AurkA allosteric-IN-1

Cat. No.: HY-158038

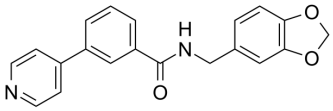
Molecular Formula: $C_{20}H_{16}N_2O_3$

Molecular Weight: 332.35

Target: Aurora Kinase

Pathway: Cell Cycle/DNA Damage; Epigenetics

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



BIOLOGICAL ACTIVITY

Description

AurkA allosteric-IN-1 (compound 6h) is an Aurora A (AurkA) inhibitor (IC_{50}: 6.50 μM) that inhibits the catalytic activity and non-catalytic functions of Aurora A. Aurora A regulates the assembly of the bipolar mitotic spindle and the fidelity of chromosome segregation during mitosis and has non-catalytic functions. AurkA allosteric-IN-1 blocks the interaction of AurkA with the activator TPX2 by binding to the Y pocket of AurkA[1].

IC_{50} & Target

Aurora A
6.5 μM (IC_{50}, [1])

In Vitro

AurkA allosteric-IN-1 (100 μM; 48 h) differentially induces cell cycle arrest in different cell types, including lung cancer cell lines and rectal cancer cell lines[1].
AurkA allosteric-IN- 1 (20 μM; 48 h) can downregulate the levels of phospho-histone H3 in cancer cells[1].
AurkA allosteric-IN-1 (25-400 μM; 48 h) exhibits significant anti-cell proliferation on HeLa cells activity, and has a synergistic effect with PHA-767491 (HY-13461), further amplifying its anti-proliferative activity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	HeLa and Panc-1 cells, Lung cancer cell lines (A549 and H358), and colon cancer cell lines (HT29 and HCT116)
Concentration:	20 μM
Incubation Time:	12, 24, and 48 h
Result:	Arrested cell cycle at G1/S transition in lung cancer cell lines (A549 and H358), and arrested cell cycle at G2/M in colon cancer cell lines (HT29 and HCT116). Almostly unaffected HeLa and Panc-1 cells.

Western Blot Analysis[1]

Cell Line:	HT29 and HCT116 cells
Concentration:	20 μM
Incubation Time:	48 h

Result:	Sharply downregulated the level of phospho-histone H3 (Ser10).

Cell Cytotoxicity Assay[1]

Cell Line:	HeLa cells
Concentration:	25 μM, 50 μM, 100 μM, 200 μM, and 400 μM
Incubation Time:	12, 24, and 48 h; with or without PHA-767491
Result:	With PHA-767491 sensitized HeLa cells, significantly augmented anti-proliferative activity GI50: 71.7 μM to GI50: 14.0 μM by co-treatment of 1.5 μM PHA-76749.

REFERENCES

[1]. Lee H, et al. Discovery of N-benzylbenzamide-based allosteric inhibitors of Aurora kinase A. Bioorg Med Chem. 2024 Mar 15;102:117658.

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