Product Data Sheet

Mefloquine

 Cat. No.:
 HY-17437

 CAS No.:
 53230-10-7

 Molecular Formula:
 C, H, F, N, O

Molecular Formula: $C_{17}H_{16}F_6N_2O$ Molecular Weight: 378.31

Target: Parasite; Autophagy; SARS-CoV; Potassium Channel; ROS

Pathway: Anti-infection; Autophagy; Membrane Transporter/Ion Channel; Protein Tyrosine

Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description	Mefloquine (Mefloquin), an orally active and potent quinoline antimalarial agent, is an anti-SARS-CoV-2 entry inhibitor. Mefloquine is also a K ⁺ channel (KvQT1/minK) antagonist with an IC ₅₀ of \sim 1 μ M. Mefloquine can be used for malaria, systemic lupus erythematosus and cancer research ^{[1][2][3]} .
In Vitro	Mefloquine selectively inhibits prostate cancer (PCa) cell growth with an IC $_{50}$ of ~10 μ M. Mefloquine also induces hyperpolarization of the mitochondrial membrane potential (MMP), as well as ROS generation ^[2] . Mefloquine (10 μ M)-mediated ROS simultaneously downregulated Akt phosphorylation and activated ERK, JNK and AMPK signaling in PC3 cells ^[2] . Mefloquine shows higher anti-SARS-CoV-2 activity than Hydroxychloroquine in VeroE6/TMPRSS2 and Calu-3 cells, with IC $_{50}$ of 1.28 μ M, IC $_{90}$ of 2.31 μ M, and IC $_{99}$ of 4.39 μ M in VeroE6/TMPRSS2 cells. Mefloquine inhibits viral entry after viral attachment to the target cell ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mefloquine (5 mg/kg; i.p.; daily; 14 days) reverses the lower vertebral cancellous bone volume and bone formation; and has modest effects on cortical bone volume, thickness, and moment of inertia in old mice ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Front Oncol. 2020 Jul 28;10:1217.
- PLoS Negl Trop Dis. 2019 Aug 20;13(8):e0007681.

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REFERENCES

[1]. Kang J, et al. Interactions of the antimalarial drug mefloquine with the human cardiac potassium channels KvLQT1/minK and HERG. J Pharmacol Exp Ther. 2001 Oct;299(1):290-6.

[2]. Yan KH, et al. Mefloquine exerts anticancer activity in prostate cancer cells via ROS-mediated modulation of Akt, ERK, JNK and AMPK signaling. Oncol Let	tt. 2013
May;5(5):1541-1545.	

[3]. Kaho Shionoya, et al. Mefloquine, a Potent Anti-severe Acute Respiratory Syndrome-Related Coronavirus 2 (SARS-CoV-2) Drug as an Entry Inhibitor in vitro. Front Microbiol. 2021 Apr 30;12:651403.

[4]. Rafael Pacheco-Costa, et al. Reversal of loss of bone mass in old mice treated with mefloquine. Bone. 2018 Sep;114:22-31.

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

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