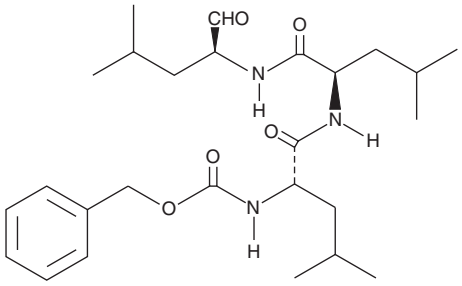
PRODUCT INFORMATION

(R)-MG132

Item No. 13697

CAS Registry No.:	1211877-36-9
Formal Name:	N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1R)-1-formyl-3-methylbutyl]-L-leucinamide
MF:	$C_{26}H_{41}N_3O_5$
FW:	475.6
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

(R)-MG132 is supplied as a crystalline solid. A stock solution may be made by dissolving the (R)-MG132 in the solvent of choice, which should be purged with an inert gas. (R)-MG132 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of (R)-MG132 in ethanol is approximately 25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Description

The ubiquitin-proteasome pathway plays an integral role in the selective degradation of intracellular proteins. While important for clearing damaged or mis-folded proteins, this proteolytic pathway also regulates the availability of key proteins involved in the control of inflammatory processes, cell cycle regulation, and gene expression.[1,2] (R)-MG132 is a potent, reversible, and cell permeable proteasome inhibitor. After treatment for one hour at 100 nM, it inhibits 50% and 31% of proteasome activity in lysates of J558L multiple myeloma cells and EMT6 breast cancer cells, respectively.[3] The (R)-MG132 stereoisomer is a more effective inhibitor of chymotrypsin-like (ChTL), trypsin-like (TL), and peptidylglutamyl peptide hydrolyzing proteasome (PGPH) activities compared to (S)-MG132 (IC_{50}s = 0.22 *versus* 0.89 µM (ChTL); 34.4 versus 104.43 µM (TL); 2.95 *versus* 5.70 µM (PGPH), respectively).[3]

References

1. Lee, D.H. and Goldberg, A.L. Proteasome inhibitors: Valuable new tools for cell biologists. *Trends Cell Biol.* **8(10)**, 397-403 (1998).
2. Elliott, P.J., Zollner, T.M., and Boehncke, W.H. Proteasome inhibition: A new anti-inflammatory strategy. *J. Mol. Med. (Berl.)* **81(4)**, 235-245 (2003).
3. Mroczkiewicz, M., Winkler, K., Nowis, D., *et al.* Studies of the synthesis of all stereoisomers of MG-132 proteasome inhibitors in the tumor targeting approach. *J. Med. Chem.* **53(4)**, 1509-1518 (2010).

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