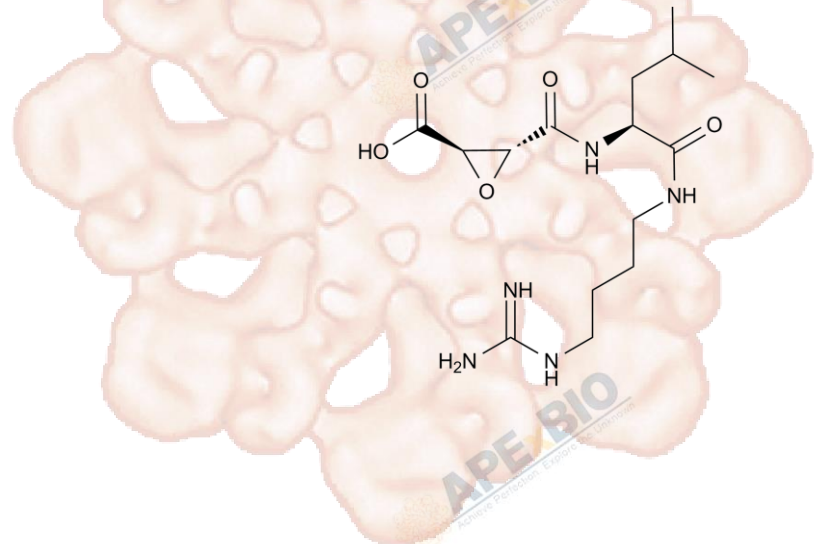


Product Data Sheet

E-64

Cat. No.:	A2576
CAS No.:	66701-25-5
Formula:	C ₁₅ H ₂₇ N ₅ O ₅
M.Wt:	357.41
Synonyms:	
Target:	Proteases
Pathway:	Cathepsin
Storage:	Store at -20°C



Solvent & Solubility

≥49.1 mg/mL in H₂O; ≥53.6 mg/mL in DMSO; ≥55.2 mg/mL in EtOH

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		2.7979 mL	13.9895 mL	27.9791 mL
	5 mM		0.5596 mL	2.7979 mL	5.5958 mL
	10 mM		0.2798 mL	1.3990 mL	2.7979 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

Cysteine protease inhibitor,irreversible

IC₅₀ & Target

1.4nM (cathepsins K), 4.1nM (cathepsins S), 2.5nM (cathepsins L)

In Vitro

Cell Viability Assay

Cell Line: H-59 and M-27 cells

Preparation method: The solubility of this compound in DMSO is ≥53.6mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions: 10 µg/ml , 48 hours

	Applications:	E-64 inhibited H-59 invasion in a dose-dependent manner with a maximal inhibition of 97% at a concentration of 10 µg/ml which was non-toxic. Cell migration as measured with filters coated with 7.5 µg/filter type IV collagen was reduced by only 25% suggesting that the cysteine proteinases played a more minor role in cell migration in the absence of a basement membrane barrier. On the other hand M-27 invasion was not significantly affected by treatment with E-64 even at concentrations as high as 100 µg/ml.
In Vivo	Animal experiment	
	Animal models:	Wistar strain rats
	Dosage form:	Intraperitoneal injection, 1 mg/100 g body weight
	Applications:	The animals were killed 1 h after the injection and the cathepsin B and cathepsin L activities in the lysosomal were assayed. The inhibition caused by E-64 was already detectable 1 hour after its injection.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Cliff J. Luke, Stephanie Markovina, et al. "Lysoptosis is an evolutionarily conserved cell death pathway moderated by intracellular serpins." *Commun Biol.* 2022 Jan 12;5(1):47. PMID: 35022507
2. Zhijun Liu, Himani Nailwal, et al. "A class of viral inducer of degradation of the necroptosis adaptor RIPK3 regulates virus-induced inflammation." *Immunity.* 2021 Feb 9;54(2):247-258.e7. PMID: 33444549
3. Dheilly E, Battistello E, et al. "Cathepsin S Regulates Antigen Processing and T Cell Activity in Non-Hodgkin Lymphoma." *Cancer Cell.* 2020;37(5):674-689.e12. PMID: 32330455
4. Blass G, Levchenko V, et al. "Chronic cathepsin inhibition by E-64 in Dahl salt-sensitive rats." *Physiol Rep.* 2016 Sep;4(17). pii: e12950. PMID: 27597769
5. Ying Long, Xuri Zhang, et al. "Initial events in the breakthrough of the epithelial barrier of the small intestine by *Angiostrongylus cantonensis*." *Arch Biol Sci.* 2016;68(2):375-383

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References

- [1] Navab R, Mort J S, Brodt P. Inhibition of carcinoma cell invasion and liver metastases formation by the cysteine proteinase inhibitor E-64. *Clinical & experimental metastasis*, 1997, 15(2): 121-129.
- [2] Hashida S, TOWATARI T, KOMINAMI E, et al. Inhibitions by E-64 derivatives of rat liver cathepsin B and cathepsin L in vitro and in vivo. *Journal of biochemistry*, 1980, 88(6): 1805-1811.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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