CCR2-RA-[R]

Cat. No.: HY-50081

CAS No.: 512177-83-2

Molecular Formula: $C_{18}H_{19}ClFNO_3$

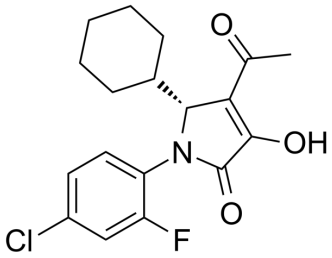
Molecular Weight: 351.8

Target: CCR

Pathway: GPCR/G Protein; Immunology/Inflammation

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 37.5 mg/mL (106.59 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8425 mL	14.2126 mL	28.4252 mL
	5 mM	0.5685 mL	2.8425 mL	5.6850 mL
	10 mM	0.2843 mL	1.4213 mL	2.8425 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: ≥ 3.75 mg/mL (10.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.75 mg/mL (10.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCR2-RA-[R] is an allosteric antagonist of the C-C chemokine receptor type 2 (CCR2) with an IC_{50} of 103 nM.

IC_{50} & Target

CCR2
103 nM (IC_{50}, in U2OS-CCR2 cells)

In Vitro

The chemokine receptor CCR2 is a G protein-coupled receptor that is involved in many diseases characterized by chronic inflammation, and therefore a large variety of CCR2 small molecule antagonists has been developed. CCR2-RA-[R] displaces [^{125}I]CCL2 from CCR2 with an pIC_{50} value of 6.1. The pK_D of CCR2-RA-[R] for CCR2 and CCR5 is 8.8±0.1 and 7.0±0.1, respectively[2]. CCR2-RA-[R] inhibits CCR2 non-competitively by blocking activation-associated conformational changes and formation of the G protein-binding interface. The binding pocket of CCR2-RA-[R] is highly enclosed and possesses a balanced

combination of hydrophobic and polar features, all of which favors pocket “druggability”[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Ethnopharmacol. 2019 Jun 28;238:111878.
- J Cell Mol Med. 2020 Sep;24(18):10604-10614.
- J Biochem. 2023 Nov 8:mvad086.
- Research Square Preprint. 2021 Oct.

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REFERENCES

[1]. Zweemer AJ, et al. Multiple binding sites for small-molecule antagonists at the CC chemokine receptor 2. Mol Pharmacol. 2013 Oct;84(4):551-61.

[2]. Zweemer AJ, et al. Discovery and mapping of an intracellular antagonist binding site at the chemokine receptor CCR2. Mol Pharmacol. 2014 Oct;86(4):358-68.

[3]. Zheng Y, et al. Structure of CC chemokine receptor 2 with orthosteric and allosteric antagonists. Nature. 2016 Dec 15;540(7633):458-461.

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