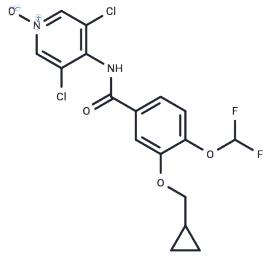
Data Sheet (Cat.No.T4462)

TargetMol

Roflumilast N-oxide

Chemical Properties



CAS No. : 292135-78-5

Formula: C17H14Cl2F2N2O4

Molecular Weight: 419.21

Storage: Keep away from moisture,Store at low temperature
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	Roflumilast N-oxide is an inhibitor of PDE type 4.
Targets(IC50)	PDE
In vitro	Roflumilast N-oxide, at a concentration of 2 nM, partially counteracts the effects of cigarette smoke extract (CSE)-induced epithelial to mesenchymal transition (EMT) in WD-HBEC cells in vitro. It restores 45% of E-cadherin transcript expression adversely affected by CSE and suppresses the expression of collagen type I. Additionally, it protects the epithelial cell phenotype from CSE-induced alterations by co-incubation and partially mitigates the nuclear translocation of β-catenin through pre-incubation.
In vivo	A single administration of Roflumilast N-oxide at a dose of 10 mg/kg in db/db mice significantly increases plasma glucagon-like peptide-1 (GLP-1) levels by fourfold. Continuous treatment with 3 mg/kg of this compound effectively hinders disease progression in these mice, eliminating the rise in blood glucose, halving the rise in HbA1c, and doubling the level of fasted serum insulin compared to the control group, alongside preserving the structure of pancreatic islets. Furthermore, Roflumilast N-oxide enhances insulin release from primary islets stimulated by forskolin and demonstrates more potent glucose-lowering properties than its parent compound.
Cell Research	A549 cells are washed and cultured overnight in serum-free F-12 K medium supplemented with antibiotics, L-glutamine and HEPES. The starved cells are incubated with Neutrophil elastase (NE) for 30 min or vehicle (PBS), washed with PBS and then cultured in serum free F-12 K. After stimulation, cell supernatants are collected at 24 h (for cytokine measurements) and cell pellets are collected after 2 h (for mRNA expression analysis). Alternatively, A549 cells are pre-incubated for 2 h with Roflumilast N-oxide (RNO) (at 0.1 μM, 0.3 μM and 1 μM), vehicle (DMSO 0.01%) prior to the addition of NE. All experiments are performed in serum-free medium in triplicate and are repeated at least three times. At the end of the incubation period, culture supernatants are harvested and stored at -80°C until further analysis
Animal Research	At 7 weeks of age, 16 h fasting mice receive a single oral dose of vehicle (4% methocel) or 10 mg/kg Roflumilast-N-oxide, and a glucose bolus of 2 g/kg body weight is co-administered as a physiological initiator for glucagon-like peptide-1 (GLP-1) secretion. Plasma GLP-1 is analyzed 60 min before, and 10 and 60 min after administration of

Animal Research	Roflumilast-N-oxide and glucose. The effect of Roflumilast-N-oxide on plasma GLP-1 is also investigated in the absence of the glucose bolus

Solubility Information

Solubility	DMSO: 50 mg/mL (119.27 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3854 mL	11.9272 mL	23.8544 mL
5 mM	0.4771 mL	2.3854 mL	4.7709 mL
10 mM	0.2385 mL	1.1927 mL	2.3854 mL
50 mM	0.0477 mL	0.2385 mL	0.4771 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

Victoni T,etal.Roflumilast n-oxide associated with PGE2 prevents the neutrophil elastase-induced production of chemokines by epithelial cells.Int Immunopharmacol. 2016 Jan;30:1-8.
Milara J,etal.Simvastatin Increases the Ability of Roflumilast N-oxide to Inhibit Cigarette Smoke-Induced Epithelial to Mesenchymal Transition in Well-differentiated Human Bronchial Epithelial Cells in vitro.COPD. 2015 Jun;12(3):320-31.
Vollert S,etal.The glucose-lowering effects of the PDE4 inhibitors roflumilast and roflumilast-N-oxide in db/db mice.Diabetologia. 2012 Oct;55(10):2779-2788.