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产品名称: **Fluralaner**  
产品别名: **A1443; AH252723**

生物活性:					
<b>Description</b>	Fluralaner (INN) is a systemic insecticide and acaricide Fluralaner through potent blockage of GABA and L-glutamate gated chloride channels.				
<b>In Vitro</b>	Fluralaner potently inhibits flea reproduction capacity in vitro. Oviposition ceases completely at concentrations as low as 25.0 ng/mL. While no ovicidal effect is observed, fluralaner exerts a larvicidal effect at exceptionally low concentrations (6.25 ng/mL)[1].				
<b>In Vivo</b>	In the simulated flea-infested home environment, flea-control efficacy on fluralaner-treated dogs is >99% at every time point measured for 12 weeks. No adverse events are observed in fluralaner-treated dogs. Fluralaner completely controls egg laying, larval development and flea reproduction even at sub-insecticidal concentrations. Oral treatment of dogs with fluralaner is highly effective for eliminating fleas in a simulated flea-infested home environment[1]. After single oral administration of Bravecto chewable tablets, mite numbers in skin scrapings are reduced by 99.8% on Day 28 and by 100% on Days 56 and 84. A marked decrease is observed in the occurrence of erythematous patches, crusts, casts and scales in the dogs treated with Bravecto[2].				
<b>Solvent&amp;Solubility</b>	<b><i>In Vitro:</i></b> <b>DMSO : ≥ 221 mg/mL (397.27 mM)</b>  * "≥" means soluble, but saturation unknown.				
		<b>Solvent Mass Concentration</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
	<b>Preparing</b>	1 mM	1.7976 mL	8.9881 mL	17.9762 mL
	<b>Stock Solutions</b>	5 mM	0.3595 mL	1.7976 mL	3.5952 mL
		10 mM	0.1798 mL	0.8988 mL	1.7976 mL
<p>*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。</p> <p>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。</p> <p><b><i>In Vivo:</i></b></p> <p>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:</p> <p>——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</p> <p>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.25 mg/mL (4.04 mM); Clear solution</p> <p>此方案可获得 ≥ 2.25 mg/mL (4.04 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例,取 100 μL 22.5 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。</p>					



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	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.25 mg/mL (4.04 mM); Clear solution</p> <p>此方案可获得 ≥ 2.25 mg/mL (4.04 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 22.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.25 mg/mL (4.04 mM); Clear solution</p> <p>此方案可获得 ≥ 2.25 mg/mL (4.04 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 22.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
<b>References</b>	<p>[1]. Williams H, et al. Fluralaner, a novel isoxazoline, prevents flea (<i>Ctenocephalides felis</i>) reproduction in vitro and in a simulated home environment. <i>Parasit Vectors</i>. 2014 Jun 19;7:275.</p> <p>[2]. Walther FM, et al. Safety of fluralaner, a novel systemic antiparasitic drug, in MDR1(-/-) Collies after oral administration. <i>Parasit Vectors</i>. 2014 Mar 6;7:86.</p>
<b>实验参考:</b>	
<b>Animal Administration</b>	<p>Dogs: Thirty-two (16 male and 16 female) healthy 8-week old Beagle dogs weighing 2.0 - 3.6 kg at first administration are included in the study. Fluralaner is administered on three occasions at 8-week intervals at doses of up to 56, 168, and 280 mg fluralaner/kg body weight, equivalent to 1, 3, and 5 times the highest recommended treatment dose of fluralaner; sham dosed dogs served as controls[2].</p>
<b>References</b>	<p>[1]. Williams H, et al. Fluralaner, a novel isoxazoline, prevents flea (<i>Ctenocephalides felis</i>) reproduction in vitro and in a simulated home environment. <i>Parasit Vectors</i>. 2014 Jun 19;7:275.</p> <p>[2]. Walther FM, et al. Safety of fluralaner, a novel systemic antiparasitic drug, in MDR1(-/-) Collies after oral administration. <i>Parasit Vectors</i>. 2014 Mar 6;7:86.</p>