Small Molecules

(S)-MG132

Ubiquitin-proteasome inhibitor; NF-kB pathway inhibitor; IκB activator

Catalog # 73262 1 mg
73264 10 mg

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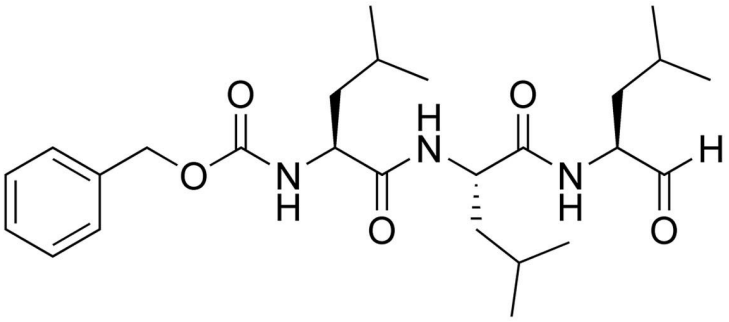
Product Description

(S)-MG132 is a reversible, cell-permeable inhibitor of proteasome activity (IC_{50} = 100 nM; Kisselev & Goldberg) and calpain (IC_{50} = 1.2 µM; Tsubuki et al.). The ubiquitin-proteasome pathway selectively degrades intracellular proteins, thereby clearing damaged or misfolded proteins, and regulating the availability of key proteins involved in the control of inflammatory processes and cell cycle regulation. (S)-MG132 suppresses NF-κB activation by preventing IκB degradation (IC_{50} = 3 µM; Arlt et al., Palombella et al., Ortiz-Lazareno et al.).

Molecular Name:	(S)-MG132
Alternative Names:	Z-Leu-Leu-Leu-CHO, Calpain inhibitor IV-2
CAS Number:	133407-82-6
Chemical Formula:	$C_{26}H_{41}N_3O_5$
Molecular Weight:	475.6 g/mol
Purity:	≥ 98%
Chemical Name:	N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S)-1-formyl-3-methylbutyl]-L-leucinamide
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· DMSO ≤ 60 mM · Absolute ethanol ≤ 50 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 210 µL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER
· Blocks apoptosis triggered by DNA damage in HeLa cells (Zhang et al.).
· Inhibits NF-κB activation, sensitizing a variety of carcinoma cell lines to apoptosis (Arlt et al.).
· Cytotoxic effects on a variety of human cancer cell lines (Banerjee & Liefshitz).
· Inhibits growth of mouse melanoma (B16) and human ocular melanoma (IPC227F) cell lines (Vivier et al.).

References

Arlt A et al. (2001) Inhibition of NF-κB sensitizes human pancreatic carcinoma cells to apoptosis induced by etoposide (VP16) or doxorubicin. Oncogene 20(7): 859–868.
Banerjee D & Liefshitz A. Potential of the proteasomal inhibitor MG-132 as an anticancer agent, alone and in combination. Anticancer Res 21(6A): 3941–7.
Kisselev AF & Goldberg AL. (2001) Proteasome inhibitors: from research tools to drug candidates. Chem Biol 8(8): 739–758.
Ortiz-Lazareno PC et al. (2008) MG132 proteasome inhibitor modulates proinflammatory cytokines production and expression of their receptors in U937 cells: involvement of nuclear factor-kappaB and activator protein-1. Immunology 124(4): 534–41.
Palombella VJ et al. (1994) The ubiquitin-proteasome pathway is required for processing the NF-kappa B1 precursor protein and the activation of NF-kappa B. Cell 78(5): 773–85.
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Zhang L et al. (2011) MG132 inhibition of proteasome blocks apoptosis induced by severe DNA damage. Cell Cycle 10(20): 3515–8.

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