

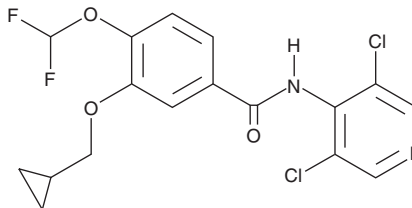
PRODUCT INFORMATION



Roflumilast

Item No. 15141

CAS Registry No.: 162401-32-3
Formal Name: 3-(cyclopropylmethoxy)-N-(3,5-dichloro-4-pyridinyl)-4-(difluoromethoxy)benzamide
Synonyms: B 9302-107, BY 217, BYK 20869
MF: C₁₇H₁₄Cl₂F₂N₂O₃
FW: 403.2
Purity: ≥98%
UV/Vis.: λ_{max}: 212, 250 nm
Supplied as: A crystalline 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 is supplied as a crystalline solid. A stock solution may be made by dissolving the roflumilast in the solvent of choice, which should be purged with an inert gas. Roflumilast is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of roflumilast in these solvents is approximately 10, 20, and 30 mg/ml, respectively.

Roflumilast is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, roflumilast should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Roflumilast has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Roflumilast is an inhibitor of phosphodiesterase 4 (PDE4; IC₅₀ = 0.8 nM).¹ It is selective for PDE4 over PDE1, -2, -3, and -5 (IC₅₀s = >10, >10, >10, and 8 μM, respectively). Roflumilast inhibits the production of leukotriene B₄ (LTB₄; Item No. 20110) induced by fMLP (Item No. 21495) in isolated human neutrophils with an IC₅₀ value of 2 nM. It reduces the proliferation of anti-CD3 and anti-CD28-stimulated isolated human CD4⁺ T cells (IC₃₀ = 7 nM). Roflumilast (5 mg/kg) prevents pulmonary inflammatory cell infiltration and emphysema in mice exposed to cigarette smoke.² Formulations containing roflumilast have been used in the treatment of chronic obstructive pulmonary disease (COPD).

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. Martorana, P.A., Lunghi, B., Lucattelli, M., et al. Effect of roflumilast on inflammatory cells in the lungs of cigarette smoke-exposed mice. *BMC Pulm. Med.* **8**, 17 (2008).

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.

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