LCZ696

Cat. No.: HY-18204A  
CAS No.: 936623-90-4  
Molecular Formula: \( \text{C}_{48}\text{H}_{60}\text{N}_{6}\text{Na}_{3}\text{O}_{10.5} \)  
Molecular Weight: 957.99  
Target: Angiotensin Receptor; Neprilysin; Apoptosis  
Pathway: GPCR/G Protein; Metabolic Enzyme/Protease; 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: ≥ 100 mg/mL (104.39 mM)

H₂O: ≥ 50 mg/mL (52.19 mM)

* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Concentration</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td></td>
<td>1.0439 mL</td>
<td>5.2193 mL</td>
<td>10.4385 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.2088 mL</td>
<td>1.0439 mL</td>
<td>2.0877 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.1044 mL</td>
<td>0.5219 mL</td>
<td>1.0439 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: ≥ 2.5 mg/mL (2.61 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (2.61 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (2.61 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description**

LCZ696 (Sacubitril/Valsartan), comprised Valsartan (an ARB) and Sacubitril (AHU377) in 1:1 molar ratio, is a first-in-class, orally bioavailable, and dual-acting angiotensin receptor-neprilysin (ARN) inhibitor for hypertension and heart failure[1][2][3]. LCZ696 ameliorates diabetic cardiomyopathy by inhibiting inflammation, oxidative stress and apoptosis[4].

IC₅₀ & Target

Angiotensin receptor-neprilysin[1]

In Vitro

LCZ696 (1-30 µM; 0.5 hours) inhibits HG-treated H9C2 cells apoptosis in an experimental model of Diabetic cardiomyopathy (DCM)[4].

LCZ696 (1-30 µM; 0.5 hours) increases the expression level of cleaved caspase-3 and the ratio of Bax/Bcl-2 in HG-treated H9C2 cells[4].

Apoptosis Analysis[4]

<table>
<thead>
<tr>
<th>Cell Line</th>
<th>HG-treated H9C2 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration</td>
<td>1, 10, or 30 µM</td>
</tr>
<tr>
<td>Incubation Time</td>
<td>0.5 hours</td>
</tr>
<tr>
<td>Result</td>
<td>Inhibited HG-treated H9C2 cells apoptosis.</td>
</tr>
</tbody>
</table>

Western Blot Analysis[4]

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</tr>
<tr>
<td>Result</td>
<td>Increased the expression level of cleaved caspase-3 and the ratio of Bax/Bcl-2.</td>
</tr>
</tbody>
</table>

In Vivo

LCZ696 (perorally; 68 mg/kg for 4 weeks) significantly exhibits small weights and reduces interstitial fibrosis both in the noninfarct zone and peri-infarct zone[2].

Animal Model: Adult 6- to 8-week-old male Sprague-Dawley rats (220-250 g body weight) [2]

Dosage: 68 mg/kg

Administration: Perorally; for 4 weeks

Result: Exhibited small weights and reduced interstitial fibrosis both in the noninfarct zone and peri-infarct zone.

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REFERENCES

