Ro-3306

Cat. No.: HY-12529
CAS No.: 872573-93-8
Molecular Formula: C₁₈H₁₃N₃OS₂
Molecular Weight: 351.45
Target: CDK; Apoptosis
Pathway: Cell Cycle/DNA Damage; 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 : ≥ 47 mg/mL (133.73 mM)
H₂O : < 0.1 mg/mL (insoluble)
* "≥" 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>1 mM</td>
<td></td>
<td>2.8454 mL</td>
<td>14.2268 mL</td>
<td>28.4535 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.5691 mL</td>
<td>2.8454 mL</td>
<td>5.6907 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2845 mL</td>
<td>1.4227 mL</td>
<td>2.8454 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: ≥ 1.67 mg/mL (4.75 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (4.75 mM); Suspended solution

BIOLOGICAL ACTIVITY

Description
Ro-3306 is a potent and selective inhibitor of CDK1, with $K_i$ of 20 nM, 35 nM and 340 nM for CDK1, CDK1/cyclin B1 and CDK2/cyclin E, respectively.

IC₅₀ & Target

<table>
<thead>
<tr>
<th>CDK1 20 nM (Ki)</th>
<th>CDK1/cyclin B1 35 nM (Ki)</th>
<th>CDK1/cyclin A 110 nM (Ki)</th>
<th>CDK2/cyclin E 340 nM (Ki)</th>
</tr>
</thead>
<tbody>
<tr>
<td>PKCδ</td>
<td>SGK</td>
<td>ERK</td>
<td></td>
</tr>
</tbody>
</table>

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In Vitro

RO-3306 is an ATP-competitive inhibitor, and inhibits CDK1/cyclin A complexes with Kᵢ of 110 nM. RO-3306 blocks the cell cycle in the G2/M phase of human cancer cells. RO-3306 (4 μM) induces apoptosis in cancer cells[1]. RO-3306 (5 μM) induces G2/M-phase cell cycle arrest and apoptosis of AML cells in a time-dependent manner. RO-3306 treatment significantly increases the percentage of Annexin V-positive cells in G1-phase cells without affecting the cell cycle distribution. RO-3306 enhances p53-mediated apoptosis. RO-3306 cooperates with Nutlin-3 in activating Bax and inducing mitochondrial apoptosis. RO-3306 (5 μM) downregulates antiapoptotic p21, Bcl-2 and survivin protein expression in AML. RO-3306 inhibits p53-induced p21 synthesis. RO-3306 does not inhibit RNA polymerase II CTD phosphorylation[2]. RO-3306 (10 μM) effectively arrests oocyte maturation. RO-3306 reduces the blastocyst formation in oocytes[3].

PROTOCOL

Kinase Assay [1]

The CDK assays are run by using recombinant human CDK/cyclin complexes (CDK1/cyclin B1, CDK1/cyclin A, CDK2/cyclin E, and CDK4/cyclin D) expressed and isolated from Hi5 insect cells. GST-cyclin B1, CDK1, GST-cyclin-E, CDK2, GST-CDK4, and cyclin D, are used in the assay. The GST-tagged proteins are coexpressed and purified in complex with their partners. All assays use a His-6-tagged fragment of pRB (amino acids 385-928) as a substrate. The protein is expressed from a construct. It is expressed in M15 Escherichia coli cells and bound on a Ni-chalated agarose column pretreated with 1 mM imidazole and eluted with 500 mM imidazole. The eluted protein is dialyzed against 20 mM Hepes, pH 7/6.25 mM MgCl₂/1.5 mM DTT, aliquoted, and stored at −80°C.

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

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REFERENCES


