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产品名称: 非罗考昔
产品别名: **Firocoxib; ML 1785713**

生物活性:					
Description	Firocoxib (ML 1785713) is a potent, selective and orally active COX-2 inhibitor with an IC50 of 0.13 μM. Firocoxib shows 58-fold more selective for COX-2 than COX-1 (IC50 of 7.5 μM). Firocoxib has anti-inflammatory effects[1].				
IC50 & Target	COX-2	COX-1			
	0.13 μM (IC50)	7.5 μM (IC50)			
In Vitro	The COX-1:COX-2 selectivity ratios generally are established by comparing the IC50 for COX-1 to the IC50 for COX-2. The IC80 value more closely resembles the steady-state plasma drug concentration than does the IC50 value ^[1] .				
	The selectivity ratio for Firocoxib based on the IC80 values is 121 (IC80 of 0.36 μM and 43.6 μM for COX-2 and COX-1, respectively), indicating that selectivity for COX-2 is not reduced at concentrations higher than the IC50. Notably, Firocoxib concentrations that yield 80% to 95% inhibition of COX-2 produce < 20% inhibition of COX-1 ^[1] .				
In Vivo	Firocoxib (0.75-1.5mg/kg; oral gavage; female domestic shorthair cats) treatment efficacious in attenuating fever when administered to cats 1 or 14 hours before LPS challenge[1].				
	Pharmacokinetic properties of Firocoxib are determined after i.v. (2 mg/kg) and oral (3 mg/kg) administration in male cats. Firocoxib has moderate to high oral bioavailability (54% to 70%), low plasma clearance (4.7 to 5.8 mL/min/kg), and an elimination half-life of 8.7 to 12.2 hours[1].				
	Animal Model:	14 healthy female domestic shorthair cats (11-15 months old, 2.9-3.9 kg) with lipopolysaccharide (LPS)[1]			
	Dosage:	0.75 mg/kg, 1.5 mg/kg			
	Administration:	Oral gavage			
In Vitro:	Result:	Was efficacious in attenuating fever when administered to cats 1 or 14 hours before LPS challenge.			
Solvent&Solubility	DMSO : ≥ 52 mg/mL (154.58 mM)				
	* "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	2.9727 mL	14.8633 mL	29.7265 mL
		5 mM	0.5945 mL	2.9727 mL	5.9453 mL
		10 mM	0.2973 mL	1.4863 mL	2.9727 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 (protect from light, stored under nitrogen)。					
-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。					
[1]. Steagall PV, et al. Evaluation of the adverse effects of oral firocoxib in healthy dogs. J Vet Pharmacol					



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References

- Ther. 2007 Jun;30(3):218-23.
- [2]. Stock ML, et al. Pharmacokinetics of firocoxib in preweaned calves after oral and intravenous administration. J Vet Pharmacol Ther. 2014 Oct;37(5):457-63.
- [3]. Albanese F, et al. Clinical outcome and cyclo-oxygenase-2 expression in five dogs with solar dermatitis/actinic keratosis treated with firocoxib. Vet Dermatol. 2013 Dec;24(6):606-12, e147.
- [4]. [1].McCann ME, et al. In vitro effects and in vivo efficacy of a novel cyclooxygenase-2 inhibitor in cats with lipopolysaccharide-induced pyrexia. Am J Vet Res. 2005 Jul;66(7):1278-84.



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