

Product Data Sheet

Product Name: Zoliflodacin

Cat. No.: GC19391

Chemical Properties

Cas. No. 1620458-09-4

SMILES O=C(NC(C12[C@]([C@H](C)O[C@H](C)C3)([H])N3C4=C(C=C5C(ON=C5N6C(OC[C@]([C@H]6C)=O)=C4F)C2)=O)NC1=O

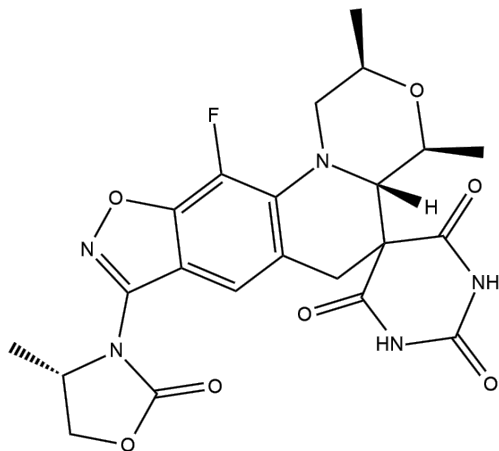
Formula $C_{22}H_{22}FN_5O_7$ M.Wt 487.44

Solubility DMSO : 140 mg/mL (287.21 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Cell experiment: 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 μ L 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 log₁₀ in 24 h. Time-kill studies are conducted in duplicate; tests are combined, and mean values are reported[1].

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.

Background

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 MIC₉₀ of 0.25 μ g/mL.

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

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with double-strand broken DNA under permissive conditions and thus blocks religation of the double-strand cleaved DNA to form fused circular DNA[1].

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