CID755673

Cat. No.: HY-12239
CAS No.: 521937-07-5
Molecular Formula: C₁₂H₁₁NO₃
Molecular Weight: 217.22
Target: PKD
Pathway: 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 (460.36 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent 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>4.6036 mL</td>
<td>23.0181 mL</td>
<td>46.0363 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.9207 mL</td>
<td>4.6036 mL</td>
<td>9.2073 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.4604 mL</td>
<td>2.3018 mL</td>
<td>4.6036 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 (11.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (11.51 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (11.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
CID755673 is a potent PKD inhibitor with IC₅₀s of 182 nM, 280 nM and 227 nM for PKD1, PKD2 and PKD3, respectively.

IC₅₀ & Target

<table>
<thead>
<tr>
<th>PKD1</th>
<th>PKD3</th>
<th>PKD2</th>
</tr>
</thead>
<tbody>
<tr>
<td>182 nM (IC₅₀)</td>
<td>227 nM (IC₅₀)</td>
<td>280 nM (IC₅₀)</td>
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</tbody>
</table>
### In Vitro
CID755673 blocks phorbol ester-induced endogenous PKD1 activation in LNCaP cells in a concentration-dependent manner. CID755673 inhibits the known biological actions of PKD1 including phorbol ester-induced class IIa histone deacetylase 5 nuclear exclusion, vesicular stomatitis virus glycoprotein transport from the Golgi to the plasma membrane, and the ilimaquinone-induced Golgi fragmentation. CID755673 inhibits prostate cancer cell proliferation, cell migration, and invasion\(^1\).

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

### In Vivo
Acute administration of the PKD inhibitor CID755673 to normal mice reduces both PKD1 and 2 phosphorylation in a time and dose-dependent manner. Chronic CID755673 administration to T2D db/db mice for two weeks reduces expression of the gene expression signature of PKD activation, enhances indices of both diastolic and systolic left ventricular function and is associated with reduced heart weight\(^2\).

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### PROTOCOL

#### Kinase Assay \(^{[1]}\)
The radiometric kinase assay is carried out by coincubating 0.5 μCi of \(\gamma\)-\(^{32}\)P]ATP, 20 μM ATP, 50 ng of purified recombinant human PKD (PKD1, PKD2, and PKD3) or CAMKIIα proteins, and 2.5 μg of Syntide-2 in 50 μL of kinase buffer that contains 50 mM Tris-HCl, pH 7.5, 4 mM MgCl\(_2\), 10 mM β-mercaptoethanol. The reaction is carried out under conditions that the initial rate is within the linear kinetic range\(^1\).

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#### Cell Assay \(^{[1]}\)
The wound-induced migration is triggered by scraping the cells with a plastic pipette tip, and the wound is imaged immediately. The DU145 cells are then are treated with or without CID755673 at different concentrations. The wound is imaged immediately (0 h) and at different intervals with an inverted phase-contrast microscope with a ×10 objective. At the end of the assay, cells are fixed with methanol and stained with crystal violet for a final image\(^1\).

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#### Animal Administration \(^{[2]}\)
Mice: For acute inhibitor studies, C57BL6 mice are administered a single dose of vehicle (5% DMSO in PBS, pH 7.4), or the selective PKD inhibitor CID755673 at 1 or 10mg/kg body weight. Mice are killed one or four hr later and heart collected for later analysis. For chronic inhibitor experiments, 8-week old db/db mice receives vehicle or CID755673 at 1 or 10mg/kg bodyweight for 16 days, by daily intraperitoneal (i.p.) injection\(^2\).

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### REFERENCES