

Carfilzomib (PR-171)

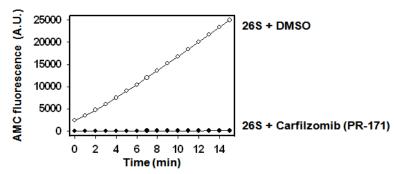
Cat. # F1300, F1301

Also Known as: PR-171 Formula: $C_{40}H_{57}N_5O_7$ MW: 719.42 Da CAS No.: 868540-17-4 Source: Synthetic

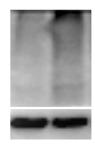
Form: Lyophilized powder Solubility: Soluble in DMSO

Concentration: N/A

Quality Assurance: >98% by HPLC and NMR



100 nM bovine 26S proteasome (Cat. # A1200) was incubated with DMSO or with 10 mM Carfilzomib (PR-171) (Cat. # F1300) for 10 min at 37 °C in 20 mM Tris, pH 7.1, 50 mM NaCl, 2 mM ATP, 5 mM MgCl2, 2 mM bME and 10% glycerol. The proteasome was then diluted 10X into 50 mM SUC-LLVY-AMC (Cat. # G1100) in a buffer containing 20 mM Tris, pH 7.1, 2 mM bME. The released AMC fluorescence was monitored by a plate reader. 26S proteasome + DMSO (open circle); 26S proteasome + Carfilzomib (PR-171) (solid circle).



IB: Ub

B-actin

1 2

Equal amount of whole cell lysates prepared from DMSO (lane 1) or 10 μM Carfilzomib (PR-171) (lane 2)-treated HeLa cells were separated by SDS-PAGE and immunoblotted with an anti-Ub antibody. Cells were treated with DMSO or Carfilzomib (PR-171)1 for 16 hours.





Description: Carfilzomib is a derivative of the microbially-derived natural product epoxomycin. It

irreversibly inhibits the chymotrypsin-like activity of the 20S proteasome with high potency and selectivity at several orders of magnitude over epoxomycin. In 2012, Carfilzomib was approved by the FDA for use in patients with relapsed and refractory multiple myeloma. It is in

clinical trials for other cancers as well.

Storage: Eligible for room temperature shipping. Store at -80°C upon receiving; avoid multiple freeze-

thaw cycles after dissolving in DMSO.

Literature: 1. Groll M, et al. (2000) J. Am. Chem. Soc., 122:1237-1238

2. Kauffman MG, et al. (2011) Cancer: Principles & Practice of Oncology, Chapter 41:441-449

