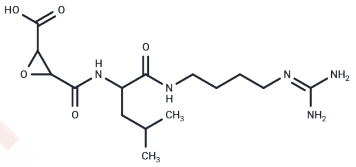
Data Sheet (Cat.No.T6037)

TargetMol

E-64

Chemical Properties



CAS No. : 66701-25-5

Formula: C15H27N5O5

Molecular Weight: 357.41

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	E-64 (Proteinase inhibitor E 64) is an irreversible and specific inhibitor of cysteine proteases, exhibiting an IC50 of 9 nM for papain.
Targets(IC50)	Antibacterial,Autophagy,Cysteine Protease
In vitro	E-64 rapidly inhibits the activities of the cysteine proteinases cathepsins B, H and L and papain, while has no effect on the serine proteinases or the metalloproteinases. [2] E-64, as a specific inhibitor os cysteine proteases, effectively blocks in vitro ovarian cancer cell invasion. [3] In addition, E-64 also shows antiparasitic activity against Giardia lamblia excystation in vitro. [4] E-64 improves the preimplantation development of bovine somatic cell nuclear transfer embryos, which indicates another important implication of E-64. [5]
In vivo	E-64 induces a marked decrease in the number of spontaneous metastasis in M5076 tumor bearing mice, while has no effect on the formation of experimental metastasis. [6] E-64 also shows antiparasitic activity against Giardia lamblia excystation in infected mice. [4]
Kinase Assay	The Cathepsin B activity is determined using Z-Arg-Arg-4mβNA as substrate with slight modifications. The crude extract is pre-incubated at 37°C for 5 min in 50 mM sodium acetate buffer, pH 5.0 containing 1 mM EDTA and 5 mM DTT. The substrate (final concentration, 100 μM) is added to make the final assay volume of 1 mL. The reaction mixture is incubated at 37°C for 30 min. The reaction is terminated by adding equal volume of stopping reagent containing Fast Garnet GBC salt (1 mg/mL), 10 mM pHMB and 50 mM EDTA, pH 6.0. The extraction of product, β-napthylamine (β-NA), is carried out with n-butanol. After complete layer separation, the absorbance is measured in n-butanol layer and activity is calculated using molar extinction coefficient of β-napthylamine solution as 31.5 M/cm per sec at 520 nm. One unit of enzyme activity is defined as the amount of enzyme liberating 1 μmol of βNA per minute at 37°C. The half maximal inhibitory concentration (IC50) is calculated by plotting the graph between the different concentration of E-64 and the % inhibition in cathepsin B activity. Here, IC50 indicates the concentration of the E-64 required to inhibit the parasitic cathepsin B activity by half[2].

Solubility Information

Solubility	H2O: 9 mg/mL (25.18 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 59.38 mg/mL (166.14 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.6 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	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	1.399 mL	2.7979 mL
50 mM	0.056 mL	0.2798 mL	0.5596 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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