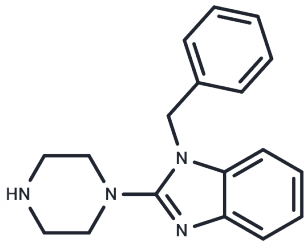
Data Sheet (Cat.No.T8441)

TargetMol

Lerisetron

Chemical Properties



CAS No. :	143257-98-1
Formula:	C18H20N4
Molecular Weight:	292.38
Storage:	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	Lerisetron is an antagonist of serotonin type 3 (5-HT3) receptor, with antiemetic activity.
Targets(IC50)	5-HT Receptor
In vivo	showed a decrease in total clearance and distribution volume of the central compartment in old rats. The lerisetron free (unbound) fraction remained unchanged among the groups, and there were no significant differences in alpha(1)-acid glycoprotein levels. The concentration-effect relationship was best described by a sigmoid E(max) model. Since the drug concentration in plasma at half-maximal effect (EC(50)) decreased in old rats, an increased sensitivity to the effect of lerisetron in old animals could be expected.
Animal Research	Fischer 344 rats (n = 44) were divided into three groups, depending on their age: 5, 13, and 25 months. Blood samples were collected before administration of 200 micro g/kg of lerisetron for measurements of albumin, alpha(1)-acid glycoprotein, and unbound fraction of lerisetron. The lerisetron plasma concentrations were measured by high-performance liquid chromatography. A two-compartment model was fitted to the data using the nonlinear mixed-effects computer program WinNonMix. The population analysis was performed with the complete set of the collected data, and the potential sources of variability in the population parameters were investigated. Additionally, a pharmacodynamic study was performed. The effect of lerisetron (inhibition of the von Bezold-Jarisch reflex) was evaluated in young, adult, and senescent Fischer 344 rats.

Solubility Information

Solubility	DMSO: 53 mg/mL (181.27 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.84 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.4202 mL	17.101 mL	34.2021 mL
5 mM	0.684 mL	3.4202 mL	6.8404 mL
10 mM	0.342 mL	1.7101 mL	3.4202 mL
50 mM	0.0684 mL	0.342 mL	0.684 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

Nerea, Jauregizar, Antonio, et al. Age-Related Changes in Pharmacokinetics and Pharmacodynamics of Lerisetron in the Rat: A Population Pharmacokinetic Model[J]. Gerontology, 2003.
Strenge H , Goebel S , Kowalski J , et al. Effects of Lerisetron, a New 5-HT3 Receptor Antagonist, on Ipecacuanha-induced Emesis in Healthy Volunteers[J]. Arzneimittelforschung, 2002, 52(09):689-694.