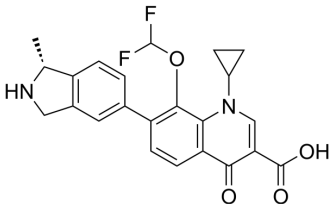
Garenoxacin

Cat. No.:	HY-17460
CAS No.:	194804-75-6
Molecular Formula:	$C_{23}H_{20}F_2N_2O_4$
Molecular Weight:	426.41
Target:	Bacterial; Antibiotic; Topoisomerase; DNA/RNA Synthesis
Pathway:	Anti-infection; Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Garenoxacin (BMS284756) 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 1.25 μg/mL (IC_{50})	TOPO IV 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]. 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.

[2]. 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.

[3]. 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.

[4]. 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.

[5]. 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.

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