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产品名称: **SKLB4771**

产品别名: **FLT3-IN-1**

生物活性:				
Description	SKLB4771 is a novel potent and selective FLT3 inhibitor with IC50 of 10 nM; against FLT3-ITD-expressing MV4-11 cells with IC50 of 6 nM. IC50 value: 10 nM (in vitro) [1] Target: in vitro: SKLB4771 inhibited FLT3 phosphorylation in a dose-dependent manner. Consistent with the downregulation of the phosphorylation of FLT3, the phosphorylation of the downstream signaling proteins STAT5 and ERK1/2 was also significantly inhibited at concentrations >0.1 μ M. SKLB4771 potently inhibited the growth of MV4-11 cells that express FLT3-ITD, with an IC50 value of 0.006 μ M. It just exhibited very weak inhibitory activity against human T lymphoma Jurkat cells, human Burkitt's lymphoma Ramos cells, human lung cancer PC-9 and H292 cells, and human epithelial carcinoma A431 cells (IC50: 3.05 μ M, 6.25 μ M, 3.72 μ M, 6.94 μ M, and 8.91 μ M, respectively). For other leukemia and solid tumor cell lines, including K562, U937, Karpas299, HCC827, A549, H2228, H820, MDA-MB-231, BT474, MCF-7, HCT116, SW480, LoVo, HeLa, SKOV-3, SK, DU145, PC-3, A431, and SH-SY5Y [1]. in vivo: Treatment with SKLB4771 at 100 mg/kg/d resulted in rapid and complete tumor regression in all mice of this group. SKLB4771 treatment at 20 mg/kg/d and 40 mg/kg/d significantly slowed down the tumor growth; the tumor inhibition rates are 66% and 84%, respectively. Moreover, during the whole experiment, no significant weight loss or any other obvious signs of toxicity were observed for all of the SKLB4771 treated mice.			
Solvent&Solubility	In Vitro: DMSO : $\geq$ 47 mg/mL (87.42 mM) * "$\geq$" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg
		1 mM	1.8599 mL	9.2996 mL
		5 mM	0.3720 mL	1.8599 mL
		10 mM	0.1860 mL	0.9300 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]. Li WW, et al. Discovery of the novel potent and selective FLT3 inhibitor 1-{5-[7-(3-morpholinopropoxy)quinazolin-4-ylthio]-[1,3,4]thiadiazol-2-yl}-3-p-tolylurea and its anti-acute myeloid leukemia (AML) activities in vitro and in vivo. J Med Chem. 2012 Apr 26;55(8):3852-66.			