Zoliflodacin

Cat. No.: HY-17647

CAS No.: 1620458-09-4

Molecular Formula: $C_{22}H_{22}FN_5O_7$

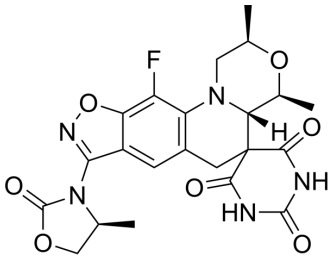
Molecular Weight: 487.44

Target: DNA/RNA Synthesis; Bacterial; Antibiotic

Pathway: Cell Cycle/DNA Damage; Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 140 mg/mL (287.21 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0515 mL	10.2577 mL	20.5153 mL
5 mM	0.4103 mL	2.0515 mL	4.1031 mL
10 mM	0.2052 mL	1.0258 mL	2.0515 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zoliflodacin (ETX0914;AZD0914) is a novel spiropyrimidinetrione bacterial DNA gyrase/topoisomerase inhibitor. Zoliflodacin has potent in vitro antibacterial activity against Gram-positive and Gram-negative organisms, including S. aureus with the MIC_{90} of 0.25 μg/mL.

IC_{50} & Target

Quinolone

In Vitro

Zoliflodacin has antibacterial activity against key Gram-positive (Staphylococcus aureus, Staphylococcus epidermidis,

Streptococcus pneumoniae, Streptococcus pyogenes, and Streptococcus agalactiae), fastidious Gram-negative (Haemophilus influenzae, Neisseria gonorrhoeae), atypical (Legionella pneumophila), and anaerobic (Clostridium difficile) bacterial species, including isolates with known resistance to fluoroquinolones. The antibacterial activity of Zoliflodacin is shown to be via inhibition of DNA biosynthesis and accumulation of double-strand cleavages; this mechanism of action differs from those of other marketed antibacterial compounds, including fluoroquinolones. Zoliflodacin stabilizes and arrests the cleaved covalent complex of gyrase with double-strand broken DNA under permissive conditions and thus blocks religation of the double-strand cleaved DNA to form fused circular DNA[1].

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

PROTOCOL

Cell Assay [1]

Zoliflodacin broth macrodilution MICs are determined and used as the starting point for both in vitro time-kill and postantibiotic-effect (PAE) tests. In vitro static time-kill studies are conducted with glass tubes (18 by 150 mm, without agitation) containing 10-mL volumes of cation-adjusted Mueller-Hinton broth with logarithmically growing cultures (starting inoculum of 1×10^6 CFU/mL) against levofloxacin-susceptible and levofloxacin-resistant ***S. aureus***. Zoliflodacin is tested at concentrations equivalent to 0.5, 1, 2, 4, and 8 times the MIC; samples are plated for colony counts at 0, 2, 4, 6, 8, and 24 h by using 100 µL aliquots spotted onto 25-ml sheep blood agar plates as described previously. Compounds are considered bactericidal at the lowest drug concentration that reduced viable organism counts by ≥3 $\log_{10}$ in 24 h. Time-kill studies are conducted in duplicate; tests are combined, and mean values are reported[1].

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

CUSTOMER VALIDATION

- ACS Infect Dis. 2023 Feb 20.
- Sci Rep. 2024 Jan 12;14(1):1179.

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REFERENCES

[1]. Huband MD, et al. In vitro antibacterial activity of AZD0914, a new spiropyrimidinetrione DNA gyrase/topoisomerase inhibitor with potent activity against Gram-positive, fastidious Gram-Negative, and atypical bacteria. Antimicrob Agents Chemother. 2015 Jan;59(1):467-74.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA