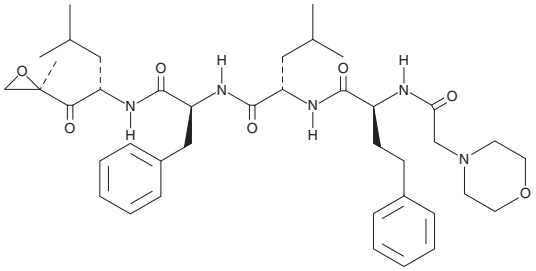
PRODUCT INFORMATION

Carfilzomib

Item No. 17554

CAS Registry No.: 868540-17-4
Formal Name: (αS)-α-[[2-(4-morpholinyl)acetyl]amino]benzenebutanoyl-L-leucyl-N-[(1S)-3-methyl-1-[[(2R)-2-methyl-2-oxiranyl]carbonyl]butyl]-L-phenylalaninamide
Synonym: PR-171
MF: $C_{40}H_{57}N_5O_7$
FW: 719.9
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

Carfilzomib is supplied as a crystalline solid. A stock solution may be made by dissolving the carfilzomib in the solvent of choice, which should be purged with an inert gas. Carfilzomib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of carfilzomib in ethanol is approximately 1 mg/ml and approximately 15 mg/ml in DMSO and DMF.

Carfilzomib is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Carfilzomib is a second-generation, irreversible, peptide epoxyketone class proteasome inhibitor that targets the chymotrypsin-like β5 subunit of the constitutive 20S proteasome (IC_{50} = 5.2 nM) and the β5i subunit of the immunoproteasome 20Si (LMP7; IC_{50} = 14 nM) with minimal cross reactivity to other proteases.[1,2] It can induce cell cycle arrest and apoptosis in human cancer cell lines including multiple myeloma, lymphoma, and various solid tumors (IC_{50}s = 2.4-20 nM).[3,4]

References

1. Dou, Q.P. and Zonder, J.A. Overview of proteasome inhibitor-based anti-cancer therapies: Perspective on bortezomib and second generation proteasome inhibitors versus future generation inhibitors of ubiquitin-proteasome system. *Curr. Cancer Drug Targets* **14(6)**, 517-536 (2014).
2. Zhou, H.-J., Aujay, M.A., Bennett, M.K., *et al.* Design and synthesis of an orally bioavailable and selective peptide epoxyketone proteasome inhibitor (PR-047). *J. Med. Chem.* **52(9)**, 3028-3038 (2009).
3. Zhang, W. and Sidhu, S.S. Development of inhibitors in the ubiquitination cascade. *FEBS Lett.* **588(2)**, 356-367 (2014).
3. Demo, S.D., Kirk, C.J., Aujay, M.A., *et al.* Antitumor activity of PR-171, a novel irreversible inhibitor of the proteasome. *Cancer Res.* **67(13)**, 6383-6391 (2007).

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