Garenoxacin Mesylate hydrate

Cat. No.: HY-17460A

CAS No.: 223652-90-2

Molecular Formula: $C_{24}H_{26}F_2N_2O_8S$

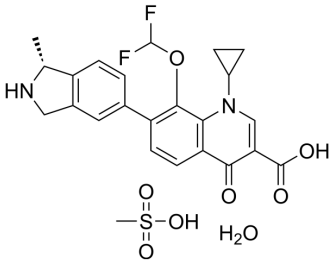
Molecular Weight: 540.53

Target: Bacterial; Antibiotic; Topoisomerase; DNA/RNA Synthesis

Pathway: Anti-infection; Cell Cycle/DNA Damage

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 : 100 mg/mL (185.00 mM; Need ultrasonic)

H_2O : 12.5 mg/mL (23.13 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8500 mL	9.2502 mL	18.5004 mL
5 mM	0.3700 mL	1.8500 mL	3.7001 mL
10 mM	0.1850 mL	0.9250 mL	1.8500 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Garenoxacin (BMS284756) Mesylate hydrate is an orally active quinolone antibiotic and has a broad spectrum of activity against a wide array of gram-positive and gram-negative bacteria, anaerobes, and fastidious organisms[1].

IC_{50} & Target	Quinolone	Gyrase	TOPO IV
		1.25 μg/mL (IC_{50})	1.5-2.5 μg/mL (IC_{50})

In Vitro

Garenoxacin (BMS284756) (0-8 days) inhibits mycoplasmas and ureaplasmas with MIC_{90}s ≤0.25 μg/mL against tested strains [1].

Garenoxacin (48 h) inhibits S. aureus wild type and mutants with MICs of 0.0128-4.0 μg/mL[2].

Garenoxacin inhibits topoisomerase IV and gyrase from S. aureus with IC_{50}s of 1.25 to 2.5 and 1.25 μg/mL, respectively[2].

Garenoxacin has a low propensity for selective enrichment of fluoroquinolone-resistant mutants among ciprofloxacin-susceptible isolates of S. aureus[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	M. pneumonia, M. fermentans, M. hominis and Ureaplasma spp.
Concentration:	
Incubation Time:	24 h for Ureaplasma spp., 48 h for M. hominis, 4 to 8 days for M. pneumonia
Result:	Showed inhibition with MIC_{90}s of 0.031 μg/mL, ≤0.008 μg/mL, ≤0.008 μg/mL and 0.25 μg/mL against M. pneumonia, M. fermentans, M. hominis and Ureaplasma spp. strains, respectively.

In Vivo

Garenoxacin (12.5-50 mg/kg; s.c.; once) is highly effective against the wild-type strain and mutants harboring a single mutation in a mouse pneumonia model with S. pneumonia infection[4].

Garenoxacin (10 and 30 mg/kg; p.o.; once) reduces the viable cell counts in the lungs and significantly prolongs survival on experimental secondary pneumococcal pneumonia caused by S. pneumoniae D-979 in BALB/c female mice[5].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Swiss mice with S. pneumonia infection[4].
Dosage:	12.5, 25 and 50 mg/kg
Administration:	Subcutaneous injection, once
Result:	Significantly improved the survival rate.

REFERENCES

[1]. Azoulay-Dupuis E, et al. Activities of garenoxacin against quinolone-resistant Streptococcus pneumoniae strains in vitro and in a mouse pneumonia model. Antimicrob Agents Chemother. 2004 Mar;48(3):765-73.

[2]. Fukuda Y, et al. Therapeutic effects of garenoxacin in murine experimental secondary pneumonia by Streptococcus pneumoniae after influenza virus infection. Diagn Microbiol Infect Dis. 2014 Feb;78(2):168-71.

[3]. Waites KB, et al. In vitro susceptibilities to and bactericidal activities of garenoxacin (BMS-284756) and other antimicrobial agents against human mycoplasmas and ureaplasmas. Antimicrob Agents Chemother. 2003 Jan;47(1):161-5.

[4]. Ince D, et al. Dual targeting of DNA gyrase and topoisomerase IV: target interactions of garenoxacin (BMS-284756, T-3811ME), a new desfluoroquinolone. Antimicrob Agents Chemother. 2002 Nov;46(11):3370-80.

[5]. Zhao X, et al. Mutant prevention concentration of garenoxacin (BMS-284756) for ciprofloxacin-susceptible or -resistant Staphylococcus aureus. Antimicrob Agents Chemother. 2003 Mar;47(3):1023-7.

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