

Amifloxacin

Cat. No.:	HY-U00221		
CAS No.:	86393-37-5		
Molecular Formula:	C ₁₆ H ₁₉ FN ₄ O ₃		
Molecular Weight:	334.35		
Target:	Bacterial		
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

H₂O : 50 mg/mL (149.54 mM; ultrasonic and adjust pH to 12 with NaOH)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.9909 mL	14.9544 mL	29.9088 mL
	5 mM	0.5982 mL	2.9909 mL	5.9818 mL
	10 mM	0.2991 mL	1.4954 mL	2.9909 mL

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

BIOLOGICAL ACTIVITY

Description

Amifloxacin (Win49375) is a synthetic antibacterial agent of the quinolone class.

IC₅₀ & Target

Antibacterial^[1]

In Vitro

Amifloxacin (WIN 49375) is active in vitro against *Pseudomonas aeruginosa* isolates and shows moderate activity against *Staphylococcus aureus*, with MICs of less than or equal to 2 µg/mL.

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

In Vivo

Amifloxacin (WIN 49375) is highly active by the oral route, with 50% effective doses within two- to threefold of those obtained with parenteral medication. The effectiveness of Amifloxacin with various routes of medication is demonstrated with these experimental infections. When mice infected intraperitoneally with *E. coli* Vogel are medicated at 0.5-h postinfection subcutaneously, intravenously, or orally the ED₅₀s for Amifloxacin are 0.6, 0.8, and 1.0 mg/kg, respectively^[1]. Blood radioactivity peaks at 0.5 h after oral administration of [¹⁴C]Amifloxacin mesylate to rats at 20 mg/kg and is equivalent to 7.1±0.26 µg of Amifloxacin per mL. From 0.75 to 4 h, blood radioactivity decreases rapidly from 7.0±0.25 µg/mL to 1.2±0.12 µg/mL. Between 8 and 48 h the rate of decline in blood radioactivity slows and is more complex. At 48 h, the blood

radioactivity is equivalent to 0.14 ± 0.02 $\mu\text{g/mL}$. Blood levels of radioactivity after i.v. administration of [^{14}C]Amifloxacin mesylate to rats at 20 mg/kg decrease from 29.1 ± 0.85 $\mu\text{g/mL}$ at 1.0 min to 14.4 ± 0.52 $\mu\text{g/mL}$ at 10 min. From 0.25 to 4 h blood radioactivity decreases from 13.0 ± 0.42 $\mu\text{g/mL}$ to 0.97 ± 0.09 $\mu\text{g/mL}$ in a log-linear manner. The rate of elimination from 4 to 24 h is slower and more complex. At 24 h, blood radioactivity is equivalent to 0.12 ± 0.01 $\mu\text{g/mL}$ ^[2].

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PROTOCOL

Animal Administration^[2]

Rats^[2]

In each study, groups of eight Sprague-Dawley rats (four per sex) are dosed either orally by gavage or intravenously via the jugular vein with [^{14}C] Amifloxacin mesylate at 20 mg/kg. All doses are prepared as solutions in distilled water. Orally medicated animals are fasted overnight before dosing. Rats in absorption studies are held in restrainers while serial blood samples are collected from the tail vein into 50 μL heparinized capillary tubes. Rats in the excretion and distribution studies are maintained in individual metabolism cages. In the distribution study, groups of rats are killed at either 0.5 or 6.0 h, blood is collected from the abdominal aorta, and tissues and organs are dissected.

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REFERENCES

- [1]. Cornett JB, et al. In vitro and in vivo antibacterial activities of the fluoroquinolone WIN 49375 (amifloxacin). *Antimicrob Agents Chemother.* 1985 Jan;27(1):4-10.
- [2]. Johnson JA, et al. Metabolism and disposition of amifloxacin in laboratory animals. *Antimicrob Agents Chemother.* 1985 May;27(5):774-81.

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

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