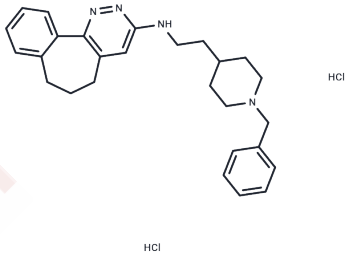
Data Sheet (Cat.No.T16443)

TargetMol

PCS1055 dihydrochloride

Chemical Properties



CAS No. :	361979-40-0
Formula:	C27H34Cl2N4
Molecular Weight:	485.49
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	PCS1055 dihydrochloride is an effective, selective, and competitive muscarinic M4 receptor antagonist (IC50: 18.1 nM and a Kd: 5.72 nM). PCS1055 dihydrochloride is also a potent AChE inhibitor (IC50 s: 22 nM and 120 nM for electric eel and human AChE, respectively). PCS1055 dihydrochloride inhibits radioligand [3H]-NMS binding to the M4 receptor (Ki: 6.5 nM). PCS1055 dihydrochloride shows >100-fold selectivity over M1-, M3-, and M5-receptors and 30-fold selectivity at the M2 receptor.
Targets(IC50)	Others,AChR,Cholinesterase (ChE)
In vitro	PCS1055 effectively inhibits G protein activation in a concentration-dependent manner, demonstrating its highest potency at M4 receptors. Research indicates that PCS1055 shows a pronounced binding and functional preference for the M4 receptor subtype, surpassing its affinity and effectiveness for M1-, M2-, M3-, and M5 receptors by 130-, 31.2-, 426-, and >1000-fold, and 255-, 69.1-, 342-, and >1000-fold, respectively. Furthermore, PCS1055 counteracts functional signal transduction, evidenced by its ability to inhibit agonist-stimulated GTP-γ-[35S] binding, showcasing its antagonistic properties.
In vivo	PCS1055 (30 mg/kg; intraperitoneal injection; male mice) treatment achieves peak plasma levels at 30 minutes, with total and unbound plasma concentrations of 45100 nM and 631 nM, respectively. At 1 hour, maximal brain exposure of the compound is observed at 11.8 nM [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0598 mL	10.2989 mL	20.5977 mL
5 mM	0.412 mL	2.0598 mL	4.1195 mL
10 mM	0.206 mL	1.0299 mL	2.0598 mL
50 mM	0.0412 mL	0.206 mL	0.412 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

Croy CH, et al. Characterization of PCS1055, a novel muscarinic M4 receptor antagonist. Eur J Pharmacol. 2016 Jul 5;782:70-6.

Contreras JM, et al. Design, synthesis, and structure-activity relationships of a series of 3-[2-(1-benzylpiperidin-4-yl)ethylamino]pyridazine derivatives as acetylcholinesterase inhibitors. J Med Chem. 2001 Aug 16;44(17):2707-18.