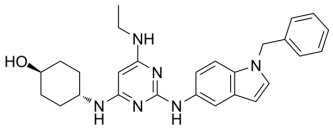
CGP-82996

Cat. No.:	HY-136726
CAS No.:	359886-84-3
Molecular Formula:	$C_{27}H_{32}N_6O$
Molecular Weight:	456.58
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

GP-82996 (CINK4) is a pharmacological inhibitor of CDK4/6. GP-82996 has IC_{50}s of 1.5, 5.6 and 25 μM for CDK4/cyclin D1, CDK6/cyclin D1 and Cdk5/p35, respectively. GP-82996 induces the apoptosis of cancer cells U2OS. GP-82996 can be used in the research of cancer[1][2].

IC_{50} & Target

Cdk4/cyclin D1 1.5 μM (IC_{50})	CDK6/cyclinD1 5.6 μM (IC_{50})	CDK5/p35 25 μM (IC_{50})	CDK2/cyclinA >50 μM (IC_{50})
CDK1/cyclinB >100 μM (IC_{50})	CDK2/cyclin E >50 μM (IC_{50})	CDK4/cyclin D2 >50 μM (IC_{50})	Cdk6/cyclin D2 >50 μM (IC_{50})
V-abl >10 μM (IC_{50})	c-met >10 μM (IC_{50})	IGF-1R >10 μM (IC_{50})	Insulin-R >10 μM (IC_{50})

In Vitro

GP-82996 (5, 10 μM; 24 hours) induces G1 arrest and G0-G1/S ratio increase in U2OS (p16 negative) and MRC-5 (p16 positive) cells[1].

GP-82996 (5, 10 μM; 24 hours) reduces hyperphosphorylation of pRb, but has no changes in the levels of CDK4 in U2OS, MRC-5 cells[1].

GP-82996 (5, 10 μM; 48 hours) induces aooptosis in 83% of U2OS cells in concentration of 10μM[1].

GP-82996 (0.1-40 μM; 24,48, 72 hours) inhibits the cell proliferation of A549, H358, SKLU-1, H23, PC14 cells with IC_{50} values of 72 h are 4-7 μM[2].

GP-82996 (3, 5, 10 μM; 48 hours) induces G1 arrest in A549 and H23 cells[2].

GP-82996 ((1, 3, 5, 10 μM; 72 hours) enhances Paclitaxel sensitivity in KRAS mutation-bearing lung cancer cells (A549, SKLU-1, H23 cells) [2].

GP-82996 (10 μM; 72 hours) combined with Paclitaxel (3 nM; 72 hours) increases the apoptosis of A549 and H23 cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GP-82996 (30 mg/kg, i.p. for 29 days) shows smaller final tumor volume compared with vehicle control in mouse xenograft models[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	19-21 g female BALB/c nu/nu mice xenograft model (HCT116 tumors volume=100 mm^3)[1]

Dosage:	30 mg/kg
Administration:	i.p. every 12 hours for 29 days
Result:	Showed smaller final tumor volume compared with vehicle control in mouse xenograft models.

REFERENCES

[1]. 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.

[2]. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA