KB-5492 anhydrous

Cat. No.: HY-19120
CAS No.: 129200-10-8
Molecular Formula: \( \text{C}_{27}\text{H}_{34}\text{N}_{2}\text{O}_{10} \)
Molecular Weight: 546.57
Target: Sigma Receptor
Pathway: GPCR/G Protein; Neuronal Signaling
Storage:
- Powder: -20°C for 3 years, 4°C for 2 years
- In solvent: -80°C for 6 months, -20°C for 1 month

**SOLVENT & SOLUBILITY**

**In Vitro**

DMSO: 250 mg/mL (457.40 mM; Need ultrasonic)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>1.8296 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3659 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1830 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 >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution

**BIOLOGICAL ACTIVITY**

KB-5492 anhydrous is a potent and selective inhibitor of sigma receptor, inhibits specific \( ^{3}\text{H}\text{1,3-di(2-tolyl)guanidine (DTG)}\).
binding to the sigma receptor with an IC₅₀ of 3.15 μM. KB-5492 anhydrous is an anti-ulcer agent[1][2].

<table>
<thead>
<tr>
<th>IC₅₀ &amp; Target</th>
<th>IC50: 3.15 μM (sigma receptor)[1]</th>
</tr>
</thead>
</table>

### In Vitro

KB-5492 (0.001-100 μM) inhibits specific [³H]DTG binding in a concentration-dependent manner[1]. KB-5492 (0.1-1 mM) significantly and concentration-dependently prevents the ethanol- and acidified aspirin-induced increases in ⁵¹Cr release from gastric epithelial cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### In Vivo

KB-5492 (200 mg/kg; p.o.) prevents macroscopic lesions in the gastric mucosa[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>Male Sprague-Dawley rats weighing 210-240 g are induced gastric mucosal damage[2]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>200 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>Oral gavage</td>
</tr>
<tr>
<td>Result:</td>
<td>Reduced the lesion length as compared with the control.</td>
</tr>
<tr>
<td></td>
<td>Prevented the deep mucosal lesions and exfoliation of surface epithelial cells.</td>
</tr>
</tbody>
</table>

### REFERENCES
