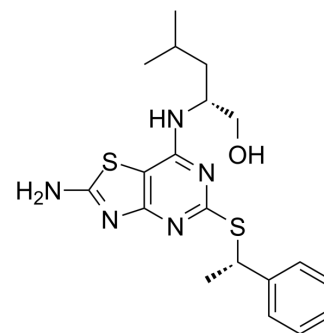


AZD8797

Cat. No.:	HY-13848		
CAS No.:	911715-90-7		
Molecular Formula:	C ₁₉ H ₂₅ N ₅ OS ₂		
Molecular Weight:	403.56		
Target:	Others		
Pathway:	Others		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 150 mg/mL (371.69 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		1 mg	5 mg	10 mg
	Concentration	Mass			
	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

- Add each solvent one by one: 20% HP-β-CD in saline
Solubility: 5 mg/mL (12.39 mM); Suspended solution; Need ultrasonic
- 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
- 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
- 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 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].

IC₅₀ & Target

CX3CR1

¹²⁵I-IL-8-CXCR2

	3.9 nM (K _i , ¹²⁵ I-CX3CL-CX3CR1 in HEK293S cells)	2800 nM (K _i , in HEK293S cells)
In Vitro	<p>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. 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 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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>	
In Vivo	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>	

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. 2021 Mar 23;34(12):108882.
- J Cancer. 2018 Sep 8;9(19):3603-3612.

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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 Karlström, 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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