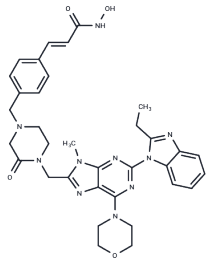
Data Sheet (Cat.No.T211563)

TargetMol

PI3Kδ/HDAC6-IN-1

Chemical Properties



CAS No. : 3075011-99-0

Formula: C34H38N10O4

Molecular Weight: 650.73

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	PI3Kδ/HDAC6-IN-1 (Compound 22E) is an orally active dual inhibitor of PI3Kδ and HDAC6, with IC50 values of 2.4 nM and 6.2 nM, respectively. It exhibits potent antiproliferative effects against non-Hodgkin's lymphoma (NHL) cells and demonstrates antitumor activity in vivo without significant toxicity. PI3Kδ/HDAC6-IN-1 induces cell cycle arrest at the G0/G1 phase and triggers apoptosis. Additionally, it inhibits the PI3K/AKT/mTOR signaling pathway and enhances the acetylation levels of α-tubulin and histone H3.
Targets(IC50)	Apoptosis,Bcl-2 Family,Histone Methyltransferase,Caspase,Microtubule Associated, HDAC,PI3K,ROS
In vitro	Compound 22E, known as PI3Kδ/HDAC6-IN-1, exhibits inhibitory activity against both PI3Kδ and HDAC6 with IC50 values of 2.4 nM and 6.4 nM, respectively, and demonstrates antiproliferative effects on JEKO-1 cells at concentrations ranging from 0.1 nM to 10 μM over 24-120 hours. It enhances the thermal stability of PI3Kδ and HDAC6 at concentrations of 10-30 μM and temperatures between 45-85 °C. At concentrations of 0.1-10 μM over 4 days, PI3Kδ/HDAC6-IN-1 inhibits the proliferation of NHL cells, with IC50 values of 34 nM in SU-DHL-6 cells and 53 nM in JEKO-1 cells. Additionally, at concentrations of 3-9 μM for 24 hours, it induces G0/G1 phase arrest in SU-DHL-6 and JEKO-1 cells and triggers concentration-dependent apoptosis (Annexin V positive) in these cells after 72 hours. Furthermore, PI3Kδ/HDAC6-IN-1 suppresses PI3K and HDAC-related proteins at the cellular level in SU-DHL-6 and JEKO-1 cells within 12 hours at concentrations of 3-9 μM.
In vivo	PI3Kδ/HDAC6-IN-1 (Compound 22E), administered orally at a dosage of 25 mg/kg once daily for 21 days, demonstrates antitumor potential by inhibiting the PI3K pathway and HDAC-related proteins in SU-DHL-6 and JEKO-1 tumor xenograft models in NOD-SCID mice.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5367 mL	7.6837 mL	15.3674 mL
5 mM	0.3073 mL	1.5367 mL	3.0735 mL
10 mM	0.1537 mL	0.7684 mL	1.5367 mL
50 mM	0.0307 mL	0.1537 mL	0.3073 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.

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