Web: www.taiclone.com
Tel: +886-2-2735-9682
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TG 100572

Catalog No: tcsc0783

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
867334-05-2

Formula:
$C_{26}H_{26}ClN_5O_2$

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

Target:
Src;VEGFR;PDGFR;FGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 150 mg/mL (315.15 mM)

Observed Molecular Weight:
475.97

Product Description

TG 100572 is a multi-targeted kinase inhibitor which inhibits **receptor tyrosine kinases** and **Src kinases**; has **IC_{50}**s of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 nM for VEGFR1, VEGFR2, FGFR1, FGFR2, PDGFRβ, Fgr, Fyn, Hck, Lck, Lyn, Src, Yes, respectively.

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IC50 & Target: IC50: 2 nM (VEGFR1), 7 nM (VEGFR2), 2 nM (FGFR1), 16 nM (FGFR2), 13 nM (PDGFRβ), 5 nM (Fgr), 0.5 nM (Fyn), 6 nM (Hck), 0.1 nM (Lck), 0.4 nM (Lyn), 1 nM (Src), 0.2 nM (Yes)[1]

In Vitro: TG 100572 shows sub-nanomolar activity against the Src family as well as RTK such as VEGFR1 and R2, FGFR1 and R2, and PDGFRβ. TG 100572 inhibits vascular endothelial cell proliferation (ED_{50}=610±71 nM) and blocks VEGF-induced phosphorylation of extracellular signal-regulated kinase. TG 100572 induces apoptosis in rapidly proliferating, but not quiescent, endothelial cell cultures [1]. TG 100572 is shown to inhibit hRMVEC cell proliferation, with an IC_{50} of 610±72 nM. This suggests that TG 100572 has the therapeutic potential to inhibit VEGF function in ocular endothelial cells, a contributing factor to pathological angiogenesis in diseases such as AMD and PDR[2].

In Vivo: Systemic delivery of TG 100572 in a murine model of laser-induced choroidal neovascularization (CNV) causes significant suppression of CNV, but with an associated weight loss suggestive of systemic toxicity[1]. A concentration of 23.4 μM (C_{max}) of TG 100572 is reached in 30 min (T_{max})=0.5 h) in the choroid and the sclera. However, the levels of TG 100572 in the retina are relatively low. The half-life of TG 100572 in ocular tissues is very short; hence, the compound is administered topically minimum t.i.d. to maintain appropriate drug levels in the eye. The maximum concentration one can achieve in formulations using TG 100572 is 0.7% w/v[2].