

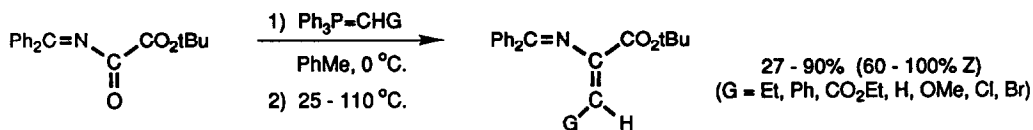
GRAPHICAL ABSTRACTS

Tetrahedron Lett. 31, 157 (1990)

PREPARATION AND WITTIG REACTIONS OF AN  $\alpha$ -KETO AMINO ACID DERIVATIVE

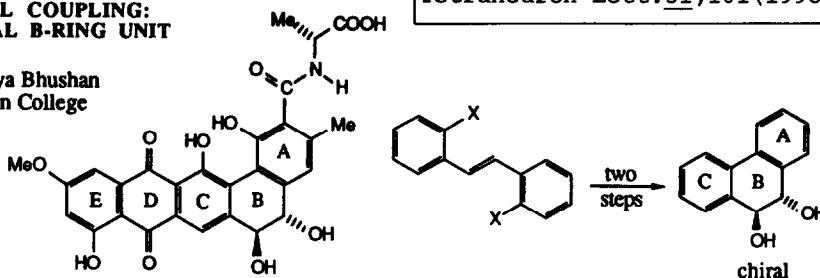
Martin J. O'Donnell, Ashok Arasappan, William J. Hornback and John C. Huffman

Department of Chemistry, Indiana University-Purdue University at Indianapolis, Indianapolis, IN 46205 USA  
Molecular Structure Center, Department of Chemistry, Indiana University, Bloomington, IN 47405 USA



INTRAMOLECULAR BIARYL COUPLING: SYNTHESIS OF THE CHIRAL B-RING UNIT OF PRADIMICINONE

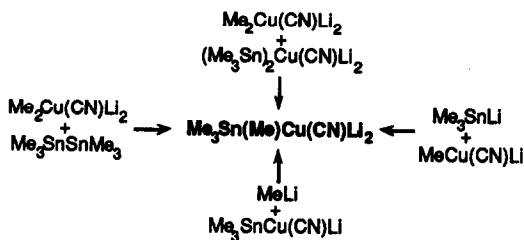
T. Ross Kelly,\* Qun Li and Vidya Bhushan  
Department of Chemistry, Boston College  
Chestnut Hill, MA 02167 USA



Tetrahedron Lett. 31, 161 (1990)

FACILE FORMATION AND REACTION OF MIXED TRIMETHYLSTANNYL-METHYLCUPRATES

A.C. Oehlschlager, M.W. Hutzinger, R. Aksela, S. Sharma and S.M. Singh  
Department of Chemistry, Simon Fraser University, Burnaby, B.C., V5A 1S6  
Me<sub>3</sub>Sn(Me)Cu(CN)Li<sub>2</sub> prepared by various routes selectively transfers trimethyl tin to organic substrates.



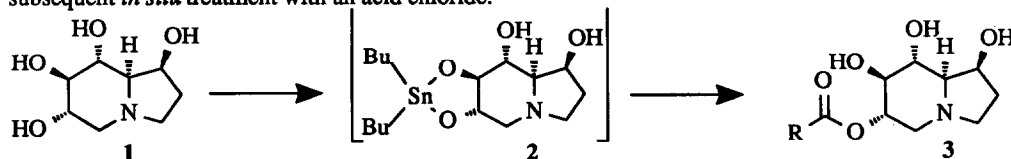
Tetrahedron Lett. 31, 165 (1990)

A FACILE SELECTIVE ACYLATION OF CASTANOSPERMINE

Wayne K. Anderson\*, Robert A. Coburn, Ariamala Gopalsamy and Trevor J. Howe

Department of Medicinal Chemistry, School of Pharmacy, State University of New York at Buffalo, Buffalo, New York 14260, USA

Selective 6-acylation of castanospermine can be achieved by the preparation of a dibutyl stannyl derivative and subsequent *in situ* treatment with an acid chloride.



Tetrahedron Lett. 31, 169 (1990)

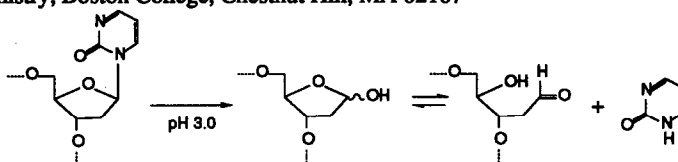
**$^{13}\text{C}$ - $^{13}\text{C}$  COUPLING IN [1.1.1]PROPELLANE**

Ronald M. Jarret\* and Leonarda Cusumano  
 Department of Chemistry, College of the Holy Cross,  
 Worcester, Massachusetts 01610

$$J_{\text{C1-C2}} = 9.9 \pm .1 \text{ Hz}$$

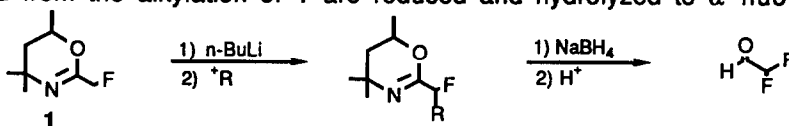


**MILD ACID HYDROLYSIS OF 2-PYRIMIDINONE-CONTAINING  
 DNA FRAGMENTS GENERATES APURINIC/APYRIMIDINIC SITES**  
 Joseph A. Iocono, Brian Gildea and Larry W. McLaughlin\*  
 Department of Chemistry, Boston College, Chestnut Hill, MA 02167



**2-FLUOROMETHYL-4,4,6-TRIMETHYL-1,3-OXAZINE AS A NEW  
 REAGENT FOR THE PREPARATION OF  $\alpha$ -FLUOROALDEHYDES**

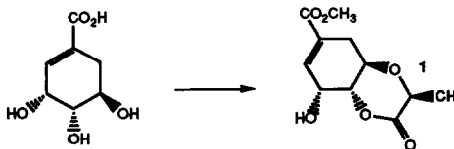
Timothy B. Patrick\*, Sedegh Hosseini, and Satinder Bains  
 Department of Chemistry, Southern Illinois University, Edwardsville, Illinois USA 62026  
 The synthesis and metalation of the title compound (1) are described. The products  
 obtained from the alkylation of 1 are reduced and hydrolyzed to  $\alpha$ -fluoroaldehydes.



**ENANTIOSELECTIVE SYNTHESIS OF  
 (-)-METHYL 5-LACTYLSHIKIMATE LACTONE**

V.B. Muralidharan, Harold B. Wood and Bruce Ganem\*  
 Department of Chemistry, Baker Laboratory, Cornell University, Ithaca, New York 14853 USA

A short, enantioselective synthesis of the  
 title natural product 1 is described from  
 (-)-shikimic acid

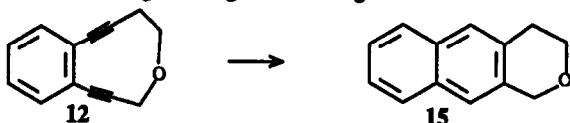


### THE SYNTHESIS OF A 10-MEMBERED BENZO-OXADIYNE RING

Rina Singh and George Just\*  
Department of Chemistry, McGill University,  
Montreal, Quebec, Canada H3A 2K6

Tetrahedron Lett. 31, 185 (1990)

The title compound **12** undergoes Bergman rearrangement to **15** at 37 °C in CH<sub>2</sub>Cl<sub>2</sub> with a half life of 52 h.

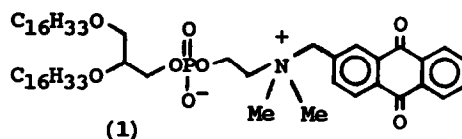


### SYNTHESIS AND PROPERTIES OF A PLASMALOGEN QUINONE

Charles R. Leidner\*, Harold O'N. Simpson, Min D. Liu, Karie M. Horvath, Bruce Howell and Steven J. Dolina

Department of Chemistry, Purdue University, West Lafayette, IN 47907

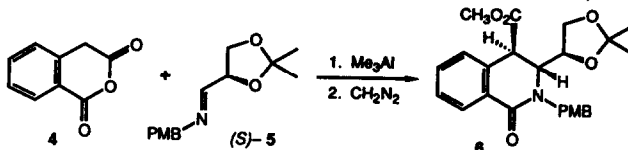
The synthesis of the plasmalogen quinone (**1**) and its utilization in the preparation of redox-active, quinone-functionalized liposomes are presented.



Tetrahedron Lett. 31, 189 (1990)

### CHELATION CONTROL IN THE ADDITION OF HOMOPHTHALIC ANHYDRIDE TO $\alpha$ -ALKOXY IMINES. PANCRATISTATIN MODEL STUDIES

Robin D. Clark\* and Michel Souchet  
Institute of Organic Chemistry  
Syntex Corporation  
Palo Alto, California 94304



Compound **6** is formed with > 90% diastereoselectivity in the Lewis acid mediated cyclo-condensation of **4** and (**S**)-**5**

Tetrahedron Lett. 31, 193 (1990)

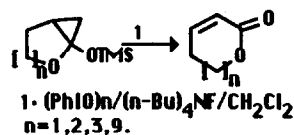
### CONVERSION OF LACTONES TO THE HIGHER HOMOLOGOUS

$\alpha$ ,  $\beta$ -UNSATURATED LACTONES VIA HYPERVALENT IODINE

OXIDATION OF 1-TRIMETHYLSILOXY-2-OXA[n.1.0]CYCLOALKANES

R.M. Moriarty,\* R.K. Vaid, T.E. Hopkins, B.K. Vaid and O. Prakash  
Chemistry Department, University of Illinois at Chicago, IL 60680

Hypervalent iodine oxidation of 1-trimethylsilyloxy-2-oxabicyclo[n.1.0]alkanes with (PhIO)<sub>n</sub>/(n-Bu)<sub>4</sub>NF/CH<sub>2</sub>Cl<sub>2</sub> in dichloromethane yielded directly ring homologated  $\alpha$ ,  $\beta$ -unsaturated lactones. Similarly, 1-trimethylsilyloxybicyclo[3.1.0]hexane yielded 2-cyclohexen-1-one.



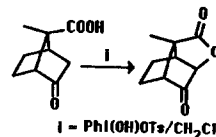
1- (PhIO)<sub>n</sub>/(n-Bu)<sub>4</sub>NF/CH<sub>2</sub>Cl<sub>2</sub>  
n=1,2,3,9.

Tetrahedron Lett. 31, 197 (1990)

Tetrahedron Lett. 31, 201 (1990)

HYPERVALENT IODINE OXIDATION OF 5-KETOACIDS AND 4,6-DIKETO ACIDS WITH [HYDROXY(TOSYLOXY)IODO]BENZENE: SYNTHESIS OF KETO- $\gamma$ -LACTONES AND DIKETO- $\delta$ -LACTONES

R.M. Moriarty,\* R.K. Vaid, T.E. Hopkins, B.K. Vaid and O. Prakash†  
Chemistry Department, University of Illinois at Chicago, Chicago, IL 60680



Hypervalent iodine oxidation of 5-ketoacids, using [hydroxy(tosyloxy)iodo]benzene in dichloromethane under refluxing conditions yielded keto- $\gamma$ -lactones. Oxidation of 4,6-diketoacids with [hydroxy(tosyloxy)iodo]benzene at room temperature afforded the corresponding diketo- $\delta$ -lactones.

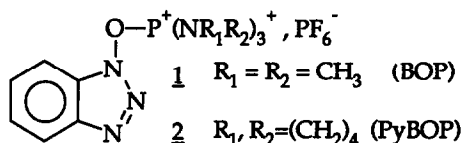
Tetrahedron Lett. 31, 205 (1990)

PYBOP®: A NEW PEPTIDE COUPLING REAGENT DEVOID OF TOXIC BY-PRODUCT

J. Coste, D. Le-Nguyen and B. Castro

Centre CNRS-INSERM de Pharmacologie-Endocrinologie, Rue de la Cardonille, 34094 Montpellier France

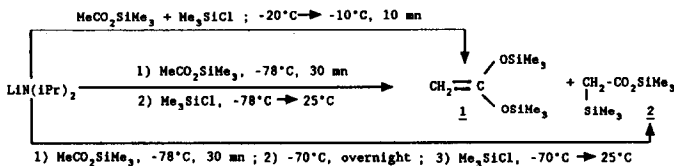
PyBOP®, an analog of BOP where dimethylamino groups are replaced with pyrrolidino is the only analog exhibiting equivalent properties in peptide bond formation. It can be used instead of BOP for the sake of safety.



REINVESTIGATION OF THE REACTION OF LITHIUM TRIMETHYLSILYL ACETATE ENOLATE WITH CHLOROTRIMETHYLSILANE.

Moncef BELLASSOUED and Marcel GAUDEMAR

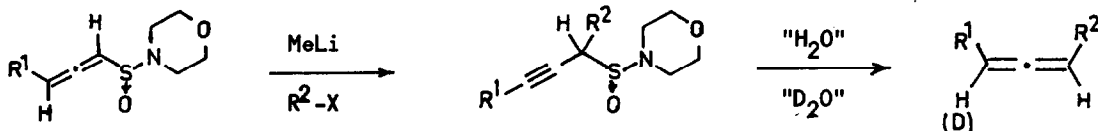
Université P. et M. Curie, Laboratoire de Synthèse Organométallique, 4, Place Jussieu, 75252, PARIS Cedex 05 (France).

Tetrahedron Lett. 31, 209 (1990)

UNUSUAL LITHIATION OF 4-(1',2'-ALKADIENESULPHINYL)-MORPHOLINES. PREPARATION OF SUBSTITUTED PROPARGYLIC

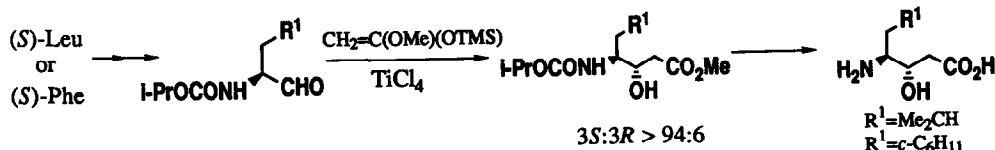
SULPHINAMIDES AND THEIR HYDROLYTIC DESULPHINYLIATION INTO THE CORRESPONDING ALLENES.

Jean-Bernard Baudin, Sylvestre A. Julia, Odile Ruel, Yuan Wang, Laboratoire de Chimie, Ecole Normale Supérieure, 24 rue Lhomond, 75231 Paris Cedex 05, France.

Tetrahedron Lett. 31, 213 (1990)

**AN EXPEDITIOUS SYNTHESIS OF (3S,4S)-STATINE  
AND (3S,4S)-CYCLOHEXYLSTATINE**

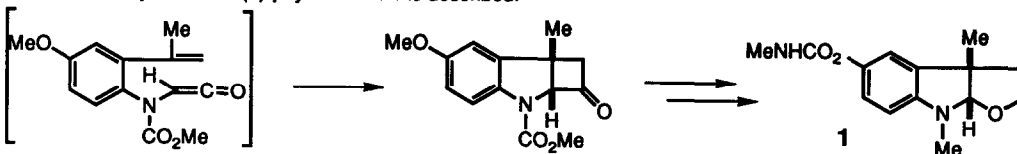
Yoshiji Takemoto, Teruyo Matsumoto, Yoshio Ito, and Shiro Terashima\*  
Sagami Chemical Research Center, 4-4-1 Nishi-Ohnuma, Sagamihara, Kanagawa 229, Japan



**NOVEL AND FACILE ROUTE TO ( $\pm$ )-PHYSOVENINE  
VIA INTRAMOLECULAR [2+2]CYCLOADDITION REACTION**

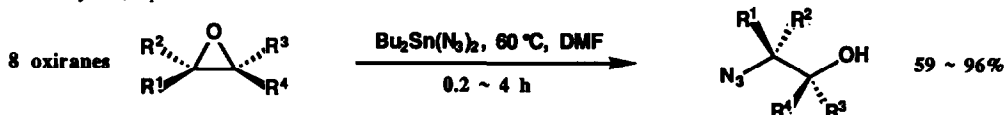
Kozo Shishido,\* Toshio Azuma, and Masayuki Shibuya  
Faculty of Pharmaceutical Sciences, University of Tokushima, Sho-machi 1, Tokushima 770, Japan

A formal total synthesis of ( $\pm$ )-physovenine **1** is described.



**EFFICIENT NUCLEOPHILIC OXIRANE RING  
CLEAVAGE WITH DIBUTYLTIN DIAZIDE**

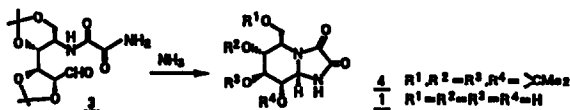
S. Saito, T. Nishikawa, Y. Yokoyama, and T. Moriwake  
Department of Applied Chemistry, Faculty of Engineering, Okayama University,  
Tsushima, Okayama, Japan 700



**SYNTHESIS OF KIFUNENSINE, AN IMMUNOMODULATING  
SUBSTANCE FROM MICROBIAL SOURCE**

H. Kayakiri, C. Kasahara, T. Oku, and M. Hashimoto\*  
Exploratory Research Laboratories, Fujisawa Pharmaceutical Co., Ltd.  
5-2-3 Tokodai, Tsukuba, Ibaraki 300-26, Japan

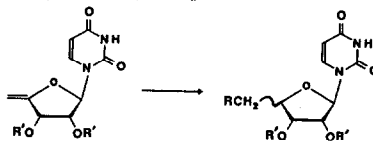
Kifunensine (**1**) has been synthesized  
via a double cyclization process  
(**3**→**4**) as the key step.



Tetrahedron Lett. 31, 227 (1990)

ADDITION OF CARBON RADICALS TO 4',5'-UNSATURATED URACIL-NUCLEOSIDES BY THE USE OF ORGANOSELENIUM REAGENTS: A NEW STEREOSELECTIVE ENTRY TO C-C BOND FORMATION AT THE 5'-POSITION  
 Kazuhiro Haraguchi, Hiromichi Tanaka, and Tadashi Miyasaka\* School of Pharmaceutical Sciences, Showa University, Shinagawa-ku, Tokyo 142, Japan

Addition of carbon radicals generated from PhSeR to 4',5'-unsaturated uracil-nucleosides has been investigated. This method was found to provide a highly efficient entry for C-C bond formation at the 5'-position. The stereochemistry can be controlled by protecting groups in the sugar portion.

Tetrahedron Lett. 31, 231 (1990)

### RHODIUM(III)-CATALYZED ASYMMETRIC HYDROBORATION OF ALKENES WITH 1,3,2-BENZODIOXABOROLE

Makoto Sato, Norio Miyaura, and Akira Suzuki\*

Department of Applied Chemistry, Faculty of Engineering, Hokkaido University, Sapporo 060, Japan.

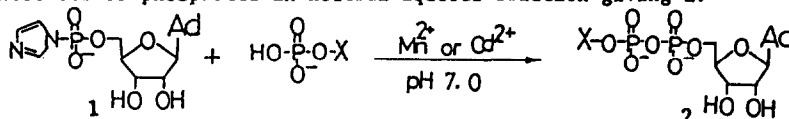
Tetrahedron Lett. 31, 235 (1990)

### Facile Synthesis of Nucleotides Containing Polyphosphate by Mn(II) and Cd(II) Ion-Catalyzed Pyrophosphate Bond Formation in Aqueous Solution

Masamitsu Shimazu, Kazuo Shinozuka and Hiroaki Sawai\*

Department of Chemistry, Faculty of Engineering, Gunma University, Kiryu, 376 Japan

The Mn(II) and Cd(II) ion catalyzed the pyrophosphate bond formation from 1 and nucleotides or phosphates in neutral aqueous solution giving 2.

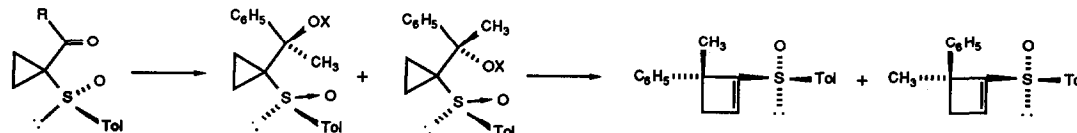
Tetrahedron Lett. 31, 239 (1990)

### STEREOSELECTIVE SYNTHESIS AND STEREOSPECIFIC

### ASYMMETRIC 1,2-REARRANGEMENTS OF CHIRAL SULFINYL-CYCLOPROPANE DERIVATIVES

Kunio Hiroi,\* Takashi Anzai, Takenobu Ogata, and Maki Saito

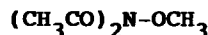
Tohoku College of Pharmacy, 4-4-1 Komatsushima, Aoba-ku, Sendai, Miyagi 981, Japan



Tetrahedron Lett. 31, 243 (1990)**N-METHOXYDIACETAMIDE: A NEW SELECTIVE ACETYLATED AGENT**

Yasuo Kikugawa\*, Kimiyo Mitsui, Takeshi Sakamoto, Masami Kawase, and Hiroshi Tamiya  
 Faculty of Pharmaceutical Sciences, Josai University, 1-1 Keyakidai, Sakado-shi, Saitama 350-02, Japan

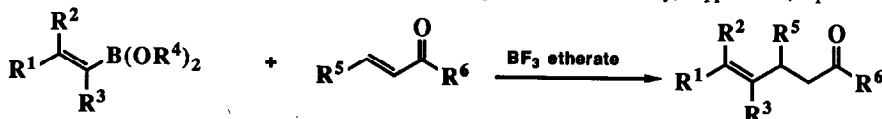
N-Methoxydiacetamide (1) can selectively acetylate primary amines in the presence of alcohols or secondary amines in high yields.



1

**BF<sub>3</sub> ETHERATE MEDIATED 1,4-ADDITION OF 1-ALKENYLDIALKOXYBORANES TO  $\alpha,\beta$ -UNSATURATED KETONES. A STEREOSELECTIVE SYNTHESIS OF  $\gamma,\delta$ -UNSATURATED KETONES**

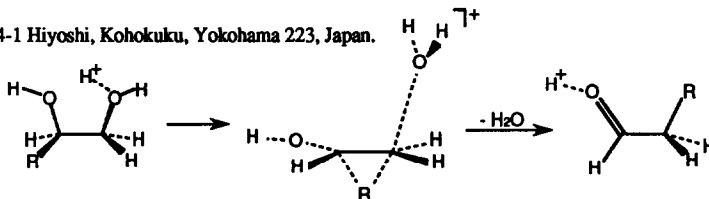
Shoji Hara, Satoshi Hyuga, Masataka Aoyama, Michihiko Sato, and Akira Suzuki\*  
 Department of Applied Chemistry, Faculty of Engineering, Hokkaido University, Sapporo 060, Japan

Tetrahedron Lett. 31, 247 (1990)
**THEORETICAL STUDY ON THE MIGRATORY APTITUDE IN PINACOL REARRANGEMENT**

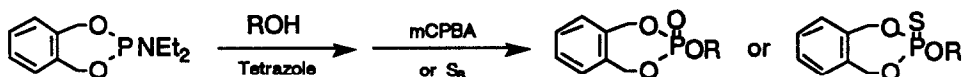
Kensuke Nakamura and Yoshihiro Osamura\*  
 Department of Chemistry, Keio University, 3-14-1 Hiyoshi, Kohokuku, Yokohama 223, Japan.

The reason of high migratory aptitude of vinyl and cyclopropyl groups is examined by using *ab initio* molecular orbital method.

R = Me, and

Tetrahedron Lett. 31, 251 (1990)
**AN EFFICIENT PHOSPHORYLATION METHOD USING A NEW PHOSPHITYLATING AGENT, 2-DIETHYLAMINO-1,3,2-BENZODIOXAPHOSPHEPANE**

Yutaka Watanabe, Yasunobu Komoda, Katsumi Ebisuya and Shoichiro Ozaki  
 Department of Resources Chemistry, Faculty of Engineering, Ehime University, Matsuyama 790, Japan



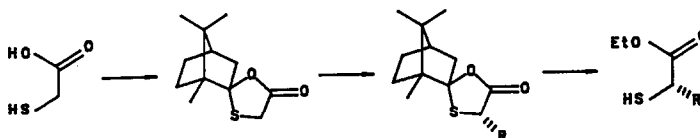
*Phosphorylation of inositol derivatives has been accomplished efficiently by the present method.*

Tetrahedron Lett. 31, 255 (1990)

Synthesis of Optically Active  $\alpha$ -Alkyl Thioglycolic Acid Derivatives

Tetrahedron Lett. 31,257(1990)

Hung-Hsin Liu, Erh-Ning Chen, Biing-Jiun Uang\* and Sue-Lein Wang  
Department of Chemistry, National Tsing Hua University  
Hsin Chu, Taiwan 30043, Republic of China



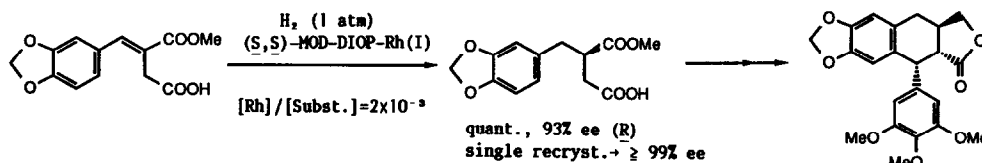
ASYMMETRIC TOTAL SYNTHESIS OF (-)-DEOXYPODOPHYLLOTOXIN

Tetrahedron Lett. 31,261(1990)

Toshiaki Morimoto, Mitsuo Chiba,† and Kazuo Achiwa\*

School of Pharmaceutical Sciences, University of Shizuoka, 395 Yada, Shizuoka 422, Japan.

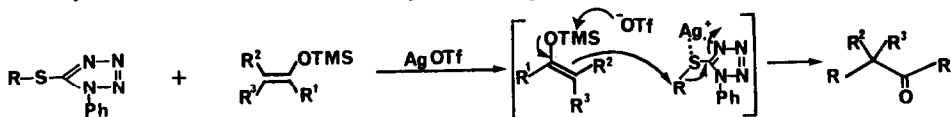
†Research Center, Toyotama Perfumery Co. Ltd., Kyodo Building (Showa), 1-3-8 Honcho, Nihombashi, Choo-ku, Tokyo 103, Japan.



SILVER TRIFLATE-PROMOTED COUPLING REACTIONS OF BENZYLIC AND ALLYLIC SULFIDES WITH O-SILYLATED ENOLATES OF KETONES AND ESTERS, A SYNTHESIS OF ( $\pm$ )-AR-TURMERONE

Tetrahedron Lett. 31,265(1990)

Kazuyoshi Takeda, Katsumi Torii, and Haruo Ogura\*, School of Pharmaceutical Sciences, Kitasato University, Shirokane, Minato-ku, Tokyo 108, Japan

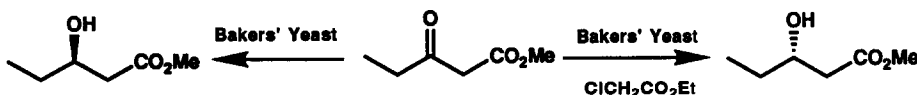


A NOVEL METHOD TO SYNTHESIZE (L)- $\beta$ -HYDROXYL ESTERS BY THE REDUCTION WITH BAKERS' YEAST

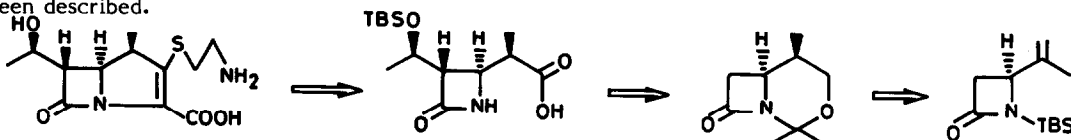
Tetrahedron Lett. 31,267(1990)

Kaoru NAKAMURA,\* Yasushi KAWAI, and Atsuyoshi OHNO

Institute for Chemical Research, Kyoto University, Uji, Kyoto 611 Japan



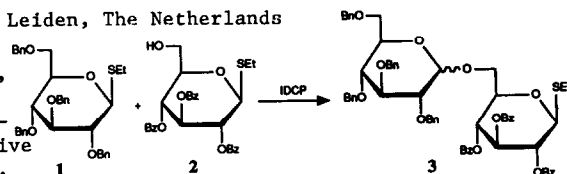


Tetrahedron Lett. 31, 271 (1990)**STEREOCONTROLLED APPROACHES TO THE KEY INTERMEDIATE OF 1  $\beta$ -METHYLTHIENAMYCIN**A.V. Rama Rao\*, M.K. Gurjar, V.B. Khare, B. Ashok and M.N. Deshmukh  
Indian Institute of Chemical Technology, Hyderabad 500 007, India.Stereocontrolled approaches for the advanced intermediate (2) of 1  $\beta$ -methylthienamycin have been described.Tetrahedron Lett. 31, 275 (1990)**AN EFFICIENT THIOLYCOSE-MEDIATED FORMATION OF  $\alpha$ -GLYCOSIDIC LINKAGES PROMOTED BY IODONIUM DICOLLIDINE PERCHLORATE**

G.H. Veeneman and J.H. van Boom

Gorlaeus Laboratories, P.O. Box 9502, 2300 RA Leiden, The Netherlands

*In-situ* glycosidation of partially-benzoylated thioglycosides ("disarmed" acceptors; e.g., **2**) with perbenzylated thioglycosides ("armed" donors; e.g., **1**) could be performed highly chemospecifically, in the presence of IDCP, to give predominantly  $\alpha$ -linked oligosaccharides (e.g., **3**) in good yields.

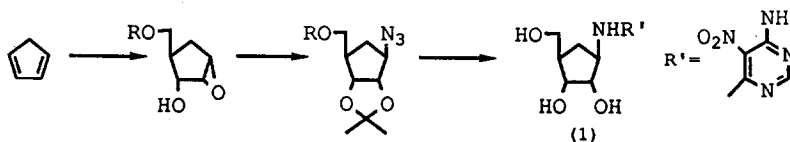
Tetrahedron Lett. 31, 279 (1990)**SYNTHESIS OF CARBOCYCLIC CLITOCINE**

Christopher F. Palmer, Keith P. Parry, and Stanley M.

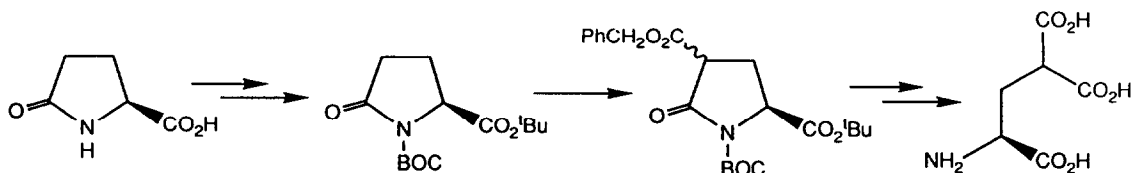
Roberts, Department of Chemistry, University of Exeter,

Exeter, EX4 4QD, and ICI Agrochemicals, Jealott's Hill Research Station, Bracknell,

Berks. UK. RG12 6EY.

Carbocyclic cliticocine (**1**) has been prepared from cyclopentadiene by an eleven-step synthesis.Tetrahedron Lett. 31, 283 (1990)**A NEW SYNTHETIC EQUIVALENT OF THE GLUTAMIC ACID  $\gamma$ -ANION AND ITS APPLICATION TO THE SYNTHESIS OF S-(+)- $\gamma$ -CARBOXYGLUTAMIC ACID.**

Michael R. Attwood, Maria G. Carr and Steven Jordan, Roche Products Limited, Welwyn Garden City, Herts. AL7 3AY.



**A SYNTHESIS OF PURPURIN DERIVATIVES SUBSTITUTED  
IN THE 6,16-meso POSITIONS.**

M.J.Gunter and B.C. Robinson.

*Department of Chemistry,  
University of New England,  
Armidale, 2351, Australia.***meso-[ $\beta$ -(methoxycarbonyl)-  
vinyl]porphyrins**