CALBIOCHEM®

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Cathepsins and Related Products

Cathepsins are ubiquitous lysosomal proteases that are classified according to their active site. Structural differences between various cathepsins result in variations in their substrate specificity and mechanism of inhibition. Cathepsins play an important role in the turnover of intracellular proteins and extracellular proteins via endocytosis. Extracellularly they have been implicated in tumor invasion and metastasis and, recently, as a positive mediator of apoptosis induced by γ-interferon, Fas/APO-1, and TNF-α.

The ability of tumor cells to invade into the extracellular matrix has been attributed to the activity of cathepsins released by tumor cells or associated with the plasma membrane of tumor cells. Benign tumors are characterized by a continuous basal lamina separating the epithelium from the stroma. However, invasive carcinomas exhibit a disrupted extracellular lamina adjacent to the invading tumor cells in the stroma. Cathepsins secreted by invading tumor cells can degrade collagen and elastin, thereby destroying the basal laminar region. In normal cells, following their synthesis, cathepsins are transported into the lysosomal compartment. However, in tumor cells, instead of being transported into the lysosomal compartment, they are secreted into the surrounding medium. The presence of cathepsins in the extracellular compartment may be employed as an ideal independent prognostic factor to determine the clinical outcome of cancer chemotherapy.

Ref.: Friedrich, B., et al. 1999. *Eur. J. Cancer* **35,**138; Westley, B.R., et al. 1999. *Br. J. Cancer* **79,** 189; Krepela, E., et al. 1998. *Neoplasma* **45,** 318; Kos, J. and Lah, T.T. 1998. *Oncol. Rep.* **5**, 1349; Duffy, M.J. 1996. *Clin. Cancer Res.* **2,** 613; Deiss, L.P., et al. 1996. *EMBO J.* **15**, 3861; Sloane, B.F., et al. 1994. *J. Cell Sci.* **107,** 373.

Antibodies

Product	Use	Cat. No.	Size
Anti-Cathepsin B, Human Liver (Rabbit)	ELISA, IB, RID	219408	1 ml
Anti-Cathepsin D (Ab-1) (Mouse)	IB, IH	IM03	100 µg
Anti-Cathepsin D, Human (Ab-2) (Rabbit)	IB, IH	IM16	100 µg
Anti-Cathepsin D, Human Liver (Rabbit)	ELISA, IP	219361	1 ml
Anti-Cathepsin G, Human Neutrophil (Rabbit)	ELISA, IB, IP	219358	1 ml
Anti-Cathepsin K (Ab-1) (Mouse)	IB	IM55L	100 µg

ELISA: enzyme-linked immunosorbent assay; **IB**: immunoblotting; **IH**: immunohistochemistry; **IP**: immunoprecipitation; **RID**: radial immunodiffusion

Enzymes

Product	Description	Cat. No.	Size
Cathepsin B, Bovine Spleen	Purified by affinity chromatography. Exists in a two-polypeptide chain form consisting of an H-chain of 22 – 23 kDa and an L-chain of 5 kDa.	219366	10 U
Cathepsin B, Human Liver	The most abundant lysosomal cysteine protease. Implicated in the pathogenesis of rheumatoid arthritis, muscular dystrophy, and tumor metastasis. Involved in the digestion of extracellular proteins taken up by endocytosis.	219364	5 U
Cathepsin B, Human Liver, High Purity		219362	50 µg
Cathepsin D, Bovine Kidney	A major lysosomal aspartic protease widely distributed in many cell types. Exists in two forms, a single polypeptide chain of 46 kDa and a two polypeptide chain form consisting of an H-chain of 34 kDa and an L-chain of 12 kDa.	219398	300 U
Cathepsin D, Bovine Spleen		219396	1000 U
Cathepsin D, Human Liver	A major lysosomal aspartyl protease in mammalian cells produced as a 52 kDa proenzyme. Overexpression of cathepsin D in human breast cancers is associated with higher risk of relapse and metastasis. Degrades extracellular matrix and releases growth factors bound to the matrix.	219401	15 U 30 U
Cathepsin G, Human Neutrophil	A serine protease that degrades collagen and proteoglycans. Implicated in connective tissue diseases such as emphysema and rheumatoid arthritis. Acts as a potent agonist of human platelet activation leading to their aggregation.	219373	200 mU 1 U 2 U
Cathepsin H, Bovine Kidney	A lysosomal cysteine protease involved in the degradation of intracellular proteins. It is the only cathepsin with mono-aminopeptidase activity.	219416	100 µg
Cathepsin H, Human Liver		219404	25 µg
Cathepsin L, Bovine Kidney	The most active of the lysosomal proteases. Synthesized as a preproform enzyme that is cleaved to form a di-chain (27 kDa and 4 kDa connected by a disulfide bond) active enzyme. Overexpression and secretion of cathepsin L is reported in malignant cells. Higher levels of cathepsin L are positively correlated with bone erosion in rheumatoid arthritis.	219418	300 mU
Cathepsin L, Human Liver		219402	50 mU
Cathepsin L, *Paramecium tetraurelia*	Purified via ion exchange chromatography. A highly active cysteine endoprotease with elastase and collagenase activity that is secreted in the extracellular environment.	219412	500 mU
Cathepsin S, Bovine Spleen	A highly active lysosomal cysteine protease, unique in its stability at neutral and slightly alkaline pH. Cathepsin S has the ability to process myelin basic protein and amyloid β peptide that may be relevant to the pathogenesis of Alzheimer's disease.	219388	25 µg

Inhibitors

Product	M.W.	Comments	Cat. No.	Size
Cathepsin Inhibitor I (Z-Phe-Gly-NHO-Bz)	475.5	Selectively inhibits cathepsin B (k_2/K_i = 8.9 x 10^3 M^{-1} sec^{-1}), cathepsin L (k_2/K_i = 3.8 x 10^5 M^{-1} sec^{-1}), cathepsin S (k_2/K_i = 4.2 x 10^4 M^{-1} sec^{-1}), and papain (k_2/K_i = 2.4 x 10^3 M^{-1} sec^{-1}).	219415	1 mg
Cathepsin Inhibitor II (Z-Phe-Gly-NHO-Bz-*p*Me)	489.5	Selectively inhibits cathepsin B (k_2/K_i = 6.9 x 10^3 M^{-1} sec^{-1}), cathepsin L (k_2/K_i = 3.1 x 10^5 M^{-1} sec^{-1}), cathepsin S (k_2/K_i = 4.3 x 10^4 M^{-1} sec^{-1}), and papain (k_2/K_i = 1.8 x 10^3 M^{-1} sec^{-1}).	219417	1 mg
Cathepsin Inhibitor III (Z-Phe-Gly-NHO-Bz-*p*OMe)	505.5	Cysteine protease inhibitor. Selectively inhibits cathepsin B (k_2/K_i = 1.0 x 10^4 M^{-1} sec^{-1}), cathepsin L (k_2/K_i = 1.5 x 10^5 M^{-1} sec^{-1}), cathepsin S (k_2/K_i = 6.6 x 10^4 M^{-1} sec^{-1}), and papain (k_2/K_i = 1.0 x 10^3 M^{-1} sec^{-1}).	219419	1 mg
Cathepsin B Inhibitor I (Z-Phe-Ala-CH_2F)	386.4	A cathepsin B inhibitor. Suitable as a negative control for caspase-1.	342000	1 mg 5 mg
Cathepsin B Inhibitor II (Ac-Leu-Val-lysinal)	384.5	Lysinal analog of Leupeptin (Cat. No. 108975). A more potent inhibitor of cathepsin B (IC_{50} = 4 nM) than leupeptin (IC_{50} = 310 nM).	219385	1 mg
Cathepsin L Inhibitor I (Z-Phe-Phe-CH_2F)	462.5	A potent, cell-permeable, and irreversible inhibitor of Cathepsin L (Cat. No. 219402).	219421	1 mg
Cathepsin L Inhibitor II (Z-Phe-Tyr-CHO)	446.5	A potent and selective inhibitor of cathepsin L.	219426	5 mg
Cathepsin L Inhibitor III (Z-Phe-Tyr(*t*-Bu)-diazomethylketone)	542.6	An irreversible cathepsin L inhibitor. About 10^4 more effective against cathepsin L than cathepsin S.	219427	5 mg
Cathepsin/Subtilisin Inhibitor (Boc-Val-Phe-NHO-Bz-*p*Cl)	518.0	Inhibits members of the cysteine protease family including cathepsin L, and members of the serine protease family including subtilisin Carlsberg and thermitase.	219420	1 mg

Substrates

Product	M.W.	Comments	Cat. No.	Size
Cathepsin B Substrate I, Colorimetric (Z-Arg-Arg-*p*NA, 2HCl)	658.6	Contains 45 mg D-mannitol and 5 mg substrate. A colorimetric substrate for assay of cathepsin B activity. Sold on the basis of substrate content.	219405	5 mg
Cathepsin B Substrate II (Z-Ala-Arg-Arg-4MβNA, 2HCl)	763.7	Specific substrate for the quantitative determination of cathepsin B activity. Excitation max.: ~345 nm; emission max.: ~425 nm.	219391	5 mg
Cathepsin B Substrate III, Fluorogenic (Z-Arg-Arg-AMC, 2HCl)	694.6	Sensitive fluorogenic substrate for the quantitative determination of cathepsin B activity. Excitations max.: ~380 nm; emission max.:~460 nm.	219392	5 mg
Cathepsin D Substrate I (Bz-Arg-Gly-Phe-Phe-Pro-4MβNA, HCl)	918.5	Excellent substrate for the quantitative determination of cathepsin D activity. Excitation max.: ~345 nm; emission max.: ~425 nm.	219399	5 mg
Cathepsin G Substrate I, Colorimetric (Suc-Ala-Ala-Pro-Phe-*p*NA)	624.7	Contains 225 mg D-mannitol and 25 mg of substrate. A useful chromogenic substrate for the assay of cathepsin G activity. Sold on the basis of substrate content.	219407	5 mg
Cathepsin G Substrate II, Colorimetric (MeOSuc-Ala-Ala-Pro-Met-*p*NA)	622.7	Excellent substrate for the quantitative determination of cathepsin G activity.	219410	5 mg
Cathepsin H Substrate II, Fluorogenic (H-Arg-AMC, 2HCl)	404.3	Excellent substrate for the quantitative determination of cathepsin H activity. Also useful as a substrate for aminopeptidase B. Excitation max.: ~380 nm; emission max.: ~460 nm.	219414	5 mg
Cathepsin L Substrate I, Fluorogenic (Z-Phe-Arg-AMC, HCl)	649.2	Excellent substrate for cathepsin L. Also useful as a substrate for cathepsin B. Excitation max.: ~380 nm; emission max.:~425 nm.	03-32-1501	5 mg 25 mg 100 mg

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