

Telaprevir

Catalog No: tcsc0285



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

402957-28-2

Formula:

$C_{36}H_{53}N_7O_6$

Pathway:

Metabolic Enzyme/Protease;Anti-infection

Target:

HCV Protease;HCV

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 50 mg/mL (73.55 mM); H₂O :

Alternative Names:

VX-950

Observed Molecular Weight:

679.85

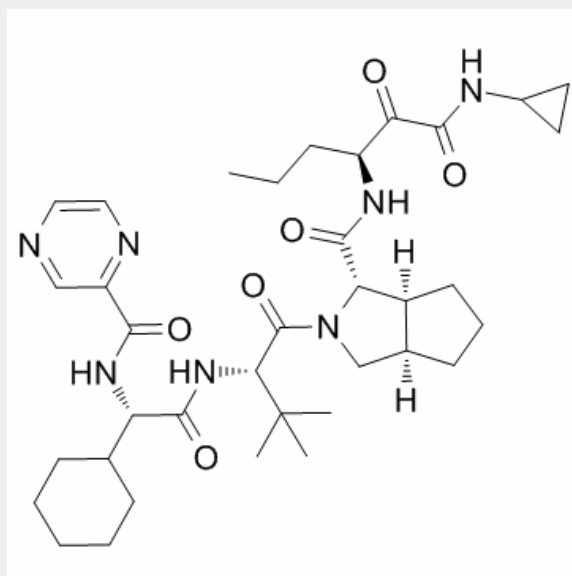
Product Description

Telaprevir is a highly selective, reversible, and potent peptidomimetic inhibitor of the **HCV NS3-4A protease**, the steady-state inhibitory constant (K_i) of Telaprevir is 7 nM against a genotype 1 (H strain) NS3 protease domain plus a NS4A cofactor peptide.

IC₅₀ & Target: Ki: 7 nM (genotype 1 HCV NS3-4A protease)^[1]

In Vitro: Telaprevir (VX-950) is a covalent, reversible inhibitor of the NS3-4A protease with a slow-binding and slow-dissociation mechanism. Telaprevir exhibits significantly different kinetics in enzyme inhibition, which is most clearly exemplified by a very long half-life (58 min) of the bound enzyme-inhibitor complex. Telaprevir is additive to moderately synergistic with IFN- α in inhibiting HCV replication and in suppressing the emergence of resistance in replicon cells. Telaprevir reduces HCV RNA levels in a time- and dose-dependent manner. The IC₅₀s following a 24, 48, 72, and 120 h incubation with Telaprevir are determined to be 0.574, 0.488, 0.21, and 0.139 μ M, respectively, indicating an increase in inhibitory effects with time. Following three independent experiments using the 48 h incubation in the presence of 2% FBS, the average IC₅₀ of Telaprevir is determined to be 0.354 ± 0.035 μ M, and the average IC₉₀ is 0.830 ± 0.190 μ M^[1]. Telaprevir (VX-950) is a potent, selective, peptidomimetic inhibitor of the hepatitis C virus (HCV) NS3-4A serine protease, and Telaprevir demonstrates excellent antiviral activity both in genotype 1b HCV replicon cells (IC₅₀=354 nM) and in human fetal hepatocytes infected with genotype 1a HCV-positive patient sera (IC₅₀=280 nM)^[2].

In Vivo: There is an ~5-fold reduction of serum SEAP activity in mice dosed with Telaprevir (VX-950) at either 10 or 25 mg/kg, which has an average value (\pm SEM) of $18.7 \pm 8.3\%$ or $18.4 \pm 5.4\%$, respectively, compare to those administered vehicle ($100 \pm 28\%$). These data demonstrates that Telaprevir is able to inhibit the HCV NS3-4A serine protease activity in mouse liver and block cleavage and subsequent secretion of SEAP into blood circulation in these mice^[2].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!