

# Orantinib

**Catalog No: tcsc0197**



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

252916-29-3

**Formula:**

$C_{18}H_{18}N_2O_3$

**Pathway:**

Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

**Target:**

VEGFR;PDGFR;FGFR

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 28$  mg/mL (90.22 mM)

**Alternative Names:**

SU6668;TSU-68

**Observed Molecular Weight:**

310.35

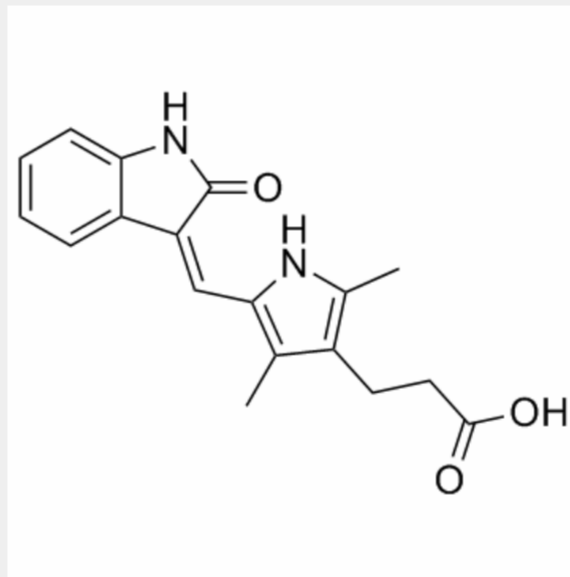
## Product Description

Orantinib (SU6668; TSU-68) is a multi-targeted receptor tyrosine kinase inhibitor with  $K_i$ s of 2.1  $\mu$ M, 8 nM and 1.2  $\mu$ M for **Flt-1**, **PDGFR $\beta$**  and **FGFR1**, respectively.

IC<sub>50</sub> & Target: Ki: 0.008  $\mu$ M (PDGFR $\beta$ ), 2.1  $\mu$ M (Flt-1), 0.008  $\mu$ M (FGFR1)<sup>[1]</sup>

**In Vitro:** Orantinib (SU6668; 0.03-10  $\mu$ M) shows inhibitory activity against tyrosine phosphorylation of KDR in VEGF stimulated HUVECs, and also blocks PDGF-stimulated PDGFR $\beta$  tyrosine phosphorylation in NIH-3T3 cells overexpressing PDGFR $\beta$ . Orantinib ( $\geq 10$   $\mu$ M) inhibits acidic FGF-induced phosphorylation of the FGFR1 substrate 2. However, Orantinib (up to 100  $\mu$ M) has no effect on EGF-stimulated EGFR tyrosine phosphorylation in NIH-3T3 cells overexpressing EGFR. Furthermore, Orantinib inhibits VEGF-driven and FGF-driven mitogenesis of HUVECs with mean IC<sub>50</sub> of 0.34  $\mu$ M and 9.6  $\mu$ M, respectively<sup>[1]</sup>. In human myeloid leukemia MO7E cells, Orantinib (SU6668) inhibits the tyrosine autophosphorylation of stem cell factor (SCF) receptor, c-kit, with IC<sub>50</sub> of 0.1-1  $\mu$ M, as well as ERK1/2 phosphorylation. In addition, Orantinib suppresses SCF-induced proliferation of MO7E cells with an IC<sub>50</sub> of 0.29  $\mu$ M, and induces apoptosis<sup>[2]</sup>.

**In Vivo:** Orantinib (SU6668; 75-200 mg/kg) causes tumor growth inhibition on several tumor types in xenograft models in athymic mice, such as A375, Colo205, H460, Calu-6, C6, SF763T, and SKOV3TP5 cells. Orantinib (75 mg/kg) also inhibits tumor angiogenesis of C6 glioma xenografts<sup>[1]</sup>. In a tumor model of HT29 human colon carcinoma, Orantinib (200 mg/kg) decreases the average vessel permeability and average fractional plasma volume in the tumor rim and core. Orantinib enhances abnormal stromal development at the periphery of carcinomas<sup>[3]</sup>. Moreover, Orantinib (TSU-68; 200 mg/kg) augments the effect of chemotherapeutic infusion in a rabbit VX2 liver tumor model<sup>[4]</sup>.



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