Selamectin

Cat. No.: HY-107212

CAS No.: 220119-17-5

Molecular Formula: $C_{43}H_{63}NO_{11}$

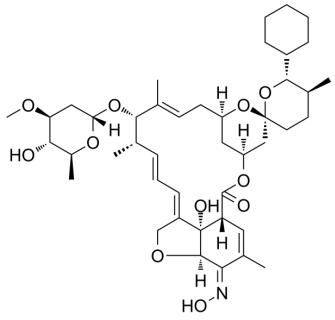
Molecular Weight: 769.96

Target: Parasite; Chloride Channel; P-glycoprotein

Pathway: Anti-infection; Membrane Transporter/Ion Channel

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 : ≥ 100 mg/mL (129.88 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.2988 mL	6.4938 mL	12.9877 mL
5 mM	0.2598 mL	1.2988 mL	2.5975 mL
10 mM	0.1299 mL	0.6494 mL	1.2988 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 (3.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (3.25 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Selamectin, a semi-synthetic macrocyclic lactone, is a potent parasiticide and anthelminthic. Selamectin activates glutamate-gated chloride channels in neurons and pharyngeal muscles to prevent heartworm, ***Lymphatic filariae***, and nematode infection. Selamectin is also a potent P-glycoprotein substrate and a P-glycoprotein inhibitor with an IC_{50} of 120 nM[1][2].

In Vitro

The transport of radiolabelled Selamectin through Caco-2 monolayers shows that Selamectin is P-glycoprotein (P-gp)

	substrates with a secretory/absorptive ratio of 4.7. Selamectin inhibits the efflux of Rh-123 from peripheral blood lymphocytes (PBL) and the concentration of inhibition is similar to that of Verapamil[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	A single administration of 6 mg/kg topical Selamectin given every two months could effectively prevent B. malayi infection in cats. Application of topical Selamectin twice a year could block circulating microfilariae[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	The cats are weighed on Days-2, 29 and 58 to calculate the dosing. Cats in group 1 (control group) remain untreated. On Day 0, the cats in group 3 are treated with fluralaner at the minimum recommending label dose of 40.0 mg per kg body weight. On Days 0, 30 and 60, the cats in group 2 are treated with the new spot-on formulation at the minimum recommending label dose of 1.0 mg/kg sarolaner and 6.0 mg/kg Selamectin. The cats are observed at different time points after treatment for possible adverse reactions to treatment. On Day 0, administration site observations are performed on all cats 30 min (±5 min), 3 h (±15 min) and 24 h (±1 h) and again on Days 3 and 5 after treatment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Patsharaporn T Sarasombath, et al. First study of topical selamectin efficacy for treating cats naturally infected with Brugia malayi and Brugia pahangi under field conditions. Parasitol Res. 2019 Apr;118(4):1289-1297.

[2]. J Griffin, et al. Selamectin is a potent substrate and inhibitor of human and canine P-glycoprotein. J Vet Pharmacol Ther. 2005 Jun;28(3):257-65.

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