Pirenzepine dihydrochloride

Cat. No.: HY-17037
CAS No.: 29868-97-1
Molecular Formula: $C_{19}H_{23}Cl_2N_5O_2$
Molecular Weight: 424.32
Target: mAChR
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
- H$_2$O: 75 mg/mL (176.75 mM; Need ultrasonic)
- DMSO: 25 mg/mL (58.92 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
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</tr>
<tr>
<td>H$_2$O</td>
<td>1 mM</td>
<td>2.3567 mL</td>
<td>11.7836 mL</td>
<td>23.5671 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4713 mL</td>
<td>2.3567 mL</td>
<td>4.7134 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2357 mL</td>
<td>1.1784 mL</td>
<td>2.3567 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
Pirenzepine dihydrochloride (LS519) is a selective M1 muscarinic receptor antagonist.

In Vitro
The antisecretory properties of pirenzepine on gastric acid and pepsin secretion may be attributed to the antagonistic activity of the drug on muscarinic M1 receptors of gastric intramural plexuses, whereas the effect on parietal muscarinic M2 receptors seems of less importance. Additional inhibitory mechanisms on gastric secretion may be represented by pirenzepine-induced increase in somatostatin release from gastrointestinal system. Significant cytoprotective properties of pirenzepine have been observed on a variety of experimentally induced peptic ulcerations [1]. Pirenzepine (5-500 μg/mL) inhibits agonist-(acetylcholine-, carbachol- or nicotine-) induced contractions of the toad isolated rectus abdominis muscle, and depresses electrically provoked twitches of the rat phrenic nerve-hemidiaphragm muscle preparation [2].

In Vivo
Pirenzepine is potent in impairing learning of an avoidance; much higher doses are required to antagonize other central muscarinic effects. Pirenzepine is found to impair passive avoidance learning when given i.c.v. 20 min pre-
training. The median latencies in pirenzepine-treated animals are 79.5, 11, 27 and 25.5 seconds with doses of 0.03, 0.1, 0.3 and 1 μg per mouse respectively[^3]. Acid and pepsin secretion stimulated by either bethanechol or the vagus are inhibited in a dose-responsive manner by pirenzepine[^4]. Pirenzepine (5-25 mg/kg i.v.) depresses indirect electrical stimulation-evoked twitches of the cat tibialis anterior and soleus muscle preparations[^2].

**PROTOCOL**

**Animal Administration[^4]**

Dogs: In three of the Dogs, gastric secretion also is stimulated by bethanechol infused i.v. at the rate of 80 μg (0.4 μM)/kg.hr for 3 hr. Atropine (1.4, 2.8, 5.6 and 11.2 nM/kg) or pirenzepine (6, 12 and 24 nM/kg) are injected at 15-mm intervals, beginning 1 hr after initiation of bethanechol infusion. Heart rate is measured every 7.5 mm during infusion of the drugs and for 75 mins thereafter[^4].

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

**REFERENCES**


