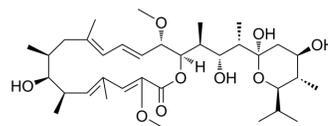


## Bafilomycin A1

Cat. No.:	HY-100558
CAS No.:	88899-55-2
Molecular Formula:	C <sub>35</sub> H <sub>58</sub> O <sub>9</sub>
Molecular Weight:	622.83
Target:	Proton Pump; Autophagy; Apoptosis; Bacterial; Antibiotic
Pathway:	Membrane Transporter/Ion Channel; Autophagy; Apoptosis; Anti-infection
Storage:	-20°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



### SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (80.28 mM; Need ultrasonic)					
	H <sub>2</sub> O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
			1 mM	1.6056 mL	8.0279 mL	16.0557 mL
			5 mM	0.3211 mL	1.6056 mL	3.2111 mL
10 mM			0.1606 mL	0.8028 mL	1.6056 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: ≥ 1.25 mg/mL (2.01 mM); Clear solution					

### BIOLOGICAL ACTIVITY

Description	Bafilomycin A1 (BafA1) is a specific and reversible inhibitor of vacuolar H <sup>+</sup> -ATPase (V-ATPase) with IC <sub>50</sub> 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 <sup>[1][2][3]</sup> .
IC <sub>50</sub> & Target	Macrolide
In Vitro	Bafilomycin A1 is treated to different types of membrane ATPases with the I <sub>50</sub> 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 adrenal medulla). The  $I_{50}$  values refer as  $\mu\text{mol}$  of Bafilomycin A1 per mg of protein giving 50% inhibition of ATPase activity<sup>[1]</sup>.

Bafilomycin A1 ((-)-Bafilomycin A1) disrupts autophagic flux by inhibiting both V-ATPase-dependent acidification and Ca-P60A/SERCA-dependent autophagosome-lysosome fusion<sup>[2]</sup>.

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<sup>[5]</sup>.

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 caspase-3 and -9 suggest that Bafilomycin A1 induces apoptosis<sup>[6]</sup>.

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<sup>[7]</sup>.

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<sup>[8]</sup>.

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<sup>[9]</sup>.

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

## PROTOCOL

#### Cell Assay <sup>[2]</sup>

Cells are harvested using 0.05% trypsin and suspended in culture medium containing 10% FCS, and 200  $\mu\text{L}$  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  $\mu\text{L}$  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<sup>[2]</sup>.

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

#### Animal Administration <sup>[4]</sup>

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<sup>[4]</sup>.

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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