Data Sheet (Cat.No.T6288)



Mozavaptan

Chemical Properties

CAS No.: 137975-06-5

Formula: C27H29N3O2

Molecular Weight: 427.54

Appearance: no data available

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

Biological Description

Description	Mozavaptan (OPC-31260) is a competitive antagonist of vasopressin receptors, targeting both V1 and V2 receptors, with IC50 values of 1.2 μ M and 14 nM, respectively.			
Targets(IC50)	Vasopressin Receptor			
In vitro	Mozavaptan (OPC-31260) is a nonpeptide, orally effective competitive inhibitor of AVP with a V2:V1 receptor selectivity ratio of 25:1 indicating relative V2 receptor selectivity. [1] Mozavaptan (OPC-31260) inhibits AVP binding to V1 and V2 receptors in a competitive manner. [2]			
In vivo	Mozavaptan (OPC-31260) inhibits the antidiuretic action of exogenously administered AVP in water-loaded, alcohol-anaesthetized rats in a dose-dependent manner. OPC-31260 dose-dependently increases urine flow and decreased urine osmolality after oral administration at doses of 1 to 30 mg/kg in normal conscious rats. [2]			
Kinase Assay	To determine binding kinetic constants, liver or kidney plasma membranes are incubated with increasing concentrations of [3H]-AVP with or without excess (1 μ M) unlabelled AVP to obtain a saturation curve. To investigate whether mozavaptan interacts competitively or noncompetitively, the saturation binding of [3H]-AVP is examined in the absence and presence of mozavaptan at concentrations of 0.3 μ M and 1 μ M in liver membranes and 3 nM, and 10 nM in kidney membranes. Data on the saturation curve are plotted according to the method of Scatchard and fitted by a regression analysis[1].			

Solubility Information

· · · · · · · · · · · · · · · · · · ·	DMSO: 22.5 mg/mL (52.63 mM),Sonication is recommended.
	(< 1 mg/ml refers to the product slightly soluble or insoluble)

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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.339 mL	11.6948 mL	23.3896 mL
5 mM	0.4678 mL	2.339 mL	4.6779 mL
10 mM	0.2339 mL	1.1695 mL	2.339 mL
50 mM	0.0468 mL	0.2339 mL	0.4678 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

Burrell LM, et al. Blood Press, 1994, 3(1-2), 137-141. Yamamura Y, et al. Br J Pharmacol, 1992, 105(4), 787-791.

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