Antituberculosis agent-9

Cat. No.: HY-149064

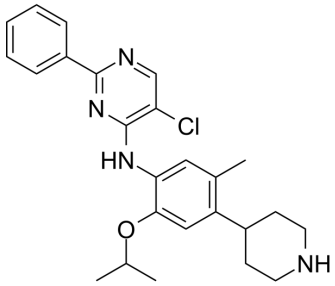
Molecular Formula: $C_{25}H_{29}ClN_4O$

Molecular Weight: 436.98

Target: Bacterial

Pathway: Anti-infection

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Antituberculosis agent-9 (Compound 5a) is an orally active antitubercular agent with an MIC of 0.5 μg/mL against H37Ra[1].

In Vitro

Antituberculosis agent-9 (Compound 5a; 48 h) shows cytotoxicity against HepG2 cells with an IC_{50} value of 3.1 μM[1].
Antituberculosis agent-9 (6 days) also shows inhibitory activities against MRSA, M. abscessus and M. smegmatis with MICs of 4.0 μg/mL[1].
Antituberculosis agent-9 (6 days) shows inhibitory activities against clinical isolates of M. tuberculosis with MICs of 0.5, 0.5, 0.5, 1.0 and 1.0 μg/mL for H37Rv, K4, K12, K5 and K16, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Antituberculosis agent-9 (Compound 5a; 300 mg/kg; oral; daily for 4 days) shows moderate antitubercular efficacy in mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c mice, autoluminescent Mtb infection model[1]
Dosage:	300 mg/kg
Administration:	Oral administration, daily for 4 days
Result:	There was a relative light unit (RLU) reduction of 0.5 log_{10} in live mice, compared to the control group (CMC-Na).

Animal Model:	Sprague-Dawley rats[1]
Dosage:	5 or 15 mg/kg
Administration:	IV or PO (Pharmacokinetic Analysis)
Result:	Pharmacokinetic Parameters of Antituberculosis agent-9 (Compound 5a) In Vivo[1]

cpd.	administration	C_{max} (μg/L)	T_{max} (h)	$T_{1/2}$ (h)	Clz (L/h/kg)	AUC_{0-t} (μ	F %

						g/L•h)	
Antituberculosis agent-9 (Compound 5a)	iv (5 mg/kg)	595 ± 62		26.2 ± 0.9	1.5 ± 0.3	1694 ± 201	
	po (15 mg/kg)	108 ± 18	>24			2079 ± 274	40.7

REFERENCES

[1]. Li C, et al. Structure-Activity Relationship of Novel Pyrimidine Derivatives with Potent Inhibitory Activities against Mycobacterium tuberculosis. J Med Chem. 2023 Feb 23;66(4):2699-2716.

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