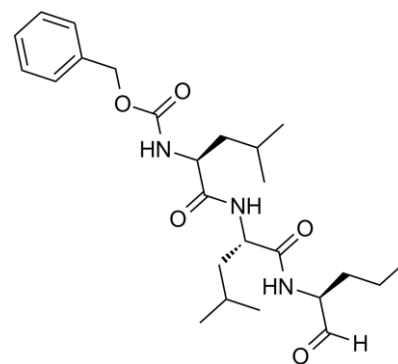


Product Data Sheet

Chemical Properties

Product Name:	MG-115
Cas No.:	133407-86-0
M.Wt:	461.59
Formula:	C ₂₅ H ₃₉ N ₃ O ₅
Synonyms:	Carbobenzoxy-L-leucyl-L-leucyl -L-norvalinal, Z-LL-Nva-CHO, Proteasome Inhibitor XII, MG115



Chemical Name:	benzyl N-[(2S)-4-methyl-1-[[[(2S)-4-methyl-1-oxo-1-[[[(2S)-1-oxopentan-2-yl]amino]pentan-2-yl]amino]-1-oxopentan-2-yl]carbamate
Canonical SMILES:	<chem>CCCC(C=O)NC(=O)C(CC(C)C)NC(=O)C(CC(C)C)NC(=O)OCC1=CC=CC=C1</chem>
Solubility:	≥23.1mg/mL in DMSO
Storage:	Store at -20°C The product is not stable in solution, please dissolve it immediately before use.
General tips:	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.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets :	Ubiquitination/ Proteasome
Pathways:	Proteasome
Description:	

MG-115 (Z-Leu-Leu-Nva-H) is a potent, reversible peptide aldehyde inhibitor of proteasome chymotrypsin-like and caspase-like activities. It induces p53 dependent apoptosis. Blockade of proteasomal degradation by MG115 can activate autophagy.

Treatment with proteasome inhibitors Z-Leu-Leu-Nva-H (MG-115) or Z-Leu-Leu-Leu-H (MG-132) prevented the accelerated degradation of these mutant receptors, resulting in increased amounts of the mutant receptors in the COS-7 cells [1].

A potent, reversible proteasome inhibitor with K_i of 21 nM for 20S proteasome and 35 nM for 26S proteasome. The inhibition of proteasome was through specific inhibition of chymotrypsin-like activity of the proteasome. Also shown to induce apoptosis in Rat-1 and PC12 cells via a p3-independent pathway.

Reference:

1. *Involvement of heat shock protein 90 in the degradation of mutant insulin receptors by the proteasome.* Imamura, T., Haruta, T., Takata, Y., Usui, I., Iwata, M., Ishihara, H., Ishiki, M., Ishibashi, O., Ueno, E., Sasaoka, T., Kobayashi, M. *J. Biol. Chem.* (1998)

Protocol

Cell experiment:

Cell lines	Transfected COS-7 cells expressing mutant or wild-type insulin receptors
Preparation method	Soluble in DMSO. 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	50 μM; 2 hrs
Applications	In the Leu1193 and Asp1179 mutant cell lines, MG-115 increased the intensities of the bands of the insulin proreceptors and the mature β-subunits to 3- and 4.2-fold, respectively. However, in the wild-type cell lines, there was no change in the intensities of these bands. The results suggested that both Leu1193 and Asp1179 mutant insulin proreceptors were degraded by the proteasome in the cytosol.

Reference:

[1]. Imamura T, Haruta T, Takata Y, et al. *Involvement of heat shock protein 90 in the degradation of mutant insulin receptors by the proteasome.* *J Biol Chem*, 1998, 273(18): 11183-11188.

Product Citations

1. Wang Y, Lu S, et al. "Sonic hedgehog induces GLT-1 degradation via PKC delta to suppress its transporter activities." *Neuroscience*. 2017 Oct 6;365:217-225. PMID:28993237

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

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. Shortterm 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.

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