NSC 663284

Cat. No.: HY-100034
CAS No.: 383907-43-5
Molecular Formula: C₁₅H₁₆ClN₃O₃
Molecular Weight: 321.76
Target: Phosphatase
Pathway: Metabolic Enzyme/Protease
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 : ≥ 150 mg/mL (466.19 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>Mass Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>3.1079 mL</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.6216 mL</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.3108 mL</td>
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<td></td>
<td></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 (7.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.77 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
NSC 663284 is a potent, cell-permeable, and irreversible Cdc25 dual specificity phosphatase inhibitor, has an IC₅₀ for Cdc25B2 of 0.21 μM. NSC 663284 exhibits mixed competitive kinetics against Cdc25A, Cdc25B(2), and Cdc25C with Ki values of 29, 95, and 89 nM, respectively[1].

IC₅₀ & Target
IC₅₀: 0.21 μM (Cdc25B2)[1]
**In Vitro**

NSC 663284 (3-100 μM; 48 hours) has a mean IC50 value in the NCI 60 Cell human tumor panel of 1.5 ± 0.6 μM, has IC50 values of 0.2 μM in human breast cancer MDA-MB-435 and MDA-N cells, has an IC50 value of 1.7 μM in human breast MCF-7 cells in culture[1].

NSC 663284 has relative IC50 values for Cdc25B2 (IC50=0.21 μM) are 20- and 450-fold lower than for VHR (IC50=4.0 μM) or PTP1B (IC50>4.0 μM), respectively[1].

**In Vivo**

NSC 663284 (intravenous injection; 2, 3, and 5mg/kg) inhibits the growth of subcutaneous human colon HT29 xenografts in SCID mice. After a single dose of 5 mg/kg, NSC 663284 is not detectable in plasma or tissues beyond 5 min. Following NSC 663284 treatment of tumor-bearing SCID mice, reduces glutathione concentrations in HT29 tumor are decreased to a greater extent and remained decreased for longer than the reduced glutathione concentrations in liver and kidneys[2].

**PROTOCOL**

Animal Administration [2]

Mice: C.B.-17 SCID mice bearing HT29 human colon carcinoma xenografts are stratified into the following groups of 9-10 animals: Control, vehicle control, positive control (gemcitabine, 50 mg/kg/dose i.v.), NSC 663284 at the following doses: 2, 3 or 5 mg/kg/dose i.v.. The mice are dosed every 4 days for 6 doses, and body weights and tumor volumes are recorded twice weekly. Tumors are measured with calipers, and tumor volumes are calculated. Mice are followed for 3 weeks following the completion of the dosing to monitor tumor regrowth. In a second study, C.B.-17 SCID mice bearing MDA-MB-435 human breast cancer xenografts are stratified to the same treatment groups, except that paclitaxel at 20 mg/kg i.v. every 7 days is used as the positive control[2].

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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