

Origin: semi-synthetic

CAS Registry Number: 71827-03-9

CA Index Name: 22,23-Dihydro-5-O-

demethylavermectin A1a

Appearance: white solid

Molecular Formula/ Weight: C₄₈H₇₄O₁₄=875.10

Melting Point: 149-153°C Purity:>98% by HPLC

Solubility: Sol. In MeOH, DMSO, Chloroform,

EtOH, EtOAc, Acetone, Acetonitrile

Insoluble in Water, Hexane

pKa: log P:

Background Information:

Ivermectin (22, 23-dihydroavermectin B1a), obtained by selective reduction with Wilkinson catalyst, improved both the spectrum of activity and safety⁷⁾. Ivermectin is the most effective, broad-spectrum antiparasitic ever developed. Ivermectin was introduced to the market in 1981 as a veterinary antiparasitic drug and soon proved to be the most effective, broad-spectrum antiparasitic drug.

Five years after its introduction, ivermectin was registered for use in 46 countries and being used worldwide to treat approximately 320 million cattle, 151 million sheep, 21 million horses, and 5.7 million pigs. Virtually all dogs and horses in the USA are given it.

Used as an anthelmintic in animal health, in 1987 it was donated free for human use and is being distributed to eliminate River Blindness from Africa and South America. Furthermore, ivermectin is also used for the control of Lymphatic filariasis, strongyloidiasis and scabies in humans^{8,9)}.

Handling and Storage:

Store at -20°C.

References:

- 1. R. W. Burg et al., Antimicrob. Agents Chemother., 15, 361–367 (1979).
- 2. Y. Takahashi et al., Int. J. Syst. Evol. Microbiol., 52, 2163-2168 (2002).
- 3. S. J. Danishefsky et al., J. Am. Chem. Soc., 111, 2967–2980 (1989).
- 4. D. F. Cully et al., J. Biol. Chem., 271, 20187-20191 (1997).
- 5. K. S. Todd et al., Am. J. Vet. Res., 45, 976-977 (1984).
- 6. A. Pomes et al., Biochim. Biophys. Acta., 1339, 233-238 (1997).
- 7. J. C. Chabala, H. Mrozik, R. L. Tolman, P. Eskola, A. Lusi, L. H. Peterson, M. F. Wood & M. H. Fisher, J. Med. Chem. **23**, 1134-1136 (1980)
- 8. Taylor, H. R., and Greene, B. M. Am. J. Trop. Hyg. 41, 460-466 (1989).
- 9. Satoshi Õmura and Andy Crump, Nature Review, Microbiology, 12, 984-989 (2004).

Synthesized by Organic Chemistry Group, The Kitasato Institute.

(starting material, Avermevtin B1a, manufactured with Cortesy strain from The Kitasato Institute)