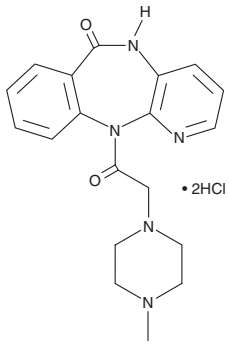
PRODUCT INFORMATION

Pirenzepine (hydrochloride)

Item No. 29527

CAS Registry No.:	29868-97-1
Formal Name:	5,11-dihydro-11-[2-(4-methyl-1-piperazinyl) acetyl]-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one, dihydrochloride
Synonym:	Gastrozepin
MF:	$C_{19}H_{21}N_5O_2$ • 2HCl
FW:	424.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 280 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Pirenzepine (hydrochloride) is supplied as a crystalline solid. Aqueous solutions of pirenzepine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of pirenzepine (hydrochloride) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Pirenzepine is an antagonist of M_1 muscarinic acetylcholine receptors (K_i = 11.48 nM).[1] It is selective for M_1 over M_2, M_3, and M_4 receptors (K_is = 602.56, 151.36, and 199.53 nM, respectively). Pirenzepine inhibits ascending reflex contraction of the circular smooth muscle in isolated guinea pig ileal segments induced by intraluminal balloon inflation (IC_{50} = 501.19 nM). It inhibits methacholine-induced increases in ileal pressure in guinea pigs (ID_{50} = 724.44 nmo/kg). Pirenzepine inhibits oxotremorine-induced gastric ulcer, gastric acid secretion, and salivation in rats (ED_{50}s = 13, 37.5, and 620 µg/kg i.v., respectively).[2] It prevents form-deprivation myopia (FDM) in a chick model of experimental myopia.[3]

References

1. Doods, H.N., Entzeroth, M., Ziegler, H., *et al.* Pharmacological profile of selective muscarinic receptor antagonists on guinea-pig ileal smooth muscle. *Eur. J. Pharmacol.* **253(3)**, 275-281 (1994).
2. Del Soldato, P. and Pagani, F. Pharmacodynamic evaluation of selective antimuscarinic properties of pirenzepine in the rat. *Pharmacol. Res. Commun.* **14(3)**, 279-287 (1982).
3. Luft, W.A., Ming, Y., and Stell, W.K. Variable effects of previously untested muscarinic receptor antagonists on experimental myopia. *Invest. Ophthalmol. Vis. Sci.* **44(3)**, 1330-1338 (2003).

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