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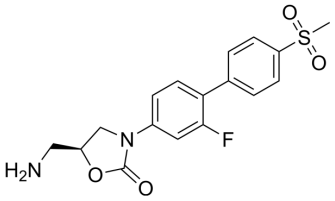
Antituberculosis agent-10

Cat. No.:	HY-163486
CAS No.:	2095636-19-2
Molecular Formula:	$C_{17}H_{17}FN_2O_4S$
Molecular Weight:	364.39
Target:	Bacterial
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Antituberculosis agent-10 (Compound 9) has excellent antibacterial activity against ***Mycobacterium tuberculosis*** (MIC = 0.3 μM). Antituberculosis agent-10 inhibits protein synthesis by targeting the 50S ribosomal subunit of the bacterium, thus exerting its antibacterial effect. Antituberculosis agent-10 is orally active[1].

In Vitro

Antituberculosis agent-10 (72 h) is inactive in inhibiting mitochondrial protein synthesis (MPS) in HepG2 cells (IC_{50} = 150 μM), but its metabolites shows mitochondrial toxicity[1].

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

In Vivo

Antituberculosis agent-10 ((i.g.; 5-50 mg/kg; once or twice a day) exhibits excellent permeability and sustained activity within infected C3HeB/FeJ mice[1].

Antituberculosis agent-10 ((i.g.; 4 mg/kg; twice daily for one week then once daily) reduces the volume of tuberculosis foci in Mtb-infected marmosets with potent antibacterial activity[1].

Pharmacokinetic Analysis in Antituberculosis agent-10[1]

Route	Dose (mpk)	Cl/Clu (mL/min/kg)	Vd/Vdu (L/kg)	MRT/ss $t_{1/2}$ (h)	F (%)
i.v.	2	12/316	0.6/16	0.8/0.6	/
p.o.	10	/	/	/	64

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

Animal Model:	infected C3HeB/FeJ mice[1]
Dosage:	5,10,15,,50 mg/kg, twice daily; 30 mg/kg,once daily
Administration:	i.g.
Result:	Penetrated effectively into both the cellular and necrotic compartments of the lesions. Maintained concentrations above the MIC for tuberculosis bacteria at various lesion sites.

Animal Model:	Mtb-infected marmosets[1]
Dosage:	4 mg/kg, twice daily for 1 week then 4 mg/kg once daily
Administration:	i.g.
Result:	Reduced physical lesion size and bacterial load within those lesions. Showed superior or at least comparable results in reducing lesion volume and bacterial count than linezolid (HY-10394).

REFERENCES

[1]. Boshoff HIM, et al. Mtb-Selective 5-Aminomethyl Oxazolidinone Prodrugs: Robust Potency and Potential Liabilities. ACS Infect Dis. 2024 Apr 6.

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