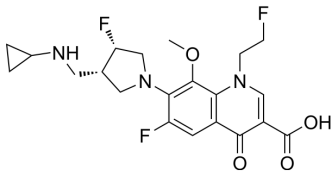
Lascufloxacin

Cat. No.:	HY-16745
CAS No.:	848416-07-9
Molecular Formula:	$C_{21}H_{24}F_3N_3O_4$
Molecular Weight:	439.43
Target:	Bacterial; Antibiotic; Beta-lactamase
Pathway:	Anti-infection
Storage:	-20°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



BIOLOGICAL ACTIVITY

Description	Lascufloxacin (KRP-AM1977X) is a potent and orally active fluoroquinolone antibacterial agent. Lascufloxacin potently inhibits infections caused by various pathogens, including quinolone-resistant strains. Lascufloxacin has the potential for various infectious diseases treatment, including lower respiratory tract infections[1][2].
IC_{50} & Target	Quinolone
In Vitro	In the Gram-negative bacteria, Lascufloxacin shows antibacterial activities against Moraxella catarrhalis and β-lactamase-negative ampicillin-susceptible and ampicillin-resistant strains of Haemophilus influenzae, with an MIC_{90} value of 0.06 μg/mL in all cases. The MIC_{90} values against Enterobacter spp., Klebsiella pneumoniae, and Acinetobacter spp. are 0.25 μg/mL, 0.25 μg/mL, and 0.5 μg/mL, respectively. Lascufloxacin inhibits E. coli and P. aeruginosa with MIC_{90}s of 0.25 μg/mL and 4 μg/mL, respectively. The MIC_{50} and MIC_{90} values of Lascufloxacin against M. pneumoniae are 0.12 μg/mL and 0.25 μg/mL, respectively. Lascufloxacin shows potent activity against macrolide-resistant M. pneumoniae isolates with an MIC_{90} of 0.12 μg/mL[1]. The MICs of Lascufloxacin against parent S. aureus strains ranged from 0.008 to 0.015 μg/mL, and those against fourth-step parC, gyrA, parC, and gyrA mutant strains are all 2 μg/mL. Lascufloxacin shows incomplete cross-resistance against the mutant strains. The activities of Lascufloxacin against first- and second-step mutant strains of S. pneumoniae are more potent than the activities of other quinolones, and the MICs of Lascufloxacin against gyrA and parC double mutants are 0.25 to 0.5 μg/mL[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	A pharmacodynamic study using a mouse thigh infection model indicates that the ratios of the free area under the curve (fAUC) to MIC in plasma required for bacteriostasis, or 1-log or 2-log CFU killing against S. pneumoniae isolates, are 10, 16, and 28, respectively. Lascufloxacin shows significant bacterial killing in the mouse model when emulated the area under the concentration-time curve (AUC) in plasma in dose of 75 mg per day [q.d.]) [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

[2]. Furuie H, et al. Intrapulmonary Pharmacokinetics of Lascufloxacin in Healthy Adult Volunteers. Antimicrob Agents Chemother. 2018 Mar 27;62(4). pii: e02169-17.

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