Grepafloxacin

Cat. No.: HY-A0147

CAS No.: 119914-60-2

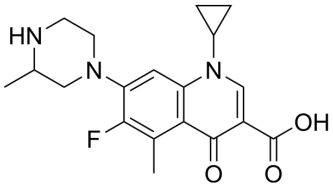
Molecular Formula: $C_{19}H_{22}FN_3O_3$

Molecular Weight: 359.39

Target: Antibiotic; Bacterial

Pathway: Anti-infection

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

Quinolone

In Vitro

Grepafloxacin (OPC-17116; 0-1 mg/L; 14-21 d) has antibiotic activity with a MIC value of ≤ 0.006 mg/L for E. coli strain[1].
Grepafloxacin (0-1 mg/L; 3 h) has antimicrobial activity against mycobacteria in macrophages with a MIC value of 0.5 mg/L for M. avium[1].
Grepafloxacin 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) 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) 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.

Animal Model:	Female Balb/c mice (5-6 weeks)[2]
Dosage:	200 mg/kg
Administration:	Oral administration; once
Result:	Had mild and short-lived erythema and no changed auricular thickness.

Animal Model:	Female C57BL6/J-Lyst bg-J/ mice/beige mice with mycobacterium avium infection[3]
Dosage:	25, 50, 100, and 200 mg/kg
Administration:	Oral administration; 5 days/week for 4 weeks

Result:	Had bactericidal activity and limited the growth of the bacteria.

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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