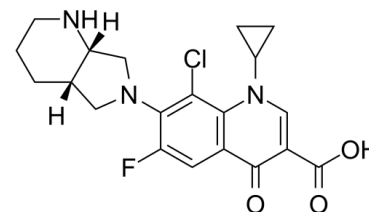


Data Sheet

Product Name:	BAY-Y 3118
Cat. No.:	CS-7127
CAS No.:	151213-16-0
Molecular Formula:	C ₂₀ H ₂₁ ClFN ₃ O ₃
Molecular Weight:	405.85
Target:	Bacterial
Pathway:	Anti-infection
Solubility:	DMSO : 33.33 mg/mL (82.12 mM; ultrasonic and warming and heat to 60°C)



BIOLOGICAL ACTIVITY:

BAY-Y 3118 is a new chlorofluoroquinolone with antimicrobial activity. **In Vitro:** BAY-Y 3118 is potent against *Haemophilus influenzae*, *Moraxella catarrhalis*, *Acinetobacter baumannii*, *Xanthomonas maltophilia*, gram-positive cocci, and anaerobes; MICs for 50% of the strains (MIC₅₀s) and MIC₉₀s are ≤0.015 and ≤0.015, ≤0.015 and ≤0.015, 0.03 and 2, 0.25 and 0.5, 0.06 and 1, and 0.12 and 0.25 µg/mL, respectively^[1]. The cellular concentration-to-extracellular concentration ratio of BAY-Y 3118 is higher than 6.3 at extracellular concentrations ranging from 2 to 100 mg/L. The uptake of BAY-Y 3118 is rapid, reversible and nonsaturable. The intracellular penetration of BAY-Y 3118 is significantly affected by environmental temperature and cell viability. BAY-Y 3118 reaches high intracellular concentrations within human polymorphonuclear leukocytes (PMNs) and remains active intracellularly^[2]. All strains of *L. monocytogenes* and other *Listeria* spp. are highly susceptible; the MICs for these organisms ranges from 0.062 to 0.25 µg/mL. BAY-Y 3118 is rapidly bactericidal in vitro, with a postantibiotic effect occurring for 3 h after removal of the antibiotic. *L. monocytogenes* is eliminated from infected L929 cells treated with BAY-Y 3118, suggesting a bactericidal effect on the listeriae in these cells^[3]. **In Vivo:** Immunocompetent mice are rapidly cured by treatment with 4 mg every 12 h. Concomitantly, the levels of interleukin 6 and gamma interferon in mouse sera decline rapidly. In immunocompetent mice, treatment with 2 mg of BAY-Y 3118 every 12 h results in a greater initial reduction in the listerial counts in the organs than treatment with 2 mg of ampicillin every 12 h. BAY-Y 3118 completely eliminates *L. monocytogenes* from the livers and spleens of chronically infected nude mice^[3].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: BAY-Y 3118 is dissolved in isotonic saline immediately before use.^[3] Agar plates are prepared and used within 1 day after preparation. The inhibition of bacterial growth is also assessed in tissue culture medium (RPMI 1640 with L-glutamine and 10% fetal calf serum). In brief, 10000 cells of *L. monocytogenes* EGD are incubated for 8 h in the presence of the antibiotic. Thereafter, the number of bacteria is determined by plating in tryptose agar. The lowest concentration of the antibiotic that inhibited growth in this system is considered the minimal effective concentration in tissue culture medium^[3]. **Animal Administration:** BAY-Y 3118 is dissolved in PBS.^[3] **Mice:** Mice are treated intraperitoneally every 12 h with BAY-Y 3118 (1, 2, or 4 mg per animal in 0.2 mL of PBS starting 6 h postinfection). Control animals receive 0.2 mL of PBS only. At days 1, 3, and 6 postinfection, five mice from each group are killed by cervical dislocation and their spleens and livers are removed aseptically. The organs are homogenized in isotonic saline with Tenbroeck tissue grinders and are further diluted in isotonic saline. The bacterial counts per organ are determined by plating of appropriate dilutions of the homogenates in tryptose agar by a pour plate technique^[3].

References:

[1]. Fass RJ, et al. In vitro activity of Bay y 3118, a new quinolone. Antimicrob Agents Chemother. 1993 Nov;37(11):2348-57.

[2]. García I, et al. Intracellular penetration and activity of BAY Y 3118 in human polymorphonuclear leukocytes. Antimicrob Agents Chemother. 1994 Oct;38(10):2426-9.

[3]. Nichterlein T, et al. Bay Y 3118, a new quinolone derivative, rapidly eradicates *Listeria monocytogenes* from infected mice and L929 cells. Antimicrob Agents Chemother. 1994 Jul;38(7):1501-6.

CAIndexNames:

3-Quinolonecarboxylic acid, 8-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-

SMILES:

O=C(C1=CN(C2CC2)C3=C(C=C(F)C(N(C4)C[C@]5([H])[C@@]4([H])CCCN5)=C3Cl)C1=O)O

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

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