**Capsazepine**

Cat. No.: HY-15640  
CAS No.: 138977-28-3  
Molecular Formula: C₁₉H₂₁ClN₂O₂S  
Molecular Weight: 376.9  
Target: TRP Channel; Apoptosis  
Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; Apoptosis  
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: ≥ 50 mg/mL (132.66 mM)

* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.6532 mL</td>
<td>13.2661 mL</td>
<td>26.5322 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.5306 mL</td>
<td>2.6532 mL</td>
<td>5.3064 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2653 mL</td>
<td>1.3266 mL</td>
<td>2.6532 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: ≥ 5 mg/mL (13.27 mM); Clear solution  
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 5 mg/mL (13.27 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description**  
Capsazepine is a synthetic analogue of the sensory neurone excitotoxin, and an antagonist of TRPV1 receptor with an IC₅₀ of 562 nM.

**IC₅₀ & Target**  
TRPV1 receptor[^1]

**In Vitro**  
Capsazepine (50 μM) optimally enhances the upregulation of (death receptors) DRs without affecting cell viability HCT116 cells. Capsazepine (30-50 μM) induces ROS generation and ROS mediate Capsazepine-induced DR5 upregulation in HCT116 cells[^1]. Capsazepine (1-100 μM, 45 min preincubation) inhibits the evoked CGRP-LI release.
Capsazepine (3-100 μM) prevents low pH- and capsaicin-induced CGRP-LI release from rat soleus muscle at concentrations which do not affect the release evoked by KCl. Capsazepine (3-100 μM, without 10 μM) produces a nonspecific inhibitory effect on CGRP-LI release from peripheral endings of the capsaicin-sensitive primary afferent neurone[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### In Vivo

Capsazepine (15 mg/kg, s.c.) prevents the increase in respiratory system resistance and decreases the increase in tissue damping during endotoxemia. Capsazepine attenuates lung injury evidenced by reduction on collapsed area of the lung parenchyma induced by LPS[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### PROTOCOL

#### Cell Assay [1]

To assay intracellular ROS, HCT116 cells are preincubated with 20 μM dichlorofluorescein diacetate (DCF DA) for 15 min at 37°C and then treated with Capsazepine. After 1 h of incubation, the increase in fluorescence resulting from the oxidation of DCF DA to DCF is measured by flow cytometry. The mean fluorescence intensity at 530 nm is calculated for at least 10,000 cells at a flow rate of 250-300 cells/s. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration [3]

To verify the role of TRPV1 on lung mechanics during LPS-induced ALI, the animals (n = 10 per group) are pre-treated with vehicle or Capsazepine (15 mg/kg; s.c.), then receive saline or LPS (5 mg/kg, i.p.) after 10 min. Thus, the mice are randomly divided into four groups with 10 mice in each group: (i) control (vehicle + saline), (ii) Capsazepine + saline, (iii) vehicle + LPS and (iv) Capsazepine + LPS. After a 24-hr treatment with saline or LPS, the mice are anaesthetized and paralysed and lung mechanics function is evaluated. Afterwards, the lungs are removed for histology. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### CUSTOMER VALIDATION

- *Biomed Pharmacother*. 2019 Aug 8;118:109308

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### REFERENCES

