

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 : ≥ 28 mg/mL (90.22 mM)

Alternative Names:

SU6668;TSU-68

Observed Molecular Weight:

310.35

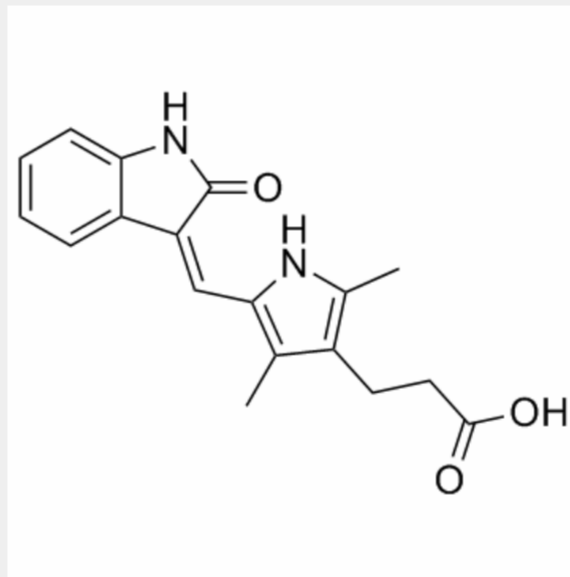
Product Description

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

IC₅₀ & Target: Ki: 0.008 μ M (PDGFR β), 2.1 μ M (Flt-1), 0.008 μ M (FGFR1)^[1]

In Vitro: Orantinib (SU6668; 0.03-10 μ M) shows inhibitory activity against tyrosine phosphorylation of KDR in VEGF stimulated HUVECs, and also blocks PDGF-stimulated PDGFR β tyrosine phosphorylation in NIH-3T3 cells overexpressing PDGFR β . Orantinib (≥ 10 μ M) inhibits acidic FGF-induced phosphorylation of the FGFR1 substrate 2. However, Orantinib (up to 100 μ 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 IC₅₀ of 0.34 μ M and 9.6 μ M, respectively^[1]. In human myeloid leukemia MO7E cells, Orantinib (SU6668) inhibits the tyrosine autophosphorylation of stem cell factor (SCF) receptor, c-kit, with IC₅₀ of 0.1-1 μ M, as well as ERK1/2 phosphorylation. In addition, Orantinib suppresses SCF-induced proliferation of MO7E cells with an IC₅₀ of 0.29 μ M, and induces apoptosis^[2].

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^[1]. 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^[3]. Moreover, Orantinib (TSU-68; 200 mg/kg) augments the effect of chemotherapeutic infusion in a rabbit VX2 liver tumor model^[4].



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