

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\[C@@H]([C@H](O)[C@@H](C)[C@@H](O)[C@@H](C)[C@@H]([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

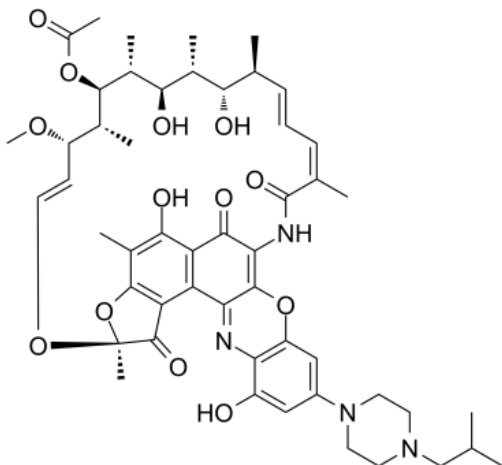
Formula $C_{51}H_{64}N_4O_{13}$ M.Wt 941.07

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



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

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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 $\mu\text{g/ml}$ [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 antimicrobial activity against Gram-positive enteric bacteria, inhibits *Clostridium difficile*, *Clostridium perfringens*, *Bacteroides fragilis* with MIC50 value of 0.0015, 0.0039, 0.0313 $\mu\text{g/ml}$, respectively[3]. Rifalazil exhibits antimicrobial activity against Gram-negative enteric bacteria, inhibits *Escherichia coli* and *Klebsiella pneumoniae* with MIC50 value of 16 and 16 $\mu\text{g/ml}$, 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 $\mu\text{g/ml}$, 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 $\mu\text{g/ml}$, 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 5.2×10^7 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.

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