



上海源叶生物科技有限公司
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产品名称: 乙丙昔罗
产品别名: **Efaproxiral; RSR13**

生物活性:

Description	Efaproxiral is a haemoglobin (Hb) synthetic allosteric modifier, decreases Hb-oxygen (O2) binding affinity and enhances oxygenation of hypoxic tumours during radiation therapy [1].				
IC ₅₀ & Target	haemoglobin (Hb) ^[1]				
In Vitro	Efaproxiral binds to only one pair of symmetry-related sites in the Hb central water cavity[2]. Efaproxiral readily crosses the red cell membrane in the presence of serum albumin solutions[2]. Efaproxiral is not inhibited from entering erythrocytes in the presence of an anion-channel blocking agent (DIDS)[2].				
In Vivo	Efaproxiral (150 mg/kg, i.p.) increase tumor oxygenation, and increase the tumor growth inhibition of radiotherapy over 5 days of treatment[3]. Efaproxiral reduces hemoglobin-oxygen binding affinity, which facilitates oxygen release from hemoglobin into surrounding tissues and potentially increases the pO(2) of the tumors[4]				
	Animal Model:	Female C3H/HEJ mice (18–20 g), with radiation-induced fibrosarcoma tumor (RIF-1) cells xenograft[3]			
	Dosage:	150 mg/kg			
	Administration:	Intraperitoneal injection; prior to X Irradiation (4 Gy/day), for 5 days			
	Result:	Significantly increased tumor oxygenation by 8.4 to 43.4 mmHg within 5 days, with maximum increases at 22–31 minutes after treatment.			
Solvent&Solubility	In Vitro: DMSO : ≥ 150 mg/mL (439.37 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	2.9291 mL	14.6456 mL	29.2912 mL
		5 mM	0.5858 mL	2.9291 mL	5.8582 mL
		10 mM	0.2929 mL	1.4646 mL	2.9291 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。 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 (7.32 mM); Clear solution				



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	<p>此方案可获得 ≥ 2.5 mg/mL (7.32 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\rightarrow 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (7.32 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.32 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (7.32 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.32 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]. Stea B, et al. Efaproxiral red blood cell concentration predicts efficacy in patients with brain metastases. Br J Cancer. 2006 Jun 19;94(12):1777-1784.</p> <p>[2]. Abraham DJ, et al. Allosteric modifiers of hemoglobin: 2-[4-[(3,5-disubstituted anilino)carbonyl]methyl]phenoxy]-2-methylpropionic acid derivatives that lower the oxygen affinity of hemoglobin in red cell suspensions, in whole blood, and in vivo in rats. Biochemistry. 1992 Sep 29;31(38):9141-9.</p> <p>[3]. Hou H, et al. The effects of Efaproxyn (efaproxiral) on subcutaneous RIF-1 tumor oxygenation and enhancement of radiotherapy-mediated inhibition of tumor growth in mice. Radiat Res. 2007 Aug;168(2):218-25.</p> <p>[4]. Hou H, et al. Increased oxygenation of intracranial tumors by efaproxyn (efaproxiral), an allosteric hemoglobin modifier: In vivo EPR oximetry study. Int J Radiat Oncol Biol Phys. 2005 Apr 1;61(5):1503-9.</p>