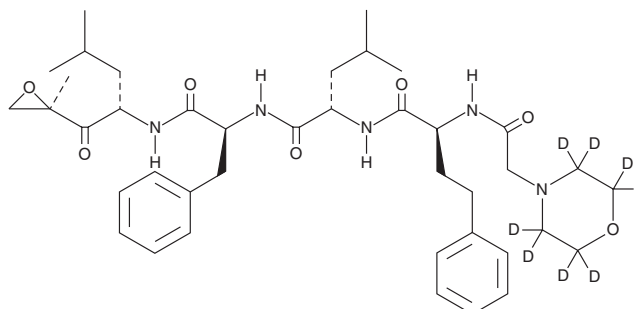


PRODUCT INFORMATION



Carfilzomib-d₈ Item No. 22558

CAS Registry No.: 1537187-53-3
Formal Name: (αS)-α-[[2-(4-morpholinyl-2,2,3,3,5,5,6,6-d₈)acetyl]amino]benzenebutanoyl-L-leucyl-N-[(1S)-3-methyl-1-[[[(2R)-2-methyl-2-oxiranyl]carbonyl]butyl]-L-phenylalaninamide
MF: C₄₀H₄₉D₈N₅O₇
FW: 728.0
Chemical Purity: ≥98% (Carfilzomib)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₈); ≤1% d₀
Supplied as: A 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-d₈ is intended for use as an internal standard for the quantification of carfilzomib (Item No. 17554) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Carfilzomib-d₈ is supplied as a crystalline solid. A stock solution may be made by dissolving the carfilzomib-d₈ in the solvent of choice. Carfilzomib-d₈ is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of carfilzomib-d₈ in ethanol is approximately 1 mg/ml and approximately 15 mg/ml in DMSO and DMF.

Description

Carfilzomib is an irreversible proteasome inhibitor classified as a peptide epoxyketone. It targets the chymotrypsin-like β5 subunit of the constitutive 20S proteasome (IC₅₀ = 5.2 nM) and the β5i subunit of the immunoproteasome 20Si (LMP7; IC₅₀ = 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 (IC₅₀s = 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).
4. 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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