Icilin

**Cat. No.:** HY-11062

**CAS No.:** 36945-98-9

**Molecular Formula:** C₁₆H₁₃N₃O₄

**Molecular Weight:** 311.29

**Target:** TRP Channel

**Pathway:** Membrane Transporter/Ion Channel; Neuronal Signaling

**Storage:**
- Powder: -20°C 3 years
- 4°C 2 years
- In solvent: -80°C 6 months
- -20°C 1 month

**SOLVENT & SOLUBILITY**

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**In Vitro**

- **DMSO**: ≥ 54 mg/mL (173.47 mM)
- **H₂O**: < 0.1 mg/mL (insoluble)

*"≥" means soluble, but saturation unknown.*

**Preparing Stock Solutions**

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass (mg/mL)</th>
<th>Mass (mg/mL)</th>
<th>Mass (mg/mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mg</td>
<td>5 mg</td>
<td>10 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>3.2124 mL</td>
<td>16.0622 mL</td>
<td>32.1244 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.6425 mL</td>
<td>3.2124 mL</td>
<td>6.4249 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3212 mL</td>
<td>1.6062 mL</td>
<td>3.2124 mL</td>
</tr>
</tbody>
</table>

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

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**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline

Solubility: ≥ 2.5 mg/mL (8.03 mM); Clear solution

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**BIOLOGICAL ACTIVITY**

**Description**

Icilin(AG 3-5) is a synthetic super-agonist of TRPM8 ion channel. IC50 value:

- **Target:** TRPM8
- **in vitro:** Icilin, a supercooling agent, down-regulated the expression of cell cycle signature genes and caused G1 arrest in PC-3 prostate cancer cells. Icilin affected cell cycle-related transcriptional modules and identified E2F1 transcription factor as a target master regulator of icilin.
- **in vivo:** Rats injected with icilin (0.5, 1, 2.5, 5mg/kg, i.p.) displayed dose-related WDS that were inhibited by pretreatment with a fixed dose of clonidine (0.15 mg/kg, s.c.). Shaking behavior caused by a fixed dose (2.5mg/kg) of
icilin was also inhibited in a dose-related manner by clonidine pretreatment (0.03-0.15 mg/kg, s.c.) and reduced by clonidine posttreatment (0.15 mg/kg, s.c.) [3].

REFERENCES


