

产品名称:

(E)-4-(3-((5-(4-Nitrophenyl)furan-2-yl)methylene)-2-oxo-5-phenyl-2,3-dihydro-1H-pyrrol-1-yl)benzoic

产品别名: **4E1RCat**

生物活性:																									
Description	4E1RCat is an inhibitor of cap-dependent translation, and inhibits eIF4E:eIF4GI interaction, with an IC ₅₀ of ~4 μM.																								
IC₅₀ & Target	IC ₅₀ : ~4 μM (eIF4E/eIF4G)[1]																								
In Vitro	4E1RCat is an inhibitor of eIF4E:eIF4GI interaction, with an IC ₅₀ of ~4 μM. 4E1RCat binding to eIF4E also interferes with eIF4G and 4E-BP binding. 4E1RCat inhibits ribosome recruitment to mRNA in a cap-dependent manner[1]. 4E1RCat blocks the capped mRNA translation, and the translation is activated by CDK1/CYCB1. Nearly all new protein synthesis in both mitosis and interphase is cap-dependent and -sensitive to 4E1RCat treatment, in HeLa and U2OS cells[2].																								
In Vivo	4E1RCat (15 mg/kg, i.p.) affects chemosensitivity of Pten ^{+/+} -Eμ-Myc tumors in mice. 4E1RCat (15 mg/kg, i.p.) sensitizes Pten ^{+/+} -Eμ-Myc and Tsc2 ^{+/+} -Eμ-Myc lymphomas to the cytotoxic effects of doxorubicin (Dxr), and 4E1RCat targets translation in mice[1].																								
Solvent&Solubility	In Vitro: DMSO : 5.4 mg/mL (11.29 mM; Need warming)																								
	<table border="1"><thead><tr><th rowspan="2">Preparing</th><th>Solvent</th><th>Mass</th><th rowspan="2">1 mg</th><th rowspan="2">5 mg</th><th rowspan="2">10 mg</th></tr><tr><th>Concentration</th><th></th></tr></thead><tbody><tr><td rowspan="3">Stock Solutions</td><td></td><td>1 mM</td><td>2.0901 mL</td><td>10.4504 mL</td><td>20.9008 mL</td></tr><tr><td></td><td>5 mM</td><td>0.4180 mL</td><td>2.0901 mL</td><td>4.1802 mL</td></tr><tr><td></td><td>10 mM</td><td>0.2090 mL</td><td>1.0450 mL</td><td>2.0901 mL</td></tr></tbody></table>	Preparing	Solvent	Mass	1 mg	5 mg	10 mg	Concentration		Stock Solutions		1 mM	2.0901 mL	10.4504 mL	20.9008 mL		5 mM	0.4180 mL	2.0901 mL	4.1802 mL		10 mM	0.2090 mL	1.0450 mL	2.0901 mL
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*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]. Cencic R, et al. Reversing chemoresistance by small molecule inhibition of the translation initiation complex eIF4F. Proc Natl Acad Sci U S A. 2011 Jan 18;108(3):1046-51. [2]. Shuda M, et al. CDK1 substitutes for mTOR kinase to activate mitotic cap-dependent protein translation. Proc Natl Acad Sci U S A. 2015 May 12;112(19):5875-82.																								
实验参考:																									
Cell Assay	TSC2 ^{+/+} -Eμ-Myc and Eμ-Myc lymphomas are seeded in 96-well plates at 10 ⁶ cells/mL in the presence of increasing concentrations of doxorubicin (Dxr) (ranging from 3.9 nM to 250 nM) and 4E1RCat (ranging from 78.13 nM to 10 000 nM) at a constant ratio of either 20:1 or 40:1. Twenty four hours later, a MTS assay is performed. To this end, Cell Proliferation Assay is added to the plates and the plates further incubated for up to 3 h, followed by measuring the OD ₄₉₀ . Values obtained are standardized against DMSO controls[1].																								
	Mice[1] One million secondary Pten ^{+/+} -Eμ-Myc, Tsc2 ^{+/+} -Eμ-Myc, or Eμ-Myc lymphoma cells are injected into the tail vein of 6-8 week old female C57BL/6 mice. When tumors are palpable, mice are treated with																								

Animal Administration	rapamycin (4 mg/kg daily for 5 d), 4E1RCat (15 mg/kg daily for 5 d), or doxorubicin (once at 10 mg/kg). Compounds are administered via intraperitoneal (i.p.) injection in 5.2% PEG 400/ 5.2% Tween 80. For combination studies, rapamycin or 4E1RCat are injected i.p. daily for five consecutive days, with doxorubicin being administered once on day two. Animals are palpated daily to monitor for the onset of tumors. Tumor-free survival is defined as the time between disappearance and reappearance of tumors. Data is analyzed using the log-rank test for statistical significance presented in Kaplan-Meier format[1].
References	[1]. Cencic R, et al. Reversing chemoresistance by small molecule inhibition of the translation initiation complex eIF4F. Proc Natl Acad Sci U S A. 2011 Jan 18;108(3):1046-51. [2]. Shuda M, et al. CDK1 substitutes for mTOR kinase to activate mitotic cap-dependent protein translation. Proc Natl Acad Sci U S A. 2015 May 12;112(19):5875-82.



源叶生物