



上海源叶生物科技有限公司
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产品名称: SKLB610
产品别名: SKLB610

生物活性:					
Description	SKLB-610 是一种多靶点的酪氨酸激酶 (tyrosine kinases)抑制剂。它对 VEGFR2 的抑制作用最为有效, 是 FGFR2 和 PDGFR 的弱抑制剂。				
IC ₅₀ & Target	VEGFR2 [1] ()	FGFR2 [1] ()	PDGFR [1] 0	PDGFR [1] 0	FGFR2 [1] 0
In Vitro	In vitro, SKLB610 shows selective inhibition of VEGF-stimulated human umbilical vein endothelial cells (HUVECs) proliferation, and this proliferation inhibitory effect is associated with decreased phosphorylation of VEGFR2 and p42/44 mitogen-activated protein kinase (p42/44 MAPK). SKLB610 inhibits a panel of human cancer cells proliferation in a concentration-dependent manner and human nonsmall cell lung cancer cell line A549 and human colorectal cancer cell line HCT116 are most sensitive to SKLB610 treatment. At concentration of 10μM, SKLB610 inhibits 65% FGFR2 activity and 55% PDGFRE activity, respectively. Relative to PDGFR2 and FGFR2 SKLB610 has more selective inhibition of VEGFR2 which shows 97% inhibition of VEGFR2 activity at 10μM in vitro. No inhibition of enzyme activity is detected when 10μM of SKLB610 is examined against PI3K, EGFR, Aurora-A, CDK2/cyclinE and CDK6/cyclinD3[1].				
In Vivo	In vivo, chronic intraperitoneally administration of SKLB610 at dose of 50mg/kg/d results in significant inhibition in the growth of established human A549 and HCT116 tumor xenografts in nude mice without exhibit toxicity[1].				
Solvent&Solubility	In Vitro: DMSO : 83 mg/mL (199.82 mM) Ethanol: 27 mg/mL (65.00 mM) Water: Insoluble				
		Solvent / Mass Concentration	1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.4075 mL	12.0375 mL	24.0749 mL
		5 mM	0.4815 mL	2.4075 mL	4.8150 mL
		10 mM	0.2407 mL	1.2037 mL	2.4075 mL
		50 mM	0.0481 mL	0.2407 mL	0.4815 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。				
References	[1] Cao ZX, et al. Cell Physiol Biochem. 2011, 27(5):565-74.				
实验参考:					
Animal Administration	动物实验: [1] Animal Models: Female BALB/c nude mice implanted with HCT116 and A549 cells Formulation: 25% (v/v) aqueous Cremophor EL/ethanol (50:50)				



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	Dosages: 50 mg/kg/day Administration: IP
References	[1] Cao ZX, et al. Cell Physiol Biochem. 2011, 27(5):565-74.



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