Eprinomectin

Cat. No.: HY-12643

CAS No.: 123997-26-2

Molecular Formula: $C_{50}H_{75}NO_{14}$

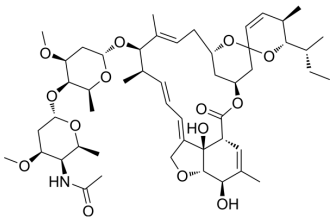
Molecular Weight: 914.13

Target: Parasite; Bacterial; Reactive Oxygen Species; β-catenin; Apoptosis; Autophagy

Pathway: Anti-infection; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB; Stem Cell/Wnt; Apoptosis; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (136.74 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.0939 mL	5.4697 mL	10.9394 mL
5 mM	0.2188 mL	1.0939 mL	2.1879 mL
10 mM	0.1094 mL	0.5470 mL	1.0939 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 (2.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (2.73 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Eprinomectin (MK-397) is a type of avermectin. Eprinomectin, as a broad-spectrum fungicide, has insecticidal, insecticidal and acaricidal activities. Eprinomectin induces apoptosis and autophagy in prostate cancer cells and has antitumor activity [1][2][3].

IC_{50} & Target

Mite

In Vitro

Eprinomectin (2.5-50 μM; 0-48 h) significantly inhibits DU145 cell viability (IC_{50}: 12.5 μM), colony formation and migration ability, and induces G0/G1 phase cell cycle arrest[1].
Eprinomectin (10-50 μM; 48 h) induces apoptosis and autophagy, increases the production of reactive oxygen species and endoplasmic reticulum stress, and promotes β-catenin nucleoplasmic translocation in DU145 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	DU145 cells
Concentration:	2.5, 5, 10, 25 and 50 μM
Incubation Time:	48 h
Result:	Significantly inhibited the cell viability.

Western Blot Analysis[1]

Cell Line:	DU145 cells
Concentration:	10, 25 and 50 μM
Incubation Time:	48 h
Result:	Promoted the protein expression of the pro-apoptotic marker BAD and DNA damage marker pH2AX as well as caspase-9 in a concentration-dependent manner. Inhibited DNA repair by decreasing PARP-1.

In Vivo

Eprinomectin (0.08-0.5 mg/kg; Local pipette delivery; 14 days) has insecticidal, insecticidal and acaricidal activities in cattle [2].

Pharmacokinetic Analysis in cattle[1]

Route	Dose (μg/kg)	K_{el} (day^{-1})	$t_{1/2}$ (day)	T_{max} (day)	C_{max} (ng/mL)	AUC_{0-t} (ng day mL^{-1})	$AUC_{0-\infty}$ (ng day mL^{-1})	$AUC_{0-t}/AUC_{0-\infty}$
s.c.	200	0.267	2.959	1.333	47.15	228.08	240.50	0.947

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

Animal Model:	Infective nematode or chorioptes bovis treated male Jersey calves[2]
Dosage:	0.08, 0.16, 0.2, 0.24 and 0.5mg/kg
Administration:	Delivered topically from the tailhead to the withers at skin level via a pipette; 14 days
Result:	Produced maximal or near maximal efficacy against most of the adult endoparasites with the exception of T. colubriformis (87%) and C. oncophora (88%) at lowest dosage (0.08 mg/kg). Produced ≥ 95% control at all dosage levels by day 14 post-treatment and was maintained at or near this efficacious level for the 6-week duration of the trial.

REFERENCES

[1]. Samy A, et al. Eprinomectin: a derivative of ivermectin suppresses growth and metastatic phenotypes of prostate cancer cells by targeting the β-catenin signaling pathway. J Cancer Res Clin Oncol. 2023 Sep;149(11):9085-9104.

[2]. do Nascimento CG, et al. Injectable eprinomectin for cattle: Tick efficacy and pharmacokinetics. J Vet Pharmacol Ther. 2020 Mar;43(2):171-178.

[3]. Shoop WL, et al. Eprinomectin: a novel avermectin for use as a topical endectocide for cattle. Int J Parasitol. 1996 Nov;26(11):1237-42.

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