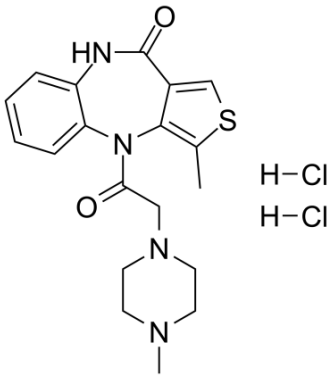
Telenzepine dihydrochloride

Cat. No.:	HY-B1789A
CAS No.:	147416-96-4
Molecular Formula:	$C_{19}H_{24}Cl_2N_4O_2S$
Molecular Weight:	443.39
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Telenzepine dihydrochloride is a selective and orally active muscarinic M1 receptor antagonist with a K_i of 0.94 nM. Telenzepine dihydrochloride inhibits gastric acid secretion and has antiulcer effects[1][2][3].
IC_{50} & Target	Ki: 0.94 nM (Muscarinic M1 receptor), 17.8 nM (Muscarinic M2 receptor)[3]
In Vitro	At submicromolar concentrations (100 nM), Telenzepine abolishes responses to either muscarine or the muscarinic component of the acetylcholine response. The excitatory effect of muscarine at postsynaptic M1 receptors is dose dependently inhibited by Telenzepine (0.1-1000 nM) at concentrations[2]. The threshold dose of Telenzepine as an antagonist of the muscarinic depolarization in AH/type 2 neurons is in the range of 0.1-1 nM. The IC_{50} of Telenzepine needed to abolish the response is 8.5 nM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Intravenous Telenzepine potently inhibits gastric acid secretion in the Ghosh-Schild rat (carbachol-stimulated), the chronic fistula rat (basal secretion), or, both intravenously and orally, in the modified Shay rat[1]. Telenzepine (2.7 μmol/kg; orally) treatment shows significantly longer duration antiulcer effects in the modified Shay rat[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. R Riedel, et al. Comparison of the gastric antisecretory and antiulcer potencies of telenzepine, pirenzepine, ranitidine and cimetidine in the rat. Digestion. 1988;40(1):25-32.

[2]. F L Christofi, et al. Neuropharmacology of the muscarinic antagonist telenzepine in myenteric ganglia of the guinea-pig small intestine. Eur J Pharmacol. 1991 Apr 3;195(3):333-9.

[3]. M Galvan, et al. Interaction of telenzepine with muscarinic receptors in mammalian sympathetic ganglia. Eur J Pharmacol. 1989 Aug 11;167(1):1-10.

Caution: Product has not been fully validated for medical applications. For research use only.

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