

## GRAPHICAL ABSTRACTS

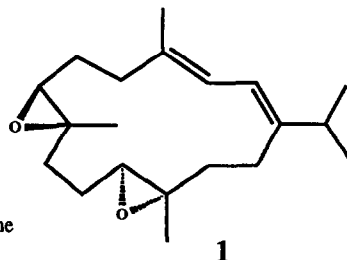
### NEW CEMBRADIENE DITERPENOIDS FROM AN UNDESCRIBED CARIBBEAN GORGONIAN OF THE GENUS *EUNICEA*

J. Shun and W. Fenical\* Scripps Institution of Oceanography, University of California, San Diego, La Jolla, CA 92093-0236

T. J. Stout and J. Clardy\* Department of Chemistry-Baker Laboratory, Cornell University, Ithaca, NY 14853-1301.

Cembradiene 1, and five related cembradiene derivatives, have been isolated from a Caribbean gorgonian coral of the genus *Eunicea*. The structures of the new compounds were described by spectroscopic means and through chemical interconversions. Cembradiene 1 is converted to two of the major metabolites by acid catalysed hydrolysis of the epoxide functionalities.

*Tetrahedron*, 1993, 49, 515



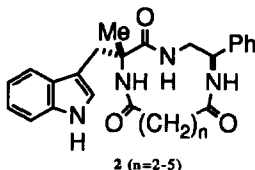
### SYNTHESIS OF CONFORMATIONALLY CONSTRAINED MACROCYCLIC ANALOGS OF THE POTENT AND SELECTIVE CCK-B ANTAGONIST CI-988.

Gary L. Bolton\*, Bruce D. Roth, and B.K. Trivedi

Department of Medicinal Chemistry, Parke-Davis Pharmaceutical Research Division, Warner-Lambert Company, 2800 Plymouth Road, Ann Arbor, Michigan 48105.

A series of 11 to 14-membered macrocyclic compounds (2) were prepared and evaluated as potential CCK-B antagonists.

*Tetrahedron*, 1993, 49, 525

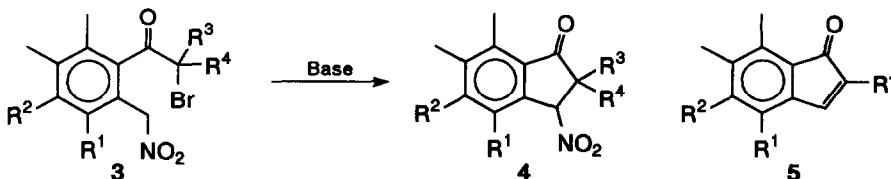


### ORTHO-SELECTIVE SIDE-CHAIN NITRATION OF $\alpha$ -BROMOACYLPOLYMETHYLBENZENES AND ITS APPLICATION TO THE SYNTHESIS OF INDAN-1-ONE AND INDEN-1-ONE DERIVATIVES

Takashi KEUMI, Kazunori MATSUURA, Norihiro NAKAYAMA, Toshiaki TSUBOTA, Toshio MORITA, Ichiro TAKAHASHI, and Hidehiko KITAJIMA,\* Department of Applied Chemistry and Biotechnology, Faculty of Engineering, Fukui University, Bunkyo, Fukui 910, Japan

Indan-1-ones 4 are obtained from 3 when treated with 1 eq. of base. Instead, Inden-1-ones 5 are obtained by use of 2 eq. of base.

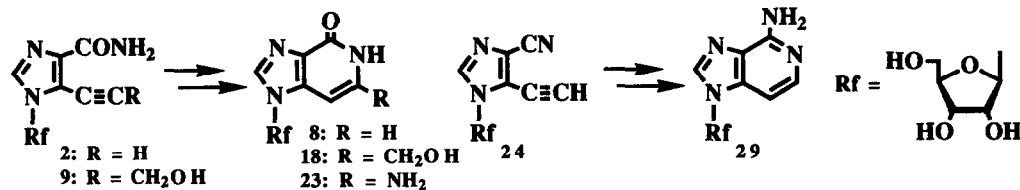
*Tetrahedron*, 1993, 49, 537



**NUCLEOSIDES AND NUCLEOTIDES. 116. CONVENIENT SYNTHESSES OF 3-DEAZAADENOSINE, 3-DEAZAGUANOSINE, AND 3-DEAZAINOSINE VIA RING CLOSURE OF 5-ETHYNYL-1- $\beta$ -D-RIBOFURANOSYLIMIDAZOLE-4-CARBOXAMIDE OR -CARBONITRILE**

N. Minakawa and A. Matsuda

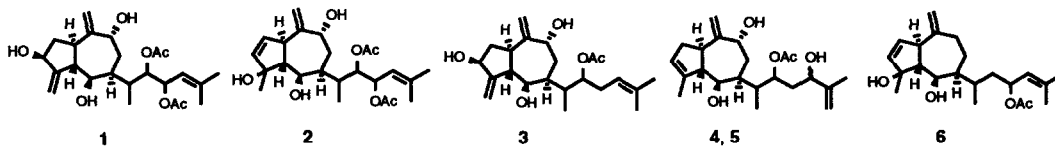
Faculty of Pharmaceutical Sciences, Hokkaido University, Kita-12, Nishi-6, Kita-ku, Sapporo 060, Japan



An easy chemical synthesis of 3-deazapurine nucleosides, 8, 18, 23, and 29 is described.

**New and Highly Oxidised Hydroazulenoid Diterpenes from the Tropical Marine Brown Alga *Dictyota volubilis***

Anthony D. Wright, Gabriele M. König and Otto Sticher, Department of Pharmacy, Swiss Federal Institute of Technology (ETH) Zürich, CH-8092, Zürich, Switzerland



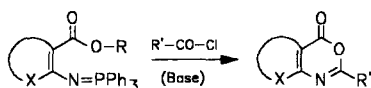
**A New, General Approach for the Synthesis of Heteroannulated 3,1-Oxazin-4-ones**

Heinrich Wamhoff<sup>a\*</sup>, Stefan Herrmann<sup>a</sup>, Stephan Stolben<sup>a</sup> and Martin Nieger<sup>b</sup>

a) Institut für Organische Chemie und Biochemie der Universität, Gerhard-Domagk-Str 1, D-5300 Bonn, Germany

b) Institut für Anorganische Chemie der Universität, Gerhard-Domagk-Str 1, D-5300 Bonn, Germany

Heteroannulated 3,1-oxazin-4-ones are obtained from the  $\beta$ -(N-*N*-iminophosphoryliden)-enamino esters of various heterocycles

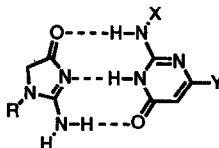


**Molecular Recognition of Creatinine**

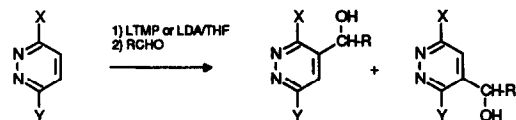
Philippe Bühlmann, Martin Badertscher, and Wilhelm Simon\*

Department of Organic Chemistry, Swiss Federal Institute of Technology (ETH), CH-8092 Zürich

Hosts for the complexation of the 5-membered ring compound creatinine on the basis of hydrogen bonds were synthesized and the complexes characterized spectroscopically.

**ON THE METALATION OF 3-SUBSTITUTED AND 3,6-DISUBSTITUTED PYRIDAZINES**

Alain Turck, Nelly Pié, Bruno Ndzi, and Guy Quéguiner, LCOH, INSA-IRCOF, Mont St. Aignan (France)  
 Norbert Haider and Herbert Schuller, Institute of Pharmaceutical Chemistry, University of Vienna (Austria)  
 Gottfried Heinisch, Institute of Organic and Pharmaceutical Chemistry, University of Innsbruck (Austria)



X = NHCOtBu, NHCOCtBu, OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>; Y = H, Cl; R = CH<sub>3</sub>, Ph

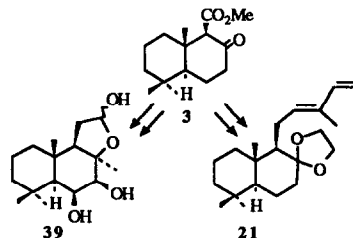
Starting from 3,6-dichloropyridazine, a series of new pyridazines bearing lithiation-directing groups in  $\alpha$ -position to a ring nitrogen atom was prepared. Metalation employing lithium alkylamides afforded *ortho*-substituted pyridazines. The regioselectivity was found to depend on the nature of the metalating agent.

**STUDIES TOWARD THE TOTAL SYNTHESIS OF POLYOXYGENATED LABDANES PRELIMINARY APPROACHES.**Denyse Herlem<sup>a</sup>, Jocelyne Kervagoret<sup>a</sup>, Dahai Yu<sup>a</sup>, Françoise Khuong-Huu<sup>a\*</sup> and Andrew S. Kende<sup>b</sup>

<sup>a</sup>CNRS, Institut de Chimie des Substances Naturelles, 91198 Gif-sur-Yvette, France.

<sup>b</sup>Department of Chemistry, University of Rochester, Rochester N.Y. 14627, USA.

Using the keto-ester **3** as a starting material, methods are developed for the successive introduction of hydroxy groups at C-6, C-7 and C-8 of a decalin system, as well as for elaboration of a C-9 pentadiene chain in a preliminary approach to the total synthesis of trihydroxylabdadienes. Diene **21** and hemiacetal **39** were obtained.



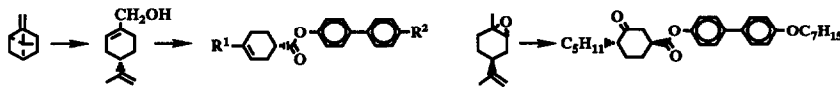
### ENANTIOSELECTIVE SYNTHESIS OF CHIRAL LIQUID CRYSTALLINE COMPOUNDS FROM MONOTERPENES

Qian Wang,<sup>a</sup> Shi Yan Fan,<sup>a</sup> Henry N. C. Wong,<sup>a\*</sup> Zhong Li,<sup>b</sup>

Bing M. Fung,<sup>b</sup> Robert J. Twieg<sup>c</sup> and Huu Tinh Nguyen<sup>d</sup>

<sup>a</sup> Department of Chemistry, The Chinese University of Hong Kong, Shatin, New Territories, Hong Kong; <sup>b</sup> Department of Chemistry and Biochemistry, The University of Oklahoma, Norman, Oklahoma 73019-0370, U.S.A.; <sup>c</sup> IBM Almaden Research Center, San Jose, California 95120-6099, U.S.A.; <sup>d</sup> Centre de Recherche Paul Pascal, F 33600 Pessac Cedex, France.

Some chiral liquid crystalline compounds containing 1,4-disubstituted cyclohexene rings or a *trans*-2,5-disubstituted cyclohexanone ring have been synthesized enantioselectively from monoterpenes.



### PREDICTION AND STRUCTURE OF POLYMORPHIC LATTICE INCLUSION COMPOUNDS OF 2,7-DIMETHYLTRICYCLO[4.3.1.0<sup>3,8</sup>]UNDECANE-*syn*-2,*syn*-7-DIOL

Allison T. Ung, Roger Bishop\*, Donald C. Craig, Ian G. Dance, and Marcia L. Scudder  
School of Chemistry, The University of New South Wales, Kensington, New South Wales 2033, Australia.

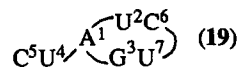
As predicted, the title diol **1** forms two different lattice inclusion compounds with 1,2-dichlorobenzene **2**, namely the ellipsoidal clathrate type (1)<sub>4</sub>(2), and the helical tubulate type (1)<sub>3</sub>(2). Either may be prepared as the only product using appropriate conditions. The latter polymorph is transformed into the other on heating in a sealed system.



### Synthesis of Heptameric Lariat-RNA Modelling the Lariat Introns of Group II and Nuclear Pre-mRNA Processing Reaction (Splicing)

Christian Sund, Peter Agback & Jyoti Chattopadhyaya\*

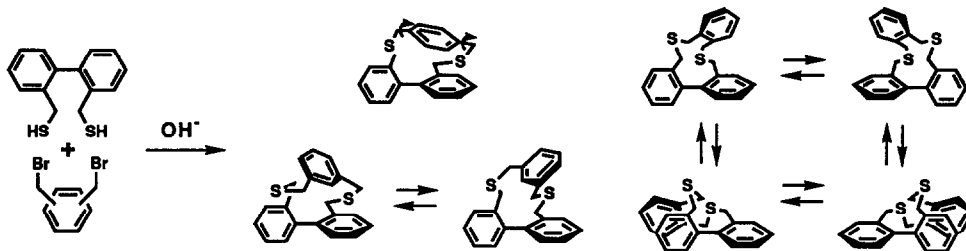
Department of Bioorganic Chemistry, Box 581, Biomedical Center,  
University of Uppsala, S-751 23 Uppsala, Sweden



A new convergent synthetic procedure has been developed for the preparation of lariat heptaribonucleotide **19** (containing a pentanucleotidyl loop) modelling the natural lariat formed in the penultimate step of the Group II and nuclear pre-mRNA processing reaction (Splicing). <sup>1</sup>H-NMR (500 MHz) and <sup>31</sup>P-NMR (202.4 MHz) studies have unequivocally established the purity and the structural integrity of the lariat **19**.

**SYNTHESIS OF DITHIA[3.3]BIPHENYLENO(2,2')(1,2)-, (1,3)-, (1,4)CYCLOPHANES AND THEIR ATROPISOMERISM AND DYNAMIC STEREOCHEMISTRY**

Y.-H. Lai,<sup>\*</sup> S.-Y. Wong and D. H.-Y. Chang, Department of Chemistry, National University of Singapore, Republic of Singapore 0511



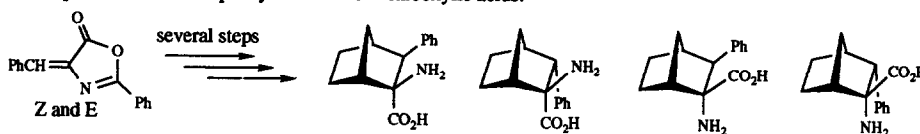
**SYNTHESIS OF THE FOUR d,l-PAIRS OF 2-AMINO-3-PHENYLNORBORNANE-2-CARBOXYLIC ACIDS II.**

**THE USE OF 5(4H)-OXAZOLONES AS DIENOPHILES.** C. Catiuela<sup>\*</sup>, M. D. Díaz de Villegas and J. A. Mayoral.

Department of Organic Chemistry, I. C. M. A. Universidad de Zaragoza-CSIC. 50009 Zaragoza. Spain.

A. Avenoza and J. M. Peregrina. Department of Organic Chemistry, Colegio Universitario de La Rioja. 26001 Logroño. Spain.

The cycloadducts of Diels-Alder reaction between (Z)- and (E)-5(4H)-oxazolones and cyclopentadiene were transformed into the four d,l-pairs of 2-amino-3-phenylnorbomane-2-carboxylic acids.

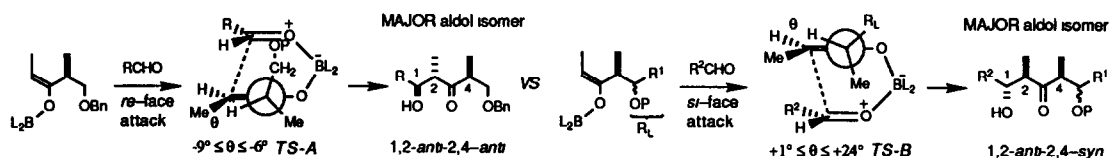


**ORIGINS OF  $\pi$ -FACE SELECTIVITY IN THE ALDOL REACTIONS OF CHIRAL E-ENOL BORINATES: A COMPUTATIONAL STUDY USING TRANSITION STATE MODELLING.**

A. Vulpetti,<sup>a</sup> A. Bernardi,<sup>a</sup> C. Gennari,<sup>a\*</sup> J. M. Goodman,<sup>b</sup> and I. Paterson<sup>b\*</sup>

<sup>a</sup>Dipartimento di Chimica Organica e Industriale, Università di Milano, via Venezian 21, 20133 Milano, Italy

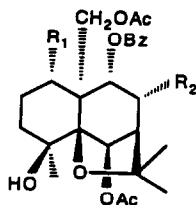
<sup>b</sup>University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW, UK.



**NEW SESQUITERPENES WITH ANTIFEEDANT ACTIVITY FROM *MAYTENUS CANARIENSIS* (CELASTRACEAE)**

Antonio G.González, Ignacio A.Jiménez, Angel G.Ravelo, José Gavin and Isabel L.Bazzocchi C.P.N.O. Antonio González, Universidad de La Laguna, Tenerife, Canary Islands, Spain

Five new sesquiterpenes were isolated and identified. Their structures and absolute configurations were determined by means of chemical correlations and spectroscopic and CD studies. These sesquiterpenes showed antifeedant activity against *Spodoptera littoralis* at a concentration of 10µg/ml.



	1	2	4	6	7
R <sub>1</sub>	OAc	OH	OAc	OH	OBz
R <sub>2</sub>	OAc	OAc	OH	OBz	OBz

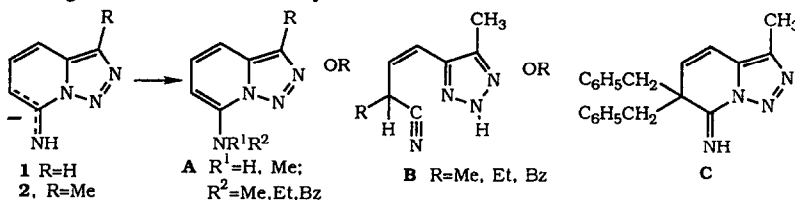
**TRIAZOLOPYRIDINES. 14.<sup>1</sup> SUBSTITUTION REACTIONS OF 7-AMINO [1,2,3] TRIAZOLO-[1,5-A]PYRIDINES.**

A Asensio, B. Abarca, Departamento de Quimica Organica, Facultad de Farmacia, Universidad de Valencia, Spain

G. Jones, Department of Chemistry, Keele University, England

M.B.Hursthouse, K.M.A. Malik, SERC Crystallography Unit, Cardiff, Wales

Reaction between the anions 1 or 2 and electrophiles can give products of type A, B, or C, showing in some cases C-alkylation of an aromatic amine

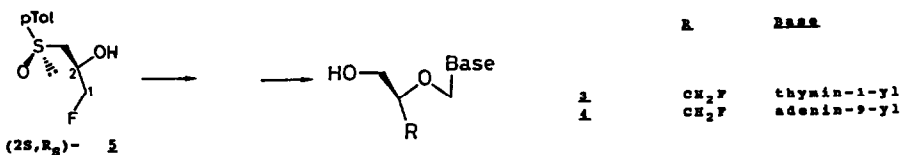


**OPTICALLY PURE AND FLUORO SUBSTITUTED ACYCLOVIR ANALOGUES**

Pierfrancesco Bravo<sup>a\*</sup>, Giuseppe Resnati<sup>b\*</sup>, and Fiorenza Viani<sup>b</sup>

<sup>a</sup>Dip. Chim. Pol., <sup>b</sup>CNR-Centro Studio Sost. Org. Nat., P.za L. da Vinci 32, I-20133 Milano, Italy

The nucleosides **3**, **4** were synthesized in enantiomerically pure form starting from (2S,R<sub>G</sub>)-**5**.

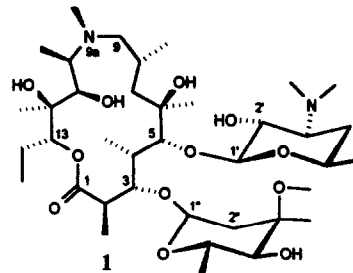


**Conformational Analysis of AZITHROMYCIN by Nuclear Magnetic Resonance Spectroscopy and Molecular Modelling**

 G. Lazarevski<sup>a,\*</sup>, M. Vinković<sup>a</sup>, G. Kobrehel<sup>a</sup>, S. Đokić<sup>a</sup>, B. Metelko<sup>b</sup> and D. Vikić-Topić<sup>b,c</sup>;

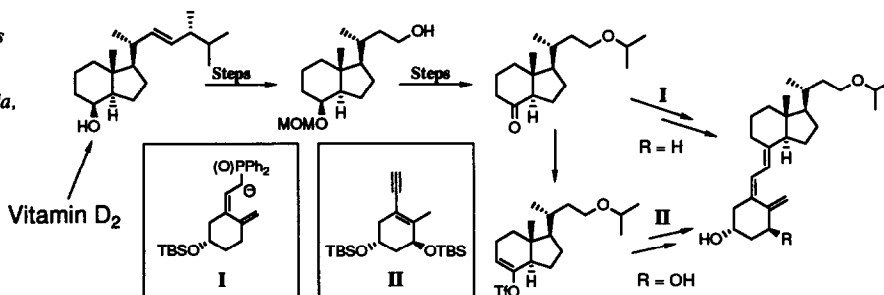
<sup>a</sup>PLIVA - Pharmaceutical, Chemical, Food and Cosmetic Industry, Research Institute, (Croatia), <sup>b</sup>Ruder Bosković<sup>®</sup> Institute, (Croatia), <sup>c</sup>National Institutes of Health, Laboratory of Analytical Chemistry, (USA)

The conformation of azithromycin **1** in the solution was determined by NMR spectroscopy and molecular mechanics calculations and compared with its crystal structure and with some erythromycin derivatives. In solution **1** exists predominantly in a "folded-in" conformation in the C-3 to C-5 region, whereas its crystal state conformation is "folded-out".


**SYNTHESIS OF 24-OXAVITAMIN D<sub>3</sub> AND 1 $\alpha$ -HYDROXY-24-OXAVITAMIN D<sub>3</sub>**

Luis A. Sarandeses, María José Vallés, Luis Castedo, and Antonio Mourifo\*

Departamento de Química Orgánica y Sección de Alcaloides del C.S.I.C., Universidad de Santiago de Compostela, 15706 Santiago de Compostela, Spain


**THE SELENO-ACETAL ROUTE TO 1 $\alpha$ -HYDROXY-VITAMIN D ANALOGUES:**
**SYNTHESIS OF 24-OXA-1 $\alpha$ -HYDROXY-VITAMIN D<sub>3</sub>, A USEFUL VITAMIN D METABOLISM PROBE**

Martin J. Calverley,\* Chemical Research Department, Leo Pharmaceutical Products, DK-2750 Ballerup, Denmark

Stephen Strugnell and Glenville Jones, Department of Biochemistry, Queen's University, Kingston, Ontario, Canada K7L 3N6

