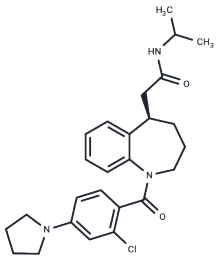
Data Sheet (Cat.No.T28257)

TargetMol

OPC-51803

Chemical Properties



CAS No. : 192514-54-8

Formula: C26H32ClN3O2

Molecular Weight: 454

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	OPC-51803 is an orally available nonapeptidylpressor (AVP) V(2) receptor selective agonist for the treatment of urinary incontinence and nocturia.
Targets(IC50)	Vasopressin Receptor
In vitro	OPC-51803 and dDAVP displaced [(3)H]-AVP binding to human V(2)- and V(1a)-receptors with K(i) values of 91.9+/-10.8 nM (n = 6) and 3.12+/-0.38 nM (n = 6) for V(2)-receptors, and 819+/-39 nM (n = 6) and 41.5+/-9.9 nM (n = 6) for V(1a)-receptors, indicating that OPC-51803 was about nine times more selective for V(2)-receptors, similar to the selectivity of dDAVP.[5] OPC-51803 concentration-dependently increased cyclic adenosine 3', 5'-monophosphate (cyclic AMP) production in HeLa cells expressing human V(2)-receptors with an EC(50) value of 189+/-14 nM (n = 6).[5]
In vivo	OPC-51803 shows antidiuretic action in dogs. OPC-51803 (0.03 to 0.3 mg/kg; oral) decreased urine volume and increased urinary osmolality in a dose-dependent manner in water-loaded dogs.[4] OPC-51803 infusions (0.3 and 3 microg x kg(-1) x min(-1); Intravenous) did not affect renal or systemic hemodynamics in anesthetized dogs.[4]

Solubility Information

Solubility	DMSO: 45 mg/mL (99.12 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: 2 mg/mL (4.41 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	2.2026 mL	11.0132 mL	22.0264 mL
5 mM	0.4405 mL	2.2026 mL	4.4053 mL
10 mM	0.2203 mL	1.1013 mL	2.2026 mL
50 mM	0.0441 mL	0.2203 mL	0.4405 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

Nakamura S, et al. Antidiuretic effects of a nonpeptide vasopressin V(2)-receptor agonist, OPC-51803, administered orally to rats. J Pharmacol Exp Ther. 2000 Dec;295(3):1005-11.
Nakamura S, et al. Effects of OPC-51803, a novel, nonpeptide vasopressin V2-receptor agonist, on micturition frequency in Brattleboro and aged rats. J Pharmacol Sci. 2003 Dec;93(4):484-8.
Mishra S, et al. Enantioselective Alkyne Conjugate Addition Enabled by Readily Tuned Atropisomeric P,N-Ligands. J Am Chem Soc. 2017 Feb 21.
Nakamura S, et al. Antidiuretic effects of a novel nonpeptide vasopressin V(2)-receptor agonist, OPC-51803, administered orally to dogs. J Pharmacol Sci. 2004 Apr;94(4):426-33.
Nakamura S, et al. Characterization of a novel nonpeptide vasopressin V(2)-agonist, OPC-51803, in cells transfected human vasopressin receptor subtypes. Br J Pharmacol. 2000;129(8):1700-1706.

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