Web: www.taiclone.com
Tel: +886-2-2735-9682
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SU14813 (maleate)

Catalog No: tcsc0649

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
849643-15-8

Formula:
$C_{27}H_{31}FN_4O_8$

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

Target:
VEGFR;PDGFR;c-Kit

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
558.56

Product Description

SU14813 maleate is a multi-targeted receptor tyrosine kinases inhibitor with **IC_{50}**s of 50, 2, 4, 15 nM for **VEGFR2**, **VEGFR1**, **PDGFRβ** and **KIT**.

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IC50 & Target: IC50: 50 nM (VEGFR2), 2 nM (VEGFR1), 4 nM (PDGFRβ), 15 nM (KIT)[1]

In Vitro: SU14813 inhibits ligand-dependent and ligand-independent proliferation, migration, and survival of endothelial cells and/or tumor cells expressing these targets. SU14813 inhibits cellular ligand-dependent phosphorylation of VEGFR-2 (transfected NIH 3T3 cells), PDGFR-β (transfected NIH 3T3 cells), KIT (Mo7e cells), and FLT3-internal tandem duplication (FLT3-ITD; MV4;11 cells) as well as FMS/CSF1R (transfected NIH 3T3 cells). SU14813 inhibits VEGFR-2, PDGFR-β, and KIT phosphorylation in porcine aorta endothelial cells overexpressing these targets, with cellular IC_{50} values of 5.2, 9.9, and 11.2 nM, respectively. SU14813 inhibits the growth of U-118MG with an IC_{50} of 50 to 100 nM[1].

In Vivo: SU14813 inhibits VEGFR-2, PDGFR-β, and FLT3 phosphorylation in xenograft tumors in a dose- and time-dependent fashion. The plasma concentration required for *in vivo* target inhibition is estimated to be 100 to 200 ng/mL. Used as monotherapy, SU14813 exhibits broad and potent antitumor activity resulting in regression, growth arrest, or substantially reduced growth of various established xenografts derived from human or rat tumor cell lines. Treatment in combination with docetaxel significantly enhances both the inhibition of primary tumor growth and the survival of the tumor-bearing mice compared with administration of either agent alone[1].