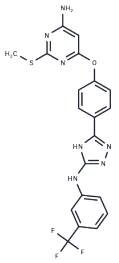
Data Sheet (Cat.No.T41003)

TargetMol

KG5

Chemical Properties



CAS No. : 877874-85-6

Formula: C20H16F3N7OS

Molecular Weight: 459.45

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	KG5 is a dual allosteric inhibitor of PDGFRβ and B-Raf with a Kd of 520 nM and 300 nM for PDGFRβ and PDGFRα. KG5 inhibits FLT3, KIT, and c-Raf with anticancer and antiangiogenic activities.
Targets(IC50)	Raf,FLT,c-Kit,PDGFR
In vitro	KG5 inhibits FLT3 and KIT at 52 and 170 nM, respectively. In endothelial cells stimulated with bFGF or VEGF, KG5 (5 μM) inhibits phosphorylation of MEK and ERK. KG5 inhibits vascular smooth muscle cells (VSMCs) and endothelial cell viability with EC50 values of 0.59 μM and 0.54 μM, respectively. KG5 selectively blocks S338 phosphorylation, yet does not influence S259[1].
In vivo	KG5 (1 μM) disrupts a late step in angiogenesis during zebrafish embryogenesis. In male Nu/Nu mice injected with SN12C-RFP cells, KG5 (100 mg/kg; oral) prevents tumor growth. KG5 (50 mg/kg; i.p.) completely blocks angiogenesis in mice injected with Matrigel containing bFGF with a Cmax of 3.6 μg/mL, T1/2 of 11.5 h, and an AUC0-12h of 14.7 μg•h/mL[1].

Solubility Information

Solubility	DMSO: 95 mg/mL (206.77 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 (7.18 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 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	2.1765 mL	10.8826 mL	21.7652 mL
5 mM	0.4353 mL	2.1765 mL	4.353 mL
10 mM	0.2177 mL	1.0883 mL	2.1765 mL
50 mM	0.0435 mL	0.2177 mL	0.4353 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

Eric A Murphy, et al. Disruption of angiogenesis and tumor growth with an orally active drug that stabilizes the inactive state of PDGFRbeta/B-RAF. Proc Natl Acad Sci U S A. 2010 Mar 2;107(9):4299-304.