MurD Experimental

**General Procedure A** (*for the synthesis of aryl amides using HBTU).* The desired carboxylic acid and HBTU (1.20 equiv) were dissolved in DMF (0.10 M). The desired aniline (1.3 equiv) was added, followed by the addition of DIPEA (3.3 equiv), and the reaction was stirred at room temperature overnight. The reaction mixture was diluted with EtOAc and washed three times with water, then once with brine. The organic layer was dried with magnesium sulfate and concentrated, and the crude material was purified by the stated method.

**General Procedure B** (*for the synthesis of aryl amides* via *acid chloride formation*). 1-Methylpiperidine-4-carboxylic acid was dissolved in thionyl chloride (15.0 equiv) and the reaction was refluxed at 75 °C for ~4 h. The reaction mixture was concentrated, and the resulting white solid was azeotroped with toluene. In a separate flask, the desired aniline (1.0 equiv) was dissolved in DCM (0.21 M). Triethylamine (2.0 equiv) was added and the reaction mixture was cooled to 0 ºC. The acid chloride was added to the reaction portionwise and the reaction was stirred overnight and allowed to warm to room temperature. The slightly cloudy reaction mixture was filtered into a round-bottom flask and concentrated to remove excess TEA, and the crude material was purified the stated method.

**General Procedure C** (*for the synthesis of aryl-substituted N-phenyl-1-methylpiperidine-4-carboxamides*). The desired *N*-bromophenyl-1-methylpiperidine-4-carboxamide, desired boronic acid or ester (1.2 equiv), K2CO3 (3.0 equiv), and PdCl2(dppf)•CH2Cl2 (5 mol%) were combined in a reaction vial that was filled with argon and evacuated three times. 3:1 Dioxane:water (0.10 M) was added and the reaction mixture was degassed before heating at 100 ºC overnight. The reaction mixture was diluted with MeOH and filtered through celite, and the crude material was concentrated before purification by the stated method.

**General Procedure D** (*for the synthesis of amine-substituted N-phenyl-1-methylpiperidine-4-carboxamides*). The desired *N*-bromophenyl)-1-methylpiperidine-4-carboxamide, ligand (5 mol%, RuPhos for secondary amines; BrettPhos for primary), and catalyst (5 mol%, RuPhos Pd G4 for secondary amines; BrettPhos Pd G4 for primary) were combined in a reaction vial that was filled with argon and evacuated three times. The desired amine (1.0 equiv) and 1 M LiHMDS in THF (3.0 equiv) were added and the reaction mixture was degassed before heating overnight at 65 ºC. The reaction mixture was diluted with MeOH, filtered through celite, and concentrated. The crude material was purified the stated method.

***N*-(4-Fluorophenyl)-1-methylpiperidine-4-carboxamide(OSA\_000738).** The title compound was prepared according to General Procedure A using 1-methylpiperidine-4-carboxylic acid (75 mg, 0.524 mmol) and 4-fluoroaniline. The crude material was purified by flash chromatography (10-20% MeOH:DCM, step gradient) to afford the title compound as an off-white solid (41 mg, 33%). LCMS [M+H]+ 237.0 m/z. 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.53 (dd, J=9.1, 4.8 Hz, 2 H) 7.03 (t, J=8.8 Hz, 2 H) 2.95 - 3.05 (m, 2 H) 2.35 - 2.43 (m, 1 H) 2.33 (s, 3 H) 2.12 - 2.22 (m, 2 H) 1.88 (m, J=8.3, 3.3 Hz, 2 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 29.4, 43.7, 46.2, 55.9, 116.2 (d, J=23.4 Hz), 123.2 (d, J=8.1 Hz), 136.1, 159.5, 175.8.

***N*-(4-Fluorophenyl)-1-methylpyrrolidine-3-carboxamide(OSA\_000739).** The title compound was prepared according to General Procedure A using 1-methylpyrrolidine-3-carboxylic acid (75 mg, 0.581 mmol) and 4-fluoroaniline. The crude material was purified by flash chromatography (10-20%MeOH:DCM) to afford the title compound as a yellow solid (59 mg, 46%). LCMS [M+H]+ 223.0 m/z. 1H NMR (500 MHz, METHANOL-d4) δ ppm 7.51 - 7.58 (m, 2 H) 6.98 - 7.07 (m, 2 H) 3.14 (dtd, J=9.7, 7.8, 7.8, 6.3 Hz, 1 H) 3.01 (t, J=8.8 Hz, 1 H) 2.82 (ddd, J=9.1, 7.6, 5.0 Hz, 1 H) 2.67 (dd, J=9.8, 7.6 Hz, 1 H) 2.56 (q, J=8.5 Hz, 1 H) 2.40 (s, 3 H) 2.06 - 2.22 (m, 2 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 30.0, 42.0, 45.6, 57.0, 60.2, 116.3 (d, J=22), 123.1 (d, J=8.2), 136.2 (d, J=2.7), 160.7 (d, J=240), 175.0.

**1-Methyl-*N*-phenylpiperidine-4-carboxamide(OSA\_000740).** The title compound was prepared according to General Procedure A using 1-methylpiperidine-4-carboxylic acid (75 mg, 0.524 mmol) and aniline. The crude material was purified by flash chromatography (10-20-25% MeOH:DCM, step gradient) to afford the title compound as an off-white solid (13 mg, 11%). LCMS [M+H]+ 219.1 m/z. 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.53 (d, J=7.6 Hz, 1 H) 7.29 (t, J=8.0 Hz, 2 H) 7.08 (t, J=7.6 Hz, 1 H) 3.03 (d, J=11.6 Hz, 1 H) 2.38 - 2.46 (m, 1 H) 2.36 (s, 3 H) 2.15 - 2.27 (m, 2 H) 1.89 (m, J=8.6, 3.3 Hz, 2 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 29.3, 43.7, 46.1, 55.8, 121.3, 125.2, 129.8, 139.9, 175.8.

***N*-(4-Chlorophenyl)-1-methylpiperidine-4-carboxamide (OSA\_ 000741).** The title compound was prepared according to General Procedure A using 1-methylpiperidine-4-carboxylic acid (75 mg, 0.524 mmol) and 4-chloroaniline. The crude material was purified by flash chromatography (5-10-20-30% MeOH:DCM, step gradient) to afford the title compound as a tan solid (71 mg, 53%). LCMS [M+1]+ 253.2 m/z (35Cl), 255.2 m/z (37Cl). 1H NMR (500 MHz, METHANOL-d4) δ ppm 7.52 - 7.59 (m, 2 H) 7.25 - 7.31 (m, 1 H) 2.93 - 3.06 (m, 2 H) 2.38 (tt, J=10.2, 5.4 Hz, 1 H) 2.33 (s, 3 H) 2.17 (td, J=11.2, 4.4 Hz, 2 H) 1.80 - 1.94 (m, 4 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 29.4, 43.8, 46.2, 55.8, 122.5, 129.8, 129.9, 138.8, 175.9.

**1-Methyl-*N*-(p-tolyl)piperidine-4-carboxamide(OSA\_000742).** The title compound was prepared according to General Procedure A using 1-methylpiperidine-4-carboxylic acid (75 mg, 0.524 mmol) and *p*-toluidine. The crude material was purified by flash chromatography (5-10-30% MeOH:DCM, step gradient) to afford the title compound as an off-white solid (56 mg, 46%). LCMS [M+H]+ 233.2 m/z. 1H NMR (500 MHz, METHANOL-d4) δ ppm 7.36 - 7.43 (m, 1 H) 7.10 (d, J=8.2 Hz, 2 H) 2.96 (d, J=12.0 Hz, 2 H) 2.31 - 2.40 (m, 1 H) 2.29 (m, J=1.3 Hz, 6 H) 2.04 - 2.14 (m, 2 H) 1.80 - 1.91 (m, 4 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 20.9, 29.6, 44.0, 46.4, 56.0, 121.4, 130.2, 134.9, 137.3, 176.0.

***N*-(3,4-Dichlorophenyl)-1-methylpiperidine-4-carboxamide (OSA\_ 000743).** The title compound was prepared according to General Procedure A using 1-methylpiperidine-4-carboxylic acid (75 mg, 0.524 mmol) and 3,4-dichloroaniline. The crude material was purified by flash chromatography (1-30% MeOH:DCM) to afford the title compound as a tan solid (24 mg, 16%). LCMS [M+H]+ 287.0 m/z (35Cl/35Cl), 289.1 m/z (35Cl/37Cl), 291.0 m/z (37Cl/37Cl). 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.90 (d, J=1.3 Hz, 1 H) 7.39 - 7.47 (m, 2 H) 2.93 - 3.06 (m, 2 H) 2.29 - 2.44 (m, 4 H) 2.11 - 2.21 (m, 2 H) 1.77 - 1.94 (m, 4 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 29.3, 43.8, 46.2, 55.8, 120.5, 122.5, 127.7, 131.5, 133.3, 140.0, 176.0.

**1-Methyl-*N*-(naphthalen-1-yl)piperidine-4-carboxamide(OSA\_000744).** The title compound was prepared according to General Procedure B on a 150-mg scale using naphthalen-1-amine. The crude material was purified by flash chromatography (10-20-30% MeOH:DCM, step gradient) to afford the title compound as a white solid (55 mg, 24%). LCMS [M+H]+ 269.1 m/z. 1H NMR (400 MHz, DMSO-d6) δ ppm 9.92 (s, 1 H) 8.00 - 8.08 (m, 1 H) 7.89 - 7.97 (m, 1 H) 7.76 (d, J=8.3 Hz, 1 H) 7.65 (t, J=6.7 Hz, 1 H) 7.51 - 7.58 (m, 1 H) 7.45 - 7.50 (m, 1 H) 3.03 (d, J=9.6 Hz, 2 H) 2.64 (t, J=11.7 Hz, 1 H) 2.36 (br. s., 3 H) 2.27 (br. s., 2 H) 1.89 - 2.01 (m, 2 H) 1.73 - 1.88 (m, 2 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 29.3, 42.8, 45.8, 55.7, 123.4, 124.3, 126.5, 127.2, 127.3, 127.8, 129.4, 130.3, 134.1, 135.8, 176.8.

***N*-(3-Hydroxyphenyl)-1-methylpiperidine-4-carboxamide (OSA\_ 000745).** The title compound was prepared according to General Procedure A using 1-methylpiperidine-4-carboxylic acid (75 mg, 0.524 mmol) and 3-aminophenol. The crude material was purified by flash chromatography (10-50% MeOH:DCM) to afford the title compound as a white solid (4 mg, 4%). LCMS [M+H]+235.1 m/z. 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.14 (t, J=2.1 Hz, 1 H) 7.08 (t, J=8.1 Hz, 1 H) 6.90 - 6.95 (m, 1 H) 6.52 (ddd, J=8.1, 2.3, 0.9 Hz, 1 H) 2.95 (dt, J=12.1, 2.8 Hz, 2 H) 2.30 - 2.40 (m, 1 H) 2.28 (s, 3 H) 2.02 - 2.13 (m, 2 H) 1.81 - 1.90 (m, 4 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 29.6, 44.1, 46.4, 56.0, 108.5, 112.1, 112.4, 130.5, 141.0, 158.9, 176.0.

***N*-(4-Hydroxyphenyl)-1-methylpiperidine-4-carboxamide(OSA\_ 000746).** The title compound was prepared according to General Procedure B on a 150-mg scale using 4-aminophenol. The crude material was purified by flash chromatography (5-20% 5% NH4OH/MeOH:DCM), then repurified by flash chromatography (0-30% MeOH:EtOAc, KP-NH silica) to afford the title compound as a white solid (31 mg, 13%). LCMS [M+H]+ 235.1 m/z. 1H NMR (500 MHz, DMSO-d6) δ ppm 9.56 (s, 1 H) 9.13 (s, 1 H) 7.32 - 7.39 (m, 2 H) 6.62 - 6.68 (m, 2 H) 2.79 (d, J=11.3 Hz, 2 H) 2.16 - 2.23 (m, 1 H) 2.14 (s, 3 H) 1.83 (td, J=11.5, 2.5 Hz, 2 H) 1.67 - 1.73 (m, 2 H) 1.62 (qd, J=10.1, 3.5 Hz, 2 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 29.6, 43.9, 46.4, 56.0, 116.2, 123.4, 131.7, 155.4, 175.8.

***N*-(4-Methoxyphenyl)-1-methylpiperidine-4-carboxamide(OSA\_ 000747).** The title compound was prepared according to General Procedure A using 1-methylpiperidine-4-carboxylic acid (75 mg, 0.524 mmol) and 4-methoxyaniline. The crude material was purified by flash chromatography (5-10-30% MeOH:DCM, step gradient) to afford the title compound as a white solid (48 mg, 37%). LCMS [M+H]+ 249.2 m/z. 1H NMR (500 MHz, METHANOL-d4) d ppm 7.38 - 7.45 (m, 2 H) 6.83 - 6.89 (m, 2 H) 3.77 (s, 3 H) 2.96 (d, J=11.3 Hz, 2 H) 2.34 (m, J=8.5, 7.6, 7.6, 7.6 Hz, 1 H) 2.29 (s, 3 H) 2.03 - 2.14 (m, 2 H) 1.80 - 1.90 (m, 4 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 29.6, 43.9, 46.4, 55.8, 56.0, 114.9, 123.1, 132.9, 157.9, 175.8.

***N*-(3-Bromo-4-hydroxyphenyl)-1-methylpiperidine-4-carboxamide (OSA\_000748).** The title compound was prepared according to General Procedure B on a 150-mg scale using 4-amino-2-bromophenol. The crude material was purified by flash chromatography (10-20% 5% NH4OH/MeOH:DCM, step gradient), then repurified by flash chromatography (0-30% MeOH:EtOAc, KP-NH silica) to afford the title compound as a white solid (12 mg, 4%). LCMS [M+H]+ 313.0 m/z (79Br), 315.0 m/z (81Br). 1H NMR (500 MHz, DMSO-d6) δ ppm 9.92 (br. s, 1 H) 9.72 (s, 1 H) 7.85 (d, J=2.5 Hz, 1 H) 7.29 (dd, J=8.8, 2.5 Hz, 1 H) 6.86 (d, J=8.5 Hz, 1 H) 2.79 (d, J=11.3 Hz, 2 H) 2.16 - 2.23 (m, 1 H) 2.14 (s, 3 H) 1.83 (td, J=11.7, 2.5 Hz, 2 H) 1.67 - 1.73 (m, 2 H) 1.62 (qd, J=12.1, 3.5 Hz, 2 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 29.5, 43.9, 46.3, 55.9, 110.5, 117.0, 122.1, 126.4, 132.7, 152.4, 175.8.

**N-(3-Bromo-4-methoxyphenyl)-1-methylpiperidine-4-carboxamide(OSA\_000749).** The title compound was prepared according to General Procedure A using 1-methylpiperidine-4-carboxylic acid (75 mg, 0.524 mmol) and 3-bromo-4-methoxyaniline. The crude material was purified by flash chromatography (1-20% MeOH:DCM) to afford the title compound as an off-white solid (52 mg, 30%). LCMS [M+H]+ 327.1 m/z (79Br), 329.1 m/z (81Br). 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.82 (d, J=2.5 Hz, 1 H) 7.44 (dd, J=8.8, 2.5 Hz, 1 H) 6.98 (d, J=8.8 Hz, 1 H) 3.84 (s, 3 H) 2.97 - 3.07 (m, 2 H) 2.31 - 2.44 (m, 4 H) 2.21 (td, J=11.1, 4.5 Hz, 2 H) 1.79 - 1.96 (m, 4 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 29.3, 43.6, 46.1, 55.8, 56.9, 112.1, 113.3, 121.7, 126.5, 133.9, 154.1, 175.6.



**Scheme X.** Synthesis of extended substitution analogs. *Reagents and conditions*: *a*) Thionyl chloride, 75 °C, 4 h. Crude material carried forward without purification. *b*) Desired bromoaniline, TEA, DCM, 0 °C – rt, 12 h (XX-XX%). *c*) Desired aryl boronic acid or ester, K2CO3, PdCl2(dppf)·CH2Cl2, 3:1 dioxane:water, 100 °C, 12 h (XX-XX%). *d*) Desired secondary amine, RuPhos, RuPhos Pd G4, 1 M LiHMDS/THF, 65 °C, 12 h (XX-XX%). *e*) Desired primary amine, BrettPhos, BrettPhos Pd G4, 1 M LiHMDS/THF, 65 °C, 12 h (XX-XX%).

****** ***N*-(2-Bromophenyl)-1-methylpiperidine-4-carboxamide (OSA\_000750).** 2-Bromoaniline (0.050 ml, 0.442 mmol) was dissolved in DCM (2.2 ml, 0.2 M) and 1-methylpiperidine-4-carboxylic acid (82 mg, 0.572 mmol) was added. The reation mixture was cooled to 0 C and DCC (118 mg, 0.572 mmol) was added. The reaction was allowed to gradually warm to room temperature and stirred overnight. The reaction mixture was washed twice with sat. aq. NaHCO3 and once with brine. The organic layer was dried with magnesium sulfate, concentrated, and purified by flash chromatography (10-30% MeOH:DCM, step gradient) to afford the title compound as a tan solid (23 mg, 18%). LCMS [M+H]+ 297.0 m/z (79Br), 299.0 m/z (81Br). 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.55 - 7.65 (m, 2 H) 7.34 (td, J=7.7, 1.3 Hz, 1 H) 7.12 (td, J=7.8, 1.4 Hz, 1 H) 2.96 (d, J=11.9 Hz, 2 H) 2.49 (tt, J=11.3, 4.1 Hz, 1 H) 2.30 (s, 3 H) 2.13 (td, J=11.7, 2.1 Hz, 2 H) 1.84 - 2.01 (m, 4 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 29.6, 43.4, 46.4, 55.9, 119.8, 128.3, 128.5, 129.1, 133.9, 137.2, 176.3.

****** ***N*-(3-Bromophenyl)-1-methylpiperidine-4-carboxamide (OSA\_ 000751).** The title compound was prepared according to General Procedure A using 1-methylpiperidine-4-carboxylic acid (75 mg, 0.524 mmol) and 3-bromoaniline. The crude material was purified by flash chromatography (10-50% MeOH:DCM) to afford the title compound as a tan solid (38 mg, 24%). LCMS [M+H]+ 297.0 m/z (79Br), 299.0 m/z (81Br). 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.88 (d, J=2.0 Hz, 1 H) 7.46 (dt, J=6.8, 2.2 Hz, 1 H) 7.14 - 7.24 (m, 2 H) 2.89 - 2.97 (m, 2 H) 2.29 - 2.40 (m, 1 H) 2.27 (s, 3 H) 2.00 - 2.13 (m, 2 H) 1.79 - 1.90 (m, 4 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 29.5, 44.1, 46.4, 55.9, 119.6, 123.3, 123.9, 127.8, 131.4, 141.6, 176.2.

****** ***N*-(4-Bromophenyl)-1-methylpiperidine-4-carboxamide(OSA\_000752).** The title compound was prepared according to General Procedure A using 1-methylpiperidine-4-carboxylic acid (75 mg, 0.524 mmol) and 4-bromoaniline. The crude material was purified by flash chromatography (5-50% MeOH:DCM) to afford the title compound as a tan solid (14 mg, 9%). LCMS [M+H]+ 297.0 m/z (79Br), 299.0 m/z (81Br). 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.47 - 7.54 (m, 2 H) 7.40 - 7.46 (m, 2 H) 2.96 (dt, J=3.8, 2.8 Hz, 1 H) 2.31 - 2.42 (m, 1 H) 2.28 (s, 3 H) 2.02 - 2.14 (m, 2 H) 1.86 (m, J=8.1, 3.5 Hz, 2 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 29.5, 44.1, 46.4, 55.9, 117.3, 122.9, 132.8, 139.3, 176.1.

****** ***N*-([1,1'-Biphenyl]-2-yl)-1-methylpiperidine-4-carboxamide(OSA\_ 000753).** The title compound was prepared according to General Procedure C using N-(2-bromophenyl)-1-methylpiperidine-4-carboxamide (150 mg, 0.505 mmol) and phenylboronic acid. The crude material was purified by flash chromatography (5-20% MeOH:DCM) to afford the title compound as a tan solid (90 mg, 61%). LCMS [M+H]+ 295.2 m/z. 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.38 - 7.46 (m, 3 H) 7.31 - 7.38 (m, 6 H) 3.04 (d, J=12.1 Hz, 2 H) 2.44 (s, 3 H) 2.30 - 2.41 (m, 3 H) 1.67 - 1.87 (m, 4 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 28.5, 42.0, 45.5, 55.3, 128.0, 128.47, 128.51, 129.1, 129.4, 130.2, 131.5, 135.3, 139.8, 140.7, 175.8.

**** **1-Methyl-*N*-(2-(naphthalen-2-yl)phenyl)piperidine-4-carboxamide (OSA\_000754).** The title compound was prepared according to General Procedure C using N-(2-bromophenyl)-1-methylpiperidine-4-carboxamide (150 mg, 0.505 mmol) and naphthalen-2-ylboronic acid. The crude material was purified by flash chromatography (5-20% MeOH:DCM), then repurified by flash chromatography (30-50% MeOH:EtOAc, step gradient). This material was purified a third time by reverse phase chromatography (0-100% ACN:Water - 100% MeOH) to afford the title compound as an orange solid (39 mg, 23%). LCMS [M+H]+ 345.2 m/z. 1H NMR (500 MHz, METHANOL-d4) δ ppm 7.81 - 7.92 (m, 4 H) 7.43 - 7.53 (m, 5 H) 7.32 - 7.42 (m, 2 H) 2.76 (d, J=11.3 Hz, 2 H) 2.07 - 2.23 (m, 4 H) 1.85 - 1.95 (m, 2 H) 1.60 - 1.71 (m, 4 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 29.4, 43.2, 46.3, 55.8, 127.2, 127.4, 127.9, 128.4, 128.6, 128.7, 128.90, 128.98, 129.05, 129.1, 131.8, 134.1, 134.8, 135.7, 138.1, 139.5, 176.6.

****** ***N*-([1,1'-biphenyl]-3-yl)-1-methylpiperidine-4-carboxamide (OSA\_000755).** The title compound was prepared according to General Procedure C using *N*-(3-bromophenyl)-1-methylpiperidine-4-carboxamide (150 mg, 0.505 mmol) and phenylboronic acid. The crude material was purified by flash chromatography (10-30% MeOH:DCM), then repurified (15% MeOH:DCM, isocratic) to afford the title compound as a dark orange solid (61 mg, 44%). LCMS [M+H]+ 295.1 m/z. 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.89 (s, 1 H) 7.52 - 7.63 (m, 3 H) 7.27 - 7.45 (m, 5 H) 3.42 (d, J=12.4 Hz, 2 H) 2.95 (td, J=12.0, 3.5 Hz, 2 H) 2.67 - 2.83 (m, 4 H) 1.96 - 2.16 (m, 4 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 27.9, 41.5, 44.4, 54.8, 119.9, 120.2, 123.9, 128.0, 128.6, 130.0, 130.4, 140.3, 142.0, 143.2, 174.5.

****** **1-Methyl-*N*-(3-(naphthalen-2-yl)phenyl)piperidine-4-carbox-amide(OSA\_000756).** The title compound was prepared according to General Procedure C using N-(3-bromophenyl)-1-methylpiperidine-4-carboxamide (200 mg, 0.673 mmol) and naphthalen-2-ylboronic acid. The crude material was purified by flash chromatography (5-20% MeOH:DCM, step gradient), then repurified by flash chromatography (20% 5% NH4OH/MeOH:EtOAc) to afford the title compound as an orange oil (139 mg, 60%). LCMS [M+H]+ 345.2 m/z. 1H NMR (400 MHz, METHANOL-d4) δ ppm 8.08 (s, 1 H) 8.03 (t, J=1.8 Hz, 1 H) 7.90 - 7.97 (m, 2 H) 7.83 - 7.90 (m, 1 H) 7.76 (dd, J=8.6, 2.0 Hz, 1 H) 7.40 - 7.58 (m, 5 H) 3.26 (d, J=12.4 Hz, 2 H) 2.49 - 2.67 (m, 6 H) 1.90 - 2.10 (m, 4 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 28.6, 32.0, 45.2, 55.3, 120.1, 120.2, 124.2, 126.3, 126.7, 127.1, 127.4, 128.6, 129.3, 129.5, 130.4, 134.3, 135.2, 139.4, 140.4, 143.1, 175.2.

**** ***N*-([1,1'-Biphenyl]-4-yl)-1-methylpiperidine-4-carboxamide(OSA\_000757).** The title compound was prepared according to General Procedure C using *N*-(4-bromophenyl)-1-methylpiperidine-4-carboxamide (150 mg, 0.505 mmol) and phenylboronic acid. The crude material was purified by flash chromatography (5-20% MeOH:DCM, step gradient) to afford the title compound as a beige solid (65 mg, 43%). LCMS [M+H]+ 295.1 m/z. 1H NMR (400 MHz, METHANOL-d4) δ ppm 7.65 (d, J=8.8 Hz, 2 H) 7.54 - 7.61 (m, 4 H) 7.41 (t, J=7.6 Hz, 2 H) 7.30 (t, J=7.6 Hz, 1 H) 3.25 (d, J=12.4 Hz, 2 H) 2.57 (s, 6 H) 1.89 - 2.08 (m, 4 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 28.6, 42.6, 45.2, 55.3, 121.6, 127.7, 128.1, 128.3, 129.9, 138.3, 139.2, 141.8, 175.1.

**1-Methyl-*N*-(4-(naphthalen-2-yl)phenyl)piperidine-4-carbox-amide (OSA\_000758).** The title compound was prepared according to General Procedure C using *N*-(4-bromophenyl)-1-methylpiperidine-4-carboxamide (150 mg, 0.505 mmol) and naphthalen-2-ylboronic acid. The crude material was purified by flash chromatography (5-20% MeOH:DCM, step gradient) to afford the title compound as a tan solid (25 mg, 14%). LCMS [M+H]+ 345.2 m/z. 1H NMR (400 MHz, METHANOL-d4) δ ppm 8.07 (s, 1 H) 7.92 (d, J=8.1 Hz, 2 H) 7.84 - 7.88 (m, 1 H) 7.68 - 7.80 (m, 5 H) 7.42 - 7.53 (m, 2 H) 3.37 - 3.45 (m, 2 H) 2.79 - 2.93 (m, 2 H) 2.63 - 2.77 (m, 4 H) 2.09 (br. s., 4 H). 13C NMR (400 MHz, METHANOL-d4) δ ppm 28.2, 28.6, 44.7, 55.0, 121.7, 126.1, 126.9, 127.3, 128.55, 128.62, 129.2, 129.5, 134.1, 135.3, 138.1, 139.1, 139.3, 174.7.

**** **1-Methyl-*N*-(4-(pyridin-3-yl)phenyl)piperidine-4-carboxamide formate(OSA\_000759).** The title compound was prepared according to General Procedure C using N-(4-bromophenyl)-1-methylpiperidine-4-carboxamide (100 mg, 0.336 mmol) and pyridin-4-ylboronic acid. The crude material was purified by flash chromatography (10-40% MeOH:DCM, step gradient), then repurified by reverse phase chromatography (0-50% ACN: 0.05% HCOOH/H2O) to afford the title compound as a white solid (48 mg, 49%). LCMS [M+H]+ 296.2 m/z. 1H NMR (500 MHz, METHANOL-d4) δ ppm 8.56 (br. s., 2 H) 8.31 (br. s., 1 H) 7.76 (s, 4 H) 7.72 (d, J=6.0 Hz, 2 H) 3.58 (d, J=12.6 Hz, 2 H) 3.12 (m, J=12.9, 11.0 Hz, 2 H) 2.89 (s, 3 H) 2.75 (m, J=10.4, 10.4, 6.0, 4.1, 4.1 Hz, 1 H) 2.13 - 2.22 (m, 2 H) 1.97 - 2.12 (m, 2 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 27.4, 41.0, 43.8, 54.4, 121.6, 122.7, 128.6, 134.2, 141.3, 150.1, 150.4, 167.2, 174.1

**** **1-Methyl-*N*-(4-(pyridin-4-yl)phenyl)piperidine-4-carboxamide formate (OSA\_000760).** The title compound was prepared according to General Procedure C using N-(4-bromophenyl)-1-methylpiperidine-4-carboxamide (100 mg, 0.336 mmol) and pyridin-4-ylboronic acid. The crude material was purified by flash chromatography (10-40% MeOH:DCM, step gradient), then repurified by reverse phase chromatography (0-30% ACN: 0.05% HCOOH/H2O) to afford the title compound as a white solid (41 mg, 42%). LCMS [M+H]+ 296.2 m/z. 1H NMR (500 MHz, METHANOL-d4) δ ppm 8.77 (br. s., 1 H) 8.35 - 8.52 (m, 2 H) 8.05 (d, J=8.2 Hz, 1 H) 7.72 (d, J=8.5 Hz, 2 H) 7.62 (d, J=8.5 Hz, 2 H) 7.48 (dd, J=7.9, 5.0 Hz, 1 H) 3.57 (d, J=11.7 Hz, 2 H) 3.00 - 3.18 (m, 2 H) 2.81 - 2.91 (m, 3 H) 2.74 (br. s., 1 H) 2.02 - 2.20 (m, 4 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 27.4, 41.0, 43.8, 54.3, 121.7, 125.6, 128.5, 134.1, 136.2, 138.0, 140.3, 148.0, 148.5, 168.5, 174.1.

**** **1-Methyl-*N*-(3-(piperidin-1-yl)phenyl)piperidine-4-carboxamideformate (OSA\_000761).** The title compound was prepared according to General Procedure D using N-(3-Bromophenyl)-1-methylpiperidine-4-carboxamide (100 mg, 0.336 mmol) and piperidine. The crude material was purified by flash chromatography (5-25% MeOH:DCM), then repurified by reverse phase chromatography (0-100% ACN: 0.05% HCOOH/H2O) to afford the title compound (9 mg, 9%). LCMS [M+H]+ 302.2 m/z 1H NMR (500 MHz, METHANOL-d4) δ ppm 8.15 - 8.81 (m, 1 H) 7.27 (t, J=2.0 Hz, 1 H) 7.16 (t, J=8.2 Hz, 1 H) 6.98 (dd, J=7.9, 1.3 Hz, 1 H) 6.74 (dd, J=8.2, 1.9 Hz, 1 H) 3.54 (d, J=12.3 Hz, 2 H) 3.13 (t, J=5.4 Hz, 4 H) 3.06 (t, J=10.4 Hz, 2 H) 2.85 (s, 3 H) 2.67 (m, J=7.1, 3.0 Hz, 1 H) 1.99 - 2.15 (m, 4 H) 1.71 (quin, J=5.7 Hz, 4 H) 1.59 (m, J=5.8, 5.8, 5.8 Hz, 2 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 25.4, 26.8, 27.5, 41.1, 43.8, 52.2, 54.4, 110.0, 112.7, 114.3, 130.3, 140.4, 154.1, 168.7, 173.9.

**** **1-Methyl-*N*-(4-morpholinophenyl)piperidine-4-carboxamide (OSA\_000762).** The title compound was prepared according to General Procedure D using N-(4-bromophenyl)-1-methylpiperidine-4-carboxamide (75 mg, 0.252 mmol) and morpholine. The crude material was purified by flash chromatography (10-40% MeOH:DCM, step gradient)​ to afford the title compound as a tan solid (33 mg, 43%). LCMS [M+H]+ 304.2 m/z. 1H NMR (500 MHz, METHANOL-d4) δ ppm 7.39 - 7.46 (m, 2 H) 6.89 - 6.96 (m, 2 H) 3.75 - 3.87 (m, 4 H) 3.04 - 3.11 (m, 4 H) 3.00 (d, J=12.0 Hz, 2 H) 2.33 - 2.42 (m, 1 H) 2.33 (s, 3 H) 2.10 - 2.22 (m, 2 H) 1.80 - 1.92 (m, 4 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 29.5, 43.8, 46.2, 51.1, 55.9, 68.0, 117.4, 122.7, 132.7, 149.7, 175.7.

**** **1-Methyl-*N*-(4-(piperidin-1-yl)phenyl)piperidine-4-carboxamide (OSA\_000763).** The title compound was prepared according to General Procedure D using N-(4-bromophenyl)-1-methylpiperidine-4-carboxamide (100 mg, 0.336 mmol) and piperidine. The crude material was purified by flash chromatography (5-50% MeOH:DCM) to afford the title compound as a beige solid (66 mg, 66%). LCMS [M+H]+ 302.3 m/z. 1H NMR (500 MHz, METHANOL-d4) δ ppm 7.35 - 7.42 (m, 2 H) 6.90 - 6.97 (m, 2 H) 3.02 - 3.10 (m, 4 H) 2.95 (d, J=11.7 Hz, 2 H) 2.29 - 2.37 (m, 1 H) 2.28 (s, 3 H) 2.02 - 2.14 (m, 2 H) 1.82 - 1.89 (m, 4 H) 1.71 (quin, J=5.6 Hz, 4 H) 1.52 - 1.62 (m, 2 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 25.3, 26.9, 29.6, 44.0, 46.4, 52.8, 56.0, 118.7, 122.6, 132.6, 150.5, 175.8.

**** ***N*-(3-(cyclohexylamino)phenyl)-1-methylpiperidine-4-carbox-amide (OSA\_000764).** The title compound was prepared according to General Procedure D using *N*-(3-bromophenyl)-1-methylpiperidine-4-carboxamide (100 mg, 0.336 mmol) and cyclohexylamine. The crude material was purified by flash chromatography (5-50% MeOH:DCM) to afford the title compound as a tan solid (25 mg, 24%). LCMS [M+H]+ 316.2 m/z. 1H NMR (500 MHz, METHANOL-d4) δ ppm 7.00 (t, J=8.0 Hz, 1 H) 6.96 (t, J=2.0 Hz, 1 H) 6.74 (dd, J=7.9, 0.9 Hz, 1 H) 6.38 (dd, J=8.0, 1.7 Hz, 1 H) 3.19 (tt, J=10.4, 3.6 Hz, 1 H) 2.95 (d, J=11.3 Hz, 2 H) 2.30 - 2.39 (m, 1 H) 2.29 (s, 3 H) 2.05 - 2.14 (m, 2 H) 1.96 - 2.05 (m, 2 H) 1.82 - 1.88 (m, 4 H) 1.71 - 1.80 (m, 2 H) 1.60 - 1.69 (m, 1 H) 1.30 - 1.45 (m, 2 H) 1.24 (tt, J=12.3, 3.2 Hz, 1 H) 1.15 (qd, J=12.3, 2.8 Hz, 2 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 26.3, 27.2, 29.6, 34.3, 44.0, 46.3, 53.1, 56.0, 106.2, 109.8, 111.2, 130.3, 140.7, 149.9, 175.9.

**** ***N*-(4-(Isopropylamino)phenyl)-1-methylpiperidine-4-carboxamide (OSA\_000765).** The title compound was prepared according to General Procedure D using *N*-(4-bromophenyl)-1-methylpiperidine-4-carboxamide (75 mg, 0.252 mmol) and isopropylamine. The crude material was purified by flash chromatography (10-40% MeOH:DCM, step gradient) to afford the title compound as an off-white solid (15 mg, 21%). LCMS [M+H]+ 276.2 m/z. 1H NMR (500 MHz, METHANOL-d4) δ ppm 7.22 - 7.27 (m, 2 H) 6.61 (d, J=8.8 Hz, 2 H) 3.56 (spt, J=6.3 Hz, 1 H) 2.99 (d, J=11.3 Hz, 2 H) 2.29 - 2.38 (m, 4 H) 2.11 - 2.19 (m, 2 H) 1.83 - 1.90 (m, 4 H) 1.17 (d, J=6.3 Hz, 6 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 22.9, 29.5, 43.6, 45.8, 46.2, 55.9, 115.2, 123.4, 129.6, 146.6, 175.5.

***N*-(4-(cyclohexylamino)phenyl)-1-methylpiperidine-4-carbox-amide (OSA\_000766).** The title compound was prepared according to General Procedure D using *N*-(4-bromophenyl)-1-methylpiperidine-4-carboxamide (100 mg, 0.336 mmol) and cyclohexylamine. The crude material was purified by flash chromatography (5-50% MeOH:DCM) to afford the title compound as a beige solid (76 mg, 72%). LCMS [M+H]+ 316.2 m/z. 1H NMR (500 MHz, METHANOL-d4) δ ppm 7.21 - 7.27 (m, 2 H) 6.58 - 6.64 (m, 2 H) 3.14 - 3.21 (m, 1 H) 3.05 - 3.13 (m, 2 H) 2.38 - 2.48 (m, 4 H) 2.29 - 2.37 (m, 2 H) 1.96 - 2.04 (m, 2 H) 1.83 - 1.94 (m, 4 H) 1.77 (dt, J=13.5, 3.5 Hz, 2 H) 1.66 (dt, J=12.8, 3.7 Hz, 1 H) 1.33 - 1.45 (m, 2 H) 1.24 (tt, J=12.3, 3.6 Hz, 1 H) 1.09 - 1.20 (m, 2 H). 13C NMR (500 MHz, METHANOL-d4) δ ppm 26.3, 27.2, 29.1, 34.2, 43.1, 45.7, 53.5, 55.6, 115.0, 123.4, 129.4, 146.5, 175.1.