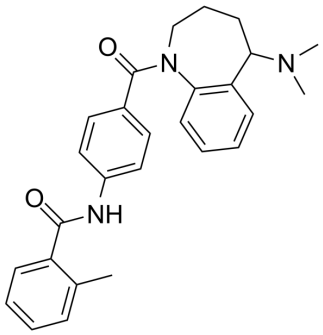
Mozavaptan



Cat. No.:	HY-18346
CAS No.:	137975-06-5
Molecular Formula:	$C_{27}H_{29}N_3O_2$
Molecular Weight:	427.54
Target:	Vasopressin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.2 mg/mL (14.50 mM; Need warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3390 mL	11.6948 mL	23.3896 mL
	5 mM	0.4678 mL	2.3390 mL	4.6779 mL
	10 mM	0.2339 mL	1.1695 mL	2.3390 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Mozavaptan (OPC-31260) is a benzazepine derivative and a potent, selective, competitive and orally active vasopressin V_2 receptor antagonist with an IC_{50} of 14 nM. Mozavaptan shows ~85-fold selectivity for V_2 receptor over V_1 receptor (IC_{50} of 1.2 μM), and can antagonize the antidiuretic action of arginine vasopressin (AVP) in vivo. Mozavaptan has the potential for hyponatremia, syndrome of inappropriate antidiuretic hormone (SIADH), and congestive heart failure treatment[1][2].

IC_{50} & Target

IC50: 14 nM (Vasopressin V_2 receptor); 1.2 μM (Vasopressin V_1 receptor)[1]

In Vitro

Mozavaptan (OPC-31260) inhibits AVP binding to binding to rat liver (V1 receptor) and kidney (V2 receptor) plasma membranes in a competitive manner and that it is about 100 times more selective for V2 receptors. K_d value for [3H]-AVP in rat liver is 1.1 nM; in rat kidney is 1.38 nM. The K_d of [3H]-AVP is reduced significantly in both rat liver and kidney in the presence of Mozavaptan (K_d of 2.47 nM and 5.51 nM for V1 receptor at the doses of 0.3 μM and 1 μM.respectively; K_d of 2.4 nM and 4.03 nM for V2 receptor at the doses of 0.3 μM and 1 μM.respectively)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Mozavaptan (OPC-31260; 1-30 mg/kg; oral administration; hydrated conscious rats) treatment dose-dependently increases

urine flow and decreased urine osmolality[1].
Mozavaptan (OPC-31260; 10-100 μg/kg; intravenous injection; male Sprague-Dawley rats) treatment inhibits the antidiuretic action of exogenously administered arginine vasopressin (AVP) in water-loaded, alcohol-anaesthetized rats in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Hydrated conscious rats (300-350 g)[1]
Dosage:	1 mg/kg, 3 mg/kg, 10 mg/kg, 30 mg/kg
Administration:	Oral administration
Result:	Dose-dependently increased urine flow and decreased urine osmolality.

CUSTOMER VALIDATION

- Eur J Pharmacol. 2020 Aug 5;880:173157.

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REFERENCES

[1]. Yamamura Y, et al. Characterization of a novel aquaretic agent, OPC-31260, as an orally effective, nonpeptide vasopressin V2 receptor antagonist. Br J Pharmacol. 1992 Apr;105(4):787-91.

[2]. Yamaguchi K, et al. Clinical implication of the antidiuretic hormone (ADH) receptor antagonist mozavaptan hydrochloride in patients with ectopic ADH syndrome. Jpn J Clin Oncol. 2011 Jan;41(1):148-52.

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