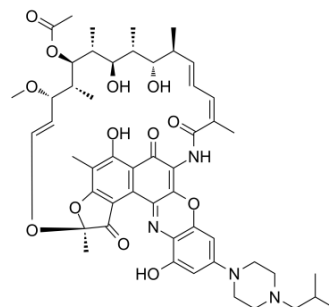


Rifalazil

Cat. No.:	HY-105099
CAS No.:	129791-92-0
Molecular Formula:	C ₅₁ H ₆₄ N ₄ O 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)				
		Solvent Concentration	Mass		
	Preparing Stock Solutions		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] .
IC₅₀ & Target	IC50: RNA polymerase ^[1]
In Vitro	Rifalazil exhibits antimicrobial 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 antimicrobial activity against Gram-negative enteric bacteria, inhibits Escherichia coli and Klebsiella

pneumoniae with MIC₅₀ value of 16 and 16 µg/ml, respectively^[3].
Rifalazil exhibits antimicrobial 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 MIC₅₀ value of 0.0078, 0.0078, 0.0078, 0.0078, 0.0002, 0.0001 µg/ml, respectively^[3].
Rifalazil exhibits antimicrobial activity against Helicobacter pylori, Chlamydia pneumoniae and Chlamydia trachomatis with MIC₅₀ 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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA