

产品名称：DBeQ  
产品别名：DBeQ

生物活性:				
Description	DBeQ is a selective, potent, reversible, and ATP-competitive <b>p97</b> inhibitor, with an <b>IC<sub>50</sub></b> value of 1.5 $\mu$ M and 1.6 $\mu$ M for p97(wt) and p97(C522A), respectively; DBeQ also inhibits <b>Vps4</b> with an <b>IC<sub>50</sub></b> of 11.5 $\mu$ M.			
IC <sub>50</sub> & Target	IC50: 1.5 $\mu$ M (p97)[1], 11.5 $\mu$ M (Vps4)[2]			
In Vitro	DBeQ is a ATP-competitive p97 inhibitor, with an IC50 value of 1.5 $\mu$ M and 1.6 $\mu$ M for p97(wt) and p97(C522A), respectively. DBeQ inhibits p97 competitively with respect to ATP, with a Ki of 3.2 $\pm$ 0.4 $\mu$ M. DBeQ inhibits degradation of the p97-dependent substrate UbG76V-GFP, with IC50 value of 2.6 $\mu$ M. DBeQ (10 $\mu$ M) also significantly suppresses degradation of TCR $\alpha$ -GFP, induces CHOP but does not increase p21 level. Moreover, DBeQ inhibits the viability of MRC-5, Hek293, HeLa and RPMI8226 cells, with GI50s of 6.6 $\pm$ 2.9, 4 $\pm$ 0.6, 3.1 $\pm$ 0.5 and 1.2 $\pm$ 0.3, respectively[1]. DBeQ potently inhibits the AAA ATPase p97 by specifically binding to the ATPase site of its D2 domain (p97D2). DBeQ also inhibits Vps4, with an IC50 of 11.5 $\mu$ M. Furthermore, DBeQ (30 $\mu$ M) inhibits hyphal growth of the wild-type cell (strain YLZ0)[2].			
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : <math>\geq</math> 47 mg/mL (138.06 mM)</b>  * " $\geq$ " means soluble, but saturation unknown.			
	Preparing Stock Solutions	<div>SolventMassConcentration</div>	1 mg	5 mg
		1 mM	2.9375 mL	14.6877 mL
		5 mM	0.5875 mL	2.9375 mL
		10 mM	0.2938 mL	1.4688 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 <b>In Vitro</b> 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: $\geq$ 2.5 mg/mL (7.34 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (7.34 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 $\mu$ L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 $\mu$ L PEG300 中，混合均匀 向上述体系中加入 50 $\mu$ L Tween-80，混合均匀；然后继续加入 450 $\mu$ L 生理盐水定容至 1 mL。			
	2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: $\geq$ 2.5 mg/mL (7.34 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (7.34 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			

	<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>
References	<p>[1]. <a href="#">Chou TF, et al.Reversible inhibitor of p97, DBeQ, impairs both ubiquitin-dependent and autophagic protein clearance pathways. Proc Natl Acad Sci U S A. 2011 Mar 22;108(12):4834-9.</a></p> <p>[2]. <a href="#">Zhang Y, et al. The AAA ATPase Vps4 Plays Important Roles in Candida albicans Hyphal Formation and is Inhibited by DBeQ. Mycopathologia. 2016 Jun;181(5-6):329-39.</a></p>
实验参考：	
Cell Assay	<p>Cells are seeded on a 384-well solid white plate (5,000 cells/well). Cells are transfected with luciferase siRNA or p97 siRNA (10 nM) for 48 h or treated with DBeQ for the indicated amount of time. Caspase-3/7 Glo, caspase-6 Glo, caspase-8 Glo, or caspase-9 Glo is added into each well and mixed by shaking at 500 rpm for 1 min. Luminescence signal is determined after incubation at room temperature for 1 h. Cellular viability is determined with CellTiter-Glo reagent. To determine the IC50 of cellular viability, cells are treated with MG132 or DBeQ at seven concentrations (threefold serial dilutions starting at 33 <math>\mu</math>M) for 48 h. IC50 values are calculated from fitting the percentage of luminescence signal normalized to DMSO treated cells)[1].</p>
References	<p>[1]. <a href="#">Chou TF, et al.Reversible inhibitor of p97, DBeQ, impairs both ubiquitin-dependent and autophagic protein clearance pathways. Proc Natl Acad Sci U S A. 2011 Mar 22;108(12):4834-9.</a></p> <p>[2]. <a href="#">Zhang Y, et al. The AAA ATPase Vps4 Plays Important Roles in Candida albicans Hyphal Formation and is Inhibited by DBeQ. Mycopathologia. 2016 Jun;181(5-6):329-39.</a></p>

源叶生物