Inhibitors

Product Data Sheet

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)

H₂O: < 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: \geq 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/ β 1-

mediated nuclear import and has potent antiviral activity towards both HIV-1 and dengue virus. It is a positive allosteric effector of P2X₄ and the α 7 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].

IC₅₀ & Target HIV-1 HSV-1 BoHV-1 SARS-CoV-2

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In Vitro

In the submicromolar range (EC₅₀=250 nM) the action of Ivermectin (MK-933) is rapid and reversible, resulting in increased amplitude and slowed deactivation of P2X₄ 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 Imp $\alpha/\beta1$ to NS5 (IC₅₀=17 μ M), but not of Imp $\beta1$ 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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REFERENCES

- [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.

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

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