Product Data Sheet

Product Name:	SKLB1002
Cat. No.:	GC13738

Chemical Properties

Cas. No.	1225451-84-2		
Chemical Name	2-(6,7-dimethoxyquinazolin-4-yl)sulfanyl-5-methyl-1,3,4-thiadiazole		
SMILES	CC1=NN=C(S1)SC2=NC=NC3=CC(=C(C=C32)OC)OC		
Formula	$C_{13}H_{12}N_4O_2S_2$	M.Wt	320.39
Solubility	≥ 8mg/mL in DMSO	Storage	Store at -20° C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	SKLB1002		

Background

SKLB1002 is a potent inhibitor of VEGF receptor 2 with IC50 value of 32 nM [1].

VEGF receptor 2 (VEGFR2) is a major receptor for vascular endothelial growth factor (VEGF) and plays an important role in angiogenesis and VEGF-stimulated proliferation, migration, and sprouting of cultured endothelial cells [1].

SKLB1002 is a potent VEGFR2 inhibitor. In human umbilical vein endothelial cells (HUVEC), SKLB1002 significantly inhibited VEGF-induced HUVEC proliferation with IC50 value of 11.9 μM. Also, SKLB1002 inhibited HUVEC migration, invasion and tube formation in a dose-dependent way. SKLB1002 (10 μM) significantly inhibited VEGF-induced phosphorylation of VEGFR2, ERK, Src and FAK [1].

In zebrafish embryos, SKLB1002 (2.5 μM) significantly inhibited the growth of intersegmental vessels. In mice bearing SW620 or HepG2 xenografts, SKLB1002 (100 mg/kg) significantly inhibited tumor volume and inhibited tumor growth by 60%. Also,

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SKLB1002 reduced the microvessel density [1]. In mice with 4T1 tumor, SKLB1002 significantly reduced the tumor vessel density [2].

References:

[1]. Zhang S, Cao Z, Tian H, et al. SKLB1002, a novel potent inhibitor of VEGF receptor 2 signaling, inhibits angiogenesis and tumor growth in vivo. Clin Cancer Res, 2011, 17(13): 4439-4450.

[2]. Shen G, Li Y, Du T, et al. SKLB1002, a novel inhibitor of VEGF receptor 2 signaling, induces vascular normalization to improve systemically administered chemotherapy efficacy. Neoplasma, 2012, 59(5): 486-493.

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