

Product Information

Antipain dihydrochloride

Catalog Number **A 6191**
Storage Temperature $-20\text{ }^{\circ}\text{C}$

CAS RN: 37682-72-7

Synonyms: [1-carboxy-2-phenylethyl]-carbamoyl-L-arginyl-L-valyl-arginal dihydrochloride; N2-[[[(1-carboxy-2-phenylethyl)amino]carbonyl]-L-arginyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-L-valinamide dihydrochloride

Molecular formula: $\text{C}_{27}\text{H}_{44}\text{N}_{10}\text{O}_6 \cdot 2\text{HCl}$
Molecular weight: 677.62

Product Description

Antipain is isolated from a microbial source (various *Streptomyces* and *Actinomyces* species). It is a reversible inhibitor of serine and cysteine proteases, including some trypsin-like serine proteases.^{1,2,3} Its action resembles leupeptin, but it inhibits plasmin less and cathepsin A more than does leupeptin.

IC₅₀ values (μg/mL):

Papain	0.16
Trypsin	0.26
Cathepsin A	1.19
Cathepsin B	0.59
Cathepsin D	125
Plasmin	>93
Chymotrypsin and pepsin	>250 ^{1,2}

It also has been reported to inhibit porcine Calpain I with

$K_i = 1.4\text{ }\mu\text{M}$.⁴

Antipain has been used in studies demonstrating the role of proteases in the process of cell transformation⁵. Antipain became a common bioactive peptide used in the study of cellular signaling and in identifying newly purified proteases.^{6,7}

Precautions and Disclaimer

This product is for R&D use only, not for drug, household, or other uses. Please consult the Material Safety Data Sheet for information regarding hazards and safe handling practices.

Preparation Instructions

Soluble in water (50 mg/ml), yielding a clear to slightly hazy, yellow solution. It is reportedly soluble in methanol, water or DMSO; less soluble in ethanol, butanol, or propanol; insoluble in benzene, hexane or chloroform.²

Storage/Stability

Store at $-20\text{ }^{\circ}\text{C}$. The product as supplied is stable for at least three years. Stock solutions in water or buffer are stable for 1 week at 2-8 $^{\circ}\text{C}$ and approximately one month at $-20\text{ }^{\circ}\text{C}$.⁸ Avoid repeated freeze-thaw cycles. Dilute solutions should be stored on ice and kept for only one day. Because of the aldehyde group, solutions are subject to oxidation and racemization.

References

1. Data for Biochemical Research, 3rd ed., Eds. Dawson, R., et al., 328-329 (1987).
2. Suda, H. et al., Antipain, a new protease inhibitor isolated from actinomycetes., *J. Antibiot.*, **25**, 263-66 (1972).
3. Umezawa, H., Structures and activities of protease inhibitors of microbial origin., *Methods Enzymol.*, **45**, 678-95 (1976).
4. Handbook of Enzyme Inhibitors, 2nd ed., Part A, Ed. Zollner, H., p. 94 (1993).
5. Vaccari, M., et al., Effects of the protease inhibitor antipain on cell malignant transformation., *Anticancer Res.*, **19**, 589-96 (1999).
6. Lee, B.R., et al., Aorsin, a novel serine proteinase with trypsin-like specificity at acidic pH., *Biochem J.*, **371**, 541-8 (2003).
7. Aoki, H., et al., Purification and characterization of collagenolytic proteases from the hepatopancreas of northern shrimp (*Pandalus eous*)., *J. Agric. Food Chem.*, **51**, 777-83 (2003).
8. Proteolytic Enzymes: A Practical Approach, eds., Beynon and Bond, p. 242.

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