Web: www.taiclone.com
Tel: +886-2-2735-9682
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Dovitinib

Catalog No: tcsc0120

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Specifications

CAS No:
405169-16-6

Formula:
$C_{21}H_{21}FN_6O$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:
VEGFR;FLT3;PDGFR;FGFR;c-Kit

Purity / Grade:
>98%

Solubility:
DMSO : 25 mg/mL (63.71 mM; Need ultrasonic and warming)

Alternative Names:
CHIR-258;TKI258

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Observed Molecular Weight:
392.43

Product Description

Dovitinib is a multi-targeted tyrosine kinase inhibitor with **IC_{50}**s of 1, 2, 8/9, 10/13/8, 27/210 nM for **FLT3**, **c-Kit**, **FGFR1/3**, **VEGFR1/2/3** and **PDGFRα/β**, respectively.

IC50 & Target: IC50: 1 nM (FLT3), 2 nM (c-Kit), 8 nM (FGFR1), 9 nM (FGFR3), 1 nM (VEGFR1), 13 nM (VEGFR2), 8 nM (VEGFR3), 27 nM (PDGFRα), 210 nM (PDGFRβ)[1]

In Vitro: Dovitinib potently inhibits the FGF-stimulated growth of WT and F384L-FGFR3-expressing B9 cells with IC_{50} values of 25 nM. B9-MINV cells are resistant to the inhibitory activity of Dovitinib at concentrations up to 1 μM. Dovitinib inhibits cell proliferation of KMS11 (FGFR3-Y373C), OPM2 (FGFR3-K650E), and KMS18 (FGFR3-G384D) cells with IC_{50} of values of 90 nM (KMS11 and OPM2) and 550 nM, respectively[1]. Dovitinib significantly reduces the basal phosphorylation levels of FGFR-1, FGFR substrate 2α (FRS2-α) and ERK1/2 but not Akt in both SK-HEP1 and 21-0208 cells[2]. Dovitinib enhances the BMP-2-induced alkaline phosphatase (ALP) induction, which is a representative marker of osteoblast differentiation. Dovitinib also stimulates the translocation of phosphorylated Smad1/5/8 into the nucleus and phosphorylation of mitogen-activated protein kinases, including ERK1/2 and p38[3]. Dovitinib strongly inhibits both the interaction of TNIK with ATP (K_i, 13 nM) and the activation of Wnt signaling effectors such as β-catenin and TCF4. Dovitinib also induces caspase-dependent apoptosis in IM-9 cells without significant cytotoxicity in PBMCs[4].

In Vivo: Dovitinib (10 mg/kg, 30 mg/kg, 60 mg/kg, p.o.) shows significant antitumor effect in the KMS11-bearing mice model, and the growth inhibition is 48%, 78.5%, and 94% in the 10 mg/kg, 30 mg/kg, and 60 mg/kg treatment arms, respectively, compared with the placebo-treated mice[1]. Dovitinib (50 and 75 mg/kg) results in 97% and 98% tumor growth inhibition, respectively, and the maximal efficacy is at 50 mg/kg[2].