Eprosartan mesylate

Cat. No.: HY-15834A
CAS No.: 144143-96-4
Molecular Formula: C₂₄H₂₈N₂O₇S₂
Molecular Weight: 520.62
Target: Angiotensin Receptor
Pathway: GPCR/G Protein
Storage: Powder
        -20°C  3 years
        4°C    2 years
        In solvent
        -80°C  6 months
        -20°C  1 month

SOLVENT & SOLUBILITY

In Vitro
DMSO : ≥ 48 mg/mL (92.20 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Solvent</th>
<th>Mass</th>
<th></th>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>DMSO</td>
<td></td>
<td>1 mg</td>
<td>5 mg</td>
<td>10 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td></td>
<td>1.9208 mL</td>
<td>9.6039 mL</td>
<td>19.2079 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.3842 mL</td>
<td>1.9208 mL</td>
<td>3.8416 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.1921 mL</td>
<td>0.9604 mL</td>
<td>1.9208 mL</td>
<td></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 (4.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.00 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Eprosartan mesylate (SKF-108566J) is a nonpeptide angiotensin II receptor antagonist with IC50 of 9.2 and 3.9 nM in rat and human adrenal cortical membranes, respectively. IC50 Value: 9.2 nM (in rat adrenal cortical membranes); 3.9 nM (in human adrenal cortical membranes) Target: Angiotensin Receptor Type-1 (AT1) in vitro: Eprosartan mesylate is one of the highly selective, orally active, non-peptide angiotensin-II-receptor antagonists [1]. In rat and human adrenal cortical membranes, Eprosartan displaced specifically bound [125I]AII with IC50 of 9.2 and 3.9 nM,
respectively. Eprosartan also inhibited [125I]AII binding to human liver membranes (IC50 = 1.7 nM) and to rat mesenteric artery membranes (IC50 = 1.5 nM). In rabbit aortic smooth muscle cells, Eprosartan caused a concentration-dependent inhibition of AII-induced increases in intracellular Ca++ levels. In rabbit aortic rings [2]. in vivo: Administration of Eprosartan (3-10 mg/kg) intraduodenally or intragastrically to conscious normotensive rats resulted in a dose-dependent inhibition of the pressor response to AII (250 ng/kg, i.v.). At 10 mg/kg, i.d., significant inhibition of the pressor response to AII was observed for 3 hr. In this same rat model, Eprosartan had no effect on base-line pressure or on the pressor response to norepinephrine or vasopressin [2]. Eprosartan is highly effective and safe in lowering blood pressure, notably SBP, in older subjects with mild to moderate hypertension [3]. Treatment with eprosartan in once-daily doses up to 1200 mg alone or in combination with HCTZ was well tolerated, with dizziness and asthenia being the most common side effects [4]. Therapy with eprosartan mesilat was associated with significant hypotensive effect (more evident in patients with high systolic blood pressure), improvement in 24-hour blood pressure profile and quality of life, and lower probability of secondary stroke. Side effects were not observed [5].

REFERENCES


