

Small Molecules

(S)-MG132

Ubiquitin-proteasome and NF- κ B pathway inhibitor; Inhibits proteasome and stabilizes I κ B

Catalog # 73262
73264

1 mg
10 mg



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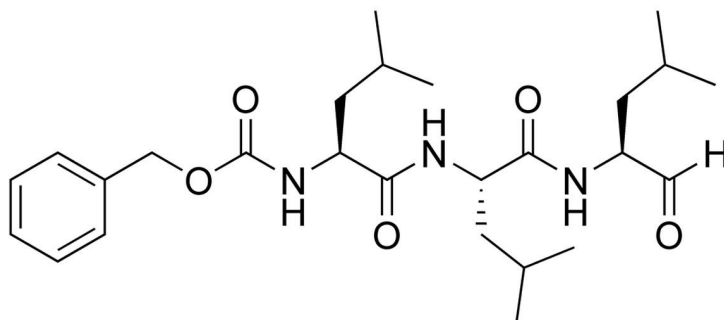
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Product Description

(S)-MG132 is a reversible, cell-permeable inhibitor of proteasome activity (IC_{50} = 100 nM; Kisselev & Goldberg) and calpain (IC_{50} = 1.2 μ M; 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- κ B activation by preventing I κ B degradation (IC_{50} = 3 μ M; Arlt et al.; Ortiz-Lazareno et al.; Palombella et al.).

Molecular Name:	(S)-MG132
Alternative Names:	Calpain inhibitor IV-2; Z-Leu-Leu-Leu-CHO
CAS Number:	133407-82-6
Chemical Formula:	C ₂₆ H ₄₁ N ₃ O 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. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 60 mM · Absolute ethanol ≤ 50 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 210 μ L 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 RESEARCH

- Blocks apoptosis triggered by DNA damage in HeLa cells (Zhang et al.).
- Inhibits NF- κ B 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

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- Kisselev AF & Goldberg AL. (2001) Proteasome inhibitors: from research tools to drug candidates. *Chem Biol* 8(8): 739–58.
- 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.
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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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