

Product data sheet



MedKoo Cat#: 561690 Name: Pifithrin-alpha CAS: 63208-82-2 Chemical Formula: C ₁₆ H ₁₉ BrN ₂ OS Exact Mass: 366.0401 Molecular Weight: 367.305	 The structure shows a piperidine ring fused to a 2,3-dihydro-1H-imidazole ring. A 4-methylbenzoyl group is attached to the nitrogen of the imidazole ring. The counterion is H-Br.
Product supplied as: Powder	
Purity (by HPLC): ≥ 98%	
Shipping conditions: Ambient temperature	
Storage conditions: Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

1. Product description:

Pifithrin-alpha is a p53 inactivator. It also has neuroprotective activity against strokes.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	1.0	2.72
DMSO	40.18	109.40
DMSO:PBS (pH 7.2) (1:10)	0.1	0.27
Water	1.25	3.40

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.72 mL	13.61 mL	27.23 mL
5 mM	0.54 mL	2.72 mL	5.45 mL
10 mM	0.27 mL	1.36 mL	2.72 mL
50 mM	0.05 mL	0.27 mL	0.54 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Yu H, Han Y, Cui C, Li G, Zhang B. Loss of SV2A promotes human neural stem cell apoptosis via p53 signaling. *Neurosci Lett*. 2023 Mar 13;800:137125. doi: 10.1016/j.neulet.2023.137125. Epub 2023 Feb 11. PMID: 36780942.

2. Abdelalim EM, Tooyama I. The p53 inhibitor, pifithrin- α , suppresses self-renewal of embryonic stem cells. *Biochem Biophys Res Commun*. 2012 Apr 13;420(3):605-10. doi: 10.1016/j.bbrc.2012.03.041. Epub 2012 Mar 16. PMID: 22445757.

In vivo study

1. Culmsee C, Zhu X, Yu QS, Chan SL, Camandola S, Guo Z, Greig NH, Mattson MP. A synthetic inhibitor of p53 protects neurons against death induced by ischemic and excitotoxic insults, and amyloid beta-peptide. *J Neurochem*. 2001 Apr;77(1):220-8. doi: 10.1046/j.1471-4159.2001.t01-1-00220.x. PMID: 11279278.

2. Komarov PG, Komarova EA, Kondratov RV, Christov-Tselkov K, Coon JS, Chernov MV, Gudkov AV. A chemical inhibitor of p53 that protects mice from the side effects of cancer therapy. *Science*. 1999 Sep 10;285(5434):1733-7. doi: 10.1126/science.285.5434.1733. PMID: 10481009.

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7. Bioactivity

Biological target:

Pifithrin- α hydrobromide is a p53 inhibitor which blocks its transcriptional activity and prevents cells from apoptosis. Pifithrin- α hydrobromide is also an aryl hydrocarbon receptor (AhR) agonist.

In vitro activity

This study investigated the effect of pifithrin (PFT)- α , an inhibitor of p53-dependent transcriptional activation, on self-renewal of ES cells. These results revealed that treatment of ES cells with PFT- α resulted in the inhibition of ES cell propagation in a dose-dependent manner, as indicated by a marked reduction in the cell number and colony size. Also, PFT- α caused a cell cycle arrest and significant reduction in DNA synthesis.

Reference: Biochem Biophys Res Commun. 2012 Apr 13;420(3):605-10. <https://pubmed.ncbi.nlm.nih.gov/22445757/>

In vivo activity

Chemotherapy and radiation therapy for cancer often have severe side effects that limit their efficacy. Because these effects are in part determined by p53-mediated apoptosis, temporary suppression of p53 has been suggested as a therapeutic strategy to prevent damage of normal tissues during treatment of p53-deficient tumors. To test this possibility, a small molecule was isolated for its ability to reversibly block p53-dependent transcriptional activation and apoptosis. This compound, pifithrin-alpha, protected mice from the lethal genotoxic stress associated with anticancer treatment without promoting the formation of tumors.

Reference: Science. 1999 Sep 10;285(5434):1733-7. <https://pubmed.ncbi.nlm.nih.gov/10481009/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.