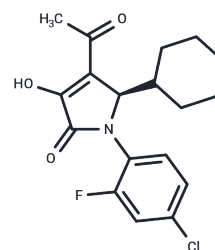


CCR2-RA-[R]

Chemical Properties

CAS No. :	512177-83-2
Formula:	C ₁₈ H ₁₉ ClFNO ₃
Molecular Weight:	351.8
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	CCR2-RA-[R] is a C-C chemokine receptor type 2 (CCR2) allosteric antagonist (IC ₅₀ : 103 nM).
Targets(IC ₅₀)	CCR
In vitro	CCR2-RA-[R] inhibits CCR2 non-competitively by blocking activation-associated conformational changes and formation of the G protein-binding interface. CCR2-RA-[R] displaces [125I]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]. 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. 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].

Solubility Information

Solubility	H ₂ O: < 0.1 mg/mL (insoluble), DMSO: 125 mg/mL (355.32 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8425 mL	14.2126 mL	28.4252 mL
5 mM	0.5685 mL	2.8425 mL	5.685 mL
10 mM	0.2843 mL	1.4213 mL	2.8425 mL
50 mM	0.0569 mL	0.2843 mL	0.5685 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.

Reference

- 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.
- 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.
- 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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