**Delcasertib**

**Cat. No.:** HY-106262  
**CAS No.:** 949100-39-4  
**Molecular Formula:** C₁₂₀H₁₉₉N₄₅O₃₄S₂  
**Molecular Weight:** 2880.28

**Sequence Shortening:** Sequence 1: CYGRKKRRQRRR; Sequence 1': CSFNSYELGSL (Disulfide bridge: Cys1-Cys1')

**Target:** PKC  
**Pathway:** Epigenetics; TGF-beta/Smad

**Storage:**  
- **Powder:**  
  -80°C: 2 years  
  -20°C: 1 year  
- **In solvent:**  
  -80°C: 6 months  
  -20°C: 1 month

### SOLVENT & SOLUBILITY

#### In Vitro  
DMSO: ≥ 100 mg/mL (34.72 mM)  
*“≥” means soluble, but saturation unknown.*

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>0.3472 mL</td>
<td>1.7359 mL</td>
<td>3.4719 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.0694 mL</td>
<td>0.3472 mL</td>
<td>0.6944 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.0347 mL</td>
<td>0.1736 mL</td>
<td>0.3472 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: ≥ 7.69 mg/mL (2.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (0.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (0.87 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description**  
Delcasertib (KAI-9803) is a potent and selective δ-protein kinase C (δPKC) inhibitor.

**IC₅₀ & Target**  
δPKC
**In Vitro**

Delcasertib (KAI-9803) is composed of a selective δ-protein kinase C (δPKC) inhibitor peptide derived from the δV1-1 portion of δPKC (termed “cargo peptide”), conjugated reversibly to the cell-penetrating peptide 11-amino acid, arginine-rich sequence of the HIV type 1 transactivator protein (TAT47–57; termed “carrier peptide”) via a disulfide bond[1].

**In Vivo**

Delcasertib (KAI-9803, a single intraperitoneal injection) in mice results in the selective inhibition of PKC translocation in the liver, kidney, lung, heart, and brain[1]. Delcasertib (KAI-9803) administration at the end of ischemia has been found to reduce cardiac damage caused by ischemia-reperfusion in a rat model of acute myocardial infarction[3]. Delcasertib (KAI-9803) has been studied for the prevention of reperfusion injury in patients undergoing angioplasty after acute myocardial infarction[2].

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>Six-week-old male Crl:CD(SD) rats [1]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>1 mg/kg (Pharmacokinetic Analysis).</td>
</tr>
<tr>
<td>Administration:</td>
<td>Via the femoral vein.</td>
</tr>
<tr>
<td>Result:</td>
<td>The distribution to tissues such as the liver, kidney, and heart is facilitated by the reversible conjugation to TAT47-57.</td>
</tr>
</tbody>
</table>

**REFERENCES**
