

Macozinone

Chemical Properties

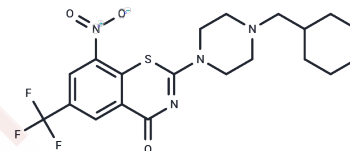
CAS No. : 1377239-83-2

Formula: C₂₀H₂₃F₃N₄O₃S

Molecular Weight: 456.48

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Macozinone (PBTZ169) inhibits decaprenylphosphoryl-β-d-ribose 2'-oxidase (DprE1), more efficiently than BTZ043.
Targets(IC50)	Antibacterial, Antibiotic, DprE1
In vitro	PBTZ169, inhibit decaprenylphosphoryl-β-d-ribose 2'-oxidase (DprE1) and display nanomolar bactericidal activity against Mycobacterium tuberculosis in vitro.
In vivo	PBTZ169 can be suspend in 0.25% hydroxy-propylmethyl-cellulose. The administertration for PBTZ169 is 100 mg/kg by gavage. The MIC50 and MIC90 values were 0.0075 and 0.030 μg/mL, respectively. The MIC for PBTZ169 for N. brasiliensis HJEG-1 was 0.0037 μg/mL. PBTZ169 has improved potency, safety and efficacy in zebrafish and mouse models of tuberculosis (TB).

Solubility Information

Solubility	DMSO: 4.57 mg/mL (10.01 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1907 mL	10.9534 mL	21.9068 mL
5 mM	0.4381 mL	2.1907 mL	4.3814 mL
10 mM	0.2191 mL	1.0953 mL	2.1907 mL
50 mM	0.0438 mL	0.2191 mL	0.4381 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

González-Martínez NA, et al. In Vivo Activity of the Benzothiazinones PBTZ169 and BTZ043 against *Nocardia brasiliensis*. *PLoS Negl Trop Dis*. 2015 Oct 16;9(10):e0004022.

Makarov V, et al. The 8-Pyrrole-Benzothiazinones Are Noncovalent Inhibitors of DprE1 from *Mycobacterium tuberculosis*. *Antimicrob Agents Chemother*. 2015 Aug;59(8):4446-52.

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