Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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

IC50 & Target: Ki: 0.008 μM (PDGFRβ), 2.1 μM (Flt-1), 0.008 μM (FGFR1)[1]

In Vitro: Orantinib (SU6668; 0.03-10 μM) shows inhibitory activity against tyrosine phosphorylation of KDR in VEGF stimulated HUVECs, and also blocks PDGF-stimulated PDGFRβ tyrosine phosphorylation in NIH-3T3 cells overexpressing PDGFRβ. Orantinib (≥10 μM) inhibits acidic FGF-induced phosphorylation of the FGFR1 substrate 2. However, Orantinib (up to 100 μM) 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_{50} of 0.34 μM and 9.6 μM, respectively[1]. In human myeloid leukemia MO7E cells, Orantinib (SU6668) inhibits the tyrosine autophosphorylation of stem cell factor (SCF) receptor, c-kit, with IC_{50} of 0.1-1 μM, as well as ERK1/2 phosphorylation. In addition, Orantinib suppresses SCF-induced proliferation of MO7E cells with an IC_{50} of 0.29 μM, 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].