

产品名称: **PRT-060318**

产品别名: **PRT318**

生物活性:

Description	PRT-060318 (PRT318) is a novel selective inhibitor of the tyrosine kinase Syk with an IC ₅₀ of 4 nM.				
IC ₅₀ & Target	IC50: 4 nM (Syk)[1]				
In Vitro	PRT318 is a potent inhibitor of purified Syk kinase with an IC50 of 4 nM. Syk kinase is inhibited by 92%, whereas all other kinases retains more than 70% at a concentration of 50 nM of PRT318[1]. PRT318 and P505-15 effectively antagonize CLL cell survival after B-cell receptor (BCR) triggering and in nurse-like cell-co-cultures. They inhibit BCR-dependent secretion of the chemokines CCL3 and CCL4 by CLL cells, and leukemia cell migration toward the tissue homing chemokines CXCL12, CXCL13, and beneath stromal cells. PRT318 and P505-15 inhibit Syk and extracellular signal-regulated kinase phosphorylation after BCR triggering[2].				
In Vivo	PRT318 completely inhibits HIT immune complex-induced aggregation of both human and transgenic HIT mouse platelets. Pretreatment of mice with PRT318 markedly reduces HIT IC-induced thrombosis in the lungs. The Thrombosis Score is significantly lower for PRT318-treated mice compared with control[1].				
Solvent&Solubility	In Vitro: H ₂ O : 5.6 mg/mL (16.45 mM; Need ultrasonic and warming)				
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
		1 mM	2.9375 mL	14.6877 mL	29.3755 mL
		5 mM	0.5875 mL	2.9375 mL	5.8751 mL
		10 mM	0.2938 mL	1.4688 mL	2.9375 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]. Reilly MP, et al. PRT-060318, a novel Syk inhibitor, prevents heparin-induced thrombocytopenia and thrombosis in a transgenic mouse model. Blood. 2011 Feb 17;117(7):2241-6. [2]. Hoellenriegel J, et al. Selective, novel spleen tyrosine kinase (Syk) inhibitors suppress chronic lymphocytic leukemia B-cell activation and migration. Leukemia. 2012 Jul;26(7):1576-83.				
实验参考:					
Cell Assay	PRT318 is dissolved in DMSO. Cells are incubated for 14 days in 24-well plates. CLL cells are cultured under standardized conditions on NLC or in suspension, in the presence or absence of PRT318 and P505-15. At 24, 48, 72 h, CLL cells are collected and assayed for cell viability[2].				
Animal Administration	Mice: Heparin-induced thrombocytopenia (HIT) model mice are treated with KKO (20 mg/kg body weight, intraperitoneally) on day 0. The mice are divided into sex- and weight-matched experimental and control groups. On days 1 to 7, experimental mice (n=6) receives PRT318 (30 mg/kg body weight) orally via gavage twice a day, whereas control mice (n=6) receives vehicle only (sterile water). Both groups receives heparin (1600 U/kg body weight, subcutaneously) once daily. Mice are anesthetized by isoflurane inhalation for injections and blood collections[1].				
	[1]. Reilly MP, et al. PRT-060318, a novel Syk inhibitor, prevents heparin-induced thrombocytopenia				

References	and thrombosis in a transgenic mouse model. <i>Blood</i>. 2011 Feb 17;117(7):2241-6. [2]. Hoellenriegel J, et al. Selective, novel spleen tyrosine kinase (Syk) inhibitors suppress chronic lymphocytic leukemia B-cell activation and migration. <i>Leukemia</i>. 2012 Jul;26(7):1576-83.
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源叶生物