



SUPPLEMENTARY MATERIAL TO  
**Synthesis of quinolone substituted 2-azetidinone derivatives**

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**2-Chloro-3-formylquinoline (2)**. White crystals; Yield: 82 %; m.p.: 149 °C; Anal. Calcd. for  $C_{10}H_6ClNO$ : C, 62.68; H, 3.16; N, 7.31 %. Found: C, 62.82; H, 3.37; N, 7.45 %; IR (KBr,  $cm^{-1}$ ): 3050, 1726, 1610, 1555, 1448;  $^1H$ -NMR (400 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 7.7–8.8 (5H, *m*, Ar-H), 10.5 (1H, *s*, –CHO);  $^{13}C$ -NMR (100.622 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 127.7, 128.3, 129.4, 131.3, 134.1, 143.9, 147.4, 148.9, 151.2, 189.1; mass (*m/z*): 191 [ $M^+$ ].

**N-[(2-Chloroquinolin-3-yl)methylene]-4-fluorobenzenamine (3a)**. Yellow solid; Yield: 84 %; m.p.: 232–234 °C; Anal. Calcd. for  $C_{16}H_{10}ClFN_2$ : C, 67.50; H, 3.54; N, 9.84 %. Found: C, 67.68; H, 3.40; N, 9.99 %; IR (KBr,  $cm^{-1}$ ): 3071, 1612, 1593, 1501, 1489, 1455;  $^1H$ -NMR (400 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 9.0 (1H, *s*, –CH=N–), 6.88–9.06 (9H, *m*, Ar-H);  $^{13}C$ -NMR (100.622 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 117.8, 124.2, 128.8, 127.2, 127.6, 128.5, 131.4, 137.8, 143.4, 148.6, 151.3, 155.6, 159.8, 163.8; mass (*m/z*): 284 [ $M^+$ ].

**4-Chloro-N-[(2-chloroquinolin-3-yl)methylene]benzenamine (3b)**. Yellow Solid; Yield: 87 %; m.p.: 227–228 °C; Anal. Calcd. for  $C_{16}H_{10}Cl_2N_2$ : C, 63.81; H, 3.35; N, 9.30 %. Found: C, 63.88; H, 3.55; N, 9.59 %; IR (KBr,  $cm^{-1}$ ): 3073, 1618, 1598, 1504, 1495, 1452;  $^1H$ -NMR (400 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 9.0 (1H, *s*, –CH=N–), 6.88–9.06 (9H, *m*, Ar-H);  $^{13}C$ -NMR (100.622 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 117.6, 124.3, 128.9, 127.4, 127.6, 128.5, 131.3, 137.6, 142.8, 148.6, 151.2, 155.6, 159.8, 163.8; mass (*m/z*): 300 [ $M^+$ ].

**N-[(2-Chloroquinolin-3-yl)methylene]-3-nitrobenzenamine (3c)**. Yellow Solid; Yield: 82 %; m.p.: 212–214 °C; Anal. Calcd. for  $C_{16}H_{10}ClN_3O_2$ : C, 61.65; H, 3.23; N, 13.48 %. Found: C, 61.84; H, 3.49; N, 13.61 %; IR (KBr,  $cm^{-1}$ ): 3068, 1616, 1591, 1514, 1502, 1444;  $^1H$ -NMR (400 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 9.0 (1H, *s*, –CH=N–), 6.88–9.06 (9H, *m*, Ar-H);  $^{13}C$ -NMR (100.622 MHz,  $CDCl_3$ ,  $\delta$

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/ ppm): 116.8, 117.8, 119.6, 124.3, 127.5, 127.6, 128.6, 128.9, 131.5, 137.6, 142.9, 148.6, 151.3, 155.8, 158.8, 163.8; mass ( $m/z$ ): 311 [ $M^+$ ].

*4-(2-Chloroquinolin-3-yl)-1-(4-fluorophenyl)-3-phenylazetididin-2-one (4a)*. White solid; Yield: 48 %; m.p.: 165–166 °C; Anal. Calcd. for  $C_{24}H_{16}ClFN_2O$ : C, 71.55; H, 4.00; N, 6.95 %. Found: C, 71.44; H, 3.92; N, 7.01 %; IR (KBr,  $cm^{-1}$ ): 3064, 2959, 1760, 1602, 1497, 1410;  $^1H$ -NMR (400 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 4.33 (1H, *d*,  $J = 2.4$  Hz,  $>CH-C=O$ ), 5.55 (1H, *d*,  $J = 2.4$  Hz,  $>CH-N<$ ), 7.04–8.08 (14H, *m*, Ar-H);  $^{13}C$ -NMR (100.622 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 60.0, 65.5, 118.4, 126.9, 127.6, 128.0, 128.3, 128.4, 128.8, 128.9, 129.1, 129.6, 129.9, 131.3, 134.0, 135.3, 135.4, 147.5, 148.8, 165.2; mass ( $m/z$ ): 402 [ $M^+$ ].

*1-(4-Chlorophenyl)-4-(2-chloroquinolin-3-yl)-3-phenylazetididin-2-one (4b)*. White solid; Yield: 42 %; m.p.: 174–175 °C; Anal. Calcd. for  $C_{24}H_{16}Cl_2N_2O$ : C, 68.75; H, 3.85; N, 6.68 %. Found: C, 68.80; H, 3.79; N, 6.74 %; IR (KBr,  $cm^{-1}$ ): 3067, 1763, 1589, 1508, 1456;  $^1H$ -NMR (400 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 4.33 (1H, *d*,  $J = 2.4$  Hz,  $>CH-C=O$ ), 5.55 (1H, *d*,  $J = 2.4$  Hz,  $>CH-N<$ ), 7.16–8.06 (14H, *m*, Ar-H);  $^{13}C$ -NMR (100.622 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 60.0, 65.5, 118.4, 126.9, 127.7, 128.0, 128.3, 128.4, 128.7, 128.9, 129.1, 129.6, 129.8, 131.3, 134.0, 135.3, 135.4, 147.4, 148.8, 165.2; mass ( $m/z$ ): 418 [ $M^+$ ].

*4-(2-Chloroquinolin-3-yl)-1-(3-nitrophenyl)-3-phenylazetididin-2-one (4c)*. Off white solid; Yield: 46 %; m.p.: 147 °C; Anal. Calcd. for  $C_{24}H_{16}ClN_3O_3$ : C, 67.06; H, 3.75; N, 9.78 %. Found: C, 67.12; H, 3.83; N, 9.85 %; IR (KBr,  $cm^{-1}$ ): 3062, 2956, 1757, 1595, 1566, 1493;  $^1H$ -NMR (400 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 4.43 (1H, *d*,  $J = 2.4$  Hz,  $>CH-C=O$ ), 5.65 (1H, *d*,  $J = 2.4$  Hz,  $>CH-N<$ ), 7.43–8.29 (14H, *m*, Ar-H);  $^{13}C$ -NMR (100.622 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 60.0, 65.5, 118.6, 127.0, 127.5, 128.1, 128.3, 128.4, 128.6, 128.9, 129.3, 129.7, 129.9, 131.3, 134.5, 135.3, 135.4, 136.5, 138.8, 147.6, 148.8, 165.2; mass ( $m/z$ ): 429 [ $M^+$ ].

*4-(2-Chloroquinolin-3-yl)-1-(4-fluorophenyl)-3-(4-methoxyphenyl)azetididin-2-one (4d)*. Off white solid; Yield: 44 %; m.p.: 241 °C; Anal. Calcd. for  $C_{25}H_{18}ClFN_2O_2$ : C, 69.37; H, 4.19; N, 6.47 %. Found: C, 69.31; H, 4.13; N, 6.52 %; IR (KBr,  $cm^{-1}$ ): 3071, 2956, 1751, 1611, 1506, 1460;  $^1H$ -NMR (400 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 3.65 (3H, *s*,  $-OCH_3$ ), 4.25 (1H, *d*,  $J = 2.4$  Hz,  $>CH-C=O$ ), 5.45 (1H, *d*,  $J = 4.0$  Hz,  $>CH-N<$ ), 6.91–8.05 (13H, *m*, Ar-H);  $^{13}C$ -NMR (100.622 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 43.8, 60.0, 65.5, 118.4, 126.9, 127.7, 128.1, 128.3, 128.4, 128.7, 128.9, 129.2, 129.6, 129.8, 131.3, 134.1, 135.3, 135.4, 147.4, 148.8, 165.3; mass ( $m/z$ ): 432 [ $M^+$ ].

*1-(4-Chlorophenyl)-4-(2-chloroquinolin-3-yl)-3-(4-methoxyphenyl)azetididin-2-one (4e)*. Off white solid; Yield: 47 %; m.p.: 181–183 °C; Anal. Calcd. for  $C_{25}H_{18}Cl_2N_2O_2$ : C, 66.83; H, 4.04; N, 6.23 %. Found: C, 66.76; H, 4.11; N, 6.32 %; IR (KBr,  $cm^{-1}$ ): 3060, 2958, 1753, 1594, 1514, 1458;  $^1H$ -NMR (400 MHz,  $CDCl_3$ ,  $\delta$  / ppm): 3.81 (3H, *s*,  $-OCH_3$ ), 4.28 (1H, *d*,  $J = 2.4$  Hz,  $>CH-C=O$ ), 5.48 (1H, *d*,  $J = 2.4$  Hz,  $>CH-N<$ ), 6.94–8.06 (13H, *m*, Ar-H);  $^{13}C$ -NMR (100.622

MHz, CDCl<sub>3</sub>,  $\delta$  / ppm): 43.8, 60.0, 65.5, 118.4, 126.9, 127.6, 128.2, 128.3, 128.4, 128.7, 128.9, 129.3, 129.6, 129.9, 131.3, 134.1, 135.3, 135.5, 147.4, 148.8, 165.2; mass ( $m/z$ ): 448 [M<sup>+</sup>].

*4-(2-Chloroquinolin-3-yl)-3-(4-methoxyphenyl)-1-(3-nitrophenyl)azetid-2-one (4f)*. Off white solid; Yield: 52 %; m.p.: 197–198 °C; Anal. Calcd. for C<sub>25</sub>H<sub>18</sub>ClN<sub>3</sub>O<sub>4</sub>: C, 65.29; H, 3.95; N, 9.14 %. Found: C, 65.18; H, 3.84; N, 9.23 %; IR (KBr, cm<sup>-1</sup>): 3068, 2931, 1762, 1592, 1532, 1462; <sup>1</sup>H-NMR (400 MHz, CDCl<sub>3</sub>,  $\delta$  / ppm): 3.85 (3H, s, -OCH<sub>3</sub>), 4.37 (1H, d,  $J$  = 2.8 Hz, >CH-C=O), 5.58 (1H, d,  $J$  = 2.8 Hz, >CH-N<), 6.95–8.28 (13H, m, Ar-H); <sup>13</sup>C-NMR (100.622 MHz, CDCl<sub>3</sub>,  $\delta$  / ppm): 43.8, 60.0, 65.5, 116.5, 118.9, 126.8, 127.6, 128.1, 128.2, 128.4, 128.7, 128.9, 129.1, 129.3, 129.6, 129.8, 131.3, 131.4, 134.1, 135.5, 147.4, 148.9, 165.2; mass ( $m/z$ ): 459 [M<sup>+</sup>].

*3-(4-Chlorophenyl)-4-(2-chloroquinolin-3-yl)-1-(4-fluorophenyl)azetid-2-one (4g)*. Off white solid; Yield: 46 %; m.p.: 188–189 °C; Anal. Calcd. for C<sub>24</sub>H<sub>15</sub>Cl<sub>2</sub>FN<sub>2</sub>O: C, 65.92; H, 3.46; N, 6.41 %. Found: C, 66.0; H, 3.56; N, 6.33 %; IR (KBr, cm<sup>-1</sup>): 3063, 2955, 1758, 1592, 1454, 1407; <sup>1</sup>H-NMR (400 MHz, CDCl<sub>3</sub>),  $\delta$  / ppm): 4.30 (d, 1H,  $J$  = 2.4 Hz, >CH-C=O), 5.50 (d, 1H,  $J$  = 2.4 Hz, >CH-N<), 7.04–8.07 (m, 13H, Ar-H); <sup>13</sup>C-NMR (100.622 MHz, CDCl<sub>3</sub>,  $\delta$  / ppm): 60.0, 65.5, 118.4, 126.9, 127.6, 128.1, 128.3, 128.5, 128.7, 128.9, 129.2, 129.7, 129.8, 131.3, 134.0, 135.3, 135.5, 147.4, 148.8, 165.2; mass ( $m/z$ ): 436 [M<sup>+</sup>].

*1,3-Bis(4-chlorophenyl)-4-(2-chloroquinolin-3-yl)azetid-2-one (4h)*. Off white solid; Yield: 45 %; m.p.: 212–213 °C; Anal. Calcd. for C<sub>24</sub>H<sub>15</sub>Cl<sub>3</sub>N<sub>2</sub>O: C, 63.53; H, 3.33; N, 6.17 %. Found: C, 63.63; H, 3.42; N, 6.30 %; IR (KBr, cm<sup>-1</sup>): 3058, 2943, 1759, 1594, 1567, 1491; <sup>1</sup>H-NMR (400 MHz, CDCl<sub>3</sub>,  $\delta$  / ppm): 4.30 (1H, d,  $J$  = 2.4 Hz, >CH-C=O), 5.50 (1H, d,  $J$  = 2.4 Hz, >CH-N<), 7.31–8.09 (13H, m, Ar-H); <sup>13</sup>C-NMR (100.622 MHz, CDCl<sub>3</sub>,  $\delta$  / ppm): 60.0, 65.5, 118.5, 126.8, 127.7, 128.1, 128.3, 128.5, 128.7, 128.9, 129.2, 129.7, 129.8, 131.3, 134.0, 135.3, 135.5, 147.5, 148.8, 165.3; mass ( $m/z$ ): 452 [M<sup>+</sup>].