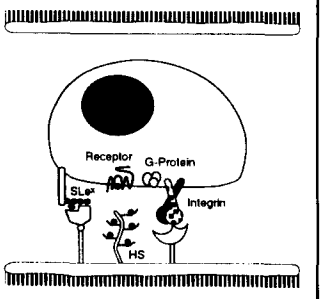
BioMed. Chem. **1995**, *3*, 207

Carbohydrate-Dependent Cell Adhesion

M. Fukuda, the La Jolla Cancer Research Foundation, La Jolla, California 92037 and the Department of Biochemistry, Institute of Medical Science, University of Tokyo.

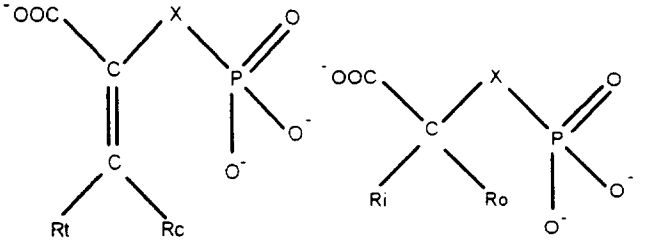
Abstract: The recent progress in the studies on the roles of cell surface carbohydrates in cell adhesion is summarized.



BioMed. Chem. **1995**, *3*, 217

QSAR of Competitive Inhibitors of Phosphoenolpyruvate Carboxylase

Ricardo L. Mancera, Ariadne G. Gómez and Alejandro Pisanty
Departamento de Física y Química Teórica, Facultad de Química. UNAM. 04510 México DF.

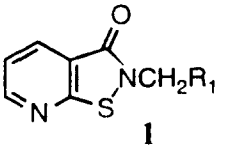


The competitive inhibitors of PEP carboxylase are studies by the Hansch method, molecular mechanics, and MNDO/AM1 calculations in order to establish QSAR. Discriminant analyses of some structural properties also suggest the existence of two classes of inhibitors with possibly different mechanisms of interaction.

BioMed. Chem. **1995**, *3*, 227

Metabolism Resistant Isothiazolone Inhibitors of Cartilage Breakdown

S. W. Wright,* J. J. Petraitis,† D. G. Batt, R. L. Corbett, S. V. Di Meo, B. Freimark, J. V. Giannaras, M. J. Orwat, D. J. Pinto, M. A. Pratta, S. R. Sherk, H. F. Stampfli, J. M. Williams, R. L. Magolda, E. C. Arner.
The Du Pont Merck Pharmaceutical Company, Wilmington, Delaware 19880



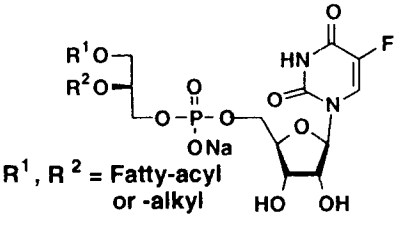
1

A series of pyridoisothiazolones (**1**) is reported that inhibit the IL-1β induced breakdown of cartilage in an organ culture assay. Compounds **1** are resistant to reductive metabolism and appear to inhibit cartilage breakdown by interfering with the proteolytic activation of matrix matalloproteinase.

BioMed. Chem. **1995**, *3*, 235

NUCLEOSIDES AND NUCLEOTIDES. 137. ANTITUMOR PHOSPHOLIPIDS WITH 5-FLUOROURIDINE AS A CYTOTOXIC POLAR-HEAD: SYNTHESIS OF 5'-PHOSPHATIDYL-5-FLUOROURIDINES BY TRANSPHOSPHATIDYLATION CATALYZED BY PHOSPHOLIPASE D

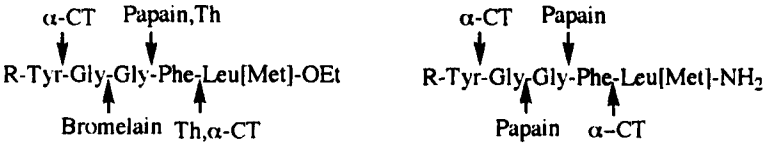
S. Shuto,[a] H. Itoh,[b] A. Sakai,[b] K. Nakagami,[b] S. Imamura,[c] and A. Matsuda*, [a] *[a]Faculty of Pharmaceutical Sciences, Hokkaido University, Sapporo 060, Japan. [b]Institute for Life Science Research and [c]Diagnostic Division, Asahi Chemical Industry Co., Ltd., Shizuoka 410-23, Japan.*



BioMed. Chem. **1995**, *3*, 245

ENZYMATIC PEPTIDE SYNTHESIS IN LOW WATER CONTENT SYSTEMS: ENZYMATIC SYNTHESIS OF [LEU] AND [MET]-ENKEPHALIN DERIVATIVES, P. Clapés*, J.L. Torres, P. Adlercreutz[1], *Unit for Peptide Chemistry and Biochemistry (C.I.D., C.S.I.C.). Barcelona .Spain. [1]Department of Biotechnology. Chemical Center University of Lund. Sweden.*

ABSTRACT: The total enzymatic synthesis synthesis of [Leu] and [Met]-enkephalin derivatives is reported.



BioMed. Chem. **1995**, *3*, 257

IDENTIFICATION OF A PEPTIDE INHIBITOR AGAINST GLYCOSOMAL PHOSPHOGLYCERATE KINASE of TRYPANOSOMA BRUCEI BY A SYNTHETIC PEPTIDE LIBRARY APPROACH.

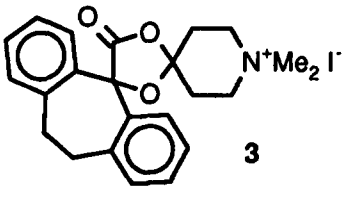
Isabelle Samson[†], Jef Rozenski[†], Luc Kerremans[†], Jos Van Beeumen[‡], Arthur Van Aerschot[†] and Piet Herdewijn[†]*. [†]Laboratory of Medicinal Chemistry (F.F.W.), Rega Institute for Medical Research, Katholieke Universiteit Leuven, Minderbroedersstraat 10, B-3000 Leuven, Belgium. [‡]Laboratory of Microbiology, Rijks Universiteit Gent, K.L. Ledeganckstraat 35, B-9000 Gent.

The pentapeptide NH_2-Asn-Trp-Met-Met-Phe-OH was identified as a selective inhibitor of glycosomal phosphoglycerate kinase of *T. brucei* using a solid phase synthetic peptide library approach. Isolation of the interacting peptide beads was done with streptavidin coated magnetic beads.

BioMed. Chem. **1995**, *3*, 267

Synthesis, Muscarinic Blocking Activity and Molecular Modeling Studies of 4–DAMP–Related Compounds, Maurizio Recanatini,* Vincenzo Tumiatti, Roberta Budriesi, Alberto Chiarini, Maria L. Bolognesi and Carlo Melchiorre,* *Department of Pharmaceutical Sciences, University of Bologna, Via Belmeloro 6, I-40126 Bologna, Italy*, Piera Sabatino, *Department of Chemistry "G. Ciamician", Via Selmi 2, 40126 Bologna, Italy*

The synthesis and muscarinic blocking activity of a key set of analogues of 4–DAMP are detailed. On the basis of the structure of semirigid compounds, like **3**, we built two pharmacophoric frames accounting for the receptor binding of all members of the series.



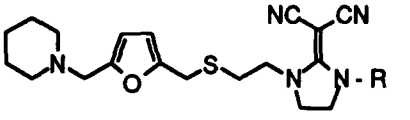
3

BioMed. Chem. **1995**, *3*, 279

SYNTHESIS OF 2-IMIDAZOLIDINYLIDENE PROPANEDINITRILE DERIVATIVES AS STIMULATORS OF GASTROINTESTINAL MOTILITY. PART 3.

Setsuya Sasho, Hiroyuki Obase,* Takio Kitazawa, Hiromi Nonaka, Rika Yoshizaki, Akio Ishii, and Katsuichi Shuto

Pharmaceutical Research Laboratories, Kyowa Hakko Kogyo Co., Ltd., Shimotogari, Nagaizumi, Shizuoka, Japan. 411



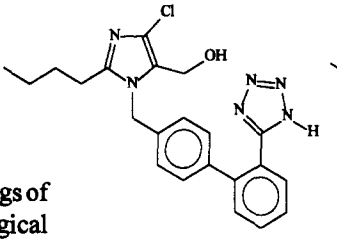
12: R = Bzl;**13**: R = 4-F-Bzl

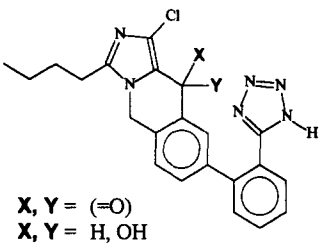
Abstract: Compounds **1 2** and **1 3** showed an excellent potency in enhancement of gastrointestinal motility both in vitro and in vivo together with a negligible histamine H_2-receptor blocking property.

BioMed. Chem. **1995**, *3*, 289

The Conformation and Activity Relationship of Fused Analogs of DuP753

Sung-eun Yoo*, Young Ah Shin, Sung-Hou Lee, and Nak-Jung Kim
Korea Research Institute of Chemical Technology, P.O.Box 9, DaeDoeg Science Complex, Dae-Jeon, Korea





X, Y = (=O)
X, Y = H, OH
X, Y = H, H

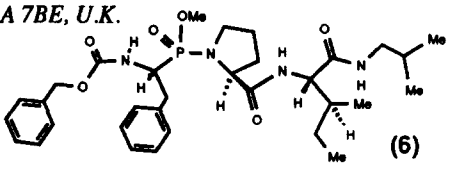
We have prepared three conformationally restricted analogs of DuP753 and have investigated the conformation-biological activity relationship of these compounds.

BioMed. Chem. **1995**, *3*, 297

Synthesis of Peptide Analogues Containing Phosphonamidate Methyl Ester Functionality: HIV-1 Proteinase Inhibitors Possessing Unique Cell Uptake Properties.

Nicholas P. Camp,[a] David A. Perrey,[a] Derek Kinchington,[b] Paul C. D. Hawkins[a] and David Gani.[a] *
[a]*School of Chemistry, The Purdie Building, The University, St. Andrews, Fife, KY16 9ST, U.K.*
[b]*Department of Virology, St. Bartholomews Hospital, London, EC1A 7BE, U.K.*

Phosphonamidate methyl ester containing peptide analogues [*eg.* (**6**)] are moderate inhibitors of the HIV-1 proteinase but show a marked ability to enter virus infected cells.



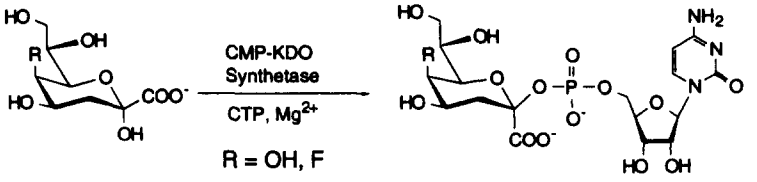
(6)

BioMed. Chem. **1995**, *3*, 313

CMP-KDO SYNTHETASE: OVERPRODUCTION AND APPLICATION TO THE SYNTHESIS OF CMP-KDO AND ANALOGS

Takeshi Sugai, Chun-Hung Lin, Gwo-Jenn Shen, and Chi-Huey Wong*
Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, CA 92037

CMP-KDO synthetase was cloned and overexpressed in *E. coli*. Application of this enzyme to the synthesis of CMP-KDO and analogs was studied. A mechanism of the CMP-KDO decomposition was proposed.



BioMed. Chem. **1995**, *3*, 321

MOLECULAR MECHANICS AND DYNAMICS STUDIES ON TWO STRUCTURALLY RELATED AMIDE-MODIFIED DNA BACKBONES FOR ANTISENSE TECHNOLOGY

V. Fritsch, A. De Mesmaeker, A. Waldner, J. Lebreton, M.J.J. Blommers, R. M. Wolf *
Central Research Laboratories, Ciba-Geigy Ltd., CH-4002 Basel, Switzerland

The effect of the replacement of the natural phosphodiester linkage in the DNA strand of RNA· DNA hybrid duplexes by either of the two amide linkages **3** or **4** has been investigated by molecular mechanics (MM) and dynamics (MD) simulations.