



上海源叶生物科技有限公司
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产品名称: **Tubacin**
产品别名: **Tubacin**

生物活性:					
Description	Tubacin is a potent and selective inhibitor of HDAC6, with an IC ₅₀ value of 4 nM and approximately 350-fold selectivity over HDAC1.				
IC ₅₀ & Target	HDAC6	HDAC3	HDAC8	HDAC1	HDAC5
	4 nM (IC ₅₀)	1.27 μ M (IC ₅₀)	1.27 μ M (IC ₅₀)	1.40 μ M (IC ₅₀)	3.35 μ M (IC ₅₀)
	HDAC10	HDAC11	HDAC9	HDAC2	HDAC7
	3.71 μ M (IC ₅₀)	3.79 μ M (IC ₅₀)	4.31 μ M (IC ₅₀)	6.27 μ M (IC ₅₀)	9.70 μ M (IC ₅₀)
	HDAC4				
	17.30 μ M (IC ₅₀)				
In Vitro	Tubacin preferentially induces α -tubulin hyperacetylation at a concentration of 2.5 μ M, and induces α -tubulin acetylation at 5 μ M and protects prostate cancer (LNCaP) cells from hydrogen peroxide-induced death at 8 μ M via peroxiredoxin acetylation[1]. Tubacin (2.5 and 5 μ M) specifically induces acetylation of α -tubulin in MM cells. Tubacin significantly inhibits both drug-sensitive and drug-resistant MM cell growth, with IC ₅₀ 5-20 μ M at 72 h. Tubacin also induces apoptosis by activation of caspases. Moreover, Tubacin inhibits binding of HDAC6 with dynein, and it induces significant accumulation of polyubiquitinated proteins, when combined with bortezomib. Tubacin and bortezomib induce synergistic antitumor activity in MM cell lines, and inhibits paracrine MM Cell Growth. Tubacin (5 μ M) synergistically enhances bortezomib-induced cytotoxicity in patient MM cells without cytotoxicity to PBMCs[2]. Tubacin can concentration-dependently inhibits JEV-induced cytopathic effect and apoptosis, as well as reduces virus yield in human cerebellar medulloblastoma cells. The IC ₅₀ of virus yield is 0.26 μ M for Tubacin. Tubacin also meaningfully blocks the production of intracellular infectious virus particles, with an IC ₅₀ of 1.52 μ M. Tubacin induces the hyperacetylation of a HDAC6 substrate Hsp90 and reduces the interaction of Hsp90 with JEV NS5 protein[3].				
In Vivo:	DMSO : \geq 100 mg/mL (138.53 mM)				
	H₂O : < 0.1 mg/mL (insoluble)				
	* " \geq " means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	1.3853 mL	6.9266 mL	13.8531 mL
		5 mM	0.2771 mL	1.3853 mL	2.7706 mL
		10 mM	0.1385 mL	0.6927 mL	1.3853 mL
In Vivo:	*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。				



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Solvent&Solubility	<p>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：</p> <p>——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</p> <p>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.5 mg/mL (3.46 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (3.46 mM, 饱和度未知) 的澄清溶液。</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 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。</p> <p>2.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (3.46 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (3.46 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]. Butler KV, et al. Rational design and simple chemistry yield a superior, neuroprotective HDAC6 inhibitor, tubastatin A. J Am Chem Soc. 2010 Aug 11;132(31):10842-6.</p> <p>[2]. Hideshima T, et al. Small-molecule inhibition of proteasome and aggresome function induces synergistic antitumor activity in multiple myeloma. Proc Natl Acad Sci U S A. 2005 Jun 14;102(24):8567-72. Epub 2005 Jun 3.</p> <p>[3]. Lu CY, et al. Tubacin, an HDAC6 Selective Inhibitor, Reduces the Replication of the Japanese Encephalitis Virus via the Decrease of Viral RNA Synthesis. Int J Mol Sci. 2017 May 1;18(5).</p>
实验参考：	
Cell Assay	<p>HDAC inhibitors TSA, VPA, tubacin, and TBSA are used in the assay. Cytotoxicity of HDACi to TE671 and BHK-21 cells is evaluated by MTT (3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide) assay. 5×10^4 cells per well are seeded in 96-well plates and then treated with the indicated concentration of each HDACi. After 48-h of treatment, 25 μL of MTT solution (5 mg/mL) is added to each well and incubated at 37 °C with 5% CO₂ for 3 h. After three washings with phosphate buffer saline (PBS), 100 μL DMSO is added into each well for dissolving formazan crystals. OD570–630 is measured by micro-ELISA reader and survival rate are calculated to indicate suppressive effects of each HDACi on the survival of TE671 and BHK-21 cells. Survival rate (%) = $((A_{\text{control}} - A_{\text{experiment}})/A_{\text{control}}) \times 100\%$. 50% cytotoxic concentration (CC₅₀) values are calculated by computer program[3].</p>
References	<p>[1]. Butler KV, et al. Rational design and simple chemistry yield a superior, neuroprotective HDAC6 inhibitor, tubastatin A. J Am Chem Soc. 2010 Aug 11;132(31):10842-6.</p> <p>[2]. Hideshima T, et al. Small-molecule inhibition of proteasome and aggresome function induces synergistic antitumor activity in multiple myeloma. Proc Natl Acad Sci U S A. 2005 Jun 14;102(24):8567-72. Epub 2005 Jun 3.</p> <p>[3]. Lu CY, et al. Tubacin, an HDAC6 Selective Inhibitor, Reduces the Replication of the Japanese Encephalitis Virus via the Decrease of Viral RNA Synthesis. Int J Mol Sci. 2017 May 1;18(5).</p>