Paritaprevir

Cat. No.: HY-12594

CAS No.: 1216941-48-8

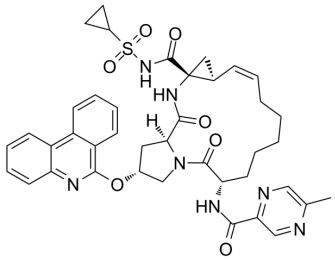
Molecular Formula: $C_{40}H_{43}N_7O_7S$

Molecular Weight: 765.88

Target: HCV; HCV Protease; SARS-CoV

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 125 mg/mL (163.21 mM)
H_2O : ≥ 0.1 mg/mL (0.13 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.3057 mL	6.5284 mL	13.0569 mL
5 mM	0.2611 mL	1.3057 mL	2.6114 mL
10 mM	0.1306 mL	0.6528 mL	1.3057 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 (2.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Paritaprevir (ABT-450) is a potent, orally active and antiviral non-structural protein 3/4A (NS3/4A) protease inhibitor with EC_{50}s of 1 and 0.21 nM against HCV 1a and 1b, respectively. Paritaprevir is also a SARS-CoV $3CL^{pro}$ inhibitor with an IC_{50} of 1.31 μM. Paritaprevir is metabolized primarily by cytochrome P450 (CYP) 3A. The plasma concentration and half-life of Paritaprevir can be enhanced by Ritonavir (a CYP450 inhibitor)[1][2][3][4].

IC_{50} & Target

EC_{50}: 1 nM (HCV 1a), 0.21 nM (HCV 1b)[1]
IC_{50}: 1.31 μM (SARS-CoV $3CL^{pro}$)[3]

In Vitro

Paritaprevir has in vitro antiviral activity against HCV GT1-4 and GT6 (EC_{50} range, 0.09 to 19 nM), with an EC_{50} of 0.09 nM

	against GT4a[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The combination of Paritaprevir, Ritonavir, Ombitasvir (an NS5A protein inhibitor), and Dasabuvir (an NS5B non-nucleoside polymerase inhibitor) with or without RBV has been approved to treat HCV genotype 1 infections[1][4]. The acute toxicity of Paritaprevir is considered to be low. Single oral doses of ≤600 mg/kg in rats and ≤100 mg/kg in dogs produces no mortality and were well tolerated. However, since Paritaprevir is administered without ritonavir as a PK enhancer, the exposures are low, especially in male rats (rat 600 mg/kg, males: C_{max} 1.82 μg/mL, AUC_{0-24} 8.89 μg·h/mL; dog 100 mg/kg, mean: C_{max} 61.3 μg/mL, AUC_{0-24} 285 μg·h/mL). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2021 May 29;6(1):212.
- Nat Commun. 2023 Jun 10;14(1):3445.
- Elife. 2020 Jun 9;9:e56469.
- Antiviral Res. 2017 Mar;139:18-24.
- J Gastroenterol. 2019 May;54(5):449-458.

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REFERENCES

[1]. Menon RM, et al. Drug-drug interaction profile of the all-oral anti-hepatitis C virus regimen of paritaprevir/ritonavir, ombitasvir, and dasabuvir. J Hepatol. 2015 Jul;63(1):20-9.

[2]. Smith MA,et al. Profile of paritaprevir/ritonavir/ombitasvir plus dasabuvir in the treatment of chronic hepatitis C virus genotype 1 infection. Drug Des Devel Ther. 2015 Nov 13;9:6083-94.

[3]. Schnell G, et al. Hepatitis C Virus Genotype 4 Resistance and Subtype Demographic Characterization of Patients Treated with Ombitasvir plus Paritaprevir/ritonavir. Antimicrob Agents Chemother. 2015 Aug 17. pii: AAC.01229-15.

[4]. 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.

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