

**Catalog # 10-2657**

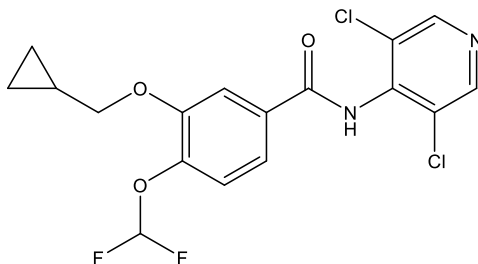
**Roflumilast**

CAS# 162401-32-3

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

BYK-20869

Lot # X108472



Potent and selective phosphodiesterase (PDE4) inhibitor,  $IC_{50}$ =0.7, 0.9, 0.7, 0.2 nM for PDE4A1, PDE4A4, PDE4B1 and PDE4B2 respectively.<sup>1</sup> Clinically useful agent for treatment of COPD.<sup>2</sup> Improves sensory gating in humans<sup>3</sup> and improves memory in rodent models<sup>4</sup>. Mimics calorie restriction effects via activation of AMPK/SIRT1 and protects against diabetic nephropathy.<sup>5</sup> Reduces weight gain by increasing energy expenditure and improves glucose metabolism in mice.<sup>6</sup>

- 1) 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
- 2) Rabe *et al.* (2011), *Update on roflumilast, a phosphodiesterase 4 inhibitor for the treatment of chronic obstructive pulmonary disease*; *Br. J. Pharmacol.*, **163** 53
- 3) Heckman *et al.* (2018), *Acute administration of roflumilast enhances sensory gating in healthy young humans in a randomized trial*; *Psychopharmacology (Berl.)*, **235** 301
- 4) Vanmierlo *et al.* (2016), *The PDE4 inhibitor roflumilast improves memory in rodents at non-emetic doses*; *Behav. Brain Res.*, **303** 26
- 5) Tikoo *et al.* (2014), *Calorie restriction mimicking effects of roflumilast prevents diabetic nephropathy*; *Biochem. Biophys. Res. Commun.*, **450** 1581
- 6) Mollmann *et al.* (2017), *The PDE4 inhibitor roflumilast reduced weight gain by increasing energy expenditure and leads to improved glucose metabolism*; *Diabetes Obes. Metab.*, **19** 496

**PHYSICAL DATA**

Molecular Weight:	403.21
Molecular Formula:	C <sub>17</sub> H <sub>14</sub> N <sub>2</sub> Cl <sub>2</sub> F <sub>2</sub> O <sub>3</sub>
Purity:	98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (up to 25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**

Focus Biomolecules LLC 400 Davis Drive, Suite 600 Plymouth Meeting PA 19462

[www.focusbiomolecules.com](http://www.focusbiomolecules.com)