Reversine

Cat. No.: HY-14711

CAS No.: 656820-32-5

Molecular Formula: $C_{21}H_{27}N_7O$

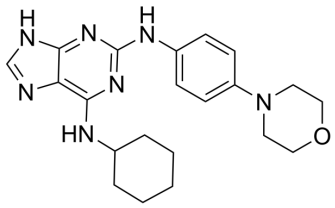
Molecular Weight: 393.49

Target: Aurora Kinase; Autophagy

Pathway: Cell Cycle/DNA Damage; Epigenetics; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (50.83 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5414 mL	12.7068 mL	25.4136 mL
5 mM	0.5083 mL	2.5414 mL	5.0827 mL
10 mM	0.2541 mL	1.2707 mL	2.5414 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Reversine is a novel class of ATP-competitive Aurora kinase inhibitor with IC_{50}s of 400, 500 and 400 nM for Aurora A, Aurora B and Aurora C, respectively.

IC_{50} & Target

Aurora A	Aurora B	Aurora C
400 nM (IC_{50})	500 nM (IC_{50})	400 nM (IC_{50})

In Vitro

Reversine, a novel Aurora kinases inhibitor, inhibits colony formation of human acute myeloid leukemia cells. Reversine is a potent inhibitor of Aurora A and B and is also an inhibitor of Aurora C kinase. Aurora A and B activities are inhibited by 80% and Aurora kinase C by 55%, already at a concentration of 0.5 μM, whereas no inhibition or only modest inhibition is observed on others kinases tested. In a second round of experiments, the IC_{50} of Reversine is determined on Aurora kinase A to be 400 nM, whereas Aurora kinase B and C IC_{50} are 500 and 400 nM, respectively. The IC_{50} is also determined on MEK1 is >1.5 μM and that the IC_{50} on muscle myosin (an analogue of nonmuscle myosin II) is 350 nM[1].

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

In Vivo

The combination of Reversine and Aspirin can more efficiently induce cell cycle arrest and apoptosis. To evaluate the anti-

tumor effect of this combination, a xenograft nude mouse model is established by s.c. injection. Mice inoculated with cervical cancer cells have lost about 10 % of their initial body weight by about 16 days after tumor inoculation. However, tumor growth (tumor weight) is reduced and the mice survive longer in the combination group[2].

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PROTOCOL

Cell Assay [1]

HCT116 and HL60 cells are incubated with either 5 μmol/L Reversine or DMSO 0.01%. Cells are harvested and fixed in 70% ethanol overnight. After double washing with PBS, cells are labeled with cell cycle staining reagent PBS, 0.1% Triton X-100, 200 μg/mL DNase-free RNase, and 25 μg/mL propidium iodide and incubated at room temperature in the dark for 30 min. DNA content is analyzed using FACSCalibur. Cell viability of different tumor cell lines is assessed using ATPlite 1step. Briefly, 2×10^4 cells for each well are plated in a 96-well plate in presence of crescent quantity of Reversine. After 72 h, the plates are recovered and 100 μL ATPlite solution is added to each well. The plates are shaken for 2 min at 700 rpm and luminescence is measured using EnVision Multilabel plate reader. Each sample is analyzed in triplicate[1].

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Animal Administration [2]

Mice[2]

Female athymic 6-8 weeks old BALB/c nude mice are used. U14 cell suspension (5×10^6 cells in 100 μL of RPMI-1640 medium) is injected subcutaneously. The purpose of developing cervical tumors is to generate histological intact tumors for drug therapy. When the diameter of tumors reached up to about 1 cm, Reversine, aspirin or their combinations are administrated by intraperitoneal injection per 3 days, twenty-five of these mice are randomly assigned into one of the following five groups: (a) mice treated with RPMI-1640 medium, (b) mice treated with DMSO, (c) mice treated with Reversine (10 mg/kg), (d) mice treated with aspirin (1 μg/kg) and (e) mice treated with a Reversine and aspirin combination. Body weight and tumor size at the site of inoculation are measured three times a week. Tumor size is measured every 3 days from two diameters, tumor volume is estimated using the formula $L\times S^2/2$(L as the longest diameter, S as the shortest diameter).

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CUSTOMER VALIDATION

- Cancer Res. 2021 Aug 1;81(15):4079-4093.
- Cell Rep. 2018 Nov 27;25(9):2317-2328.e5.
- J Biol Chem. 2019 Feb 8;294(6):2021-2035.
- Onco Targets Ther. 2018 Feb 26;11:1025-1035.
- Biochem Biophys Res Commun. 2020 Jul 12;528(1):105-111.

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REFERENCES

[1]. D'Alise AM, et al. Reversine, a novel Aurora kinases inhibitor, inhibits colony formation of human acute myeloid leukemia cells. Mol Cancer Ther. 2008 May;7(5):1140-9.

[2]. Qin HX, et al. Synergistic antitumor activity of reversine combined with aspirin in cervical carcinoma in vitro and in vivo. Cytotechnology. 2013 Aug;65(4):643-53.

Caution: Product has not been fully validated for medical applications. For research use only.

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