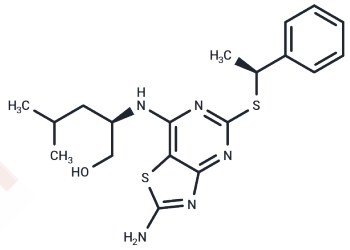
Data Sheet (Cat.No.T14384)

TargetMol

AZD8797

Chemical Properties



CAS No. : 911715-90-7

Formula: C19H25N5OS2

Molecular Weight: 403.56

Storage: Store at low temperature,Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	AZD8797 (KAND567) is an orally available, selective and potent human CX3CR1-converting antagonist with inhibitory effects on CX3CR1 and CXCR2, and potentially protects against SARS-CoV-2-induced neuronal damage, preventing worsening of nociceptive sensitization and microglial activation in a migraine model of rats following seizures.
Targets(IC50)	CXCR
In vitro	In a flow adhesion assay, AZD8797, with IC50 values of 300 nM in human whole blood (hWB) and 6 nM in a B-lymphocyte cell line, antagonizes the natural ligand fractalkine (CX3CL1). AZD8797 also prevents G-protein activation in a [35S]GTPγS accumulation assay and positively modulates the CX3CL1 response in a β-arrestin recruitment assay at sub-micromolar concentrations. In equilibrium saturation binding experiments, AZD8797 reduces the maximal binding of 125I-CX3CL1 without affecting Kd[1]. AZD8797 selectively and with high affinity binds to human and rat CX3CR1 (Ki of hCX3CR1, 4 nM; Ki of rCX3CR1, 7 nM, respectively). The equilibrium dissociation constant, KB, demonstrates that AZD8797 is a very potent inhibitor for human CX3CR1 (10 nM), with reduced potency for rat CX3CR1 (29 nM) and even lower for mouse CX3CR1 (54 nM)[3].
In vivo	Treatment with AZD8797 in Dark Agouti rats with myelin oligodendrocyte glycoprotein-induced EAE results in reduced paralysis, CNS pathology, and incidence of relapses. The compound is effective both when starting treatment before onset and after the acute phase[3].

Solubility Information

Solubility	DMSO: 50 mg/mL (123.9 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 5 mg/mL (12.39 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (12.39 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and*

In vivo Formulation	*used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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	1.239 mL	2.4779 mL
50 mM	0.0496 mL	0.2478 mL	0.4956 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
Sofia Karlströ, 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.
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.

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