Melatonin Receptor

Melatonin Receptor is a G protein-coupled receptor (GPCR) which binds melatonin. Three types of melatonin receptor have been cloned. The MT1 and MT2 receptor subtypes are present in humans and other mammals, while an additional melatonin receptor subtype MT3 has been identified in amphibia and birds. The MT1 subtype's expression in the pars tuberalis of the pituitary gland and suprachiasmatic nuclei of the hypothalamus is indicative of melatonin's circadian and reproductive functional involvement. The MT2 subtype's expression in the retina is suggestive of melatonin's effect on the mammalian retina occurring through this receptor. Research suggests that melatonin acts to inhibit the Ca2+-dependent release of dopamine. Melatonin's action in the retina is believed to affect several light-dependent functions, including phagocytosis and photopigment disc shedding.
Melatonin Receptor Agonists, Antagonists & Activators

### 2-Iodomelatonin
- **Cat. No.:** HY-101176
- 2-Iodomelatonin is a potent agonist of melatonin receptor 1 (MT1) with a $K_i$ value of 28 pM, it is more 5-fold selective for MT1 over MT2. 2-Iodomelatonin can be used to identify, characterize and localize melatonin binding sites in the brain and peripheral tissues.
- **Purity:** >99.0%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

### 4-P-PDOT
- **Cat. No.:** HY-100609
- 4-P-PDOT is a potent, selective and affinity antagonist of Melatonin receptor (MT2). 4-P-PDOT is >300-fold more selective for MT2 than MT1.
- **Purity:** >99.0%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 1 mg, 5 mg

### 7-Desmethyl-3-hydroxyagomelatine
- **Cat. No.:** HY-133112
- 7-Desmethyl-3-hydroxyagomelatine (3-Hydroxy-7-desmethyl agomelatine), a metabolite of Agomelatine, has less activity than Agomelatine. Agomelatine is a melatonergic (MT1 and MT2) agonist and serotonergic (5HT2C) antagonist.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg

### 8-M-PDOT (AH-002)
- **Cat. No.:** HY-101358
- 8-M-PDOT (AH-002) is a selective melatonin MT2 agonist. 8-M-PDOT is 5.2-fold selective for MT2 receptors over MT1 receptors. 8-M-PDOT binds human recombinant MT2 and MT2 receptors with pKi values of 8.23 and 8.95 respectively. 8-M-PDOT has anxiolytic-like activity.
- **Purity:** >99.0%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 10 mg

### Agomelatine (S-20098)
- **Cat. No.:** HY-17038
- Agomelatine (S-20098) is a specific agonist of MT1 and MT2 receptors with $K_i$ of 0.1, 0.06, 0.12, and 0.27 nM for CHO-hMT1, HEK-hMT1, CHO-hMT2, and HEK-hMT2, respectively.
- **Purity:** 99.88%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Agomelatine D6 (S-20098 D6)
- **Cat. No.:** HY-17038S
- Agomelatine D6 (S-20098 D6) is deuterium labeled Agomelatine. Agomelatine is a specific agonist of MT1 and MT2 receptors.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg

### Agomelatine hydrochloride (S-20098 hydrochloride)
- **Cat. No.:** HY-17038A
- Agomelatine hydrochloride (S-20098 hydrochloride) is a specific agonist of MT1 and MT2 receptors with $K_i$ of 0.1, 0.06, 0.12, and 0.27 nM for CHO-hMT1, HEK-hMT1, CHO-hMT2, and HEK-hMT2, respectively.
- **Purity:** 99.49%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Luzindole (N-0774)
- **Cat. No.:** HY-101254
- Luzindole (N-0774) is a selective melatonin receptor antagonist. Luzindole preferentially targets MT2 (Mel$_{2}$) over MT1 (Mel$_{1}$) with $K_i$ values of 10.2 and 158 nM for human MT2 and MT1, respectively.
- **Purity:** 100.00%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

### Melatonin (N-Acetyl-5-methoxytryptamine)
- **Cat. No.:** HY-B0075
- Melatonin is a hormone made by the pineal gland that can activate melatonin receptor. Melatonin plays a role in sleep and possesses important antioxidative and anti-inflammatory properties.
- **Purity:** 99.47%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 500 mg, 1 g, 5 g
| **Melatonin D5**  
(N-Acetyl-5-methoxytryptamine D5) | **Piromelatine**  
(Neu-P11) |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-B0075S</td>
<td>Cat. No.: HY-105285</td>
</tr>
<tr>
<td>Melatonin D5 is deuterium labeled Melatonin. Melatonin is a hormone made by the pineal gland that can activate melatonin receptor. Antioxidative and anti-inflammatory properties.</td>
<td>Piromelatine (Neu-P11) is a melatonin MT&lt;sub&gt;1&lt;/sub&gt;/MT&lt;sub&gt;2&lt;/sub&gt; receptor agonist, serotonin 5-HT&lt;sub&gt;2A&lt;/sub&gt;/5-HT&lt;sub&gt;1B&lt;/sub&gt; agonist, and serotonin 5-HT&lt;sub&gt;1A&lt;/sub&gt; antagonist.</td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td>Purity: 99.21%</td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td>Clinical Data: Phase 2</td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg</td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **Ramelton**  
(TAK-375) | **Ramelton metabolite M-II** |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-A0014</td>
<td>Cat. No.: HY-103005</td>
</tr>
<tr>
<td>Ramelton is a highly potent and selective melatonin receptor agonist with K&lt;sub&gt;i&lt;/sub&gt; values of 14 and 112 pM for human melatonin1 and melatonin2.</td>
<td>Ramelton metabolite M-II is the major metabolite of Ramelton, with IC&lt;sub&gt;50&lt;/sub&gt; of 208 pM, 1470 pM for human melatonin receptors (MT&lt;sub&gt;1&lt;/sub&gt; or MT&lt;sub&gt;2&lt;/sub&gt;). Ramelton is a selective melatonin agonist.</td>
</tr>
<tr>
<td>Purity: 99.90%</td>
<td>Purity: &gt;98%</td>
</tr>
<tr>
<td>Clinical Data: Launched</td>
<td>Clinical Data: No Development Reported</td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg</td>
<td>Size: 1 mg, 5 mg</td>
</tr>
</tbody>
</table>

| **S26131**  
(compound 5) | **Tasimelteon**  
(BMS-214778; VEC-162) |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-122136</td>
<td>Cat. No.: HY-14803</td>
</tr>
<tr>
<td>S26131 (compound 5) is a potent and selective MT&lt;sub&gt;1&lt;/sub&gt; melatonergic ligand, and the K&lt;sub&gt;i&lt;/sub&gt; values are 0.5 and 112 nM for MT&lt;sub&gt;1&lt;/sub&gt; and MT&lt;sub&gt;2&lt;/sub&gt;, respectively. S26131 behaves as an MT&lt;sub&gt;1&lt;/sub&gt; and MT&lt;sub&gt;2&lt;/sub&gt; antagonist.</td>
<td>Tasimelteon is a melatonin MT&lt;sub&gt;1&lt;/sub&gt; and MT&lt;sub&gt;2&lt;/sub&gt; receptor agonist. Target: melatonin receptor Tasimelteon is a novel circadian regulator, is the first product for the treatment of Non-24-hour Sleep-Wake Disorder (Non-24) approved by either the FDA or the European Medicines Agency (EMA).</td>
</tr>
<tr>
<td>Purity: 99.30%</td>
<td>Purity: 99.58%</td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td>Clinical Data: Launched</td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **TIK-301**  
(PD-6735; LY-156735) | |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-106136</td>
<td></td>
</tr>
<tr>
<td>TIK-301 (PD-6735) is a chlorinated melatonin derivative and a potent, high-affinity and orally active melatonin MT&lt;sub&gt;1&lt;/sub&gt; and MT&lt;sub&gt;2&lt;/sub&gt; receptor agonist with K&lt;sub&gt;s&lt;/sub&gt; of 0.081 nM and 0.042 nM, respectively.</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg</td>
<td></td>
</tr>
</tbody>
</table>