

# PRODUCT INFORMATION



## Delanzomib

Item No. 23557

CAS Registry No.: 847499-27-8

Formal Name: B-[(1R)-1-[[[(2S,3R)-3-hydroxy-1-oxo-2-[[[6-phenyl-2-pyridinyl]carbonyl]amino]butyl]amino]-3-methylbutyl]-boronic acid

Synonym: CEP-18770

MF:  $C_{21}H_{28}BN_3O_5$

FW: 413.3

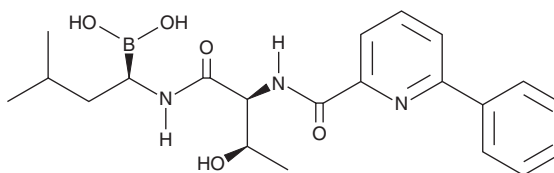
Purity:  $\geq 95\%$

UV/Vis.:  $\lambda_{max}$ : 255 nm

Supplied as: A crystalline solid

Storage:  $-20^\circ\text{C}$

Stability:  $\geq 2$  years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

Delanzomib is supplied as a crystalline solid. A stock solution may be made by dissolving the delanzomib in the solvent of choice. Delanzomib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of delanzomib in these solvents is approximately 30 mg/ml.

### Description

Delanzomib is an orally bioavailable inhibitor of chymotrypsin-like proteasome activity ( $IC_{50} = 3.8$  nM in isolated human erythrocytes) that only marginally inhibits tryptic and peptidyl glutamyl proteasome activity.<sup>1</sup> Delanzomib pre-treatment (20 nM) *in vitro* inhibits I $\kappa$ B $\alpha$  degradation, NF- $\kappa$ B activation, and the expression of NF- $\kappa$ B-regulated genes, including I $\kappa$ B $\alpha$ , XIAP, TNF- $\alpha$ , IL-1 $\beta$ , ICAM-1, and VEGF. Delanzomib induces apoptosis in multiple myeloma cell lines and inhibits proliferation in a panel of human hematologic and solid tumor cell lines ( $IC_{50}$ s = 5.6-34.2 nM). It reduces tumor weight in an RPMI 8226 human multiple myeloma mouse xenograft model when administered intravenously at doses ranging from 1.5-4 mg/kg twice daily and leads to complete tumor regression at a chronic oral dose of 13 mg/kg. Delanzomib reduces the serum level of circulating cytokines, prevents renal tissue damage, and increases lifespan in a mouse model of fatal lupus nephritis.<sup>2</sup>

### References

1. Piva, R., Ruggeri, B., Williams, M., *et al.* CEP-18770: A novel, orally active proteasome inhibitor with a tumor-selective pharmacologic profile competitive with bortezomib. *Blood* **111**(5), 2765-2775 (2008).
2. Seavey, M.M., Lu, L.D., Stump, K.L., *et al.* Novel, orally active, proteasome inhibitor, delanzomib (CEP-18770), ameliorates disease symptoms and glomerulonephritis in two preclinical mouse models of SLE. *Int. Immunopharmacol.* **12**(1), 257-270 (2012).

#### 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.

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897  
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM