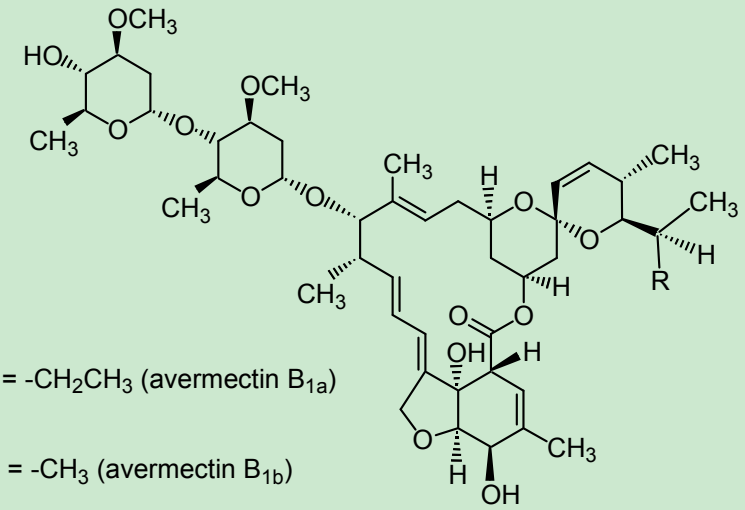
Abamectin



(i) R = -CH_2CH_3 (avermectin B_{1a})

(ii) R = -CH_3 (avermectin B_{1b})

NOMENCLATURE

Common name abamectin (BSI, draft E-ISO, ANSI); abamectine ((*f*) draft F-ISO)

IUPAC name

(10*E*,14*E*,16*E*,22*Z*)-(1*R*,4*S*,5'*S*,6*S*,6'*R*,8*R*,12*S*,13*S*,20*R*,21*R*,24*S*)-6'-[(*S*)-*sec*-butyl]-21,24-dihydroxy-5',11,13,22-tetramethyl-2-oxo-3,7,19-trioxatetracyclo[15.6.1.$1^{4,8}$.$0^{20,24}$]pentacosa-10,14,16,22-tetraene-6-spiro-2'-(5',6'-dihydro-2'*H*-pyran)-12-yl 2,6-dideoxy-4-*O*-(2,6-dideoxy-3-*O*-methyl-α-L-*arabino*-hexopyranosyl)-3-*O*-methyl-α-L-*arabino*-hexopyranoside (i) mixture with (10*E*,14*E*,16*E*,22*Z*)-(1*R*,4*S*,5'*S*,6*S*,6'*R*,8*R*,12*S*,13*S*,20*R*,21*R*,24*S*)-21,24-dihydroxy-6'-isopropyl-5',11,13,22-tetramethyl-2-oxo-3,7,19-trioxatetracyclo[15.6.1.$1^{4,8}$.$0^{20,24}$]pentacosa-10,14,16,22-tetraene-6-spiro-2'-(5',6'-dihydro-2'*H*-pyran)-12-yl 2,6-dideoxy-4-*O*-(2,6-dideoxy-3-*O*-methyl-α-L-*arabino*-hexopyranosyl)-3-*O*-methyl-α-L-*arabino*-hexopyranoside (ii) (4:1)

Chemical Abstracts name 5-*O*-demethylavermectin A_{1a} (i) mixture with 5-*O*-demethyl-25-de(1-methylpropyl)-25-(1-methylethyl)avermectin A_{1a} (ii)

Other names avermectin B1 **CAS RN** *[71751-41-2]* (abamectin); *[65195-55-3]* (i); *[65195-56-4]* (ii) **EEC no.** 265-610-3 (avermectin B_{1a}); 265-611-9 (avermectin B_{1b}) **Development codes** MK-0936 (Merck & Co.); C-076 (Ciba); L-676,863

PHYSICAL CHEMISTRY

Composition A mixture containing ≥80% avermectin B_{1a} (i) and ≤20% avermectin B_{1b} (ii). **Mol. wt.** 873.1 (avermectin B_{1a}); 859.1 (avermectin B_{1b}) **M.f.** $C_{48}H_{72}O_{14}$ (avermectin B_{1a}); $C_{47}H_{70}O_{14}$ (avermectin B_{1b}) **Form** Colourless to pale yellow crystals. **M.p.** 161.8-169.4 °C (decomp.) **V.p.** <3.7 × 10^{-3} mPa (25 °C) **K_{OW}** logP = 4.4?.3 (pH 7.2, room temperature) **Henry** 2.7 × 10^{-3} Pa m^3 mol^{-1} (25 °C) **S.g./density** 1.18 (22 °C) **Solubility** In water 7-10

μg/l (20 ºC). In toluene 350, acetone 100, isopropanol 70, chloroform 25, ethanol 20, methanol 19.5, *n*-butanol 10, cyclohexane 6 (all in g/l, 21 ºC). **Stability** Stable to hydrolysis in aqueous solutions at pH 5, 7, and 9 (25 ºC). Sensitive to stronger acid and base. U.V. irradiation causes conversion first to the 8,9-*Z*- isomer, then to unidentified decomposition products. **Specific rotation** $[\alpha]_D^{22}$ +55.7?(*c*=0.87, $CHCl_3$)

COMMERCIALISATION

Production Isolated from fermentation of *Streptomyces avermitilis*, a naturally occuring soil Actinomycete. **History** Anthelmintic and acaricidal activity of a group of chemically related compounds, the avermectins, reported by I. Putter *et al.* (*Experientia,* 1981, **37,** 963). A mixture of two of these, avermectin B_{1a} (i) and avermectin B_{1b} (ii) introduced in 1985 as an acaricide and insecticide by Merck Sharp & Dohme Agvet (now Syngenta AG).

APPLICATIONS

Biochemistry Acts by stimulating the release of γ-aminobutyric acid, an inhibitory neurotransmitter, thus causing paralysis. See M. J. Turner & J. M. Schaeffer in *Ivermectin and Abamectin*, W. C. Cambell ed., Springer-Verlag, New York (1989) p. 73.

Mode of action Insecticide and acaricide with contact and stomach action. Has limited plant systemic activity, but exhibits translaminar movement.

Uses Control of motile stages of mites, leaf miners, suckers, Colorado beetles, etc. on ornamentals, cotton, citrus fruit, pome fruit, nut crops, vegetables, potatoes, and other crops. Application rates are 5.6 to 28 g/ha for mite control, 11 to 22 g/ha for control of leaf miners. Also used for control of fire ants.

Phytotoxicity May be phytotoxic to pome fruit when mixed with captan.

Compatibility Not compatible with captan.

MAMMALIAN TOXICOLOGY

Oral Acute oral LD_{50} (in sesame oil) for rats 10, mice 13.6 mg/kg; (in water) for rats 221 mg/kg. **Skin and eye** Acute percutaneous LD_{50} for rabbits >2000 mg/kg. Mild eye irritant; non-irritating to skin (rabbits). **ADI** (JMPR) 0.002 mg/kg b.w. [1997] (for sum of abamectin and 8,9-*Z*-isomer); 0.001 mg/kg b.w. [1995] (for residues not containing Δ-8,9-isomer). **Other** Non-mutagenic in the Ames test. **Toxicity class** EPA (formulation) IV

ECOTOXICOLOGY

Birds Acute oral LD_{50} for mallard ducks 84.6, bobwhite quail >2000 mg/kg. **Fish** LC_{50} (96 h) for rainbow trout 3.2, bluegill sunfish 9.6 μg/l. **Daphnia** EC_{50} (48 h) 0.34 ppb. **Algae** (72 h) for *Pseudokirchneriella subcapitata* >100 mg/l. **Other aquatic spp.** LC_{50} (96 h) for pink shrimp (*Panaeus duorarum*) 1.6, blue crab (*Callinectes sapidus*) 153 ppb. **Bees** Toxic to bees. **Worms** LC_{50} (28 d) for earthworms 28 mg/kg soil.

ENVIRONMENTAL FATE

Animals Rapidly eliminated (80-100% in 96 h), mainly via faeces; urinary excretion was 0.5-1.4%. **Plants** Degradation/metabolism in each of three different plants is similar and occurs predominantly by photolysis on the plant surfaces. The definition of the residues is thus

expressed as the combined residues of avermectin B_1 and its 8,9-*Z*-avermectin B_1 photoisomer. **Soil/Environment** Binds tightly to soil, with rapid degradation by soil micro-organisms. No bioaccumulation.