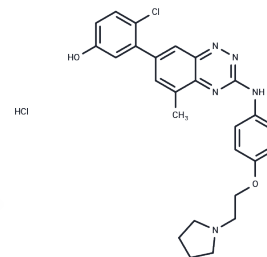


TG 100572 Hydrochloride

Chemical Properties

CAS No. :	867331-64-4
Formula:	C ₂₆ H ₂₇ Cl ₂ N ₅ O ₂
Molecular Weight:	512.43
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 Hydrochloride is a inhibitor of multi-targeted kinase which inhibits receptor tyrosine kinases and Src kinases(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).
Targets(IC ₅₀)	FGFR,PDGFR,Src,VEGFR
In vitro	apoptosis in rapidly proliferating, but not quiescent, endothelial cell cultures induced by TG 100572[1]. TG 100572 is shown to inhibit hRMVEC cell proliferation(IC ₅₀ of 610±72 nM). 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) significantly suppresses CNV, but is associated with weight loss indicative of systemic toxicity[1]. TG 100572 (23.4 μM) reaches the choroid and sclera within 30 minutes (T _{max} = 0.5 h), with relatively low levels in the retina. Due to its short half-life in ocular tissues, TG 100572 is administered topically at least t.i.d. to maintain appropriate eye levels. The maximum concentration achievable in formulations is 0.7% w/v[2].

Solubility Information

Solubility	DMSO: 20 mg/mL (39.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 2 mg/mL (3.9 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9515 mL	9.7574 mL	19.5149 mL
5 mM	0.3903 mL	1.9515 mL	3.903 mL
10 mM	0.1951 mL	0.9757 mL	1.9515 mL
50 mM	0.039 mL	0.1951 mL	0.3903 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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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