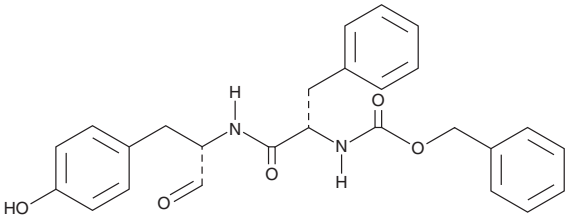
PRODUCT INFORMATION

Cathepsin L Inhibitor

Item No. 23249

CAS Registry No.:	167498-29-5
Formal Name:	N-[(1S)-2-[[(1S)-1-formyl-2-(4-hydroxyphenyl)ethyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-carbamic acid, phenylmethyl ester
Synonym:	SB 412515
MF:	$C_{26}H_{26}N_2O_5$
FW:	446.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 209 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

Cathepsin L inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the cathepsin L inhibitor in the solvent of choice, which should be purged with an inert gas. Cathepsin L inhibitor is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of cathepsin L inhibitor is approximately 2 mg/ml in ethanol and approximately 20 mg/ml in DMSO and DMF.

Description

Cathepsin L inhibitor is a potent inhibitor of cathepsin L (IC_{50} = 0.85 nM).[1] It is selective for cathepsin L over calpain II and cathepsin B (IC_{50}s = 184, and 85.1 nM, respectively). It is trypanocidal with an ED_{50} value of 45 nM against *T. brucei* that is well below the ED_{50} value of 21,500 nM for human HL-60 cells.[2] Cathepsin L inhibitor completely suppresses osteoclastic pit formation on femur slices isolated from bovine cortical bone at a concentration of 1 µg/ml.[1,3] *In vivo*, cathepsin L inhibitor (2.5-10 mg/kg) inhibits bone loss in a mouse model of osteoporosis in a dose-dependent manner.

References

1. Woo, J.-T., Sigeizumi, S., Yamaguchi, K., *et al.* Peptidyl aldehyde derivatives as potent and selective inhibitors of cathepsin L. *Bioorganic Med. Chem. Lett.* **5(14)**, 1501-1504 (1995).
2. Nkemngu, N.J., Grande, R., Hansell, E., *et al.* Improved trypanocidal activities of cathepsin L inhibitors. *Int. J. Antimicrob. Agents* **22(2)**, 155-159 (2003).
3. Woo, J.-T., Yamaguchi, K., Hayama, T., *et al.* Suppressive effect of N-(benzyloxycarbonyl)-L-phenylalanyl-L-tyrosinal on bone resorption *in vitro* and *in vivo*. *Eur. J. Pharmacol.* **300(1-2)**, 131-135 (1996).

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