Voxilaprevir

Cat. No.: HY-19840

CAS No.: 1535212-07-7

Molecular Formula: $C_{40}H_{52}F_4N_6O_9S$

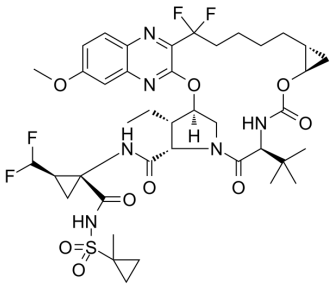
Molecular Weight: 868.93

Target: HCV Protease

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (115.08 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.1508 mL	5.7542 mL	11.5084 mL
5 mM	0.2302 mL	1.1508 mL	2.3017 mL
10 mM	0.1151 mL	0.5754 mL	1.1508 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Voxilaprevir (GS-9857) is a noncovalent, reversible inhibitor of HCV NS3/4A protease inhibitor (PI) with pangenotypic antiviral activity[1]. Voxilaprevir inhibits genotype 1b and 3a wild-type NS3 proteases with K_i values of 0.038 nM and 0.066 nM, respectively[1]. Voxilaprevir is an orally active direct-acting antiviral agent (DAA) and can be used for HCV infection research[2].

IC_{50} & Target

Hepatitis C virus (HCV) nonstructural protein (NS) 3/4A protease[1]

In Vitro

NS3/4A protease is essential for proteolytic cleavage of the HCV encoded polyprotein (intomature forms of NS3, NS4A, NS4B, NS5A and NS5B proteins) and hence for viral replication[1].

In enzymatic assays using recombinant NS3 protease domains and isogenic NS4A peptide cofactors provided in trans. Voxilaprevir is against HCV genotype 1b and 3a NS3 proteases with K_i values of 0.038 nM and 0.066 nM, respectively[1].

In stable cell lines (Huh-7-Lunet or Huh7-1C cells) expressing renilla luciferase-encoding HCV replicons. Voxilaprevir exhibits potent pangenotypic antiviral activity with EC_{50} ranging from 0.33 to 6.6 nM across genotypes 1 to 6. Voxilaprevir is against HCV replicon strain DQ314805, H77, Con1, JFH-1, J6,J8 (full length) and HM568433, SA13 (NS3 Chimera) with IC_{50} values of 0.33 nM, 3.9 nM, 3.3 nM, 3.7 nM, 4.5 nM, 1.8 nM, and 6.6 nM, 1.9 nM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Rodriguez-Torres M, et al. GS-9857 in patients with chronic hepatitis C virus genotype 1-4 infection: a randomized, double-blind, dose-ranging phase 1 study. J Viral Hepat. 2016 Aug;23(8):614-22.

[2]. Lawitz E, et al. Efficacy of Sofosbuvir, Velpatasvir, and GS-9857 in Patients With Genotype 1 Hepatitis C VirusInfection in an Open-Label, Phase 2 Trial. Gastroenterology. 2016 Nov;151(5):893-901.e1.

[3]. EMA Assessment Report for Sofosbuvir/Velpatasvir/Voxilaprevir

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