

## A new protocol for the construction of pyrrolo[4,3,2-*de*]quinolinones

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### Characteristics for compounds:

For **13c**: yield 30%, mp 196–198 °C. <sup>1</sup>H NMR ([<sup>2</sup>H<sub>6</sub>]DMSO) δ: 3.78 (d, 1H, CH<sub>2</sub>, <sup>3</sup>J 17.3 Hz), 4.38 (d, 1H, CH<sub>2</sub>, <sup>3</sup>J 18.5 Hz), 6.46 (s, 1H, OH), 7.24 (d, 1H, C<sup>7</sup>H, <sup>3</sup>J 7.2 Hz), 7.53 (m, 4H, C<sup>5</sup>H, C<sup>6</sup>H, C<sup>3</sup>HPh, C<sup>5</sup>HPh), 7.88 (d, 2H, C<sup>2</sup>HPh, C<sup>6</sup>HPh, <sup>3</sup>J 7.6 Hz), 10.89 (s, 1H, NH).

For **13d**: yield 41%, mp 205–206 °C. <sup>1</sup>H NMR ([<sup>2</sup>H<sub>6</sub>]DMSO) δ: 3.72 (d, 1H, CH<sub>2</sub>, <sup>3</sup>J 16.4 Hz), 3.83 (s, 3H, Me), 4.33 (d, 1H, CH<sub>2</sub>, <sup>3</sup>J 17.3 Hz), 6.39 (s, 1H, OH), 7.00 (d, 2H, C<sup>3</sup>HPh, C<sup>5</sup>HPh, <sup>3</sup>J 7.8 Hz, <sup>3</sup>J<sub>AB</sub> 11.5 Hz), 7.23 (d, 1H, C<sup>7</sup>H, <sup>3</sup>J 7.6 Hz), 7.50 (m, 2H, C<sup>5</sup>H, C<sup>6</sup>H), 7.84 (d, 2H, C<sup>2</sup>HPh, C<sup>6</sup>HPh, <sup>3</sup>J 8.5 Hz, <sup>3</sup>J<sub>AB</sub> 11.5 Hz), 10.83 (s, 1H, NH).

For **13e**: yield 46%, mp 205–207 °C. <sup>1</sup>H NMR ([<sup>2</sup>H<sub>6</sub>]DMSO) δ: 3.78 (d, 1H, CH<sub>2</sub>, <sup>3</sup>J 18.5 Hz), 4.36 (d, 1H, CH<sub>2</sub>, <sup>3</sup>J 16.9 Hz), 6.44 (s, 1H, OH), 7.23 (d, 1H, C<sup>7</sup>H, <sup>3</sup>J 6.3 Hz), 7.5 (m, 2H, C<sup>5</sup>H, C<sup>6</sup>H), 7.71 (d, 2H, C<sup>3</sup>HPh, C<sup>5</sup>HPh, <sup>3</sup>J 8.5 Hz, <sup>3</sup>J<sub>AB</sub> 9.0 Hz), 7.8 (d, 2H, C<sup>2</sup>HPh, C<sup>6</sup>HPh, <sup>3</sup>J 8.7 Hz, <sup>3</sup>J<sub>AB</sub> 9.0 Hz), 10.6 (s, 1H, NH).

For **13f**: yield 34%, mp 214–215 °C. <sup>1</sup>H NMR ([<sup>2</sup>H<sub>6</sub>]DMSO) δ: 3.82 (d, 1H, CH<sub>2</sub>, <sup>3</sup>J 18.7 Hz), 4.34 (d, 1H, CH<sub>2</sub>, <sup>3</sup>J 19.3 Hz), 6.47 (s, 1H, OH), 7.24 (d, 1H, C<sup>7</sup>H, <sup>3</sup>J 7.0 Hz), 7.52 (m, 2H, C<sup>5</sup>H, C<sup>6</sup>H), 7.77 (d, 1H, C<sup>5</sup>HPh, <sup>3</sup>J 7.2 Hz), 7.84 (d, 1H, C<sup>6</sup>HPh, <sup>3</sup>J 9.7 Hz), 8.30 (s, 1H, C<sup>2</sup>HPh), 10.65 (s, 1H, NH).

For **13k**: yield 34%, mp 204–207 °C. <sup>1</sup>H NMR ([<sup>2</sup>H<sub>6</sub>]DMSO) δ: 3.92 (d, 1H, CH<sub>2</sub>, <sup>3</sup>J 16.7 Hz), 4.41 (d, 1H, CH<sub>2</sub>, <sup>3</sup>J 16.5 Hz), 6.47 (s, 1H, OH), 7.22 (d, 1H, C<sup>7</sup>H, <sup>3</sup>J 7.2 Hz), 7.53 (m, 4H, H<sub>arom</sub>), 8.00 (m, 5H, H<sub>arom</sub>), 10.67 (s, 1H, NH).

For **1c**: yield 85%, mp 255–257 °C. <sup>1</sup>H NMR ([<sup>2</sup>H<sub>6</sub>]DMSO) δ: 7.00 (d, 1H, C<sup>7</sup>H, <sup>3</sup>J 5.5 Hz), 7.61 (d, 2H, C<sup>3</sup>HPh, C<sup>5</sup>HPh, <sup>3</sup>J 8.4 Hz, <sup>3</sup>J<sub>AB</sub> 13.5 Hz), 7.7 (q, 2H, C<sup>6</sup>H, C<sup>8</sup>H, <sup>3</sup>J 22.2 Hz), 8.33 (t, 2H, C<sup>6</sup>HPh, C<sup>2</sup>HPh, <sup>3</sup>J 14.1 Hz, <sup>3</sup>J<sub>AB</sub> 13.5 Hz), 8.51 (s, 1H, C<sup>3</sup>H), 11.04 (s,

1H, NH). MS,  $m/z$ : 280 [ $M^+$ ]. Found (%): C, 68.09; H, 4.12; N, 9.76. Calc. for  $C_{16}H_{11}ClN_2O$  (%): C, 67.97; H, 3.92; N, 9.91.

For **1d**: yield 48%, mp 211–213 °C.  $^1H$  NMR ( $[^2H_6]$ DMSO)  $\delta$ : 2.4 (s, 3H, Me), 6.97 (d, 1H,  $C^7H$ ,  $^3J$  6.0 Hz), 7.35 (d, 2H,  $C^3HPh$ ,  $C^5HPh$ ,  $^3J$  8.8 Hz,  $J_{AB}$  11.7 Hz), 7.69 (q, 2H,  $C^6H$ ,  $C^8H$ ,  $^3J$  23.5 Hz), 8.20–8.31 (dd, 2H,  $C^2HPh$ ,  $C^6HPh$ ,  $^3J$  9.0 Hz,  $J_{AB}$  11.7 Hz), 8.44 (s, 1H,  $C^3H$ ), 11.03 (s, 1H, NH). MS,  $m/z$ : 260 [ $M^+$ ]. Found (%): C, 77.68; H, 5.12; N, 10.85. Calc. for  $C_{17}H_{14}N_2O$  (%): C, 77.84; H, 5.38; N, 10.68.

For **1e**: yield 66%, mp 243–245 °C.  $^1H$  NMR ( $[^2H_6]$ DMSO)  $\delta$ : 7.02 (d, 1H,  $C^7H$ ,  $^3J$  7.2 Hz), 7.72 (m, 4H,  $C^2HPh$ ,  $C^6HPh$ ,  $C^6H$ ,  $C^8H$ ,  $J_{AB}$  19.5 Hz), 8.28 (d, 2H,  $C^3HPh$ ,  $C^5HPh$ ,  $^3J$  9.9 Hz,  $J_{AB}$  19.5 Hz), 8.51 (s, 1H,  $C^3H$ ), 11.01 (s, 1H, NH). MS,  $m/z$ : 325 [ $M^+$ ]. Found (%): C, 58.95; H, 3.52; N, 8.74. Calc. for  $C_{16}H_{11}BrN_2O$  (%): C, 58.74; H, 3.39; N, 8.56.

For **1f**: yield 19%, mp 265–267 °C.  $^1H$  NMR ( $[^2H_6]$ DMSO)  $\delta$ : 7.08 (d, 1H,  $C^7H$ ,  $^3J$  7.2 Hz), 7.64 (d, 2H,  $C^5HPh$ ,  $C^6HPh$ ,  $^3J$  9.2 Hz), 7.73 (q, 2H,  $C^7H$ ,  $C^8H$ ,  $^3J$  25.4 Hz), 7.83 (s, 1H,  $C^3HPh$ ), 8.13 (s, 1H,  $C^3H$ ), 11.12 (s, 1H, NH). MS,  $m/z$ : 314 [ $M^+$ ]. Found (%): C, 60.69; H, 2.98; N, 9.02. Calc. for  $C_{16}H_{10}Cl_2N_2O$  (%): C, 60.59; H, 3.18; N, 8.83.

For **1g**: yield 32%, mp 178–180 °C.  $^1H$  NMR ( $[^2H_6]$ DMSO)  $\delta$ : 3.85 (s, 3H, OMe), 6.96 (d, 1H,  $C^7H$ ,  $^3J$  7.8 Hz), 7.12 (d, 2H,  $C^3HPh$ ,  $C^5HPh$ ,  $^3J$  9.2 Hz,  $J_{AB}$  19.5 Hz), 6.7 (q, 2H,  $C^6H$ ,  $C^8H$ ,  $^3J$  24.6 Hz), 8.27 (d, 2H,  $C^2HPh$ ,  $C^6HPh$ ,  $^3J$  8.3 Hz,  $J_{AB}$  19.5 Hz), 8.43 (s, 1H,  $C^3H$ ), 10.94 (s, 1H, NH). MS,  $m/z$ : 276 [ $M^+$ ]. Found (%): C, 73.12; H, 4.86; N, 9.85. Calc. for  $C_{17}H_{14}N_2O_2$ : C, 73.37; H, 5.07; N, 10.07.

For **1h**: yield 21%, mp 170–172 °C.  $^1H$  NMR ( $[^2H_6]$ DMSO)  $\delta$ : 3.85 (s, 3H, *p*-OMe), 3.90 (s, 3H, *p*-OMe), 6.95 (d, 1H,  $C^7H$ ,  $^3J$  3.9 Hz), 7.13 (d, 1H,  $C^2HPh$ ,  $^3J$  8.3 Hz), 7.67 (s, 2H,  $C^5HPh$ ,  $C^6HPh$ ), 7.92 (s, 2H,  $C^6H$ ,  $C^8H$ ), 8.50 (s, 1H,  $C^3H$ ), 10.95 (s, 1H, NH). MS,  $m/z$ : 306 [ $M^+$ ]. Found (%): C, 70.48; H, 5.12; N, 9.27. Calc. for  $C_{18}H_{16}N_2O_3$  (%): C, 70.12; H, 5.23; N, 9.09.

For **1i**: yield 37%, mp 185–187 °C.  $^1H$  NMR ( $[^2H_6]$ DMSO)  $\delta$ : 1.23 (m, 3H, Me), 2.68 (q, 2H,  $CH_2$ ,  $^3J$  23.7 Hz), 6.97 (d, 1H,  $C^7H$ ,  $^3J$  6.0 Hz), 7.37 (d, 2H,  $C^3HPh$ ,  $C^5HPh$ ,  $^3J$  7.4 Hz,  $J_{AB}$  25.0 Hz), 7.69 (q, 2H,  $C^6H$ ,  $C^8H$ ,  $^3J$  21.4 Hz), 8.23 (d, 2H,  $C^2HPh$ ,  $C^6HPh$ ,  $^3J$  10.0 Hz,  $J_{AB}$  25.0 Hz), 8.46 (s, 1H,  $C^3H$ ), 11.02 (s, 1H, NH). MS,  $m/z$ : 274 [ $M^+$ ]. Found (%): C, 78.38; H, 5.67; N, 9.86. Calc. for  $C_{18}H_{16}N_2O$  (%): C, 78.24; H, 5.84; N, 10.14.

For **1j**: yield 61%, mp 233–235 °C.  $^1\text{H}$  NMR ( $[\text{}^2\text{H}_6]\text{DMSO}$ )  $\delta$ : 4.32 (s, 4H,  $\text{CH}_2\text{CH}_2$ ), 7.00 (s + t, 2H,  $\text{C}^7\text{H}$ ,  $\text{C}^2\text{HPh}$ ,  $^3J$  13.6 Hz), 7.65 (q, 2H,  $\text{C}^5\text{HPh}$ ,  $\text{C}^6\text{HPh}$ ,  $^3J$  25.1 Hz), 7.84 (d, 2H,  $\text{C}^6\text{H}$ ,  $\text{C}^8\text{H}$ ,  $^3J$  7.1 Hz), 8.40 (s, 1H,  $\text{C}^3\text{H}$ ), 10.96 (s, 1H, NH). MS,  $m/z$ : 304 [ $\text{M}^+$ ]. Found (%): C, 70.37; H, 4.42; N, 9.38. Calc. for  $\text{C}_{18}\text{H}_{14}\text{N}_2\text{O}_3$  (%): C, 70.58; H, 4.61; N, 9.15.

For **1k**: yield 47%, mp 235–237 °C.  $^1\text{H}$  NMR ( $[\text{}^2\text{H}_6]\text{DMSO}$ )  $\delta$ : 7.08 (d, 1H,  $\text{H}_{\text{arom}}$ ,  $^3J$  7.4 Hz), 7.55 (m, 3H,  $\text{H}_{\text{arom}}$ ), 7.7 (m, 3H,  $\text{H}_{\text{arom}}$ ), 8.07 (m, 4H,  $\text{H}_{\text{arom}}$ ), 11.08 (s, 1H, NH). MS,  $m/z$ : 296 [ $\text{M}^+$ ]. Found (%): C, 79.68; H, 4.12; N, 9.05. Calc. for  $\text{C}_{20}\text{H}_{12}\text{N}_2\text{O}$  (%): C, 81.07; H, 4.08; N, 9.45.