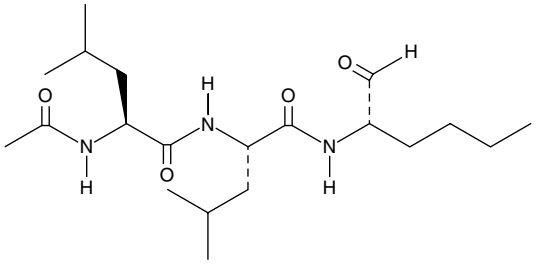
Product Information

Calpain Inhibitor I

Item No. 14921

CAS Registry No.: 110044-82-1
Formal Name: N-acetyl-L-leucyl-N-[(1S)-1-formylpentyl]-L-leucinamide
Synonyms: Ac-Leu-Leu-Nle-Aldehyde, ALLN, MG 101
MF: $C_{20}H_{37}N_3O_4$
FW: 383.5
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that calpain inhibitor I be stored as supplied at -20°C. It should be stable for at least two years.

Calpain inhibitor I is supplied as a crystalline solid. A stock solution may be made by dissolving the calpain inhibitor I in the solvent of choice. Calpain inhibitor I is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of calpain inhibitor I in these solvents is approximately 20 mg/ml.

Calpain inhibitor I is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, calpain inhibitor I should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Calpain inhibitor I has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Calpain inhibitor I is a synthetic tripeptide aldehyde that acts as a potent inhibitor of cysteine proteases including calpain I (K_i = 190 nM), calpain II (K_i = 220 nM), cathepsin B (K_i = 150 nM), and cathepsin L (K_i = 500 pM).[1] At 10-100 µM calpain inhibitor I dose-dependently prevents the degradation of IκBα and IκBβ by the ubiquitin-proteasome complex, which blocks activation of NFκB and the production of TNF and IL-1β, suggesting a potential therapeutic effect for inflammatory diseases.[2] At 10 µM, calpain inhibitor I can inhibit nitric oxide production by activated macrophages by interfering with transcription of the inducible nitric oxide synthase gene.[3]

References

1. Sasaki, T., Kishi, M., Saito, M., *et al.* Inhibitory effect of di- and tripeptidyl aldehydes on calpains and cathepsins. *J. Enzyme Inhib.* **3(3)**, 195-201 (1990).
2. Haas, M., Page, S., Page, M., *et al.* Effect of proteasome inhibitors on monocytic IκB-α and -β depletion, NF-κB activation, and cytokine production. *J. Leukoc. Biol.* **63(3)**, 395-404 (1998).
3. Griscavage, J.M., Wilk, S., and Ignarro, L.J. Serine and cysteine proteinase inhibitors prevent nitric oxide production by activated macrophages by interfering with transcription of the inducible NO synthase gene. *Biochem. Biophys. Res. Commun.* **215(2)**, 721-729 (1995).

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