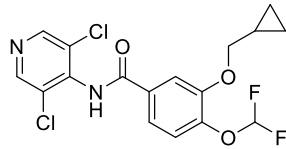


Product data sheet



MedKoo Cat#: 300320 Name: Roflumilast CAS#: 162401-32-3 Chemical Formula: C ₁₇ H ₁₄ Cl ₂ F ₂ N ₂ O ₃ Exact Mass: 402.0350 Molecular Weight: 403.21	
Product supplied as: Powder	
Purity (by HPLC): ≥ 98%	
Shipping conditions: Ambient temperature	
Storage conditions: Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

1. Product description:

Roflumilast (also known as Daxas and Daliresp) acts as a selective, long-acting inhibitor of PDE-4. It has anti-inflammatory effects and is under development as an orally administered drug for the treatment of inflammatory conditions of the lungs. In June 2010, Daxas was approved in the EU for severe COPD associated with chronic bronchitis. In March 2011, Daliresp gained FDA approval in the US for reducing COPD exacerbations.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	81	200.89
Ethanol	15	37.20

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.64 mL	8.20 mL	16.40 mL
5 mM	0.33 mL	1.64 mL	3.28 mL
10 mM	0.16 mL	0.82 mL	1.64 mL
50 mM	0.03 mL	0.16 mL	0.33 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Abdel-Wahab BA, Walbi IA, Albarqi HA, Ali FEM, Hassanein EHM. Roflumilast protects from cisplatin-induced testicular toxicity in male rats and enhances its cytotoxicity in prostate cancer cell line. Role of NF-κB-p65, cAMP/PKA and Nrf2/HO-1, NQO1 signaling. *Food Chem Toxicol.* 2021 May;151:112133. doi: 10.1016/j.fct.2021.112133. Epub 2021 Mar 20. PMID: 33757793.
2. Gong S, Chen Y, Meng F, Zhang Y, Li C, Zhang G, Huan W, Wu F. Roflumilast enhances cisplatin-sensitivity and reverses cisplatin-resistance of ovarian cancer cells via cAMP/PKA/CREB-FtMt signalling axis. *Cell Prolif.* 2018 Oct;51(5):e12474. doi: 10.1111/cpr.12474. Epub 2018 Aug 2. PMID: 30069985; PMCID: PMC6528923.

In vivo study

1. Farid HA, Sayed RH, El-Shamarka ME, Abdel-Salam OME, El Sayed NS. PI3K/AKT signaling activation by roflumilast ameliorates rotenone-induced Parkinson's disease in rats. *Inflammopharmacology.* 2023 Aug 4. doi: 10.1007/s10787-023-01305-x. Epub ahead of print. PMID: 37541971.

Product data sheet



2. Patel P, Patel S, Chudasama P, Soni S, Raval M. Roflumilast ameliorates diabetic nephropathy in rats through down-regulation of JAK/STAT signaling pathway. *Naunyn Schmiedebergs Arch Pharmacol.* 2023 Jun 15. doi: 10.1007/s00210-023-02535-0. Epub ahead of print. PMID: 37318524.

7. Bioactivity

Biological target:

Roflumilast inhibits LTB₄ synthesis in human neutrophil with IC₅₀ of 2 nM. Roflumilast inhibits fMLP-stimulated ROS formation as luminol-enhanced CL in human neutrophils or eosinophils with IC₃₅ of 4 nM, and 7 nM, respectively. Roflumilast inhibits LPS-stimulated TNF- α synthesis in monocytes with IC₄₀ of 21 nM. Roflumilast inhibits TNF- α synthesis in monocyte-derived dendritic cells with IC₂₀ of 5 nM. Roflumilast inhibits anti-CD3 and anti-CD28 antibody-stimulated proliferation of CD4⁺ T cells with IC₃₀ of 7 nM. Roflumilast inhibits anti-CD3 and anti-CD28 antibody-stimulated synthesis of IL-2, IL-4, IL-5, and IFN- γ in CD4⁺ T cells with IC₂₀ of 1 nM, IC₃₀ of 7 nM, IC₂₅ of 13 nM, and IC₃₅ of 8 nM, respectively.

In vitro activity

In the PC3 cell line, roflumilast reversed cisplatin (CIS)-induced abnormalities in sperm characteristics, normalized serum testosterone level, ameliorated CIS-induced alterations in testicular and epididymal weights, and restored normal testicular structure. Roflumilast increased intracellular cAMP levels, PKA, and HO-1 activities; Nrf2, NQO-1 and HO-1 gene expression; improved testicular oxidative stress parameters and inflammatory mediators; and reduced the proapoptotic proteins, caspase-3, Bax and increased Bcl-2.

Reference: *Food Chem Toxicol.* 2021 May;151:112133. <https://pubmed.ncbi.nlm.nih.gov/33757793/>

In vivo activity

This study revealed that roflumilast exerted neuroprotective effects in rotenone-induced neurotoxicity in a model of Parkinson's disease in rats. Rats treated with roflumilast showed an improvement in motor activity and coordination and preservation of dopaminergic neurons in the striatum. Roflumilast increased cAMP level and activated the PI3K/AKT axis via stimulation of CREB/BDNF/TrkB and SIRT1/PTP1B/IGF1 signaling cascades. Roflumilast caused an upsurge in mTOR and Nrf2, halted GSK-3 β and NF- κ B, and suppressed FoxO1 and caspase-3.

Reference: *Inflammopharmacology.* 2023 Aug 4. <https://pubmed.ncbi.nlm.nih.gov/37541971/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.