

THE
MERCK
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THIRTEENTH EDITION

THE MERCK INDEX

AN ENCYCLOPEDIA OF
CHEMICALS, DRUGS, AND BIOLOGICALS

THIRTEENTH EDITION

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(1931); Willstätter, Page, *Ann.* **404**, 237 (1914). Structure: Bonnet *et al.*, *Chem. Commun.* **1966**, 515; *J. Chem. Soc. (C)* **1969**, 429. Abs config: DeVille *et al.*, *Chem. Commun.* **1969**, 1311; K. Bernhard *et al.*, *Tetrahedron Letters* **1976**, 115.

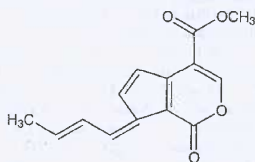
Needles from ether + petr ether, mp 160°. $[\alpha]_D^{18} +72.5 \pm 9^\circ$ (chloroform). Abs max (chloroform): 492, 457 nm. Abs max (ethanol): 450 nm ($E_{1cm}^{1\%}$ 1140), Antia, *Can. J. Chem.* **43**, 302 (1965). Freely sol in ethanol; less sol in carbon disulfide; sparingly sol in ether. Practically insol in petr ether. 1.66 g dissolves in 100 g boiling methanol.

4304. Fucus. Bladder-wrack; sea-wrack; bladder fucus; kelpware; black-tang; cut-weed; sea-oak. Dried thallus of *Fucus vesiculosus* L., *F. serratus* L., or *F. siliculosus* L. *Fucaceae*. Habit. Atlantic and Pacific Oceans. *Constit.* Algin, about 0.01% iodine and some bromine mannite.

4305. Fuller's Earth. Floridin. A nonplastic variety of kaolin containing an aluminum magnesium silicate. The name is derived from an ancient process of cleaning or fulling wool, to remove the oil and dirt particles, with a water slurry of earth or clay. At the present time, the term fuller's earth is applied to any clay that has adequate decolorizing and purifying capacity to be used commercially in oil refining without chemical treatment. It is sometimes considered to be synonymous with *montmorillonite*, *q.v.*, *kaolinite* ($Al_2O_3 \cdot 2SiO_2 \cdot 2H_2O$) and *Halloysite* ($Al_2O_3 \cdot 2SiO_2 \cdot 4H_2O$). A long list of minerals likely to be found in fuller's earth is given by Porter, *U.S. Geol. Survey, Bull.* **315**, 268 (1907), *C.A.* **1**, 1684 (1907), and the opinion is expressed that fuller's earth results from the decompn of hornblendes and augites rather than from feldspars. Fuller's earth has for its base a series of amorphous, hydrous aluminum silicates that have a rather persistent colloidal (used in its widest sense) structure. It is to this colloidal structure, which is not lost at 130° and possibly higher, that the bleaching power is due. The bleaching efficiency of fuller's earth is usually increased by treatment with dilute acids.

USE: Decolorizer for oils and other liquids; filtering medium; filler for rubber; in agricultural formulations; also instead of absorbent charcoal.

4306. Fulvoplumierin. [20867-01-0] (7*E*)-7-(2*E*)-Butenylidene-1,7-dihydro-1-oxocyclopenta[*c*]pyran-4-carboxylic acid methyl ester; 3-(2-butenylidene)-2-carboxy- α -(hydroxymethylene)-1,4-cyclopentadiene-1-acetic acid δ -lactone methyl ester; methyl 7-crotonylidenecyclopenta[*c*]pyran-1-(7*H*)-one-4-carboxylate. $C_{14}H_{12}O_4$; mol wt 244.24. C 68.85%, H 4.95%, O 26.20%. Occurs together with plumieride and plumiericin. Isolated from *Plumeria acutifolia* Poir., *Apocynaceae*, also from roots of *P. rubra* var *alba*: Grumbach *et al.*, *Experientia* **8**, 224 (1952). Structure: Schmid, Benzene, *Helv. Chim. Acta* **36**, 206, 1468 (1953). Stereochemistry: Albers-Schönberg *et al.*, *ibid.* **45**, 1406 (1962). Synthesis: Büchi, Carlson, *J. Am. Chem. Soc.* **90**, 5336 (1968); **91**, 6470 (1969).

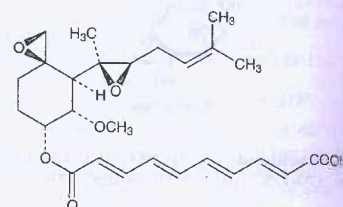


Orange needles from chloroform + petr ether, ethyl acetate, or alcohol, dec 151-152°. Sublimes in high vacuum. uv max (ethanol): 272, 365 nm (ϵ 7,000; 33,700). Sol in chloroform, hot ethyl acetate, benzene, alcohol; less sol in pyridine, acetone. Practically insol in water, petr ether.

4307. Fumagillin. [23110-15-8] [3*R*-[3 α ,4 α (2*R**,3*R**),-5 β ,6 β (*all-E*)]-2,4,6,8-Decatetraenedioic acid, mono[5-methoxy-4-[2-methyl-3-(3-methyl-2-butenyl)oxiranyl]-1-oxaspiro[2.5]oct-6-yl]ester; 2,4,6,8-decatetraenedioic acid mono[4-(1,2-epoxy-1,5-dimethyl-4-hexenyl)-5-methoxy-1-oxaspiro[2.5]oct-

6-yl] ester; Amebacilin; Fugillin; Fumadil B; Fumidil. $C_{27}H_{36}O_7$; mol wt 458.54. C 68.10%, H 7.47%, O 24.42%. Antibiotic substance produced by *Aspergillus fumigatus*: T. E. Eble, F. R. Hanson, *Antibiot. & Chemother.* **1**, 55 (1951); F. R. Hanson, T. E. Eble, *US 2803586* (1957 to Abbott). Purification: D. S. Tarbell *et al.*, *J. Am. Chem. Soc.* **77**, 5613 (1955). Structure: *eidem. ibid.* **82**, 1005 (1960); **83**, 3096 (1961). Stereochemistry: N. I. McCorkindale, J. G. Sime, *Proc. Chem. Soc.* **1961**, 331; J. R. Turner, D. S. Tarbell, *Proc. Nat. Acad. Sci. USA* **48**, 733 (1962). Biosynthesis: A. J. Birch, S. F. Hussain, *J. Chem. Soc. (C)* **1969**, 1473. Total synthesis: E. J. Corey, B. B. Snider, *J. Am. Chem. Soc.* **94**, 2549 (1972). Anti-amebic activity: M. C. McCowers *et al.*, *Science* **113**, 202 (1951). Antineoplastic activity: J. A. DiPaolo *et al.*, *Antibiotics Annual 1958-1959*, 541. Review: Girolami, "Fumagillin" in Kavanagh's *Anal. Microbiol.* (Academic Press, New York, 1963) pp 295-301; B. J. Wilson, "Miscellaneous *Aspergillus* Toxins" in *Microbial Toxins*, vol. VI, A. Ciegler *et al.*, Eds. (Academic Press, New York, 1971) pp 277, 281.

Yellow needles from methanol, mp 194-195°. $[\alpha]_D^{25} -26.9^\circ$ ($c = 1$ in 95% ethanol). Absorptivity: 156.0 at 335 nm and 146.5 at 351 nm (soln of 100 mg in 10 ml chloroform diluted with alcohol to 0.0004% fumagillin and 0.04% chloroform). Practically insol in water, dil acids, satd hydrocarbons. Sol in most other organic solvents, in aq solns of bicarbonates and alkali hydroxides. Best stored in dark, evacuated ampuls at low temps. Stability data: T. E. Eble, E. R. Garrett, *J. Am. Pharm. Assoc.* **43**, 536 (1954); E. R. Garrett, *ibid.* **539**. LD₅₀ in mice (mg/kg): ~800 s.c. (DiPaolo).

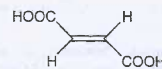


Methyl ester. $C_{27}H_{36}O_7$. Crystals from dil methanol, mp 147-150°.

THERAP CAT: Formerly used as antiamebic.

THERAP CAT (VET): Antiprotozoal. Control of *Nosema* spp in honey bees.

4308. Fumaric Acid. [110-17-8] (*E*)-2-Butenedioic acid, *trans*-1,2-ethylenedicarboxylic acid; allomaleic acid; oleic acid. $C_4H_4O_4$; mol wt 116.07. C 41.39%, H 3.47%, O 55.14%. Occurs in many plants, *e.g.*, in *Fumaria officinalis* L., *Fumariaceae*, in *Boletus scaber* Bull., *Boletaceae*, and in *Fomes fomentarius* (Fries) Kickx., *Polyporaceae*. Essential to vegetable and animal tissue respiration. Prep'd industrially from glucose by the action of fungi such as *Rhizopus nigricans*: Foster, Walkman, *J. Am. Chem. Soc.* **61**, 127 (1939). Laboratory prep'n by the oxidation of furfural with sodium chlorate in the presence of vanadium pentoxide: Milas, *Org. Syn. coll. vol. II*, 302 (1943). Molecular structure: J. L. Derissen, *J. Mol. Struct.* **8**, 177 (1977). Review: W. D. Robinson, R. A. Mount in *Kirk-Othmer Encyclopedia of Chemical Technology* vol. 14 (Wiley-Interscience, New York, 3rd ed., 1981) pp 770-793.



Monoclonic, prismatic needles or leaflets from water. d 1.425. Sublimes at 200°. Sublimes at 165° at 1.7 mm pressure. Partial carbonization and formation of maleic anhydride occur at 230° (open vessel). mp 287° (closed capillary, rapid heating); pk₁ (25°): 3.03; pk₂: 4.54. Absorption spectrum: Macbeth, *Spectro-*

idil. C₂₂H₃₄O₄. Antibiotic. E. Eble, F. R. Hanson, T. Terson et al. S. Tarbell et al. *ibid.*, **1**, 331; J. R. 733 (1962). *oc.* (C) 1969. J. Am. Chem. Soc. McCowen et al. J. A. 41. *Reiter.* *robiol.* (Ac. Wilson, "Mis." vol. VI, A 971) pp 277.

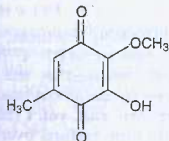
[α]_D²⁵ -26.6° 335 nm and form diluted chloroform. rbons. Sol in urbonates and ampuls at low. Am. Pharm. LD₅₀ in mice

J. Chem. Soc. **111**, 830 (1917). Soly in 100 g water at 25°: 0.63 g; at 40°: 1.07 g; at 60°: 2.4 g; at 100°: 9.8 g; in 100 g 95% alcohol at 30°: 5.76 g; in 100 g acetone at 30°: 1.72 g; in 100 g ether at 25°: 0.72 g. Almost insol in olive oil, chloroform, carbon tetrachloride, benzene, xylene, molten camphor, liq ammonia.

Monomethyl ester. C₆H₆O₄. Prisms from alc, mp 144.5°. **Dimethyl ester.** C₈H₈O₄. Crystals, mp 102°. bp 192°.

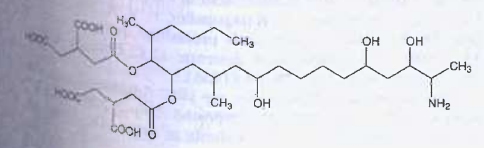
USE: Substitute for tartaric acid in beverages and baking powders; as a replacement or partial replacement for citric acid in fruit drinks. As an antioxidant. Manuf polyhydric alcohols, synthetic resins. As mordant in dyeing.

4309. Fumigatin. [484-89-9] 3-Hydroxy-2-methoxy-5-methyl-2,5-cyclohexadiene-1,4-dione; 3-hydroxy-2-methoxy-5-methyl-p-benzoquinone; 6-hydroxy-5-methoxy-p-toluquinone; 2-hydroxy-4-methoxy-2,5-toluquinone. C₈H₈O₄; mol wt 168.15. C 57.14%, H 4.80%, O 38.06%. Fungal toxin with antibiotic properties isolated from metabolism soln of *Aspergillus fumigatus* Fres: Anslow, Raistrick, *Biochem. J.* **32**, 687 (1938); Waksman, Geiger, *J. Bact.* **47**, 391 (1944). Synthesis: Baker, Raistrick, *J. Chem. Soc.* **1941**, 670; Posternak, Ruelius, *Helv. Chim. Acta* **26**, 2045 (1943); Seshadri, Venkatasubramanian, *J. Chem. Soc.* **1959**, 1660. Biosynthesis: Pettersson, *Acta Chem. Scand.* **17**, 1323 (1963); Simonart, Verachtart, *Bull. Soc. Chim. Biol.* **49**, 543 (1967). Formation and polarographic assay: Lafond-Grellety *et al.*, *Ann. Microbiol.* **129B**, 3 (1978). *Review:* Wilson, "Miscellaneous Aspergillus Toxins," in *Microbial Toxins* vol. VI, A. Ciegler *et al.*, Eds. (Academic Press, New York, 1971) p 281.

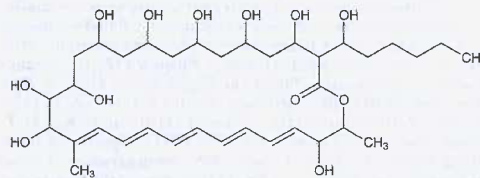


Maroon-colored needles or hexagonal plates from petr ether, mp 116°. Sublimes *in vacuo*. Volatile with steam. Sparingly sol in water, petr ether; freely sol in acetone, ether, chloroform, benzene, ethyl acetate, alcohol.

4310. Fumonisin B₁. [116355-83-0] 1,2,3-propanetricarboxylic acid 1,1'-[1-(12-amino-4,9,11-trihydroxy-2-methyltridecyl)-2-(1-methylpentyl)-1,2-ethanediyl] ester; macrofusine; FB₁. C₃₇H₆₆N₂O₁₅; mol wt 721.83. C 56.57%, H 8.24%, N 1.94%, O 33.25%. Most prevalent of a family of mycotoxins produced by *Fusarium moniliforme*, a common mold associated with corn; also isolated from other *Fusarium* species. Isolation: W. C. A. Gelderblom *et al.*, *Appl. Environ. Microbiol.* **54**, 1806 (1988). Structure elucidation of family: S. C. Bezuidenhout *et al.*, *Chem. Commun.* **1988**, 743. Causative agent of pulmonary edema in pig: L. R. Harrison *et al.*, *J. Vet. Diagn. Invest.* **2**, 217 (1990). Association of B₁, B₂ with human esophageal cancer: J. P. Rheeder *et al.*, *Phytopathology* **82**, 353 (1992). Metabolism: G. S. Shephard *et al.*, *Toxicol.* **30**, 768 (1992). Toxicity and carcinogenicity in rat: W. C. A. Gelderblom *et al.*, *Carcinogenesis* **12**, 1247 (1991). Toxicology in pig: W. H. Haschek *et al.*, *Mycopathologia* **117**, 83 (1992). LC determ in corn of B series fumonisins: M. E. Stack, R. M. Eppley, *J. Assoc. Offic. Anal. Chem.* **75**, 334 (1992); P. A. Murphy *et al.*, *J. Agric. Food Chem.* **41**, 263 (1993). *Review* of animal toxicoses: P. F. Ross *et al.*, *Mycopathologia* **117**, 109-114 (1992). *Review:* W. P. Niered, *J. Toxicol. Environ. Health* **38**, 309-328 (1993).



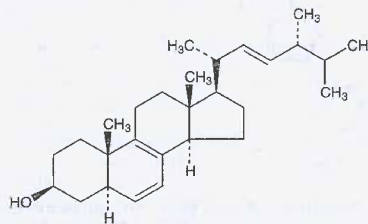
4311. Fungichromin. [6834-98-6] Antibiotic A 246; cogomycin; lagosin; pentamycin; Cantricin. C₃₅H₅₈O₁₂; mol wt 670.83. C 62.66%, H 8.71%, O 28.62%. Antifungal polyene macrolide antibiotic, related structurally to filipin, *q.v.* Isola from *Streptomyces cellulosae*: A. A. Tytell *et al.*, *Antibiot. Ann.* **1954-1955**, 716. Isola (as pentamycin) from *S. penticus*: S. Umezawa, Y. Tanaka, *J. Antibiot.* **11A**, 26 (1958). Isola (as lagosin) from *S. roseoluteus*: C. J. Bessel *et al.*, **US 3013947** (1961 to Glaxo). Isola from *S. griseus* (FCRC-21): R. C. Pandey *et al.*, *Biomed. Mass. Spectrom.* **7**, 93 (1980). Structures: A. C. Cope, H. E. Johnson, *J. Am. Chem. Soc.* **80**, 1504 (1958); A. C. Cope *et al.*, *ibid.* **84**, 2170 (1962); M. L. Dhar *et al.*, *J. Chem. Soc.* **1964**, 842; M. P. Berry, M. C. Whiting, *ibid.* 862; V. Pozgay *et al.*, *J. Antibiot.* **29**, 472 (1976). Identity of fungichromin with lagosin and cogomycin and comparison of reported physico-chemical constants: R. C. Pandey *et al.*, *J. Antibiot.* **35**, 988 (1982). Biosynthesis and NMR assignment: H. Noguchi *et al.*, *J. Am. Chem. Soc.* **110**, 2938 (1988).



Light yellow cryst. mp 157-162° (dec). [α]_D²⁰ -227.7° (c = 0.53 in DMF). uv max (methanol): 357, 338, 322 nm (E_{1cm}^{1%} 1231, 1250, 786). LD₅₀ in mice (mg/kg): 1624 orally; 33.3 i.p. (Umezawa, Tanaka).

THERAP CAT: Antifungal (topical).

4312. Fungisterol. [53260-54-1] (3β,5α,22E)-Ergosta-6,8,22-trien-3-ol. C₂₈H₄₄O; mol wt 396.65. C 84.78%, H 11.18%, O 4.03%. Formed from ergosterol by fungi. Isola from *Penicillium chrysogenum*: A. Saito, *J. Ferment. Technol.* **29**, 457 (1951). *C.A.* **47**, 12507f (1953). Structure: *idem*, *ibid.* **31**, 141 (1953); *C.A.* **48**, 5276d (1954); *idem*, *ibid.* **32**, 138, 140 (1954); *C.A.* **49**, 9009i (1955).



Crystals from alcohol + ether + ethyl acetate, mp 147.5°. [α]_D¹⁵ -21.9° (chloroform).

Acetate. C₃₀H₄₆O₂. Crystals, mp 158.5°. [α]_D¹⁵ -15.7° (chloroform).

Note: Term fungisterol is also used for γ-ergosterol, *q.v.*

4313. Funtumine. [474-45-3] (3α,5α)-3-Aminopregn-20-one; 3α-amino-20-oxo-5α-pregnane. C₂₁H₃₅NO; mol wt 317.51. C 79.44%, H 11.11%, N 4.41%, O 5.04%. Steroidal alkaloid isolated from *Funtumia latifolia* Stapf., *Apocynaceae*: Janot *et al.*, *Compt. Rend.* **246**, 3076 (1958); from leaves of *Holorrhena febrifuga* Stapf., *Apocynaceae*: H. Dodoun *et al.*, *Phytochemistry* **12**, 923 (1973). Structure: Janot *et al.*, *Bull. Soc. Chim. France* **1960**, 1640, 1669. Prepn: H. Kapnang *et al.*, *Tetrahedron Letters* **1977**, 3469. *Review:* R. Goutarel, *Bull. Soc. Chim. France* **1960**, 769. Effect on liver carcinogenesis in rats: A. Lacassagne *et al.*, *Compt. Rend. Ser. D* **274**, 2830 (1972).