Supporting Information For
Palladium-Catalyzed Intermolecular C3 Alkenylation of Indoles Using
Oxygen as the Oxidant

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1. General information

All commercial reagents and solvents were used as received without further purification. Reactions were followed with TLC (0.254mm silica gel 60-F plates). Visualization was accomplished with UV light. Flash chromatographies were carried out on silica gel 200-300 mesh. All NMR spectra were obtained at ambient temperature using Varian INOVA-400MHz spectrometer. ^1H NMR and ^{13}C NMR spectra were recorded using CDCl_3 or $(\text{CD}_3)_2\text{SO}$ as solvent. Spectra were referenced internally to the residual proton resonance in CDCl_3 (δ 7.26 ppm), $(\text{CD}_3)_2\text{SO}$ (δ 2.50 ppm) or with tetramethylsilane (TMS, δ 0.00 ppm) as the internal standard. Chemical shifts (δ) were reported as part per million (ppm) in δ scale downfield from TMS. Multiplicities are reported as follows: s = singlet, d = doublet, t = triplet, m = multiplet, br. s = broad singlet. The known products were characterized by comparing to the corresponding ^1H -NMR and ^{13}C -NMR from the literatures. Infrared (IR) spectra were recorded using KBr Solid on a Bruker EQUINOX55 instrument. High resolution mass spectrometry data were obtained on a micro TOF-Q II (hybrid quadrupolar/time-of-flight) API US system by electrospray ionization (ESI) in the positive ion mode using a Bruker instrument.

2. General Procedure for Palladium-Catalyzed Intermolecular C3 Alkenylation of Indoles Using Oxygen as the Oxidant

A seal tube containing indoles **1** (1 mmol) and Pd(OAc)₂ (10 mol %), was evacuated and filled with dioxygen gas using an oxygen containing balloon. Then, DMSO (5.0 mL) and trifluoroacetic acid (TFA) (8.0 mmol) were sequentially added to the system via syringe under an oxygen atmosphere. After that, alkene **2** (1.50 mmol) was added and the reaction mixture was stirred at 60 °C until completion of the reaction (TLC). Then the reaction was cooled to RT and partitioned between water and ethyl acetate. The layers were separated and the organic layer was washed with aqueous saturated brine solution, dried over MgSO₄, filtered and concentrated under reduced pressure. Purification by flash chromatography afforded the C-3 alkenylated indole **3**.

3. Characterization data of 3-vinylindoles

(E)-ethyl 3-(1-methyl-1H-indol-3-yl)acrylate (3aa):¹ as yellow solid; Yield 85% (eluent: ethyl acetate/hexane = 1/20); ¹H NMR (400 MHz, CDCl₃) δ 7.77-7.83 (m, 2H), 7.16-7.22 (m, 4H), 6.30-6.34 (d, 1H, *J* = 16 Hz), 4.15-4.20 (q, 2H, *J* = 6.7 Hz), 3.66 (s, 3H), 1.24-1.28 (t, 3H, *J* = 8 Hz); ¹³C NMR (100 MHz, CDCl₃) δ 14.50, 33.14, 60.05, 109.97, 112.02, 112.50, 120.59, 121.26, 122.93, 126.00, 133.2, 138.03, 168.39.

(E)-butyl 3-(1-methyl-1H-indol-3-yl)acrylate (3ab):² as yellow solid; Yield 83% (eluent: ethyl acetate/hexane = 1/20); ¹H NMR (400 MHz, CDCl₃) δ 7.75-7.81 (m, 2H), 7.15-7.19 (m, 4H), 6.29-6.33 (d, 1H, *J* = 16 Hz), 4.09-4.13 (t, 2H, *J* = 8 Hz), 3.61 (s, 3H), 1.57-1.62 (q, 2H, *J* = 6.7 Hz), 1.33-1.38 (m, 2H), 0.86-0.90 (t, 3H, *J* = 8 Hz); ¹³C NMR (100 MHz, CDCl₃) δ 13.81, 19.26, 30.93, 33.04, 63.95, 109.93, 111.96, 112.46, 120.51, 121.20, 122.88, 125.96, 133.17, 137.95, 138.00, 168.43.

(E)-N,N-diethyl-3-(1-methyl-1H-indol-3-yl)acrylamide (3ac): as brown solid; Yield 80% (eluent : ethyl acetate/hexane = 1/2); ¹H NMR (400 MHz, CDCl₃) δ 7.92 (d, 1H, *J* = 16 Hz), 7.85(d, 1H, *J* = 8 Hz), 7.23-7.32 (m, 4H), 6.81 (d, 1H, *J* = 16 Hz), 3.74 (s, 3H), 3.49-3.50 (m, 4H), 1.19-1.29 (m, 6H); ¹³C NMR (100 MHz, CDCl₃) δ 13.36, 14.99, 32.98, 41.01, 42.24, 109.90, 112.24, 112.55, 120.18, 120.78, 122.56, 125.98, 132.37, 135.64, 137.90, 166.81. HRMS (ESI): Exact mass calcd for C₁₆H₂₀N₂O [M + Na]⁺: 279.1468. Found: 279.1473.

(E)-3-(1-methyl-1H-indol-3-yl)acrylonitrile (3ad):³ as light brown solid; Yield 69% (eluent : ethyl acetate/hexane = 1/20); ¹H NMR (400 MHz, CDCl₃) δ 7.73 (d, 1H, *J* = 8 Hz), 7.47 (d, 1H, *J* = 16 Hz), 7.26-7.36 (m, 4H), 5.70 (d, 1H, *J* = 16 Hz), 3.80 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 33.27, 89.31, 110.29, 111.75, 120.06, 120.21, 121.76, 123.35, 125.36, 133.06, 138.03,

143.53.

128.67, 137.76, 138.74.

(E)-1-methyl-3-styryl-1H-indole (3ae):⁴ as light yellow solid; Yield 53% (eluent : ethyl acetate/hexane = 1/20); ¹H NMR (400 MHz, CDCl₃) δ 7.91 (d, 1H, *J* = 8 Hz), 7.44(d, 2H, *J* = 8 Hz), 7.13-7.29 (m, 8H), 7.02(d, 1H, *J* = 16 Hz), 3.72 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 32.99, 109.65, 114.07, 120.12, 120.32, 121.64, 122.32, 124.85, 125.75, 126.22, 126.52, 128.54,

137.44, 150.64, 168.27.

(E)-methyl 3-(1-methyl-1H-indol-3-yl)but-2-enoate (3af):⁸ as gray solid; Yield 92% (eluent : ethyl acetate/hexane = 1/20); ¹H NMR (400 MHz, CDCl₃) δ 7.88 (d, 2H, *J* = 8 Hz), 7.14-7.24 (m, 4H), 6.34 (s, 1H), 3.69 (s, 3H), 3.67 (s, 3H), 2.57(s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 18.26, 33.16, 50.87, 109.89, 111.76, 117.53, 121.00, 121.08, 122.58, 125.35, 130.44,

3-cyclohexyl-1-methyl-1H-indole (3ag):⁵ After the general procedure, the residues were dissolved in ethanol (2.44 mL) and palladium on carbon (20 mg) was added. Reaction sealed under a hydrogen atmosphere and stirred at R.T. for 2 hours then filtered through a plug of celite, and concentrated under reduced pressure. 1 mmol scale. after purification, afforded **3ag** as light yellow oil; Yield 60% (eluent : ethyl acetate/hexane = 1/100); ¹H NMR (400 MHz, CDCl₃) δ 7.66 (d, 1H, *J* = 8 Hz), 7.18-7.28 (m, 2H), 7.08 (d, 1H, *J* = 8 Hz), 6.79 (s, 1H), 3.73 (s, 3H), 2.82 (m, 1H), 2.08-2.10 (m, 2H), 1.75-1.83 (m, 3H), 1.25-1.50 (m, 5H); ¹³C NMR (100 MHz, CDCl₃) δ 26.61, 27.03, 32.65, 34.34, 35.45, 109.23, 118.38, 119.46, 121.38, 121.81, 124.39, 127.14, 137.06.

(E)-ethyl 3-(1H-indol-3-yl)acrylate (3ba):¹ as yellow solid; Yield 97% (eluent : ethyl acetate/hexane = 1/10); ¹H NMR (400 MHz, CDCl₃) δ 8.88 (brs, 1H), 7.81-7.86 (m, 2H), 7.30-7.33 (m, 2H), 7.13-7.19 (m, 2H), 6.39 (d, 1H, *J* = 16 Hz), 4.20 (q, 2H, *J* = 6.7 Hz), 1.27 (t, 3H, *J* = 8 Hz); ¹³C NMR (100 MHz, CDCl₃) δ 14.48, 60.30, 111.98, 113.09, 113.41, 120.48, 121.49, 123.30, 125.28, 129.27, 137.21, 138.60, 168.70.

(E)-butyl 3-(1H-indol-3-yl)acrylate (3bb):² as yellow solid; Yield 92% (eluent : ethyl acetate/hexane = 1/10); ¹H NMR (400 MHz, CDCl₃) δ 9.09 (brs, 1H), 7.88-7.94 (m, 2H), 7.23-7.41 (m, 4H), 6.47 (d, 1H, *J* = 16 Hz), 4.23 (t, 2H, *J* = 6.7 Hz), 1.70 (q, 2H, *J* = 8 Hz), 1.42-1.47 (m, 2H), 0.96 (t, 3H, *J* = 8 Hz); ¹³C NMR (100 MHz, CDCl₃) δ 13.83, 19.28, 30.90, 64.25, 111.99, 112.93, 113.30, 120.42, 121.44, 123.24, 125.24, 129.40, 137.22, 138.65, 168.89.

(E)-N,N-diethyl-3-(1H-indol-3-yl)acrylamide (3bc): as brown solid; Yield 82% (eluent : ethyl acetate/hexane = 1/1); IR (KBr) ν 3166, 2974, 2924, 1634, 1568, 1423, 1357 cm⁻¹; ¹H NMR (400 MHz, CDCl₃) δ 10.24 (brs, 1H), 7.84 (d, 1H, *J* = 4 Hz), 7.38-7.45 (m, 2H), 7.21-7.23 (m, 2H), 6.87 (d,

1H, $J = 16$ Hz), 3.54(m, 4H), 1.22-1.32 (m, 6H); ^{13}C NMR (100 MHz, CDCl_3) δ 13.44, 14.97, 41.26, 42.50, 112.07, 112.33, 113.42, 119.93, 120.86, 122.69, 125.30, 129.38, 136.76, 137.59, 167.45. HRMS (ESI): Exact mass calcd for $\text{C}_{15}\text{H}_{18}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 243.1492. Found: 243.1494. or $[\text{M}+\text{Na}]^+$: 265.1311. Found: 265.1313.

(E)-3-(1H-indol-3-yl)acrylonitrile (3bd):⁷ as light brown solid; Yield 75% (eluent : ethyl acetate/hexane = 1/10); ^1H NMR (400 MHz, CDCl_3) δ 8.76 (brs, 1H), 7.68 (d, 1H, $J = 8$ Hz), 7.48 (d, 1H, $J = 16$ Hz), 7.37 (d, 1H, $J = 4$ Hz), 7.17-7.25 (m, 2H), 5.72 (d, 1H, $J = 16.4$ Hz); ^{13}C NMR (100 MHz, CDCl_3) δ 90.14, 112.21, 113.26, 119.98, 120.15, 122.00, 123.76, 124.67, 129.04, 137.12, 144.19.

(E)-3-styryl-1H-indole (3be):² as light yellow solid; Yield 55% (eluent : ethyl acetate/hexane = 1/10); ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 11.36 (brs, 1H), 8.02 (d, 1H, $J = 8$ Hz), 7.66 (s, 1H), 7.58 (d, 2H, $J = 8$ Hz), 7.26-7.43 (m, 4H), 7.09-7.20 (m, 4H); ^{13}C NMR (100 MHz, $\text{DMSO}-d_6$) δ 111.96, 113.68, 119.71, 119.88, 121.80, 122.59, 123.28, 125.18, 125.48, 126.23, 128.33, 128.63, 137.06, 138.58.

(E)-methyl 3-(1H-indol-3-yl)but-2-enoate (3bf): as gray solid; Yield 70% (eluent : ethyl acetate/hexane = 1/10); IR (KBr) ν 3269, 2928, 1684, 1600, 1427, 1199 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.51 (brs, 1H), 7.89 (d, 1H, $J = 8$ Hz), 7.30-7.37 (m, 2H), 7.12-7.17 (m, 2H), 6.38 (s, 1H), 3.69 (s, 3H), 2.59 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 18.36, 51.00, 111.79, 112.43, 119.12, 120.90, 121.23, 122.94, 124.70, 125.82, 137.06, 150.84, 168.38. HRMS (ESI): Exact mass calcd for $\text{C}_{13}\text{H}_{13}\text{NO}_2$ $[\text{M} + \text{H}]^+$: 216.1019. Found: 216.1019.

3-cyclohexyl-1H-indole (3bg):² After the general procedure, the residues were dissolved in ethanol (2.44 mL) and palladium on carbon (20 mg) was added. Reaction sealed under a hydrogen atmosphere and stirred at R.T. for 2 hours then filtered through a plug of celite, and concentrated under reduced pressure. 1 mmol scale. after purification, afforded **3bg** as light brown solid; Yield 70% (eluent : ethyl acetate/hexane = 1/40); ^1H NMR (400 MHz, CDCl_3) δ 7.84 (brs, 1H), 7.66 (d, 1H, $J = 8$ Hz), 7.33 (d, 1H, $J = 8$ Hz), 7.07-7.19 (m, 2H), 6.92 (d, 1H, $J = 4$ Hz), 2.82-2.85 (m, 1H), 2.10-2.11 (m, 2H), 1.75-1.86 (m, 3H), 1.41-1.52 (m, 4H), 1.24-1.38 (m, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 26.60, 27.00, 34.11, 35.48, 111.17, 118.98, 119.39, 119.43, 121.81, 123.27, 126.81, 136.42.

(E)-tert-butyl 3-(1H-indol-3-yl)acrylate (3bh):² as yellow solid; Yield 94% (eluent : ethyl acetate/hexane = 1/10); ^1H NMR (400 MHz, CDCl_3) δ 9.10 (brs, 1H), 7.81-7.89 (m, 2H), 7.36-7.38 (m, 2H), 7.20-7.23 (m, 2H), 6.42 (d, 1H, $J = 16$ Hz), 1.56 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3) δ 28.39, 80.16, 111.96, 113.29, 114.90, 120.42, 121.31, 123.14, 125.29, 129.04, 137.21, 137.63, 168.23.

(E)-diethyl 2-(1H-indol-3-yl)vinylphosphonate (3bi):² as brown oil; Yield 45% (eluent : methanol/dichloromethane = 3/100); ¹H NMR (400 MHz, CDCl₃) δ 10.27 (brs, 1H), 7.85 (d, 1H, *J* = 4 Hz), 7.66-7.76 (m, 1H), 7.37-7.46 (m, 2H), 7.20-7.26 (m, 2H), 6.07-6.16 (m, 1H), 4.12-4.16 (m, 4H), 1.28-1.37 (m, 6H); ¹³C NMR (100 MHz, CDCl₃) δ 16.39, 16.45, 61.80, 61.85, 104.88, 106.82, 112.28, 113.26, 113.52, 119.93, 121.18, 122.94, 125.14, 129.59, 137.48, 143.44, 143.51. HRMS (ESI): Exact mass calcd for C₁₄H₁₈NO₃P [M + H]⁺: 280.1097. Found: 280.1097.

(E)-propyl 3-(1H-indol-3-yl)-2-methylacrylate (3bj): as yellow solid; Yield 78% (eluent : ethyl acetate/hexane = 1/10); IR (KBr) ν 3243, 2920, 1674, 1605, 1259, 1124 cm⁻¹; ¹H NMR (400 MHz, CDCl₃) δ 8.74 (brs, 1H), 7.71 (d, 2H, *J* = 8 Hz), 7.38 (d, 1H, *J* = 4 Hz), 7.31 (d, 1H, *J* = 8 Hz), 7.13-7.39 (m, 2H), 4.16 (t, 2H, *J* = 6.7 Hz), 2.10 (s, 3H), 1.67 (t, 2H, *J* = 8 Hz), 1.17-1.36 (m, 30H), 0.80 (t, 3H, *J* = 8 Hz); ¹³C NMR (100 MHz, CDCl₃) δ 14.20, 15.11, 22.77, 26.19, 28.89, 29.44, 29.69, 29.78, 30.78, 30.84, 32.00, 65.00, 111.39, 113.16, 118.87, 120.73, 123.04, 123.09, 125.78, 127.69, 130.19, 135.55, 169.41. HRMS (ESI): Exact mass calcd for C₃₀H₄₇NO₂ [M + Na]⁺: 476.3499. Found: 476.3488.

(E)-methyl 3-(1H-indol-3-yl)-2-methylacrylate (3bk):⁶ as yellow solid; Yield 85% (eluent : ethyl acetate/hexane = 1/10); ¹H NMR (400 MHz, CDCl₃) δ 8.92 (brs, 1H), 8.08 (s, 1H), 7.79 (d, 1H, *J* = 8 Hz), 7.44 (s, 1H), 7.38 (d, 1H, *J* = 8 Hz), 7.20-7.27 (m, 2H), 3.84 (s, 3H), 2.17 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 15.09, 52.04, 111.43, 112.95, 118.81, 120.73, 122.40, 123.07, 126.01, 127.63, 130.59, 135.50, 169.89.

(E)-ethyl 3-(5-bromo-1H-indol-3-yl)acrylate (3ca): as yellow solid; Yield 80% (eluent : ethyl acetate/hexane = 1/10); IR (KBr) ν 3274, 2925, 1686, 1617 cm⁻¹; ¹H NMR (400 MHz, CDCl₃) δ 8.73 (brs, 1H), 8.04 (s, 1H), 7.85 (d, 1H, *J* = 16 Hz), 7.47 (s, 1H), 7.26-7.37 (m, 2H), 6.40 (d, 1H, *J* = 16 Hz), 4.29 (q, 2H, *J* = 6.7 Hz), 1.36 (t, 3H, *J* = 6 Hz); ¹³C NMR (100 MHz, CDCl₃) δ 14.48, 60.46, 113.02, 113.32, 113.78, 114.87, 123.06, 126.23, 126.94, 129.85, 135.80, 137.79, 168.43. HRMS (ESI): Exact mass calcd for C₁₄H₁₃NBrO₂ [M + Na]⁺: 315.9944. Found: 315.9946.

(E)-ethyl 3-(6-fluoro-1H-indol-3-yl)acrylate (3da): as light yellow solid; Yield 87% (eluent : ethyl acetate/hexane = 1/10); IR (KBr) ν 3684, 1699, 1650, 1518 cm⁻¹; ¹H NMR (400 MHz, CDCl₃) δ 9.15 (brs, 1H), 7.87 (d, 1H, *J* = 16 Hz), 7.77-7.80 (m, 1H), 7.43 (s, 1H), 6.96-7.11 (m, 2H), 6.42 (d, 1H, *J* = 16 Hz), 4.29 (q, 2H, *J* = 6.7 Hz), 3.79 (s, 3H), 1.36 (t, 3H, *J* = 6 Hz); ¹³C NMR (100 MHz, CDCl₃) δ 14.44, 60.43, 98.42 (d, *J*_{CF} = 26 Hz), 110.06 (d, *J*_{CF} = 24 Hz), 113.37, 113.42, 121.24 (d, *J*_{CF} = 10 Hz), 121.83, 129.55, 137.38 (d, *J*_{CF} = 12 Hz), 138.22, 160.25 (d, *J*_{CF} = 238 Hz), 168.65. HRMS (ESI): Exact mass calcd for C₁₃H₁₂NFO₂ [M + Na]⁺: 256.0744. Found: 256.0750.

(E)-ethyl 3-(5-methoxy-1H-indol-3-yl)acrylate (3ea): as yellow solid; Yield 85% (eluent : ethyl acetate/hexane = 1/10); IR (KBr) ν 3414, 2925, 1686, 1620 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.79 (brs, 1H), 7.83 (d, 1H, $J = 16$ Hz), 7.34 (s, 1H), 7.19-7.23 (m, 2H), 6.83 (d, 1H, $J = 8$ Hz), 6.29 (d, 1H, $J = 16$ Hz), 4.19 (q, 2H, $J = 6.7$ Hz), 3.79 (s, 3H), 1.28 (t, 3H, $J = 6$ Hz); ^{13}C NMR (100 MHz, CDCl_3) δ 14.48, 55.97, 60.27, 102.43, 112.35, 112.64, 113.13, 113.21, 125.94, 129.61, 132.14, 138.66, 155.38, 168.70. HRMS (ESI): Exact mass calcd for $\text{C}_{14}\text{H}_{15}\text{NO}_3$ $[\text{M} + \text{Na}]^+$: 268.0944. Found: 268.0949.

(E)-ethyl 3-(5-methyl-1H-indol-3-yl)acrylate (3fa): as yellow solid; Yield 90% (eluent : ethyl acetate/hexane = 1/10); IR (KBr) ν 3257, 2925, 1680, 1615 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.95 (brs, 1H), 7.91 (d, 1H, $J = 16$ Hz), 7.68 (s, 1H), 7.37 (s, 1H), 7.27 (d, 1H, $J = 4$ Hz), 7.07 (d, 1H, $J = 4$ Hz), 6.45 (d, 1H, $J = 16$ Hz), 4.29 (q, 2H, $J = 6.7$ Hz), 2.46 (s, 3H), 3.79 (s, 3H), 1.36 (t, 3H, $J = 6$ Hz); ^{13}C NMR (100 MHz, CDCl_3) δ 14.49, 21.64, 60.27, 111.57, 112.52, 112.91, 120.24, 124.82, 125.48, 129.59, 130.97, 135.51, 138.92, 168.81. HRMS (ESI): Exact mass calcd for $\text{C}_{14}\text{H}_{15}\text{NO}_2$ $[\text{M} + \text{Na}]^+$: 252.0995. Found: 252.1000.

(E)-ethyl 3-(1-styryl-1H-indol-3-yl)acrylate (3ga): as yellow solid; Yield 65% (eluent : ethyl acetate/hexane = 1/20); IR (KBr) ν 3105, 3053, 2976, 2912, 1699, 1621 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.83 (s, 1H), 7.79 (d, 1H, $J = 4$ Hz), 7.62 (s, 1H), 7.49 (d, 1H, $J = 16$ Hz), 7.45 (d, 1H, $J = 8$ Hz), 7.36 (d, 2H, $J = 8$ Hz), 7.15-7.31 (m, 5H), 6.63 (d, 1H, $J = 12$ Hz), 6.42 (d, 1H, $J = 16$ Hz), 4.20 (q, 2H, $J = 6.7$ Hz), 1.27 (t, 3H, $J = 6$ Hz); ^{13}C NMR (100 MHz, CDCl_3) δ 14.50, 60.30, 110.25, 115.17, 115.32, 116.72, 120.83, 122.36, 122.46, 123.96, 126.00, 126.68, 127.03, 127.59, 128.97, 135.29, 137.02, 167.92. HRMS (ESI): Exact mass calcd for $\text{C}_{21}\text{H}_{19}\text{NO}_2$ $[\text{M} + \text{Na}]^+$: 340.1308. Found: 340.1305.

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5. ^1H NMR and ^{13}C NMR spectra