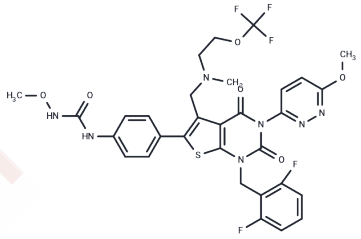
Data Sheet (Cat.No.T72492)

TargetMol

GnRH-R antagonist 1

Chemical Properties



CAS No. : 2826273-90-7

Formula: C31H28F5N7O6S

Molecular Weight: 721.65

Storage: 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	GnRH-R antagonist 1 (Compound 21a), is an orally active, membrane-permeable agent with a high binding affinity (IC50=0.57 nM) and potent in vitro antagonistic efficacy (IC50=2.18 nM). It is utilized in research focused on advanced prostate cancer and the prevention of premature LH surges [1].
Targets(IC50)	GNRH Receptor
In vitro	GnRH-R antagonist 1 demonstrates a cell permeability that is 140 times greater than that of Relugolix, while also showing favorable stability in both human and mouse microsomes [1].
In vivo	GnRH-R antagonist 1 administered orally at a dosage of 30 mg/kg once daily for seven days exhibits a good safety profile [1]. At a single oral dose of 12 mg/kg, it demonstrates favorable pharmacokinetics and high oral bioavailability, evident from a 44.7% F% value [1]. Furthermore, this dosage effectively suppresses circulating testosterone levels in rats for over 24 hours [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3857 mL	6.9286 mL	13.8571 mL
5 mM	0.2771 mL	1.3857 mL	2.7714 mL
10 mM	0.1386 mL	0.6929 mL	1.3857 mL
50 mM	0.0277 mL	0.1386 mL	0.2771 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.

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