



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](http://www.shyuanye.com)  
邮箱: [shyysw@sina.com](mailto:shyysw@sina.com)

产品名称: **Lys01 (trihydrochloride)**

产品别名: **Lys05**

生物活性:				
Description	Lys01 trihydrochloride (Lys05) is a novel lysosomal autophagy inhibitor with IC <sub>50</sub> values of 3.6, 3.8, 6 and 7.9 $\mu$ M for 1205Lu, c8161, LN229 and HT-29 cell line in the MTT assay.			
IC <sub>50</sub> & Target	IC <sub>50</sub> : 3.6 $\mu$ M (1205Lu), 3.8 $\mu$ M (c8161), 6 $\mu$ M (LN229), 7.9 $\mu$ M (HT-29)[1]			
In Vitro	Lys01, is a 10-fold more potent autophagy inhibitor than HCQ. Compared with HCQ, Lys05, a water-soluble salt of Lys01, more potently accumulates within and deacidifies the lysosome. Lys01 and lys01 trihydrochloride produce equivalent dose-dependent increases in the LC3II/LC3I ratio, accumulation of the autophagy cargo protein p62, and identical IC <sub>50</sub> values in the MTT assay <sup>[1]</sup> .			
In Vivo	With this high-dose, short-term treatment, no mice die, but after 2 d of dosing, mice treated with lys01 trihydrochloride 76 mg/kg i.p. are observed to have arched backs and lethargy. Morphologically, EM show that cells with intact nuclear and cytoplasmic membranes contain large AVs in lys01 trihydrochloride-treated tumors. Tumor growth is significantly impaired in lys01 trihydrochloride-treated tumors compared with controls. Lys01 trihydrochloride treatment results in a 53% reduction in the average daily tumor growth rate compared with vehicle-treated controls. A significant three- and six-fold accumulation of AV is observed at the end of 14 d of treatment in HCQ- and lys01 trihydrochloride-treated tumors, respectively, compared with control-treated tumors[1].			
Solvent&Solubility	<b>In Vitro:</b> DMSO : 75 mg/mL (136.43 mM; Need ultrasonic) H <sub>2</sub> O : 6.4 mg/mL (11.64 mM; Need ultrasonic)			
	<div>Preparing Stock Solutions</div>	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg
		1 mM	1.8190 mL	9.0950 mL
		5 mM	0.3638 mL	1.8190 mL
		10 mM	0.1819 mL	0.9095 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。 <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→40% PEG300 →5% Tween-80 → 45% saline Solubility: $\geq$ 7.5 mg/mL (13.64 mM); Clear solution 此方案可获得 $\geq$ 7.5 mg/mL (13.64 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 $\mu$ L 75.0 mg/mL 的澄清 DMSO 储备液加到 400 $\mu$ L PEG300 中，混合均匀。			



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	<p>向上述体系中加入 50 <math>\mu</math>L Tween-80, 混合均匀; 然后继续加入 450 <math>\mu</math>L 生理盐水定容至 1 mL。</p> <p>2.请依序添加每种溶剂: 10% DMSO<math>\rightarrow</math> 90% (20% SBE-<math>\beta</math>-CD in saline)</p> <p>Solubility: <math>\geq 7.5</math> mg/mL (13.64 mM); Clear solution</p> <p>此方案可获得 <math>\geq 7.5</math> mg/mL (13.64 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 75.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math>90% corn oil</p> <p>Solubility: <math>\geq 7.5</math> mg/mL (13.64 mM); Clear solution</p> <p>此方案可获得 <math>\geq 7.5</math> mg/mL (13.64 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 <math>\mu</math>L 75.0 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>
References	[1]. McAfee Q, et al. Autophagy inhibitor Lys05 has single-agent antitumor activity and reproduces the phenotype of a genetic autophagy deficiency. Proc Natl Acad Sci U S A. 2012 May 22;109(21):8253-8.
实验参考:	
Cell Assay	1205Lu, c8161, LN229 and HT-29 cells are treated with lys01 trihydrochloride (0, 0.01, 0.1, 1, and 10 $\mu$ M) or Lys01 (0, 0.01, 0.1, 1, and 10 $\mu$ M) in five replicates for 72 h. The Acid Phosphatase Assay kit is used for the MTT assay[1].
Animal Administration	Mice: To investigate the safety of lys01 trihydrochloride and its in vivo effects on autophagy, c8161 xenografts matched for tumor size are treated with i.p. daily PBS, or equimolar doses of HCQ or lys01 trihydrochloride [HCQ 60 mg/kg (138 nM/g), lys01 trihydrochloride 76 mg/kg (138 nM/g)] for 48 h[1].
References	[1]. McAfee Q, et al. Autophagy inhibitor Lys05 has single-agent antitumor activity and reproduces the phenotype of a genetic autophagy deficiency. Proc Natl Acad Sci U S A. 2012 May 22;109(21):8253-8.