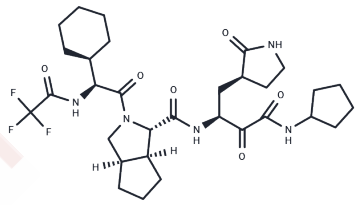
Data Sheet (Cat.No.T73051)

TargetMol

Leritrelvir

Chemical Properties



CAS No. : 2923310-64-7

Formula: C31H44F3N5O6

Molecular Weight: 639.71

Storage: Keep away from moisture,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	Leritrelvir (RAY1216) is an orally active and highly potent protease inhibitor with antiviral activity that blocks cleavage of viral precursor proteins and inhibits viral replication in SARS-CoV-2.
Targets(IC50)	SARS-CoV
In vitro	Leritrelvir has a residence time of 104 minutes on the target. Leritrelvir forms covalent binding to the Cys145 catalytic site via the α-ketoamide warhead. 0-1000 nM Leritrelvir, treated for 72 hours, demonstrated antiviral effects against SARS-CoV-2 wild type and its variants. [1]
In vivo	Leritrelvir was effective in prolonging the survival time of SARS-CoV-2 infected mice for 5 consecutive days under oral administration conditions in the dose range of 150-600 mg/kg/day. The pharmacokinetic parameters of the drug have been studied in a variety of animals demonstrating the exposure and metabolic properties of the drug. In mice, the area under the drug curve (AUC(0-last)) at an intravenous (IV) dose of 3.0 mg/kg was 7789 nM/h, but peak concentration (C_max) and time to peak (T_max) were not provided. In comparison, an oral (PO) dose of 10 mg/kg produced a C_max of 1287 nM, a T_max of 2.0 hours, an AUC(0-last) of 5698 nM/h, an elimination half-life (T_1/2) of 2.6 hours, and an oral bioavailability (F%) of 22. In rats, the intravenous (IV) dose of 2.0 mg/kg yielded an AUC(0-last) of 4505 nM/h, whereas the oral (PO) dose of 10 mg/kg produced a C_max of 916 nM, a T_max of 0.9 h, an AUC(0-last) of 7429 nM/h, a T_1/2 of 4.3 h, and an F% of 33. In cynomolgus monkeys, the intravenous (IV) dose of 1.0 mg/kg had an AUC(0-last) of 1157 nM/h, whereas the oral (PO) dose of 5.0 mg/kg yielded a C_max of 102 nM, a T_max of 1.5 h, an AUC(0-last) of 458 nM/h, a T_1/2 of 14.9 h, and a F% of 8. [1]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5632 mL	7.816 mL	15.6321 mL
5 mM	0.3126 mL	1.5632 mL	3.1264 mL
10 mM	0.1563 mL	0.7816 mL	1.5632 mL
50 mM	0.0313 mL	0.1563 mL	0.3126 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

Chen X, et al. Inhibition mechanism and antiviral activity of an α-ketoamide based SARS-CoV-2 main protease inhibitor. bioRxiv, 2023: 2023.03. 09.531862.