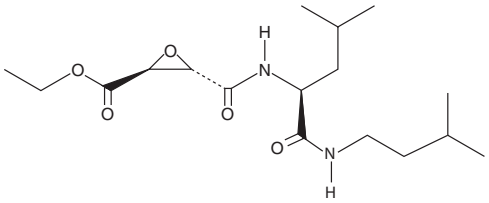
PRODUCT INFORMATION

E-64d

Item No. 13533

CAS Registry No.:	88321-09-9
Formal Name:	3-[[[(1S)-3-methyl-1[[(3S-methylbutyl) amino]carbonyl]butyl]amino]carbonyl]-2S-oxiranecarboxylic acid, ethyl ester
Synonyms:	Aloxistatin, E-64c ethyl ester, EP 453, EST, Loxistatin, NSC 694281
MF:	$C_{17}H_{30}N_2O_5$
FW:	342.4
Purity:	≥98%
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-64d is supplied as a crystalline solid. A stock solution may be made by dissolving the E-64d in the solvent of choice, which should be purged with an inert gas. E-64d is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF) . The solubility of E-64d in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

E-64d is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, E-64d should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. E-64d has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) usi ng this method. We do not recommend storing the aqueous solution for more than one day.

Description

E-64d is an irreversible, membrane-permeable inhibitor of lysosomal and cytosolic cysteine proteases and has diverse biological activities.[1-4] It is a synthetic analog of E-64 (Item No. 10007963) and prodrug form of E-64c (Item No. 10007964) that inhibits calpain and the cysteine proteases cathepsins F, -K, -B, -H, and -L.[1,5] E-64d (20-200 µM) induces cell cycle arrest at the G_2/M phase in A431 human epidermoid carcinoma cells.[2] It inhibits protease-resistant prion protein accumulation in scrapie-infected neuroblastoma cells with an IC_{50} value of 0.5 µM.[3] E-46d also inhibits entry of vesicular stomatitis virus (VSV) particles pseudotyped with severe acute respiratory syndrome coronavirus (SARS-CoV) or SARS-CoV-2 spike glycoprotein into Vero cells, an effect that is reduced by expression of the serine protease TMPRSS2.[4]

References

1. Wilcox, D. and Mason, R.W. *Biochem. J.* **285**, 495-502 (1992).
2. Shoji, Y., Senshu, M., Iwashita, S., *et al*. *Proc. Natl. Acad. Sci. USA* **85**, 146-150 (1988).
3. Doh-Ura, K., Iwaki, T., and Caughey, B. *J. Virol.* **74(10)**, 4894-4897 (2000).
4. Hoffmann, M., Kleine-Weber, H., Schroeder, S., *et al*. *Cell* **181**, 1-10 (2020)
5. Roush, W.R., Hernandez, A.A., McKerrow, J.H., *et al*. *Tetrahedron* **56**, 9747-9762 (2000).

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