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产品名称: **GSK2190915**
产品别名: **Fiboflapon; AM-803**

生物活性:				
Description	Fiboflapon (GSK2190915; AM-803) is a potent and orally bioavailable 5-lipoxygenase-activating protein (FLAP) inhibitor with a potency of 2.9 nM in FLAP binding, an IC ₅₀ of 76 nM for inhibition of LTB ₄ in human blood[1].			
IC ₅₀ & Target	LTB ₄			
	76 nM (IC ₅₀)			
In Vitro	Fiboflapon (AM-803) exhibits excellent preclinical toxicology and pharmacokinetics in rat and dog. Fiboflapon (AM-803) also demonstrated an extended pharmacodynamic effect in a rodent bronchoalveolar lavage (BAL) model [1].			
In Vivo	Oral administration of Fiboflapon (AM-803: 1 mg/kg) results in sustained inhibition of ex vivo ionophore-challenged whole blood LTB ₄ biosynthesis with >90% inhibition for up to 12 h and an EC ₅₀ of approximately 7 nM. When rat lungs are challenged in vivo with calcium-ionophore, Fiboflapon (AM-803) inhibits LTB ₄ and cysteinyl leukotriene (CysLT) production with ED ₅₀ s of 0.12 mg/kg and 0.37 mg/kg, respectively. The inhibition measured 16 h following a single oral dose of 3 mg/kg was 86% and 41% for LTB ₄ and CysLTs, respectively. In an acute inflammation setting, Fiboflapon dose-dependently reduced LTB ₄ , CysLTs, plasma protein extravasation and neutrophil influx induced by peritoneal zymosan injection. Finally, Fiboflapon increases survival time in mice exposed to a lethal intravenous injection of platelet activating factor (PAF)[1].			
Solvent&Solubility	In Vitro: DMSO : 50 mg/mL (78.39 mM; Need ultrasonic) H ₂ O : < 0.1 mg/mL (insoluble)			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	1.5678 mL	7.8391 mL
	Stock Solutions	5 mM	0.3136 mL	1.5678 mL
		10 mM	0.1568 mL	0.7839 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。 -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。 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 →90% corn oil Solubility: ≥ 2.5 mg/mL (3.92 mM); Clear solution			



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	此方案可获得 ≥ 2.5 mg/mL (3.92 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]. Stock NS, et al. 5-Lipoxygenase-activating protein (FLAP) inhibitors. Part 4: development of 3-[3-tert-butylsulfanyl-1-[4-(6-ethoxypyridin-3-yl)benzyl]-5-(5-methylpyridin-2-ylmethoxy)-1H-indol-2-yl]-2,2-dimethylpropionic acid (AM803), a potent, oral, once daily FLAP inhibitor. J Med Chem. 2011 Dec 8;54(23):8013-29. [2]. Lorrain DS, et al. Pharmacology of AM803, a novel selective five-lipoxygenase-activating protein (FLAP) inhibitor in rodent models of acute inflammation. Eur J Pharmacol. 2010 Aug 25;640(1-3):211-8.

源叶生物