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产品名称: **VT-464**
产品别名: **Seviteronel**

生物活性:				
Description	Seviteronel (VT-464) is a potent CYP17 lyase inhibitor(h-Lyase IC ₅₀ =69 nM) that demonstrated both exceptional in vitro lyase/hydroxylase selectivity (~10-fold) and oral activity in a hamster model of androgen biosynthesis inhibition.			
IC ₅₀ & Target	IC ₅₀ : 69 nM(h-CYP17 Lyase) ^[1] .			
In Vitro	Seviteronel (VT-464), a non-steroidal small molecule inhibits androgen production without mineralocorticoid excess or cortisol depletion by selective inhibition of CYP17 17,20-lyase. We determined the impact of Seviteronel (VT-464) on tumor growth of a mCRPC xenograft, MDA-PCa-133, in vivo, and on androgen signaling in C4-2B prostate cancer cells in vitro ^[2] .			
In Vivo	The MDA-PCa-133 xenograft is derived from a clinical CRPC bone metastasis. Subcutaneous MDA-PCa-133 tumor expresses PSA, full-length androgen receptor (AR) and AR-V7 isoform. We determined the effect of Seviteronel (VT-464) and AA on MDA-PCa-133 growing in tumor-bearing castrated male mice: randomization into three groups; oral treatment with vehicle only, VT-464, (100 mg/kg bid), or AA (100 mg/kg bid) for 25 days. Both Seviteronel (VT-464) and AA reduced tumor volume (>two fold compared to vehicle; p<0.05). These results indicate that selective Seviteronel (VT-464) CYP17 lyase inhibition is as effective as AA CYP17 inhibition in this model [2].			
Solvent&Solubility	In Vitro: DMSO : ≥ 50 mg/mL (125.21 mM) * "≥" means soluble, but saturation unknown.			
		Solvent Concentration	Mass Concentration	
	Preparing	1 mM	2.5041 mL	12.5207 mL
	Stock Solutions	5 mM	0.5008 mL	2.5041 mL
		10 mM	0.2504 mL	1.2521 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 (6.26 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.26 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			



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	<p>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: \geq 2.5 mg/mL (6.26 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (6.26 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: \geq 2.5 mg/mL (6.26 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (6.26 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]. Rafferty SW, et al. Highly-selective 4-(1,2,3-triazole)-based P450c17a 17,20-lyase inhibitors. Bioorg Med Chem Lett. 2014 Jun 1;24(11):2444-7.</p> <p>[2]. Sankar N. Maity, et al. Abstract 4772: Efficacy of VT-464, a novel selective inhibitor of cytochrome P450 17,20-lyase, in castrate-resistant prostate cancer models. Cancer Research: April 15, 2013; Volume 73, Issue 8, Supplement 1</p>

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