Data Sheet (Cat.No.T6604)

TargetMol

Nepicastat hydrochloride

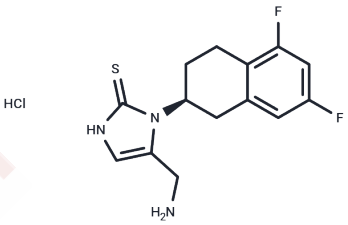
Chemical Properties

CAS No. : 170151-24-3

Formula: C14H15F2N3S·HCl

Molecular Weight: 331.81

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	Nepicastat hydrochloride (RS-25560-197 hydrochloride) is an effective and specific inhibitor, which is used for bovine and human dopamine-β-hydroxylase with IC50 of 8.5 nM and 9 nM, respectively.The affinity of Nepicastat for twelve other enzymes and thirteen neurotransmitter receptors is negligible.
Targets(IC50)	Hydroxylase
In vitro	In vitro, Nepicastat hydrochloride shows the selective and concentration-dependent inhibition effects on bovine and human dopamine-beta-hydroxylase activity with IC50 of 8.5 nM and 9.0 nM, respectively. While Nepicastat hydrochloride has negligible affinity for twelve other enzymes and thirteen neurotransmitter receptors. [1]
In vivo	In the artery, left ventricle and cerebral cortex of spontaneously hypertensive rats (SHRs), Nepicastat hydrochloride reduces noradrenaline content, and increases dopamine content and dopamine/noradrenaline ratio in a dose-dependent manner. In addition, Nepicastat hydrochloride also produces the similar effects on noradrenaline, dopamine and dopamine/noradrenaline ratio in tissues and plasma of beagle dogs. [1] In inactin-anesthetized SHRs, Nepicastat hydrochloride (3 mg/kg, i.v.) produces the antihypertensive effects and causes a significant decrease in renal vascular resistance (38%) and an increase in renal blood flow (22%). [2] In dogs with chronic heart failure, low-dose Nepicastat hydrochloride (0.5 mg/kg) prevents left ventricular (LV) dysfunction and remodeling, and combination therapy of Nepicastat hydrochloride and enalapril results in additional improvements in all morphological features. [3] In rat brain, Nepicastat hydrochloride at a dose of 50 mg/kg (i.p.) leads to the reduction of norepinephrine (NE) and blocks cocaine-primed reinstatement of cocaine seeking. [4]

Solubility Information

Solubility	DMSO: 50 mg/mL (150.69 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: 1 mg/mL (3.01 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0138 mL	15.0689 mL	30.1377 mL
5 mM	0.6028 mL	3.0138 mL	6.0275 mL
10 mM	0.3014 mL	1.5069 mL	3.0138 mL
50 mM	0.0603 mL	0.3014 mL	0.6028 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

Stanley WC, et al. Br J Pharmacol. 1997, 121(8), 1803-1809.
Stanley WC, et al. J Cardiovasc Pharmacol. 1998, 31(6), 963-970.
Sabbah HN, et al. Circulation. 2000, 102(16), 1990-1995.
Schroeder JP, et al. Neuropsychopharmacology. 2010, 35(12), 2440-2449.

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