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产品名称: 盐酸哌仑西平

产品别名: **Pirenzepine dihydrochloride; LS519; 二盐酸哌仑西平**

生物活性:				
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].			
Solvent&Solubility	<b>In Vitro:</b> <b>H<sub>2</sub>O : 75 mg/mL (176.75 mM; Need ultrasonic)</b> <b>DMSO : 25 mg/mL (58.92 mM; Need ultrasonic)</b>			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	2.3567 mL	11.7836 mL
	Stock Solutions	5 mM	0.4713 mL	2.3567 mL
		10 mM	0.2357 mL	1.1784 mL
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。				
References	[1]. Del Tacca M, et al. A selective antimuscarinic agent: pirenzepine. Review of its pharmacologic and clinical properties. Minerva Dietol Gastroenterol. 1989 Jul-Sep;35(3):175-89. [2]. Ojewole JA, et al. Effects of pirenzepine (Gastrozepin) on skeletal muscle contractility. Methods Find Exp Clin Pharmacol. 1983 Nov;5(9):619-23. [3]. Caulfield MP, et al. Central administration of the muscarinic receptor subtype-selective antagonist pirenzepine selectively impairs passive avoidance learning in the mouse. J Pharm Pharmacol. 1983 Feb;35(2):131-2.			



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	[4]. Hirschowitz BI, et al. Effects of pirenzepine and atropine on vagal and cholinergic gastric secretion and gastrin release and on heart rate in the dog. J Pharmacol Exp Ther. 1983 May;225(2):263-8.
<b>实验参考:</b>	
<b>Animal Administration</b>	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].
<b>References</b>	<p>[1]. Del Tacca M, et al. A selective antimuscarinic agent: pirenzepine. Review of its pharmacologic and clinical properties. Minerva Dietol Gastroenterol. 1989 Jul-Sep;35(3):175-89.</p> <p>[2]. Ojewole JA, et al. Effects of pirenzepine (Gastrozepin) on skeletal muscle contractility. Methods Find Exp Clin Pharmacol. 1983 Nov;5(9):619-23.</p> <p>[3]. Caulfield MP, et al. Central administration of the muscarinic receptor subtype-selective antagonist pirenzepine selectively impairs passiveavoidance learning in the mouse. J Pharm Pharmacol. 1983 Feb;35(2):131-2.</p> <p>[4]. Hirschowitz BI, et al. Effects of pirenzepine and atropine on vagal and cholinergic gastric secretion and gastrin release and on heart rate in the dog. J Pharmacol Exp Ther. 1983 May;225(2):263-8.</p>

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