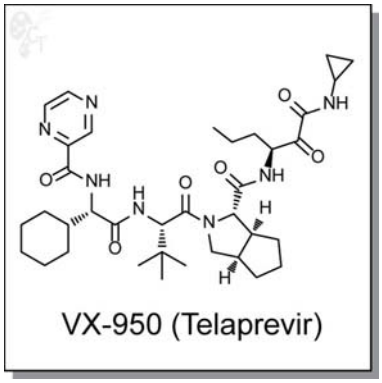
Product Specification Sheet

Product Name: VX-950 (Telaprevir)

Catalog Number: C8995



Technical information:

Chemical Formula:	$C_{36}H_{53}N_7O_6$
CAS #:	402957-28-2
Molecular Weight:	679.85
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 100mM
Chemical Name:	(1S,3aR,6aS)-2-((S)-2-((S)-2-cyclohexyl-2-(pyrazine-2-carboxamido)acetamido)-3,3-dimethylbutanoyl)-N-((S)-1-(cyclopropylamino)-1,2-dioxohexan-3-yl)-octahydrocyclopenta[c]pyrrole-1-carboxamide
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.147mL of DMSO for each mg of VX-950 (Telaprevir).
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

VX-950 (Telaprevir) is an orally-available, highly-selective, reversible, peptidomimetic inhibitor of HCV NS3-4A protease with an IC50 of 0.35 uM and IC90 of 0.83 uM in an HCV replicon assay [1, 2] In human fetal hepatocytes with genotype 1a HCV-postive patient serum, VX-950 has an IC50 of 280 uM. [3] VX-950 reduces HCV RNA levels in a time- and dose-dependent manner with IC50s of 0.57, 0.49, 0.21, and 0.14 uM following a 24-, 48-, 72-, and 120-h incubation.

VX-950 forms a covalent, but reversible complex in a slow-on, slow-ff process with a steady-state inhibition constant (Ki) of 7 nM. [3] Excellent efficacy with high liver exposure in an HCV NS3-4A mouse model was observed with VX-950.

Reference:

1. Lin et al., VX-950, a novel hepatitis C virus (HCV) NS3-4A protease inhibitor, exhibits potent antiviral activities in HCv replicon cells. Antimicrob. Agents Chemother. 2006, 50(5), 1813-1822. Pubmed ID: 16641454
2. Lin et al., Discovery and development of VX-950, a novel, covalent, and reversible inhibitor of hepatitis C virus NS3.4A serine protease. Infectious Disorders: Drug Targets, 2006, 6(1), 3-16. Pubmed ID: 16787300
3. Perni et al., Preclinical profile of VX-950, a potent, selective, and orally bioavailable inhibitor of hepatitis C virus NS3-4A serine protease. Antimicrob. Agents Chemother. 2006, 50(3), 899-909. Pubmed ID: 16495249

To reorder: http://www.cellagentech.com/VX-950-Telaprevir/

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.