



上海源叶生物科技有限公司
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产品名称: **Amitifadine (hydrochloride)**
产品别名: **DOV-21947 hydrochloride; EB-1010 hydrochloride**

生物活性:

Description	Amitifadine hydrochloride is a serotonin-norepinephrine-dopamine reuptake inhibitor (SNDRI), with IC ₅₀ s of 12, 23, 96 nM for serotonin, norepinephrine and dopamine in HEK 293 cells , respectively.				
IC ₅₀ & Target	IC50: 12 nM (serotonin), 23 nM (norepinephrine), 96 nM (dopamine)[1].				
In Vitro	Amitifadine (DOV 21,947) is an antidepressant drug. Ki values for SERT, NET, and DAT are 99 nM, 262 nM, and 213 nM. The IC50 values for serotonin, norepinephrine and dopamine uptake are 12, 23 and 96 nM, respectively[1].				
In Vivo	The 30 mg/kg Amitifadine dose significantly reduces nicotine self-administration. The 5 and 10 mg/kg doses reduce nicotine self-administration during the first 15 min. of the session when the greatest amount of nicotine is self-administered. The 30 mg/kg Amitifadine dose, but not the lower doses cause a significant reduction in locomotor activity averaged over the 1-hour session and reduce food motivated responding. The 10 mg/kg dose causes hypoactivity at the beginning of the session, but 5 mg/kg does not cause any hypoactivity. The effect of chronic Amitifadine treatment (10 mg/kg) over the course of 15 sessions is also determined. Amitifadine causes a significant reduction in nicotine self-administration, which is not seen to diminish over two consecutive weeks of treatment and a week after enforced abstinence. Amitifadine significantly reduces nicotine self-administration. This prompts further research to determine if Amitifadine might be an effective treatment for smoking cessation[2].				
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (377.96 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	3.7796 mL	18.8979 mL	37.7958 mL
		5 mM	0.7559 mL	3.7796 mL	7.5592 mL
		10 mM	0.3780 mL	1.8898 mL	3.7796 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: ≥ 2.75 mg/mL (10.39 mM); Clear solution					
此方案可获得 ≥ 2.75 mg/mL (10.39 mM, 饱和度未知) 的澄清溶液。					



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	以 1 mL 工作液为例, 取 100 μL 27.5 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 $\rightarrow$ 90% (20% SBE-β-CD in saline) Solubility: $\geq$ 2.75 mg/mL (10.39 mM); Clear solution 此方案可获得 $\geq$ 2.75 mg/mL (10.39 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 27.5 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。 3. 请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: $\geq$ 2.75 mg/mL (10.39 mM); Clear solution 此方案可获得 $\geq$ 2.75 mg/mL (10.39 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 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Skolnick P1, et al. Antidepressant-like actions of DOV 21,947: a "triple" reuptake inhibitor. Eur J Pharmacol. 2003 Feb 14;461(2-3):99-104. [2]. Levin ED, et al. Amitifadine, a triple monoamine re-uptake inhibitor, reduces nicotine self-administration in female rats. Eur J Pharmacol. 2015 Jun 20;764:30-37.

源叶生物