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产品名称: **RA190**
产品别名: **RA190**

生物活性:				
Description	RA190, a bis-benzylidene piperidone, inhibits proteasome function by covalently binding to cysteine 88 of ubiquitin receptor RPN13.			
IC ₅₀ & Target	IC ₅₀ : 0.15 μ M (HeLa cell)			
In Vitro	RA190 covalently binds to cysteine 88 of ubiquitin receptor RPN13 in the 19S regulatory particle and inhibits proteasome function, triggering rapid accumulation of polyubiquitinated proteins. Multiple myeloma (MM) lines, even those resistant to bortezomib, are sensitive to RA190 via endoplasmic reticulum stress-related apoptosis. RA190 stabilizes targets of human papillomavirus (HPV) E6 oncoprotein, and preferentially kills HPV-transformed cells ^[1] .			
In Vivo	RA190 distributes to plasma and major organs excepting brain, and inhibits proteasome function in skin and muscle. RA190 administration profoundly reduces growth of multiple myeloma and ovarian cancer xenografts, and oral RA190 treatment retards HPV16 ⁺ syngeneic mouse tumor growth, without impacting spontaneous HPV-specific CD8 ⁺ T cell responses ^[1] .			
Solvent&Solubility	In Vitro: DMSO : $\geq$ 100 mg/mL (167.57 mM) H ₂ O : < 0.1 mg/mL (insoluble) * " $\geq$ " means soluble, but saturation unknown.			
		Solvent / Mass Concentration	1 mg	5 mg
	Preparing	1 mM	1.6757 mL	8.3786 mL
	Stock Solutions	5 mM	0.3351 mL	1.6757 mL
		10 mM	0.1676 mL	0.8379 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°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。 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: $\geq$ 2.38 mg/mL (3.99 mM); Clear solution 此方案可获得 $\geq$ 2.38 mg/mL (3.99 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 23.800001 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中, 混合均匀; 向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 生理盐水定容至 1 mL。			



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References	[1]. Anchoori RK, et al. A bis-benzylidene piperidone targeting proteasome ubiquitin receptor RPN13/ADRM1 as a therapy for cancer. Cancer Cell. 2013 Dec 9;24(6):791-805.
实验参考:	
Cell Assay	RA190 is dissolved in DMSO and diluted with cell culture medium. HeLa cells are treated with RA190 (0.2, 0.4, 0.6, 0.8, 1, 2, 3, 4, 5 μ M) for 48 hr. Cell viability is assayed using commercial kit[1].
Animal Administration	Mice: Mice are divided into two groups and treated daily i.p. with RA190 (10 mg/kg) or vehicle, and imaged again on day 7 and day 14. NOG mice (5 per group) are inoculated with 1×10^6 NCI-H929-GFP-Luc cells i.v., and after 4 weeks, mice are imaged for their luciferase activity and divided into two groups. Mice are treated i.p. with RA190 (20 mg/kg) or vehicle, and imaged again at the end of the treatment for their luciferase activity[1].
References	[1]. Anchoori RK, et al. A bis-benzylidene piperidone targeting proteasome ubiquitin receptor RPN13/ADRM1 as a therapy for cancer. Cancer Cell. 2013 Dec 9;24(6):791-805.

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