

Product Information



E-64

Catalog No. 10007963

CAS Registry No.: 66701-25-5
Formal Name: 3-[[[(1S)-1-[[[4-[(aminoiminomethyl)amino]butyl]amino]carbonyl]-3-methylbutyl]amino]carbonyl]-(2S,3S)-oxiranecarboxylic acid

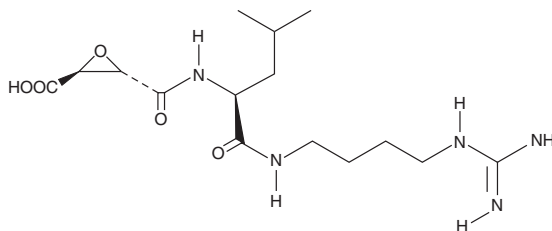
MF: C₁₅H₂₇N₅O₅

FW: 357.4

Purity: ≥98%

Stability: ≥2 years at -20°C

Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that E-64 be stored as supplied at -20°C. It should be stable for at least two years.

E-64 is supplied as a crystalline solid. A stock solution may be made by dissolving the E-64 in an organic solvent purged with an inert gas. E-64 is soluble in organic solvents such as DMSO. The solubility of E-64 in DMSO is approximately 20 mg/ml.

If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

E-64 is a natural, potent, and irreversible inhibitor of cysteine proteases.¹ Its IC₅₀ values for inhibiting cathepsins K, S, and L, *in vitro*, are 1.4, 4.1, and 2.5 nM, respectively.² E-64 also inhibits papain, calpain, and cathepsins B and H, but not serine proteases (*e.g.*, plasmin, trypsin, tissue kallikrein) or aspartic proteases (*e.g.*, pepsin).³ The mode of action involves the formation of a thioether linkage between E-64 and the thiol group of the protease; E-64 does not react with the functional thiol group of non-protease enzymes (*e.g.*, creatine kinase, L-lactate hydrogenase). While E-64 is usually used in biochemical assays, it can also be used in intact cells at higher (μM) concentrations.⁴⁻⁶

References

1. Drahl, C., Cravatt, B.F., and Sorensen, E.J. Protein-reactive natural products. *Natural Products Chemistry* **44**, 5788-5809 (2005).
2. Susa, M., Luong-Nguyen, N.-H., Cappellen, D., *et al.* Human primary osteoclasts: *In vitro* generation and applications as pharmacological and clinical assay. *Journal of Translational Medicine* **2(6)**, (2004).
3. Barrett, A.J., Kembhavi, A.A., Brown, M.A., *et al.* L-trans-Epoxy succinyl-leucylamido(4-guanidino)butane (E-64) and its analogues as inhibitors of cysteine proteinases including cathepsins B, H and L. *Biochem. J.* **201**, 189-198 (1982).
4. Giusti, I., D'Ascenzo, S., Millimaggi, D., *et al.* Cathepsin B mediates the pH-dependent proinvasive activity of tumor-shed microvesicles. *Neoplasia* **10(5)**, 481-488 (2008).
5. Ahlberg, J., Berkenstam, A., Henell, F., *et al.* Degradation of short and long lived proteins in isolated rat liver lysosomes; effects of pH, temperature, and proteolytic inhibitors. *J. Biol. Chem.* **260(9)**, 5847-5854 (1985).
6. Drew, M.E., Banerjee, R., Uffman, E.W., *et al.* Plasmodium food vacuole plasmepsins are activated by falcipains. *J. Biol. Chem.* **283(19)**, 12870-12876 (2008).

Related Products

Lactacystin - Cat. No. 70980 • Clasto-Lactacystin β-lactone - Cat. No. 70988 • Salinosporamide A - Cat. No. 10007311 • Salinosporamide B - Cat. No. 10007563

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent *via* email to your institution.

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