Velpatasvir

Cat. No.: HY-12530

CAS No.: 1377049-84-7

Molecular Formula: $C_{49}H_{54}N_8O_8$

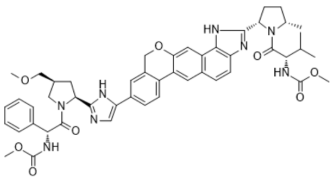
Molecular Weight: 883

Target: HCV; SARS-CoV

Pathway: Anti-infection

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 : ≥ 100 mg/mL (113.25 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.1325 mL	5.6625 mL	11.3250 mL
5 mM	0.2265 mL	1.1325 mL	2.2650 mL
10 mM	0.1133 mL	0.5663 mL	1.1325 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 (2.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (2.83 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Velpatasvir (VEL, GS-5816) is a novel pan-genotypic hepatitis C virus (HCV) nonstructural protein 5A (NS5A) inhibitor with activity against genotype 1 (GT1) to GT6 HCV replicons. Velpatasvir is also a SARS-CoV $3CL^{pro}$ inhibitor with an IC_{50} of 2.16 μM[2].

In Vivo

Velpatasvir (10 mg/kg/d, p.o.) alone or in combination with Sofosbuvir (HY-15005) (20 mg/kg/d) inhibits liver fibrosis in the

CCl_4-induced non-HCV rat model[3].

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

Animal Model:	CCl_4-induced non-HCV rat model[3]
Dosage:	10 mg/kg/d alone, or in combination with Sofosbuvir (20 mg/kg/d)
Administration:	p.o.
Result:	Decreased the levels of TNF-a, NF-κB and IL-6 in serum and hepatic tissues. Inhibited hepatic stellate cells (HSCs).

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2021 May 29;6(1):212.
- Hepatology. 2019 May;69(5):1861-1872.
- J Gastroenterol. 2019 May;54(5):449-458.
- Viruses. 2018 Aug 28;10(9). pii: E462.
- Pharmaceuticals. 2022 Feb 18;15(2):242.

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REFERENCES

[1]. Yasmeen S, et al. An insight into the hepatoprotective role of Velpatasvir and Sofosbuvir per se and in combination against carbon tetrachloride-induced hepatic fibrosis in rats. Environ Sci Pollut Res Int. 2023 Sep;30(42):95660-95672.

[2]. Lawitz EJ et al. Clinical Resistance to Velpatasvir (GS-5816), a Novel Pan-Genotypic Inhibitor of the Hepatitis C Virus NS5A Protein. Antimicrob Agents Chemother. 2016 Aug 22;60(9):5368-78.

[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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