Fexinidazole

Cat. No.: HY-13801

CAS No.: 59729-37-2

Molecular Formula: $C_{12}H_{13}N_3O_3S$

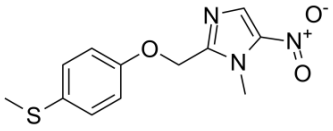
Molecular Weight: 279.31

Target: Parasite

Pathway: Anti-infection

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 : 50 mg/mL (179.01 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5803 mL	17.9013 mL	35.8025 mL
5 mM	0.7161 mL	3.5803 mL	7.1605 mL
10 mM	0.3580 mL	1.7901 mL	3.5803 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 (8.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (8.95 mM); Clear solution; Need warming

BIOLOGICAL ACTIVITY

Description

Fexinidazole (HOE 239) is an orally active, potent nitroimidazole antitrypanosomal drug. Fexinidazole shows trypanocidal activity against T. brucei subspecies and strains with IC_{50}s of 0.7-3.3 μM (0.2-0.9 μg/ml). Fexinidazol has the potential for human sleeping sickness (HAT) caused by infection with T. brucei[1].

In Vitro

Fexinidazole (HOE 239) has two principal metabolites, sulfoxide and sulfone. They have shown trypanocidal activity in vitro with IC_{50}s of 0.7-3.3 μM (0.2-0.9 μg/ml) range against all parasite strains tested[1].

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

In Vivo

Fexinidazole (HOE 239; 20-50 mg/kg/day of IP or 25-100 mg/kg/day of PO; four consecutive days) has antitrypanosomal

activities[1].

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

Animal Model:	Adult female NMRI mice weighing between 20 and 25 g T. b. rhodesiense[1]
Dosage:	20, 50 mg/kg (IP) or 25, 50, 100 mg/kg (PO)
Administration:	IP or PO; daily; four consecutive days
Result:	Had antitrypanosomal activities, with 100 mg/kg/day p.o. being 100% curative.

REFERENCES

[1]. Kaiser M, et al. Antitrypanosomal activity of fexinidazole, a new oral nitroimidazole drug candidate for treatment of sleeping sickness. Antimicrob Agents Chemother. 2011 Dec;55(12):5602-8.

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