.8, 7.2 Hz, 2H), 7.24 (dd, J = 7.2, 7.2 Hz, 1H), 4.60 (d, J = 6.1 Hz, 2H); ¹³C-NMR (125.8 MHz, acetone-d6): δ = 166.4, 139.7, 134.8, 131.0, 128.2, 127.4, 127.1, 126.7, 43.0.



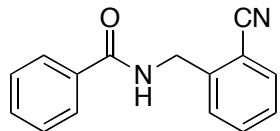
N-(2-Methylbenzyl)benzamide 5b.

According to the general procedure with Pd(OAc)₂ (3 mg, 0.015 mmol), XPhos (14.4 mg, 0.03 mmol) and reaction with **4a** and 1-chloro-2-methylbenzene, the product was obtained in 91% yield (62 mg, 0.27 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 7:3). mp = 112 °C (litt.: 103 – 104 °C).ⁱⁱⁱ ¹H-NMR (500 MHz, acetone-d6): δ = 8.02 (bs, 1H), 7.95-7.96 (m, 1H), 7.94 (dd, J = 2.1, 0.9 Hz, 1H), 7.50-7.53 (m, 1H), 7.43-7.47 (m, 2H), 7.32 (dd, J = 5.4, 3.1 Hz, 1H), 7.13-7.17 (m, 3H), 4.60 (d, J = 5.8 Hz, 2H), 2.37 (s, 3H); ¹³C-NMR (125.8 MHz, acetone-d6): δ = 167.2, 138.0, 136.8, 135.8, 131.9, 130.9, 129.1, 128.8, 128.1, 127.8, 126.7, 42.0, 19.1; IR (neat) = 3320, 3059, 2918, 1640, 1603, 1578, 1549, 1493, 1415, 1312, 1260 cm-1; HRMS (ESI) calcd. for C₁₅H₁₆NO (M+H⁺) 226.1234, found 226.1232.



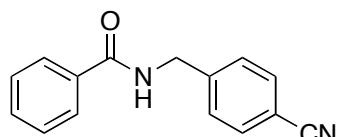
N-(2,6-Dimethylbenzyl)benzamide 5c.

According to the general procedure and reaction with **4a** and 2-chloro-1,3-dimethylbenzene for 14 h, the product was obtained in 88% yield (63 mg, 0.26 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 8:2). mp = 115 – 116 °C (litt.: 136 – 137 °C).^{iv} ¹H-NMR (500 MHz, acetone-*d*6): δ = 7.89 (bd, *J* = 7.2 Hz, 2H), 7.62 (bs, 1H), 7.48 (bdd, *J* = 7.8, 7.1 Hz, 1H), 7.40 (bdd, *J* = 7.8, 7.1 Hz, 2H), 7.07 (dd, *J* = 8.6, 6.2 Hz, 1H), 7.02 (bd, *J* = 7.5 Hz, 2H), 4.63 (d, *J* = 4.9 Hz, 2H), 2.39 (s, 6H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 167.3, 138.5, 135.8, 135.4, 131.8, 129.0, 128.2, 128.1, 39.1, 19.9; IR (neat) = 3288, 3055, 2974, 2922, 2843, 1634, 1538, 1474, 1357, 1265, 1218, 1062 cm-1; HRMS (ESI) calcd. for C₁₆H₁₈NO (M+H⁺) 240.1388, found 240.1381.



N-(2-Cyanobenzyl)benzamide 5d.

According to the general procedure with Pd(OAc)₂ (3 mg, 0.015 mmol), XPhos (14.4 mg, 0.03 mmol) and reaction with **4a** and 2-chlorobenzonitrile, the product was obtained in 65% yield (68 mg, 0.20 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 7:3). mp = 109 °C. ¹H-NMR (500 MHz, acetone-*d*6): δ = 8.45 (bs, 1H), 7.94-7.99 (m, 2H), 7.75 (d, *J* = 7.7 Hz, 1H), 7.61-7.69 (m, 2H), 7.51-7.57 (m, 1H), 7.41-7.50 (m, 3H), 4.79 (d, *J* = 5.9 Hz, 2H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 167.7, 144.0, 135.3, 133.9, 133.6, 132.2, 129.3, 129.2, 128.6, 128.1, 118.0, 112.2, 42.5; IR (neat) = 3317, 3066, 26961, 2926, 2854, 2225, 1645, 1602, 1579, 1538, 1489, 1450, 1417, 1364, 1310 cm-1; HRMS (ESI) calcd. for C₁₅H₁₂N₂ONa (M+Na⁺) 259.0847, found 259.0859.

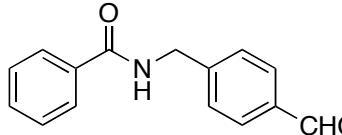


N-(4-Cyanobenzyl)benzamide 5e.

According to the general procedure and reaction with **4a**

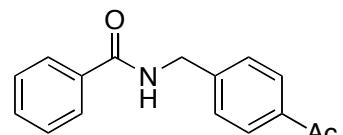
and 4-chlorobenzonitrile, the product was obtained in 87% yield (62 mg, 0.26 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 6:4). mp = 153 – 155 °C (litt.: 161 °C).^v ¹H-NMR (500 MHz, acetone-*d*6): δ = 8.38 (bs, 1H), 7.95 (bd, *J* = 7.0 Hz, 2H), 7.75 (bd, *J* = 8.4 Hz, 2H), 7.59 (d, *J* = 8.4 Hz, 2H), 7.52-7.57 (m, 1H), 7.45-7.50 (m, 2H), 4.70 (d, *J* = 6.1 Hz, 2H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 167.6, 146.4, 135.4, 133.0, 132.2, 129.2, 129.2, 128.1, 119.4, 111.4, 43.7; IR (neat) = 3264, 3065, 2956, 2853, 2227, 1634, 1538, 1406, 1359, 1313, 1260, 1075, 1017 cm⁻¹; HRMS (ESI) calcd. for C₁₅H₁₃N₂O (M+H⁺) 237.1028, found 238.1039.

***N*-(4-Formylbenzyl)benzamide 5f.**



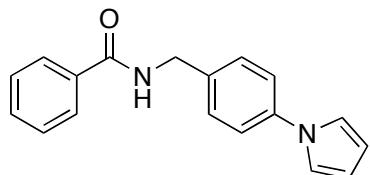
According to the general procedure and reaction with **4a** and 4-chlorobenzaldehyde, the product was obtained in 88% yield (63 mg, 0.26 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 6:4). mp = 127 °C. ¹H-NMR (500 MHz, acetone-*d*6): δ = 10.02 (s, 1H), 8.37 (bs, 1H), 7.94-7.98 (m, 2H), 7.89 (bd, *J* = 8.2 Hz, 2H), 7.60 (d, *J* = 8.0 Hz, 2H), 7.51-7.56 (m, 1H), 7.4-7.50 (m, 2H), 4.71 (d, *J* = 6.1 Hz, 2H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 191.6, 166.7, 146.7, 135.6, 134.5, 131.2, 129.5, 128.3, 127.9, 127.1, 42.9; IR (neat) = 3306, 3062, 2925, 2830, 2743, 1694, 1651, 1608, 1579, 1538, 1490, 1417, 1392, 1354, 1308, 1213, 1168 cm⁻¹; HRMS (ESI) calcd. for C₁₅H₁₄NO₂ (M+H⁺) 240.1025, found 240.1030.

***N*-(4-Acetylbenzyl)benzamide 5g.**



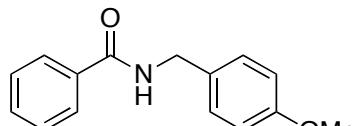
According to the general procedure and reaction with **4a** and 1-(4-chlorophenyl)ethanone, the product was obtained in 88% yield (67 mg, 0.26 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 6:4). mp = 114 – 115 °C. ¹H-NMR (500 MHz, acetone-*d*6): δ = 8.34 (bs,

1H), 7.91-8.00 (m, 4H), 7.43-7.58 (m, 5H), 4.68 (d, J = 6.1 Hz, 2H), 2.57 (s, 3H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 197.5, 167.5, 146.0, 137.0, 135.6, 132.1, 129.2, 129.2, 128.4, 128.1, 43.7, 26.7; IR (neat) = 3319, 3061, 2920, 2848, 1678, 1636, 1607, 1538, 1532, 1488, 1426, 1362, 1314, 1271 cm⁻¹; HRMS (ESI) calcd. for C₁₆H₁₆NO₂ (M+H⁺) 254.1181, found 254.1179.



N-(4-(1H-Pyrrol-1-yl)benzyl)benzamide 5h.

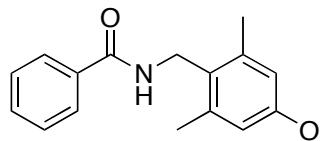
According to the general procedure and reaction with **4a** and 1-(4-chlorophenyl)-1H-pyrrole, the product was obtained in 98% yield (81 mg, 0.29 mmol) as a pale yellow solid after flash chromatography (elution with hexane/EtOAc 8:2). mp = 154 – 155 °C. ^1H -NMR (500 MHz, acetone-*d*6): δ = 8.25 (bs, 1H), 7.92-7.97 (m, 2H), 7.50-7.56 (m, 1H), 7.43-7.50 (m, 6H), 7.20-7.24 (m, 2H), 6.24-6.27 (m, 2H), 4.63 (dd, J = 6.1, 5.6 Hz, 2H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 167.3, 140.4, 138.0, 135.8, 132.0, 129.8, 129.2, 128.1, 120.6, 119.8, 111.1, 43.4; IR (neat) = 3300, 3054, 2956, 2925, 2848, 1640, 1524, 1483, 1330, 1310, 1290, 1259, 1119, 1070.3 cm⁻¹; HRMS (ESI) calcd. for C₁₈H₁₇NO₂ (M+H⁺) 277.1346, found 277.1341.



N-(4-Methoxybenzyl)benzamide 5i.^{vi}

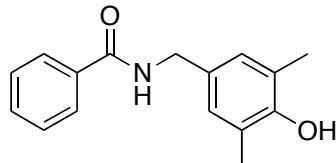
According to the general procedure and reaction with **4a** and 4-chloroanisole, the product was obtained in 95% yield (69 mg, 0.29 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 7:3). mp = 97 – 98 °C (litt.: 91 – 92 °C). ^1H -NMR (500 MHz, acetone-*d*6): δ = 8.11 (bs, 1H), 7.93 (d, J = 8.4 Hz, 2H), 7.49-7.54 (m, 1H), 7.42-7.47 (m, 2H), 7.30 (d, J = 8.4 Hz, 2H), 6.88 (bd, J = 8.7 Hz, 2H), 4.53 (d, J = 6.0 Hz, 2H), 3.77 (s, 3H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 167.2, 159.7, 135.9, 132.6, 131.9, 129.8, 129.1,

128.0, 114.5, 55.5, 43.5.



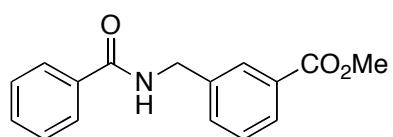
N-(4-Methoxy-2,6-dimethylbenzyl)benzamide 5j.

According to the general procedure and reaction with **4a** and 2-chloro-5-methoxy-1,3-dimethylbenzene, the product was obtained in 74% yield (60 mg, 0.22 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 7:3). mp = 131 – 132 °C. ¹H-NMR (500 MHz, acetone-*d*6): δ = 7.86-7.91 (m, 2H), 7.45- 7.52 (m, 2H), 7.37-7.43 (m, 2H), 6.60 (s, 2H), 4.56 (d, *J* = 4.7 Hz, 2H), 3.75 (s, 3H), 2.36 (s, 6H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 159.6, 139.9, 135.9, 131.7, 129.0, 128.1, 127.6, 114.2, 55.3, 38.7, 20.2; IR (neat) = 3296, 3031, 2954, 1632, 1538, 1488, 1321, 1293, 1147 cm-1; HRMS (ESI) calcd. for C₁₇H₂₀N₂O (M+H⁺) 270.1494, found 270.1497.



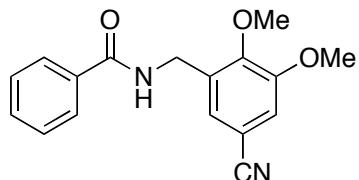
N-(4-Hydroxy-3,5-dimethylbenzyl)benzamide 5k.

According to the general procedure and reaction with **4a** and 4-chloro-2,6-dimethylphenol, the product was obtained in 87% yield (67 mg, 0.26 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 6:4). mp = 188 °C. ¹H-NMR (500 MHz, acetone-*d*6): δ = 8.04 (bs, 1H), 7.87-7.91 (m, 2H), 7.43-7.50 (m, 2H), 7.37-7.43 (m, 2H), 6.52 (s, 2H), 4.53 (d, *J* = 4.7 Hz, 2H), 2.31 (s, 6H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 167.2, 157.3, 139.8, 135.9, 131.8, 129.0, 128.1, 126.3, 115.8, 38.7, 20.0; IR (neat) = 3281, 2959, 2920, 2677, 2625, 2568, 2506, 1628, 1553, 1474, 1376, 1356, 1316, 1213, 1316, 1213, 1144, 1057, 1029 cm-1; HRMS (ESI) calcd. for C₁₆H₁₈NO₂ (M+H⁺) 256.1338, found 256.1341.



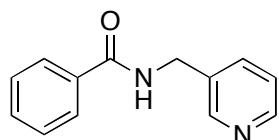
Methyl 3-(benzamidomethyl)benzoate 5l.

According to the general procedure and reaction with **4a** and methyl 3-chlorobenzoate, the product was obtained in 89% yield (72 mg, 0.27 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 8:2). mp = 133 °C. ¹H-NMR (500 MHz, acetone-*d*6): δ = 8.34 (bs, 1H), 8.03 (bs, 1H), 7.93-7.98 (m, 2H), 7.89 (d, *J* = 7.8 Hz, 1H), 7.66 (d, *J* = 7.8 Hz, 1H), 7.50-7.55 (m, 1H), 7.47 (dd, *J* = 7.5, 7.1 Hz, 3H), 4.68 (d, *J* = 6.0 Hz, 2H), 3.87 (s, 3H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 167.4, 167.2, 141.4, 135.6, 133.2, 132.1, 131.3, 129.5, 129.3, 129.2, 128.7, 128.1, 52.3, 43.7; IR (neat) = 3411, 2951, 2927, 2848, 1722, 1644, 1579, 1538, 1490, 1434, 1287, 1202 cm⁻¹; HRMS (ESI) calcd. for C₁₆H₁₅NO₃Na (M+Na⁺) 292.0950, found 292.0945.



N-(5-Cyano-2,3-dimethoxybenzyl)benzamide 5m.

According to the general procedure and reaction with **4a** and 3-chloro-4,5-dimethoxybenzonitrile, the product was obtained in 76% yield (68 mg, 0.24 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 8:2). mp = 135 – 136 °C. ¹H-NMR (500 MHz, acetone-*d*6): δ = 8.19 (bs, 1H), 7.92-7.96 (m, 2H), 7.51-7.56 (m, 1H), 7.46 (bdd, *J* = 7.7, 7.2 Hz, 2H), 7.36 (d, *J* = 1.8 Hz, 1H), 7.33 (d, *J* = 1.7 Hz, 1H), 4.63 (d, *J* = 6.0 Hz, 2H), 3.96 (s, 3H), 3.95 (s, 3H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 167.5, 153.9, 151.7, 135.6, 135.4, 132.1, 129.2, 128.1, 125.9, 119.4, 115.8, 107.6, 61.0, 56.7, 38.6; IR (neat) = 3267, 3060, 3018, 2944, 2938, 2225, 1641, 1581, 1536, 1488, 1468, 1354, 1325, 1289, 1238, 1142, 1088 cm⁻¹; HRMS (ESI) calcd. for C₁₇H₁₆N₂O₃Na (M+Na⁺) 319.1046, found 319.1059.

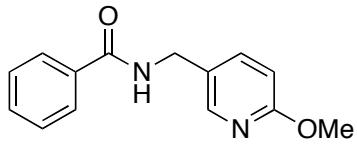


N-(Pyridin-3-ylmethyl)benzamide 6a.

According to the general procedure and reaction with **4a** and 3-chloropyridine, the product was obtained in 79% yield (50 mg, 0.24 mmol) as a pale yellow solid after flash chromatography (elution with EtOAc). mp =

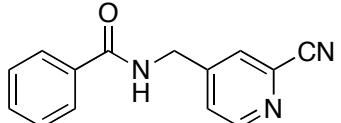
69 – 70 °C. $^1\text{H-NMR}$ (500 MHz, acetone-*d*6): δ = 8.61 (d, J = 1.4 Hz, 1H), 8.46 (dd, J = 4.7, 1.4 Hz, 1H), 8.31 (bs, 1H), 7.91-7.95 (m, 2H), 7.75- 7.79 (m, 1H), 7.50-7.55 (m, 1H), 7.43-7.48 (m, 2H), 7.31 (dd, J = 7.8, 4.8 Hz, 1H), 4.63 (d, J = 6.0 Hz, 2H); $^{13}\text{C-NMR}$ (125.8 MHz, acetone-*d*6): δ = 167.6, 150.2, 149.2, 136.1, 136.0, 135.5, 132.1, 129.2, 128.1, 124.1, 41.7; IR (neat) = 3062, 2924, 2853, 1644, 1602, 1579, 1538, 1490, 1428, 1308 cm⁻¹; HRMS (ESI) calcd. for C₁₃H₁₃N₂O (M+H⁺) 213.1028, found 213.1027.

N-((6-Methoxypyridin-3-yl)methyl)benzamide 6b.



According to the general procedure and reaction with **4a** and 5-chloro-2-methoxypyridine, the product was obtained in 89% yield (65 mg, 0.27 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 1:9). mp = 91 – 92 °C. $^1\text{H-NMR}$ (500 MHz, acetone-*d*6): δ = 8.20 (bs, 1H), 8.17 (d, J = 2.4 Hz, 1H), 7.89-7.93 (m, 2H), 7.71 (dd, J = 8.5, 2.4 Hz, 1H), 7.49-7.54 (m, 1H), 7.42-7.47 (m, 2H), 6.72 (d, J = 8.5 Hz, 1H), 4.53 (d, J = 6.0 Hz, 2H), 3.86 (s, 3H); $^{13}\text{C-NMR}$ (125.8 MHz, acetone-*d*6): δ = 167.4, 164.2, 147.1, 139.7, 135.6, 132.0, 129.1, 129.0, 128.0, 111.1, 53.4, 41.1; IR (KBr) = 3238, 3079, 3005, 2950, 2842, 1627, 1546, 1491, 1391, 1352, 1292, 1257, 1224, 1121, 1081, 1046, 1013 cm⁻¹; HRMS (ESI) calcd. for C₁₄H₁₅N₂O₂ (M+H⁺) 243.1134, found 243.1135.

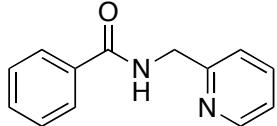
N-((2-Cyanopyridin-4-yl)methyl)benzamide 6c.



According to the general procedure with Pd(OAc)₂ (3 mg, 0.015 mmol), XPhos (14.4 mg, 0.03 mmol) and reaction with **4a** and 6-chloropicolinonitrile for 24 h at 85 °C, the product was obtained in 49% yield (35 mg, 0.15 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 1:9). mp = 143 – 145 °C. $^1\text{H-NMR}$ (500 MHz, acetone-*d*6): δ = 8.67 (d, J = 5.0 Hz, 1H), 8.46 (bs, 1H), 7.96-7.98 (m, 1H), 7.95-7.96 (m, 1H), 7.91-7.93 (m, 1H),

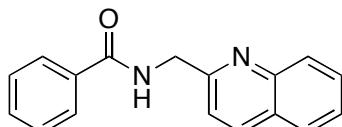
7.69-7.70 (m, 1H), 7.54-7.58 (m, 1H), 7.47-7.51 (m, 2H), 4.73 (d, J = 6.0 Hz, 2H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 167.9, 152.0, 151.9, 135.1, 134.5, 132.4, 129.3, 129.1, 128.1, 126.7, 118.3, 42.8; IR (neat) = 3062, 2924, 2853, 2239, 1645, 1600, 1488, 1409, 1308, 1261, 1077, 1028 cm⁻¹; HRMS (ESI) calcd. for C₁₄H₁₂N₃O (M+H⁺) 238.0980, found 238.0991

***N*-(Pyridin-2-ylmethyl)benzamide 6d.^{vii}**



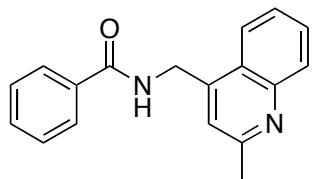
According to the general procedure with Pd(OAc)₂ (3 mg, 0.015 mmol), XPhos (14.4 mg, 0.03 mmol) and reaction with **4a** and 2-chloropyridine for 24 h at 85 °C, the product was obtained in 33% yield (21 mg, 0.1 mmol) as a colorless gel after flash chromatography (elution with EtOAc). ^1H -NMR (500 MHz, acetone-*d*6): δ = 8.50 (d, J = 4.6 Hz, 1H), 8.29 (bs, 1H), 7.94-7.99 (m, 2H), 7.72 (dd, J = 7.7, 1.7 Hz, 1H), 7.53 (dd, J = 7.4, 7.0 Hz, 1H), 7.47 (dd, J = 7.4, 7.0 Hz, 2H), 7.40 (d, J = 7.4 Hz, 1H), 7.22 (dd, J = 7.0, 5.1 Hz, 1H), 4.69 (d, J = 5.8 Hz, 2H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 167.4, 159.5, 149.8, 137.4, 135.7, 132.1, 129.2, 128.1, 122.9, 122.1, 45.7; HRMS (ESI) calcd. for C₁₃H₁₃N₂O (M+H⁺) 213.1028, found 213.1032.

***N*-(Quinolin-2-ylmethyl)benzamide 6e.**



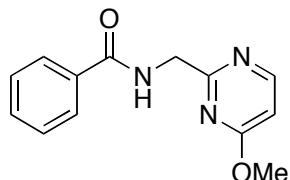
According to the general procedure with Pd(OAc)₂ (3 mg, 0.015 mmol), XPhos (14.4 mg, 0.03 mmol) and reaction with **4a** and 6-chloropicolinonitrile for 24 h at 85 °C, the product was obtained in 66% yield (52 mg, 0.20 mmol) as a white solid after flash chromatography (elution with EtOAc). mp = 123 – 124 °C. ^1H -NMR (500 MHz, acetone-*d*6): δ = 8.43 (bs, 1H), 8.30 (d, J = 8.5 Hz, 1H), 8.00-8.04 (m, 3H), 7.93 (d, J = 8.1 Hz, 1H), 7.74 (ddd, J = 8.5, 7.0, 1.4 Hz, 1H), 7.54-7.60 (m, 3H), 7.40-7.53 (m, 2H), 4.89 (d, J = 5.6 Hz, 2H); ^{13}C -NMR (125.8

MHz, acetone-*d*6): δ = 167.4, 154.6, 148.4, 137.4, 135.7, 132.1, 130.3, 129.7, 129.3, 129.1, 128.6, 128.3, 128.1, 120.7, 46.3; IR (neat) = 3060, 2922, 2850, 1643, 1602, 1538, 1506, 1488, 1428, 1308 cm-1; HRMS (ESI) calcd. for C₁₇H₁₅N₂O (M+H⁺) 263.1184, found 263.1192.



***N*-((2-Methylquinolin-4-yl)methyl)benzamide 6f.**

According to the general procedure and reaction with **4a** and 4-chloro-2-methylquinoline, the product was obtained in 86% yield (71 mg, 0.26 mmol) as an orange solid after flash chromatography (elution with hexane/EtOAc 1:9). mp = 139 – 140 °C. ¹H-NMR (500 MHz, acetone-*d*6): δ = 8.34 (bs, 1H), 8.19 (d, *J* = 9.0 Hz, 1H), 7.97 (dd, *J* = 7.3, 6.1 Hz, 3H), 7.70 (dd, *J* = 7.6, 7.6 Hz, 1H), 7.52-7.58 (m, 2H), 7.47 (dd, *J* = 7.6, 7.3 Hz, 2H), 7.40 (s, 1H), 5.10 (d, *J* = 5.9 Hz, 2H), 2.63 (s, 3H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 167.5, 159.4, 149.0, 145.1, 135.4, 132.2, 130.2, 129.8, 129.2, 128.1, 126.5, 125.7, 149.1, 121.1, 40.9, 25.4; IR (neat) = 3289, 3056, 2951, 2927, 2858, 1644, 1605, 1538, 1486, 1411, 1380, 1296, 1166 cm-1; HRMS (ESI) calcd. for C₁₈H₁₇N₂O (M+H⁺) 277.1341, found 277.1338.

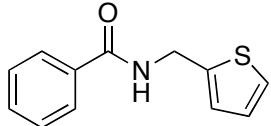


***N*-((4-Methoxypyrimidin-2-yl)methyl)benzamide 6g.**

According to the general procedure with Pd(OAc)₂ (3 mg, 0.015 mmol), XPhos (14.4 mg, 0.03 mmol) and reaction with **4a** and 2-chloro-4-methoxypyrimidine for 24 h at 85 °C, the product was obtained in 25% yield (18 mg, 0.08 mmol) as a colorless gel after flash chromatography (elution with EtOAc). ¹H-NMR (500 MHz, acetone-*d*6): δ = 8.43 (d, *J* = 5.8 Hz, 1H), 8.12 (bs, 1H), 7.95-8.00 (m, 2H), 7.52-7.57 (m, 1H), 7.42-7.51 (m, 2H), 6.71 (d, *J* = 5.6 Hz, 1H), 4.69 (d, *J* = 5.5 Hz, 2H), 3.95 (s, 3H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 170.5, 167.9, 167.4, 158.4, 135.9, 132.0, 129.3, 128.0, 107.1, 53.9, 46.0; IR (neat) = 3065, 2956, 2930, 2859, 1757,

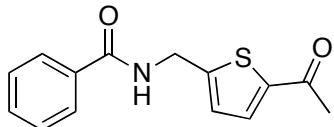
1648, 1578, 1540, 1476, 1417, 1313, 1027 cm⁻¹; HRMS (ESI) calcd. for C₁₃H₁₄N₃O₂ (M+H⁺) 244.1086, found 244.1078.

N-(Thiophen-2-ylmethyl)benzamide 6h.

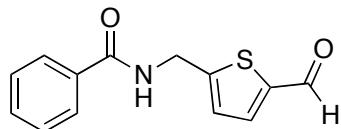


According to the general procedure and reaction with **4a** and 2-chlorothiophene, the product was obtained in 86% yield (56 mg, 0.26 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 8:2). mp = 120 °C (litt.: 121 – 122 °C).^{viii} ¹H-NMR (500 MHz, acetone-d₆): δ = 8.28 (bs, 1H), 7.93 (bd, J = 8.6 Hz, 2H), 7.50-7.55 (m, 1H), 7.43-7.48 (m, 2H), 7.27 (dd, J = 5.0, 1.2 Hz, 1H), 7.04 (d, J = 3.5 Hz, 1H), 6.90 (dd, J = 5.0, 1.2 Hz, 1H), 4.77 (d, J = 6.0 Hz, 2H); ¹³C-NMR (125.8 MHz, acetone-d₆): δ = 177.2, 143.5, 135.5, 132.1, 129.2, 128.1, 127.4, 126.4, 125.5, 38.8; IR (neat) = 3299, 3075, 2925, 2848, 1641, 1578, 1545, 1421, 1372, 1259 cm⁻¹; HRMS (ESI) calcd. for C₁₂H₁₂NOS (M+H⁺) 240.0459, found 240.0463.

N-((5-Acetylthiophen-2-yl)methyl)benzamide 6i.



According to the general procedure and reaction with **4a** and 1-(5-chlorothiophen-2-yl)ethanone, the product was obtained in 82% yield (60 mg, 0.25 mmol) as a pale yellow solid after flash chromatography (elution with hexane/EtOAc 6:4). mp = 167 – 169 °C. ¹H-NMR (500 MHz, acetone-d₆): δ = 8.44 (bs, 1H), 7.91-7.95 (m, 2H), 7.70 (d, J = 3.8 Hz, 1H), 7.54 (dd, J = 7.3, 7.3 Hz, 1H), 7.47 (dd, J = 7.7, 7.3 Hz, 2H), 7.12 (d, J = 3.8 Hz, 1H), 4.79 (d, J = 6.0 Hz, 2H), 2.48 (s, 3H); ¹³C-NMR (125.8 MHz, DMSO-d₆): δ = 193.1, 170.1, 153.0, 144.3, 134.9, 132.9, 129.6, 128.4, 127.8, 39.8, 26.4; IR (KBr) = 3276, 3080, 2928, 2853, 2806, 1661, 1638, 1600, 1553, 1490, 1460, 1416, 1372, 1358, 1330, 1315, 1277, 1225, 1028 cm⁻¹; HRMS (ESI) calcd. for C₁₄H₁₄NO₂S (M+H⁺) 260.0745, found 260.0747.



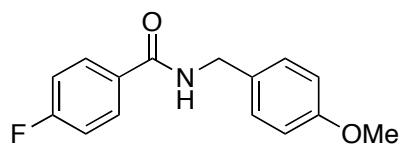
N-((5-Formylthiophen-2-yl)methyl)benzamide 6j.

According to the general procedure and reaction with **4a** and 5-chlorothiophene-2-carbaldehyde, the product was obtained in 82% yield (60 mg, 0.25 mmol) as a pale yellow solid after flash chromatography (elution with hexane/EtOAc 6:4). mp = 104 °C. ¹H-NMR (500 MHz, acetone-d6): δ = 9.88 (s, 1H), 8.50 (bs, 1H), 7.91-7.95 (m, 2H), 7.82 (d, J = 3.8 Hz, 1H), 7.52-7.55 (m, 1H), 7.46-7.49 (m, 2H), 7.24 (d, J = 3.8 Hz, 1H), 4.89 (d, J = 6.0 Hz, 2H); ¹³C-NMR (125.8 MHz, acetone-d6): δ = 183.8, 167.4, 154.7, 143.8, 137.9, 135.2, 132.3, 129.3, 128.1, 127.5, 39.5; IR (neat) = 3053, 2925, 1793, 1538, 1488, 1463, 1293, 1230, 1208, 1049 cm⁻¹; HRMS (ESI) calcd. for C₁₃H₁₂NO₂S (M+H⁺) 246.0589, found 246.0583.



N-((5-Formylfuran-2-yl)methyl)benzamide 6k.^{ix}

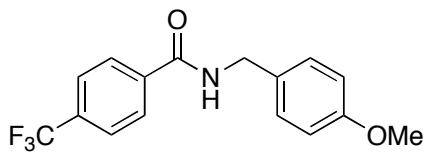
According to the general procedure and reaction with **4a** and 5-chlorofuran-2-carbaldehyde, the product was obtained in 89% yield (61 mg, 0.27 mmol) as an orange solid after flash chromatography (elution with hexane/EtOAc 1:1). mp = 61 – 62 °C. ¹H-NMR (500 MHz, acetone-d6): δ = 9.57 (s, 1H), 8.37 (bs, 1H), 7.93-7.95 (m, 2H), 7.52-7.56 (m, 1H), 7.46-7.49 (m, 2H), 7.37 (d, J = 3.5 Hz, 1H), 6.59 (d, J = 3.5 Hz, 1H), 4.69 (d, J = 5.9 Hz, 2H); ¹³C-NMR (125.8 MHz, acetone-d6): δ = 177.9, 167.4, 160.3, 153.3, 135.2, 132.3, 129.2, 128.1, 124.0, 110.7, 37.4; HRMS (ESI) calcd. for C₁₃H₁₂NO₃ (M+H⁺) 230.0817, found 230.0813.



4-Fluoro-N-(4-methoxybenzyl)benzamide 7b.^x

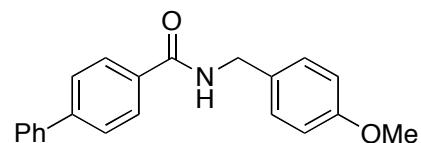
According to the general procedure and reaction with **4b** and 4-chloroanisole, the product was obtained in 89% yield (69 mg, 0.27 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 7:3). mp = 114 – 115 °C. ¹H-NMR (500 MHz, acetone-d6): δ = 8.13 (bs,

1H), 7.98–8.02 (m, 2H), 7.29 (d, J = 9.1 Hz, 2H), 7.21 (dd, J = 9.1, 8.5 Hz, 2H), 6.88 (d, J = 8.5 Hz, 2H), 4.52 (d, J = 5.9 Hz, 2H), 3.77 (s, 3H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 166.2, 164.3, 159.8, 132.5, 130.7, 130.6 (d, J = 8.9 Hz), 129.8, 116.0, 115.8 (d, J = 22.0 Hz), 114.6, 55.5, 43.5; ^{19}F -NMR (471 MHz, acetone-*d*6): δ = -111.30.



***N*-(4-Methoxybenzyl)-4-(trifluoromethyl)-benzamide 7c.**

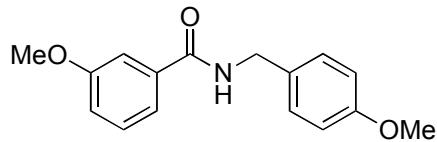
According to the general procedure and reaction with **4c** and 4-chloroanisole, the product was obtained in 88% yield (82 mg, 0.26 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 7:3). mp = 132 – 133 °C. ^1H -NMR (500 MHz, acetone-*d*6): δ = 8.33 (bs, 1H), 8.12 (d, J = 8.1 Hz, 2H), 7.81 (d, J = 8.1 Hz, 2H), 7.31 (bd, J = 8.6 Hz, 2H), 6.88 (bd, J = 8.6 Hz, 2H), 4.55 (d, J = 5.9 Hz, 2H), 3.77 (s, 3H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 166.1, 159.8, 139.5, 133.0, 132.1, 129.9, 128.9, 126.1, 126.1, 114.6, 55.4, 43.7; ^{19}F -NMR (471 MHz, acetone-*d*6): δ = -63.38; IR (neat) = 3323, 3063, 2962, 2930, 2841, 1644, 1538, 1516, 1361, 1330, 1310, 1254, 1163, 1124, 1070, 1017 cm⁻¹. HRMS (ESI) calcd. for $\text{C}_{16}\text{H}_{15}\text{F}_3\text{NO}_2$ ($\text{M}+\text{H}^+$) 310.1055, found 310.1058.



***N*-(4-Methoxybenzyl)-[1,1'-biphenyl]-4-carboxamide 7d.^{xi}**

According to the general procedure and reaction with **4d** and 4-chloroanisole, the product was obtained in 18% yield (58 mg, 0.05 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 8:2). mp = 132 – 133 °C. ^1H -NMR (500 MHz, DMSO-*d*6): δ = 9.02 (bs, 1H), 7.97 (d, J = 8.2 Hz, 2H), 7.77 (d, J = 8.2 Hz, 2H), 7.72 (d, J = 7.5 Hz, 2H), 7.49 (dd, J = 7.6, 7.6 Hz, 2H), 7.40 (dd, J = 7.3, 7.3 Hz, 1H), 7.26 (bd, J = 8.5 Hz, 2H), 6.89 (d, J = 8.5 Hz, 2H), 4.42 (s, 2H), 3.72 (s,

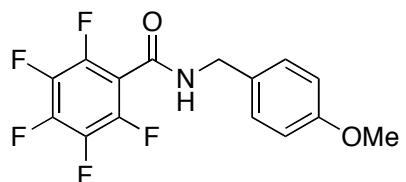
3H);; ^{13}C -NMR (125.8 MHz, DMSO-*d*6): δ = 165.6, 158.2, 142.7, 139.2, 133.2, 131.6, 129.0, 128.6, 128.0, 127.9, 126.9, 126.5, 113.7, 55.1, 42.0.



3-methoxy-*N*-(4-methoxybenzyl)benzamide 7e.

According to the general procedure and reaction with **4** and 4-chloroanisole, the product was obtained in

81% yield (187 mg, 0.24 mmol) as colorless gel after flash chromatography (elution with hexane/EtOAc 8:2). ^1H -NMR (500 MHz, acetone-*d*6): δ = 8.11 (bs, 1H), 7.49 (s, 2H), 7.35 (dd, *J* = 7.8, 7.8 Hz, 1H), 7.30 (d, *J* = 8.3 Hz, 2H), 7.06 (d, *J* = 6.6 Hz, 1H), 6.87 (d, *J* = 8.3 Hz, 2H), 4.52 (d, *J* = 5.7 Hz, 2H), 3.82 (s, 3H), 3.76 (s, 3H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 167.0, 160.7, 159.7, 137.3, 132.6, 130.2, 129.8, 120.1, 117.8, 114.5, 113.3, 55.6, 55.5, 43.5; IR (neat) = 3307, 3065, 3002, 2936, 2836, 1633, 1610, 1584, 1514, 1487, 1302, 1246, 1176, 1035 cm⁻¹; HRMS (ESI) calcd. for C₁₆H₁₈NO₃ (M+H⁺) 272.1287, found 272.1287.



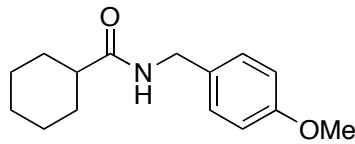
2,3,4,5,6-Pentafluoro-*N*-(4-methoxybenzyl)-

benzamide 7f.

According to the general procedure and reaction with **4e** and 4-chloroanisole, the product was obtained in

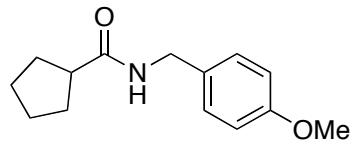
32% yield (32 mg, 0.1 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 9:1). mp = 148 – 152 °C. ^1H -NMR (500 MHz, acetone-*d*6): δ = 8.35 (bs, 1H), 7.30 (d, *J* = 8.6 Hz, 2H), 6.91 (d, *J* = 8.6 Hz, 2H), 4.54 (d, *J* = 5.9 Hz, 2H), 3.78 (s, 3H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 158.8, 156.3, 144.4 (ddt, *J* = 12.5, 8.4, 4.1 Hz), 140.3 (tt, *J* = 13.1, 5.4), 142.2-142.5 (m), 138.2-137.9 (m), 136.2-135.9 (m), 129.7, 128.5, 113.4, 112.6 (ttt, *J* = 21.0, 3.4, 1.6 Hz), 54.2, 42.4; ^{19}F -NMR (471 MHz, acetone-*d*6): δ = -143.36 (dd, *J* = 21.2, 6.3 Hz, 2F), -155.47 (t, *J* = 19.6 Hz, 1F), -163.48--163.56

(m, 2F); IR (neat) = 3253, 3100, 3072, 2968, 2923, 2846, 1655, 1578, 1570, 1516, 1500, 1450, 1331, 1244, 1180, 1117, 1067, 1036, 989 cm⁻¹. HRMS (ESI) calcd. for C₁₅H₁₁F₅NO₂ (M+H⁺) 332.0710, found 310.0698.



N-(4-Methoxybenzyl)cyclohexanecarboxamide 7g.

According to the general procedure and reaction with **4g** and 4-chloroanisole, the product was obtained in 77% yield (57 mg, 0.23 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 6:4). mp = 118 °C (Litt.: 118 °C).^{xii} ¹H-NMR (500 MHz, acetone-d6): δ = 7.22 (bs, 1H), 7.19 (d, J = 8.5 Hz, 2H), 6.85 (d, J = 8.5 Hz, 2H), 4.25 (d, J = 6.0 Hz, 2H), 3.76 (s, 3H), 2.18 (dd, J = 11.7, 7.6, 3.4, 3.4 Hz, 1H), 1.70-1.83 (m, 4H), 1.60-1.65 (m, 1H), 1.45 (qd, J = 12.0, 2.3 Hz, 2H), 1.18-1.30 (m, 3H); ¹³C-NMR (125.8 MHz, acetone-d6): δ = 175.9, 159.7, 132.9, 129.5, 114.5, 55.5, 45.7, 42.7, 30.5, 26.6, 26.5; IR (neat) = 3289, 3072, 2996, 2928, 2853, 1634, 1614, 1538, 1514, 1464, 1440, 1300, 1250, 1216, 1175, 1037 cm⁻¹; HRMS (ESI) calcd. for C₁₅H₂₂NO₂ (M+H⁺) 248.1651, found 248.1654.

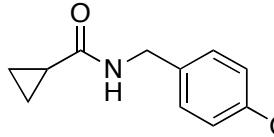


N-(4-Methoxybenzyl)cyclopentanecarboxamide 7h.

According to the general procedure and reaction with **4h** and 4-chloroanisole, the product was obtained in 90% yield (63 mg, 0.27 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 6:4). mp = 107 °C. ¹H-NMR (500 MHz, acetone-d6): δ = 7.25 (bs, 1H), 7.16 (d, J = 8.7 Hz, 2H), 6.80 (d, J = 8.7 Hz, 2H), 4.24 (d, J = 6.0 Hz, 2H), 3.69 (s, 3H), 2.65 (pent, J = 8.0 Hz, 1H), 1.73-1.87 (m, 4H), 1.63-1.71 (m, 2H), 1.49-1.58 (m, 2H); ¹³C-NMR (125.8 MHz, acetone-d6): δ = 176.1, 159.7, 132.9, 129.5, 114.5, 55.5, 45.9, 42.9, 31.0, 26.7; IR (neat) = 3255, 3065, 3010, 2955, 2868, 2841, 1655, 1639, 1613, 1551, 1514, 1458, 1320, 1302, 1246, 1218, 1176, 1186, 1108, 1028 cm⁻¹; HRMS (ESI) calcd.

for $C_{14}H_{20}NO_2$ ($M+H^+$) 234.1494, found 234.1503.

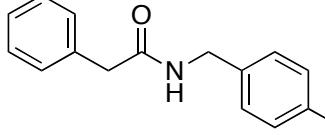
N-(4-Methoxybenzyl)cyclopropanecarboxamide 7i.



According to the general procedure with $Pd(OAc)_2$ (3 mg, 0.015 mmol), XPhos (14.4 mg, 0.03 mmol) and reaction with

4i and 4-chloroanisole, the product was obtained in 83% yield (51 mg, 0.25 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 7:3). mp = 110 – 112 °C. 1H -NMR (500 MHz, acetone-*d*6): δ = 7.56 (bs, 1H), 7.17 (d, J = 8.8 Hz, 2H), 6.88 (d, J = 8.8 Hz, 2H), 4.28 (d, J = 5.9 Hz, 2H), 3.73 (s, 3H), 1.52 (tt, J = 7.9, 4.6 Hz, 1H), 0.77-0.81 (m, 2H), 0.62-0.67 (m, 2H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 173.5, 159.7, 132.7, 129.7, 114.5, 55.5, 43.1, 14.5, 6.8; IR (neat) = 3306, 3055, 3010, 2926, 2885, 2843, 1614, 1516, 1463, 1305, 1239, 1182, 1107, 1040 cm-1; HRMS (ESI) calcd. for $C_{12}H_{16}NO_2$ ($M+H^+$) 206.1181, found 206.1183.

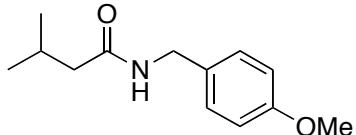
N-(4-Methoxybenzyl)-2-phenylacetamide 7j.^{xiii}



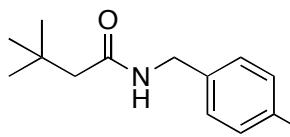
According to the general procedure and reaction with **4j**

and 4-chloroanisole, the product was obtained in 94% yield (63 mg, 0.28 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 6:4). mp = 138 – 139 °C (Litt.: 143 °C). 1H -NMR (500 MHz, acetone-*d*6): δ = 7.42 (bs, 1H), 7.29-7.34 (m, 4H), 7.19-7.24 (m, 1H), 7.18 (d, J = 8.3 Hz, 2H), 6.84 (bd, J = 8.3 Hz, 2H), 4.30 (d, J = 6.0 Hz, 2H), 3.76 (s, 3H), 3.53 (s, 2H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 170.7, 159.7, 137.4, 132.5, 130.0, 129.6, 129.1, 127.3, 114.5, 55.5, 43.8, 43.1.

N-(4-Methoxybenzyl)-3-methylbutanamide 7k.

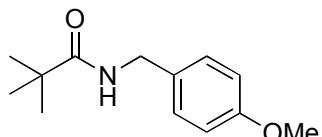


According to the general procedure and reaction with **4k** and 4-chloroanisole, the product was obtained in 83% yield (55 mg, 0.25 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 8:2). mp = 88 – 89 °C. ¹H-NMR (500 MHz, acetone-*d*6): δ = 7.32 (bs, 1H), 7.20 (d, *J* = 8.6 Hz, 2H), 6.85 (d, *J* = 8.6 Hz, 2H), 4.29 (d, *J* = 5.9 Hz, 2H), 3.76 (s, 3H), 2.05-2.13 (m, 3H), 0.90 (s, 6H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 171.3, 158.7, 131.8, 128.7, 113.5, 54.5, 45.1, 41.8, 25.7, 21.8; IR (neat) = 3272, 3064, 3010, 2959, 2934, 2873, 2841, 1637, 1536, 1464, 1368, 1302, 1248, 1214, 1176, 1110, 1028 cm-1. HRMS (ESI) calcd. for C₁₃H₂₀NO₂ (M+H⁺) 222.1494, found 222.1491.



N-(4-Methoxybenzyl)-3,3-dimethylbutanamide 7l.

According to the general procedure and reaction with **4l** and 4-chloroanisole, the product was obtained in 93% yield (66 mg, 0.28 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 8:2). mp = 68 – 70 °C. ¹H-NMR (500 MHz, acetone-*d*6): δ = 7.28 (bs, 1H), 7.24 (d, *J* = 8.5 Hz, 2H), 6.85 (d, *J* = 8.5 Hz, 2H), 4.29 (d, *J* = 5.9 Hz, 2H), 3.76 (s, 3H), 2.07 (s, 2H), 1.00 (s, 9H); ¹³C-NMR (125.8 MHz, acetone-*d*6): δ = 171.6, 159.7, 132.8, 129.7, 114.5, 55.5, 50.1, 42.8, 31.3, 30.2; IR (neat) = 3001, 3072, 2955, 2868, 2837, 1644, 1614, 1538, 1514, 1464, 1366, 1249, 1176 cm-1. HRMS (ESI) calcd. for C₁₄H₂₂NO₂ (M+H⁺) 236.1651, found 236.1645.



N-(4-methoxybenzyl)pivalamide 7m.^{xiv}

According to the general procedure and reaction with **4m** and 4-chloroanisole, the product was obtained in 81% yield (54 mg, 0.24 mmol) as a white solid after flash chromatography (elution with hexane/EtOAc 8:2). mp = 88 – 89 °C (Litt.: 88 – 90 °C). ¹H-NMR (500 MHz, acetone-*d*6): δ = 7.18 (bd, *J*

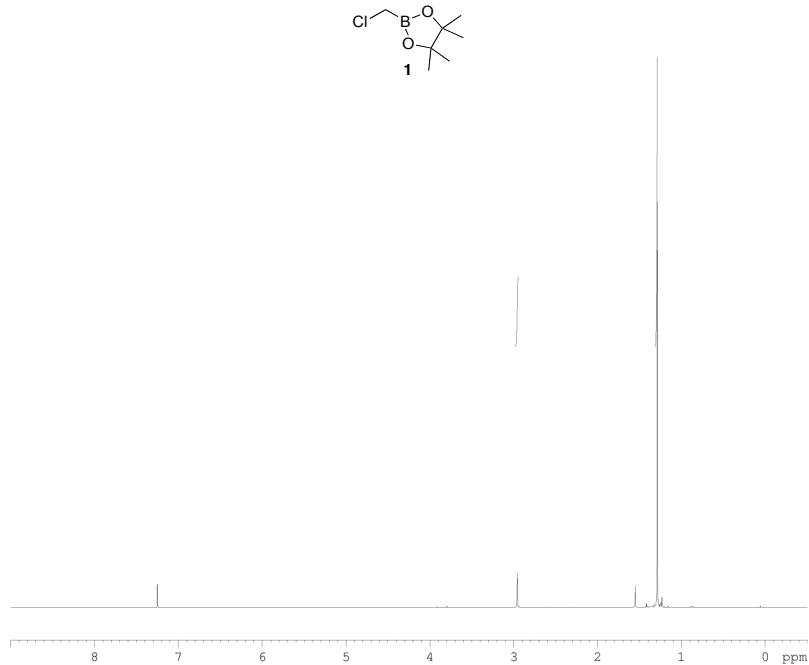
= 8.3 Hz, 3H), 6.84 (d, J = 8.7 Hz, 2H), 4.29 (d, J = 6.0 Hz, 2H), 3.76 (s, 3H), 1.18 (s, 9H); ^{13}C -NMR (125.8 MHz, acetone-*d*6): δ = 177.1, 158.6, 132.2, 128.4, 113.5, 54.5, 41.9, 38.1, 27.0.

REFERENCE

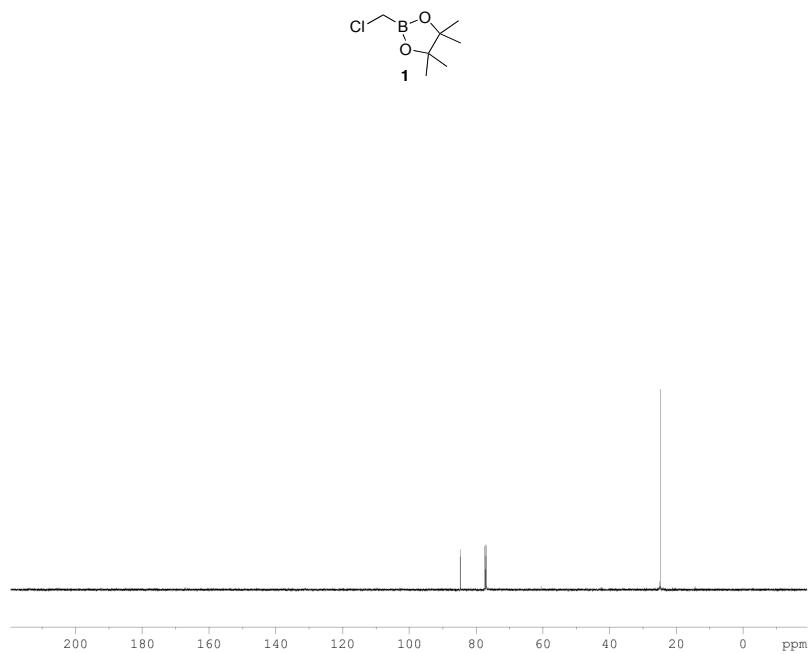
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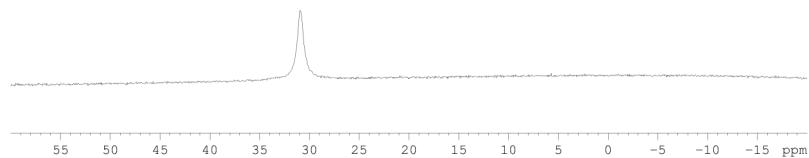
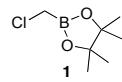
¹H NMR (500 MHz, CDCl₃) Spectra of 2-(chloromethyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (**1**)



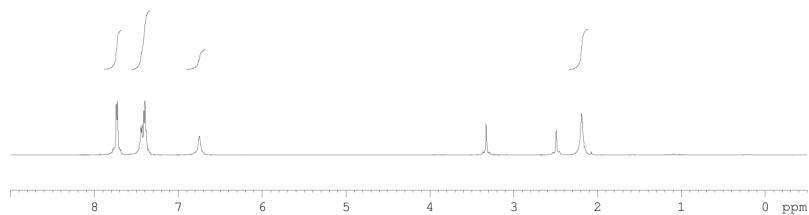
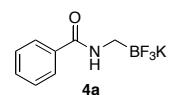
¹³C-NMR (125.8 MHz, CDCl₃) Spectra of 2-(chloromethyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (**1**)



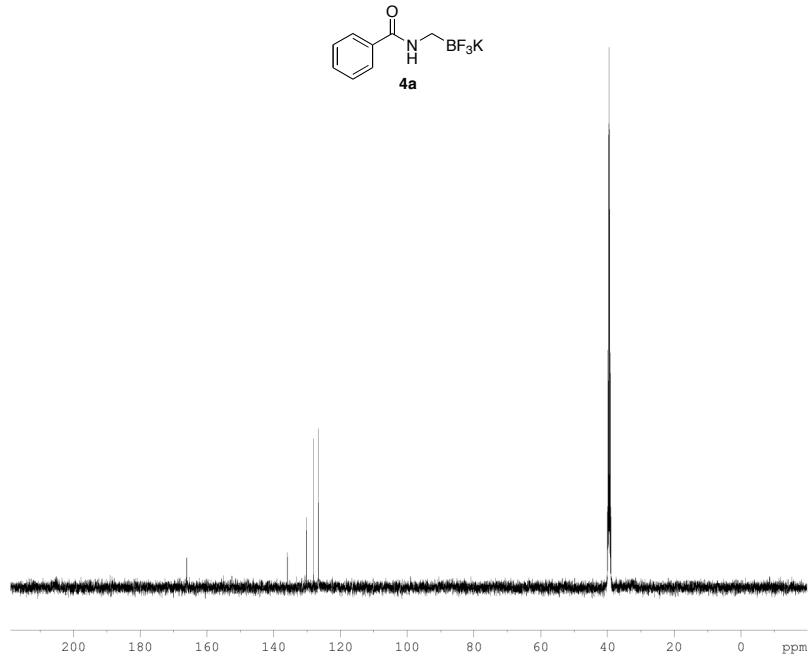
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of 2-(chloromethyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (**1**)



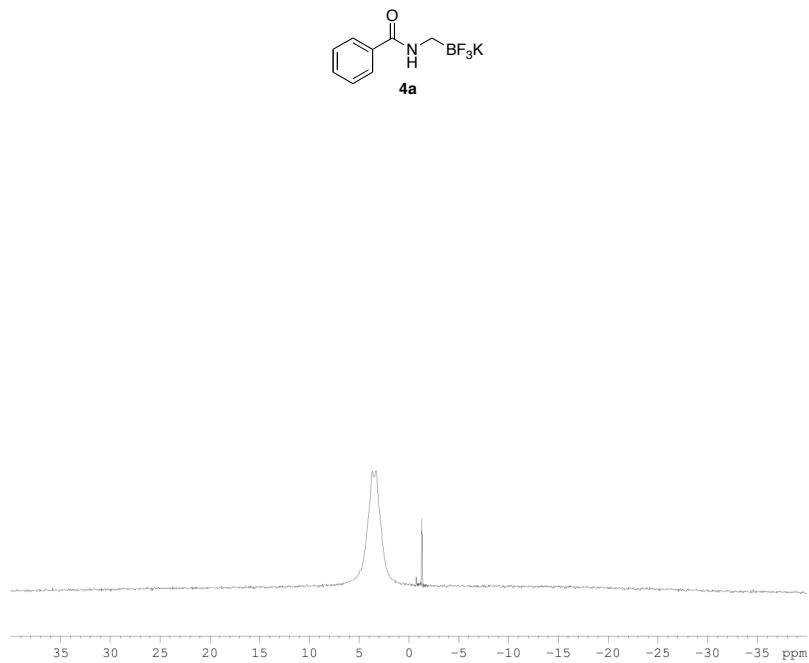
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of potassium *N*((trifluoroboratomethyl)benzamide) (**4a**)



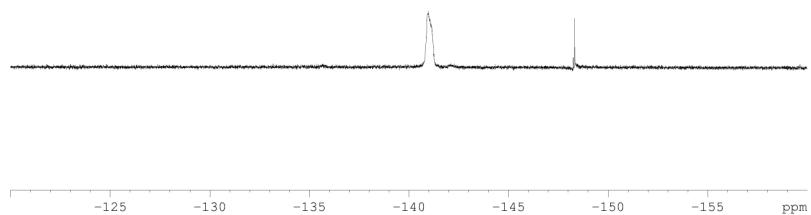
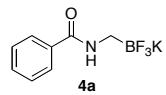
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of potassium *N*-((trifluoroboratomethyl)-benzamide (**4a**)



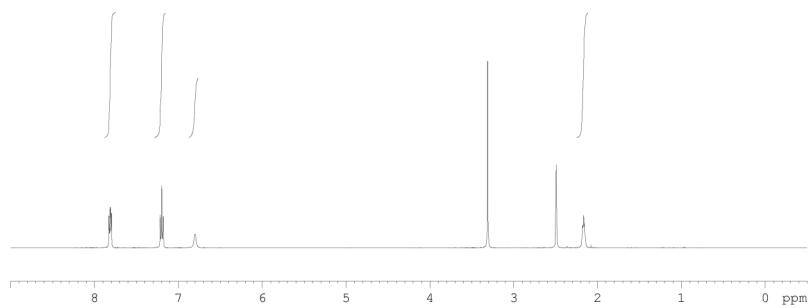
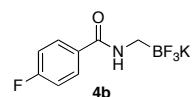
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of potassium *N*-((trifluoroboratomethyl)-benzamide (**4a**)



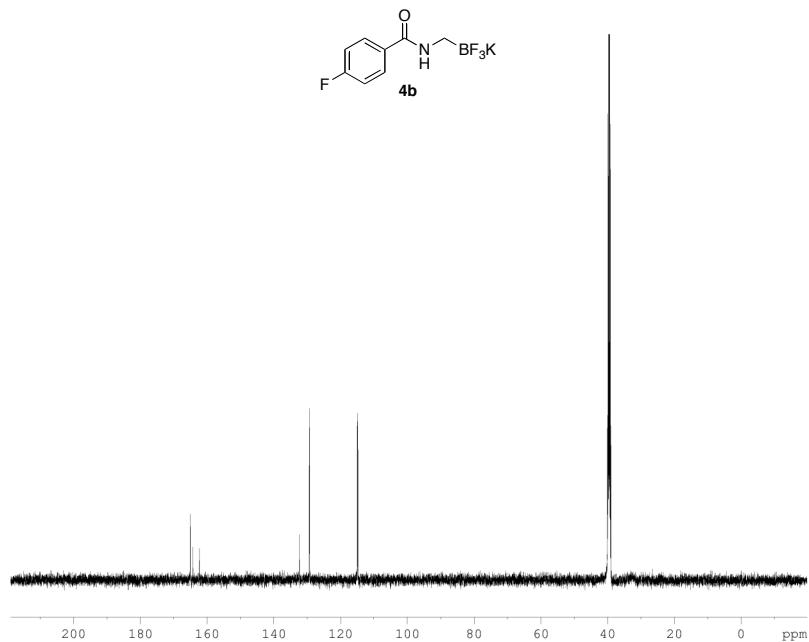
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-benzamide (**4a**)



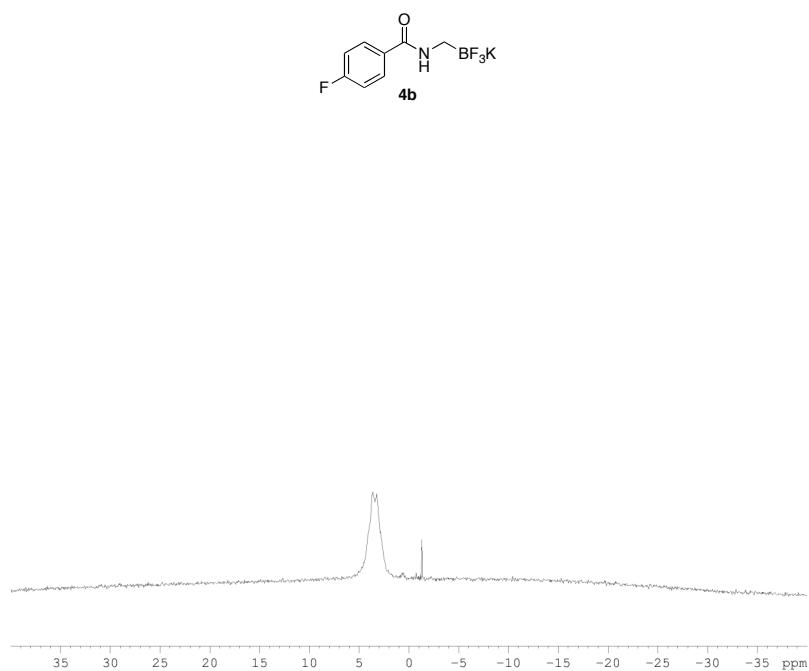
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-4-fluorobenzamide (**4b**)



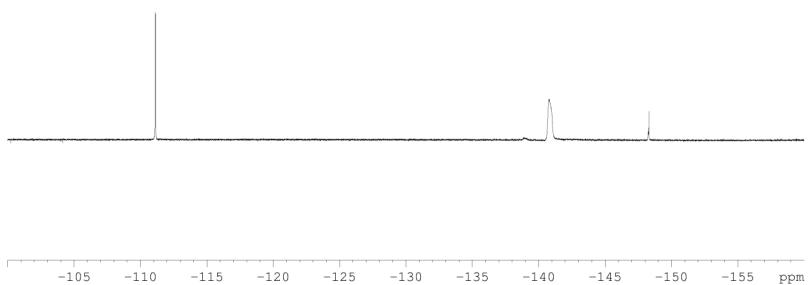
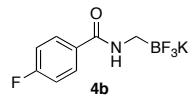
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-4-fluorobenzamide (**4b**)



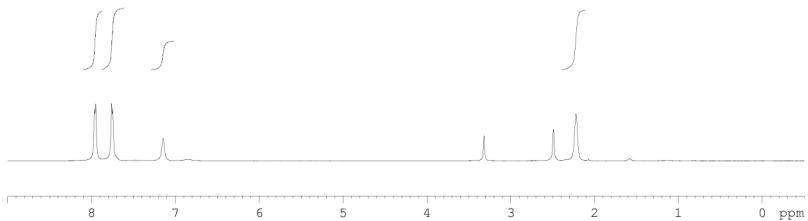
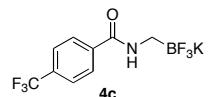
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-4-fluorobenzamide (**4b**)



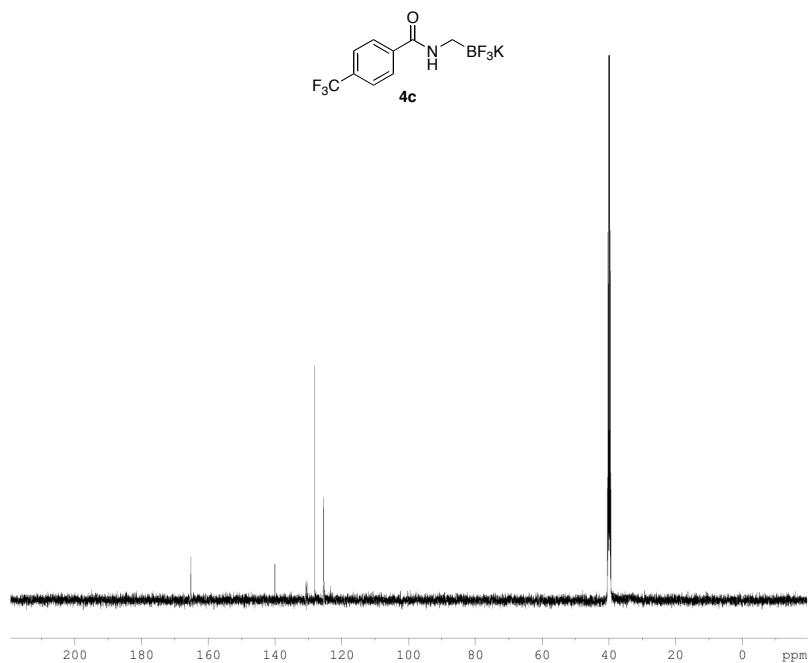
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-4-fluorobenzamide (**4b**)



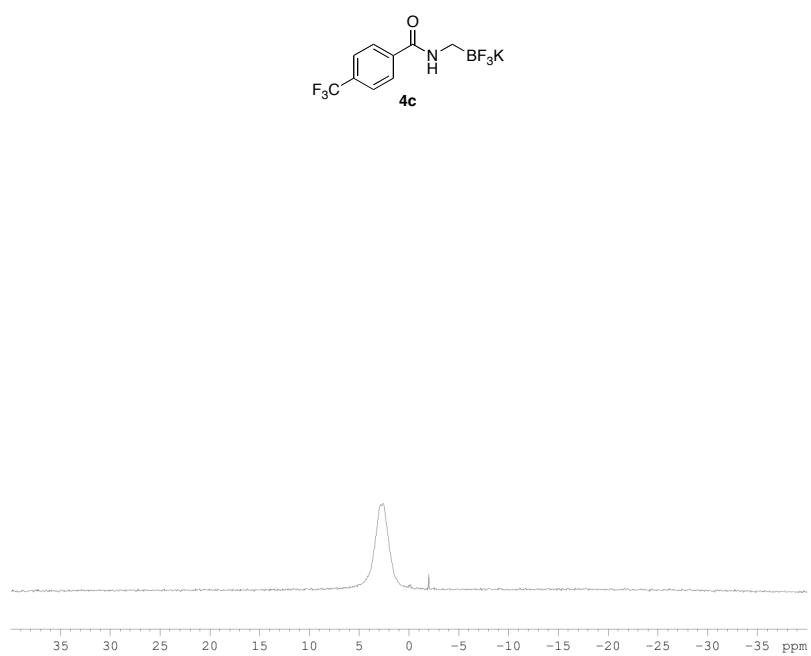
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-4-(trifluoromethyl)benzamide (**4c**)



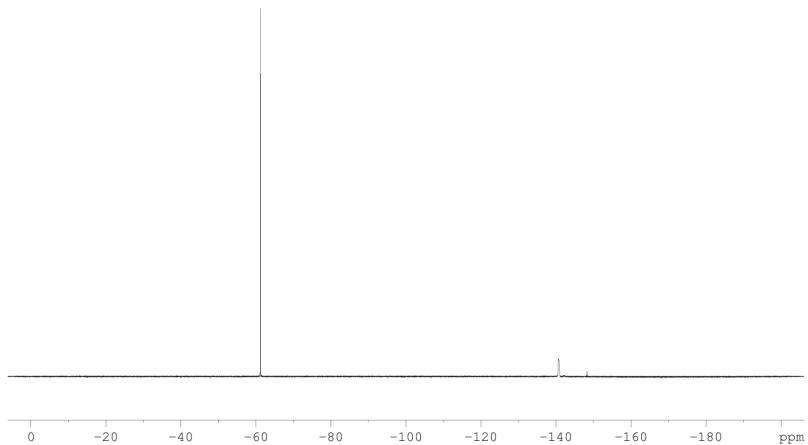
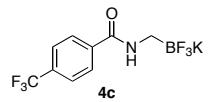
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-4-(trifluoromethyl)benzamide (**4c**)



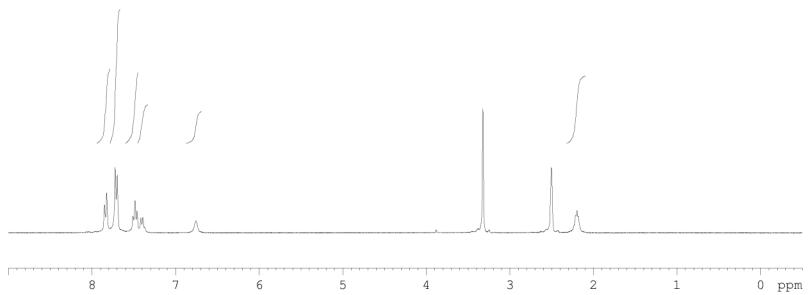
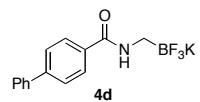
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-4-(trifluoromethyl)benzamide (**4c**)



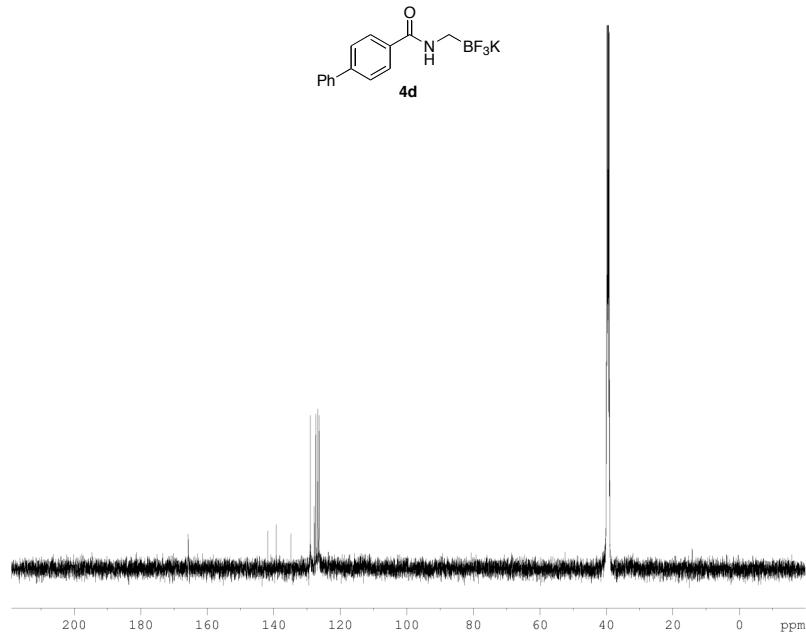
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-4-(trifluoromethyl)benzamide (**4c**)



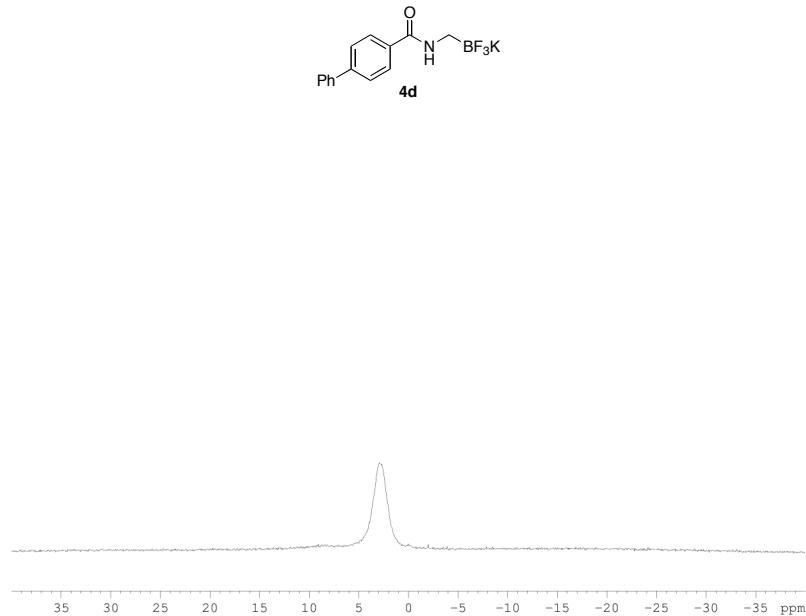
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-[1,1'-biphenyl]-4-carboxamide (**4d**)



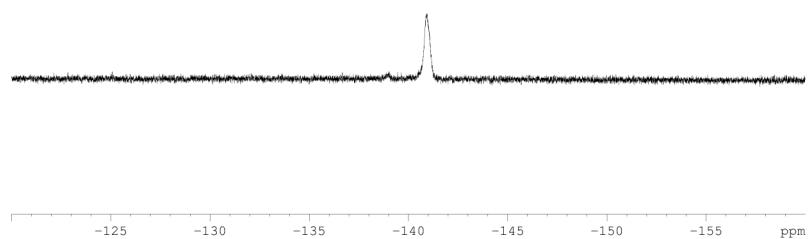
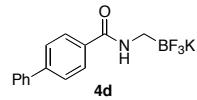
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-[1,1'-biphenyl]-4-carboxamide (**4d**)



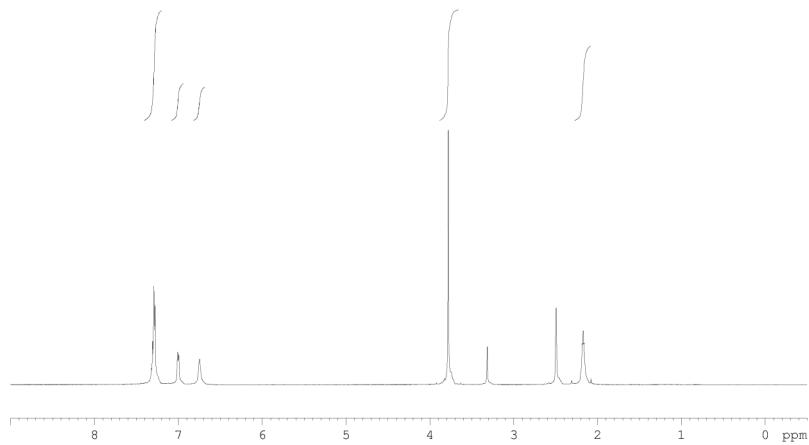
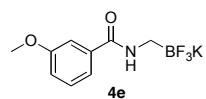
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-[1,1'-biphenyl]-4-carboxamide (**4d**)



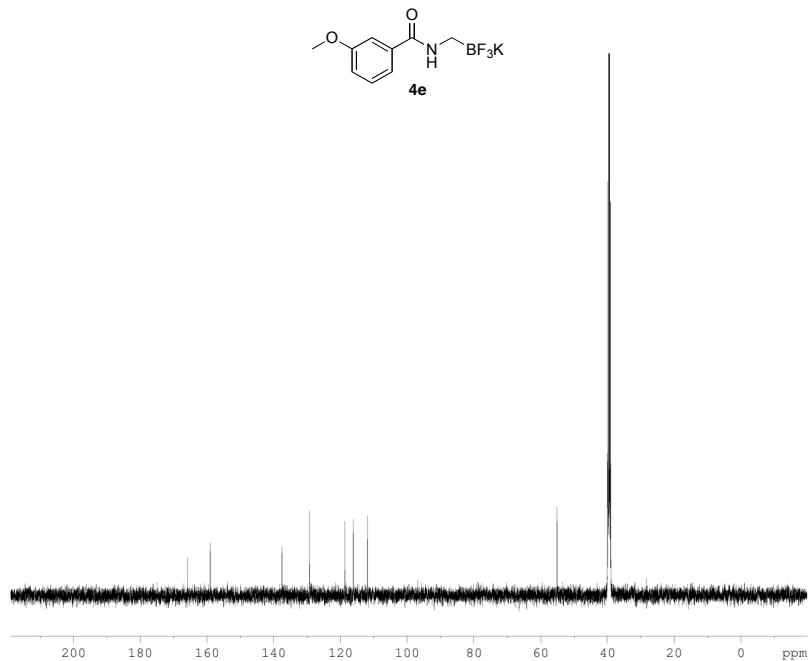
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-[1,1'-biphenyl]-4-carboxamide (**4d**)



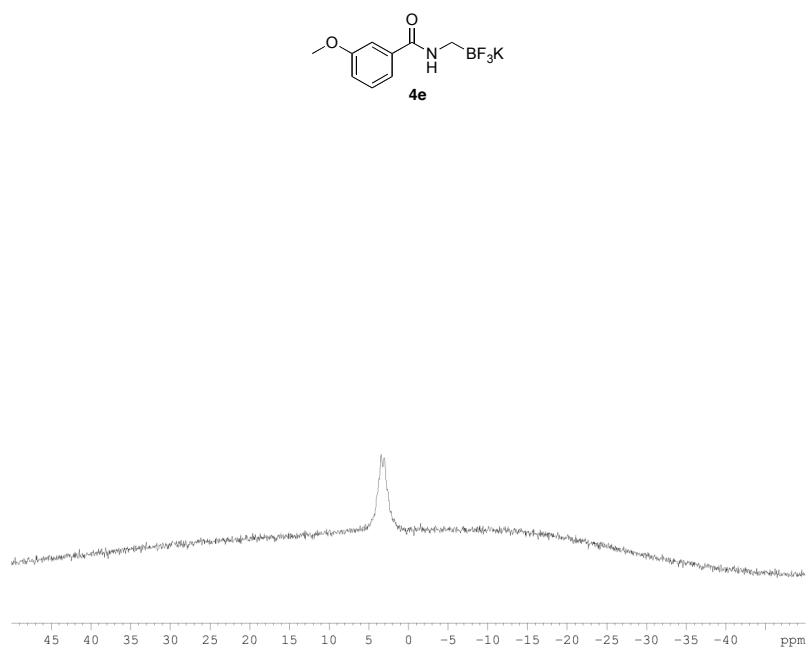
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of *N*-(trifluoroborato)methyl-3-methoxybenzamide (**4e**)



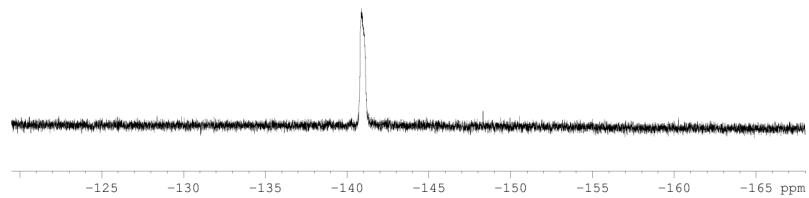
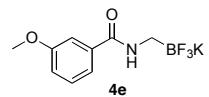
¹³C-NMR (125.8 MHz, DMSO-d₆) Spectra of *N*-((trifluoroborato)methyl)-3-methoxybenzamide (**4e**)



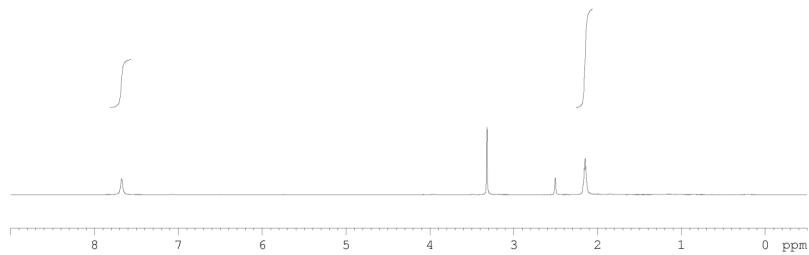
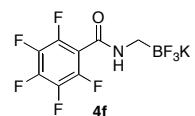
¹¹B-NMR (128.37 MHz, DMSO-d₆) Spectra of *N*-((trifluoroborato)methyl)-3-methoxybenzamide (**4e**)



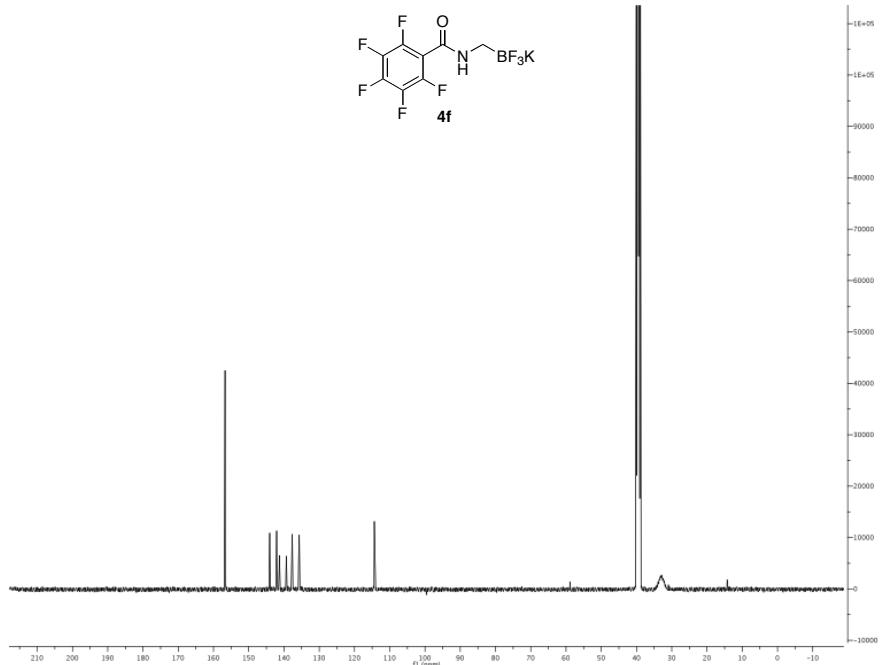
¹⁹F-NMR (471 MHz, DMSO-d₆) Spectra of *N*-(trifluoroborato)methyl)-3-methoxybenzamide (**4e**)



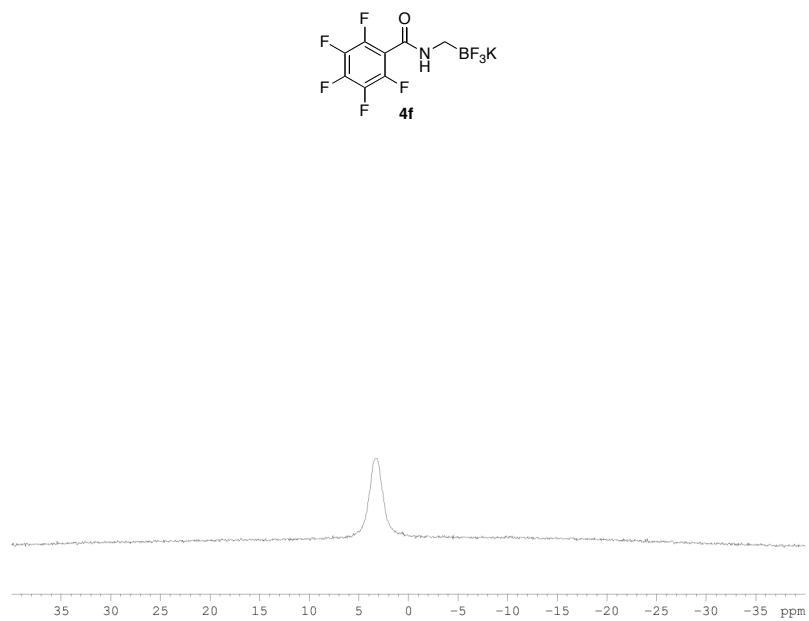
¹H NMR (500 MHz, DMSO-d₆) Spectra of potassium *N*-(trifluoroboratomethyl)-2,3,4,5,6-pentafluorobenzamide (**4f**)



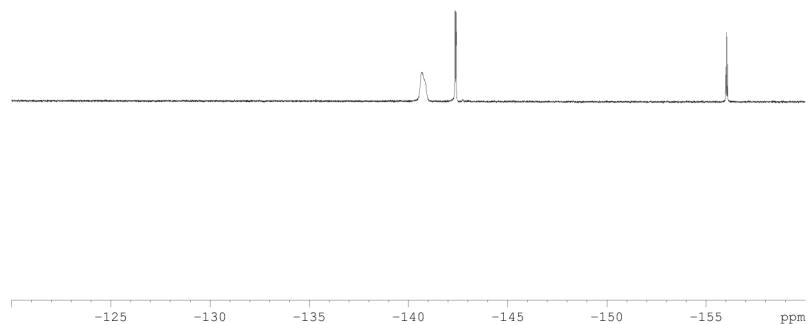
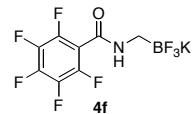
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of potassium *N*-((trifluoroboratomethyl)-2,3,4,5,6-pentafluorobenzamide (**4f**)



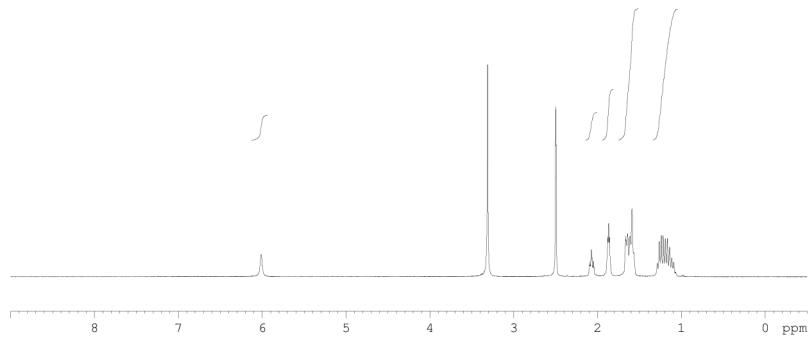
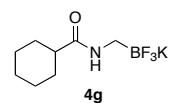
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of potassium *N*-((trifluoroboratomethyl)-2,3,4,5,6-pentafluorobenzamide (**4f**)



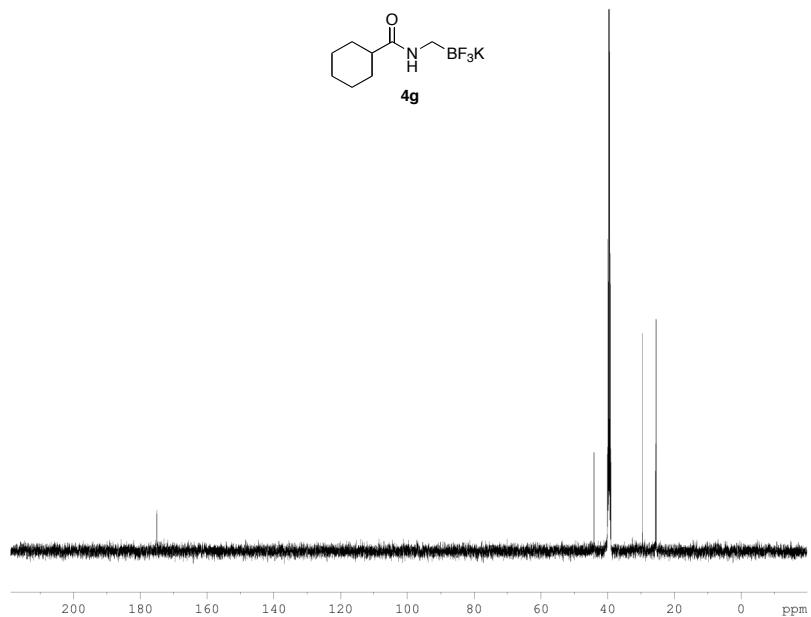
¹⁹F-NMR (471 MHz, DMSO-d₆) Spectra of potassium *N*-(trifluoroboratomethyl)-2,3,4,5,6-pentafluorobenzamide (**4f**)



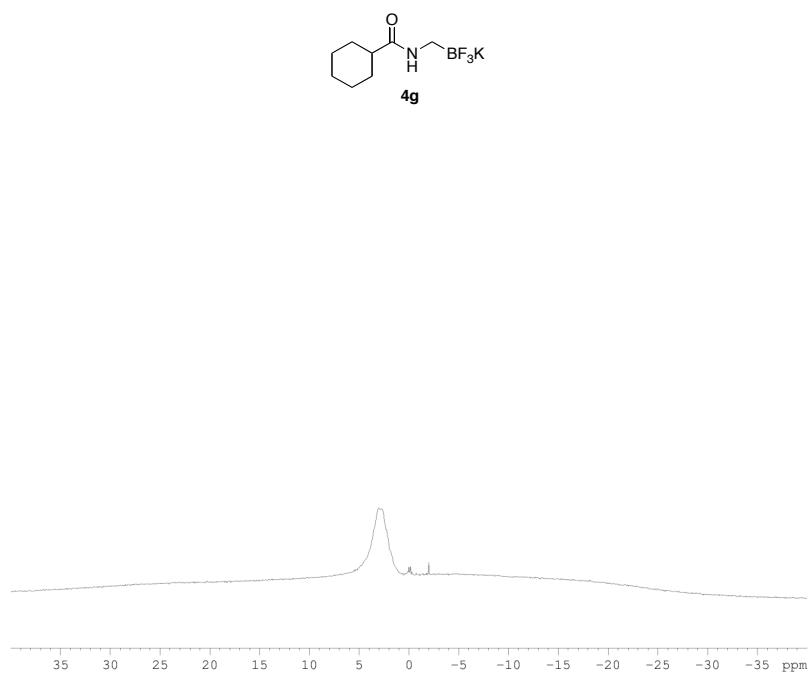
¹H NMR (500 MHz, DMSO-d₆) Spectra of potassium *N*-(trifluoroboratomethyl)-cyclohexane-carboxamide (**4g**)



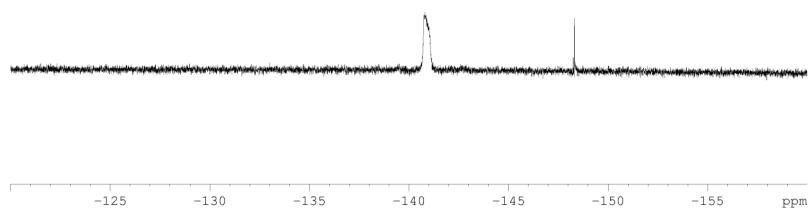
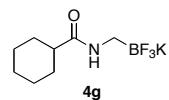
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of potassium *N*-(triifluoroboratomethyl) cyclohexanecarboxamide (**4g**)



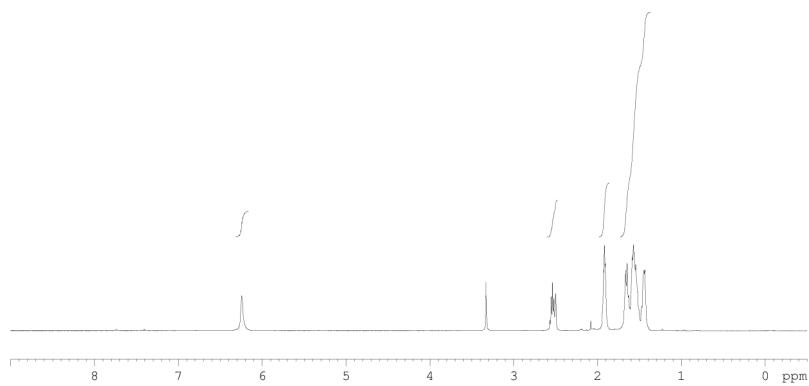
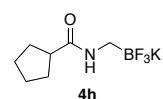
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra potassium *N*-(triifluoroboratomethyl)-cyclohexane-carboxamide (**4g**)



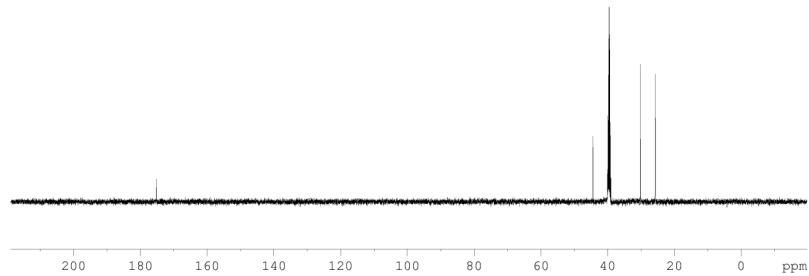
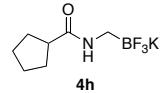
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-cyclohexanecarboxamide (**4g**)



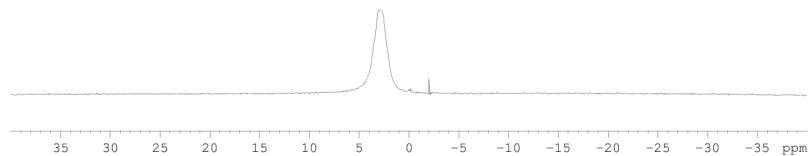
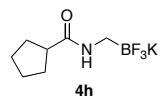
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-cyclopentanecarboxamide (**4h**)



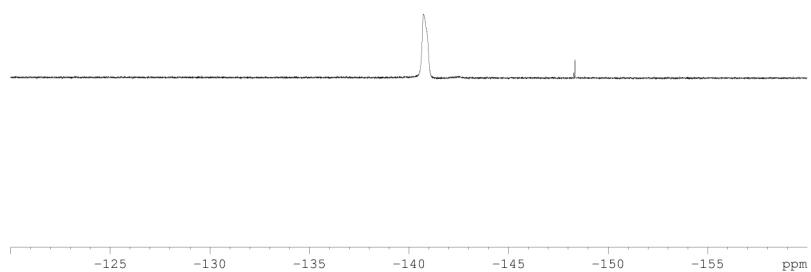
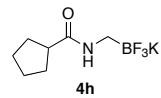
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-cyclopentanecarboxamide (**4h**)



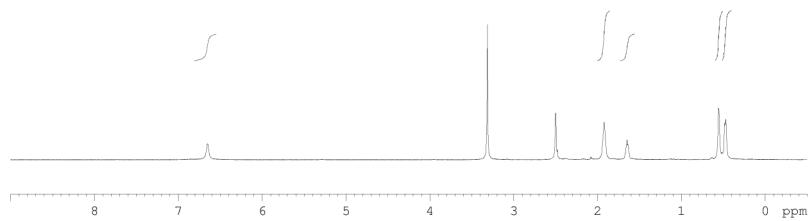
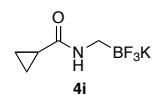
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-cyclopentane-carboxamide (**4h**)



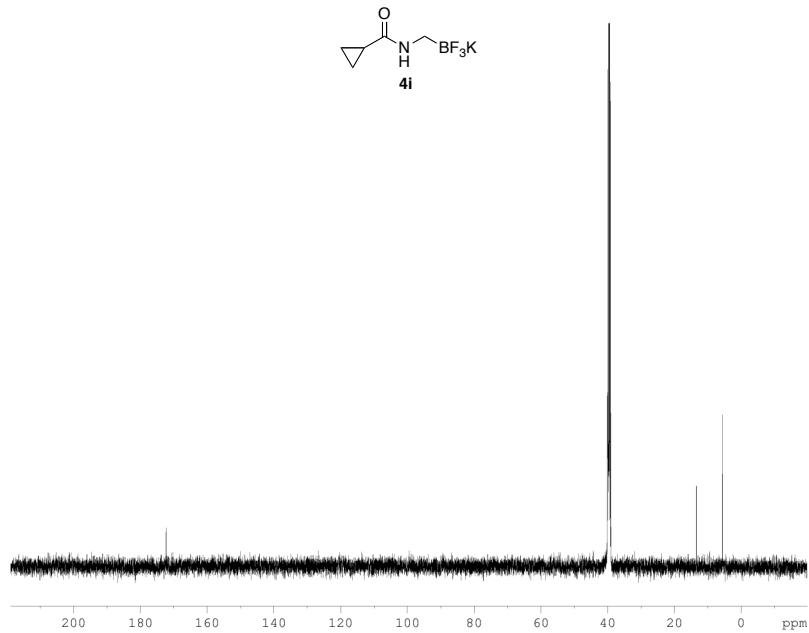
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-cyclopentanecarboxamide (**4h**)



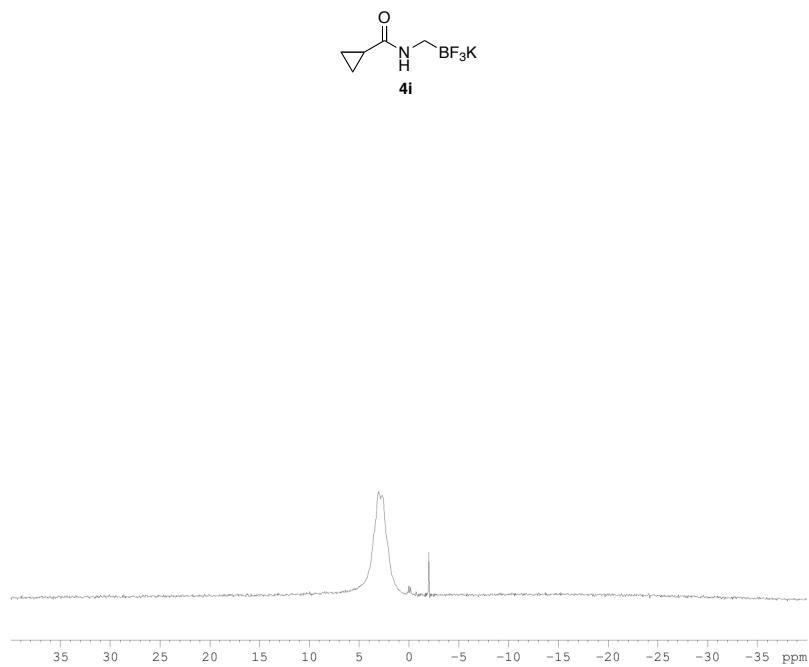
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-cyclopropanecarboxamide (**4i**)



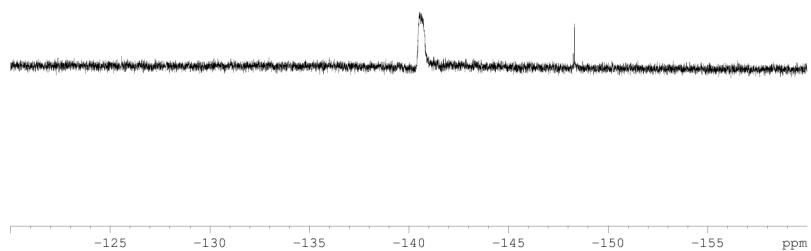
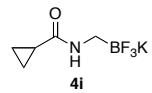
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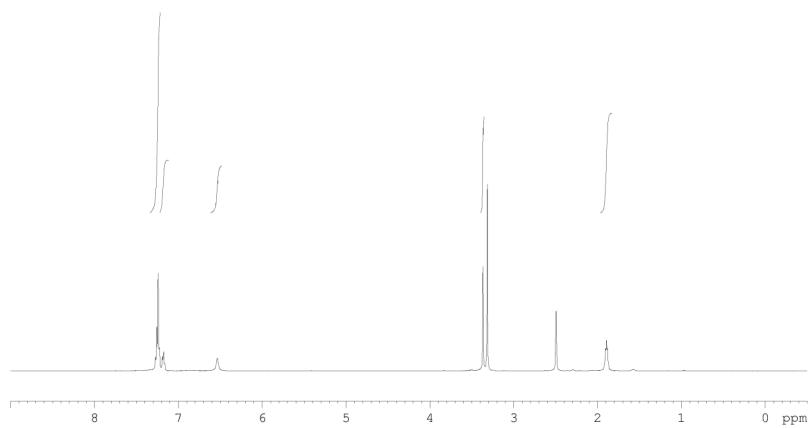
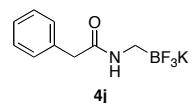
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-cyclopropanecarboxamide (**4i**)



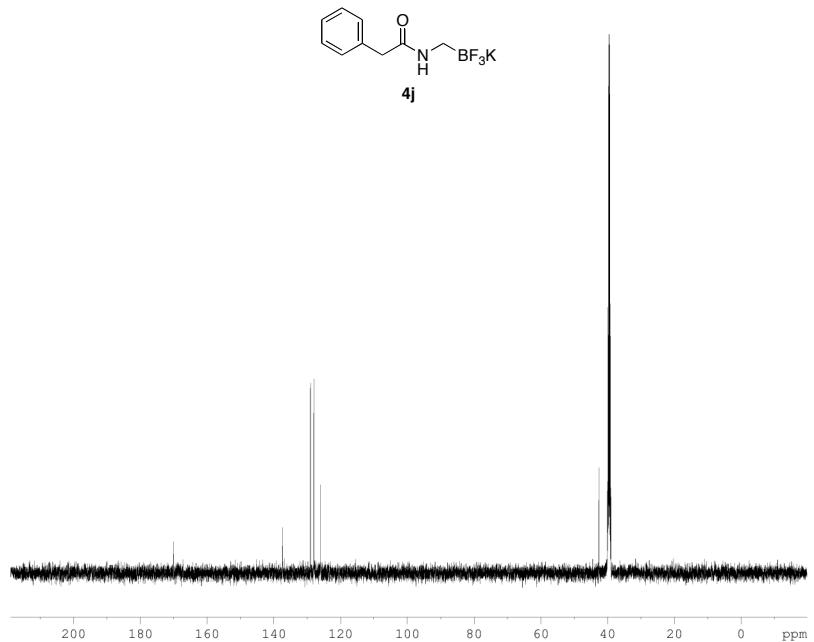
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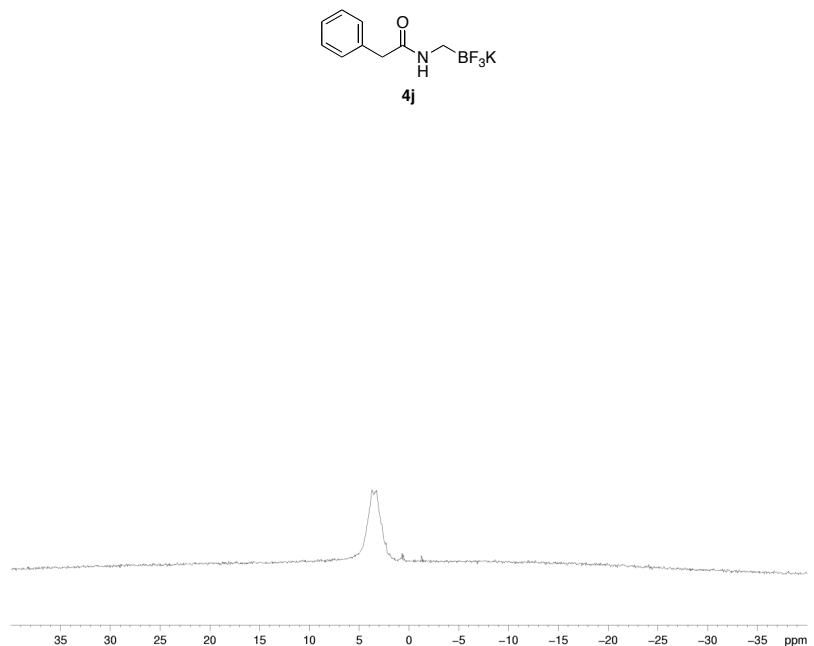
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-2-phenylacetamide (**4j**)



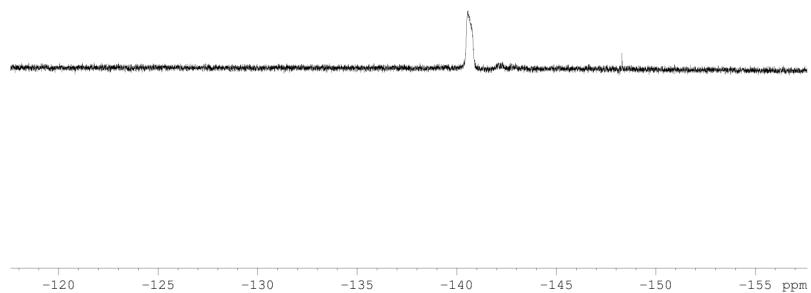
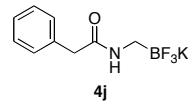
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-2-phenylacetamide (**4j**)



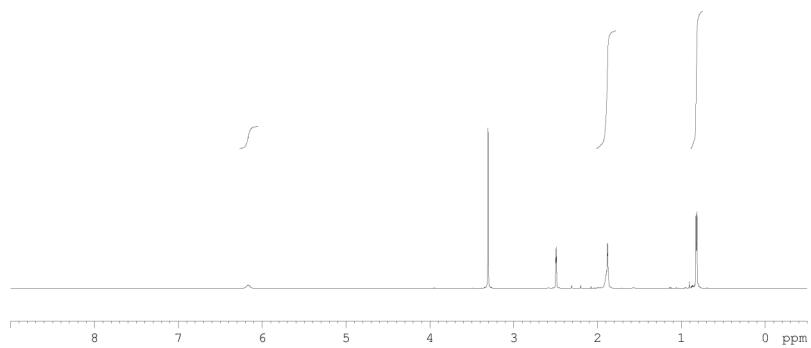
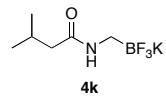
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-2-phenylacetamide (**4j**)



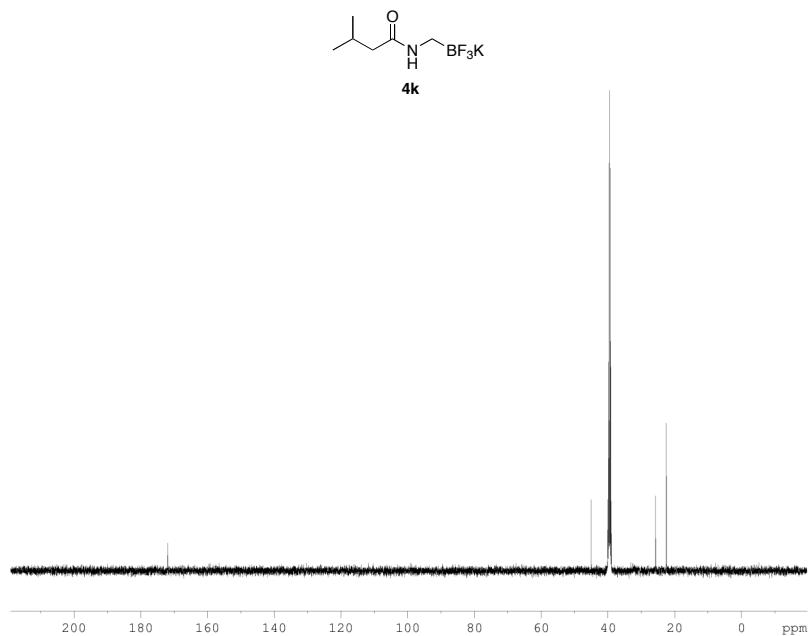
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-2-phenylacetamide (**4j**)



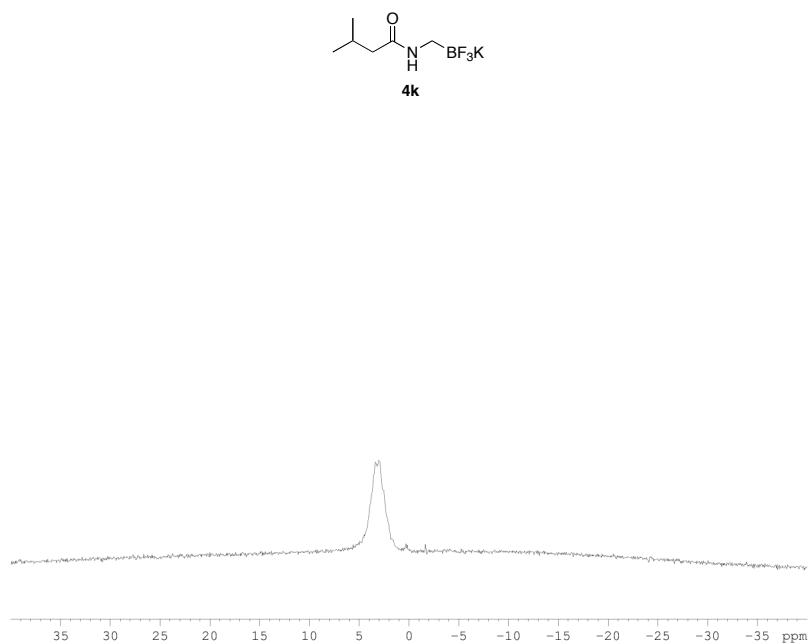
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-3-methylbutanamide (**4k**)



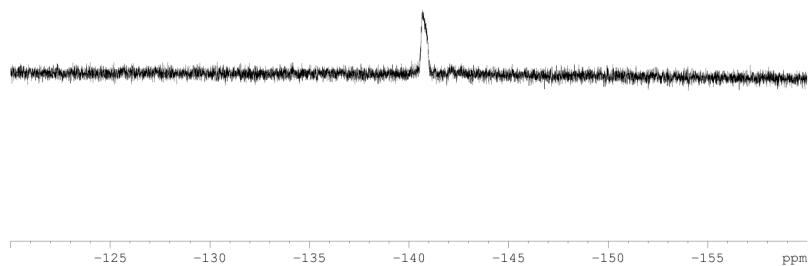
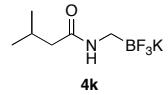
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-3-methylbutanamide (**4k**)



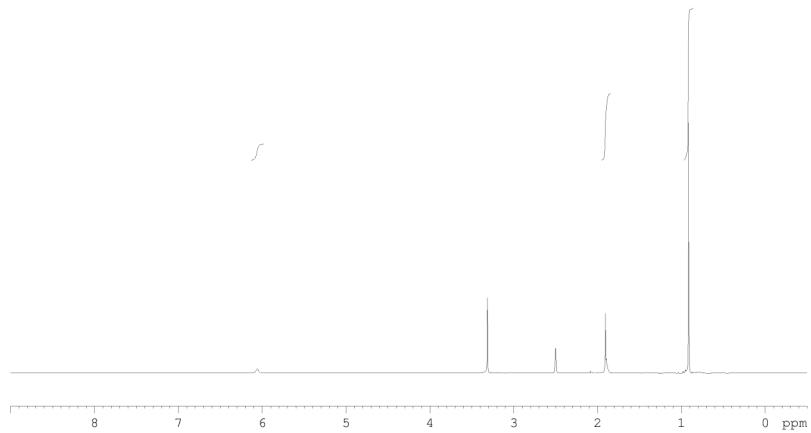
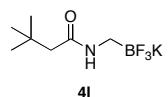
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-3-methylbutanamide (**4k**)



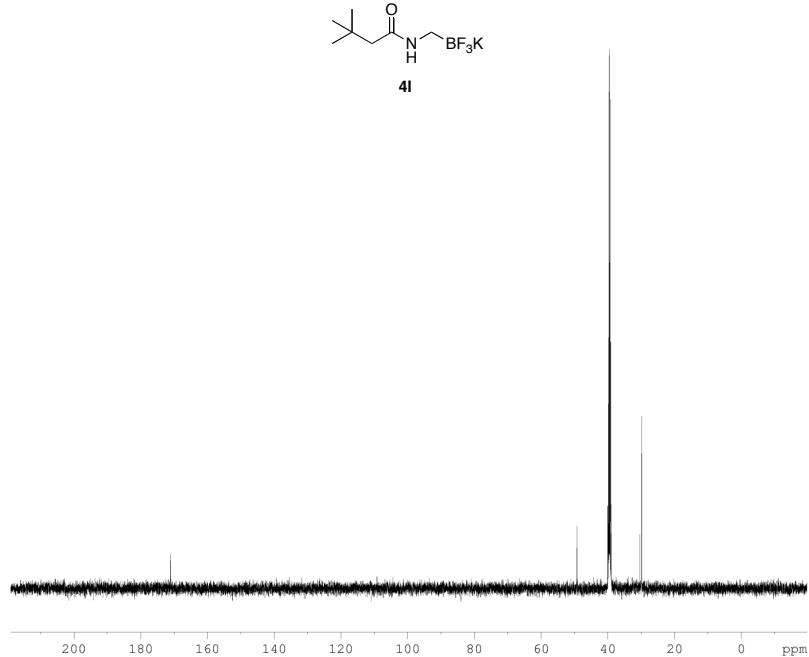
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-3-methylbutanamide (**4k**)



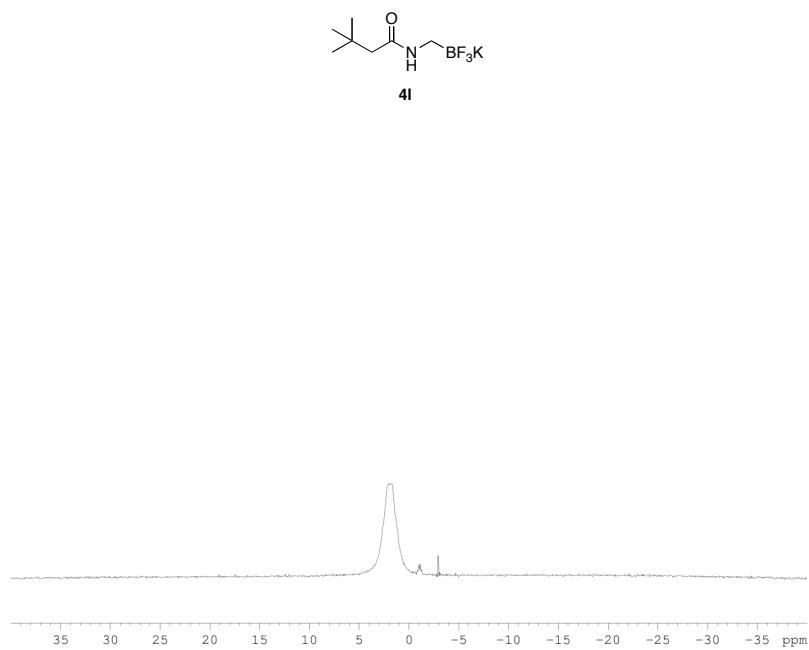
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-3,3-dimethylbutanamide (**4l**)



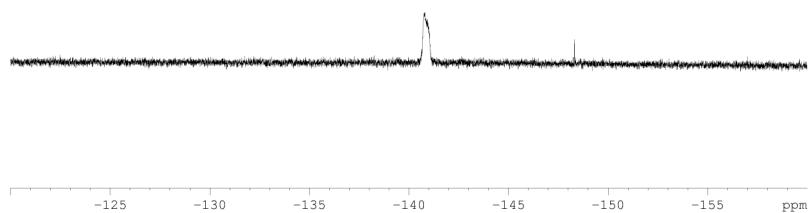
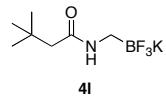
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-3,3-dimethylbutanamide (**4I**)



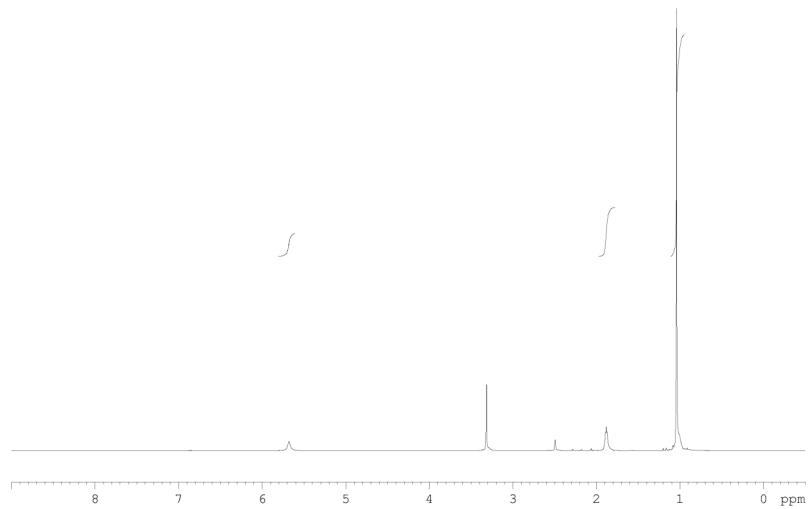
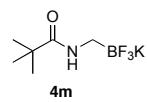
¹¹B-NMR (128.37 MHz, DMSO-*d*₆) Spectra of potassium *N*-(trifluoroboratomethyl)-3,3-dimethylbutanamide (**4I**)



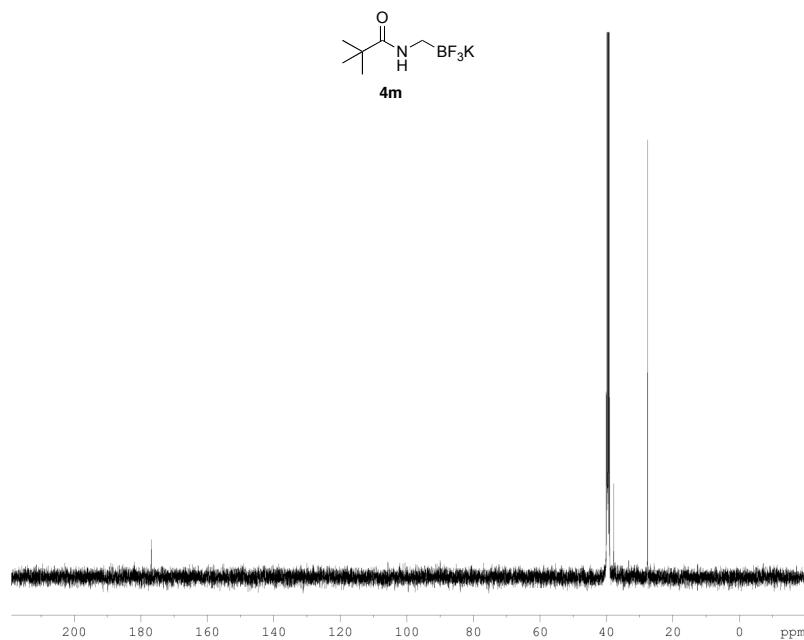
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra potassium *N*-(trifluoroboratomethyl)-3,3-dimethyl-butanamide (**4l**)



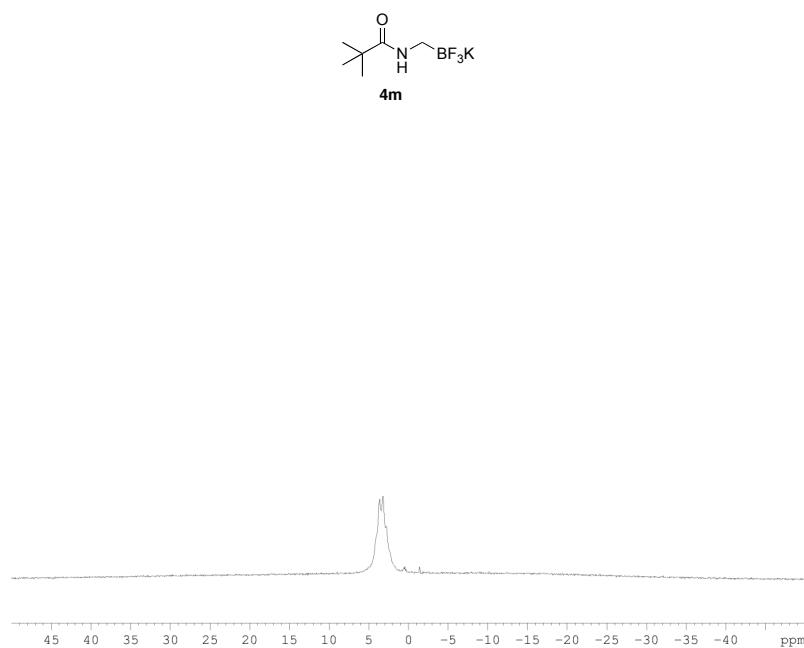
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of Potassium *N*-(trifluoroborato)methyl-pivalamide (**4m**)



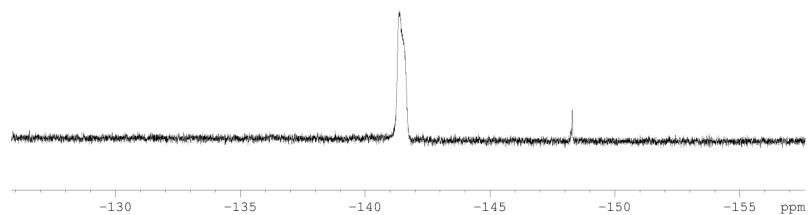
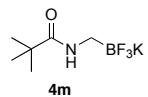
¹³C-NMR (125.8 MHz, DMSO-d₆) Spectra of Potassium N-((trifluoroborato)methyl)-pivalamide (**4m**)



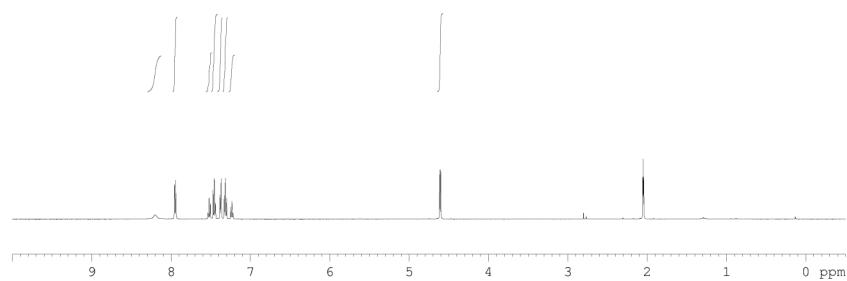
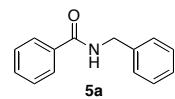
¹¹B-NMR (128.37 MHz, DMSO-d₆) Spectra of Potassium N-((trifluoroborato)methyl)-pivalamide (**4m**)



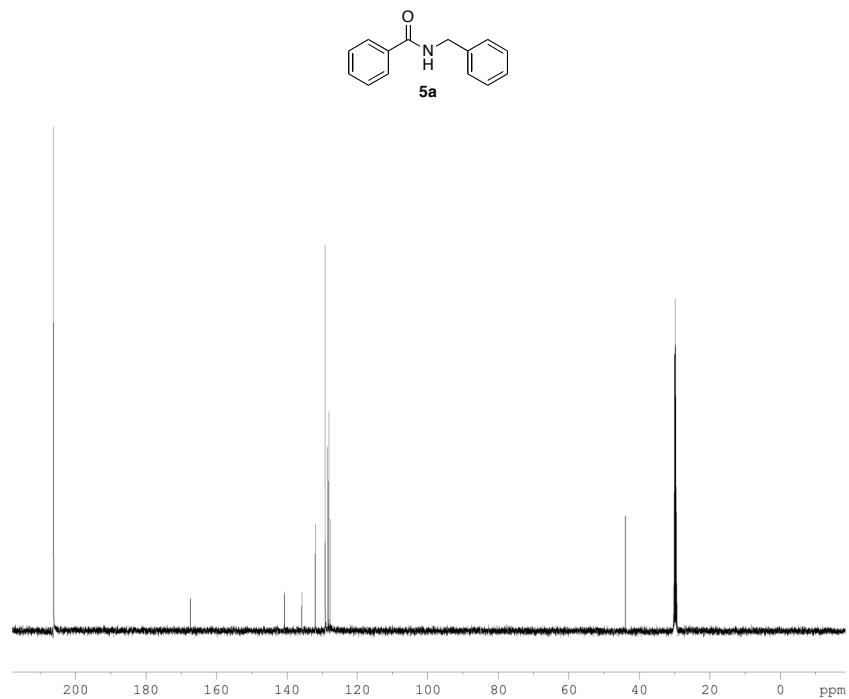
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra of Potassium *N*-(trifluoroborato)methyl-pivalamide (**4m**)



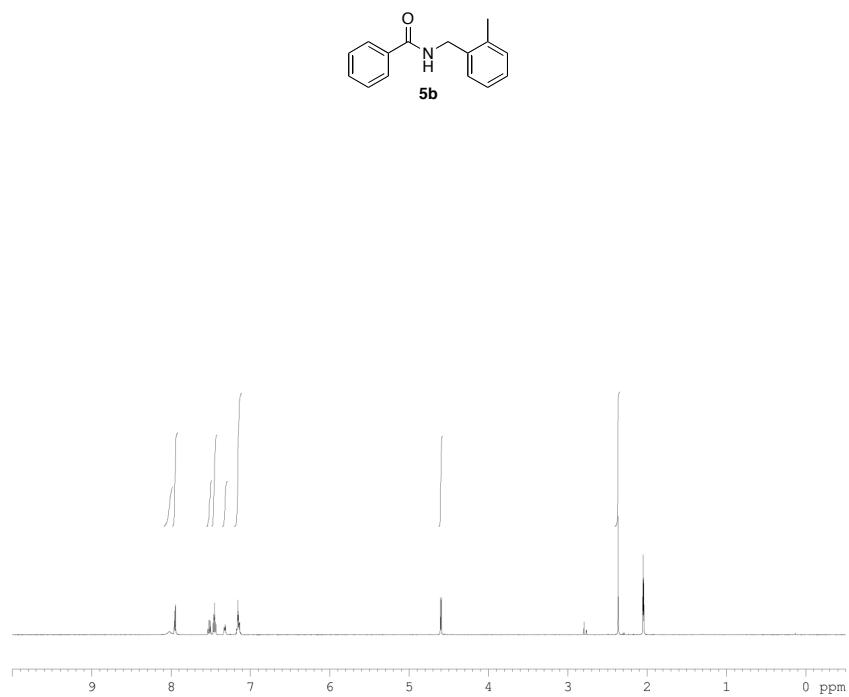
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-benzylbenzamide (**5a**)



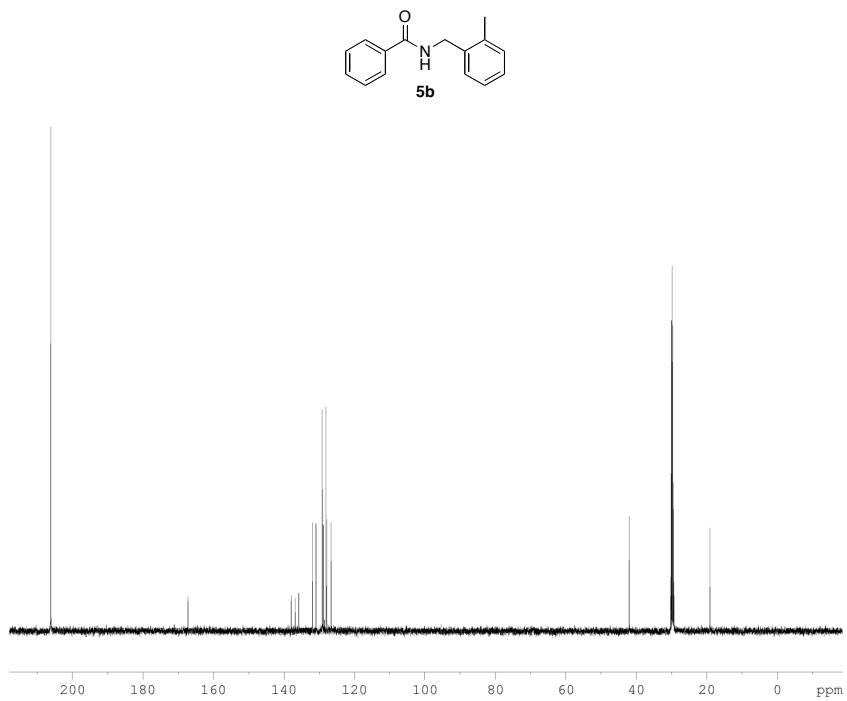
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-benzylbenzamide (**5a**)



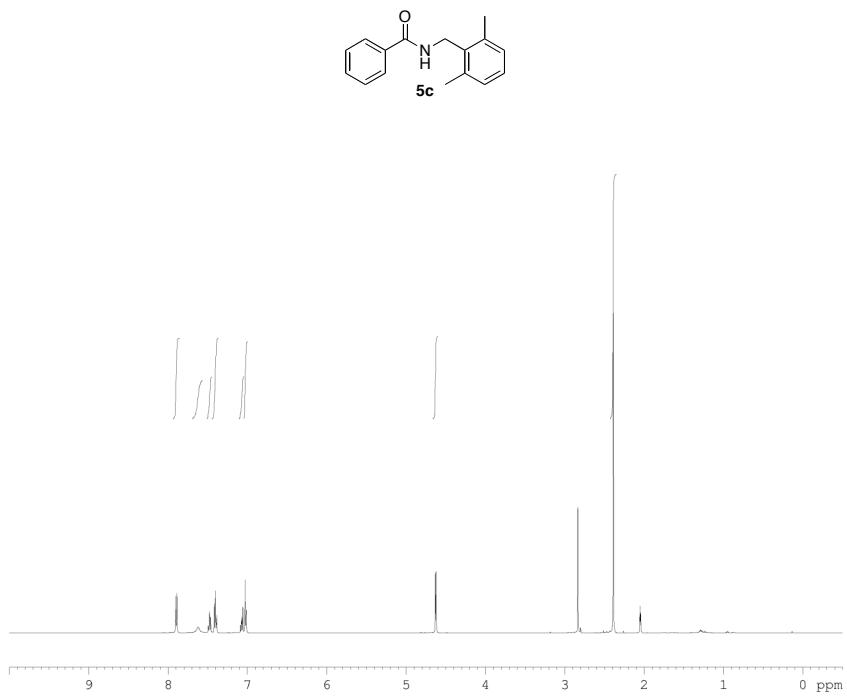
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(2-methylbenzyl)benzamide (**5b**)



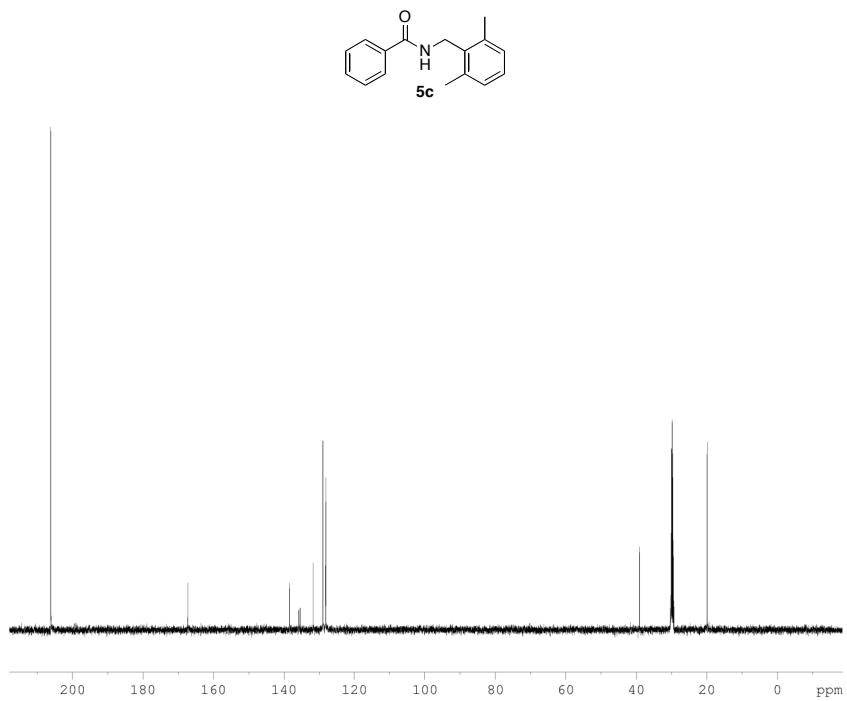
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(2-methylbenzyl)benzamide (**5b**)



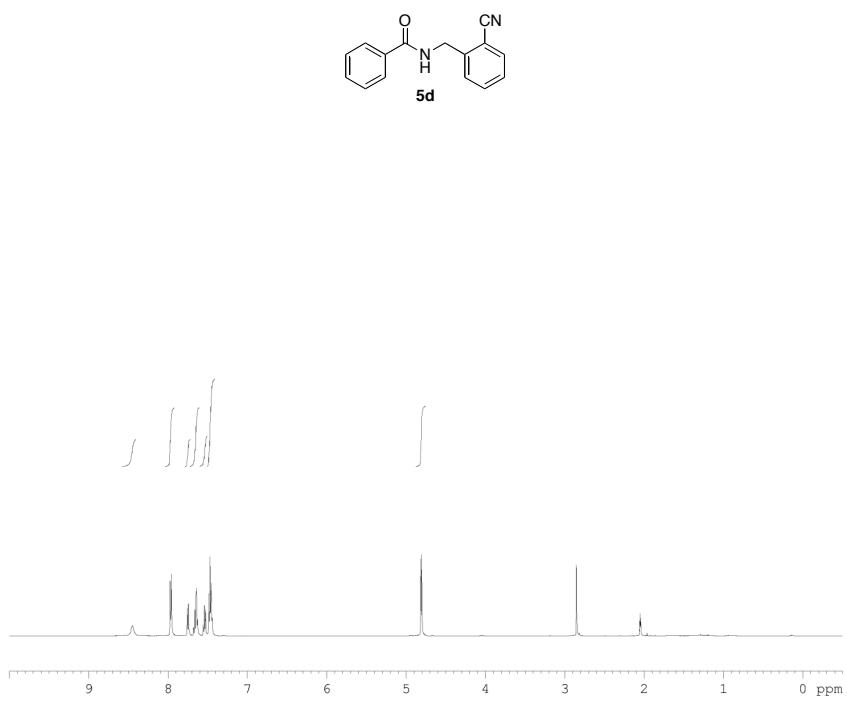
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(2,6-dimethylbenzyl)benzamide (**5c**)



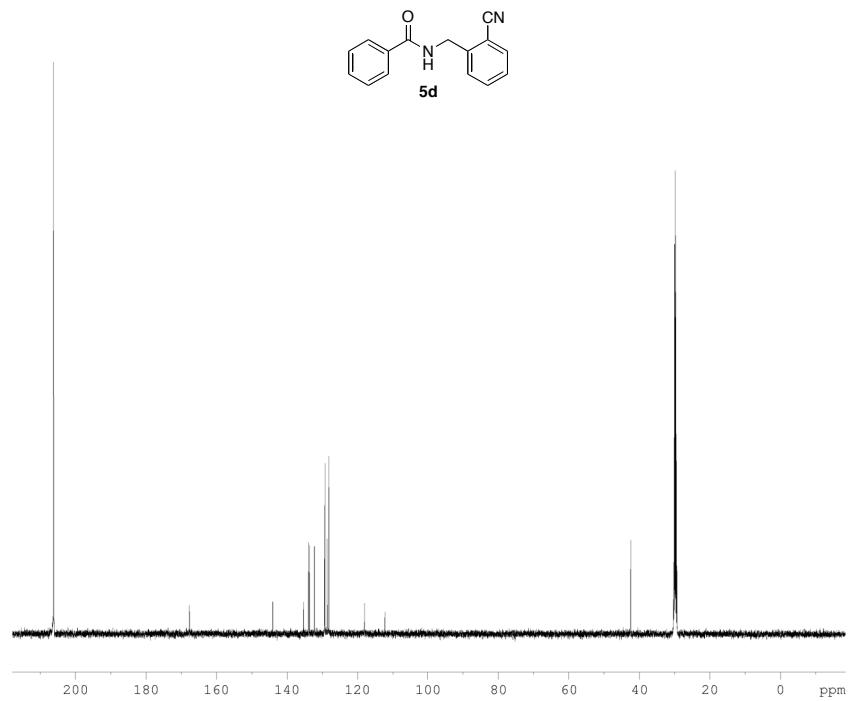
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(2,6-dimethylbenzyl)benzamide (**5c**)



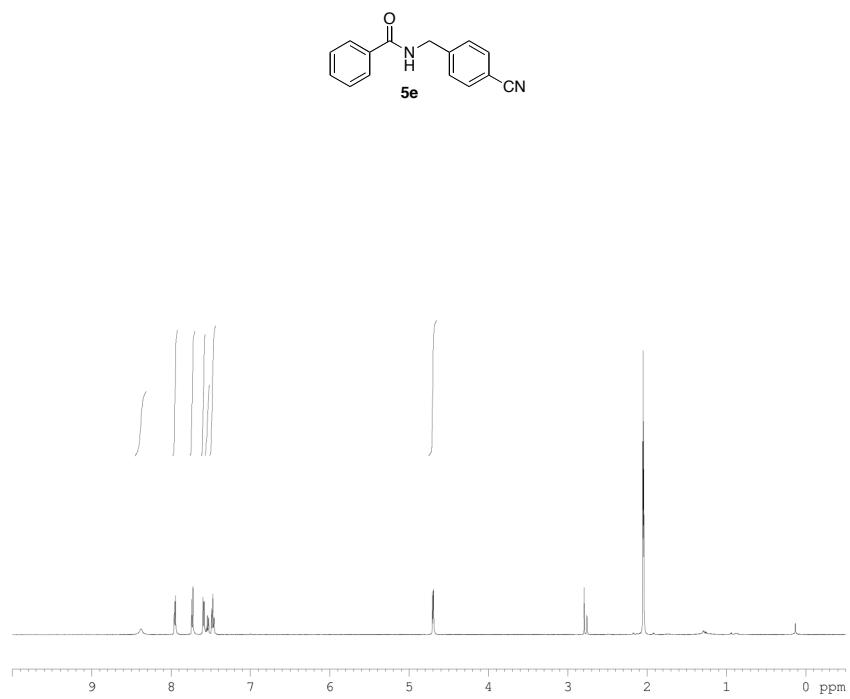
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(2-cyanobenzyl)benzamide (**5d**)



¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(2-cyanobenzyl)benzamide (**5d**)



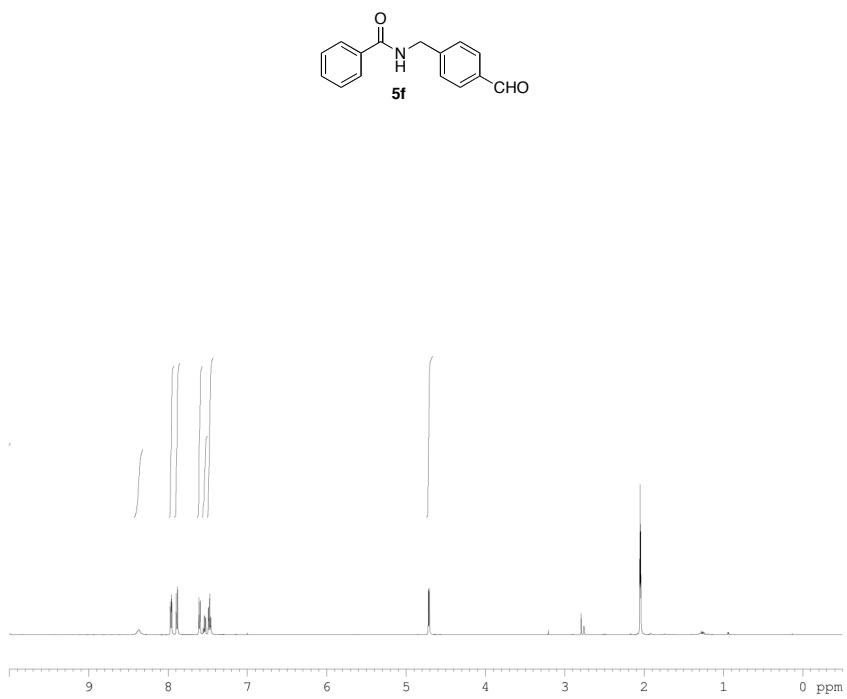
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-cyanobenzyl)benzamide (**5e**)



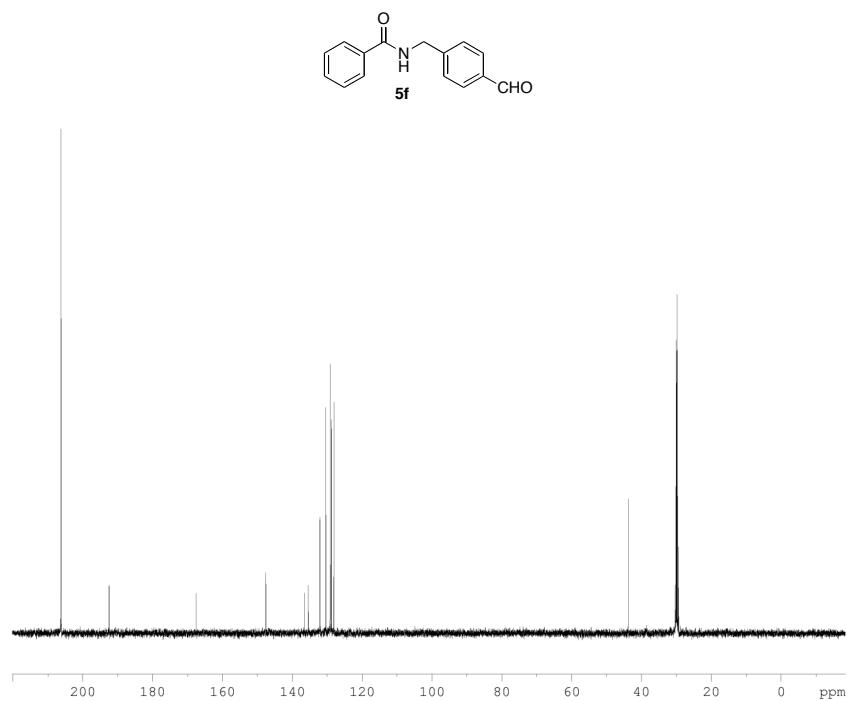
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-cyanobenzyl)benzamide (**5e**)



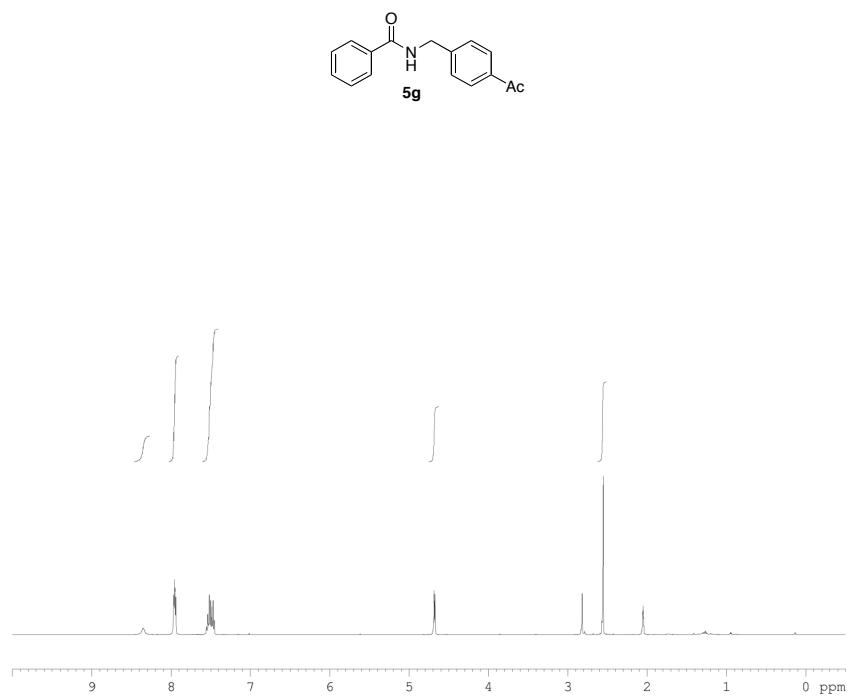
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-formylbenzyl)benzamide (**5f**)



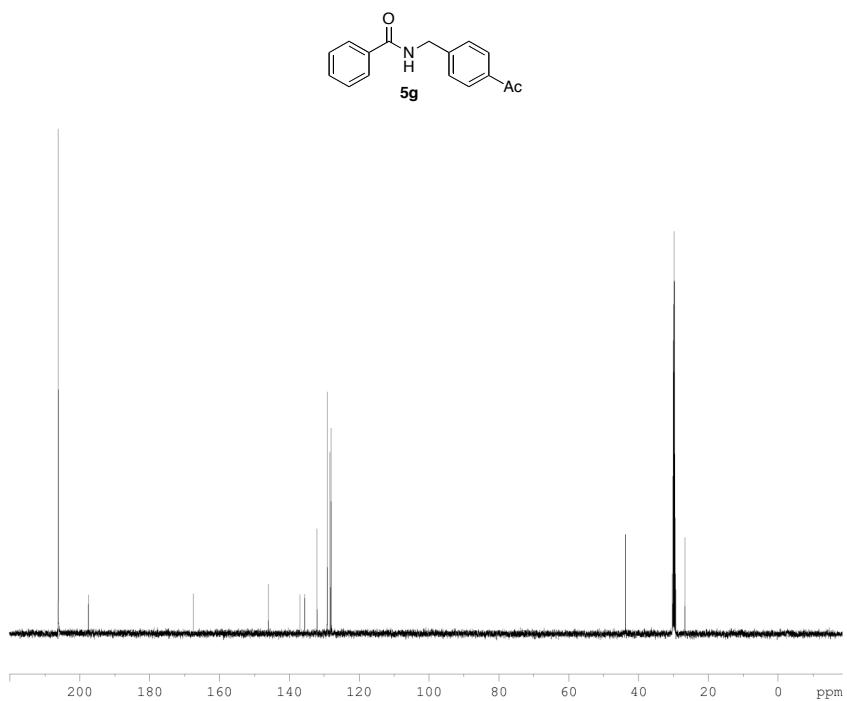
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-formylbenzyl)benzamide (**5f**)



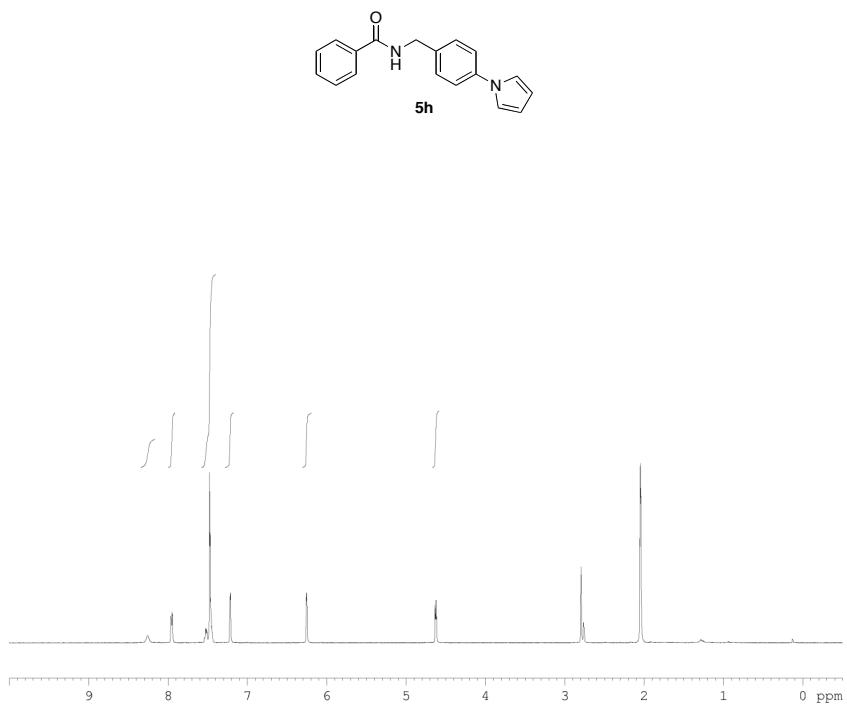
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-acetylbenzyl)benzamide (**5g**)



¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-acetylbenzyl)benzamide (**5g**)

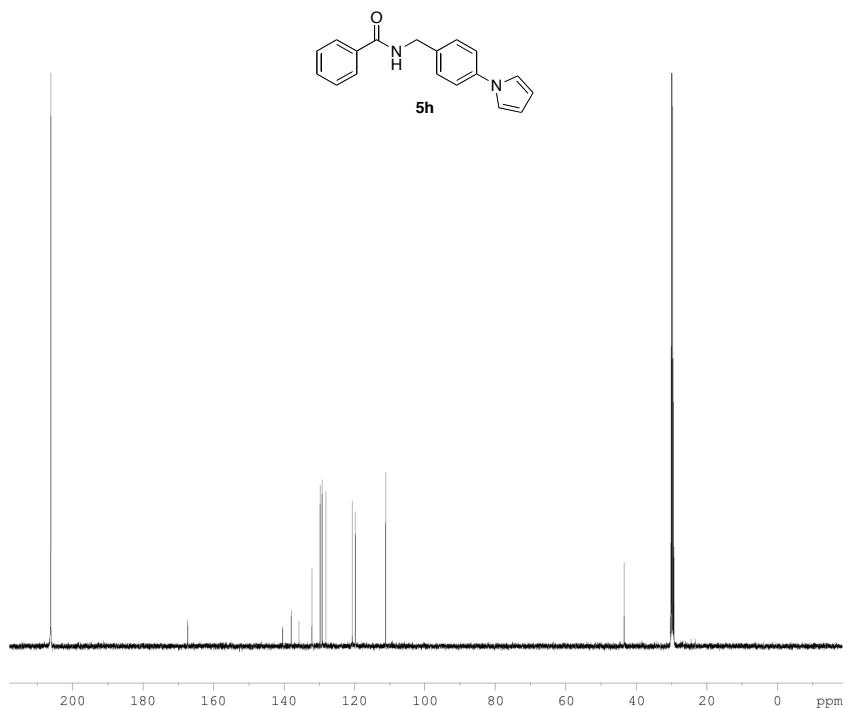


¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-(1*H*-pyrrol-1-yl)benzyl)benzamide (**5h**)

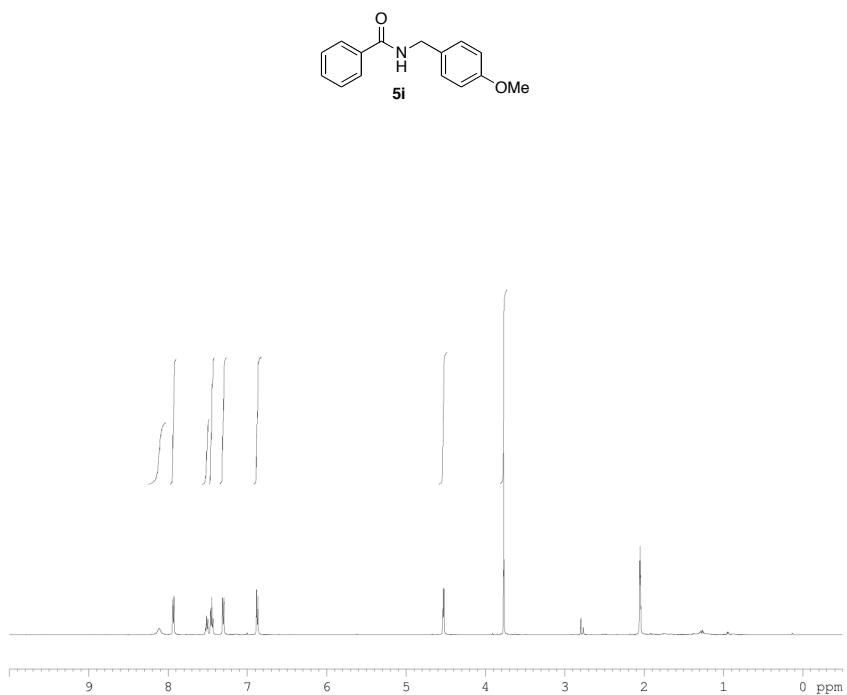


¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-(1H-pyrrol-1-yl)benzyl)benzamide

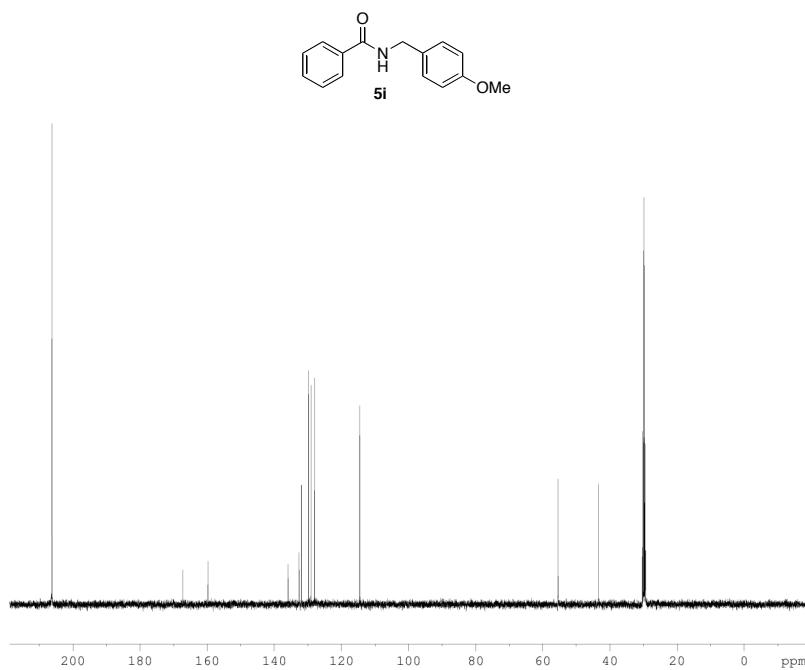
(5h)



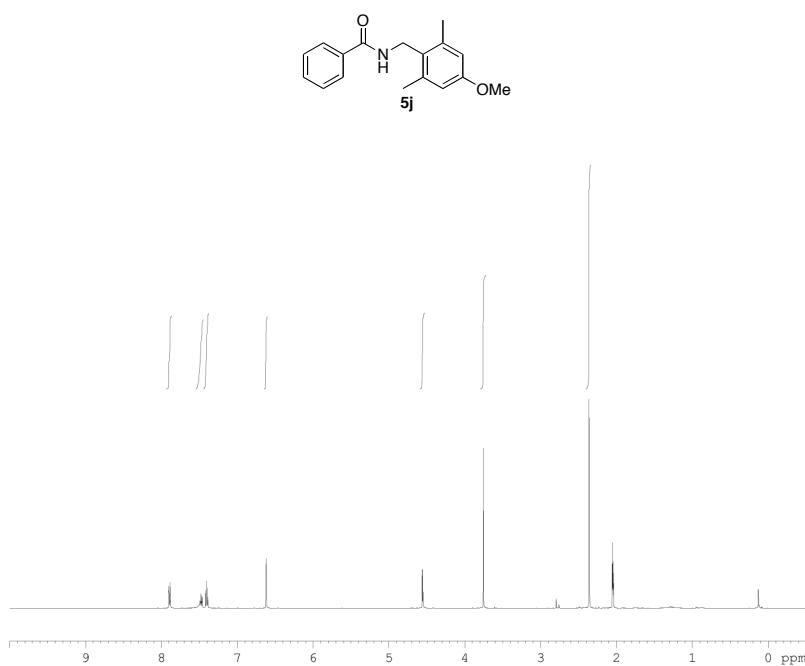
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)benzamide (5i)



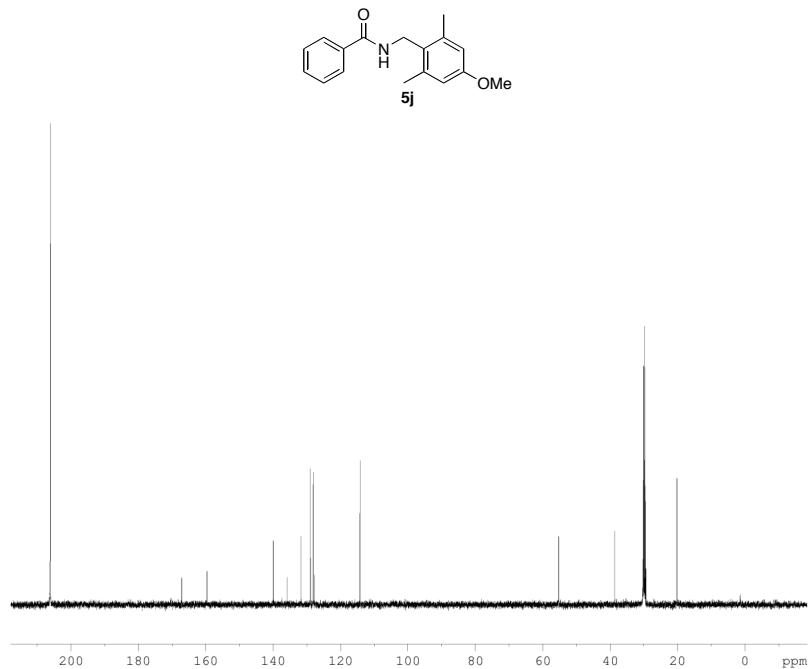
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)benzamide (**5i**)



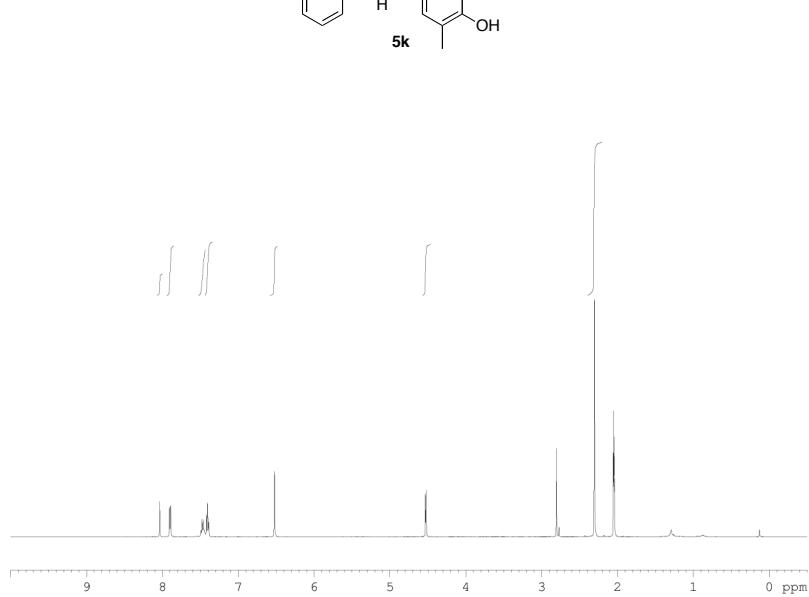
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxy-2,6-dimethylbenzyl)benzamide
(5j)



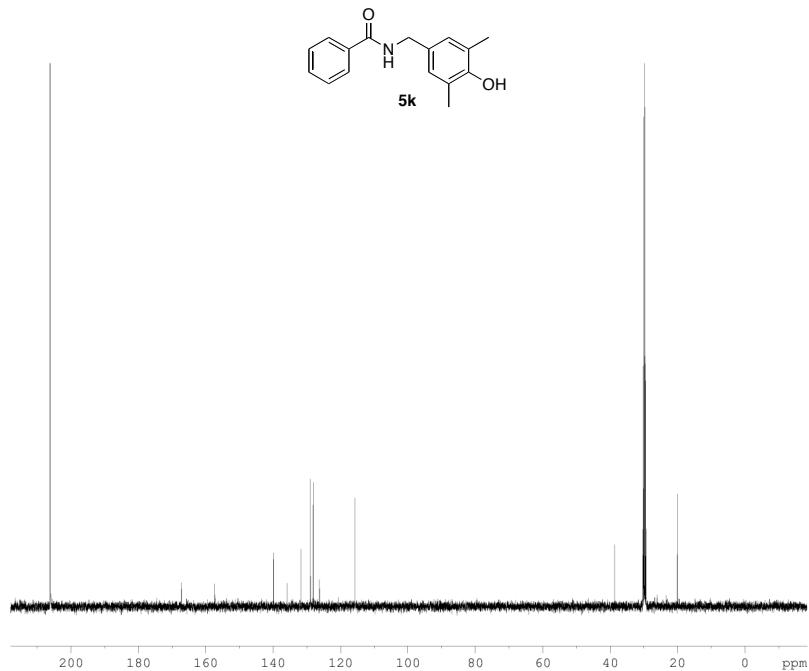
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxy-2,6-dimethylbenzyl)benzamide (**5j**)



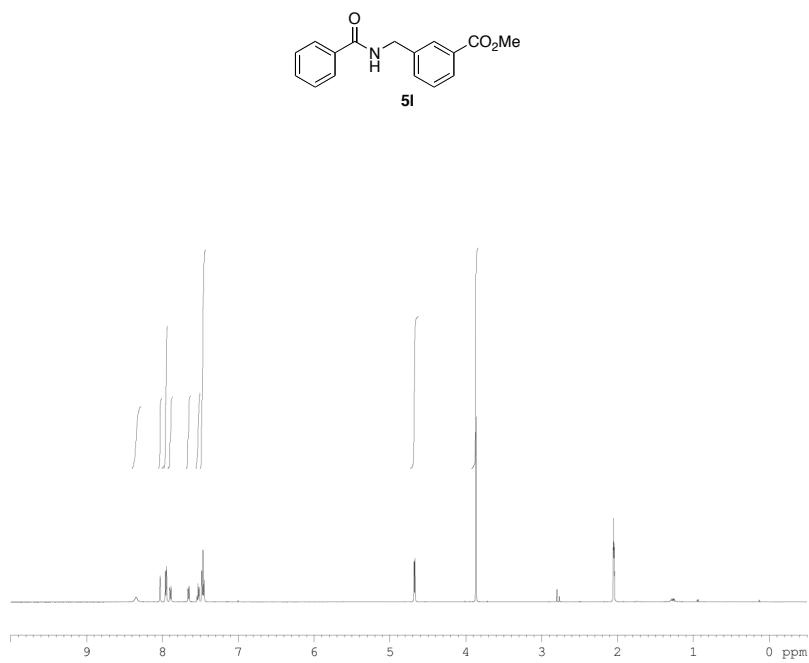
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-hydroxy-3,5-dimethylbenzyl)benzamide (**5k**)



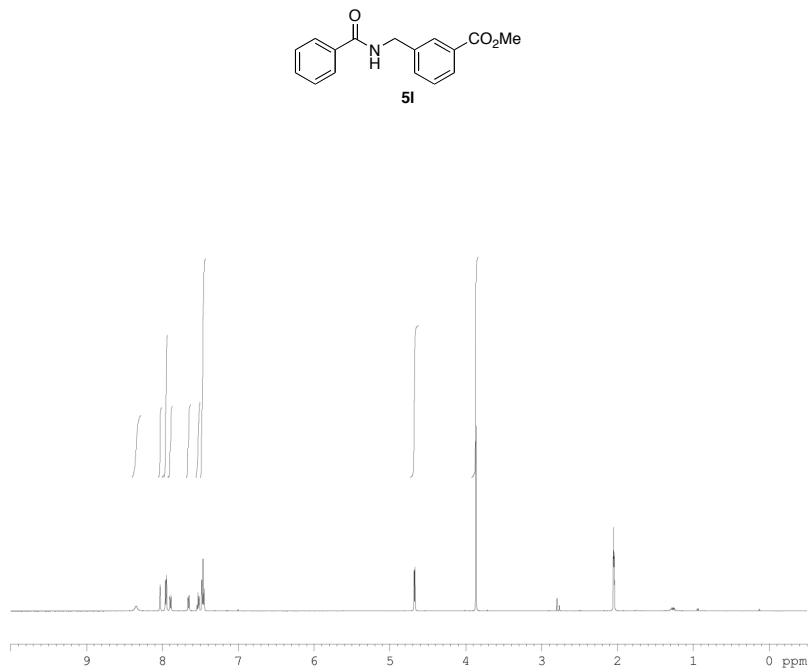
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-hydroxy-3,5-dimethylbenzyl)-benzamide (**5k**)



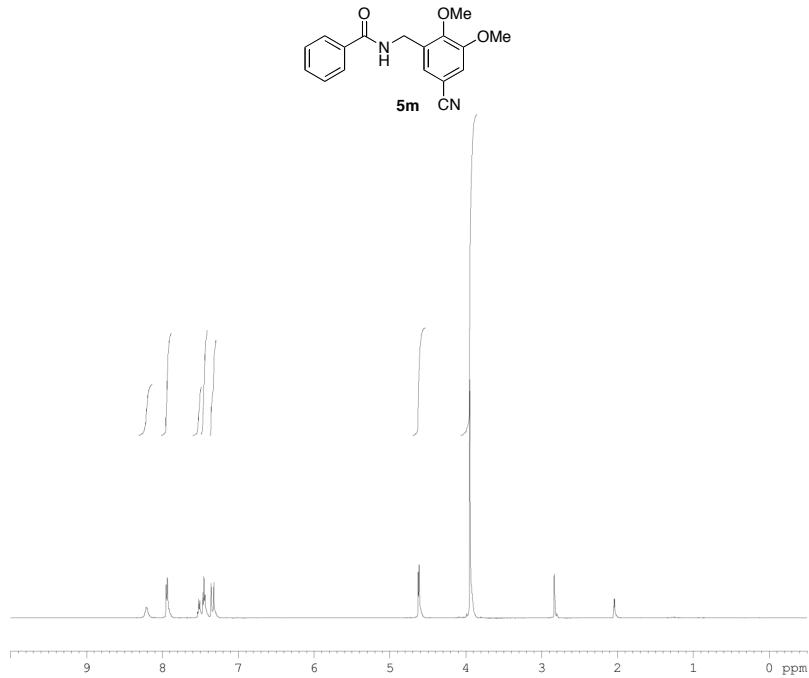
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of methyl 3-(benzamidomethyl)benzoate (**5l**)



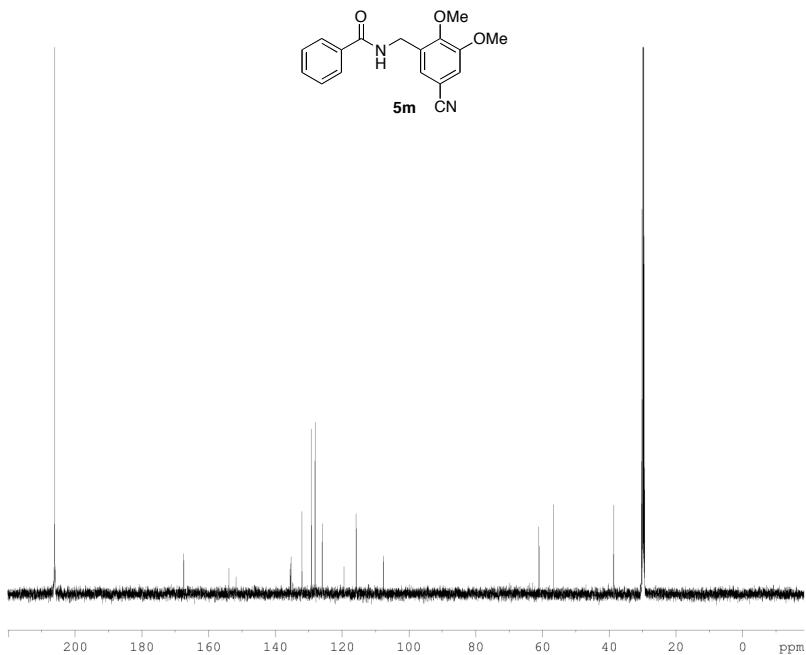
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of methyl 3-(benzamidomethyl)benzoate (**5l**)



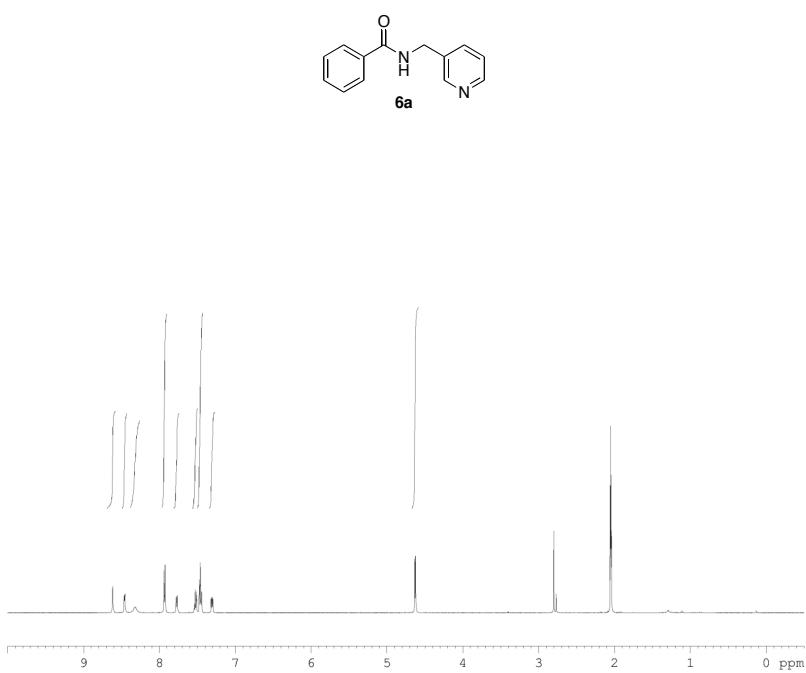
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(5-cyano-2,3-dimethoxybenzyl)benzamide (**5m**)



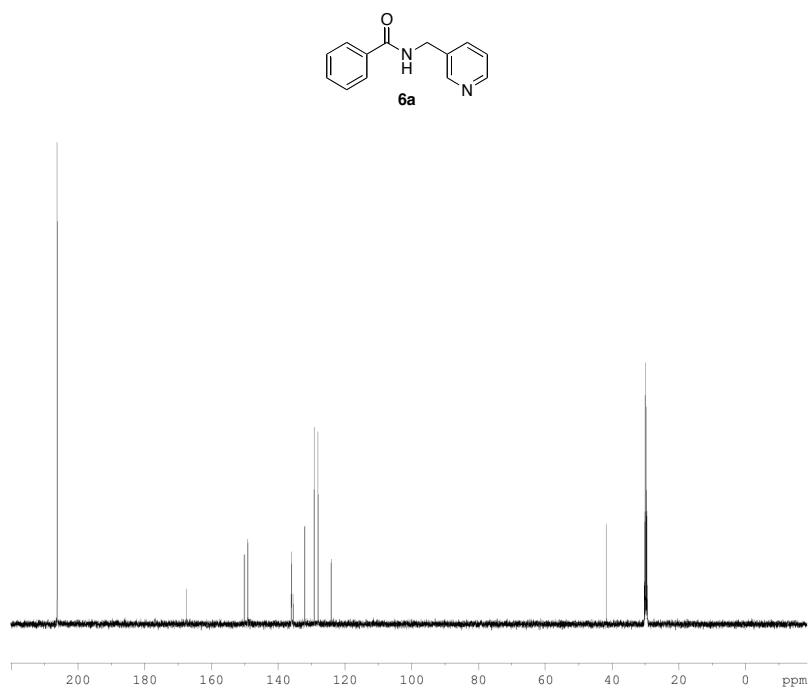
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(5-cyano-2,3-dimethoxybenzyl)-benzamide (**5m**)



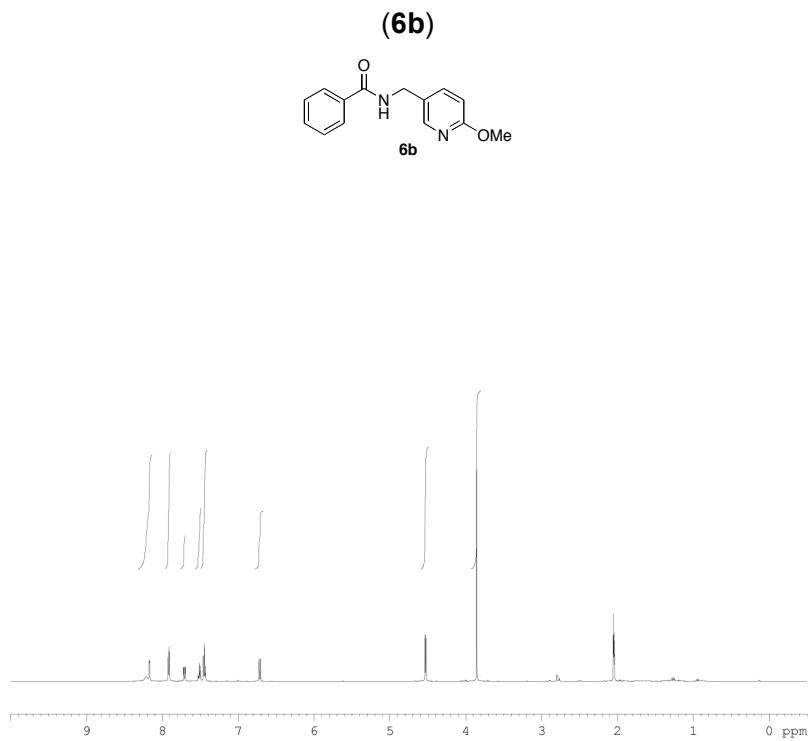
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(pyridin-3-ylmethyl)benzamide (**6a**)



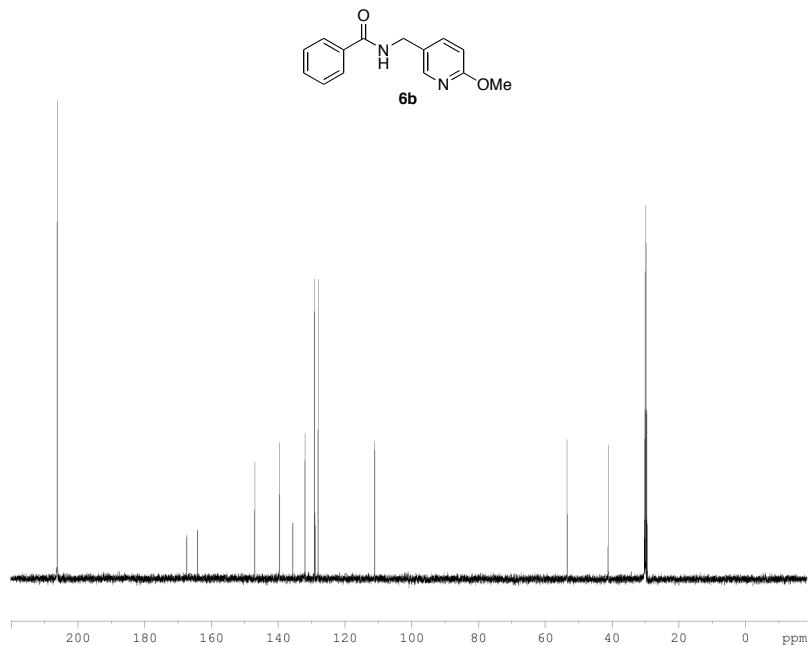
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(pyridin-3-ylmethyl)benzamide (**6a**)



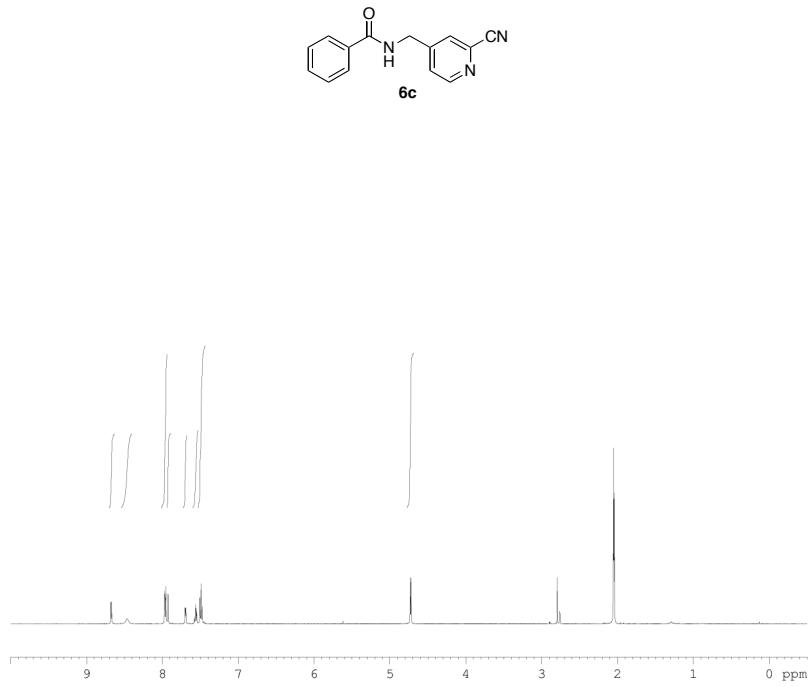
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(6-methoxypyridin-3-yl)methyl)benzamide (**6b**)



¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(6-methoxypyridin-3-yl)methyl)-benzamide (**6b**)

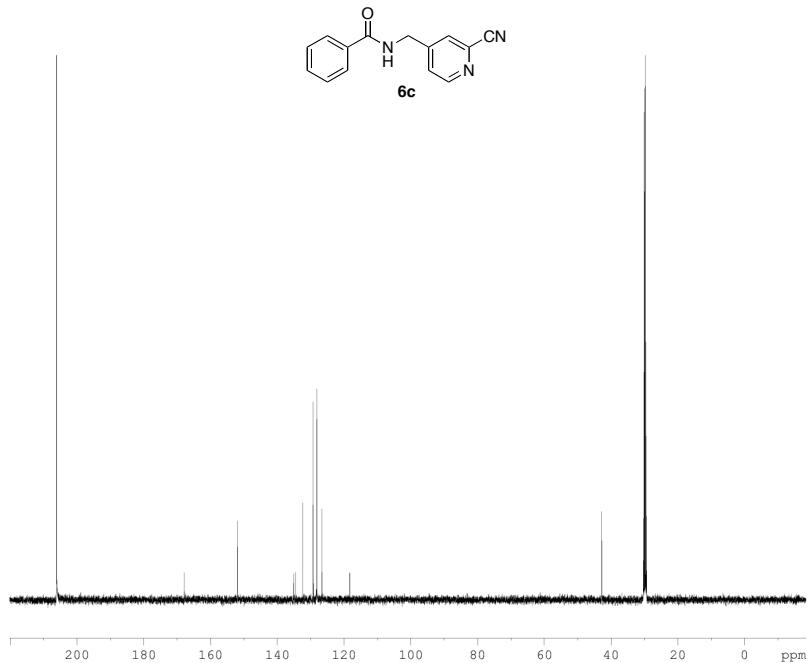


¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(2-cyanopyridin-4-yl)methyl)benzamide (**6c**)

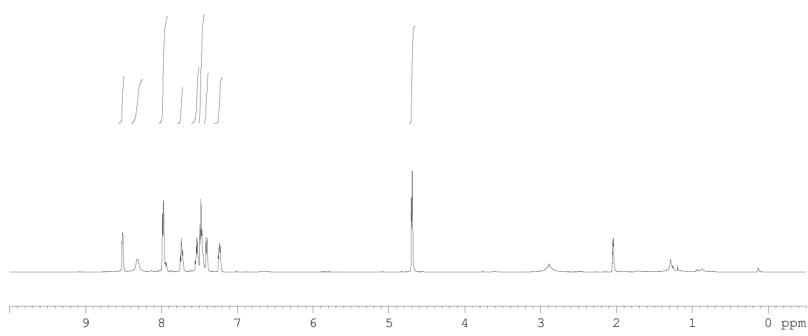
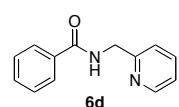


¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(2-cyanopyridin-4-yl)methyl)benzamide

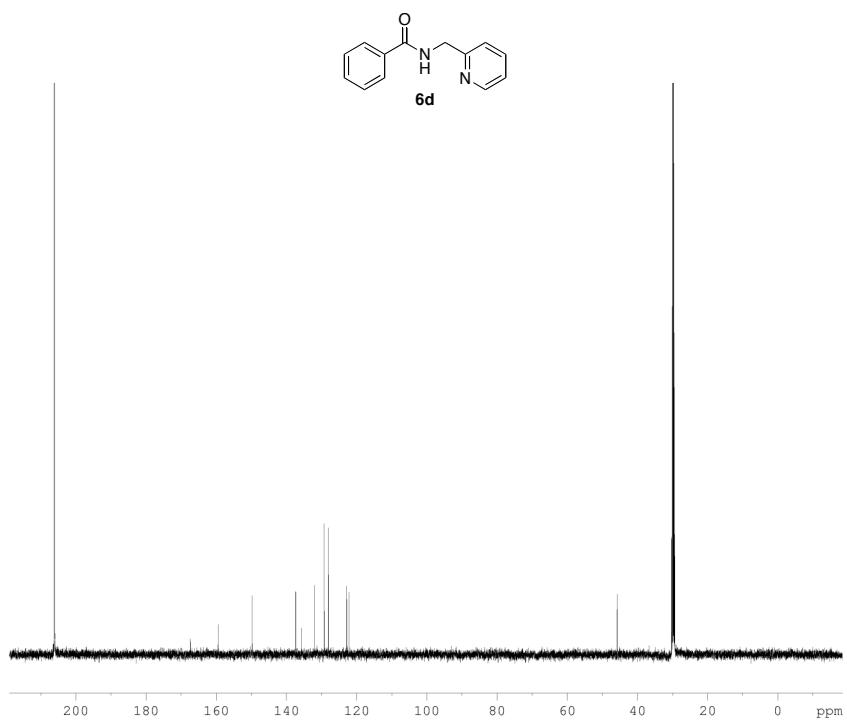
(6c)



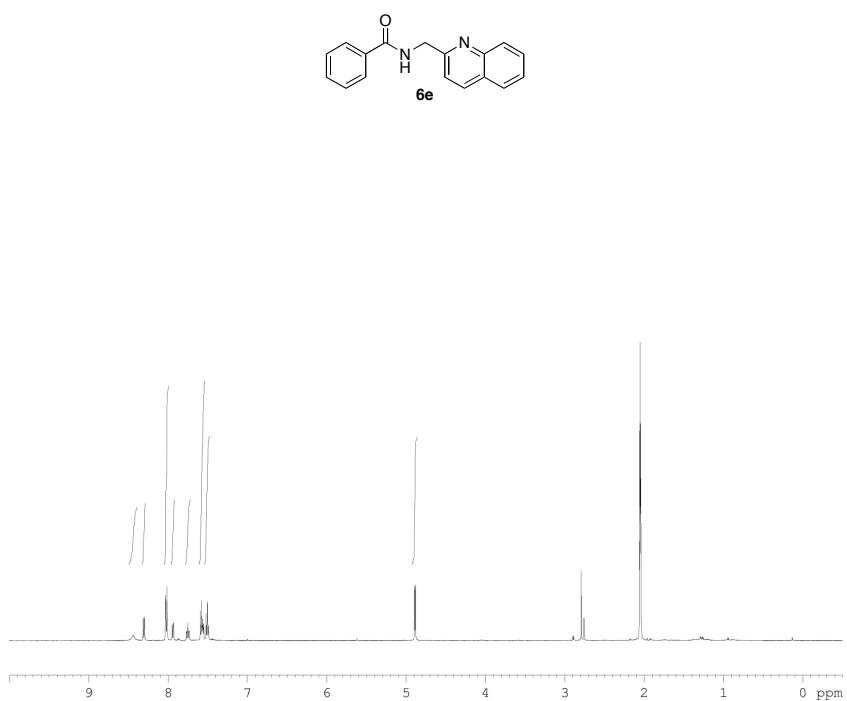
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(pyridin-2-ylmethyl)benzamide (6d)



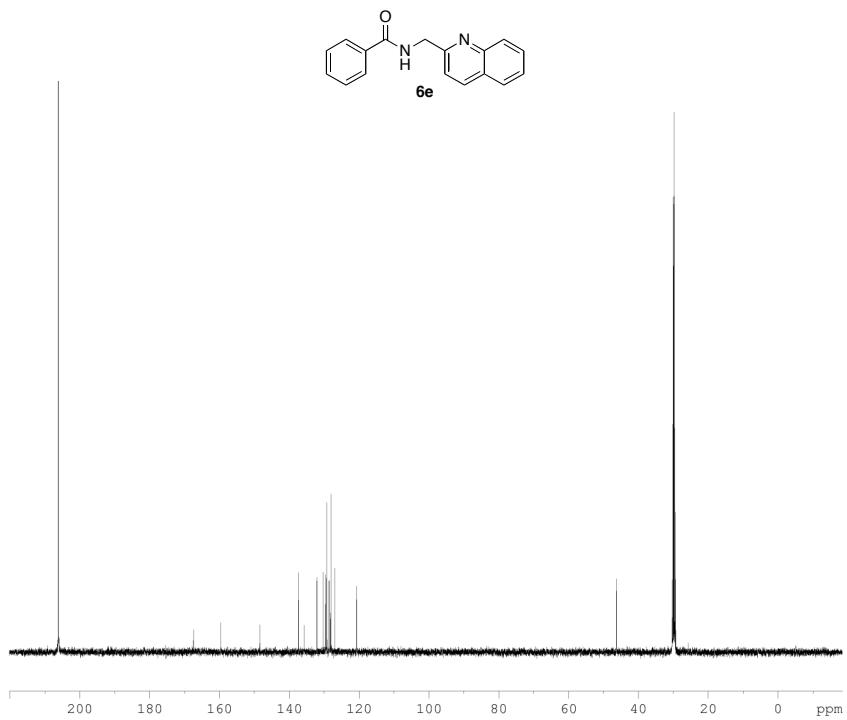
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(pyridin-2-ylmethyl)benzamide (**6d**)



¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(quinolin-2-ylmethyl)benzamide (**6e**)

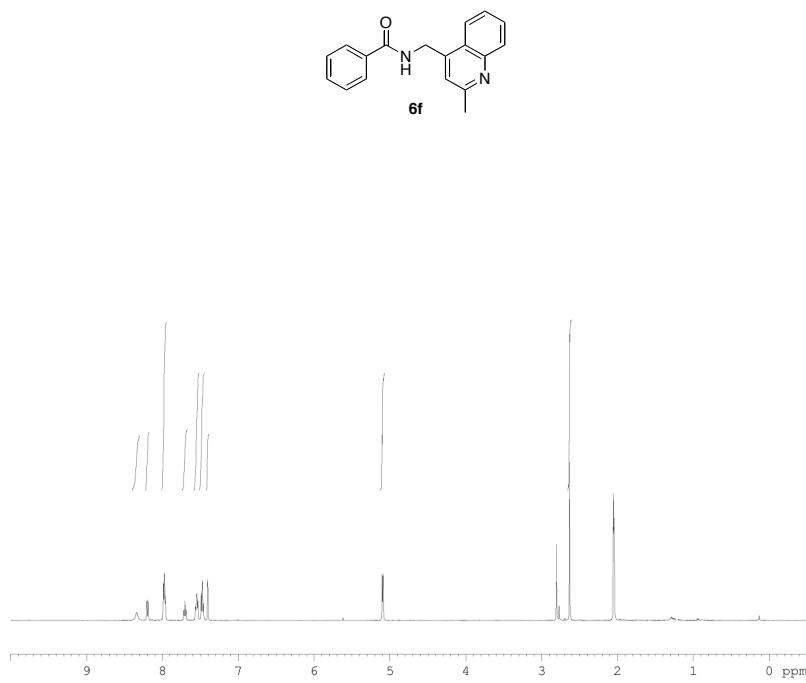


¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(quinolin-2-ylmethyl)benzamide (**6e**)

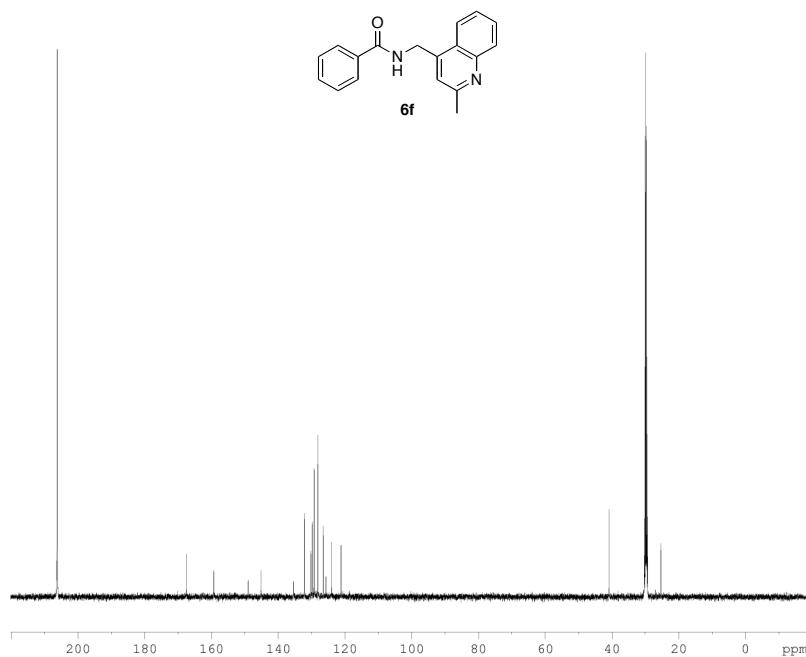


¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(2-methylquinolin-4-yl)methyl)benzamide

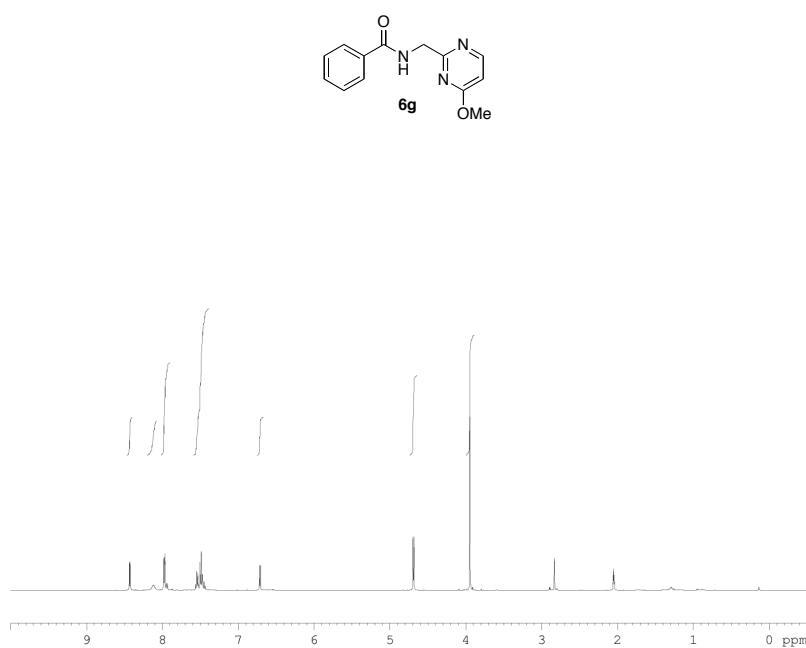
(**6f**)



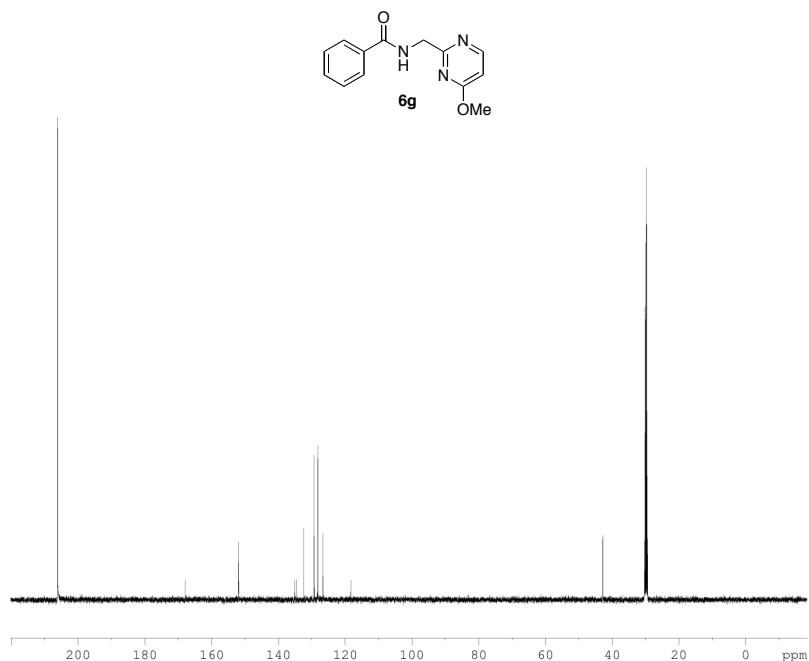
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(2-methylquinolin-4-yl)methyl)-benzamide (**6f**)



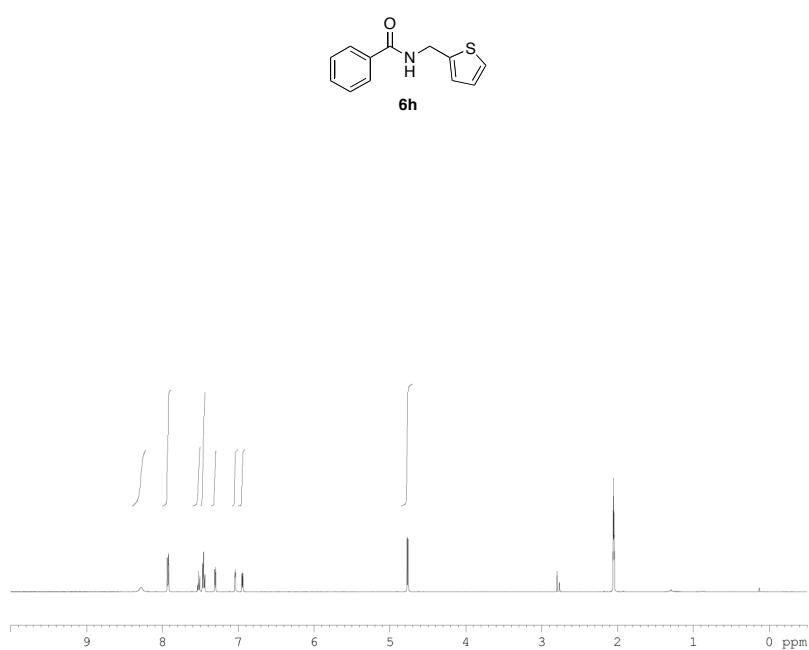
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxypyrimidin-2-yl)methyl)benzamide (**6g**)



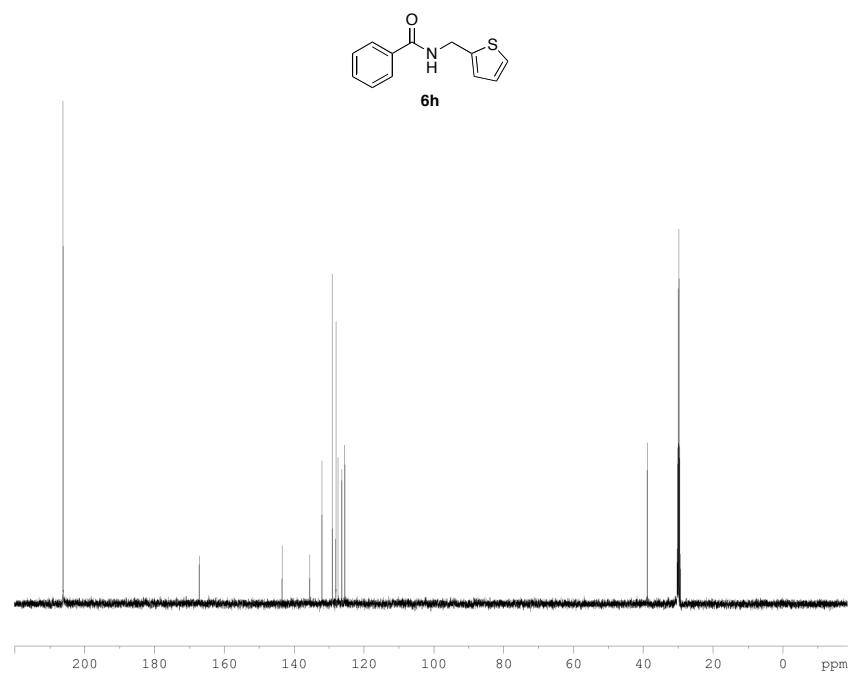
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxypyrimidin-2-yl)methyl)benzamide (**6g**)



¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(thiophen-2-ylmethyl)benzamide (**6h**)

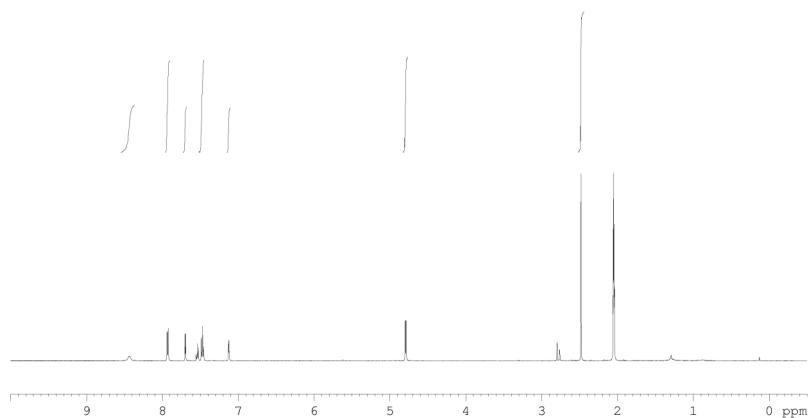
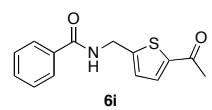


¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(thiophen-2-ylmethyl)benzamide (**6h**)



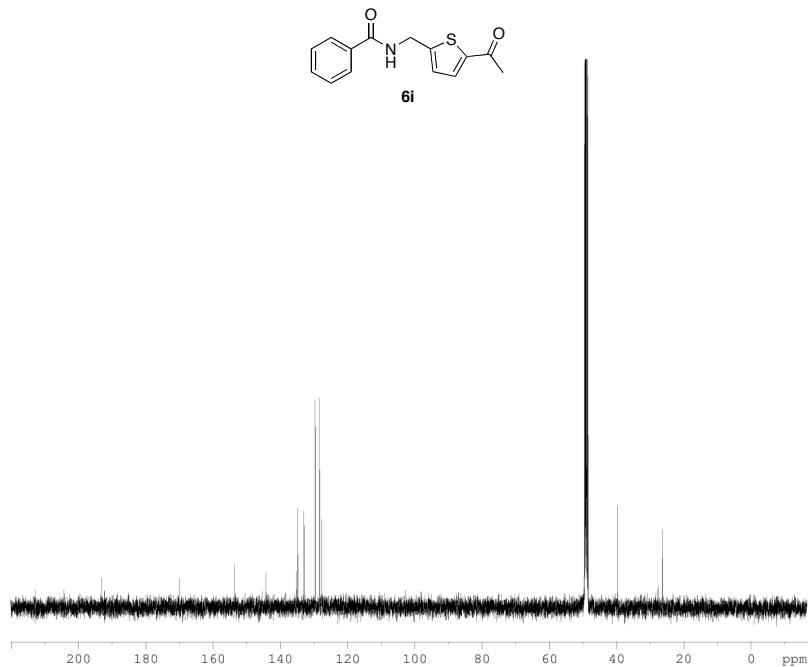
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(5-acetylthiophen-2-ylmethyl)benzamide

(**6i**)



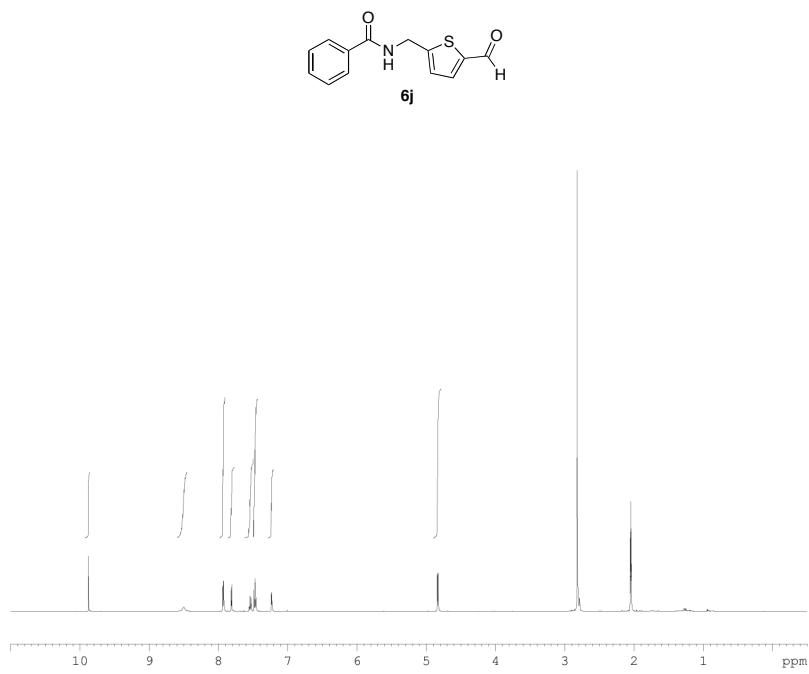
^{13}C -NMR (125.8 MHz, DMSO- d_6) Spectra of *N*-(5-acetylthiophen-2-yl)methyl)benzamide

(6i)

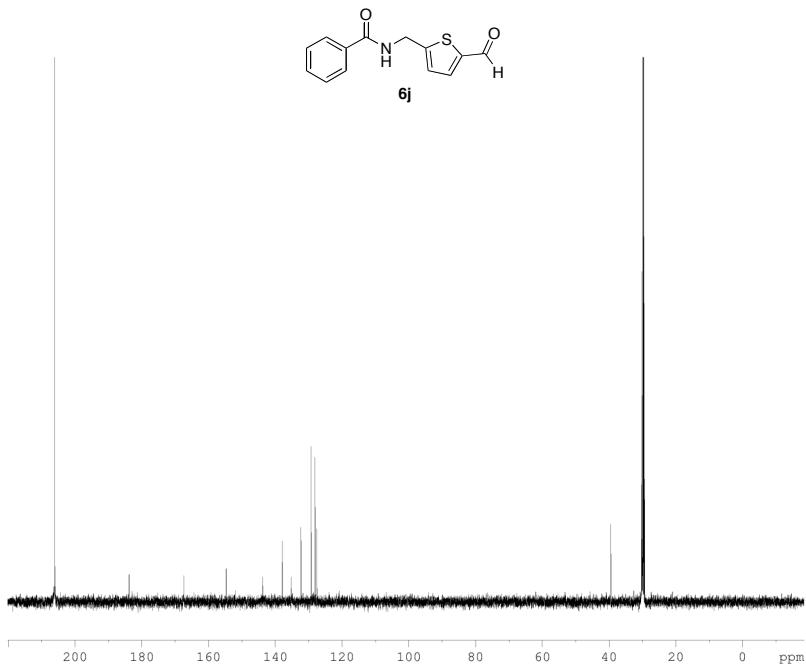


^1H NMR (500 MHz, Acetone- d_6) Spectra of *N*-(5-formylthiophen-2-yl)methyl)benzamide

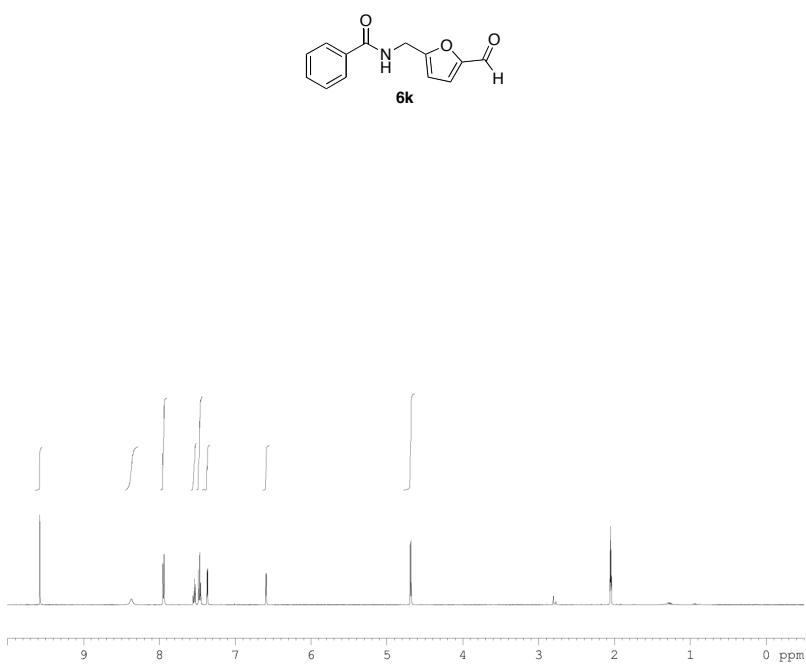
(6j)



¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(5-formylthiophen-2-yl)methyl)-benzamide (**6j**)

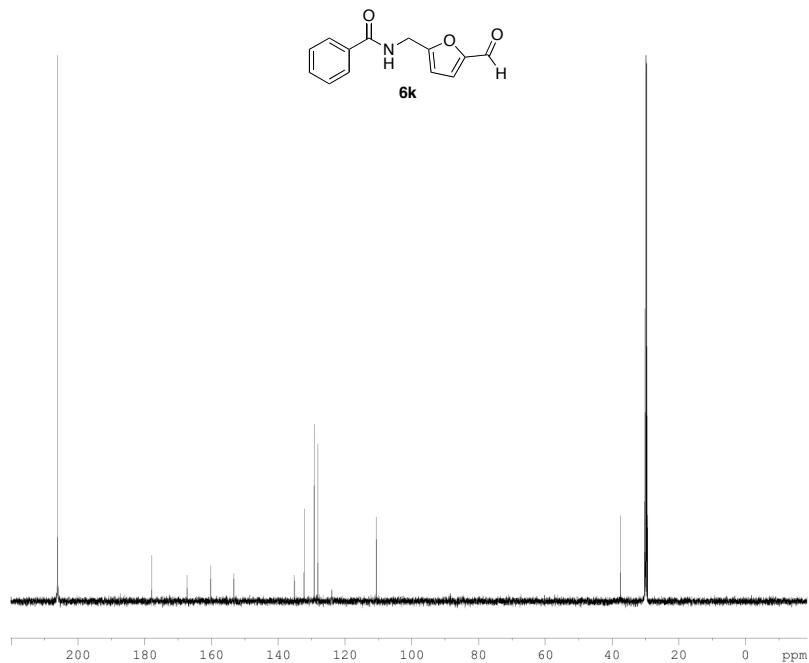


¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(5-formylfuran-2-yl)methyl)benzamide (**6k**)

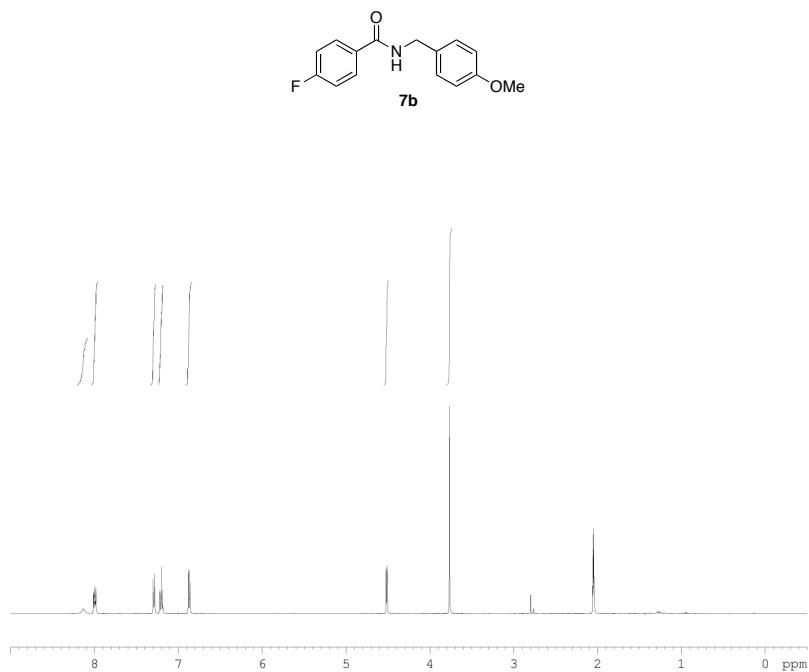


¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(5-formylfuran-2-yl)methyl)benzamide

(6k)

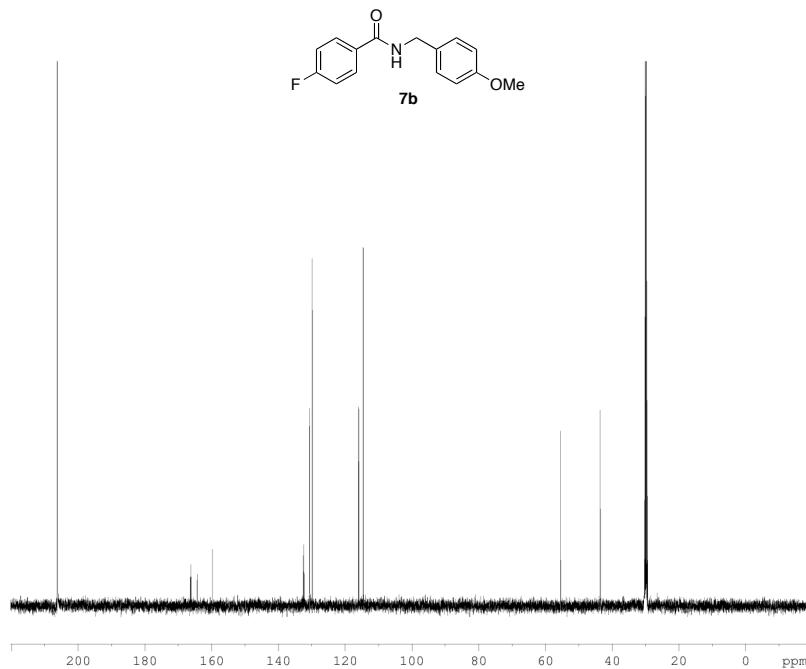


¹H NMR (500 MHz, Acetone-*d*₆) Spectra of 4-fluoro-*N*-(4-methoxybenzyl)benzamide (7b)

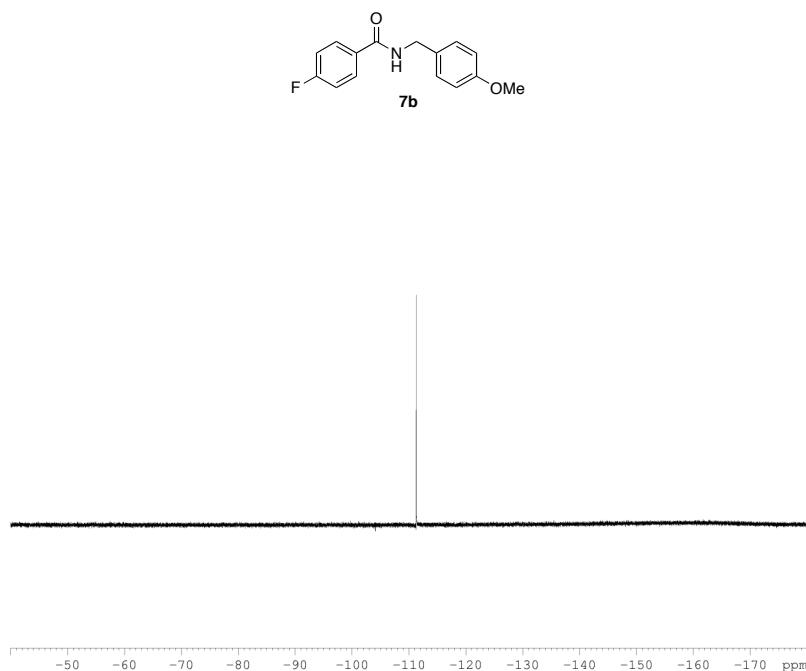


¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of 4-fluoro-*N*-(4-methoxybenzyl)benzamide

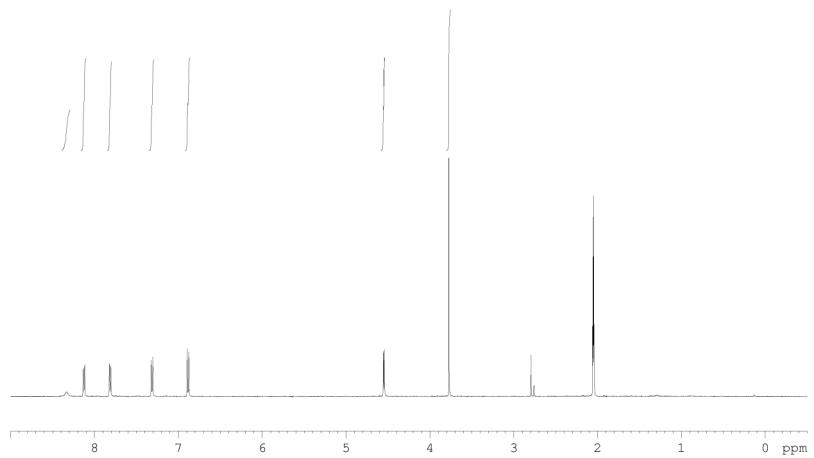
(7b)



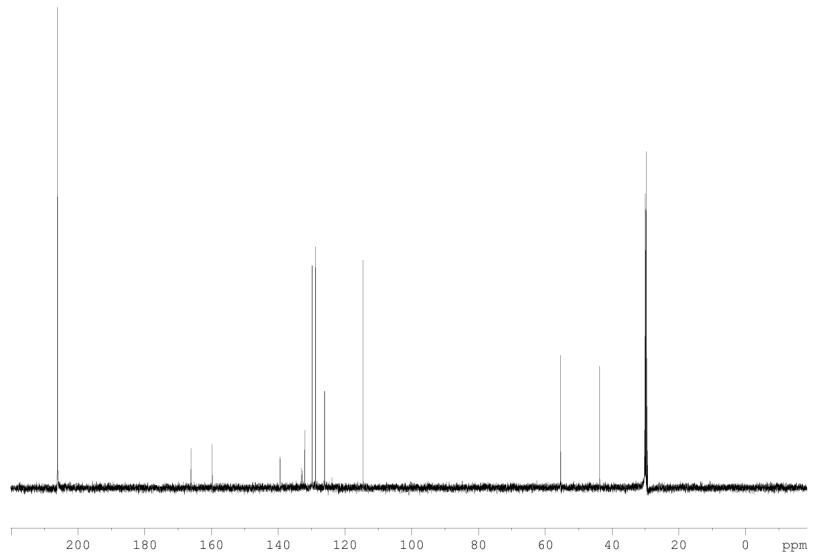
¹⁹F-NMR (471 MHz, DMSO-*d*₆) Spectra of 4-fluoro-*N*-(4-methoxybenzyl)benzamide (7b)



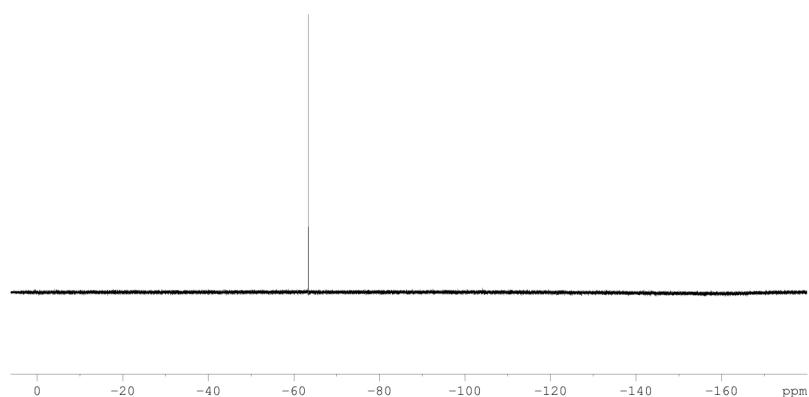
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-4-(trifluoromethyl)-benzamide (**7c**)



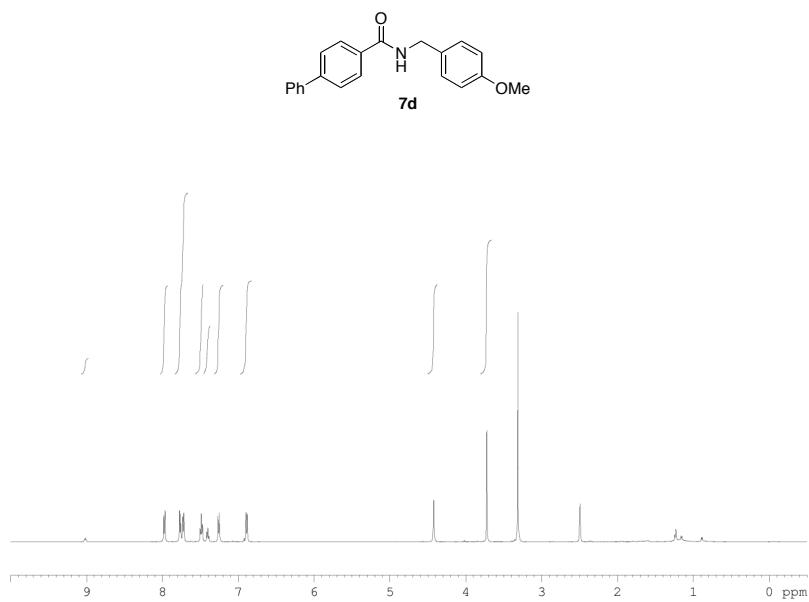
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-4-(trifluoromethyl)-benzamide (**7c**)



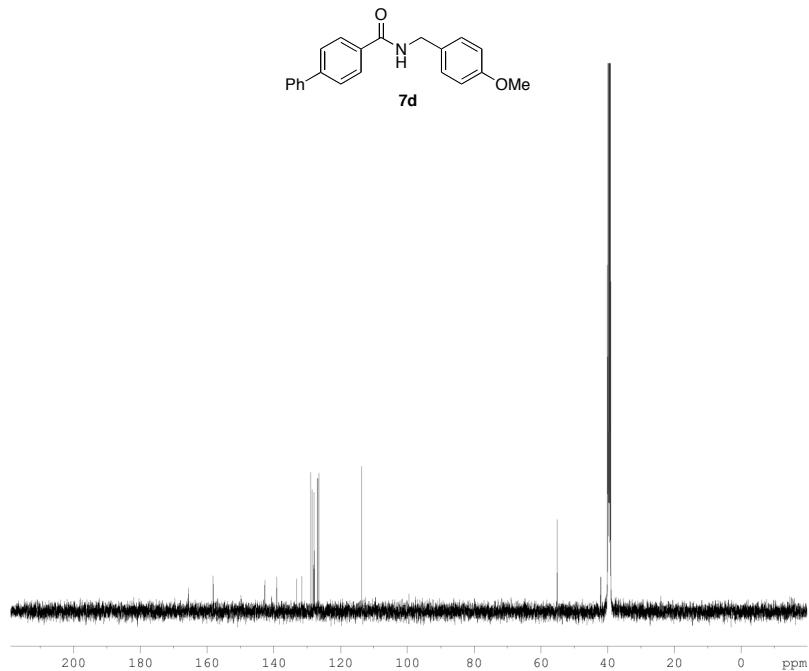
¹⁹F-NMR (471 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-4-(trifluoromethyl)-benzamide (**7c**)



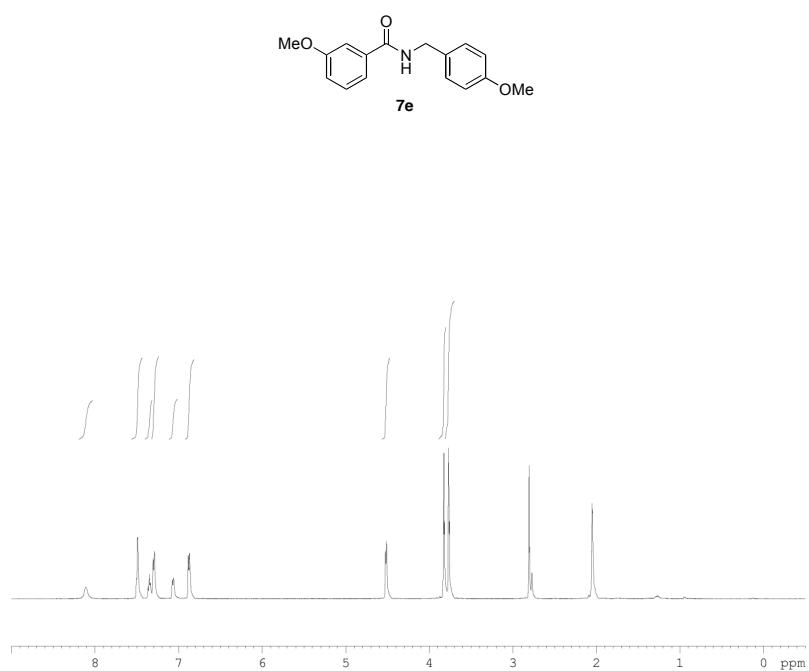
¹H NMR (500 MHz, DMSO-*d*₆) Spectra of *N*-(4-methoxybenzyl)-[1,1'-biphenyl]-4-carboxamide (**7d**)



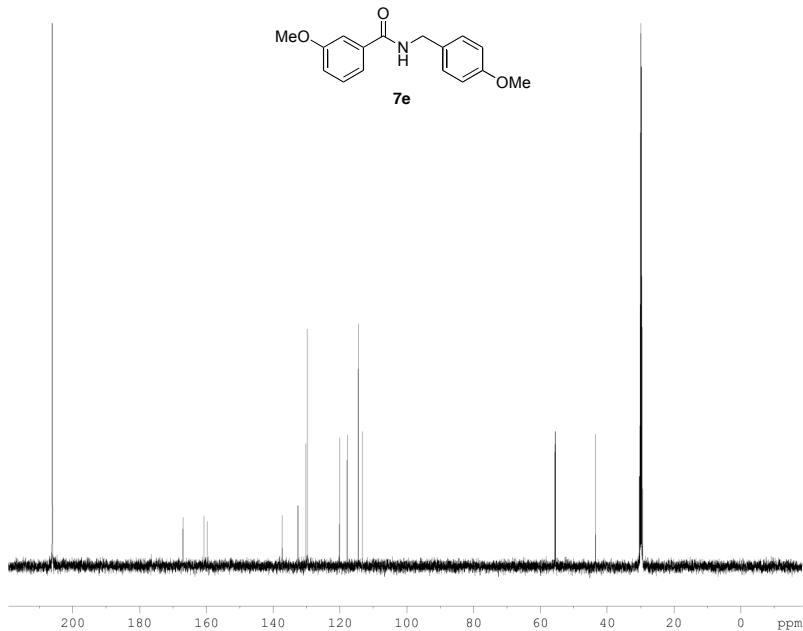
¹³C-NMR (125.8 MHz, DMSO-*d*₆) Spectra of *N*-(4-methoxybenzyl)-[1,1'-biphenyl]-4-carboxamide (**7d**)



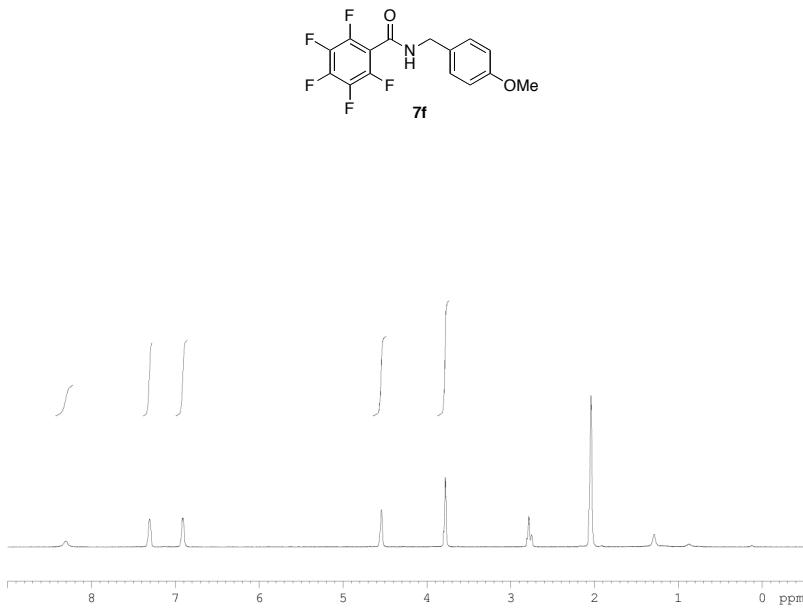
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of 3-methoxy-*N*-(4-methoxybenzyl)benzamide (**7e**)



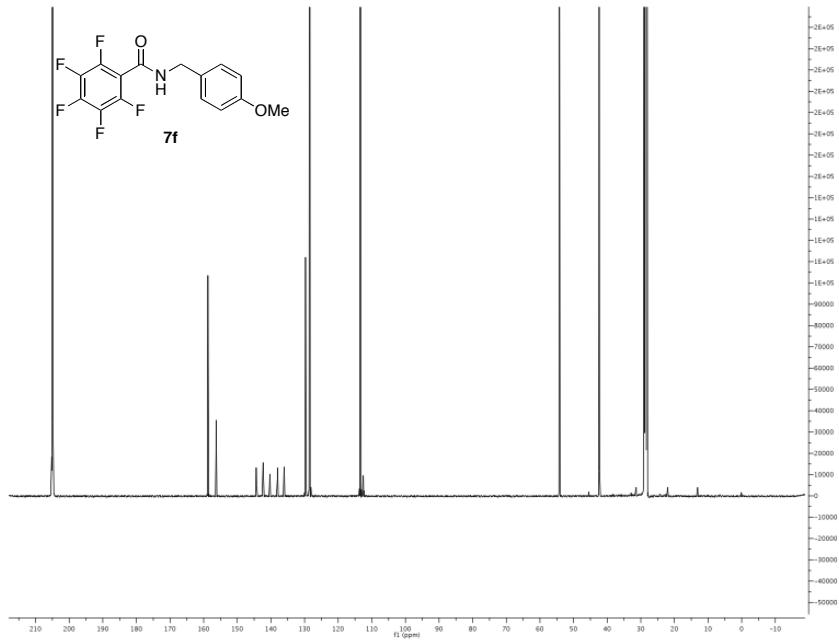
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of Spectra 3-methoxy-*N*-(4-methoxybenzyl)benzamide (**7e**)



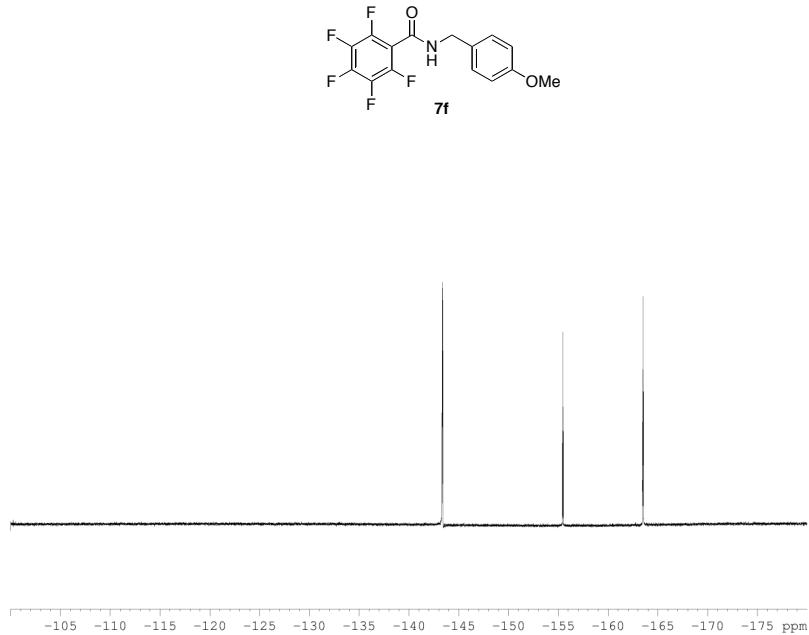
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of 2,3,4,5,6-pentafluoro-*N*-(4-methoxybenzyl)benzamide (**7f**)



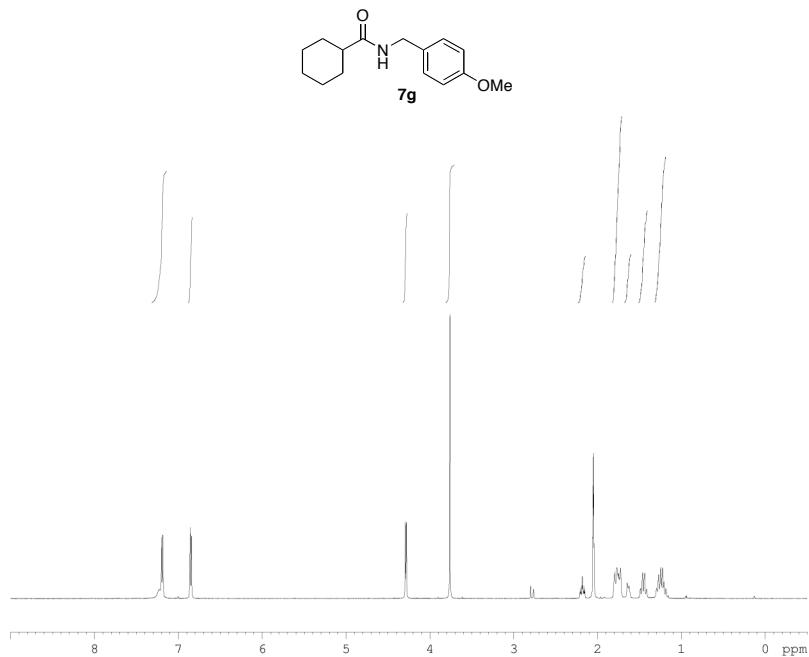
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of 2,3,4,5,6-pentafluoro-*N*-(4-methoxybenzyl)-benzamide (**7f**)



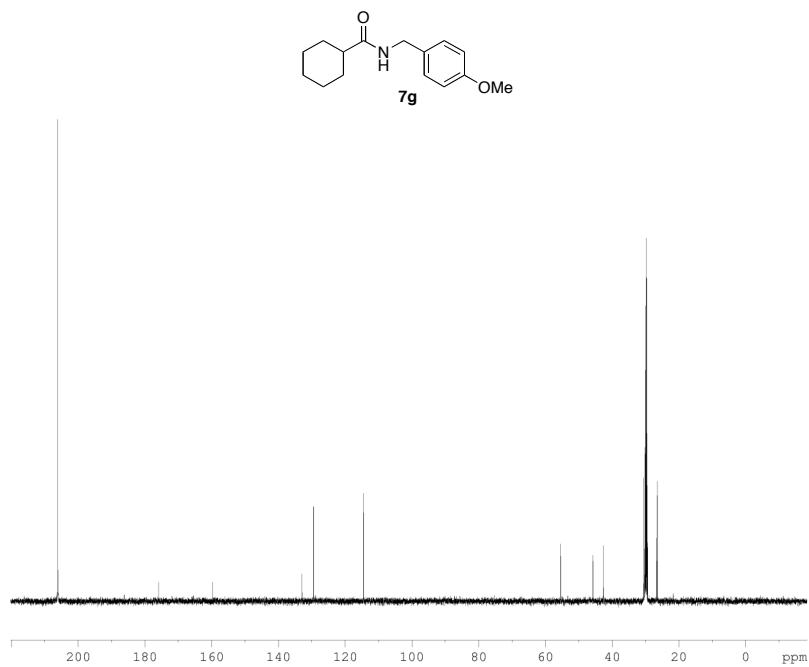
¹⁹F-NMR (471 MHz, Acetone-*d*₆) Spectra of 2,3,4,5,6-pentafluoro-*N*-(4-methoxybenzyl)-benzamide (**7f**)



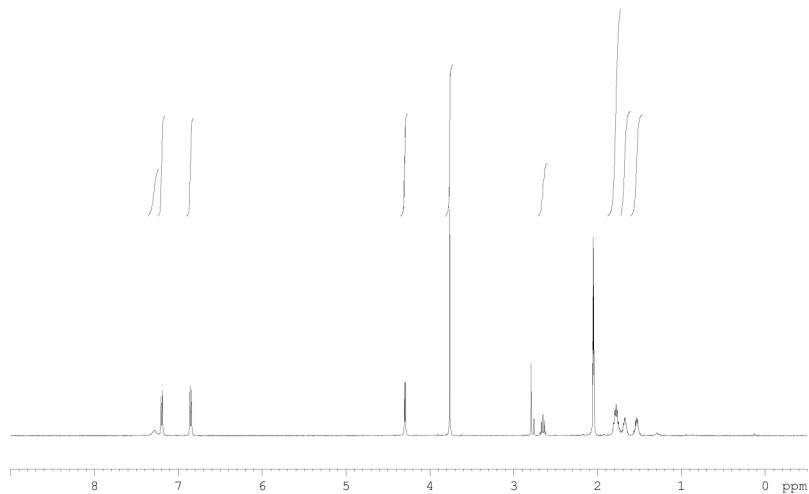
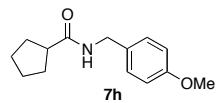
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-cyclohexanecarboxamide (**7g**)



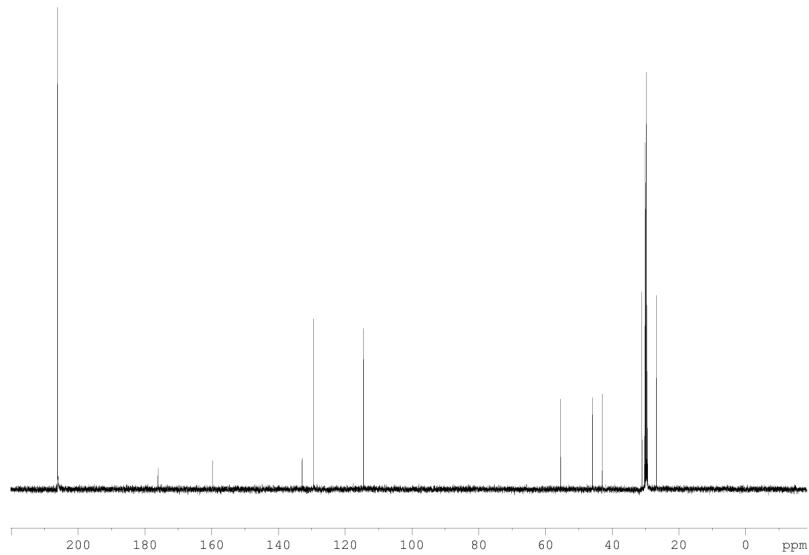
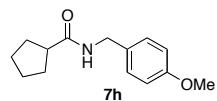
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-cyclohexanecarboxamide (**7g**)



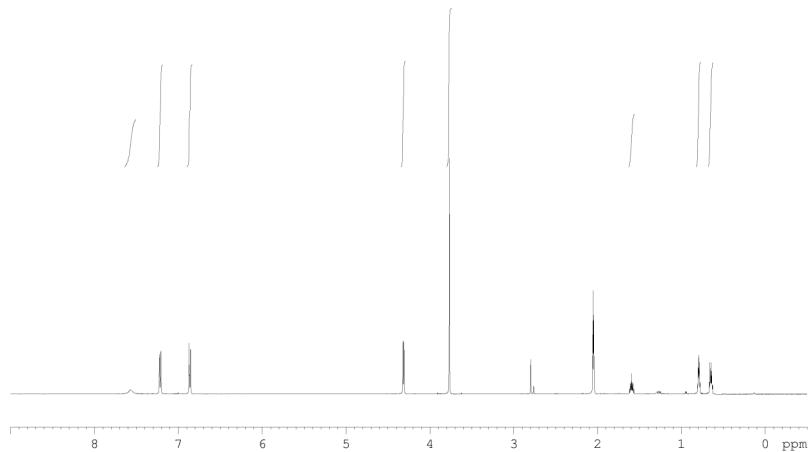
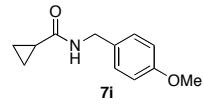
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-cyclopentanecarboxamide (**7h**)



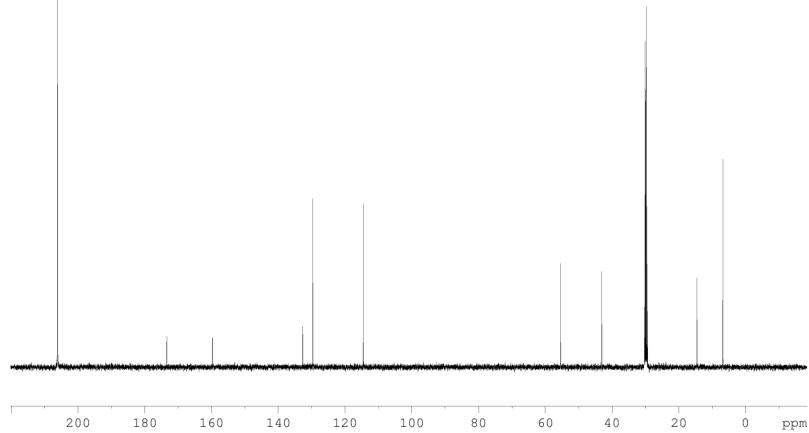
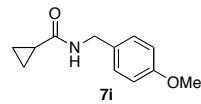
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-cyclopentanecarboxamide (**7h**)



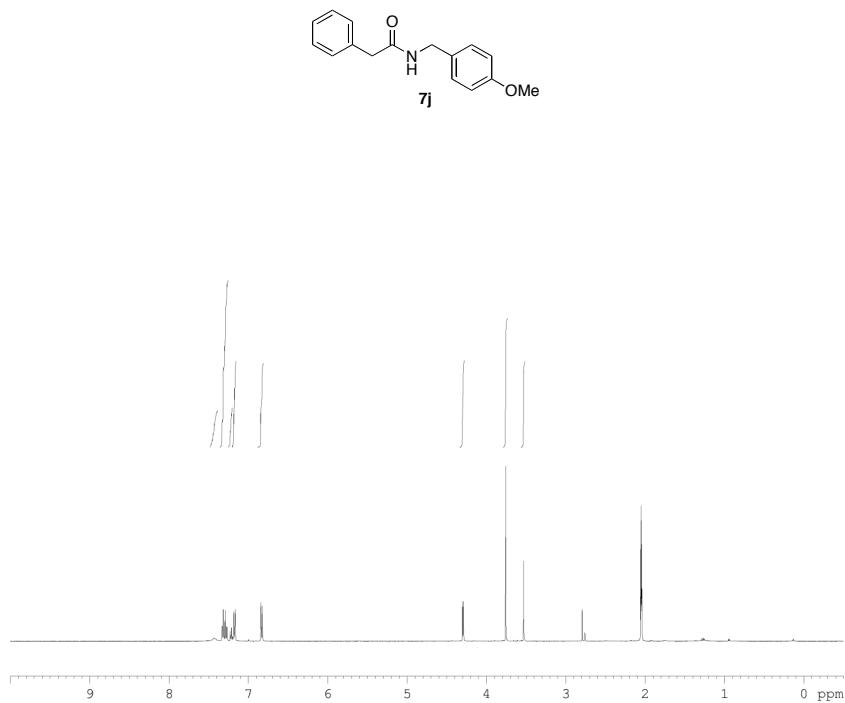
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-cyclopropanecarboxamide (**7i**)



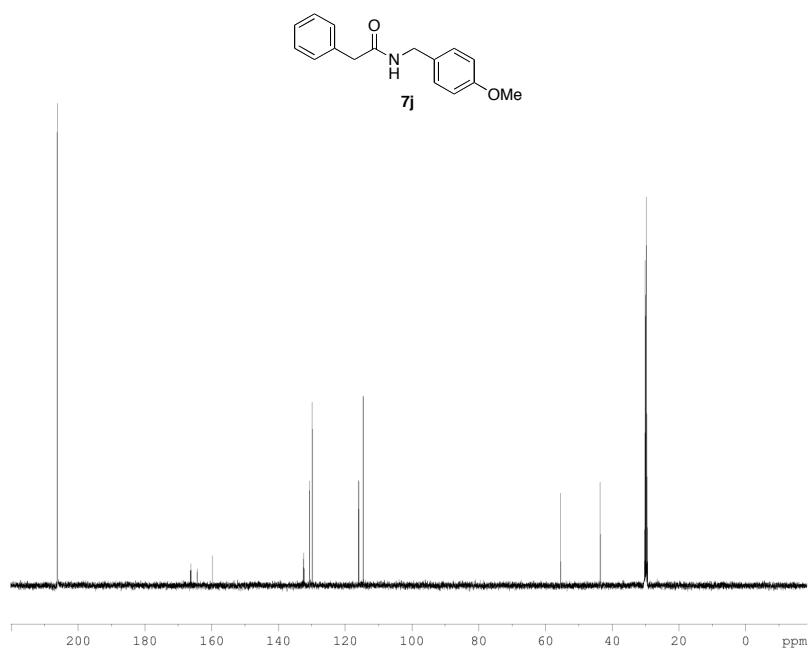
¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-cyclopropanecarboxamide (**7i**)



¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-2-phenylacetamide (**7j**)

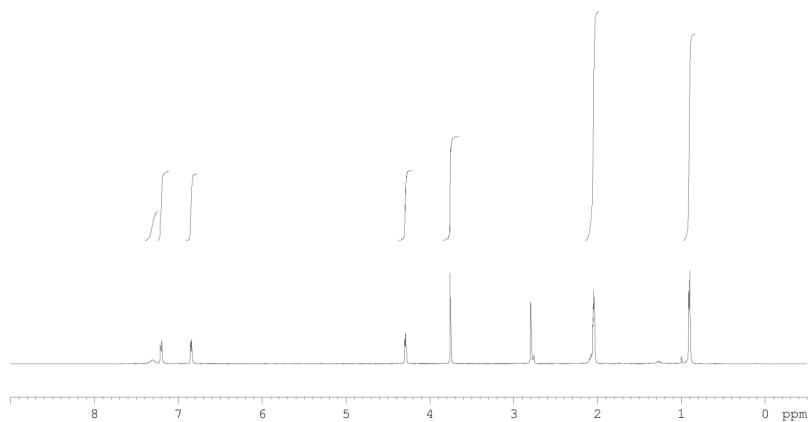
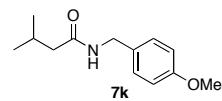


¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-2-phenylacetamide
(7j)



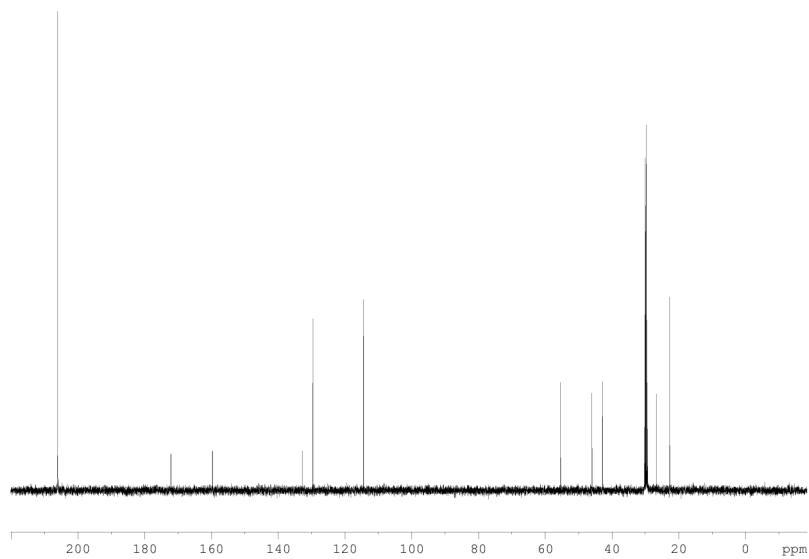
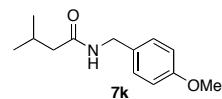
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-3-methylbutanamide

(7k)

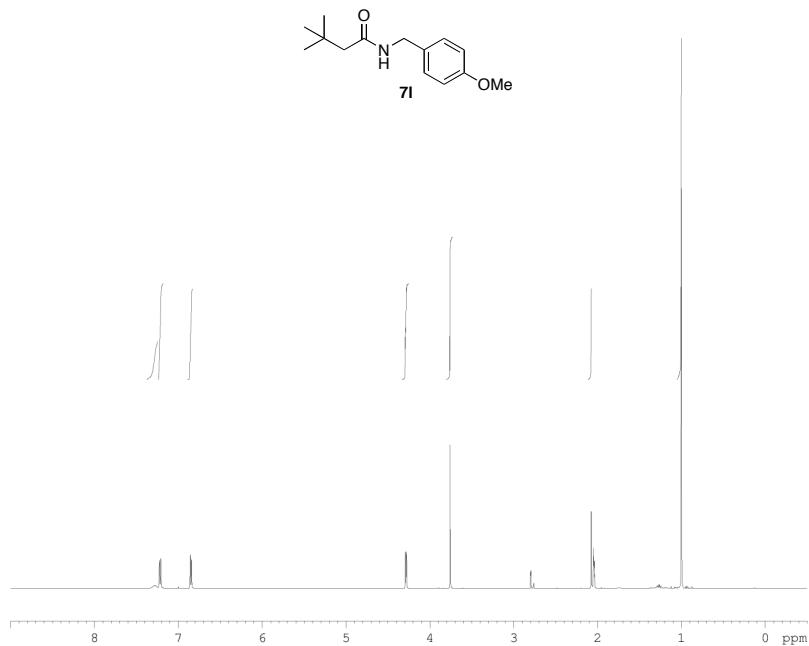


¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-3-methylbutanamide

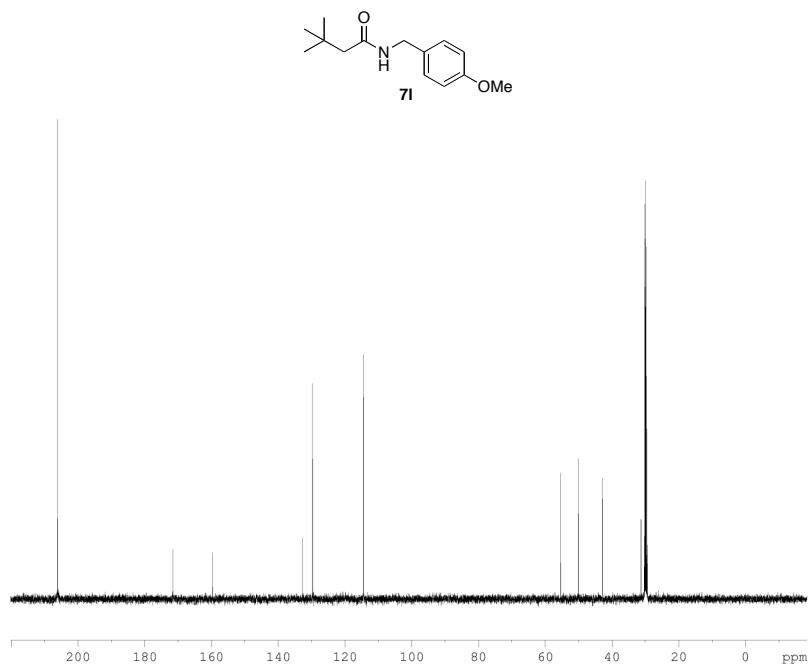
(7k)



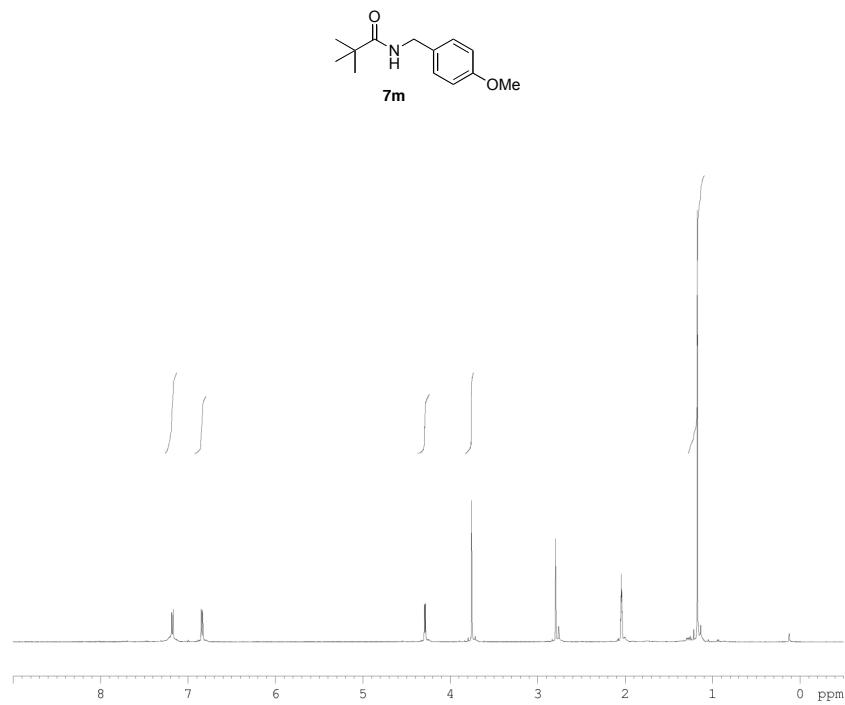
¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-3,3-dimethylbutanamide (**7I**)



¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)-3,3-dimethylbutanamide (**7I**)



¹H NMR (500 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)pivalamide (**7m**)



¹³C-NMR (125.8 MHz, Acetone-*d*₆) Spectra of *N*-(4-methoxybenzyl)pivalamide (**7m**)

