CCR2 antagonist 4 hydrochloride

Cat. No.: HY-103362

CAS No.: 1313730-14-1

Molecular Formula: $C_{21}H_{22}Cl_2F_3N_3O_2$

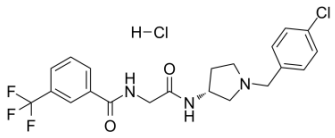
Molecular Weight: 476.32

Target: CCR

Pathway: GPCR/G Protein; Immunology/Inflammation

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (524.86 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCR2 antagonist 4 hydrochloride (Teijin compound 1 hydrochloride) is a potent and specific CCR2 antagonist, with IC_{50}s of 180 nM for CCR2b. CCR2 antagonist 4 hydrochloride potently inhibits MCP-1-induced chemotaxis with an IC_{50} of 24 nM[1].

IC_{50} & Target

CCR2b
180 nM (IC_{50})

In Vitro

Ile263 and Thr292 in CCR2 contribute significantly to binding of CCR2 antagonist 4 in CCR2. Residue Glu291 in TM7, a highly

	conserved residue in many CC chemokine receptors, contributes substantially to binding of the protonated CCR2 antagonist 4 hydrochloride, and CCL2. His121 on TM3 and Ile263 on TM6 also strongly interact with CCR2 antagonist 4 hydrochloride[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In ApoE-deficient mice, Vp-TSL targets specifically aortic plaque endothelial VCAM-1 and CCR2 antagonist 4 hydrochloride reduces the mouse monocyte/macrophage cell line (RAW 264.7) adhesion/ infiltration into the aorta[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Inflamm Res. 2021 Apr 12;14:1375-1385.

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REFERENCES

[1]. 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.

[2]. 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.

[3]. 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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