**Proteins** 

# Inhibitors

## **Emodepside**

Cat. No.: HY-101476 CAS No.: 155030-63-0 Molecular Formula:  $C_{60}H_{90}N_{6}O_{14}$ Molecular Weight: 1119.39 Target: Parasite Pathway: Anti-infection

Powder Storage: -20°C

3 years 2 years

In solvent -80°C 2 years

> -20°C 1 year

**Product** Data Sheet

#### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 120 mg/mL (107.20 mM; Need ultrasonic) H<sub>2</sub>O: < 0.1 mg/mL (ultrasonic) (insoluble)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	0.8933 mL	4.4667 mL	8.9334 mL
	5 mM	0.1787 mL	0.8933 mL	1.7867 mL
	10 mM	0.0893 mL	0.4467 mL	0.8933 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: 3 mg/mL (2.68 mM); Suspended solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 3 mg/mL (2.68 mM); Suspended solution; Need ultrasonic
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 3 mg/mL (2.68 mM); Clear solution

### **BIOLOGICAL ACTIVITY**

Description Emodepside (PF 1022-221) is a cyclooctadepsipeptide with broad-spectrum anthelmintic activity.

In Vitro

Emodepside is a semisynthetic derivative of PF1022A, which contains a morpholine attached in para position at each of both D-phenyllactic acids. Emodepside is efficacious against a variety of gastrointestinal nematodes. Emodepside binds to a presynaptic latrophilin receptor in nematodes<sup>[1]</sup>. Emodepside produces a slow time-dependent (20 min), 4-aminopyridine sensitive, concentration-dependent hyperpolarization and increase in voltage-activated K currents. Emodepside has an inhibitory effect on spiking. Emodepside significantly inhibits the ryanodine increase in spike frequency between the 20 and

	35 min period by 9.8 spikes/min <sup>[2]</sup> . In the presence of emodepside, highly increased currents are observed without depolarization up to a threshold of 0 mV and without any additional stimuli to artificially increase [Ca <sup>2+</sup> ]i levels. These no findings confirm that Slo-1 is a direct target of emodepside <sup>[3]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	Emodepside interferes with signaling at the neuromuscular junction on the body-wall muscles, pharynx and egg-laying muscles and thus inhibits three important physiological functions: locomotion, feeding and reproduction <sup>[4]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

#### **REFERENCES**

- [1]. Harder A, et al. Mechanisms of action of emodepside. Parasitol Res. 2005 Oct;97 Suppl 1:S1-10.
- [2]. Buxton SK, et al. On the mode of action of emodepside: slow effects on membrane potential and voltage-activated currents in Ascaris suum. Br J Pharmacol. 2011 Sep;164(2b):453-70.
- [3]. Kulke D, et al. Characterization of the Ca2+-gated and voltage-dependent K+-channel Slo-1 of nematodes and its interaction with emodepside. PLoS Negl Trop Dis. 2014 Dec 18;8(12):e3401.
- [4]. Bull K, et al. Effects of the novel anthelmintic emodepside on the locomotion, egg-laying behaviour and development of Caenorhabditis elegans. Int J Parasitol. 2007 May;37(6):627-36.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$ 

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