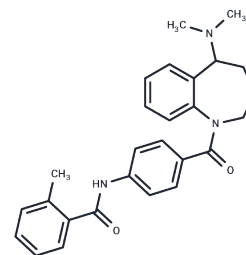


## Mozavaptan

## Chemical Properties

CAS No. :	137975-06-5
Formula:	C <sub>27</sub> H <sub>29</sub> N <sub>3</sub> O <sub>2</sub>
Molecular Weight:	427.54
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	Mozavaptan (OPC-31260) is a competitive antagonist of vasopressin receptors, targeting both V1 and V2 receptors, with IC <sub>50</sub> values of 1.2 μM and 14 nM, respectively.
Targets(IC <sub>50</sub> )	Vasopressin Receptor
In vitro	Mozavaptan (OPC-31260) is a nonpeptide, orally effective competitive inhibitor of AVP with a V <sub>2</sub> :V <sub>1</sub> receptor selectivity ratio of 25:1 indicating relative V <sub>2</sub> receptor selectivity. [1] Mozavaptan (OPC-31260) inhibits AVP binding to V <sub>1</sub> and V <sub>2</sub> 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 [ <sup>3</sup> H]-AVP with or without excess (1 μM) unlabelled AVP to obtain a saturation curve. To investigate whether mozavaptan interacts competitively or noncompetitively, the saturation binding of [ <sup>3</sup> H]-AVP is examined in the absence and presence of mozavaptan at concentrations of 0.3 μM and 1 μM 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

Solubility	DMSO: 33.33 mg/mL (77.96 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 3.33 mg/mL (7.79 mM), Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.33 mg/mL (7.79 mM), Solution. <i>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.</i>

### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
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

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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

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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