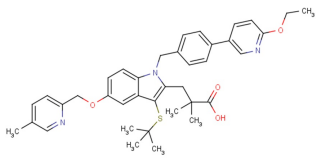
Data Sheet (Cat.No.T11487)

TargetMol
A DRUG SCREENING EXPERT

Fiboflapon

Chemical Properties



CAS No.:	936350-00-4
Formula:	C38H43N3O4S
Molecular Weight:	637.83
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Fiboflapon is an orally bioavailable 5-lipoxygenase-activating protein (FLAP) inhibitor with a potency of 2.9 nM in FLAP binding, an IC50 of 76 nM for inhibition of LTB4 in human blood.
Targets(IC_{50})	LTB4: 76 nM
In vitro	Fiboflapon (AM-803) shows excellent preclinical toxicology and pharmacokinetics in rats and dogs. Fiboflapon also demonstrated an extended pharmacodynamic effect in a rodent bronchoalveolar lavage (BAL) model [1].
In vivo	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 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 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]. Fiboflapon exhibits excellent preclinical toxicology and pharmacokinetics in rats and dogs. Fiboflapon also demonstrated an extended pharmacodynamic effect in a rodent bronchoalveolar lavage (BAL) model [1].

Solubility Information

Solubility	DMSO: 50 mg/mL (78.39 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.568 mL	7.839 mL	15.678 mL
5 mM	0.314 mL	1.568 mL	3.136 mL
10 mM	0.157 mL	0.784 mL	1.568 mL
50 mM	0.031 mL	0.157 mL	0.314 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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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