Rifalazil

Cat. No.: HY-105099

CAS No.: 129791-92-0

Molecular Formula: $C_{51}H_{64}N_4O_{13}$

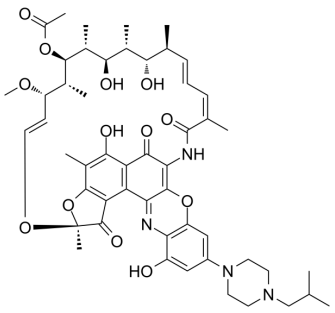
Molecular Weight: 941.07

Target: DNA/RNA Synthesis; Bacterial

Pathway: Cell Cycle/DNA Damage; 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 : 8.33 mg/mL (8.85 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0626 mL	5.3131 mL	10.6262 mL
	5 mM	0.2125 mL	1.0626 mL	2.1252 mL
	10 mM	---	---	---

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: ≥ 2.2 mg/mL (2.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.2 mg/mL (2.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rifalazil (KRM-1648; ABI-1648), a rifamycin derivative, inhibits the bacterial DNA-dependent RNA polymerase and kills bacterial cells by blocking off the β-subunit in RNA polymerase[1]. Rifalazil (KRM-1648; ABI-1648) is an antibiotic, exhibits high potency against mycobacteria, gram-positive bacteria, Helicobacter pylori, C. pneumoniae and C. trachomatis with MIC values from 0.00025 to 0.0025 μg/ml[3]. Rifalazil (KRM-1648; ABI-1648) has the potential for the treatment of Chlamydia infection, Clostridium difficile associated diarrhea (CDAD), and tuberculosis (TB)[2].

IC_{50} & Target

IC50: RNA polymerase[1]

In Vitro

Rifalazil exhibits antimicrobal activity against Gram-positive enteric bacteria, inhibits Clostridium difficile, Clostridium perfringens, Bacteroides fragilis with MIC_{50} value of 0.0015, 0.0039, 0.0313 μg/ml, respectively[3].
Rifalazil exhibits antimicrobal activity against Gram-negative enteric bacteria, inhibits Escherichia coli and Klebsiella

pneumoniae with MIC_{50} value of 16 and 16 μg/ml, respectively[3].
Rifalazil exhibits antimicrobal activity against non-enteric Gram-positive bacteria, inhibits Methicillin-susceptible Staphylococcus aureus, Methicillin-resistant S. aureus, Methicillin- and quinolone-resistant S. aureus, Staphylococcus epidermidis, Streptococcus pyogenes, Streptococcus pneumoniae with MIC_{50} value of 0.0078, 0.0078, 0.0078, 0.0078, 0.0002, 0.0001 μg/ml, respectively[3].
Rifalazil exhibits antimicrobal activity against Helicobacter pylori, Chlamydia pneumoniae and Chlamydia trachomatis with MIC_{50} value of 0.004, 0.000125 and 0.00025 μg/ml, respectively[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Rifalazil (oral gavage; 20, 25, and 150 mg/kg; 6-8 weeks) combines with isoniazid (INH) for 6 weeks or greater significantly reduced the number of mice per group in which M. tuberculosis is detected in both spleens and lungs compared to the reductions for the early and late controls. And the addition of Pyrazinamide (PZA) does not significantly improve RLZ-INH therapy at any time point[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female CD-1 mice infected with 5.2×10^7 viable mycobacteria[2]
Dosage:	20, 25, and 150 mg/kg; 6-8 weeks
Administration:	Oral gavage
Result:	Combined with isoniazid (INH) showed its potential for short-course treatment of Mycobacterium tuberculosis infection.

REFERENCES

[1]. Suchland RJ, et al. Rifalazil pretreatment of mammalian cell cultures prevents subsequent Chlamydia infection.Antimicrob Agents Chemother. 2006 Feb;50(2):439-44.

[2]. Shoen CM, et al. Evaluation of rifalazil in long-term treatment regimens for tuberculosis in mice.Antimicrob Agents Chemother. 2000 Jun;44(6):1458-62.

[3]. Rothstein DM, et al. Development potential of rifalazil.Expert Opin Investig Drugs. 2003 Feb;12(2):255-71.

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