

Product Name: Roflumilast

Catalog No.: 6641

Batch No.: 1

CAS Number: 162401-32-3

IUPAC Name: 3-(Cyclopropylmethoxy)-N-(3,5-dichloro-4-pyridinyl)-4-(difluoromethoxy)benzamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₇H₁₄Cl₂F₂N₂O₃

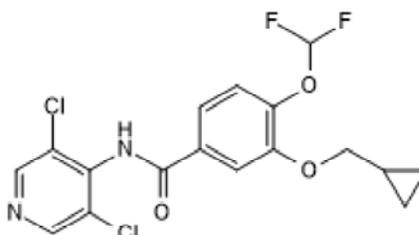
Batch Molecular Weight: 403.21

Physical Appearance: White solid

Solubility: DMSO to 100 mM
ethanol to 10 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.1% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	50.64	3.5	6.95
Found	50.94	3.44	6.94

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Description:

Potent and selective PDE4 inhibitor (IC₅₀ values are 0.2 to 4.3 nM for various splice variants of PDE4). Exhibits > 1000-fold selectivity for PDE4 over other PDE family members. Demonstrates effects on functioning of neutrophils, CD4⁺ and CD8⁺ T-cells, endothelial, epithelial and smooth muscle cells, as well as fibroblasts, in vitro. Attenuates lung inflammation, mucociliary malfunction, lung fibrosis, oxidative stress, pulmonary vascular remodeling and pulmonary hypertension in animal models of COPD disease mechanisms.

Physical and Chemical Properties:

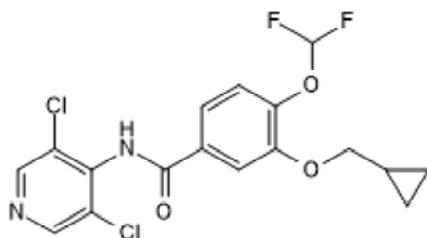
Batch Molecular Formula: C₁₇H₁₄Cl₂F₂N₂O₃

Batch Molecular Weight: 403.21

Physical Appearance: White solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 100 mM

ethanol to 10 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Rabe (2011) Update on roflumilast, a phosphodiesterase 4 inhibitor for the treatment of chronic obstructive pulmonary disease. *Br.J.Pharmacol.* **163** 53. PMID: 21232047.

Hatzelmann et al (2010) The preclinical pharmacology of roflumilast - a selective, oral phosphodiesterase 4 inhibitor in development for chronic obstructive pulmonary disease. *Pulm.Pharmacol.Ther.* **23** 235. PMID: 20381629.

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