Fosaprepitant dimeglumine

Cat. No.: HY-14407A
CAS No.: 265121-04-8
Molecular Formula: C₃₇H₅₆F₇N₆O₁₆P
Molecular Weight: 1004.83
Target: Neurokinin Receptor
Pathway: GPCR/G Protein; Neuronal Signaling
Storage: Powder -20°C 3 years
4°C 2 years
* The compound is unstable in solutions, freshly prepared is recommended.

SOLVENT & SOLUBILITY

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>H₂O</td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td>0.9952 mL</td>
<td>4.9760 mL</td>
<td>9.9519 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.1990 mL</td>
<td>0.9952 mL</td>
<td>1.9904 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.0995 mL</td>
<td>0.4976 mL</td>
<td>0.9952 mL</td>
<td></td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

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

BIOLOGICAL ACTIVITY

Description

Fosaprepitant dimeglumine (MK-0517) is a neurokinin-1 receptor antagonist for the prevention of chemotherapy-induced nausea and vomiting. IC50 Value: Target: NK1 receptor in vitro: Fosaprepitant (also known as MK-0517 and L-758,298) is a water-soluble phosphoryl prodrug for aprepitant, which, when administered intravenously, is converted to aprepitant within 30 min of intravenous administration via the action of ubiquitous phosphatases. Owing to the rapid conversion of fosaprepitant to the active form (aprepitant), fosaprepitant 115 mg provided the same aprepitant exposure in terms of AUC as aprepitant 12 mg orally, and fosaprepitant is expected to provide a correspondingly similar antiemetic effect as aprepitant [1]. In vivo: Fosaprepitant is well tolerated with mild to moderate venous irritation being the only additional toxicity to those seen with oral aprepitant, and that is a function of dose, concentration, and infusion rate [2]. Patients receiving cisplatin ≥ 70 mg/m² for the first time received ondansetron and dexamethasone with a standard aprepitant regimen (125 mg on day 1, 80 mg on day 2, 80 mg on day 3) or a single-dose fosaprepitant regimen (150 mg on day 1) [3]. Single-dose fosaprepitant used in combination with granisetron and dexamethasone was well-tolerated and effective in preventing chemotherapy-induced nausea and vomiting in patients receiving highly emetogenic cancer chemotherapy, including high-dose cisplatin [4].
REFERENCES


