Ethoxzolamide

Cat. No.: HY-B1480  
CAS No.: 452-35-7  
Molecular Formula: C₉H₁₀N₂O₃S₂  
Molecular Weight: 258.32  
Target: Carbonic Anhydrase; Bacterial  
Pathway: Metabolic Enzyme/Protease; 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 : ≥ 100 mg/mL (387.12 mM)  
H₂O : < 0.1 mg/mL (insoluble)  
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Solvent &amp; Mass Concentration</td>
<td>1 mM</td>
<td>5 mM</td>
<td>10 mM</td>
</tr>
<tr>
<td>1 mM</td>
<td>3.8712 mL</td>
<td>19.3558 mL</td>
<td>38.7117 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.7742 mL</td>
<td>3.8712 mL</td>
<td>7.7423 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3871 mL</td>
<td>1.9356 mL</td>
<td>3.8712 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 (9.68 mM); Clear solution  
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (9.68 mM); Clear solution  
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (9.68 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**  
Ethoxzolamide is a carbonic anhydrase inhibitor with Ki of 1 nM.

**IC₅₀ & Target**  
Ki: 1 nM (carbonic anhydrase) [¹]
In Vitro
Ethoxzolamide (ETZ) treatment causes >90% inhibition of reporter GFP fluorescence in infected macrophages. Moreover, in a 9-day macrophage survival assay, Ethoxzolamide (ETZ) treatment significantly inhibits the ability of M. tuberculosis to grow intracellularly [2].

In Vivo
It is discovered that the lipid-soluble ethoxzolamide is converted in vivo to a water-soluble metabolite, while retaining high activity against the enzyme. At the minimal dose for maximal effect (4 mg/kg i.v. at 45 min) the IOP lowering is 4.2 mmHg, the concentration in anterior uvea is 2.5 pmol/kg, and the fractional inhibition of the enzyme (i) is 0.9995. The effect declines rapidly, attributable to the very short half-life of drug in plasma, leading to depletion of free drug in the anterior uvea and other tissues [1]. Ethoxzolamide (ETZ) strongly downregulates GFP reporter fluorescence in mouse lungs, with 3-fold inhibition of GFP signal compare to that in the mock-treating control. There is a significant reduction of bacterial survival in the lungs of ETZ-treating mice compare to the mock-treating control [2].

PROTOCOL

Cell Assay [2]
BMDMs are treated with 80 μM Ethoxzolamide (ETZ) or an equivalent volume of DMSO every 2 days for 9 days total. At days 3, 6, and 9, intracellular bacteria are quantified by lysing macrophage monolayers and performing serial dilution plating of lysates on 7H10 agar. For fluorescence microscopy experiments, macrophages are seeded on glass coverslips before infection with M. tuberculosis CDC1551. Samples are treated every 2 days with 100 μM Ethoxzolamide (ETZ) or an equal volume of DMSO for 9 days [2].

Animal Administration [3]
Rats (male, 300–325 g) are randomly selected into 2 groups (n=6 each group) and Ethoxzolamide (EZ) is administered at a dose of 0.18 mg/kg (in PEG 300: ethanol, 1:1) via i.v. injection through the tail vein. Blood samples (about 50-100 µL) are collected in heparinizing tubes at 0, 15, 30, 60, 120, 240, 360, 540, and 1440 min post-injection, via tail snip with isoflurane as anesthetic. Plasma samples are prepared and stored at -80 °C until analysis. To study the distribution in brain, rats in group 1 are scarified at 6 hours and rats in group 2 are scarificed at 24 hours to collect the brain tissues. Those blood samples from group 2 are analyzed to generated PK profile [3].

REFERENCES


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

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