

Product Data Sheet

Product Name: Mozavaptan

Cat. No.: GC14880

Chemical Properties

Cas. No. 137975-06-5

Chemical Name N-[4-[5-(dimethylamino)-2,3,4,5-tetrahydro-1-benzazepine-1-carbonyl]phenyl]-2-methylbenzamide

SMILES CC1=CC=CC=C1C(=O)NC2=CC=C(C=C2)C(=O)N3CCCC(C4=CC=CC=C43)N(C)C

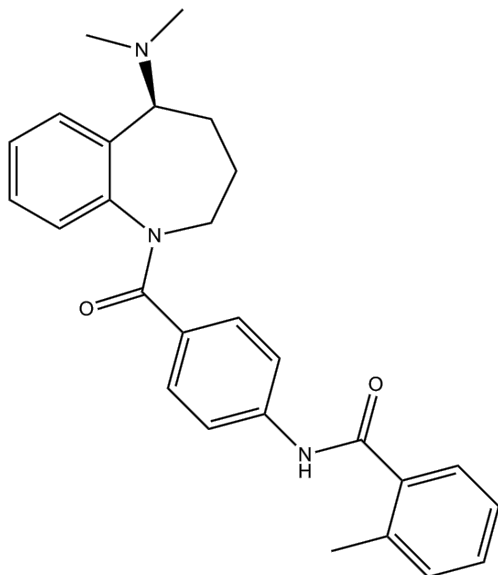
Formula $C_{27}H_{29}N_3O_2$ M.Wt 427.54

Solubility DMSO : 6.2 mg/mL (14.50 mM; Need warming) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Kinase experiment: To determine binding kinetic constants, liver or kidney plasma membranes are incubated with increasing concentrations of [3H]-AVP with or without excess (1 μ M) unlabelled AVP to obtain a saturation curve. To investigate whether mozavaptan interacts competitively or noncompetitively, the saturation binding of [3H]-AVP is examined in the absence and presence of mozavaptan at concentrations of 0.3 μ M and 1 μ M 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 experiment: 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)AVP (10pg/kg-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.

Background

Mozavaptan (OPC31260) is a orally effective, nonpeptide vasopressin V2 receptor antagonist with an IC₅₀ of 14 nM.

Mozavaptan causes a competitive displacement of [3H]-arginine vasopressin (AVP) binding to both V1 and V2 receptors with IC₅₀ values of 1.2 μ M and 14 nM, respectively. The K_d of [3H]-AVP is reduced significantly in both rat liver and kidney in the presence of mozavaptan (K_d=1.1 nM in liver, K_d=1.38 nM in kidney)[1].

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Mozavaptan at doses of 10 to 100 µg/kg, i.v., inhibits the antidiuretic action of exogenously administered arginine vasopressin in water-loaded, alcohol-anaesthetized rats in a dose-dependent manner. Mozavaptan does not exert an antidiuretic activity suggesting that it is not a partial V2 receptor agonist. Mozavaptan dose-dependently increases urine flow and decreases urine osmolality after oral administration at doses of 1 to 30 mg/kg in normal conscious rats[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.

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