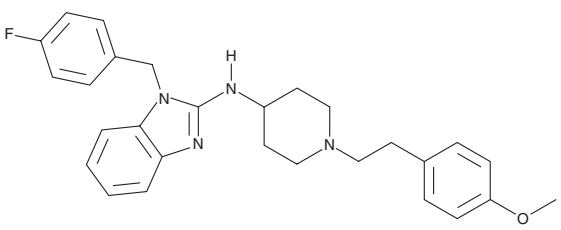
PRODUCT INFORMATION

Astemizole

Item No. 16967

CAS Registry No.:	68844-77-9
Formal Name:	1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1H-benzimidazol-2-amine
Synonym:	NSC 329963
MF:	$C_{28}H_{31}FN_4O$
FW:	458.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 215, 250, 285 nm
Supplied as:	A crystalline 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

Astemizole is supplied as a crystalline solid. A stock solution may be made by dissolving the astemizole in the solvent of choice, which should be purged with an inert gas. Astemizole is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of astemizole in these solvents is approximately 5, 20, and 10 mg/ml, respectively.

Astemizole is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, astemizole should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Astemizole 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

Astemizole is a non-sedating antihistamine that antagonizes the histamine H1 receptor with a K_i value of 4.4 nM.[1] It is considerably less potent at muscarinic acetylcholine receptors (K_i = 2.4 µM).[2] Astemizole is also a potent blocker of ether-a-go-go-related gene (ERG) potassium channels (IC_{50} = ~1 nM) that has been used to study long QT syndrome type 2.[3,4] Furthermore, because it can target oncogenic ERG1 and ERG potassium channels, astemizole has been explored as a potential antineoplastic agent for decreasing proliferation of various cancer cells.[5]

References

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2. Kubo, N., Shirakawa, S., Kuno, T., *et al.* Antimuscarinic effects of antihistamines: Quantitative evaluation by receptor-binding assay. *Jpn. J. Pharmacol.* **43(3)**, 277-282 (1987).
3. Du, L.P., Tsai, K.C., Li, M.Y., *et al.* The pharmacophore hypotheses of I_{Kr} potassium channel blockers: Novel class III antiarrhythmic agents. *Bioorg. Med. Chem. Lett.* **14(18)**, 4771-4777 (2004).
4. Ficker, E., Obejero-Paz, C.A., Zhao, S., *et al.* The binding site for channel blockers that rescue misprocessed human long QT syndrome type 2 ether-a-gogo-related gene (HERG) mutations. *J. Biol. Chem.* **277(7)**, 4989-4998 (2002).
5. García-Quiroz, J., García-Becerra, R., Santos-Martínez, N., *et al.* In vivo dual targeting of the oncogenic Ether-à-go-go-1 potassium channel by calcitriol and astemizole results in enhanced antineoplastic effects in breast tumors. *BMC Cancer* **14**, 2-10 (2014).

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