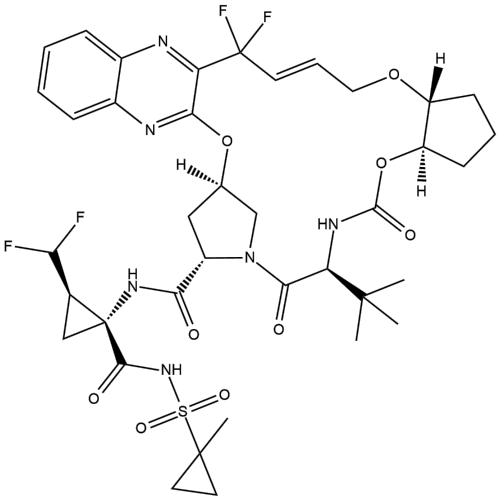
Product Data Sheet

Product Name: Glecaprevir
Cat. No.: GC19165

Chemical Properties

Cas. No.	1365970-03-1		
SMILES	O=C([C@H]1N(C([C@@H](NC(O[C@@]2([H])[C@@]3([H])CCC2)=O)C(C)(C)C)=O)C[C@@](OC4=NC5=CC=CC=C5N=C4C(F)(/C=C/CO3)F)([H])C1)N[C@]6([C@H](C(F)F)C6)C(NS(=O)(C7(CC7)C)=O)=O		
Formula	$C_{38}H_{46}F_4N_6O_9S$	M.Wt	838.87
Solubility	DMSO : ≥ 83.3 mg/mL (99.30 mM);Water : < 0.1 mg/mL (insoluble)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.
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Cell experiment: The activity of Glecaprevir, paritaprevir or grazoprevir is determined against nine cell lines each stably transfected with an HCV subgenomic replicon containing NS3 protease from a different HCV genotype using a luciferase reporter assay as described previously. All replicon constructs are bicistronic subgenomic replicons, and the replicon cell lines are generated by introducing these constructs into a Huh-7 human hepatoma-derived cell line. The inhibitory effect of Glecaprevir on HCV replication in replicon cells is determined in Dulbecco's modified eagle medium containing 5% fetal bovine serum with or without 40% human plasma. The EC50 values are determined using nonlinear regression curve[1].

References:

[1]. Ng TI, et al. In Vitro Antiviral Activity and Resistance Profile of the Next-Generation Hepatitis C Virus NS3/4A Protease Inhibitor Glecaprevir. Antimicrob Agents Chemother. 2017 Oct 30. pii: AAC.01620-17.

Background

Glecaprevir is a novel HCV NS3/4A protease inhibitor, with IC50 values ranging from 3.5 to 11.3 nM.

Glecaprevir inhibits the enzymatic activity of HCV genotype 1-6 NS3/4A proteases with half maximal inhibitory concentration (IC50) values ranging from 3.5 to 11.3 nM in a biochemical assay. Glecaprevir inhibites HCV subgenomic stable replicons containing proteases from HCV genotypes 1a, 1b, 2a, 2b, 3a, 4a, 5a, 6a and 6e in Huh-7 cells with 50% effective concentration (EC50) values ranging from 0.21 to 4.6 nM. Glecaprevir is active against a replicon containing protease from genotype 3, the most difficult-to-treat HCV genotype, with an EC50 value of 1.9 nM, which is 10- and 44-fold lower than those for paritaprevir and grazoprevir, respectively. The median Glecaprevir EC50 values against replicons containing these genotype 1a, 1b, 2a, 2b, 3a, 4a, 4d, and 5a clinical samples are 0.08, 0.29, 1.6, 2.2, 2.3, 0.41, 0.17, and 0.12 nM, respectively, with an overall median EC50 value of 0.30 nM (range=0.05~3.8 nM)[1].

References:

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[1]. Ng TI, et al. In Vitro Antiviral Activity and Resistance Profile of the Next-Generation Hepatitis C Virus NS3/4A Protease Inhibitor Glecaprevir. Antimicrob Agents Chemother. 2017 Oct 30. pii: AAC.01620-17.

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