

For life science research only.  
Not for use in diagnostic procedures.



# **Pepstatin**

## **from *Streptomyces species***

### **Isovaleryl-L-val-L-val-statyl-L-alanyl-statin**

 **Version: 07**

Content Version: October 2019

Lyophilized

|                                |       |
|--------------------------------|-------|
| <b>Cat. No. 10 253 286 001</b> | 2 mg  |
| <b>Cat. No. 11 359 053 001</b> | 10 mg |
| <b>Cat. No. 11 524 488 001</b> | 50 mg |

**Store the lyophilizate at +2 to +8°C.**

|           |   |          |
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# 1. General Information


## 1.1. Contents

| Vial / Bottle | Label     | Function / Description   | Catalog Number | Content          |
|---------------|-----------|--------------------------|----------------|------------------|
| 1             | Pepstatin | Inhibits acid proteases. | 10 253 286 001 | 1 vial,<br>2 mg  |
|               |           |                          | 11 359 053 001 | 1 vial,<br>10 mg |
|               |           |                          | 11 524 488 001 | 1 vial,<br>50 mg |

## 1.2. Storage and Stability

### Storage Conditions (Product)

When stored at +2 to +8°C, the lyophilizate is stable through the expiry date printed on the label.

| Vial / Bottle | Label     | Storage  |
|---------------|-----------|--|
| 1             | Pepstatin | Store at +2 to +8°C.<br> <b>Store dry.</b> |

### Storage Conditions (Working Solution)

Store an aqueous solution of Pepstatin in aliquots for at least 1 month at –15 to –25°C.


### Reconstitution

Pepstatin is soluble in the following solutions:

- Methanol up to 1 mg/ml
- Ethanol up to 1 mg/ml (overnight)
- 6 M acetic acid up to 300 µg/ml
- DMSO

Pepstatin is insoluble in water.

 *To obtain aqueous solutions, dissolve first in methanol, ethanol, or DMSO, then dilute with water.*

 ***Pepstatin polymerizes spontaneously to produce filaments. At low ionic strengths and neutral pH, the critical concentration for this process is 0.1 mM. Higher-order structures have been observed at higher concentrations and lead, for example, to a loss of inhibition of HIV-1 aspartate protease.***

### 1.3. Application

Pepstatin can be used in the following applications:

- Protection of proteins and enzymes during isolation and purification.
- Investigation of enzyme mechanisms and biological functions of proteases.
- Affinity chromatography
- Classification of isolated proteases.
- Structural research
- Pharmacological research
- HIV research (inhibition of retroviral-coded proteases, such as HIV-1).
- Cancer research

### Product Description

Isovaleryl-L-val-L-val-statyl-L-alanyl-statin (Isovaleryl-L-val-L-val-4-(S)-amino-3-(S)-hydroxy-6-methyl-heptanoyl-2-ala-4-(S)-amino-3-(S)-hydroxy-6-methyl-heptanoic acid)

Pepstatin is a low molecular weight, highly specific inhibitor of acid proteases (in particular aspartate proteases).

## 2. How to Use this Product

### 2.1. Before you Begin


#### General Considerations

##### Classes of proteases

Proteases can be assigned to various classes on the basis of their characteristic active centers:

| Protease Type | Active Center  |
|---------------|--|
| Serine        | Serine and histidine   |
| Cysteine      | Cysteine (thiol, SH-)  |
| Metallo       | Metal ions, such as Zn <sup>2+</sup> , Ca <sup>2+</sup> , Mn <sup>2+</sup> |
| Aspartate     | Aspartic acid moiety   |

##### Protease classes and their specific inhibitors

| Serine   | Cysteine | Metallo                    | Aspartate  |
|--|----------|----------------------------|------------|
| Aprotinin*   | E-64*    | Bestatin (aminopeptidase)* | Pepstatin* |
| Pefabloc® SC*  |          | Phosphoramidon             |            |
| Pefabloc® SC PLUS*   |          |                            |            |
| Leupeptin*   |          |                            |            |
|  <i>Inhibits serine and cysteine proteases with trypsin-like specificity.</i> |          |                            |            |
| PMSF*  |          |                            |            |
| cOmplete Protease Inhibitor Cocktail Tablets, EDTA-free*   |          |                            |            |
| cOmplete Protease Inhibitor Cocktail tablets*  |          |                            |            |
| α2-Macroglobulin* (endoproteinases)  |          |                            |            |

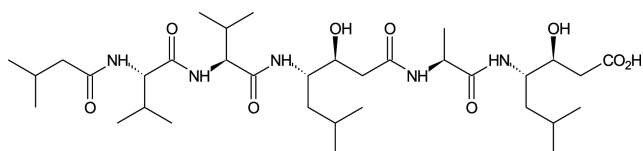
### 2.2. Parameters

#### Chemical Formula



#### Chemical Name

#### Structural formula



**Fig. 1:** Chemical structure of Pepstatin.

#### Inhibition

##### Mechanism of inhibition

The nature and mechanism of inhibition by Pepstatin is unknown to date. It is assumed that the statin moiety of Pepstatin is primarily responsible for inhibition. It is apparently analogous to the transitional state of protein catalysis.

##### Molecular Weight

685.9 Da

##### Specificity

Pepstatin specifically inhibits aspartate proteases, such as pepsin, renin, cathepsin D, chymosin, and numerous acid proteases of microbial origin.

See section, **General Considerations** for a table of protease classes and their inhibitors.

##### Working Concentration

0.7 µg/ml (1 µM)

## 3. Additional Information on this Product

### 3.1. Test Principle

Pepstatin is a low molecular weight, highly specific inhibitor of acid proteases, in particular aspartate proteases. It has been shown to inhibit virtually all acid proteases including pepsin, renin, cathepsin D, chymosin, protease B from *Aspergillus niger*, and proteases of microbial origin. The strict specificity of Pepstatin for acid proteases was demonstrated by non-inhibition of neutral and alcoholic proteases.



### 3.2. Quality Control

Function tested with pepsin (hemoglobin as substrate).

## 4. Supplementary Information

### 4.1. Conventions

To make information consistent and easier to read, the following text conventions and symbols are used in this document to highlight important information:

| Text convention and symbols   |  |
|---|--|
|  | <i>Information Note: Additional information about the current topic or procedure.</i>                      |
|  | <b>Important Note: Information critical to the success of the current procedure or use of the product.</b> |
| ① ② ③ etc.  | Stages in a process that usually occur in the order listed.  |
| ① ② ③ etc.  | Steps in a procedure that must be performed in the order listed.   |
| * (Asterisk)  | The Asterisk denotes a product available from Roche Diagnostics.   |

### 4.2. Changes to previous version

Layout changes  
Editorial changes.

### 4.3. Ordering Information

| Product                       | Pack Size  | Cat. No.       |
|-------------------------------|--|----------------|
| Non-finished products         |  |                |
| cOplete, EDTA free            | 7500 tablets in glass vial                                 | 04 574 834 001 |
| Reagents, kits                |  |                |
| E-64, Protease Inhibitor      | 10 mg  | 10 874 523 001 |
|                               | 25 mg  | 11 585 681 001 |
| Pefabloc® SC (AEBSF)          | custom fill  | 11 427 393 103 |
| cOplete                       | 20 tablets in a glass vial, for 50 ml each                 | 11 697 498 001 |
|                               | 3 x 20 tablets in glass vials, for 50 ml each              | 11 836 145 001 |
|                               | 20 tablets, for 50 ml each                                 | 04 693 116 001 |
| cOplete, Mini                 | 25 tablets in a glass vial, for 10 ml each                 | 11 836 153 001 |
|                               | 30 tablets, for 10 ml each                                 | 04 693 124 001 |
| cOplete, Mini, EDTA-free      | 25 tablets in a glass vial, for 10 ml each                 | 11 836 170 001 |
| Leupeptin                     | custom fill  | 10 528 595 103 |
| cOplete, Mini, EDTA-free      | 30 tablets, for 10 ml each                                 | 04 693 159 001 |
| cOplete, EDTA-free            | 20 tablets, for 50 ml each                                 | 04 693 132 001 |
| Aprotinin                     | custom fill  | 10 236 632 103 |
| Bestatin                      | 10 mg  | 10 874 515 001 |
| Pefabloc® SC PLUS             | Set I, 100 mg Pefabloc® SC; 5 ml PSC-Protector solution    | 11 873 601 001 |
|                               | Set II, 1 g Pefabloc® SC; 2 x 25 ml PSC-Protector solution | 11 873 628 001 |
| α <sub>2</sub> -Macroglobulin | 25 inhibitor units   | 10 602 442 001 |
| PMSF                          | 10 g   | 10 837 091 001 |
|                               | 25 g   | 11 359 061 001 |



## 4.4. Trademarks

COMPLETE is a trademark of Roche.

All other product names and trademarks are the property of their respective owners.

## 4.5. License Disclaimer

For patent license limitations for individual products please refer to:

**List of biochemical reagent products.**

## 4.6. Regulatory Disclaimer

For life science research only. Not for use in diagnostic procedures.

## 4.7. Safety Data Sheet

Please follow the instructions in the Safety Data Sheet (SDS).

## 4.8. Contact and Support

To ask questions, solve problems, suggest enhancements or report new applications, please visit our **Online Technical Support Site.**

To call, write, fax, or email us, visit **sigma-aldrich.com**, and select your home country. Country-specific contact information will be displayed.

