

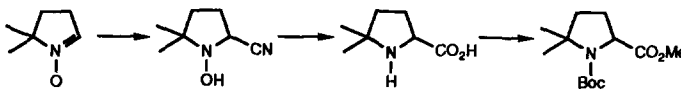
GRAPHICAL ABSTRACTS

Tetrahedron Lett. 1993, 34, 381

A CONVENIENT SYNTHESIS OF THE CONFORMATIONALLY CONSTRAINED AMINO ACID 5,5-DIMETHYLPROLINE

Victoria W. Magaard, Robert M. Sanchez, John W. Bean[†] and Michael L. Moore*
Departments of Peptidomimetic Research and Physical and Structural Chemistry[†],
SmithKline Beecham Pharmaceuticals, King of Prussia, PA, 19406, USA

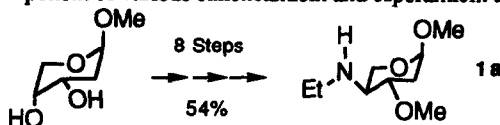
5,5-Dimethylproline was designed to induce a *cis*-peptide bond when incorporated into peptides. In a model dipeptide, it exists 90% as the *cis* isomer.



Tetrahedron Lett. 1993, 34, 385

An Efficient Stereoconvergent Synthesis of the 4-Ethylamino-2,4-dideoxy-L-threo-pentopyranose Component of the Calicheamicins and Esperamicins. Eugene A. Mash* and Sandeep K. Nimkar,
Department of Chemistry, The University of Arizona, Tucson, Arizona 85721 USA

A synthesis of **1a**, a component of various calicheamicin and esperamicin antibiotics, is described.

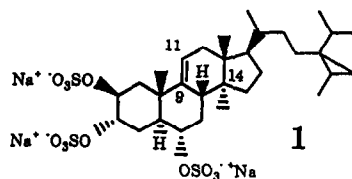


Tetrahedron Lett. 1993, 34, 389

IBISTEROL SULFATE, A NOVEL HIV-INHIBITORY SULFATED STEROL FROM THE DEEP WATER SPONGE *TOPSENTIA SP.*

Tawnya C. McKee, John H. Cardellina II, Mark Tischler, Kenneth M. Snader[#] and Michael R. Boyd*
Laboratory of Drug Discovery Research and Development and [#]Natural Products Branch,
Developmental Therapeutics Program, Division of Cancer Treatment, National Cancer
Institute, Bldg 1052, Rm 121, Frederick, MD 21702-1201, USA.

The novel sulfated sterol ibisterol sulfate (**1**) was isolated from the deep water Caribbean sponge *Topsentia sp.* The combination of both a $\Delta^9(11)$ olefin and a methyl group at C-14 has not previously been reported in sponge sterols.



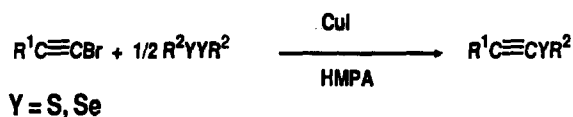
Tetrahedron Lett. 1993, 34, 393

ALKYNYL SULFIDES AND SELENIDES FROM ALKYNYL BROMIDES AND DIORGANOYLCHALCOGENIDES PROMOTED BY COPPER(I) IODIDE

Antonio L. Braga^{*a}, Aurélie Reckziegel^a, Paulo H. Menezes^a, Hélio A. Stefani^b

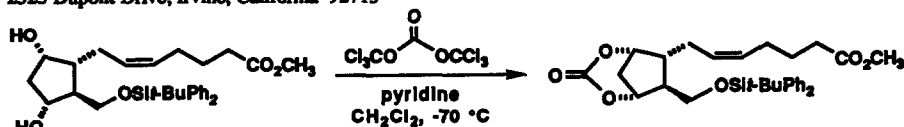
^aDepartamento de Química, Universidade Federal de Santa Maria, 97119-900 - Santa Maria - RS - Brazil

^bInstituto de Química, Universidade de São Paulo - P.O.Box 20780 - São Paulo - SP - Brazil



A Safe and Efficient Method For Conversion of 1,2- and 1,3-Diols to Cyclic Carbonates Utilizing Triphosgene

Robert M. Burk* and Michael B. Roof
Department of Chemical Sciences, Allergan Inc.
2525 Dupont Drive, Irvine, California 92715

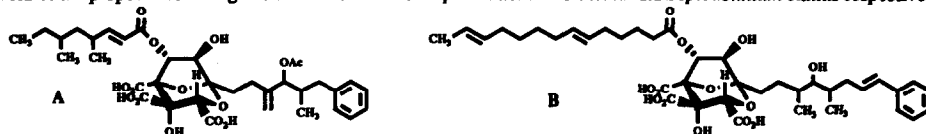


A variety of diols including 1,3-dihydroxy prostanoid intermediates were protected as cyclic carbonates by use of commercially available triphosgene.

THE ZARAGOZIC ACIDS: STRUCTURE ELUCIDATION OF A NEW CLASS OF SQUALENE SYNTHASE INHIBITORS

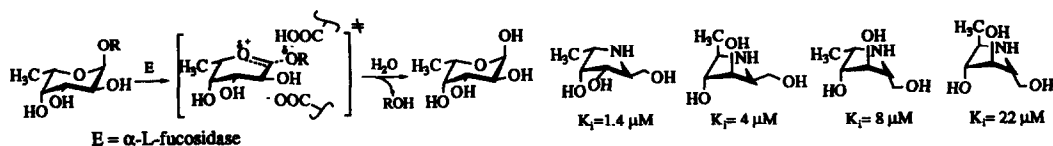
Otto D. Hensens*, Claude Dufresne, Jerrold M. Liesch, Deborah L. Zink, Robert A. Reamer and Frank VanMiddlesworth†
Merck Research Laboratories, P. O. Box 2000, Rahway, NJ 07065; †Present address: Glaxo Research Laboratories, Research Triangle Park, NC 27709

Structures are proposed for zaragozic acids A and B from *Sporormiella intermedia* and *Leptodontium elatius* respectively.



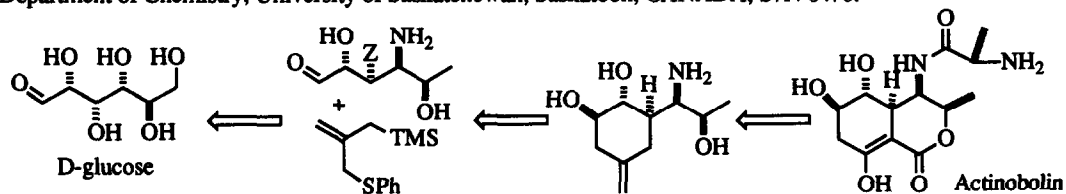
CHEMO-ENZYMATIC SYNTHESIS OF FIVE-MEMBERED AZASUGARS AS INHIBITORS OF FUCOSIDASE AND FUCOSYLTRANSFERASE: AN ISSUE REGARDING THE STEREOCHEMISTRY DISCRIMINATION AT TRANSITION STATES

Yi-Fong Wang, David P. Dumas and Chi-Huey Wong*
Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, La Jolla, CA 92037



THE DIASTEREOSELECTIVE SYNTHESIS OF (+)-ACTINOBOLIN FROM D-GLUCOSE

Dale E. Ward* and Brian F. Kaller
Department of Chemistry, University of Saskatchewan, Saskatoon, CANADA, S7N 0W0.

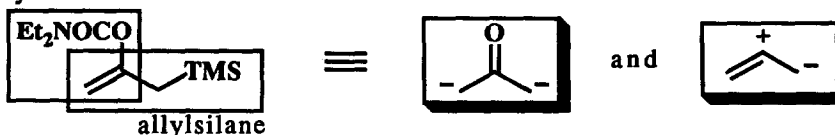


2-(*N,N*-DIETHYL CARBAMOYLOXY)ALLYLSILANE.

DUAL REACTIVITY WITH α,α' -ACETONE DIANION AND ALLENE 1,2-DIPOLE EQUIVALENCY

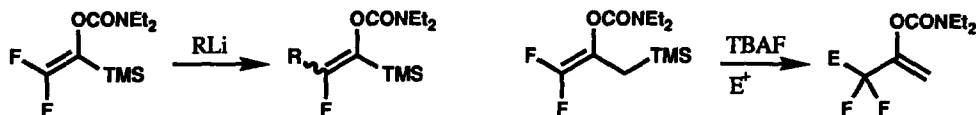
Masao Tsukazaki and Victor Snieckus,* Guelph-Waterloo Centre for Graduate Work in Chemistry, University of Waterloo, Waterloo, Ontario, Canada N2L 3G1

vinyl carbamate



FLUORINATED VINYL CARBAMATES AND CARBAMOYLOXY ALLYLSILANES. α -METALATION, ORGANOLITHIUM ADDITION-ELIMINATION, AND FLUORIDE-MEDIATED ELECTROPHILIC REACTIVITY PATTERNS

John Lee, Masao Tsukazaki and Victor Snieckus*, Guelph-Waterloo Centre for Graduate Work in Chemistry, University of Waterloo, Waterloo, Ontario CANADA N2L 3G1



Structural Elucidation of a Putative Conidial Pigment Intermediate in *Aspergillus Parasiticus*

Daren W. Brown

¹Department of Micro., Immuno. and Mol. Gen., Albany Medical College, Albany, NY 12208

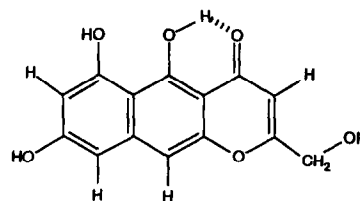
Frank M. Hauser¹, Ruben Tommasi, and Stephen Corlett

Department of Chemistry, State University of New York at Albany, Albany, NY 12222

Joseph J. Salvo^{1,2}

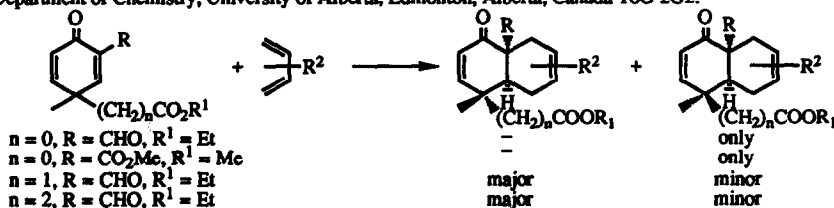
²Environmental Research Center, General Electric Corporate Research and Development, Schenectady, NY 12301

Abstract: A novel, hydroxylated naphtho[2,3-*b*]pyran, parasperone A, has been isolated from a laccase-deficient strain of *Aspergillus parasiticus* which was characterized through spectroscopic means.



Parasperone A

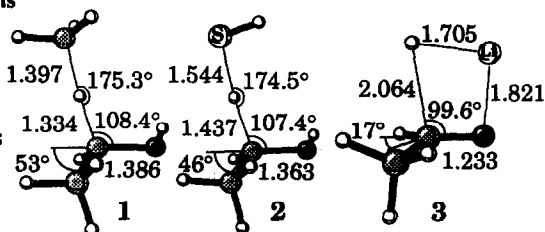
FACIAL SELECTIVITY IN DIELS-ALDER REACTION OF 4,4-DISUBSTITUTED 2,5-CYCLOHEXADIENONES. Hsing-Jang Liu* and Yongxin Han, Department of Chemistry, University of Alberta, Edmonton, Alberta, Canada T6G 2G2.



Transition Structures for Radical Hydrogen Abstractions and Lithium Hydride Additions: Similarities and Divergences

John E. Eksterowicz and K. N. Houk*
Department of Chemistry and Biochemistry, University of California, Los Angeles, California 90024 U. S. A.

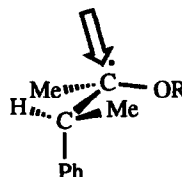
Ab initio transition structures were obtained for the reactions of methane and hydrogen sulfide with the 1-hydroxyethyl radical, 1 and 2, lithium hydride with acetaldehyde, 3, hydrogen sulfide with 2-hydroxy-3-methylbutan-2-yl, and lithium hydride with methyl isopropyl ketone.



Transition States for the Hydrogen Atom Abstraction Reaction by α -Oxygen Substituted Radicals: Felkin-Anh Rule in Radical Chemistry

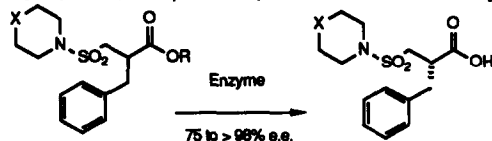
Wolfgang Damm, Joachim Dickhaut, Frank Wetterich, and Bernd Giese*
Institute of Organic Chemistry, University of Basel, St. Johanns-Ring 19, CH-4056 Basel, Switzerland

Ab initio calculations show that alkoxyalkyl radicals are attacked via a Felkin-Anh transition state. This explains the observed stereoselectivity.



ENZYME-CATALYZED SYNTHESIS OF OPTICALLY PURE β -SULFONAMIDOPROPIONIC ACIDS. USEFUL STARTING MATERIALS FOR P-3 SITE MODIFIED RENIN INHIBITORS

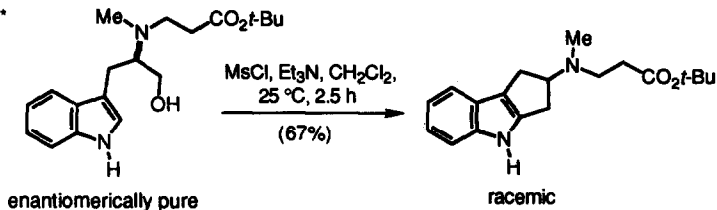
Hormoz Mazdiyasi, ^a Donald B. Konopacki, ^a Daniel A. Dickman, ^{a,*} and Thomas M. Zydowsky ^{b,*}
Abbott Laboratories, ^a D-45 L, Process Research Chemistry, PPD, AP-9B and ^b D-47 V, PPD, AP-10, Abbott Park, IL 60064



The preparation of several racemic β -sulfonamidopropionate esters is described. Enzymatic hydrolysis provided the (S)-acids.

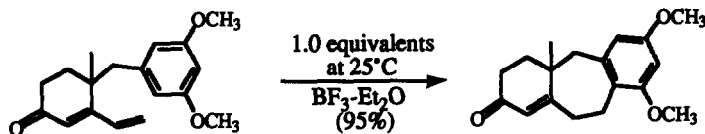
A Stereochemical Test of the Mechanism of Electrophilic Substitution in 3-Substituted Indoles

A. Ganesan and Clayton H. Heathcock*
Department of Chemistry,
University of California,
Berkeley, CA 94720



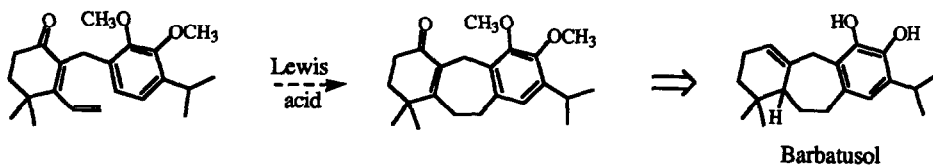
The Use of Conjugated Dienones in Friedel-Crafts Annulations. G. Majetich, Y. Zhang, T. L. Feltman, and V. Belfoure, The Department of Chemistry, *The University of Georgia*, Athens, Georgia 30602.

Lewis acid-activated conjugated dienones add to electron-rich arenes to produce tricyclic compounds containing a central seven-membered ring.



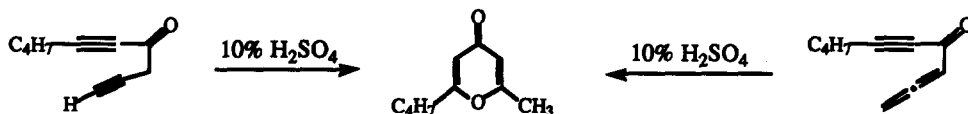
The Total Synthesis of (±)-Barbatusol. G. Majetich, Y. Zhang, T. L. Feltman, and S. Duncan, Jr., The Department of Chemistry, *The University of Georgia*, Athens, Georgia 30602.

A nine-step synthesis of the naturally occurring hypotensive diterpene barbatusol featuring a Friedel-Crafts annulation is reported.



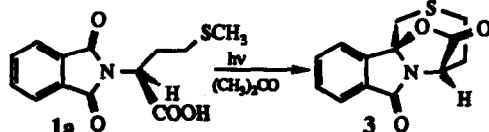
A Convenient Synthesis of Di- and Trisubstituted γ -Pyrones. G. Majetich and Y. Zhang, The Department of Chemistry, *The University of Georgia*, Athens, Georgia 30602. Geoffrey Dreyer, *SmithKline Beecham Pharmaceuticals*, King of Prussia, PA 19406

Treatment of ketodienes or allenynes with hot aqueous acid results in the facile formation of di- or trisubstituted γ -pyrones. The mechanism of this new process was also established.



PHOTOCHEMISTRY OF N-PHTHALOYL DERIVATIVES OF METHIONINE

A. G. Griesbeck, H. Mauder, I. Müller, E.-M. Peters, K. Peters, H. G. von Schnering; Institute of Organic Chemistry, University of Würzburg, Am Hubland, D-8700 Würzburg, Germany



Triplet sensitized photolysis of *N*-phthaloyl methionine **1a** leads to the tetracyclic product **3** via PET lactonization. Photodecarboxylation and PET reactions are also described for the methionine derivatives **1b,c** and **2a,b,c**.

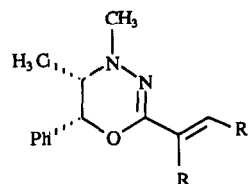
Unexpected Addition and Cycloaddition Products from the Reaction of 2-Alkenyl-4H-1,3,4-Oxadiazines with Dienophiles and Dienes.

Duncan Batty and Yves Langlois

Laboratoire de Synthèse des Substances Naturelles, Institut de Chimie Moléculaire d'Orsay, Bât. 410
Université de Paris-Sud, 91405 ORSAY, Cédex (France)

Reaction of 2-Alkenyl-4H-1,3,4-oxadiazines **3** with electron deficient dienophiles gave linear addition products.
With an electron deficient diene, cycloaddition occurred across the olefinic side chain.

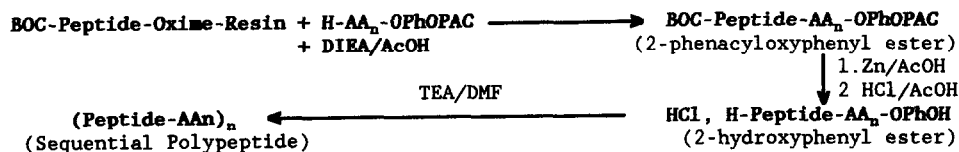
Tetrahedron Lett. **1993**, *34*, 457



3a: R=CH₃, R'=H

3b: R=H, R'=CH₃

A NEW ROUTE TO SEQUENTIAL POLYPEPTIDES COMBINING SOLID PHASE SYNTHESIS AND SOLUTION PEPTIDE SYNTHESIS. Josette Verhaeghe, Eric Lacassie, Marylène Bertrand and Yves Trudelle,* Centre de Biophysique Moléculaire, CNRS, 1A av. Recherche Scientifique, 45071-ORLEANS-Cédex-02, France.

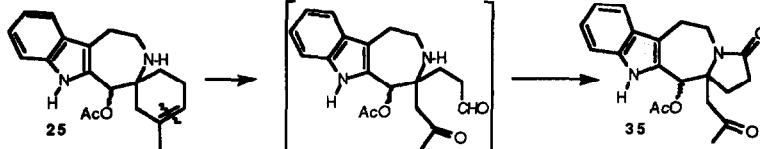


Tetrahedron Lett. **1993**, *34*, 461

Approaches Towards Indolic Analogues of Cephalotaxine through a *spiro*-Cyclohexene Strategy.

Christine Gauvin-Hussenet,

Denis Séraphin, Dominique Cartier, Jean-Yves Laronze* and Jean Lévy. Laboratoire de Transformations et Synthèse de Substances Naturelles, associé au CNRS, Fac. Pharm., 51 rue Cognacq-Jay, 51096 Reims, France.



Tetracycle **35** was prepared through oxidative cleavage of the cyclohexene double bond of the *spiro* derivative **25**.

Tetrahedron Lett. **1993**, *34*, 465

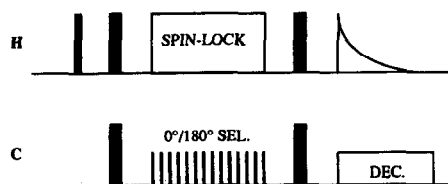
Simplification of Complex Proton NMR Spectra

Jean-Marc Nuzillard* and Jean-Marie Bernassau†

* Faculté de Pharmacie, 51 rue Cognacq-Jay, 51100 Reims, France.

† Sanofi Recherche, rue du Pr. Blayac 34184 Montpellier Cedex 04, France.

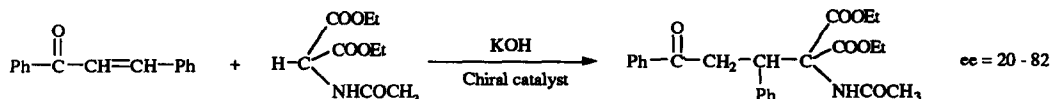
The pulse sequence described here affords the subspectrum of the proton(s) attached to a given carbon atom.



Tetrahedron Lett. **1993**, *34*, 469

ASYMMETRIC MICHAEL REACTION UNDER PTC CONDITION
WITHOUT SOLVENT. IMPORTANCE OF *II*- INTERACTIONS
FOR THE ENANTIOSELECTIVITY.

André Loupy* and Anne Zapparucha, Laboratoire des Réactions Sélectives sur Supports
UA 478 du CNRS, bâtiment 410, Université Paris Sud, 91405 Orsay, FRANCE.

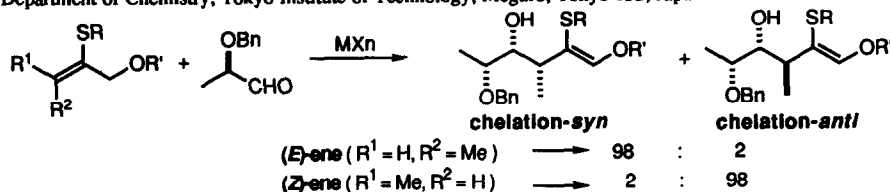


best chiral catalyst = S - binaphthyl ephedrinium salts.

Highly Stereoselective Chelation Controlled Ene-Reaction
of 2-(Alkylthio)allyl Silyl Ethers

Takashi Nakamura, Keiji Tanino, and Isao Kuwajima*

Department of Chemistry, Tokyo Institute of Technology, Meguro, Tokyo 152, Japan

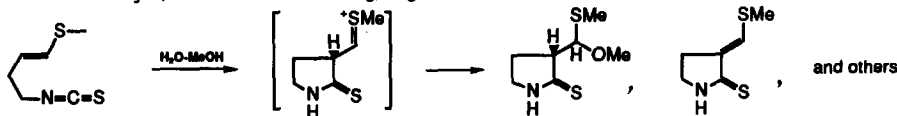


Chemical Studies on 4-Methylthio-3-Butenyl Isothiocyanate from Roots of
Japanese Radish (*Raphanus sativus* L.) in connection with Raphanusanins,
Phototropism-Regulating Substances of Radish Hypocotyls.

S. Kosemura,^a S. Yamamura,^{a*} and K. Hasegawa^b

^a Dept of Chem, Faculty of Science and Technology, Keio University, Hiyoshi, Yokohama 223, Japan

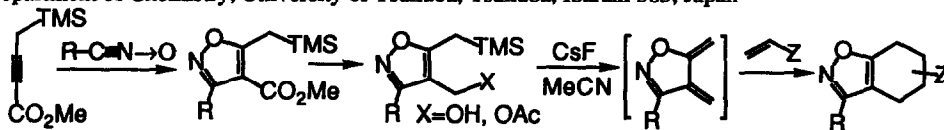
^b Mizutani Plant Ecochemicals Project, Eniwa RPB Center Building, Megumino Kita 3-1-1, Eniwa, Hokkaido 061-13, Japan



Synthesis and Reactions of Methyl (Trimethylsilylmethyl)-
acetylenecarboxylate. A General Method for the
Generation of Di-*exo*-methyleneisoxazolines and Novel
Access to Fused Isoxazoles

Makoto Hojo, Kyoji Tomita, and Akira Hosomi*

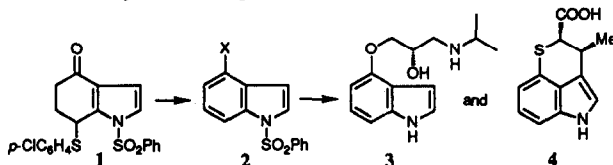
Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305, Japan



**A New, General Entry to 4-Substituted Indoles.
Synthesis of (*S*)-(-)-Pindolol and (\pm)-Chuangxinmycin.**

Hiroyuki Ishibashi,* Takashi Tabata, Kyoko Hanaoka, Hiroko Iriyama, Susumu Akamatsu, and Masazumi Ikeda
Kyoto Pharmaceutical University, Misasagi, Yamashina, Kyoto 607, Japan

A new method for synthesis of 4-substituted indoles (**2**) from the indolone **1** has been developed. The method was applied to the synthesis of (*S*)-(-)-pindolol (**3**) and (\pm)-chuangxinmycin (**4**).

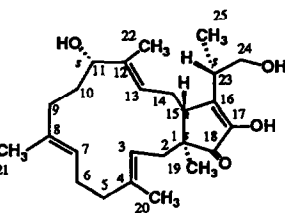


**TERPESTACIN, A NOVEL SYNCYTIUM FORMATION INHIBITOR,
ISOLATED FROM *ARTHRIUM* SPECIES.**

Seiji Iimura, Masahisa Oka*, Yukio Narita, Masataka Konishi, Hiroshi Kakisawa*,
Qi Gao** and Toshikazu Oki

Bristol-Myers Squibb Research Institute, 2-9-3 Shimo-meguro, Meguro-ku, Tokyo 153, Japan;
+ Tsuchiura Junior College, 6-7-10 Manabe, Tsuchiura-city, Ibaragi 300, Japan;
** Bristol-Myers Squibb Research Institute, P.O. Box 5100, C.T. 06492-761, Wallingford, U.S.A.

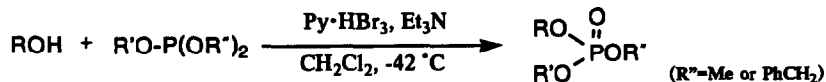
The absolute structure and biosynthetic pathway of terpestacin were determined.



**Phosphonium Salt Methodology for the Synthesis of
Phosphoric Monoesters and Diesters and its Application
to Selective Phosphorylation**

Yutaka Watanabe,* Eiji Inada, Masanao Jinno, and Shoichiro Ozaki

Department of Applied Chemistry, Faculty of Engineering, Ehime University, Matsuyama 790, Japan



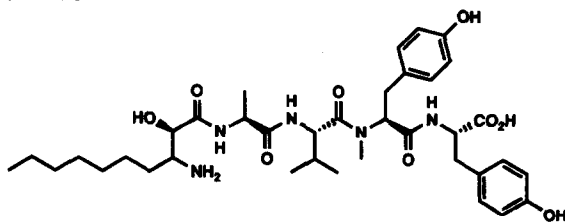
Selective phosphorylation by the phosphonium salt strategy has realized a concise synthesis of 1-phosphatidyl inositols

**MICROGININ, AN ANGIOTENSIN-CONVERTING ENZYME INHIBITOR
FROM THE BLUE-GREEN ALGA *MICROCYSTIS AERUGINOSA***

Tatsufumi Okino, Hisashi Matsuda, Masahiro Murakami* and
Katsumi Yamaguchi

Laboratory of Marine Biochemistry, Faculty of Agriculture,
The University of Tokyo, Bunkyo-ku, Tokyo 113, Japan

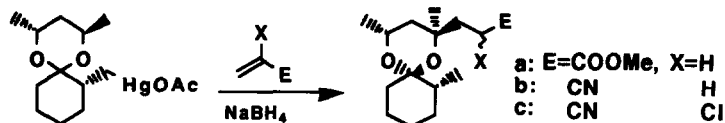
Microginin, an angiotensin-converting enzyme inhibitory
pentapeptide, was isolated from the blue-green alga
Microcystis aeruginosa. Its structure was elucidated on the
basis of spectral data and chemical degradation.



Intramolecular Hydrogen Shift in Reduction of β -Mercuro Ketal. Preparation of Optically Active *sec-tert*-1,3-Diols

Takashi Sugimura,* Shin-ichiro Goto, Kiyoto Koguro,[†] Tohru Futagawa, Shintaro Misaki, Yukio Morimoto,[‡] Noritake Yasuoka* and Akira Tai*, Faculty of Science, Himeji Institute of Technology, Kanaji, Kamigori, Ako-gun, Hyogo 678-12 Japan. [‡]Faculty of Engineering, Tokushima University, Minami-jousanjima, Tokushima 770 Japan. [†]Chemical Research Laboratory, Toyo Kasei Kogyo Co. Ltd., 2900 Sone, Takasago, Hyogo 676 Japan.

Intramolecular hydrogen shift of β -radical ketal was found in the reduction of optically active β -mercuro ketal and the addition of olefins to this afforded optically active *sec-tert*-1,3-diols.



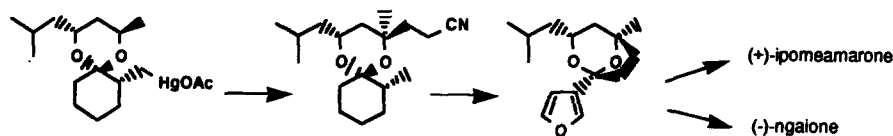
Total Syntheses of (+)-Ipomeamarone and (-)-Ngaione

Takashi Sugimura,* Kiyoto Koguro,* and Akira Tai*

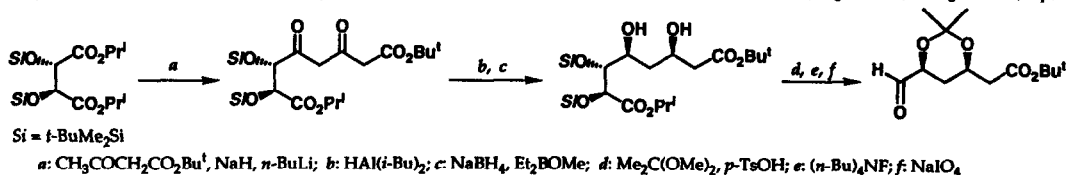
Faculty of Science, Himeji Institute of Technology, Kanaji, Kamigori, Ako-gun, Hyogo 678-12, Japan

+Chemical Research Laboratory, Toyo Kasei Kogyo Co., Ltd., 2900 Sone, Takasago, Hyogo 676, Japan

Total syntheses of (+)-ipomeamarone and (-)-ngaione were achieved through following scheme.



STEREOSELECTIVE REDUCTION OF β,δ -DIKETO ESTERS DERIVED FROM TARTARIC ACID. A FACILE ROUTE TO OPTICALLY ACTIVE 6-OXO-3,5-*syn*-ISOPROPYLIDENEDIOXYHEXANOATE, A VERSATILE SYNTHETIC INTERMEDIATE OF ARTIFICIAL HMG Co-A REDUCTASE INHIBITORS. Tatsuya Minami, Kyoko Takahashi, and Tamejiro Hiyama*, Sagami Chemical Research Center, 4-4-1 Nishiohnuma, Sagamihara, Kanagawa 229, Japan

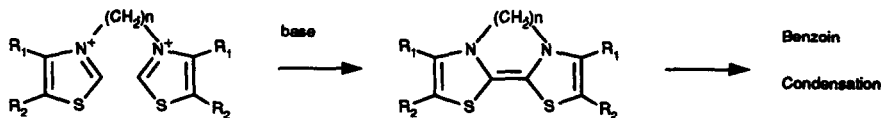


New Evidence Supporting Bis(thiazolin-2-ylidene)s as the Actual Catalytic Species in the Benzoin Condensation.

Josep Castells, Laura Domingo, Francisco López-Calahorra* and Josep Martí

Departament de Química Orgànica, Universitat de Barcelona, Martí i Franquès, 1-11, 08028 Barcelona, Spain.

Abstract: Polymethylene-bridged (*n*=2-8) thiazolium, and benzothiazolium salts (plus bases) are used as catalysts for the benzoin condensation and it is found that catalytic activity depends strongly on the methylene bridge length. This result supports a previous postulation that bis(thiazolin-2-ylidene)s, and not thiazolin-2-ylidene, are the catalytic species in the benzoin condensation catalyzed by thiazolium salts plus base.

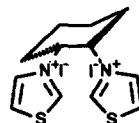
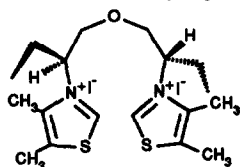


Introduction to a Rational Design of Chiral Thiazolium Salts

Josep Martí, Josep Castells, and Francisco López-Calahorra*

Departament de Química Orgànica, Universitat de Barcelona, Martí i Franquès, 1-11, 08028 Barcelona, Spain.

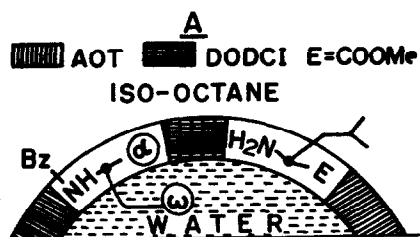
Abstract: A rational design of chiral thiazolium salts to be used in chiral benzoin condensations is possible from the proposal that bis(thiazolin-2-ylidene)s are the actual catalytic species in the benzoin condensation.


 Demonstration of Exclusive α -Peptidation at the Micellar Interface

Darshan Ranganathan*, Subramania Ranganathan*, Girij Pal Singh and Bhisma Kumar Patel

Department of Chemistry, Indian Institute of Technology, Kanpur 208016, India

The microreactor **A**, illustrates a self-assembling system, so designed to bring about exclusive α -peptidation of N-benzoyl protected aspartic and glutamic acids.



INVERSION OF THE RELATIVE REACTIVITIES AND SELECTIVITIES OF BENZYL CHLORIDE AND BENZYL ALCOHOL IN FRIEDEL-CRAFTS ALKYLATION WITH TOLUENE USING DIFFERENT SOLID ACID CATALYSTS: AN ADSORPTION RELATED PHENOMENON

Ganapati D. Yadav, Tushar S. Thorat and Pramod S. Kumbhar

Department of Chemical Technology, University of Bombay

Matunga, Bombay 400 019, India. Fax: 91-022-414 5614.

Inversion of reactivities is a preferential adsorption phenomenon.

Explanation of a Paradoxical Selectivity in Friedel-Crafts Alkylation Under Heterogeneous Catalysis

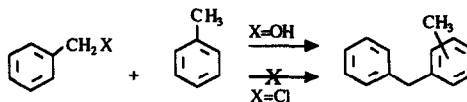
Michèle Davister and Pierre Laszlo*

Laboratoires de chimie fine aux interfaces

Ecole Polytechnique F-91128 Palaiseau, France

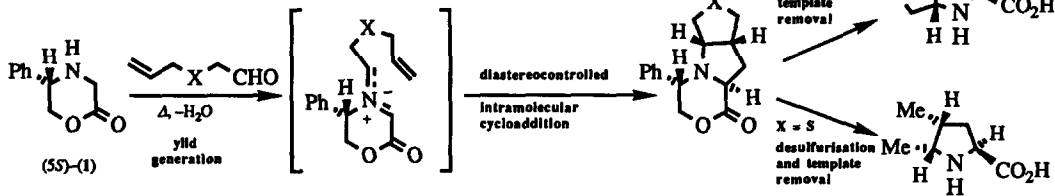
and Université de Liège, Sart-Tilman, B-4000 Liège, Belgium

Like 2-pentanol, the probe in the present study, BnOH molecules adsorb onto the catalytic surface preferentially to their BnCl co-reactant. They tie-up the Zn(II) catalytic sites. Thus, the BnOH molecules are activated by the Lewis acidic centers while blocking access to their BnCl rivals.



Enantiocontrolled Construction of Bicyclic Proline Derivatives via One-Pot Generation and Intramolecular Trapping of Chiral Stabilised Azomethine Ylids.

Laurence M. Harwood and Ian A. Lilley; Dyson Perrins Laboratory, University of Oxford South Parks Road, OXFORD OX1 3QY, U.K.

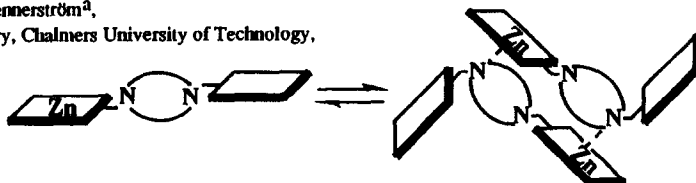


SUPRAMOLECULAR CHEMISTRY; DIMERISATION OF MONO ZINC N,N'-BIS[4'-(Meso-TRIPHENYLPORPHYRYNYL)BENZYL]-4,13-DIAZA-18-CROWN-6.

Jerker Mårtensson^a, Kjell Sandros^b and Olof Wennerström^a.

Departments of ^aOrganic and ^bPhysical Chemistry, Chalmers University of Technology, S-412 96 Göteborg, Sweden

A well ordered ensemble of four porphyrins, two of which contain zinc, is obtained in solution by reversible dimerisation of the title compound.

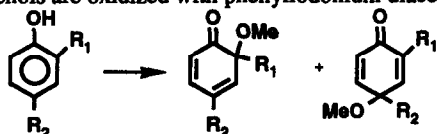


OXIDATIONS OF SUBSTITUTED PHENOLS WITH HYPERVALENT IODINE : APPLICATIONS TO THE PHTHALIDE ANNULATION ROUTE TO ANTHRAQUINONES.

Anthony S. Mitchell and Richard A. Russell[†],

Department of Chemical Sciences, Deakin University, Geelong, Victoria 3217, Australia.

Phenols are oxidized with phenyliodonium diacetate to afford both 2,4 and 2-5 dienones which may be annulated with the anion of 3-cyanophthalide to afford a range of anthraquinones.



CYCLIZATION REACTIONS OF α -AMINO RADICALS DERIVED FROM N-(N',N'-DIALKYLAMINOALKENYL)BENZOTRIAZOLES AND SAMARIUM DIIODIDE

José M. Aurecochea* and Alvaro Fernández-Acebs

Departamento de Química Orgánica, Facultad de Ciencias, Universidad del País Vasco, Apartado 644, 48080 Bilbao, Spain.

Radicals derived from 2 are intramolecularly trapped by substituted C-C double bonds

