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ProductInformation

Astemizole

Product Number **A 6424**
Store at Room Temperature

Product Description

Molecular Formula: $C_{28}H_{31}FN_4O$
Molecular Weight: 458.6
CAS Number: 68844-77-9
Synonym: 1-(4-fluorobenzyl) -2-(1-[4-methoxyphenethyl]piperidin-4-yl)aminobenzimidazole, 1-[(4-fluorophenyl)methyl] -N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl] -1H-benzimidazol-2-amine[1]

Astemizole, a benzimidazole derivative, is a histamine H_1 receptor antagonist that is used in cell signaling and signal transduction research.[1] Several reports have described the use of astemizole to block ion channels. The action of histamine on cells transfected with the KCNQ2 and KCNQ3 K^+ subunits is inhibited upon addition of astemizole.[2] Astemizole has been shown to inhibit the S631C mutation of the human ether-à-go-go-related gene (HERG) channel (HERGS631C) in its reduced state, in *Xenopus* oocytes.[3]

A comparison of astemizole with other histamine H1-receptor antagonists in guinea pig ventricular myocytes with respect to their effects on cardiac electrophysiology has been reported.[4] The effects of astemizole on calcium flux and on FcεRI receptor-mediated signal transduction processes in rat basophilic leukemia (RBL-2H3) cells have been investigated.[5,6]

An HPLC method for the analysis of astemizole and its demethylated metabolite in animal plasma and tissues has been reported.[7] A TLC method for analysis of free and plasma-bound astemizole has been described.[8]

Precautions and Disclaimer

For Laboratory Use Only. Not for drug, household or other uses.

Preparation Instructions

This product is soluble in chloroform (100 mg/ml), with heat as needed, yielding a clear to hazy, faint yellow solution.

References

1. The Merck Index, 12th ed., Entry# 891.
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3. Ulens, C., and Tytgat, J., Redox state dependency of HERGS631C channel pharmacology: relation to C-type inactivation. FEBS Lett., **474(1)**, 111-115 (2000).
4. Salata, J. J., et al., Cardiac electrophysiological actions of the histamine H1-receptor antagonists astemizole and terfenadine compared with chlorpheniramine and pyrilamine. Circ. Res., **76(1)**, 110-119 (1995).
5. Fischer, M. J., et al., Dual effect of the anti-allergic astemizole on Ca^{2+} fluxes in rat basophilic leukemia (RBL-2H3) cells: release of Ca^{2+} from intracellular stores and inhibition of Ca^{2+} release-activated Ca^{2+} influx. Biochem. Pharmacol., **55(8)**, 1255-1262 (1998).
6. Fischer, M. J., et al., Effects of the anti-allergics astemizole and norastemizole on Fc epsilon RI receptor-mediated signal transduction processes. Eur. J. Pharmacol., **322(1)**, 97-105 (1997).

7. Woestenborghs, R., et al., Simultaneous determination of astemizole and its demethylated metabolite in animal plasma and tissues by high-performance liquid chromatography. J. Chromatogr., **278(2)**, 359-366 (1983).

8. Mangalan, S., et al., Detection and determination of free and plasma protein-bound astemizole by thin-layer chromatography: a useful technique for bioavailability studies. J. Chromatogr., **567(2)**, 498-503 (1991).

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