DS-1040 Tosylate

**Cat. No.:** HY-101918  
**CAS No.:** 1335138-89-0  
**Molecular Formula:** C₂₃H₃₅N₃O₅S  
**Molecular Weight:** 465.61  
**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**

In **In Vitro**  
**H₂O:** 100 mg/mL (214.77 mM; Need ultrasonic)

<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>2.1477 mL</td>
<td>10.7386 mL</td>
<td>21.4772 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4295 mL</td>
<td>2.1477 mL</td>
<td>4.2954 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2148 mL</td>
<td>1.0739 mL</td>
<td>2.1477 mL</td>
</tr>
</tbody>
</table>

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

**BIOLOGICAL ACTIVITY**

**Description**  
DS-1040 Tosylate is an orally active, selective inhibitor of activated thrombin-activatable fibrinolysis inhibitor (TAFIa) with IC₅₀s of 5.92 nM and 8.01 nM for human and rat TAFIa. DS-1040 Tosylate is a fibrinolysis enhancer for thromboembolic diseases[1].

**IC₅₀ & Target**  
IC50: 5.92 nM (human TAFIa) and 8.01 nM (rat TAFIa)[1]

**In Vitro**  
DS-1040 Tosylate inhibits human carboxypeptidase N (CPN) in vitro with an IC₅₀ of 3.02 mM[1].

**In Vivo**  
DS-1040 Tosylate (0.0005–0.5 mg/kg; IV) significantly reduces the microthrombi index at doses of 0.005 mg/kg and greater[1]. DS1040 (0.0005–0.5 mg/kg; i.v.) increases plasma D-dimer levels in a dose-dependent manner in the microthrombosis model. ED₅₀ and ED₅₀ values are 122 and 221 nmol/L, respectively[1]. DS-1040 (0.25, 0.5, 1, 2, 4, 8, 16 mg/kg; orally) also increases plasma D-dimer levels. EC₅₀ and EC₅₀ values are 114 and 231 nmol/L, respectively[1].
Animal Model: Male Slc:Wistar rats

Dosage: 0.0005, 0.005, 0.05, 0.5 mg/kg

Administration: IV

Result: Significantly reduced the microthrombi index at doses of 0.005 mg/kg and greater.

REFERENCES