

GP-82996

Chemical Properties

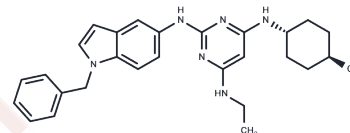
CAS No. : 359886-84-3

Formula: C27H32N6O

Molecular Weight: 456.58

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	GP-82996 (CINK4) is a pharmacological inhibitor specifically targeting CDK4/6, exhibiting IC50 values of 1.5 μ M for CDK4/cyclin D1, 5.6 μ M for CDK6/cyclin D1, and 25 μ M for Cdk5/p35. It effectively induces apoptosis in U2OS cancer cells, positioning it as a potential investigational tool in cancer research [1] [2].
Targets(IC50)	CDK
In vitro	GP-82996, at concentrations of 5 and 10 μ M applied for 24 hours, causes a G1 phase arrest and an increase in the G0-G1/S ratio in U2OS (p16 negative) and MRC-5 (p16 positive) cells, while reducing the hyperphosphorylation of pRb without affecting CDK4 levels in these cell lines. In U2OS cells, a 48-hour exposure to 10 μ M of GP-82996 results in apoptosis in 83% of the population. Additionally, GP-82996 inhibits the proliferation of A549, H358, SKLU-1, H23, and PC14 cells, with IC50 values around 4-7 μ M after 72 hours of treatment. The compound also induces G1 arrest in A549 and H23 cells at concentrations of 3, 5, and 10 μ M over 48 hours and enhances the sensitivity of KRAS mutation-bearing lung cancer cells (A549, SKLU-1, H23) to Paclitaxel with varied concentrations (1, 3, 5, 10 μ M) over 72 hours. A combination of GP-82996 (10 μ M) and Paclitaxel (3 nM) over 72 hours notably increases apoptosis in A549 and H23 cells.
In vivo	GP-82996 (30 mg/kg, i.p. for 29 days) significantly reduced final tumor volume compared to the vehicle control in mouse xenograft models [1]. In female BALB/c nu/nu mice (19-21 g) with HCT116 tumors (100 mm ³), the compound was administered intraperitoneally at 30 mg/kg every 12 hours for 29 days, leading to smaller tumor volumes than the control group [1].

Solubility Information

Solubility	DMSO: 50 mg/mL (109.51 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.38 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1902 mL	10.951 mL	21.902 mL
5 mM	0.438 mL	2.1902 mL	4.3804 mL
10 mM	0.219 mL	1.0951 mL	2.1902 mL
50 mM	0.0438 mL	0.219 mL	0.438 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

Soni R, et al. Selective in vivo and in vitro effects of a small molecule inhibitor of cyclin-dependent kinase 4. *J Natl Cancer Inst.* 2001 Mar 21;93(6):436-46.

Zhang XH, et al. A CDK4/6 inhibitor enhances cytotoxicity of paclitaxel in lung adenocarcinoma cells harboring mutant KRAS as well as wild-type KRAS. *Cancer Biol Ther.* 2013;14(7):597-605.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481