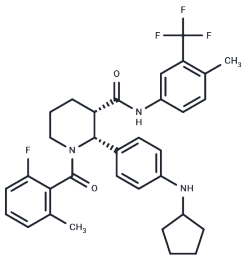
Data Sheet (Cat.No.T8223)

TargetMol

Avacopan

Chemical Properties



CAS No. : 1346623-17-3

Formula: C33H35F4N3O2

Molecular Weight: 581.64

Storage: Store at low temperature
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	Avacopan (CCX168) is a C5aR antagonist (IC50=0.1 nM) with selective and oral activity. Avacopan blocks the action of C5a and prevents the development of GN induced by anti-myeloperoxidase antibodies in a mouse model of AAV. Avacopan can be used to treat anti-neutrophil cytoplasmic antibody (ANCA)-related vasculitis.
Targets(IC50)	Complement System
In vitro	**METHODS**: MCF7 cell line was used for cytotoxicity, scratch assay, and flow cytometry analysis to validate the in vitro anti-tumor activity of Beta-Tetralone. **RESULTS**: Beta-Tetralone exhibited anticancer activity through dual targeting of MDM2 E3 ubiquitin ligase and Bcl-w anti-apoptotic protein.[1] **METHODS**: Beta-Tetralone biotransformation was monitored using KCh 6651 of Mycobacterium sp. at a substrate concentration of 1 g/L. **RESULTS**: Biotransformation of Beta-Tetralone yielded high yields of pure (S)-(-) -1,2,3,4-tetrahydro-2-naphthol.[2]
In vivo	CCX168 (avacopan), an orally administered selective and potent C5aR inhibitor.?CCX168 blocked the C5a binding, C5a-mediated migration, calcium mobilization, and CD11b upregulation in U937 cells as well as in freshly isolated human neutrophils.?CCX168 retains high potency when present in human blood.?A transgenic human C5aR knock-in mouse model allowed comparison of the in vitro and in vivo efficacy of the molecule.? CCX168 effectively blocked migration in in vitro and ex vivo chemotaxis assays, and it blocked the C5a-mediated neutrophil vascular endothelial margination.?CCX168 was effective in migration and neutrophil margination assays in cynomolgus monkeys[1].

Solubility Information

Solubility	DMSO: 10 mg/mL (17.19 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7193 mL	8.5964 mL	17.1928 mL
5 mM	0.3439 mL	1.7193 mL	3.4386 mL
10 mM	0.1719 mL	0.8596 mL	1.7193 mL
50 mM	0.0344 mL	0.1719 mL	0.3439 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

Bekker P, et al. Characterization of Pharmacologic and Pharmacokinetic Properties of CCX168, a Potent and Selective Orally Administered Complement 5a Receptor Inhibitor, Based on Preclinical Evaluation and Randomized Phase 1 Clinical Study. PLoS One. 2016 Oct 21;11(10):e0164646.
González-del-Barrio L, Pérez-Alós L, Cyranka L, et al.MAP-2: CD55 chimeric construct effectively modulates complement activation.The FASEB Journal.2023, 37(11): e23256.
Luo S, et al. The complement C3a-C3aR and C5a-C5aR pathways promote viability and inflammation of human retinal pigment epithelium cells by targeting NF-κB signaling. Exp Ther Med. 2022 Jun 7;24(2):493.