

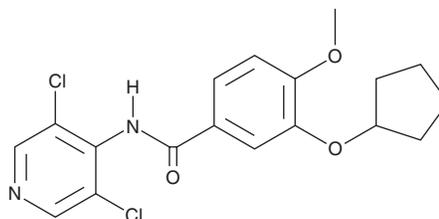
PRODUCT INFORMATION



Piclamilast

Item No. 29170

CAS Registry No.: 144035-83-6
Formal Name: 3-(cyclopentyloxy)-N-(3,5-dichloro-4-pyridinyl)-4-methoxy-benzamide
Synonyms: RP 73401, RPR 73401
MF: C₁₈H₁₈Cl₂N₂O₃
FW: 381.3
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Piclamilast is supplied as a solid. A stock solution may be made by dissolving the piclamilast in the solvent of choice, which should be purged with an inert gas. Piclamilast is soluble in organic solvents such as ethanol and DMSO. The solubility of piclamilast in ethanol is approximately 20 mM and approximately 100 mM in DMSO.

Description

Piclamilast is a phosphodiesterase 4 (PDE4) inhibitor (IC₅₀ = 0.31 nM).¹ It is selective for PDE4 over PDE1, PDE2, PDE3, PDE5, and PDE7A in cell-free assays (IC₅₀s = >100, 40, >100, 14, and >10 μM, respectively).^{2,3} Piclamilast inhibits superoxide production by guinea pig eosinophils and histamine-induced contraction in isolated guinea pig trachea (IC₅₀s = 24 and 2 nM, respectively).^{1,4} It inhibits eosinophil, neutrophil, lymphocyte, and TNF-α accumulation in bronchoalveolar lavage fluid (BALF) from ovalbumin-sensitized rats (ED₅₀s = 23.8, 14.1, 19.5, and 14.4 μmol/kg, respectively).⁵ Piclamilast also inhibits ovalbumin-induced bronchoconstriction in a guinea pig model of asthma (ED₅₀ = 0.033 mg/kg).²

References

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2. Ukita, T., Sugahara, M., Terakawa, Y., *et al.* Novel, potent, and selective phosphodiesterase-4 inhibitors as antiasthmatic agents: Synthesis and biological activities of a series of 1-pyridinyl-naphthalene derivatives. *J. Med. Chem.* **42(6)**, 1088-1099 (1999).
3. Nakata, A., Ogawa, K., Sasaki, T., *et al.* Potential role of phosphodiesterase 7 in human T cell function: Comparative effects of two phosphodiesterase inhibitors. *Clin. Exp. Immunol.* **128(3)**, 460-466 (2002).
4. Raeburn, D., Underwood, S.L., Lewis, S.A., *et al.* Anti-inflammatory and bronchodilator properties of RP 73401, a novel and selective phosphodiesterase type IV inhibitor. *Br. J. Pharmacol.* **113(4)**, 1423-1431 (1994).
5. Bundschuh, D.S., Eltze, M., Barsig, J., *et al.* In vivo efficacy in airway disease models of roflumilast, a novel orally active PDE4 inhibitor. *J. Pharmacol. Exp. Ther.* **297(1)**, 280-290 (2001).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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