

Supporting Information For

Facile Solid-Phase Construction of Indole Derivatives Based on a Traceless, Activating Sulfonyl Linker

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Characterization data for the compounds in **Table 2**:

Entry 1 (X = H, R = Ph): ¹H NMR (CDCl₃) δ 6.76 (s, 1 H), 7.05 (t, *J* = 7.5 Hz, 1 H), 7.13 (t, *J* = 7.5 Hz, 1 H), 7.23 (m, 1 H), 7.37 (m, 3 H), 7.58 (m, 3 H); CI-MS *m/z* 194 (MH⁺).

Entry 2 (X = H, R = 4-Me-C₆H₄): ¹H NMR (CDCl₃) δ 2.39 (s, 3 H), 6.78 (s, 1 H), 7.11 (t, *J* = 7.7 Hz, 1 H), 7.18 (t, *J* = 7.7 Hz, 1 H), 7.24 (d, *J* = 8.0 Hz, 2 H), 7.38 (d, *J* = 7.9 Hz, 1 H), 7.55 (d, *J* = 8.0 Hz, 2 H), 7.61 (d, *J* = 7.7 Hz, 1 H); CI-MS *m/z* 208 (MH⁺).

Entry 3 (X = H, R = 4-F-C₆H₄): ¹H NMR (CDCl₃) δ 6.76 (d, *J* = 1.5 Hz, 1 H), 7.16 (m, 4 H), 7.40 (d, *J* = 8.2 Hz, 1 H), 7.63 (m, 3 H); CI-MS *m/z* 212 (MH⁺).

Entry 4 (X = H, R = 4-MeO-C₆H₄): ¹H NMR (CDCl₃) δ 3.86 (s, 3 H), 6.71 (d, *J* = 1.2 Hz, 1 H), 6.98 (d, *J* = 8.7 Hz, 2 H), 7.10 (t, *J* = 7.6 Hz, 1 H), 7.17 (t, *J* = 7.4 Hz, 1 H), 7.39 (d, *J* = 7.9 Hz, 1 H), 7.60, (m, 3 H); CI-MS *m/z* 224 (MH⁺); FAB-HRMS calcd for C₁₅H₁₃NO 223.0997, found 223.0991.

Entry 5 (X = H, R = Bu): ¹H NMR (CDCl₃) δ 0.95-1.04 (m, 3 H), 1.36-1.49 (m, 2 H), 1.61-1.71 (m, 2 H), 2.77 (t, *J* = 7.5 Hz, 2 H), 6.23 (s, 1 H), 7.03-7.12 (m, 2 H), 7.31 (d, *J* = 7.8 Hz, 1 H), 7.52 (d, *J* = 7.2 Hz, 1 H); CI-MS *m/z* 174 (MH⁺).

Entry 6 (X = H, R = MeOCH₂): ¹H NMR (CDCl₃) δ 3.37 (s, 3 H), 4.62 (s, 2 H), 6.43 (d, *J* = 1.0 Hz, 1 H), 7.09 (t, *J* = 6.9 Hz, 1 H), 7.17 (t, *J* = 6.9 Hz, 1 H), 7.34 (d, *J* = 7.7 Hz, 1 H), 7.58 (d, *J* = 7.6 Hz, 1 H); CI-MS *m/z* 162 (MH⁺).

Entry 7 [X = H, R = HOCH₂CH₂ and CH₂=CH (1:1 ratio)]: ¹H NMR (CDCl₃) δ 3.05 (t, *J* = 5.7 Hz, 2 H), 4.00 (t, *J* = 5.8 Hz, 2 H), 5.30 (d, *J* = 11.2 Hz, 1 H), 5.57 (d, *J* = 17.8 Hz, 1 H), 6.31 (s, 1 H),

6.52 (s, 1 H), 6.76 (dd, $J = 11.2, 17.8$ Hz, 1 H), 7.07-7.22 (m, 4 H), 7.35 (d, $J = 8.0$ Hz, 2 H), 7.57 (m, 2 H).

Entry 8 [X = H, R = (EtO)₂CH]: ¹H NMR (CDCl₃) δ 1.28 (t, $J = 7.0$ Hz, 6 H), 3.56-3.76 (m, 4 H), 5.78 (s, 1 H), 6.54 (s, 1 H), 7.12 (t, $J = 7.5$ Hz, 1 H), 7.20 (t, $J = 7.5$ Hz, 1 H), 7.39 (d, $J = 8.0$ Hz, 1 H), 7.62 (d, $J = 7.7$ Hz, 1 H).

Entry 9 (X = 6-F, R = PhSCH₂): ¹H NMR (CDCl₃) δ 4.24 (s, 2 H), 6.30 (s, 1 H), 6.84 (dt, $J = 9.2, 2.3$ Hz, 1 H), 6.98 (d, $J = 9.6$ Hz, 1 H), 7.25 (m, 5 H), 7.40 (dd, $J = 8.6, 5.3$ Hz, 1 H); CI-MS m/z 258 (MH⁺).

Entry 10 (X = 6-F, R = 6-MeO-2-naphthyl): ¹H NMR (CDCl₃) δ 3.95 (s, 3 H), 6.86-6.93 (m, 2 H), 7.09-7.21 (m, 3 H), 7.54 (dd, $J = 5.3, 8.5$ Hz, 1 H), 7.74-7.81 (m, 3 H), 7.96 (s, 1 H); CI-MS m/z 292 (MH⁺); FAB-HRMS calcd for C₁₉H₁₄FNO + H⁺ 292.1138, found 292.1127.

Entry 11 (X = 6-MeO, R = 4-NO₂-C₆H₄): ¹H NMR (CDCl₃) δ 3.88 (s, 3 H), 6.83 (d, $J = 8.7$ Hz, 1 H), 6.90 (s, 1 H), 6.97 (s, 1 H), 7.53 (d, $J = 8.7$ Hz, 1 H), 7.73 (d, $J = 9.0$ Hz, 2 H), 8.27 (d, $J = 8.9$ Hz, 2 H); CI-MS m/z 269 (MH⁺).

Entry 12 (X = 6-MeO, R = 4-Me-C₆H₄): ¹H NMR (CDCl₃) δ 2.37 (s, 3 H), 3.84 (s, 3 H), 6.70 (d, $J = 1.2$ Hz, 1 H), 6.78 (dd, $J = 2.2, 8.6$ Hz, 1 H), 6.87 (d, $J = 1.7$ Hz, 1 H), 7.23 (m, 2 H), 7.48 (m, 3 H); CI-MS m/z 238 (MH⁺); FAB-HRMS calcd for C₁₆H₁₅NO 237.1154, found 237.1157.

Entry 13 (X = 6-MeO, R = 6-MeO-2-naphthyl): ¹H NMR (CDCl₃) δ 3.88 (s, 3 H), 3.94 (s, 3 H), 6.79-7.19 (m, 5 H), 7.50-7.77 (m, 4 H), 7.93 (s, 1 H); CI-MS m/z 304 (MH⁺).

Entry 14 (X = 6-MeO, R = MeOCH₂): ¹H NMR (CDCl₃) δ 3.33 (s, 3 H), 3.84 (s, 3 H), 4.63 (s, 2 H), 6.34 (s, 1 H), 6.74 (dd, $J = 2.2, 8.6$ Hz, 1 H), 6.82 (d, $J = 2.0$ Hz, 1 H), 7.42 (d, $J = 8.6$ Hz, 1 H); CI-MS m/z 192 (MH⁺).

Entry 15 (X = 5-CO₂Me, R = Bu): ¹H NMR (CDCl₃) δ 0.96 (t, $J = 7.2$ Hz, 3 H), 1.39-1.46 (m, 2 H), 1.69-1.74 (m, 2 H), 2.77 (t, $J = 7.3$ Hz, 2 H), 3.92 (s, 3 H), 6.32 (s, 1 H), 7.29 (d, $J = 8.4$ Hz, 1 H), 7.82 (d, $J = 8.3$ Hz, 1 H), 8.28 (s, 1 H); CI-MS m/z 232 (MH⁺).

Entry 16 (X = 5-CO₂Me, R = 2-pyridyl): ¹H NMR (CDCl₃) δ 3.94 (s, 3 H), 7.10 (s, 1 H), 7.22, (t, *J* = 6.2 Hz, 1 H), 7.43 (d, *J* = 8.6 Hz, 1 H), 7.75 (t, *J* = 7.7 Hz, 1 H), 7.83 (d, *J* = 8.0 Hz, 1 H), 7.92 (dd, *J* = 8.6, 1.5 Hz, 1 H), 8.42 (s, 1 H), 8.59 (d, *J* = 4.8 Hz, 1 H); CI-MS *m/z* 253 (MH⁺).

Entry 17 (X = 5-CO₂Me, R = PhSCH₂): ¹H NMR (CDCl₃) δ 3.91 (s, 3 H), 4.26 (s, 2 H), 6.41 (s, 1 H), 7.22-7.32 (m, 5 H), 7.44 (d, *J* = 8.2 Hz, 1 H), 7.86 (d, *J* = 8.6 Hz, 1 H), 8.27 (s, 1 H); CI-MS *m/z* 298 (MH⁺); FAB-HRMS calcd for C₁₇H₁₅NO₂S 297.0824, found 297.0832.