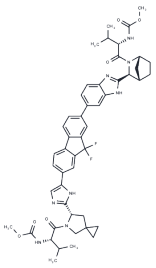
Data Sheet (Cat.No.T6200)

TargetMol

Ledipasvir

Chemical Properties



CAS No. : 1256388-51-8

Formula: C49H54F2N8O6

Molecular Weight: 889

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	Ledipasvir (GS-5885) is a Hepatitis C Virus NS5A Inhibitor. The mechanism of action of ledipasvir is as a P-Glycoprotein Inhibitor, and Breast Cancer Resistance Protein Inhibitor.
Targets(IC50)	HCV Protease,SARS-CoV
In vitro	Ledipasvir has GT1a and 1b EC50 values of 31 and 4 pM, respectively, and protein-adjusted EC50 values of 210 pM (GT1a) and 27 pM (GT1b) and the intrinsic EC50 of 39 is 310 fM for GT1a and 40 fM for GT1b. Ledipasvir is highly protein-bound both in human serum and in the cell-culture medium (containing 10% BSA) of the replicon assay[1]. Ledipasvir exhibits an EC50 value of 141 nM against the JFH/3a-NS5A replicon[2].
In vivo	Ledipasvir distinguishes itself not only for its substantial replicon potency but also for its low clearance, high bioavailability, and extended half-lives across several species (rat, dog, and monkey) alongside a low predicted clearance in humans. Its pharmacokinetics have been assessed in rats and dogs, demonstrating favorable plasma half-lives (rat 1.83 ± 0.22 hr, dog 2.63 ± 0.18 hr), minimal systemic clearance (CL), and moderate distribution volumes (Vss) exceeding total body water volume[1].

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 255 mg/mL (286.84 mM),Sonication is recommended. Ethanol: 93 mg/mL (104.61 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (5.62 mM),Sonication is recommended. 2% DMSO+40% PEG300+5% Tween 80+53% Saline: 1 mg/mL (1.12 mM),Solution. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.1249 mL	5.6243 mL	11.2486 mL
5 mM	0.225 mL	1.1249 mL	2.2497 mL
10 mM	0.1125 mL	0.5624 mL	1.1249 mL
50 mM	0.0225 mL	0.1125 mL	0.225 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

Link JO, et al. Discovery of ledipasvir (GS-5885): a potent, once-daily oral NS5A inhibitor for the treatment of hepatitis C virus infection. J Med Chem. 2014 Mar 13;57(5):2033-46.
Hernandez D, et al. Natural prevalence of NS5A polymorphisms in subjects infected with hepatitis C virus genotype 3 and their effects on the antiviral activity of NS5A inhibitors. J Clin Virol. 2013 May;57(1):13-8.