Ilomastat

Cat. No.: HY-15768
CAS No.: 142880-36-2
Molecular Formula: C₂₀H₂₈N₄O₄
Molecular Weight: 388.46
Target: MMP
Pathway: Metabolic Enzyme/Protease
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 : ≥ 47 mg/mL (120.99 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>2.5743 mL</td>
<td>12.8713 mL</td>
<td>25.7427 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.5149 mL</td>
<td>2.5743 mL</td>
<td>5.1485 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2574 mL</td>
<td>1.2871 mL</td>
<td>2.5743 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

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 (6.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Ilomastat (GM6001) is a potent and broad spectrum matrix metalloprotease (MMP) inhibitor, inhibits MMPs (IC₅₀ values: 0.5 nM for MMP-9; 1.1 nM for MMP-2; 1.9 nM for MMP-3; 0.5 nM for MMP-9), with a Ki of 0.4 nM for human skin fibroblast collagenase (MMP-1).

IC₅₀ & Target

<table>
<thead>
<tr>
<th>Product</th>
<th>Inhibitors</th>
<th>Agonists</th>
<th>Screening Libraries</th>
</tr>
</thead>
</table>
### In Vitro
Ilomastat (GM6001) inhibits human skin fibroblast collagenase, thermolysin and elastase with Kᵢs of 0.4 nM, 20 nM, 20 nM, respectively[1]. Ilomastat (0.1-10 nM) inhibits gelatinase A and gelatinase B produced by T-cells. Ilomastat inhibits T-cell homing[4].

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

### In Vivo
Ilomastat (GM6001) (400 μg/mL) inhibits corneal ulceration after severe alkali injury in animals[2]. Ilomastat (GM6001) significantly suppresses intimal hyperplasia and intimal collagen content. Ilomastat increases lumen area in stented arteries, shows no activity on proliferation rates in rabbit model after stenting[3].

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

### PROTOCOL
**Animal Administration [3]**

To assess the effects of MMP inhibition, animals are given daily injections of either vehicle (“placebo group”) or Ilomastat (GM6001) (100 mg/kg per day as subcutaneous suspension), beginning one day before the second injury until seven days after the procedure. Ilomastat (GM6001) is a nonspecific hydroxamic acid-based MMPI with potent inhibitory activity against collagenase, gelatinases and stromelysin. Animals are euthanized at either 1 week or 10 weeks after the second injury.

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

### REFERENCES


