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Product Information

Version 1.1.04

A2501 - E-64, 5U/µl

Identification: The compound,E-64 was first isolated and identified from the fungus, Aspergillus japonicus in 1978.[1]

Chemical Formula: C15H27N5O5

Molecular Weight: 357.4

Cas#: [66701-25-5]

SOURCE: Natural or synthetic

Description

E-64 is an irreversible, potent and highly selective inhibitor of cysteine proteases. Does not affect cysteine residues in other enzymes. Acts by forming a thioether bond with thiol of the active cysteine. **E-64** will not inhibit serine proteases (except trypsin) inhibits activation-induced programmed cell death and restores defective immune responses in HIV^+ donors. Specific active site titrant. **E-64** is a very useful cysteine protease inhibitor for use in *in vivo* studies because it has a specific inhibition; it is permeable in cells and tissues & has low toxicity. **E-64** inhibits calpain, papain, and cathespin B, cathepsin L, bromelain, staphopain, collegenase and ficin. The compound has been reported to inhibit intracellular Bax protease activity, and reduce oxidative stress, which includes a decrease in MDA levels, ICAM-1 expression and MOP activity. **E-64** has also been used to study excystation (microbial cyst wall breaks down) in *Giardia lamblia*

Appearance

White crystalline powder

Chemical Name:

trans-Epoxysuccinyl-L-leucyl-amido(4-guanidino) butane; (L-3-trans-Carboxyoxiran-2-Carbonyl)-L-Leucyl-Admat, L-trans-3-Carboxyoxiran-2-carbonyl-L-leucylagmatine, N-(trans-Epoxysuccinyl)-L-leucine 4-guanidinobutylamide.

Examples of Cysteine Proteases:

Actinidain, Bromelain, Calpains, Caspases, Cathepsins, Mir1-CP, Papain.

SOLUBILITY INFORMATION: DMSO (25mg/ml) and H20 (20mg/ml. A 20 mg/ml solution can be prepared in water (heat may be needed).

1. A suggested water stock solution is a 1 mM aqueous solution).
2. E-64 is also soluble in DMSO; a 10 mM solution can be prepared in dry DMSO and stored at -20 °C.
3. If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution more than one day.
4. Solutions for injection were prepared by dissolving E-64 in 0.9% sodium chloride or in a minimum amount of saturated sodium bicarbonate followed by dilution with 0.9% sodium chloride (after adjusting the pH to 7.0 with acetic acid.

Ph RANGE: Diluted solutions are stable for days at neutral pH. E-64 is stable from pH 2-10

PURITY: ≥ 99% BY HPLC.

INCOMPATIBILITIES: E-64 is unstable in ammonia or in HCl.

EFFECTIVE CONCENTRATION: The effective concentration for use as a protease inhibitor is 1 to 10 µM.
Storage: Store, as supplied, at -20°C for up to 1 year. Store solutions at -20°C for <3 months.

RTECS#: RR0390000

What is RTECS# and what does it tell us?: (Registry of Toxic effects of Chemical substances) RTECS is a compendium of data extracted from the open scientific literature. The data are recorded in the format developed by the RTECS staff and arranged in alphabetical order by prime chemical name. Six types of toxicity data are included in the file: (1) primary irritation; (2) mutagenic effects; (3) reproductive effects; (4) tumorigenic effects; (5) acute toxicity; and (6) other multiple dose toxicity. Specific numeric toxicity values such as LD50, LC50, TDLo, and TCLo are noted as well as species studied and route of administration used. For each citation, the bibliographic source is listed thereby enabling the user to access the actual studies cited. No attempt has been made to evaluate the studies cited in RTECS. The user has the responsibility of making such assessments

MDL number: MFCD00080261

IC50 in vitro VALUES: Cathepsin K; 1.4nM; Cathepsin S; 4.1nM; Cathepsin L: 2.5nM2.

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