

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

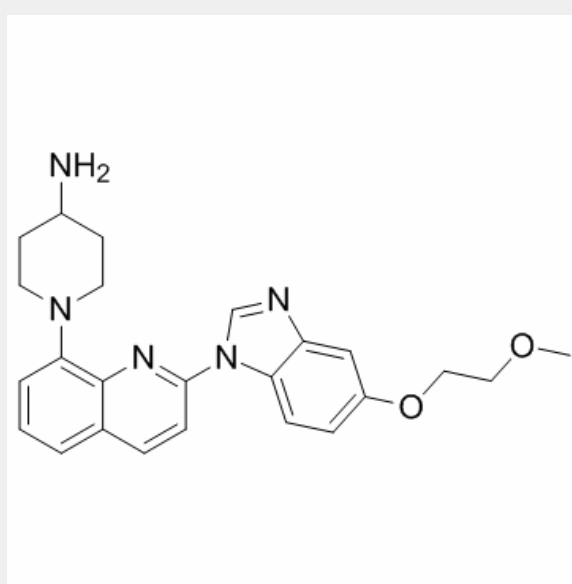
Product Description

CP-673451 is a potent and selective inhibitor of **PDGFR** with **IC₅₀**s of 10 and 1 nM for PDGFR α and PDGFR β , respectively.

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-3 β , p70S6, and S6 in A549 cells in a concentration-dependent manner. CP-673451 (0.0625-4 μ M) significantly reduces the viability of NSCLC cell lines A549 and H1299 in a time- and concentration-dependent manner, with IC₅₀s of 0.49 and 0.61 μ M, respectively. CP-673451 (1, 4 μ M) 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 μ M 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 μ M) regulates cell proliferation through mechanisms involving reduced phosphorylation of GSK-3 α and GSK-3 β . 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 IC₅₀ value of 6.4 nM. Besides, CP-673,451 incubation in H526 and PAE- β cells results in an IC₅₀ value of 1.1 μ M 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 weight 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].



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