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ProductInformation

Clebopride maleate salt

Product Number **C 8289**
Storage Temperature 2-8 °C

Product Description

Molecular Formula: $C_{20}H_{24}ClN_3O_2 \bullet C_4H_4O_4$
Molecular Weight: 490.0
CAS Number: 84370-95-6
Synonym: 4-(4-amino-5-chloro-2-methoxybenzamido)-1-benzylpiperidine; 4-amino-5-chloro-2-methoxy-N-[1-(phenylmethyl) 4-piperidinyl]benzamide[1]

Cleobopride maleate is a substituted benzamide compound and dopamine receptor antagonist that is related to metoclopramide.[1,2] Initial studies of the blockade of cerebral dopamine receptors indicated that cleobopride showed greater activity compared to metoclopramide.[3] Incubation of rabbit liver homogenates with cleobopride resulted in the formation of the metabolites 4-amino-5-chloro-2-methoxybenzoic acid and N-(4'-piperidyl)-4-amino-5-chloro-2-methoxybenzamide.[4]

A structural study of the D_2 dopamine receptor in the ligand binding region, with a mutation of His^{394} to Leu^{394}, has resulted in enhanced binding of clebopride to this D_2 dopamine receptor variant.[5] The effect of long-term treatment of clebopride in rats on the morphology of the mammary gland has been studied.[6]

A GC-MS method for the analysis of clebopride in plasma has been published.[7] The chiral resolution of the enantiomers of clebopride and other antifungal drugs on cellulose chiral columns in normal phase mode 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 water (10 mg/ml), with heat as needed, yielding a clear, colorless solution.

References

1. The Merck Index, 12th ed., Entry# 2404.
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3. Prieto, J., et al., Synthesis and pharmacological properties of a series of antidopaminergic piperidyl benzamides. J. Pharm. Pharmacol., **29(3)**, 147-152 (1977).
4. Huizing, G., et al., Metabolism of clebopride *in vitro*. Mass spectrometry and identification of products of amide hydrolysis and N-debenzylation. Xenobiotica, **10(3)**, 211-218 (1980).
5. Woodward, R., et al., Structural studies on D_2 dopamine receptors: mutation of a histidine residue specifically affects the binding of a subgroup of substituted benzamide drugs. J. Neurochem., **62(5)**, 1664-1669 (1994).
6. de Lima, T. C., et al., Influence of long-term treatment of the rat with clebopride on the morphology of the mammary gland. Pharmacology, **40(1)**, 54-59 (1990).
7. Robinson, P. R., et al., Simultaneous determination of clebopride and a major metabolite N-desbenzylclebopride in plasma by capillary gas chromatography-negative-ion chemical ionization mass spectrometry. J. Chromatogr., **64(1)**, 147-161 (1991).
8. Aboul-Enein, H. Y., and Ali, I., Comparative study of the enantiomeric resolution of chiral antifungal drugs econazole, miconazole and sulconazole by HPLC on various cellulose chiral columns in normal phase mode. J. Pharm. Biomed. Anal., **27(3-4)**, 441-446 (2002).

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