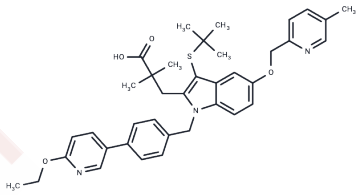
Data Sheet (Cat.No.T11487)

TargetMol

Fiboflapon

Chemical Properties



CAS No. : 936350-00-4

Formula: C38H43N3O4S

Molecular Weight: 637.83

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Fiboflapon (GSK2190915) is an orally available and effective 5-lipoxygenase-activating protein (FLAP) inhibitor that binds FLAP with a titer of 2.9 nM and inhibition of LTB4 in human blood with an IC50 of 76 nM.
Targets(IC50)	FLAP
In vitro	Fiboflapon (AM-803) demonstrates excellent preclinical toxicology and pharmacokinetics in both rats and dogs. In the rodent bronchoalveolar lavage (BAL) model, Fiboflapon (AM-803) also exhibits prolonged pharmacological effects[2].
In vivo	Orally administered at 1 mg/kg, Fiboflapon (AM-803) sustains a continuous inhibition of ex vivo ionophore-stimulated whole blood leukotriene B4 (LTB4) biosynthesis, with an inhibition rate exceeding 90% for up to 12 hours, and an EC50 of approximately 7 nM. When rat lungs are subjected to in vivo calcium ionophore challenge, Fiboflapon (AM-803) inhibits the production of LTB4 and cysteinyl leukotrienes (CysLT) with ED50 values of 0.12 mg/kg and 0.37 mg/kg, respectively. Following a single oral dose of 3 mg/kg, the inhibition rates measured 16 hours later for LTB4 and CysLT are 86% and 41%, respectively. In an acute inflammatory environment, Fiboflapon dose-dependently reduces LTB4, CysLT, plasma protein extravasation, and neutrophil influx induced by intraperitoneal yeast glucan injection. Lastly, Fiboflapon increases the survival time of mice exposed to intravenous injection of lethal platelet-activating factor (PAF) [2].

Solubility Information

Solubility	DMSO: 40 mg/mL (62.71 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0314 mL	0.1568 mL	0.3136 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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.

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.

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