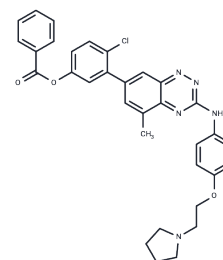


TG 100801

Chemical Properties

CAS No. : 867331-82-6
 Formula: C₃₃H₃₀ClN₅O₃
 Molecular Weight: 580.08
 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 100801 is a dual inhibitor of VEGFR2 and Src family (Src and YES) kinases and is a candidate compound for the treatment of age-related macular degeneration (AMD).
Targets(IC50)	FGFR,PDGFR,Src,VEGFR
In vitro	TG100801 is a locally administered prodrug that readily converts to active TG100572. TG100572 inhibits endothelial cell proliferation in vitro (ED ₅₀ = 610 ± 71 nM) and blocks the phosphorylation of extracellular signal-regulated kinase (ERK) induced by VEGF [2].
In vivo	TG 100801 is formed by derivatizing the phenol moiety in TG100572 to produce an ester, striking an optimal balance between stability (physical and chemical) and hydrolysis rate among the prodrugs examined. TG100801 does not exhibit meaningful kinase activity but exposure to esterases (abundant in mammalian tissues) rapidly releases active TG 100572. Mice receiving daily intraperitoneal injections of TG 100572 (5 mg/kg) display smaller CNV lesions compared to vehicle-injected mice[2].

Solubility Information

Solubility	DMSO: 3 mg/mL (5.17 mM),Sonication is recommended. H ₂ O: < 0.1 mg/mL (insoluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7239 mL	8.6195 mL	17.239 mL
5 mM	0.3448 mL	1.7239 mL	3.4478 mL
10 mM	0.1724 mL	0.862 mL	1.7239 mL
50 mM	0.0345 mL	0.1724 mL	0.3448 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

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.

Doukas, John, et al. Topical administration of a multi-targeted kinase inhibitor suppresses choroidal neovascularization and retinal edema. *Journal of Cellular Physiology* (2008), 216(1), 29-37.

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