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产品名称: **Centrinone-B**  
产品别名: **LCR-323**

生物活性:						
Description	Centrinone-B (LCR-323) is a potent and highly selective PLK4 inhibitor, with a $K_i$ of 0.59 nM.					
IC <sub>50</sub> & Target	PLK4	PLK4 (G95L)	Aurora A	Aurora B		
	0.59 nM (Ki)	497.53 nM (Ki)	1239 nM (Ki)	5597.14 nM (Ki)		
In Vitro	Centrinone-B (LCR-323) is a potent and highly selective PLK4 inhibitor, with a $K_i$ of 0.59 nM. Centrinone-B slightly binds to Aurora A and Aurora B, with $K_{is}$ of 1239 nM and 5597.14 nM. Centrinone-B (LCR-323) exhibits >1000-fold selectivity for Plk4 over Aurora A/B in vitro and does not affect cellular Aurora A or B substrate phosphorylation at concentrations that deplete centrosomes[1]. Centrinone-B (LCR-323) (0-200 nM) significantly decreases cell viability of PLK4-centriole conjunction melanoma cell lines except p53 mutant SK-MEL-28, and this effect is via inhibition of PLK4. Inhibition of PLK4 by Centrinone-B (LCR-323) also induces apoptosis in human melanoma cell lines[2].					
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : 25 mg/mL (39.58 mM; Need ultrasonic)</b> <b>H<sub>2</sub>O : &lt; 0.1 mg/mL (insoluble)</b>					
	Preparing Stock Solutions	<div>Solvent Mass Concentration</div>	1 mg	5 mg	10 mg	
		1 mM	1.5831 mL	7.9155 mL	15.8311 mL	
		5 mM	0.3166 mL	1.5831 mL	3.1662 mL	
		10 mM	0.1583 mL	0.7916 mL	1.5831 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。 <b>In Vivo:</b> 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂: ——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (3.96 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (3.96 mM)的均匀悬浊液, 悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。 2.请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (3.96 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.96 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的					



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	<p>实验。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p>
<b>References</b>	<p>[1]. Wong YL, et al. Cell biology. Reversible centriole depletion with an inhibitor of Polo-like kinase 4. Science. 2015 Jun 5;348(6239):1155-60.</p> <p>[2]. Denu RA, et al. Centriole Overduplication is the Predominant Mechanism Leading to Centrosome Amplification in Melanoma. Mol Cancer Res. 2018 Jan 12.</p>
<b>实验参考:</b>	
<b>Cell Assay</b>	<p>The effect of centrinone B on melanoma cell line and normal melanocyte viability is determined using the CytoTox-Glo assay. Briefly, cells are counted and plated in a 96-well plate and next day, treated with centrinone B for 48 hours, followed by incubation for 15 min with AAF-Glo substrate (alanyl-alanylphenylalanyl-aminoluciferin), which determines a distinct intracellular protease activity related with cytotoxicity (dead-cell protease) via a luminescent signal. Cell viability is determined by subtracting the luminescent signals of dead cells (due to centrinone B) from total dead cells (after addition of digitonin to lyse remaining viable cells). Data are represented as relative light units (RLU) for viable cells<sup>[2]</sup>.</p>
<b>Kinase Assay</b>	<p>All kinase assays are performed in white 384-well plates. Plk4 assays use equal volumes of: (1) purified 6xHis-tagged human Plk4 kinase domain (aa 2-275) (expressed in E. coli and purified via Ni-NTA affinity chromatography) in 20 mM Tris pH 7.5, 100 mM NaCl, 10% glycerol, 1 mM DTT; (2) 2X reaction buffer consisting of 50 mM HEPES pH 8.5, 20 mM MgCl<sub>2</sub>, 1 mM DTT, 0.2 mg/mL BSA, 16 <math>\mu</math>M ATP, and 200 <math>\mu</math>M A-A11 substrate (amino acid sequence: TPSDSLIYDDGLS). The Plk4 concentration in the final reaction is 2.5-10 nM with a final pH of 8.0. Inhibitors arrayed in dose response are added from DMSO stocks.</p> <p>Reactions are allowed to proceed for 4-16 hours at 25°C. Detection is performed using ADP-Glo reagent. Luminescence is measured on an Infinite M1000 plate reader. Data are fit using Prism and K<sub>s</sub> are calculated from IC<sub>50</sub> data<sup>[1]</sup>.</p>
<b>References</b>	<p>[1]. Wong YL, et al. Cell biology. Reversible centriole depletion with an inhibitor of Polo-like kinase 4. Science. 2015 Jun 5;348(6239):1155-60.</p> <p>[2]. Denu RA, et al. Centriole Overduplication is the Predominant Mechanism Leading to Centrosome Amplification in Melanoma. Mol Cancer Res. 2018 Jan 12.</p>