



上海源叶生物科技有限公司
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产品名称: **Veledimex**
产品别名: **INXN-1001; RG-115932**

生物活性:					
Description	Veledimex is an oral activator ligand for a proprietary gene therapy promoter system, and a moderate inhibitor of and substrate for CYP3A4/5.				
In Vivo	Veledimex generally has moderate to low oral bioavailability after a single oral administration in mice and monkeys (-56% in mice and up to 17.4% in cynomolgus monkeys) with mostly low plasma clearance (1399 and 1170 mL/h per kilogram in mice and monkeys, respectively), high volume of distribution (20271 and 9180 mL/h per kilogram in mice and monkeys, respectively), and long terminal half-lives (-10 hours in mice and -30 hours in monkeys) after intravenous administration[1]. Ad-RTS-mIL-12 + veledimex have demonstrated a dose-related increase in tumor IL-12 mRNA and IL-12 protein expression. Discontinuation of veledimex resulted in a return to baseline IL-12 mRNA and protein expression in numerous syngeneic mouse tumor models. Veledimex crosses the blood-brain-barrier in both naive and orthotopic GL-261 mice with increased brain tissue level of -6 fold observed in tumor bearing vs. normal mice. Ad-RTS-mIL-12 + veledimex demonstrate a dose-related increase in survival without significant adverse events[2].				
Solvent&Solubility	In Vitro: DMSO : 25 mg/mL (57.00 mM; Need ultrasonic) H₂O : < 0.1 mg/mL (insoluble)				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	2.2800 mL	11.3999 mL	22.7998 mL
		5 mM	0.4560 mL	2.2800 mL	4.5600 mL
		10 mM	0.2280 mL	1.1400 mL	2.2800 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 →90% corn oil Solubility: ≥ 2.5 mg/mL (5.70 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.70 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。				



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References

- [1]. Cai H, et al. Plasma Pharmacokinetics of Veledimex, a Small-Molecule Activator Ligand for a Proprietary Gene Therapy Promoter System, in Healthy Subjects. Clin Pharmacol Drug Dev. 2017 May;6(3):246-257.
- [2]. John A. Barrett, INTRATUMORAL REGULATED EXPRESSION OF IL-12 AS A GENE THERAPY APPROACH TO TREATMENT OF GLIOMA. Neuro Oncol. 2015 Nov; 17(Suppl 5): v113.



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