

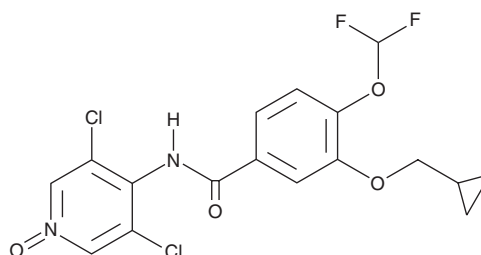
PRODUCT INFORMATION



Roflumilast N-oxide

Item No. 33975

CAS Registry No.: 292135-78-5
Formal Name: 3-(cyclopropylmethoxy)-N-(3,5-dichloro-1-oxido-4-pyridinyl)-4-(difluoromethoxy)benzamide
MF: C₁₇H₁₄Cl₂F₂N₂O₄
FW: 419.2
Purity: ≥98%
UV/Vis.: λ_{max}: 214, 231, 288 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Roflumilast N-oxide is supplied as a solid. A stock solution may be made by dissolving the roflumilast N-oxide in the solvent of choice, which should be purged with an inert gas. Roflumilast N-oxide is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of roflumilast N-oxide in ethanol is approximately 5 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Description

Roflumilast N-oxide is an inhibitor of phosphodiesterase 4 (PDE4; IC₅₀ = 2 nM) and an active metabolite of the PDE4 inhibitor roflumilast (Item No. 15141).¹ It is selective for PDE4 over PDE1-3 and PDE5 (IC₅₀s = >10,000 nM for all). It reduces TNF-α-induced ICAM-1 and eotaxin levels when used in combination with prostaglandin E₂ (PGE₂; Item No. 14010) in GM06114 human fetal lung fibroblasts (IC₅₀s = 0.9 and 0.5 nM, respectively).² It also reduces bFGF-induced and IL-1β augmented proliferation in the same cells. Roflumilast N-oxide (2 nM) reverses cigarette smoke extract-induced reductions in ciliary beat frequency in isolated human bronchial epithelial cells.³ Roflumilast N-oxide also increases plasma glucagon-like peptide 1 (GLP-1) levels in a glucose challenge in diabetic *db/db* mice when administered at a dose of 10 mg/kg, as well as prevents increases in blood glucose in *db/db* mice at 3 mg/kg per day.⁴

References

1. Hatzelmann, A. and Schudt, C. Anti-inflammatory and immunomodulatory potential of the novel PDE4 inhibitor roflumilast *in vitro*. *J. Pharmacol. Exp. Ther.* **297**(1), 267-279 (2001).
2. Sabatini, F., Petecchia, L., Boero, S., *et al.* A phosphodiesterase 4 inhibitor, roflumilast N-oxide, inhibits human lung fibroblast functions *in vitro*. *Pulm. Pharmacol. Ther.* **23**(4), 283-291 (2010).
3. Milara, J., Armengot, M., Bañuls, P., *et al.* Roflumilast N-oxide, a PDE4 inhibitor, improves cilia motility and ciliated human bronchial epithelial cells compromised by cigarette smoke *in vitro*. *Br. J. Pharmacol.* **166**(8), 2243-2262 (2012).
4. 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* **55**(10), 2779-2788 (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 12/15/2022

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM