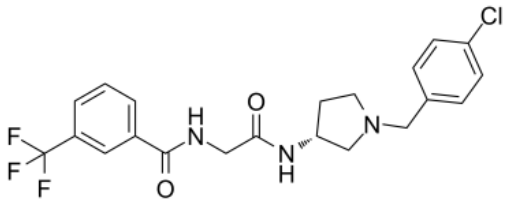
Product Data Sheet

Product Name: CCR2 antagonist 4
Cat. No.: GC60679

Chemical Properties

Cas. No.	226226-39-7		
SMILES	O=C(NCC(N[C@H]1CN(CC2=CC=C(Cl)C=C2)CC1)=O)C3=CC=CC(C(F)(F)F)=C3		
Formula	$C_{21}H_{21}ClF_3N_3O_2$	M.Wt	439.86
Solubility	DMSO: ≥ 50 mg/mL (113.67 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

CCR2 antagonist 4 (Teijin compound 1) is a potent and specific CCR2 antagonist, with IC50s of 180 nM for CCR2b. CCR2 antagonist 4 potently inhibits MCP-1-induced chemotaxis with an IC50 of 24 nM[1].

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

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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].

[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.

Caution: Product has not been fully validated for medical applications. For research use only.
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