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产品名称: **MLN1117**
 产品别名: **Serabelisib; INK1117**

生物活性:						
Description	Serabelisib (MLN1117) is a selective p110 α inhibitor with an IC ₅₀ of 15 nM.					
IC₅₀ & Target	p110 α	p110 β	p110 δ	p110 γ	mTOR	
	15 nM (IC ₅₀)	4500 nM (IC ₅₀)	13900 nM (IC ₅₀)	1900 nM (IC ₅₀)	1670 nM (IC ₅₀)	
In Vitro	Serabelisib (MLN1117) inhibits Akt phosphorylation and growth in <i>PIK3CA</i> mutant breast cancer cells with IC ₅₀ s around 2 μ M, yet has no effect on cells lacking <i>PTEN</i> . BCR-stimulated B cells treated with 1 μ M Serabelisib (MLN1117) displays a significant reduction (up to 50%) in the magnitude of the phosphorylated Akt (p-Akt) signal measured by intracellular flow cytometry. The effect of Serabelisib is dose-dependent ^[1] .					
In Vivo	Treatment with Serabelisib (MLN1117) at 30 and 60 mg/kg causes little reduction of TNP-specific IgG3. Notably, reduction of TNP-specific IgG3 at higher doses of Serabelisib (MLN1117) (120 mg/kg) is observed, consistent with the partial reduction in cell division in B cells treated with Serabelisib before anti-IgM stimulation. However, 120 mg/kg is above the effective dose of Serabelisib (MLN1117) for tumor growth inhibition (30-60 mg/kg)[1].					
Solvent&Solubility	In Vitro: DMSO : 6.4 mg/mL (17.61 mM; Need ultrasonic and warming)					
	Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
		Concentration				
		1 mM		2.7520 mL	13.7601 mL	27.5202 mL
		5 mM		0.5504 mL	2.7520 mL	5.5040 mL
10 mM		0.2752 mL	1.3760 mL	2.7520 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]. So L, et al. Selective inhibition of phosphoinositide 3-kinase p110 α preserves lymphocyte function. J Biol Chem. 2013 Feb 22;288(8):5718-31.					
实验参考:						
Cell Assay	A total of 5000 SK-OV-3 and U87MG cell lines/well in low serum media (0.2% FBS) are seeded in triplicate wells of a 96-well flat bottom culture plate for 18 h to adhere. Media is aspirated and inhibitors in 0.2% FBS media are added to each well at the indicated concentrations. After 48 h, cell viability is determined using the MTS assay (Cell Titer 96 Aqueous One solution cell proliferation assay kit) with absorbance (490 nm) measured in a microplate spectrophotometer[1].					
	Mice[1] Wild-type 8-week-old Balb/cJ mice are used for all experiments. Serabelisib and GDC-0941 are given by oral gavage using a sterile disposable 20-gauge 1.5' feeding needle. IC87114 is delivered via intraperitoneal injection. For the non-immunization experiment, 2 mice per group (Vehicle, GDC-0941, and Serabelisib (MLN1117)) are given the indicated drugs for 9 days before					



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Animal Administration	sacrificing on day 10. For the immunization experiment, 4 mice per group are used to perform two independent studies comparing GDC-0941 or IC87114 to Serabelisib (MLN1117). In all cases, the vehicle group receive both vehicles used to formulate the two different drugs. Mice are treated with the drugs throughout day -1 to day 13. On day 0, all mice are immunized with NP-OVA precipitated in alum. Drug treatment is stopped on day 13 and mice are sacrificed for collection of serum and spleens.
References	[1]. So L, et al. Selective inhibition of phosphoinositide 3-kinase p110 α preserves lymphocyte function. J Biol Chem. 2013 Feb 22;288(8):5718-31.



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