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产品名称: **PLX647**  
产品别名: **PLX647**

生物活性:				
Description	PLX647 is a highly specific dual FMS/KIT kinase inhibitor with IC50 of 28/16 nM respectively. IC50 value: 28/16 nM(FMS/KIT) [1] Target: FMS/KIT dual inhibitor in vitro: PLX647 was tested against a panel of 400 kinases at a concentration of 1 $\mu$ M, 35-fold above its FMS enzymatic IC50 and 60-fold above its KIT enzymatic IC50. In addition to FMS and KIT, the activities of only nine kinases were inhibited by more than 50%. PLX647 potently inhibits the growth of FLT3-ITD-expressing MV4-11 cells (IC50 = 0.11 $\mu$ M) but not OCI-AML5 (IC50 = 1.6 $\mu$ M), which express wild-type FLT3. PLX647 displayed minimal inhibition of the proliferation of Ba/F3 cells expressing BCR-KDR (IC50 = 5 $\mu$ M) [1]. in vivo: PLX647 (40 mg/kg) was dosed orally 4.25 h before LPS injection. Treatment with PLX647 reduced serum TNF- $\alpha$ levels by 85% compared with the vehicle control. In the same experiment, the positive control dexamethasone (0.5 mg/kg, PO) lowered the TNF- $\alpha$ levels by 96%. Treatment with 40 mg/kg PLX647 also resulted in significant inhibition of IL-6 release (75%), with similar potency to dexamethasone (70%) . In the UUO kidneys, treatment with PLX647 [40 mg/kg twice daily (BID)] resulted in reduction in the levels of F4/80+ macrophages by 77% compared with vehicle [1].			
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : <math>\geq</math> 31 mg/mL (81.07 mM)</b>  * ">" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg
		1 mM	2.6152 mL	13.0760 mL
		5 mM	0.5230 mL	2.6152 mL
		10 mM	0.2615 mL	1.3076 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用， -20℃ 储存时，请在 1 个月内使用。				
References	[1]. Zhang C, et al. Design and pharmacology of a highly specific dual FMS and KIT kinase inhibitor. Proc Natl Acad Sci U S A. 2013 Apr 2;110(14):5689-94. [2]. Louvet C, et al. Tyrosine kinase inhibitors reverse type 1 diabetes in nonobese diabetic mice. Proc Natl Acad Sci U S A. 2008 Dec 2;105(48):18895-900.			