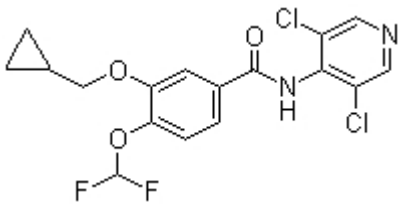
Product Introduction

Roflumilast

Roflumilast is a selective inhibitor of **PDE4** with **IC50** of 0.2-4.3 nM.

Technical Data:

Molecular Weight (MW):	403.21	
Formula:	$C_{17}H_{14}Cl_2F_2N_2O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 81 mg/mL	
	Water <1 mg/mL	
	Ethanol 18 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	162401-32-3	

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

Biological Activity

Roflumilast displays anti-inflammatory and immunomodulatory in vitro. Roflumilast inhibits LTB4 synthesis in human neutrophil with IC50 of 2 nM. Roflumilast inhibits fMLP-stimulated ROS formation as luminol-enhanced CL in human neutrophils or eosinophils with IC35 of 4 nM, and 7 nM, repectively. Roflumilast inhibits LPS-stimulated TNF-α synthesis in monocytes with IC40 of 21 nM. Roflumilast inhibits TNF-α synthesis in monocyte-derived dendritic cells with IC20 of 5 nM. Rolfumilast inhibits anti-CD3 and anti-CD28 antibody-stimulated proliferation of CD4+ T cells with IC30 of 7 nM. Rolfumilast inhibits anti-CD3 and anti-CD28 antibody-stimulated synthesis of IL-2, IL-4, IL-5, and IFN-v in CD4+ T cells with IC20 of 1 nM, IC30 of 7 nM, IC25 of 13 nM, and IC35 of 8 nM, respectively. [2]

Roflumilast is activity against pulmonary inflammatory response related to COPD in animal model. Roflumilast (5 mg/kg/day) induces reduction of neutrophils, macrophages, DC, B-cells, $CD4^+$ T cells, $CD8^+$ T cells in the lung of mice exposed to tobacco smoke for 7 month by 78%, 82%, 48%, 100%, 98%, and 88%, respectively. [3] Roflumilast is an efficient inhibitor of lung fibrotic remodeling. Roflumilast dose-dependently diminishes total lung hydroxyproline after bleomycin, attaining about 47% inhibition at 5 mg/kg/day, paralleled by a reduction in lung αI(I) collagen transcripts and fibrotic lesions. Roflumilast reduces oxidative stress in vivo. Roflumilast (5 mg/kg/day) moderately reduces an increase in BAL fluid lipid hydroperoxides measured at day 14 after intratracheal bleomycin administration in mice. [4]

References

[1] Hatzelmann A, et al. Pulm Pharmacol Ther, 2010, 23(4), 235-256.

[2] Hatzelmann A, et al. J Pharmacol Exp Ther, 2001, 297(1), 267-279.

[3] Martorana PA, et al. BMC Pulm Med, 2008, 8:17.

[4] Cortijo J, et al. Br J Pharmacol, 2009, 156(3), 534-544.