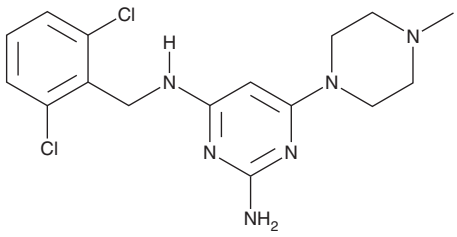
PRODUCT INFORMATION

ST-1006

Item No. 46714

CAS Registry No.:	1196994-11-2
Formal Name:	N^4-[(2,6-dichlorophenyl)methyl]-6-(4-methyl-1-piperazinyl)-2,4-pyrimidinediamine
MF:	$C_{16}H_{20}Cl_2N_6$
FW:	367.3
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

ST-1006 is supplied as a solid. A stock solution may be made by dissolving the ST-1006 in the solvent of choice, which should be purged with an inert gas. ST-1006 is sparingly soluble (1-10 mg/ml) in DMSO.

Description

ST-1006 is a histamine H_4 receptor partial agonist.[1-3] It stimulates the hydrolysis of GTP to GDP in Sf9 cells expressing the human H_4 receptor (EC_{50} = 1.41 nM).[1] ST-1006 selectively binds the H_4 over H_1, H_2, and H_3 receptors (K_is = 11.48, <1,000, <1,000, and 513 nM, respectively, in Sf9 cells expressing the human receptors). It reduces the secretion of IL-12p70 in isolated human peripheral blood monocytes stimulated with IFN-γ and LPS, an effect that can be blocked by the H_4 receptor antagonist JNJ-7777120 (Item No. 10011925).[3] ST-1006 (60 mg/kg) reduces lung leukocyte infiltration, myeloperoxidase (MPO) activity, and COX-2 and TGF-β levels, and decreases bronchial smooth muscle layer thickness in a mouse model of lung fibrosis induced by bleomycin (Item No. 13877).[2]

References

1. Sander, K., Kottke, T., Tanrikulu, Y., *et al.* 2,4-Diaminopyrimidines as histamine H_4 receptor ligands—scaffold optimization and pharmacological characterization. *Bioorg. Med. Chem.* **17(20),** 7186-7196 (2009).
2. Lucarini, L., Pini, A., Rosa, A.C., *et al.* Role of histamine H_4 receptor ligands in bleomycin-induced pulmonary fibrosis. *Pharmacol. Res.* **111,** 740-748 (2016).
3. Gschwandtner, M., Koether, B., Werfel, T., *et al.* Profiling of histamine H_4 receptor agonists in native human monocytes. *Br. J. Pharmacol.* **170(1),** 136-143 (2013).

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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CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM