

Aurora kinase/HDAC-IN-1

Chemical Properties

CAS No. :	2727102-12-5
Formula:	C ₂₂ H ₂₀ N ₇ O ₅
Molecular Weight:	449.51
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	Aurora kinase/HDAC-IN-1 is an orally active dual-target inhibitor of Aurora kinase and HDAC. It inhibits Aurora A (IC ₅₀ = 116 nM), Aurora B (IC ₅₀ = 225 nM), HDAC1 (IC ₅₀ = 164 nM), and HDAC2 (IC ₅₀ = 346 nM). This compound enhances histone acetylation (Ac-H3), inhibits phosphorylation of Aurora A and its downstream signaling, and induces apoptosis through G2/M phase arrest. In colorectal cancer cells HCT-116, Aurora kinase/HDAC-IN-1 shows significant antiproliferative activity with an IC ₅₀ of 30.2 nM and effectively suppresses tumor growth in HCT-116 colorectal cancer xenograft mouse models.
Targets(IC ₅₀)	Apoptosis,HDAC,Aurora Kinase
In vitro	Aurora kinase/HDAC-IN-1 (compound 6) (0.64 nM-10 μM; 72 hours) effectively inhibits the proliferation of HCT-116 and MIA PaCa-2 cells, with IC ₅₀ values of 30.2 nM and 42.8 nM, respectively. Aurora kinase/HDAC-IN-1 (30-120 nM; 24 hours) induces G2/M phase arrest in HCT-116 cells. This compound (30-120 nM; 48 hours) also triggers apoptosis in HCT-116 cells. Furthermore, after 72 hours at 30-120 nM, Aurora kinase/HDAC-IN-1 regulates Aurora/HDAC-related signaling in HCT-116 cells, promoting Ac-H3 and inhibiting Aurora A phosphorylation.
In vivo	Aurora kinase/HDAC-IN-1 (compound 6) administered orally at doses of 50-150 mg/kg/d once daily for 21 days effectively inhibits tumor growth in the HCT-116 colorectal cancer xenograft mouse model, achieving a tumor growth inhibition (TGI) of 71.8% at 150 mg/kg/d. This compound also demonstrates good oral bioavailability in mice (F = 23.2%).

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2246 mL	11.1232 mL	22.2464 mL
5 mM	0.4449 mL	2.2246 mL	4.4493 mL
10 mM	0.2225 mL	1.1123 mL	2.2246 mL
50 mM	0.0445 mL	0.2225 mL	0.4449 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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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