Lafutidine

Cat. No.: HY-B0160
CAS No.: 118288-08-7
Molecular Formula: C₂₂H₂₉N₃O₄S
Molecular Weight: 431.55
Target: Histamine Receptor
Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
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: ≥ 50 mg/mL (115.86 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass (1 mg)</th>
<th>Mass (5 mg)</th>
<th>Mass (10 mg)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.3172 mL</td>
<td>11.5861 mL</td>
<td>23.1723 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4634 mL</td>
<td>2.3172 mL</td>
<td>4.6345 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2317 mL</td>
<td>1.1586 mL</td>
<td>2.3172 mL</td>
</tr>
</tbody>
</table>

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 3 mg/mL (6.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 3 mg/mL (6.95 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 3 mg/mL (6.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lafutidine, a newly developed histamine H(2)-receptor antagonist, inhibits gastric acid secretion. Target: histamine H(2)-receptor. Lafutidine is currently marketed in Japan (Stogar) China (Lemeiting) and India (Lafaxid). It not only suppresses gastric acid secretion, but also has cytoprotective properties by the virtue of its property to induce the collagen synthesis in the gastric mucosa. It has a novel mechanism of action in addition to blocking the H2 receptors, it decreases
inflammation by modulating calcitonin gene-related peptide (CGRP) and vanilloid receptors. It is also found to stimulate mucin biosynthesis and promote the restitution of damaged mucosa. Lafutidine is absorbed in the small intestine, reaches gastric cells via the systemic circulation, and then directly and rapidly binds to gastric cell histamine H2 receptors, thereby inhibiting the stimulation of cAMP and a resultant decrease in acid production (antisecretory action). It causes a sustained increase in intracellular Ca2+ ion concentration in endothelial cells resulting in the release of Calcitonin Gene Related Peptide (CGRP), which causes acid suppression by decreasing the vagal tone. Lafutidine also increases plasma somatostatin levels which decreases secretion of gastrin from G cells. This decrease in gastrin causes inhibition of parietal cells, resulting in decrease in gastric acid secretion.

REFERENCES