BTZ043

Cat. No.: HY-13579  
CAS No.: 1161233-85-7  
Molecular Formula: C₁₇H₁₆F₃N₃O₅S  
Molecular Weight: 431.39  
Target: Bacterial  
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: 13.3 mg/mL (30.83 mM; Need ultrasonic and warming)  
H₂O: < 0.1 mg/mL (insoluble)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass (1 mg)</th>
<th>Mass (5 mg)</th>
<th>Mass (10 mg)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.3181 mL</td>
<td>11.5904 mL</td>
<td>23.1809 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4636 mL</td>
<td>2.3181 mL</td>
<td>4.6362 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2318 mL</td>
<td>1.1590 mL</td>
<td>2.3181 mL</td>
</tr>
</tbody>
</table>

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 2.3 nM and 9.2 nM for M. tuberculosis H37Rv and Mycobacterium smegmatis, respectively.

IC₅₀ & 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),
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 0.003 μg/mL, for N. transvalensis ATCC 6865 is 0.003 μg/mL, for N. brasiliensis NCTC10300 is 0.03 μg/mL, and for N. brasiliensis HUJEG-1 is 0.125 μg/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].

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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].

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REFERENCES


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