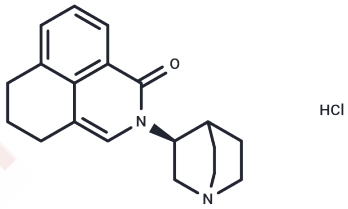
Data Sheet (Cat.No.T20739)

TargetMol

RS 42358-197

Chemical Properties



CAS No. : 135729-55-4

Formula: C19H23ClN2O

Molecular Weight: 330.85

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	RS 42358-197 (RS 25259-007) is a competitive 5-HT3 receptor antagonist.
Targets(IC50)	5-HT Receptor
In vitro	RS 42358-197 acts against 5-HT-induced contractions in the guinea pig ileum (low-potency phase), yielding a pA2 estimate of 8.1[1].
In vivo	In anesthetized rats. RS 42358-197, administered by the intravenous, intraduodenal or transdermal route, dose-dependently inhibited the Bezold-Jarisch reflex induced by 2-methyl 5-HT (ID50:0.05 micrograms/kg; i.v., 5.7 micrograms/kg; i.d., and 11.6 micrograms/chamber, respectively). In this regard, when administered intraduodenally, RS 42358-197 was more potent and exhibited a longer duration of action than either ondansetron or granisetron. In dogs, RS 42358-197, administered either intravenously or orally, dose-dependently inhibited the emesis induced by cisplatin, actinomycin and cyclophosphamide, but not that induced by apomorphine. When tested at maximally effective doses against cisplatin-induced emesis in dogs, RS 42358-197 had a longer duration of antiemetic activity (> 6 h) than ondansetron (2 h). RS 42358-197, administered orally, also afforded protection against cisplatin-induced emesis in ferrets. At doses that showed marked anti-emetic activity in dogs (10-100 micrograms/kg; i.v. and 100-1000 micrograms/kg; i.d.), RS 42358-197 did not produce any hemodynamic changes[1].

Solubility Information

Solubility	DMSO: 11 mg/mL (33.25 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0225 mL	15.1126 mL	30.2252 mL
5 mM	0.6045 mL	3.0225 mL	6.045 mL
10 mM	0.3023 mL	1.5113 mL	3.0225 mL
50 mM	0.0605 mL	0.3023 mL	0.6045 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Costall B, et al. The effect of the 5-HT3 receptor antagonist, RS-42358-197, in animal models of anxiety. Eur J Pharmacol. 1993 Mar 30;234(1):91-9.

Eglen RM, et al. RS 42358-197, a novel and potent 5-HT3 receptor antagonist, in vitro and in vivo. J Pharmacol Exp Ther. 1993 Aug;266(2):535-43.

Wong EH, Bonhaus DW, Wu I, Stefanich E, Eglen RM. Labelling of 5-hydroxytryptamine3 receptors with a novel 5-HT3 receptor ligand, [3H]RS-42358-197. J Neurochem. 1993 Mar;60(3):921-30.

Bonhaus DW, et al. Pharmacological characterization of 5-hydroxytryptamine3 receptors in murine brain and ileum using the novel radioligand [3H]RS-42358-197: evidence for receptor heterogeneity. J Neurochem. 1993 Nov;61(5):1927-32.

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