Wortmannin

Cat. No.: HY-10197
CAS No.: 19545-26-7
Molecular Formula: C₂₃H₂₄O₈
Molecular Weight: 428.43
Target: PI3K, Polo-like Kinase (PLK); Autophagy
Pathway: PI3K/Akt/mTOR; Cell Cycle/DNA Damage; 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 (116.71 mM)
* "≥" 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>2.3341 mL</td>
<td>11.6705 mL</td>
<td>23.3410 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4668 mL</td>
<td>2.3341 mL</td>
<td>4.6682 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2334 mL</td>
<td>1.1671 mL</td>
<td>2.3341 mL</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: 2.08 mg/mL (4.85 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.85 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Wortmannin (SL-2052; KY-12420) is a potent, selective and irreversible PI3K inhibitor with an IC₅₀ of 3 nM. Wortmannin also blocks autophagy formation, and potently inhibits Polo-like kinase 1 (PIK1) and Plk3 with IC₅₀s of 5.8 and 48 nM, respectively[1][2][3].

<table>
<thead>
<tr>
<th>IC₅₀ &amp; Target</th>
<th>PI3K</th>
<th>DNA-PK</th>
<th>PLK3</th>
<th>ATM</th>
</tr>
</thead>
</table>

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<table>
<thead>
<tr>
<th></th>
<th>3 nM (IC$_{50}$)</th>
<th>16 nM (IC$_{50}$)</th>
<th>48 nM (IC$_{50}$)</th>
<th>150 nM (IC$_{50}$)</th>
</tr>
</thead>
<tbody>
<tr>
<td>ATR</td>
<td>1.8 μM (IC$_{50}$)</td>
<td>MLCK</td>
<td>200 nM (IC$_{50}$)</td>
<td>Autophagy</td>
</tr>
</tbody>
</table>

### In Vitro
Wortmannin (0-100 nM; 24-72 hours) inhibits the proliferation of K562 cells in a time- and dose-dependent manner. The IC$_{50}$ values at 24 hour, 48 hour, and 72 hour are 25±0.10 nM, 12.5±0.08 nM, and 6.25±0.11 nM, respectively[4].

**Cell Proliferation Assay[4]**

<table>
<thead>
<tr>
<th></th>
<th>K562 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Cell Line:</strong></td>
<td></td>
</tr>
<tr>
<td><strong>Concentration:</strong></td>
<td>0, 6.25, 12.5, 25, 50 and 100 nM</td>
</tr>
<tr>
<td><strong>Incubation Time:</strong></td>
<td>0, 24, 48 and 72 hours</td>
</tr>
<tr>
<td><strong>Result:</strong></td>
<td>Inhibited the K562 cells proliferation. The IC$_{50}$ value at 24 hour, 48 hour, and 72 hour was 25±0.10 nM, 12.5±0.08 nM, and 6.25±0.11 nM.</td>
</tr>
</tbody>
</table>

### In Vivo
Wortmannin (oral gavage; daily; in Scid mice; one group of eight mice is dosed with Wortmannin 1 mg/kg for all 14 days. The second group of eight mice is dosed with Wortmannin 1.5 mg/kg for the first 5 days and the dose is decreased to 1 mg/kg for the remaining treatment period) treatment significantly slower the growth rate of murine C3H mammary tumor and human MCF-7 breast cancer xenograft. A dose of 1 mg/kg Wortmannin for 7 days decrease the tumor burdens in mice with established murine C3H mammary tumors by 54% relative to controls. Human MCF-7 breast cancer xenograft burdens are decreased by 97% relative to controls after 14 days of 1 mg/kg Wortmannin beginning 1 day after tumor implantation[5].

**Animal Model:** Scid mice with the murine C3H mammary tumor or human MCF-7 breast cancer xenograft[5]

**Dosage:** 1 mg/kg and 1.5 mg/kg

**Administration:** Oral gavage; daily; one group 1 mg/kg for 14 days; second group 1.5 mg/kg for 5 days then 1.0 mg/kg for 9 days.

**Result:** The growth rate of the treated tumors was significantly slower during drug administration than that of nontreated tumors.

### CUSTOMER VALIDATION


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### REFERENCES


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