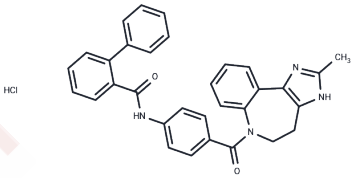
Data Sheet (Cat.No.T6453)

TargetMol

Conivaptan hydrochloride

Chemical Properties



CAS No. : 168626-94-6

Formula: C32H26N4O2·HCl

Molecular Weight: 535.04

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	Conivaptan hydrochloride (Vaprisol) is an orally active vasopressin V2 and V1A receptor antagonist, used in the therapy of hypervolemic hyponatremia and euvolemic.
Targets(IC50)	Vasopressin Receptor
In vivo	Conivaptan administered intravenously at doses of 0.03, 0.1, and 0.3 mg/kg increases urine volume and decreases urine osmolality in a dose-dependent manner in both myocardial infarction and sham-operated rats. In myocardial infarction rats, a dose of 0.3 mg/kg notably lowers right ventricular systolic pressure, left ventricular end-diastolic pressure, reduces lung/body weight ratio, and right atrial pressure, while significantly enhancing dP/dt(max)/left ventricular pressure. Additionally, conivaptan acutely augments urine volume, reduces osmolality, and at study conclusion, cirrhotic rats treated with the V(1a)/V(2)-AVP receptor antagonist exhibit neither hyponatremia nor hypoosmolality. It also normalizes sodium urine volume without impacting creatinine clearance or arterial pressure. At dosages ranging from 0.01 to 0.1 mg/kg i.v., conivaptan demonstrates a dose-dependent diuretic effect in dogs, effectively inhibits vasopressin-induced pressor effects, and at 0.1 mg/kg, substantially blocks vasoconstriction from exogenous vasopressin. Furthermore, at 0.1 mg/kg i.v., it significantly enhances cardiac function in dogs with congestive heart failure as demonstrated by increases in left ventricular dP/dtmax, cardiac output, and stroke volume, alongside significant reductions in left ventricular end-diastolic pressure and total peripheral vascular resistance.

Solubility Information

Solubility	Ethanol: 7 mg/mL (13.08 mM),Sonication is recommended. DMSO: 99 mg/mL (185.03 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: 3.3 mg/mL (6.17 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	1.869 mL	9.3451 mL	18.6902 mL
5 mM	0.3738 mL	1.869 mL	3.738 mL
10 mM	0.1869 mL	0.9345 mL	1.869 mL
50 mM	0.0374 mL	0.1869 mL	0.3738 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

Wada K, et al. Eur J Pharmacol,2005, 507(1-3), 145-151.
Fernández-Varo G, et al. J Hepatol. 2003 Jun;38(6):755-61.
Yatsu T, et al. Eur J Pharmacol,1999, 376(3), 239-246.

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