PI-273

Cat. No.: HY-103489
CAS No.: 925069-34-7
Molecular Formula: C₁₆H₁₆ClN₃O₂S₂
Molecular Weight: 381.9
Target: PI4K; Apoptosis
Pathway: PI3K/Akt/mTOR; 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 : 6.25 mg/mL (16.37 mM; Need ultrasonic)

Preparation of Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
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<tbody>
<tr>
<td>Concentration</td>
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</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.6185 mL</td>
<td>13.0924 mL</td>
<td>26.1849 mL</td>
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<tr>
<td>5 mM</td>
<td>0.5237 mL</td>
<td>2.6185 mL</td>
<td>5.2370 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2618 mL</td>
<td>1.3092 mL</td>
<td>2.6185 mL</td>
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</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description
PI-273 is a first reversibly and specific phosphatidylinositol 4-kinase (PI4KIIa) inhibitor with an IC₅₀ of 0.47 μM. PI-273 can inhibit breast cancer cell proliferation, block the cell cycle and induce cell apoptosis[1].

IC₅₀ & Target
PI4KIIα
0.47 μM (IC₅₀)

In Vitro
PI-273 (2 μM; 48 hours) blocks the cell cycle at the G2-M phase[1].
PI-273 (2 μM; 48 hours) induces cell apoptosis in all three Ras wild-type breast cancer cells: MCF-7, T-47D, and SK-BR-3[1].
PI-273 (0.5-2 μM; for 3 days) can suppress the AKT signaling pathway in a dose- and time-dependent manner[1].
PI-273 of 1 μM and 2 μM inhibits the cell proliferation of both MCF-7 and T-47D cells in a time-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:
MCF-7, T-47D, SK-BR-3, MDA-MB-231, SUM229PE, Hs 578T cells
Concentration: 2 μM  
Incubation Time: 48 hours  
Result: Blocked the cell cycle at the G2-M phase.

### Apoptosis Analysis

Cell Line: MCF-7, T-47D, and SK-BR-3 cells  
Concentration: 2 μM  
Incubation Time: 48 hours  
Result: Induced cell apoptosis in all three Ras wild-type breast cancer cells: MCF-7, T-47D, and SK-BR-3.

### Western Blot Analysis

Cell Line: MCF-7 cells  
Concentration: 0.5, 1, 2 μM  
Incubation Time: For 3 days  
Result: Suppressed the AKT signaling pathway in a dose- and time-dependent manner.

### In Vivo

PI-273 (intraperitoneal injection; 25 mg/kg/day; 15 days) profoundly suppresses the tumor volume and weight in the MCF-7 xenografts.[1]  
PI-273 (0.5 mg/kg (intravenously) or 1.5 mg/kg (intragastrically); 0.08-5 hours) has a half-life of 0.411 hours for intravenous administration and 1.321 hours for intragastrical administration, and the absolute bioavailability of PI-273 is 5.1%.[1]  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model: Eight-week-old male BALB/c nude mice with MCF-7 cell[1]  
Dosage: 25 mg/kg  
Administration: Intraperitoneal injection; daily; 15 days  
Result: Suppressed the tumor volume and weight in the MCF-7 xenografts.

Animal Model: Male Sprague-Dawley (SD) rats[1]  
Dosage: 0.5 mg/kg (intravenously) or 1.5 mg/kg (intragastrically) (Pharmacokinetic Study)  
Administration: Intravenously or intragastrically; 0.08, 0.16, 0.33, 0.67, 1, 1.5, 2, 3 and 5 hours  
Result: Has a half-life of 0.411 hours for intravenous administration and 1.321 hours for intragastrical administration, and the absolute bioavailability of PI-273 is 5.1%.

### REFERENCES

Caution: Product has not been fully validated for medical applications. For research use only.

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