Sarolaner

Cat. No.: HY-16730

CAS No.: 1398609-39-6

Molecular Formula: $C_{23}H_{18}Cl_2F_4N_2O_5S$

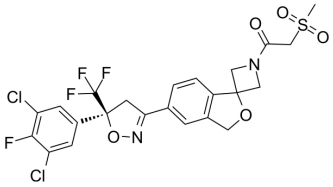
Molecular Weight: 581.36

Target: Parasite

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 300 mg/mL (516.03 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7201 mL	8.6005 mL	17.2010 mL
	5 mM	0.3440 mL	1.7201 mL	3.4402 mL
	10 mM	0.1720 mL	0.8601 mL	1.7201 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: ≥ 7.5 mg/mL (12.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 7.5 mg/mL (12.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sarolaner (PF-6450567) is an orally active and broad-spectrum ectoparasiticide. Sarolaner is an isoxazoline compound which shows efficacy against fleas and ticks on dogs, with a LC_{80} value of 0.3 μg/mL against C. felis and a LC_{100} value of 0.003 μg/mL against O. turicata[1].

IC_{50} & Target

LC80: 0.3μg/mL (C. felis)[1]
LC100: 0.003 μg/mL (O. turicata)[1]
IC50: 135 nM (CfRDL-A285), 136 nM (CfRDL-S285)[1]

In Vitro

Sarolaner (450 nM-1 mM; 5 min) inhibits CfRDL-A285 and CfRDL-S285 receptors with γ-Aminobutyric acid (GABA)-induced currents[1].

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

Cell Viability Assay[1]

Cell Line:	CHO-K1 cell lines
Concentration:	450 nM-1 mM
Incubation Time:	5 min
Result:	Inhibited GABA-elicited currents at both susceptible (CfRDL-A285) and resistant (CfRDL-S285) flea GABACls with EC_{50}s of 135 and 136 nM, respectively.

In Vivo

Sarolaner (2.5 mg/kg; p.o. once) shows 100% efficacious against R. sanguineus and D. reticulatus[1].
?Sarolaner (1.25- 5 mg/kg; p.o. once) effectively against I. ricinus[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Dog infested with R. sanguineus and D. reticulatus[1]
Dosage:	2.5 mg/kg
Administration:	Oral gavage; 2.5 mg/kg once
Result:	Exibited 100% efficacy against R. sanguineus at 48 hours after treatment and also exhibited 98.0% efficacy againstD. reticulatus.

Animal Model:	Dog infested with I. ricinus[1]
Dosage:	1.25, 2.5 and 5 mg/kg
Administration:	Oral gavage; 1.25, 2.5 and 5 mg/kg once
Result:	Exibited 100% efficacy against I. ricinus at all doses until 7 days, and provided over 99.3% reduction against subsequent re-infestations until 57 days at dose of 5.0 and 2.5 mg/kg.

REFERENCES

[1]. McTier TL, et al. Discovery of sarolaner: A novel, orally administered, broad-spectrum, isoxazoline ectoparasiticide for dogs. Vet Parasitol. 2016 May 30;222:3-11.

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