# CCR2 antagonist 4 hydrochloride

**Cat. No.:** HY-103362

**CAS No.:** 1313730-14-1

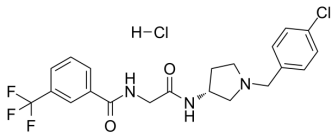
**Molecular Formula:** $C_{21}H_{22}Cl_2F_3N_3O_2$

**Molecular Weight:** 476

**Target:** CCR

**Pathway:** GPCR/G Protein; Immunology/Inflammation

**Storage:** 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 250 mg/mL (525.21 mM; Need ultrasonic)
Methanol : 125 mg/mL (262.61 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Concentration \ Solvent \ Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.1008 mL | 10.5042 mL | 21.0084 mL |
| 5 mM | 0.4202 mL | 2.1008 mL | 4.2017 mL |
| 10 mM | 0.2101 mL | 1.0504 mL | 2.1008 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].<br>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].<br>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.

See more customer validations on www.MedChemExpress.com

## 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.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA