Proteins

Product Data Sheet

MG-132

Cat. No.: HY-13259 CAS No.: 133407-82-6 Molecular Formula: $C_{26}H_{41}N_{3}O_{5}$ Molecular Weight: 475.62

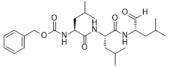
Target: Proteasome; Autophagy; Apoptosis

Pathway: Metabolic Enzyme/Protease; Autophagy; Apoptosis

Storage: Powder -20°C 3 years

> In solvent -80°C 6 months

> > -20°C 1 month



SOLVENT & SOLUBILITY

Vitro

DMSO: 100 mg/mL (210.25 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.1025 mL	10.5126 mL	21.0252 mL
	5 mM	0.4205 mL	2.1025 mL	4.2050 mL
	10 mM	0.2103 mL	1.0513 mL	2.1025 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: ≥ 1.67 mg/mL (3.51 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 1.67 mg/mL (3.51 mM); Suspended solution; Need ultrasonic
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1.67 mg/mL (3.51 mM); Clear solution

inhibitor of 20S proteasome[3].

BIOLOGICAL ACTIVITY

Description	MG-132 (Z-Leu-Leu-Leu-al) is a potent proteasome and calpain inhibitor with IC ₅₀ s of 100 nM and 1.2 μM, respectively. MG-132 effectively blocks the proteolytic activity of the 26S proteasome complex. MG-132, a peptide aldehyde, also is an autophagy activator. MG-132 also induces apoptosis ^{[1][2][3]} .
IC ₅₀ & Target	IC50: 100 nM (Proteasome), 1.2 μ M (Calpain) $^{[1][3]}$
In Vitro	MG-132 (Z-Leu-Leu-Leu-al) initiates neurite outgrowth in PC12 cells at a low concentration (30 nM) and is a very strong

Page 1 of 3 www.MedChemExpress.com MG-132 (10 μ M; 1 hour) reverses the effects of TNF- α on I κ B degradation and NF- κ B activation in A549 cells^[4].

MG-132 (0.75-5 μM; 24 hours) potently induces p53-dependent apoptosis in KIM-2 cells by 26S proteasome inhibition^[5].

MG-132 (10-40 μ M; 24 hours) significantly reduces the viability of C6 glioma cells in both time- and concentration-dependent manners and shows the IC₅₀ of 18.5 μ M at 24 hours^[6].

MG-132 (18.5 μ M; 24 hours) induces down-regulation of anti-apoptotic proteins Bcl-2 and XIAP and up-regulates expression of pro-apoptotic protein Bax and caspase-3^[6].

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

Cell Viability Assay^[3]

Concentration:

Incubation Time:

Result:

Cell Line:	C6 glioma cells
Concentration:	10, 20, 30, 40 μΜ
Incubation Time:	24 hours
Result:	Significantly reduced the viability of C6 glioma cells beginning at 6 h in both time- and concentration-dependent manners and showed the IC $_{50}$ of 18.5 μ M at 24 hours.
Western Blot Analysis ^[3]	
Cell Line:	A549 cells

In Vivo

MG132 (10 mg/kg; i.p.; daily for 25 days starting 5 days after EC9706 cells injection) significantly inhibits tumor growth of the EC9706 xenograft without causing toxicity to mice^[7].

Reversed the effects of TNF- α on IkB degradation and resulted in a reversal of TNF- α -

MG-132 (1 mg/kg; i.v.; twice a week for 4 weeks) shows potent tumor inhibitory effect against mice bearing HeLa tumors [8]. MG-132 (1-10 μ g/kg/24 hours; subcutaneously implanted osmotic pumps; for 8 days) greatly increases the expression levels of β -dystroglycan, α -dystroglycan, α -sarcoglycan, and dystrophin in skeletal muscle lysates in mice (six-month-old male C57BL/10ScSn DMD mdx mice) [9].

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

induced NF-κB activation.

10 μΜ

1 hour

Animal Model:	5- to 6-weeks old female athymic nude mice (EC9706 xenograft)
Dosage:	10 mg/kg
Administration:	I.p.; daily for 25 days starting 5 days after EC9706 cells injection
Result:	Significantly inhibited tumor growth of the EC9706 xenograft without causing toxicity to the mice.
Animal Model:	Five-week-old female C.B-17/lcr-scid/scidJcl mice (bearing HeLa cells) ^[8]
Dosage:	1 mg/kg
Administration:	Intravenous injection; twice a week for 4 weeks

Page 2 of 3

CUSTOMER VALIDATION

- Nature. 2021 Nov;599(7885):491-496.
- Cell. 2023 Feb 16;186(4):803-820.e25.
- Science. 2020 Dec 4;370(6521):eaay2002.
- Cancer Cell. 2023 Jun 12;41(6):1073-1090.e12.
- Cancer Cell. 2022 Sep 19;S1535-6108(22)00436-6.

See more customer validations on www.MedChemExpress.com

REFERENCES

- [1]. Harhouri K, et al. MG132-induced progerin clearance is mediated by autophagy activation and splicing regulation. EMBO Mol Med. 2017 Sep;9(9):1294-1313.
- [2]. Han YH, et al. The effect of MG132, a proteasome inhibitor on HeLa cells in relation to cell growth, reactive oxygen species and GSH. Oncol Rep. 2009 Jul;22(1):215-21.
- [3]. Fan WH, et al. Proteasome inhibitor MG-132 induces C6 glioma cell apoptosis via oxidative stress. Acta Pharmacol Sin. 2011 May;32(5):619-25.
- [4]. Matsumoto Y, et al. Enhanced efficacy against cervical carcinomas through polymeric micelles physically incorporating theproteasome inhibitor MG132. Cancer Sci. 2016 Jun;107(6):773-81.
- [5]. Tsubuki S, et al. Differential inhibition of calpain and proteasome activities by peptidyl aldehydes of di-leucine and tri-leucine. J Biochem. 1996 Mar;119(3):572-6.
- [6]. Fiedler MA, et al. Inhibition of TNF-alpha-induced NF-kappaB activation and IL-8 release in A549 cells with the proteasome inhibitor MG-132. Am J Respir Cell Mol Biol. 1998 Aug;19(2):259-68.
- [7]. MacLaren AP, et al. p53-dependent apoptosis induced by proteasome inhibition in mammary epithelial cells. Cell Death Differ. 2001 Mar;8(3):210-8.
- [8]. Dang L, et al. Proteasome inhibitor MG132 inhibits the proliferation and promotes the cisplatin-inducedapoptosis of human esophageal squamous cell carcinoma cells. Int J Mol Med. 2014 May;33(5):1083-8.
- [9]. Bonuccelli G, et al. Proteasome inhibitor (MG-132) treatment of mdx mice rescues the expression and membrane localization of dystrophin and dystrophin-associated proteins. Am J Pathol. 2003 Oct;163(4):1663-75.

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

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