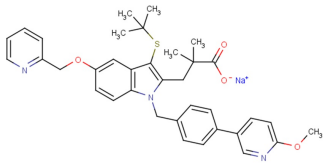
Data Sheet (Cat.No.T10314)

AM 103

Chemical Properties



CAS No.:	1147872-22-7
Formula:	C36H40N3NaO4S
Molecular Weight:	633.78
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	AM 103 is a potent and selective FLAP inhibitor (IC50: 4.2 nM).
Targets(IC_{50})	FLAP: 4.2 nM
In vitro	AM 103 has an excellent CYP profile against the 5 most common CYP isoforms with IC50 values greater than 30 μM for CYP2D6 and >50 μM for CYPs 3A4, 2C9 2C19, and 1A2. AM 103 has an IC50 value of 349 nM in the human blood LTB4 inhibition assay [1]. AM103 has IC50 values of 350, 113, and 117 nM against human, rat, and mouse whole-blood ionophore-stimulated LTB4 production, respectively [2].
In vivo	AM 103 has high bioavailability (64%), low clearance (2.9 mL/min/kg), low volume of distribution (0.41 L/kg), and a long i.v. half-life (5.2 h) in dogs. AM 103 (10 mg/kg q.i.d.) inhibits the increase in CysLTs and EPO by approximately 60%, and IL-5 levels are reduced to the concentrations obtained following saline treatment alone in mice [1]. AM103 (1 mg/kg, p.o.) displays >50% inhibition for up to 6 h with a calculated EC50 of appr 60 nM, in a rat ex vivo whole-blood calcium ionophore-induced LTB4 assay. AM 103 inhibits LTB4 and cysteinyl leukotriene (CysLT) production with ED50 values of 0.8 and 1 mg/kg, respectively, when rat lung is challenged in vivo with calcium ionophore. In this model, the EC50 derived from plasma AM103 is appr 330 nM for inhibition of both LTB4 and CysLT. In a model of chronic lung inflammation using ovalbumin-primed and challenged BALB/c mice, AM103 reduces the concentrations of eosinophil peroxidase, CysLTs, and interleukin-5 in the bronchoalveolar lavage fluid. Finally, AM 103 increases survival time in mice exposed to a lethal intravenous injection of platelet-activating factor [2].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.578 mL	7.889 mL	15.778 mL
5 mM	0.316 mL	1.578 mL	3.156 mL
10 mM	0.158 mL	0.789 mL	1.578 mL
50 mM	0.032 mL	0.158 mL	0.316 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. 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.
2. 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.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481