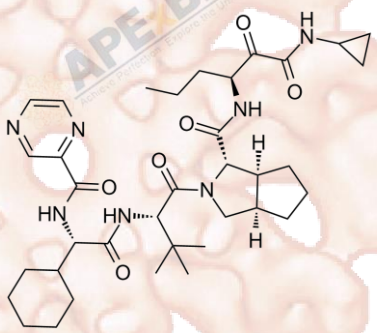
Product Data Sheet

Telaprevir (VX-950)

Cat. No.:	A4031
CAS No.:	402957-28-2
Formula:	C36H53N7O6
M.Wt:	679.9
Synonyms:	VX950, VX 950, MP-424,Telaprevir
Target:	Proteases
Pathway:	HCV Protease
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥32.95 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	1.4708 mL	7.3540 mL	14.7080 mL
5 mM	0.2942 mL	1.4708 mL	2.9416 mL
10 mM	0.1471 mL	0.7354 mL	1.4708 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	HCV NS3-4A protease inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Con1 (genotype 1b) subgenomic HCV replicon cells, Primary human fetal liver cells
Preparation method：	The solubility of this compound in DMSO is >33 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	5 or 50 μM, 48 h
	Applications:	VX-950 reduced HCV RNA levels in a time- and dose-dependent manner. The IC50s following a 24-, 48-, 72-, and 120-h incubation with VX-950 were 0.574, 0.488, 0.210, and 0.139 μM, respectively. VX-950 (30 μM) showed no significant cytotoxicity in both parental Huh-7 and HepG2 cell lines. VX-950 reduced HCV proteins in the replicon cells. VX-950 showed no cytotoxicity in proliferating PBMC. VX-950 induced a multilog reduction of HCV RNA levels in replicon cells. VX-950 inhibited HCV replication in primary human fetal liver cells.
In Vivo	**Animal experiment**	
	Animal models:	HCV NS3-4A protease mouse model
	Dosage form:	Oral administration, 10-300 mg/kg
	Applications:	Oral administration of VX-950 in a PVP polymer matrix resulted in good exposure in rats and dogs. VX-950 inhibited HCV NS3-4A serine protease and reduced SEAP levels in the NS3-4A protease mouse model. Oral administration of VX-950 reduced HCV protease-dependent cleavage and subsequent secretion of SEAP from the liver into the blood in the mice model to 18.7% and 18.4% at dosage of 10 and 25 mg/kg, respectively.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Lin K, Perni R B, Kwong A D, et al. VX-950, a novel hepatitis C virus (HCV) NS3-4A protease inhibitor, exhibits potent antiviral activities in HCV replicon cells[J]. Antimicrobial agents and chemotherapy, 2006, 50(5): 1813-1822.

[2]. Perni R B, Almquist S J, Byrn R A, et al. Preclinical profile of VX-950, a potent, selective, and orally bioavailable inhibitor of hepatitis C virus NS3-4A serine protease[J]. Antimicrobial agents and chemotherapy, 2006, 50(3): 899-909.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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