PRODUCT INFORMATION



CCR2-RA-[R]

Item No. 28423

CAS Registry No.: 512177-83-2

Formal Name: (5R)-4-acetyl-1-(4-chloro-2-

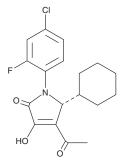
> fluorophenyl)-5-cyclohexyl-1,5dihydro-3-hydroxy-2H-pyrrol-2-one

MF: C₁₈H₁₉CIFNO₃

351.8 FW: ≥95% **Purity:** UV/Vis.: λ_{max} : 273 nm Supplied as: A crystalline solid

Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

CCR2-RA-[R] is supplied as a crystalline solid. A stock solution may be made by dissolving the CCR2-RA-[R] in the solvent of choice, which should be purged with an inert gas. CCR2-RA-[R] is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CCR2-RA-[R] in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

CCR2-RA-[R] is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CCR2-RA-[R] should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CCR2-RA-[R] has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CCR2-RA-[R] is an allosteric antagonist of chemokine (C-C motif) receptor 2 (CCR2; IC₅₀ = 103 nM).¹⁻³ It inhibits [35S]GTPγS binding and β-arrestin recruitment induced by chemokine (C-C motif) ligand 2 (CCL2) in U2OS membranes and cells, respectively, expressing CCR2 (IC_{50} S = 24 and 25 nM, respectively).

References

- 1. Zweemer, A.J.M., Nederpelt, I., Vrieling, H., et al. Multiple binding sites for small-molecule antagonists at the CC chemokine receptor 2. Mol. Pharm. 84(4), 551-561 (2013).
- Zweemer, A.J.M., Bunnik, J., Veenhuizen, M., et al. Discovery and mapping of an intracellular antagonist binding site at the chemokine receptor CCR2. Mol. Pharmacol. 86(4), 358-368 (2014).
- Zheng, Y., Qin, L., Zacarias, N.V.O., et al. Structure of CC chemokine receptor 2 with orthosteric and allosteric antagonists. Nature 540(7633), 458-461 (2016).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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