Supporting Information

for

Improved Functional Group Compatibility in the Palladium-Catalyzed Synthesis of Aryl Amines

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Complete experimental procedures and spectral data for the compounds listed in
Table 1.

General. All reactions were performed under an argon atmosphere in oven-dried glassware and were assembled without the aid of a glovebox. Elemental analyses were performed by Atlantic Microlab, Norcross, Ga. Solutions of Lithium bis(trimethylsilyl)amide (1M) [LiN(TMS)₂] in THF (anhydrous) and DMF (anhydrous) were purchased from Aldrich Chemical Co. THF was purchased from J. T. Baker in CYCLE-TAINER[®] solvent delivery kegs, which were purged with argon for 2 hours, and further purified by passing the THF through two packed columns of neutral alumina and copper (II) oxide under argon pressure.^{1,2} Substrates were purchased from Aldrich Chemical Co., with the following exceptions: *N*-methylpiperazine and 3-chloroacetanilide which were purchased from Alfa-Aesar; 3-butene-2-ol was purchased from Wiley Organics. Tris(dibenzylideneacetone)dipalladium(0), and palladium acetate were purchased from Strem Chemicals, Inc. Ligands **1** and **2** were prepared as previously described^{3,4} or purchased from Strem Chemicals, Inc. All chemicals were used as supplied. Preparative flash chromatography was performed using ICN Flash Silica gel (230–400 mesh). The yields in Table 1 refer to isolated yields (average of two runs) of compounds estimated to be ≥95% pure as determined by ¹H NMR, GC analysis, and combustion analysis or HRMS. The procedures described in the Experimental Section are representative; thus, the yields may differ from those given in Table 1.

4-(4-Bromo-phenyl)-buta-2-one. An oven-dried Schlenk flask was charged with Pd(OAc)₂ (68 mg, 6.3 mmol, 3 mol %), tetrabutylammonium chloride (2.8 g, 10 mmol), sodium bicarbonate (2.13 g, 25 mmol), 4-bromiodo-benzene (2.83 g, 10.0 mmol) and 3-butene-2-ol (1.3 mL, 15 mmol). Anhydrous DMF (10 mL) was added via syringe and the reaction mixture was heated at 40 °C for 21 h. The reaction mixture was allowed to cool to room temperature, diluted with ether and the ethereal layer was washed with water. The organic layer was separated, dried with MgSO₄, filtered and concentrated. The crude residue was purified by silica gel chromatography with an eluent of 10% ethyl acetate / hexanes to afford the desired product in 80% yield (1.83 g) as a colorless liquid. ¹H NMR (300 MHz, CDCl₃) δ 7.36 (d, *J* = 8.4 Hz, 2H), 7.04 (d, *J* = 8.4 Hz, 2H), 2.83–2.79 (m, 4H), 2.75–2.72 (m, 2H), 2.12 (3, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 207.4, 140.0, 131.5, 130.1, 119.9, 45.0, 30.3, 29.2; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 1716; HRMS (type) *m/z* 225.9991 (225.9988 calcd for C₁₃H₁₃NO, M⁺).

Coupling of Aryl Halides.

General Procedure A: An oven-dried resealable Schlenk tube was charged with $\text{Pd}_2(\text{dba})_3$ (4.6 mg, 5.0 mmol, 2 mol % Pd), ligand **1** (4.2 mg, 12 mmol, 2.4 mol %), aryl halide (0.50 mmol), and amine (0.60 mmol). The Schlenk tube was evacuated, back-filled with argon and the teflon screwcap was replaced with a rubber septum. $\text{LiN}(\text{TMS})_2$ solution ([1M] in THF) (1.1 mL) was added via syringe (substrates which are liquids at room temperature were added at this point). The rubber septum was replaced with the Teflon screwcap and the reaction vessel sealed. The reaction mixture was heated at 65 °C with stirring until the aryl halide had been consumed as judged by GC analysis. The reaction mixture was then allowed to cool to room temperature. To the reaction mixture, 1M HCl (0.5-1.0 mL) was added and stirring was continued at rt for 5 minutes, followed by neutralization with a saturated NaHCO_3 solution (0.5-1.0 mL). Dodecane (113 mL, 0.50 mmol) was added as an internal standard for GC analysis and the reaction mixture was diluted with ethyl acetate. The organic layer was dried with MgSO_4 , filtered through a pad of celite, and concentrated in vacuo. The crude residue was purified by flash chromatography on silica gel using mixtures of ethyl acetate/hexanes or methanol/dichloromethane (for very polar compounds) as the eluent.

General Procedure B: Same as general procedure A, but with ligand **2** (4.6 mg, 12 mmol, 2.4 mol %).

2-(3-Dibutylamino-phenyl)-ethanol (Table 1, entry 1)

General procedure A was followed with Pd₂dba₃ (2.3 mg, 2.5 mmol, 1 mol % Pd) and ligand **1** (2.1 mg, 6.0 mmol, 1.2 mol %) at room temperature for 4 d to afford 80 mg (64%) of the title compound as a brown liquid. ¹H NMR (300 MHz, CDCl₃) δ 7.16–7.10 (m, 1H), 6.53–6.46 (m, 3H), 3.88–3.82 (m, 2H), 3.25 (d, *J* = 7.5 Hz, 4H), 2.81 (t, *J* = 6.6 Hz, 2H), 1.61–1.54 (m, 4H), 1.51–1.43 (m, 1H), 1.39–1.29 (m, 4H), 0.96 (t, *J* = 7.5 Hz, 6H); ¹³C NMR (75 MHz, CDCl₃) δ 148.6, 139.3, 129.6, 115.8, 113.3, 110.0, 64.0 50.9, 39.9, 29.6, 20.5, 14.2; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 3348, 2956; Anal. Calcd for C₁₇H₂₇NO: C 77.06; H 10.91. Found: C 76.99; H 11.10.

2-(3-morpholin-4-yl-phenyl)-ethanol (Table 1, entry 2)

General procedure A was followed with Pd₂dba₃ (2.3 mg, 2.5 mmol, 1 mol % Pd) and ligand **1** (2.1 mg, 6.0 mmol, 1.2 mol %) for 22 h to afford 86 mg (83%) of the title compound as a yellow liquid. ¹H NMR (300 MHz, CDCl₃) δ 7.27–7.19 (m, 1H), 6.80–6.73 (m, 3H), 3.87–3.84 (m, 6H), 3.18–3.14 (m, 4H), 2.83 (t, *J* = 6.3 Hz, 2H), 1.50 (bs, 1H); ¹³C NMR (75 MHz, CDCl₃) δ 151.4, 139.5, 129.3, 120.7, 116.5, 113.8, 67.0, 63.7, 49.5, 39.7; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 3384; Anal. Calcd for C₁₂H₁₇NO₂: C 69.54; H 8.27. Found: C 69.42; H 8.33.

2-(4-(*N*-phenyl-*N*-methylamino)-phenyl)-ethanol (Table 1, entry 3)

General procedure B was followed for 19.5 h to afford 92 mg (81%) of the title compound as an orange oil. ^1H NMR (300 MHz, CDCl_3) δ 7.29–7.23 (m, 2H), 7.16–7.12 (m, 2H), 7.02–6.89 (m, 5H), 3.86–3.80 (m, 2H), 3.30 (s, 3H), 2.83 (t, J = 6.6 Hz, 2H); ^{13}C NMR (75 MHz, CDCl_3) δ 149.2, 147.7, 131.6, 129.9, 129.3, 121.4, 120.9, 119.8, 63.9, 40.4, 38.6; IR (neat, cm^{-1}) λ_{max} 3340, 2937; Anal. Calcd for $\text{C}_{15}\text{H}_{17}\text{NO}$: C 79.26; H 7.54. Found: C 79.34; H 7.57.

2-(4-morpholin-4-yl-phenyl)-ethanol (Table 1, entry 4)

General procedure A was followed for 25 h to afford 60 mg (58%) of the title compound as a orange liquid which solidified upon standing, mp 57–58 °C. ^1H NMR (300 MHz, CDCl_3) δ 7.15 (d, J = 8.5 Hz, 2H), 6.88 (d, J = 8.8 Hz, 2H), 3.87–3.78 (m, 6H), 3.14–3.11 (m, 4H), 2.79 (t, J = 6.6 Hz, 2H), 1.45–1.40 (bm, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 149.9, 129.9, 129.8, 116.6, 67.1, 63.9, 49.7, 38.4; IR (neat, cm^{-1}) λ_{max} 3373, 2856; Anal. Calcd for $\text{C}_{12}\text{H}_{17}\text{NO}_2$: C 69.54; H 8.27. Found: C 69.53; H 8.30.

2-[4-(4-methyl-piperazin-1-yl)-phenoxy]-ethanol (Table 1, entry 5)

General procedure A was followed for 22 h to afford 71 mg (60%) of the title compound as a tan solid, mp 114–116 °C. ^1H NMR (300 MHz, CDCl_3) δ 6.91–6.82 (m, 4H), 4.04–4.02 (m, 2H), 3.94–3.91 (m, 2H), 3.13–3.09 (m, 4H), 2.60–2.57 (m, 4H), 2.35 (s, 3H), 2.20 (bs, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 152.7, 145.9, 118.1, 115.3, 69.9, 61.5, 55.3, 50.6, 46.3; IR (neat, cm^{-1}) λ_{max} 3132, 2829; Anal. Calcd for $\text{C}_{13}\text{H}_{20}\text{N}_2\text{O}_2$: C 66.07; H 8.53. Found: C 65.86; H 8.41.

4-Hydroxy-*N*-Methyl-diphenylamine⁵ (Table 1, entry 6)

General procedure B was followed for 25 h to afford 80 mg (80%) of the title compound as an orange oil. ¹H NMR (300 MHz, CDCl₃) δ 7.21–7.16 (m, 2H), 7.04–7.01 (m, 2H), 6.82–6.75 (m, 5H), 4.64 (s, 1H), 3.25 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 152.5, 149.7, 142.3, 129.0, 126.5, 118.4, 116.3, 115.8, 40.7; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 3359, 3089; HRMS (type) *m/z* 199.0989 (199.0992 calcd for C₁₃H₁₃NO, M⁺).

***N*-(4-Hydroxyphenyl)-morpholine⁶ (Table 1, entry 7)**

The general procedure A was followed for 14 h to afford 71 mg (79%) of the title compound as a yellow solid, mp 167–169 °C (lit. mp⁶ 174–176). ¹H NMR (300 MHz, CDCl₃) δ 6.84–6.74 (m, 4H), 4.86 (bs, 1H), 3.88–3.85 (m, 4H), 3.06–3.03 (m, 4H); ¹³C NMR (75 MHz, C₃D₆O) δ 151.9, 145.8, 118.5, 116.2, 67.5, 51.7; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 3344; Anal. Calcd for C₁₀H₁₃NO: C 67.02; H 7.31. Found: C 66.80; H 7.41.

***N, N'*-Dibutyl-3-amino phenol (Table 1, entry 8)**

General procedure B was followed for 24 h to afford 80 mg (72%) of the title compound as an orange liquid. This compound is commercially available from TCI America and its identity was confirmed by comparison of the ¹H NMR data obtained to that of an authentic sample. ¹H NMR (300 MHz, CDCl₃) δ 7.05–7.01 (m, 1H), 6.24–6.21 (m, 1H), 6.12–6.07 (m, 2H), 4.52 (bs, 1H), 3.22 (t, *J* = 5.7 Hz, 4H), 1.59–1.51 (m, 4H), 1.38–1.29 (m, 4H), 0.94 (t, *J* = 5.7 Hz, 6H).

4-Hydroxy-*N*-(4-*tert*-butyl-phenyl)-piperidine (Table 1, entry 9)

General procedure B was followed for 16.5 h to afford 100 mg (86%) of the title compound as a white solid, mp 116–118 °C. ¹H NMR (300 MHz, CDCl₃) δ 7.27 (d, *J* = 8.4 Hz, 2H), 6.89 (d, *J* = 8.4 Hz, 2H), 3.85–3.72 (m, 1H), 3.79–3.48 (m, 2H), 2.90–2.82 (m, 2H), 2.03–1.97 (m, 2H), 1.74–1.67 (m, 2H), 1.60 (bs, 1H), 1.30 (s, 9H); ¹³C NMR (75 MHz, CDCl₃) δ 148.9, 142.3, 125.9, 116.4, 68.1, 47.8, 34.5, 34.1, 31.7; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 3236, 2954; HRMS (type) *m/z* 233.1774 (233.1780 calcd for C₁₅H₂₃NO, M⁺).

4-Hydroxy-*N*-(2-methyl-phenyl)-piperidine (Table 1, entry 10)

The general procedure B was followed for 23 h to afford 83 mg (87%) of the title compound as a brown oil. ^1H NMR (300 MHz, CDCl_3) δ 7.18–7.11 (m, 2H), 7.02–6.93 (m, 3H), 3.88–3.30 (m, 1H), 3.14–3.07 (m, 2H), 2.77–2.69 (m, 2H), 2.31 (s, 3H), 2.05–1.99 (m, 2H), 1.80–1.71 (m, 2H), 1.53 (bs, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 151.8, 132.6, 131.0, 126.4, 123.0, 119.0, 68.2, 50.0, 35.3, 18.1; IR (neat, cm^{-1}) λ_{max} 3336, 2923; HRMS (type) m/z 191.1299 (191.1305 calcd for $\text{C}_{12}\text{H}_{17}\text{NO}$, M^+).

4'-Amino-acetanilide (Table 1, entry 11)

An oven-dried resealable Schlenk tube was charged with $\text{Pd}_2(\text{dba})_3$ (4.6 mg, 5.0 mmol, 1.0 mol % Pd), ligand **1** (4.2 mg, 12 mmol, 1.2 mol%) and 4'-bromoacetanilide (214 mg, 1.0 mmol). The Schlenk tube was evacuated, back-filled with argon, sealed with a Teflon screw cap and brought into the glovebox. Lithium bis(trimethylsilyl)amide (368 mg, 2.2 mmol) and dioxane (2 mL) were added. The Schlenk tube was then sealed with a Teflon screw cap, brought out of the glovebox and placed in a preheated 100°C oil bath for 17 h. The reaction mixture was allowed to cool to room temperature. Aqueous 1 M HCl (5 mL) was added and the reaction mixture was stirred at room temperature for 5 min. The solution was then neutralized by the addition of aqueous NaOH solution. The aqueous phase was extracted with dichloromethane three times. The organic layers were combined, dried over Na_2SO_4 and concentrated. Flash chromatography on silical gel with ethyl acetate gave 105 mg (70 %) of the title compound as a yellow solid, mp 162–163 °C. This compound is commercially available from Aldrich and its identity was confirmed by comparison of ^1H NMR data to an authentic sample. ^1H NMR (300 MHz, CD_3OD) δ 7.23 (d, J = 9.0 Hz, 2H), 6.68 (d, J = 9.0 Hz, 2H), 2.07 (s, 3H).

***N*-[4'-(4-methyl-piperazin-1-yl)-phenyl]-acetanilide⁷ (Table 1, entry 12)**

General procedure A was followed with Pd₂dba₃ (2.3 mg, 2.5 mmol, 1 mol % Pd) and ligand **1** (2.1 mg, 6.0 mmol, 1.2 mol %) for 22 h to afford 74 mg (64%) of the title compound as a yellow solid, mp 178–180 °C (lit. mp⁷ 177–178). ¹H NMR (300 MHz, CDCl₃) δ 7.36 (d, *J* = 9.0 Hz, 2H), 7.07 (bs, 1H), 6.88 (d, *J* = 8.8 Hz, 2H), 3.20–3.14 (m, 4H), 2.58–2.55 (m, 4H), 2.34 (s, 3H), 2.14 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 168.2, 148.2, 130.3, 121.5, 226.6, 55.2, 49.6, 46.3, 24.6; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 3492, 3286, 2834, 1656; Anal. Calcd for C₁₃H₁₃N₃O: C 66.92; H 8.21. Found: C 66.63; H 8.22.

***N*-[4'-(4-methyl-phenyl)-amino]-acetanilide⁸ (Table 1, entry 13)**

General procedure B was followed for 25 h to afford 112 mg (93%) of the title compound as a pink solid, mp 139–141 °C (lit. mp⁸ 141–143). ¹H NMR (300 MHz, CDCl₃) δ 7.32–7.30 (m, 2H), 7.13–7.10 (bs, 1H), 7.07–7.04 (m, 2H), 6.99–6.92 (m, 4H), 5.55 (bs, 1H), 2.29 (s, 3H), 2.16 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 168.4, 140.6, 140.5, 130.9, 130.5, 129.9, 121.9, 118.2, 117.8, 24.6, 20.9; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 3411, 3307, 1657; Anal. Calcd for C₁₅H₁₆N₂O: C 74.97; H 6.71. Found: C 74.92; H 6.82.

***N*-(3'-Morpholin-4-yl-phenyl)-acetanilide (Table 1, entry 14)**

General procedure A was followed for 16 h to afford 97 mg (88%) of the title compound as a white solid, mp 154–155 °C. ¹H NMR (300 MHz, CDCl₃) δ 7.33 (bs, 1H), 7.21–7.16 (m, 2H), 6.82–6.79 (m, 1H), 6.67–6.63 (m, 1H), 3.85–3.82 (m, 4H), 3.17–3.14 (m, 4H), 2.16 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 168.8,

152.0, 139.1, 129.6, 111.5, 111.3, 107.3, 66.9, 49.2, 24.8; IR (neat, cm^{-1}) $\bar{\nu}_{\text{max}}$ 3298, 2856, 1661; Anal. Calcd for $\text{C}_{12}\text{H}_{16}\text{N}_2\text{O}_2$: C 65.43; H 7.32. Found: C 65.33; H 7.27.

***N*-[3'-(*N*-phenyl-*N*-methylamino)-phenyl]-acetanilide (Table 1, entry 15)**

General procedure A was followed for 25 h to afford 111 mg (93%) of the title compound as an orange oil. ^1H NMR (300 MHz, CDCl_3) δ 7.32–7.24 (m, 2H), 7.19–7.13 (m, 2H), 7.10–6.98 (m, 5H), 6.72–6.68 (m, 1H), 3.30 (s, 3H), 2.13 (s, 3H); ^{13}C NMR (75 MHz, CDCl_3) δ 168.7, 149.5, 148.6, 138.8, 129.4, 129.3, 122.1, 121.8, 115.2, 112.3, 110.7, 40.4, 24.7; IR (neat, cm^{-1}) $\bar{\nu}_{\text{max}}$ 3298, 1661; Anal. Calcd for $\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}$: C 74.97; H 6.71. Found: C 74.68; H 6.92.

***N*-[3'-(4-hydroxy-1-piperazinyl)-phenyl]-acetanilide (Table 1, entry 16)**

General procedure B was followed for 24 h to afford 64 mg (55%) of the title compound as a brown solid, mp 122–123 °C. ^1H NMR (300 MHz, CD_6O) δ 8.97 (bs, 1H), 7.36–7.34 (m, 1H), 7.10–7.05 (m, 1H), 7.01–6.98 (m, 1H), 6.65–6.62 (m, 1H), 3.79–3.71 (m, 2H), 3.57–3.50 (m, 2H), 2.91–2.83 (m, 2H), 2.05 (s, 3H), 1.97–1.88 (m, 2H), 1.64–1.52 (m, 2H); ^{13}C NMR (75 MHz, CD_6O) δ 168.5, 152.6, 140.9, 129.6, 111.9, 110.4, 107.6, 67.7, 47.7, 34.9, 24.4; IR (neat, cm^{-1}) $\bar{\nu}_{\text{max}}$ 3298, 1661; Anal. Calcd for $\text{C}_{13}\text{H}_{18}\text{N}_2\text{O}_2$: C 66.64; H 7.74. Found: C 66.57; H 7.83.

3'-(*N*-4-Methoxyphenyl)amino-acetophenone (Table 1, entry 17)

General procedure A was followed with Pd_2dba_3 (6.9 mg, 7.5 mmol, 3 mol % Pd) and ligand **1** (6.3 mg, 18 mmol, 3.6 mol %) for 25 h to afford 99 mg (83%) of the

title compound as a yellow solid, mp 74–75.5 °C. ¹H NMR (300 MHz, CDCl₃) δ 7.46–7.44 (m, 1H) 7.39–7.36 (m, 1H), 6.83–6.79 (m, 1H), 7.30–7.24 (m, 1H), 7.10–7.04 (m, 3H), 6.90–6.85 (m, 2H), 5.63 (bs, 1H), 3.80 (s, 3H), 2.55 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 198.3, 155.7, 145.8, 138.2, 134.8, 129.5, 122.9, 119.6, 119.5, 114.8, 114.4, 55.7, 27.0; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 3359, 1673; Anal. Calcd for C₁₅H₁₅NO₂: C 74.67; H 6.27. Found: C 74.60; H 6.19.

3'-(*N*-phenyl-*N*-methylamino)-acetophenone (Table 1, entry 18)

General procedure A was followed for 25 h to afford 98 mg (87%) of the title compound as an orange liquid. ¹H NMR (300 MHz, CDCl₃) δ 7.55–7.54 (m, 1H) 7.48–7.43 (m, 1H), 7.35–7.25 (m, 3H), 7.16–7.02 (m, 4H), 3.35 (s, 3H), 2.56 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 198.5, 149.5, 148.6, 138.3, 129.6, 129.3, 123.4, 123.0, 122.5, 120.4, 117.4, 40.5, 26.9; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 3064, 1683; Anal. Calcd for C₁₅H₁₅NO: C 79.97; H 6.71. Found: C 80.10; H 6.80.

4-[4-(3,4-Dimethoxy-phenylamino)-phenyl]-buta-2-one (Table 1, entry 19)

General procedure B was followed for 25 h to afford 89 mg (59%) of the title compound as a brown oil which solidifies upon standing, mp 75–77 °C. ¹H NMR (300 MHz, CDCl₃) δ 7.04 (d, *J* = 8.4 Hz, 2H), 6.88 (d, *J* = 8.4 Hz, 2H), 6.80 (d, *J* = 8.5 Hz, 1H), 6.66 (d, *J* = 9.0 Hz, 1H), 6.64–6.61 (m, 1H), 5.47 (bs, 1H), 3.85 (s, 3H), 3.83 (s, 3H), 2.83–2.80 (m, 2H), 2.75–2.71 (m, 2H), 2.14 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 208.2, 149.5, 144.3, 142.8, 136.6, 132.4, 129.2, 116.5, 112.2, 111.5, 104.8, 56.4, 56.0, 45.6, 30.4, 29.2; IR (neat, cm⁻¹) $\bar{\nu}_{\text{max}}$ 3369, 1710; Anal. Calcd for C₁₈H₂₁NO₃: C 72.22; H 7.07. Found: C 71.94; H 7.00.

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