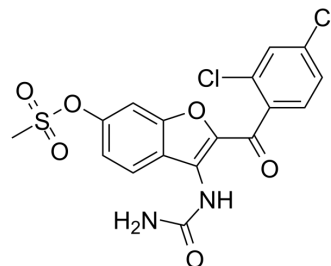


Lirimilast

Cat. No.:	HY-19672		
CAS No.:	329306-27-6		
Molecular Formula:	C ₁₇ H ₁₂ Cl ₂ N ₂ O ₆ S		
Molecular Weight:	443.26		
Target:	Phosphodiesterase (PDE)		
Pathway:	Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (225.60 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.2560 mL	11.2801 mL	22.5601 mL
	5 mM	0.4512 mL	2.2560 mL	4.5120 mL
	10 mM	0.2256 mL	1.1280 mL	2.2560 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Lirimilast (BAY 19-8004) is a potent, selective and orally active phosphodiesterase-4 (PDE4) inhibitor with an IC₅₀ value of 49 nM. Lirimilast can be used for the treatment of asthma or chronic obstructive pulmonary disease (COPD). Lirimilast has potentially anti-inflammatory properties^{[1][2]}.

IC₅₀ & Target

PDE4
42 nM (IC₅₀)

In Vitro

In PDE4 assays Lirimilast (BAY 19-8004) is reported to be 5-fold more potent than Cilomilast and equipotent with CDP-840 using freshly prepared PDE4 from human PMNL^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Since Lirimilast (BAY 19-8004) is orally active in the guinea-pig at 3 mg/kg and, more critically, in primates at 0.1 mg/kg/day it appears to have a good therapeutic ratio. In addition Lirimilast is found to be 3-fold more potent than Cilomilast when compared in a rat model of lung neutrophilic inflammation^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. 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.
- [2]. Peter Norman. PDE4 inhibitors: sustained patenting activity as leading drugs near the market. *Exp. Opin. Ther. Patents* (2000) 10(9):1415-1427.
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Caution: Product has not been fully validated for medical applications. For research use only.

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