Web: www.taiclone.com
Tel: +886-2-2735-9682
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SU 5402

Catalog No: tcsc0200

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
215543-92-3

Formula:
$C_{17}H_{16}N_2O_3$

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

Target:
VEGFR;PDGFR;FGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 30 mg/mL (101.24 mM)

Observed Molecular Weight:
296.32

Product Description

SU 5402 is a potent multi-targeted receptor tyrosine kinase inhibitor with **IC_{50}** of 20 nM, 30 nM, and 510 nM for **VEGFR2**, **FGFR1**,

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and **PDGFRβ**, respectively.

IC50 & Target: IC50: 20 nM (VEGFR2), 30 nM (FGFR1), 510 nM (PDGFRβ)[1]

In Vitro: SU 5402 is cocrystallized with the catalytic domain of FGF-R1 (flg-1) and is found to inhibit tyrosine phosphorylation of VEGF-R2 (Flk-1/KDR) and PDGF-R in NIH 3T3 cells with IC_{50} values of 0.4 and 60.9 μM, respectively[1]. In order to investigate whether phosphorylation of PKM2 and LDHA is mediated in FGFR1-specific manner, FTC-133 are treated with receptor tyrosine kinase inhibitors Dovitinib and SU 5402 (SU-5402). Dovitinib treatment results in significant decrease of phosphorylation status at a concentration of 100 nM after four hours of incubation for both PKM2 and LDHA. No significant changes are seen when administered at concentrations of 1 nM and 10 nM. SU 5402 administration leads to a sigificant decrease of PKM2 and LDHA phosphorylation at a concentration of 20 μM[2].

In Vivo: Inhibition of FGFR1 with SU 5402 (SU5402) administered to ΔF508-CFTR homozygous mice results in partial ΔF508-CFTR rescue, as shown by an increase in saliva secretion, a surrogate \"sweat test\" assay in mice. As salivary secretion is often sex dependent, only male mice are chosen for these experiments. Our results indicate that treatment of the ΔF508-CFTR mice with SU 5402 restores the saliva secretion level to ~10% of that observed for the wild-type CFTR mice, which suggests that SU 5402 can have therapeutic benefits to Cystic Fibrosis (CF)[3]. The selective FGFR1 inhibitor SU 5402 (SU5402) prevents and/or reverses PH induced by MCT (monocrotaline) in rats. In rats treated with SU 5402 on days 21 to 42 after the MCT injection, evaluations on day 42 show marked decreases in pulmonary artery pressure (PAP), RV/(LV+S), and distal artery muscularization compare with rats treated with the vehicle (saline)[4].