

产品名称：**Grapiprant**
产品别名：**Grapiprant**

生物活性:

Description	Grapiprant (CJ-023423) is a selective EP4 receptor antagonist whose physiological ligand is prostaglandin E ₂ (PGE ₂). Grapiprant displaces [³ H]-PGE ₂ (1 nM) binding to dog recombinant EP4 receptor with IC ₅₀ value of 35 nM and K _i value of 24 nM. Grapiprant can be used to treat osteoarthritic pain and inflammation in healthy dogs 1)[2][3] .				
IC ₅₀ & Target	EP4				
	35 nM (IC ₅₀)				
In Vivo	Grapiprant (0-50 mg/kg; oral administration; every 24 hours; for 9 months; beagles) is safe for dogs by long-term oral administration. Efficacy of Grapiprant in the treatment of dogs with osteoarthritis needs to be evaluated in other studies[3] .				
	Animal Model:	36 beagles of both sexes (9-month-old)[3]			
	Dosage:	0mg/kg, 1mg/kg, 6mg/kg, or 50mg/kg			
	Administration:	Oral administration; every 24 hours; for 9 months			
	Result:	Long-term oral administration was safe for dogs.			
Solvent&Solubility	In Vitro: DMSO : ≥ 50 mg/mL (101.71 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	<div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>	1 mg	5 mg	10 mg
		1 mM	2.0341 mL	10.1707 mL	20.3413 mL
		5 mM	0.4068 mL	2.0341 mL	4.0683 mL
		10 mM	0.2034 mL	1.0171 mL	2.0341 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: ≥ 3 mg/mL (6.10 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (6.10 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 30.0 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。				
	2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 3 mg/mL (6.10 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (6.10 mM，饱和度未知) 的澄清溶液。				

	<p>以 1 mL 工作液为例，取 100 μL 30.0 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 \rightarrow90% corn oil</p> <p>Solubility: \geq 3 mg/mL (6.10 mM); Clear solution</p> <p>此方案可获得 \geq 3 mg/mL (6.10 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 30.0 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]. Vito VD, et al. <u>Detection and quantification of the selective EP4 receptor antagonist CJ-023423 (grapiprant) in canine plasma by HPLC with spectrofluorimetric detection.</u> J Pharm Biomed Anal. 2016 Jan 25;118:251-8.</p> <p>[2]. Nagahisa A, et al. <u>Pharmacology of grapiprant, a novel EP4 antagonist: receptor binding, efficacy in a rodent postoperative pain model, and a dose estimation for controlling pain in dogs.</u> J Vet Pharmacol Ther. 2017 Jun;40(3):285-292.</p> <p>[3]. Rausch-Derra LC, et al. <u>Evaluation of the safety of long-term, daily oral administration of grapiprant, a novel drug for treatment of osteoarthritic pain and inflammation, in healthy dogs.</u> Am J Vet Res. 2015 Oct;76(10):853-9.</p>

源叶生物