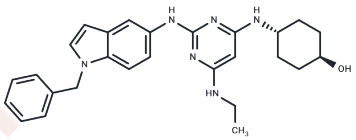
# Data Sheet (Cat.No.T21720)

TargetMol

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 μM for CDK4/cyclin D1, 5.6 μM for CDK6/cyclin D1, and 25 μM 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 μM 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 10μM 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 μM after 72 hours of treatment. The compound also induces G1 arrest in A549 and H23 cells at concentrations of 3, 5, and 10 μM 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 μM) over 72 hours. A combination of GP-82996 (10 μM) 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

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|---|---|
| Solubility | DMSO: 50 mg/mL (109.51 mM),Sonication is recommended.<br>(< 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.<br>*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.* |

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.