CDK1/2/4-IN-1

Cat. No.: HY-146253

CAS No.: 2414633-49-9

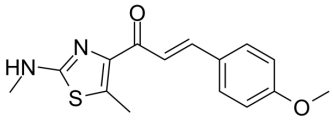
Molecular Formula: $C_{15}H_{16}N_2O_2S$

Molecular Weight: 288.36

Target: CDK; Apoptosis; Bcl-2 Family; Caspase

Pathway: Cell Cycle/DNA Damage; Apoptosis

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



BIOLOGICAL ACTIVITY

Description

CDK1/2/4-IN-1 (compound 3a) is a potent CDK inhibitor with IC_{50} values of 1.47, 0.78 and 0.87 μM for CDK1, CDK2 and CDK4, respectively. CDK1/2/4-IN-1 arrests cell cycle at G2/M phase and induces apoptosis. CDK1/2/4-IN-1 elevates Bax, caspase-3, P53 levels and decreases Bcl-2 level. CDK1/2/4-IN-1 can be used for cancer research[1].

IC_{50} & Target

CDK2 0.78 (IC_{50})	CDK4 0.87 (IC_{50})	CDK1 1.47 (IC_{50})	Caspase 3
Bax	Bcl-2		

In Vitro

CDK1/2/4-IN-1 (compound 3a) (0.01-100 μM; 24 hours) has antitumor activity in cancer cell lines[1].
CDK1/2/4-IN-1 (compound 3a) (1.39 μM; 24 hours) induces apoptosis and arrests cell cycle at G2/M phase in A549 cells[1].
CDK1/2/4-IN-1 (compound 3a) (1.39 μM; A549 cells) induces up-regulation of the expression of Bax, caspases-3 and p53, and increases the ratio of Bcl-2[1].

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

Cell Cytotoxicity Assay[1]

Cell Line:	Liver cancer cell line (HepG-2), lung cancer cell line (A549) and breast cancer cell line (MCF-7)
Concentration:	0.01, 0.1, 1.0, 10, 100 μM
Incubation Time:	24 hours
Result:	Displayed cytotoxic activity with IC_{50} values of 1.56, 1.39 and 1.97 μM for HepG-2, A549 and MCF-7, respectively.

Cell Cycle Analysis[1]

Cell Line:	A549 cells
Concentration:	1.39 μM
Incubation Time:	24 hours
Result:	Increased G2/M phase by 2.6 folds compared with the control cells.

Apoptosis Analysis[1]

Cell Line:	A549 cells
Concentration:	1.39 μM
Incubation Time:	24 hours
Result:	Increased the overall percentage of the apoptotic cells.

REFERENCES

[1]. Farghaly TA, et, al. Discovery of thiazole-based-chalcones and 4-hetarylthiazoles as potent anticancer agents: Synthesis, docking study and anticancer activity. Bioorg Chem. 2020 May;98:103761.

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