Temafloxacin

Cat. No.: HY-16487

CAS No.: 108319-06-8

Molecular Formula: $C_{21}H_{18}F_3N_3O_3$

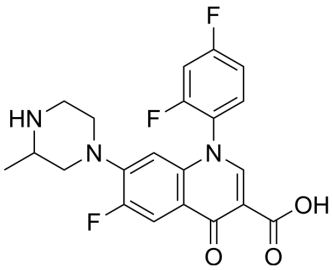
Molecular Weight: 417.38

Target: Bacterial; Antibiotic

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : < 1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble or slightly soluble)
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 0.5 mg/mL (1.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Temafloxacin (TMFX) is an orally active quinolone broad-spectrum antibacterial agent. Temafloxacin is well tolerated in lower respiratory and genitourinary tract infections[1][2].

IC_{50} & Target

Quinolone

In Vitro

Temafloxacin (0-64 μg/mL; 18-24 h) shows good antibacterial activity for gram-positive/negative bacteria, with MIC ranges of <0.004-0.5, 0.5-2 and 0.06-0.25 μg/mL for E. coli, P. aeruginosa, and S. aureus, respectively[1].

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

Cell Viability Assay[1]

Cell Line:	E. coli (16 strains), P. aeruginosa (13 strains), and S. aureus (17 strains).
Concentration:	0-64 μg/mL
Incubation Time:	18-24 h
Result:	Inhibited E. coli (16 strains), P. aeruginosa, and S. aureus with MIC ranges of <0.004-0.5 (MIC 90%=0.06, =0.06), 0.5-2 (MIC 90%=1, MIC 50%=1) and 0.06-0.25 μg/mL (MIC 90%=0.125, MIC 50%=0.125). MIC 90% and 50% means MIC for 90% and 50% of the isolates (unit: μg/mL).

In Vivo

Temafloxacin (6.25, 25, 100 mg/kg; p.o.; single) shows good inhibitory activity to murine pyelonephritis[1].

Temafloxacin hydrochloride (100 mg/kg; p.o. or s.c.; single) shows rapid gastrointestinal absorption, and has excellent tissue

and body fluid penetration and concentration (except for central nervous system (CNS))[1].

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

Animal Model:	Female CF-1 mice (20-25 g) (murine pyelonephritis model)[1].
Dosage:	6.25, 25, 100 mg/kg
Administration:	Orally; single.
Result:	Reduced the number of viable bacteria in the kidneys of mice.

Animal Model:	Female CF-1 mice (20-25 g)[1].
Dosage:	100 mg/kg
Administration:	Subcutaneously or orally; single.
Result:	Pharmacokinetic Parameters of Temafloxacin hydrochloride in Female CF-1 mice[1].

	C_{max} (μg/mL)	AUC (μg/mL•h)	$T_{1/2}$ (h)	% Urinary recovery
SC (100 mg/kg)	25.2	86.6	3.4	25.3
PO (100 mg/kg)	13.5	57.4	1.3	9.1

REFERENCES

[1]. Hardy DJ, et al. Comparative antibacterial activities of temafloxacin hydrochloride (A-62254) and two reference fluoroquinolones. Antimicrob Agents Chemother. 1987 Nov;31(11):1768-74.

[2]. Pankey GA. Temafloxacin: an overview. Am J Med. 1991 Dec 30;91(6A):166S-172S.

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