Valsartan

Cat. No.: HY-18204
CAS No.: 137862-53-4
Molecular Formula: \( C_{24}H_{29}N_5O_3 \)
Molecular Weight: 435.52
Target: Angiotensin Receptor
Pathway: GPCR/G Protein
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: \( \geq 100 \text{ mg/mL (229.61 mM)} \)

* "\( \geq \)" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.2961 mL</td>
<td>11.4805 mL</td>
<td>22.9611 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4592 mL</td>
<td>2.2961 mL</td>
<td>4.5922 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2296 mL</td>
<td>1.1481 mL</td>
<td>2.2961 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 &gt; 40% PEG300 &gt; 5% Tween-80 &gt; 45% saline
   Solubility: \( \geq 2.5 \text{ mg/mL (5.74 mM)} \); Clear solution

2. Add each solvent one by one: 10% DMSO &gt; 90% (20% SBE-β-CD in saline)
   Solubility: \( \geq 2.5 \text{ mg/mL (5.74 mM)} \); Clear solution

3. Add each solvent one by one: 10% DMSO &gt; 90% corn oil
   Solubility: \( \geq 2.5 \text{ mg/mL (5.74 mM)} \); Clear solution

BIOLOGICAL ACTIVITY

**Description**

Valsartan (CGP-48933) is an angiotensin II receptor antagonist for the treatment of high blood pressure and heart failure.

**In Vitro**

Valsartan is a synthetic non-peptide angiotensin II type 1 receptor antagonist that dilates blood vessels and reduces blood pressure by blocking the action of angiotensin. Valsartan significantly decreases the expression of AT1R in
ageing aorta endothelial cells[1]. The pretreatment of valsartan results in an inhibition of TLR2 signaling and proinflammatory cytokines. The expression of AGTR1 is up-regulated after alcohol exposure, and is blocked by valsartan pretreatment[2].

In Vivo

Valsartan significantly attenuates the expression of TGF-β/Smad, Hif-1α and fibrosis-related protein in rats after MI. Heart function, infarcted size, wall thickness as well as myocardial vascularization of ischaemic hearts are also significantly improved by valsartan compared with saline and hydralazine[3]. Valsartan partially reverses the effects of high-salt diet on hypertension, cardiac injuries such as fibrosis and inflammatory cell infiltration, and inhibition of aquaporin 1 and angiogenic factors; valsartan alone does not exert such effects[4]. Valsartan is an effective antidepressant/antianxiety reagent and can promote the hippocampal neurogenesis and expression of BDNF. Chronic administration of valsartan (5-40 mg/kg/d, p.o.) increases the time spent in the center of the field in OFT and the latency to eat in NSF, reduces the immobility time in both TST and FST, and increases the sucrose preference in SPT[5].

PROTOCOL

Animal Administration [4]

Rats: Rats are randomly divided into two groups: (i) valsartan-treated group that is given intravenously 3 mg/kg/day valsartan in 0.5 mL normal saline via the vein daily for 1 week; (ii) hydralazine-treated group receiving 0.2 mg/kg/day hydralazine injection in saline; and (iii) control group that receives saline injection in the same way (n=15 for each group).

Mice: Valsartan is dissolved in water containing 0.5% methylcellulose solution. Valsartan (5-40 mg/kg/d) is administered by oral (p.o.) route in a volume of 10 mL/kg body weight using the gavage technique. Potential alteration in blood pressure in response to chronic treatment with valsartan is assessed with a commercial blood pressure analysis system designed. The mice are trained for at least 2 consecutive days to adapt to the apparatus before the study is initiated. To record the blood pressure, the mice are placed on a heated pad (35°C) and measured with a programmable tail-cuff sphygmomanometer in steady state. The average of 10 readings from each mouse is recorded[5].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.
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