

Product Datasheet

Roflumilast N-oxide

Catalog No. **BP-02059** · CAS **292135-78-5** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-14**

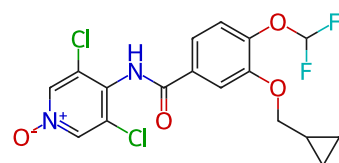
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Target Drug Metabolite; Phosphodiesterase (PDE)	Research area Inflammation/Immunology
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Molecular formula **C₁₇H₁₄Cl₂F₂N₂O₄**

Molecular weight **419.2070**

STRUCTURE



DESCRIPTION

Roflumilast N-oxide is a phosphodiesterase 4 inhibitor. Roflumilast N-Oxide in Combination with Formoterol Enhances the Antiinflammatory Effect of Dexamethasone in Airway Smooth Muscle Cells. Roflumilast n-oxide associated with PGE2 prevents the neutrophil elastase-induced production of chemokines by epithelial cells.

BIOLOGICAL ACTIVITY

In Vitro

Roflumilast N-oxide at 2 nM partly mitigates the cigarette smoke extract (CSE)-induced epithelial to mesenchymal transition (EMT) in WD-HBEC in vitro. Roflumilast N-oxide (2 nM) reverses the compromised expression of E-cadherin transcripts following CSE by 45%. The expression of collagen type I is abrogated by Roflumilast N-oxide (2 nM). The epithelial cell phenotype appears protected when cells are co-incubated with Roflumilast N-oxide (2 nM). Pre-incubation with Roflumilast N-oxide (2 nM) also partly attenuates the nuclear translocation of β -catenin.

In Vivo

Single treatment of db/db mice with 10 mg/kg Roflumilast N-oxide enhances plasma glucagon-like peptide-1 (GLP-1) 4-fold. Chronic treatment of db/db mice with Roflumilast N-oxide at 3 mg/kg shows prevention of disease progression. Roflumilast-N-oxide abolishes the increase in blood glucose, reduces the increment in HbA1c by 50% and doubles fasted serum insulin compare with vehicle, concomitants with preservation of pancreatic islet morphology. Furthermore, Roflumilast-N-oxide amplifies forskolin-induced insulin release in primary islets. Roflumilast-N-oxide also shows stronger glucose-lowering effects than its parent compound.

SOLUBILITY

Soluble in DMSO : 250 mg/mL

REFERENCES

[1]. Victoni T, Gicquel T, Bodin A, et al. Roflumilast n-oxide associated with PGE2 prevents the neutrophil elastase-induced production of chemokines by epithelial cells. *Int Immunopharmacol.* 2016;30:1-8.

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[2]. Milara J, Peiró T, Serrano A, et al. 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;12(3):320-331. doi:10.3109/15412555.2014.948995

[3]. Vollert S, Kaessner N, Heuser A, et al. The glucose-lowering effects of the PDE4 inhibitors roflumilast and roflumilast-N-oxide in db/db mice. *Diabetologia.* 2012;55(10):2779-2788. doi:10.1007/s00125-012-2632-z

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