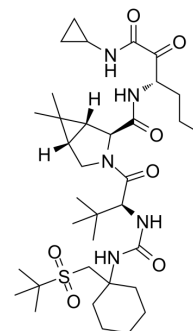


Narlaprevir

Cat. No.:	HY-10300
CAS No.:	865466-24-6
Molecular Formula:	C ₃₆ H ₆₁ N ₅ O ₇ S
Molecular Weight:	707.96
Target:	HCV; HCV Protease; SARS-CoV
Pathway:	Anti-infection; Metabolic Enzyme/Protease
Storage:	<div> <div>Powder</div> <div>-20°C 3 years</div> <div>4°C 2 years</div> </div> <div> <div>In solvent</div> <div>-80°C 2 years</div> <div>-20°C 1 year</div> </div>



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (70.63 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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 EC₉₀ 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 IC₅₀ of 2.3 μM^[3].

IC₅₀ & 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	<p>Narlaprevir (SCH 900518) potently inhibits ketoamide with a K_i value of 7 nM^[2].</p> <p>Narlaprevir (SCH 900518) potently inhibits replicon RNA with an EC_{50} value of 40 nM^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>Pharmacokinetic Analysis</p> <p>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].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table> <tr> <td>Animal Model:</td><td>rats, dogs, monkeys^[1]</td></tr> <tr> <td>Dosage:</td><td>Rat PO/IV 10/4 mg/kg; dog PO/IV 3/1 mg/kg; monkey PO 3 mg/kg</td></tr> <tr> <td>Administration:</td><td>Intravenous (i.v.) or oral gavage</td></tr> <tr> <td>Result:</td><td>$T_{1/2}$s of 4.8 and 2 h for rats and dogs, respectively.</td></tr> </table>	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.
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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 NS₃ 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 NS₃ 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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