Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

CHR-6494

Catalog No: tcsc0994

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1333377-65-3

Formula:
$C_{16}H_{16}N_6$

Pathway:
Cell Cycle/DNA Damage

Target:
Haspin Kinase

Purity / Grade:
>98%

Solubility:
DMSO : 50 mg/mL (171.03 mM; Need ultrasonic)

Observed Molecular Weight:
292.34

Product Description

CHR-6494 is a potent inhibitor of **haspin**, inhibiting histone H3T3 phosphorylation, with an **IC_{50}** of 2 nM.

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IC50 & Target: IC50: 2 nM (haspin)[1]

In Vitro: CHR-6494 is a potent inhibitor of haspin, inhibiting histone H3T3 phosphorylation, with an IC_{50} of 2 nM. CHR-6494 does not modify H3S10 and H328 phosphorylation levels, and shows no significantly inhibitory effects on other protein kinases such as Aurora B kinase. CHR-6494 dose-dependently inhibits the growth of cancer cells, such as HCT-116, HeLa, MDA-MB-231, and Wi-38 cell, with IC_{50}s of 500 nM, 473 nM, 752 nM and 1059 nM, respectively. CHR-6494 (500 nM) produces a mitotic catastrophe with abnormal morphology of the mitotic spindle and centrosome amplification, and upregulates the spindle assembly checkpoint protein BUB1 and the marker of mitotic arrest cyclin B1[1]. CHR-6494 exhibits inhibitory activities against melanoma cell lines, including BRAFV600E mutants, NRAS mutants, and wild type cells, with IC_{50}s ranging from 396 nM to 1229 nM. CHR-6494 (300 nM and 600 nM) induces apoptosis, increases caspase 3/7 activity by 3- and 6-fold, respectively in COLO-792 cells, and to 8.5- and 16-fold in RPMI-7951 cells. CHR-6494 in combination with MEK inhibitors synergistically inhibits viability of melanoma cells, enhances apoptosis in melanoma cells, modulates cell cycle progression independently by arresting melanoma cells at different phases, and suppresses migration of melanoma cells[2].

In Vivo: CHR-6494 (50 mg/kg, i.p.) inhibits the growth of tumor and cuases no obvious body weight change in nude mice bearing HCT-116 human colorectal cancer cells[1].