

GEM144

Chemical Properties

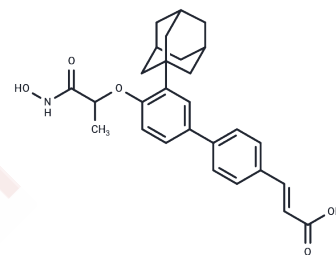
CAS No. : 2487526-28-1

Formula: C₂₈H₃₁NO₅

Molecular Weight: 461.55

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	GEM144 is a dual inhibitor of DNA polymerase α (POLA1) and HDAC 11. GEM144 induces p53 acetylation, activates p21, arrests the cell cycle in the G1/S phase, and induces apoptosis.
Targets(IC50)	Apoptosis,HDAC,DNA/RNA Synthesis,p53
In vitro	GEM144 (0-10 μ M; 24 hours) exhibits antiproliferative activity in tested cancer cell lines with IC50s of 0.26 ~ 2.2 μ M[1]. GEM144 (0.1 - 0.4 μ M; 24 hours) strongly increases levels of H2AX phosphorylation on Ser 39 (γ H2AX), and strongly upregulates p21 expression in a dose-dependent manner [1]. GEM144 (0.26 μ M in NCI-H4609, 0.95 μ M in A2780 and 1.4 μ M in MM473; 72 hours) induced G1/S cell cycle arrest[1]
In vivo	GEM144 (50 mg/kg; p.o., bid, 5 days a week, for 3-4 weeks) significantly reduces tumor burden of MM487 with TGI of 72% in xenografts mice[1].

Solubility Information

Solubility	DMSO: 200 mg/mL (433.32 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1666 mL	10.8331 mL	21.6661 mL
5 mM	0.4333 mL	2.1666 mL	4.3332 mL
10 mM	0.2167 mL	1.0833 mL	2.1666 mL
50 mM	0.0433 mL	0.2167 mL	0.4333 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Dallavalle S, et al. Antitumor activity of novel POLA1-HDAC11 dual inhibitors. Eur J Med Chem. 2022;228:113971.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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