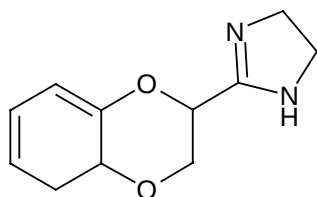


IDAZOXAN HYDROCHLORIDEProduct Number **I6138**

Storage Temperature: Room Temperature

CAS#: 79944-56-2

Synonym: (+/-)-2-(1,4-Benzodioxan-2-yl)-2-imidazoline hydrochloride



HCl

Product DescriptionMolecular Formula: C₁₁H₁₂N₂O₂•HCl

Molecular Weight: 240.69 (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 amiloride 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 I₁ and I₂. The two groups of binding sites differ in their ligand recognition properties, tissue distribution and possibly their localization within the cell. I₁ binding sites may be involved in diacylglycerol

Product Information

generation and are implicated in the centrally mediated effects of imidazoline ligands on blood pressure. However, their precise role is controversial and the primary structure of this binding site is unknown. Recent data indicate that two members of the I₂ 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 tissues. At present, the role of I₂ binding sites in the regulation of MAO activity 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 I₁ and I₂ subtypes.^{1,2}

Idazoxan is an antagonist at I₁ imidazoline binding sites,³ an I₂ imidazoline binding site ligand,⁴ and an antagonist at α_2 -adrenoceptors.⁵

Preparation Instructions

Soluble in water (300 mg/ml).

Storage/Stability

Store tightly sealed at room temperature.

References

1. Eglen, R.M., et al., Trends Pharmacol. Sci., 19, 381-390 (1998).
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3. Bousquet, P., et al., Pharm. Acta. Helv. **74**, 205-209 (2000).
4. Clarke, R.W., et al., Neuropharmacology, 39, 1904-1912 (2000).
5. Happe, H.K., et al., Eur. J. Pharmacol., 399, 17-27 (2000).

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