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## Product Information

### Leupeptin hemisulfate salt, microbial

Catalog Number **L5793**  
Storage Temperature  $-20\text{ }^{\circ}\text{C}$

CAS RN 103476-89-7  
Synonyms: Acetyl-Leu-Leu-Arg-al,  
N-Acetyl-L-leucyl-L-leucyl-L-argininal hemisulfate salt

#### Product Description

Molecular Formula:  $\text{C}_{20}\text{H}_{38}\text{N}_6\text{O}_4 \cdot \frac{1}{2} \text{H}_2\text{SO}_4$   
Molecular Weight: 475.59

Leupeptin is a reversible competitive inhibitor of serine and thiol proteases.<sup>1</sup> It has been reported to inhibit calpain,<sup>2</sup> cathepsin B,<sup>3</sup> cathepsins H and L,<sup>4</sup> and trypsin. A typical working concentration is in the range of 10–100  $\mu\text{M}$ .<sup>4</sup>

Leupeptin appears to be equally effective in any salt form, adjusting for equivalent peptide content. The hemisulfate salts were the first to be commercially available.

Microbially produced leupeptin inhibitor was first isolated as a mixture of two very similar forms: acetyl-Leu-Leu-Arg-al and propionyl-Leu-Leu-Arg-al.<sup>5</sup> Although the propionyl leupeptin is active as an inhibitor (Catalog Number L3402), the acetyl form is more commonly used and is available from Sigma in several salt different forms.

Purity:  $\geq 98\%$  (HPLC)

HPLC analysis of leupeptin will show multiple peaks due to tautomeric isomers that exist in solution.<sup>6</sup>

#### 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

Salts of leupeptin are reported to be soluble in water, ethanol, acetic acid, and DMF. Leupeptin hemisulfate is soluble in water (50 mg/ml), yielding a clear solution.

#### Storage/Stability

When stored properly, desiccated at  $-20\text{ }^{\circ}\text{C}$ , the lyophilized powder should be stable for at least 2 years.

A 10 mM aqueous solution is stable for a week at  $4\text{ }^{\circ}\text{C}$  and at least 6 months frozen in aliquots at  $-20\text{ }^{\circ}\text{C}$ . At working concentrations (10–100  $\mu\text{M}$ ) a solution is stable for only a few hours; the stock solution should be stored on ice for intermitted use over several hours.<sup>7</sup>

The primary mechanism of inactivation of leupeptin activity is racemization of the L-arginal; the D-arginal form is totally inactive. If the aldehyde is oxidized, but retains its L-configuration, the resulting compound does have some inhibitory activity.

#### References

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4. Zollner, H., *Handbook of Enzyme Inhibitors*, 2nd ed., Part B, VCH Press (Weinheim, Germany: 1993), pp. 821-22.
5. Aoyagi, T., *J. Antibiotics*, **22**, 283 (1969).
6. Saino, T. *et al.*, *Chem. Pharm. Bull.*, **30** (7), 2319 (1982).
7. *Proteolytic Enzymes: A Practical Approach*, Beynon, R.J., and Bond, J.S., eds. IRL Press (Oxford, UK: 1989).

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