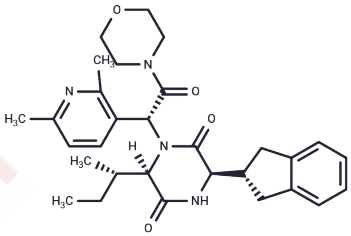
Data Sheet (Cat.No.T11502)

TargetMol

Epelsiban

Chemical Properties



CAS No. : 872599-83-2

Formula: C30H38N4O4

Molecular Weight: 518.65

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	Epelsiban is a selective and orally bioavailable oxytocin receptor antagonist (pKi: 9.9 for human oxytocin receptor).
Targets(IC50)	Others,Oxytocin Receptor
In vitro	Epelsiban , shows no significant P450 inhibition.?is a potent oxytocin receptor, with a pKi of 9.9 for human oxytocin receptor, >31000-fold selectivity over all three human vasopressin receptors hV1aR (pKi, <5.2), hV2R (pKi, <5.1), and hV1bR (pKi, 5.4).
In vivo	Epelsiban exhibits low intrinsic clearance in rat, dog, and cynomolgus monkey microsomes, demonstrating good bioavailability (55%) while showing no genotoxic effects, and maintaining a satisfactory safety profile when orally administered to female rats. Additionally, Epelsiban effectively inhibits the oxytocin receptor in rats with an IC50 of 192 nM.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9281 mL	9.6404 mL	19.2808 mL
5 mM	0.3856 mL	1.9281 mL	3.8562 mL
10 mM	0.1928 mL	0.964 mL	1.9281 mL
50 mM	0.0386 mL	0.1928 mL	0.3856 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

Borthwick AD, et al. Pyridyl-2,5-diketopiperazines as potent, selective, and orally bioavailable oxytocin antagonists: synthesis, pharmacokinetics, and in vivo potency. J Med Chem. 2012 Jan 26;55(2):783-96.

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