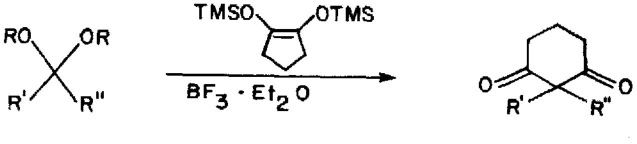
GRAPHICAL ABSTRACTS

Tetrahedron Lett.30,1021(1989)

A RE-EXAMINATION OF THE ACID-CATALYSED REACTION OF KETALS WITH 1,2-BIS(TRIMETHYLSILOXY)CYCLOPENTENE

Yong-Jin Wu and D. Jean Burnell*
Department of Chemistry, Memorial University of Newfoundland, St. John's, Newfoundland, Canada A1B 3X7

1,2-Bis(trimethylsiloxy)cyclopentene reacts with ketals to give 2,2-disubstituted 1,3-cyclohexanediones in good yields.

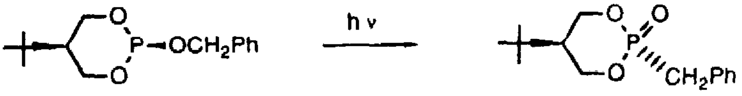


Tetrahedron Lett.30,1025(1989)

PHOTOREARRANGEMENTS OF BENZYL PHOSPHITES. STEREO-CHEMISTRY AT PHOSPHORUS.

Matthew Cairns and Wesley G. Bentrude*
Department of Chemistry, University of Utah, Salt Lake City, Utah 84112

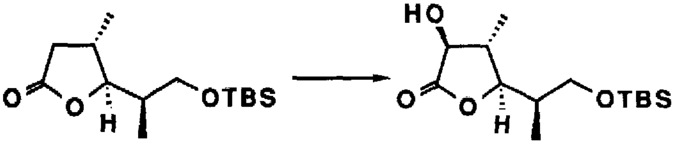
The photo-Arbuzov reactions of diastereomeric benzyl phosphites were found to proceed with retention of configuration at phosphorus as shown.



Tetrahedron Lett.30,1029(1989)

α-OXYGENATION OF A TRANS-3,4-DISUBSTITUTED γ-LACTONE. A COMPARATIVE STUDY.

Michael J. Taschner* and Anand S. Aminbhavi
Department of Chemistry, University of Akron, Akron, Ohio 44325

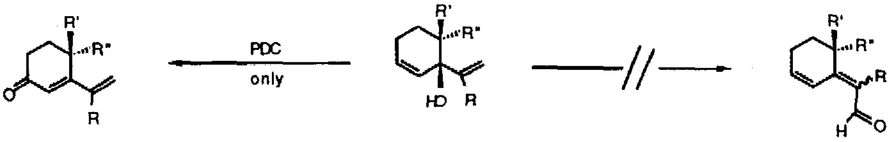


A study of the control of the stereochemistry in the α-oxygenation process is reported.

Tetrahedron Lett.30,1033(1989)

1,3-Oxidative Rearrangements of Dienols. George Majetich, Stephen Condon, Kenneth Hull and Saeed Ahmad
Department of Chemistry, *The University of Georgia*, Athens, Georgia 30602.

1-Vinyl-2-cycloalken-1-ols oxidize to form conjugated dienones even in the presence of acid sensititve functionality via a [3,3]-sigmatropic rearrangement mechanism.

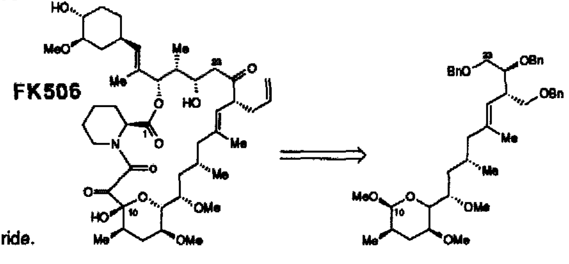


Tetrahedron Lett. 30, 1037 (1989)

AN ENANTIOSELECTIVE SYNTHESIS OF THE C(10) TO C(23) BACKBONE OF THE POTENT IMMUNOSUPPRESSANT FK506

Amos B. Smith III* and Karl J. Hale,
Department of Chemistry, The Laboratory for Research on the Structure of Matter and The Monell Chemical Senses Center, University of Pennsylvania, Philadelphia, Pennsylvania 19104.

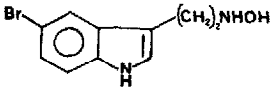
An efficient, stereocontrolled route to a functional equivalent of the C(10) to C(23) backbone of FK506 is reported. Two new reactions have been developed in our strategy; these are the opening of *trans*-disubstituted acyclic epoxides with vinylalane ate complexes, and the reductive desulfonylation of α-ketosulfones with tri-*n*-butyltin hydride.



Tetrahedron Lett. 30, 1041 (1989)

SYNTHESIS OF N(10)-ACETYLEUDISTOMIN L

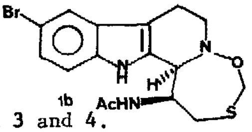
Ian W.J. Still* and Juris R. Strautmanis
J. Tuzo Wilson Research Laboratories, Erindale Campus,
University of Toronto in Mississauga, Mississauga, Ontario, Canada L5L 1C6



3

$OHCCH(NHAc)CH_2SCH_2SiMe_3$

4



1b

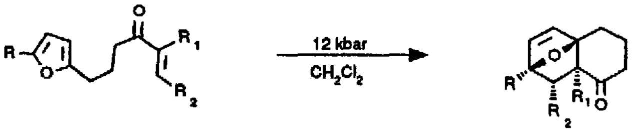
The title compound 1b has been synthesized from 3 and 4. Formation of the novel 1,3,7-oxathiazepine ring involves an unprecedented intramolecular sila-Pummerer reaction.

Tetrahedron Lett. 30, 1045 (1989)

HIGH PRESSURE INTRAMOLECULAR DIELS-ALDER REACTIONS OF THE FURAN DIENE

Brian A. Keay* and Peter W. Dibble
Department of Chemistry and Biochemistry, University of Windsor, Windsor, Ontario, Canada, N9B 3P4.

A variety of substituted furans undergo the intramolecular Diels-Alder reaction at high pressure (12.5 kbar) to provide oxatricyclo adducts within 24 hours at room temperature.

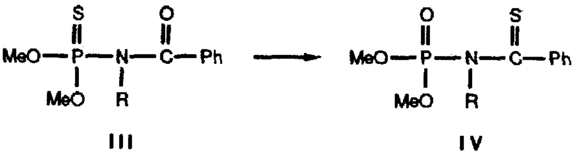


Tetrahedron Lett. 30, 1047 (1989)

AN UNUSUAL REARRANGEMENT OF N-SUBSTITUTED THIOPHOSPHORYL CARBONYL MIXED IMIDES

Kenneth E. DeBruin* and Eric E. Boros
Department of Chemistry, Colorado State University, Fort Collins, Colorado 80523

N-Substituted O,O-dimethyl N-benzoyl phosphoramidothioates (III, R = Me, Ph) undergo an uncatalyzed unimolecular rearrangement whereby the carbonyl oxygen atom exchanges position with the thiophosphoryl sulfur atom and forms O,O-dimethyl N-thiobenzoyl phosphoramidates (IV, R = Me, Ph). Unsubstituted III (R = H) does not undergo this uncatalyzed rearrangement.



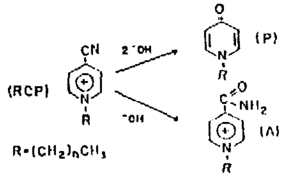
$$\underset{\textbf{III}}{MeO-\overset{\overset{\Large S}{\|}}{\underset{\underset{\large MeO}{|}}{P}}-\underset{\underset{\large R}{|}}{N}-\overset{\overset{\Large O}{\|}}{C}-Ph} \longrightarrow \underset{\textbf{IV}}{MeO-\overset{\overset{\Large O}{\|}}{\underset{\underset{\large MeO}{|}}{P}}-\underset{\underset{\large R}{|}}{N}-\overset{\overset{\Large S}{\|}}{C}-Ph}$$

Tetrahedron Lett. 30, 1051 (1989)

KINETIC DEMONSTRATION OF PREMICELLAR AGGREGATION. THE ALKALINE HYDROLYSIS OF N-HEXADECYL-4-CYANOPYRIDINIUM BROMIDE

N. Hioka*, M.J. Politi** and H. Chaimovich**
*Universidade Estadual Maringá, PR, **Instituto de Química, Universidade de São Paulo, C.P. 20780, CEP 01498, SP. Brasil.

Both rate and product composition for the alkaline hydrolysis of N-hexadecyl-4-cyanopyridinium (HCP) bromide varied with [HCP] below the critical micelle concentration (CMC). The data demonstrated the existence of premicellar aggregation below the CMC.

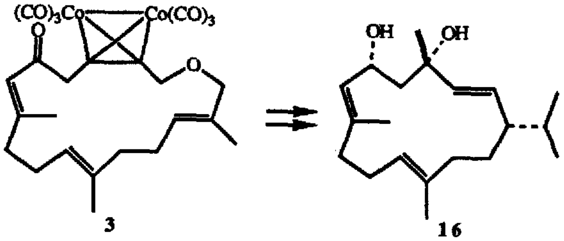


Tetrahedron Lett. 30, 1055 (1989)

ENANTIOSELECTIVE TOTAL SYNTHESIS OF (+)-α-2,7,11-CEMBRATRIENE-4,6-DIOL (α-CBT)

James A. Marshall and Edward D. Robinson
Department of Chemistry, University of South Carolina, Columbia, South Carolina 29208 U.S.A.

α-CBT (16), a plant growth and tumor inhibitory constituent of tobacco, was synthesized enantioselectively from the Co-alkynone complex 3.



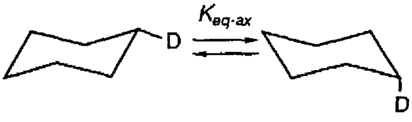
3

16

Tetrahedron Lett. 30, 1059 (1989)

THE CONFORMATIONAL PREFERENCE (A VALUE) OF DEUTERIUM IN MONODEUTERIOCYCLOHEXANE FROM DEUTERON INTEGRATION AT LOW TEMPERATURES

FRANK A. L. ANET* AND DANIEL J. O'LEARY
Department of Chemistry and Biochemistry, University of California, Los Angeles
Los Angeles, California 90024, USA

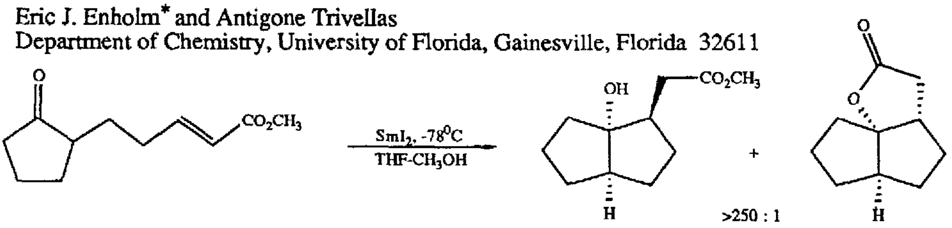


The A value of deuterium in cyclohexane- d_1 is 8.3 ± 1.5 cal/mol from peak integration of the D {^{1}H} NMR spectrum at -95 °C.

Tetrahedron Lett. 30, 1063 (1989)

LANTHANIDE INDUCED INTRAMOLECULAR COUPLING OF ALDEHYDES AND KETONES WITH ELECTRON-DEFICIENT OLEFINS

Eric J. Enholm* and Antigone Trivellas
Department of Chemistry, University of Florida, Gainesville, Florida 32611

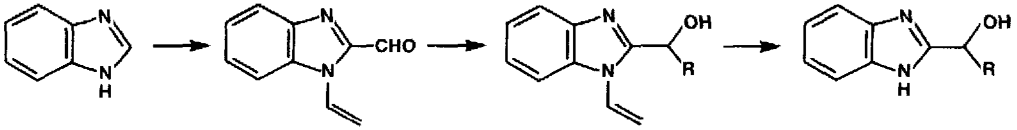


Tetrahedron Lett. 30,1067(1989)

VINYL PROTECTING GROUP FOR BENZIMIDAZOLE NITROGEN: SYNTHESIS OF BENZIMIDAZOLE-PENAM ALCOHOL

Yuhpyng L. Chen*, Kirk G. Hedberg and Karen J. Guarino
Central Research, Pfizer Inc., Groton, Connecticut 06340

Use of the vinyl moiety as a protecting group for benzimidazole nitrogen in the synthesis of benzimidazole 2-carboxaldehyde is described. The protected carboxaldehyde is an intermediate in the synthesis of 6-(2-benzimidazole)hydroxymethyl penicillanic acid. Deprotection is achieved by ozonolysis.

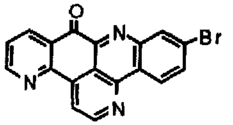


Tetrahedron Lett. 30,1069(1989)

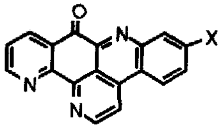
CHEMISTRY OF 2-BROMOLEPTOCLINIDINONE, STRUCTURE REVISION

Florecita S. de Guzman and Francis J. Schmitz*
Department of Chemistry, University of Oklahoma, Norman, Ok 73019

The structure **1** reported for 2-bromoleptoclinidinone has been revised to **3** on the basis of selective long-range $^1H/^{13}C$ polarization experiments and chemical correlation with ascididemin, **2**.



1

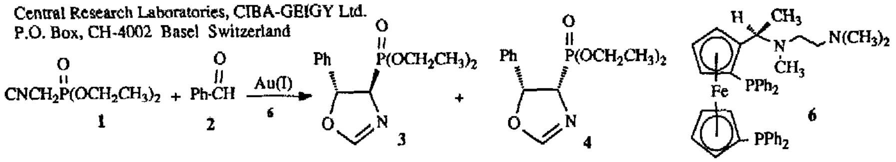


2 X = H
3 X = Br

Tetrahedron Lett. 30,1071(1989)

Enantioselective Synthesis of β-Hydroxy-α-aminophosphonic Acid Precursors

ANTONIO TOGNI* and STEPHEN D. PASTOR
Central Research Laboratories, CIBA-GEIGY Ltd.
P.O. Box, CH-4002 Basel Switzerland



The gold(I)-catalyzed reaction of 1 with 2 using the chiral ligand 6 gave 3 (85% ee). The use of ^{31}P NMR spectroscopy with (*S*)-(+)-2,2,2-trifluoro-1-(9-anthryl)ethanol was found to be a powerful tool for determination of optical purity.

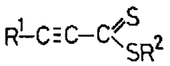
Tetrahedron Lett. 30,1073(1989)

α,β-ACETYLENIC DITHIO AND THIONO ESTERS

Klaus Hartke, Hans-Dieter Gerber and Ulrich Roesrath

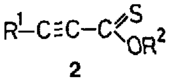
Institut für Pharmazeutische Chemie der
Universität Marburg,
Marbacher Weg 6, D-3550 Marburg/Lahn, Germany

Syntheses and properties of α,β-acetylenic dithio esters 1 and thiono esters 2 are described.



$R^1{-}C{\equiv}C{-}C\begin{smallmatrix}{\nearrow}S\\ \searrow SR^2\end{smallmatrix}$

1



$R^1{-}C{\equiv}C{-}C\begin{smallmatrix}{\nearrow}S\\ \searrow OR^2\end{smallmatrix}$

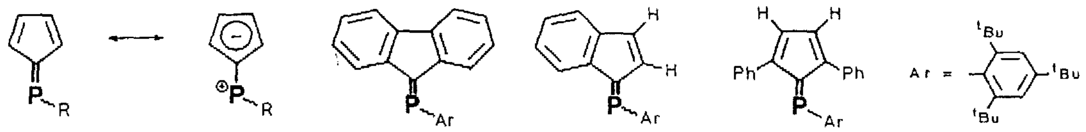
2

Phosphapentafulvene

Tetrahedron Lett. 30, 1077 (1989)

G. Märkl und K.M. Raab, Institut für Organische Chemie der
Universität Regensburg, Universitätsstr. 31, D-8400 Regensburg, BRD

Dibenzo- and benzophosphapentafulvene as well as a phosphapentafulvene derivative itself have been synthesized by various methods as stable, crystalline, yellow to red compounds.

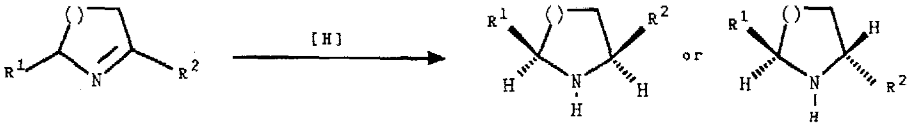


Tetrahedron Lett. 30, 1081 (1989)

REDUCTION OF 2,5-DIALKYLPYRROLINES; A KEY STEP TO A SYNTHESIS OF NATURAL INSECTICIDES

D.Bacos, J.P.Celerier, E.Marx, C.Saliou and G.Lhommet
Universite P.et M.Curie, Laboratoire de Chimie des Heterocycles and U.A. 455
4 Place Jussieu. 75252 Paris cedex. France.

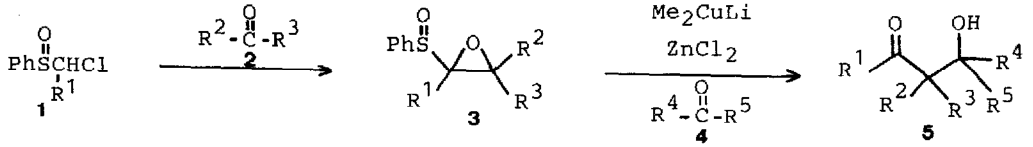
A stereospecific (cis) or stereoselective (trans) synthesis of dialkyl or dialkenyl 2,5-pyrrolidines.



Tetrahedron Lett. 30, 1083 (1989)

THE REACTION OF α,β-EPOXY SULFOXIDES WITH LITHIUM DIMETHYLCUPRATE GIVING ENOLATES: A NOVEL SYNTHESIS OF ALDOLS

Tsuyoshi Satoh, Atsushi Sugimoto, Masayuki Itoh, and Koji Yamakawa*
Faculty of Pharmaceutical Sciences, Science Univ. of Tokyo, Shinjuku-ku, Tokyo 162, Japan



Tetrahedron Lett. 30, 1087 (1989)

LIGNAD-COUPLING THROUGH σ-SULFRANE FORMED ON TREATMENT OF SULFILIMINES WITH HYDRIDE

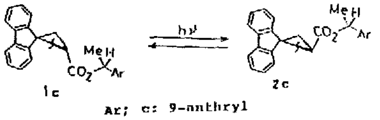
Kyoung Soo Kim, In Bae Jung, Yong Hae Kim*, and Shigeru Oae+
Department of Chemistry, Korea Advanced Institute of Science and Technology, P.O. Box 150, Cheongyang-Ni, Seoul, Korea, + Okayama University of Science, Ridai-cho, 1-1, Okayama 770 Japan

Tetrahedron Lett. 30, 1091 (1989)

ASYMMETRIC INDUCTION VIA INTRAMOLECULAR T_2-SENSITIZATION: PHOTOISOMERIZATION OF α-(9"-ANTHRYL)ETHYL SPIRO[CYCLOPROPANE-1,9'-FLUORENE]-2-CARBOXYLATES

K.Okada,*[a] H. Sakai,[a] M.Oda,*[a] A. Yoshimura,[b] T. Ohno[b]
Department of Chemistry, [a]Faculty of Science, [b]College of General Education, Osaka University, Toyonaka, Osaka 560, Japan

The photoisomerization (1c⇄2c) proceeds diastereoselectively via intramolecular T_2-sensitization.

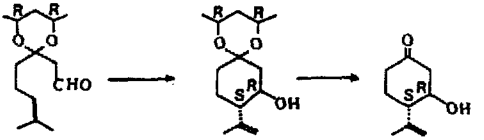


Tetrahedron Lett. 30, 1095 (1989)

DIASTEREOSELECTIVE CYCLIZATION OF 6-OCTEN-1-ALS WITH RHODIUM(I)-COMPLEX

Kazuhisa Funakoshi, Nagako Togo, and Kiyoshi Sakai*
Faculty of Pharmaceutical Sciences, Kyushu University, Fukuoka 812, JAPAN

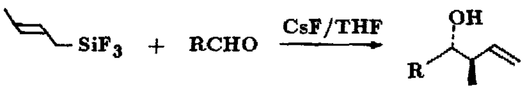
Rh(I)-catalyzed cyclization of 6-octen-1-als with chiral protecting group at the C_3 afforded diastereo-selectively the trans-cyclohexanol.

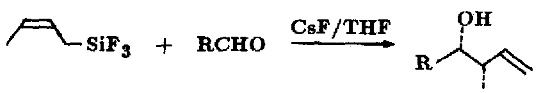


Tetrahedron Lett. 30, 1099 (1989)

Stereohomogeneous Synthesis of (E)- and (Z)-Crotyl-trifluorosilanes and Highly Stereoselective Allylation of Aldehydes

Mitsuo Kira,* Takakazu Hino, and Hideki Sakurai*
Department of Chemistry, Faculty of Science, Tohoku University, Sendai 980, Japan



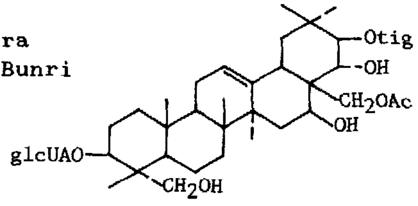


Tetrahedron Lett. 30, 1103 (1989)

STRUCTURE STUDIES OF NEW ANTISWEET CONSTITUENTS FROM GYMNEMA SYLVESTRE

K.Yoshikawa*, K.Amimoto, S.Arihara and K.Matsuura
Faculty of Pharmaceutical Sciences, Tokushima-Bunri University, Tokushima-Shi, Tokushima, 770, Japan

Gymnemic acids I, II, III and IV have been isolated as antisweet principles from G.sylvestre and are described herein.

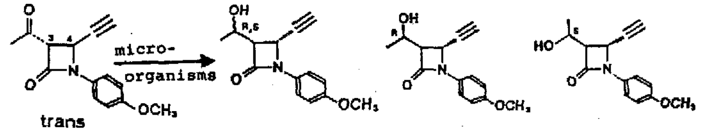


Gymnemic acid I

Tetrahedron Lett. 30, 1107 (1989)

MICROBIAL TRANSFORMATION OF *dl* 3-ACETYL-AZETIDINONE DERIVATIVE

Koichi Hirai* and Atsushi Naito. New Lead Res.* and Fermentation Res. Lab.
Sankyo Co., Ltd., Shinagawa-ku, Tokyo 140



dl-1 2 3 4

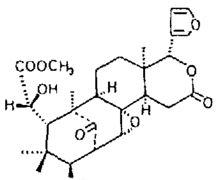
dl-1 was transformed into 2 (trans), 3 (cis) and 4 (cis) depending on the microorganisms employed.

Tetrahedron Lett. 30, 1111 (1989)

ANTAGONISTS OF PLATELET ACTIVATING FACTOR FROM SWIETENIA MAHOGANI (L.) JACQ

Shigetoshi Kadota,[a] Lamek Marpaung,[a] Tohru Kikuchi,[a,*] and Hisao Ekimoto[b]
Research Institute for Wakan-Yaku (Oriental Medicines), Toyama Medical and Pharmaceutical University,[a] Sugitani, Toyama, Japan, Research Laboratories Pharmaceutical Group Nippon Kayaku Co.,[b] Shimo, Kita-ku, Tokyo, Japan.

The structures of swietemahonin A (1), E (2), and 3-acetylswietenolide, isolated as antagonists of platelet activating factor from the ether extract of Swietenia mahogani, were determined based on the 2-D NMR spectroscopy.

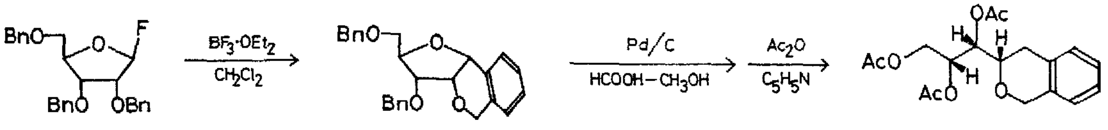


1: R = propionyl
2: R = tigloyl

Tetrahedron Lett. 30, 1115 (1989)

STRUCTURAL ELUCIDATION AND A NOVEL REDUCTIVE CLEAVAGE OF RIBOFURANOSYL RING C-1 – O BOND OF THE INTRAMOLECULAR C-ARYLATION PRODUCT OF TRI-O-BENZYL-β-D-RIBOFURANOSYL FLUORIDE;

Younosuke Araki,* Eiji Mokubo, Naoki Kobayashi, Jun'ichi Nagasawa, and Yoshiharu Ishido; Department of Chemistry, Faculty of Science, Tokyo Institute of Technology, O-okayama, Meguro-ku, Tokyo 152, JAPAN

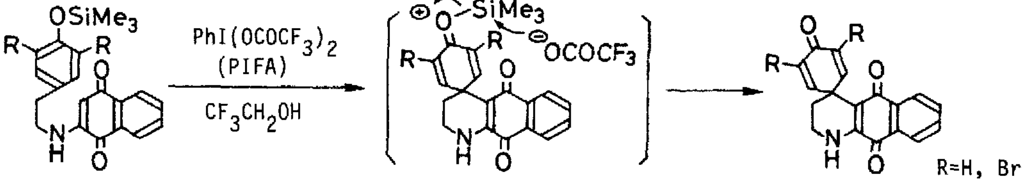


1 2, 83% 9, 68%

Tetrahedron Lett. 30, 1119 (1989)

A SYNTHETIC APPROACH TO DISCORHABDIN ALKALOIDS: HYPERVALENT IODINE OXIDATION OF p-SUBSTITUTED PHENOL DERIVATIVES TO AZACARBOCYCLIC SPIRODIENONES

Yasuyuki Kita,* Takayuki Yakura, Hirofumi Tohma, Kazumi Kikuchi, and Yasumitsu Tamura
Faculty of Pharmaceutical Sciences, Osaka University, 1-6, Yamada-oka, Suita, Osaka 565 Japan

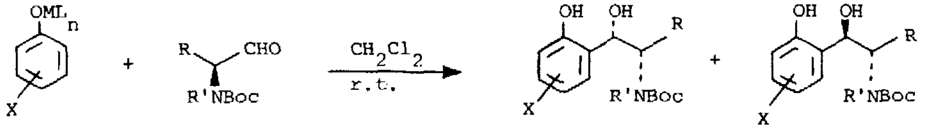


R=H, Br

Tetrahedron Lett. 30,1121(1989)

HIGHLY REGIO- AND DIASTEREOSELECTIVE FRIEDEL-CRAFTS ALKYLATION OF PHENOLS WITH α-AMINO ALDEHYDES. SYNTHESIS OF OPTICALLY ACTIVE EPHEDRINE-LIKE COMPOUNDS.

Franca Bigi,+ Giuseppe Casnati,Giovanni Sartori,Gianluca Araldi and Gabriele Bocelli
Istituto di Chimica Organica dell'Università
Viale delle Scienze, I-43100 Parma, Italy

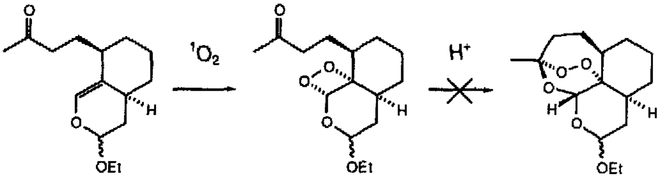


Tetrahedron Lett. 30,1125(1989)

AN UNSUCCESSFUL APPROACH TO THE FRAMEWORK OF THE ANTIMALARIAL, ARTEETHER

Falmai Binns and Timothy W. Wallace*
Department of Chemistry and Applied Chemistry, University of Salford, Salford M5 4WT, U.K.

The acetal **7**, prepared using a new acetone anion equivalent, reacted with singlet oxygen to produce mixtures containing the dioxetane **6**, but treatment with acid failed to generate the analogue **4** of the antimalarial, arteether.

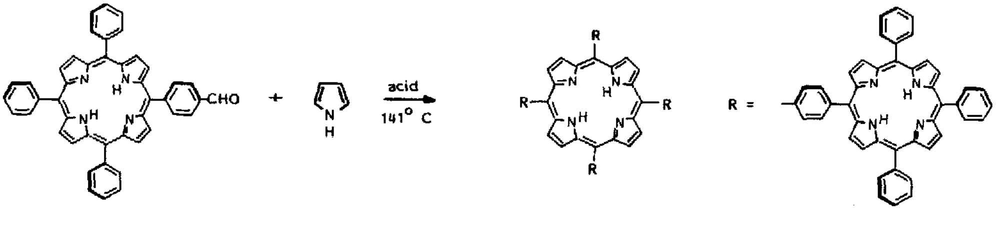


7 6 4

Tetrahedron Lett. 30,1129(1989)

A MACROCYCLE WITH FIVE COVALENTLY LINKED PORPHYRIN UNITS

O. Wennerström, H. Ericsson, I. Raston, S. Svensson and W. Pimlott
Department of Organic Chemistry, Chalmers University of Technology, S-412 96 Göteborg, Sweden

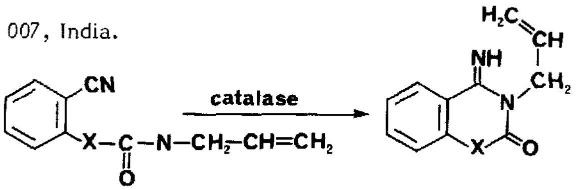


Tetrahedron Lett. 30,1133(1989)

CATALASE-MEDIATED CYCLIZATION : SYNTHESIS OF 3-ALLYL-4-IMINO SUBSTITUTED 2(1H)-QUINAZOLINONES AND 1,3-BENZOXAZINE-2(3H)-ONES

Ahmed Kamal* and P.B. Sattur
Regional Research Laboratory, Hyderabad 500 007, India.

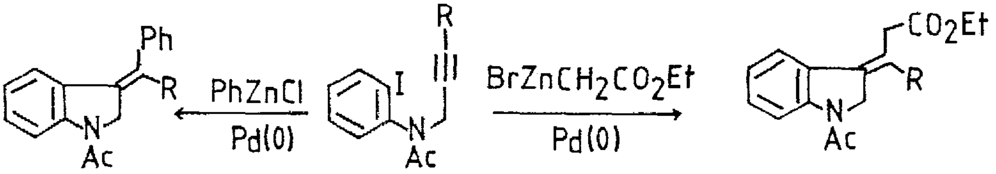
Application of catalase for the cyclization of N-allylcarbamoyl anthranilonitriles as well as O-allylcarbamoyl salicylonitrile to 2(1H)-quinazolinones and 1,3-benzoxazine-2(3H)-ones is described.



Tetrahedron Lett. 30, 1135 (1989)

REGIOSPECIFIC PALLADIUM CATALYSED TANDEM CYCLISATION-ANION CAPTURE PROCESSES. STEREOSPECIFIC GROUP TRANSFER FROM ORGANOZINC AND ORGANOBORON REAGENTS.

Barry Burns, Ronald Grigg*, Visuvanathar Sridharan, Paul Stevenson, Sukanthini Sukirthalingam and Tanachat Worakun
Department of Chemistry, Queen's University, Belfast BT9 5AG, Northern Ireland.

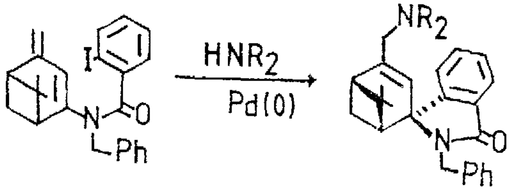


Tetrahedron Lett. 30, 1139 (1989)

REGIOSPECIFIC PALLADIUM CATALYSED TANDEM CYCLISATION-ANION CAPTURE PROCESSES. CARBON-, NITROGEN- AND OXYGEN-CENTRED NUCLEOPHILES.

Ronald Grigg*, Visuvanathar Sridharan, Sukanthini Sukirthalingam and Tanachat Worakun
Department of Chemistry Queen's University, Belfast BT9 5AG, Northern Ireland.

Intermediate organopalladium species generated in cyclisation processes can be intercepted by stabilised carbanions, secondary amines or acetate ion.



A NEW SYNTHESIS OF (±) SARKOMYCIN FROM A β-KETOPHOSPHONATE

Tetrahedron Lett. 30, 1143 (1989)

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Centre of Molecular and Macromolecular Studies, Polish Academy of Sciences,
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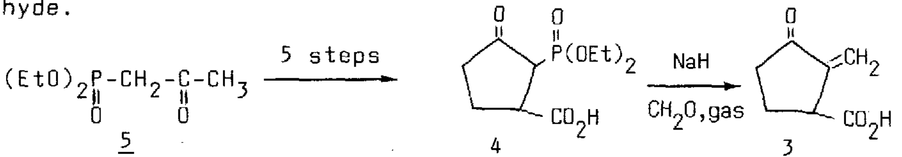
The total synthesis of (±)sarkomycin 3 starting from diethyl 2-oxopropanephosphonate 5 is reported. The key step is the Horner-Wittig reaction of 4 with formaldehyde.



Tetrahedron Lett. 30, 1147 (1989)

UMBRACULUMIN-B, AN UNUSUAL 3-HYDROXYBUTYRIC ACID ESTER FROM THE OPISTHOBRANCH MOLLUSC *UMBRACULUM MEDITERRANEUM*

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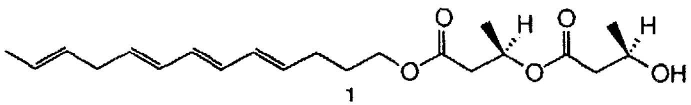
^Istituto di Chimica, Università della Basilicata, via N. Sauro 85, 85100 Potenza, Italy

Spectral and chemical data led to structure 1 for Umbraculumin-B, isolated from the skin of *U. mediterraneum*.



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Tetrahedron Lett. 30,1149(1989)

Glycolaldehyde in Organic Synthesis

P. Dinprasert, C. Mahidol, C. Thebtaranonth, Y. Thebtaranonth

Chulabhorn Research Centre and Department of Chemistry,
Mahidol University, Bangkok, Thailand.

The lithium alkoxide of glycolaldehyde can be generated and trapped *in situ* by keto- and ester enolates.