Plitidepsin

Cat. No.: HY-16050

CAS No.: 137219-37-5

Molecular Formula: $C_{57}H_{87}N_7O_{15}$

Molecular Weight: 1110.34

Target: DNA/RNA Synthesis; SARS-CoV

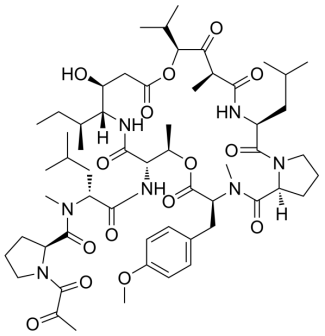
Pathway: Cell Cycle/DNA Damage; Anti-infection

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder -80°C 2 years

-20°C 1 year

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (90.06 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9006 mL	4.5031 mL	9.0063 mL
	5 mM	0.1801 mL	0.9006 mL	1.8013 mL
	10 mM	0.0901 mL	0.4503 mL	0.9006 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 (2.25 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Plitidepsin (Aplidine) is a potent anti-cancer agent by targeting eEF1A2 (K_D=80 nM)[1]. Plitidepsin possesses antiviral activity and is against SARS-CoV-2 with an IC_{90} of 0.88 nM. Plitidepsin is usually used for multiple myeloma and advanced cancer research, and has the potential for COVID-19 research[1][2].

In Vitro

Plitidepsin (20 nM; 1 h) induces a dose-dependent decrease in VEGF secretion in MOLT-4 cells[1].
?Plitidepsin (20 nM; 1 h) does not result in significant inhibition of VEGF-R1 mRNA in normal endothelial cells, which do express VEGFR-1 but do not secrete VEGF[1].
?Plitidepsin inhibits SARS-CoV-2 with an IC_{90}?of 1.76 nM. In hACE2-293T cells, Plitidepsin exhibits anti–SARS-CoV-2 activity with an IC_{90} of 0.88 nM. In an established model of human pneumocyte-like cells, Plitidepsin inhibits SARS-CoV-2 replication

	with an IC_{90}?of 3.14 nM and a selectivity index of 40.4[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Plitidepsin (intraperitoneal?injection; 0.3 mg/kg or 1 mg/kg; 2 hours before infection with SARS-CoV-2) significantly reduces SARS-CoV-2 infection in BALB/c mice expressing human ACE2. 0.3 mg/kg plitidepsin group results in a reduction of nearly 2 log units in SARS-CoV-2 viral titers in the lungs, and the 1 mg/kg group leads to? a reduction of 1.5 log units relative to the vehicle control group[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	BALB/c mice[1]
Dosage:	0.3 mg/kg; 1 mg/kg
Administration:	1 day QD at 1 mg/kg; 3days QD at 0.3 mg/kg
Result:	Showed in vivo antiviral efficacy in mouse models of SARS-CoV-2 infection.

CUSTOMER VALIDATION

- bioRxiv. 2023 Jan 17.

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REFERENCES

[1]. Alejandro Losada, et al. Translation Elongation Factor eEF1A2 is a Novel Anticancer Target for the Marine Natural Product Plitidepsin. Sci Rep. 2016 Oct 7;6:35100.

[2]. Kris M White, et al. Plitidepsin has potent preclinical efficacy against SARS-CoV-2 by targeting the host protein eEF1A. Science. 2021 Feb 26;371(6532):926-931.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA