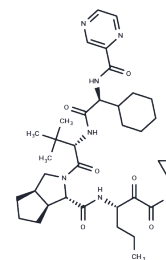


Telaprevir

Chemical Properties

CAS No. :	402957-28-2
Formula:	C ₃₆ H ₅₃ N ₇ O ₆
Molecular Weight:	679.85
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	Telaprevir (Incivek) (VX-950) is an effective inhibitor of HCV NS3-4A serine protease (IC ₅₀ : 0.35 μM).
Targets(IC ₅₀)	HCV Protease,SARS-CoV
In vitro	In a mouse model, Telaprevir administration at doses of 10 and 25 mg/kg (p.o.) reduced hepatitis C virus protease-dependent cleavage (18.7%) and secretion of SEAP (18.4%) from the liver to the bloodstream. In mice with genotype 1b HCV-infected human hepatocytes, a one-week treatment with Telaprevir at 200 mg/kg resulted in a decrease in HCV RNA levels. Furthermore, when used in combination with MK-0608 at a dose of 50 mg/kg over four weeks, this regimen completely eliminated the virus from the mice.
In vivo	Telaprevir (17.5 μM) effectively eradicates HCV RNA in replicating cells, with no recurrence observed 13 days post-treatment. When used in combination with IFN-α, Telaprevir moderately enhances the synergistic effect, reducing HCV RNA replication and inhibiting resistance mutations without significant toxicity. The compound exhibits a time-dependent increase in inhibitory efficacy against HCV RNA replication, with IC ₅₀ values of 0.574 μM, 0.488 μM, 0.210 μM, and 0.139 μM at 24, 48, 72, and 120 hours, respectively. In Con1 (genotype 1b) subgenomic HCV replicon cells, Telaprevir inhibits the HCV NS3-4A serine protease, suppressing viral polyprotein processing and consequently diminishing all HCV RNA and protein levels in a time and dose-dependent manner. Additionally, Telaprevir shows no toxicity in HCV replicating cells or parent Huh-7 and HepG2 cells after 48 hours of treatment.
Kinase Assay	Determination of anti-HCV activity: Stable Huh-7 cells containing the self-replicating, subgenomic HCV replicon, which is identical in sequence to the I377neo/NS3-3'/wt replicon are used for anti-HCV assays. Replicon cells are incubated at 37 °C for the indicated period of time with Telaprevir 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 (IC ₅₀)
Cell Research	Cells are incubated with various concentrations of Telaprevir for 48 hours. Cell viability is determined by using a tetrazolium (MTS)-based cell viability assay.(Only for Reference)

Solubility Information

Solubility	DMSO: 83.33 mg/mL (122.57 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 8.33 mg/mL (12.25 mM),Suspension. 10% DMSO+90% Corn Oil: 3.3 mg/mL (4.85 mM),Sonication is recommended. 10% DMSO+90% Saline: < 8.33 mg/mL (12.25 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
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

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

- Lin K, et al. Antimicrob Agents Chemother, 2006, 50(5), 1813-1822.
Sun Y, Wu J, Shen B, et al. Discovery of TRPV4-Targeting Small Molecules with Anti-Influenza Effects Through Machine Learning and Experimental Validation. International Journal of Molecular Sciences. 2025, 26(3): 1381.
Perni RB, et al. Antimicrob Agents Chemother, 2006, 50(3), 899-909.
Ohara E, et al. J Hepatol, 2011, 54(5), 872-878.

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481