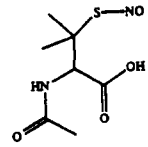
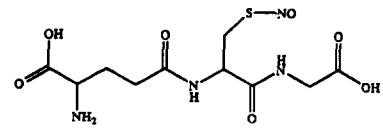
BioMed. Chem. **1995**, *3*, 1

CHEMICAL MECHANISMS UNDERLYING THE VASODILATOR AND PLATELET ANTI-AGGREGATING PROPERTIES OF S-NITROSO-N-ACETYL-DL-PENICILLAMINE AND S-NITROSOGLUTATHIONE, S.C. Askew, A.R. Butler, F.W. Flitney, G.D. Kemp, and I.L. Megson, *School of Chemistry and School of Biological and Medical Sciences, University of St. Andrews, Scotland, KY16 9ST.*

Abstract: Both the title compounds show vasodilator properties of different magnitude. Reasons for this are discussed. The former readily decomposes to release NO in the presence of Cu (II) ions and the latter may release NO following enzymatic fission of the glutamyl bond. This could have implications on its ability to inhibit aggregation of platelets.



SNAP

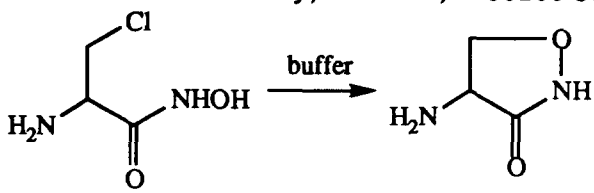


GSNO

BioMed. Chem. **1995**, *3*, 11

Inactivation of γ -Aminobutyric Acid Aminotransferase by *L*-3-Chloroalanine Hydroxamate

Richard B. Silverman* and Gregory T. Olson
Department of Chemistry and Department of Biochemistry, Molecular Biology, and Cell Biology, Northwestern University, Evanston, IL 60208-3113



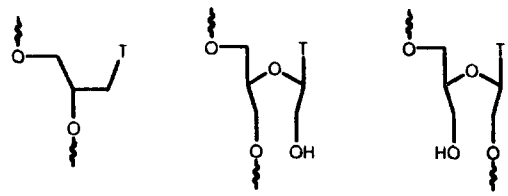
L-3-Chloroalanine hydroxamate inactivates GABA aminotransferase by initial buffer-catalyzed conversion to cycloserine.

BioMed. Chem. **1995**, *3*, 19

Synthesis and Evaluation of Oligodeoxynucleotides Containing Acyclic Nucleosides: Introduction of Three Novel Analogues and A Summary

Poul Nielsen, Lars H. Dreiøe and Jesper Wengel*

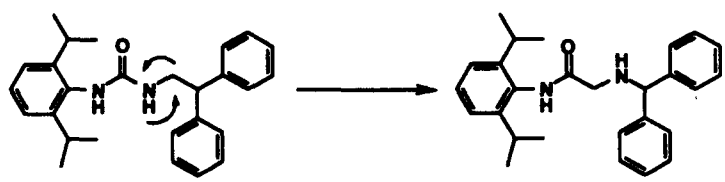
Department of Chemistry, Odense University, DK-5230 Odense M, Denmark



BioMed. Chem. **1995**, *3*, 29

BIOISOSTERISM IN DRUG DESIGN: IDENTIFICATION OF AND STRUCTURE-ACTIVITY RELATIONSHIPS IN A SERIES OF GLYCINE ANILIDE ACAT INHIBITORS, **W. H. Roark*, J. Padia, G. L. Bolton, C. J. Blankley, A. D. Essenburg, R. L. Stanfield, R. F. Bousley, B. R. Krause and B. D. Roth. Parke-Davis Pharmaceutical Research, Division of Warner-Lambert Company, 2800 Plymouth Road, Ann Arbor, Michigan 48105**

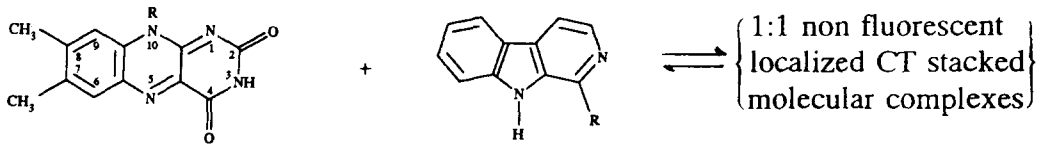
Extension of a bioisosteric replacement-based drug design strategy to a series of urea ACAT inhibitors by transposition of the N′-nitrogen and α-carbon has resulted in a novel series of glycine anilides which possess potency and efficacy equivalent to the parent ureas.



Molecular Associations of Flavins with Betacarbolines and Related Indoles.

BioMed. Chem. **1995**, *3*, 41

M.A.Muñóz, C.Carmona, J.Hidalgo, P.Guardado and M.Balón.
Departamento Química Física, Facultad Farmacia, Universidad de Sevilla, 41012 Sevilla, Spain.



ANTIVIRAL EFFECT OF OLIGODEOXYRIBONUCLEOTIDE PHOSPHOROTHIOA TE COMPLEMENTARY TO HUMAN IMMUNODEFICIENCY VIRUS.

BioMed. Chem. **1995**, *3*, 49

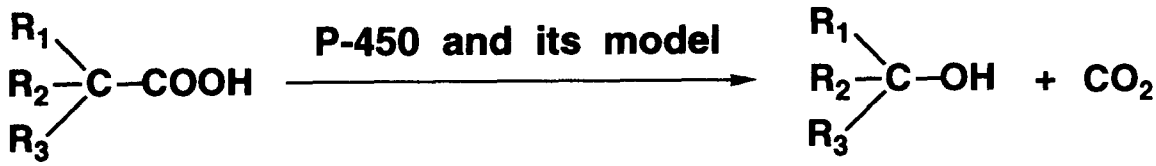
S.-G.Kim[1], T.Hatta[1], S.Tsukahara[1], H.Nakashima[2], N.Yamamoto[2], Y.Shoji[3], K.Takai[1], and H. Takaku[1]. [1]Department of Industrial Chemistry, Chiba Institute of Technology, Tsudanuma, Narashino, Chiba 275,Japan, [2]Department of Microbiology, Tokyo Medical and Dental University School of Medicine, Yushima, Bunkyo-ku, Tokyo 113,Japan, and [3]Institute of Medical Science, ST. Marianna University School of Medicine, Sugao, Miyamae-ku, Kawasaki, Kanagawa 261, Japan.

Five different target sites with HIV-1 RNA were selected for studies of inhibition of HIV-1 replication by antisense oligonucleotides. The effect of antisense oligonucleotide length on inhibiting virus replication was also investigated.

APPLICATION OF CHEMICAL CYTOCHROME P-450 MODEL SYSTEMS TO STUDY ON DRUG METABOLISM (VIII) : NOVEL METABOLISM OF CARBOXYLIC ACIDS VIA OXIDATIVE DECARBOXYLATION

BioMed. Chem. **1995**, *3*, 55

Masakatsu Komuro, Tsunehiko Higuchi and Masaaki Hirobe*, Faculty of Pharmaceutical Sciences, University of Tokyo, Hongo, Bunkyo-ku, Tokyo 113, Japan



Diastereoselective Dieckmann Condensation Suitable for Introduction of the Duocarmycin A C6 Center: Development of a Divergent Strategy for the Total Synthesis of Duocarmycins A and SA.

BioMed. Chem. **1995**, *3*, 67

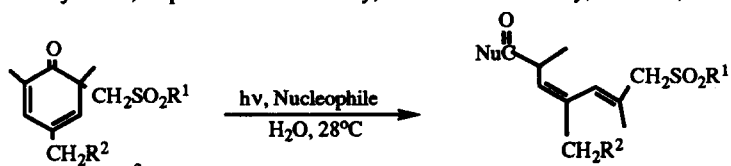
Dale L. Boger* and Takahide Nishi, *Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, La Jolla, California 92037.*

Abstract. The development of a divergent approach to the introduction of the C-ring of the duocarmycin A and SA alkylation subunits is detailed and includes the development of a diastereoselective Dieckmann condensation suitable for introduction of the duocarmycin A C6 center with control of its relative and natural *R* absolute configuration.

BioMed. Chem. **1995**, *3*, 79

A NEW PROCEDURE FOR THE LABELING OF PEPTIDES AND AMINO ACIDS.

Derek H. R. Barton,* Taewoo Kwon, and Dennis K. Taylor, Department of Chemistry, Texas A&M University, College Station, TX 77843, U.S.A. and Marmood Tajbakhsh, Department of Chemistry, Mazandran University, Babolsar, Iran.



R^1 = Ph or CH_3, R^2 = CO_2H or $P(O)(OCH_3)_2$ Nucleophile = animo acid or polypeptide

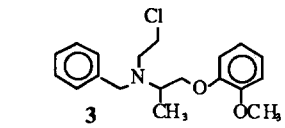
A new and high yielding method for the labeling of the terminal amino functionality of peptides and amino acids is demonstrated.

BioMed. Chem. **1995**, *3*, 85

Synthesis and α-Adrenoreceptor Blocking Properties of Phenoxybenzamine-Related (2-chloroethyl)-(2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl)-(2-phenoxyethyl) amines.

Dario Giardinà*, Mauro Crucianelli, Gabriella Marucci, Fiorella Paparelli and Carlo Melchiorre§. *Department of Chemical Sciences, University of Camerino, 62032 Camerino, Italy, and §Department of Pharmaceutical Sciences, University of Bologna, 40126 Bologna, Italy.*

The pharmacological profile of several irreversible α-adrenoreceptor antagonists, structurally related to WB4101 and Phenoxybenzamine, as **3** and **8**, reveals a potential ability to discriminate between α_1-adrenoreceptor subtypes.



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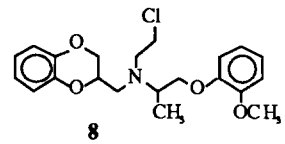


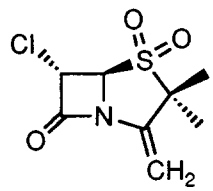
8

BioMed. Chem. **1995**, *3*, 95

Synthesis and Elastase Inhibitory Activity of 6α-Chloro-2,2-Dimethyl-3α-(Pivaloyloxy)methylpenam Sulfone, 6α-Chloro-2,2-Dimethyl-3-*exo*-Methylenepenam Sulfone, Benzyl and Methyl 6α-Substituted Penicillanate Sulfones

C.E. Boschetti, O.A. Mascaretti, J.A. Cricco and O.A. Roveri. *IQUIOS and Departaento de Química Biológica; Universidad de Rosario, Casilla de Correo 991, 2000 Rosario, Argentina*

We have investigated the inhibition of the porcine pancreatic elastase (PPE, EC 3.4.21.36) by the novel compounds **4**, **5**, selected 6α-substituted penicillanates and 6α-,3α-substituted penam derivatives. The new sulfones **4** and **5** have been shown to be poor porcine pancreatic elastase inhibitors.



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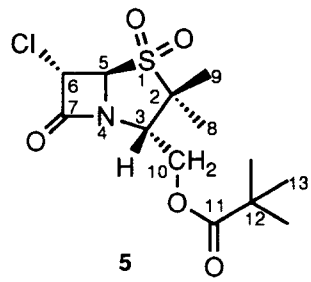

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BioMed. Chem. **1995**, *3*, 101

SYNTHESIS AND PROPERTIES OF OLIGONUCLEOTIDES CONTAINING THE MUTAGENIC BASE O^4-BENZYL-THYMIDINE.

C. Fàbrega R. Eritja* N.D. Sinha M.K. Dosanjh and B. Singer, *CID-CSIC.08034 Barcelona, Spain Millipore Corporation, Bedford, MA 01730, USA, Donner-LBL,University of California at Berkeley. CA 94720.USA.*

Abstract : The preparation of oligonucleotides containing O^4-benzylthymidine is reported.