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) | sonic) | | | |
|------------------------------|---|-------------------------------|-----------|-----------|------------|--|
| Preparing Stock Solutions | | Solvent Mass Concentration | 1 mg | 5 mg | 10 mg | |
| | Preparing Stock Solutions | 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) μ M ^[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 | СҮРЗ | Macrolide | |
| In Vitro | Clarithromycin produces a sin | nilar concentration-dependent block with an IC_{50} of 45.7 $\mu M^{[3]}.$ | |

Product Data Sheet



?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? μ M) 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? μ M 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].

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

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].

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| 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 μ g/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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[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.

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

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