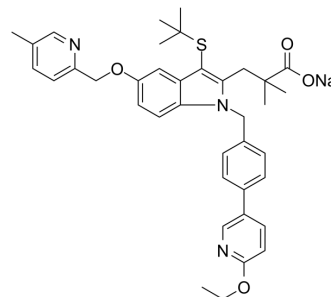


Fiboflapon sodium

Cat. No.:	HY-15874A
CAS No.:	1196070-26-4
Molecular Formula:	C ₃₈ H ₄₂ N ₃ NaO ₄ S
Molecular Weight:	659.81
Target:	FLAP; Leukotriene Receptor
Pathway:	Immunology/Inflammation; GPCR/G Protein
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (151.56 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	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
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 2.5 mg/mL (3.79 mM); Suspended solution; Need ultrasonic					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (3.79 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (3.79 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Fiboflapon sodium (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] .
IC₅₀ & Target	LTB ₄ 76 nM (IC ₅₀)
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 LTB ₄

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, Fibroflapon (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, Fibroflapon (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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Stock NS, et al. 5-Lipoxygenase-activating protein (FLAP) inhibitors. Part 4: development of 3-[3-tert-butylsulfanyl-1-[4-(6-ethoxy-pyridin-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.

Caution: Product has not been fully validated for medical applications. For research use only.

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