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ProductInformation

Cimetidine

Product Number **C 4522** Storage Temperature 2-8 °C

Product Description

Molecular Formula: C₁₀H₁₆N₆S Molecular Weight: 252.3 CAS Number: 51481-61-9 Melting Point: 141-143 °C¹

Synonyms: N-cyano-N'-methyl-N"-[2-[[5-methyl-1H-imidazol-4-yl]thio]ethyl]guanidine, SKF-92334¹

Cimentidine is a competitive histamine H₂-receptor antagonist whose effects include inhibition of gastric acid secretion and reduction of pepsin output. It also binds to cytochrome P450, which can lead to the inhibition of breakdown of compounds metabolized by the cytochrome P450 system. A review of the effects of cimetidine and other compounds on transport proteins has been published.

Cimeditine has been used in the culture of rabbit gastric parietal cells for subsequent ultrastructural examination. Cimetidine blocks the histamine-induced surface expression of P-selectin in primary cultured human brain microvessel endothelial cells. A study of cultured human monocytes has utilized cimetidine to probe the expression of histidine decarboxylase. The susceptibility of cimetidine to metabolism by colonic bacteria *in vitro* has been investigated.

A method for detection of cimetidine in plasma that couples LC-MS to atmospheric pressure chemical ionization has been reported.⁸ A solid-phase 96 well plate format extraction protocol for the HPLC analysis of cimetidine in plasma has been described.⁹

Precautions and Disclaimer

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

Preparation Instructions

This product is soluble in water (50 mg/ml), with heat as needed, yielding a clear, colorless to faint yellow solution. The addition of dilute HCl has been reported to enhance the solubility of this product.¹

References

- 1. The Merck Index, 12th ed., Entry# 2337.
- 2. Martindale The Extra Pharmacopoeia, 31st ed., Reynolds, J. E. F., ed., Royal Pharmaceutical Society (London: 1996), pp. 1210-1213.
- 3. Ayrton, A., and Morgan, P., Role of transport proteins in drug absorption, distribution and excretion. Xenobiotica, **31(8-9)**, 469-497 (2001).
- Sawaguchi, A., et al., A new approach for highpressure freezing of primary culture cells: the fine structure and stimulation-associated transformation of cultured rabbit gastric parietal cells. J. Microsc., 208(Pt 3), 158-166 (2002).
- Easton, A. S., and Dorovini-Zis, K., The kinetics, function, and regulation of P-selectin expressed by human brain microvessel endothelial cells in primary culture. Microvasc. Res., 62(3), 335-345 (2001).
- Laszlo, V., et al., Increased histidine decarboxylase expression during in vitro monocyte maturation; a possible role of endogenously synthesized histamine in monocyte/macrophage differentiation. Inflamm. Res., 50(8), 428-434 (2001).
- Basit, A. W., et al., Susceptibility of the H₂-receptor antagonists cimetidine, famotidine and nizatidine, to metabolism by the gastrointestinal microflora. Int. J. Pharm., 237(1-2), 23-33 (2002).

- 8. Xu, K., et al., Quantitative analysis of cimetidine in human plasma using LC/APCI/SRM/MS. Biomed. Chromatogr., **13(7)**, 455-461 (1999).
- Hempenius, J., et al., High-throughput solid-phase extraction for the determination of cimetidine in human plasma. J. Chromatogr. B Biomed. Sci. Appl., 714(2), 361-368 (1998).

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