Hesperadin hydrochloride

Cat. No.: HY-12054A

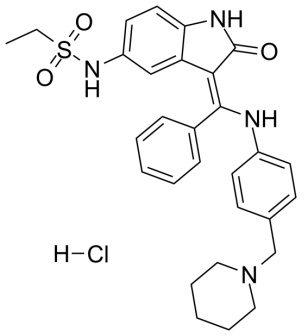
Molecular Formula: $C_{29}H_{33}ClN_4O_3S$

Molecular Weight: 553.12

Target: Aurora Kinase; Autophagy; Influenza Virus; Parasite

Pathway: Cell Cycle/DNA Damage; Epigenetics; Autophagy; Anti-infection

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



BIOLOGICAL ACTIVITY

Description

Hesperadin hydrochloride is an ATP competitive indolinone inhibitor of Aurora A and B. Hesperadin hydrochloride inhibits Aurora B with an IC_{50} of 250 nM[1].

IC_{50} & Target

Aurora B
250 nM (IC_{50})

In Vitro

Hesperadin (10-100 nM) inhibits the Aurora kinase-1 (TbAUK1)-mediated phosphoryation of trypanosome histone H3 (TbH3) in a dose dependent manner, with an IC_{50} of 40 nM[1].
Hesperadin (0.01-10 μM; 24 or 48 hours) inhibits growth of bloodstream forms (BF) and procyclic forms (PF) cultures[1].
Hesperadin (100-200 nM; 24-72 hours) alters cell morphology and inhibits cell cycle progression similar to the RNAi knockdown of TbAUK1[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	M110 cells
Concentration:	0.01, 0.1, 1, 10 μM
Incubation Time:	24 hours or 48 hours
Result:	Inhibiting growth of BF cultures with an IC_{50} of 50 nM, while the inhibition of PF growth required approximately 11-fold more Hesperadin, with an IC_{50} of 550 nM.

Cell Cycle Analysis[1]

Cell Line:	M110 cells
Concentration:	100, 200 nM
Incubation Time:	24, 48, 72 hours
Result:	Had a strong effect on cell growth and mitotic progression at 100-200 nM.

In Vivo

Hesperadin (20 mg/kg/d; i.v.) prolongs the survival of xenograft mice via synergistic effect with Temozolomide (TMZ)[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-week-old female nude mice injected GBM cells[2]
Dosage:	20 mg/kg/d
Administration:	I.v. injection
Result:	Increased the survival of xenograft mice models.

CUSTOMER VALIDATION

- Sci Rep. 2021 Jan 27;11(1):2283.
- Exp Cell Res. 2021 Jul 21;112741.
- Brain Res Bull. 2021 Sep 14;S0361-9230(21)00269-0.
- Behav Neurol. 2020 Feb 3;2020:2476861.
- Research Square Preprint. 2021 Jan.

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REFERENCES

[1]. Neal J, et, al. The cell cycle as a therapeutic target against Trypanosoma brucei: Hesperadin inhibits Aurora kinase-1 and blocks mitotic progression in bloodstream forms. Mol Microbiol. 2009 Apr; 72(2): 442-58.

[2]. Wahafu A, et, al. Targeting Aurora kinase B attenuates chemoresistance in glioblastoma via a synergistic manner with temozolomide. Pathol Res Pract. 2019 Nov; 215(11): 152617.

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