Data Sheet (Cat.No.T212048)

TargetMol

YH-0623

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	YH-0623 is an orally active inhibitor of mitochondrial RNA polymerase (POLRMT) with an IC50 of 50.48 nM as determined by NanoBRET assay. It exhibits antiproliferative effects on 22Rv1 cells by downregulating mitochondrial gene expression. YH-0623 suppresses 22Rv1 cell growth, colony formation, and expression of proteins related to OXPHOS. Significant tumor growth inhibition was observed in a prostate cancer xenograft mouse model. YH-0623 is applicable for prostate cancer research.
Targets(IC50)	OXPHOS,Mitochondrial Metabolism,DNA/RNA Synthesis,PDGFR
In vitro	YH-0623 can reduce ND1 mitochondrial factor to 14% while demonstrating antiproliferative effects against cell lines such as 22Rv1, C42B, VCap, LNCap, and MDA-PCa-2b, with IC_{50} values of 0.045, 0.64, 1.988, 1.941 μM, respectively. It shows minimal cytotoxicity toward WPMY-1 cells, with an IC_{50} greater than 100 μM. At concentrations of 1-500 nM, YH-0623 inhibits mitochondrial transcription and the expression of mitochondria-related genes in 22Rv1 cells, reducing the expression of mtDNA-encoded OXPHOS proteins while preserving nuclear-encoded proteins. When administered at 1 μM for 12 hours, YH-0623 accumulates in the mitochondria at a concentration of 767.07 ng/mg/protein. At 50-500 nM over 96 hours, it primarily inhibits mitochondrial function in 22Rv1 cells by affecting OXPHOS without significantly altering MMP, ROS levels, or glycolytic activity. At 10 μM for 96 hours, YH-0623 inhibits PDGFRα activity with an IC_{50} of approximately 10 μM, achieving a 55.97% inhibition rate. In 22Rv1 cells, YH-0623 significantly reduces the levels of essential amino acids such as L-leucine, L-valine, L-alanine, L-glutamine, L-isoleucine, L-aspartate, L-tyrosine, L-phenylalanine, phosphorylcholine, L-lysine, choline, and L-threonine, leading to amino acid depletion and severe nutritional exhaustion. Additionally, YH-0623 (0.3-30 μM) exhibits minimal inhibition of hERG channels (IC_{50} = 30 μM), indicating a low potential for cardiotoxicity.
In vivo	In the 22Rv1 xenograft mouse model, YH-0623 (50-100 mg/kg, orally, once daily for 18 days) demonstrates potent antitumor activity and exceptional safety.

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