

产品名称：地拉考昔  
产品别名：Deracoxib

## 生物活性：

### Description

Deracoxib, a selective cyclooxygenase-2 inhibitor, is a non-narcotic, non-steroidal anti-inflammatory drug (NSAID). IC50 Value: 70 to 150 uM(inhibition of 3 osteosarcoma cell lines) [1] Target: COX in vitro: Concentration of deracoxib required for 50% inhibition of cell viability (IC50) was reached in all 3 osteosarcoma cell lines and ranged from 70 to 150 microM, whereas the IC50 for piroxicam was only reached in the POS cell line at 500 microM. Neither deracoxib nor piroxicam induced sufficient toxicity in fibroblasts to reach an IC50. Exposure of osteosarcoma cells to cytotoxic concentrations of deracoxib and piroxicam did not result in DNA fragmentation [1]. Concomitant treatment of cells with piroxicam and deracoxib resulted in significant induction of apoptosis at lower concentrations and accumulation of cells in the G /G phase. Significant cytotoxic effects exhibited by the combination of piroxicam and deracoxib against canine mammary carcinoma cells in vitro suggest an attractive approach for the treatment of canine mammary carcinoma [2]. in vivo: Perioperative administration of deracoxib to dogs at 1-2 mg/kg/day for 3 days significantly improves analgesia in the postoperative surgical period after soft tissue surgery [3]. Dogs were treated PO with deracoxib at a dosage of 3 mg/kg/d (1.36 mg/lb/d) as a single-agent treatment for TCC. Tumor response was assessed via radiography, abdominal ultrasonography, and ultrasonographic mapping of urinary bladder masses. Toxic effects of deracoxib administration in dogs were assessed through clinical observations and hematologic and biochemical analyses. 24 dogs for which tumor response was assessed, 4 (17%) had partial remission, 17 (71%) had stable disease, and 3 (13%) had progressive disease; initial response could not be assessed in 2 of 26 dogs. The median survival time was 323 days. Median time to progressive disease was 133 days. Renal, hepatic, and gastrointestinal abnormalities attributed to deracoxib administration were noted in 4% (1/26), 4% (1/26), and 19% (5/26) of dogs, respectively [4].

### In Vitro:

DMSO : 50 mg/mL (125.83 mM; Need ultrasonic)

	Solvent \ Mass Concentration	1 mg	5 mg	10 mg
Preparing	1 mM	2.5165 mL	12.5827 mL	25.1655 mL
Stock Solutions	5 mM	0.5033 mL	2.5165 mL	5.0331 mL
	10 mM	0.2517 mL	1.2583 mL	2.5165 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 (6.29 mM); Clear solution

### Solvent&Solubility

	<p>此方案可获得 <math>\geq 2.5</math> mg/mL (6.29 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 <math>\mu</math>L PEG300 中, 混合均匀向上述体系中加入 50 <math>\mu</math>L Tween-80, 混合均匀; 然后继续加入 450 <math>\mu</math>L 生理盐水定容至 1 mL。</p> <p>2.请依序添加每种溶剂: 10% DMSO<math>\rightarrow</math> 90% (20% SBE-<math>\beta</math>-CD in saline)</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (6.29 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (6.29 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-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 <math>\rightarrow</math>90% corn oil</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (6.29 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (6.29 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 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p>
References	<p>[1]. <u>Royals, S.R., et al., Investigation of the effects of deracoxib and piroxicam on the in vitro viability of osteosarcoma cells from dogs. Am J Vet Res, 2005. 66(11): p. 1961-7.</u></p> <p>[2]. <u>Ustun Alkan, F., et al., The effects of piroxicam and deracoxib on canine mammary tumour cell line. ScientificWorldJournal, 2012. 2012: p. 976740.</u></p> <p>[3]. <u>Bienhoff, S.E., et al., Efficacy and safety of deracoxib for control of postoperative pain and inflammation associated with soft tissue surgery in dogs. Vet Surg, 2012. 41(3): p. 336-44.</u></p> <p>[4]. <u>McMillan, S.K., et al., Antitumor effects of deracoxib treatment in 26 dogs with transitional cell carcinoma of the urinary bladder. J Am Vet Med Assoc, 2011. 239(8): p. 1084-9.</u></p>

源叶生物