# PCS1055 dihydrochloride

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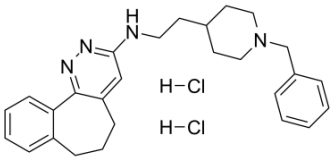
| **Cat. No.:** | HY-122203 |
| **CAS No.:** | 361979-40-0 |
| **Molecular Formula:** | $C_{27}H_{34}Cl_2N_4$ |
| **Molecular Weight:** | 485.49 |
| **Target:** | mAChR; AChE |
| **Pathway:** | GPCR/G Protein; Neuronal Signaling |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |




## BIOLOGICAL ACTIVITY

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| **Description** | PCS1055 dihydrochloride is a potent, selective and competitive muscarinic M4 receptor antagonist with an $IC_{50}$ of 18.1 nM and a $K_d$ of 5.72 nM. PCS1055 dihydrochloride inhibits radioligand [$^3$H]-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 $IC_{50}$ s of 22 nM and 120 nM for electric eel and human AChE, respectively[1][2]. |
| **$IC_{50}$ & Target** | IC50: 18.1 nM (Muscarinic M4 receptor); Kd: 5.72 nM (Muscarinic M4 receptor)[1];<br>IC50: 22 nM (Electric eel AChE) and 120 nM (Human AChE)[2] |
| **In Vitro** | PCS1055 also antagonized functional signal transduction as demonstrates by the inhibition of agonist-stimulated GTP-γ-[$^{35}$S] 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 receptors, respectively[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | 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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

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| Animal Model: | Male mice[1] |
| Dosage: | 30 mg/kg |
| Administration: | Intraperitoneal injection (Pharmacokinetic Analysis) |
| Result: | The maximal plasma levels were observed at the 30 min time-point with 45100 nM total and 631nM unbound plasma concentrations. The maximal compound exposure observed in the brain was 11.8 nM at 1 h. |

## REFERENCES

[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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