# Electrochemical Synthesis of Tetrazoles via Metal- and Oxidant-Free [3 + 2] Cycloaddition of Azide with Aldehyde Hydrazone

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# Supporting Information

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#### 1. General information

All reagents were obtained from commercial suppliers and used without further purification. Yields for all compounds were determined by the column chromatography which was generally performed on silica gel (200-300 mesh) using petroleum ether 40-60 (PE)/EtOAc as eluent, and reactions were monitored by thin layer chromatography (TLC) on a glass pate coated with silica gel with fluorescent indicator (GF254) using UV light and iodine chromogenic method. The <sup>1</sup>H and <sup>13</sup>C nuclear magnetic resonance (NMR) spectra were recorded on a Bruker ADNANCE III 500 MHz using CDCl<sub>3</sub> as solvent with TMS as internal standard. Chemical shifts are given in ppm ( $\delta$ ) referenced to CDCl<sub>3</sub> with 7.28 for <sup>1</sup>H and 77.16 for <sup>13</sup>C, and to DMSO-d<sub>6</sub> with 2.50 for <sup>1</sup>H and 39.52 for <sup>13</sup>C. Signals are abbreviated as follows: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet, and coupling constants are expressed in hertz. Melting points were measured on a SGW<sub>®</sub> X-4B apparatus and uncorrected. HRMS were recorded on Agilent 6210TOF LC/MS mass spectrometer.

Electrolysis experiments were performed using a DC power supply.

Caution! Acetonitrile (MeCN) can be metabolised to produce hydrogen cyanide. Appropriate protective measures should be taken to avoid direct contaction during operation.

#### 2. General procedure of synthesizing the products



**General procedure**: A 10-mL three-necked round-bottomed flask was charged with aldehyde hydrazone 1 (0.3 mmol, 1 equiv),  $LiClO_4$  (0.3 mmol, 1 equiv) and  $TMSN_3$  (1.5 mmol, 5 equiv). The flask was equipped with a condenser, a reticulated vitreous carbon (RVC) anode (100 PPI, 1 cm x 1 cm), and a platinum plate (1 cm x 1 cm) cathode. MeOH (2 mL) and MeCN (4 mL) were added. The reaction mixture was stirred and electrolyzed at a constant current of 10 mA under 0 °C for 2 h (2.5 F). When the reaction was finished, the reaction mixture was transferred to a single-necked flask and concentrated under reduced pressure. The given residue was purified by column chromatography through silica gel to provide the desired product.

**Procedure for gram scale synthesis**: The 2.0 g scale electrolysis of aldehyde hydrazone **1ag** was conducted in a 200-mL beaker-type cell with a RVC anode (100 PPI, 3 cm x 3 cm x 1.2 cm), a Pt plate cathode (1 cm x 1 cm), and a constant current of 90 mA (6 h, 2.23 F mol<sup>-1</sup>). The reaction mixture consisted **1ag** (1.8 g, 9 mmol), LiClO<sub>4</sub> (1.0 g, 10 mmol), TMSN<sub>3</sub> (3.55 mL, 27 mmol), MeOH (33 mL) and MeCN (66 mL).

**Procedure for one-pot reaction:** The morpholin-4-amine (0.5 mmol, 1 equiv), aldehyde (0.5 mmol, 1 equiv), MgSO<sub>4</sub> (1 mmol, 2 equiv) and MeCN (2 mL) were added in a 10 mL undivided three-necked round-bottomed flask, the mixture was stirred at room temperature until TLC

indicated that condensation was complete. Then,  $LiClO_4$  (1 mmol, 2 equiv) and  $TMSN_3$  (2.5 mmol, 5 equiv) was added followed by MeCN (5 mL) and MeOH (3 mL). Meantime the flask was equipped with RVC anode (100 PPI, 1 cm x 1 cm x 1 cm) and Pt plate cathode (1 cm x 1 cm). The reaction mixture was stirred and electrolyzed at a constant current of 10 mA under 0 °C for 3.3 h (2.5 F). When the reaction was finished, the reaction mixture was transferred to a single-necked flask and concentrated under reduced pressure. The given residue was purified by column chromatography through silica gel to provide the desired product.

Notes:

- 1. MeOH and MeCN can be recovered by rotary evaporation for repeated use.
- 2. When co-solvent was recovered by rotary evaporation, the given residue could be washed with water to remove or recycle LiClO<sub>4</sub>.



Figure S1. Electrolysis setup

#### 3. Radical scavenger experiments



Following the general procedure A (**1a** as the starting material), there is no cyclized product was detected when introducing TEMPO (0.6 mmol, 2 equiv) into the system. When 0.3 mmol TEMPO was used, the reaction need more electricity to accomplish the cycloaddition. Those results indicate this reaction might involve a radical process.

# 4. Cyclic voltammetry studies:

The cyclic voltammograms were recorded in an electrolyte of  $LiClO_4(0.1 \text{ M})$  in MeCN/MeOH (2:1) using a glassy carbon disk working electrode (diameter, 3 mm), a Pt wire auxiliary electrode and an Ag/AgCl reference electrode. The scan rate is 50 mV/s.



**Figure S2**. Cyclic voltammograms. a: background; b: TMSN<sub>3</sub> (20 mM); c: **1a** (10 mM); d: **1a** (10 mM)+TMSN<sub>3</sub> (20 mM); e: TEMPO (10 mM).



Figure S3. Cyclic voltammograms. a: S1 (10 mM); b: S2 (10 mM); c: S3 (10 mM); d: S4 (10



Figure S4. Cyclic voltammograms. a: 1a (10 mM)+TMSN<sub>3</sub> (20 mM).

## 5. Synthesis and characterization of the products:



**4-(5-phenyl-1***H***-tetrazol-1-yl)morpholine (3aa):** white solid; m.p.= 92-94 °C; <sup>1</sup>**H** NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.28 – 8.22 (m, 2H), 7.62 – 7.52 (m, 3H), 3.97 (t, *J* = 4.7 Hz, 4H), 3.44 (dd, *J* = 6.5, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  149.9, 131.6, 129.0, 128.3, 123.2, 66.6, 55.8. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(p-tolyl)-1***H***-tetrazol-1-yl)morpholine (3ab):** white solid; m.p. = 139-141 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.17 – 8.12 (m, 2H), 7.36 (d, *J* = 8.0 Hz, 2H), 4.00 – 3.91 (m, 4H), 3.43 (dd, *J* = 6.3, 3.3 Hz, 4H), 2.46 (s, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  150.0, 142.2, 129.7, 128.2, 120.4, 66.6, 55.8, 21.6. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(4-fluorophenyl)-1***H***-tetrazol-1-yl)morpholine (3ac):** light yellow solid; m.p. = 148-150 °C; <sup>1</sup>**H** NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.32 – 8.26 (m, 2H), 7.27 – 7.22 (m, 2H), 3.96 (t, *J* = 4.7 Hz, 4H), 3.43 (dd, *J* = 6.3, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  164.6 (d, *J* <sub>*C-F*</sub> = 253.3 Hz), 149.1, 130.6 (d, *J* <sub>*C-F*</sub> = 8.7 Hz), 119.5 (d, *J* <sub>*C-F*</sub> = 3.5 Hz), 116.3 (d, *J* <sub>*C-F*</sub> = 22 Hz), 66.6, 55.9. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(4-chlorophenyl)-1***H***-tetrazol-1-yl)morpholine (3ad):**white solid; m.p. = 164-165 °C; <sup>1</sup>**H NMR** (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.25 – 8.20 (m, 2H), 7.57 – 7.52 (m, 2H), 3.97 (t, *J* = 4.7 Hz, 4H), 3.43 (dd, *J* = 6.5, 3.3 Hz, 4H). <sup>13</sup>**C NMR** (126 MHz, CDCl<sub>3</sub>)  $\delta$  149.2, 138.1, 129.6, 129.5, 121.8, 66.6, 55.9. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(4-bromophenyl)-1***H***-tetrazol-1-yl)morpholine (3ae):** white solid; m.p. = 164-166 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.17 – 8.12 (m, 2H), 7.73 – 7.68 (m, 2H), 3.96 (t, *J* = 4.7 Hz, 4H), 3.43 (dd, *J* = 6.5, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  149.2, 132.4, 129.7, 126.5, 122.2, 66.6, 55.9. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(4-iodophenyl)-1***H***-tetrazol-1-yl)morpholine (3af):** white solid; m.p. = 178-180 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.00 (d, J = 8.6 Hz, 2H), 7.92 (d, J = 8.5 Hz, 2H), 3.96 (t, J = 4.7 Hz, 4H), 3.43 (dd, J = 6.6, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  149.4, 138.3, 129.7, 122.7, 98.7, 66.6, 55.9. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(4-methoxyphenyl)-1***H***-tetrazol-1-yl)morpholine (3ag):** white solid; m.p. = 104-106 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.25 – 8.22 (m, 2H), 7.07 – 7.03 (m, 2H), 3.98 – 3.94 (m, 4H), 3.90 (s, 3H), 3.42 (dd, J = 6.4, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  162.2, 149.7, 130.0, 115.6, 114.5, 66.7, 55.8, 55.5. The spectra data matched with values reported in the literature.<sup>1</sup>



**N,N-diethyl-4-(1-morpholino-1***H***-tetrazol-5-yl)aniline (3ah):** white solid; m.p. = 132-134 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.22 – 8.12 (m, 2H), 6.75 (d, *J* = 8.6 Hz, 2H), 3.97 (t, *J* = 4.7 Hz, 4H), 3.48 – 3.39 (m, 8H), 1.23 (t, *J* = 7.1 Hz, 6H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  150.0, 149.8, 129.7, 110.9, 109.0, 66.7, 55.6, 44.4, 12.5. HRMS (ESI) *m/z* Calcd for C<sub>15</sub>H<sub>23</sub>N<sub>6</sub>O [M + H]<sup>+</sup>: 303.1928; found 303.1931.



**N-(4-(1-morpholino-1***H***-tetrazol-5-yl)phenyl)acetamide (3ai):** yellow solid; m.p. = 184-187 °C; <sup>1</sup>H NMR (500 MHz, DMSO- $d_6$ )  $\delta$  10.29 (s, 1H), 8.17 – 8.13 (m, 2H), 7.84 – 7.80 (m, 2H), 3.85 (t, *J* = 4.6 Hz, 4H), 3.35 – 3.32 (m, 4H), 2.11 (s, 3H). <sup>13</sup>C NMR (126 MHz, DMSO- $d_6$ )  $\delta$  168.9, 149.5, 142.1, 129.1, 118.8, 117.1, 65.9, 55.6, 24.1. HRMS (ESI) *m/z* Calcd for C<sub>13</sub>H<sub>17</sub>N<sub>6</sub>O<sub>2</sub> [M + H]<sup>+</sup>: 289.1408; found 289.1408.



**4-(5-(4-(trifluoromethoxy)phenyl)-1***H*-tetrazol-1-yl)morpholine (3aj): white solid; m.p. = 79-81 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.39 – 8.32 (m, 2H), 7.41 (dq, *J* = 9.1, 1.1 Hz, 2H), 3.98 (t, *J* = 4.7 Hz, 4H), 3.45 (dd, *J* = 6.5, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  151.5, 148.9, 130.1, 121.8, 121.1, 120.3(d, *J*<sub>C-F</sub> = 258.9 Hz), 66.6, 55.9. HRMS (ESI) *m/z* Calcd for C<sub>12</sub>H<sub>13</sub>F<sub>3</sub>N<sub>5</sub>O<sub>2</sub> [M + H]<sup>+</sup>: 316.1016; found 316.1013.



**4-(1-morpholino-1***H***-tetrazol-5-yl)benzonitrile (3ak):** white solid; m.p. = 149-151 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.45 – 8.39 (m, 2H), 7.89 – 7.84 (m, 2H), 3.98 (t, *J* = 4.7 Hz, 4H), 3.45 (dd, *J* = 6.4, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  148.5, 132.8, 128.8, 127.4, 117.8, 115.3, 66.5, 56.1. The spectra data matched with values reported in the literature.<sup>1</sup>



**Methyl 4-(1-morpholino-1***H***-tetrazol-5-yl)benzoate (3al):** white solid; m.p. = 160-162 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.36 – 8.32 (m, 2H), 8.24 – 8.20 (m, 2H), 3.98 (d, *J* = 5.1 Hz, 7H), 3.45 (dd, *J* = 6.4, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  166.1, 149.3, 132.8, 130.1, 128.3, 127.2, 66.6, 56.0, 52.5. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(4-(methylsulfonyl)phenyl)-1***H*-tetrazol-1-yl)morpholine (3am): white solid; m.p. = 157-159 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.51 – 8.47 (m, 2H), 8.18 – 8.12 (m, 2H), 3.98 (t, J = 4.7 Hz, 4H), 3.46 (dd, J = 6.4, 3.3 Hz, 4H), 3.14 (s, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  148.6, 143.2, 129.3, 128.3, 128.1, 66.5, 56.1, 44.3. HRMS (ESI) *m/z* Calcd for C<sub>12</sub>H<sub>16</sub>N<sub>5</sub>O<sub>3</sub>S [M + H]<sup>+</sup>: 310.0968; found 310.0964.



**4-(5-(3-(trifluoromethyl)phenyl)-1***H***-tetrazol-1-yl)morpholine (3ba):** white solid; m.p. = 115-117 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.65 (d, *J* = 1.8 Hz, 1H), 8.48 (dt, *J* = 8.0, 1.4 Hz, 1H), 7.88 – 7.82 (m, 1H), 7.72 (t, *J* = 7.9 Hz, 1H), 3.98 (t, *J* = 4.7 Hz, 4H), 3.46 (dd, *J* = 6.3, 3.2 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  148.8, 131.6(JC-F=18.6), 129.8, 128.3(JC-F=3.5), 125.0(JC-F=3.5), 124.1, 123.6(JC-F=270), 66.6, 55.9. **HRMS** (ESI) *m/z* Calcd for C<sub>12</sub>H<sub>13</sub>F<sub>3</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 300.1067; found 300.1073.



**4-(5-(3-chlorophenyl)-1***H***-tetrazol-1-yl)morpholine (3bb):** light yellow solid; m.p. = 137-139 °C; <sup>1</sup>H NMR (500 MHz, CDCl3)  $\delta$  8.31 (t, *J* = 1.9 Hz, 1H), 8.17 (dt, *J* = 7.7, 1.4 Hz, 1H), 7.56 (ddd, *J* = 8.1, 2.1, 1.2 Hz, 1H), 7.51 (t, *J* = 7.9 Hz, 1H), 3.98 (t, *J* = 4.7 Hz, 4H), 3.45 (dd, *J* = 6.5, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  148.8, 135.1, 131.7, 130.4, 128.3, 126.4, 124.9, 66.6, 55.9. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(***o***-tolyl)-1***H***-tetrazol-1-yl)morpholine (3ca):** light yellow liquid; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.45 (td, J = 7.5, 1.6 Hz, 1H), 7.37 (td, J = 8.1, 1.4 Hz, 2H), 7.32 (td, J = 7.6, 1.3 Hz, 1H), 3.80 (t, J = 4.7 Hz, 4H), 3.32 (dd, J = 6.5, 3.3 Hz, 4H), 2.34 (s, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  151.4, 138.1, 130.9, 130.8, 129.9, 125.8, 122.8, 66.3, 55.8, 20.2. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(2-bromophenyl)-1***H***-tetrazol-1-yl)morpholine (3cb):** light brown solid; m.p. =136-138 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.79 – 7.73 (m, 1H), 7.51 – 7.45 (m, 2H), 7.40 – 7.35 (m, 1H), 3.78 (t, *J* = 4.7 Hz, 4H), 3.37 (dd, *J* = 6.3, 3.4 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  151.6, 133.3, 132.4, 131.6, 127.5, 125.8, 123.3, 66.4, 55.9. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(4-methoxy-2-methylphenyl)-1***H***-tetrazol-1-yl)morpholine (3d):** light yellow liquid; <sup>1</sup>**H NMR** (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.38 (d, *J* = 8.5 Hz, 1H), 6.89 (d, *J* = 2.6 Hz, 1H), 6.85 (dd, *J* = 8.5, 2.8 Hz, 1H), 3.87 (s, 3H), 3.82 (t, *J* = 4.7 Hz, 4H), 3.32 (dd, *J* = 6.5, 3.4 Hz, 4H), 2.36 (s, 3H). <sup>13</sup>**C NMR** (126 MHz, CDCl<sub>3</sub>)  $\delta$  161.4, 151.2, 140.2, 131.4, 116.3, 114.9, 111.5, 66.4, 55.8, 55.3, 20.7. **HRMS** (ESI) *m/z* Calcd for C<sub>13</sub>H<sub>18</sub>N<sub>5</sub>O<sub>2</sub> [M + H]<sup>+</sup>: 276.1455; found 276.1459.



**4-(5-(naphthalen-1-yl)-1***H***-tetrazol-1-yl)morpholine (3e):** brown liquid; <sup>1</sup>**H NMR** (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.08 (dt, J = 8.2, 1.1 Hz, 1H), 7.98 – 7.93 (m, 2H), 7.67 (dd, J = 7.2, 1.3 Hz, 1H), 7.64 – 7.53 (m, 3H), 3.74 (t, J = 4.7 Hz, 4H), 3.40 – 3.31 (m, 4H). <sup>13</sup>**C NMR** (126 MHz, CDCl<sub>3</sub>)  $\delta$  150.9, 133.6, 131.7, 131.2, 128.8, 128.6, 127.5, 126.7, 124.8, 124.7, 120.5, 66.3, 56.0. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(2,2-difluorobenzo**[*d*][1,3]dioxol-5-yl)-1*H*-tetrazol-1-yl)morpholinee (3f): light yellow solid; m.p. = 102-104 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.14 (dd, *J* = 8.4, 1.7 Hz, 1H), 8.07 (d, *J* = 1.7 Hz, 1H), 7.26 (d, *J* = 8.4 Hz, 1H), 3.98 (t, *J* = 4.7 Hz, 4H), 3.44 (dd, *J* = 6.3, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  148.7, 145.9, 144.1, 131.5 (t, *J* <sub>*C-F*</sub> = 258.0 Hz), 124.9, 119.2, 110.1, 109.4, 66.5, 55.9. HRMS (ESI) *m/z* Calcd for C<sub>12</sub>H<sub>12</sub>F<sub>2</sub>N<sub>5</sub>O<sub>3</sub> [M + H]<sup>+</sup>: 312.0903; found 312.0905.



**4-(5-(2,3-dihydrobenzofuran-5-yl)-1***H***-tetrazol-1-yl)morpholine (3g):** brown solid; m.p. = 126-128 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.14 – 8.07 (m, 2H), 6.95 – 6.88 (m, 1H), 4.69 (td, *J* = 8.7, 5.9 Hz, 2H), 4.02 – 3.88 (m, 4H), 3.42 (dd, *J* = 6.5, 3.4 Hz, 4H), 3.32 (t, *J* = 8.7 Hz, 2H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  163.0, 150.0, 128.9, 128.2, 125.5, 115.4, 109.7, 72.0, 66.6, 55.7, 29.3. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(pyridin-2-yl)-1***H*-tetrazol-1-yl)morpholine (3h): white solid; m.p. = 121-122 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.82 (ddd, J = 4.8, 1.8, 0.9 Hz, 1H), 8.12 (dt, J = 7.9, 1.1 Hz, 1H), 7.91 (td, J = 7.8, 1.8 Hz, 1H), 7.49 (ddd, J = 7.7, 4.8, 1.2 Hz, 1H), 3.94 – 3.91 (m, 4H), 3.55 – 3.51 (m, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  150.3, 150.2, 144.0, 136.9, 125.4, 124.8, 66.6, 56.0. HRMS (ESI) *m/z* Calcd for C<sub>10</sub>H<sub>13</sub>N<sub>6</sub>O [M + H]<sup>+</sup>: 233.1145; found 233.1147.



**4-(5-(pyridin-3-yl)-1***H***-tetrazol-1-yl)morpholine (3i):** light yellow liquid; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  9.49 (d, J = 2.3 Hz, 1H), 8.81 (dd, J = 4.9, 1.7 Hz, 1H), 8.53 (dt, J = 8.1, 2.0 Hz, 1H), 7.53 (ddd, J = 8.1, 4.8, 0.9 Hz, 1H), 3.98 (t, J = 4.7 Hz, 4H), 3.46 (dd, J = 6.4, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  152.36, 148.84, 148.26, 135.86, 123.84, 66.51, 56.07. HRMS (ESI) *m/z* Calcd for C<sub>10</sub>H<sub>13</sub>N<sub>6</sub>O [M + H]<sup>+</sup>: 233.1145; found 233.1147.



**4-(5-(pyridin-4-yl)-1***H***-tetrazol-1-yl)morpholine (3j):** light yellow liquid; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.88 – 8.82 (m, 2H), 8.17 – 8.13 (m, 2H), 4.01 – 3.96 (m, 4H), 3.45 (dd, J = 6.5, 3.3 Hz, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  150.89, 148.18, 130.70, 121.75, 66.53, 56.10. HRMS (ESI) *m/z* Calcd for C<sub>10</sub>H<sub>13</sub>N<sub>6</sub>O [M + H]<sup>+</sup>: 233.1145; found 233.1147.



**4-(5-(2,5-dimethylthiophen-3-yl)-1***H***-tetrazol-1-yl)morpholine (3k):** light brown solid; m.p. = 103-105 °C; <sup>1</sup>**H NMR** (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.29 (q, *J* = 1.2 Hz, 1H), 3.98 – 3.91 (m, 4H), 3.39 (dd, *J* = 6.1, 3.4 Hz, 4H), 2.74 (s, 3H), 2.52 – 2.47 (m, 3H). <sup>13</sup>**C NMR** (126 MHz, CDCl<sub>3</sub>)  $\delta$  147.49, 143.62, 136.75, 124.35, 118.65, 66.62, 55.86, 15.58, 15.24. **HRMS** (ESI) *m/z* Calcd for C<sub>11</sub>H<sub>16</sub>N<sub>5</sub>OS [M + H]<sup>+</sup>: 266.1070; found 266.1062.



**4-(5-(3, 5-dimethylisoxazol-4-yl)-1***H***-tetrazol-1-yl)morpholine (3l):** light yellow liquid; <sup>1</sup>H **NMR** (500 MHz, CDCl<sub>3</sub>)  $\delta$  3.87 (t, *J* = 4.7 Hz, 4H), 3.35 (dd, *J* = 6.4, 3.3 Hz, 4H), 2.56 (s, 3H), 2.38 (s, 3H). <sup>13</sup>C **NMR** (126 MHz, CDCl<sub>3</sub>)  $\delta$  170.9, 158.7, 144.6, 100.9, 66.4, 56.1, 12.7, 11.2. The spectra data matched with values reported in the literature.<sup>1</sup>



**4-(5-(4-methylthiazol-5-yl)-1***H***-tetrazol-1-yl)morpholine (3m):** light yellow oil; <sup>1</sup>**H** NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.95 (s, 1H), 4.01 (t, *J* = 4.7 Hz, 4H), 3.40 (dd, *J* = 6.5, 3.3 Hz, 4H), 3.00 (s, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  159.1, 155.5, 146.9, 111.7, 66.4, 55.7, 18.3. HRMS (ESI) *m/z* Calcd for C<sub>9</sub>H<sub>13</sub>N<sub>6</sub>OS [M + H]<sup>+</sup>: 253.0866; found 253.0876.



**4-(5-(1-methyl-1***H***-imidazol-2-yl)-1H-tetrazol-1-yl)morpholine (3n):** white solid; m.p. = 139-141 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.30 (d, J = 1.1 Hz, 1H), 7.15 (d, J = 1.1 Hz, 1H), 4.06 (s, 3H), 3.98 – 3.95 (m, 4H), 3.57 – 3.54 (m, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  143.58, 132.14, 130.69, 124.72, 66.50, 55.84, 35.35. HRMS (ESI) *m*/*z* Calcd for C<sub>9</sub>H<sub>14</sub>N<sub>7</sub>O [M + H]<sup>+</sup>: 236.1254; found 236.1256.

**N-methyl-N,5-diphenyl-1***H***-tetrazol-1-amine (4e):** brown solid; m.p. = 94-96 °C; <sup>1</sup>**H** NMR (500 MHz, CDCl<sub>3</sub>) δ 8.19 – 8.13 (m, 2H), 7.59 – 7.54 (m, 1H), 7.53 – 7.48 (m, 2H), 7.36 – 7.30 (m, 2H), 7.09 (tt, *J* = 7.3, 1.0 Hz, 1H), 6.70 (dt, *J* = 7.8, 1.1 Hz, 2H), 3.56 (s, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>) δ 151.8, 147.5, 131.9, 129.7, 129.1, 128.2, 123.3, 122.7, 115.2, 42.9.

$$\mathsf{Ph}^{\mathsf{N}-\mathsf{N}}_{\mathsf{N}}^{\mathsf{N}}_{\mathsf{N}}$$

**N-benzyl-N,5-diphenyl-1***H***-tetrazol-1-amine (4f):** brown solid; m.p. = 89-91 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.73 (dd, J = 8.4, 1.3 Hz, 2H), 7.51 – 7.47 (m, 1H), 7.42 – 7.36 (m, 4H), 7.20 – 7.12 (m, 2H), 7.05 (dd, J = 8.5, 6.8 Hz, 2H), 6.98 (ddt, J = 8.8, 7.8, 1.1 Hz, 4H), 4.97 (s, 2H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  153.2, 147.6, 133.1, 131.4, 129.8, 129.2, 128.6, 128.4, 128.4, 124.1, 122.8, 117.0, 60.8. **HRMS** (ESI) m/z Calcd for C<sub>20</sub>H<sub>18</sub>N<sub>5</sub> [M + H]<sup>+</sup>: 328.1557; found 328.1559.



**N-methyl-N-(5-phenyl-1***H***-tetrazol-1-yl)pyridin-2-amine (4g):** brown liquid; <sup>1</sup>**H** NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.33 (ddd, J = 4.9, 1.9, 0.9 Hz, 1H), 8.13 – 8.05 (m, 2H), 7.59 – 7.53 (m, 2H), 7.49 (tt, J = 6.9, 1.7 Hz, 2H), 6.96 (ddd, J = 7.3, 4.9, 0.8 Hz, 1H), 6.20 (dt, J = 8.5, 0.9 Hz, 1H), 3.68 (s, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  157.6, 152.3, 148.2, 138.5, 132.0, 129.2, 128.0, 122.5, 117.9, 108.0, 40.2. **HRMS** (ESI) *m/z* Calcd for C<sub>13</sub>H<sub>13</sub>N<sub>6</sub> [M + H]<sup>+</sup>: 253.1196; found 253.1194.



**1-(5-phenyl-1***H***-tetrazol-1-yl)piperidine (4h):** light yellow solid;m.p. = 74-76 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.31 – 8.25 (m, 2H), 7.59 – 7.52 (m, 3H), 3.36 – 3.32 (m, 4H), 1.89 – 1.83 (m, 4H), 1.69 – 1.60 (m, 2H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  149.7, 131.4, 128.9, 128.3, 123.6, 56.8, 25.8, 22.9. HRMS (ESI) *m/z* Calcd for C<sub>12</sub>H<sub>16</sub>N<sub>5</sub> [M + H]<sup>+</sup>: 230.1400; found 230.1401.



**1-methyl-4-(5-phenyl-1***H***-tetrazol-1-yl)piperazine (4i):** yellow solid; m.p. = 123-126 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  8.30 – 8.22 (m, 2H), 7.60 – 7.52 (m, 3H), 3.45 (s, 4H), 2.72 (s, 4H), 2.42 (s, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  149.9, 131.5, 128.9, 128.3, 123.4, 55.2, 54.6, 45.7. HRMS (ESI) *m/z* Calcd for C<sub>12</sub>H<sub>17</sub>N<sub>6</sub> [M + H]<sup>+</sup>: 245.1509; found 245.1506.



**2-(5-phenyl-1***H***-tetrazol-1-yl)octahydrocyclopenta[***c***]pyrrole (4j): white solid ; m.p. = 94-96 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) \delta 8.28 – 8.20 (m, 2H), 7.60 – 7.50 (m, 3H), 3.65 (dd,** *J* **= 8.8, 7.6 Hz, 2H), 3.17 (dd,** *J* **= 8.8, 3.3 Hz, 2H), 2.84 (dq,** *J* **= 7.7, 4.0 Hz, 2H), 1.94 – 1.86 (m, 2H), 1.86 – 1.80 (m, 1H), 1.62 (dq,** *J* **= 12.2, 5.9 Hz, 1H), 1.58 – 1.49 (m, 2H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>) \delta 150.7, 131.3, 128.8, 128.4, 123.6, 62.6, 40.6, 33.3, 26.4. HRMS (ESI)** *m/z* **Calcd for C<sub>14</sub>H<sub>18</sub>N<sub>5</sub> [M + H]<sup>+</sup>: 256.1557; found 256.1555.** 



**4-(5-neopentyl-1***H***-tetrazol-1-yl)morpholine (5a):** light yellow liquid; <sup>1</sup>**H** NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  3.91 (t, *J* = 4.7 Hz, 4H), 3.28 (s, 4H), 2.78 (s, 2H), 1.04 (s, 9H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  151.38, 66.53, 56.08, 35.67, 31.97, 29.45. **HRMS** (ESI) *m/z* Calcd for C<sub>10</sub>H<sub>20</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 226.1662; found 226.1656.



**4-(5-nonyl-1***H***-tetrazol-1-yl)morpholine (5b):** colorless liquid; <sup>1</sup>**H** NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  3.96 – 3.85 (m, 4H), 3.29 (dd, J = 6.2, 3.3 Hz, 4H), 2.88 – 2.82 (m, 2H), 1.81 – 1.72 (m, 2H), 1.39 – 1.24 (m, 12H), 0.87 (t, J = 6.9 Hz, 3H). <sup>13</sup>**C** NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  153.25, 66.52, 55.96, 31.79, 29.31, 29.18, 29.06, 29.01, 27.06, 22.63, 22.60, 14.04. HRMS (ESI) *m/z* Calcd for C<sub>14</sub>H<sub>28</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 282.2288; found 282.2280.



**4-(5-(2-(methylthio)ethyl)-1***H***-tetrazol-1-yl)morpholine (5c):** light yellow liquid; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  3.91 (t, *J* = 4.7 Hz, 4H), 3.33 (dd, *J* = 6.3, 3.3 Hz, 4H), 3.18 (t, *J* = 7.1 Hz, 2H), 2.94 (t, *J* = 7.2 Hz, 2H), 2.13 (s, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  151.85, 66.53, 56.08, 31.46, 22.79, 15.53. HRMS (ESI) *m/z* Calcd for C<sub>8</sub>H<sub>16</sub>N<sub>5</sub>OS [M + H]<sup>+</sup>: 230.1070; found 230.1062.



**4-(5-benzyl-1***H***-tetrazol-1-yl)morpholine (5d):** light yellow solid; m.p. = 92-94 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.34 – 7.24 (m, 5H), 4.25 (s, 2H), 3.79 (t, *J* = 4.7 Hz, 4H), 3.05 (s, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  152.2, 134.5, 128.8, 128.8, 127.5, 66.4, 55.6, 29.2. HRMS (ESI) *m/z* Calcd for C<sub>12</sub>H<sub>16</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 246.1349; found 246.1354.



**4-(5-phenethyl-1***H***-tetrazol-1-yl)morpholine (5e):** white solid; m.p. = 48-50 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.27 – 7.22 (m, 2H), 7.21 – 7.16 (m, 1H), 7.13 – 7.08 (m, 2H), 3.75 (t, *J* = 4.7 Hz, 4H), 3.21 (td, *J* = 6.9, 1.4 Hz, 2H), 3.13 (td, *J* = 6.9, 1.3 Hz, 2H), 2.89 (s, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  152.6, 139.5, 128.6, 128.6, 126.7, 66.5, 55.7, 33.8, 24.7. HRMS (ESI) *m/z* Calcd for C<sub>13</sub>H<sub>18</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 260.1506; found 260.1506.



**4-(5-(1-(4-isopropylphenyl)propan-2-yl)-1***H*-tetrazol-1-yl)morpholine (5f): white solid; m.p. = 67-69 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.06 – 7.01 (m, 2H), 6.93 – 6.88 (m, 2H), 3.68 (t, *J* = 4.7 Hz, 4H), 3.46 (ddt, *J* = 13.3, 9.5, 7.4 Hz, 1H), 3.05 – 2.99 (m, 2H), 2.99 – 2.93 (m, 2H), 2.80 (hept, *J* = 6.9 Hz, 1H), 2.42 (s, 2H), 1.50 (d, *J* = 7.0 Hz, 3H), 1.16 (d, *J* = 6.9 Hz, 6H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  156.37, 147.44, 136.35, 128.91, 126.48, 66.53, 55.59, 42.49, 33.66, 31.76, 24.07, 23.96, 19.66. HRMS (ESI) *m/z* Calcd for C<sub>17</sub>H<sub>26</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 316.2132; found 316.2124.



**4-(5-(1-(4-(***tert***-butyl)phenyl)propan-2-yl)-1***H***-tetrazol-1-yl)morpholine (5g): white solid m.p. = 95-98 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) \delta 7.21 – 7.17 (m, 2H), 6.93 – 6.88 (m, 2H), 3.67 (t,** *J* **= 4.7 Hz, 4H), 3.46 (dddd,** *J* **= 12.6, 10.2, 8.4, 6.2 Hz, 1H), 3.06 – 2.98 (m, 2H), 2.95 (ddd,** *J* **= 9.9, 5.0, 3.4 Hz, 2H), 1.51 (d,** *J* **= 7.0 Hz, 3H), 1.23 (s, 9H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>) \delta 156.4, 149.7, 136.0, 128.6, 125.3, 66.5, 55.5, 42.4, 34.3, 31.7, 31.3, 19.7. HRMS (ESI)** *m/z* **Calcd for C<sub>18</sub>H<sub>27</sub>N<sub>5</sub>ONa [M + Na]<sup>+</sup>: 352.2108; found 352.2104.** 



**4-(5-(6-methylhept-5-en-2-yl)-1***H***-tetrazol-1-yl)morpholine (5h):** light yellow liquid; <sup>1</sup>**H NMR** (500 MHz, CDCl<sub>3</sub>)  $\delta$  5.06 (ddq, J = 8.3, 5.5, 1.4 Hz, 1H), 3.91 (t, J = 4.7 Hz, 4H), 3.37 – 3.26 (m, 4H), 3.23 (q, J = 7.0 Hz, 1H), 1.98 – 1.92 (m, 2H), 1.92 – 1.85 (m, 1H), 1.77 – 1.70

(m, 1H), 1.68 - 1.66 (t, J = 1.4 Hz, 3H), 1.53 (s, 3H), 1.38 - 1.34 (m, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  156.68, 132.69, 123.00, 66.55, 56.03, 34.86, 28.42, 25.65, 25.51, 18.76, 17.67. HRMS (ESI) *m/z* Calcd for C<sub>13</sub>H<sub>24</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 266.1975; found 266.1966.



**4-(5-(phenylethynyl)-1***H***-tetrazol-1-yl)morpholine (5i):** light yellow solid; m.p. = 93-95 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.67 – 7.63 (m, 2H), 7.54 – 7.49 (m, 1H), 7.48 – 7.43 (m, 2H), 3.98 (dd, *J* = 5.2, 4.1 Hz, 4H), 3.47 – 3.39 (m, 4H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  139.24, 132.27, 130.72, 128.74, 120.02, 102.22, 71.10, 66.50, 55.81. HRMS (ESI) *m/z* Calcd for C<sub>13</sub>H<sub>14</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 256.1193; found 256.1183.



**4-(5-cyclopropyl-1***H***-tetrazol-1-yl)morpholine (5j):** white solid; m.p. = 68-69 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  3.94 (dd, J = 5.3, 4.1 Hz, 4H), 3.35 (dd, J = 6.0, 3.5 Hz, 4H), 2.17 (tt, J = 8.3, 4.9 Hz, 1H), 1.28 – 1.24 (m, 2H), 1.24 – 1.18 (m, 2H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  155.17, 66.57, 55.78, 9.37, 3.85. HRMS (ESI) *m*/*z* Calcd for C<sub>8</sub>H<sub>14</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 196.1193; found 196.1189.



**4-(5-(cyclohex-3-en-1-yl)-1***H***-tetrazol-1-yl)morpholine (5k):** colorless liquid; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  5.90 – 5.71 (m, 2H), 3.92 (t, *J* = 4.7 Hz, 4H), 3.32 (dd, *J* = 6.0, 3.2 Hz, 4H), 3.28 – 3.19 (m, 1H), 2.53 – 2.42 (m, 1H), 2.39 – 2.29 (m, 1H), 2.23 (ddq, *J* = 6.9, 3.5, 1.8 Hz, 2H), 2.05 – 1.96 (m, 2H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  156.18, 126.92, 124.88, 66.55, 56.18, 29.44, 29.21, 26.54, 24.71. HRMS (ESI) *m/z* Calcd for C<sub>11</sub>H<sub>18</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 236.1506; found 236.1506.



**4-(5-((1***S***,4***S***)-bicyclo[2.2.1]hept-5-en-2-yl)-1***H***-tetrazol-1-yl)morpholine (5l): colorless liquid; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) \delta 6.31 (dd, J = 5.7, 3.1 Hz, 1H), 5.79 (dd, J = 5.7, 2.9 Hz, 1H), 3.95 (t, J = 4.7 Hz, 4H), 3.46 (ddd, J = 9.4, 4.5, 3.5 Hz, 1H), 3.35 – 3.29 (m, 5H), 3.06 (dq, J = 3.6, 1.7 Hz, 1H), 2.26 (ddd, J = 11.8, 9.4, 3.7 Hz, 1H), 1.72 (ddd, J = 11.8, 4.5, 2.6 Hz, 1H), 1.63 – 1.59 (m, 1H), 1.52 – 1.48 (m, 1H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>) \delta 155.6, 138.5, 131.7, 66.6, 56.0, 49.9, 46.4, 42.6, 33.0, 31.2. HRMS (ESI)** *m/z* **Calcd for C<sub>12</sub>H<sub>18</sub>N<sub>5</sub>O [M + H]<sup>+</sup>: 248.1506; found 248.1504.** 



*tert*-butyl 4-(1-morpholino-1*H*-tetrazol-5-yl)piperidine-1-carboxylate (5m): white solid; m.p. = 163-165 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  4.20 (d, *J* = 13.4 Hz, 2H), 3.93 (t, *J* = 4.7 Hz, 4H), 3.32 (s, 4H), 3.15 (p, *J* = 7.7 Hz, 1H), 2.94 (dt, *J* = 14.4, 7.5 Hz, 2H), 1.90 (tt, *J* = 6.6, 3.2 Hz, 4H), 1.48 (s, 9H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  155.00, 154.61, 79.90, 66.51, 56.24, 31.38, 29.42, 28.44. HRMS (ESI) *m/z* Calcd for C<sub>15</sub>H<sub>27</sub>N<sub>6</sub>O<sub>3</sub> [M + H]<sup>+</sup>: 339.2139; found 339.2140.

#### 5. References:

1 Z. Wu, P. Xu, N. Zhou, Y. Duan, M. Zhang and C. Zhu, Chem. Commun., 2017, 53, 1045-1047

# 6. NMR spectra.





## 4-(5-(p-tolyl)-1*H*-tetrazol-1-yl)morpholine (3ab)



# 4-(5-(4-fluorophenyl)-1*H*-tetrazol-1-yl)morpholine (3ac)



## 4-(5-(4-chlorophenyl)-1*H*-tetrazol-1-yl)morpholine (3ad)



## 4-(5-(4-bromophenyl)-1*H*-tetrazol-1-yl)morpholine (3ae)



# 4-(5-(4-iodophenyl)-1*H*-tetrazol-1-yl)morpholine (3af)



## 4-(5-(4-methoxyphenyl)-1*H*-tetrazol-1-yl)morpholine (3ag)



# N,N-diethyl-4-(1-morpholino-1*H*-tetrazol-5-yl)aniline (3ah)



# N-(4-(1-morpholino-1*H*-tetrazol-5-yl)phenyl)acetamide (3ai)



## 4-(5-(4-(trifluoromethoxy)phenyl)-1*H*-tetrazol-1-yl)morpholine (3aj)



## 4-(1-morpholino-1*H*-tetrazol-5-yl)benzonitrile (3ak)



## Methyl 4-(1-morpholino-1*H*-tetrazol-5-yl)benzoate (3al)



## 4-(5-(4-(methylsulfonyl)phenyl)-1*H*-tetrazol-1-yl)morpholine (3am)



## 4-(5-(3-(trifluoromethyl)phenyl)-1*H*-tetrazol-1-yl)morpholine (3ba)



## 4-(5-(3-chlorophenyl)-1*H*-tetrazol-1-yl)morpholine (3bb)



#### 4-(5-(o-tolyl)-1*H*-tetrazol-1-yl)morpholine (3ca)



## 4-(5-(2-bromophenyl)-1*H*-tetrazol-1-yl)morpholine (3cb)



## 4-(5-(4-methoxy-2-methylphenyl)-1*H*-tetrazol-1-yl)morpholine (3d)



## 4-(5-(naphthalen-1-yl)-1*H*-tetrazol-1-yl)morpholine (3e)



# 4-(5-(2,2-difluorobenzo[d][1,3]dioxol-5-yl)-1*H*-tetrazol-1-yl)morpholinee (3f)



#### 4-(5-(2,3-dihydrobenzofuran-5-yl)-1*H*-tetrazol-1-yl)morpholine (3g)



## 4-(5-(pyridin-2-yl)-1*H*-tetrazol-1-yl)morpholine (3h)



#### 4-(5-(pyridin-3-yl)-1*H*-tetrazol-1-yl)morpholine (3i)



## 4-(5-(pyridin-4-yl)-1*H*-tetrazol-1-yl)morpholine (3j)



# 4-(5-(2,5-dimethylthiophen-3-yl)-1*H*-tetrazol-1-yl)morpholine (3k)



## 4-(5-(3, 5-dimethylisoxazol-4-yl)-1*H*-tetrazol-1-yl)morpholine (31)



4-(5-(4-methylthiazol-5-yl)-1*H*-tetrazol-1-yl)morpholine (3m)



4-(5-(1-methyl-1*H*-imidazol-2-yl)-1*H*-tetrazol-1-yl)morpholine (3n)



#### N-methyl-N,5-diphenyl-1*H*-tetrazol-1-amine (4e)



#### N-benzyl-N,5-diphenyl-1*H*-tetrazol-1-amine (4f)



## N-methyl-N-(5-phenyl-1*H*-tetrazol-1-yl)pyridin-2-amine (4g)



## 1-(5-phenyl-1*H*-tetrazol-1-yl)piperidine (4h)



#### 1-methyl-4-(5-phenyl-1*H*-tetrazol-1-yl)piperazine (4i)



## 2-(5-phenyl-1*H*-tetrazol-1-yl)octahydrocyclopenta[c]pyrrole (4j)





# 4-(5-nonyl-1*H*-tetrazol-1-yl)morpholine (5b)





## 4-(5-benzyl-1*H*-tetrazol-1-yl)morpholine (5d)



## 4-(5-phenethyl-1*H*-tetrazol-1-yl)morpholine (5e)







#### 4-(5-(1-(4-(tert-butyl)phenyl)propan-2-yl)-1H-tetrazol-1-yl)morpholine (5g)





## 4-(5-(6-methylhept-5-en-2-yl)-1*H*-tetrazol-1-yl)morpholine (5h)



# 4-(5-(phenylethynyl)-1*H*-tetrazol-1-yl)morpholine (5i)





#### 4-(5-(cyclohex-3-en-1-yl)-1*H*-tetrazol-1-yl)morpholine (5k)



## 4-(5-((1*S*,4*S*)-bicyclo[2.2.1]hept-5-en-2-yl)-1*H*-tetrazol-1-yl)morpholine (5l)



# tert-butyl 4-(1-morpholino-1H-tetrazol-5-yl)piperidine-1-carboxylate (5m)