THE MERCK INDEX

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ELEVENTH EDITION

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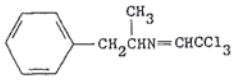
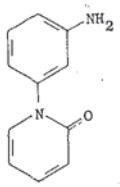
613. Amphecloral. *α-Methyl-N-(2,2,2-trichloroethylidene)benzeneethanamine;* α-methyl-*N*-(2,2,2-trichloroethylidene)phenethylamine; *N*-[2-(1-phenylpropyl)]-2,2,2-trichloroethylidenimine; amfecloral; Acutran. $C_{11}H_{12}Cl_3N$; mol wt 264.60. C 49.93%, H 4.57%, Cl 40.20%, N 5.29%. Prepn: Cavallito, U.S. pat. **2,923,661** (1960 to Irwin, Neisler).



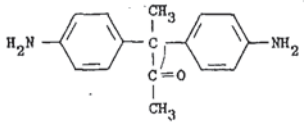
dl-Form, $bp_{0.5}$ 95°. n_D^{25} 1.530.
d-Form, $[\alpha]_D$ +49.9°±0.3° (c = 5 in dioxane).
THERAP CAT: Anorexic.

614. Amphenidone. *1-(3-Aminophenyl)-2(1H)-pyridinone; 1-(m-aminophenyl)-2(1H)-pyridone;* Dornwal. $C_{11}H_{10}N_2O$; mol wt 186.21. C 70.95%, H 5.41%, N 15.05%, O 8.59%. Prepn: Scudi *et al.*, U.S. pat. **2,947,754** (1960 to Wallace & Tiernan).



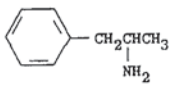
Crystals, mp 182.5-184.5°. LD_{50} orally in mice, rats: 1300, 3200 mg/kg, Plekss *et al., Fed. Proc.* **19,** 390 (1960).
THERAP CAT: Sedative; hypnotic.

615. Amphenone B. *3,3-Bis[4-aminophenyl]-2-butanone;* amphenone; 2-oxo-3,3-bis[*p*-aminophenyl]butane. $C_{16}H_{18}N_2O$; mol wt 254.32. C 75.56%, H 7.13%, N 11.02%, O 6.29%. Prepn: Allen, Corwin, *J. Am. Chem. Soc.* **72,** 117 (1950); U.S. pat. **2,539,388** (1951). Structure: Bencze, Allen, *J. Org. Chem.* **22,** 352 (1957). Shows antiestrogenic activity in the chick oviduct test: Hertz *et al., Recent Progr. Horm. Res.* **11,** 119-147 (1955). Decreases adrenal action. *Review: Subsidia Medica* **10,** 99-102 (1958).



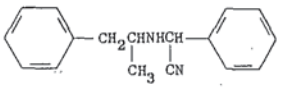
Crystals, mp 137.5-138°.
Dihydrochloride, $C_{16}H_{20}Cl_2N_2O$, crystals from ethanol, dec 272-275°. Soluble in water.
Note: Formerly a pinacolone structure was assigned to amphenone B: *1,2-Bis[p-aminophenyl]-2-methyl-1-propanone.*
USE: In biological research.

616. Amphetamine. (±)-*α-Methylbenzeneethanamine; dl-α-methylphenethylamine;* 1-phenyl-2-aminopropane; (phenylisopropyl)amine; β-aminopropylbenzene; racemic desoxy-nor-ephedrine; Actedron; Allodene; Adipan; Sympatedrine; Psychedrine; Isomyn; Isoamyne; Mecodrin; Norephedrane; Novydrine; Elastonon; Ortédrine; Phenedrine; Profamina; Propisamine; Sympamine; Simpatedrin. $C_9H_{13}N$; mol wt 135.20. C 79.95%, H 9.69%, N 10.36%. Prepn: U.S. pats. **1,879,003** (1932); **1,921,424** (1933); **2,015,408** (1935); Hartung, Munch, *J. Am. Chem. Soc.* **53,** 1875 (1931). Demonstration of stereospecific binding sites for (+)-^{3}H-amphetamine in hypothalamic membranes and correlations with anorexic potency of phenylethylamines: S. M. Paul *et al., Science* **218,** 487 (1982). Toxicity data: M. R. Warren, H. W. Werner, *J. Pharmacol. Exp. Ther.* **85,** 119 (1945); W. A. Behrendt, R. Deininger, *Arzneimittel-Forsch.* **13,** 711 (1963). Series of articles on the biochemical and behavioral effects of amphetamines in man and animals: *Handb. Exp. Pharmakol.* **45,** 3-304 (1977); *Handb. Psychopharmacol.* **11,** 1-98 (1978). Review of use and abuse: J. P. Morgan, *Substance Abuse: Clinical Problems and Perspectives,* J. H. Lowinson, P. Ruiz, Eds. (Williams & Wilkins, Baltimore, 1981) pp 167-184. Books: C. D. Leake, *The Amphetamines: Their Actions and Uses* (Thomas, Springfield, 1958) 167 pp; O. J. Kalant, *The Amphetamines: Toxicity and Addiction* (Thomas, Springfield, 1966) 151 pp.



Mobile liquid. Amine odor. Acrid, burning taste. Volatilizes slowly at room temp. d_4^{25} 0.913. bp_{760} 200-203°; bp_{13} 82-85°. Slightly soluble in water; sol in alc, ether; readily sol in acids. Aq solns are alkaline to litmus. LD_{50} in rats (mg/kg): 180 s.c. (Warren, Werner).
Sulfate, $C_{18}H_{28}N_2O_4S$, *Alentol, Benzedrine, Psychoton, Simpamina.* Crystals. Slightly bitter taste followed by a sensation of numbness. mp above 300° (dec). One part dissolves in 8.8 parts water, 515 parts 95% alc. A soln of 1 g/10 ml water has a pH 5-6. LD_{50} in mice, rats (mg/kg): 24.2, 55 orally (Behrendt, Deininger).
Phosphate, $C_9H_{16}NO_4P$, *Actemin, Aktedron, Monophos, Profetamine Phosphate, Racephen, Raphetamine Phosphate.* Crystals, bitter taste. Sinters at about 150°. Dec around 300°. More sol in water than amphetamine sulfate. Slightly sol in alcohol. Practically insol in benzene, chloroform, ether. The pH of a 10% soln is about 4.6. Prepn: Goggin, U.S. pat. **2,507,468** (1950 to Clark & Clark).
d-Form tannate, *tanphetamin, Synatan.* Prepn: Cavallito, U.S. pat. **2,950,309** (1960 to Irwin, Neisler and Co.).
d-Form sulfate, *see* Dextroamphetamine Sulfate.
l-Form, *levamphetamine, levamfetamine.*
l-Form succinate, *Cydril.*
Note: This is a controlled substance (stimulant) listed in the U.S. Code of Federal Regulations, Title 21 Part 1308.12 (1987).
THERAP CAT: CNS stimulant; anorexic.
THERAP CAT (VET): CNS stimulant, in narcotic poisoning, anesthetic collapse, in depression from encephalitis.

617. Amphetaminil. *α-[(1-Methyl-2-phenylethyl)amino]benzeneacetonitrile; N-*(α-methylphenethyl)-2-phenylglycinonitrile; α-phenyl-α-(β-phenylisopropylamino)acetonitrile; α-phenyl-α-(1-methyl-2-phenyl)ethylaminoacetonitrile; α-phenyl-α-*N*-(1-phenylisopropyl)aminoacetonitrile; AN 1; Aponeuron. $C_{17}H_{18}N_2$; mol wt 250.33. C 81.56%, H 7.25%, N 11.19%. Prepd by reaction of DL-β-phenylisopropylamine with sodium cyanide and benzaldehyde or with α-phenyl-α-bromoacetonitrile: Klosa, Ger. pat. **1,112,987** (1959), *C.A.* **56,** 3409d (1962); *idem, J. Prakt. Chem.* **20,** 275 (1963). Pharmacology: Dominok, Oelssner, *Acta Biol. Med. Ger.* **20,** 625 (1968); Beyer *et al., Deut. Apoth-Ztg.* **111,** 677, 680 (1971). Metabolic studies: Remberg *et al., Arch. Toxicol.* **29,** 153 (1972). Chemistry: Beyrich *et al., Pharmazie* **27,** 28 (1972); Gloeckl, Beyrich, *ibid.* 95.



Crystals from ethanol-water, mp 85-87°.
Hydrochloride, $C_{17}H_{19}ClN_2$, sinters at 100-104°, mp 134-136°.
THERAP CAT: Psychotropic.

618. Amphomycin. Amfomycin; glumamycin. $C_{58}H_{91}N_{13}O_{20}$; mol wt 1290.46. C 53.98%, H 7.11%, N 14.11%, O 24.80%. Polypeptide antibiotic active against gram positive bacteria. Produced by *Streptomyces canus* from soil collected near Syracuse, N.Y.: B. Heinemann *et al., Antibiot. & Chemother.* **3,** 1239 (1953). Production: *eidem,* U.S. pat. **3,126,317** (1964 to Bristol-Myers). Structure and identity with glumamycin: M. Bodanszky *et al., J. Am. Chem. Soc.* **95,** 2352 (1973). Pharmacology and toxicity: D. E. Tisch *et al., Antibiot. Ann.* **1954-1955,** 1011. Mechanism of action: H. Tanaka *et al., Biochem. Biophys. Res. Commun.* **86,** 902