

Product Data Sheet

Product Name: Avermectin B1b

Cat. No.: GC15966

Chemical Properties

Cas. No. 65195-56-4

Chemical Name 5-O-demethyl-25-de(1-methylpropyl)-25-(1-methylethyl)-avermectin A1a

SMILES CC([C@](O1)([H])[C@@](C=C[C@@]21C[C@](O3)([H])C[C@@](O2)([H])C/C([H])=C([C@](O[C@@]4([H])C[C@@](OC)([H])[C@](O[C@@]5([H])C[C@@](OC)([H])[C@](O)([H])[C@](O5)([H])C)([H])[C@](O4)([H])C)([H])[C@@]/C([H])=C([H])/C([H])=C([C@@]67O)\CO[C@]7([H])[C@@](O)([H])C(

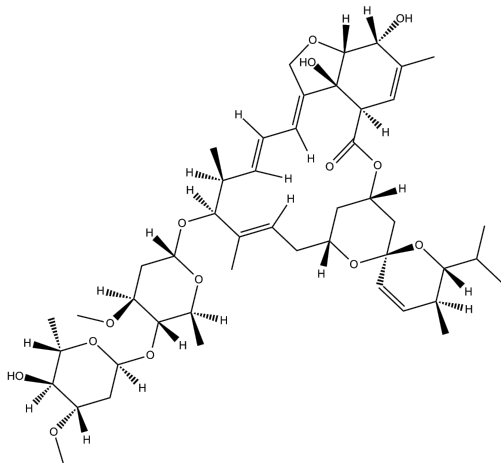
Formula C₄₇H₇₀O₁₄ M.Wt 859.05

Solubility Soluble in ethanol, or in methanol, or in DMSO, or in DMF 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



Protocol

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Cell experiment [1]:

Cell lines BHK-21 cells (baby hamster kidney cell line), Huh-7.5 cells (human hepatocellular carcinoma cell line)

Preparation Method BHK-21 and Huh-7.5 cells were maintained in appropriate culture media (DMEM-based for BHK-21; MEM/0.2% BSA/20mM HEPES/1mM L-glutamine infection medium for viral assays) at 37°C, 5% CO₂. For antiviral assays, BHK-21 or Huh-7.5 cells were infected with CHIKV-Rluc at MOI 0.01 (BHK-21) or MOI 0.1 (Huh-7.5), or with wild-type CHIKV at MOI 0.01, and simultaneously treated with A mixture of Avermectin B1b and Avermectin B1a (1:4) at the indicated concentrations.

Reaction Conditions 3μM; 14-18h

Applications Avermectin B1b and Avermectin B1a significantly inhibited CHIKV replicon luciferase signal. Avermectin B1b reduced both positive- and negative-strand CHIKV RNA synthesis, downregulated viral nsP1, nsP3 and E2 protein expression, and lowered infectious CHIKV particle production by nearly 4 logs in BHK-21 cells.

Animal experiment [2]:

Animal models Male BALB/C mice

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Mice were orally administered a mixture of Avermectin B1b and Avermectin B1a (2mg/kg; 1:4) 1h prior to intranasal instillation of LPS (0.5mg/kg in 50μL PBS) to establish the LPS-induced acute lung injury (ALI) model. Control mice received PBS intranasally without LPS. Dexamethasone (0.5mg/kg, intraperitoneal) was used as a positive control in a parallel group. Ten hours after LPS challenge, mice were euthanized for bronchoalveolar lavage fluid (BALF) collection, lung wet-to-dry weight (W/D) ratio measurement, histopathological examination, myeloperoxidase activity assay, and Western blot analysis of p38MAPK, ERK, JNK, and IκB phosphorylation in lung tissues.

Dosage form 2mg/kg (Avermectin B1b and Avermectin B1a; 1:4); oral gavage; 1h prior to LPS

Applications A mixture of Avermectin B1b and Avermectin B1a attenuated LPS-induced acute lung injury in mice. Avermectin B1b reduced TNF-α and IL-6 levels in BALF, decreased total cell counts, neutrophils and macrophages in BALF, lowered lung W/D ratio and MPO activity, and alleviated pathological changes including alveolar wall thickening, alveolar hemorrhage, and interstitial edema. Avermectin B1b inhibited LPS-induced phosphorylation of p38MAPK and ERK, blunted IκB degradation, and suppressed activation of the MAPK and NF-κB pathways, with no significant effect on JNK phosphorylation.

References:

- [1] Varghese FS, Kaukinen P, Gläsker S, et al. Discovery of berberine, abamectin and ivermectin as antivirals against chikungunya and other alphaviruses. *Antiviral Res.* 2016 Feb;126:117-24.
- [2] Zhang X, Li J, Chen C, et al. Protective effect of abamectin on acute lung injury induced by lipopolysaccharide in mice. *Fundam Clin Pharmacol.* 2011 Dec;25(6):700-7.

Background

Avermectin B1b is a natural macrocyclic lactone antiparasitic/insecticide produced by *Streptomyces*

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avermittilis. Avermectin B1b can induce cytotoxicity, apoptosis, and autophagy. Avermectin B1b can be used in research related to antiparasitic therapy, agricultural pest control, and cancer treatment^[1-4].

In vitro, Avermectin B1b combined with Avermectin B1a mixture (3μM; ratio 1:4) was applied to chikungunya virus (CHIKV)-infected BHK-21 cells for 14–18h. The Avermectin B1b and Avermectin B1a mixture significantly inhibited viral positive- and negative-strand RNA synthesis, downregulated nsP1, nsP3, and E2 protein expression, and reduced infectious viral particle production^[5].

Avermectin B1b combined with Avermectin B1a mixture (4.194–6.506μM; ratio 1:4) was applied to MNNG, MG63, and U2OS human osteosarcoma cells for 48h. The Avermectin B1b and Avermectin B1a mixture inhibited cell proliferation and colony formation, impaired migration and invasion abilities, induced G1 phase arrest and apoptosis, and activated the AMPK/ULK1 signaling pathway to promote autophagy (elevated LC3B-II/I ratio, upregulation of ATG7, ATG13, ATG14, Beclin1)^[6].

In vivo, Avermectin B1b combined with Avermectin B1a mixture (5mg/kg; ratio 1:4; once daily) was orally administered to male Balb/c nu/nu nude mice bearing subcutaneous xenografts of LA795 lung adenocarcinoma cells for 4 consecutive weeks. The Avermectin B1b and Avermectin B1a mixture significantly inhibited tumor growth and reduced tumor volume and weight^[7]. Avermectin B1b combined with Avermectin B1a mixture (2mg/kg; ratio 1:4; single dose) was orally administered to lipopolysaccharide-induced acute lung injury model BALB/C mice (administered 1h before intranasal LPS at 0.5mg/kg). The Avermectin B1b and Avermectin B1a mixture significantly reduced TNF-α and IL-6 levels in bronchoalveolar lavage fluid, decreased total cell counts, neutrophil and macrophage infiltration in bronchoalveolar lavage fluid, lowered lung wet/dry ratio and myeloperoxidase activity, alleviated pathological changes including alveolar wall thickening, alveolar hemorrhage, and interstitial edema, inhibited p38MAPK and ERK phosphorylation and IκB degradation, and blocked MAPK and NF-κB pathway activation^[8].

References:

- [1] Siddique S, Ashraf Qureshi F. Kinetics of Avermectin B1b Production by *Streptomyces avermiltis* 41445 UV 45(m)3 in Shake Flask Culture. Iran J Biotechnol. 2020 Jul 1;18(3):e2356.
- [2] El-Marzoky AM, Ali MAMS, Elnahal ASM, et al. The Combination Effect of *Purpureocillium lilacinum* Strain (AUMC 10620) and Avermectin (B1a and B1b) on Control Citrus Nematode *Tylenchulus semipenetrans* (Cobb) Under Laboratory and Field Conditions. Biology (Basel). 2025

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[3] Siddique S, Syed Q, Adnan A, et al. Production and Screening of High Yield Avermectin B1b Mutant of *Streptomyces avermitilis* 41445 Through Mutagenesis. *Jundishapur J Microbiol.* 2014 Feb;7(2):e8626.

[4] Korystov YN, Ermakova NV, Kublik LN, et al. Avermectins inhibit multidrug resistance of tumor cells. *Eur J Pharmacol.* 2004 Jun 16;493(1-3):57-64.

[5] Varghese FS, Kaukinen P, Gläsker S, et al. Discovery of berberine, abamectin and ivermectin as antivirals against chikungunya and other alphaviruses. *Antiviral Res.* 2016 Feb;126:117-24.

[6] Fei X, Li Z, Pan Z, et al. Avermectin B1 mediates antitumor activity and induces autophagy in osteosarcoma through the AMPK/ULK1 signaling pathway. *Cancer Chemother Pharmacol.* 2024 Oct;94(4):599-613.

[7] Zhang X, Zhang G, Zhai W, et al. Inhibition of TMEM16A Ca^{2+} -activated Cl^- channels by avermectins is essential for their anticancer effects. *Pharmacol Res.* 2020 Jun;156:104763.

[8] Zhang X, Li J, Chen C, et al. Protective effect of abamectin on acute lung injury induced by lipopolysaccharide in mice. *Fundam Clin Pharmacol.* 2011 Dec;25(6):700-7.

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