Upamostat

Cat. No.: HY-16511
CAS No.: 590368-25-5
Molecular Formula: C_{32}H_{47}N_{5}O_{6}S
Molecular Weight: 629.81
Target: Ser/Thr Protease; PAI-1
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: ≥ 250 mg/mL (396.95 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1.5878 mL</td>
<td>7.9389 mL</td>
<td>15.8778 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3176 mL</td>
<td>1.5878 mL</td>
<td>3.1756 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1588 mL</td>
<td>0.7939 mL</td>
<td>1.5878 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description
Upamostat (WX-671) is a serine protease inhibitor. Upamostat is the orally available prodrug of the WX-UK1, which is a urokinase plasminogen activator (uPA) inhibitor.

IC_{50} & Target
Serine protease, uPA^{[1]}

In Vitro
Upamostat is the urokinase plasminogen activator (uPA) inhibitor. Upamostat is the oral pro-drug of the active metabolite WX-UK1, a novel uPA inhibitor^{[1]}. Upamostat inhibits the urokinase-type plasminogen activator (uPA) system, which plays a major role in tumor invasion and metastasis. Upamostat is the orally available amidoxime- (i.e. hydroxyamidine-) prodrug of the pharmacologically active form, WX-UK1^{[2]}.

In Vivo
The validated method is used to evaluate the pharmacokinetics of Upamostat (Mesupron) in rats. The mean plasma concentrations of Upamostat after a single intravenous injection of 2 mg/kg in five rats are measured. The substance decays in a mono-phasic pattern with a terminal half-life of 0.5 h; its volume of distribution is 2.0 L/kg, and clearance
is about 2.7 L/h/kg\(^3\).

**PROTOCOL**

**Animal Administration**\(^3\)

**Rats**\(^3\)

*Five 9-week old Sprague-Dawley rats* are administered a single intravenous injection of 2 mg/kg of Upamostat. Upamostat is dissolved in a mixture of normal saline, dimethylacetamide, polyethylene glycol 400 and DMSO (3:3:3:1). Blood samples (0.15 mL) are taken serially for up to 10 h after drug administration and collected in heparinized centrifuge tubes. After centrifugation at 13,200 rpm for 10 min, the plasma samples are analyzed\(^3\). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**REFERENCES**

