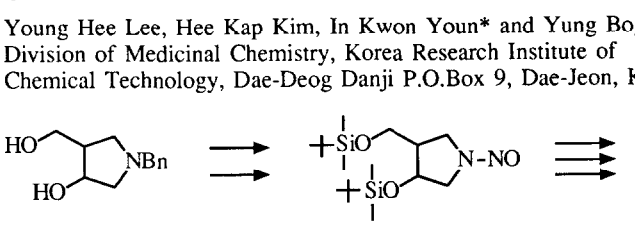
GRAPHICAL ABSTRACTS

BioMed. Chem. Lett. **1991**, *1*, 287

SYNTHESIS OF NOVEL 1'-NITROGEN REPLACED CARBOCYCLIC THYMIDINE ANALOGS AS POTENTIAL ANTI AIDS AGENTS

Young Hee Lee, Hee Kap Kim, In Kwon Youn* and Yung Bog Chae
Division of Medicinal Chemistry, Korea Research Institute of Chemical Technology, Dae-Deog Danji P.O.Box 9, Dae-Jeon, Korea

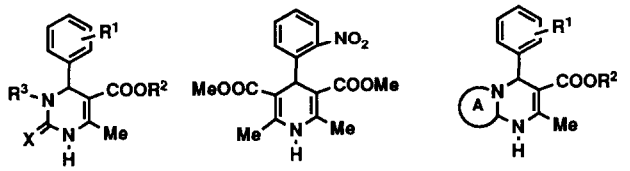


2a, X = OH
2b, X = N_3
2c, X = F

The racemic, 1'-nitrogen substituted 3'-hydroxy, 3'-azido and 3'-fluoro-2'3'-dideoxy carbocyclic pyrimidine nucleosides were synthesized

BioMed. Chem. Lett. **1991**, *1*, 291

Dihydropyrimidine Calcium Channel Blockers 5[1]: Bicyclic Dihydropyrimidines As Potent Mimics Of Dihydropyridines
Karnail S. Atwal* and Suzanne Moreland, Bristol-Myers Squibb Pharmaceutical Research Institute, P. O. Box 4000, Princeton, N. J. 08543-4000. Bicyclic dihydropyrimidines **3** imitating the *in vitro* potency of dihydropyridine calcium channel blockers (e.g., nifedipine **2**) are described.



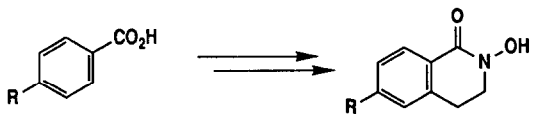
1 **2 (Nifedipine)** **3**

BioMed. Chem. Lett. **1991**, *1*, 295

CYCLIC ARYL HYDROXAMIC ACIDS: SYNTHESIS AND INHIBITION OF 5-LIPOXYGENASE

Raj N. Misra*, C. M. Botti, M. F. Haslanger, J.R. Engebrecht, E. M. Mahoney and C. P. Ciosek, Jr.
Bristol-Myers Squibb Pharmaceutical Research Institute, PO Box 4000, Princeton, NJ 08543-4000

Cyclic aryl hydroxamic acids **11a,b** were prepared from acids **5a,b** and found to be significantly less potent as 5-LO inhibitors than their acyclic analogs suggesting that hydroxamic acids may be inhibiting 5-LO by a primary mechanism other than iron(III) chelation.



5 a: R = -H
b: R= -$(CH_2)_4$Ph

11a: R = -H
b: R= -$(CH_2)_4$Ph

BioMed. Chem. Lett. **1991**, *1*, 299

SYNTHESIS OF A TRI-PHOSPHORYLATED PEPTIDE CORRESPONDING TO THE MAJOR AUTOPHOSPHORYLATION SITE IN THE INSULIN RECEPTOR: CONFORMATIONAL COMPARISON WITH ITS NON-PHOSPHORYLATED ANALOGUE. Alain Chavanieu,* Hanitra Naharisoa,* Frédéric Heitz,[$] Bernard Calas,* and Florin Grigorescu*, Centre de Recherche de Biochimie Macromoléculaire, Unité 249 INSERM - Unité Propre 8402 CNRS, Centre National de la Recherche Scientifique, Route de Mende, BP 5051 34033 Montpellier Cedex, FRANCE and Laboratoire de Physicochimie des Systèmes Polyphasés, Unité de Recherche Associée 330 CNRS, Centre National de la Recherche Scientifique, Route de Mende, BP 5051 34033 Montpellier Cedex, FRANCE.

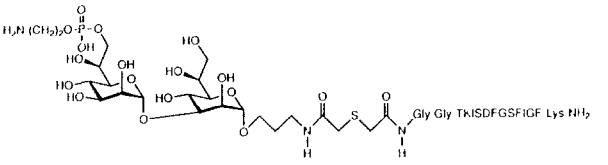
Abstract: Using FmocTyrO(PO_3Bzl_2), we synthesized and purified a triphosphosphorylated peptide corresponding to the major autophosphorylation site of the insulin receptor. By CD spectroscopy, conformational comparison of the phosphorylated peptide with its non-phosphorylated analogue showed a rigidification of the peptide backbone when the aromatic side chains bear negatively charged groups.

BioMed. Chem. Lett. **1991**, *1*, 303

PREPARATION OF A WELL-DEFINED SUGAR-PEPTIDE CONJUGATE: A POSSIBLE APPROACH TO A SYNTHETIC VACCINE AGAINST *NEISSERIA MENINGITIDIS*

G.J.P.H. Boons*, P. Hoogerhout†, J.T. Poolman†, G.A. van der Marel* and J.H van Boom*
* *Gorlaeus Laboratories, P.O. Box 9502, 2300 RA Leiden, The Netherlands*
† *RIVM, Unit for Bacterial Vaccine Development, P.O. Box 1, 3720 BA Bilthoven, The Netherlands*

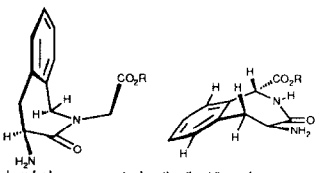
Sugar-Peptide conjugate



BioMed. Chem. Lett. **1991**, *1*, 309

AN ACYLIMINIUM ION ROUTE TO CIS AND TRANS "ANTI" Phe-Gly DIPEPTIDE MIMETICS

Gary A Flynn*, Timothy P Burkholder, Edward W Huber, and Philippe Bey
Marion Merrell Dow Research Institute,2110 E Galbraith Rd ,
Cincinnati, Ohio 45215



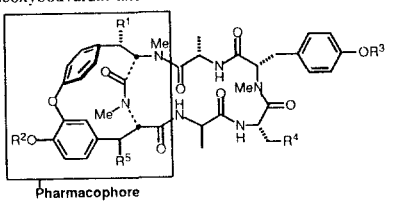
The synthesis of two series of constrained mimetics of the dipeptide Phenylalanyl-glycine oriented in the "anti" conformation (χ_1=180°) are described These benzolactams differ about the geometry of the internal amide bond (ω_1=0° or 180°) Solution NMR studies support specific conformational assignments for these mimetics

BioMed. Chem. Lett. **1991**, *1*, 313

EVALUATION OF BOUVARDIN, DEOXYBOUVARDIN, AND RA-I - RA-VII PARTIAL STRUCTURES: REASSIGNMENT OF THE PHARMACOPHORE

Dale L. Boger,* James B. Myers, Daniel Yohannes, *Department of Chemistry Purdue University, West Lafayette, IN 47907, USA.* Paul A. Kitos, Oranart Suntornwat, and John C Kitos, *Department of Biochemistry, University of Kansas, Lawrence, KS 66045, USA*

Abstract: The in vitro cytotoxic evaluation of a set of key partial structures and analogs of deoxybouvardin and RA-I - RA-VII is detailed and has permitted the reassignment of the agent pharmacophore.



BioMed. Chem. Lett. **1991**, *1*, 317

THE STRUCTURAL FEATURE OF S_1' SUBSITE OF CARBOXYPEPTIDASE A

Dong H. Kim*, Yong Soon Shin and Kyung Bo Kim
Department of Chemistry, POSTECH, P.O.Box 125, Pohang 790-600, Korea

The principal substrate recognition subsite (S_1' subsite) of Carboxypeptidase A is a pocket-shaped cavity having a rectangular opening with effective dimensions of 3.5 Å X 7.1 Å.

BioMed. Chem. Lett. **1991**, *1*, 323

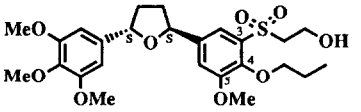
THE FUNCTION OF S_1' SUBSITE POCKET OF CARBOXYPEPTIDASE A

Dong H. Kim and Kyung Bo Kim
Department of Chemistry, POSTECH, P.O.Box 125, Pohang 790-600, Korea

In the binding of a ligand to Carboxypeptidase A, no hydrophobic interactions appear to be involved between the S_1' subsite and the side chain of the C-terminal amino acid of the ligand. Rather the side chain is held inside the pocket physically by the aromatic ring of Tyr-248.

BioMed. Chem. Lett. **1991**, *1*, 327

SYNTHESIS AND BIOLOGICAL ACTIVITY OF MK 287 (L-680,573): A POTENT, SPECIFIC AND ORALLY ACTIVE PAF RECEPTOR ANTAGONIST. Soumya P. Sahoo*, Donald W. Graham, John Acton, Tesfaye Biftu, Robert L. Bugianesi, Narindar N. Girotra, Chan-Hwa Kuo, Mitree M. Ponpipom, Thomas W. Doebber, Margaret S. Wu, San-Bao Hwang, My-Hanh Lam, D. Euan MacIntyre, Thomas J. Bach, Silvi Luell, Roger Meurer, Philip Davies, Alfred W. Alberts and John C. Chabala. *Merck Sharp & Dohme Research Laboratories, P.O Box 2000, Rahway, NJ 07065*



MK 287 (L-680,573)

An enantioselective synthesis of MK 287 (L-680,573), a member of a family of *trans*-2,5-diaryltetrahydrofurans, and its biological activity are described.