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产品名称：GSK2190915 (sodium salt)
产品别名：Fiboflapon sodium

生物活性：

Description	Fiboflapon sodium (GSK2190915 (sodium salt)) is a potent FLAP(5-Lipoxygenase-activating protein) inhibitor with binding IC50 of 2.9 nM.
IC_{50} & Target	IC50: 76 nM (inhibition of LTB4 in human blood 5 h incubation)[1].
In Vitro	Fiboflapon (AM803) exhibits excellent preclinical toxicology and pharmacokinetics in rat and dog. Fiboflapon (AM803) also demonstrated an extended pharmacodynamic effect in a rodent bronchoalveolar lavage (BAL) model[1]. Oral administration of Fiboflapon (AM803) (1 mg/kg) resulted in sustained inhibition of ex vivo ionophore-challenged whole blood LTB4 biosynthesis with >90% inhibition for up to 12 h and an EC50 of approximately 7 nM. When rat lungs were challenged in vivo with calcium-ionophore, Fiboflapon (AM803) inhibited LTB4 and cysteinyl leukotriene (CysLT) production with ED50s 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 LTB4 and CysLTs, respectively. In an acute inflammation setting, Fiboflapon (AM803) dose-dependently reduced LTB4, CysLTs, plasma protein extravasation and neutrophil influx induced by peritoneal zymosan injection. Finally, AM803 increased survival time in mice exposed to a lethal intravenous injection of platelet activating factor (PAF)[2].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 32 mg/mL (48.50 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5156 mL	7.5779 mL	15.1559 mL
5 mM	0.3031 mL	1.5156 mL	3.0312 mL
10 mM	0.1516 mL	0.7578 mL	1.5156 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。

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.