## Chemical Properties

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Product Name:</td>
<td>MG-132</td>
</tr>
<tr>
<td>Cas No.:</td>
<td>133407-82-6</td>
</tr>
<tr>
<td>M.Wt:</td>
<td>475.6</td>
</tr>
<tr>
<td>Formula:</td>
<td>C26H41N3O5</td>
</tr>
<tr>
<td>Synonyms:</td>
<td>MG132,Z-LLL-al,Z-Leu-Leu-Leu-CHO</td>
</tr>
<tr>
<td>Chemical Name:</td>
<td>benzyl N-[(2S)-4-methyl-1-[[[2S]-4-methyl-1-[[[2S]-4-methyl-1-oxopentan-2-yl]amino]-1-oxopentan-2-yl]amino]-1-oxopentan-2-yl]carbamate</td>
</tr>
<tr>
<td>Canonical SMILES:</td>
<td>CC(C)CC(C=O)NC(=O)C(CC(C)C)NC(=O)C(CC(C)C)NC(=O)OCC1=CC=CC=C1</td>
</tr>
<tr>
<td>Solubility:</td>
<td>&gt;23.8mg/mL in DMSO</td>
</tr>
<tr>
<td>Storage:</td>
<td>Store at -20°C</td>
</tr>
<tr>
<td>General tips:</td>
<td>For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.</td>
</tr>
<tr>
<td>Shopping Condition:</td>
<td>Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request</td>
</tr>
</tbody>
</table>

## Biological Activity

### Targets:
- Proteasome

### Pathways:
- Ubiquitination/Proteasome >> Proteasome

### Description:
MG132 (carbobenzoxy-Leu-Leu-Leu-leucinal) as a peptide aldehyde effectively blocks the proteolytic activity of proteasome complex. Proteasome inhibitors including MG132 have been shown to induce apoptotic cell death through formation of ROS. ROS formation and GSH depletion due to proteasome inhibitors may cause mitochondrial dysfunction and subsequent cytochrome c
release, which leads to cell viability loss1, 2. MG132 dose dependently inhibited the growth of A549 cells with an IC50 of approximately 20 µM. MG132 also reduced the growth of human cervical HeLa cancer cells with an IC50 of approximately 5 µM. Treatment with 0.5 µM MG132 significantly decreased the growth of HeLa cells and induced cell death as well. Cell growth inhibition by MG132 depends on incubation doses of that and cell types3.

MG132 significantly induced a G1 phase arrest of the cell cycle. It inhibits the growth of HT-29 colon cancer cells via inducing G2/M cell cycle arrest4, causes MG-63 osteosarcoma cell arrest at G2/M phase5, prolongs the duration of G0/G1 arrest in MnCl2-treated A549 cells21 and induces a G1 arrest in gastric carcinoma cells6. Deregulation of the ubiquitin-proteasomal system by MG132 can result in different cell cycle phase arrests depending on various cancer cell lines. Proteasome inhibitors including MG132 have been shown to induce apoptotic cell death through formation of ROS1, 2, 7. MG132 inhibited the growth of human A549 cells via inducing the cell cycle arrest as well as triggering apoptosis, which was in part correlated with the changes of ROS and GSH levels.

Reference:
3. YH. Han, WH. Park, MG132 as a proteasome inhibitor induces cell growth inhibition and cell death in A549 lung cancer cells via influencing reactive oxygen species and GSH level, Human and Experimental Toxicology, 29(7) 607–614.

Protocol

Cell experiment:

Cell lines A549 cells, human cervical HeLa cancer cells, HT-29 colon cancer cells, MG-63 osteosarcoma cell etc.

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions: 24-48 h

Applications: MG-132 is a membrane-permeable proteasome inhibitor. It is used to Induce neurite outgrowth in PC12 cells at 10 μM. MG132 dose dependently inhibited the growth of A549 cells with an IC50 of approximately 20 μM. MG-132 also reduced the growth of human cervical HeLa cancer cells with an IC50 of approximately 5 μM. Treatment with 0.5 μM MG-132 significantly decreased the growth of HeLa cells and induced cell death as well [3]. MG-132 inhibits the growth of HT-29 colon cancer cells via inducing G2/M cell cycle arrest [4], causes MG-63 osteosarcoma cell arrest at G2/M phase [5], prolongs the duration of G0/G1 arrest in MnCl2-treated A549 cells and induces a G1 arrest in gastric carcinoma cells [6].

Animal experiment [3]:

Animal models: C57BL mice
Dosage form: ~10 ug/kg/day, injection from tail vein or belly
Preparation method: Powder dissolved in DMSO to prepare stock solution with 10 mg/ml, and working solution is diluted by PBS or Saline. pH equals to 7.
Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:
3. YH. Han, WH. Park, MG132 as a proteasome inhibitor induces cell growth inhibition and cell death in A549 lung cancer cells via influencing reactive oxygen species and GSH level, Human and Experimental Toxicology, 29(7) 607–614.
Product Citations


Product Validation

MG132 alone induced cleavage of the Panx1 autoinhibitory domain which was co-temporal with proteolytic processing PARP1 [1].


HeLa cells were untreated (-) or treated with 10 μm MG-132 (+) as indicated, 4 h later the cells were harvested and the lysates were subjected to immunoblot analysis with anti-ubiquitin or anti-p53 antibodies.
Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.