Grepafloxacin hydrochloride

Cat. No.: HY-A0147A

CAS No.: 161967-81-3

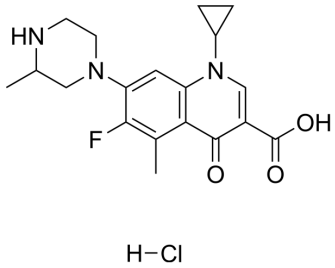
Molecular Formula: $C_{19}H_{23}ClFN_3O_3$

Molecular Weight: 395.86

Target: Antibiotic; Bacterial

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



H−Cl

SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 13.33 mg/mL (33.67 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5261 mL	12.6307 mL	25.2615 mL
5 mM	0.5052 mL	2.5261 mL	5.0523 mL
10 mM	0.2526 mL	1.2631 mL	2.5261 mL

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

BIOLOGICAL ACTIVITY

Description

Grepafloxacin (OPC-17116) hydrochloride is an oral actively fluoroquinolone antibiotic with potent activity against community-acquired respiratory pathogens including Streptococcus pneumonia. Grepafloxacin hydrochloride has high tissue penetration and a promising pharmacodynamic profile[1][2][3][4].

IC_{50} & Target

Quinolone

In Vitro

Grepafloxacin (OPC-17116; 0-1 mg/L; 14-21 d) hydrochloride has antibiotic activity with a MIC value of ≤ 0.006 mg/L for E. coli strain[1].

Grepafloxacin (0-1 mg/L; 3 h) hydrochloride has antimicrobial activity against mycobacteria in macrophages with a MIC value of 0.5 mg/L for M. avium[1].

Grepafloxacin hydrochloride exhibits potent in vitro antibacterial activity against Gram-positive bacteria such as Streptococcus pneumoniae and high in vivo efficacy on the experimental systemic infections caused by the Gram-positive and -negative bacteria tested[4].

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

In Vivo

Grepafloxacin (OPC-17116; 200 mg/kg; p.o.; Balb/c mice) hydrochloride displays good safety profile in terms of phototoxicity

	[2]. Grepafloxacin (25-200 mg/kg; p.o.; 5 days/week for 4 weeks; female C57BL6/J-Lyst bg-J/ mice/beige mice) hydrochloride has modest activities in both intranasal (IN) infection and intravenous (IV) Mycobacterium avium infection models[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Vacher S, et, al. Comparative antimycobacterial activities of ofloxacin, ciprofloxacin and grepafloxacin. J Antimicrob Chemother. 1999 Nov;44(5):647-52.

[2]. Owen K. Comparative grepafloxacin phototoxicity in mouse skin. J Antimicrob Chemother. 1998 Aug;42(2):261-4.

[3]. Cynamon MH, et, al. The activity of grepafloxacin in two murine models of Mycobacterium avium infection. J Infect Chemother. 2004 Jun;10(3):185-8.

[4]. Miyamoto H, et al. Synthesis and biological properties of substituted 1,4-dihydro-5-methyl-4-oxo-3-quinolinecarboxylic acids. Bioorg Med Chem. 1995;3(12):1699-1706.

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