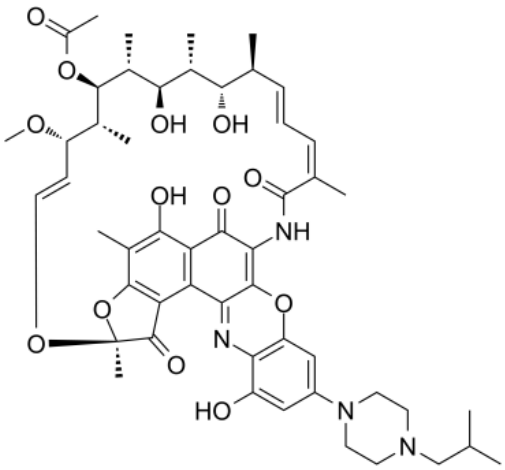
Product Data Sheet

Product Name: Rifalazil
Cat. No.: GC61246

Chemical Properties

Cas. No.	129791-92-0		
SMILES	CC(C)CN(CC1)CCN1C2=CC(O3)=C(C(O)=C2)N=C(C3=C(NC(/C(C)=C\C=C\[C@@H]([C@H](O)[C@@H](C)[C@@H](O)[C@@H](C)[C@@H]([C@@H]([C@H](/C=C/O[C@@]4(C)O5)OC)C)OC(C)=O)C)=O)C6=O)C7=C6C(O)=C(C)C5=C7C4=O		
Formula	$C_{51}H_{64}N_4O_{13}$	M.Wt	941.07
Solubility	DMSO: 8.33 mg/mL (8.85 mM)	Storage	4°C, away from moisture
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



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Background

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].

Rifalazil exhibits antimicrobal activity against Gram-positive enteric bacteria, inhibits Clostridium difficile, Clostridium perfringens, Bacteroides fragilis with MIC50 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 MIC50 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 MIC50 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 MIC50 value of 0.004, 0.000125 and 0.00025 µg/ml, respectively[3].

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]. Animal Model: Female CD-1 mice infected with 5.2 × 107 viable mycobacteria[2]

[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.
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