Fulacimstat

Cat. No.: HY-109059  
CAS No.: 1488354-15-9  
Molecular Formula: C₂₃H₁₆F₃N₃O₆  
Molecular Weight: 487.38  
Target: Others  
Pathway: Others  
Storage: Powder  
-20°C  3 years  
4°C  2 years  
In solvent  
-80°C  6 months  
-20°C  1 month

**SOLVENT & SOLUBILITY**

<table>
<thead>
<tr>
<th>In Vitro</th>
<th>DMSO : 5 mg/mL (10.26 mM; Need ultrasonic)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparing Stock Solutions</td>
<td>Concentration</td>
</tr>
<tr>
<td>1 mM</td>
<td>2.0518 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4104 mL</td>
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<tr>
<td>10 mM</td>
<td>0.2052 mL</td>
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</tbody>
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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: ≥ 0.5 mg/mL (1.03 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 0.5 mg/mL (1.03 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 0.5 mg/mL (1.03 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**  
Fulacimstat is an orally available chymase inhibitor, with IC₅₀s of 4, 3 nM for human and hamster chymase enzyme, respectively.

**IC₅₀ & Target**  
IC₅₀: 4 nM (human chymase enzyme), 3 nM (hamster chymase enzyme)[1][2].

**In Vitro**  
Fulacimstat inhibits human and hamster chymase enzyme with IC₅₀s of 4 nM and 3 nM, respectively[1][2].
<table>
<thead>
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<th>In Vivo</th>
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| Isoprenaline induces cardiac fibrosis (24.4±1.8%) in hamsters, which is reduced dose dependently by Fulacimstat (16.4±1.2%, 12.4±1.3%, 10.9±1.4% at 1, 3 and 10 mg/kg respectively) and by enalapril (17.7±1.5% at 20 mg/kg). Four weeks after MI, hamster hearts show an increased end diastolic pressure, and reduce contractility and relaxation. Compared to placebo (19.3±2 mmHg), Fulacimstat at 10 mg/kg reduce significantly the end diastolic pressure (13.2±1.4 mmHg) without any effects on blood pressure or heart rate. Moreover, treatment with Fulacimstat reduce the fibrotic area and improve the cardiac response to adrenergic stimulation[1].

**REFERENCES**
