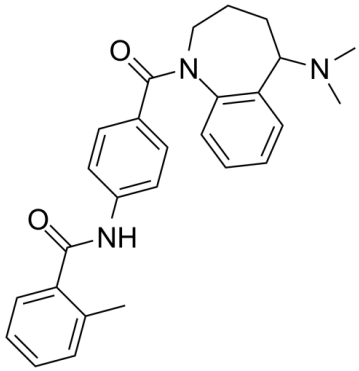
Data Sheet

Product Name:	Mozavaptan
Cat. No.:	CS-6286
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
Solubility:	DMSO : 6.2 mg/mL (14.50 mM; Need warming)



BIOLOGICAL ACTIVITY:

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]. IC50 & 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [1]To determine binding kinetic constants, liver or kidney plasma membranes are incubated with increasing concentrations of [^{3}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 [^{3}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]. **Animal Administration:** [1] Rats: Mozavaptan is dissolved in DMSO at a concentration of 10 mM and diluted with assay buffer. Female Brattleboro rats homozygous for hypothalamic diabetes insipidus and weighing between 180 and 280g are used. Mozavaptan (30 mg/kg) and vehicle (5% gum arabic) are administered orally in a volume of 2 mL/kg and d(CH2)5Tyr(Et)VAVP (10pgkg-1) is administered in a volume of 1 mL/kg. Spontaneously voided urine is collected for 6h with metabolic cages. Both before and during the study, the rats received water and food ad libitum[1].

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.

CAIndexNames:

Benzamide, N-[4-[[5-(dimethylamino)-2,3,4,5-tetrahydro-1H-1-benzazepin-1-yl]carbonyl]phenyl]-2-methyl-

SMILES:

CC1=CC=CC=C1C(NC2=CC=C(C(N3C(C=CC=C4)=C4C(N(C)C)CCC3)=O)C=C2)=O

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