

Product Data Sheet

Product Name: GGACK (H-Glu-Gly-Arg-chloromethylketone)

Cat. No.: GA22381

Chemical Properties

Cas. No. 65113-67-9

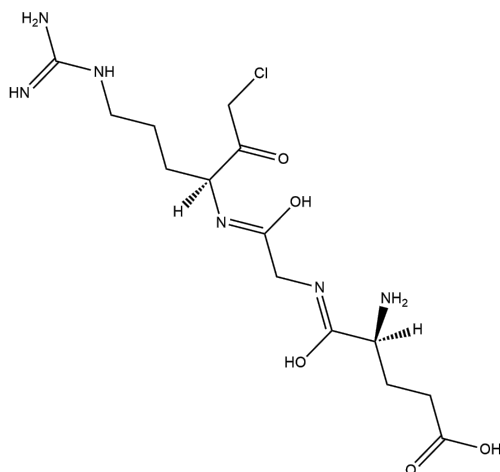
Formula $C_{14}H_{25}ClN_6O_5$ M.Wt 392.84

Solubility Soluble 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.

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

Structure



Protocol

Cell experiment [1]:

Cell lines U-937 cells (human monocyte-like leukemia cell line)

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method Phorbol 12-myristate-13-acetate (PMA)-stimulated U-937 cells were used. For the competition flow cytometry assay, cells were pre-incubated on ice for 30 minutes with 10nM or 100nM of either GGACK-inactivated HMW-uPA (i-uPA) or a test compound. Subsequently, 1nM of Alexa Fluor 488-labeled active HMW-uPA was added and incubated with the cells for 30 minutes on ice. The samples were then washed, fixed, and analyzed by flow cytometry.

Reaction Conditions 10nM or 100nM; 30min pre-incubation on ice.

Applications GGACK-inactivated HMW-uPA (i-uPA) potently antagonized the binding of Alexa Fluor 488-labeled active HMW-uPA to cell surface urokinase-type plasminogen activator receptors (uPAR). GGACK inhibited the fluorescent signal, demonstrating its strong efficacy as a competitive antagonist in the uPA:uPAR binding assay.

References:

[1] De Souza M, Matthews H, Lee JA, et al. Small molecule antagonists of the urokinase (uPA): urokinase receptor (uPAR) interaction with high reported potencies show only weak effects in cell-based competition assays employing the native uPAR ligand. Bioorg Med Chem. 2011 Apr 15;19(8):2549-56.

Background

GGACK (H-Glu-Gly-Arg-chloromethylketone) is an irreversible serine protease inhibitor. GGACK can inhibit urokinase-type plasminogen activator (uPA) and block the plasminogen activation process. GGACK can be used for research related to cancer and coagulation-related diseases^[1].

GGACK (10-100nM) had been used to treat PMA-stimulated U-937 leukemia cells for 30 minutes to induce high expression of uPAR, after which fluorescently labeled HMW-uPA was added. GGACK competitively inhibited the binding of HMW-uPA to the cell surface uPAR receptors^[2].

References:

[1] Sperl S, Jacob U, Arroyo de Prada N, et al. (4-aminomethyl)phenylguanidine derivatives as

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nonpeptidic highly selective inhibitors of human urokinase. Proc Natl Acad Sci U S A. 2000 May 9;97(10):5113-8.

[2] De Souza M, Matthews H, Lee JA, et al. Small molecule antagonists of the urokinase (uPA): urokinase receptor (uPAR) interaction with high reported potencies show only weak effects in cell-based competition assays employing the native uPAR ligand. Bioorg Med Chem. 2011 Apr 15;19(8):2549-56.

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