

CCR2 antagonist 4 hydrochloride

Chemical Properties

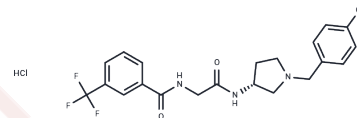
CAS No. : 1313730-14-1

Formula: C₂₁H₂₂Cl₂F₃N₃O₂

Molecular Weight: 476.32

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	CCR2 antagonist 4 hydrochloride is a specific CCR2 antagonist (IC ₅₀ s: 180 nM for CCR2b). It potently inhibits MCP-1-induced chemotaxis (IC ₅₀ : 24 nM).
Targets(IC ₅₀)	Others,CCR
In vitro	Ile263 and Thr292 in CCR2 significantly contribute to the binding of CCR2 antagonist 4. His121 on TM3 and Ile263 on TM6 also strongly interact with CCR2 antagonist 4 hydrochloride. Additionally, Glu291 in TM7 substantially impacts the binding of the protonated CCR2 antagonist 4 hydrochloride and CCL2 [2].
In vivo	In ApoE-deficient mice, CCR2 antagonist 4 hydrochloride reduces the mouse monocyte/macrophage cell line (RAW 264.7) adhesion/ infiltration into the aorta [3].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0994 mL	10.4971 mL	20.9943 mL
5 mM	0.4199 mL	2.0994 mL	4.1989 mL
10 mM	0.2099 mL	1.0497 mL	2.0994 mL
50 mM	0.042 mL	0.2099 mL	0.4199 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

Moree WJ, et al. Potent antagonists of the CCR2b receptor. Part 3: SAR of the (R)-3-aminopyrrolidine series. *Bioorg Med Chem Lett*. 2008 Mar 15;18(6):1869-73.

Hall SE, et al. Elucidation of binding sites of dual antagonists in the human chemokine receptors CCR2 and CCR5. *Mol Pharmacol*. 2009 Jun;75(6):1325-36.

Calin M, et al. VCAM-1 directed target-sensitive liposomes carrying CCR2 antagonists bind to activated endothelium and reduce adhesion and transmigration of monocytes. *Eur J Pharm Biopharm*. 2015 Jan;89:18-29.

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