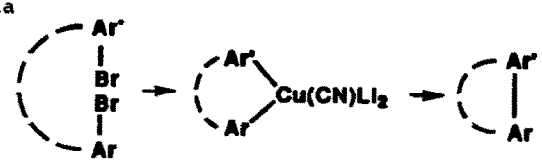
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 815

INTER- AND INTRAMOLECULAR BIARYL COUPLINGS VIA CYANOCUPRATE INTERMEDIATES

Bruce H. Lipshutz,* Frank Kayser and Nathalie Maullin
Department of Chemistry, University of California
Santa Barbara, CA 93106

Oxidations of diaryl cuprates containing selected hetero- and non-heteroaromatic ligands with O_2 at low temperatures in an inter- and intramolecular fashion to form unsymmetrical biaryls.

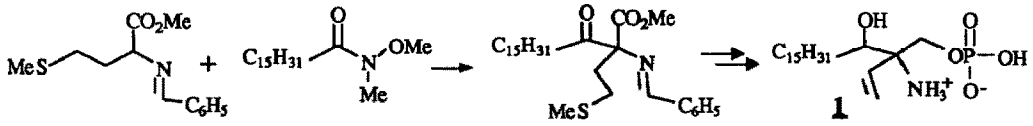


Tetrahedron Letters, **1994**, *35*, 819

Synthesis of an Inhibitor of Sphingosine-1-phosphate Lyase

Ahcene Boumendjel* and Stephen P. F. Miller
National Institutes of Health. Bld 10 Rm 3D-11, Bethesda MD 20892, USA

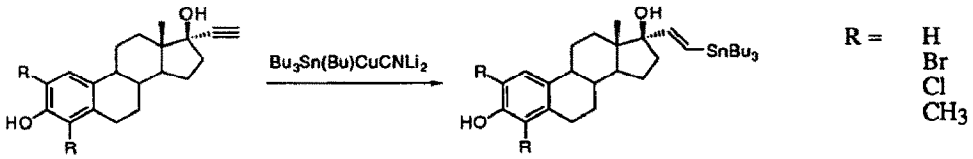
Compound **1** was designed, prepared and tested for inhibition of sphingosine-1-phosphate lyase.



Tetrahedron Letters, **1994**, *35*, 823

PREPARATION OF STEROIDAL VINYLSTANNANES BY STANNYL-CUPRATION OF ETHYNYLESTRADIOLS. Clark H. Cummins, Dow Chemical Company, Bldg. 1707, Midland, MI 48674, USA

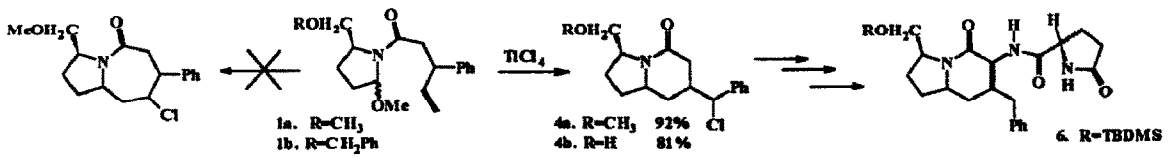
Stannylcupration of 17α-ethynylestradiols provides 17α-stannylvinyl estradiols, providing a non-reducing alternative to hydrostannylation.



Tetrahedron Letters, **1994**, *35*, 825

THE USE OF HMQC-TOCSY EXPERIMENTS FOR ELUCIDATING THE STRUCTURES OF BICYCLIC LACTAMS: UNCOVERING A SURPRISE REARRANGEMENT IN THE SYNTHESIS OF A KEY PRO-PHE BUILDING BLOCK. Kevin D. Moeller,* Cathleen E. Hanau, and André d' Avignon, Department of Chemistry, Washington University, St. Louis, Missouri 63130.

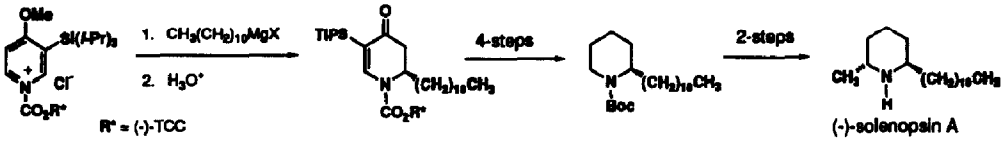
The $TiCl_4$ induced cyclizations of **1a** and **1b** were found to lead to the formation of six-membered ring lactams.



Tetrahedron Letters, **1994**, *35*, 829

ENANTIOPURE *N*-ACYLDIHYDROPYRIDONES AS SYNTHETIC INTERMEDIATES. AN ASYMMETRIC SYNTHESIS OF SOLENOPSIN A. Daniel L. Comins* and Nezha Radi Benjelloun, Department of Chemistry, North Carolina State University, Raleigh, NC 27695-8204 USA

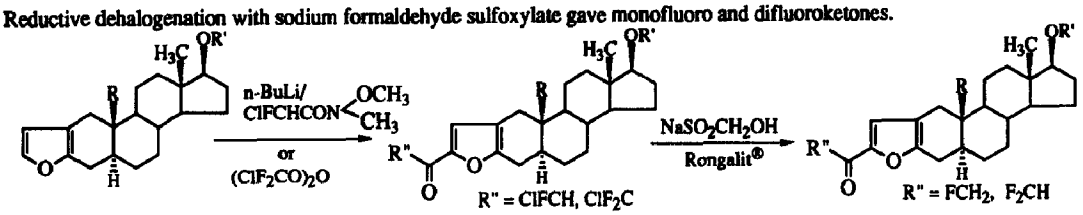
(-)-Solenopsin A was prepared in seven steps from 4-methoxy-3-(triisopropylsilyl)pyridine in 43% overall yield.



Tetrahedron Letters, **1994**, *35*, 833

Novel Method For The Preparation Of Monofluoroacetyl And Difluoroacetylfuran Derivatives. Virendra Kumar*, Patrick McCloskey, and Malcolm R. Bell†,Sterling Winthrop Pharmaceutical Research Division, Collegeville, Pennsylvania 19426 (USA)

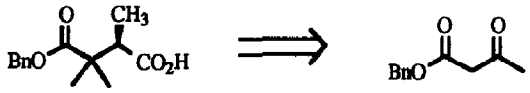
Reductive dehalogenation with sodium formaldehyde sulfoxylate gave monofluoro and difluoroketones.



Tetrahedron Letters, **1994**, *35*, 835

Synthesis of Chiral Succinates via Pd(0) Catalyzed Carbonylation / Asymmetric Hydrogenation Sequence.

John N. Freskos,* Scott A. Laneman, Melissa L. Reilly, and David H. Ripin. Monsanto Corporate Research, Monsanto Co. St. Louis, Mo. 63167.

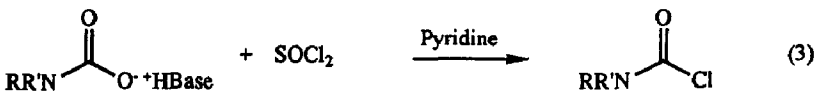


We report a novel 4 step synthesis of chiral trisubstituted succinic acid derivatives utilizing carbonylation of a vinyl triflate followed by catalytic asymmetric hydrogenation to yield the title compounds

Tetrahedron Letters, **1994**, *35*, 839

CONVERSION OF AMINES TO CARBAMOYL CHLORIDES USING CARBON DIOXIDE AS A PHOSGENE REPLACEMENT. William D. McGhee*, Yi Pan and John J. Talley, Monsanto Company, 800 N. Lindbergh Blvd., St Louis MO 63167.

Addition of thionyl chloride to a solution of a secondary amine carbamate generates the corresponding carbamoyl chlorides in good yields.

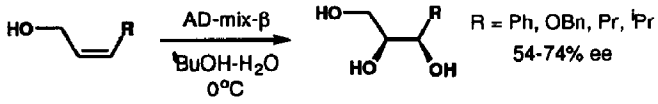


Tetrahedron Letters, **1994**, *35*, 843

THE ASYMMETRIC DIHYDROXYLATION OF CIS-ALLYLIC AND HOMOALLYLIC ALCOHOLS

Michael S. VanNieuwenhze and K. Barry Sharpless*, Department of Chemistry
Scripps Research Institute, 10666 N. Torrey Pines Rd., LaJolla, CA 92037

The asymmetric dihydroxylation of several cis-allylic and homoallylic alcohols is reported. Good levels of enantioselectivity are obtained in reactions employing the phthalazine ligand system.

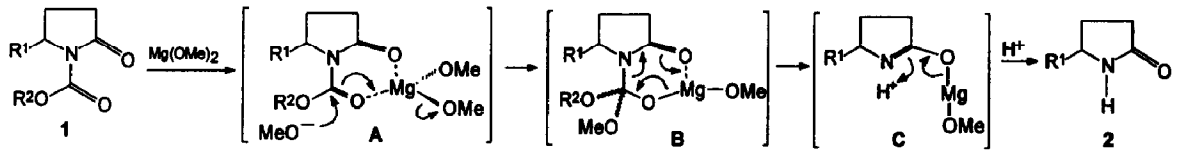


Tetrahedron Letters, **1994**, *35*, 847

A MECHANISM-BASED CLEAVAGE OF LACTAM-CARBAMATES.

Zhong-Yong Wei and *Edward E. Knaus, Faculty of Pharmacy, University of Alberta, Edmonton, Alberta, Canada T6G 2N8

Magnesium methoxide ia a simple, effective and highly selective reagent for the deprotection of N-alkoxycarbonyllactams.

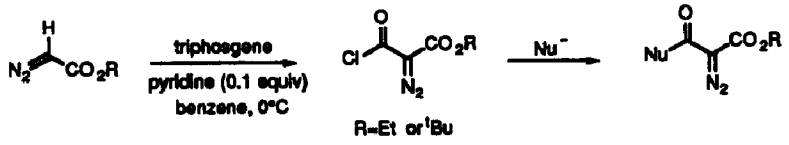


Tetrahedron Letters, **1994**, *35*, 849

ETHYL 2-DIAZOMALONYL CHLORIDE. AN EFFICIENT DIAZO-ACYLATING REAGENT

*Joseph P. Marino, Jr., Martin H. Osterhout, Alan T. Price, Scott M. Sheehan, and Albert Padwa**
Department of Chemistry, Emory University, Atlanta, Georgia 30322

Ethyl 2-diazomalonyl chloride readily reacts with aromatic and aliphatic amines, alcohols, thiols, and amides to form a variety of α-diazo carbonyl species.

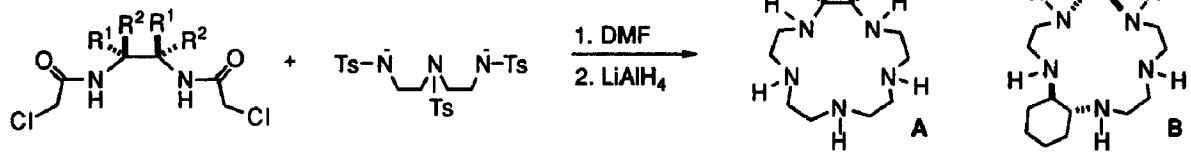


Tetrahedron Letters, **1994**, *35*, 853

New Conformationally Constrained Polyaza Macrocycles Prepared via the Bis(chloroacetamide) Method.

Patrick J. Lennon*, Hayat Rahman, Karl W. Aston, Susan L. Henke, Dennis P. Riley, Department of Chemical Sciences, Monsanto Company, 800 N. Lindbergh Blvd., St. Louis, MO 63167

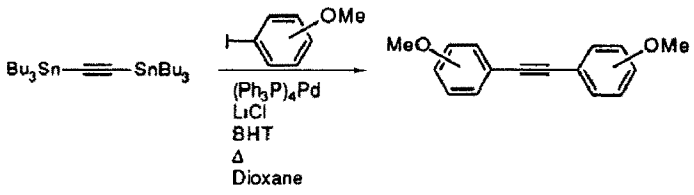
Pentaaza macrocycles A featuring substituents on ring carbons were synthesized as shown. Macrocycles like B were prepared using a different dinucleophile.



Synthesis of Symmetrical Diarylalkynes by Double Stille Coupling of Bis(tributylstannyl)acetylene. Clark H. Cummins, Dow Chemical Company, Bldg. 1707, Midland, MI 48674, USA

Tetrahedron Letters, **1994**, *35*, 857

Treatment of bis(tributylstannyl)acetylene with two equivalents of an aryl iodide affords symmetrical diarylalkynes.

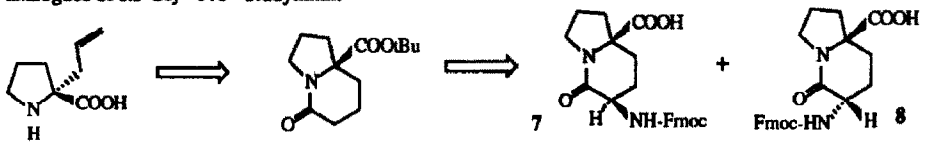


bis(*o*-OMe): 85%
bis(*m*-OMe): 70%
bis(*p*-OMe): 71%

DESIGN AND SYNTHESIS OF A *CIS*-GLY-PRO, TYPE-VI TURN, DIPEPTIDE MIMETIC AND ITS USE IN FMOC-SOLID PHASE PEPTIDE SYNTHESIS. Dieter Gramberg and John A. Robinson*
Institute of Organic Chemistry, University of Zürich, 8057 Zürich, Switzerland

Tetrahedron Letters, **1994**, *35*, 861

7 and **8** have been produced as *cis*-Gly-Pro mimetics in 9 steps from (R)-allylproline and incorporated into analogues of *cis*-Gly^6-Pro^7-bradykinin.

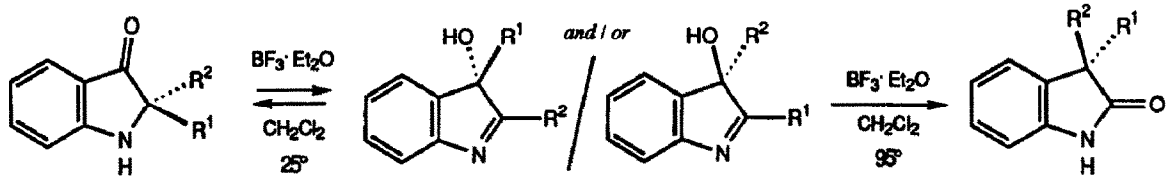


A STEREOSELECTIVE TRANSFORMATION OF PSEUDO-INDOXYLS INTO OXINDOLES IN A SINGLE OPERATION.

Tetrahedron Letters, **1994**, *35*, 865

R. Güller, Hans-Jürg Borschberg,* Laboratorium für Organische Chemie der ETH, Universitätstrasse 16, CH-8092 Zürich, Switzerland

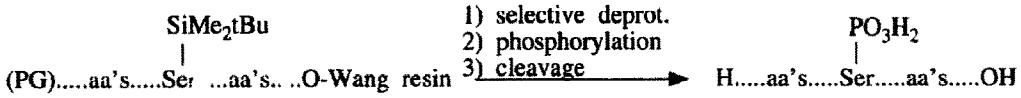
Treatment of several 2,2-disubstituted indolin-3-ones with BF_3 resulted in the formation of the corresponding 3,3-dialkyl-indolin-2-ones in over 90% yield. A stereoselective total synthesis of the *Aristotelia* alkaloid (–)-tasmanine is reported.



FMOC SOLID PHASE SYNTHESIS OF SERINE PHOSPHOPEPTIDES VIA SELECTIVE PROTECTION OF SERINE AND ON RESIN PHOSPHORYLATION.

Tetrahedron Letters, **1994**, *35*, 869

Gideon Shapiro[a], Robert Swoboda[b]* and Urs Stauss[b]
[a]Preclinical Research, Sandoz Pharma Ltd., CH-4002 Basel, Switzerland
[b]Sandoz Research Institute Berne Ltd., CH-3007 Berne, Switzerland

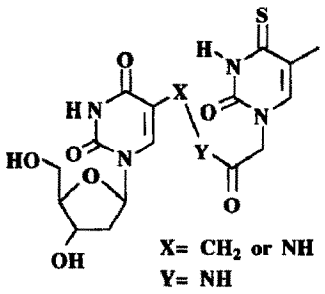


Tetrahedron Letters, **1994**, *35*, 873

DEVELOPMENT OF NEW NUCLEIC ACID PHOTOAFFINITY PROBES: SYNTHESIS OF 4-THIOTHYMINE LABELLED NUCLEOSIDE ANALOGUES

C. Saintomé, P. Clivio, J.-L. Fourrey*
Institut de Chimie des Substances Naturelles, CNRS, 91198 Gif-sur-Yvette, France
A. Woisard and A. Favre
Laboratoire de Photobiologie Moléculaire, Institut Jacques Monod CNRS 2 Place Jussieu, 75251 Paris Cedex 05, France

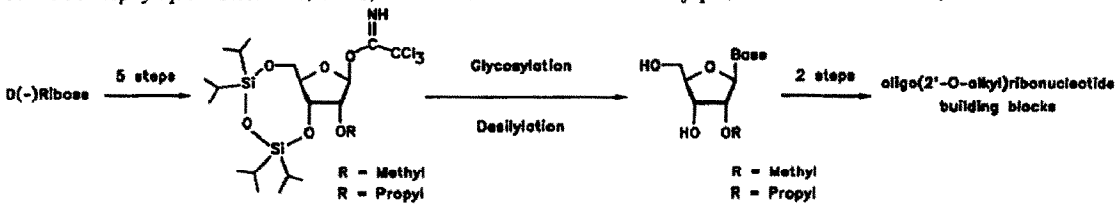
The new nucleic acid photoaffinity probes **1-3** in which 4-thiothymine is bonded by means of various linkers to the C-5 position of deoxyuridine have been constructed.



Tetrahedron Letters, **1994**, *35*, 877

Efficient Synthesis of 2'-*O*-Alkyl Ribonucleosides Using Trichloroacetimidate D-Ribofuranosides as Ribosyl Donors

Luc Chanteloup and Nguyen T. Thuong*
Centre de Biophysique Moleculaire, CNRS, 1A Avenue de la Recherche Scientifique, 45071 Orléans Cedex 2, France

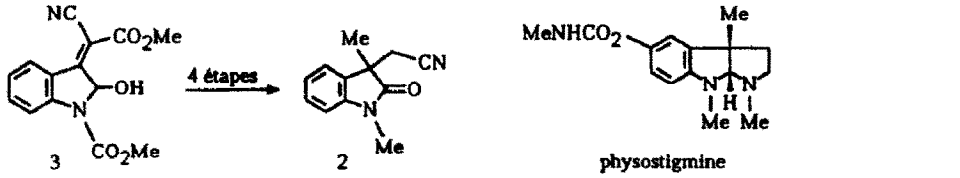


Tetrahedron Letters, **1994**, *35*, 881

NOUVELLE VOIE D'ACCES AU SQUELETTE DE LA (±)-PHYSOSTIGMINE.

M.S. Morales-Ríos*, M.A. Bucio et P. Joseph-Nathan, Departamento de Química, CINVESTAV-IPN, México, D.F. 07000.

L'oxindole **2**, précurseur du squelette de la (±)-physostigmine, a été preparé à partir de la 2-hydroxyindolénine **3**.

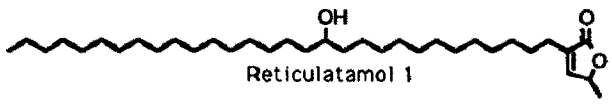


Tetrahedron Letters, **1994**, *35*, 883

First Synthesis of a New Acetogenin of Annonaceae, Reticulatamol : Activated Tin Hydride with Enhanced Reducing Ability

Vu Thi Tam[a], Christophe Chaboche[b], Bruno Figadère[b]*, Bertrand Chappé[b,c], Bui Chi Hieu[c] and André Cavé[b]
[a]Institut de Chimie des Substances Naturelles, CNRS, 91190 Gif sur Yvette (France); [b]Laboratoire de Pharmacognosie, associé au CNRS (BIOCIS) Faculté de Pharmacie 92290 Châtenay-Malabry (France); [c]Institut d'Enseignement de Médecine Traditionnelle, 221 B Hoang Van Thu, Hochiminh Ville, (Vietnam)

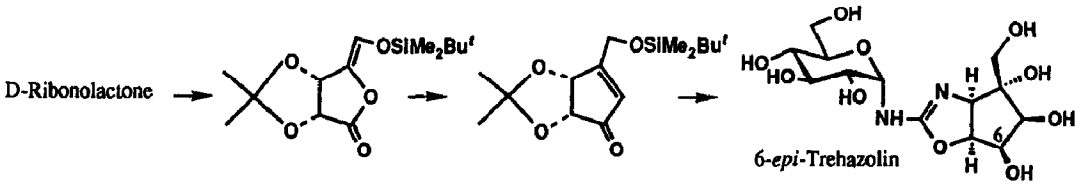
The title compound was extracted from the seeds of *A. reticulata*, and synthesized to confirm its structure.



Tetrahedron Letters, **1994**, *35*, 887

SYNTHESIS OF 6-*EPI*-TREHAZOLIN FROM D-RIBONOLACTONE: EVIDENCE FOR THE NON-EXISTENCE OF A 5,6-RINGFUSED STRUCTURAL ISOMER OF 6-*EPI*-TREHAZOLIN.

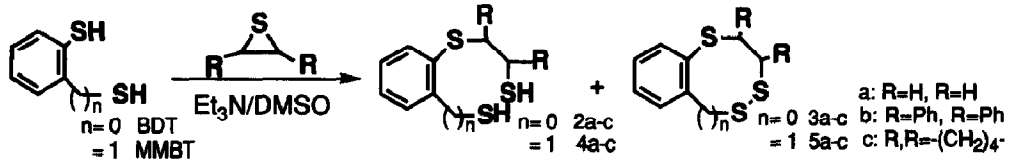
Masao Shiozaki,* Yoshiyuki Kobayashi, Masami Arai, and Hideyuki Haruyama, *New Lead Research Laboratories, Sankyo Co., Ltd., Hiromachi 1-2-58, Shinagawa-ku, Tokyo, 140 Japan*



Tetrahedron Letters, **1994**, *35*, 891

Convenient Synthesis of Benzotrithiepins and Benzotrithiocins from Dithiols and Thiiranes

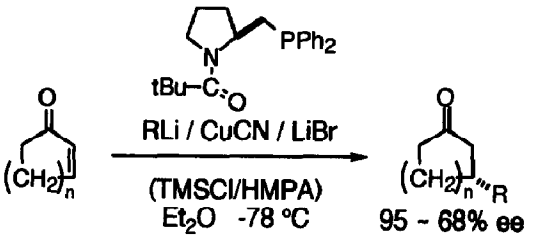
Ryu Sato,* Masako Okanuma, Shin-ichi Chida, and Satoshi Ogawa
Department of Applied Chemistry and Molecular Science, Faculty of Engineering, Iwate University, Morioka 020, Japan



Tetrahedron Letters, **1994**, *35*, 895

ASYMMETRIC CONJUGATE ADDITION OF ORGANOCOPPER-AMIDOPHOSPHINE REAGENTS TO CYCLOALKENONES

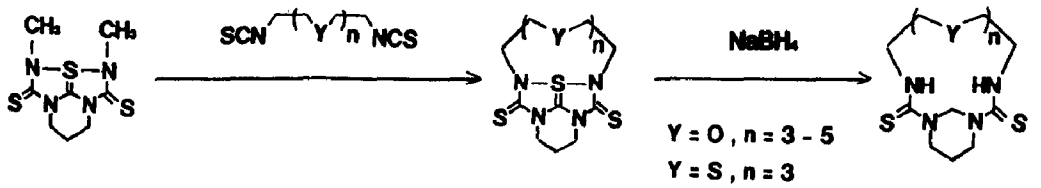
M. Kanai and K. Tomioka*
The Institute of Scientific and Industrial Research, Osaka University, Ibaraki, Osaka 567, Japan



Tetrahedron Letters, **1994**, *35*, 899

SYNTHESIS OF NEW AZACROWN AND AZATHIACROWN ETHERS USING A HYPERVALENT SULFUR-CONTAINING TETRAAZAPENTALENE AS A RING-BUILDING BLOCK

Noboru Matsumura*, Ryuji Hirase, and Hiroo Inoue, Department of Applied Chemistry, College of Engineering, University of Osaka Prefecture, Sakai, Osaka 593, Japan

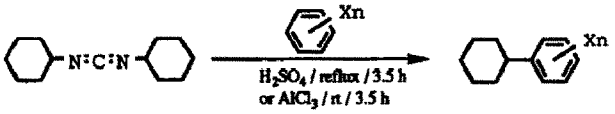


Tetrahedron Letters, **1994**, *35*, 903

Friedel-Crafts Cyclohexylation of Arenes with 1,3-Dicyclohexylcarbodiimide (DCC)

Jae Nyoung Kim, Kun Hoe Chung, and Eung K. Ryu*
Korea Research Institute of Chemical Technology,
P. O. Box 9, Daedeog-Danji, Daejeon 305-606, Korea

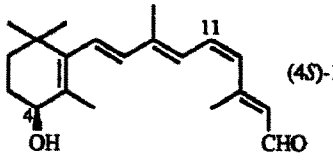
The reaction of arenes with 1,3-dicyclohexylcarbodiimide in the presence of concentrated sulfuric acid or anhydrous aluminum chloride gave the corresponding cyclohexylated arenes in good yields.



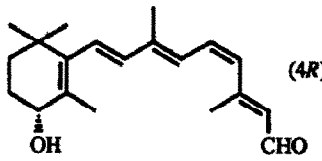
Tetrahedron Letters, **1994**, *35*, 905

SYNTHESIS OF (+)-(4*S*)- AND (-)-(4*R*)-11Z-4-HYDROXYRETINALS AND DETERMINATION OF THE ABSOLUTE STEREOCHEMISTRY OF A VISUAL PIGMENT CHROMOPHORE IN THE BIOLUMINESCENT SQUID, *WATASENIA SCINTILLANS*.

Yuko Katsuta and Masayoshi Ito*, Kobe Women's College of Pharmacy, Kobe 658, Japan
Kazuo Yoshihara, Suntory Institute for Bioorganic Research, Osaka 618, Japan
Koji Nakanishi, Department of Chemistry, Columbia University, New York, NY 10027 USA



(4*S*)-11Z-4-OH-RAL

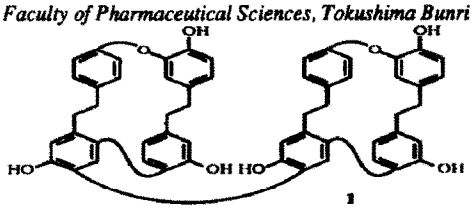


(4*R*)-11Z-4-OH-RAL

Tetrahedron Letters, **1994**, *35*, 909

Structures of Four Novel Macrocyclic Bis(Bibenzyl) Dimers, Pusilatins A-D from the Liverwort *Blasia pusilla*

Toshihiro Hashimoto, Tatsuhiko Yoshida, Yukiko Kan, Shigeru Takaoka, Motoo Tori and Yoshinori Asakawa*
Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Yamashiro cho, Tokushima 770, Japan



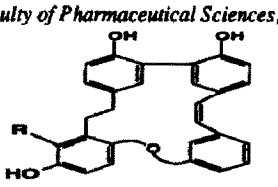
1

Pusilatin A (1) as well as B-D have been isolated from the liverwort *Blasia pusilla*, and their sterostructures established by a combination of spectrometries and X-ray analysis.

Tetrahedron Letters, **1994**, *35*, 911

Two Novel Macrocyclic Bis(Bibenzyls), Isoplagiochins A and B from the Liverwort *Plagiochila fruticosa*

Toshihiro Hashimoto, Shigeo Kanayama, Yoshiyasu Fukuyama, Shigeru Takaoka, Motoo Tori and Yoshinori Asakawa*
Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Yamashiro cho, Tokushima 770, Japan



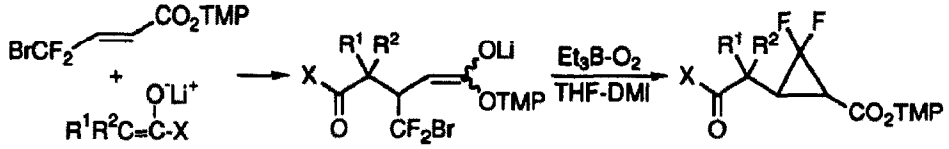
1 : R=H
2 : R=OH

Isoplagiochins A(1)and B(2) have been isolated from the liverwort *Plagiochilfa fruticosa* and their structures established by a combination of spectrometries and X-ray analysis.

Tetrahedron Letters, **1994**, *35*, 913

REGIO- AND STEREOSELECTIVE SYNTHESIS OF *gem*-DIFLUOROCYCLOPROPANES USING 4-BROMO-4,4-DIFLUOROCROTONATE

Takeo Taguchi*, Hirofumi Sasaki, Akira Shibuya and Tsutomu Morikawa
Tokyo College of Pharmacy, 1432-1 Horinouchi, Hachioji, Tokyo 192-03, Japan

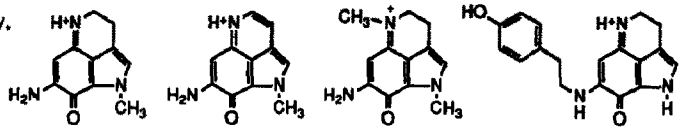


Tetrahedron Letters, **1994**, *35*, 917

Total Syntheses of Makaluvamines A, B, C and D, Metabolites of The Fijian Sponge Zyzza cf. marsailis Exhibiting Inhibitory Activities against Topoisomerase II

T. Izawa, S. Nishiyama, and S. Yamamura
Dept. of Chemistry, Faculty of Science and Technology, Keio University, Hiyoshi, Yokohama, Japan

Syntheses of makaluvamines A, B, C and D have successfully been accomplished.

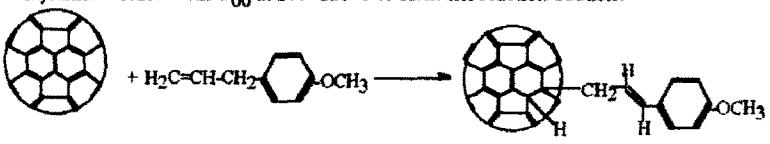


Tetrahedron Letters, **1994**, *35*, 919

ENE REACTION OF FULLERENE C60 AND 4-ALLYLANISOLE INTRODUCTION OF ALKENE TO BUCKMINSTERFULLERENE

Shihui WU*, Lianhe SHU and Kangnian FAN
Department of Chemistry, Fudan University, Shanghai 200433, China

4-Allylanisole reacts with C_{60} at 200~220°C to form ene reaction adducts.

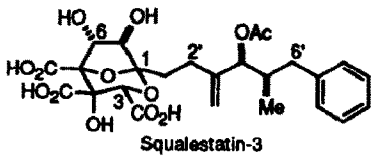


Tetrahedron Letters, **1994**, *35*, 923

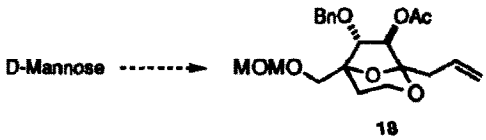
SYNTHETIC STUDIES TOWARDS THE SQUALESTATINS AND ZARAGOZIC ACIDS. Leasa M. McVinish and Mark A. Rizzacasa*

School of Chemistry, The University of Melbourne, Parkville, Victoria 3052, Australia.

A synthetic route to the core of the anti-cholesterol agents the squalestatins and zaragozic acids from D-mannose is described.



Squalestatin-3



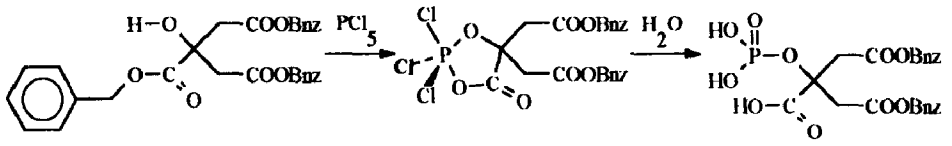
18

Tetrahedron Letters, **1994**, *35*, 927

Synthesis via a Cyclic Dioxatrichlorophosphorane of 1,3-Dibenzyl-2-Phosphonooxy Citrate.

Andrew H. Pankowski, John D. Meehan, John D. Sallis.*
Department of Biochemistry,University of Tasmania. GPO Box 252C Hobart, Tasmania, Australia, 7001.

A cyclic dioxatrichlorophosphorane forms in the reaction between tribenzyl citrate and PCl_5.

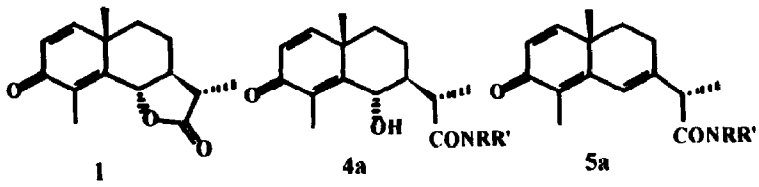


Tetrahedron Letters, **1994**, *35*, 931

A NON-CATALYZED RING-OPENING AMINOLYSIS REACTION OF SESQUITERPENE LACTONES

Gonzalo Blay, Luz Cardona, Begoña García, Cristina L. García and José R. Pedro*
Departament de Química Orgànica, Facultat de Química, Universitat de València, 46100-Burjassot (Valencia) Spain

Santonin (1) and other sesquiterpene lactones react cleanly with pyrrolidine at room temperature to afford γ-hydroxy-alkylamides **4a**, which by elimination with mesyl chloride in pyridine-benzene at 80°C give unsaturated alkylamides **5a**



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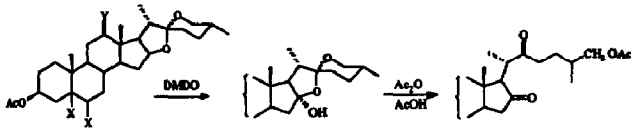
SAPOGENINS AND DIMETHYLDIOXIRANE: A NEW ENTRY TO CHOLESTANES FUNCTIONALIZED AT THE SIDE CHAIN.

Paolo Bovicelli, Paolo Lupattelli, Donatella Fracassi, Centro C.N.R. di Studio per la Chimica delle Sostanze Organiche Naturali, Dipartimento di Chimica, Università "La Sapienza", P.le A. Moro, 5 - 00185 Roma, Italy.
Enrico Mincione, D.A.B.A.C., Università della Tuscia, V. S. Camillo De Lellis, 01100 Viterbo, Italy.

A new and simple opening of the sapogenin spiroketal side chain by DMDO as oxyfunctionalizing agent, with the aim to get an easy approach to steroidal functionalized side chains from natural compounds available in large amounts, is reported.



1 X=α-H, Y=H_2; 2 X=α-H; Y=O; 3 X=Br; Y=H_2

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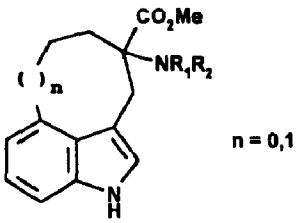
CONFORMATIONALLY CONSTRAINED AMINO ACIDS: SYNTHESIS OF NOVEL 3,4-CYCLISED TRYPTOPHANS.

David C. Horwell, Paul D. Nichols and Edward Roberts*
Parke-Davis Neuroscience Research Centre, Addenbrookes Hospital, Hills Road, Cambridge, CB2 2QB, U.K.

Abstract: The synthesis of novel conformationally constrained tryptophan derivatives via a Heck-type cyclisation of an unusual α-substituted amino acid is described.



n = 0,1

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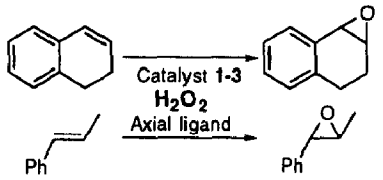
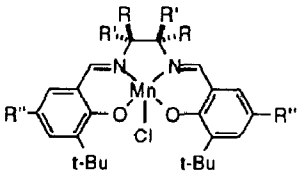
CATALYTIC AND ASYMMETRIC EPOXIDATION OF UNFUNCTIONALIZED ALKENES WITH HYDROGEN PEROXIDE AND (SALEN)MN(III) COMPLEXES

Pekka Pietikäinen, Department of Chemistry, University of Helsinki,
P. O. BOX 6, FIN-00014 University of Helsinki





Catalysts:
1: R= R'= H, R"= Me
2: R= Ph, R'= H, R"= Me
3: R= H, R'= -$(CH_2)_4$-, R"= *t*-Bu

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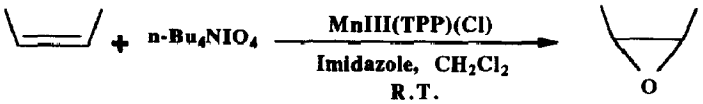
EFFICIENT OLEFIN EPOXIDATION WITH TETRABUTYL-AMMONIUM PERIODATE CATALYZED BY MANGANESE PORPHYRIN IN THE PRESENCE OF IMIDAZOLE

Daryoush Mohajer* and Shahram Tangestaninejad, Department of Chemisry, Shiraz University, Shiraz, 71454, Iran.



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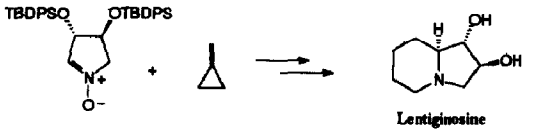
SYNTHESIS OF LENTIGINOSINE BY STEREOSELECTIVE CHIRAL NITRONE CYCLOADDITION AND THERMAL REARRANGEMENT OF STRAINED SPIROISOXAZOLIDINE

Franca M. Cordero, Stefano Cicchi, Andrea Goti,* and Alberto Brandi*
Dipartimento di Chimica organica "U. Schiff", and Centro CNR dei Composti Eterociclici, Università degli Studi di Firenze, via G. Capponi 9, I-50121 Firenze, Italy.

The total synthesis of Lentiginosine is reported.



Lentiginosine

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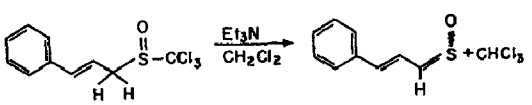
A NOVEL SYNTHESIS OF MONOSUBSTITUTED SULFINES VIA AN UNUSUAL β - ELIMINATION OF CHLOROFORM FROM ALLYLIC AND BENZYLIC TRICHLOROMETHYL SULFOXIDES.[1]

S. Braverman,* D. Grinstein and H.E. Gottlieb,
Department of Chemistry, Bar-Ilan University, Ramat Gan 52900, Israel.

A new method for the synthesis of thioaldehyde S-oxides including α,β -unsaturated derivatives, by mild base-induced elimination of chloroform from readily available allylic and benzylic trichloromethyl sulfoxides is described.



(2)