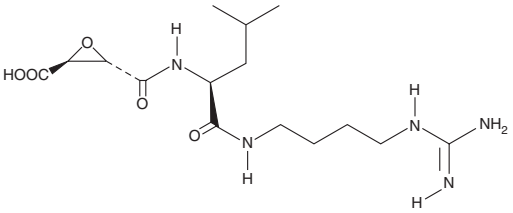
PRODUCT INFORMATION

E-64

Item No. 10007963

CAS Registry No.:	66701-25-5
Formal Name:	(2S,3S)-3-[[[(1S)-1-[[[4-[(aminoiminomethyl)amino]butyl]amino]carbonyl]-3-methylbutyl]amino]carbonyl]-2-oxiranecarboxylic acid
MF:	$C_{15}H_{27}N_5O_5$
FW:	357.4
Purity:	≥95%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

E-64 is supplied as a crystalline solid. A stock solution may be made by dissolving the E-64 in the solvent of choice, which should be purged with an inert gas. E-64 is soluble in the organic solvent DMSO. It is also soluble in water. The solubility of E-64 in DMSO and water is approximately 25 and 20 mg/ml, respectively. We do not recommend storing the aqueous solution for more than one day.

Description

E-64 is a fungal metabolite originally isolated from *Aspergillus japonicus* that has diverse biological activities.[1-5] It inhibits a variety of cysteine proteases, including cathepsins B, H, and L, as well as papain, ficin, and bromelain, but not the serine proteases plasmin, trypsin, and tissue kallikrein or the aspartic protease pepsin.[1,2] E-64 (0.1-2 mg/ml) reduces the autocatalytic activity of the foot-and-mouth-disease virus (FMDV) leader protease.[3] It is active against the FCR3 *P. falciparum* strain with an IC_{50} value of 836 nM.[4] E-64 (5-25 µM) reduces bone resorption in embryonic mouse bone explants.[5]

References

1. Hanada, K., Tamai, M., Yamagishi, M., *et al*. Isolation and characterization of E–64, a new thiol protease inhibitor. *Agric. Biol. Chem*. **42(3)**, 523-528 (1977).
2. Barrett, A.J., Kembhavi, A.A., Brown, M.A., *et al.* L-trans-Epoxysuccinyl-leucylamido(4-guanidino)butane (E-64) and its analogues as inhibitors of cysteine proteinases including cathepsins B, H and L. *Biochem. J.* **201(1)**, 189-198 (1982).
3. Kleina, L.G. and Grubman, M.J. Antiviral effects of a thiol protease inhibitor on foot-and-mouth disease virus. *J. Virol*. **66(12)**, 7168-7175 (1992).
4. Wijayanti, M.A., Sholikhah, E.N., Hadanu, R., *et al*. Additive *in vitro* antiplasmodial effect of *N*-alkyl and *N*-benzyl-1,10-phenanthroline derivatives and cysteine protease inhibitor E64. *Malar. Res. Treat*. 540786 (2010).
5. Delaissé, J.-M., Eeckhout, Y., and Vaes, G. In vivo and in vitro evidence for the involvement of cysteine proteinases in bone resorption. *Biochem. Biophys. Res. Commun*. **125(2)**, 441-447 (1984).

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