Mechanistic Studies on the Inhibition of Stromelysin by a Peptide Phosphonamidate

BioMed. Chem. **1993**, *1*, 19

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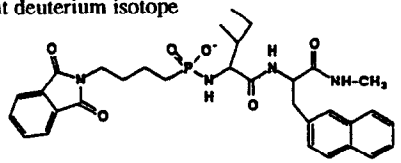
We have investigated the inhibition of the human matrix metalloproteinase stromelysin (SLN) by the peptide phosphonamidate, phthaloyl-*N*-$(CH_2)_4$-$P(O_2^-)$-Ile-(β-naphthyl)Ala-NH-CH_3, and find that it is a potent, slow-binding inhibitor of SLN with $k_{on} = 2.7 \times 10^4\ M^{-1}\ sec^{-1}$, $k_{off} = 1.9 \times 10^{-4}\ M^{-1}\ sec^{-1}$, and $K_i = 7$ nM (pH 5.0, 25°C). To probe the mechanism of inhibition we determined pH-dependencies and solvent deuterium isotope effects.



Evaluation of Functional Analogs of CC-1065 and the Duocarmycins Incorporating the Cross-linking 9a-Chloromethyl-1,2,9,9a-tetrahydrocyclopropa[*c*]benz[*e*]indol-4-one (C_2BI) Alkylation Subunit

BioMed. Chem. **1993**, *1*, 27

D. L. Boger, D. S. Johnson, M. S. S. Palanki,

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KINETIC STUDIES OF 2-(2'-HALOETHYL) AND 2-ETHENYL SUBSTITUTED QUINAZOLINONE ALKYLATING AGENTS. ACID-CATALYZED DEHYDROHALOGENATION AND ALKYLATION INVOLVING A QUINAZOLINONE PROTOTROPIC TAUTOMER

BioMed. Chem. **1993**, *1*, 39

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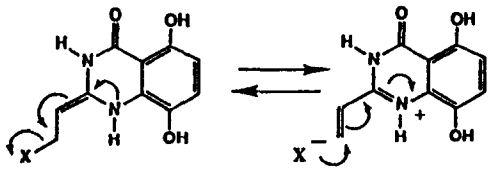
Abstract: A kinetic study of halide elimination and nucleophile addition reactions of haloethyl and ethenyl substituted quinazolinones is described. Both elimination and addition involve a prototropic tautomer intermediate.



MODIFICATION OF "PEPTOID" CCK-B ANTAGONISTS TO PROBE REQUIREMENTS FOR CCK-B AGONIST ACTIVITY.

BioMed. Chem. **1993**, *1*, 45

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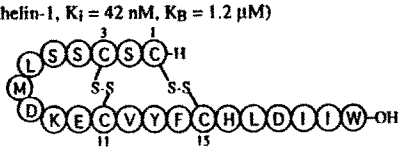
Compounds of general structure 2 were synthesized and examined for their CCK-B binding affinities and potential agonist properties. No compounds showed significant agonist activity up to 1 μM.

BioMed. Chem. **1993**, *1*, 59

Structure-Activity Studies of Endothelin Leading to Novel Peptide ET_A Antagonists

J. T. Hunt, V. G. Lee, D. McMullen, E. C.-K. Liu, M. Bolgar, C. L. Delaney, S. M. Festin, D. M. Floyd
A. Hedberg, S. Natarajan, R. Serafino, P. D. Stein, M. L. Webb, R. Zhang and S. Moreland
Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ 08543-4000

Bis-penicillamine endothelin analogs containing Ala or Asn at position 18 were functional antagonists (e.g., [$Pen^{1,11}$, Nle^{7}, Ala^{18}]-endothelin-1, K_i = 42 nM, K_B = 1.2 μM)



BioMed. Chem. **1993**, *1*, 67

SYNTHESIS OF THE ENANTIOMERS OF LASIOL, AN ACYCLIC MONOTERPENE ALCOHOL IN THE MANDIBULAR GLAND SECRETION OF THE MALE ANTS, *Lasius meridionalis*

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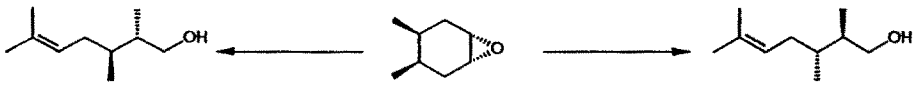
Department of Agricultural Chemistry, The University of Tokyo, Yayoi 1-1-1, Bunkyo-ku, Tokyo 113, Japan

The enantiomers of lasiol(**1**) were synthesized by employing asymmetric cleavage of the epoxy ring of **2** as the key step.



(2*S*, 3*S*)-**1** **2** (2*R*, 3*R*)-**1**

BioMed. Chem. **1993**, *1*, 71

A Synthesis of (*R*)-Recifeiolide by the Aid of Biochemical Reaction as the Key-step

Naoki Mochizuki, Hiroshi Yamada, Takeshi Sugai, and Hiromichi Ohta*
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Both lipase-catalyzed lactonization and yeast-mediated reduction were effective as the key-step for introduction of chiral center existing in (*R*)-recifeiolide.