

Product Data Sheet

Chemical Properties

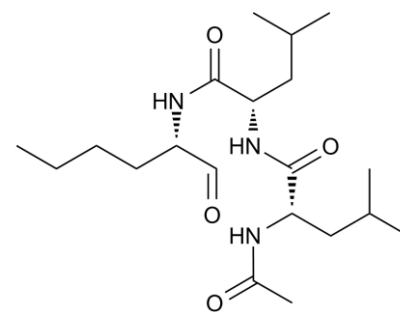
Product Name: Calpain Inhibitor I, ALLN

Cas No.: 110044-82-1

M.Wt: 383.54

Formula: C₂₀H₃₇N₃O₄

Synonyms: Ac-LLnL-CHO, MG-101, MG101, N-Acetyl-L-leucyl-L-leucyl-L-norleucinal, N-Acetyl-Leu-Leu-Nle-al, Calpain Inhibitor I



Chemical Name: 2-acetamido-4-methyl-N-[4-methyl-1-oxo-1-(1-oxohexan-2-ylamino)pentan-2-yl]pentanamide

Canonical SMILES: CCCCC(C=O)NC(=O)C(CC(C)C)NC(=O)C(CC(C)C)NC(=O)C

Solubility: \geq 19.1mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37° C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
 All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets : Proteases

Pathways: Calpains

Description:

Calpain Inhibitor I is an inhibitor of calpain I, calpain II, cathepsin B and cathepsin L with Ki values of 190 nM, 220 nM, 150 nM and 500 pM, respectively.

Calpain inhibitor I combined with Ad/gTRAIL induced cell death dramatically in DLD1-TRAIL/R cells, while calpain alone had only minimal killing effects. The combination of calpain inhibitor I and TRAIL protein resulted in cleavage of both caspase-8 and caspase-3 to active subunits [1]. Calpain inhibitor I treated male Sprague-Dawley rats have seen reductions of P-selectin/ICAM-1 expression, neutrophil infiltration, lipid peroxidation, nitrotyrosine, PAR formation as well as I κ B- α degradation [2].

Reference:

[1] Zhu H1, Zhang L, Huang X, Davis JJ, Jacob DA, Teraishi F, Chiao P, Fang B. Overcoming acquired resistance to TRAIL by chemotherapeutic agents and calpain inhibitor I through distinct mechanisms. *Mol Ther.* 2004 May;9(5):666-73.

[2] Marzocco S1, Di Paola R, Autore G, Mazzon E, Pinto A, Caputi AP, Thiernemann C, Cuzzocrea S. Calpain inhibitor I reduces intestinal ischemia-reperfusion injury in the rat. *Shock.* 2004 Jan;21(1):38-44.

Protocol

Cell experiment:

Cell lines	DLD1-TRAIL/R cells
Preparation method	The solubility of this compound in DMSO is >10 mM. 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	0, 10, 20 and 50 μ M; 96 hrs
Applications	In DLD1-TRAIL/R cells, Calpain Inhibitor I combined with Ad/gTRAIL induced cell death dramatically while Calpain Inhibitor I or Ad/gTRAIL alone only had minimal killing effects.

Animal experiment [3]:

Animal models	Splanchnic artery occlusion (SAO)-shocked rats
Dosage form	15 mg/kg; i.p.
Applications	Calpain Inhibitor I, given intraperitoneally 30 mins before ischemia at a dose of 15 mg/kg, significantly reduced I κ B- α degradation, the intensity of P-selectin/ICAM-1 in the reperfused ileum, neutrophil infiltration as well as malondialdehyde levels. Meanwhile, pretreatment of Calpain Inhibitor I markedly improved mean arterial blood pressure and the histological status of the reperfused tissue.

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.

Reference:

- [1]. Zhu H¹, Zhang L, Huang X, Davis JJ, Jacob DA, Teraishi F, Chiao P, Fang B. Overcoming acquired resistance to TRAIL by chemotherapeutic agents and calpain inhibitor I through distinct mechanisms. *Mol Ther.* 2004 May;9(5):666-73.
- [2]. Marzocco S¹, Di Paola R, Autore G, Mazzon E, Pinto A, Caputi AP, Thiemermann C, Cuzzocrea S. Calpain inhibitor I reduces intestinal ischemia-reperfusion injury in the rat. *Shock.* 2004 Jan;21(1):38-44.

Product Citations

1. KoraMagazi A, Wang D, et al. "Rhein triggers apoptosis via induction of endoplasmic reticulum stress, caspase-4 and intracellular calcium in primary human hepatic HL-7702 cells." *Biochem Biophys Res Commun.* 2016 Apr 22;473(1):230-236. PMID:27003256

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. Short-term 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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