Delpazolid

Cat. No.: HY-100180
CAS No.: 1219707-39-7
Molecular Formula: C₁₄H₁₇FN₄O₃
Molecular Weight: 308.31
Target: Bacterial; Antibiotic
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: 30 mg/mL (97.30 mM; Need ultrasonic and warming)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass (mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>3.2435 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.6487 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3243 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 (8.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Delpazolid is a novel oxazolidinone antibiotic agent which can inhibit the growth of MSSA and MRSA with a MIC₉₀ of 2 μg/mL for both of them.

IC₅₀ & Target
MIC₉₀: 2 μg/mL (MSSA), 2 μg/mL (MRSA)[1]

In Vitro
Delpazolid (LCB01-0371), at concentrations of 1×MIC and 2×MIC, has bacteriostatic activity against MSSA and MRSA
after 24 h. At concentrations of 4×MIC and 8×MIC, Delpazolid shows bacteriostatic activity, but there is no regrowth at concentrations of 4×MIC and 8×MIC after 24 h of incubation[1]. The survival of M. abscessus is greatly decreased in the presence of Delpazolid (LCB-0371) (MIC_{50}=1.2 μg/mL). Delpazolid dramatically decreases the number of intracellular mycobacteria present at 2 days after infection at concentrations of 0.1, 1, and 10 μg/mL[2].

**In Vivo**

When administered orally, Delpazolid (LCB01-0371) shows potent protective effects against systemic infections caused by Gram-positive and Gram-negative bacteria. Against infection caused by S. aureus Giorgio (MSSA), the ED_{50} of Delpazolid is 4.53 mg/kg of body weight. Against S. aureus p125 (MRSA), the ED_{50} of Delpazolid is 2.96 mg/kg[1]. When Delpazolid (LCB-0371) is administered at 100 mg/kg daily (by gavage), the colony-forming unit (CFU) counts tend to be decreased in the lungs of mice at 7 days after infection[2].

**PROTOCOL**

**Cell Assay**[2]

For the in vitro infection procedure, bone marrow-derived macrophages (BMDMs) are plated at a concentration of 2×10^5 cells/well and infected for 4 h with M. abscessus. The cells are washed with PBS to remove extracellular bacteria and treated with Delpazolid (LCB-0371) in medium for 2 days. Thereafter, the intracellular bacteria are harvested and the lysates are diluted 10 fold in PBS. Each sample is plated on 7H10 agar plates and incubated at 37°C in a 0.5% CO₂ incubator for 7 days[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Animal Administration**[2]

WT mice are intranasally or intravenously injected with M.Abscessus (1×10^7 CFU/mouse). After 2 days, the mice are orally administered Delpazolid (LCB-0371) for 4 days, consecutively. At 7 days after M.Abscessus infection, the mice are killed, and their spleens, livers, and lungs are homogenized in PBS. Serial dilutions of the homogenates are plated on 7H10 medium supplemented with 10% OADC (oleic acid, albumin, dextrose, and catalase)[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**REFERENCES**
