

ACT-1004-1239

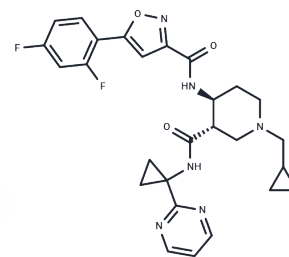
Chemical Properties

CAS No. : 2178049-58-4

Formula: C₂₇H₂₈F₂N₆O₃

Molecular Weight: 522.55

Storage: Keep away from moisture, 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	ACT-1004-1239 is an orally active, selective, and potent CXCR7 antagonist with immunomodulatory and myelin-promoting effects. It can be used to study inflammatory demyelinating diseases.
Targets(IC50)	CXCR
In vitro	ACT-1004-1239 inhibits CXCR7 in human, dog, rat, mouse, guinea pig and macaque with IC50s of 3.2, 2.3, 3.1, 2.3, 0.6 and 1.5 nM, respectively. [1] ACT-1004-1239 promotes the maturation of OPCs into myelin oligodendrocytes. [2]
In vivo	In a MOG-induced mouse model of EAE, ACT-1004-1239 treatment (10-100 mg/kg twice daily, orally) showed a significant dose-dependent reduction in the clinical score of the disease, leading to an increase in survival. At the highest dose tested (100 mg/kg twice daily), ACT-1004-1239 delayed disease onset and significantly reduced immune cell infiltration into the CNS and plasma filament light chain concentrations. [2] ACT-1004-1239 reduces pulmonary vascular permeability and reduces inflammatory cell infiltration. [3]

Solubility Information

Solubility	DMSO: 5.25 mg/mL (10.05 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9137 mL	9.5685 mL	19.1369 mL
5 mM	0.3827 mL	1.9137 mL	3.8274 mL
10 mM	0.1914 mL	0.9568 mL	1.9137 mL
50 mM	0.0383 mL	0.1914 mL	0.3827 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

Richard-Bildstein S, et al. Discovery of the Potent, Selective, Orally Available CXCR7 Antagonist ACT-1004-1239. *J Med Chem.* 2020 Dec 24;63(24):15864-15882.

Pouzol L, et al. ACT-1004-1239, a first-in-class CXCR7 antagonist with both immunomodulatory and promyelinating effects for the treatment of inflammatory demyelinating diseases. *FASEB J.* 2021 Mar;35(3):e21431.

Pouzol L, et al. CXCR7 Antagonism Reduces Acute Lung Injury Pathogenesis. *Front Pharmacol.* 2021 Nov 5;12:748740.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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