Bedaquiline fumarate

Cat. No.: HY-14881A

CAS No.: 845533-86-0

Molecular Formula: $C_{36}H_{35}BrN_2O_6$

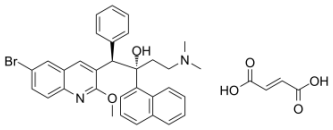
Molecular Weight: 671.58

Target: Bacterial; Antibiotic

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 : 100 mg/mL (148.90 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	1.4890 mL	7.4451 mL	14.8903 mL
5 mM	0.2978 mL	1.4890 mL	2.9781 mL
10 mM	0.1489 mL	0.7445 mL	1.4890 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (4.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.75 mg/mL (4.09 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (4.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bedaquiline fumarate, a diarylquinoline antibiotic that targets ATP synthase, is effective for the treatment of Mycobacterium tuberculosis infections.

In Vitro

Bedaquiline inhibits the growth of TDR M. tuberculosis strains, with MIC values ranging from 0.125 to 0.5 mg/L[1]. Among slowly growing mycobacteria (SGM), bedaquiline exhibits the highest activity against Mycobacterium avium with MIC_{50} and MIC_{90} values of 0.03 and 16 mg/L, respectively. Among rapidly growing mycobacteria (RGM), Mycobacterium abscessus

subsp. abscessus (M. abscessus) and Mycobacterium abscessus subsp. massiliense (M. massiliense) seem more susceptible to bedaquiline than Mycobacterium fortuitum, with MIC_{50} and MIC_{90} values of 0.13 and >16 mg/L, respectively, for both species. Bedaquiline also shows moderate in vitro activity against NTM species[2]. Bedaquiline has an excellent in vitro activity against Mycobacterium tuberculosis, including multidrug resistant M tuberculosis[3].

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

CUSTOMER VALIDATION

- Antimicrob Agents Chemother. 2020 Mar 24;64(4):e02404-19.
- Antimicrob Agents Chemother. 2020 Jan 27;64(2):e01540-19.
- Antimicrob Agents Chemother. 2019 Sep 23;63(10):e01191-19.
- ACS Infect Dis. 2020 Dec 15.
- Front Microbiol. 2018 Aug 15;9:1898.

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REFERENCES

[1]. Jang JC, et al. Bedaquiline susceptibility test for totally drug-resistant tuberculosis Mycobacterium tuberculosis. J Microbiol. 2017 Apr 20.

[2]. Pang Y, et al. In Vitro Activity of Bedaquiline against Nontuberculous Mycobacteria in China. Antimicrob Agents Chemother. 2017 Apr 24;61(5).

[3]. Chahine EB, et al. Bedaquiline: a novel diarylquinoline for multidrug-resistant tuberculosis. Ann Pharmacother. 2014 Jan;48(1):107-15.

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