Bafilomycin A1

Cat. No.: HY-10058
CAS No.: 88899-55-2
Molecular Formula: C₃₅H₅₈O₉
Molecular Weight: 622.83
Target: Proton Pump; Autophagy; Antibiotic; Bacterial; Apoptosis
Pathway: Membrane Transporter/Ion Channel; Autophagy; Anti-infection; Apoptosis
Storage: Powder -20°C 3 years
In solvent -80°C 6 months
-20°C 1 month

**SOLVENT & SOLUBILITY**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>100 mg/mL</td>
<td>1.6056 mL</td>
<td>8.0279 mL</td>
<td>16.0557 mL</td>
</tr>
<tr>
<td>H₂O</td>
<td>&lt; 0.1 mg/mL</td>
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</tbody>
</table>

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 (4.01 mM); Clear solution
2. 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
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.01 mM); Clear solution

**BIOLOGICAL ACTIVITY**

Description: Bafilomycin A1 ((-)-Bafilomycin A1) is a specific inhibitor of vacuolar H⁺-ATPase (V-ATPase) with I₅₀ 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

IC₅₀ & Target: V-ATPase

[1][2][3]
### 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 adrenal medulla). The \( I_{50} \) values refer as \( \mu \)mol 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 caspase-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\(^{[8]}\).

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### PROTOCOL

#### Cell Assay\(^{[2]}\)

Cells are harvested using 0.05% trypsin and suspended in culture medium containing 10% FCS, and 200 \( \mu \)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 \( \mu \)L WST-1 is added to the cells. Following incubation at 37\(^\circ\)C for 4 h, the plates are read to determine the optical density (OD) at 435 nm with 675 nm reference using a spectrophotometer\(^{[2]}\).

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#### 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\(^{[4]}\).

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### REFERENCES


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Tel: 609-228-6898          Fax: 609-228-5909          E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA