Pifithrin-μ

**Cat. No.:** HY-10940  
**CAS No.:** 64984-31-2  
**Molecular Formula:** C₈H₇NO₂S  
**Molecular Weight:** 181.21  
**Target:** MDM-2/p53; HSP; Autophagy  
**Pathway:** Apoptosis; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Autophagy  
**Storage:** -20°C, stored under nitrogen  
* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

**SOLVENT & SOLUBILITY**

**In Vitro**  
DMSO: ≥ 108 mg/mL (595.99 mM)  
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg Mass</th>
<th>5 mg Mass</th>
<th>10 mg Mass</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>5.5185 mL</td>
<td>27.5923 mL</td>
<td>55.1846 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>1.1037 mL</td>
<td>5.5185 mL</td>
<td>11.0369 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.5518 mL</td>
<td>2.7592 mL</td>
<td>5.5185 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 (13.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (13.80 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (13.80 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**  
Pifithrin-μ is an inhibitor of p53 and HSP70, with antitumor and neuroprotective activity.

**IC₅₀ & Target**  
<table>
<thead>
<tr>
<th>HSP70</th>
<th>MDM-2/p53</th>
</tr>
</thead>
</table>

**In Vitro**  
Pifithrin-μ (10 μM) is a p53 inhibitor, which inhibits p53 binding to mitochondria by reducing its affinity to antiapoptotic proteins Bcl-xL and Bcl-2 but has no effect on p53-dependent transactivation, activity of caspases 2, 8, 9 and 10 in a cell-free system, or NF-κB-dependent transcription\(^1\). Pifithrin-μ (PES) time- and dose-dependently
reduces viability in A549 cells, with IC₅₀₅ of 44.9 and 25.7 µM at 24 h and 48 h. Pifithrin-µ (20 µM) suppresses the cell migration, induces cell cycle arrest and cell apoptosis in A549 and H460 cells. Pifithrin-µ (10 or 20 µM) inhibits activities of AKT, ERK, and Hsp70 in A549 and H460 cells. Pifithrin-µ (20 µM) sensitizes A549 and H460 cell lines to TRAIL-induced cell proliferation inhibition and apoptosis[2].

**In Vivo**

Pifithrin-µ (40 mg/kg, i.p.) shows no protective effect against doses of radiation that cause gastrointestinal syndrome in mice[1]. Pifithrin-µ (PES, 10 mg/kg) shows antitumor effect in mice bearing A549 cells[2]. Pifithrin-µ exhibits neuroprotective effect with the PS3-inhibitor pifithrin-µ after cardiac arrest in a rodent model[3].

**PROTOCOL**

**Cell Assay[2]**

The cell viability is determined by the Cell Counting Kit-8 assay. Briefly, **A549 and H460 cells** are incubated in 96-well plates at a density of 5 × 10³ per 100 µL of culture medium overnight. After treated with indicated concentration of **Pifithrin-µ for 24 and 48 h**, 10 µL of tetrazolium substrate are added to each well of the plate. After incubation at 37°C for 1 h, the absorbance is recorded at a wavelength of 450 nm using a microplate reader. Each experiment is determined in triplicate and repeated at least three times[2].

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

**Animal Administration[2]**

**Mice[2]**

**A549 cells** (1 × 10⁷) are suspended in Matrigel and inoculated subcutaneously into the mice. **Twelve mice** bearing evident tumors are arbitrarily assigned to **PBS control group and Pifithrin-µ treatment groups** (six mice per group). When tumors reach a size of -5×5 mm², mice are treated with either a single of **intraperitoneal injection of Pifithrin-µ (20 mg/kg)** or PBS every two days. After 3-week treatment, mice are euthanized with carbon dioxide. Tumor burdens are evaluated by measuring body weight, tumor weight, and tumor volume. Tumor volume is determined as 0.5 × length × width². Tumor samples are collected and fixed in 10% neutral buffered formalin. Hematoxylin and eosin staining and immunohistochemistry for histological analysis of tumor samples are measured[2].

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

**CUSTOMER VALIDATION**


See more customer validations on www.MedChemExpress.com

**REFERENCES**


