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产品名称: **AP1903**
产品别名: **Rimiducid**

生物活性:				
Description	Rimiducid (AP1903) is a dimerizer agent that acts by cross-linking the FKBP domains. Rimiducid (AP1903) dimerizes the Caspase 9 suicide switch and rapidly induces apoptosis.			
IC ₅₀ & Target	EC ₅₀ : 0.1 nM (FKBP, in HT1080 cells) ^[1] Fas receptor ^[1]			
In Vitro	The human fibrosarcoma line HT1080 is engineered to express stably a fusion protein comprising a myristoylation sequence, two copies of F36V-FKBP, and the human first apoptosis signal (Fas) intracellular domain. Rimiducid (AP1903) elicits potent and dose-dependent apoptotic death of these engineered cells in culture, with an EC ₅₀ of ≈0.1 nM ^[1] . Maximal killing occurred in the presence of 3 to 10 nM Rimiducid (AP1903), and the IC ₅₀ is approximately 0.2 nM. LV ⁺ Fas-transduced T lymphocytes expressing high levels of CD25 (top panel) are eliminated by with 66%±7.5% (n=10) efficiency. When cells are examined after CD25 expression returned to basal levels, 63%±4.7% (n=9) killing is observed after Rimiducid treatment ^[2] .			
In Vivo	Rimiducid (AP1903; i.v., 0.01, 0.1, 1, 10, and 100 mg/kg) elicits a dose-dependent decrease in serum human GH levels, with a half-maximal effective dose of 0.4±0.1 mg/kg ^[1] .			
Solvent&Solubility	In Vitro: DMSO : 50 mg/mL (35.42 mM; Need ultrasonic)			
	Preparing Stock Solutions	Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
		1 mM	0.7084 mL	3.5420 mL
		5 mM	0.1417 mL	0.7084 mL
		10 mM	0.0708 mL	0.3542 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: ≥ 2.5 mg/mL (1.77 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (1.77 mM, 饱和度未知) 的澄清溶液。 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。 2.请依序添加每种溶剂: 10% DMSO →90% corn oil			



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	Solubility: ≥ 2.5 mg/mL (1.77 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (1.77 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。 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。
References	[1]. Clackson T, et al. Redesigning an FKBP-ligand interface to generate chemical dimerizers with novel specificity. Proc Natl Acad Sci U S A. 1998 Sep 1;95(18):10437-42. [2]. Thomis DC, et al. A Fas-based suicide switch in human T cells for the treatment of graft-versus-host disease. Blood. 2001 Mar 1;97(5):1249-57.
实验参考:	
Cell Assay	Cloned HT1080 cell lines (ATCC CCL-121) retrovirally transduced with Fas constructs are prepared. Cell viability after overnight incubation with Rimiducid (0.01 nM, 0.1 nM, 1 nM, 10 nM, 100 nM, 1000 nM) is measured by Alamar Blue assay^[1]. For annexin V assays, sorted LVVFas-transduced T cells (2×10^6 cells/mL) are incubated with 10 nM Rimiducid. Analyzed by flow cytometry^[2].
Animal Administration	Mice^[1] Male <i>nu/nu</i> mice are used. For injection, HTFasGH-3 cells are harvested from tissue culture dishes in PBS/0.1% glucose/10 mM EDTA, washed, and resuspended in PBS/0.1% BSA/0.1% glucose at a concentration of 2×10^7 cells/mL. Between 2 and 4×10^6 cells are implanted into two i.m. sites. After 24 h, mice are administered i.v. Rimiducid at 2 mL/kg. After a further 24 h mice are killed and serum human GH concentrations are determined by ELISA.
References	[1]. Clackson T, et al. Redesigning an FKBP-ligand interface to generate chemical dimerizers with novel specificity. Proc Natl Acad Sci U S A. 1998 Sep 1;95(18):10437-42. [2]. Thomis DC, et al. A Fas-based suicide switch in human T cells for the treatment of graft-versus-host disease. Blood. 2001 Mar 1;97(5):1249-57.

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