Telaprevir (VX-950)

Catalog No.C07879 Batch:C0787903

Product Datasheet

Technical Data

Formula	$C_{36}H_{53}N_7O_6$					
Molecular Weight	679.85	**CAS No.**	402957-28-2			
Solubility (25°C)*	In vitro	DMSO	100 mg/mL (147.09 mM)			
		Ethanol	7 mg/mL (10.29 mM)			
		Water	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.
		Injection	Clear solution	5%DMSO [1] → 40%PEG300 [2] → 5%Tween80 [3] → 50%ddH2O Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	1.650mg/ml (2.43mM)	Taking the 1 mL working solution as an example, add 50 μL of 33 mg/ml clarified DMSO stock solution to 400 μL of PEG300, mix evenly to clarify it; add 50 μL of Tween80 to the above system, mix evenly to clarify; then continue to add 500 μL of ddH2O to adjust the volume to 1 mL. The mixed solution should be used immediately for optimal results.
			Clear solution	5% DMSO [1] → 95% Corn oil Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	0.600mg/ml (0.88mM)	Taking the 1 mL working solution as an example, add 50 μL of 12 mg/ml clear DMSO stock solution to 950 μL of corn oil and mix evenly. The mixed solution should be used immediately for optimal results.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.4709 mL	7.3546 mL	14.7091 mL
5 mM	0.2942 mL	1.4709 mL	2.9418 mL
10 mM	0.1471 mL	0.7355 mL	1.4709 mL
50 mM	0.0294 mL	0.1471 mL	0.2942 mL

Biological Activity

Description	Telaprevir is an **HCV NS3-4A serine protease** inhibitor with **IC50** of 0.35 μM.
Targets	HCV NS3-4A serine protease [1] 0.35 μM
In vitro	Telaprevir inhibits the hepatitis C virus NS3-4A serine protease, leading to the block of viral polyprotein processing and subsequently decrease of viral RNA replication, total HCV RNA levels and protein levels in the Con1 (genotype 1b) subgenomic HCV replicon cells in a time- and dose-dependent manner. This compound displays a significant time-dependent increase in inhibitory effect on the replication of HCV RNA with IC50 values of 0.574 μM, 0.488 μM, 0.210 μM and 0.139 μM for 24, 48, 72 and 120 hours incubation, respectively. It displays an average IC50 of 0.354 μM and an average IC90 of 0.830 μM, respectively, from three independent experiments using the 48 hours incubation. This chemical has no significant cytotoxicity to HCV replicon cells, parental Huh-7 and HepG2 cells after 48 hours incubation. It (17.5 μM) completely eradicates HCV RNA from replicon cells after 13 days incubation without rebound after this compound is withdrawn. It displays an additive to moderate synergistic effect on reduction of HCV RNA replication and suppression of resistance mutations without significant increase in cytotoxicity when in combination with IFN-α, compared to treatment with each agent alone. [1]
In vivo	Oral administration of Telaprevir reduces 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. [2] Administration of this compound at 200 mg/kg for 1 week results in a 1.9 log reduction of HCV RNA in genotype 1b HCV-infected human hepatocyte chimeric mice, and when treatment in combination with MK-0608 (50 mg/kg) for 4 weeks, viruses are eliminated from mice. [3]
Features	Telaprevir is a covalent, reversible inhibitor of the NS3-4A protease (unlike BILN 2061which is a noncovalent inhibitor), with a slow-binding and slow-dissociation mechanism.

Protocol (from reference)

Kinase Assay:[1]

- **Determination of anti-HCV activity**

  Stable Huh-7 cells containing the self-replicating, subgenomic HCV replicon, which is identical in sequence to the I_{377}neo/NS3-3'/wt replicon are used for anti-HCV assays. Replicon cells are incubated at 37 °C for the indicated period of time with this compound serially diluted in DMEM plus 2% FBS and 0.5% dimethyl sulfoxide (DMSO). Total cellular RNA is extracted using an RNeasy-96 kit, and the copy number of HCV RNA is determined using a quantitative RTPCR (QRT-PCR) assay for the assessment of 50% inhibitory concentration (IC50

Cell Assay:[1]

- **Cell lines**

  Huh-7, HepG2, and peripheral blood mononuclear cells (PBMC)
- **Concentrations**

  Dissolved in DMSO, final concentration ~1 mM
- **Incubation Time**

48 hours

- **Method**
  Cells are incubated with various concentrations of Telaprevir for 48 hours. Cell viability is determined by using a tetrazolium (MTS)-based cell viability assay.

Animal Study:[2]

- **Animal Models**
  SCID mice injected with recombinant adenovirus (Ad-WT-HCVpro-SEAP or Ad-MT-HCVpro-SEAP)
- **Dosages**
  ~300 mg/kg
- **Administration**
  Oral gavage

References

https://pubmed.ncbi.nlm.nih.gov/16641454/ https://pubmed.ncbi.nlm.nih.gov/16495249/ https://pubmed.ncbi.nlm.nih.gov/21145818/

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

EU Headquarters
Gajeva ulica 28, HR-10000 Zagreb, Croatia

US Headquarters
12222 Merit Dr STE 130 Dallas, Texas, 75251

UK Headquarters
121 ABILITY HOUSE, BROOKER ROAD, EN9 1JH, ESSEX

Orders: Sales@capsidbio.com
Enquiries: info@capsidbio.com
www.capsidbio.com