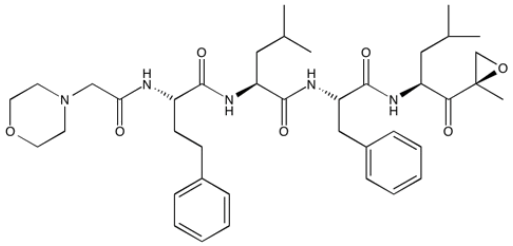
Catalog # 10-1477
Carfilzomib

CAS# 868540-17-4

PR-171

(αS)-α-[[2-(4-morpholinyl)acetyl]amino]benzenebutanoyl-L-leucyl-N-[(1S)-3-methyl-1-[[(2R)-2-methyl-2-oxiranyl]carbonyl]butyl]- L-Phenylalaninamide

X106172



A potent and irreversible proteasome inhibitor.[1] Synthetic analog of the microbial product epoxomcin.[2] Compared to bortezomib it displays equal potency but greater selectivity for the chymotrypsin-like activity of the proteasome. In cell culture it is more cytotoxic than bortezomib and hematologic tumor cells exhibit greater sensitivity than solid tumor cells. Treatment of cells with carfilzomib results in the accumulation of proteasome substrates and induction of cell cycle arrest and/or apoptosis.[3] Effective against multiple myeloma.[4] Active *in vivo*.

1) Bennett and Kirk (2008) *Development of proteasome inhibitors in oncology and autoimmune diseases*; Curr. Opin. Drug Disc. Dev. **11** 616
2) Hanada *et al*. (1992), *Epoxomicin, a new antitumor agent of microbial origin*; J. Antibiot. (Tokyo), **45** 174
3) Demo et al. (2007) Antitumor activity of PR-171, a novel irreversible inhibitor of the proteasome; Cancer Res. 67 6383
4) Kuhn *et al*. (2007), *Potent activity of carfilzomib, a novel, irreversible inhibitor of the ubiquitin-proteasome pathway, against preclinical models of multiple myeloma;* Blood, **110** 3281

PHYSICAL DATA

Molecular Weight:	719.93
Molecular Formula:	$C_{40}H_{57}N_5O_7$
Purity:	98% by TLC NMR: (Conforms)
Solubility:	DMSO (up to 80 mg/ml) or Ethanol (up to 25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 1 week.

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