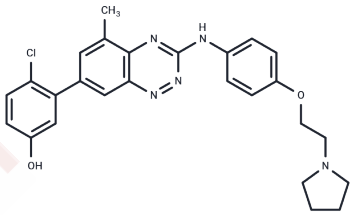
Data Sheet (Cat.No.T13156)

TargetMol

TG 100572

Chemical Properties



CAS No. : 867334-05-2

Formula: C26H26ClN5O2

Molecular Weight: 475.97

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TG 100572 is a inhibitor of multi-targeted kinase which inhibits receptor tyrosine kinases and Src kinases(IC50s 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).
Targets(IC50)	FGFR,PDGFR,Src,VEGFR
In vitro	TG 100572 induces apoptosis in rapidly proliferating, but not quiescent, endothelial cell cultures[1]. TG 100572 is shown to inhibit hRMVEC cell proliferation with IC50 of 610±72 nM. in ocular endothelial cells,TG 100572 has the therapeutic potential to inhibit VEGF function, a contributing factor to pathological angiogenesis in diseases such as AMD and PDR[2].
In vivo	Administering TG 100572 systemically in a mouse model of laser-induced choroidal neovascularization (CNV) significantly reduces CNV but may lead to weight loss, indicating possible systemic toxicity[1]. TG 100572 reaches its peak concentration of 23.4 μM within 30 minutes (Tmax=0.5h) in the choroid and sclera, but its presence in the retina remains notably low. Due to its short half-life in ocular tissues, topical administration of TG 100572 is necessary at least three times daily (t.i.d.) to sustain effective drug levels in the eye. The maximum achievable concentration of TG 100572 in formulations is limited to 0.7% w/v[2].

Solubility Information

Solubility	DMSO: 150 mg/mL (315.15 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.101 mL	10.5049 mL	21.0097 mL
5 mM	0.4202 mL	2.101 mL	4.2019 mL
10 mM	0.2101 mL	1.0505 mL	2.101 mL
50 mM	0.042 mL	0.2101 mL	0.4202 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Doukas J, et al. Topical administration of a multi-targeted kinase inhibitor suppresses choroidal neovascularization and retinal edema. J Cell Physiol. 2008 Jul;216(1):29-37.
Palanki MS, et al. Development of prodrug 4-chloro-3-(5-methyl-3-{[4-(2-pyrrolidin-1-ylethoxy)phenyl]amino} -1,2,4-benzotriazin-7-yl)phenyl benzoate (TG100801): a topically administered therapeutic candidate in clinical trials for the treatment of age-related macular degeneration. J Med Chem. 2008 Mar 27;51(6):1546-59.