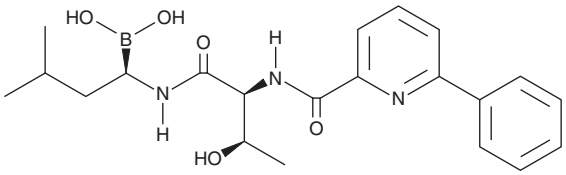
PRODUCT INFORMATION

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:	≥95%
UV/Vis.:	λ_{max}: 255 nm
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

Delanzomib is supplied as a crystalline solid. A stock solution may be made by dissolving the delanzomib in the solvent of choice, which should be purged with an inert gas. Delanzomib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. 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.[1] Delanzomib pre-treatment (20 nM) *in vitro* inhibits IκBα degradation, NF-κB activation, and the expression of NF-κB-regulated genes, including IκBα, XIAP, TNF-α, IL-1β, 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.[2]

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).

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