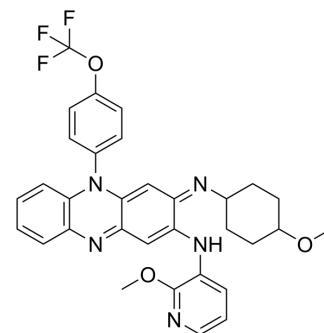


TBI-166

Cat. No.:	HY-148564		
CAS No.:	1353734-12-9		
Molecular Formula:	C ₃₂ H ₃₀ F ₃ N ₅ O ₃		
Molecular Weight:	589.61		
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

DMSO : 9.09 mg/mL (15.42 mM; ultrasonic and adjust pH to 3 with HCl)

Solvent	Mass	Concentration		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.6960 mL	8.4802 mL	16.9604 mL
	5 mM	0.3392 mL	1.6960 mL	3.3921 mL
	10 mM	0.1696 mL	0.8480 mL	1.6960 mL

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

BIOLOGICAL ACTIVITY

Description

TBI-166, a riminophenazine analogue, is an orally active anti-tuberculosis agent with fewer adverse reactions than the lead riminophenazine compound, Clofazimine (HY-B1046) ^{[1][2][3]}.

In Vitro

TBI-166 inhibits *M. tuberculosis* H37Rv replicates (MIC: 0.063 µg/mL), and is effective against 16 drug-sensitive clinical isolates (Mycobacterial species) with MICs of 0.005-0.15 µg/mL^[1].

TBI-166 (0-1 µg/mL, 3 days) inhibits intracellular *M. tuberculosis* in *M. tuberculosis* infecting J774A.1 cells^[1].

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

In Vivo

TBI-166 (10-80 mg/kg, p.o., 8 weeks) displays antituberculosis activity in chronic murine *M. tuberculosis* H37Rv infected model^[1].

TBI-166 displays a LD50 more than 3,000 mg/kg in mice^[1].

TBI-166 has a short half-life (41.25 h) and reduces the potential for skin pigmentation^[2].

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

Animal Model:	Chronic murine <i>M. tuberculosis</i> H37Rv infected model ^[1]
Dosage:	10, 20, 80 mg/kg
Administration:	Oral administration, 8 weeks.
Result:	Reduced CFU counts in lung.

REFERENCES

- [1]. Xu J, et al. In Vitro and In Vivo Activities of the Riminophenazine TBI-166 against *Mycobacterium tuberculosis*. *Antimicrob Agents Chemother.* 2019 Apr 25;63(5):e02155-18.
- [2]. Zhu H, et al. Activity of Clofazimine and TBI-166 against *Mycobacterium tuberculosis* in Different Administration Intervals in Mouse Tuberculosis Models. *Antimicrob Agents Chemother.* 2021 Mar 18;65(4):e02164-20.
- [3]. Ding Y, et al. Superior Efficacy of a TBI-166, Bedaquiline, and Pyrazinamide Combination Regimen in a Murine Model of Tuberculosis. *Antimicrob Agents Chemother.* 2022 Sep 20;66(9):e0065822.
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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