

GRAPHICAL ABSTRACTS

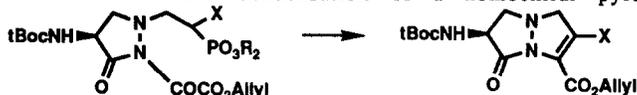
*Tetrahedron Lett.* 1990, 31, 2805

**[3.3.0] PYRAZOLIDINONES: AN EFFICIENT SYNTHESIS OF A NEW CLASS OF SYNTHETIC ANTIBACTERIAL AGENTS.**

Robert J. Ternansky\* and Susan E. Draheim

Lilly Research Laboratories, Eli Lilly and Co., Lilly Corporate Center, Indianapolis, Indiana 46285

A novel synthesis of [3.3.0] fused pyrazolidinones is described. The methodology relies on an intramolecular Wadsworth-Horner-Emmons condensation of a homochiral pyrazolidinone.

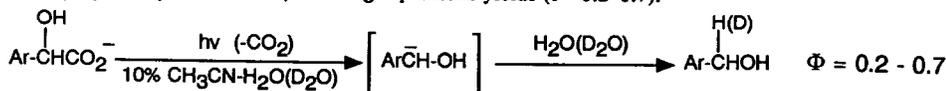


*Tetrahedron Lett.* 1990, 31, 2809

**ENHANCED PHOTODECARBOXYLATION EFFICIENCY OF  $\alpha$ -HYDROXY-SUBSTITUTED ARYLACETIC ACIDS IN AQUEOUS SOLUTION**

Peter Wan\* and Xigen Xu, Department of Chemistry, University of Victoria, Victoria, British Columbia, Canada V8W 2Y2

In general,  $\alpha$ -hydroxyarylacetic acids, including mandelic and benzoic acids, photodecarboxylate via  $\alpha$ -hydroxyarylmethyl carbanions, with high quantum yields ( $\Phi = 0.2-0.7$ ).

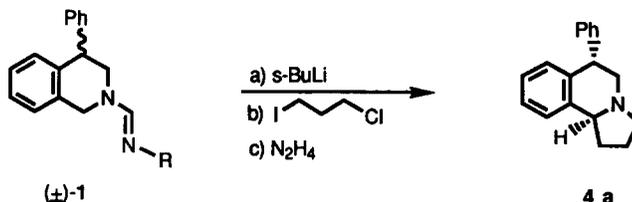


*Tetrahedron Lett.* 1990, 31, 2813

**A CONVENIENT ASYMMETRIC SYNTHESIS OF PYRROLO[2,1-a]ISOQUINOLINES**

A. I. Meyers\* and Joseph Guiles

Department of Chemistry, Colorado State University, Fort Collins, CO 80523 USA

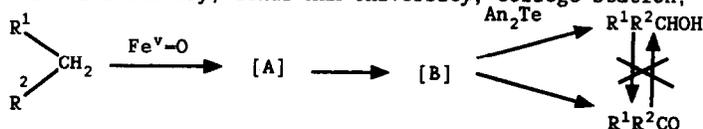


*Tetrahedron Lett.* 1990, 31, 2817

**GIF-TYPE OXIDATION SYSTEMS. EVIDENCE FOR A SECOND INTERMEDIATE BETWEEN SATURATED HYDROCARBON AND KETONE**

Derek H. R. Barton, Eva Csuhai and Nubar Ozbalik

Department of Chemistry, Texas A&M University, College Station, TX 77843



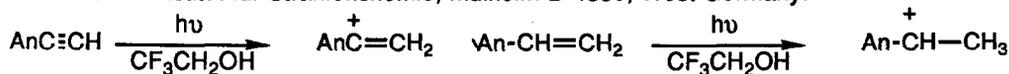
$\text{An}_2\text{Te}$  favored alcohol formation in Gif Oxidation. A second iron (III) alkoxy type intermediate, B, was proposed.

LASER FLASH PHOTOLYSIS OBSERVATION OF THE 1-p-METHOXYPHENYLVINYL CATION BY PHOTO-PROTONATION OF p-METHOXYPHENYLACETYLENE. COMPARISON WITH THE 1-p-METHOXYPHENETHYL CATION.

Robert A. McClelland,<sup>a</sup> Frances Cozens<sup>a</sup> and Steen Steenken<sup>b</sup>

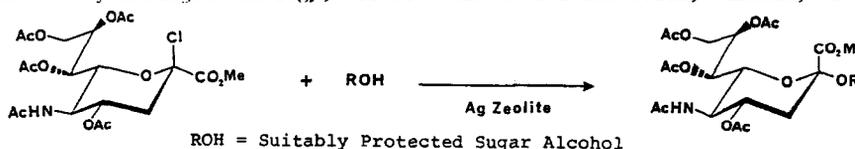
<sup>a</sup>Department of Chemistry, University of Toronto, Toronto, Ontario, Canada M5S 1A1 and

<sup>b</sup>Max-Planck-Institut für Strahlenchemie, Mulheim D-4330, West Germany.



SILVER ZEOLITE - EFFECTIVE CATALYST FOR THE REGIO-STERESELECTIVE FORMATION OF THE Neu5Acα2+6 GLYCOSYL LINKAGE - SYNTHESIS OF SEVERAL SIALOSACCHARIDES

Rexford L. Thomas, Arun K. Sarkar, Katsunori Kohata, Saeed A. Abbas, and Khushi L. Matta  
Department of Gynecologic Oncology, Roswell Park Cancer Institute, Buffalo, NY 14263



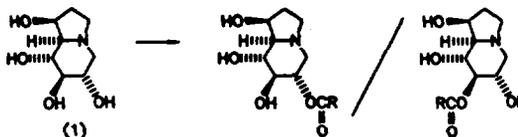
SYNTHESIS OF POTENT ANTI-HIV AGENTS: ESTERS OF CASTANOSPERMINE

Paul S. Liu,<sup>\*\*</sup> William J. Hoekstra<sup>b</sup> and Chi-Hsien R. King<sup>a</sup>

<sup>a</sup>Merrell Dow Research Institute, Cincinnati, Ohio 45215

<sup>b</sup>Merrell Dow Research Institute, Indianapolis, Indiana 48268

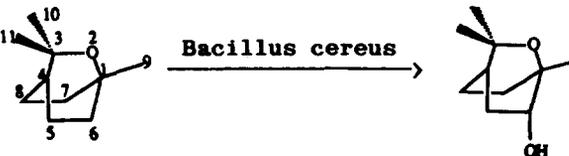
The syntheses of 6-O- and 7-O-acyl derivatives of castanospermine **1** are described. These compounds inhibit the human immunodeficiency virus (HIV) and are potential anti-AIDS agents.



STEREOSPECIFIC HYDROXYLATION OF 1,8-CINEOLE

USING A MICROBIAL BIOCATALYST. W. G. Liu and J P N Rosazza\*, Medicinal & Natural Products Chemistry & Biocatalysis Research Group, College of Pharmacy, University of Iowa, Iowa City, IA 52242

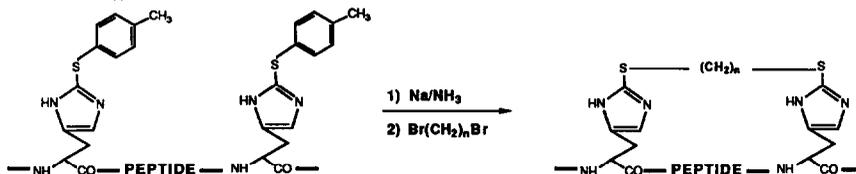
A new bacterial catalyst gives 79% yields of enantiomerically pure 6R-*exo*-hydroxy-1,8-cineole from 1,8-cineole.



## L-2-THIOL HISTIDINE: INTRODUCTION OF CONFORMATIONAL CONSTRAINTS INTO PEPTIDES VIA THIOETHER LINKAGE

L.L. Maggiora\*, C.W. Smith and A. Hai

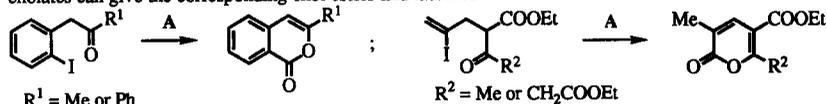
Biopolymer Chemistry, The Upjohn Company, Kalamazoo, MI 49001



## SYNTHESIS OF ENOL ESTERS AND ENOL LACTONES VIA PALLADIUM-CATALYZED CARBONYLATION OF ARYL AND ALKENYL HALIDES

Izumi Shimoyama, Yantao Zhang, Guangzhong Wu, Ei-ichi Negishi\*  
Department of Chemistry, Purdue University, W. Lafayette, IN 47907, USA

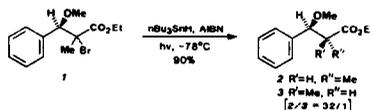
The Pd-catalyzed carbonylation of alkenyl and aryl halides in the presence of enolates can give the corresponding enol esters and lactones.

A = CO (600 psi), 5% Cl<sub>2</sub>Pd(PPh<sub>3</sub>)<sub>2</sub>, NEt<sub>3</sub> (1.5 equiv.), THF-MeCN, 100 °C, overnight.

## STEREOSELECTIVE REDUCTION OF ACYCLIC α-BROMO ESTERS

Y. Guindon<sup>a,b</sup>, C. Yoakim\*, R. Lemieux\*, L. Boisvert<sup>b</sup>, D. Delorme and J.-F. Lavallée<sup>b</sup><sup>a</sup>Bio-Méga, Inc. 2100 rue Cunard, Laval, Québec CANADA H7S 2G5<sup>b</sup>Department of Chemistry, Université de Montréal, Montréal, Québec CANADA H3C 3J7

Radical-based reduction of β-methoxy- or β-fluoro-α-bromo esters could be achieved with good stereoselection at low temperatures.

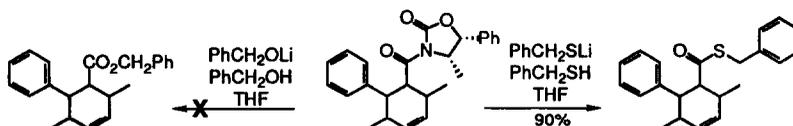


## CLEAVAGE OF N-ACYL OXAZOLIDONES.

R. E. Damon\* and G. M. Coppola

Sandoz Research Institute, East Hanover, NJ 07936

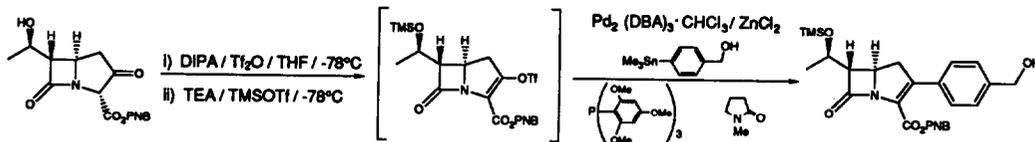
A method employing benzyl mercaptan has been developed to cleave sterically crowded N-acyl oxazolidones.



**A HIGHLY EFFICIENT METHOD FOR THE PREPARATION OF 2-ARYL SUBSTITUTED CARBAPENEMS EXPLOITING A Pd(0) MEDIATED CROSS-COUPLING REACTION**

Thomas A. Rano\*, Mark L. Greenlee, Frank P. DiNinno

Merck Sharp & Dohme Research Laboratories, Rahway, New Jersey 07065

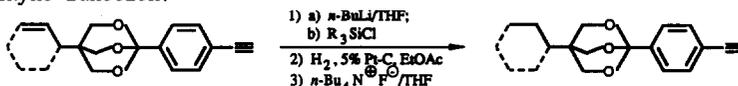


**SELECTIVE CATALYTIC HYDROGENATION OF AN OLEFIN MOIETY IN THE PRESENCE OF A TERMINAL ALKYNE FUNCTION**

Christopher J. Palmer\* and John E. Casida

Department of Entomological Sciences, University of California, Berkeley, California 94720

Protection of a terminal alkyne as a (trimethyl- or triisopropylsilyl)alkyne permits selective catalytic hydrogenation of a mono- or disubstituted olefin moiety without reducing the alkyne function.



**Approximate Rate Constants for the Addition of Alkyl Radicals to Allylstannanes**

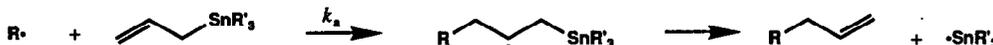
Dennis P. Curran\* and Paul van Elburg

Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260, USA

Bernd Giese\* and Stefan Gilges

Institute für Organische Chemie, TH Darmstadt, Petersenstr. 22, D-6160 Darmstadt, Federal Republic of Germany

Rate constants for the addition of alkyl radicals to allyltrialkylstannanes lie in the range of  $10^4$ - $10^5$  M<sup>-1</sup> s<sup>-1</sup> at 50-80 °C.

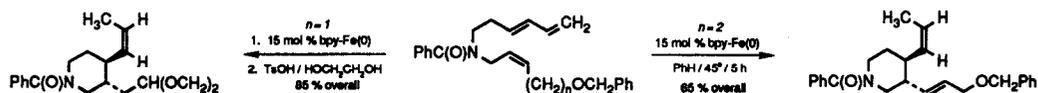


**CATALYTIC IRON-MEDIATED ENE CARBOCYCLIZATIONS OF TRIENES: THE STEREOSELECTIVE PREPARATION OF N-ACYLPYPERIDINES**

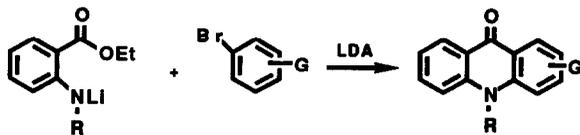
Beckie E. Takacs and James M. Takacs\*

Department of Chemistry, University of Nebraska-Lincoln, Lincoln, NE 68588-0304

2,8,10-Undecatriene or 3,9,11-dodecatriene ether derivatives containing a nitrogen atom in the tether connecting the 1,3-diene and alkene functionalities undergo efficient, stereoselective iron-catalyzed [4+4]-ene carbocyclization to yield *trans*-disubstituted N-acylpiperidines.

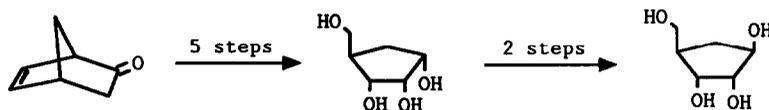


USE OF N-LITHIATED ETHYL ANTHRANILATES  
AS 1,4-DIPOLE EQUIVALENTS IN 1,4-DIPOLE-ARYNE  
CYCLOADDITION: A NOVEL APPROACH TO THE SYNTHESIS OF ACRIDONES  
S. P. Khanapure, B. M. Bhawal and E. R. Biehl; Department of Chemistry, Southern Methodist  
University, Dallas, TX 75275.



Synthesis of  $\alpha$ - and  $\beta$ -D-Carbaribofuranose from  
(+)-Norborn-5-en-2-one

Christoph Marschner, Gerhard Penn and Herfried Griengl\*  
Institute of Organic Chemistry, Graz University of Technology  
Stremayrgasse 16, A-8010 Graz, Austria

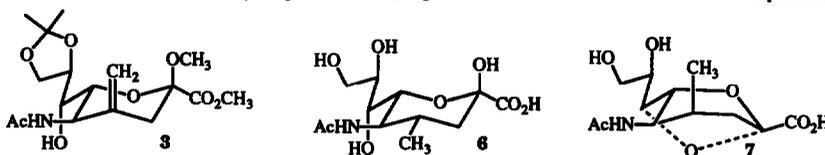


SYNTHESIS OF THE EPIMERIC PAIR OF 4-DEOXY-4-(R)- AND  
4-DEOXY-4-(S)-C-METHYL-N-ACETYLNEURAMINIC ACID

Michael HARTMANN and Erich ZBIRAL\*

Institut für Organische Chemie der Universität Wien, Währingerstraße 38, A - 1090 Wien, Austria

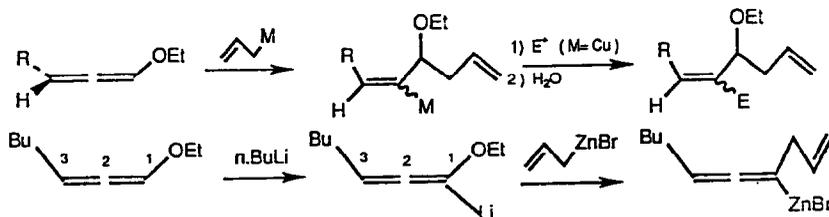
A 4-C-methylene sialic acid derivative **3** was obtained by the reaction of a 4-oxo-compound with  $\text{CH}_2\text{I}_2/\text{Zn}/\text{Cp}_2\text{ZrCl}_2$ .  
Sialic acids **6** and **7** were obtained after hydrogenation of **3**, separation of the mixture and removal of protective groups.



REACTIVITY OF ALLYL-ZINC (and copper) REAGENTS TOWARDS  
ALLENIC ETHERS AND  $\alpha$ -METALLATED ETHERS.

J.F. Normant, J.Ch. Quirion, Y. Masuda, A. Alexakis

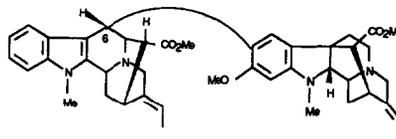
Université P. et M. Curie, Tour 44, 4 Place Jussieu F-75252 PARIS Cedex 05



### ALTERNATIVE PARTIAL SYNTHESIS OF BISINDOLE ALKALOIDS

G. MASSIOT, J.M. NUZILLARD, B. RICHARD and L. LE MEN-OLIVIER  
Laboratoire de Pharmacognosie, U.F.R. de Pharmacie,  
associé au C.N.R.S., 51 rue Cognacq-Jay, 51096 REIMS CEDEX-

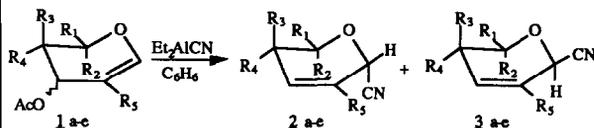
Indoline derivatives of the pericyclivine series are oxidized at position 6 with DDQ ; the corresponding alcohols are coupled with nucleophilic indolines under acid conditions to yield dimers similar to undulatine.



### DETERMINATION OF THE ANOMERIC CONFIGURATION OF 1-CYANO- $\Delta^{2,3}$ -UNSATURATED SUGARS FROM $J_{C(1)-H}$ COUPLING VALUES.

Martine Bonin, David S. Grierson, Institut de Chimie des Substances Naturelles du C.N.R.S., 91198, Gif-sur-Yvette.

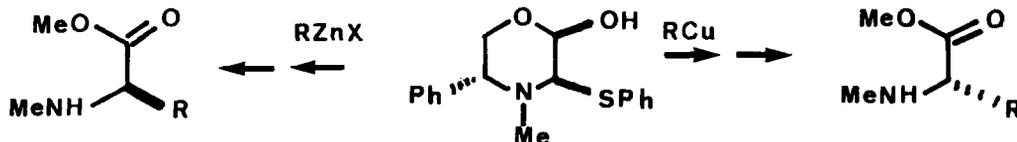
Claude Monneret, Jean-Claude Florent, Faculté des Sciences Pharmaceutiques et Biologiques, 4, Avenue de l'Observatoire, 75270 Paris Cedex 06.



Measurement of  $J_{C(1)-H}$  coupling constants provides a direct means for assignment of the anomeric configuration of the 1-cyano- $\Delta^{2,3}$ -unsaturated sugars **2 a-e** and **3 a-e**.

### A NEW CHIRAL GLYCINE-CATION EQUIVALENT. ASYMMETRIC SYNTHESIS OF OPTICALLY PURE $\beta$ -AMINO ALCOHOLS AND $\alpha$ -AMINO ESTERS.

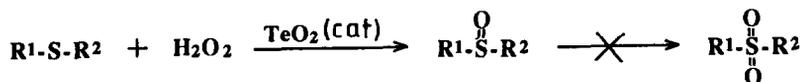
Claude Agami\*, François Couty, Jean-Claude Daran, Béatrice Prince, Catherine Puchot  
Université P. et M. Curie, F-75005 Paris.



### TELLURIUM DIOXIDE CATALYZED SELECTIVE OXIDATION OF SULFIDES TO SULFOXIDES WITH HYDROGEN PEROXIDE

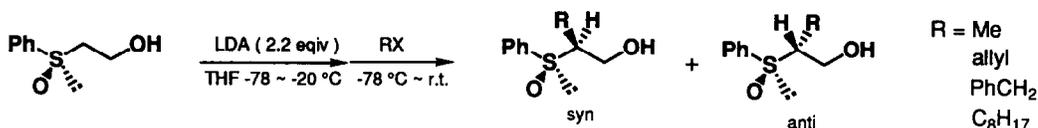
Kwan Soo Kim\*, Hye Jung Hwang, Chan Seong Cheong, and Chi Sun Hahn  
Department of Chemistry, Yonsei University, Seoul 120-749, Korea

Olefine, diol, and carbonyl compounds were not affected.



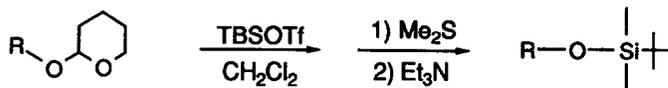
**ALKYLATION OF 2-PHENYLSULFINYLETHANOL***Tetrahedron Lett.* **1990**, *31*, 2895

Hiromichi Ohta,\* Shinsuke Matsumoto and Takeshi Sugai

Department of Chemistry, Keio University, Hiyoshi 3-14-1, Kohoku-ku  
Yokohama 223 JapanThe syn isomers were formed preferentially except in the case of R = C<sub>8</sub>H<sub>17</sub>.**A NOVEL METHOD FOR DIRECT CONVERSION OF TETRAHYDRO-PYRANYL ETHERS INTO t-BUTYLDIMETHYLSILYL ETHERS WITH t-BUTYLDIMETHYLSILYL TRIFLATE AND DIMETHYL SULFIDE***Tetrahedron Lett.* **1990**, *31*, 2899

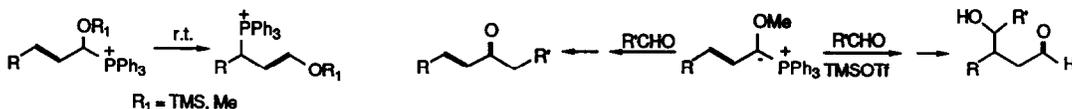
Sunggak Kim\* and In Seo Kee

Department of Chemistry, Korea Advanced Institute of Science &amp; Technology, Seoul 130-012, Korea

**ALLYLIC 1,3-REARRANGEMENT OF 1-ALKOXYALLYLPHOSPHONIUM SALTS: REGIOCHEMISTRY OF REACTION OF 1-ALKOXY-2-ALKENYLENEPHOSPHORANES WITH ALDEHYDES***Tetrahedron Lett.* **1990**, *31*, 2901

Sunggak Kim\* and Youn Chul Kim

Department of Chemistry, Korea Advanced Institute of Science &amp; Technology, Seoul 130-012, Korea

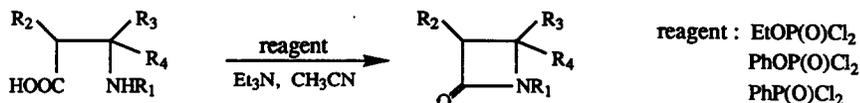
**NEW METHODS FOR β-LACTAM FORMATION FROM β-AMINO ACIDS WITH ORGANOPHOSPHOROUS COMPOUNDS***Tetrahedron Lett.* **1990**, *31*, 2905

Chang Woo Kim and Bong Young Chung\*

Department of Chemistry, Korea University, Seoul 136-701, Korea

Ja-Young Namkung, Joo Moon Lee and Sunggak Kim\*

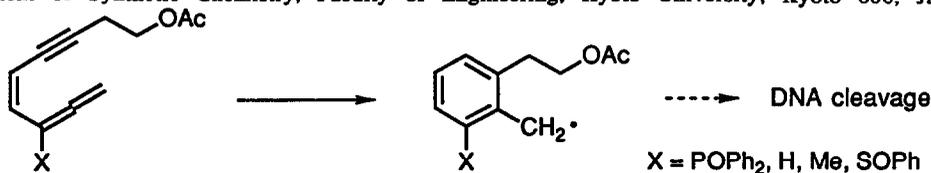
Department of Chemistry, Korea Advanced Institute of Science &amp; Technology, Seoul 130-012, Korea



**DNA Cleavage by Acyclic Ene-yne-Allene Systems Related to Neocarzinostatin and Esperamicin-Calicheamicin**

Ryu Nagata, Hidenori Yamanaka, Eiji Murahashi, and Isao Saito\*

Department of Synthetic Chemistry, Faculty of Engineering, Kyoto University, Kyoto 606, Japan

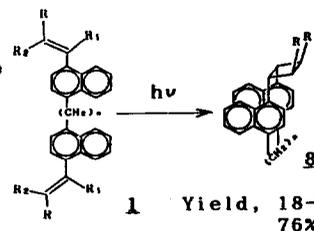


**STEREOSELECTIVE SYNTHESIS OF NAPHTHALENOPHANES AND EFFECTS OF THE TETHER LENGTH AND SUBSTITUENTS ON THE PHOTOCHEMICAL REACTION COURSES OF VINYLNAPHTHALENES**

J. Nishimura,\* M. Takeuchi, H. Takahashi, and M. Sato

Department of Chemistry, Gunma University, Tenjin-cho, Kiryu 376, Japan

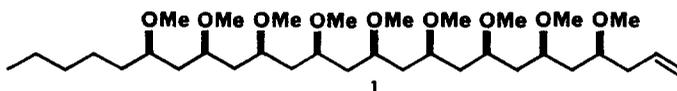
The photocycloadditions of vinylnaphthalenes **1** to naphthalenophanes **5** - **8** were affected by the tether length and by substituents at vinyl groups.



**SYNTHETIC STUDY ON 1,3-POLYOLS. TOTAL SYNTHESIS OF (4S,6S,8S,10S,12R,14R,16R,18R,20R)-NONAMETHOXY-1-PENTACOSENE FROM BLUE-GREEN ALGAE**

Yuji Mori,\* Yasunori Kohchi, Toshimi Ota, and Makoto Suzuki  
Faculty of Pharmacy, Meijo University, Tempaku, Nagoya 468, Japan

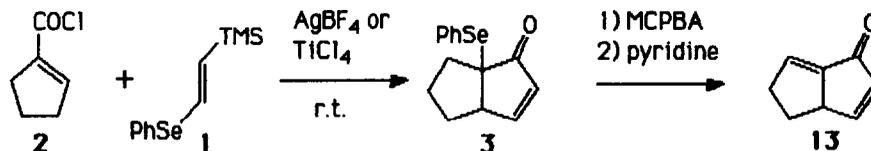
Isotactic nonamethoxy-1-pentacosene **1** has been synthesized in convergent manner and the absolute configuration was determined.



**CYCLOPENTENONE ANNULATION REACTION USING (E)-1-(PHENYLSELENO)-2-(TRIMETHYLSILYL)ETHENE**

Shoko Yamazaki,\* Mie Hama, and Shinichi Yamabe

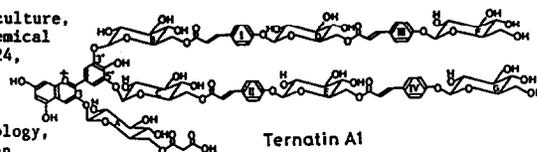
Department of Chemistry, Nara University of Education, Takabatake-cho, Nara 630, Japan



*Tetrahedron Lett.* 1990, 31, 2921

**STRUCTURE OF TERNATIN A1, THE LARGEST TERNATIN IN THE MAJOR BLUE ANTHOCYANINS FROM CLITORIA TERNATEA FLOWERS**

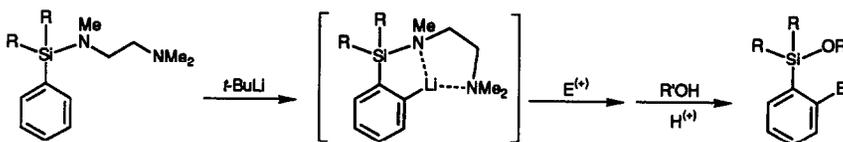
N.Terahara\*, N.Saito\*+, T.Honda#, K.Toki\$ and Y. Osajima++  
Department of Food Science and Technology, College of Horticulture, Minami-Kyushu University, Takanahe, Miyazaki 884, Japan; +Chemical Laboratory, Meiji-gakuin University, Totsuka-ku, Yokohama 224, Japan; #Institute of Medical Chemistry, Hoshi University, Shinagawa-ku, Tokyo 142, Japan; \$Department of Horticulture, College of Horticulture, Minami-Kyushu University, Takanahe, Miyazaki 884, Japan; ++Department of Food Science and Technology, Faculty of Agriculture, Kyushu University, Fukuoka 812, Japan.



*Tetrahedron Lett.* 1990, 31, 2925

**ORTHO LITHIATION DIRECTED BY AMINO GROUPS ON SILICON IN PHENYLSILANE DERIVATIVES**

Kohei Tamao,\* Hajime Yao, Yasushi Tsutsumi, Hideyuki Abe, Takashi Hayashi, and Yoshihiko Ito\*  
*Department of Synthetic Chemistry, Faculty of Engineering, Kyoto University, Kyoto 606, Japan*

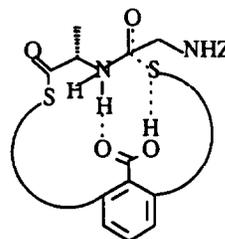


*Tetrahedron Lett.* 1990, 31, 2929

**PEPTIDE BOND FORMATION USING AN ENZYME MIMICKING APPROACH**

Cesare Gennari\*, Francesco Molinari, Umberto Piarulli  
*Dipartimento di Chimica Organica e Industriale, Università di Milano, Centro CNR Sost.Org.Nat., via Venezian 21, 20133 Milano, Italy.*

A man-made enzyme-model based on a concerted proton transfer (bifunctional catalysis), and mimicking the non-ribosomal peptide synthesis occurring in some microorganisms, was developed.



*Tetrahedron Lett.* 1990, 31, 2933

**A VERSATILE ROUTE TO SUBSTITUTED PHOSPHINIC ACIDS**

E. Andrew Boyd<sup>a</sup>, Martin Corless<sup>b</sup>, Keith James<sup>b</sup> and Andrew C. Regan<sup>\*a</sup>

<sup>a</sup> Chemical Laboratory, The University, Canterbury, Kent CT2 7NH, U.K.

<sup>b</sup> Pfizer Central Research, Sandwich, Kent CT13 9NJ, U.K.

(TMSO)<sub>2</sub>PH reacts as the synthon shown, in addition to unsaturated esters to give phosphinic acids.

