(R)-Morinidazole

Cat. No.: HY-15781A

CAS No.: 898230-59-6

Molecular Formula: $C_{11}H_{18}N_4O_4$

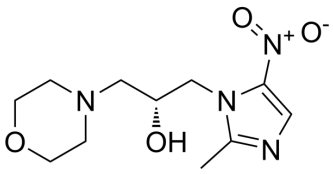
Molecular Weight: 270.29

Target: Bacterial

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (369.97 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.6997 mL	18.4986 mL	36.9973 mL
5 mM	0.7399 mL	3.6997 mL	7.3995 mL
10 mM	0.3700 mL	1.8499 mL	3.6997 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 (9.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(R)-Morinidazole is an orally active and 5-nitroimidazole antimicrobial agent that undergoes extensive metabolism in humans via N^+-glucuronidation and sulfation. (R)-Morinidazole can be used for bacterial infections research including appendicitis and pelvic inflammatory disease (PID) caused by anaerobic bacteria[1].

IC_{50} & Target

organic anion transporter[1]

In Vitro

(R)-Morinidazole can be metabolized to N^+-glucuronide of S-Morinidazole R enantiomer [M8-1] and N^+-glucuronide of (R)-Morinidazole [M8-2] via N^+-glucuronidation, and sulfate conjugate of (R)-Morinidazole [M7] via sulfation[1].
M7 is a substrate for organic anion transporter 1 (OAT1) and OAT3 (K_m=28.6 and 54.0 μM, respectively), M8-1 and M8-2 are the substrates for OAT3[1].
(R)-Morinidazole shows activity against Trichomonas vaginalis and Entamoeba histolytica in vitro, with MIC values of 2 μg/mL and 3 μg/mL, respectively[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

(R)-Morinidazole (20 mg/kg or 25 mg/kg; p.o.; single dose) inhibits Trichomonas vaginalis and Entamoeba histolytica in vivo in rats with EC_{50}s of 20 mg/kg and 25 mg/kg, respectively[2].
(R)-Morinidazole (50 mg/kg; i.v.; 0.25, 0.75, 1.5 h) shows a different concentration in tissues after intravenous injection, with a higher concentration in liver, kidney, plasma than lung, heart, and spleen in mice[3].
Pharmacokinetic parameters of (R)-Morinidazole in control and 5/6 nephrectomized (Nx) rats[3]

Group	C_{max} (μg/mL)	T_{max} (h)	$T_{1/2}$ (h)	AUC_{0-t} (μg·h/mL)	$AUC_{0-\infty}$ (μg·h/mL)	CL (mL/h/kg)	V_{ss} (mL/kg)	MRT (h)
Control rats	48.2	0.08	1.16	87.2	87.3	582	805	1.39
5/6 Nx rats	53.2	0.08	1.32	91.2	91.3	552	891	1.62

Intravenous injection; 50 mg/kg (R)-Morinidazole; Blood samples were collected from retro-orbital venous plexus before the dose (0 hours), at 5, 15, and 30 minutes, and at 1, 2, 4, 6, 8, and 12 hours after the dose.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Renal failure model in SD rats (180-220 g)[3]
Dosage:	50 mg/kg
Administration:	Intravenous injection; sacrificed rats at 0.25, 0.75, and 1.50 hours after dose administration
Result:	Increased plasma exposures slightly compared with control.

REFERENCES

[1]. Kong F, et al. Increased Plasma Exposures of Conjugated Metabolites of Morinidazole in Renal Failure Patients: A Critical Role of Uremic Toxins. Drug Metab Dispos. 2017 Jun;45(6):593-603.

[2]. Lu Aifeng, et al. Application of α-(morpholine-1-yl)methyl-2-methyl-nitroimidazole-1-ethanol as anti-trichomonal agent and amebacide: China, CN1981764[P]. 2007-06-20.

[3]. Zhong K, et al. Effects of renal impairment on the pharmacokinetics of morinidazole: uptake transporter-mediated renal clearanceof the conjugated metabolites. Antimicrob Agents Chemother. 2014 Jul;58(7):4153-61.

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