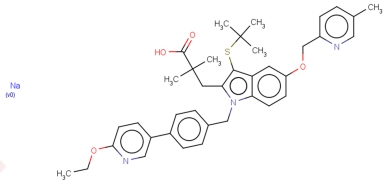
Data Sheet (Cat.No.T11487L)

TargetMol
YOUR TARGET MOLECULES

Fiboflapon sodium

Chemical Properties



CAS No. : 1196070-26-4

Formula: C38H43N3NaO4S

Molecular Weight: 660.83

Appearance: no data available

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

Biological Description

Description	Fiboflapon sodium (GSK2190915) 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(IC50)	LTR
In vitro	In vivo studies revealed that Fiboflapon (AM803) effectively inhibits the production of LTB4 and cysteinyl leukotriene (CysLT) in rat lungs challenged with calcium-ionophore, showing ED50 values of 0.12 mg/kg and 0.37 mg/kg, respectively. Following a single oral dose of 3 mg/kg, inhibition levels 16 hours post-administration were 86% for LTB4 and 41% for CysLTs. In scenarios of acute inflammation, Fiboflapon (AM803) demonstrated a dose-dependent reduction in LTB4, CysLTs, plasma protein extravasation, and neutrophil influx following peritoneal zymosan injection. Furthermore, AM803 significantly prolonged survival in mice after a lethal dose of platelet-activating factor (PAF) intravenously. Fiboflapon (AM803) has shown excellent preclinical toxicology and pharmacokinetics in rats and dogs, alongside a remarkable pharmacodynamic extension in a rodent bronchoalveolar lavage (BAL) model. Oral administration at 1 mg/kg led to a sustained inhibition of LTB4 biosynthesis in ex vivo ionophore-challenged whole blood, with over 90% inhibition lasting up to 12 hours and an EC50 of approximately 7 nM.

Solubility Information

Solubility	DMSO: 32 mg/mL (48.50 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5132 mL	7.5662 mL	15.1325 mL
5 mM	0.3026 mL	1.5132 mL	3.0265 mL
10 mM	0.1513 mL	0.7566 mL	1.5132 mL
50 mM	0.0303 mL	0.1513 mL	0.3026 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

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