Web: www.taiclone.com
Tel: +886-2-2735-9682
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Sunitinib

Catalog No: tcsc1670

Available Sizes

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Specifications

CAS No:
557795-19-4

Formula:
$C_{22}H_{27}FN_4O_2$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Autophagy;Autophagy

Target:
VEGFR;PDGFR;Autophagy;Mitophagy

Purity / Grade:
>98%

Solubility:
DMSO : 25 mg/mL (62.74 mM; Need ultrasonic and warming)

Alternative Names:
SU 11248

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Observed Molecular Weight:
398.47

Product Description

Sunitinib (SU 11248) is a multi-targeted receptor tyrosine kinase inhibitor with **IC_{50}**s of 80 nM and 2 nM for **VEGFR2** and **PDGFRβ**, respectively.

IC50 & Target: IC50: 2 nM (PDGFRβ), 80 nM (VEGFR2)[1]

In Vitro: Sunitinib Malate is also a good inhibitor of KIT and FLT-3[1]. In biochemical assays, Sunitinib (SU11248) exhibits competitive inhibition (with regard to ATP) against Flk-1 and PDGFRβ with K_i values of 9 nM and 8 nM, respectively. Sunitinib is also a competitive, albeit less potent, inhibitor of FGFR1 tyrosine kinase activity, with a K_i value of 0.83 μM. In addition to these three structurally related split kinase domain RTKs, the activity of Sunitinib has also been evaluated against a broad panel of additional tyrosine and serine/threonine kinases. In these biochemical assays, the IC_{50} values for Sunitinib are generally at least 10-fold higher than those for Flk-1 and PDGFR (e.g., IC_{50}values of: >10 μM for EGFR and Cdk2; 4 μM for Met; 2.4 μM for IGFR-1; 0.8 μM for Abl; and 0.6 μM for Src)[2]. In RS4;11 cells (FLT3-WT), treatment with Sunitinib (SU11248) inhibits FLT3-WT phosphorylation in a dose-dependent manner with IC_{50} of approximately 250 nM. In MV4;11 cells that express FLT3-ITD, Sunitinib inhibits FLT3-ITD phosphorylation in a dose-dependent manner with an IC_{50} of 50 nM following a 2-hour treatment[3].

In Vivo: Sunitinib Malate has very good oral bioavailability, is highly efficacious in a number of preclinical tumor models, and is well tolerated at efficacious doses[1]. Sunitinib (80 mg/kg/day) inhibits the growth of established SF763T and Colo205 tumor xenografts in athymic mice. Sunitinib (SU11248) treatment effectively inhibits the growth of established tumor xenografts[2]. Sunitinib malate is an inhibitor of VEGFR, PDGFR, FGFR, and is used in the treatment of advanced renal cell carcinoma and gastrointestinal stromal tumors. Sunitinib malate-treated rats display much lower levels of tumor growth than untreated rats, and their tumors have much smaller necrotic areas and lower vascular density[4].