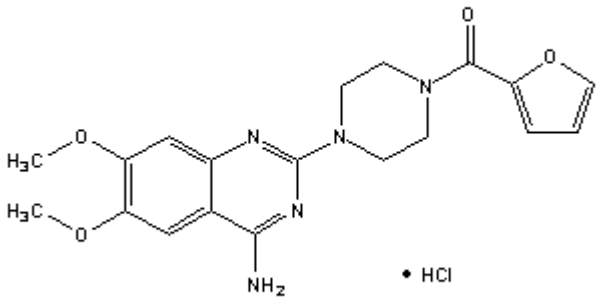
PRAZOSIN HYDROCHLORIDE
Sigma Prod. No. P7791

CAS NUMBER: 19237-84-4
SYNONYMS: CP-12299-1; Deprazolin; Furazosin Hydrochloride; Hypovase; Hypovasole; 1-(4-Amino-6,7-Dimethoxy-2-Quinazolinyl)-4-(2-Furanylcarbonyl)Piperazine Hydrochloride;1-(4-Amino-6,7-Dimethoxy-2-Quinazolinyl)-4-(2-Furoyl)Piperazine Monohydrochloride; Minipress; Peripress; Sinetens[1]



PHYSICAL DESCRIPTION:

Appearance: White with a yellow cast powder.[2]
$E^{1\%}$(247 nm) = 1470 (aqueous acid)[4]
$E^{1\%}$(331 nm) = 281 (aqueous acid)[4]
$E^{1\%}$(252 nm) = 1642 (aqueous alkali)[4]
$E^{1\%}$(345 nm) = 150 (aqueous alkali)[4]
E^{mM}(329.5-330 nm) = 11.3[5]
E^{mM}(246.8-248 nm) = 57.3[5]
pK_a= 6.5[4]
Fluorescence Spectroscopy (acid): 330 nm (excitation), 390 nm (emission): 335 nm (excitation), 415 nm (emission).[6]
Melting Point: 264°C (with decomposition)[7]
Molecular Formula: $C_{19}H_{21}N_5O_4$.HCl
Molecular Weight: 419.9

METHOD OF PREPARATION:

Prazosin HCl is synthetically prepared.[8] Methods of analysis including, Thin-Layer chromatography, HPLC, IR, NMR and mass spectrometry have been reported.[3,4,6,9] Methods of synthesis have been reported.[3,9]

STABILITY / STORAGE AS SUPPLIED:

Prazosin Hydrochloride should be stable for at least two years when stored desiccated at room temperature and protected from the light.[2]

PRAZOSIN HYDROCHLORIDE
Sigma Prod. No. P7791

SOLUBILITY / SOLUTION STABILITY:

Prazosin Hydrochloride is slightly soluble in isotonic saline[10]; The approximate solubilities in various

solvents (mg/ml, ambient temperature) is: water (about pH 3.5), 1.4; ethanol, 0.84; methanol, 6.4; dimethylformamide, 1.3; dimethylacetamide, 1.2; chloroform, 0.041; acetone, 0.0072.[3] It can be dissolved in deionized water at 1 mg/ml with the application of heat (clear, colorless to very slightly hazy solutions results).[2] Clear solutions of 50 mg/ml each were prepared in ethanol plus 1 drop of 4 N ammonium hydroxide and in methanol plus 6 drops of 1 N hydrochloric acid with application of heat for both.[2] Prazosin hydrochloride is not soluble in DMSO.[8] Solutions up to 11.9×10^{-5} M in 0.2 M Tris-HCl buffer, pH 7.4 were stored at 4°C for one day.[11] Since no other solution stability information may be available, solutions are recommended to be freshly prepared and protected from light.

USAGE / APPLICATIONS:

Prazosin suppressed the clinical and histological expression of experimental autoimmunue encephalomyelitis (EAE) in the Lewis rat supporting the idea that antagonists of adrenergic receptors can modulate the expression of this disease in the Lewis rat.[12]

GENERAL NOTES:

Prazosin hydrochloride, a quinazole derivative, is the first of a new chemical class of antihypertensive compounds. It is a very potent and selective α_1-adrenergic antagonist (affinity for α_1 receptors is about 1000-fold greater than for α_2). It reduces peripheral resistance and blood pressure by vasodilation of peripheral vessels (by blockade of α^1-adrenergic receptors) in arterioles and veins without increasing the heart rate or significantly impairing sympathetic functions.[13-16] The vasodilator effect may be related not only to the direct relaxant action on vascular smooth muscle but to the blockade of postsynaptic α-adrenoceptors.[10] Prazosin is a potent inhibitor (1 mM-0.1 mM) of cyclic nucleotide phosphodiesterases.[16] The effects of prazosin may be related to its increase of intracellular levels of cyclic AMP at vascular sites and intracellular levels of cyclic GMP at cholinergic receptor sites in the heart.[16] Doses for injection and for oral administration for laboratory animals with cited references have been reported.[17] Prazosin is highly bound (92-97%) to human plasma proteins, i.e. albumin and α^1-acid glycoprotein; the extent of binding is independent of drug concentration.[6] The pharmacokinetics, metabolism and pharmacology have been reported.[3,6,9,14-16,18,19]

REFERENCES:

1. Sigma Material Safety Data Sheet
2. Sigma Quality Control data
3. Kostek, L.J., *Analytical Profiles of Drug Substances*, 18, 351, 1989.
4. *Clarke's Isolation and Identification of Drugs*, Second Ed., Moffat A.C. ed., 917, The Pharmaceutical Press, London, 1986.

REFERENCES: (continued)

5. Sigma Quality control data based on absorbance measurements for 10 lots.
6. Jaillon, P., *Clin. Pharmacokinet.* 5, 365, 1980.
7. *Martindale The Extra Pharmacopoeia*, 28th edition, p. 160, Reynolds, J.E.F., ed., The Pharmaceutical Press, London, 1982.
8. Supplier data.
9. *The Merck Index*, 12th ed., #7897, 1996.
10. *Physicians' Desk Reference*, 50th, ed., 1937, 1996.
11. Crispino, A. and Di Carlo, F., *Farmaco [Prat]* 33, 22, 1978.
12. Brosnan, C.F. et al., *Proc. Natl. Acad. Sci. USA*, 82, 5915, 1985.
13. *Goodman and Gilman's The Pharmacological Basis of Therapeutics*, 8th ed., Pergamon Press, Gilman, A.G. et al., eds., New York, 226, 1990.
14. *Martindale, The Extra Pharmacopoeia*, 31st ed., p. 932, Reynolds, J.E.F., ed., The Pharmaceutical Press, London, 1993.
15. Cohen, B.M., *J. Clin. Pharmacol. J. New Drugs* 10, 408, 1970.
16. Hess, H.-J., *Postgrad. Med.* 58, 9, 1975.
17. Borchard, R.E. et al., *Drug Dosage in Laboratory Animals, A Handbook*, 382, 3rd ed. CRC Press, 1992.
18. Stanaszek, W.F. et al., *Drugs*, 25, 333, 1983.
19. Cavero, I. and Roach, A.G., *Life Sci.*, 27, 1525, 1980.

Sigma warrants that its products conform to the information contained in this and other Sigma-Aldrich publications. Purchaser must determine the suitability of the product(s) for their particular use. Additional terms and conditions may apply. Please see reverse side of the invoice or packing slip.