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

CP-673451

Catalog No: tcsc0207

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
343787-29-1

Formula:
$C_{24}H_{27}N_5O_2$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
PDGFR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
417.5

Product Description

CP-673451 is a potent and selective inhibitor of **PDGFR** with **IC_{50}**s of 10 and 1 nM for PDGFRα and PDGFRβ, respectively.

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IC50 & Target: IC50: 10 nM (PDGFR-α), 1 nM (PDGFR-β)[4]

In Vitro: CP-673451 efficiently suppresses the PDGFR downstream signaling pathway. It inhibits phosphorylation of Akt, GSK-3β, p70S6, and S6 in A549 cells in a concentration-dependent manner. CP-673451 (0.0625-4 μM) significantly reduces the viability of NSCLC cell lines A549 and H1299 in a time- and concentration-dependent manner, with IC_{50}s of 0.49 and 0.61 μM, respectively. CP-673451 (1, 4 μM) induces apoptosis in non-small-cell lung cancer cells. CP-673451 (25, 100, or 400 nM) is effective at inhibiting migration and invasion of NSCLC cells by suppression of lamellipodia formation[1]. CP-673451 and crenolanib show selective lethality toward cells with CA. U2OS cells treated with 1 to 4 μM CP-673451 or crenolanib show a ruffled cell surface as a sign for alterations of the cortical actin cytoskeleton. CP-673451 attenuates PDGF-BB-induced signaling, and significantly enhances the phosphorylation of PDGFR-β downstream effectors, Akt and MEK[2]. CP-673,451 (0.5 μM) regulates cell proliferation through mechanisms involving reduced phosphorylation of GSK-3α and GSK-3β. CP-673,451 impairs rhabdosphere-forming capacity in both RD and RUCH2 cultures [3]. CP-673,451 inhibits PDGFR-β in PAE-β cells with an IC_{50} value of 6.4 nM. Besides, CP-673,451 incubation in H526 and PAE-β cells results in an IC_{50} value of 1.1 μM against c-kit[4].

In Vivo: CP-673451 (20 mg/kg) leads to a medium suppression of tumor growth, while high-dose CP-673451 (40 mg/kg) strongly inhibits tumor growth in mice without significant weitht loss[1]. CP-673,451 (10, 33, and 100 mg/kg, p.o., b.i.d) inhibits the growth of Colo205 tumor in a dose-dependent manner, and similar tumor growth inhibition experiments completes on LS174T, H460, and U87MG xenografts, with no signs of morbidity or weight loss[4].