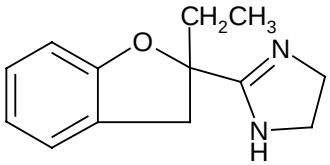
ProductInformation

EFAROXAN HYDROCHLORIDE
Product Number **E3263**
Storage Temperature: Room Temperature

CAS#: 89197-00-2
Synonym: 2-(2-Ethyl-2,3-dihydro-2-benzofuranyl)-4,5-dihydro-1H-imidazole hydrochloride



HCl

Product Description

Molecular Formula: $C_{13}H_{16}N_2O \bullet HCl$
Molecular Weight: 252.74 (anhyd.)

Various compounds with an imidazoline or guanidium moiety elicit a number of pharmacological effects on metabolism, secretion, ion transport and cardiovascular/cerebrovascular function. These compounds include the α_1-adrenoceptor agonist/α_2-adrenoceptor antagonist cirazoline, the α_2-adrenoceptor antagonists efaroxan and idazoxan, the α_2-adrenoceptor agonist guanabenz, the ion transport inhibitor amilioride and other structurally related ligands. Several studies indicate that these molecules interact with distinct imidazoline binding proteins. These sites share the common property of not recognizing endogenous agonists for known monoamine receptors and exhibiting high affinity for selected compounds containing an imidazoline, guanidinium or structurally related substituent.

Radioligand binding and photoaffinity labeling studies indicate that imidazoline binding sites represent a heterogeneous family of proteins that are currently grouped as I_1 and I_2. The two groups of binding sites differ in their ligand recognition properties, tissue distribution and possibly their localization within the cell. I_1 binding sites may be involved in diacylglycerol generation and are implicated in the centrally mediated effects of imidazoline ligands on blood pressure.[1] However, their precise role is controversial and the primary structure of this binding site is unknown. Recent data indicate that two members of the I_2 subgroup of imidazoline binding proteins are identical to the A and B isoforms of monoamine oxidase (MAO). The imidazoline binding domain on MAO is distinct from the enzyme active site that recognizes the mechanism-based inhibitors and it is not equally accessible in all tissuses. At present, the role of I_2 binding sites in the regulation of MAO acticvity is still uncertain. Additional areas of research in this field include the identification of a putative endogenous ligand for imidazoline binding sites and the possible existence of imidazoline binding proteins distinct from the I_1 and I_2 subtypes.[2,3]

Efaroxan is a potent, highly selective α_2-adrenoreceptor antagonist[4] and I_1 imidazoline binding site antagonist.[5] It blocks ATP-sensitive K^+ channels in pancreatic β cells and induces insulin release.[6,7]

Preparation Instructions

Soluble in water (300 mg/ml).

Storage/Stability

Store tightly sealed at room temperature.

References

1. Bousquet, P., et al., Pharm. Acta. Helv. **74**, 205-209 (2000).
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3. Bousquet, P., et al., J. Hypertens. Suppl., **16**, S1-S5 (1998).
4. Berridge, T.L., et al., Eur. J. Pharmacol., **213**, 205-212 (1992).
5. Carlisle, M.A., et al., J. Pharmacol. Exp. Ther., 274, 598-601 (1995).
6. Chan, S.L., et al., Naunyn Schmiedebergs Arch. Pharmacol., 356, 763-768 (1997).
7. Chapman, J.C., et al., Diabetes, 48, 2349-2357 (1999).

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