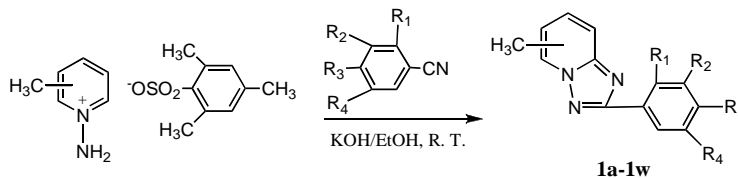


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Received April 19, 2006



Twenty-three 2-(substituted)phenyl-1,2,4-triazolo[1,5-*a*]pyridines have been synthesized by cycloaddition reaction between *N*-amino methylpyridinium mesitylenesulfonates and substituted benzonitriles under the presence of potassium hydroxide at room temperature. The structures of all products were confirmed by  $^1\text{H}$  NMR, MS and elemental analyses. The antitumor activities of these compounds were evaluated against human ovary cancer cell line (HO-8910) *in vitro* by MTT method. The preliminary results showed that compound **1e** ( $\text{IC}_{50}$  28  $\mu\text{M}$ ) and compound **1w** ( $\text{IC}_{50}$  31  $\mu\text{M}$ ) exhibited stronger antitumor activities than cisplatin ( $\text{IC}_{50}$  35  $\mu\text{M}$ ) *in vitro*. Hence, **1e** and **1w** have potential antitumor activities and are worth further investigation.

*J. Heterocyclic Chem.*, **44**, 919 (2007).

## INTRODUCTION

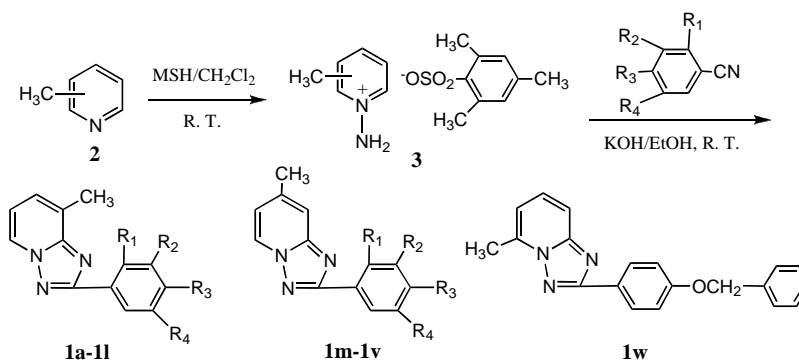
It was well known that many compounds containing triazolopyridine skeleton have interesting bioactivities [1]. For example, 8-amino-2-aryl-1,2,4-triazolo[1,5-*a*]pyridine-6-carboxyl amide derivatives were proved to inhibit the human adenosine 2a (hA2a) receptor [2], the 1,2,4-triazolo[3,4-*a*]pyridine was considered as a constrained template for fibrinogen receptor (GPIIb/IIIa) antagonists [3]. Recently, 2-aryl-1,2,4-triazolo[1,5-*a*]pyridines have been found to have pregnancy interceptive activity [4]. The mechanism of pregnancy interceptive activity was cell apoptosis to cause luteolysis [5]. Because tumor cells grow vigorously like embryo cells, we are interested in whether or not 1,2,4-triazolo[1,5-*a*]pyridines have anti-tumor activities. Therefore, twenty-three compounds of 2-(substituted)phenyl-1,2,4-triazolo[1,5-*a*]pyridines have

been synthesized and their antitumor activities have been evaluated. The most promising compounds were 2-(4-benzyloxyphenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine **1e** and 2-(4-benzyloxyphenyl)-5-methyl-1,2,4-triazolo[1,5-*a*]pyridine **1w**. To the best of our knowledge, the antitumor activities of 1,2,4-triazolo[1,5-*a*]pyridine derivatives have not been yet reported in the literature.

## RESULTS AND DISCUSSION

Scheme 1 outlines the synthetic sequences employed in our laboratories for the preparation of **1a-1w**. *N*-Amination of methylpyridines **2** with *O*-mesitylenesulfonyl hydroxylamine (MSH) afforded *N*-amino methylpyridinium mesitylenesulphonates **3**. Subsequently, 1,3-dipolar cycloaddition reaction between **3** and aromatic nitriles in the presence of potassium hydroxide solution gave target compounds **1a-1w**. Physical properties and

Scheme 1



**Table 1**  
Physical and Analytical Data of Compounds **1a-1w**

| Compound  | R <sub>1</sub> | R <sub>2</sub>     | R <sub>3</sub>   | R <sub>4</sub> | Mp (°C) | Yield % | Molecular Formula   | Analysis %   |      |       |
|-----------|----------------|--------------------|------------------|----------------|---------|---------|---|--------------|------|-------|
|           |                |                    |                  |                |         |         |   | Calcd./Found | C    | H     |
| <b>1a</b> | H              | H                  | OMe              | H              | 123-125 | 43      | C <sub>14</sub> H <sub>13</sub> N <sub>3</sub> O              | 70.28        | 5.48 | 17.56 |
|           |                |                    |                  |                |         |         |   | 70.25        | 5.46 | 17.57 |
| <b>1b</b> | H              | H                  | OEt              | H              | 128-129 | 46      | C <sub>15</sub> H <sub>15</sub> N <sub>3</sub> O              | 71.13        | 5.97 | 16.59 |
|           |                |                    |                  |                |         |         |   | 71.14        | 6.00 | 16.57 |
| <b>1c</b> | H              | H                  | OBu-n            | H              | 106-108 | 42      | C <sub>17</sub> H <sub>19</sub> N <sub>3</sub> O              | 72.57        | 6.81 | 14.94 |
|           |                |                    |                  |                |         |         |   | 72.50        | 6.73 | 14.86 |
| <b>1d</b> | H              | H                  | Cl               | H              | 193-195 | 40      | C <sub>13</sub> H <sub>10</sub> ClN <sub>3</sub>              | 64.07        | 4.14 | 17.24 |
|           |                |                    |                  |                |         |         |   | 64.05        | 4.13 | 17.28 |
| <b>1e</b> | H              | H                  | OBz              | H              | 116-118 | 40      | C <sub>20</sub> H <sub>17</sub> N <sub>3</sub> O              | 76.17        | 5.43 | 13.32 |
|           |                |                    |                  |                |         |         |   | 76.25        | 5.39 | 13.23 |
| <b>1f</b> | H              | H                  | H                | H              | 100-101 | 44      | C <sub>13</sub> H <sub>11</sub> N <sub>3</sub>                | 74.62        | 5.30 | 20.08 |
|           |                |                    |                  |                |         |         |   | 74.65        | 5.32 | 20.05 |
| <b>1g</b> | H              | H                  | NMe <sub>2</sub> | H              | 190-192 | 46      | C <sub>15</sub> H <sub>16</sub> N <sub>4</sub>                | 71.40        | 6.39 | 22.21 |
|           |                |                    |                  |                |         |         |   | 71.42        | 6.38 | 22.24 |
| <b>1h</b> | H              | OMe                | OMe              | H              | 154-156 | 50      | C <sub>15</sub> H <sub>15</sub> N <sub>3</sub> O <sub>2</sub> | 66.90        | 5.61 | 15.60 |
|           |                |                    |                  |                |         |         |   | 66.92        | 5.59 | 15.54 |
| <b>1i</b> | H              | OCH <sub>2</sub> O |                  | H              | 155-157 | 46      | C <sub>14</sub> H <sub>11</sub> N <sub>3</sub> O <sub>2</sub> | 66.40        | 4.38 | 16.59 |
|           |                |                    |                  |                |         |         |   | 66.42        | 4.35 | 16.61 |
| <b>1j</b> | H              | OMe                | OMe              | OMe            | 127-129 | 40      | C <sub>16</sub> H <sub>17</sub> N <sub>3</sub> O <sub>3</sub> | 64.20        | 5.72 | 14.04 |
|           |                |                    |                  |                |         |         |   | 64.21        | 5.70 | 14.01 |
| <b>1k</b> | OMe            | H                  | H                | H              | 123-125 | 35      | C <sub>14</sub> H <sub>13</sub> N <sub>3</sub> O              | 70.28        | 5.48 | 17.56 |
|           |                |                    |                  |                |         |         |   | 72.26        | 5.47 | 17.57 |
| <b>1l</b> | H              | OMe                | H                | H              | 99-101  | 41      | C <sub>14</sub> H <sub>13</sub> N <sub>3</sub> O              | 70.28        | 5.48 | 17.56 |
|           |                |                    |                  |                |         |         |   | 72.30        | 5.47 | 17.54 |
| <b>1m</b> | OMe            | H                  | H                | H              | 96-98   | 36      | C <sub>14</sub> H <sub>13</sub> N <sub>3</sub> O              | 70.28        | 5.48 | 17.56 |
|           |                |                    |                  |                |         |         |   | 72.30        | 5.50 | 17.58 |
| <b>1n</b> | H              | OMe                | H                | H              | 143-144 | 40      | C <sub>14</sub> H <sub>13</sub> N <sub>3</sub> O              | 70.28        | 5.48 | 17.56 |
|           |                |                    |                  |                |         |         |   | 72.29        | 5.50 | 17.53 |
| <b>1o</b> | H              | H                  | OEt              | H              | 145-147 | 46      | C <sub>15</sub> H <sub>15</sub> N <sub>3</sub> O              | 71.13        | 5.97 | 16.59 |
|           |                |                    |                  |                |         |         |   | 71.16        | 6.00 | 16.60 |
| <b>1p</b> | H              | H                  | OBu-n            | H              | 110-112 | 48      | C <sub>17</sub> H <sub>19</sub> N <sub>3</sub> O              | 72.57        | 6.81 | 14.94 |
|           |                |                    |                  |                |         |         |   | 72.62        | 6.78 | 14.92 |
| <b>1q</b> | H              | H                  | OBz              | H              | 172-174 | 33      | C <sub>20</sub> H <sub>17</sub> N <sub>3</sub> O              | 76.17        | 5.43 | 13.32 |
|           |                |                    |                  |                |         |         |   | 76.19        | 5.42 | 13.33 |
| <b>1r</b> | H              | H                  | NMe <sub>2</sub> | H              | >250    | 38      | C <sub>15</sub> H <sub>16</sub> N <sub>4</sub>                | 71.40        | 6.39 | 22.21 |
|           |                |                    |                  |                |         |         |   | 71.44        | 6.37 | 22.22 |
| <b>1s</b> | H              | OMe                | OMe              | H              | 136-138 | 46      | C <sub>15</sub> H <sub>15</sub> N <sub>3</sub> O <sub>2</sub> | 66.90        | 5.61 | 15.60 |
|           |                |                    |                  |                |         |         |   | 66.93        | 5.62 | 15.57 |
| <b>1t</b> | H              | OCH <sub>2</sub> O |                  | H              | 196-198 | 49      | C <sub>14</sub> H <sub>11</sub> N <sub>3</sub> O <sub>2</sub> | 66.40        | 4.38 | 16.59 |
|           |                |                    |                  |                |         |         |   | 66.44        | 4.37 | 16.58 |
| <b>1u</b> | H              | OMe                | OMe              | OMe            | 168-170 | 46      | C <sub>16</sub> H <sub>17</sub> N <sub>3</sub> O <sub>3</sub> | 64.20        | 5.72 | 14.04 |
|           |                |                    |                  |                |         |         |   | 64.21        | 5.70 | 13.99 |
| <b>1v</b> | H              | H                  | H                | H              | 139-141 | 53      | C <sub>13</sub> H <sub>11</sub> N <sub>3</sub>                | 74.62        | 5.30 | 20.08 |
|           |                |                    |                  |                |         |         |   | 74.65        | 5.31 | 20.05 |
| <b>1w</b> | /              | /                  | /                | /              | 124-126 | 34      | C <sub>20</sub> H <sub>17</sub> N <sub>3</sub> O              | 76.17        | 5.43 | 13.32 |
|           |                |                    |                  |                |         |         |   | 76.14        | 5.42 | 13.29 |

elemental analyses data of **1a-1w** are summarized in Table 1.

The antitumor activities of **1a-1w** were evaluated against human ovary cancer cell line (HO-8910) *in vitro* by MTT method [6]. The results are summarized in Table 2. The IC<sub>50</sub> value represents the drug concentration (μM) required to inhibit the cell growth by 50%. The preliminary results showed that some synthetic compounds exhibited activities against human ovary cancer cell line (HO-8910) *in vitro*. The most promising compounds were 2-(4-benzyloxyphenyl)-8-methyl-1,2,4-

triazolo[1,5-*a*]pyridine **1e** and 2-(4-benzyloxyphenyl)-5-methyl-1,2,4-triazolo[1,5-*a*]pyridine **1w**. Their IC<sub>50</sub> values were 28μM and 31μM, respectively. They are more potent than cisplatin (IC<sub>50</sub> 35μM) and are worth farther investigation.

## EXPERIMENTAL

Melting points were recorded on a BUCHI melting point B-540 apparatus and are uncorrected. <sup>1</sup>H NMR spectra were determined in CDCl<sub>3</sub> on a Bruker 400 MHz or 500 MHz

**Table 2**  
Antitumor Activities of Compounds **1a-1w**

|                     |           |           |           |           |           |           |           |           |           |
|---------------------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|
| Compound            | <b>1a</b> | <b>1b</b> | <b>1c</b> | <b>1d</b> | <b>1e</b> | <b>1f</b> | <b>1g</b> | <b>1h</b> | <b>1i</b> |
| IC <sub>50</sub> μM | 920       | *         | *         | *         | 28        | *         | *         | *         | *         |
| Compound            | <b>1j</b> | <b>1k</b> | <b>1l</b> | <b>1m</b> | <b>1n</b> | <b>1o</b> | <b>1p</b> | <b>1q</b> | <b>1r</b> |
| IC <sub>50</sub> μM | *         | 618       | *         | *         | 958       | *         | *         | *         | *         |
| Compound            | <b>1s</b> | <b>1t</b> | <b>1u</b> | <b>1v</b> | <b>1w</b> | cisplatin |           |           |           |
| IC <sub>50</sub> μM | 1400      | *         | 237       | 212       | 31        | 35        |           |           |           |

\*: The IC<sub>50</sub> values were more than 1500 μM.

spectrometer with SiMe<sub>4</sub> as the internal standard. J values are given in Hz. Mass spectral data were obtained by electron ionization (70 eV) on HP5989B instrument. *N*-Aminomethylpyridinium mesitylenesulfonates were prepared by the procedure described in reference [7]. Column chromatography purifications were carried out using silica gel (200-300 mesh) with hexane-EtOAc.

**General Procedure for the Synthesis of 2-(substituted)-phenyl-1,2,4-triazolo[1,5-*a*]pyridines (1a-1w).** A solution of 3.08 g (10 mmol) *N*-amino methylpyridinium mesitylenesulfonate (**3**) and 10 mmol substituted benzonitrile dissolved in 15 ml of ethanol was cooled by ice-water then 5.2 ml of 2 M KOH was added dropwise. After the addition was complete, the solution was allowed to warm to room temperature and continued to stir for an additional 24 hours. Most of the ethanol was evaporated under reduced pressure. The residual was extracted with CHCl<sub>3</sub> (3 x 10 ml). The CHCl<sub>3</sub> layer was dried over anhydrous Na<sub>2</sub>SO<sub>4</sub> and evaporated to dryness under reduced pressure. The residue was purified by column chromatography to afford the target compound.

**2-(4-Methoxyphenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1a).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.71 (s, 3H, 8-CH<sub>3</sub>), 3.90 (s, 3H, 4-OCH<sub>3</sub>), 6.90 (t, 1H, 6-H, J=6.9Hz), 7.02 (d, 2H, phenyl protons, J=8.8Hz), 7.27 (d, 1H, 7-H, J=6.9Hz), 8.25 (d, 2H, phenyl protons, J=8.8Hz), 8.45 (d, 1H, 5-H, J=6.9Hz); ms: m/z 239 (M<sup>+</sup>).

**2-(4-Ethoxyphenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1b).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 1.45 (t, 3H, -CH<sub>2</sub>CH<sub>3</sub>, J=7.0Hz), 2.70 (s, 3H, 8-CH<sub>3</sub>), 4.11 (q, 2H, -OCH<sub>2</sub>, J=7.0Hz), 6.89 (t, 1H, 6-H, J=6.9Hz), 7.00 (d, 2H, phenyl protons, J=8.8Hz), 7.26 (dd, 1H, 7-H, J=0.8, 6.9Hz), 8.23 (d, 2H, phenyl protons, J=8.8Hz), 8.43 (d, 1H, 5-H, J=6.9Hz); ms: m/z 253 (M<sup>+</sup>).

**2-(4-Butoxyphenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1c).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 1.02 (t, 3H, CH<sub>3</sub>, J=7.5Hz), 1.54 (m, 2H, -CH<sub>2</sub>CH<sub>3</sub>), 1.82 (m, 2H, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), 2.71 (s, 3H, 8-CH<sub>3</sub>), 4.06 (t, 2H, -OCH<sub>2</sub>CH<sub>2</sub>-CH<sub>2</sub>CH<sub>3</sub>, J=6.5Hz), 6.89 (t, 1H, 6-H, J=7.0Hz), 7.02 (d, 2H, phenyl protons, J=8.8Hz), 7.27 (d, 1H, 7-H, J=7.0Hz), 8.24 (d, 2H, phenyl protons, J=8.8Hz), 8.44 (d, 1H, 5-H, J=7.0Hz); ms: m/z 281 (M<sup>+</sup>).

**2-(4-Chlorophenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1d).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.70 (s, 3H, 8-CH<sub>3</sub>), 6.93 (t, 1H, 6-H, J=6.9Hz), 7.30 (d, 1H, 7-H, J=6.9Hz), 7.46 (d, 2H, phenyl protons, J=8.6Hz), 8.25 (d, 2H, phenyl protons, J=8.6Hz), 8.45 (d, 1H, 5-H, J=6.9Hz); ms: m/z 243 (M<sup>+</sup>), 245 (M+2)<sup>+</sup>.

**2-(4-Benzyloxyphenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1e).** This compound was obtained as a white solid. <sup>1</sup>H nmr:

2.69 (s, 3H, 8-CH<sub>3</sub>), 5.14 (s, 2H, -OCH<sub>2</sub>), 6.89 (d, 1H, 6-H, J=6.9Hz), 7.09 (d, 2H, phenyl protons, J=8.6Hz), 7.26 (br s, 1H, 7-H), 7.34 (m, 1H, Ar-H), 7.40 (dd, 2H, phenyl protons, J=7.4, 7.6Hz), 7.46 (d, 2H, Ar-H, J=7.4Hz), 8.24 (d, 2H, phenyl protons, J=8.6Hz), 8.43 (d, 1H, 5-H, J=6.8Hz); ms: m/z 315 (M<sup>+</sup>).

**2-Phenyl-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1f).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.70 (s, 3H, 8-CH<sub>3</sub>), 6.90 (t, 1H, 6-H, J=6.9Hz), 7.27 (br s, 1H, 7-H), 7.51 (m, 3H, phenyl protons), 8.30 (m, 2H, phenyl protons), 8.45 (d, 1H, 5-H, J=6.9Hz); ms: m/z 209 (M<sup>+</sup>).

**2-(4-Dimethylaminophenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1g).** This compound was obtained as a yellow solid. <sup>1</sup>H nmr: 2.69 (s, 3H, 8-CH<sub>3</sub>), 3.05 (s, 6H, -N(CH<sub>3</sub>)<sub>2</sub>), 6.81 (d, 2H, phenyl protons, J=8.8Hz), 6.85 (t, 1H, 6-H, J=6.9Hz), 7.23 (d, 1H, 7-H, J=6.9Hz), 8.17 (d, 2H, phenyl protons, J=8.8Hz), 8.43 (d, 1H, 5-H, J=6.9Hz); ms: m/z 252 (M<sup>+</sup>).

**2-(3,4-Dimethoxyphenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1h).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.69 (s, 3H, 8-CH<sub>3</sub>), 3.95 (s, 3H, 4-OCH<sub>3</sub>), 4.03 (s, 3H, 3-OCH<sub>3</sub>), 6.87 (t, 1H, 6-H, J=6.9Hz), 6.97 (d, 1H, phenyl proton, J=8.4Hz), 7.24 (d, 1H, 7-H, J=6.9Hz), 7.83 (d, 1H, phenyl proton, J=1.8Hz), 7.90 (dd, 1H, phenyl proton, J=1.8, 8.4Hz), 8.43 (d, 1H, 5-H, J=6.9Hz); ms: m/z 269 (M<sup>+</sup>).

**2-(3,4-Methylenedioxyphenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1i).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.67 (s, 3H, 8-CH<sub>3</sub>), 6.03 (s, 2H, -CH<sub>2</sub>-), 6.88 (t, 1H, 6-H, J=6.9Hz), 6.92 (d, 1H, phenyl proton, J=8.1Hz), 7.25 (m, 1H, 7-H), 7.76 (d, 1H, phenyl proton, J=1.6Hz), 7.86 (dd, 1H, phenyl proton, J=1.6, 8.1Hz), 8.42 (d, 1H, 5-H, J=6.9Hz); ms: m/z 253 (M<sup>+</sup>).

**2-(3,4,5-Trimethoxyphenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1j).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.72 (s, 3H, 8-CH<sub>3</sub>), 3.92 (s, 3H, 4-OCH<sub>3</sub>), 4.01 (s, 6H, 3-OCH<sub>3</sub> and 5-OCH<sub>3</sub>), 6.88 (t, 1H, 6-H, J=6.9Hz), 7.26 (d, 1H, 7-H, J=6.9Hz), 7.85 (s, 2H, phenyl protons), 8.20 (d, 1H, 5-H, J=6.9Hz); ms: m/z 299 (M<sup>+</sup>).

**2-(2-Methoxyphenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1k).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.69 (s, 3H, 8-CH<sub>3</sub>), 3.96 (s, 3H, 2-OCH<sub>3</sub>), 6.88 (t, 1H, 6-H, J=6.6Hz), 7.07 (m, 2H, phenyl protons), 7.26 (d, 1H, 7-H, J=6.6Hz), 7.43 (t, 1H, Ar-H, J=7.2Hz), 8.07 (dd, 1H, phenyl proton, J=1.2, 7.2Hz), 8.50 (d, 1H, 5-H, J=6.6Hz); ms: m/z 239 (M<sup>+</sup>).

**2-(3-Methoxyphenyl)-8-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1l).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.70 (s, 3H, 8-CH<sub>3</sub>), 3.93 (s, 3H, 3-OCH<sub>3</sub>), 6.90 (t, 1H, 6-H, J=6.9Hz), 7.01 (dd, 1H, phenyl proton, J=2.4, 7.9Hz), 7.26 (dd, 1H, 7-H, J=0.6, J=6.9Hz), 7.40 (t, 1H, phenyl proton, J=7.9Hz), 7.84 (s, 1H, Ar-H), 7.90 (d, 1H, phenyl proton, J=7.9Hz), 8.45 (d, 1H, 5-H, J=6.9Hz); ms: m/z 239 (M<sup>+</sup>).

**2-(2-Methoxyphenyl)-7-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1m).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.49 (s, 3H, 7-CH<sub>3</sub>), 3.92 (s, 3H, 2-OCH<sub>3</sub>), 6.83 (dd, 1H, 6-H, J=1.4, 6.9Hz), 7.02 (dd, 1H, phenyl proton, J=2.2, 8.0Hz), 7.43 (t, 1H, phenyl proton, J=8.0Hz), 7.51 (s, 1H, 8-H), 7.81 (d, 1H, phenyl proton, J=2.2Hz), 7.87 (d, 1H, phenyl proton, J=8.0Hz), 8.45 (d, 1H, 5-H, J=6.9Hz). ms: m/z 239 (M<sup>+</sup>).

**2-(3-Methoxyphenyl)-7-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1n).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.49 (s, 3H, 7-CH<sub>3</sub>), 3.92 (s, 3H, 3-OCH<sub>3</sub>), 6.83 (dd, 1H, 6-H, J=1.4, 6.9Hz), 7.02 (dd, 1H, phenyl proton, J=2.1, 8.1Hz), 7.40 (t, 1H, phenyl proton, J=8.1Hz), 7.51 (s, 1H, 8-H), 7.81 (d, 1H, phenyl proton, J=2.1Hz), 7.87 (d, 1H, phenyl proton, J=8.1Hz), 8.45 (d, 1H, 5-H, J=6.9Hz). ms: m/z 239 (M<sup>+</sup>).

**2-(4-Ethoxyphenyl)-7-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1o).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 1.45 (t, 3H, -CH<sub>3</sub>, J=6.9Hz), 2.48 (s, 3H, 7-CH<sub>3</sub>), 4.10 (q, 2H, -CH<sub>2</sub>CH<sub>3</sub>, J=6.9Hz), 6.79 (dd, 1H, 6-H, J=1.5, 6.9Hz), 6.99 (d, 2H, phenyl protons, J=8.7Hz), 7.47 (d, 1H, 8-H, J=0.7Hz), 8.18 (d, 2H, phenyl protons, J=8.7Hz), 8.43 (d, 1H, 5-H, J=6.9Hz). ms: m/z 253 (M<sup>+</sup>).

**2-(4-Butoxyphenyl)-7-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1p).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 0.99 (t, 3H, -CH<sub>2</sub>CH<sub>3</sub>, J=7.4Hz), 1.52 (m, 2H, -CH<sub>2</sub>CH<sub>3</sub>), 1.81 (m, 2H, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), 2.49 (s, 3H, 7-CH<sub>3</sub>), 4.03 (t, 2H, -OCH<sub>2</sub>-, J=6.5Hz), 6.81 (dd, 1H, 6-H, J=1.1, 6.9Hz), 7.00 (d, 2H, phenyl protons, J=8.8Hz), 7.50 (s, 1H, 8-H), 8.19 (d, 2H, phenyl protons, J=8.8Hz), 8.43 (d, 1H, 5-H, J=6.9Hz). ms: m/z 281 (M<sup>+</sup>).

**2-(4-Benzyloxyphenyl)-7-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1q).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.50 (s, 3H, 7-CH<sub>3</sub>), 5.14 (s, 2H, -CH<sub>2</sub>), 6.82 (dd, 1H, 6-H, J=1.3, 6.9Hz), 7.10 (d, 2H, phenyl protons, J=8.8Hz), 7.34-7.50 (m, 6H, 8-H and phenyl protons), 8.21 (d, 2H, phenyl protons, J=8.8Hz), 8.44 (d, 1H, 5-H, J=6.9Hz). ms: m/z 315 (M<sup>+</sup>).

**2-(4-Dimethylaminophenyl)-7-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1r).** This compound was obtained as a yellow solid. <sup>1</sup>H nmr: 2.46 (s, 3H, 7-CH<sub>3</sub>), 3.03 (s, 6H, -N(CH<sub>3</sub>)<sub>2</sub>), 6.74 (dd, 1H, 6-H, J=1.5, 6.9Hz), 6.80 (d, 2H, phenyl protons, J=8.9Hz), 7.44 (s, 1H, 8-H), 8.13 (d, 2H, phenyl protons, J=8.9Hz), 8.40 (d, 1H, 5-H, J=6.9Hz). ms: m/z 252 (M<sup>+</sup>).

**2-(3,4-Dimethoxyphenyl)-7-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1s).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.49 (s, 3H, 7-CH<sub>3</sub>), 3.96 (s, 3H, 4-OCH<sub>3</sub>), 4.02 (s, 3H, 3-

OCH<sub>3</sub>), 6.81 (dd, 1H, 6-H, J=1.6, 6.9Hz), 6.98 (d, 1H, phenyl proton, J=8.4Hz), 7.49 (s, 1H, 8-H), 7.79 (d, 1H, phenyl proton, J=1.9Hz), 7.88 (dd, 1H, phenyl proton, J=1.9, 8.4Hz), 8.44 (d, 1H, 5-H, J=6.9Hz). ms: m/z 269 (M<sup>+</sup>).

**2-(3,4-Methylenedioxyphenyl)-7-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1t).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.49 (s, 3H, 7-CH<sub>3</sub>), 6.04 (s, 2H, -OCH<sub>2</sub>), 6.81 (dd, 1H, 6-H, J=1.6, 6.9Hz), 6.92 (d, 1H, phenyl proton, J=8.1Hz), 7.48 (s, 1H, 8-H), 7.73 (d, 1H, phenyl proton, J=1.6Hz), 7.82 (dd, 1H, phenyl proton, J=1.6, 8.1Hz), 8.43 (d, 1H, 5-H, J=6.9Hz). ms: m/z 253 (M<sup>+</sup>).

**2-(3,4,5-Trimethoxyphenyl)-7-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1u).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.50 (s, 3H, 7-CH<sub>3</sub>), 3.88 (s, 3H, 4-OCH<sub>3</sub>), 4.00 (s, 6H, 3 and 5-OCH<sub>3</sub>), 6.84 (d, 1H, 6-H, J=6.8Hz), 7.53 (m, 3H, 8-H and phenyl protons), 8.45 (d, 1H, 5-H, J=6.8Hz). ms: m/z 299 (M<sup>+</sup>).

**2-Phenyl-7-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1v).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.49 (s, 3H, 7-CH<sub>3</sub>), 6.83 (dd, 1H, 6-H, J=1.6Hz, J=6.9Hz), 7.48 (m, 4H, 8-H and phenyl protons), 8.27 (m, 2H, phenyl protons), 8.46 (d, 1H, 5-H, J=6.9Hz). ms: m/z 209 (M<sup>+</sup>).

**2-(4-Benzyloxyphenyl)-5-methyl-1,2,4-triazolo[1,5-*a*]pyridine (1w).** This compound was obtained as a white solid. <sup>1</sup>H nmr: 2.83 (s, 3H, 5-CH<sub>3</sub>), 5.15 (s, 2H, -CH<sub>2</sub>), 6.81 (d, 1H, 6-H, J=7.0Hz), 7.10 (d, 2H, phenyl protons, J=8.8Hz), 7.35 (t, 1H, 7-H, J=7.0Hz), 7.40-7.44 (m, 3H, phenyl protons), 7.47 (d, J=7.4Hz, 2H, phenyl protons), 7.62 (d, 1H, 8-H, J=7.0Hz), 8.27 (d, 2H, phenyl protons, J=8.8Hz). ms: m/z 315 (M<sup>+</sup>).

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