LSKL, Inhibitor of Thrombospondin (TSP-1)

Cat. No.: HY-P0299
CAS No.: 283609-79-0
Molecular Formula: \( C_{21}H_{42}N_6O_5 \)
Molecular Weight: 458.6
Sequence: Leu-Ser-Lys-Leu
Sequence Shortening: LSKL
Target: TGF-\( \beta \) Receptor
Pathway: 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: \( \geq 100 \) mg/mL (218.05 mM)
\( H_2O: 50 \) mg/mL (109.03 mM; Need ultrasonic)
* "\( \geq \)" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>1 mM</td>
<td>2.1805 mL</td>
<td>10.9027 mL</td>
<td>21.8055 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4361 mL</td>
<td>2.1805 mL</td>
<td>4.3611 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2181 mL</td>
<td>1.0903 mL</td>
<td>2.1805 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 >> 90% (20% SBE-\( \beta \)-CD in saline)
   Solubility: \( \geq 2.5 \) mg/mL (5.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: \( \geq 2.5 \) mg/mL (5.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
LSKL, Inhibitor of Thrombospondin (TSP-1) is a latency-associated protein (LAP)-TGF\( \beta \) derived tetrapeptide and a competitive TGF-\( \beta_1 \) antagonist. LSKL, Inhibitor of Thrombospondin (TSP-1) inhibits the binding of TSP-1 to LAP and alleviates renal interstitial fibrosis and hepatic fibrosis. LSKL, Inhibitor of Thrombospondin (TSP-1) suppresses subarachnoid fibrosis via inhibition of TSP-1-mediated TGF-\( \beta_1 \) activity, prevents the development of chronic...
hydrocephalus and improves long-term neurocognitive defects following subarachnoid hemorrhage (SAH). LSKL, Inhibitor of Thrombospondin (TSP-1) can readily cross the blood-brain barrier[1][2].

<table>
<thead>
<tr>
<th>IC₅₀ &amp; Target</th>
<th>TGF-β1[1]</th>
</tr>
</thead>
</table>

**In Vitro**
The KTFKR sequence from ADAMTS1 is responsible for the interaction with the LSKL, Inhibitor of Thrombospondin (TSP-1) (LSKL peptide) from the latent form of TGF-β, leading to its activation. There is a stable binding mode between LSKL, Inhibitor of Thrombospondin (TSP-1) and ADAMTS1 KTFR sequence, characterized by 3 salt bridges and 2 hydrogen bonds[2].

**In Vivo**
LSKL, Inhibitor of Thrombospondin (TSP-1) (1 mg/kg; intraperitoneal injection; male Sprague-Dawley rats) is protective against subarachnoid fibrosis, attenuates ventriculomegaly and effectively suppresses hydrocephalus. LSKL, Inhibitor of Thrombospondin (TSP-1) treatment inhibits TGF-β1 activity and subsequent Smad2/3 signaling[1]. LSKL, Inhibitor of Thrombospondin (TSP-1) (30 mg/kg, i.p.) successfully inhibits transforming growth factor (TGF) β-Smad signal activation induced by partial hepatectomy. LSKL, Inhibitor of Thrombospondin (TSP-1) successfully attenuates TGF-β-Smad signal activation by antagonizing TSP-1, but not by reducing TSP-1 protein expression. LSKL, Inhibitor of Thrombospondin (TSP-1) accelerates hepatocyte proliferation after hepatectomy[3].

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>103 male Sprague-Dawley rats (6 weeks of age; 160-180 g) with subarachnoid hemorrhage (SAH)[1]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>1 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>Intraperitoneal injection</td>
</tr>
<tr>
<td>Result:</td>
<td>Was protective against subarachnoid fibrosis, attenuated ventriculomegaly and effectively suppressed hydrocephalus.</td>
</tr>
</tbody>
</table>

**REFERENCES**


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