Journal of the Serbian Chemical Society
JSCS@tmf.bg.ac.rs • www.shd.org.rs/JSCS
J. Serb. Chem. Soc. 81 (8) S226–S231 (2016) *Supplementary material*

SUPPLEMENTARY MATERIAL TO

Microwave assisted synthesis of 2-(2-(tetrazolo[1,5-*a*]quinolin-4-yl)-2,3-dihydro-1*H*-1,5-benzodiazepin-4-yl) substituted phenols and evaluation of their antimicrobial activity

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J. Serb. Chem. Soc. 81 (8) (2016) 851–858

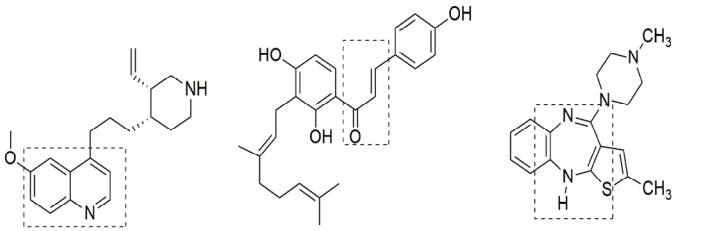

Fig. S-1. Commercially available drugs containing the quinoline, chalcone or benzodiazepine moiety.

SPECTRAL DATA OF COMPOUNDS **3a**–**h**

*(*E*)-1-(2-Hydroxyphenyl)-3-(tetrazolo[1,5-*a*]quinolin-4-yl)prop-2-en-1-one (**3a**)*. Yield: 68 % (method A) and 80 % (method B); yellow solid; m.p.: 239 °C; Anal. Calcd. for $C_{18}H_{12}N_4O_2$: C, 68.35; H, 3.82; N, 17.71 %. Found: C, 68.39; H, 3.76; N, 17.79 %; IR (KBr, cm^{-1}): 3423 (OH), 1642 (C=O), 1613 (C=N), 1588 (C=C), 1267 (Ar-O); ^{1}H-NMR (400 MHz, DMSO-d_6, δ / ppm): 11.98 (1H, *s*, OH), 8.90 (1H, *d*, J = 15.7 Hz, H$_\beta$), 8.81 (1H, *s*, Ar-H), 8.67 (1H, *d*, J = 8.7 Hz, Ar-H), 8.26 (1H, *d*, J = 8.5 Hz, Ar-H), 8.06 (3H, *m*, Ar-H & H$_\alpha$), 7.59 (2H, *m*, Ar-H), 7.08 (2H, *m*, Ar-H); ^{13}C-NMR (100 MHz, DMSO-d_6, δ / ppm): 190.9 (C=O), 161.1 (Ar-O), 136.8, 136.6, 133.0, 132.2, 131.3, 130.6, 129.0, 128.0, 126.9, 124.3, 124.0, 122.4, 121.3, 118.4, 116.8, 116.6; MS (*m*/*z*): 317 $[M+H]^+$ (30 %).

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*(*E*)-1-(2-Hydroxy-5-methylphenyl)-3-(tetrazolo[1,5-*a*]quinolin-4-yl)prop-2--en-1-one* (***3b***). Yield: 66 % (method A) and 85 % (method B); yellow solid; m.p.: 246 °C; Anal. Calcd. for $C_{19}H_{14}N_4O_2$: C, 69.08; H, 4.27; N, 16.96 %. Found: C, 69.11; H, 4.28; N, 17.05 %; IR (KBr, cm^{-1}): 3423 (OH), 1646 (C=O), 1614 (C=N), 1582 (C=C), 1254 (Ar-O); ^{1}H-NMR (400 MHz, DMSO-d_6, δ / ppm): 12.61 (1H, *s*, OH), 9.18 (1H, *d*, *J* = 15.6 Hz, H$_\beta$), 8.76 (1H, *d*, *J* = 8.4 Hz, Ar-H), 8.16 (1H, *s*, Ar-H), 8.07 (2H, *m*, Ar-H & H$_\alpha$), 7.97 (2H, *m*, Ar-H), 7.79 (1H, *m*, Ar-H), 7.39 (1H, *m*, Ar-H), 6.98 (1H, *d*, *J* = 7.5 Hz, Ar-H), 2.44 (3H, *s*, CH_3); ^{13}C-NMR (100 MHz, DMSO-d_6, δ / ppm): 189.9 (C=O), 159.1 (Ar-O), 147.8, 145.6, 144.0, 136.2, 134.3, 131.6, 130.0, 129.0, 128.9, 128.3, 128.0, 127.4, 122.3, 120.4, 118.8, 116.4, 23.3 (CH_3); MS (*m*/*z*): 331 $[M+H]^+$ (100 %).

*(*E*)-1-(5-Bromo-2-hydroxyphenyl)-3-(tetrazolo[1,5-*a*]quinolin-4-yl)prop-2--en-1-one* (***3c***). Yield: 58 % (method A) and 80 % (method B); yellow solid; m.p.: 243 °C; Anal. Calcd. for $C_{18}H_{11}BrN_4O_2$: C, 54.70; H, 2.81; N, 14.18 %. Found: C, 54.70; H, 2.88; N, 14.17 %; IR (KBr, cm^{-1}): 3421 (OH), 1644 (C=O), 1613 (C=N), 1578 (C=C), 1252 (Ar-O); ^{1}H-NMR (400 MHz, DMSO-d_6, δ / ppm): 12.71 (1H, *s*, OH), 9.07 (1H, *d*, *J* = 15.4 Hz, H$_\beta$), 8.77 (1H, *d*, *J* = 8.6 Hz, Ar-H), 8.26 (1H, *s*, Ar-H), 8.16 (2H, *m*, Ar-H & H$_\alpha$), 8.09 (1H, *m*, Ar-H), 7.98 (1H, *m*, Ar-H), 7.80 (1H, *s*, Ar-H), 7.64 (1H, *m*, Ar-H), 6.99 (1H, *d*, *J* = 7.4 Hz, Ar-H); ^{13}C-NMR (100 MHz, DMSO-d_6, δ / ppm): 190.6 (C=O), 160.6 (Ar-O), 146.8, 145.2, 144.3, 138.2, 135.4, 133.6, 131.1, 129.4, 127.9, 125.2, 124.0, 122.1, 121.2, 117.3, 116.8, 116.4; MS (*m*/*z*): 394 $[M]^+$ (100 %), 396 $[M+2]^+$ (97 %).

*(*E*)-1-(5-Chloro-2-hydroxyphenyl)-3-(tetrazolo[1,5-*a*]quinolin-4-yl)prop-2--en-1-one* (***3d***). Yield: 65 % (method A) and 82 % (method B); yellow solid; m.p.: 247 °C; Anal. Calcd. for $C_{18}H_{11}ClN_4O_2$: C, 61.64; H, 3.16; N, 15.97 %. Found: C, 61.68; H, 3.19; N, 16.12 %; IR (KBr, cm^{-1}): 3424 (OH), 1645 (C=O), 1615 (C=N), 1580 (C=C), 1251 (Ar-O); ^{1}H-NMR (400 MHz, DMSO-d_6, δ / ppm): 12.62 (1H, *s*, OH), 9.04 (1H, *d*, *J* = 15.8 Hz, H$_\beta$), 8.75 (1H, *d*, *J* = 8.7 Hz, Ar-H), 8.30 (1H, *s*, Ar-H), 8.16 (2H, *m*, Ar-H & H$_\alpha$), 8.12 (1H, *m*, Ar-H), 8.00 (2H, *m*, Ar-H), 7.83 (1H, *s*, Ar-H), 7.54 (1H, *d*, *J* = 7.2 Hz, Ar-H); ^{13}C-NMR (100 MHz, DMSO-d_6, δ / ppm): 189.8 (C=O), 161.2 (Ar-O), 145.7, 145.6, 144.1, 141.3, 137.5, 136.4, 134.5, 131.2, 130.8, 129.2, 128.5, 128.3, 127.5, 121.4, 117.8, 116.5; MS (*m*/*z*): 350 $[M]^+$ (100 %), 352 $[M+2]^+$ (30 %).

*(*E*)-1-(5-Fluoro-2-hydroxyphenyl)-3-(tetrazolo[1,5-*a*]quinolin-4-yl)prop-2--en-1-one* (***3e***). Yield: 68 % (method A) and 80 % (method B); yellow solid; m.p.: 240 °C; Anal. Calcd. for $C_{18}H_{11}FN_4O_2$: C, 64.67; H, 3.32; N, 16.76 %. Found: C, 64.69; H, 3.29; N, 16.78 %; IR (KBr, cm^{-1}): 3421 (OH), 1644 (C=O), 1614 (C=N), 1583 (C=C), 1257 (Ar-O); ^{1}H-NMR (400 MHz, DMSO-d_6, δ / ppm): 12.51 (1H, *s*, OH), 8.82 (1H, *d*, *J* = 15.4 Hz, H$_\beta$), 8.66 (1H, *d*, *J* = 8.5 Hz, Ar-H), 8.25 (1H, *s*, Ar-H), 8.18 (2H, *m*, Ar-H & H$_\alpha$), 8.05 (1H, *m*, Ar-H), 8.02 (1H, *m*, Ar-H), 7.87 (1H, *m*, Ar-H), 7.63 (1H, *s*, Ar-H), 7.02 (1H, *d*, *J* = 7.2 Hz, Ar-H);

^{13}C-NMR (100 MHz, DMSO-d_6, δ / ppm): 189.9 (C=O), 158.3 (Ar-O), 156.8, 148.5, 147.5, 146.1, 144.1, 138.4, 138.1, 136.5, 135.5, 132.3, 131.2, 130.5, 128.3, 127.1, 124.6, 116.3; MS (*m*/*z*): 335 $[M+H]^+$ (100 %).

*(*E*)-1-(2-Hydroxy-4-methoxyphenyl)-3-(tetrazolo[1,5-*a*]quinolin-4-yl)prop-2-en-1-one (**3f**)*. Yield: 56 % (method A) and 81 % (method B); yellow solid; m.p.: 245 °C; Anal. Calcd. for $C_{19}H_{14}N_4O_3$: C, 65.89; H, 4.07; N, 16.18 %. Found: C, 65.84; H, 4.19; N, 16.25 %; IR (KBr, cm^{-1}): 3423 (OH), 1641 (C=O), 1616 (C=N), 1577 (C=C), 1277 (Ar-O); ^{1}H-NMR (400 MHz, DMSO-d_6, δ / ppm): 12.62 (1H, *s*, OH), 9.02 (1H, *d*, *J* = 15.9 Hz, H$_\beta$), 8.70 (1H, *d*, *J* = 8.3 Hz, Ar-H), 8.28 (1H, *s*, Ar-H), 8.15 (2H, *m*, Ar-H & H$_\alpha$), 8.03 (1H, *m*, Ar-H), 8.00 (1H, *m*, Ar-H), 7.90 (1H, *m*, Ar-H), 7.58 (1H, *m*, Ar-H), 6.99 (1H, *d*, *J* = 7.7 Hz, Ar-H), 3.68 (3H, *s*, OCH_3); ^{13}C-NMR (100 MHz, DMSO-d_6, δ / ppm): 191.5 (C=O), 168.5, 163.8 (Ar-O), 148.5, 146.2, 145.0, 141.7, 140.1, 138.5, 136.3, 134.0, 128.6, 127.1, 125.4, 124.6, 116.5, 108.6, 103.3, 56.9 (OCH_3); MS (*m*/*z*): 347 $[M+H]^+$ (100 %).

*(*E*)-1-(5-Chloro-2-hydroxy-4-methylphenyl)-3-(tetrazolo[1,5-*a*]quinolin-4-yl)prop-2-en-1-one (**3g**)*. Yield: 59 % (method A) and 80 % (method B); yellow solid; m.p.: 253 °C; Anal. Calcd. for $C_{19}H_{13}ClN_4O_2$: C, 62.56; H, 3.59; N, 15.36 %. Found: C, 62.60; H, 3.63; N, 15.41 %; IR (KBr, cm^{-1}): 3425 (OH), 1645 (C=O), 1616 (C=N), 1578 (C=C), 1258 (Ar-O); ^{1}H-NMR (400 MHz, DMSO-d_6, δ / ppm): 12.64 (1H, *s*, OH), 9.04 (1H, *d*, *J* = 15.8 Hz, H$_\beta$), 8.74 (1H, *d*, *J* = 8.7 Hz, Ar-H), 8.15 (1H, *s*, Ar-H), 8.06 (2H, *m*, Ar-H & H$_\alpha$), 7.95 (1H, *m*, Ar-H), 7.77 (2H, *m*, Ar-H), 6.94 (1H, *d*, *J* = 7.6 Hz, Ar-H), 2.42 (3H, *s*, CH_3); ^{13}C-NMR (100 MHz, DMSO-d_6, δ / ppm): 188.5 (C=O), 158.5 (Ar-O), 145.7, 144.8, 143.2, 142.5, 138.1, 137.7, 136.1, 135.8, 131.1, 128.5, 127.4, 126.1, 124.3, 117.9, 116.8, 116.0, 16.0 (CH_3); MS (*m*/*z*): 364 $[M]^+$ (100 %), 366 $[M+2]^+$ (30 %).

*(*E*)-1-(3,5-Dichloro-2-hydroxyphenyl)-3-(tetrazolo[1,5-*a*]quinolin-4-yl)prop-2-en-1-one (**3h**)*. Yield: 66 % (method A) and 82 % (method B); yellow solid; m.p.: 248 °C; Anal. Calcd. for $C_{18}H_{10}Cl_2N_4O_2$: C, 56.12; H, 2.62; N, 14.54 %. Found: C, 56.26; H, 2.59; N, 14.61 %; IR (KBr, cm^{-1}): 3422 (OH), 1645 (C=O), 1616 (C=N), 1578 (C=C), 1258 (Ar-O); ^{1}H-NMR (400 MHz, DMSO-d_6, δ / ppm): 13.28 (1H, *s*, OH), 9.07 (1H, *d*, *J* = 15.9 Hz, H$_\beta$), 8.76 (1H, *d*, *J* = 8.5 Hz, Ar-H), 8.18 (1H, *s*, Ar-H), 8.07 (3H, *m*, Ar-H & H$_\alpha$), 7.97 (1H, *m*, Ar-H), 7.79 (1H, *s*, Ar-H), 7.65 (1H, *d*, *J* = 7.5 Hz, Ar-H); ^{13}C-NMR (100 MHz, DMSO-d_6, δ / ppm): 190.9 (C=O), 161.1 (Ar-O), 136.8, 136.6, 133.0, 132.2, 131.3, 130.6, 129.0, 128.0, 126.9, 124.3, 124.0, 122.4, 121.3, 118.4, 116.8, 116.6; MS (*m*/*z*): 384 $[M]^+$ (100 %), 386 $[M+2]^+$ (62 %), 388 $[M+4]^+$ (30 %).

SPECTRAL DATA OF COMPOUNDS **4a**–**h**

*2-(2-(Tetrazolo[1,5-*a*]quinolin-4-yl)-2,3-dihydro-1*H*-1,5-benzodiazepin-4-yl)phenol (**4a**)*. Yield: 68 % (method A) and 80 % (method B); yellow solid;

m.p.: 171 °C; Anal. Calcd. for $C_{24}H_{18}N_6O$: C, 70.92; H, 4.46; N, 20.68 %. Found: C, 70.89; H, 4.45; N, 20.65 %; IR (KBr, cm^{-1}): 3425 (OH), 3346 (NH), 1610 (C=N); ^{1}H-NMR (400 MHz, $CDCl_3$, δ / ppm): 14.91 (1H, *s*, OH), 8.60 (1H, *d*, Ar-H), 8.11 (1H, *s*, Ar-H), 7.80 (2H, *m*, Ar-H), 7.63 (1H, *m*, Ar-H), 7.31 (1H, *dd*, Ar-H), 7.18 (1H, *m*, Ar-H), 7.10 (1H, *m*, Ar-H), 7.02 (2H, *m*, Ar-H), 6.90 (1H, *dd*, Ar-H), 6.74 (1H, *dd*, Ar-H), 6.36 (1H, *m*, Ar-H), 6.20 (1H, *dd*, J = 4.4 & 9.0 Hz, H_X), 4.18 (1H, *br*, N–H), 3.86 (1H, *dd*, J = 4.4 & 14.0 Hz, H_B), 3.43 (1H, *dd*, J = 9.0 & 14.0 Hz, H_A); ^{13}C-NMR (100 MHz, $CDCl_3$, δ / ppm): 171.3, 161.9, 146.3, 138.7, 135.6, 132.8, 130.8, 129.8, 129.5, 129.3, 129.1, 128.6, 128.4, 128.1, 127.5, 127.4, 123.6, 122.0 120.6, 118.9, 117.9, 116.4, 65.4 (CH), 32.1 (CH_2); MS (*m*/*z*): 407 $[M+H]^+$ (100 %).

*4-Methyl-2-(2-(tetrazolo[1,5-*a*]quinolin-4-yl)-2,3-dihydro-1*H*-1,5-benzodiazepin-4-yl)phenol (**4b**)*. Yield: 60 % (method A) and 84 % (method B); yellow solid; m.p.: 202 °C; Anal. Calcd. for $C_{25}H_{20}N_6O$: C, 71.41; H, 4.79; N, 19.99 %. Found: C, 71.39; H, 4.76; N, 19.97 %; IR (KBr, cm^{-1}): 3421 (OH), 3363 (NH), 1618 (C=N); ^{1}H-NMR (400 MHz, $CDCl_3$, δ / ppm): 14.56 (1H, *s*, OH), 8.60 (1H, *d*, r-H), 8.11 (1H, *s*, Ar-H), 7.80 (2H, *m*, Ar-H), 7.62 (1H, *m*, Ar-H), 7.30 (1H, *dd*, r-H), 7.18 (1H, *m*, Ar-H), 7.09 (1H, *m*, Ar-H), 7.00 (1H, *m*, Ar-H), 6.77 (1H, *dd*, Ar-H), 6.58 (1H, *dd*, r-H), 6.48 (1H, *s*, Ar-H), 6.20 (1H, *dd*, J = 4.4 & 9.1 Hz, H_X), 4.14 (1H, *br*, N–H), 3.90 (1H, *dd*, J = 4.4 & 14.0 Hz, H_B), 3.35 (1H, *dd*, J = 9.1 & 14.0 Hz, H_A) 1.78 (3H, *s*, CH_3); ^{13}C-NMR (100 MHz, $CDCl_3$, δ / ppm): 171.4, 159.4, 146.4, 138.8, 135.7, 133.5, 130.8, 129.7, 129.4, 129.2, 128.5, 128.3, 128.1, 127.5, 127.4, 126.8 123.6, 121.9 120.6, 118.5, 117.5, 116.3, 65.7 (CH), 31.8 (CH_2), 20.1 (CH_3); MS (*m*/*z*): 421 $[M+H]^+$ (100 %).

*4-Bromo-2-(2-(tetrazolo[1,5-*a*]quinolin-4-yl)-2,3-dihydro-1*H*-1,5-benzodiazepin-4-yl)phenol (**4c**)*. Yield: 62 % (method A) and 85 % (method B); yellow solid; m.p.: 191 °C; Anal. Calcd. for $C_{24}H_{17}BrN_6O$: C, 59.39; H, 3.53; N, 17.32 %. Found: C, 59.36; H, 3.51; N, 17.30 %; IR (KBr, cm^{-1}): 3423 (OH), 3359 (NH), 1609 (C=N); ^{1}H-NMR (400 MHz, $CDCl_3$, δ / ppm): 14.92 (1H, *s*, OH), 8.64 (1H, *d*, r-H), 8.09 (1H, *s*, Ar-H), 7.82 (2H, *m*, Ar-H), 7.62 (1H, *t*, r-H), 7.30 (1H, *d*, r-H), 7.20 (1H, *m*, Ar-H), 7.05 (3H, *m*, Ar-H), 6.80 (1H, *d*, r-H), 6.62 (1H, *d*, r-H), 6.20 (1H, *dd*, J = 4.4 & 8.2 Hz, H_X), 4.20 (1H, *br*, N–H), 3.82 (1H, *dd*, J = 4.4 & 14.2 Hz, H_B), 3.38 (1H, *dd*, J = 8.2 & 14.2 Hz, H_A); ^{13}C-NMR (100 MHz, $CDCl_3$, δ / ppm): 170.3, 160.8, 146.3, 138.9, 135.3, 135.1, 131.0, 130.0, 129.9, 129.3, 129.0, 128.4, 128.1, 128.1, 127.9, 123.5, 122.2 120.9, 120.3, 119.7, 116.6,109.3, 65.8 (CH), 32.6 (CH_2); MS (*m*/*z*): 485 $[M+H]^+$ (100 %), 486 $[M+2]^+$ (97 %).

*4-Chloro-2-(2-(tetrazolo[1,5-*a*]quinolin-4-yl)-2,3-dihydro-1*H*-1,5-benzodiazepin-4-yl)phenol (**4d**)*. Yield: 69 % (method A) and 80 % (method B); yellow solid; m.p.: 204 °C; Anal. Calcd. for $C_{24}H_{17}ClN_6O$: C, 65.38; H, 3.89; N, 19.06 %. Found: C, 65.36; H, 3.88; N, 19.03 %; IR (KBr, cm^{-1}): 3425 (OH), 3329

(NH), 1609 (C=N); ^{1}H-NMR (400 MHz, $CDCl_3$, δ / ppm): 14.92 (1H, *s*, OH), 8.62 (1H, *d*, r-H), 8.08 (1H, *s*, Ar-H), 7.82 (2H, *t*, r-H), 7.63 (1H, *t*, r-H), 7.29 (1H, *d*, r-H), 7.20 (1H, *t*, Ar-H), 7.10 (1H, *t*, r-H), 7.00 (1H, *d*, r-H), 6.95 (1H, *dd*, r-H), 6.70 (2H, *m*, Ar-H), 6.20 (1H, *dd*, J = 4.8 & 8.9 Hz, H_X), 4.24 (1H, *br*, N–H), 3.82 (1H, *dd*, J = 4.8 & 14.0 Hz, H_B), 3.37 (1H, *dd*, J = 8.9 & 14.0 Hz, H_A); ^{13}C-NMR (100 MHz, $CDCl_3$, δ / ppm): 170.8, 161.0, 146.8, 139.2, 136.5, 133.2, 131.2, 130.5, 129.8, 129.6, 129.5, 128.9, 128.6, 128.3, 128.0, 127.8, 124.2, 122.6 121.0, 119.2, 118.2, 117.1, 66.1 (CH), 33.1 (CH_2); MS (*m*/*z*): 441 $[M+H]^+$ (100 %), 442 $[M+2]^+$ (30 %).

*4-Fluoro-2-(2-(tetrazolo[1,5-*a*]quinolin-4-yl)-2,3-dihydro-1*H*-1,5-benzodiazepin-4-yl)phenol (**4e**)*. Yield: 65 % (method A) and 86 % (method B); yellow solid; m.p.: 172 °C; Anal. Calcd. for $C_{24}H_{17}FN_6O$: C, 67.92; H, 4.04; N, 19.80 %. Found: C, 67.89; H, 4.00; N, 19.75 %; IR (KBr, cm^{-1}): 3421 (OH), 3339 (NH), 1604 (C=N); ^{1}H-NMR (400 MHz, $CDCl_3$, δ / ppm): 14.63 (1H, *s*, OH), 8.63 (1H, *d*, r-H), 8.08 (1H, *s*, Ar-H), 7.83 (2H, *m*, Ar-H), 7.64 (1H, *t*, r-H), 7.30 (1H, *dd*, r-H), 7.20 (1H, *m*, Ar-H), 7.09 (1H, *m*, Ar-H), 7.00 (1H, *d*, r-H), 6.80 (1H, *m*, Ar-H), 6.72 (1H, *m*, Ar-H), 6.63 (1H, *dd*, r-H), 6.16 (1H, *dd*, J = 5.6 & 8.6 Hz, H_X), 4.20 (1H, *b*, N–H), 3.75 (1H, *dd*, J = 5.6 & 14.0 Hz, H_B), 3.42 (1H, *dd*, J = 8.6 & 14.0 Hz, H_A); ^{13}C-NMR (100 MHz, $CDCl_3$, δ / ppm): 170.2, 157.9, 153.4, 146.2, 138.7, 135.3, 131.0, 129.9, 129.3, 129.1, 128.6, 128.3, 128.2, 127.9, 123.5, 122.1, 120.0, 119.8 118.8, 116.6, 113.0, 112.8, 65.2 (CH), 32.5 (CH_2); MS (*m*/*z*): 425 $[M+H]^+$ (100 %).

*5-Methoxy-2-(2-(tetrazolo[1,5-*a*]quinolin-4-yl)-2,3-dihydro-1*H*-1,5-benzodiazepin-4-yl)phenol (**4f**)*. Yield: 64 % (method A) and 83 % (method B); yellow solid; m.p.: 205 °C; Anal. Calcd. for $C_{25}H_{20}N_6O_2$: C, 68.80; H, 4.62; N, 19.25 %. Found: C, 68.78; H, 4.59; N, 19.21 %; IR (KBr, cm^{-1}): 3424 (OH), 3346 (NH) 1621 (C=N); ^{1}H-NMR (400 MHz, $CDCl_3$, δ / ppm): 14.91 (1H, *s*, OH), 8.60 (1H, *d*, r-H), 8.11 (1H, *s*, Ar-H), 7.80 (2H, *m*, Ar-H), 7.63 (1H, *m*, Ar-H), 7.31 (1H, *dd*, r-H), 7.18 (1H, *m*, Ar-H), 7.02 (2H, *m*, Ar-H), 6.90 (1H, *dd*, r-H), 6.74 (1H, *dd*, r-H), 6.36 (1H, *m*, Ar-H), 6.20 (1H, *dd*, J = 5.2 & 9.0 Hz, H_X), 4.18 (1H, *br*, N–H), 3.86 (1H, *dd*, J = 5.2 & 14.0 Hz, H_B), 3.81 (3H, *s*, OCH_3), 3.43 (1H, *dd*, J = 9.0 & 14.0 Hz, H_A); ^{13}C-NMR (100 MHz, $CDCl_3$, δ / ppm): 171.3, 161.9, 146.3, 138.7, 135.6, 132.8, 130.8, 129.8, 129.5, 129.3, 129.1, 128.6, 128.4, 128.1, 127.5, 127.4, 123.6, 122.0 120.6, 118.9, 117.9, 116.4, 65.4 (CH), 55.7 (OCH_3), 32.1 (CH_2); MS (*m*/*z*): 437 $[M+H]^+$ (100 %).

*4-Chloro-5-methyl-2-(2-(tetrazolo[1,5-*a*]quinolin-4-yl)-2,3-dihydro-1*H*-1,5-benzodiazepin-4-yl)phenol (**4g**)*. Yield: 62 % (method A) and 82 % (method B); yellow solid, m.p.: 212 °C; Anal. Calcd. for $C_{25}H_{19}ClN_6O$: C, 66.01; H, 4.21; N, 18.47 %. Found: C, 65.97; H, 4.18; N, 18.45 %; IR (KBr, cm^{-1}): 3422 (OH), 3366 (NH), 1610 (C=N); ^{1}H-NMR (400 MHz, $CDCl_3$, δ / ppm): 14.78 (1H, *s*, OH), 8.63 (1H, *d*, r-H), 8.08 (1H, *s*, Ar-H), 7.81 (2H, *t*, r-H), 7.62 (1H, *t*, r-H),

7.29 (1H, *m*, Ar-H), 7.19 (1H, *m*, Ar-H), 7.08 (1H, *t*, r-H), 6.98 (1H, *d*, r-H), 6.68 (1H, *s*, Ar-H), 6.60 (1H, *s*, Ar-H), 6.16 (1H, *dd*, J = 4.8 & 8.7 Hz, H_X), 4.19 (1H, *br*, N–H), 3.77 (1H, *dd*, J = 4.8 & 14.0 Hz, H_B), 3.37 (1H, *dd*, J = 8.7 & 14.0 Hz, H_A), 2.08 (3H, *s*, CH_3); ^{13}C-NMR (100 MHz, $CDCl_3$, δ / ppm): 169.6, 159.8, 146.2, 140.5, 140.2, 132.8, 131.2, 129.5, 129.4, 129.1, 128.3, 128.2, 128.2, 127.6, 127.3, 123.5, 121.9, 120.6 119.8, 119.6, 118.0, 115.9, 63.9 (CH), 32.8 (CH_2), 19.5 (CH_3); MS (*m*/*z*): 455 $[M+H]^+$ (100 %), 456 $[M+2]^+$ (30 %).

*2,4-Dichloro-2-(2-(tetrazolo[1,5-*a*]quinolin-4-yl)-2,3-dihydro-1*H*-1,5-benzodiazepin-4-yl)phenol* (***4h***). Yield: 60 % (method A) and 85 % (method B); yellow solid; m.p.: 199 °C; Anal. Calcd. for $C_{24}H_{16}Cl_2N_6O$: C, 60.64; H, 3.39; N, 17.68 %. Found: C, 60.62; H, 3.36; N, 17.64 %; IR (KBr, cm^{-1}): 3426 (OH), 3356 (NH), 1606 (C=N); ^{1}H-NMR (400 MHz, $CDCl_3$, δ / ppm): 14.63 (1H, *s*, OH), 8.66 (1H, *d*, r-H), 8.06 (1H, *s*, Ar-H), 7.85 (2H, *m*, Ar-H), 7.66 (1H, *t*, r-H), 7.30 (1H, *d*, r-H), 7.22 (1H, *m*, Ar-H), 7.11 (2H, *m*, Ar-H), 7.02 (1H, *d*, r-H), 6.72 (1H, *d*, Ar-H), 6.18 (1H, *dd*, J = 5.2 & 8.5 Hz, H_X), 4.36 (1H, *br*, N–H), 3.93 (1H, *dd*, J = 5.2 & 14.2 Hz, H_B), 3.38 (1H, *dd*, J = 8.5 & 14.2 Hz, H_A); ^{13}C--NMR (100 MHz, $CDCl_3$, δ / ppm): 171.3, 161.9, 146.3, 138.7, 135.6, 132.8, 130.8, 129.8, 129.5, 129.3, 129.1, 128.6, 128.4, 128.1, 127.5, 127.4, 123.6, 122.0 120.6, 118.9, 117.9, 116.4, 65.4 (CH), 32.1 (CH_2); MS (*m*/*z*): 475 $[M+H]^+$ (100 %), 476 $[M+2]^+$ (63 %), 478 $[M+4]^+$ (30 %).