Clofazimine

Cat. No.: HY-B1046

CAS No.: 2030-63-9

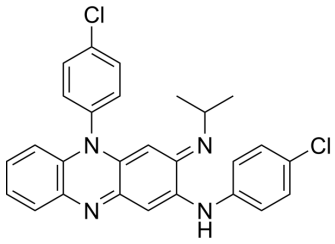
Molecular Formula: $C_{27}H_{22}Cl_2N_4$

Molecular Weight: 473.4

Target: Bacterial; Antibiotic; DNA/RNA Synthesis; Interleukin Related; Apoptosis

Pathway: Anti-infection; Cell Cycle/DNA Damage; Immunology/Inflammation; Apoptosis

Storage: 4°C, protect from light
* In solvent : -80°C, 1 year; -20°C, 6 months (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.25 mg/mL (13.20 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1124 mL	10.5619 mL	21.1238 mL
5 mM	0.4225 mL	2.1124 mL	4.2248 mL
10 mM	0.2112 mL	1.0562 mL	2.1124 mL

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

In Vivo

1. Add each solvent one by one: 0.5% CMC/saline water
   Solubility: 1.67 mg/mL (3.53 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 1.67 mg/mL (3.53 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.62 mg/mL (1.31 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 0.62 mg/mL (1.31 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Clofazimine is an orally-active anti-mycobacterial agent with a wide range of anti-mycobacterial activity including leprosy and tuberculosis. Clofazimine exerts anti-inflammatory activities and anti-tumor activities by interfering DNA replication and inhibiting IL2 (IC_{50} = 1.10 ± 0.26 μM, Jurkat T) production. Clofazimine can be used in mycobacterial and cancer research [1][2][3][4][5].

IC_{50} & Target

Quinolone

In Vitro	Clofazimine (0.0625-2 mg/L, 14 d) exerts no apparent activity against M. tuberculosis during the first 2–4 days of exposure, exhibits a concentration-dependent antimicrobial activity after 1 week: bacteriostatic activity at concentrations at or below the 0.25 mg/L MIC and bactericidal activity at concentrations above the MIC. INH is used as positive control.[3]. Clofazimine (10 μM, 24 h) inhibits the growth of hematological cancer cell lines (Jurkat, U266, Namalwa, K562, HL60). For U266, Clofazimine (1-50 μM, 12-48 h) shows a dose- and time-dependent inhibitory effect[4]. Clofazimine (10 μM, 24-48 h) depolarizes the mitochondrial membrane, significantly increases active caspase-3 level (25-fold) and increases the percentage of early and late apoptotic cells in U266[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Clofazimine (100,50 mg/kg, p.o., once per day for 14 d) exhibits a delayed antimicrobial activity against Mycobacterium tuberculosis in mice[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c mice (age 6–8 weeks, mass 18–20 g)[3]
Dosage:	100, 50, 25, 12.5, 6.25, 3.125 or 1.5625 mg/kg
Administration:	Oral gavage (p.o.), once per day for 14 d
Result:	Exhibited an increase of the lung bacterial load during the first 7 days of treatment, and decreased the CFU counts in the lungs of mice after 10 days of treatment. Significantly declined the lung CFU counts in 100 or 50 mg/ kg group at 14th day.

CUSTOMER VALIDATION

- Antiviral Res. 2022 Jun 19;204:105365.
- Cell Death Discov. 2022 Mar 12;8(1):111.
- Antimicrob Agents Chemother. 2023 Jan 23;e0145922.
- PLoS Negl Trop Dis. 2019 Aug 20;13(8):e0007681.
- Microbiol Spectr. 2021 Jun 16;e0004521.

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REFERENCES

[1]. Riccardi N, et al. Clofazimine: an old drug for never-ending diseases. Future Microbiol. 2020□15:557-566.

[2]. Ammerman NC, et al. Clofazimine has delayed antimicrobial activity against Mycobacterium tuberculosis both in vitro and in vivo. J Antimicrob Chemother. 2017□ 72(2):455-461.

[3]. Durusu İZ, et al. Anti-cancer effect of clofazimine as a single agent and in combination with cisplatin on U266 multiple myeloma cell line. Leuk Res. 2017□55:33-40.

[4]. Ren YR, et al. Clofazimine Inhibits Human Kv1.3 Potassium Channel by Perturbing Calcium Oscillation in T Lymphocytes. PLoS One. 2008□3(12):e4009.

[5]. Arbiser JL, et al. Clofazimine: a review of its medical uses and mechanisms of action. J Am Acad Dermatol. 1995 Feb;32(2 Pt 1):241-7.

[6]. Cholo MC, et al. Clofazimine: current status and future prospects. J Antimicrob Chemother. 2012 Feb;67(2):290-8.

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

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