Specific inhibitor of the chymotrypsin-like activity of the 20S proteasome (IC$_{50}$=100 nM with Z-LLL-AMC as substrate). Also inhibits calpain (IC$_{50}$=1.25 μM) Suppresses gastric cancer cell proliferation and induces macro-autophagy. Activates stress kinases and induces Hsp72. Induces neurite outgrowth. Blocks NFκB activation by blocking IκB proteolysis (IC$_{50}$=3 μM). Cell permeable.

1) Tsubuki et al. (1996), Differential inhibition of calpain and proteasome activities by peptidyl aldehydes of di-leucine and tri-leucine; J. Biochem., 119 572
2) Wu et al. (2010), Macrautophagy and ERK phosphorylation counteract the antiproliferative effect of proteasome inhibitor in gastric cancer cells; Autophagy, 6 228
3) Merin et al. (1998), Proteasome inhibitors activate stress kinases and induce Hsp72. Diverse effects on apoptosis; J. Biol. Chem., 273 6373
4) Fiedler et al. (1998), 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., 19 259

PHYSICAL DATA

Molecular Weight: 475.63
Molecular Formula: C$_{26}$H$_{41}$N$_{3}$O$_{5}$
Purity: 98% by HPLC
Solubility: DMSO (up to 45 mg/ml), DMF (up to 45 mg/ml) or ethanol (up to 45 mg/ml)
Physical Description: White solid
Storage and Stability: Store as supplied at -20°C for up to 2 years from the date of purchase. Protect from exposure to moisture. Solutions in DMSO, DMF, or ethanol may be stored at -20°C for up to 1 week

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.