Tolterodine

Cat. No.: HY-A0024
CAS No.: 124937-51-5
Molecular Formula: C₂₂H₃₁NO
Molecular Weight: 325.49
Target: mAChR
Pathway: GPCR/G Protein; Neuronal Signaling
Storage:
- Pure form: -20°C 3 years
- 4°C: 2 years
- In solvent: -80°C 6 months
- -20°C: 1 month

**SOLVENT & SOLUBILITY**

**In Vitro**

DMSO : 14.29 mg/mL (43.90 mM); Need ultrasonic

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td></td>
<td>3.0723 mL</td>
<td>15.3615 mL</td>
<td>30.7229 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td></td>
<td>0.6145 mL</td>
<td>3.0723 mL</td>
<td>6.1446 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td></td>
<td>0.3072 mL</td>
<td>1.5361 mL</td>
<td>3.0723 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.43 mg/mL (4.39 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.43 mg/mL (4.39 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**

Tolterodine (PNU-200583) is a potent muscarinic receptor antagonists that show selectivity for the urinary bladder over salivary glands in vivo. IC50 Value: Target: mAChR in vitro: Carbachol-induced contractions of isolated guinea pig bladder were effectively inhibited by tolterodine (IC50 14 nM) and 5-HM (IC50 5.7 nM). The IC50 values were in the microM range and the antimuscarinic potency of tolterodine was 27, 200 and 370-485 times higher, respectively, than its potency in blocking histamine receptors, alpha-adrenoceptors and calcium channels. The active metabolite, 5-HM, was >900 times less potent at these sites than at bladder muscarinic receptors [1].

In vivo: Tolterodine was extensively metabolized in vivo [2]. In the passive-avoidance test, tolterodine at 1 or 3 mg/kg had no effect on memory; the latency to cross and percentage of animals crossing were comparable to controls. In contrast, scopolamine induced a memory deficit; the latency to cross was decreased, and the number of animals crossing was increased [3].
REFERENCES

