Mozavaptan hydrochloride

Cat. No.: HY-123593

CAS No.: 138470-70-9

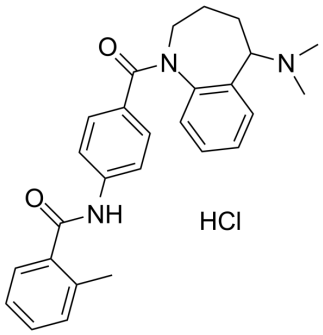
Molecular Formula: $C_{27}H_{30}ClN_3O_2$

Molecular Weight: 464

Target: Vasopressin Receptor

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20.83 mg/mL (44.89 mM; Need ultrasonic)
H_2O : 10 mg/mL (21.55 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1552 mL	10.7759 mL	21.5517 mL
	5 mM	0.4310 mL	2.1552 mL	4.3103 mL
	10 mM	0.2155 mL	1.0776 mL	2.1552 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.48 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mozavaptan hydrochloride (OPC-31260 hydrochloride) 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 hydrochloride 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 hydrochloride 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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