

# Product data sheet



MedKoo Cat#: 530905 Name: E-64 CAS#: 66701-25-5 Chemical Formula: C <sub>15</sub> H <sub>27</sub> N <sub>5</sub> O <sub>5</sub> Exact Mass: 357.2012 Molecular Weight: 357.411	
Product supplied as: Powder	
Purity (by HPLC): ≥ 98%	
Shipping conditions: Ambient temperature	
Storage conditions: Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

## 1. Product description:

E-64 is a potent, and irreversible inhibitor of cysteine proteases with IC<sub>50</sub> values for inhibiting cathepsins K, S, and L, in vitro, are 1.4, 4.1, and 2.5 nM, respectively. E-64 improves the preimplantation development of bovine somatic cell nuclear transfer embryos.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	48.67	136.17
Water	15.91	44.51

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.80 mL	13.99 mL	27.98 mL
5 mM	0.56 mL	2.80 mL	5.60 mL
10 mM	0.28 mL	1.40 mL	2.80 mL
50 mM	0.06 mL	0.28 mL	0.56 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

1. Stoute JA, Landmesser ME, Biryukov S. Treatment of Plasmodium falciparum merozoites with the protease inhibitor E64 and mechanical filtration increases their susceptibility to complement activation. PLoS One. 2020 Aug 21;15(8):e0237786. doi: 10.1371/journal.pone.0237786. PMID: 32822376; PMCID: PMC7442247.
2. Wadhawan M, Singh N, Rathaur S. Inhibition of cathepsin B by E-64 induces oxidative stress and apoptosis in filarial parasite. PLoS One. 2014 Mar 25;9(3):e93161. doi: 10.1371/journal.pone.0093161. PMID: 24667798; PMCID: PMC3965533.

### In vivo study

1. Blass G, Levchenko V, Ilatovskaya DV, Staruschenko A. Chronic cathepsin inhibition by E-64 in Dahl salt-sensitive rats. Physiol Rep. 2016 Sep;4(17):e12950. doi: 10.14814/phy2.12950. PMID: 27597769; PMCID: PMC5027357.
2. Hussein EM, Dawoud HA, Salem AM, Atwa MM. Antiparasitic activity of cystine protease inhibitor E-64 against Giardia lamblia excystation in vitro and in vivo. J Egypt Soc Parasitol. 2009 Apr;39(1):111-9. PMID: 19530614.

## 7. Bioactivity

### Biological target:

E-64 (Proteinase inhibitor E 64) is a potent irreversible inhibitor against general cysteine proteases with IC<sub>50</sub> of 9 nM for papain.

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## In vitro activity

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E-64 showed a concentration and time dependent decrease in motility and viability of the parasites as shown in Table 1 and Figure 1A respectively (EC50 = 16  $\mu$ M). The microfilariae (mf) recovered from the uterus of gravid female parasites after 8 h were found to be motile up to 10  $\mu$ M. They were under extreme stress and dead in case of 20  $\mu$ M and 40  $\mu$ M E-64 treated parasites at the end of 8 h (Figure 1B).

Reference: PLoS One. 2014; 9(3): e93161. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3965533/>

## In vivo activity

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A significant increase in the renal cortical mature form of Cath B (Fig. 7A) and Cath L (Fig. 7B) were measured in E-64 treated rats ( $P < 0.01$ , independent-sample  $t$ -test). The pro Cath L abundance was not different between the groups and pro Cath B was not detected.

Reference: Physiol Rep. 2016 Sep; 4(17): e12950. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5027357/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*