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

PHA-680632

Catalog No: tcsc0194

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
398493-79-3

Formula:
$C_{28}H_{35}N_7O_2$

Pathway:
Cell Cycle/DNA Damage;Epigenetics

Target:
Aurora Kinase;Aurora Kinase

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 30 mg/mL (59.81 mM)

Observed Molecular Weight:
501.62

Product Description

PHA-680632 is an **aurora** kinase inhibitor with **IC_{50}**s of 27, 135 and 120 nM for aurora A, B and C, respectively.

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IC50 & Target: IC50: 27 nM (Aurora A), 135 nM (Aurora B), 120 nM (Aurora C)[1]

In Vitro: PHA-680632 shows 30- to 200-fold higher **IC_{50}**s of FLT3, LCK, PLK1, STLK2, VEGFR2, and VEGFR3 compared with Aurora A. PHA-680632 has potent antiproliferative activity in a wide range of cell types. The IC_{50}s are 0.32, 0.41, 0.06, 1.17, 0.56, 0.62, 0.29, 0.11, 1.56, 0.62, 0.07, 0.13, 0.41 μM for C33A, HeLa, HCT116, HT29, LOVO, A549, MCF7, A2780, U2OS, DU145, U937, HL60, NHDF. PHA-680632 can cause polyploidy in tumor cells. PHA-680632 cell treatment induces phenotypes similar to Aurora A or B depletion[1]. PHA680632, inhibits colony formation in different cancer cell lines and induced polyploidy. Aurora-A inhibition by PHA680632 enhances radiation response in cancer cells, especially in p53-deficient cells[2].

In Vivo: PHA-680632 suppresses tumor growth in animal models. PHA-680632 treatment at 45 mg/kg dose results in 85% of TGI without signs of toxicity in the HL60 human acute myelogenous leukemia xenograft model. PHA-680632 treatment at 60 mg/kg i.v. b.i.d. for 5 days results in 78% of TGI without signs of toxicity in the A2780 human ovarian carcinoma model[1]. PHA680632 in association with radiation leads to an additive effect in cancer cells, especially in the p53-deficient cells, but does not act as a radiosensitiser[2].