

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

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

Observed Molecular Weight:

392.43

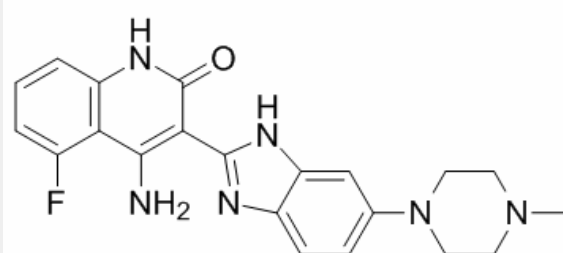
Product Description

Dovitinib is a multi-targeted tyrosine kinase inhibitor with **IC₅₀**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 IC₅₀ 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 IC₅₀ 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 TNK1 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].



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