Clarithromycin

Cat. No.: HY-17508

CAS No.: 81103-11-9

Molecular Formula: $C_{38}H_{69}NO_{13}$

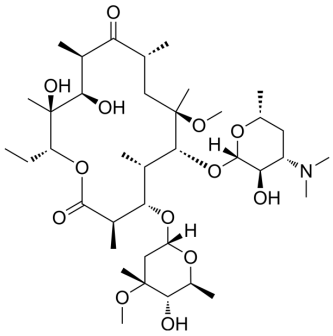
Molecular Weight: 748

Target: Bacterial; Cytochrome P450; Autophagy; Antibiotic

Pathway: Anti-infection; Metabolic Enzyme/Protease; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (44.56 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3369 mL	6.6845 mL	13.3690 mL
	5 mM	0.2674 mL	1.3369 mL	2.6738 mL
	10 mM	0.1337 mL	0.6684 mL	1.3369 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 (3.34 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (3.34 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Clarithromycin has a broad spectrum of antimicrobial activity. Clarithromycin inhibits the CYP3A4-catalyzed triazolam alpha-hydroxylation with the IC_{50} (K_i) value of 56 (43) μM[2]. Clarithromycin significantly inhibits the HERG potassium current [3].Clarithromycin affects the autophagic flux by impairing the signaling pathway linking hERG1 and PI3K[4].

IC_{50} & Target		
	CYP3	Macrolide

In Vitro

Clarithromycin produces a similar concentration-dependent block with an IC_{50} of 45.7 μM[3].

?Clarithromycin induces the formation of numerous intracytoplasmic vacuoles after 24?h, in all cell lines, especially in HCT116 cells. Prolonged treatment with Clarithromycin (40, 80, and 160?μM) alters cell proliferation and triggers apoptotic cell death in colorectal cancer (CRC) cells. Inhibition of cell proliferation is potentiated when Clarithromycin is re-added to the cells. In particular, 160?μM Clarithromycin, re-added after 48?h of incubation, produces an arrest of cell proliferation at 72?h. Similar effects are obtained in LS174T cells[4].

?Clarithromycin (80 and 160?μM; 48 hours) strongly increases the LC3-II/LC3-I ratio, in a dose- and time-dependent manner, with a maximum at 24?h of treatment. This effect is accompanied by a decrease of p62/SQSTM1[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[4]

Cell Line:	HCT116 cells
Concentration:	40, 80, and 160 μM
Incubation Time:	24, 48, 72 hours
Result:	Reduced HCT116 cell proliferation, although did not completely abolished it.

Western Blot Analysis[4]

Cell Line:	HCT116 cells
Concentration:	80 and 160 μM
Incubation Time:	4, 24, 48 hours
Result:	A decrease of LC3-II and a re-increase of p62/SQSTM1 were observed at 48 hours treatment.

In Vivo

Clarithromycin at 200 mg/kg has activity against four tested in vivo[5].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-week-old beige (C57BL/6J bg^j/bg^j) mice which had been infected with viable M. avium ATCC 49601[5]
Dosage:	50, 100, 200, or 300 mg/kg
Administration:	Administered daily by gavage
Result:	Reduced organ cell counts compared with those in mice given no treatment at all doses. Had activity against three additional MAC isolates (MICs for the isolates ranged from 1 to 4 μg/mL by broth dilution) at 200 mg/kg.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2021 Mar 11.
- Water Res. 2023 May 21, 120110.
- Chemosphere. 2019 Jun;225:378-387.
- Cell Prolif. 2021 Jan;54(1):e12953.
- J Med Chem. 2021 Mar 11;64(5):2725-2738.

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REFERENCES

[1]. D H Peters, et al. Clarithromycin. A review of its antimicrobial activity, pharmacokinetic properties and therapeutic potential. Drugs. 1992 Jul;44(1):117-64.

[2]. X J Zhao, et al. An in vitro study on the metabolism and possible drug interactions of rokitamycin, a macrolide antibiotic, using human liver microsomes. Drug Metab Dispos. 1999 Jul;27(7):776-85.

[3]. Scott J C Stanat, et al. Characterization of the inhibitory effects of erythromycin and clarithromycin on the HERG potassium channel. Mol Cell Biochem. 2003 Dec;254(1-2):1-7.

[4]. Giulia Petroni, et al. Clarithromycin inhibits autophagy in colorectal cancer by regulating the hERG1 potassium channel interaction with PI3K. Cell Death Dis. 2020 Mar 2;11(3):161.

[5]. S P Klemens, et al. Activity of clarithromycin against Mycobacterium avium complex infection in beige mice. Antimicrob Agents Chemother. 1992 Nov;36(11):2413-7.

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