Supporting Information

Photocatalytic Intermolecular Bromonitroalkylation of Styrenes: Synthesis of Cyclopropylamine Derivatives and their Evaluation as LSD1 Inhibitors

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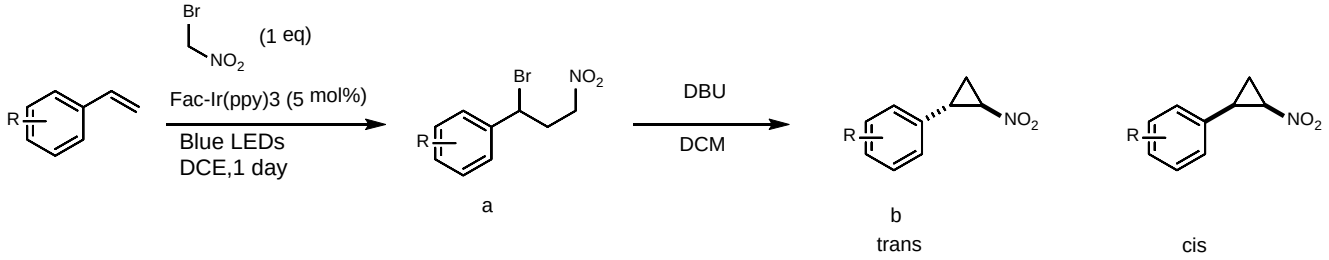
1. Generals

For irradiation, blue LED lamps (Kessil A160WE, purchased from amazon.com) were placed 4 centimeters away from the reaction vials. Unless otherwise noted, all solvents and reagents including styrenes, ethyl 2-bromo-2,2-difluoroacetate and photocatalysts were purchased from commercial suppliers (Sigma-Aldrich, TCI, Alfa-Aesar, and Angene) and used without further purification. All reactions were performed under an atmosphere of dry argon. Thin Layer Chromatography (TLC) was performed on Merck (Silica gel 60, F-254, 0.25 mm). Chromatographic purifications were performed under gradient using a Combiflash® system and prepacked disposable silica cartridges using commercial 60 Å silica gel. NMR spectra were recorded on a Bruker AVANCE III HD (400, 101 and 376 MHz for ^{1}H, ^{13}C, and ^{19}F NMR respectively) spectrometer. Chemical shifts are reported as δ values in parts per million downfield from solvents as internal standards ($CDCl_3$: 7.26 ppm for ^{1}H NMR and 77.04 ppm for ^{13}C NMR, MeOD: 3.31 ppm for ^{1}H NMR and 49.00 ppm for ^{13}C NMR). High resolution mass spectra (HRMS) were obtained by electron impact (EI) and fast atom bombardment (FAB) ionization technique (JMS 700, Joel, Japan, magnetic sector – electric sector double focusing mass analyzer) from the KBSI (Korea Basic Science Institute Daegu Center).

2. Synthesis and characterization of new compounds

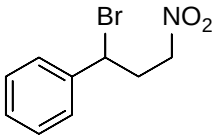


General procedure for photocatalytic intermolecular bromonitroalkylation of styrenes (A)

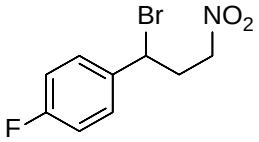
To a vial equipped with a stir bar was added the styrene (52 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil.

General procedure for base promoted cyclization (B)

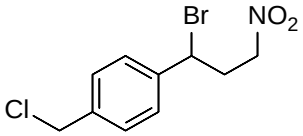
To a vial equipped with a stir bar was added A (49 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na2SO4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil.



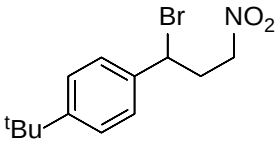
(1-bromo-3-nitropropyl)benzene (8b). To a vial equipped with a stir bar was added Styrene (52 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.27 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.30 (m, 5H), 5.08 – 5.00 (m, 1H), 4.54 (dtd, *J* = 20.3, 13.9, 6.7 Hz, 2H), 2.95 – 2.70 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) ppm 140.16, 129.12, 129.11, 127.19, 73.46, 50.19, 36.97; HRMS (ESI): Exact mass calcd for $C_9H_{10}BrNO_2$ $[M+]^+$ 242.9895, found 242.9898.



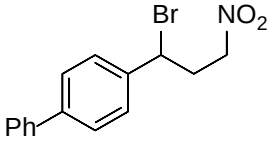
1-(1-bromo-3-nitropropyl)-4-fluorobenzene (8c). To a vial equipped with a stir bar was added 1-fluoro-4-vinylbenzene (61 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.21 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.34 (m, 2H), 7.14 – 6.99 (m, 2H), 5.04 (dd, *J* = 9.3, 5.7 Hz, 1H), 4.65 – 4.45 (m, 2H), 2.90 – 2.69 (m, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.97, 161.50, 136.19, 129.05, 116.19 , 115.98, 73.38, 49.28, 37.07.; HRMS (ESI): Exact mass calcd for $C_9H_9BrFNO_2$ $[M+H]^+$ 260.9801, found 261.9696



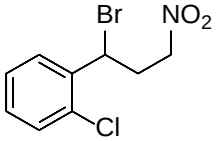
1-(1-bromo-3-nitropropyl)-4-(chloromethyl)benzene (8d). To a vial equipped with a stir bar was added 1-(chloromethyl)-4-vinylbenzene (76 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.3 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 (d, *J* = 5.8 Hz, 4H), 5.04 (dd, *J* = 9.3, 5.7 Hz, 1H), 4.62 (dd, *J* = 7.4, 6.5 Hz, 1H), 4.58 (s, 2H), 4.50 (dt, *J* = 6.2, 4.3 Hz, 1H), 2.94 – 2.71 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 140.36, 138.41, 129.28, 127.61, 73.37, 49.50, 45.48, 36.85. ; HRMS (ESI): Exact mass calcd for $C_{10}H_{11}BrClNO_2$ $[M+]^+$ 290.9662, found 290.9200.



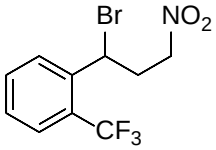
1-(1-bromo-3-nitropropyl)-4-(tert-butyl)benzene (8e). To a vial equipped with a stir bar was added 1-(tert-butyl)-4-vinylbenzene (80 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25µmol), Bromonitromethane (70 mg, 500 µmol), and DCE(1 mL). The resulting mixture was allowed to stir at rt for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.25 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.37 (m, 2H), 7.36 – 7.27 (m, 2H), 5.08 – 5.01 (m, 1H), 4.54 (dtd, *J* = 20.3, 13.9, 6.7 Hz, 2H), 2.99 – 2.71 (m, 2H), 1.32 (s, 9H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 152.31, 137.11, 126.87, 126.03, 73.54, 50.29, 36.97, 34.72, 31.26.; HRMS (ESI): Exact mass calcd for $C_{13}H_{18}BrNO_2$ $[M]^+$ 299.0521, found 299.0519.



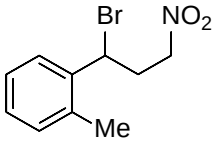
4-(1-bromo-3-nitropropyl)-1,1'-biphenyl (8f). To a vial equipped with a stir bar was added 4-vinyl-1,1'-biphenyl (90 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.22 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.59 (t, *J* = 7.9 Hz, 4H), 7.51 – 7.42 (m, 4H), 7.38 (t, *J* = 7.3 Hz, 1H), 5.11 (dd, *J* = 9.1, 5.8 Hz, 1H), 4.59 (dtd, *J* = 20.3, 13.9, 6.7 Hz, 2H), 2.99 – 2.79 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 142.10, 140.15, 139.04, 128.90, 127.94,127.53, 127.12, 73.46, 49.93, 36.94. ; HRMS (ESI): Exact mass calcd for $C_{15}H_{14}BrNO_2$ $[M+]^+$ 319.0208, found 319.0206.



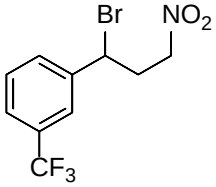
1-(1-bromo-3-nitropropyl)-2-chlorobenzene (8g). To a vial equipped with a stir bar was added 1-chloro-2-vinylbenzene (69 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), Bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.23 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, *J* = 7.7 Hz, 1H), 7.33 (ddd, *J* = 24.2, 15.9, 7.5 Hz, 3H), 5.54 (dd, *J* = 9.4, 5.2 Hz, 1H), 4.60 (dd, *J* = 9.3, 5.1 Hz, 2H), 2.98 – 2.68 (m, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 137.45, 132.71, 130.14, 130.11, 128.76, 127.80, 73.24, 45.44, 35.89. ; HRMS (ESI): Exact mass calcd for $C_9H_9BrClNO_2$ $[M+]^+$ 276.9505, found 276.9508.



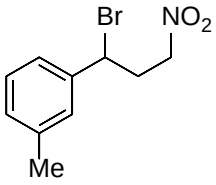
1-(1-bromo-3-nitropropyl)-2-(trifluoromethyl)benzene (8h). To a vial equipped with a stir bar was added 1-(trifluoromethyl)-2-vinylbenzene (86 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.25 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 8.2 Hz, 1H), 7.64 (dd, *J* = 7.6, 5.7 Hz, 2H), 7.45 (t, *J* = 7.7 Hz, 1H), 5.41 (dd, *J* = 9.4, 5.4 Hz, 1H), 4.65 – 4.49 (m, 2H), 2.95 – 2.73 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 139.11, 132.90, 129.94, 128.94, 125.84, 125.22, 122.50, 73.13, 43.93, 37.21.; ^{19}F NMR (376 MHz, $CDCl_3$) δ -114.12 (tt, *J* = 8.5, 5.2 Hz).; HRMS (ESI): Exact mass calcd for $C_{10}H_9BrF_3NO_2$ $[M+]^+$ 310.9769, found 310.9765.



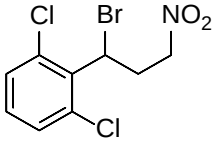
1-(1-bromo-3-nitropropyl)-2-methylbenzene (8i). To a vial equipped with a stir bar was added 1-methyl-2-vinylbenzene (59 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.22 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 – 7.42 (m, 1H), 7.29 – 7.15 (m, 3H), 5.30 (dd, *J* = 9.6, 5.2 Hz, 1H), 4.69 – 4.52 (m, 2H), 2.97 – 2.77 (m, 2H), 2.38 (s, 3H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 138.11, 135.58, 131.07, 128.95, 127.00, 126.49, 73.50, 46.68, 35.92, 19.05. ; HRMS (ESI): Exact mass calcd for $C_{10}H_{12}BrNO_2$ $[M+]^+$ 257.0051, found 257.0048.



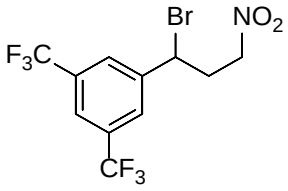
1-(1-bromo-3-nitropropyl)-3-(trifluoromethyl)benzene (8j). To a vial equipped with a stir bar was added 1-(trifluoromethyl)-3-vinylbenzene (86 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.23 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 (s, 1H), 7.61 (d, *J* = 7.7 Hz, 2H), 7.52 (t, *J* = 7.7 Hz, 1H), 5.09 (dd, *J* = 9.3, 5.5 Hz, 1H), 4.60 (dtd, *J* = 20.2, 13.9, 6.6 Hz, 2H), 2.92 – 2.73 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 141.33, 131.67, 131.34, 130.63, 129.73, 125.88, 124.02, 73.22, 48.78, 36.76.; ^{19}F NMR (376 MHz, $CDCl_3$) δ -58.72 (s).; HRMS (ESI): Exact mass calcd for $C_{10}H_9BrF_3NO_2$ $[M-F]^+$ 310.9769, found 291.9787.



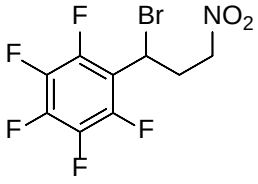
1-(1-bromo-3-nitropropyl)-3-methylbenzene (8k). To a vial equipped with a stir bar was added 1-methyl-3-vinylbenzene (59 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.23 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.26 (dd, *J* = 8.9, 6.1 Hz, 1H), 7.22 – 7.12 (m, 3H), 5.01 (dd, *J* = 9.1, 5.8 Hz, 1H), 4.54 (dtd, *J* = 20.4, 13.9, 6.7 Hz, 2H), 2.94 – 2.69 (m, 2H), 2.36 (s, 3H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 140.05, 138.91, 129.91, 128.97, 127.82, 124.20, 73.48, 50.31, 36.97, 21.39. ; HRMS (ESI): Exact mass calcd for $C_{10}H_{12}BrNO_2$ $[M+]^+$ 257.0051, found 257.0047.



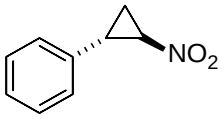
2-(1-bromo-3-nitropropyl)-1,3-dichlorobenzene (8l). To a vial equipped with a stir bar was added 1,3-dichloro-2-vinylbenzene (87 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.25 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 – 7.31 (m, 2H), 7.21 (t, *J* = 8.0 Hz, 1H), 5.93 (dd, *J* = 9.6, 5.7 Hz, 1H), 4.62 – 4.45 (m, 2H), 3.33 (ddt, *J* = 15.6, 9.6, 6.0 Hz, 1H), 2.96 (dt, *J* = 14.3, 6.0 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 136.23, 134.90, 133.74, 130.90, 130.33, 128.86, 73.08, 43.22, 33.08. ; HRMS (ESI): Exact mass calcd for $C_9H_8BrCl_2NO_2$ $[M+]^+$ 312.9720, found 312.9098.



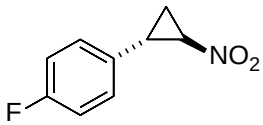
1-(1-bromo-3-nitropropyl)-3,5-bis(trifluoromethyl)benzene (8m). To a vial equipped with a stir bar was added 1,3-bis(trifluoromethyl)-5-vinylbenzene (120 mg, 500 µmol), *fac*-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.25 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, *J* = 8.2 Hz, 3H), 5.16 (t, *J* = 7.4 Hz, 1H), 4.81 – 4.54 (m, 2H), 2.84 (dd, *J* = 13.3, 6.9 Hz, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 142.97, 133.06 - 132.05, 127.51, 126.95, 124.24, 122.94, 121.53, 118.82, 72.96, 47.53, 36.50.; ^{19}F NMR (376 MHz, $CDCl_3$) δ -60.76 (s), -61.20 (s).; HRMS (ESI): Exact mass calcd for $C_{11}H_8BrF_6NO_2$ $[M-F]^+$ 378.9643, found 359.9660.



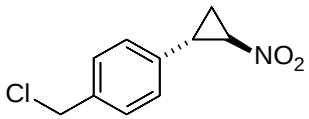
1-(1-bromo-3-nitropropyl)-2,3,4,5,6-pentafluorobenzene (8n). To a vial equipped with a stir bar was added 1,2,3,4,5-pentafluoro-6-vinylbenzene (97 mg, 500 µmol), fac-Ir(ppy)$_3$ (16 mg, 25 µmol), bromonitromethane (70 mg, 500 µmol), and DCE (1 mL). The resulting mixture was allowed to stir for 24 h with blue LEDs. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.25 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 5.34 (dd, *J* = 10.3, 4.8 Hz, 1H), 4.74 – 4.52 (m, 2H), 3.06 (qd, *J* = 11.0, 5.7 Hz, 1H), 2.86 (ddt, *J* = 15.4, 8.3, 5.2 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 146.13 – 146.09, 143.62 - 143.58, 143.18 – 142.91, 140.62 – 140.35, 139.30 - 138.97, 114.31-113.98, 72.81, 34.99, 34.05.; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.75 (s).; HRMS (ESI): Exact mass calcd for $C_9H_5BrFNO_2$ $[M]^+$ 332.9424, found 332.9419.



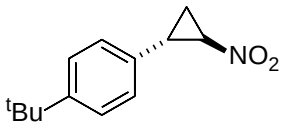
***trans*-2-nitrocyclopropyl)benzene (9b).** To a vial equipped with a stir bar was added (1-bromo-3-nitropropyl)benzene (49 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.33 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.20 (m, 3H), 7.16 – 7.06 (m, 2H), 4.41 (ddd, *J* = 7.1, 3.8, 3.2 Hz, 1H), 3.13 (ddd, *J* = 10.8, 7.9, 3.0 Hz, 1H), 2.23 (ddd, *J* = 10.5, 6.2, 4.0 Hz, 1H), 1.67 (td, *J* = 7.5, 6.4 Hz, 1H).; HRMS (ESI): Exact mass calcd for $C_9H_9NO_2$ $[M]^+$ 163.0633, found 163.0635.



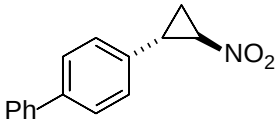
***trans*-1-fluoro-4-(2-nitrocyclopropyl)benzene (9c).** To a vial equipped with a stir bar was added 1-(1-bromo-3-nitropropyl)-4-fluorobenzene (52 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.25 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.14 – 7.06 (m, 2H), 7.06 – 6.96 (m, 2H), 4.42 – 4.31 (m, 1H), 3.12 (ddd, *J* = 10.8, 7.9, 3.0 Hz, 1H), 2.24 (ddd, *J* = 10.5, 6.3, 4.0 Hz, 1H), 1.64 (dd, *J* = 14.0, 7.5 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.47, 161.02, 132.02, 128.45, 115.91, 115.69, 61.48, 28.64, 18.64.; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.80 (s).; HRMS (ESI): Exact mass calcd for $C_9H_8FNO_2$ $[M+H]^+$ 181.0539, found 181.0538.



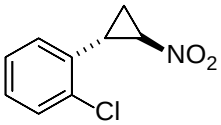
***trans*-1-(chloromethyl)-4-(2-nitrocyclopropyl)benzene (9d)**. To a vial equipped with a stir bar was added 1-(1-bromo-3-nitropropyl)-4-(chloromethyl)benzene (49 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.33 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.35 (d, *J* = 8.1 Hz, 2H), 7.11 (t, *J* = 8.1 Hz, 2H), 4.56 (s, 2H), 4.44 – 4.33 (m, 1H), 3.13 (ddd, *J* = 10.8, 7.8, 3.1 Hz, 1H), 2.25 (ddd, *J* = 10.5, 6.3, 4.0 Hz, 1H), 1.73 – 1.62 (m, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 137.12, 136.63, 129.51, 129.08,127.14, 127.08, 61.54, 45.61, 28.96, 18.72.; HRMS (ESI): Exact mass calcd for $C_{10}H_{10}ClNO_2$ $[M]^+$ 211.0400, found 211.0398.



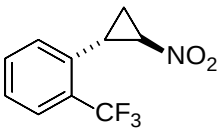
***trans*-1-(tert-butyl)-4-(2-nitrocyclopropyl)benzene (9e).** To a vial equipped with a stir bar was added 1-(1-bromo-3-nitropropyl)-4-(tert-butyl)benzene (60 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.28 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 – 7.31 (m, 2H), 7.06 (d, *J* = 8.3 Hz, 2H), 4.44 – 4.34 (m, 1H), 3.10 (ddd, *J* = 10.8, 7.9, 2.9 Hz, 1H), 2.22 (ddd, *J* = 10.4, 6.2, 3.9 Hz, 1H), 1.65 (dd, *J* = 13.9, 7.4 Hz, 1H), 1.31 (d, *J* = 3.2 Hz, 9H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 150.89 , 133.34, 126.39, 125.77, 77.35, 77.04, 76.72, 61.67, 34.56, 31.27, 29.17, 18.74. ; HRMS (ESI): Exact mass calcd for $C_{13}H_{17}NO_2$ $[M]^+$ 219.1259, found 219.1260.



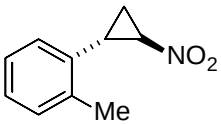
***trans*-4-(2-nitrocyclopropyl)-1,1'-biphenyl (9f).** To a vial equipped with a stir bar was added 4-(1-bromo-3-nitropropyl)-1,1'-biphenyl (64 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.26 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, MeOD) δ 7.60 (ddd, *J* = 6.3, 4.1, 1.6 Hz, 4H), 7.44 (dd, *J* = 10.4, 4.8 Hz, 2H), 7.34 (t, *J* = 7.4 Hz, 1H), 7.29 (d, *J* = 8.3 Hz, 2H), 4.69 – 4.58 (m, 1H), 3.16 (ddd, *J* = 10.8, 7.9, 3.0 Hz, 1H), 2.23 (ddd, *J* = 10.4, 6.2, 3.9 Hz, 1H), 1.86 – 1.74 (m, 1H).; ^{13}C NMR (101 MHz, MeOD) δ 140.38, 140.27, 128.49, 127.06, 126.87, 126.78, 126.45, 61.31, 28.65, 18.04. ; HRMS (ESI): Exact mass calcd for $C_{15}H_{13}NO_2$ $[M]^+$ 239.0946, found 239.0944.



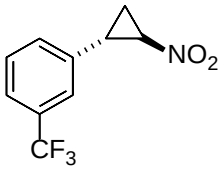
***trans*-1-chloro-2-(2-nitrocyclopropyl)benzene (9g)**. To a vial equipped with a stir bar was added 1-(1-bromo-3-nitropropyl)-2-chlorobenzene (56 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.26 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 – 7.38 (m, 1H), 7.30 – 7.18 (m, 2H), 7.05 (dd, *J* = 6.6, 2.6 Hz, 1H), 4.33 (dt, *J* = 7.2, 3.6 Hz, 1H), 3.31 (ddd, *J* = 11.0, 8.2, 3.2 Hz, 1H), 2.27 (ddd, *J* = 10.4, 6.2, 3.9 Hz, 1H), 1.70 (dd, *J* = 14.1, 7.5 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 135.81, 133.89, 129.72, 129.15, 127.82, 127.03, 60.81, 27.61, 17.72. ; HRMS (ESI): Exact mass calcd for $C_9H_8ClNO_2$ $[M]^+$ 197.0244, found 197.0243.



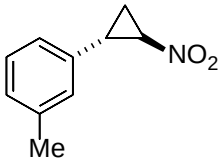
***trans*-1-(2-nitrocyclopropyl)-2-(trifluoromethyl)benzene (9h).** To a vial equipped with a stir bar was added 1-(1-bromo-3-nitropropyl)-2-(trifluoromethyl)benzene (62 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.28 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, *J* = 7.8 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.17 (d, *J* = 7.7 Hz, 1H), 4.39 (dt, *J* = 7.4, 3.7 Hz, 1H), 3.42 (t, *J* = 8.8 Hz, 1H), 2.27 (ddd, *J* = 10.5, 6.4, 4.0 Hz, 1H), 1.76 (dd, *J* = 14.4, 7.5 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 134.10, 132.24, 128.06, 127.81, 126.58 (q, *J* = 5.6 Hz), 125.48, 122.76, 60.99, 26.27 (d, *J* = 2.1 Hz), 17.43.; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.95 (s).; HRMS (ESI): Exact mass calcd for $C_{10}H_8F_3NO_2$ $[M+H]^+$ 231.0507, found 232.0583.



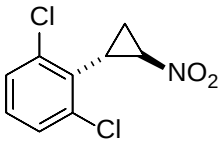
***trans*-1-methyl-2-(2-nitrocyclopropyl)benzene (9i)**. To a vial equipped with a stir bar was added 1-(1-bromo-3-nitropropyl)-2-methylbenzene (52 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.26 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.22 – 7.19 (m, 2H), 7.19 – 7.13 (m, 1H), 6.98 (d, *J* = 7.4 Hz, 1H), 4.32 (dt, *J* = 7.1, 3.5 Hz, 1H), 3.17 – 3.05 (m, 1H), 2.38 (s, 3H), 2.29 – 2.17 (m, 1H), 1.77 – 1.65 (m, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 138.13, 134.22, 130.31, 127.92, 126.20, 126.19, 60.98, 28.17, 19.50, 17.77. ; HRMS (ESI): Exact mass calcd for $C_{10}H_{11}NO_2$ $[M]^+$ 177.0790, found 177.0788.



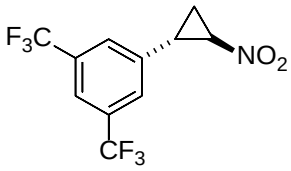
***trans*-1-(2-nitrocyclopropyl)-3-(trifluoromethyl)benzene (9j).** To a vial equipped with a stir bar was added 1-(1-bromo-3-nitropropyl)-3-(trifluoromethyl)benzene (62 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.27(20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56 (d, *J* = 7.8 Hz, 1H), 7.47 (t, *J* = 7.7 Hz, 1H), 7.38 (s, 1H), 7.33 (d, *J* = 7.7 Hz, 1H), 4.45 (dt, *J* = 6.9, 2.9 Hz, 1H), 3.20 (dd, *J* = 13.4, 5.0 Hz, 1H), 2.38 – 2.21 (m, 1H), 1.71 (td, *J* = 7.5, 0.8 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 137.35, 131.85 - 130.88(m), 130.20 (d, *J* = 1.1 Hz), 129.41, 125.13, 124.58 (q, *J* = 3.8 Hz), 123.54 (q, *J* = 3.8 Hz), 122.43, 61.30, 28.60, 18.53.; ^{19}F NMR (376 MHz, $CDCl_3$) δ -63.00 (s).; HRMS (ESI): Exact mass calcd for $C_{10}H_8F_3NO_2$ $[M]^+$ 231.0507, found 231.0504.



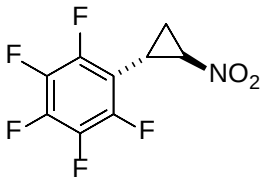
***trans*-1-methyl-3-(2-nitrocyclopropyl)benzene (9k).** To a vial equipped with a stir bar was added 1-(1-bromo-3-nitropropyl)-3-methylbenzene (52 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.28 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.21 (t, *J* = 7.6 Hz, 1H), 7.09 (d, *J* = 7.6 Hz, 1H), 6.95 – 6.86 (m, 2H), 4.45 – 4.34 (m, 1H), 3.10 (ddd, *J* = 10.8, 7.9, 3.0 Hz, 1H), 2.34 (s, 3H), 2.22 (ddd, *J* = 10.4, 6.2, 4.0 Hz, 1H), 1.66 (dd, *J* = 13.8, 7.5 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 138.61, 136.28, 128.73, 128.46, 127.44, 123.63, 61.63, 29.40, 21.32, 18.73. ; HRMS (ESI): Exact mass calcd for $C_{10}H_{11}NO_2$ $[M]^+$ 177.0790, found 177.0789.



***trans*-1,3-dichloro-2-(2-nitrocyclopropyl)benzene (9l).** To a vial equipped with a stir bar was added 2-(1-bromo-3-nitropropyl)-1,3-dichlorobenzene (63 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.28 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 (t, *J* = 6.7 Hz, 2H), 7.23 – 7.13 (m, 1H), 4.48 (dt, *J* = 7.5, 3.9 Hz, 1H), 3.11 – 2.96 (m, 1H), 2.44 (ddd, *J* = 10.7, 6.6, 4.2 Hz, 1H), 1.84 – 1.72 (m, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 137.15, 131.28, 129.64, 128.74, 62.19, 26.29, 20.92.; HRMS (ESI): Exact mass calcd for $C_9H_7Cl_2NO_2$ $[M]^+$ 230.9854, found 230.9855.

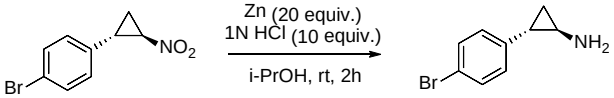


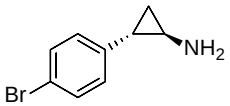
***trans*-1-(2-nitrocyclopropyl)-3,5-bis(trifluoromethyl)benzene (9m).** To a vial equipped with a stir bar was added 1-(1-bromo-3-nitropropyl)-3,5-bis(trifluoromethyl)benzene (76 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.29 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (s, 1H), 7.59 (s, 2H), 4.53 – 4.41 (m, 1H), 3.27 (ddd, *J* = 10.8, 7.8, 3.0 Hz, 1H), 2.36 (ddd, *J* = 10.7, 6.6, 4.1 Hz, 1H), 1.76 (dd, *J* = 14.4, 7.5 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 138.89, 132.92 – 131.92(m), 127.10 (d, *J* = 2.6 Hz), 124.31, 121.71 (dd, *J* = 11.5, 7.7 Hz), 121.59, 118.88, 61.02, 27.93, 18.43.; ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.00 (s), -151.47 – -151.92 (m), -160.10 (dt, *J* = 21.6, 8.2 Hz).; ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.00 (s), -151.47 – -151.92 (m), -160.10 (dt, *J* = 21.6, 8.2 Hz).; HRMS (ESI): Exact mass calcd for $C_{11}H_7F_6NO_2$ $[M]^+$ 299.0381, found 299.0383



***trans*-1,2,3,4,5-pentafluoro-6-(2-nitrocyclopropyl)benzene (9n).** To a vial equipped with a stir bar was added 1-(1-bromo-3-nitropropyl)-2,3,4,5,6-pentafluorobenzene (67 mg, 200 µmol), DBU (30 mg, 200 µmol), and DCM (1 mL). The resulting mixture was allowed to stir at rt for 1 h. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20% ethyl acetate in hexanes) afforded the desired product as a colorless oil. R_f = 0.28 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.71 (dt, *J* = 7.4, 3.7 Hz, 1H), 3.09 (ddd, *J* = 11.1, 8.1, 3.2 Hz, 1H), 2.32 (ddd, *J* = 10.8, 6.4, 4.2 Hz, 1H), 1.85 (dd, *J* = 14.5, 7.5 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 147.12 (td, *J* = 7.7, 3.9 Hz), 144.64 (ddd, *J* = 15.5, 7.7, 3.8 Hz), 142.31 – 141.76 (m), 139.77 – 139.25 (m), 138.91 (dd, *J* = 20.7, 9.0 Hz), 136.48 (dd, *J* = 20.6, 8.9 Hz), 109.96 (td, *J* = 15.0, 4.2 Hz), 59.00, 17.87 (d, *J* = 1.7 Hz), 17.26 (t, *J* = 3.2 Hz).; ^{19}F NMR (376 MHz, $CDCl_3$) δ -143.09 (dd, *J* = 21.2, 7.4 Hz), -153.81 (d, *J* = 0.9 Hz), -153.86 (s), -153.92 (s), -161.17 – -161.60 (m).; HRMS (ESI): Exact mass calcd for $C_9H_4F_6NO_2$ $[M]^+$ 253.0162, found 253.0160.

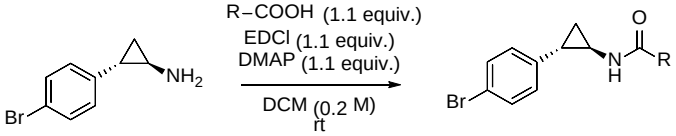
Nitro reduction





***trans*-(4-bromophenyl)cyclopropan-1-amine (10).** To a vial equipped with a stir bar was added Zn powder (9.72 g, 149 mmol), aqueous 1N HCl solution (74.4 mL, 74.4 mmol), the nitrocyclopropane (7.44 mmol), and isopropyl alcohol (40 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction was quenched by the addition of saturated $NaHCO_3$ solution. The mixture was stirred vigorously for 20 min, and then filtered through Celite. The resulting solution was extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated. Flash column chromatography of the residue (SiO_2, 50% ethyl acetate in hexanes) afforded the desired product as a colorless oil. Flash column chromatography of the residue (SiO_2, 50% ethyl acetate in hexanes) afforded the desired product as a colorless oil (850 mg, 54%). R_f = 0.32 (50% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, J = 8.4 Hz, 2H), 6.88 (d, J = 8.4 Hz, 2H), 2.56 – 2.46 (m, 1H), 1.89 – 1.76 (m, 3H), 1.06 (dt, J = 9.5, 4.8 Hz, 1H), 0.93 (dd, J = 12.6, 5.6 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 141.51, 131.21, 127.40, 118.92, 35.45, 25.96, 18.59.

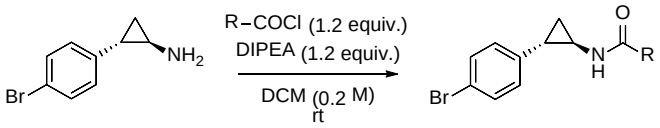
Amide coupling



General procedure for amide coupling

To a vial equipped with a stir bar was added 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(Dimethylamino)pyridine (13.4 mg, 110 µmol), the carboxylic acid (110 µmol), the aminocyclopropane (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 0-10-20-50% ethyl acetate in hexanes) afforded the desired product as a white solid.

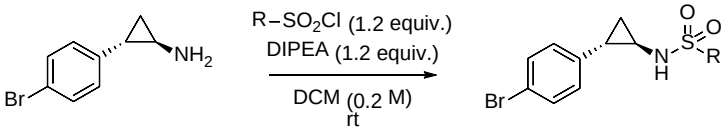
Amide coupling



General procedure for amide coupling

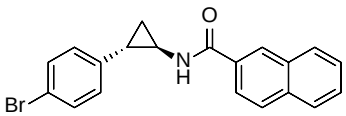
To a vial equipped with a stir bar was added *N*,*N*-Diisopropylethylamine (20.9 µL, 120 µmol), the acid chloride (120 µmol), the aminocyclopropane (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 0-10-20-50% ethyl acetate in hexanes) afforded the desired product as a white solid.

Sulfonamide synthesis

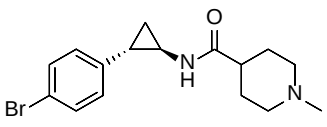


General procedure for sulfonamide synthesis

To a vial equipped with a stir bar was added *N*,*N*-Diisopropylethylamine (20.9 µL, 120 µmol), the sulfonyl chloride (120 µmol), the aminocyclopropane (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 0-10-20-50% ethyl acetate in hexanes) afforded the desired product as a white solid.

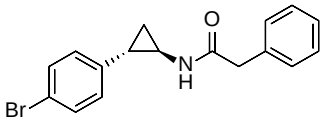


***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)-2-naphthamideamine (11a).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), 2-naphthoic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (30.1 mg, 83%). R_f = 0.32 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (s, 1H), 7.95 – 7.85 (m, 3H), 7.83 (dd, J = 8.6, 1.6 Hz, 1H), 7.62 – 7.51 (m, 2H), 7.42 (d, J = 8.4 Hz, 2H), 7.15 (d, J = 8.3 Hz, 2H), 6.53 (s, 1H), 3.08 (dt, J = 7.3, 3.2 Hz, 1H), 2.24 – 2.14 (m, 1H), 1.39 – 1.30 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 168.65, 139.42, 134.84, 132.61, 131.45, 131.28, 128.92, 128.64, 128.57, 127.79, 127.41, 126.86, 123.44, 119.98, 32.53, 24.79, 15.92.; HRMS (ESI): Exact mass calcd for $C_{20}H_{16}BrNO$ $[M+H]^+$ 365.0415, found 365.0418.

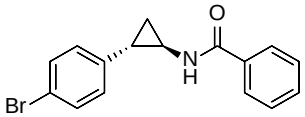


***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)-1-methylpiperidine-4-carboxamide (11b).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), 1-methylpiperidine-4-carboxylic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20-40-60% ethyl acetate in hexanes) afforded the desired product as a white solid (18.2 mg, 54%).

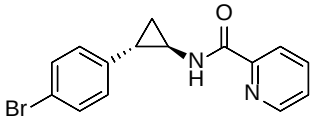
R_f = 0.32 (50% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 (d, J = 8.4 Hz, 2H), 7.06 (d, J = 8.4 Hz, 2H), 5.71 (s, 1H), 2.93 – 2.85 (m, 2H), 2.82 (dt, J = 7.5, 3.3 Hz, 1H), 2.27 (s, 3H), 2.08 – 1.88 (m, 4H), 1.86 – 1.71 (m, 4H), 1.20 (dd, J = 13.6, 6.2 Hz, 1H), 1.15 – 1.07 (m, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.09, 139.46, 131.36, 128.56, 119.86, 55.18, 46.35, 42.57, 31.88, 28.96, 28.89, 24.59, 15.83.; HRMS (ESI): Exact mass calcd for $C_{16}H_{21}BrN_2O$ $[M+H]^+$ 336.0837, found 366.0835.



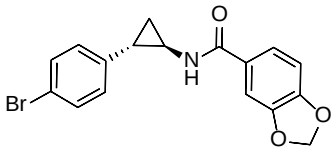
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)-2-phenylacetamide (11c).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), the carboxylic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (24.3 mg, 73%). R_f = 0.35 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (dd, J = 7.7, 6.2 Hz, 3H), 7.32 (d, J = 7.1 Hz, 1H), 7.25 (d, J = 6.8 Hz, 2H), 7.02 (d, J = 8.4 Hz, 2H), 5.57 (s, 1H), 3.58 (s, 2H), 2.79 (td, J = 7.5, 3.3 Hz, 1H), 1.92 (ddd, J = 9.6, 6.3, 3.4 Hz, 1H), 1.15 (dt, J = 27.0, 13.4 Hz, 1H), 1.09 – 0.95 (m, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.13, 139.37, 134.70, 131.36, 129.41, 129.11, 128.48, 127.46, 119.88, 43.75, 32.07, 24.44, 15.84.; HRMS (ESI): Exact mass calcd for $C_{17}H_{16}BrNO$ $[M+H]^+$ 329.0415, found 329.0417.



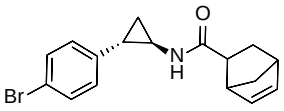
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)-2-naphthamideamine (11d).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), 2-phenylacetic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (25.5 mg, 80%). R_f = 0.34 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7 7.77 (d, J = 7.2 Hz, 2H), 7.52 (t, J = 7.3 Hz, 1H), 7.43 (dd, J = 15.3, 8.1 Hz, 4H), 7.12 (d, J = 8.3 Hz, 2H), 6.37 (s, 1H), 3.02 (td, J = 7.5, 3.3 Hz, 1H), 2.14 (ddd, J = 9.6, 6.5, 3.4 Hz, 1H), 1.30 (ddt, J = 13.0, 8.4, 4.2 Hz, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 168.61, 139.42, 134.09, 131.70, 131.42, 128.63, 128.59, 126.87, 119.94, 32.41, 24.70, 15.88.; HRMS (ESI): Exact mass calcd for $C_{20}H_{16}BrNO$ $[M+H]^+$ 365.0415, found 365.0418.



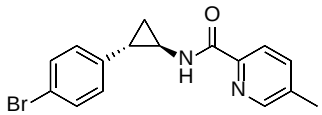
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)picolinamide (11e).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), picolinic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 0-2-10% MeOH in DCM) afforded the desired product as a white solid (22.1 mg, 70%). R_f = 0.32 (5% MeOH/DCM); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.54 (d, J = 4.7 Hz, 1H), 8.21 (d, J = 7.8 Hz, 2H), 7.86 (td, J = 7.7, 1.7 Hz, 1H), 7.48 – 7.43 (m, 1H), 7.42 – 7.37 (m, 2H), 7.11 (d, J = 8.4 Hz, 2H), 3.11 – 3.02 (m, 1H), 2.23 – 2.12 (m, 1H), 1.38 – 1.31 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.49, 149.64, 148.05, 139.60, 137.47, 131.42, 128.52, 126.36, 122.09, 119.86, 31.95, 24.45, 15.75.; HRMS (ESI): Exact mass calcd for $C_{15}H_{13}BrN_2O$ $[M+H]^+$ 316.0211, found 316.0209.



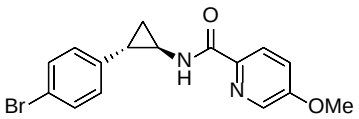
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)benzo[d][1,3]dioxole-5-carboxamide (11f).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), benzo[d][1,3]dioxole-5-carboxylic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (28.4 mg, 79%). R_f = 0.32 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 (d, J = 8.4 Hz, 2H), 7.28 (dd, J = 8.8, 1.8 Hz, 2H), 7.11 (d, J = 8.3 Hz, 2H), 6.82 (d, J = 8.7 Hz, 1H), 6.25 (s, 1H), 6.03 (s, 2H), 3.02 – 2.94 (m, 1H), 2.11 (ddd, J = 9.6, 6.3, 3.5 Hz, 1H), 1.34 – 1.21 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.86, 150.52, 148.07, 139.44, 131.42, 128.59, 128.32, 121.48, 119.94, 108.01, 107.56, 101.74, 32.45, 24.72, 15.89.; HRMS (ESI): Exact mass calcd for $C_{17}H_{14}BrNO_3$ $[M+H]^+$ 359.0157, found 359.0159.



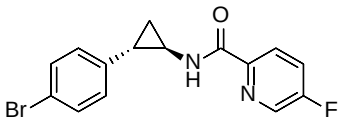
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)bicyclo[2.2.1]hept-5-ene-2-carboxamide (11g).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), bicyclo[2.2.1]hept-5-ene-2-carboxylic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (17.5 mg, 52%). R_f = 0.38 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (dd, J = 8.3, 1.0 Hz, 2H), 7.06 (d, J = 8.4 Hz, 2H), 6.25 (dd, J = 5.6, 3.1 Hz, 1H), 5.98 (td, J = 6.1, 2.8 Hz, 1H), 5.63 (s, 1H), 3.12 (s, 1H), 2.93 (s, 1H), 2.85 (td, J = 9.1, 4.5 Hz, 1H), 2.77 – 2.70 (m, 1H), 1.99 – 1.87 (m, 2H), 1.46 (d, J = 8.2 Hz, 1H), 1.42 – 1.32 (m, 1H), 1.32 – 1.24 (m,12H), 1.17 (ddd, J = 13.6, 6.2, 3.3 Hz, 1H), 1.14 – 1.06 (m, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.45, 139.56, 137.91, 132.08, 131.32, 128.65, 119.82, 50.06, 46.25, 44.73, 42.74, 31.87, 29.84, 24.68, 15.81.; HRMS (ESI): Exact mass calcd for $C_{17}H_{18}BrNO$ $[M+H]^+$ 331.0572, found 331.0573.



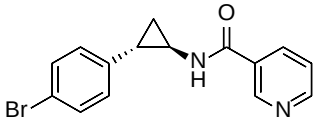
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)-5-methylpicolinamide (11h).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), 5-methylpicolinic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 0-2-10% MeOH in DCM) afforded the desired product as a white solid (20.1 mg, 61%). R_f = 0.28 (5% MeOH/DCM); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (dd, J = 1.3, 0.6 Hz, 1H), 8.14 (s, 1H), 8.09 (d, J = 8.0 Hz, 1H), 7.65 (dd, J = 8.0, 1.4 Hz, 1H), 7.47 – 7.35 (m, 2H), 7.11 (d, J = 8.4 Hz, 2H), 3.05 (ddt, J = 7.2, 5.1, 3.6 Hz, 1H), 2.40 (s, 3H), 2.20 – 2.11 (m, 1H), 1.37 – 1.27 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.70, 148.52, 147.24, 139.67, 137.79, 136.58, 131.40, 128.52, 121.71, 119.82, 31.94, 24.43, 18.56, 15.76.; HRMS (ESI): Exact mass calcd for $C_{16}H_{15}BrN_2O$ $[M+H]^+$ 330.0368, found 330.0370.



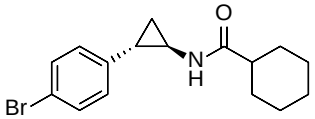
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)-5-methoxypicolinamide (11i).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), 5-methoxypicolinic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 0-2-10% MeOH in DCM) afforded the desired product as a white solid (13.2 mg, 38%). R_f = 0.33 (5% MeOH/DCM); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (d, J = 2.7 Hz, 1H), 8.16 (d, J = 8.7 Hz, 1H), 8.02 (s, 1H), 7.44 – 7.38 (m, 2H), 7.29 (dd, J = 8.7, 2.9 Hz, 1H), 7.11 (d, J = 8.4 Hz, 2H), 3.91 (s, 3H), 3.04 (ddt, J = 7.0, 5.4, 3.6 Hz, 1H), 2.21 – 2.08 (m, 1H), 1.36 – 1.27 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.45, 158.01, 142.38, 139.71, 136.52, 131.40, 128.53, 123.26, 120.14, 119.81, 55.77, 31.95, 24.45, 15.77.; HRMS (ESI): Exact mass calcd for $C_{16}H_{15}BrN_2O_2$ $[M+H]^+$ 346.0317, found 346.0314.



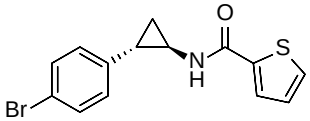
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)-5-fluoropicolinamide (11j).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), 5-fluoropicolinic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 0-2-10% MeOH in DCM) afforded the desired product as a white solid (20.5 mg, 60%). R_f = 0.30 (5% MeOH/DCM); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (d, J = 2.7 Hz, 1H), 8.25 (dd, J = 8.7, 4.6 Hz, 1H), 8.03 (s, 1H), 7.54 (td, J = 8.4, 2.8 Hz, 1H), 7.41 (d, J = 8.3 Hz, 2H), 7.11 (d, J = 8.4 Hz, 2H), 3.08 – 3.01 (m, 1H), 2.16 (td, J = 8.0, 3.4 Hz, 1H), 1.35 – 1.30 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.48 , 161.27, 146.08, 139.48, 136.60, 131.44, 128.50, 124.00, 123.88, 119.91, 31.96, 24.46, 15.74.; ^{19}F NMR (376 MHz, $CDCl_3$) δ -122.01 (dd, J = 8.1, 4.7 Hz).; HRMS (ESI): Exact mass calcd for $C_{15}H_{12}BrFN_2O$ $[M+H]^+$ 334.0117, found 334.0121.



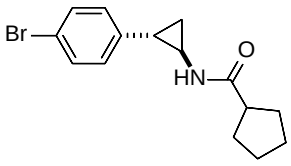
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)nicotinamid (11k).** To a vial equipped with a stir bar was added 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (21.1 mg, 110 µmol), 4-(dimethylamino)pyridine (13.4 mg, 110 µmol), nicotinic acid (110 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 18 h. The reaction mixture was then diluted with water and extracted with dichloromethane, dried over Na_2SO_4, filtered, and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 0-2-10% MeOH in DCM) afforded the desired product as a white solid (20.2 mg, 64%). R_f = 0.25 (5% MeOH/DCM); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.95 (s, 1H), 8.74 (d, J = 4.6 Hz, 1H), 8.13 (d, J = 7.9 Hz, 1H), 7.47 – 7.34 (m, 3H), 7.12 (d, J = 7.9 Hz, 2H), 6.43 (s, 1H), 3.08 – 2.96 (m, 1H), 2.23 – 2.09 (m, 1H), 1.41 – 1.26 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 166.72, 152.52, 147.71, 139.09, 135.13, 131.50, 129.79, 128.58, 123.61, 120.11, 32.38, 24.75, 15.80.; HRMS (ESI): Exact mass calcd for $C_{15}H_{13}BrN_2O$ $[M+H]^+$ 316.0211, found 316.0208.



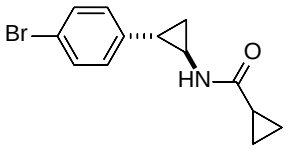
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)cyclohexanecarboxamide (11l).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), cyclohexanecarbonyl chloride (120 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (10.1 mg, 31%). R_f = 0.38 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, J = 8.4 Hz, 2H), 7.07 (d, J = 8.3 Hz, 2H), 5.66 (s, 1H), 2.80 (dt, J = 7.5, 3.3 Hz, 1H), 2.10 – 1.93 (m, 2H), 1.88 – 1.75 (m, 4H), 1.70 – 1.62 (m, 1H), 1.43 (dd, J = 23.8, 11.9 Hz, 2H), 1.36 – 1.04 (m, 5H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.26, 139.54, 131.35, 128.64, 119.85, 49.23, 45.28, 31.83, 29.62, 25.71, 24.64, 15.79.; HRMS (ESI): Exact mass calcd for $C_{16}H_{20}BrNO$ $[M+H]^+$ 321.0728, found 321.0725.



***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)thiophene-2-carboxamide (11m).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), thiophene-2-carbonyl chloride (120 µmol), (1R,2S)-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (19.3 mg, 60%). R_f = 0.35 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 – 7.46 (m, 2H), 7.40 (d, J = 8.4 Hz, 2H), 7.12 (d, J = 8.2 Hz, 2H), 7.10 – 7.06 (m, 1H), 6.21 (s, 1H), 3.05 – 2.83 (m, 1H), 2.29 – 2.05 (m, 1H), 1.34 – 1.19 (m, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.00, 139.25, 138.42, 131.43, 130.17, 128.71, 128.32, 127.70, 120.02, 32.25, 24.80, 15.71.; HRMS (ESI): Exact mass calcd for $C_{14}H_{12}BrNOS$ $[M+H]^+$ 320.9823, found 320.9824.

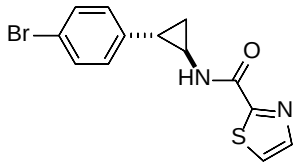


***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)cyclopentanecarboxamide (11n).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), cyclopentanecarbonyl chloride (120 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (24.3 mg, 83%). R_f = 0.32 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, J = 8.4 Hz, 2H), 7.08 (d, J = 8.4 Hz, 2H), 5.68 (s, 1H), 2.81 (ddd, J = 10.7, 4.4, 3.2 Hz, 1H), 2.58 – 2.38 (m, 1H), 1.99 (ddd, J = 9.7, 6.3, 3.4 Hz, 1H), 1.91 – 1.67 (m, 6H), 1.61 – 1.57 (m, 2H), 1.19 (dd, J = 13.6, 6.2 Hz, 1H), 1.16 – 1.07 (m, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.47, 139.58, 131.34, 128.66, 119.83, 45.56, 31.97, 30.39, 25.97, 24.64, 15.73.; HRMS (ESI): Exact mass calcd for $C_{15}H_{18}BrNO$ $[M+H]^+$ 307.0572, found 307.0572.

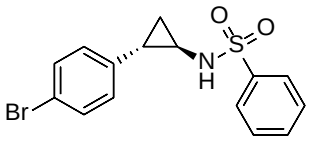


***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)cyclopropanecarboxamide (11o).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), cyclopropanecarbonyl chloride (120 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (19.0 mg, 72%). R_f = 0.38 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, J = 8.4 Hz, 2H), 7.07 (d, J = 8.4 Hz, 2H), 5.87 (s, 1H), 2.84 – 2.77 (m, 1H), 2.03 (ddd, J = 9.6, 6.5, 3.4 Hz, 1H), 1.30 (ddd, J = 12.5, 7.9, 4.5 Hz, 1H), 1.24 – 1.11 (m, 2H), 1.04 – 0.94 (m, 2H), 0.75 (dd, J = 7.7, 3.4 Hz, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 174.70, 139.55, 131.35, 128.64, 119.84, 32.08,

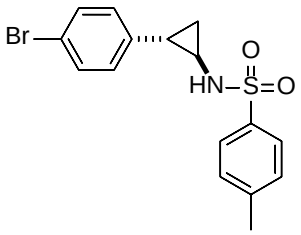
24.61, 15.68, 14.54, 7.40, 7.31; HRMS (ESI): Exact mass calcd for $C_{13}H_{14}BrNO$ $[M+H]^+$ 279.0259, found 279.0260.



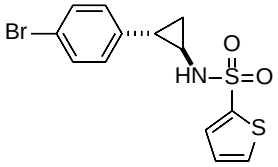
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)thiazole-2-carboxamide(11p).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), thiazole-2-carbonyl chloride (120 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20-40-70% ethyl acetate in hexanes) afforded the desired product as a white solid (15.3 mg, 50%). R_f = 0.32 (50% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7 7.85 (d, J = 3.1 Hz, 1H), 7.59 (d, J = 3.1 Hz, 1H), 7.47 (s, 1H), 7.41 (d, J = 8.4 Hz, 2H), 7.11 (d, J = 8.4 Hz, 2H), 3.01 (ddd, J = 12.2, 5.8, 3.4 Hz, 1H), 2.20 (td, J = 8.2, 3.5 Hz, 1H), 1.34 (dd, J = 8.4, 5.7 Hz, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.53, 160,56, 143.49, 139.12, 131.47, 128.62, 124.83, 120.06, 31.85, 24.56, 15.53.; HRMS (ESI): Exact mass calcd for $C_{13}H_{11}BrN_2OS$ $[M+H]^+$ 321.9775, found 321.9778.



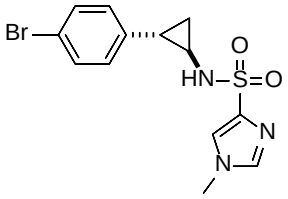
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)benzenesulfonamide (12a).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), benzenesulfonyl chloride (120 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (14.5 mg, 41%). R_f = 0.32 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (d, J = 7.4 Hz, 2H), 7.60 (t, J = 7.3 Hz, 1H), 7.50 (t, J = 7.7 Hz, 2H), 7.36 (d, J = 8.4 Hz, 2H), 6.86 (d, J = 8.4 Hz, 2H), 4.92 (s, 1H), 2.39 – 2.31 (m, 1H), 2.18 – 2.06 (m, 1H), 1.33 – 1.23 (m, 1H), 1.10 (dd, J = 13.1, 6.6 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 139.34, 138.64, 132.99, 131.46, 129.17, 127.99, 127.42, 120.07, 33.75, 24.13, 15.20.; HRMS (ESI): Exact mass calcd for $C_{15}H_{14}BrNO_2S$ $[M+H]^+$ 350.9929, found 350.9932.



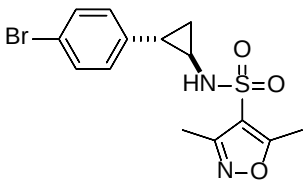
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)-4-methylbenzenesulfonamide (12b).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), 4-methylbenzenesulfonyl chloride (120 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (30.1 mg, 87%). R_f = 0.32 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, J = 8.3 Hz, 2H), 7.36 (d, J = 8.4 Hz, 2H), 7.28 (d, J = 8.0 Hz, 2H), 6.87 (d, J = 8.4 Hz, 2H), 4.90 (s, 1H), 2.43 (s, 3H), 2.33 (ddd, J = 7.2, 4.1, 1.2 Hz, 1H), 2.12 (ddd, J = 9.6, 6.5, 3.0 Hz, 1H), 1.27 (ddd, J = 9.9, 5.9, 4.1 Hz, 1H), 1.08 (dd, J = 13.4, 6.4 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 143.83, 138.79, 136.38, 131.41, 129.76, 128.03, 127.46, 119.99, 33.77, 24.04, 21.56, 15.14.; HRMS (ESI): Exact mass calcd for $C_{16}H_{16}BrNO_2S$ $[M+H]^+$ 365.0085, found 365.0082.



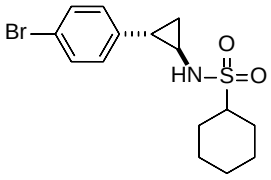
***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)thiophene-2-sulfonamide (12c).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), thiophene-2-sulfonyl chloride (120 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (9.0 mg, 27%). R_f = 0.32 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7 7.59 (ddd, J = 5.1, 4.4, 1.3 Hz, 2H), 7.43 – 7.31 (m, 2H), 7.08 (dd, J = 5.0, 3.8 Hz, 1H), 6.92 (d, J = 8.4 Hz, 2H), 5.03 (s, 1H), 2.48 – 2.38 (m, 1H), 2.23 (ddd, J = 9.7, 6.6, 3.0 Hz, 1H), 1.35 – 1.29 (m, 1H), 1.15 (dd, J = 13.4, 6.5 Hz, 2H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 140.17, 138.58, 132.88, 132.42, 131.48, 128.16, 127.51, 120.15, 33.86, 24.06, 14.94.; HRMS (ESI): Exact mass calcd for $C_{13}H_{12}BrNO_2S_2$ $[M+H]^+$ 356.9493, found 356.9491.



***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)-1-methyl-1H-imidazole-4-sulfonamide (12d).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), 1-methyl-1H-imidazole-4-sulfonyl chloride (120 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 0-2-10% MeOH in DCM) afforded the desired product as a white solid (21.3 mg, 63%). R_f = 0.18 (5% MeOH/DCM); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (d, J = 1.1 Hz, 1H), 7.42 (d, J = 1.4 Hz, 1H), 7.38 – 7.32 (m, 2H), 6.88 (d, J = 8.4 Hz, 2H), 5.57 (s, 1H), 3.71 (s, 3H), 2.49 – 2.33 (m, 1H), 2.19 (ddd, J = 9.6, 6.5, 3.0 Hz, 1H), 1.34 (ddd, J = 9.9, 5.9, 4.1 Hz, 1H), 1.09 (dd, J = 13.6, 6.3 Hz, 1H).^{13}C NMR (101 MHz, $CDCl_3$) δ 139.76, 139.27, 139.13, 131.33, 128.13, 124.75, 119.82, 34.01, 33.90, 23.84, 14.93.; HRMS (ESI): Exact mass calcd for $C_{13}H_{14}BrN_3O_2S$ $[M+H]^+$ 354.9990, found 354.9986.



***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)-3,5-dimethylisoxazole-4-sulfonamide (12e).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), 3,5-dimethylisoxazole-4-sulfonyl chloride (120 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 20-50-70% ethyl acetate in hexanes) afforded the desired product as a white solid (32.4 mg, 91%). R_f = 0.20 (50% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 (d, J = 8.4 Hz, 2H), 6.83 (d, J = 8.4 Hz, 2H), 5.08 (s, 1H), 2.49 (dt, J = 7.2, 3.1 Hz, 1H), 2.45 (s, 3H), 2.36 (s, 3H), 2.04 (ddd, J = 9.7, 6.5, 3.0 Hz, 1H), 1.28 (ddd, J = 8.8, 6.5, 3.5 Hz, 1H), 1.15 (dd, J = 13.5, 6.4 Hz, 1H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 174.14, 157.59, 138.25, 131.63, 127.50, 120.31, 115.33, 33.36, 24.27, 15.34, 12.59, 10.78.; HRMS (ESI): Exact mass calcd for $C_{14}H_{15}BrN_2O_3S$ $[M+H]^+$ 369.9987, found 369.9984.



***trans*-*N*-(2-(4-bromophenyl)cyclopropyl)cyclohexanesulfonamide (12f).** To a vial equipped with a stir bar was added *N*,*N*-diisopropylethylamine (20.9 µL, 120 µmol), cyclohexanesulfonyl chloride (120 µmol), *trans*-2-(4-bromophenyl)cyclopropan-1-amine (100 µmol), and dichloromethane (0.50 mL). The reaction mixture allowed to stir at room temperature for 2 h. The reaction mixture was then diluted with dichloromethane and concentrated in vacuo. Flash column chromatography of the residue (SiO_2, 5-10-20-40% ethyl acetate in hexanes) afforded the desired product as a white solid (14.2 mg, 41%). R_f = 0.35 (20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) 7.40 (d, J = 8.4 Hz, 2H), 6.99 (d, J = 8.4 Hz, 2H), 4.60 (s, 1H), 2.95 (tt, J = 12.1, 3.4 Hz, 1H), 2.65

(ddd, J = 7.2, 4.2, 1.4 Hz, 1H), 2.27 – 2.12 (m, 3H), 1.97 – 1.84 (m, 2H), 1.72 (m, 2H), 1.39 – 1.29 (m, 2H), 1.24 – 1.15 (m, 4H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 138.70, 131.56, 128.22, 120.17, 60.64, 33.84, 26.32, 26.27, 25.19, 24.73, 15.74.; HRMS (ESI): Exact mass calcd for $C_{15}H_{20}BrNO_2S$ $[M+H]^+$ 357.0398, found 357.0397.