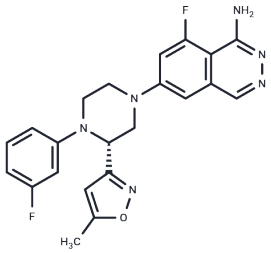
Data Sheet (Cat.No.T74816)

TargetMol

Complement C1s-IN-1

Chemical Properties



CAS No. : 3033831-40-9

Formula: C22H20F2N6O

Molecular Weight: 422.43

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	Complement C1s-IN-1 is a potent and selective inhibitor of complement C1s with the advantage of being orally available and brain penetrable, significantly inhibiting human serum-induced formation of membrane attack complexes in a dose-dependent manner and effectively blocking the classical complement pathway
Targets(IC50)	Complement System
In vitro	Complement C1s-IN-1 (compound (R)-8) is a highly selective C1s inhibitor with an IC_{50} of 36 nM for human C1s and 61 nM for mouse C1s. Complement C1s-IN-1 showed minimal activity against other serine proteases (IC_{50} > 30,000 nM). Complement C1s-IN-1 also dose-dependently inhibited serum-induced classical complement pathway activation in vitro[1].
In vivo	Following oral administration in mice (10-100 mg/kg), Complement C1s-IN-1 showed dose-dependent plasma exposure (Cmax up to 8895.5 ng/mL; $AUC_{0-24}h$ = 139,341 ng·h/mL) with a mean residence time of 7.66 h. Complement C1s-IN-1 exhibited strong brain penetration, with brain concentrations reaching 33,559.5 ng/g at 2 h and a brain/plasma ratio up to 1.7[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3673 mL	11.8363 mL	23.6726 mL
5 mM	0.4735 mL	2.3673 mL	4.7345 mL
10 mM	0.2367 mL	1.1836 mL	2.3673 mL
50 mM	0.0473 mL	0.2367 mL	0.4735 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

Ikeda Z, et al. Discovery of a Novel Series of Potent, Selective, Orally Available, and Brain-Penetrable C1s Inhibitors for Modulation of the Complement Pathway. J Med Chem. 2023 May 11;66(9):6354-6371.