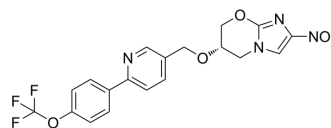


TBA-354

Cat. No.:	HY-12485		
CAS No.:	1257426-19-9		
Molecular Formula:	C ₁₉ H ₁₅ F ₃ N ₄ O ₅		
Molecular Weight:	436.34		
Target:	Bacterial; Antibiotic		
Pathway:	Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (229.18 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.2918 mL	11.4590 mL	22.9179 mL
	5 mM	0.4584 mL	2.2918 mL	4.5836 mL
	10 mM	0.2292 mL	1.1459 mL	2.2918 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: 2.5 mg/mL (5.73 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.5 mg/mL (5.73 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: 2.5 mg/mL (5.73 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

TBA-354 is a potent anti-tuberculosis compound; maintains activity against Mycobacterium tuberculosis H37Rv isogenic mono-resistant strains. IC₅₀ value: Target: Anti-tuberculosis agent in vitro: TBA-354 is narrow spectrum and bactericidal in vitro against replicating and non-replicating Mycobacterium tuberculosis, with potency similar to that of delamanid and greater than that of PA-824. TBA-354 maintains activity against Mycobacterium tuberculosis H37Rv isogenic mono-resistant strains and clinical drug-sensitive and drug-resistant isolates [1]. TBA-354 is 5 to 10 times more potent than PA-824, but selected mutants are cross-resistant to PA-824 and delamanid. TBA-354 is 2 to 4 times more potent than PA-824 when combined with bedaquiline, and when administered at a dose equivalent to that of PA-824, TBA-354 demonstrated superior

sterilizing efficacy [2].in vivo: TBA-354 has high bioavailability and a long elimination half-life. In vitro studies suggest a low risk of drug-drug interactions. Low-dose aerosol infection models of acute and chronic murine tuberculosis reveal time- and dose-dependent in vivo bactericidal activity that is at least as potent as that of delamanid and more potent than that of PA-824.

REFERENCES

- [1]. Upton AM, et al. In Vitro and In Vivo Activities of the Nitroimidazole TBA-354 against Mycobacterium tuberculosis. Antimicrob Agents Chemother. 2015 Jan;59(1):136-44.
- [2]. Tasneen R, et al. Contribution of the Nitroimidazoles PA-824 and TBA-354 to the Activity of Novel Regimens in Murine Models of Tuberculosis. Antimicrob Agents Chemother. 2015 Jan;59(1):129-35.
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Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA