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Data Sheet

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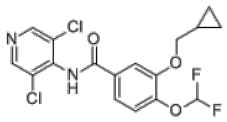
Product Name	:	Roflumilast
Cat. No.	:	PC-20681
CAS No.	:	162401-32-3
Molecular Formula	:	$C_{17}H_{14}Cl_2F_2N_2O_3$
Molecular Weight	:	403.21
Target	:	Phosphodiesterase (PDE)
Solubility	:	10 mM in DMSO



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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