

# 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

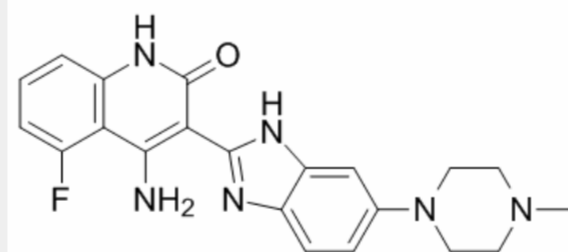
**Product Description**

Dovitinib is a multi-targeted tyrosine kinase inhibitor with **IC<sub>50</sub>**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)<sup>[1]</sup>

**In Vitro:** Dovitinib potently inhibits the FGF-stimulated growth of WT and F384L-FGFR3-expressing B9 cells with IC<sub>50</sub> 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<sub>50</sub> of values of 90 nM (KMS11 and OPM2) and 550 nM, respectively<sup>[1]</sup>. 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<sup>[2]</sup>. 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<sup>[3]</sup>. Dovitinib strongly inhibits both the interaction of TNIK with ATP (K<sub>i</sub>, 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<sup>[4]</sup>.

**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<sup>[1]</sup>. Dovitinib (50 and 75 mg/kg) results in 97% and 98% tumor growth inhibition, respectively, and the maximal efficacy is at 50 mg/kg<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!