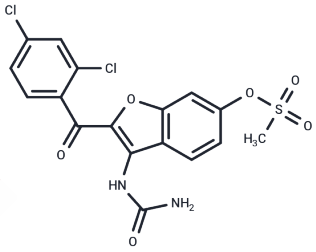
Data Sheet (Cat.No.T15766)

TargetMol

Lirimilast

Chemical Properties



CAS No. : 329306-27-6

Formula: C17H12Cl2N2O6S

Molecular Weight: 443.26

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	Lirimilast (IC_{50} = 49 nM) is a potent, selective, and orally active phosphodiesterase 4 (PDE4) inhibitor with significant anti-inflammatory effects, used in research on asthma and chronic obstructive pulmonary disease (COPD).
Targets(IC50)	PDE
In vitro	Methods: An in vitro PDE4 activity assay was conducted to compare the inhibitory potencies of Lirimilast, cilomilast and CDP-840, using PDE4 freshly prepared from human PMNL as the enzyme source. Results: In the PDE4 assay, the potency of Lirimilast was approximately 5-fold higher than that of cilomilast and comparable to that of CDP-840 [1].
In vivo	Methods: Oral administration of Lirimilast and cilomilast was performed in pulmonary neutrophil inflammation models in guinea pigs, primates and rats to evaluate in vivo efficacy and therapeutic index. Results: The oral effective dose of Lirimilast was 3 mg/kg in guinea pigs and only 0.1 mg/kg per day in primates, showing a favorable therapeutic index. In the rat pulmonary neutrophil inflammation model, its potency was 3-fold higher than that of cilomilast [2].

Solubility Information

Solubility	DMSO: 80 mg/mL (180.48 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.256 mL	11.2801 mL	22.5601 mL
5 mM	0.4512 mL	2.256 mL	4.512 mL
10 mM	0.2256 mL	1.128 mL	2.256 mL
50 mM	0.0451 mL	0.2256 mL	0.4512 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

Grootendorst DC, et al. Efficacy of the novel phosphodiesterase-4 inhibitor BAY 19-8004 on lung function and airway inflammation in asthma and chronic obstructive pulmonary disease (COPD). Pulm Pharmacol Ther. 2003;16 (6):341-7.

Peter Norman. PDE4 inhibitors: sustained patenting activity as leading drugs near the market. Exp. Opin. Ther. Patents (2000) 10(9):1415-1427.