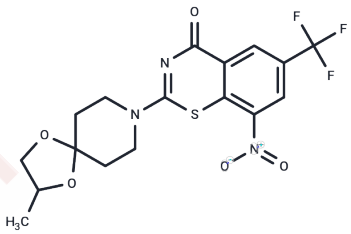
Data Sheet (Cat.No.T6425)

TargetMol

BTZ043 Racemate

Chemical Properties



CAS No. : 957217-65-1

Formula: C17H16F3N3O5S

Molecular Weight: 431.39

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	BTZ043 Racemate (Benzothiazinone 10526038) is a decaprenylphosphoryl-β-D-ribose 2'-epimerase (DprE1) inhibitor, used as a new antimycobacterial agent.
Targets(IC50)	Antibacterial,DprE1
In vitro	By targeting decaprenylphosphoryl-β-D-ribose 2'-epimerase, BTZ043 abolishes the formation of decaprenylphosphoryl arabinose, leading to cell lysis and death of Mycobacterium tuberculosis. BTZ043 displays similar activity against all clinical isolates of M. tuberculosis, including multidrug-resistant and extensively drug-resistant strains. BTZ043 displays significant activity against M. tuberculosis H37Rv and Mycobacterium smegmatis with MIC of 1 ng/mL (2.3 nM) and 4 ng/mL (9.2 nM), respectively, which is more potent than those of the existing tuberculosis (TB) drugs isoniazid (INH) and ethambutol (EMB) with MIC of 0.02-0.2 μg/mL and 1-5 μg/mL, respectively. BTZ043 is less effective in two different model systems (auxotrophy and starvation) involving metabolically inert M. tuberculosis, indicating that BTZ043 blocks a step in active metabolism similar to isoniazid (INH). BTZ043 treatment in M. smegmatis cells decreases the growth rate rapidly followed by a swelling of the poles and lysis of the cells after a few hours, which is similar but delayed in M. tuberculosis. [1] BTZ043 (1/4 MIC 0.375 ng/mL) in combination with TMC207 (1/4 MIC 20 ng/mL) has a stronger cidal effect on M. tuberculosis but not BTZ-resistant M. tuberculosis mutant than TMC207 alone at a concentration of 80 ng/mL. [2]
In vivo	In a mouse model of chronic tuberculosis, administration of BTZ043 at 37.5 mg/kg or 300 mg/kg for 4 weeks reduces the bacterial burden in the lungs and spleens by 1 and 2 logs, respectively. [1]

Solubility Information

Solubility	DMSO: 21 mg/mL (48.68 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 1 mg/mL (2.32 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and*

In vivo Formulation	*used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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	1.159 mL	2.3181 mL
50 mM	0.0464 mL	0.2318 mL	0.4636 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

Makarov V, et al. Science, 2009, 324(5928), 801-804.
Lechartier B, et al. Antimicrob Agents Chemother, 2012.