AZD8797

Cat. No.: HY-13848

CAS No.: 911715-90-7

Molecular Formula: $C_{19}H_{25}N_5OS_2$

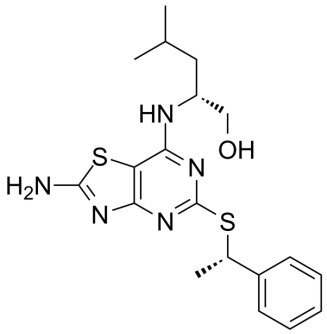
Molecular Weight: 403.56

Target: CX3CR1; CXCR

Pathway: Immunology/Inflammation; GPCR/G Protein

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 : ≥ 150 mg/mL (371.69 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4779 mL	12.3897 mL	24.7795 mL
	5 mM	0.4956 mL	2.4779 mL	4.9559 mL
	10 mM	0.2478 mL	1.2390 mL	2.4779 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 20% HP-β-CD in saline
   Solubility: 5 mg/mL (12.39 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.19 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZD8797 (KAND567) is an allosteric non-competitive and orally active antagonist of the human CX3CR1 receptor; antagonizes CX3CR1 and CXCR2 with K_is of 3.9 and 2800 nM, respectively[1].

IC_{50} & Target		
	CX3CR1	^{125}I-IL-8-CXCR2

	3.9 nM (Ki, ^{125}I-CX3CL-CX3CR1 in HEK293S cells)	2800 nM (Ki, in HEK293S cells)
In Vitro	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 IC_{50} values of 300 and 6 nM respectively. AZD8797 also prevents G-protein activation in a [^{35}S]GTPγS accumulation assay. AZD8797 positively modulates the CX3CL1 response at sub-micromolar concentrations in a β-arrestin recruitment assay. In equilibrium saturation binding experiments, AZD8797 reduces the maximal binding of 125I-CX3CL1 without affecting K_d[1]. AZD8797 binds selectively with high affinity to human and rat CX3CR1 (K_i of hCX3CR1, 4 nM; K_i of rCX3CR1, 7 nM, respectively). The equilibrium dissociation constant, K_B, 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	AZD8797 treatment in Dark Agouti rats with myelin oligodendrocyte glycoprotein-induced EAE results in reduced paralysis, CNS pathology, and incidence of relapses. The compound is effective when starting treatment before onset, as well as after the acute phase[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

PROTOCOL

Animal Administration [2]	Rats: AZD8797 is formulated in 30–35% (wt/wt) hydroxy-propyl-beta-cyklodextrin and administered s.c. through osmotic minipumps. Treatment is blinded to the operator. The plasma concentration of AZD8797 is analyzed twice from each rat[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Rep. 2023 Aug 13;42(8):112984.
- Cell Rep. 2021 Mar 23;34(12):108882.
- Cell Mol Life Sci. 2022 Apr 7;79(5):224.
- EMBO Rep. 2023 Jun 27;e55884.
- Front Immunol. 2022 Mar 10;13:805420.

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REFERENCES

[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.

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

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