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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

Glecaprevir

Cat. No.: HY-17634

CAS No.: 1365970-03-1

Molecular Formula: $C_{38}H_{46}F_4N_6O_9S$

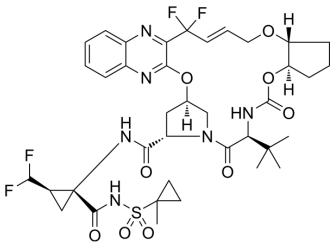
Molecular Weight: 838.87

Target: HCV; HCV Protease; SARS-CoV

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 83.3 mg/mL (99.30 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1921 mL	5.9604 mL	11.9208 mL
	5 mM	0.2384 mL	1.1921 mL	2.3842 mL
	10 mM	0.1192 mL	0.5960 mL	1.1921 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (2.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Glecaprevir is a novel HCV NS3/4A protease inhibitor, with IC_{50} values ranging from 3.5 to 11.3 nM. Glecaprevir is also a SARS-CoV $3CL^{pro}$ inhibitor with an IC_{50} of 4.09 μM[2].

IC_{50} & Target

IC50: 3.5~11.3 nM (HCV NS3/4A protease)[1]

In Vitro

Glecaprevir inhibits the enzymatic activity of HCV genotype 1-6 NS3/4A proteases with half maximal inhibitory concentration (IC_{50}) 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 (EC_{50}) 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 EC_{50} value of 1.9 nM, which is 10- and 44-fold lower than those for paritaprevir and grazoprevir, respectively. The median Glecaprevir EC_{50} 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 EC_{50} value of 0.30 nM (range=0.05~3.8 nM)[1].

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

PROTOCOL

Cell Assay [1]

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 EC_{50} values are determined using nonlinear regression curve[1].

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

CUSTOMER VALIDATION

- Cancer Cell. 2022 Aug 26;S1535-6108(22)00372-5.
- Signal Transduct Target Ther. 2021 May 29;6(1):212.
- Elife. 2020 Jun 9;9:e56469.
- bioRxiv. 2023 Feb 27.
- Chemrxiv. 2021, Jun 10.

See more customer validations on www.MedChemExpress.com

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.

[2]. Qi Sun, et al. Bardoxolone and bardoxolone methyl, two Nrf2 activators in clinical trials, inhibit SARS-CoV-2 replication and its 3C-like protease. Signal Transduct Target Ther. 2021 May 29;6(1):212.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA