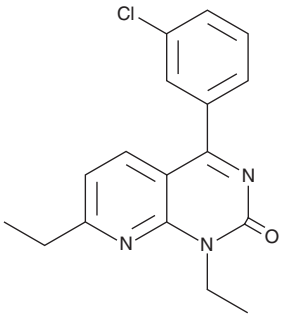
PRODUCT INFORMATION

YM-976

Item No. 29529



CAS Registry No.:	191219-80-4
Formal Name:	4-(3-chlorophenyl)-1,7-diethyl-pyrido[2,3-d]pyrimidin-2(1H)-one
MF:	$C_{17}H_{16}ClN_3O$
FW:	313.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 352 nm
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

YM-976 is supplied as a solid. A stock solution may be made by dissolving the YM-976 in the solvent of choice, which should be purged with an inert gas. YM-976 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of YM-976 in these solvents is approximately 2, 5, and 3 mg/ml, respectively.

YM-976 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, YM-976 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. YM-976 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

YM-976 is a phosphodiesterase 4 (PDE4) inhibitor (IC_{50} = 2.2 nM for the human peripheral leukocyte enzyme).[1] It is selective for PDE4 over PDE1, -2, -3, and -5 (IC_{50}s = >3 µM for all). YM-976 inhibits LPS-induced TNF-α production in human peripheral blood mononuclear cells (PBMCs). *In vivo*, YM-976 inhibits carrageenan-induced pleural cavity cell infiltration in a rat model of pleurisy (ED_{30} = 9.1 mg/kg). It inhibits antigen-induced lung eosinophil infiltration in rats, mice, and ferrets (ED_{50}s = 1.7, 5.8, and 1.2 mg/kg, respectively) and does not induce emesis in ferrets when administered at doses up to 10 mg/kg.[2] YM-976 reduces ovalbumin-induced bronchoconstriction, as well as airway plasma leakage, eosinophil infiltration, and hyperreactivity in a guinea pig model of asthma (ED_{50}s = 7.3, 5.7, 1, and 0.52 mg/kg, respectively).[3] It also reduces citric acid-induced cough in guinea pigs.[4]

References

1. Aoki, M., Kobayashi, M., Ishikawa, J., *et al.* A novel phosphodiesterase type 4 inhibitor, YM976 (4-(3-chlorophenyl)-1,7-diethylpyrido[2,3-d]pyrimidin-2(1H)-one), with little emetogenic activity. *J. Pharmacol. Exp. Ther.* **295(1)**, 255-260 (2000).
2. Aoki, M., Fukunaga, M., Kitagawa, M., *et al.* Effect of a novel anti-inflammatory compound, YM976, on antigen-induced eosinophil infiltration into the lungs in rats, mice, and ferrets. *J. Pharmacol. Exp. Ther.* **295(3)**, 1149-1155 (2000).
3. Aoki, M., Yamamoto, S., Kobayashi, M., *et al.* Antiasthmatic effect of YM976, a novel PDE4 inhibitor, in guinea pigs. *J. Pharmacol. Exp. Ther.* **297(1)**, 165-173 (2001).
4. Mokrý, J., Urbanová, A., Medvedová, I., *et al.* Effects of selective inhibition of PDE4 by YM976 on airway reactivity and cough in ovalbumin-sensitized guinea pigs. *Adv. Exp. Med. Biol.* **921**, 61-70 (2016).

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.

WARRANTY AND LIMITATION OF REMEDY
Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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