

产品名称: RO9021

产品别名: RO9021

生物活性:					
Description	RO9021 is an orally bioavailable, novel ATP-competitive inhibitor of SYK, with an average IC50 of 5.6 nM.				
IC50 & Target	IC50: 5.6 nM (SYK)				
In Vitro	RO9021 is a highly selective SYK inhibitor with low S-scores of 0.003 for S(99) and 0.015 for S(90), indicating that SYK is the only kinase with 99% competition with RO9021 in a total of 392 tested kinases. RO9021 inhibits anti-IgM induced phosphorylation of BTK, PLCγ2, AKT and ERK, indicating that blockade of SYK kinase activity by RO9021 results in attenuation of BCR downstream signaling cascade. RO9021 selectively suppresses B-cell receptor signaling. RO9021 also displays a similar inhibitory potency (IC50=22.8±1.7 nM) in a FcεR-mediated mast cell activation and degranulation assay[1].				
Solvent&Solubility	In Vitro: DMSO : 3.03 mg/mL (8.52 mM; Need ultrasonic)				
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	2.8134 mL	14.0671 mL	28.1341 mL
		5 mM	0.5627 mL	2.8134 mL	5.6268 mL
		10 mM	---	---	---
*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]. Liao C, et al. Selective inhibition of spleen tyrosine kinase (SYK) with a novel orally bioavailable small molecule inhibitor, RO9021, impinges on various innate and adaptive immune responses: implications for SYK inhibitors in autoimmune disease therapy.				
实验参考:					
Animal Administration	Briefly, DBA1J male mice are injected intradermally with 0.1 mL bovine type II collagen (100 μg) and complete Freund's adjuvant followed by second immunization on day 21 with bovine type II collagen and incomplete Freund adjuvant. RO9021 is administered orally, randomized into different groups (14 mice/groups), every day for 14 days starting on the day after second immunization. Clinical arthritis scores (1 to 4) of individual paws are assessed and the arthritic index for each mouse is determined by adding the individual scores of all four paws. The level of cytokines in serum is determined by Luminex analysis. [1]				
Kinase Assay	The inhibitory potency to SYK is determined in a radiometric assay using inactive SYK kinase. Briefly, SYK protein is dephosphorylated by PTP1B phosphatase and then the reaction is initiated by the addition of substrate cocktail that contained 20 μM ATP, 0.025 μCi ATP-γ-33P and 10 μM biotinylated synthetic peptide. The reaction is carried out for 30 minutes and resulting 33P incorporation is determined by top counter. [1]				
References	[1]. Liao C, et al. Selective inhibition of spleen tyrosine kinase (SYK) with a novel orally bioavailable small molecule inhibitor, RO9021, impinges on various innate and adaptive immune responses: implications for SYK inhibitors in autoimmune disease therapy.				