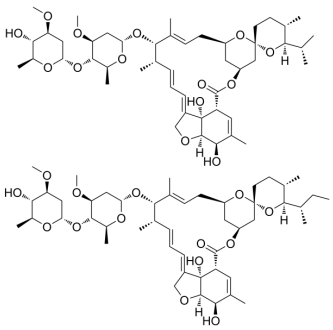
Ivermectin



Cat. No.: HY-15310

CAS No.: 70288-86-7

Molecular Formula: $C_{48}H_{74}O_{14}$

Molecular Weight: 875

Target: Parasite; Mitophagy; Autophagy; HSV; Antibiotic; SARS-CoV; HIV; Bacterial; Flavivirus; Dengue virus

Pathway: Anti-infection; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (285.71 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.1429 mL	5.7143 mL	11.4286 mL
5 mM	0.2286 mL	1.1429 mL	2.2857 mL
10 mM	0.1143 mL	0.5714 mL	1.1429 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (2.86 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ivermectin (MK-933) is a broad-spectrum anti-parasite agent. Ivermectin (MK-933) is a specific inhibitor of Impα/β1-mediated nuclear import and has potent antiviral activity towards both HIV-1 and dengue virus. It is a positive allosteric effector of $P2X_4$ and the α7 neuronal nicotinic acetylcholine receptor (nAChRs). Ivermectin also inhibits bovine herpesvirus1 (BoHV-1) replication and inhibits BoHV-1 DNA polymerase nuclear import[1][2][3][4]. Ivermectin is a candidate therapeutic against SARS-CoV-2/COVID-19[5].

IC_{50} & Target	HIV-1	HSV-1	BoHV-1	SARS-CoV-2

In Vitro	In the submicromolar range (EC_{50}=250 nM) the action of Ivermectin (MK-933) is rapid and reversible, resulting in increased amplitude and slowed deactivation of $P2X_4$ channel currents evoked by ATP[1]. Ivermectin (MK-933) markedly increases the potency of ATP and that of the normally low-potency agonist a,b-methylene-ATP in a use- and voltage-independent manner without changing the ion selectivity of $P2X_4$ channels[1]. Ivermectin (MK-933) activates glutamate-gated chloride channels in the nerves and muscles of the parasite, leading to membrane hyperpolarization and muscle paralysis[2]. Ivermectin (MK-933) strongly inhibits the binding of Impα/β1 to NS5 (IC_{50}=17 μM), but not of Impβ1 alone to NS5[3]. Ivermectin (MK-933) has potent antiviral activity towards both HIV-1 and dengue virus, both of which are strongly reliant on importin α/β nuclear import, with respect to the HIV-1 integrase and NS5 (non-structural protein 5) polymerase proteins respectively[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Mol Immunol. 2022 May 30;1-15.
- Adv Sci (Weinh). 2022 Oct 18;e2203088.
- Nucleic Acids Res. 2021 Jan 8;49(D1):D1113-D1121.
- Autophagy. 2022 Mar;18(3):559-575.
- EMBO J. 2022 Apr 22:e110324.

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[1]. Khakh BS, et al. Allosteric control of gating and kinetics at P2X(4) receptor channels. J Neurosci. 1999 Sep 1;19(17):7289-99.

[2]. Priel A, et al. Mechanism of ivermectin facilitation of human P2X4 receptor channels. J Gen Physiol. 2004 Mar;123(3):281-93.

[3]. Wagstaff KM, et al. Ivermectin is a specific inhibitor of importin α/β-mediated nuclear import able to inhibit replication of HIV-1 and dengue virus. Biochem J. 2012 May 1;443(3):851-6.

[4]. Raza S, et al. Ivermectin Inhibits Bovine Herpesvirus 1 DNA Polymerase Nuclear Import and Interferes with Viral Replication. Microorganisms. 2020 Mar 13;8(3). pii: E409.

[5]. Khan Sharun, et al. Ivermectin, a New Candidate Therapeutic Against SARS-CoV-2/COVID-19. Ann Clin Microbiol Antimicrob. 2020 May 30;19(1):23.

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