Pifithrin-μ

Cat. No.: HY-10940

CAS No.: 64984-31-2

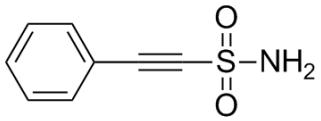
Molecular Formula: $C_8H_7NO_2S$

Molecular Weight: 181.21

Target: MDM-2/p53; HSP

Pathway: Apoptosis; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease

Storage: -20°C, stored under nitrogen



Solvent & Solubility

In Vitro

DMSO : ≥ 108 mg/mL (595.99 mM)

* "≥" means soluble, but saturation unknown.

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.

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].	
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].	

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 × length × $width^2$. 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

- **Patent**. US20180263995A1.

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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.

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