



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **Centrinone**
产品别名: **LCR-263**

生物活性:				
Description	Centrinone (LCR-263) is a selective and reversible inhibitor of polo-like kinase 4 (PLK4) with a K_i of 0.16 nM.			
IC ₅₀ & Target	PLK4	PLK4 (G95L)	Aurora A	Aurora B
	0.16 nM (Ki)	68.57 nM (Ki)	171 nM (Ki)	436.76 nM (Ki)
In Vitro	Centrinone (LCR-263) exhibits more than 1000-fold selectivity for Plk4 over Aurora A/B and does not affect cellular Aurora A or B substrate phosphorylation at concentrations that deplete centrosomes. Centrinone (LCR-263) treatment of HeLa human cervical carcinoma cells leads to a progressive reduction in foci containing centriolar and pericentriolar material markers at each round of cell division, until most cells lack centrioles and centrosomes. Treatment with Centrinone (LCR-263) reduces centriole number in multiciliated <i>Xenopus</i> epithelial cells, which indicates that Plk4 also controls centriole amplification in differentiated cells. Centrinone (LCR-263) treatment causes centrosome depletion in human and other vertebrate cells. Centrosome loss irreversibly arrests normal cells in a senescence-like G1 state by a p53-dependent mechanism that is independent of DNA damage, stress, Hippo signaling, extends mitotic duration, or segregation errors ^[1] .			
Solvent&Solubility	In Vitro: DMSO : ≥ 31 mg/mL (48.92 mM) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg
		1 mM	1.5782 mL	7.8908 mL
		5 mM	0.3156 mL	1.5782 mL
		10 mM	0.1578 mL	0.7891 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。 In Vivo: 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→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (3.95 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.95 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀，向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。			



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

	<p>2.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (3.95 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (3.95 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实验。</p> <p>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 玉米油中, 混合均匀。</p>
References	<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>
实验参考:	
Cell Assay	<p>For each condition, cells are seeded in triplicate into 6-well plates at 50,000 cells/well. 125 nM Centrinone (LCR-263) is added to HeLa cells or 300 nM is added to NIH/3T3 cells. At 24-hour intervals, 3 wells are harvested per condition. Cell counting is performed using a TC10 automated cell counter[1].</p>
Kinase Assay	<p>Purified 6xHis-tagged human Plk4 kinase domain is in 20 mM Tris pH 7.5, 100 mM NaCl, 10% glycerol, 1 mM DTT. 2X reaction buffer consists of 50 mM HEPES pH 8.5, 20 mM $MgCl_2$, 1 mM DTT, 0.2 mg/mL BSA, 16 μM ATP, and 200 μM A-A11 substrate. The Plk4 concentration in the final reaction is 2.5-10 nM with a final pH of 8.0. Inhibitors (Centrinone) array in dose response are added from DMSO stocks. Reactions are allowed to proceed for 4-16 hours at 25°C. Detection is performed using ADP-Glo reagent. Luminescence is measured on an plate reader[1].</p>
References	<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>

源叶生物