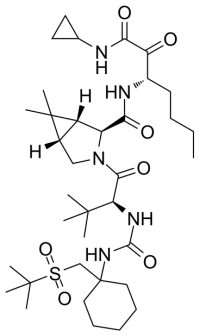
Narlaprevir



Cat. No.:	HY-10300
CAS No.:	865466-24-6
Molecular Formula:	$C_{36}H_{61}N_5O_7S$
Molecular Weight:	707.96
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 : ≥ 50 mg/mL (70.63 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4125 mL	7.0625 mL	14.1251 mL
	5 mM	0.2825 mL	1.4125 mL	2.8250 mL
	10 mM	0.1413 mL	0.7063 mL	1.4125 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: ≥ 2.5 mg/mL (3.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Narlaprevir (SCH 900518) is a selective and orally bioavailable NS3 protease inhibitor with a K_i value of 6 nM and an EC_{90} value of 40 nM[1]. Narlaprevir also inhibits the HCV nonstructural protein 3 serine protease[2]. Narlaprevir is also a SARS-CoV $3CL^{pro}$ inhibitor with an IC_{50} of 2.3 μM[3].

IC_{50} & Target

Ki: 6 nM (NS3 protease) [1]
EC90: 40 nM (NS3 protease) [1]
Ki: 7 nM (ketoamide) [2]
EC90: 40 nM (replicon RNA) [2]

In Vitro	Narlaprevir (SCH 900518) potently inhibits ketoamide with a K_i value of 7 nM[2]. Narlaprevir (SCH 900518) potently inhibits replicon RNA with an EC_{90} value of 40 nM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Pharmacokinetic Analysis Narlaprevir (SCH 900518) exhibits middle oral bioavailability (rat 46%, dog 29%, monkey 46 %) following oral administration (rat 10 mg/kg, dog 3 mg/kg, monkey 3 mg/kg)[1]. Narlaprevir (SCH 900518) exhibits moderate half-lives (rat 4.8 and dog 2 h) following intravenous administration (rat 4 and dog 1 mg/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	rats, dogs, monkeys[1]
Dosage:	Rat PO/IV 10/4 mg/kg; dog PO/IV 3/1 mg/kg; monkey PO 3 mg/kg
Administration:	Intravenous (i.v.) or oral gavage
Result:	$T_{1/2}$s of 4.8 and 2 h for rats and dogs, respectively.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2021 Feb 4;6(1):51.
- Signal Transduct Target Ther. 2021 May 29;6(1):212.
- Cell Rep. 2021 May 18;35(7):109133.
- Sci Rep. 2022 Jul 16;12(1):12197.

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REFERENCES

[1]. Ashok Arasappan, et al. Discovery of Narlaprevir (SCH 900518): A Potent, Second Generation HCV NS_3 Serine Protease Inhibitor. ACS Med Chem Lett. 2010 Feb 15;1(2):64-9.

[2]. X Tong, et al. Preclinical characterization of the antiviral activity of SCH 900518 (narlaprevir), a novel mechanism-based inhibitor of hepatitis C virus NS_3 protease. Antimicrob Agents Chemother. 2010 Jun;54(6):2365-70.

[3]. 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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