Fiboflapon

Cat. No.: HY-15874

CAS No.: 936350-00-4

Molecular Formula: $C_{38}H_{43}N_3O_4S$

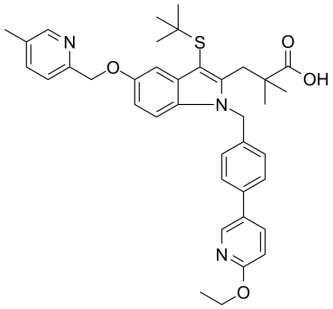
Molecular Weight: 637.83

Target: FLAP; Leukotriene Receptor

Pathway: Immunology/Inflammation; GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (78.39 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5678 mL	7.8391 mL	15.6782 mL
	5 mM	0.3136 mL	1.5678 mL	3.1356 mL
	10 mM	0.1568 mL	0.7839 mL	1.5678 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (15.68 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.92 mM); Clear solution

BIOLOGICAL ACTIVITY

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 LTB4 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].

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

In Vivo	Oral administration of Fiboflapon (AM-803: 1 mg/kg) results 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 are challenged in vivo with calcium-ionophore, Fiboflapon (AM-803) inhibits 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 dose-dependently reduced LTB4, 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]. 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

[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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA