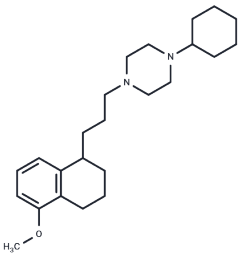
Data Sheet (Cat.No.T39176)

TargetMol

PB28

Chemical Properties



CAS No. : 172906-90-0

Formula: C24H38N2O

Molecular Weight: 370.581

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	PB28 is a cyclohexylpiperazine derivative that functions as a potent and highly selective agonist of the sigma 2 (σ2) receptor, exhibiting a Ki (binding affinity) of 0.68 nM. At the same time, PB28 acts as an antagonist of the sigma 1 (σ1) receptor, with a Ki of 0.38 nM. PB28 demonstrates a lower affinity for other receptors. It effectively inhibits electrically evoked twitch in both the guinea pig bladder (EC50 value of 2.62 μM) and ileum (EC50 value of 3.96 μM). Moreover, PB28 exhibits the ability to modulate protein-protein interaction between SARS-CoV-2 and human cells. Additionally, PB28 triggers caspase-independent apoptosis and possesses significant antitumor activity.
Targets(IC50)	Apoptosis,Others,SARS-CoV,Sigma receptor
In vitro	PB28 treatment at concentrations of 15-25 nM for 24-48 hours on MCF7 and MCF7 ADR cells results in G0-G1 phase accumulation in a manner that is both time and concentration independent[1]. This compound exhibits greater affinity for the σ2 receptor (with K i values of 0.28 nM for MCF7 cells and 0.17 nM for MCF7 ADR cells) compared to the σ1 receptor (13.0 nM for MCF7 cells and 10.0 nM for MCF7 ADR cells)[1]. Moreover, PB28 effectively inhibits cell growth in these cell lines, showing IC50s of 25 nM for MCF7 and 15 nM for MCF7 ADR cells after a 2-day treatment[1]. It triggers apoptosis via a caspase-independent pathway and significantly downregulates P-glycoprotein (P-gp) expression in a concentration- and time-dependent manner, with reductions around 60% for MCF7 and 90% for MCF7 ADR cells[1]. Additionally, PB28 exhibits both antiproliferative and cytotoxic effects in C6 rat glioma and SK-N-SH human neuroblastoma cell lines[1]. Cell cycle analysis further corroborates the G0-G1 phase accumulation in MCF7 and MCF7 ADR cells at 25 nM and 15 nM concentrations, respectively, over 24 and 48 hours, highlighting the treatment's independence from time and concentration[1].
In vivo	PB28 administration (10.7 mg/mL; intraperitoneal injection; daily; for two weeks) in C57BL/6 female mice, aged 10 weeks and injected with Panc02 cells, inhibits tumor growth and confers a survival advantage in mice with a Panc02 tumor burden.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6985 mL	13.4924 mL	26.9847 mL
5 mM	0.5397 mL	2.6985 mL	5.3969 mL
10 mM	0.2698 mL	1.3492 mL	2.6985 mL
50 mM	0.054 mL	0.2698 mL	0.5397 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

Amalia Azzariti, 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.

Maria Laura Pati, 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.

Nicola A Colabufo, 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.

Francesco Berardi, 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.

David E Gordon, et al. A SARS-CoV-2-Human Protein-Protein Interaction Map Reveals Drug Targets and Potential Drug-Repurposing. bioRxiv. 2020 Mar 22;2020.03.22.002386.