CDK1-IN-1

Cat. No.: HY-115924

CAS No.: 2761858-59-5

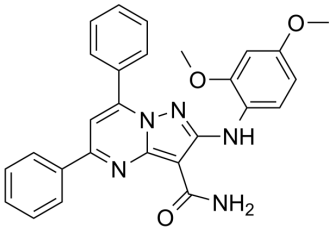
Molecular Formula: $C_{27}H_{23}N_5O_3$

Molecular Weight: 465.5

Target: CDK

Pathway: Cell Cycle/DNA Damage

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CDK1-IN-1 is a potent CDK1 inhibitor (CDK1/CycB IC_{50}=161.2 nM) with potential antiproliferative activity and selectivity for cancer tissues. CDK1-IN-1 induces apoptosis in p53 dependent manner through the intrinsic apoptotic pathway. CDK1-IN-1 is a potential targeted antitumor agent[1].
IC_{50} & Target	CDK1/cycB 161.2 nM (IC_{50})

In Vitro

CDK1-IN-1 (compound 7a) (10 μM, 48 hours) has the high antiproliferative activity with a mean percentage of growth inhibition of 48.5 % over NCI cancer cell lines, and caused more than 40% growth inhibition in 36 cancer cell lines from different cancer subtypes[1].

CDK1-IN-1 (0.1-100 μM, 48 hours) has better selectivity for cancer cells over normal cell lines (IC_{50} of 17.7 and 6.28 μM in WI-38 and HCT-116 cells, respectively; SI=2.8)[1].

CDK1-IN-1 (17.7 μM in WI-38 and 6.28 μM in HCT-116; 48 hours) has a superior activity on cancerous cells than normal cells in inducing apoptosis and arresting the cell cycle at the G2/M phase[1].

CDK1-IN-1 (17.7 μM in WI-38 and 6.28 μM in HCT-116; 48 hours) can cause cell death mainly through apoptosis rather than necrosis and confirmed that its activity is more selective to cancerous cells than normal cells[1].

CDK1-IN-1 (6.28 μM; 48 hours) induce apoptosis in p53 dependent manner through the intrinsic apoptotic pathway in HCT-116 cells[1].

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

Cell Proliferation Assay

Cell Line:	60 human cancer cell lines (LOX IMVI, IGROV1, A498, COLO205 et al.)[1]
Concentration:	10 μM
Incubation Time:	48 hours
Result:	Had the high antiproliferative activity with a mean percentage of growth inhibition of 48.5 % over NCI cancer cell lines, and caused more than 40% growth inhibition in 36 cancer cell lines from different cancer subtypes.

Cell Cytotoxicity Assay

Cell Line:	HCT-116 and WI-38 cells[1]

Concentration:	0.1-100 μM
Incubation Time:	48 hours
Result:	Had better selectivity for cancer cells over normal cell lines (IC_{50} of 17.7 and 6.28 μM in WI-38 and HCT-116 cells, respectively; SI=2.8).

Cell Cycle Analysis

Cell Line:	HCT-116 and WI-38 cells[1]
Concentration:	17.7 μM in WI-38 and 6.28 μM in HCT-116
Incubation Time:	48 hours
Result:	Exerted a superior activity on cancerous cells than normal cells in inducing apoptosis and arresting the cell cycle at the G2/M phase.

REFERENCES

[1]. Elgiushy HR, et al. Identification of a promising hit from a new series of pyrazolo[1,5-a]pyrimidine based compounds as a potential anticancer agent with potent CDK1 inhibitory and pro-apoptotic properties through a multistep in vitro assessment [published online ahead of print, 2022 Jan 29]. Bioorg Chem. 2022;120:105646.

[2]. Gangadevi S, et al. Kobophenol A Inhibits Binding of Host ACE2 Receptor with Spike RBD Domain of SARS-CoV-2, a Lead Compound for Blocking COVID-19. J Phys Chem Lett. 2021;12(7):1793-1802.

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