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Produktinformation

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siehe unsere Liefer- und Versandbedingungen

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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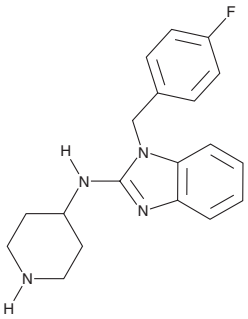
PRODUCT INFORMATION

Norastemizole

Item No. 41828



CAS Registry No.:	75970-99-9
Formal Name:	1-[(4-fluorophenyl)methyl]-N-4-piperidinyl-1H-benzimidazol-2-amine
Synonym:	Tecastemizole
MF:	$C_{19}H_{21}FN_4$
FW:	324.4
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

Norastemizole is supplied as a solid. A stock solution may be made by dissolving the norastemizole in the solvent of choice, which should be purged with an inert gas. Norastemizole is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in ethanol.

Description

Norastemizole is a histamine H_1 receptor antagonist (IC_{50} = 4.1 nM) and an active metabolite of the histamine H_1 receptor antagonist and ether-a-go-go (ERG) channel blocker astemizole (Item No. 16967).[1,2] It is formed from astemizole by the cytochrome P450 (CYP) isoform 3A4 (CYP3A4).[2] Norastemizole also inhibits currents in a whole-cell patch-clamp assay using HEK293 cells expressing human-ether-a-go-go (hERG), also known as K_v11.1 (IC_{50} = 27.7 nM).[3] It prevents IL-1β-induced increases in the levels of intracellular adhesion molecule-1 (ICAM-1) and vascular cell adhesion molecule-1 (VCAM-1) in human umbilical vein endothelial cells (HUVECs) when used at a concentration of 100 µM.[4] Norastemizole (1 mg/kg) inhibits passive cutaneous anaphylaxis induced by ovalbumin (OVA) or the biogenic amine histamine (Item No. 33828), but not by bradykinin, in guinea pigs. It inhibits eosinophil infiltration into the lungs of mice in a mouse model of OVA-induced asthma when administered at a dose of 1 mg/kg.

References

1. Oppenheimer, J.J. and Casale, T.B. Next generation antihistamines: Therapeutic rationale, accomplishments and advances. *Expert Opin. Investig. Drugs* **11(6),** 807-817 (2002).
2. Matsumoto, S. and Yamazoe, Y. Involvement of multiple human cytochromes P450 in the liver microsomal metabolism of astemizole and a comparison with terfenadine. *Br. J. Clin. Pharmacol.* **51(2),** 133-142 (2001).
3. Zhou, Z., Vorperian, V.R., Gong, Q., *et al.* Block of HERG potassium channels by the antihistamine astemizole and its metabolites desmethylastemizole and norastemizole. *J. Cardiovasc. Electrophysiol.* **10(6),** 836-843 (1999).
4. Lever, R., Hefni, A., Moffatt, J.D., *et al.* Effect of tecastemizole on pulmonary and cutaneous allergic inflammatory responses. *Clin. Exp. Allergy* **37(6),** 909-917 (2007).

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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