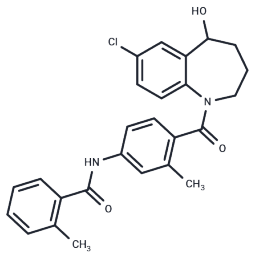
Data Sheet (Cat.No.T2326)

TargetMol

Tolvaptan

Chemical Properties



CAS No. : 150683-30-0

Formula: C26H25ClN2O3

Molecular Weight: 448.94

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	Tolvaptan (OPC-41061) is an orally active, selective, competitive vasopressin receptor 2 (V2R) antagonist (IC50 = 1.28 μM) that inhibits AVP-induced platelet aggregation. Tolvaptan is used in studies of hyponatremia.
Targets(IC50)	Vasopressin Receptor,Autophagy
In vitro	**Methods**: Human renal cyst cells from ADPKD kidney donors were cultured in a 3D matrix and pretreated with 10 μM GLPG2737, 10 μM Tolvaptan, or a combination of both. Cyst formation was then induced using moxoluthine, with medication changes every 3-4 days over a total period of 11-13 days. **Results**: All treatment groups exhibited inhibitory effects on capsaicin-induced cyst growth. [1] **Methods**: HCT-8 (colon cancer), HepG2 (liver cancer), and SK-N-AS (neuroblastoma) cells were treated with 30, 50, or 70 μM Tolvaptan for 48 hours, followed by CCK-8 assay for cell viability. **Results**: Tolvaptan concentration-dependently inhibited cell proliferation. [2]
In vivo	**Methods**: Pkd1RC/RC mouse model administered treatment from 3 weeks of age to 23 weeks of age (20 weeks total). Tolvaptan administered via daily morning gavage (75 mg/kg) + evening feed (0.1% w/w) to ensure 24-hour coverage. **Results**: Tolvaptan monotherapy improved total kidney volume and fibrosis. [1]
Kinase Assay	Cell-free autophosphorylation assay using time-resolved fluorometry: Neratinib is prepared as 10 mg/mL stocks in DMSO and diluted in 25 mM HEPES (pH 7.5; 0.002 ng/mL-20 μg/mL). Purified recombinant COOH-terminal fragments of HER2 (amino acids 676-1255) or epidermal growth factor receptor (EGFR) (amino acids 645-1186) [diluted in 100 mM HEPES (pH 7.5) and 50% glycerol] is incubated with increasing concentrations of Neratinib in 4 mM HEPES (pH 7.5), 0.4 mM MnCl2, 20 μM sodium vanadate, and 0.2 mM DTT for 15 minutes at room temperature in 96-well ELISA plates. The kinase reaction is initiated by the addition of 40 μM ATP and 20 mM MgCl2 and allowed to proceed for 1 hour at room temperature. Plates are washed, and phosphorylation is detected using Europium-labeled anti-phospho-tyrosine antibodies (15 ng/well). After washing and enhancement steps, signal is detected using a Victor2 fluorescence reader (excitation wavelength 340 nm, emission wavelength 615 nm). The concentration of Neratinib that inhibits receptor phosphorylation by 50% (IC50) is calculated from inhibition curves.

Solubility Information

Solubility	Ethanol: 4.5 mg/mL (10.02 mM),Sonication is recommended. DMSO: 240 mg/mL (534.59 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (11.14 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2275 mL	11.1373 mL	22.2747 mL
5 mM	0.4455 mL	2.2275 mL	4.4549 mL
10 mM	0.2227 mL	1.1137 mL	2.2275 mL
50 mM	0.0445 mL	0.2227 mL	0.4455 mL

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

Mondritzki T, et al. Cardiac output improvement by pecavaptan: a novel dual-acting vasopressin V1a/V2 receptor antagonist in experimental heart failure. Eur J Heart Fail. 2021 May;23(5):743-750.
Marroncini G, Anceschi C, Naldi L, Fibbi B, Baldanzi F, Maggi M, Peri A. The V2 receptor antagonist tolvaptan counteracts proliferation and invasivity in human cancer cells. J Endocrinol Invest. 2022 Sep;45(9):1693-1708.
Veeraveedu PT, et al. Biochem Pharmacol, 2008, 75(6), 1322-1330.