

ANTIPAIN HYDROCHLORIDE
Sigma Prod. No. A6191**CAS NUMBER:** 37682-72-7**SYNONYMS:** [(S)-1-carboxy-2-phenylethyl]-carbamoyl-L-arginyl-L-valyl-arginal; N-[N α -carbonyl-ARG-VAL-ARG-al]-PHE**PHYSICAL DESCRIPTION:**

Appearance: Yellow to tan powder
(Occasionally, may be a film in smaller packages due to concentration used during lyophilization.)
Molecular formula: C₂₇H₄₄N₁₀O₆ (free base)
Molecular weight: 604.7 (anhydrous free base)

STABILITY / STORAGE AS SUPPLIED:

The dry product is expected to be stable for at least a year at less than 0°C. It is stable to room temperature shipping.⁶

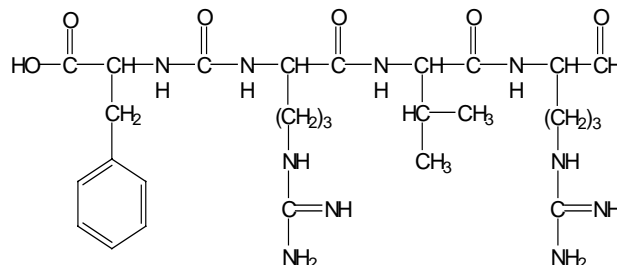
SOLUBILITY / SOLUTION STABILITY:

Sigma tests this at 50 mg/mL in water to see a clear to slightly hazy yellow solution. It is reportedly soluble in methanol, water and DMSO; less soluble in ethanol, butanol or propanol; insoluble in benzene, hexane or chloroform.²

A stock solution in water or buffer is stable for at least a week at 4°C, and for about a month at -20°C.⁵ Dilute solutions should be stored on ice and kept for only a day because of the terminal aldehyde, which is subject to oxidation and racemization.

GENERAL REMARKS:

Isolated from a microbial source, antipain hydrochloride is a reversible inhibitor of serine/cysteine proteases and some trypsin-like serine proteases.^{1,2,3} Its action resembles leupeptin, but it inhibits plasmin less and cathepsin A more than does leupeptin. Concentrations for 50% inhibition (in $\mu\text{g/mL}$): 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 calpain I, (porcine) with $K_i = 1.4 \mu\text{M}$.⁴

Product Information

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REFERENCES:

1. *Data for Biochemical Research*, 3rd Ed., eds. Dawson, R. et al., 328-329 (1987).
2. Suda, H. et al., *J. Antibiotics*, 25, 263 (1972).
3. Umezawa, H., *Methods in Enzymology*, 45, 678 (1976).
4. *Handbook of Enzyme Inhibitors*, 2nd Ed., Part A, ed. Zollner, H., p. 94 (1993).
5. *Proteolytic Enzymes: A Practical Approach*, eds., Beynon and Bond, p. 242.
6. Sigma quality control or production data.