Delafloxacin meglumine

Cat. No.: HY-14814A

CAS No.: 352458-37-8

Molecular Formula: $C_{25}H_{29}ClF_3N_5O_9$

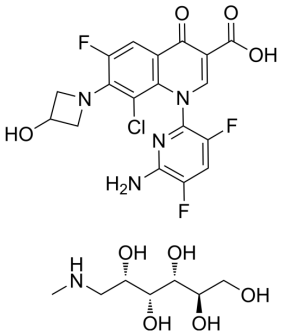
Molecular Weight: 635.97

Target: Bacterial; Antibiotic

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)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (78.62 mM; Need ultrasonic)

DMSO : ≥ 6.4 mg/mL (10.06 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	1.5724 mL	7.8620 mL	15.7240 mL
5 mM	0.3145 mL	1.5724 mL	3.1448 mL
10 mM	0.1572 mL	0.7862 mL	1.5724 mL

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

BIOLOGICAL ACTIVITY

Description

Delafloxacin meglumine (ABT492 meglumine; RX-3341 meglumine; WQ-3034 meglumine) is a broad-spectrum fluoroquinolone antibiotic. Delafloxacin has a broad spectrum of activity that includes drug-resistant Staphylococcus aureus, Streptococcus pneumoniae, and Klebsiella pneumonia[1].

IC_{50} & Target

Quinolone

In Vivo

Delafloxacin (the total daily doses vary from 0.156 to 640 mg/kg/24 h, subcutaneous injection) is highly effective against S. aureus. Against all four strains are observed a decrease of 1.5 to 2.2 log_{10} CFU in organism burden from untreated controls at even the lowest dose studied, and for two strains (MW2 and R2527) there is net bactericidal activity at the lowest dose. At the maximal doses studied, there is a >4-log_{10} kill from initial burden for all S. aureus strains[1].

Delafloxacin (2.5, 10, 40, and 160 mg/kg; subcutaneous injection, 24 h) has moderate terminal elimination half-life ($t_{1/2}$=0.68 h, 0.79 h, 0.69 h and 1.0 h for 2.5 mg/kg, 10 mg/kg, 40 mg/kg, and 160 mg/kg, respectively)[1].

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

Animal Model:	Mice with a neutropenic murine lung infection model (four S. aureus, four S. pneumoniae, and four K. pneumoniae strains)[1]
Dosage:	The total daily doses vary from 0.156 to 640 mg/kg/24 h
Administration:	0.03 to 160 mg/kg are administered every 6 h (q6h) to infected mice by subcutaneous injection
Result:	Inhibited S. aureus strains ATCC 29213, ATCC 33591, MW2, R2527 with MICs of 0.008, 0.008, 0.004, and 0.004 mg/L, respectively. Inhibited S. pneumoniae strains ATCC 10813, ATCC 49619, 145, and 1329 with MICs of 0.03, 0.125, 0.016, and 0.016 mg/L, respectively. Inhibited K. pneumonia strains ATCC 43816, 4105, 4110, and 81-1260A with MICs of 0.06, 1, 0.5, and 0.06 mg/L, respectively.

Animal Model:	Neutropenic mice[1]
Dosage:	2.5, 10, 40, and 160 mg/kg; 0.2 mL
Administration:	Subcutaneous injection; 24 h
Result:	The maximum drug concentrations (C_{max}) concentrations ranged from 2 to 71 mg/L. $AUC_{0-\infty}$ values ranged from 2.8 to 152 mg•h/L and were linear across the 2.5- to 160-mg dosing range. The elimination half-life ($t_{1/2}$) ranged from 0.7 to 1 h.

CUSTOMER VALIDATION

- Nat Microbiol. 2023 Mar;8(3):410-423.
- Antimicrob Agents Chemother. 2022 Nov 30;e0092122.

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REFERENCES

[1]. Lepak AJ, et al. In Vivo Pharmacodynamic Target Assessment of Delafloxacin against Staphylococcus aureus, Streptococcus pneumoniae, and Klebsiella pneumoniae in a Murine Lung Infection Model. Antimicrob Agents Chemother. 2016 Jul 22;60(8):4764-9.

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