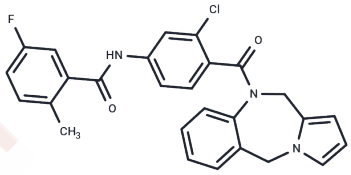
Data Sheet (Cat.No.T7303)

TargetMol

LIXIVAPTAN

Chemical Properties



CAS No. : 168079-32-1

Formula: C27H21ClFN3O2

Molecular Weight: 473.93

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	Lixivaptan (VPA-985) is an orally active and selective vasopressin receptor V2 antagonist (Ki = 2.3 nM)
Targets(IC50)	Vasopressin Receptor
In vivo	Consistent with the development of a polycystic kidney phenotype, control PCK rats showed enlarged kidneys, extensive cyst formation, and early signs of serum creatinine elevation at 12 weeks of age.?Compared to controls, PCK rats treated with low-dose lixivaptan showed a 26% reduction in % kidney weight/body weight ($p < 0.01$);?a 54% reduction in kidney cystic score ($p < 0.001$), a histomorphometric measure of cystic burden;?a 23% reduction in kidney cAMP levels ($p < 0.05$), a biochemical marker of disease;?and a 13% reduction in plasma creatinine ($p < 0.001$), indicating preserved renal function.?These reductions were associated with 3-fold increases in 24-h urine output, demonstrating the potent aquaretic effect of lixivaptan[1].
Animal Research	Four-week old PCK rats were fed rodent chow with 0.5% lixivaptan (low dose) or 1% lixivaptan (high dose), or chow only (control) for 8 weeks.?Urine output was measured at weeks 7 and 10 of age.?Animals were killed at 12 weeks of age;?kidneys and livers were collected, weighted, and analyzed for cyclic adenosine 3',5'-monophosphate (cAMP) levels and cystic burden and fibrosis;?serum creatinine and sodium were measured[1].

Solubility Information

Solubility	DMSO: 150 mg/mL (316.5 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: 4 mg/mL (8.44 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	2.110 mL	10.5501 mL	21.1002 mL
5 mM	0.422 mL	2.110 mL	4.220 mL
10 mM	0.211 mL	1.055 mL	2.110 mL
50 mM	0.0422 mL	0.211 mL	0.422 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

Wang X, Constans MM, Chebib FT.et al.Effect of a Vasopressin V2 Receptor Antagonist on Polycystic Kidney Disease Development in a Rat Model[J].Am J Nephrol. 2019;49(6):487-493.
Di Mise A, Venneri M, Ranieri M.et al.Lixivaptan, a New Generation Diuretic, Counteracts Vasopressin-Induced Aquaporin-2 Trafficking and Function in Renal Collecting Duct Cells.Int J Mol Sci. 2019 Dec 26;21(1).