

Product Data Sheet

Product Name: PCS1055 dihydrochloride

Cat. No.: GF04896

Chemical Properties

Cas. No. 361979-40-0

Formula C₂₇H₃₂N₄ M.Wt 485.49

Solubility DMSO : 100 mg/mL (205.98 mM; Need ultrasonic) Storage 2-8°C, sealed storage, away from moisture

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.

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

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Structure



Background

PCS1055 dihydrochloride is a potent, selective and competitive muscarinic M4 receptor antagonist with an IC₅₀ of 18.1 nM and a K_d of 5.72 nM. PCS1055 dihydrochloride inhibits radioligand [3H]-NMS binding to the M4 receptor with a K_i of 6.5 nM. PCS1055 dihydrochloride exhibits >100-fold selectivity over M1-, M3-, and M5-receptors and 30-fold selectivity at the M2 receptor. PCS1055 dihydrochloride is also a potent AChE inhibitor with IC₅₀ s of 22 nM and 120 nM for electric eel and human AChE, respectively.

PCS1055 also antagonized functional signal transduction as demonstrates by the inhibition of agonist-stimulated GTP-γ-[³⁵S] binding. PCS1055 inhibits G protein activation in a concentration dependent manner, with the highest potency at the M4 receptors. Both studies shows that PCS1055 is most potent at the M4 receptor subtype with a binding preference of 130-, 31.2-, 426- and >1000-fold, and functional preference of 255-, 69.1-, 342- and >1000-fold over the M1-, M2-, M3- and M5

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receptors, respectively^[1].

PCS1055 (30 mg/kg; intraperitoneal injection; male mice) treatment shows the maximal plasma levels at the 30 min time-point with 45100 nM total and 631nM unbound plasma concentrations. The maximal compound exposure observed in the brain is 11.8 nM at 1 h^[1].

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

[2]. 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.

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