

TG 100572 (Hydrochloride)

Catalog No: tcsc0784



Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

867331-64-4

Formula:

$C_{26}H_{27}Cl_2N_5O_2$

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 : ≥ 44 mg/mL (85.87 mM)

Observed Molecular Weight:

512.43

Product Description

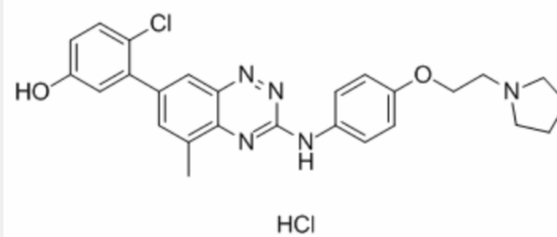
TG 100572 Hydrochloride is a multi-targeted kinase inhibitor which inhibits **receptor tyrosine kinases** and **Src kinases**; has **IC₅₀s**

of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 nM for VEGFR1, VEGFR2, FGFR1, FGFR2, PDGFR β , Fgr, Fyn, Hck, Lck, Lyn, Src, Yes, respectively.

IC50 & Target: IC50: 2 nM (VEGFR1), 7 nM (VEGFR2), 2 nM (FGFR1), 16 nM (FGFR2), 13 nM (PDGFR β), 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 PDGFR β . TG 100572 inhibits vascular endothelial cell proliferation ($ED_{50}=610\pm71$ 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 μ M (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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!