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Product Information

E64 Ready Made Solution

Non-freezing solution, 1 mg/mL

SAE0154

Product Description

E-64 is an irreversible, potent and highly selective cysteine protease inhibitor. The trans-epoxysuccinyl group of E-64, its active moiety, irreversibly binds to an active thiol group of many cysteine proteases, such as papain, actinidase, and cathepsins B, H and L,^{2,3} to form a thioether linkage.

E-64 is reported to be one of the most effective low molecular weight inhibitors of trypsin-catalyzed hydrolysis.³ E-64 inhibited the activity of bleomycin hydrolase, and blocked the activity of a yeast cysteine protease gene (YCP1) which induces an increase in bleomycin metabolism.⁴ For *in vivo* studies, E-64 can be very useful, because it has specific inhibition, it is permeable in cells and tissues, it has low toxicity, it is easily synthesized, and it is stable.²

E-64 does not react with the functional thiol group of L-lactate dehydrogenase or creatine kinase, both of which are non-protease enzymes.^{5,6} E-64 does not inhibit serine proteases (except trypsin) like the cysteine protease inhibitors leupeptin and antipain.^{2,7} It does not react with low MW thiol compounds like 2-mercaptoethanol. E-64 has been used as an active site titrant.^{2,8,9} Synthetic¹ and natural⁵ methods for E-64 preparation have been reported.

Reagent

This E-64 Ready Made Solution is supplied as a proprietary 2.8 mM non-freezing solution formulation.

Storage/Stability

Storage at -20 °C is recommended. The product, as supplied, is stable for two years. For short time periods, the product can be stored at 2-8 °C.

Preparation Instructions

The stock solution may be diluted at a ratio of 1:100 to 1:1000 to achieve a working concentration in a range between 28 μ M and 2.8 μ M, respectively. The effective concentration range is 1-10 μ M.

Precautions and Disclaimer

For R&D use only. Not for drug, household, or other uses. Please consult the Safety Data Sheet for information regarding hazards and safe handling practices.

References

- Hanada, K. *et al.*, *Agric. Biol. Chem.*, **42(3)**, 529-536 (1978).
- Katunuma, N. and Kominami, E., *Methods Enzymol.*, **251**, 382-397 (1995).
- Sreedharan, S.K. et al., Biochem. J., **316(3)**, 777-786 (1996).
- Pei, Z. et al., Mol. Pharmacol., 48(4), 676-681 (1995).
- Hanada, K. *et al.*, *Agric. Biol. Chem.*, **42(3)**, 523-528 (1978)
- Hashida, S. *et al.*, *J. Biochem.*, **88(6)**, 1805-1811 (1980).
- Barrett, A.J. et al., Biochem. J., 201(1), 189-198 (1982).
- Beynon, R.J. and Bond, J.S. (eds.), Proteolytic Enzymes: A Practical Approach. IRL Press (Oxford, UK), p. 244 (1989).
- Buttle, D.J., and Barrett, A.J., *Biochem. J.*, 223(1), 81-88 (1984).



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