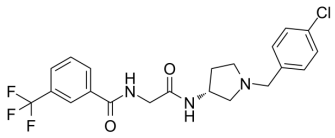
CCR2 antagonist 4

Cat. No.:	HY-108323
CAS No.:	226226-39-7
Molecular Formula:	$C_{21}H_{21}ClF_3N_3O_2$
Molecular Weight:	439.86
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 : ≥ 50 mg/mL (113.67 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2735 mL	11.3673 mL	22.7345 mL
	5 mM	0.4547 mL	2.2735 mL	4.5469 mL
	10 mM	0.2273 mL	1.1367 mL	2.2735 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: ≥ 2.5 mg/mL (5.68 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.68 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCR2 antagonist 4 (Teijin compound 1) is a potent and specific CCR2 antagonist, with IC_{50}s of 180 nM for CCR2b. CCR2 antagonist 4 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 Teijin compound 1 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, and CCL2. His121 on TM3 and Ile263 on TM6 also strongly interact with CCR2 antagonist 4[2]. In ApoE-deficient mice, Vp-TSL targets specifically aortic plaque endothelial VCAM-1 and CCR2 antagonist 4 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

- Stem Cell Res Ther. 2022 Jun 11;13(1):247.
- FASEB J. 2023 Aug;37(8):e23039.
- 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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