Bafilomycin A1

Cat. No.: HY-100558

CAS No.: 88899-55-2

Molecular Formula: $C_{35}H_{58}O_9$

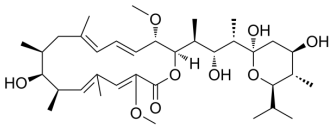
Molecular Weight: 623

Target: Proton Pump; Autophagy; Apoptosis; Bacterial; Antibiotic

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

Storage: -20°C, protect from light

* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (160.51 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Concentration \ Solvent Mass	1 mg	5 mg	10 mg
1 mM	1.6051 mL	8.0257 mL	16.0514 mL
5 mM	0.3210 mL	1.6051 mL	3.2103 mL
10 mM	0.1605 mL	0.8026 mL	1.6051 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.01 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.34 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bafilomycin A1 (BafA1) is a specific and reversible inhibitor of vacuolar H^+-ATPase (V-ATPase) with IC_{50} values of 4-400 nmol/mg. Bafilomycin A1, a macrolide antibiotic, is also used as an autophagy inhibitor at the late stage. Bafilomycin A1 blocks autophagosome-lysosome fusion and inhibits acidification and protein degradation in lysosomes of cultured cells. Bafilomycin A1 induces apoptosis[1][2][3].

IC_{50} & Target

Macrolide

In Vitro

Bafilomycin A1 is treated to different types of membrane ATPases with the I_{50} of 400 nmol/mg, 4 nmol/mg and 50 nmol/mg

	for the vacuolar ATPases of a fungus (N. crassa), a plant (Z. mays), and an animal (bovine abrenal medulla). The I_{50} values refer as μmol of Bafilomycin A1 per mg of protein giving 50% inhibition of ATPase activity[1]. Bafilomycin A1 ((-)-Bafilomycin A1) disrupts autophagic flux by inhibiting both V-ATPase-dependent acidification and Ca-P60A/SERCA-dependent autophagosome-lysosome fusion[2]. Bafilomycin A1 at a low concentration (1 nM) effectively and specifically inhibits and kills pediatric B-cell acute lymphoblastic leukemia cells. It targets both early and late stages of the autophagy pathway, mitochondria and induces caspase-independent apoptosis. Bafilomycin A1 induces the binding of Beclin 1 to Bcl-2, which further inhibits autophagy and promotes apoptotic cell death[5]. The growth of the BEL-7402 hepatocellular carcinoma and HO-8910 ovarian cancer cell lines are retarded and the metastatic potential is inhibited by Bafilomycin A1. Transmission electron microscopy and assays of capsase-3 and -9 suggest that Bafilomycin A1 induces apoptosis[6]. Bafilomycin A1 inhibits the growth of a variety of cultured cells dose-dependently, including golden hamster embryo and NIH-3T3 fibroblasts, whether or not they are transformed, and PC12 and HeLa cells. The IC_{50} of Bafilomycin A1 for inhibition of cell growth ranges from 10 to 50 nM[7]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Chronic treatment with low-dose Bafilomycin A1 (0.1 mg/kg) slightly inhibits the tumor volume, but the final tumor volume does not differ significantly from the control. However, chronic treatment with high dose Bafilomycin A1 (1 mg/kg) inhibits the tumor growth significantly, compared with controls, after 21 days[8]. Bafilomycin A1 (0.1 mg/kg or 1 mg/kg; i.p. daily for 3 days) extends the survival of B-cell acute lymphoblastic leukemia (B-ALL) xenograft mice with advanced disease[9]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Cells are harvested using 0.05% trypsin and suspended in culture medium containing 10% FCS, and 200 μL suspension is added to each well of a 96-well plate. Cells are cultured for 20 h for adhesion. Bafilomycin A1 is added to the wells at the final concentrations of 200, 400 and 800 nM, in triplicate. At 24, 48 and 72 h, 20 μl WST-1 is added to the cells. Following incubation at 37°C for 4 h, the plates are read to determine the optical density (OD) at 435 nm with 675 nm reference using a spectrophotometer[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [4]	Mice: Tumor-bearing mice are divided randomly into three experimental groups: a low-dose Bafilomycin A1 (0.1 mg/kg per day)-treated group (n=5), a high-dose Bafilomycin A1 (1 mg/kg per day)-treated group (n=5),and a control group (n=5). Tumor size is measured and tumor volume doubling time is calculated[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2022 Aug;608(7922):413-420.
- Cell. 2023 Aug 31;186(18):3903-3920.e21.
- Cancer Cell. 2023 May 23;S1535-6108(23)00142-3.
- Cancer Cell. 2021 Mar 8;39(3):423-437.e7.
- Nat Biotechnol. 2022 Dec;40(12):1834-1844.

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REFERENCES

[1]. Yuan N, et al. Bafilomycin A1 targets both autophagy and apoptosis pathways in pediatric B-cell acute lymphoblastic leukemia. Haematologica. 2015 Mar;100(3):345-56.

[2]. Lu X, et al. Bafilomycin A1 inhibits the growth and metastatic potential of the BEL-7402 liver cancer and HO-8910 ovarian cancer cell lines and induces alterations in their microRNA expression. Exp Ther Med. 2015 Nov;10(5):1829-1834.

[3]. Ohkuma S, et al. Inhibition of cell growth by bafilomycin A1, a selective inhibitor of vacuolar H(+)-ATPase. In Vitro Cell Dev Biol Anim. 1993 Nov;29A(11):862-6.

[4]. Ohta T, et al. Bafilomycin A1 induces apoptosis in the human pancreatic cancer cell line Capan-1. J Pathol. 1998 Jul;185(3):324-30.

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[6]. Yuan N, et al. Bafilomycin A1 targets both autophagy and apoptosis pathways in pediatric B-cell acute lymphoblastic leukemia. Haematologica. 2015;100(3):345-356.

[7]. Yoshimori T, et al. Bafilomycin A1, a specific inhibitor of vacuolar-type H(+)-ATPase, inhibits acidification and protein degradation in lysosomes of cultured cells. J Biol Chem. 1991;266(26):17707-17712

[8]. Bowman EJ, et al. Bafilomycins: a class of inhibitors of membrane ATPases from microorganisms, animal cells, and plant cells. Proc Natl Acad Sci U S A. 1988;85(21):7972-7976.

[9]. Cattani L, et al. Bafilomycin A1 and intracellular multiplication of Legionella pneumophila. Antimicrob Agents Chemother. 1997;41(1):212-214.

[10]. Yuan N, et al. Bafilomycin A1 targets both autophagy and apoptosis pathways in pediatric B-cell acute lymphoblastic leukemia. Haematologica. 2015 Mar;100(3):345-56.

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