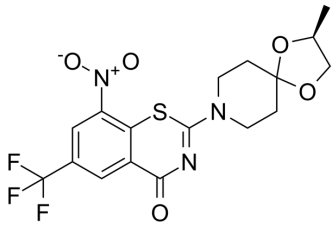
BTZ043

Cat. No.:	HY-13579
CAS No.:	1161233-85-7
Molecular Formula:	$C_{17}H_{16}F_3N_3O_5S$
Molecular Weight:	431.39
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 : 13.3 mg/mL (30.83 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3181 mL	11.5904 mL	23.1809 mL
	5 mM	0.4636 mL	2.3181 mL	4.6362 mL
	10 mM	0.2318 mL	1.1590 mL	2.3181 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: 2.5 mg/mL (5.80 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.80 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BTZ043 is an inhibitor of decaprenyl-phosphoribose-epimerase (DprE1), with MICs of of 2.3 nM and 9.2 nM for M. tuberculosis H37Rv and Mycobacterium smegmatis, respectively.

IC_{50} & Target

DprE1[1].

In Vitro

The MIC of BTZ043 against M. tuberculosis H37Rv and Mycobacterium smegmatis are 1 ng/mL (2.3 nM) and 4 ng/mL (9.2 nM), respectively[2]. The in vitro activity of BTZ043 against 30 Nocardia brasiliensis isolates is also tested. The MIC50 and MIC90

	values for BTZ043 are 0.125 and 0.25 μg/mL. The MIC for N. carnea ATCC 6847 is 0.003μg/mL, for N. transvalensis ATCC 6865 is 0.003μg/mL, for N. brasiliensis NCTC10300 is 0.03 μg/mL, and for N. brasiliensis HUJEG-1 is 0.125μg/mL. The MIC value for M. tuberculosis H37Rv is 0.000976 μg/mL. The MIC value of BTZ-043 is >64 μg/mL for Escherichia coli ATCC 25922 and S. aureus ATCC 29213[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Four weeks of treatment with BTZ043 reduces the bacterial burden in the lungs and spleens by 1 and 2 logs, respectively, at the concentrations used. Additional results suggest that BTZ043 efficacy is time-rather than dose-dependent. Acute (5 g/kg) and chronic (25 and 250 mg/kg) toxicology studies in uninfected mice show that, even at the highest dose tested, there are no adverse anatomical, behavioral, or physiological effects after one month[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Mice[2] Animal efficacy is determined in a standard mouse infection model. BALB/c mice are infected with a low bacillary load (~200 CFU) of M. tuberculosis H37Rv via aerosol. Treatment started four-weeks post infection. Mice are dosed by gavage with 37.5, or 300 mg of BTZ043, per kg body weight, in carboxymethyl cellulose formulation (0.25%), once daily, six times/week, for four weeks. Control and treated mice are sacrificed, lungs and spleens homogenized and dilutions plated for enumeration of viable bacilli[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- ACS Nano. 2023 May 9.
- J Med Chem. 2020 May 28;63(10):5367-5386.
- ChemNanoMat. 2020 Oct 28.

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REFERENCES

[1]. Vadim Makarov et al. The 8-Pyrrole-Benzothiazinones Are Noncovalent Inhibitors of DprE1 fromMycobacterium tuberculosis. Antimicrob Agents Chemother, 2015 Aug, 59(8): 4446-4452.

[2]. Makarov V, et al. Benzothiazinones kill Mycobacterium tuberculosis by blocking arabinan synthesis. Science. 2009 May 8;324(5928):801-4.

[3]. Norma Alejandra González-Martínez et al. In Vivo Activity of the Benzothiazinones PBTZ169 and BTZ043 against Nocardia brasiliensis. PLoS Negl Trop Dis, 2015 Oct, 9(10): e0004022.

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