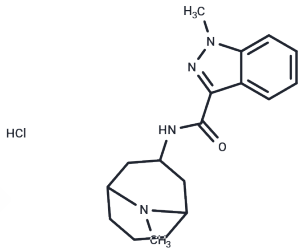
Data Sheet (Cat.No.T1042)

TargetMol

Granisetron hydrochloride

Chemical Properties



CAS No. : 107007-99-8

Formula: C18H25ClN4O

Molecular Weight: 348.87

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Granisetron hydrochloride (Granisetron HCl) is a serotonin receptor (5HT-3 selective) antagonist that has been used as an antiemetic for cancer chemotherapy patients.
Targets(IC50)	5-HT Receptor
In vitro	Granisetron blocks the delayed rectifier current (IK) of feline isolated ventricular myocytes with a KD of 4.3 mM. Granisetron shows an intrinsic voltage-dependence as the block increases with depolarization. Granisetron blocks from the intracellular side at a binding site located 10% across the transmembrane electrical field. Granisetron (3 mM) prolongs the action potential duration (APD) by about 30% at 0.5 Hz in feline isolated ventricular myocytes. [1] Granisetron but not Ondansetron, could prevent the activation of putative 5-H; autoreceptors, thus leading to a decrease in serotonin release by the enterochromaffin cells. [2]
In vivo	Granisetron provides a substantial benefit against cisplatin-induced emesis in the piglet, some animals being completely protected during both the acute and the delayed phases. [2] Granisetron (1 mg/kg, i.m.) administered three times per day reduces significantly the retching+vomiting response induced by cisplatin on days 1 and 2 by 100.0% and 75.0%, respectively, in cats. [3] Granisetron or dexamethasone significantly improves macroscopic and histologic scores, curtails myeloperoxidase activity and diminishes colonic levels of inflammatory cytokines and malondialdehyde in rats. [4] Granisetron, not only prevents cholera toxin-induced jejunal net fluid secretion but also, and in proportion, inhibits 5-HT release into the intestinal lumen of mice. [5]

Solubility Information

Solubility	DMSO: 3.49 mg/mL (10 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8664 mL	14.332 mL	28.664 mL
5 mM	0.5733 mL	2.8664 mL	5.7328 mL
10 mM	0.2866 mL	1.4332 mL	2.8664 mL
50 mM	0.0573 mL	0.2866 mL	0.5733 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

de Lorenzi FG, et al. Br J Pharmacol,1994, 113(2), 527-535.
Grélot L, et al. J Pharmacol Exp Ther. 1996 Oct;279(1):255-61.
Rudd JA, et al. Eur J Pharmacol, 2000, 391(1-2), 145-150.
Fakhfouri G, et al. Hum Exp Toxicol,2010, 29(4), 321-328.
Turvill JL, et al. Br J Pharmacol, 2000, 130(5), 1031-1036.