Fiboflapon sodium

Cat. No.: HY-15874A

CAS No.: 1196070-26-4

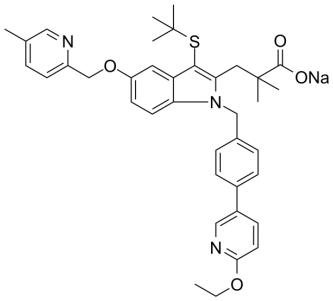
Molecular Formula: $C_{38}H_{42}N_3NaO_4S$

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 / 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

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 LTB4 in human blood[1].

IC_{50} & 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 LTB4

biosynthesis with >90% inhibition for up to 12 h and an EC_{50} of approximately 7 nM. When rat lungs were challenged in vivo with calcium-ionophore, Fiboflapon (AM803) inhibited LTB4 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 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].

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-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.

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