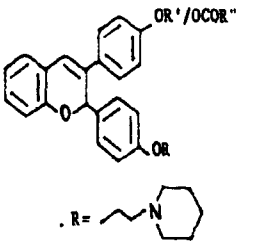
SYNTHESIS AND POST - COITAL CONTRACEPTIVE ACTIVITY OF ETHER AND ESTER ANALOGUES OF 2, 3 - DIARYL - 2H - 1 - BENZOPYRANS

Bioorg. Med. Chem. **1995**, *3*, 1417

Kanchan Hajela, Kamal K. Kapoor and Randhir S. Kapil,
Regional Research Laboratory, Jammu Tawi - 180 001, INDIA.

Several ether and ester analogues of 2,3 - diaryl - 2H - 1 - benzopyrans have been synthesised and tested for their pregnancy inhibiting activity in immature rats. Esters were found to be better anti-implantation agents than ethers.

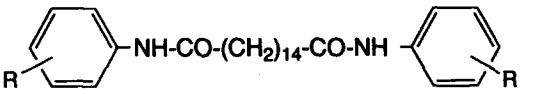


Specific Inhibitors for the Glycolytic Enzymes of *Trypanosoma brucei*

Bioorg. Med. Chem. **1995**, *3*, 1423

M . Trinquier, J. Perie, M. Willson*, M. Callens and F. Opperdoes
Chimie Organique Biologique URA 470, Universite Paul Sabatier, 31062 Toulouse, France

Abstract—The synthesis of powerful inhibitors for the glycolytic enzymes in *Trypanosoma brucei* are described and their specificity determined by comparison with corresponding mammalian enzymes.

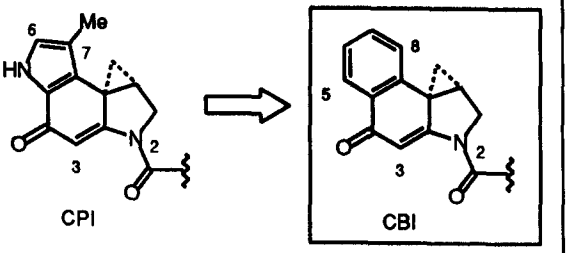


1,2,9,9a-Tetrahydrocyclopropa[c]benz[e]indol-4-one (CBI) Analogs of CC-1065 and the Duocarmycins: Synthesis and Evaluation.

Bioorg. Med. Chem. **1995**, *3*, 1429

Dale L. Boger, Weiya Yun, and Nianhe Han,
Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, La Jolla, California 92037.

Abstract. A full study of analogs of the potent antitumor antibiotics CC-1065 and the duocarmycins which incorporate the CBI alkylation subunit are detailed.



Synthesis of a glycoprotein carrying a N-linked core pentasaccharide

Bioorg. Med. Chem. **1995**, *3*, 1455

I. Matsuo, Y. Nakahara, Y. Ito, T. Nukada, Y. Nakahara and T. Ogawa
The Institute of Physical and Chemical Research (RIKEN) Wako-shi, Saitama, Japan

Glycopeptide 1 which corresponds to amino acid 78-80 of α-chain of human chorionic gonadotropin (hCG) was synthesized

$$\begin{matrix} \text{Man}\alpha1\rightarrow6 \\ \text{Man}\alpha1\rightarrow3 \end{matrix}\text{Man}\beta1\rightarrow4\text{GlcNAc}\beta1\rightarrow4\text{GlcNAc}\beta1\rightarrow\underset{(78)\ (79)\ (80)}{\text{Asn-Val-Thr}}$$

Bioorg. Med. Chem. **1995**, *3*, 1465

Molecular Dynamics Simulations of m3-muscarinic Receptor Activation and QSAR Analysis

Francesca Fanelli, M. Cristina Menziani and Pier G. De Benedetti*

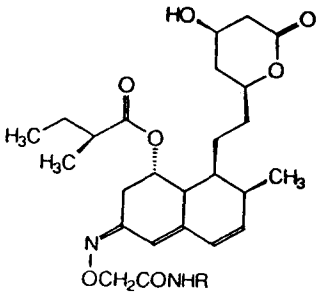
Dipartimento di Chimica, Università di Modena, via Campi 183, 41100 Modena, Italy

Bioorg. Med. Chem. **1995**, *3*, 1479

3-Hydroxy-3-Methylglutaryl-Coenzyme A Reductase Inhibitors: Oxime Ether Analogs of Pravastatin.

Noor Turabi, Richard A. DiPietro, Subbarao Mantha, Carl Ciosek, Lois Rich and Jan-I Tu
Diagnostics Drug Discovery, Bristol-Myers Squibb, P.O. Box 4000, Princeton, NJ 08543-4000

A series of oxime ether analogs of Pravastatin have been prepared and their biological activities evaluated. One member of the series was found to be several times more potent than Pravastatin.



Bioorg. Med. Chem. **1995**, *3*, 1485

INHIBITION OF RAT LIVER MITOCHONDRIAL MONOAMINE OXIDASE BY HYDRAZINE-THIAZOLE DERIVATIVES: STRUCTURE-ACTIVITY RELATIONSHIPS.

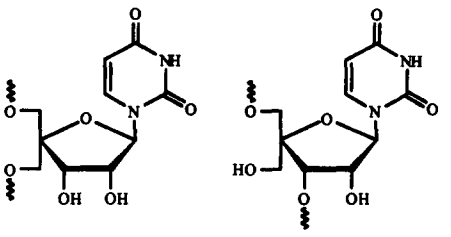
G. Raciti, [a] P. Mazzone, [a] A. Raudino, [b] G. Mazzone, [c] and A. Cambria [a] *
[a]Istituto di Scienze Biochimiche e Farmacologiche, and the [b] Dipartimento di Scienze Chimiche, and the [c] Istituto di Chimica Farmaceutica of the Università di Catania, Viale A. Doria, 6, 95125 Catania, Italy.

Abstract: The relationship between chemical structure and inhibitory activity of some hydrazine-thiazole derivatives on rat liver mitochondria monoamine oxidase was studied. The structure-activity relationship of MAO inhibitors was established in relation to hydrophobic, electronic, and steric hindrance parameters. A mechanism of enzyme inhibition was proposed based on the calculation of HOMO energies.

Bioorg. Med. Chem. **1995**, *3*, 1493

OLIGONUCLEOTIDE ANALOGUES CONTAINING 4'-*C*-(HYDROXYMETHYL)URIDINE: SYNTHESIS, EVALUATION AND MASS SPECTROMETRIC ANALYSIS

Kenneth Due Nielsen,[a] Finn Kirpekar,[b]
Peter Roepstorff[b] and Jesper Wengel*[a]
Department of Chemistry[a] and Department of Molecular Biology,[b]
Odense University, DK-5230 Odense M, Denmark

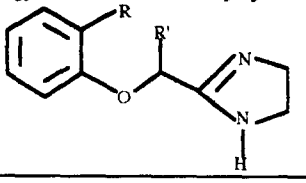


Separation of α-Adrenergic and Imidazoline/Guanidinium Receptive Sites (IGRS) Activity in a Series of Imidazoline Analogues of Cirazoline

Bioorg. Med. Chem. **1995**, *3*, 1503

Livio Brasili,[a]* Maria Pigini,[b] Gabriella Marucci,[b] Wilma Quaglia,[b] Luca Malmusi,[a] Stephen M. Lanier[c] and Biljana Lanier[c]

[a]Dipartimento di Scienze Farmaceutiche, Università di Modena, Via Campi 183, 41100 Modena, Italy, [b]Dipartimento di Scienze Chimiche, Università di Camerino, 62032 Camerino (MC), Italy and [c]Department of Pharmacology, Medical University of South Carolina, Charleston, South Carolina 29425.



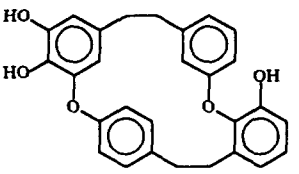
A number of cirazoline (R=cyclopropyl, R'=H) derivatives were synthesized and tested for α-adrenergic and IGRS activities. Thanks to their IGRS selectivity, some of them represent novel and valuable pharmacological tools for characterization and elucidation of the physiological role of these novel sites.

The Biological Activity of Cyclic Bis(bibenzyls): A Rational Approach

Bioorg. Med. Chem. **1995**, *3*, 1511

György M. Keserű* and Mihály Nógrádi

Department of Chemical Information Technology, Technical University of Budapest, Research Group for Alkaloid Chemistry of the Hungarian Academy of Sciences,POB 91, H-1521, Budapest, Hungary



marchantin A

The biological activities reported for marchantin A, a natural cyclic bis(bibenzyl) were studied in comparison with cepharanthine, a therapeutically useful bisbenzyl isoquinoline alkaloid.

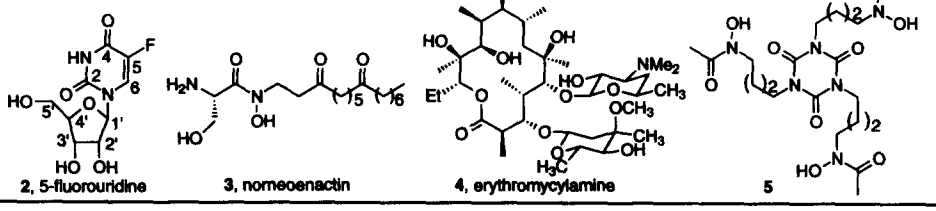
Design, Synthesis, and Biological Evaluation of Isocyanurate-Based Anti-Fungal and Macrolide Antibiotic Conjugates: Iron Transport-Mediated Drug Delivery

Bioorg. Med. Chem. **1995**, *3*, 1519

Manuka Ghosh and Marvin J. Miller*

Department of Chemistry and Biochemistry, University of Notre Dame, Notre Dame, IN 46556

Synthesis and activity of conjugates of **2**, **3**, and **4** with the synthetic isocyanurate-based siderophore **5**, is described.



2, 5-fluorouridine 3, nomeoenactin 4, erythromycylamine 5

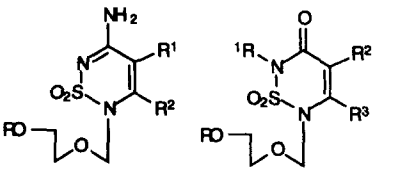
New 1,2,6-Thiadiazine Dioxide Acyclonucleosides: Synthesis and Antiviral Evaluation

Bioorg. Med. Chem. **1995**, *3*, 1527

A. I. Esteban,[a] O. Juanes,[a] S. Conde,[a] P. Goya,[a] A. Martínez,[a]* and E. DeClercq[b]

[a]Instituto de Química Médica (C.S.I.C.), Juan de la Cierva, 3. 28006 Madrid, Spain. [b]Rega Institute for Medical Research, Katholieke Universiteit Leuven, B-3000 Leuven, Belgium.

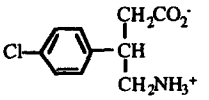
1. Synthesis of new 1,2,6-thiadiazine dioxide acyclonucleosides
2. Lipase-mediated deacylation
3. Biological test as antiviral agents



Bioorg. Med. Chem. **1995**, *3*, 1537

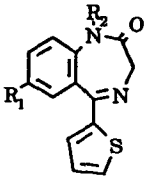
Structure-Affinity Relationships of Baclofen and 3-Heteroaromatic Analogues, Bernard Pirard,*[a] Pierre-Alain Carrupt,[b] Bernard Testa,[b] Ruey-Shiuan Tsai,[b] Pascal Berthelot,[c] Claude Vaccher,[c] Michel Debaert,[c] and François Durant[a]; [a]*Laboratoire de Chimie Moléculaire Structurale, Facultés Universitaires Notre-Dame de la Paix, rue de Bruxelles, 61, B-5000 Namur, Belgium,* [b]*Institut de Chimie Thérapeutique, Section de Pharmacie, Université de Lausanne, CH-1015 Lausanne, Switzerland,* [c]*Laboratoire de Pharmacie Chimique, UFR de Pharmacie, rue du Professeur Laguesse, 3, F-59006 Lille Cedex, France*

The lipophilic of and electronic properties of baclofen and selected 3-heteroaromatic analogues have been studied, gaining insight into the structural features necessary for $GABA_B$ affinity.



Bioorg. Med. Chem. **1995**, *3*, 1547

A BEHAVIORALLY SELECTIVE CLASS OF THIOPHENE-CONTAINING BENZODIAZEPINE RECEPTOR LIGANDS, *L. T. Schove*, S.-W. Chen, M. Beatty, P. A. Maguire, M. F. Davies, and Gilda H. Loew*, Molecular Research Institute, 845 Page Mill Road, Palo Alto, California 94304



Abstract: In a continued effort to probe the role of the aromatic rings of classical 1,4-benzodiazepine ligand pharmacology, a series of new thiophene containing benzodiazepine receptor (BDZR) ligands (**5a-5d**) were synthesized. The affinities in two central nervous system regions, cerebellum, in which a single "Type I" BDZR could be labeled; and spinal cord, in which we have previously demonstrated some receptor heterogeneity, were determined. These compounds were assessed for their compliance with a recently developed three dimensional pharmacophore for recognition and activation of the "Type I" BDZR. Since the compounds all complied and showed reasonable affinity, the behavioral profile of one of them (**5a**) at five *in vivo* endpoints was determined.