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CHEMICALS, DRUGS, AND BIOLOGICALS

TWELFTH EDITION

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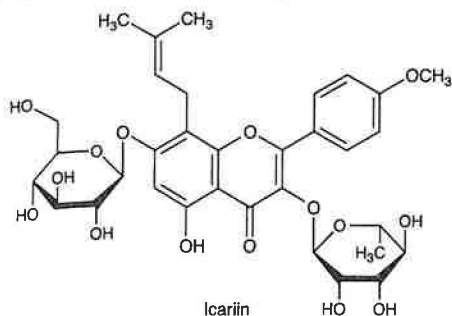
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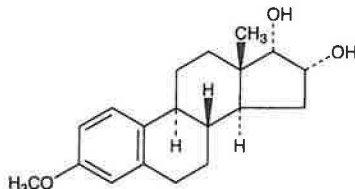
1996

view: W. Tang, G. Eisenbrand in *Chinese Drugs of Plant Origin* (Springer-Verlag, Berlin, 1992) pp 491-498.



Icaritin, $C_{33}H_{40}O_{15}$, 3-[(6-deoxy- α -L-mannopyranosyloxy)-7-(β -D-glucopyranosyloxy)-5-hydroxy-2-(4-methoxyphenyl)-8-(3-methyl-2-butenyl)-4H-1-benzopyran-4-one, 4'-O-methyl-8- γ , γ -dimethylallylkaempferol-3-rhamnoside-7-glucoside. Sesquihydrate, mp 231.5°. $[\alpha]_D^{25}$ -87.09° (in pyridine). Sol in pyridine. Insol in water, alcohol, chloroform, acetone, methanol, ethyl acetate.

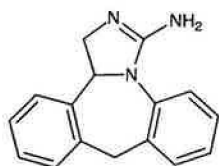
3654. Epimestrol. (16 α ,17 α)-3-Methoxyestra-1,3,5(10)-triene-16,17-diol; 3-methoxy-17-epiestriol; Org-817; NSC-55975; Stimovul. $C_{19}H_{26}O_3$; mol wt 302.41. C 75.46%, H 8.67%, O 15.87%. Estriol deriv, which stimulates ovulation. Prepn: J. de Visser, Dutch pat. 95,275 (1960 to Organon), C.A. 55, 22378d (1961); L. Caglioti, M. Magi, *Tetrahedron Letters* 1962, 1261; *ibidem*, *Tetrahedron* 19, 1127 (1963). ^{13}C -NMR study: T. A. Wittstruck, K. I. H. Williams, *J. Org. Chem.* 38, 1542 (1973). Proton NMR and configurational study: B. Schoenecker *et al.*, *J. Prakt. Chem.* 319, 419 (1977). Clinical chemistry: G. Falkay *et al.*, *Clin. Chim. Acta* 44, 209 (1973). Comparative study in rats: D. Bentue-Ferrer *et al.*, *Ann. Endocrinol.* 41, 203 (1980). Effects in normal men: M. Luisi *et al.*, *Reproduccion* 4, 77 (1980), C.A. 93, 89260 (1980).



Cryst from acetone/heptane, mp 158-160°. $[\alpha]_D^{20}$ +48° (chloroform).

THERAP CAT: Anterior pituitary activator.

3655. Epinastine. 9,13b-Dihydro-1H-dibenz[c,f]imidazo[1,5-a]azepin-3-amine; 3-amino-9,13b-dihydro-1H-dibenz[c,f]imidazo[1,5-a]azepine; WAL-801. $C_{16}H_{15}N_3$; mol wt 249.32. C 77.08%, H 6.06%, N 16.85%. Tetracyclic, non-sedating histamine H_1 receptor antagonist. Prepn: G. Walther *et al.*, Brit. pat. Appl. 2,071,095; *ibidem*, U.S. pat. 4,313,931 (1981, 1982 both to Boehringer, Ing.); and receptor binding studies: *idem et al.*, *Arzneimittel-Forsch.* 40, 440 (1990). Pharmacology: A. Fügner *et al.*, *ibid.* 38, 1446 (1988). Clinical evaluation: W. S. Adamus *et al.*, *ibid.* 37, 569 (1987). Mechanism of action: K. Tasaka *et al.*, *Oyo Yakuri* 39, 365 (1990). Toxicology: J. Nishikawa *et al.*, *ibid.* 42, 151 (1991).



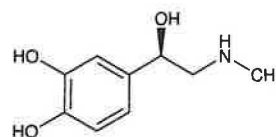
Crystals from acetonitrile, mp 205-208°. log P_a (octanol/aqueous buffer, pH 7.4, 20°) -0.70. pKa 11.2.

Hydrobromide, crystals from methanol/ethyl acetate, mp 284-286°.

Hydrochloride, $C_{16}H_{15}N_3 \cdot HCl$, WAL-801CL, Alesion. Crystals from methanol/ether, mp 273-275°. Freely sol in water. LD₅₀ in male, female rats (mg/kg): 314, 192 orally; 17, 22 i.v. (Nishikawa).

THERAP CAT: Antihistaminic.

3656. Epinephrine. (R)-4-[1-Hydroxy-2-(methylamino)ethyl]-1,2-benzenediol; (-)-3,4-dihydroxy- α -(methylamino)methylbenzyl alcohol; 1-1-(3,4-dihydroxyphenyl)-2-(methylamino)ethanol; 1-3,4-dihydroxy-1-[1-hydroxy-2-(methylamino)ethyl]benzene; l-methylaminoethanolcatechol; adrenaline; levorenin; Bronkaid Mist; Epiglafrin; Eppy; Glauposine; Primatene Mist; Simplane; Sus-phrine; Suprarenaline. $C_9H_{13}NO_3$; mol wt 183.21. C 59.00%, H 7.15%, N 7.65%, O 26.20%. Endogenous catecholamine with combined α - and β -agonist activity. Principal sympathomimetic hormone produced by the adrenal medulla. Isolated from animal adrenal glands: Takamine, *J. Soc. Chem. Ind.* 20, 746 (1901); Aldrich, *Am. J. Physiol.* 5, 457 (1901). Synthesis of dl-form: Stolz, *Ber.* 37, 4149 (1904); Payne, *Ind. Chem.* 37, 523 (1961). Historic review of syntheses: Loewe, *Arzneimittel-Forsch.* 4, 583 (1954). Resolution of dl-form: Flächer, *Z. Physiol. Chem.* 58, 189 (1908). Configuration: Pratesi *et al.*, *J. Chem. Soc.* 1958, 2069. Acute toxicity: A. M. Lands *et al.*, *J. Pharmacol. Exp. Ther.* 90, 110 (1947). HPLC determined in plasma and urine: C. R. Benedict, *J. Chromatog.* 385, 369 (1987). Comprehensive description: D. H. Szulcowski, W.-H. Hong, *Anal. Profiles Drug Subs.* 7, 193-229 (1978). Physiologic review: Malmjac, *Physiol. Rev.* 44, 186 (1964). Review of biosynthesis: L. A. Pohorecky, R. J. Wurtman, *Pharmacol. Rev.* 23, 1-35 (1971); of pharmacology and clinical use in cardiopulmonary resuscitation: N. A. Paradis, E. M. Koscove, *Ann. Emerg. Med.* 19, 1288-1301 (1990); P. Hebert *et al.*, *J. Emerg. Med.* 9, 487-495 (1991).



Minute crystals, gradually browning on exposure to light and air. mp 211-212°. mp ~215° (dec) when rapidly heated. $[\alpha]_D^{25}$ -50.0° to -53.5° (in 0.6N HCl). Very slightly sol in water, alc. Readily sol in aq solns of mineral acids, NaOH, and KOH. Insol in aq solns of ammonia and of the alkali carbonates. Insol in chloroform, ether, acetone, oils. LD₅₀ i.p. in mice: 4 mg/kg (Lands).

Hydrochloride, $C_9H_{13}NO_3 \cdot HCl$, Adrenalin, Epifrin, Glaucon, Suprarenin.

d-Bitartrate, $C_9H_{13}NO_3 \cdot C_4H_6O_6$, Asmatane Mist, Asthma-haler, Epitrate, Medihaler-Epi. Crystals, mp 147-154° (some dec). Darkens slowly on exposure to air and light. One gram dissolves in about 3 ml water. Slightly sol in alc.

3,4-Cyclic borate, $C_9H_{12}BNO_4$, epinephryl borate, Epinal, Eppy/N.

dl-Form, racepinephrine. Sparingly sol in water, alcohol. dl-Form hydrochloride, Asthmanefrin, Vaponefrin. Crystals from alcohol, mp 157°. Readily sol in water; sparingly sol in abs alc.

THERAP CAT: Bronchodilator; cardiostimulant; mydriatic; antiglaucoma.

THERAP CAT (VET): Vasoconstrictor; cardiostimulant.

3657. Epiquinidine. (9R)-6'-Methoxycinchonan-9-ol. $C_{20}H_{24}N_2O_2$; mol wt 324.42. C 74.05%, H 7.46%, N 8.63%, O 9.86%. Occurs in cinchona bark. Isolated from quinidine: Dirscherl, Thron, *Ann.* 521, 48 (1938). By epimerization of quinine or quinidine: Rabe, Höter, *J. Prakt. Chem.* 154, 66 (1940). Stereochemistry: Prelog, Zálán, *Helv. Chim. Acta* 27, 535, 545 (1944); Prelog, Häfliger, *ibid.* 33, 2021 (1950); Roth, *Pharmazie* 16, 257 (1961). Synthesis: Grethe *et al.*, *Helv. Chim. Acta* 55, 1044 (1972); Gutzwiller, Uskokovic, *ibid.* 56, 1494 (1973).