

Product Data Sheet

Product Name: BTZ043 Racemate

Cat. No.: GC18127

Chemical Properties

Cas. No. 957217-65-1

Chemical Name 2-(3-methyl-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-8-nitro-6-(trifluoromethyl)-1,3-benzothiazin-4-one

SMILES CC1COC2(O1)CCN(CC2)C3=NC(=O)C4=CC(=CC(=C4S3)[N+](=O)[O-])C(F)(F)F

Formula $C_{17}H_{16}F_3N_3O_5S$ M.Wt 431.39

Solubility $\geq 10.4\text{mg/mL}$ in DMSO with gentle warming, $\geq 3.27\text{ mg/mL}$ in EtOH with ultrasonic and warming

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

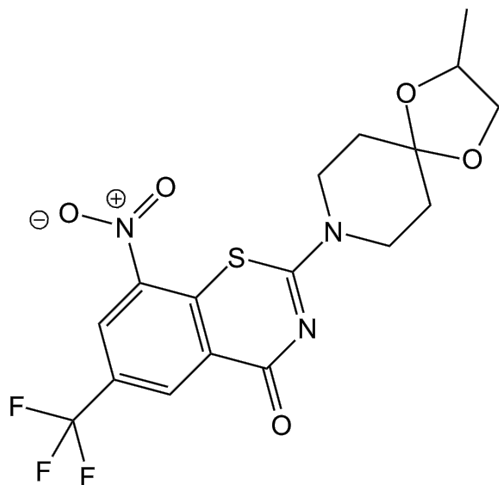
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Background

MIC: 1 ng/ml (2.3 nM) for *M. tuberculosis* H37Rv and 4 ng/ml (9.2 nM) for *Mycobacterium smegmatis*

The loss of human lives to tuberculosis (TB) continues unabated as a result of poverty, synergy with the HIV/AIDS pandemic, and the emergence of multidrug- and extensively drug-resistant strains of *Mycobacterium tuberculosis*. BTZ043 is the most advanced candidate for inclusion in combination therapies for both drug-sensitive and extensively drug-resistant TB.

In vitro: BTZ043 displayed similar activity against all clinical isolates of *M. tuberculosis* that were tested, including extensively drug-resistant and multidrug-resistant strains, indicating that it targets a previously unknown biological function. BTZ043 is bactericidal, reducing viability in vitro by more than 1000-fold in under 72 hours, which is comparable to the INH killing effect [1].

In vivo: The in vivo efficacy of BTZ043 was assessed 4 weeks after a low-dose aerosol infection of in the chronic BALB/c mice model of TB. Four weeks of treatment with BTZ043 reduced the bacterial load in the lungs and spleens by 1 and 2 logs, respectively, at the concentrations used. Additional

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findings suggest that BTZ efficacy is time- rather than dose-dependent [1].

Clinical trial: Up to now, BTZ043 is still in the preclinical development stage.

Reference:

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

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