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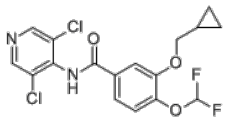
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Roflumilast
Cat. No.	:	PC-20681
CAS No.	:	162401-32-3
Molecular Formula	:	$C_{17}H_{14}Cl_2F_2N_2O_3$
Molecular Weight	:	403.21
Target	:	Phosphodiesterase (PDE)
Solubility	:	10 mM in DMSO



CAS: 162401-32-3

Biological Activity

Roflumilast (APTA-2217) is a potent, selective, orally active **PDE4** inhibitor with IC50 of 0.7, 0.9, 0.7, and 0.2 nM for PDE4A1, PDEA4, PDEB1, and PDEB2, respectively.
Roflumilast shows no PDE4 subtype selectivity apart from PDE4C (4C1, IC50=3 nM; 4C2, IC50=4.3 nM), does not inhibits PDE1, PDE2, PDE3 or PDE5 isoenzymes.
Roflumilast inhibits human neutrophil functions, inhibits TNFα synthesis in monocyte-derived dendritic cells.
Rolfumilast inhibits proliferation and cytokine synthesis in CD4+ T cells.
Roflumilast inhibits the ovalbumin-evoked contractions of tracheal chains prepared from sensitized guinea pigs with EC50 of 0.2 uM.
Roflumilast abrogated LPS-induced circulating TNFalpha in the rat (ED(50) = 0.3 micromol/kg) in bronchoalveolar lavage fluid of Brown Norway rats.

References

Bundschuh DS, et al. ***J Pharmacol Exp Ther.*** 2001 Apr;297(1):280-90.

Hatzelmann A, et al. ***J Pharmacol Exp Ther.*** 2001 Apr;297(1):267-79.

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