VO-Ohpic trihydrate

Cat. No.: HY-13074  
CAS No.: 476310-60-8  
Molecular Formula: C₁₂H₁₆N₂O₁₁V  
Molecular Weight: 415.2  
Target: PTEN; Autophagy  
Pathway: PI3K/Akt/mTOR; Autophagy  
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 (120.42 mM)  
H₂O : < 0.1 mg/mL (insoluble)  
* "≥" means soluble, but saturation unknown.  

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>2.4085 mL</td>
<td>12.0424 mL</td>
<td>24.0848 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.4817 mL</td>
<td>2.4085 mL</td>
<td>4.8170 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2408 mL</td>
<td>1.2042 mL</td>
<td>2.4085 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 (6.02 mM); Clear solution  
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution  
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: 2.5 mg/mL (6.02 mM); Precipitated solution; Need warming

BIOLOGICAL ACTIVITY

Description  
VO-Ohpic trihydrate is a highly potent inhibitor of PTEN with an IC₅₀ of 46±10 nM.

IC₅₀ & Target  
IC₅₀: 46±10 nM (PTEN)[¹]
**In Vitro**

VO-OHpic with two OHpic ligands and an oxo ligand is a sterically demanding molecule, and one will therefore expect that binds substrate will affect the subsequent binding of the inhibitor due to steric hindrance. VO-OHpic significantly inhibits PTEN activity in low nanomolar concentrations (IC$_{50}$ 46±10 nM), which is in agreement with the previously determined potency (IC$_{50}$ 35±2 nM) in a PIP$_3$-based assay. The inhibition constants $K_i$ and $K_{iu}$ are determined to be 27±6 and 45±11 nM, respectively$^{[1]}$. VO-OHpic is an encouragingly specific and potent PTEN inhibitor. VO-OHpic is the most potent inhibitor (IC$_{50}$=35 nM) of the PTEN lipid phosphatase activity$^{[2]}$.

**In Vivo**

PTEN is inhibited in mice by intra-peritoneal injection of VO-OHpic (10 μg/kg) 30 min before ischemia and then exposed them to 30 min of ischemia and 120 min of reperfusion. At the end of the experiment, myocardial infarct size is measured by triphenyltetrazolium chloride (TTC). Myocardial infarct size is significantly decreased in VO-treated mice (25±6 vs. 56±5 %, n=7, P<0.01). There is no difference in the area at risk between these two groups (46±3 vs. 57±3 %, n=7, P>0.05)$^{[3]}$.

**PROTOCOL**

**Kinase Assay$^{[1]}$**

VO-OHpic is dissolved in DMSO (100 μM) and diluted further to the required concentration with 1% DMSO. For inhibition studies, PTEN is preincubated with VO-OHpic at RT for 10 min before substrate is added to initialise the reaction. Background absorbance (malachite green assay) and fluorescence (OMFP assay) are determined with VO-OHpic in assay buffer and corrected in the data analysis$^{[1]}$.

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

**Animal Administration$^{[3]}$**

Mice$^{[3]}$

The experiment is performed with male C57BL6 mice. Briefly, mice are anesthetized with pentobarbital (70 mg/kg). The left coronary artery is occluded about 1-2 mm below the left auricle. Reperfusion is accomplished by loosening the ligature. The PTEN inhibitor VO-OHpic is administered by intra-peritoneal injection at the dosage of 10 μg/kg once 30 min before ischemia. Saline is used as control. At the end of the experiment, the animals are euthanized by transecting the aorta and removing the heart for infarct size determination.

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**CUSTOMER VALIDATION**

- Bone Res. 2018 Nov 10;6:32.

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**REFERENCES**


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