Pifithrin-μ

Cat. No.: HY-10940

CAS No.: 64984-31-2

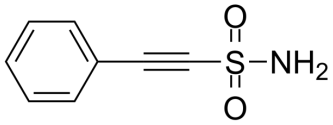
Molecular Formula: $C_8H_7NO_2S$

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 : 100 mg/mL (551.85 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	5.5185 mL	27.5923 mL	55.1846 mL
	5 mM	1.1037 mL	5.5185 mL	11.0369 mL
	10 mM	0.5518 mL	2.7592 mL	5.5185 mL

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 (11.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (11.48 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (11.48 mM); Clear solution

BIOLOGICAL ACTIVITY

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

IC_{50} & Target		
	HSP70	MDM-2/p53

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 IC_{50}s 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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 P53-inhibitor pifithrin-μ after cardiac arrest in a rodent model[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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 5×10^3 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 (1×10^7) 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 mm^2, 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 $0.5 \times \text{length} \times \text{width}^2$. 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

- Theranostics. 2019 Jan 1;9(2):554-572.
- Biomed Pharmacother. 2022 Jan 5;147:112604.
- Colloids Surf B Biointerfaces. 2 July 2022, 112686.
- Int J Mol Sci. 2023 Nov 10, 24(22), 16167.
- J Mol Cell Cardiol. 2023 Feb 23;177:28-37.

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REFERENCES

[1]. Strom E, et al. Small-molecule inhibitor of p53 binding to mitochondria protects mice from gamma radiation. Nat Chem Biol. 2006 Sep;2(9):474-9. Epub 2006 Jul 23.

[2]. Zhou Y, et al. Pifithrin-μ is efficacious against non-small cell lung cancer via inhibition of heat shock protein 70. Oncol Rep. 2017 Jan;37(1):313-322.

[3]. Glas M, et al. Neuroprotection with the P53-Inhibitor Pifithrin-μ after Cardiac Arrest in a Rodent Model. Shock. 2018 Feb;49(2):229-234.

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

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