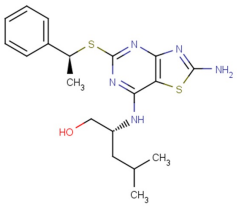
Data Sheet (Cat.No.T14384)

TargetMol
A DRUG SCREENING EXPERT

AZD8797

Chemical Properties



CAS No.:	911715-90-7
Formula:	C19H25N5OS2
Molecular Weight:	403.56
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	AZD8797 is an allosteric non-competitive modulator of the human CX3CR1 receptor. For antagonizes CX3CR1 and CXCR2, the Kis values are 3.9 and 2800 nM, respectively.
Targets(IC_{50})	[125I]-CX3CL1-CX3CR1: 3.9 nM (ki) (in HEK293S cells) 125I-IL-8-CXCR2: 2800 nM (ki) (in HEK293S cells)
In vitro	AZD8797 binds selectively with high affinity to human and rat CX3CR1 (Ki of hCX3CR1, 4 nM; Ki of rCX3CR1, 7 nM, respectively). AZD8797 also prevents G-protein activation in a [35S]GTPγS accumulation assay. AZD8797 positively modulates the CX3CL1 response at sub-micromolar concentrations in a β-arrestin recruitment assay. In a flow adhesion assay, AZD8797 antagonizes the natural ligand, fractalkine (CX3CL1), in both human whole blood (hWB) and in a B-lymphocyte cell line with IC50 values of 300 and 6 nM respectively. In equilibrium saturation binding experiments, AZD8797 reduces the maximal binding of 125I-CX3CL1 without affecting Kd[1]. The equilibrium dissociation constant, KB, demonstrates that AZD8797 is a very potent inhibitor for human CX3CR1 (10 nM). The potency is threefold lower for rat CX3CR1 (29 nM) and decreases even further at mouse CX3CR1 (54 nM)[2].
In vivo	AZD8797 treatment in Dark Agouti rats with myelin oligodendrocyte glycoprotein-induced EAE results in reduced paralysis, CNS pathology, and incidence of relapses. Which is effective when starting treatment before onset, as well as after the acute phase[2].

Solubility Information

Solubility	DMSO: 150 mg/mL (371.69 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.478 mL	12.39 mL	24.779 mL
5 mM	0.496 mL	2.478 mL	4.956 mL
10 mM	0.248 mL	1.239 mL	2.478 mL
50 mM	0.05 mL	0.248 mL	0.496 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Cederblad L, et al. AZD8797 is an allosteric non-competitive modulator of the human CX3CR1 receptor. Biochem J. 2016 Mar 1;473(5):641-9.

2. Ridderstad Wollberg A, et al. Pharmacological inhibition of the chemokine receptor CX3CR1 attenuates disease in a chronic-relapsing rat model for multiple sclerosis. Proc Natl Acad Sci U S A. 2014 Apr 8;111(14):5409-14.

3. Sofia Karlstro¨ et al. Substituted 7-amino-5-thio-thiazolo[4,5-d]pyrimidines as potent and selective antagonists of the fractalkine receptor (CX3CR1). J Med Chem. 2013 Apr 25;56(8):3177-90.

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