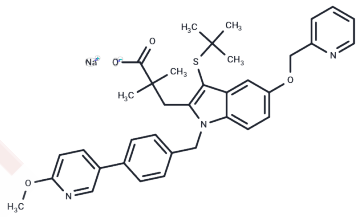
Data Sheet (Cat.No.T10314)

TargetMol

AM103

Chemical Properties



CAS No. : 1147872-22-7

Formula: C36H38N3NaO4S

Molecular Weight: 631.76

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	AM103 is an effective and selective inhibitor of FLAP (IC50 = 4.2 nM).
Targets(IC50)	FLAP
In vitro	AM103 is against the 5 most common CYP isoforms with IC50s >30 μM for CYP2D6 and >50 μM for CYP3A4, CYP2C9, CYP2C19, and CYP1A2[1]. AM103 shows IC50s of 350, 113, and 117 nM against human, rat, and mouse whole-blood ionophore-stimulated LTB4 production, respectively[2].
In vivo	AM103 has high bioavailability of 64%, low clearance of 2.9 mL/min/kg, low volume of distribution of 0.41 L/kg, and a long i.v. half-life of 5.2 h in dogs. AM103 (10 mg/kg) inhibits the increase in CysLTs and EPO by approximately 60% and reduces the level of IL-5[1]. In a model of chronic lung inflammation using ovalbumin-primed and challenged BALB/c mice, AM103 reduces eosinophil peroxidase, CysLTs, and IL-5 in the bronchoalveolar lavage fluid. AM103 increases survival time in mice exposed to a lethal intravenous injection of platelet-activating factor. In the rat lung challenged in vivo with calcium ionophore, AM103 inhibits LTB4 and cysteinyl leukotriene production with ED50 values of 0.8 and 1 mg/kg, respectively[2].

Solubility Information

Solubility	DMSO: 180 mg/mL (284.92 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 5 mg/mL (7.91 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5829 mL	7.9144 mL	15.8288 mL
5 mM	0.3166 mL	1.5829 mL	3.1658 mL
10 mM	0.1583 mL	0.7914 mL	1.5829 mL
50 mM	0.0317 mL	0.1583 mL	0.3166 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Hutchinson JH, et al. 5-lipoxygenase-activating protein inhibitors: development of 3-[3-tert-butylsulfanyl-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-5-(pyridin-2-ylmethoxy)-1H-indol-2-yl]-2,2-dimethyl-propionic acid (AM103). J Med Chem. 2009 Oct 8;52(19):5803-15.

Lorrain DS, et al. Pharmacological characterization of 3-[3-tert-butylsulfanyl-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-5-(pyridin-2-ylmethoxy)-1H-indol-2-yl]-2,2-dimethyl-propionic acid (AM103), a novel selective 5-lipoxygenase-activating protein inhibitor that reduces acute and chronic inflammation. J Pharmacol Exp Ther. 2009 Dec;331(3):1042-50.