

Product Data Sheet

Product Name: Ivermectin B1a

Cat. No.: GC12700

Chemical Properties

Cas. No. 71827-03-7

Chemical Name 5-O-demethyl-22,23-dihydro-avermectin A_{1a}

SMILES C/C([C@@H](O[C@@]1([H])C[C@H](OC)[C@@H](O[C@]2([H])O[C@@H](C)[C@H](O)[C@@H](OC)C2)[C@H](C)O1)[C@@H](C)/C=C/C=C(CO3)/[C@@]([C@@]3([H])[C@H](O)C(C)=C4)(O)[C@]4([H])C5=O)=C\C[C@]6([H])C[C@@](O5)([H])C[C@]7(CC[C@H](C)[C@]([C@H](CC)C)([H])O7)O6

Formula C₄₈H₇₄O₁₄

M.Wt 875.1

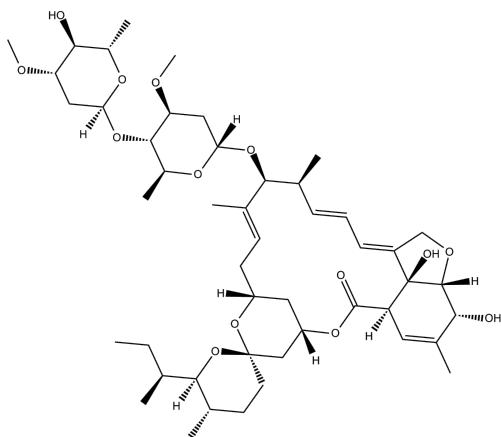
Solubility DMSO : 100 mg/mL (114.27 mM; Need ultrasonic)

Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Caution: Product has not been fully validated for medical applications. For research use only.

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Ivermectin B1a is the main component (not less than 80%) of the anthelmintic, ivermectin. Ivermectin is one of the most useful veterinary antiparasitic drugs ever produced. Ivermectin belongs to the macrocyclic lactone class of avermectins. Ivermectin contains two homologous compounds, H2B1a and H2B1b. Avermectins are potent insecticidal, anthelmintic and acaricidal compounds in mediating the paralysis of nematodes and certain classes of ectoparasites by increasing the membrane permeability to chlorine ions[1].

In humans, ivermectin has been used to treat African river blindness (onchocerciasis). Ivermectin significantly decreased the prevalence of skin and eye diseases linked to this infection [2]. Ivermectin B1a produced antiparasitic activity via an interaction with a common receptor molecule, glutamate-gated chloride channels, which virtually expressed on nematode neurons and pharyngeal muscle cells, inducing irreversible channel opening and very long-lasting hyperpolarization/depolarization of the neuron/muscle cell, thereby blocking further function. The EC50 of ivermectin was 104 nM [1,3].

References:

- [1] J. Wolsethholme and A. T. Rogers. Glutamate-gated chloride channels and the mode of action of the avermectin/milbemycin anthelmintics. *Parasitology* 131 Suppl, S85-S95 (2005).
- [2] S. Gaisser, L. Kellenberger, A. L. Kaja, et al. Direct production of ivermectin-like drugs after domain exchange in the avermectin polyketide synthase of *Streptomyces avermitilis* ATCC31272. *Organic & Biomolecular Chemistry* 1(16), 2840-2847 (2003).
- [3] J. P. Arena, K. K. Liu, P. S. Paress, et al. The mechanism of action of avermectins in *Caenorhabditis elegans*: Correlation between activation of glutamate-sensitive chloride current, membrane binding, and biological activity. *Journal of Parasitology* 81, 286-294 (1995).

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