

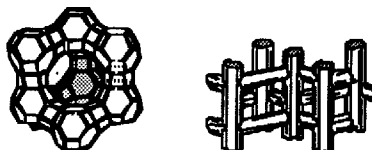
GRAPHICAL ABSTRACTS

*Tetrahedron Lett.* 1992, 33, 2757

RELATIVE SIZE OF THE HOST AND THE GUEST DETERMINE THE REACTION PRODUCT SELECTIVITY: NORRISH TYPE II REACTION OF ALKANONES WITHIN ZEOLITES.

V. RAMAMURTHY and D. SANDERSON  
Central Research and Development, The Du Pont Company, Wilmington, DE.

The importance of the relative size of the reaction cavity to that of the reactant guest in controlling the photochemical behavior of host-guest systems is illustrated with Norrish type II reactions of alkanones as examples.

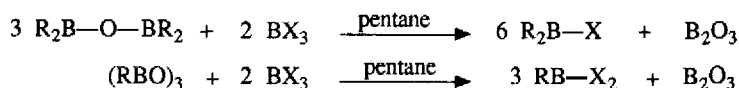


*Tetrahedron Lett.* 1992, 33, 2761

SIMPLE CONVERSION OF ANHYDRIDES OF BORONIC AND BORINIC ACIDS TO THE CORRESPONDING ORGANODIHALOBORANES AND DIORGANOHALOBORANES

Thomas E. Cole,\* Ramona Quintanilla, Brian M. Smith and David Hurst  
Department of Chemistry, San Diego State University, San Diego, California 92182-0328

Anhydrides of boronic or borinic acids cleanly react with trihaloboranes to yield the corresponding organohaloboranes in high yield and purity.

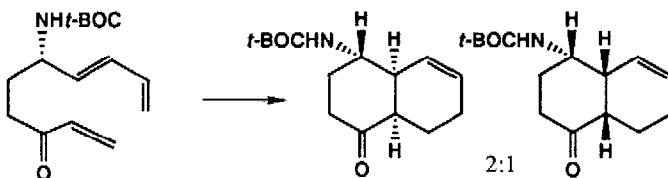


*Tetrahedron Lett.* 1992, 33, 2765

Model Studies Aimed at *Aristolelia* Alkaloids: Intramolecular Cycloaddition of *N-t*-BOC-6-Amino-1,7,9-Decatrien-3-one

Michael J. Taschner\*, Yiyun Huang, Abdullah El-Alali<sup>†</sup>, Lee Chen, and Wiley J. Youngs, Department of Chemistry, The University of Akron, Akron, Ohio 44325-3601, <sup>†</sup>Faculty of Sciences, Chemistry Section, Mu'Tah University, Mu'Tah/AI-Karak, Jordan

An asymmetric approach for the synthesis of some *Aristolelia* alkaloids utilizing an intramolecular cycloaddition of a decatrienone derived from *L*-glutamic acid is reported.

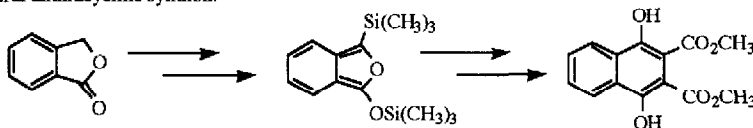


*Tetrahedron Lett.* 1992, 33, 2769

1-TRIMETHYLSILYL-3-TRIMETHYLSILOXYISOBENZOFURAN - A POTENTIALLY USEFUL SYNTHON FOR LINEAR POLYCYCLICS

J. L. Bloomer\* and M. E. Lankin  
Department of Chemistry, Temple University  
Philadelphia, Pa. USA 19122

Abstract: 1-Trimethylsilyl-3-trimethylsilyloxyisobenzofuran may be prepared in a simple one-pot procedure from phthalide as a potentially useful anthracycline synthon.



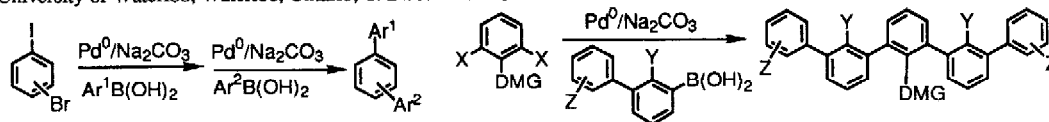
## Directed ortho Metalation - Suzuki Cross Coupling Connections.

Convenient Regiospecific Routes to Functionalized m- and p- Teraryls

## m- Quinquearyls

Cathleen M. Unrau, Michael G. Campbell, Victor Snieckus\*, Guelph-Waterloo Centre for Graduate Work in Chemistry

University of Waterloo, Waterloo, Ontario, CANADA N2L 3G1.



## Oxidative Addition of Azide Anion to

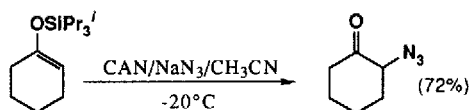
## Triisopropylsilyl Enol Ethers:

Synthesis of  $\alpha$ -Azido Ketones.

Philip Magnus\* and Lisa Barth,

Department of Chemistry and Biochemistry,

University of Texas at Austin, Austin, Texas 78712.



Treatment of triisopropylsilyl enol ethers with ceric ammonium nitrate/sodium azide/CH<sub>3</sub>CN at -20°C gives  $\alpha$ -azido ketones in average to good yields.

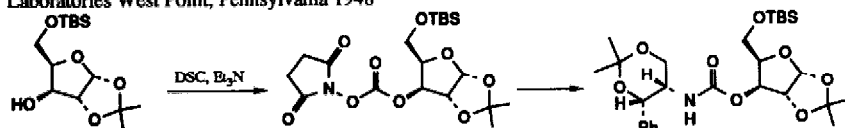
## N,N'-Disuccinimidyl Carbonate: A Useful Reagent for

## Alkoxycarbonylation of Amines

Arun K. Ghosh\*, Tien T. Duong, Sean P. McKee, and Wayne J. Thompson

Department of Medicinal Chemistry, Merck Sharp &amp; Dohme Research

Laboratories West Point, Pennsylvania 1948



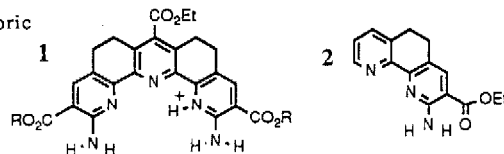
A convenient and high yielding synthesis of functionalized carbamates by use of commercially available N,N'-disuccinimidyl carbonate is described.

## Binding Multiple Phosphodiester With a Polyazacleft

Lisa S. Flatt, Vince Lynch, Eric A. Anslyn\*

Department of Chemistry, University of Texas at Austin, Austin TX 78731

Polyazaclefts 1 and 2 were anticipated to bind phosphoric acid esters with either four or two hydrogen bonds, but were found to bind multiple phosphoric acid esters in chloroform.

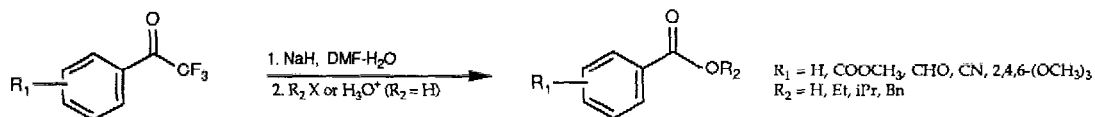


## ARYL TRIFLUOROMETHYL KETONE HYDRATES AS PRECURSORS OF CARBOXYLIC ACIDS AND ESTERS

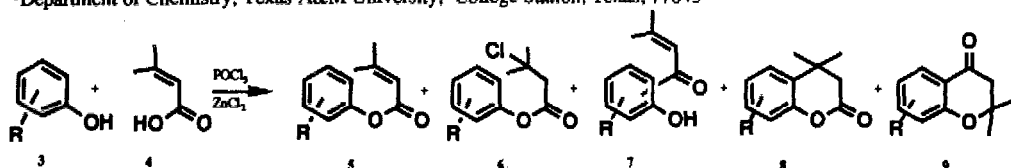
Antonio Delgado and Jon Clardy\*

Department of Chemistry-Baker Laboratory, Cornell University, Ithaca, NY 14853-1301

A simple method for the preparation of aryl carboxylic acids and esters from aryl trifluoromethyl ketone hydrates is described.



## ON THE SYNTHESIS OF SUBSTITUTED 2,2-DIMETHYL-4-CHROMANONES AND RELATED COMPOUNDS

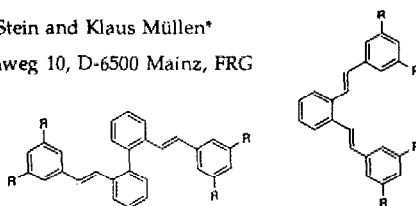
Péter Sebők<sup>a</sup>, József Jekő<sup>a</sup>, Tibor Timár<sup>a,c</sup> and Joseph Cs. Jaszberényi<sup>a,b,c</sup><sup>a</sup>Department of Research, Alkaloida Chemical Co., Tiszavasvári, Hungary H-4440. <sup>b</sup>Research Group of Antibiotics of the Hungarian Academy of Sciences, Department of Chemistry, Kossuth Lajos University, Debrecen, Hungary, H-4010.<sup>c</sup>Department of Chemistry, Texas A&M University, College Station, Texas, 77843

## SOLID-STATE PHOTOREACTIVITY OF ORTHO-DISTYRYLAROMATIC COMPOUNDS

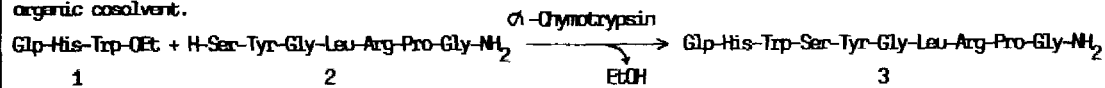
Arno Böhm, Martin Adam, Heike Mauermann, Stefan Stein and Klaus Müllen\*

Max-Planck-Institut für Polymerforschung, Ackermannweg 10, D-6500 Mainz, FRG

Upon photolysis ortho-distyrylaromatic compounds undergo topochemically controlled intra- and intermolecular rearrangements.



R = H, tert. butyl

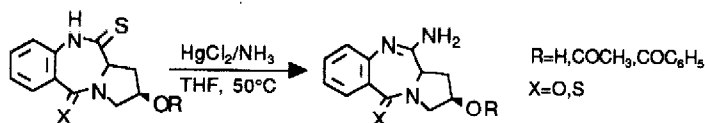
 $\alpha$ -CHYMOTRYPSIN-CATALYZED (3+7) SEGMENT SYNTHESIS OF THE LUTEINIZING HORMONE RELEASING HORMONEM. Schuster<sup>a</sup>, A. Aaviksaar<sup>b</sup>, H.-D. Jakubke<sup>a,\*</sup>, <sup>a</sup>Leipzig University, Biosciences Division, Department of Biochemistry, GERMANY, and <sup>b</sup>Institute of Experimental Biology of the Estonian Academy of Sciences, ESTONIALRRH (1) is formed by  $\alpha$ -chymotrypsin-catalyzed segment condensation from the segments (2) and (3). High product yield can be obtained when the synthesis reaction is performed at subzero temperatures in the presence of 38 vol % DMSO as organic cosolvent.

**Pyrrolo[2,1-c][1,4]benzodiazepines : A Mild Conversion of Thiolactam into Amidine.**

Marie P. Foloppe, Sylvain Rault and Max Robba

Laboratoire de Chimie Thérapeutique, U.F.R. des Sciences Pharmaceutiques, 1, rue Vaubénard 14032 CAEN (France)

Reaction of 2-hydroxy pyrrolo [2,1-c] [1,4] benzodiazepines-5-one-11-thione or 5,11-dithiones with ammonia in presence of mercuric chloride affords new amidines in high yield.

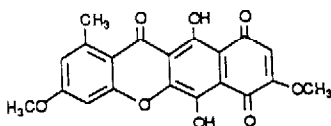


**NEW TOTAL SYNTHESIS OF BIKAVERIN**

Alain Bekaert, Jean Andrieux, Michel Plat

Laboratoire de Chimie thérapeutique II, SDI 6233 au CNRS, Faculté de Pharmacie, Université PARIS-SUD, 5, rue J.B.Clément, F92296 CHATENAY-MALABRY Cédex, FRANCE.

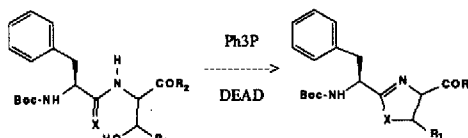
A six-step synthesis of bikaverin has been achieved, starting with a non-catalysed thermal condensation of the appropriately substituted Mannich base of 2-hydroxynaphthoquinone with orcinol monomethylether.



**FORMATION OF OXAZOLINES AND THIAZOLINES IN PEPTIDES BY THE MITSUNOBU REACTION**

N. Galeotti\*, C. Montagne, J. Poncet and P. Jouin. CCIPE, rue de la Cardonille, 34094 MONTPELLIER CEDEX 05, FRANCE

The Mitsunobu reaction was efficiently used to introduce oxazoline and thiazoline in the peptide backbone. The reaction proceeded from  $\beta$ -hydroxy  $\alpha$ -amino acid-containing peptides.

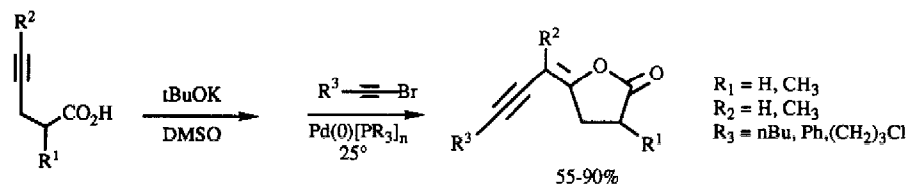


**EASY ACCESS TO 5-(E)-ALKYNYLIDENE TETRAHYDRO-2 FURANONES BY A PALLADIUM CATALYZED PROCESS**

D.BOUYSSI, J.GORE and G.BALME,

Laboratoire de Chimie Organique 1, associé au CNRS, Université Claude Bernard, ESCIL

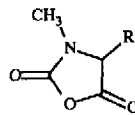
43 Bd du 11 Novembre 1918, 69622 Villeurbanne, France



**N-METHYL N-CARBOXYANHYDRIDE: AN UNEXPECTED BY-PRODUCT WHEN COUPLING BOC-N-METHYL AMINO ACIDS**

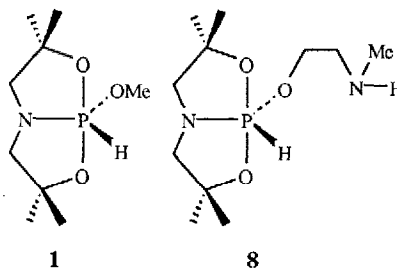
Eric Frérot, Jacques Coste\*, Joël Poncet, Patrick Jouin, CCIPE, Rue de la Cardonille, 34094 Montpellier Cedex 05, France.  
Bertrand Castro, SANOFI-Chimie, 32, Rue Marbeuf, 75008 Paris, France.

Activation of Boc- amino acids and Boc-N-methyl amino acids leads to the corresponding N-carboxyanhydrides. This side reaction explains the low yields obtained when coupling N-methyl amino acids. It was not observed with the Z- or Fmoc-protective groups.

**On the Extension of the Atherton-Todd Reaction to the 1-Organyloxy 1-hydridobicyclophosphoranes**

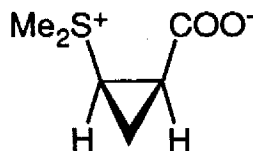
Douraid HOUALLA\*, Zouhair BOUNJA, Saïd SKOUTA.  
Laboratoire de Synthèse, Structure et Réactivité de Molécules Phosphorées associé au CNRS. Université Paul Sabatier F 31062 Toulouse  
Lothar RIESEL and Dirk LINDEMANN  
Humboldt - Universität zu Berlin - Institut für Anorganische Chemie  
D-1040 Berlin

We describe the oxidation of **1** and **8** by means of  $\text{CCl}_4$  in basic solutions.

**SYNTHESIS AND ABSOLUTE CONFIGURATION OF (+)-GONYAULINE: A MODULATING SUBSTANCE OF BIOLUMINESCENT CIRCADIAN RHYTHM IN THE UNICELLULAR ALGA GONYAULAX POLYEDRA**

Hideshi Nakamura,\* Masaaki Ohtoshi, Osamu Sampei, Yuri Akashi, and Akio Murai  
Department of Chemistry, Faculty of Science,  
Hokkaido University, Sapporo 060, Japan

Enantiomerically pure natural (+)-gonyauline was synthesized and absolute configuration was established as 1*R*, 2*R*.

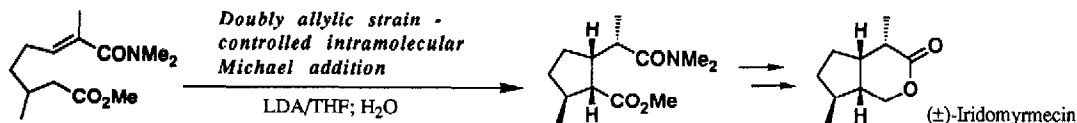


Natural (+)-gonyauline

**DOUBLY ALLYLIC STRAIN - CONTROLLED DIASTERESELECTIVE INTRAMOLECULAR MICHAEL ADDITION AND A SYNTHESIS OF (±)-IRIDOMYRMECIN**

Yasushi Yokoyama\* and Keiji Tsuchikura

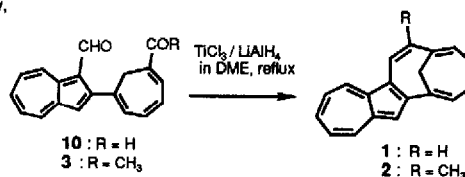
Department of Materials Science, Faculty of Engineering, Yokohama National University, Tokiwadai, Hodogaya-ku, Yokohama 240 Japan



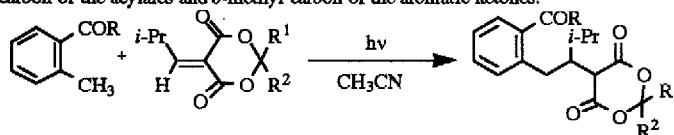
## SYNTHESIS AND PROPERTIES OF AZULENO[2,1-a]-3,8-METHANO[10]ANNULENE AND ITS 2-METHYL DERIVATIVE

Shigeyasu Kuroda,<sup>a</sup> Jun-ichi Yazaki, Sunao Maeda, Kazuo Yamazaki, Masaki Yamada, Ichiro Shimao, and Masafumi Yasunami<sup>†</sup>Department of Materials Science and Engineering, Faculty of Engineering, Toyama University, 3190 Gofuku Toyama, 930, Japan, <sup>†</sup>Department of Chemistry, Faculty of Science, Tohoku University, Aobaku, Sendai, 980, Japan.

The new cata-condensed azuleno-bridged[10]annulenes were synthesized. The <sup>1</sup>H NMR spectra showed the contribution of peripheral 18- $\pi$  electron conjugation in accordance with the MIND/3 calculation.

PHOTOCHEMISTRY OF *o*-METHYL-SUBSTITUTED AROMATIC KETONE WITH 5-ALKYLIDENE-1,3-DIOXANE-4,6-DIONE DERIVATIVESTakashi Tsuno<sup>\*</sup> and Kunio Sugiyama

Department of Industrial Chemistry, College of Industrial Technology, Nihon University, Narashino-shi, Chiba 275, Japan

Photolyses of *o*-methylacetophenone or *o*-methylbenzophenone with 5-isobutylidene-1,3-dioxane-4,6-diones produced novel adducts, bonding between the  $\beta$ -carbon of the acylales and *o*-methyl carbon of the aromatic ketones.

## Synthetic Studies on Spider Neurotoxins (I):

## Total Synthesis of Nephilatoxins (NPTX-9 and NPTX-11),

New Neurotoxins of Joro Spider (*Nephila clavata*)Masaaki Miyashita,<sup>a</sup> Hideaki Sato,<sup>b</sup> Akira Yoshikoshi,<sup>b</sup> Takashi Toki,<sup>c</sup> Masayuki Matsushita,<sup>a</sup> Hiroshi Irie,<sup>a</sup> Tetsuji Yanami,<sup>c</sup> Yasuo Kikuchi,<sup>d</sup> Chikahisa Takasaki,<sup>d</sup> and Terumi Nakajima<sup>c</sup>

<sup>a</sup>Faculty of Pharmaceutical Sciences, Nagasaki University, Nagasaki 852, Japan; <sup>b</sup>Chemical Research Institute of Non-Aqueous Solutions, Tohoku University, Sendai 980, Japan; <sup>c</sup>Research Center, Daicel Chemical Industries, LTD., Himeji 671-12, Japan; <sup>d</sup>Department of Chemistry, Tohoku University, Sendai 980, Japan; <sup>e</sup>Faculty of Pharmaceutical Sciences, University of Tokyo, Tokyo 113, Japan

## Synthetic Studies on Spider Neurotoxins (II):

## Total Synthesis of Nephilatoxins (NPTX-10 and NPTX-12),

New Neurotoxins of Joro Spider (*Nephila clavata*)Masaaki Miyashita,<sup>a</sup> Hideaki Sato,<sup>b</sup> Masayuki Matsushita,<sup>a</sup> Youko Kusumegi,<sup>a</sup>Takashi Toki,<sup>c</sup> Akira Yoshikoshi,<sup>b</sup> Tetsuji Yanami,<sup>c</sup> Yasuo Kikuchi,<sup>d</sup>Chikahisa Takasaki,<sup>d</sup> Terumi Nakajima,<sup>c</sup> and Hiroshi Irie<sup>a</sup>

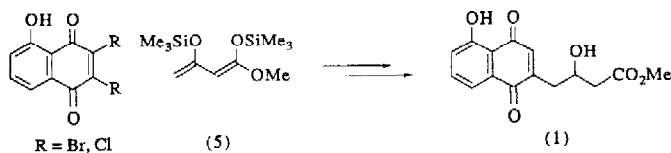
<sup>a</sup>Faculty of Pharmaceutical Sciences, Nagasaki University, Nagasaki 852, Japan; <sup>b</sup>Chemical Research Institute of Non-Aqueous Solutions, Tohoku University, Sendai 980, Japan; <sup>c</sup>Research Center, Daicel Chemical Industries, LTD., Himeji 671-12, Japan; <sup>d</sup>Department of Chemistry, Tohoku University, Sendai 980, Japan; <sup>e</sup>Faculty of Pharmaceutical Sciences, University of Tokyo, Tokyo 113, Japan



## A NEW SYNTHESIS OF THE NAPHTHOPYRAN ANTIBIOTICS

Donald W. Cameron,\* Ian T. Crosby and (the late) Geoffrey I. Feutrill  
School of Chemistry, University of Melbourne, Parkville, Victoria 3052, Australia.

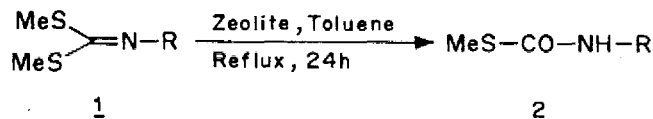
A known precursor (1) of the naphthopyran antibiotics has been synthesised from halonaphthoquinones by reaction with the diene (5) and subsequent elaboration.



## A FACILE GENERAL METHOD FOR THE PREPARATION OF S-METHYLTHIOLCARBAMATES USING ZEOLITE CATALYSTS

T. Indrasena Reddy, B.M. Bhawal and S. Rajappa\*  
Division of Organic Chemistry, Synthesis  
National Chemical Laboratory, Pune 411 008, India.

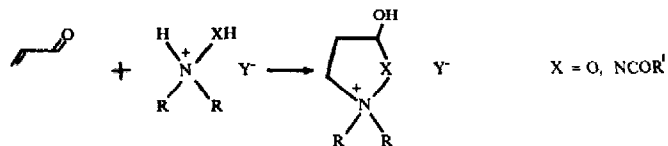
**Abstract:** Dimethyl carbonylimidodithioates derived from various primary amines and amino acid esters (1) can be converted to S-methyl thiolcarbamates (2) by H-mordenite or H-Y zeolite catalysis in moderate to good yields.



## SYNTHESIS OF 2,2-DIALKYL-5-HYDROXYISOXAZOLIDINUM AND 1,1-DIALKYL-3-HYDROXYPYRAZOLIDINUM SALTS

K.N. Zelenin,\* I.P. Bezhan, I.V. Lagoda. Military Medical Academy, St. Petersburg, 194175, Russia.

Salts of N,N-dialkylhydroxylamines and  $\beta$ -dialkylhydrazides react with acrolein to give 2,2-dialkyl-5-hydroxyisoxazolidinum and 1,1-dialkyl-3-hydroxypyrazolidinum salts

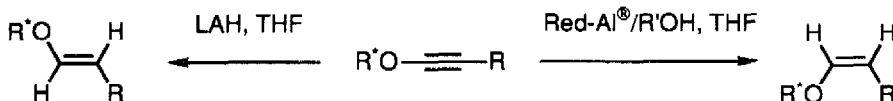


## DIVERGENT STEREOSELECTIVE SYNTHESIS OF (E) AND (Z) O-ALKYL ENOL ETHERS

Lluís Solà, Jaume Castro, Albert Moyano\*, Miquel A. Pericàs\* and Antoni Riera.

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

Either (E)- or (Z)-enol ethers can be stereoselectively obtained by reduction of alkynyl ethers with hydridoaluminates.

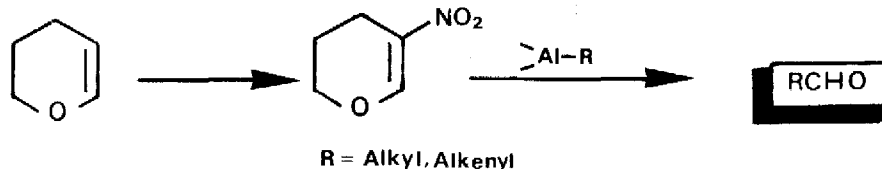




**3-Nitro-5,6-dihydro-4H-Pyran, a Latent -CHO Equivalent: A New Synthesis of Aldehydes**

R. Menticagli, C. Malanga, V. Guagnano

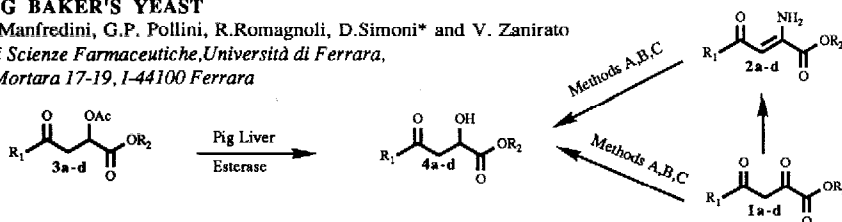
Dipartimento di Chimica e Chimica Industriale and Centro di Studio del CNR per le Macro molecole Stereordinate ed Otticamente Attive, Via Risorgimento 35, 56126 Pisa, Italy.

**REGIO- AND ENANTIOSELECTIVE BIOREDUCTIONS OF ETHYL 2,4-DIOXO ALCANOATES AND  $\gamma$ -KETO- $\alpha$ -ENAMINO ESTERS WITH FERMENTING BAKER'S YEAST**

P.G.Baraldi, S.Manfredini, G.P. Pollini, R.Romagnoli, D.Simoni\* and V. Zanirato

Dipartimento di Scienze Farmaceutiche, Università di Ferrara,

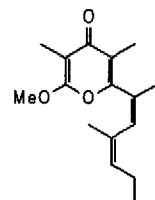
Via Fossato di Mortara 17-19, I-44100 Ferrara

**PLACIDENES: CYERCENE-LIKE POLYPROPIONATE  $\gamma$ -PYRONES FROM THE MEDITERRANEAN ASCOGLOSSAN MOLLUSC *PLACIDA DENDRITICA***

Rosa Rita Vardaro, Vincenzo Di Marzo and Guido Cimino

Istituto per la Chimica di Molecole di Interesse Biologico del CNR

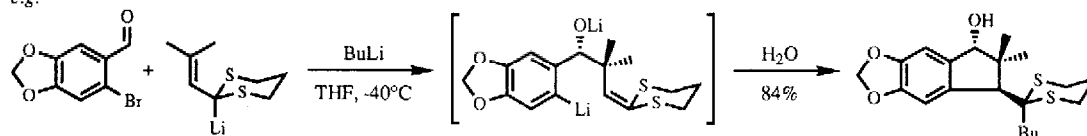
Via Toiano n. 6, 80072, Arco Felice, Napoli, Italy

The first chemical study on a Stiligeridae ascoglossan has led to the characterization of four new polypropionates, e.g. *iso*-placidene-A, from *Placida dendritica*.*iso*-placidene-A**THE ADDITION OF ORGANOLITHIUMS TO KETENETHIOACETALS: A NEW CYCLOPENTANNULATION SEQUENCE LEADING TO INDANES.**

David C. Harrowven

Department of Chemistry, University Park, Nottingham, NG7 4RD.

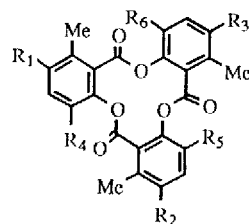
A simple, diastereoselective 'one pot' cyclopentannulation sequence is described using a tandem alkylation protocol e.g.



### HALOGENATED ANALOGS OF TRI-O-THYMOTIDE (TOT) AND TRI-3-(2-BUTYL)-6-METHYLSALICYLIDE (TSBS): SYNTHESIS AND CLATHRATION STUDIES.

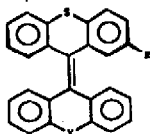
Jallal M. Gnain, Philip M. Keehn, and Bernard S. Green  
Department of Pharmaceutical Chemistry, The School of Pharmacy, The Hebrew University, P.O. Box 12065, Jerusalem, 91120, Israel, Department of Chemistry, Brandeis University, Waltham, Massachusetts 02254.

Halogenated TOT and TSBS have been synthesized. Clathration studies indicate that these halogenated TOT analogs do not form clathrates. Reductive removal of the halogen provided a new entry to TOT-based host molecules.



### RESOLUTION OF STERICALLY OVERCROWDED ETHYLENES; A REMARKABLE CORRELATION BETWEEN BOND LENGTHS AND RACEMIZATION BARRIERS.

Ben L. Feringa\*, Wolter F. Jager and Ben de Lange, Department of Organic Chemistry, University of Groningen, Nijenborgh 16, 9747 AG Groningen, The Netherlands.



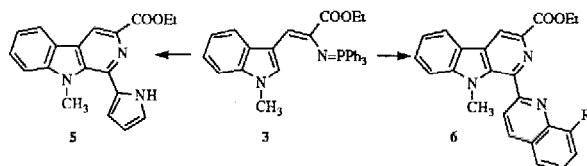
X = S, C(CH<sub>3</sub>)<sub>2</sub>, NCH<sub>3</sub>, O  
R = CH<sub>3</sub>  
racemization barriers < 20.0 - 27.4 kcal.mol<sup>-1</sup>

The first examples of thermally stable optically active sterically overcrowded ethylenes are described.

### Iminophosphorane-Mediated Synthesis of 1-Substituted-β-Carbolines: Investigative Studies on the Preparation of Alkaloids Lavendamycin and Eudistomins Framework

Pedro Molina\*, Pilar M. Fresneda, Mercedes Cánovas  
Departamento de Química Orgánica, Universidad de Murcia  
Campus de Espinardo, 30071 Murcia, Spain.

Iminophosphorane 3 reacts with 2-formylpyrrole and 2-formylquinolines to give Eudistomins 5 and Lavendamycin 6 derivatives.



### STEREOSELECTIVE RING OPENING OF CHIRAL OXAZOLIDINES BY REFORMATSKY REAGENTS: AN ENANTIOSELECTIVE ENTRY TO β-AMINO ESTERS.

C. Andrés, A. González, R. Pedrosa\*, A. Pérez-Encabo. Departamento de Química Orgánica, Facultad de Ciencias, Universidad de Valladolid. Dr. Mergelina s/n, 47011-Valladolid. Spain

Nucleophilic ring opening of chiral oxazolidines 2a-f by Reformatsky reagent, lead regioselectively to 3a-f, that after debenzoylation yield β-amino esters 4a-f in moderate to very good enantiomeric excesses (60-92%).

