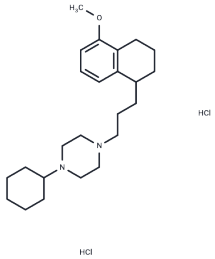
Data Sheet (Cat.No.T23122)

TargetMol

PB28 dihydrochloride

Chemical Properties



CAS No. : 172907-03-8

Formula: C24H40Cl2N2O

Molecular Weight: 443.49

Storage: Store at low temperature
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	PB28 dihydrochloride is a selective and potent sigma 2 (σ2) receptor agonist and σ1 receptor antagonist with anti-SARS-CoV-2 activity and anti-tumor activity, which inhibits cell proliferation and invasion and suppresses SKF by modulating the PI3K-AKT-mTOR signaling pathway in renal cancer. It inhibits cell proliferation and invasion by regulating the PI3K-AKT-mTOR signaling pathway in renal cancer, inhibits calcium release from the endoplasmic reticulum of SK-N-SH neuroblastoma cells, and induces non-caspase-dependent apoptosis.
Targets(IC50)	Apoptosis,SARS-CoV,Sigma receptor
In vitro	PB28 dihydrochloride has a higher affinity for the σ2 receptor, with Ki values of 0.28 nM and 0.17 nM in MCF7 and MCF7 ADR cells, respectively.Accumulation of the two types of cells in the G0-G1 phase was observed after treatment of MCF7 and MCF7 ADR cells for 24-48 h at concentrations of 15-25 nM, an effect that was not affected by time and concentration changes. and concentration changes. After 2 days of incubation, PB28 dihydrochloride effectively inhibited the growth of MCF7 and MCF7 ADR cells with IC50s of 25 nM and 15 nM, respectively.This compound triggers apoptosis through a non-cysteoaspartase-dependent pathway. In addition, PB28 dihydrochloride significantly reduced P-gp expression in a concentration- and time-dependent manner by approximately 60% in MCF7 cells and 90% in MCF7 ADR cells. PB28 dihydrochloride also exhibited significant antiproliferative toxicity in the C6 rat glioma cell line and the SK-N-SH human neuroblastoma cell line. [1]
In vivo	PB28 dihydrochloride was injected daily intraperitoneally at a concentration of 10.7 mg/mL for two weeks into C57BL/6 female mice and was shown to be effective in inhibiting tumor growth in Panc02 tumor-loaded mice. In addition, PB28 dihydrochloride significantly increased the survival rate of mice. [2]

Solubility Information

Solubility	DMSO: 4 mg/mL (9.02 mM),Sonication is recommended. H2O: 5 mg/mL (11.27 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: 1 mg/mL (2.25 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	2.2548 mL	11.2742 mL	22.5484 mL
5 mM	0.451 mL	2.2548 mL	4.5097 mL
10 mM	0.2255 mL	1.1274 mL	2.2548 mL
50 mM	0.0451 mL	0.2255 mL	0.451 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

Azzariti A, et al. Cyclohexylpiperazine derivative PB28, a sigma2 agonist and sigma1 antagonist receptor, inhibits cell growth, modulates P-glycoprotein, and synergizes with anthracyclines in breast cancer. Mol Cancer Ther. 2006 Jul;5(7):1807-16.

Pati ML, et al. Sigma-2 receptor agonist derivatives of 1-Cyclohexyl-4-[3-(5-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)propyl]piperazine (PB28) induce cell death via mitochondrial superoxide production and caspase activation in pancreatic cancer. BMC Cancer. 2017 Jan 13;17(1):51.

Colabufo NA, et al. A new method for evaluating sigma(2) ligand activity in the isolated guinea-pig bladder. Naunyn Schmiedebergs Arch Pharmacol. 2003 Aug;368(2):106-12.

Berardi F, et al. Exploring the importance of piperazine N-atoms for sigma(2) receptor affinity and activity in a series of analogs of 1-cyclohexyl-4-[3-(5-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)propyl]piperazine (PB28). J Med Chem. 2009 Dec 10;52(23):7817-28.

Gordon DE, et al. A SARS-CoV-2-Human Protein-Protein Interaction Map Reveals Drug Targets and Potential Drug-Repurposing. bioRxiv [Preprint]. 2020 Mar 27:2020.03.22.002386.