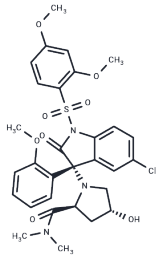
Data Sheet (Cat.No.T28153)

TargetMol

Nelivaptan

Chemical Properties



CAS No. : 439687-69-1

Formula: C30H32ClN3O8S

Molecular Weight: 630.11

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	Nelivaptan (SR 149415) is a vasopressin V1b receptor antagonist that can eliminate CHS-induced GE damage and inhibit [3H]AVP binding in a competitive manner.
Targets(IC50)	Vasopressin Receptor
In vitro	**METHODS**: Nelivaptan (SR 149415) (1.25 nM, 2.5 nM and 5 nM) was used to treat In-R1-G9 pancreatic model cells, and the inhibitory effect of Nelivaptan on the specific binding of [3H]AVP (radiolabeled vasopressin) was detected. **RESULTS** As the concentration of Nelivaptan5 increased, the Kd value (dissociation constant) under control conditions showed a dose-dependent decrease, which indicated that Nelivaptan inhibited the binding of [3H]AVP in a competitive manner, and the Ki value of Nelivaptan (inhibition constant) is 1.61 ± 0.17 nM. [1]
In vivo	**METHODS**: Animals in the male Wistar rat administration group and the Nelivaptan (SR 149415) group were intraperitoneally injected with drug (1ml/kg, 5% DMSO, 95% normal saline) or Nelivaptan dissolved in the drug (1ml/kg; stock solution concentration 5mg/kg) , the rats were placed in a high temperature environment of 38°C for 60 minutes, and the body weight and rectal temperature were measured before and immediately after treatment. **RESULTS** Nelivaptan treatment reduced intracranial V1bR levels. [2] **METHODS**: Nelivaptan was injected with DMSO as solvent at a dose of 1 nmol/rat (icv) with a volume of 5μl. The rats were monitored under basal non-stress (NS) conditions, acute stress (AS), CHS and CHeS. Changes in hypothalamic OXT and AVP release under conditions. **RESULTS** Nelivaptan could eliminate CHS-induced GE damage. [3]

Solubility Information

Solubility	DMSO: 250 mg/mL (396.76 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.587 mL	7.9351 mL	15.8702 mL
5 mM	0.3174 mL	1.587 mL	3.174 mL
10 mM	0.1587 mL	0.7935 mL	1.587 mL
50 mM	0.0317 mL	0.1587 mL	0.3174 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

Folny V, et al. Pancreatic vasopressin V1b receptors: characterization in In-R1-G9 cells and localization in human pancreas. Am J Physiol Endocrinol Metab. 2003 Sep;285(3):E566-76.
Jasnic N, et al. The effect of vasopressin 1b receptor (V1bR) blockade on HPA axis activity in rats exposed to acute heat stress. J Exp Biol. 2013 Jun 15;216(Pt 12):2302-7.
Bülbül M, et al. Opposite effects of central oxytocin and arginine vasopressin on changes in gastric motor function induced by chronic stress. Peptides. 2017 Jan;87:1-11.
Izumi Y, Miura K, Iwao H. Therapeutic potential of vasopressin-receptor antagonists in heart failure. J Pharmacol Sci. 2014;124(1):1-6. Epub 2014 Jan 7. Review.