Web: www.taiclone.com
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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

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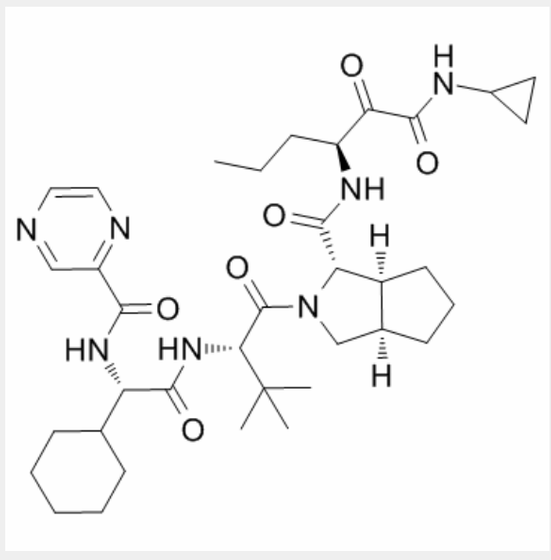
Telaprevir is a highly selective, reversible, and potent peptidomimetic inhibitor of the **HCV NS3-4A protease**, the steady-state inhibitory constant ($\mathbf{K_i}$) of Telaprevir is 7 nM against a genotype 1 (H strain) NS3 protease domain plus a NS4A cofactor peptide.

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 IC_{50}s following a 24, 48, 72, and 120 h incubation with Telaprevir are determined to be 0.574, 0.488, 0.21, and 0.139 μM, 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 IC_{50} of Telaprevir is determined to be 0.354 ± 0.035 μM, and the average IC_{90} is 0.830 ± 0.190 μM[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 (IC_{50}=354 nM) and in human fetal hepatocytes infected with genotype 1a HCV-positive patient sera (IC_{50}=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 (±SEM) of 18.7±8.3% or 18.4±5.4%, respectively, compare to those administered vehicle (100±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].



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