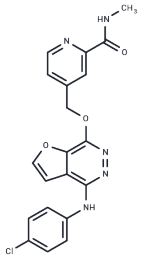
Data Sheet (Cat.No.T6166)

TargetMol

Telatinib

Chemical Properties



CAS No. : 332012-40-5

Formula: C20H16ClN5O3

Molecular Weight: 409.83

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	Telatinib (Bay 57-9352) is an effective inhibitor of VEGFR2/3, c-Kit, and PDGFRα, with IC50s of 6 nM/4 nM, 1 nM, and 15 nM, respectively.
Targets(IC50)	c-Kit,PDGFR,VEGFR
In vitro	Telatinib has 0.66, 0.17, and 2.5 times higher IC50 values for VEGFR3, c-Kit, and PDGFRβ than VEGFR2, respectively, while Vatalanib exhibits 18, 20, and 16 times higher IC50 values, respectively, indicating that Telatinib has potential benefit over Vatalanib. Telatinib inhibits VEGFR2 autophosphorylation in a whole-cell assay with an IC50 of 19 nM, suppresses VEGF-dependent proliferation of human umbilical vein endothelial cells with an IC50 of 26 nM, and blocks PDGF-stimulated growth of human aortic smooth muscle cells with an IC50 of 249 nM. [3] Telatinib displays little inhibitory activity against the Raf kinase pathway, epidermal growth factor receptor family, the fibroblast growth factor receptor (FGFR) family, and the Tie-2 receptor. [4]
In vivo	Given that tumor development and metastasis are ascribed to deregulated VEGFR signal pathway, Telatinib treatment significantly inhibits tumor growth and metastasis by blocking the VEGFR signaling and subsequently tumor angiogenesis. In addition to the significant inhibition of tumor angiogenesis, Telatinib treatment induces a significant decrease in endothelium-dependent and endothelium-independent vasodilation, as well as reduction in capillary density, leading to an increase in systolic and diastolic blood pressure. [1] Administration of Telatinib as a single agent exhibits a potent anti-tumor activity in multiple human tumor xenograft models including MDA-MB-231 breast cancer, Colo-205 colon cancer, DLD-1 colon cancer, and H460 non-small cell lung cancer, as well as pancreatic and prostate carcinoma in a dose-dependent manner. [2]

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 1 mg/mL (2.44 mM),Sonication is recommended. DMSO: 76 mg/mL (185.44 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 3.3 mg/mL (8.05 mM),Sonication is recommended. *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*

In vivo Formulation	*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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.440 mL	12.2002 mL	24.4004 mL
5 mM	0.488 mL	2.440 mL	4.8801 mL
10 mM	0.244 mL	1.220 mL	2.440 mL
50 mM	0.0488 mL	0.244 mL	0.488 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

Steeghs N, et al. Clin Cancer Res, 2008, 14(11), 3470-3476.
Strumberg D, et al. Br J Cancer, 2008, 99(10), 1579-1585.
Eskens FA, et al. J Clin Oncol, 2009, 27(25), 4169-4176.
Langenberg MH, et al. Clin Cancer Res, 2010, 16(7), 2187-2197.