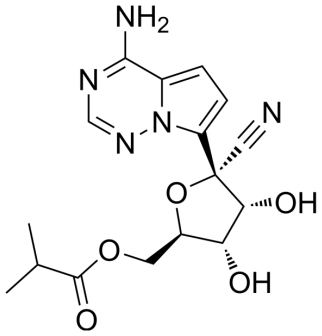
Obeldesivir

Cat. No.:	HY-145994
CAS No.:	2647441-36-7
Molecular Formula:	$C_{16}H_{19}N_5O_5$
Molecular Weight:	361.35
Target:	SARS-CoV
Pathway:	Anti-infection
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (691.85 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7674 mL	13.8370 mL	27.6740 mL
	5 mM	0.5535 mL	2.7674 mL	5.5348 mL
	10 mM	0.2767 mL	1.3837 mL	2.7674 mL

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

BIOLOGICAL ACTIVITY

Description

Obeldesivir (ATV006) is a potent, orally active antiviral agent and ester proagents of GS-441524. Obeldesivir inhibits the replication of SARS-CoV-2 and its variants. Obeldesivir can be used for SARS-CoV-2 research[1].

In Vitro

Obeldesivir (0.001-100 μM; 48 h; Vero E6 cells) inhibits the replication of authentic SARS-CoV-2 and its variants of concern. Obeldesivir has an overall >4-fold and >12-fold potency improvement in inhibiting the replication of Delta and Omicron variants, with EC_{50} values of 0.349 μM and 0.106 μM, respectively[1].

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

In Vivo

Obeldesivir (5-25 mg/kg; p.o. and i.v.; Sprague Dawley rats) has favorable pharmacokinetic profiles in rats with high oral bioavailability (F %) of 81.5% and maximum blood concentration (C_{max}) of 8.2 μM[1].

Obeldesivir (250-500 mg/kg; p.o.; daily, for 4 days; hACE2 knock-in and Ad5-hACE2 mice) has antiviral activity and inhibits SARS-CoV-2 replication in mouse models[1].

Obeldesivir (100-250 mg/kg; p.o.; daily, for 10 days) reduces lung damage and protects K18-hACE2 mice[1].

Obeldesivir (10-150 mg/kg; p.o.; daily, for 3 days) reduces virus titers and lung damage caused by Delta variant infection in K18-hACE2 mice[1].

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

Animal Model:	Sprague Dawley rats[1]
Dosage:	5 and 25 mg/kg
Administration:	Oral administration (25 mg/kg) and intravenous injection (5 mg/kg)
Result:	

parameters	i.v. (5 mg/kg)	p.o. (25 mg/kg)
AUC_{last} (μM·h)	5.6	22.8
$T_{1/2}$ (h)	1.5	1.2
T_{max} (h)		0.5
C_{max} (μM)	8.7	8.2
F %		81.5

Animal Model:	hACE2 knock-in and Ad5-hACE2 mice[1]
Dosage:	250 and 500 mg/kg
Administration:	Oral administration; daily, for 4 days
Result:	Inhibited gRNA and sgRNA, which is Biomarkers of coronavirus replication. Reduced the viral load and pathological damage of the lung.

Animal Model:	K18-hACE2 mice[1]
Dosage:	100 and 250 mg/kg
Administration:	Oral administration; daily, for 10 days
Result:	Reduced viral RNA and increased the survival rate of mice. Reduced evidence of lung pathology and the production of inflammatory cytokines and chemokines in the lung tissues.

Animal Model:	K18-hACE2 mice[1]
Dosage:	10, 30, 80 and 150 mg/kg
Administration:	Oral administration; daily, for 3 days
Result:	Reduced viral load in a dose-dependent manner and alleviated the symptoms in the lung.

REFERENCES

[1]. Cao L, et, al. The adenosine analog prodrug ATV006 is orally bioavailable and has preclinical efficacy against parental SARS-CoV-2 and variants. Sci Transl Med. 2022 May 17:eabm7621.

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