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产品名称: **MK-0591**

产品别名: 喹夫拉朋; **MK591 free acid; Quiflapon**

生物活性:					
Description	MK591 (free acid) is a selective and specific 5-Lipoxygenase-activating protein (FLAP) inhibitor with an IC50 value of 1.6 nM in a FLAP binding assay.				
IC50 & Target	IC50 value: 1.6 nM (FLAP)[1].				
In Vitro	MK591 (free acid) is a potent inhibitor of leukotriene (LT) biosynthesis in intact human and elicited rat polymorphonuclear leukocytes (PMNLs) (IC50 values 3.1 and 6.1 nM, respectively) and in human, squirrel monkey, and rat whole blood (IC50 values 510, 69, and 9 nM, respectively). MK591 (free acid) has no effect on rat 5-lipoxygenase. MK591 (free acid) has a high affinity for 5-lipoxygenase activating protein (FLAP) as evidenced by an IC50 value of 1.6 nM in a FLAP binding assay and inhibition of the photoaffinity labelling of FLAP by two different photoaffinity ligands. Inhibition of activation of 5-lipoxygenase was shown through inhibition of the translocation of the enzyme from the cytosol to the membrane in human PMNLs ^[1] .				
In Vivo	MK591 (free acid) is a potent inhibitor of LT biosynthesis in vivo, first, following ex vivo challenge of blood obtained from treated rats and squirrel monkeys, second, in a rat pleurisy model, and, third, as monitored by inhibition of the urinary excretion of LTE4 in antigen-challenged allergic sheep. Inhibition of antigen-induced bronchoconstriction by MK591 (free acid) is observed in inbred rats pretreated with methysergide, Ascaris-challenged squirrel monkeys, and Ascaris-challenged sheep (early and late phase response) [1]. Pups were treated with either vehicle or MK591 (free acid) 10, 20, or 40 mg/kg subcutaneously daily for days 1-4, 5-9, or 10-14. On day 14, the lungs were inflated, fixed, and stained for histopathological and morphometric analyses. Hyperoxia groups treated with MK-0591 (free acid) untreated hyperoxia groups showed definite evidence of aberrant alveolarization but no inflammation[2].				
Solvent&Solubility	In Vitro: DMSO : ≥ 50 mg/mL (85.15 mM) H2O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent Concentration Mass	1 mg	5 mg	10 mg
		1 mM	1.7031 mL	8.5154 mL	17.0308 mL
		5 mM	0.3406 mL	1.7031 mL	3.4062 mL
		10 mM	0.1703 mL	0.8515 mL	1.7031 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				



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	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 (4.26 mM); Suspended solution; Need ultrasonic and warming 此方案可获得 2.5 mg/mL (4.26 mM)的均匀悬浊液, 悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例, 取 100 μL 25.0 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。 1.请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: $\geq$ 2.5 mg/mL (4.26 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (4.26 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀
References	[1]. Brideau C, et al. Pharmacology of MK-0591 (3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-(quinolin-2-yl-methoxy)- indol-2-yl]-2,2-dimethyl propanoic acid), a potent, orally active leukotriene biosynthesis inhibitor. Can J Physiol Pharmacol. 1992 Jun;70(6):799-807. [2]. Park MS, et al. 5-Lipoxygenase-activating protein (FLAP) inhibitor MK-0591 prevents aberrant alveolarization in newborn mice exposed to 85% oxygen in a dose- and time-dependent manner. Lung. 2011 Feb;189(1):43-50.

源叶生物