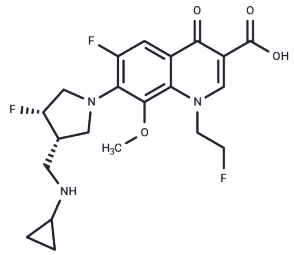
Data Sheet (Cat.No.T11819)

TargetMol

Lascufloxacin

Chemical Properties



CAS No. : 848416-07-9

Formula: C21H24F3N3O4

Molecular Weight: 439.43

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Lascufloxacin, a potent and orally active fluoroquinolone antibacterial agent, holds potential for the treatment of various infectious diseases, including lower respiratory tract infections. It effectively inhibits infections caused by a wide range of pathogens, encompassing those resistant to quinolones.
Targets(IC50)	Others,Antibacterial,Antibiotic
In vitro	Lascufloxacin demonstrates pronounced efficacy against both Gram-positive and Gram-negative bacteria, including macrolide-resistant M. pneumoniae, with a minimum inhibitory concentration for 90% of organisms (MIC90) as low as 0.12 μg/mL. It exhibits a broad spectrum of activity, with MIC values ranging from 0.008 to 0.015 μg/mL for S. aureus strains and maintaining effectiveness against various S. aureus mutant strains at 2 μg/mL, albeit showing some level of incomplete cross-resistance. Its potency surpasses that of other quinolones against first- and second-step mutants of S. pneumoniae, with MIC values for double mutants between 0.25 and 0.5 μg/mL. In the realm of Gram-negative bacteria, Lascufloxacin is active against Moraxella catarrhalis and both ampicillin-susceptible and -resistant Haemophilus influenzae strains, maintaining an MIC90 of 0.06 μg/mL. Additionally, it exhibits notable MIC90 values against Enterobacter spp., Klebsiella pneumoniae, and Acinetobacter spp. at 0.25 μg/mL, 0.25 μg/mL, and 0.5 μg/mL respectively, and displays efficacy against E. coli and P. aeruginosa with MIC90s of 0.25 μg/mL and 4 μg/mL, respectively. The MIC50 and MIC90 values against M. pneumoniae are 0.12 μg/mL and 0.25 μg/mL, showcasing its significant antibacterial activity across a range of pathogens[1].
In vivo	A pharmacodynamic study employing a mouse thigh infection model demonstrates that to achieve bacteriostasis, or a 1-log or 2-log reduction in S. pneumoniae colony-forming units (CFU), the necessary ratios of the free area under the concentration-time curve (fAUC) to the minimum inhibitory concentration (MIC) in plasma are 10, 16, and 28, respectively. Lascufloxacin effectively eliminates bacterial presence in this mouse model when the plasma concentration-time curve (AUC) is replicated with a daily dosage of 75 mg [q.d.]) [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2757 mL	11.3784 mL	22.7568 mL
5 mM	0.4551 mL	2.2757 mL	4.5514 mL
10 mM	0.2276 mL	1.1378 mL	2.2757 mL
50 mM	0.0455 mL	0.2276 mL	0.4551 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kishii R, et al. In Vitro Activities and Spectrum of the Novel Fluoroquinolone Lascufloxacin (KRP-AM1977). Antimicrob Agents Chemother. 2017 May 24;61(6). pii: e00120-17.
Rusu A, Lungu I A, Moldovan O L, et al. Structural Characterization of the Millennial Antibacterial (Fluoro) Quinolones–Shaping the Fifth Generation. Pharmaceutics. 2021, 13(8): 1289.
Furuie H, et al. Intrapulmonary Pharmacokinetics of Lascufloxacin in Healthy Adult Volunteers. Antimicrob Agents Chemother. 2018 Mar 27;62(4). pii: e02169-17.