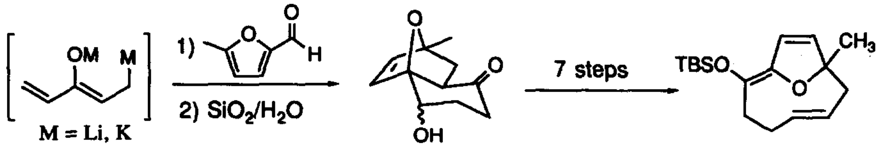
GRAPHICAL ABSTRACTS

Tetrahedron Lett. **1992,** *33,* 7631

NEW TECHNOLOGY FOR THE CONSTRUCTION OF BICYCLO [6.2.1] RING SYSTEMS

Wei-Bo Wang and Eric J. Roskamp*
Department of Chemistry, Northwestern University, Evanston, Illinois 60208-3113

Three new methods are presented in this synthesis of an 11-oxabicyclo[6.2.1]-undec-1,5,9-triene.

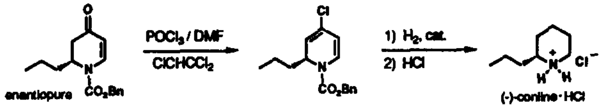


Tetrahedron Lett. **1992,** *33,* 7635

CONVERSION OF *N*-ACYL-2,3-DIHYDRO-4-PYRIDONES TO 4-CHLORO-1,2-DIHYDROPYRIDINES USING THE VILSMEIER REAGENT.

Rima S. Al-awar, Sajan P. Joseph and Daniel L. Comins*
Department of Chemistry, North Carolina State University, Raleigh, NC 27695-8204.

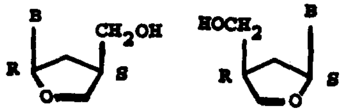
1-Acyl-4-chloro-1,2-dihydropyridines are prepared from 2,3-dihydropyridones in one step using the Vilsmeier reagent.



Tetrahedron Lett. **1992,** *33,* 7639

NOVEL ISOMERIC DIDEOXYNUCLEOSIDES OF THE D- AND L-APIOSE FAMILY

Todd B. Sells and Vasu Nair*
Department of Chemistry, University of Iowa, Iowa City, Iowa 52242, U. S. A.

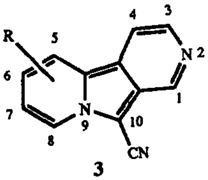


Synthesis of a complete family of *cis* and *trans* dideoxynucleosides related to the D- and L-apiose carbohydrates using an enzymatically prepared chiral precursor.

Tetrahedron Lett. **1992,** *33,* 7643

REACTION OF DICYANOMETHYLIDES WITH 3-(3',3'-DIMETHYLTRIAZENE-1-YL)PYRIDINE-4-CARBOXYLIC ACID. UNEXPECTED PREFERENTIAL FORMATION OF PYRIDO[4,3-a]INDOLIZINES.

Kiyoshi Matsumoto,*[a] Takane Uchida,[b] Mituo Toda,[a] Kinuyo Aoyama,[b] Akikazu Kakehi,[c] Atsushi Shigihara,[d] and J. William Lown,*[e]
[a]Graduate School of Human and Environmental Studies, Kyoto University, Kyoto 606-01, Japan. [b]Faculty of Education, Fukui University, Fukui 910, Japan. [c]Department of Industrial Chemistry, Faculty of Engineering, Shinshu University, Nagano 380, Japan. [d]Hoshi College of Pharmacy, Tokyo 142, Japan. [e]Department of Chemistry, University of Alberta, Edmonton, Alberta, Canada, T6G 2G2



3

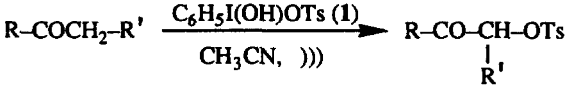
Reaction of dicyanomethylides with 3-(3',3'-dimethyltriazene-1-yl)-pyridine-4-carboxylic acid affords exclusively the pyrido[4,3-a] indolizines.

Tetrahedron Lett. **1992**, *33*, 7647

Ultrasound Promoted Hypervalent Iodine Reactions: α-Tosyloxylation of Ketones with [Hydroxy(Tosyloxy)Iodo]Benzene

Atilla Tuncay*, John A. Dustman, George Fisher, Crystal I. Tuncay, Chemistry Department, Indiana University Northwest, Gary, IN 46408, U.S.A., and Kenneth S. Suslick, School of Chemical Sciences, University of Illinois at Urbana-Champaign, 505 S. Mathews Av., Urbana, IL 61801 U.S.A.

Using ultrasound, ketones are directly tosyloxylated with [hydroxy(tosyloxy)iodo]benzene quickly in very good yields.

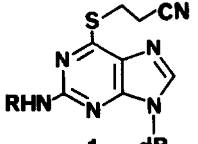


$$\mathrm{R{-}COCH_2{-}R'} \xrightarrow[\mathrm{CH_3CN,\ )))}]{\mathrm{C_6H_5I(OH)OTs\ (1)}} \mathrm{R{-}CO{-}}\underset{\mathrm{R'}}{\underset{|}{\mathrm{CH}}}\mathrm{{-}OTs}$$

Tetrahedron Lett. **1992**, *33*, 7651

A Novel Synthesis of S^6-Cyanoethyl-2'-deoxy-6-thioguanosine and its Incorporation into Triple Helix Forming Oligonucleotides

T. Sudhakar Rao, Krishna Jayaraman, Ross H. Durland, and Ganapathi R. Revankar*
Triplex Pharmaceutical Corporation, 9391 Grogans Mill Road, The Woodlands, TX 77380, U.S.A.



1

R = isobutyryl
= trifluoroacetyl

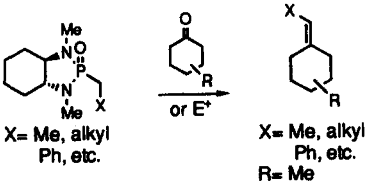
A simple and convenient synthesis of **1** has been achieved and incorporated into oligonucleotides using the solid-phase phosphoramidite chemistry.

Tetrahedron Lett. **1992**, *33*, 7655

STUDIES IN ASYMMETRIC OLEFINATIONS -- THE SYNTHESIS OF ENANTIOMERICALLY PURE ALLYLIDENE, ALKYLIDENE, AND BENZYLIDENE CYCLOHEXANES

Stephen Hanessian* and Serge Beaudoin;
Department of Chemistry, Université de Montréal, P.O. Box 6128, Station A, Montréal, P.Q. CANADA, H3C 3J7

Treatment of alkyl cyclohexanones with topologically unique bicyclic phosphonamides derived from the C_2 symmetrical N,N'-dimethyl 1,2-trans-cyclohexane diamine leads to enantiomerically pure alkylidene cyclohexanes.

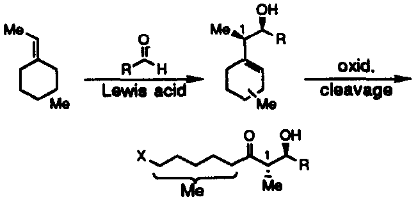


Tetrahedron Lett. **1992**, *33*, 7659

VERSATILE SYNTHESIS OF ALICYCLIC AND ACYCLIC COMPOUNDS WITH ALTERNATE AND REMOTE C-METHYL SUBSTITUTION PATTERNS VIA ASYMMETRIC SEQUENTIAL OLEFINATION AND ENE REACTIONS

Stephen Hanessian* and Serge Beaudoin;
Dept. of Chemistry, Université de Montréal, P.O. Box 6128, Station A, Montréal, P.Q. CANADA, H3C 3J7

Ene reaction of alkyl cyclohexane ethylidene derivatives with α-benzyloxy aldehydes leads to enantiomerically pure or enriched branched alkylcyclohexenes. These can be oxidized to acyclic motifs with a predetermined C-methyl substitution pattern (1,3-; 1,4-; 1,5-; 1,6-).

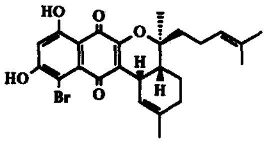


Tetrahedron Lett. **1992**, *33*, 7663

MARINONE AND DEBROMOMARINONE: ANTIBIOTIC SESQUITERPENOID NAPHTHOQUINONES OF A NEW STRUCTURE CLASS FROM A MARINE BACTERIUM.

Charles Pathirana, Paul R. Jensen, and William Fenical*
Scripps Institution of Oceanography, University of California, San Diego, La Jolla, CA 92093-0236

The structure of marinone and its debromo derivative have been determined by spectroscopic means. The compounds are members of a new structure class of antibiotic agents.

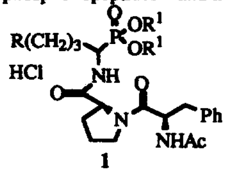


Tetrahedron Lett. **1992**, *33*, 7667

SYNTHESIS OF PHOSPHONOPEPTIDES AS THROMBIN INHIBITORS

Chia-Lin J. Wang*, Thelma L. Taylor, Alfred J. Mical, Susan Spitz, and Thomas M. Reilly
Du Pont Merck Pharmaceutical Co., Experimental Station, P. O. Box 80353, Wilmington, DE 19880-0353

Synthesis of phosphonopeptides 1 and their inhibitory activity of thrombin are described.



1

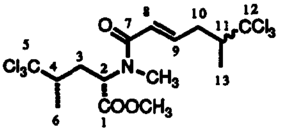
a. $R = H_2NC(=NH)NH$, $R^1 = Ph$
b. $R = H_2NCH_2$, $R^1 = Ph$
c. $R = H_2NC(=NH)NH$, $R^1 = Me$
d. $R = H_2NCH_2$, $R^1 = H$

Tetrahedron Lett. **1992**, *33*, 7671

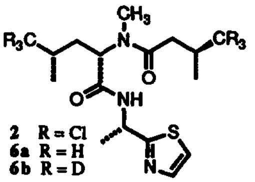
HERBACEAMIDE, A CHLORINATED *N*-ACYL AMINO ESTER FROM THE MARINE SPONGE, *DYSIDEA HERBACEA*

Gregory M. Lee and Tadeusz F. Molinski*
Department of Chemistry, University of California, Davis, California, 95616, U.S.A.

Abstract: Herbaceamide (1) was isolated from *Dysidea herbacea*. The structure and partial stereochemistry were assigned on the basis of spectroscopic comparision with dysidenin (2) and synthetic analogs.



1



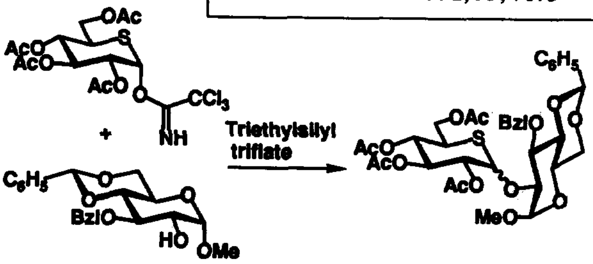
2 R = Cl
6a R = H
6b R = D

Tetrahedron Lett. **1992**, *33*, 7675

UNPRECEDENTED CHEMICAL GLYCOSIDATION OF 5-THIOGLUCOSE TO GIVE DISACCHARIDES

Seema Mehta and B. Mario Pinto*
Department of Chemistry, Simon Fraser University,
Burnaby, B.C., V5A 1S6 CANADA

The glycosyl trichloroacetimidate of 2,3,4,6-tetra-O-acetyl-5-thioglucopyranose is used to glycosylate selectively protected glucopyranosyl acceptors with the 2-OH and 6-OH positions free.

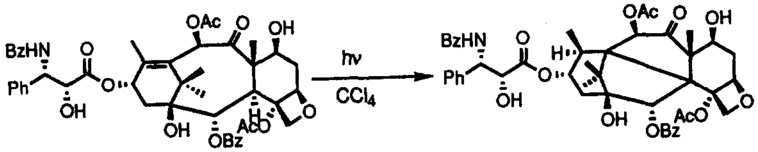


Tetrahedron Lett. **1992**, *33*, 7679

THE PHOTOCHEMISTRY OF TAXOL : SYNTHESIS OF A NOVEL PENTACYCLIC TAXOL ISOMER

Shu-Hui Chen*, Charles M. Combs, Susan E. Hill, Vittorio Farina and Terrence W. Doyle, Bristol-Myers Squibb Pharmaceutical Research Institute, 5 Research Parkway, Wallingford CT 06492-7660 U.S.A.

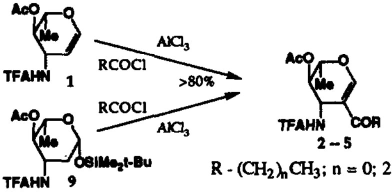
Irradiation of taxol at 254 nm produced in high yield an interesting pentacyclic isomer.



Tetrahedron Lett. **1992**, *33*, 7681

ONE STEP C-ACYLATION OF GLYCALS AND 2-DEOXY-HEXOPYRANOSES AT C-2

Waldemar Priebe*, Grzegorz Grynkiewicz, and Nouri Neamati
The University of Texas M. D. Anderson Cancer Center
Houston, Texas 77030, USA



R - $(CH_2)_nCH_3$; n = 0; 2; 12; 16

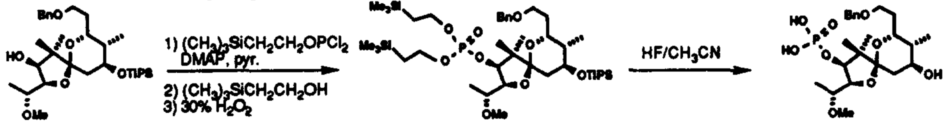
Friedel-Crafts acylation of glycals and 1-*O*-acetyl- and 1-*O*-silyl-2-deoxy-hexopyranoses with acetyl chloride or acetic anhydride in the presence of $AlCl_3$ or $FeCl_3$ gave 2-*C*-acetyl-hex-1-enitols in yields often better than 80%-90%.

Tetrahedron Lett. **1992**, *33*, 7685

Use of 2-Trimethylsilylethyl as a Protecting Group in Phosphate Monoester Synthesis

*Akiyoshi Sawabe, Sandra A. Filla, and Satoru Masamune**
Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA 02139

An effective method for phosphorylation of a hindered hydroxyl group followed by deprotection under mild conditions to the phosphate monoester has been demonstrated, as exemplified below.

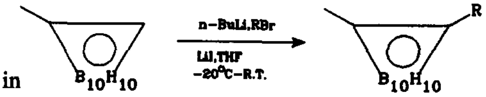


Tetrahedron Lett. **1992**, *33*, 7687

LITHIUM IODIDE-CATALYZED ALKYLATION OF CARBORANES

George W. Kabalka*, N. Kesavulu Reddy, and Chatla Narayana
Department of Chemistry and Radiology, University of Tennessee,
Knoxville, Tennessee 37996-1600

The lithium iodide-catalyzed reaction of *o*-carboranyllithium with alkyl bromides yields alkylated *o*-carboranes in excellent yields under very mild conditions.

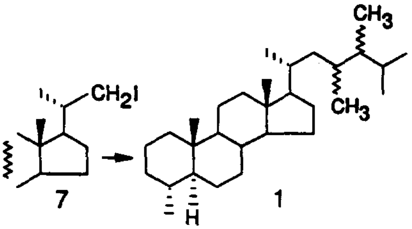


Tetrahedron Lett. **1992**, *33*, 7689

A SYNTHESIS OF C-23 AND C-24 DIASTEREOMERS OF 5α-DINOSTERANE

Ivan Stoilov, Ewa Kolaczkowska, Jan St. Pyrek, Carolyn P. Brock,
David S. Watt,* Department of Chemistry, University of Kentucky,
Lexington, KY 40506, R. M. K. Carlson, and J. Michael Moldowan,
Chevron Oil Field Research Co., Richmond, CA 94802

Stereoselective routes for the preparation of C-23 and C-24 diastereomers of 5α-dinosterane (1), involved the alkylation of (20S)-20-(iodomethyl)-4α-methyl-5α-pregnane (7) with appropriate saturated or unsaturated esters.

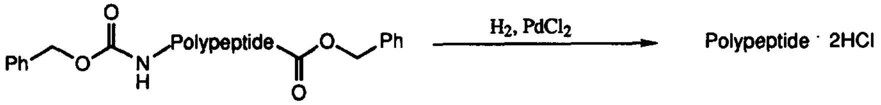


Tetrahedron Lett. **1992**, *33*, 7693

A NEW AND SIMPLIFIED METHOD FOR HYDROGENOLYTIC DEPROTECTION IN SOLUTION-PHASE PEPTIDE SYNTHESIS

Alexander J. Pallenberg, Procyte Corporation, 12040 115th Ave. NE #210, Kirkland, Washington 98034 USA

An improved method for the deprotection of synthetic peptides by catalytic hydrogenation is described, which allows for precise control of counterion stoichiometry and affords the peptides in high purity and yield, while avoiding the problems usually associated with conventional deprotection methods.

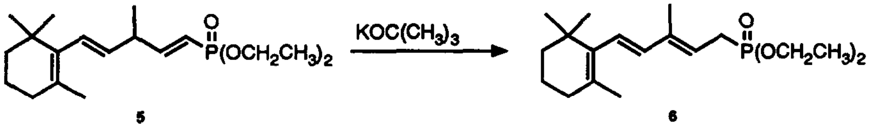


Tetrahedron Lett. **1992**, *33*, 7697

AN EXPEDIENT ROUTE TO A VERSATILE INTERMEDIATE FOR THE STEREOSELECTIVE SYNTHESIS OF ALL-*TRANS*-RETINOIC ACID AND BETA-CAROTENE

James H. Babler* and Scott A. Schlidt, Department of Chemistry, Loyola University of Chicago, Chicago, IL 60626 USA

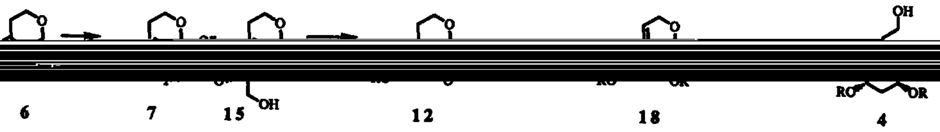
Base-catalyzed isomerization of vinyl phosphonate 5 afforded the corresponding allylic phosphonate (6), a useful precursor to retinoids, as the sole product.



Tetrahedron Lett. **1992**, *33*, 7701

Asymmetric Synthesis of a Key 1α,25-Dihydroxy-Vitamin D_3 Ring A Synthon.

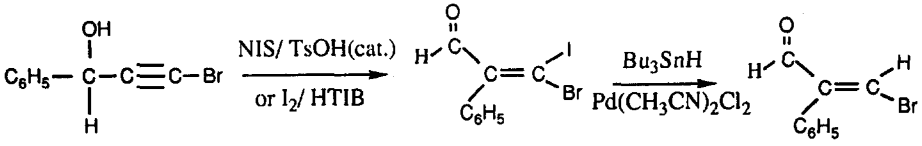
M. M. Kabat, M. Lange, P.M. Wovkulich and M. R. Uskoković
Roche Research Center, Hoffmann-La Roche Inc., Nutley, New Jersey 07110, USA



Tetrahedron Lett. **1992**, *33*, 7705

FORMATIONS OF MIXED β,β-DIHALOENALS FROM HALOGENATED SECONDARY ALKYNOLS

Pakorn Bovonsombat and Edward McNelis*
Department of Chemistry, New York University, New York, New York 10003



Tetrahedron Lett. **1992**, *33*, 7709

THE MACROCYCLIZATION REACTION OF TERMINAL DIBROMOALKANES WITH SULFIDE ON ALUMINA. THE USE OF A SOLID SUPPORT AS AN ALTERNATIVE TO THE HIGH DILUTION TECHNIQUE.

Lay Choo Tan, Richard M. Pagni,* George W. Kabalka,* Marc Hillmyer and Julie Woosley, Department of Chemistry, University of Tennessee, Knoxville, Tennnessee 37996-1600 U.S.A.

The reaction of terminal dibromoalkanes with sulfide on alumina affords the corresponding cyclic sulfides.

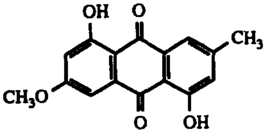
$$\mathrm{Br(CH_2)_nBr} \quad + \quad \mathrm{S^{-2}/Al_2O_3} \quad \rightarrow \quad \mathrm{(CH_2)_n\,S}$$

Tetrahedron Lett. **1992**, *33*, 7713

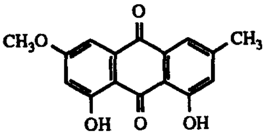
REVISION OF THE STRUCTURE OF PRZEWALSKINONE B

T. Ross Kelly,* Zhenkun Ma and Wei Xu
Department of Chemistry, Boston College, Chestnut Hill, Massachusetts 02167

Biosynthetic considerations suggested that the recently assigned structure (1) of przewalskinone B was incorrect. Synthetic studies support the revision of the structure of przewalskinone B to 3.



1



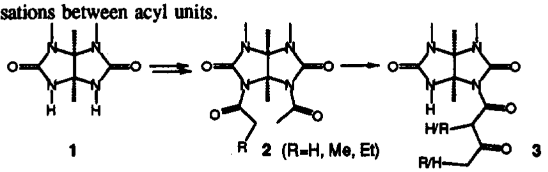
3

Tetrahedron Lett. **1992**, *33*, 7715

EFFICIENT CLAISEN-TYPE CONDENSATION BETWEEN ACYL UNITS BOUND TO A MOLECULAR TEMPLATE

Sengen Sun and Paul Harrison*, Department of Chemistry, McMaster University, 1280 Main Street West, Hamilton, Ontario, Canada L8S 4M1

The Claisen-type condensation of diacylglycolurils 2 with base efficiently provides 2-(acylacyl)glycolurils 3. Thus, 1 acts as a template to allow facile condensations between acyl units.

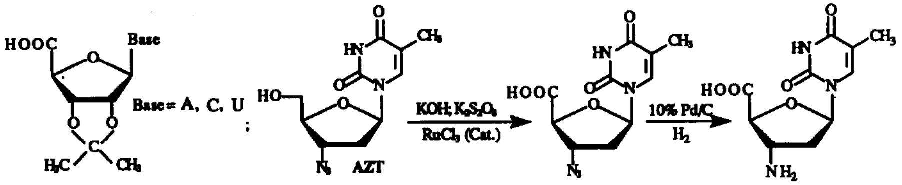


Tetrahedron Lett. **1992**, *33*, 7719

RUTHENIUM TETRAOXIDE CATALYZED OXIDATION OF NUCLEOSIDES: A FACILE SYNTHESIS OF 5'-CARBOXYLIC ACID DERIVATIVES

Rajender S. Varma* and Michael E. Hogan, The Center for Biotechnology, Baylor College of Medicine, 4000 Research Forest Drive, The Woodlands, TX 77381, U. S. A.

The oxidation of nucleosides to 5'-carboxylic acids is described using $K_2S_2O_8$ *and* $RuCl_3$.

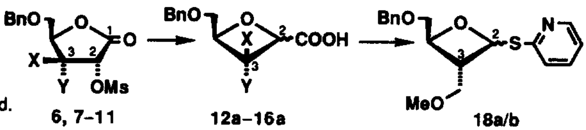


Tetrahedron Lett. **1992**, *33*, 7721

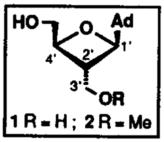
Ring Contraction Reactions of 2-O-Methanesulfonates of α-Hydroxy-γ-Lactones in Aqueous Medium to Oxetane-2-Carboxylic Acids: A Convenient Synthesis of 3'-O-Methyloxetanocin and A Formal Synthesis of Oxetanocin

Anil K. Saksena,* Ashit K. Ganguly, Viyyoor M. Girijavallabhan, Russell E. Pike, Yao-Tsung Chen, Mohindar S. Puar
Schering-Plough Research Institute, 60 Orange Street, Bloomfield, N.J. 07003, U.S.A.

Attempted ring contraction of a 2-O-trifluoromethanesulfonate **24** gave the orthoesters **26a/b**. A ring expansion reaction (e.g. **14a** → **21a**) was observed.



6, 7-11 **12a-16a** **18a/b**



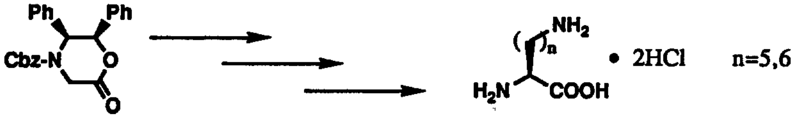
1 R = H ; **2** R = Me

Tetrahedron Lett. **1992**, *33*, 7725

AN EFFICIENT ASYMMETRIC SYNTHESIS OF L-α,ω-DIAMINOALKANOIC ACIDS.

Zhengxin Dong
The Unit for Rational Drug Design, E-301, The University Hospital, Boston University Medical Center, 88 East Newton Street, Boston, MA 02118, U.S.A.

Efficient asymmetric syntheses of L-2,7-diaminoheptanoic acid and L-2,8-diaminooctanoic acid are described.

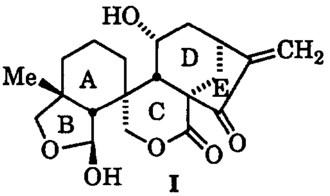


Tetrahedron Lett. **1992**, *33*, 7727

Annulations in Bridged Systems. An Approach to the Synthesis of Shikodonin

George A. Kraus* and Masayuki Kirihara
Department of Chemistry, Iowa State University, Ames, IA 50011

A broadly-useful strategy for the introduction of a bridgehead substituent and a substituent on an adjacent carbon is described. The addition of TMSX to a bridgehead enone generates an enol silyl ether regiospecifically. Reaction of the enol silyl ether with electrophiles results in a vicinal dialkylation.



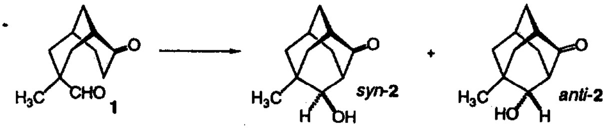
I

Tetrahedron Lett. **1992**, *33*, 7729

INVESTIGATIONS ON TRANSITION STATE GEOMETRY OF THE ALDOL CONDENSATION IN AQUEOUS MEDIUM

Scott E. Denmark* and Wheeseong Lee
Roger Adams Laboratory, Department of Chemistry, University of Illinois, Urbana, IL 61801, USA

In aqueous medium, base-induced aldol condensations of keto aldehyde **1** show high anti-selectivity independent of metal ion and water content of the solvent. The acid-induced aldol condensation affords syn-aldol products in variable selectivity depending upon acid strength and water content of the medium.

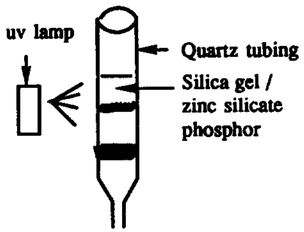


Tetrahedron Lett. **1992**, *33*, 7733

VISUALIZATION OF COLUMN CHROMATOGRAPHY

Jean-Claude Bradley* and Tony Durst
Ottawa-Carleton Chemistry Institute,
Department of Chemistry, University of Ottawa,
Ottawa, Canada K1N 6N5

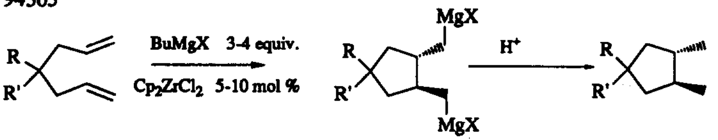
A method is described which allows visualization of column chromatography by use of a quartz column and addition of a fluorescent indicator to commercial adsorbents.



Tetrahedron Lett. **1992**, *33*, 7735

Stereoselective Cyclomagnesiation of 1.6-Heptadienes Catalyzed by Zirconocenes: Effects of Substituents, Solvent and Magnesium Reagents

Ulrike Wischmeyer, Kyle S. Knight, and Robert M. Waymouth* Department of Chemistry, Stanford University, Stanford, CA 94305



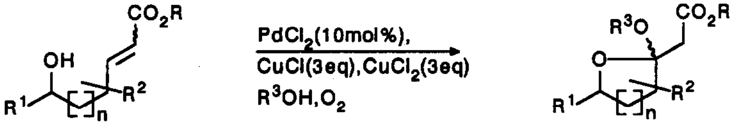
Zirconocene dichloride acts as a catalyst precursor for the stereoselective cyclomagnesiation of an array of 1,6-heptadienes with butylmagnesium chloride to form 1,2-(bis)methylmagnesium substituted cyclopentanes.

Tetrahedron Lett. **1992**, *33*, 7739

Rate Enhancement in the Wacker Oxidation of Hydroxy-α,β-unsaturated Esters: A Fast Neutral Method for the Preparation of Masked β-Ketoesters

Simon X Auclair, Michelle L. Morris, Michael A. Sturgess*
Dept. of Chemistry and Biochemistry, Univ. of Arkansas, Fayetteville AR 72701.

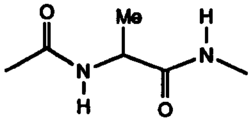
Wacker oxidation of hydroxy-α,β-unsaturated esters provides a high yielding neutral means of oxidizing such esters to protected β-ketoesters in one step.



Tetrahedron Lett. **1992,** *33,* 7743

AMBER* Torsional Parameters for the Peptide Backbone.

D.Quentin McDonald and W. Clark Still*, Department of Chemistry,
Columbia University, New York, NY 10027



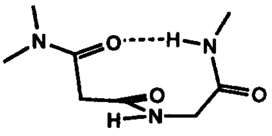
Improved torsional parameters for peptide main chains have been developed for use with the AMBER force field. The new field better reproduces findings of *ab initio* calculations on conformational energies of simple peptides such as the alanine dipeptide shown above.

Tetrahedron Lett. **1992,** *33,* 7747

An AMBER* Study of Gellman's Amides.

D.Quentin McDonald and W. Clark Still*, Department of Chemistry,
Columbia University, New York, NY 10027

The conformational properties of peptide derivatives reported by Gellman *et al.* are modeled successfully by AMBER* calculations using the GB/SA continuum model for chloroform solvent.

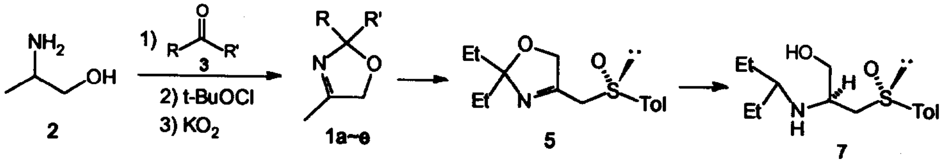


Global Minimum

Tetrahedron Lett. **1992,** *33,* 7751

Synthesis of 2,2-Disubstituted 2,5-Dihydro-4-methyloxazoles

Duy H. Hua,*[a] Noureddine Khiar,[b] Fengqi Zhang,[a] and Laurent Lambs[a]
a) Department of Chemistry, Kansas State University, Manhattan, KS 66506 U. S. A.
b) Dpto de Quimica Organica y Farmaceutica, Universidad de Sevilla, 41012 Sevilla, Spain

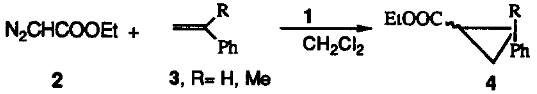


Tetrahedron Lett. **1992,** *33,* 7755

Iron Lewis Acid Catalyzed Reactions of Ethyldiazoacetate with Styrene and α-Methylstyrene: Formation of Cyclopropanes with Cis Selectivity

William J. Seitz, Anjan K. Saha, Dan Casper and M. Mahmun Hossain*
Department of Chemistry, University of Wisconsin-Milwaukee, Wisconsin 53201

The iron Lewis acid, $(\eta 5\text{-}C_5H_5)Fe^+(CO)_2(THF)BF_4^-$, **1** catalyzes the reaction of ethyldiazoacetate **2** with styrene and α-methylstyrene to provide cyclopropanes **4** with a preference for the cis isomer.

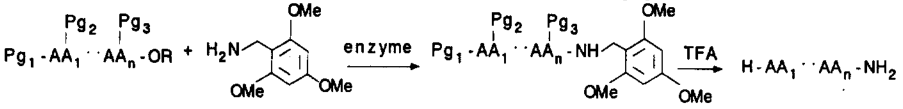


Tetrahedron Lett. **1992**, *33*, 7759

Novel Chemoenzymatic Synthesis of Peptide C-Terminal Amides from Ester Precursors.

Jeremy Green and
Alexey L. Margolin*, Marion Merrell Dow Research Institute, 2110 E.Galbraith Rd..,Cincinnati, OH 45215 USA

A novel two step chemoenzymatic procedure for the preparation of peptide C-terminal amides has been developed.

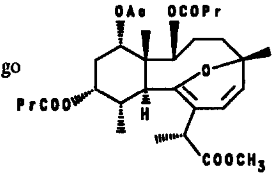


Tetrahedron Lett. **1992**, *33*, 7761

Methyl Briareolate, the First Briarein Diterpene Containing a C-19 Methyl Ester

Darin Maharaj[a], Baldwin S. Mootoo[a], Alan J. Lough[b],
Stewart McLean[b*], William F. Reynolds[b], and Winston F. Tinto[b,c]

[a]Department of Chemistry, University of the West Indies, St. Augustine, Trinidad & Tobago
[b]Department of Chemistry, University of Toronto, Toronto, Canada, M5S 1A1
[c]Department of Chemistry, University of the West Indies, Cave Hill Campus, Barbados



The structure 1 was elucidated by 2D NMR and X-ray crystal-structure analysis, which also established the relative stereochemistry.

Tetrahedron Lett. **1992**, *33*, 7765

Facile Synthesis of Substituted Nitrilotriacetamides.

Douglas A. Smith*, Susan Cramer, Steven Sucheck and Ewa Skrzypczak-Jankun
Department of Chemistry, University of Toledo, Toledo, OH 43606-3390.

$N(CH_2CONH_2)_3$

2

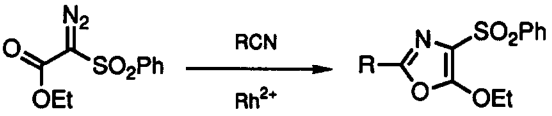
The divergent synthesis of nitrilotriacetamide 2 and its mono [illegible]

Tetrahedron Lett. **1992**, *33*, 7769

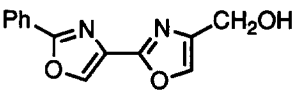
DIAZO-SULFONES AND -NITRILES IN OXAZOLE SYNTHESIS; THREE STEP PREPARATION OF A BIS-OXAZOLE

Kevin J. Doyle and Christopher J. Moody
Department of Chemistry, Loughborough University of Technology, Loughborough, Leicestershire LE11 3TU, U. K.

Oxazole-4-sulfones and -nitriles are prepared by rhodium(II) catalysed addition of nitriles to diazo compounds; using methyl cyanodiazoacetate, the bis-oxazole 5 is available in just three steps from benzonitrile.



1 2

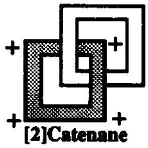


5

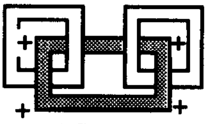
Tetrahedron Lett. **1992,** *33,* 7771

Characterisation of Molecular and Supramolecular Systems by Electrospray Mass Spectrometry

Peter R. Ashton,[a] Christopher L. Brown,[b] John R. Chapman,[c] Richard T. Gallagher,[c] and J. Fraser Stoddart*[a]
[a] School of Chemistry, University of Birmingham, Edgbaston, Birmingham B15 2TT, UK [b] Department of Chemistry, The University, Sheffield S3 7HF, UK [c] Kratos Analytical, Barton Dock Road, Urmston, Manchester M31 2LD, UK



[2]Catenane



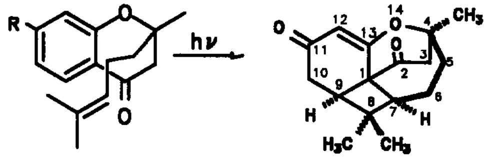
[3]Catenane

ESMS has been demonstrated to be a powerful technique for the characterisation of **[2]catenanes** and **[3]catenanes** based on interlocking rings composed of (i) macrocyclic polyethers containing either two π-electron rich 1,4-dioxybenzene or 1,5-dioxynaphthalene groups linked by 3,6,9-trioxaundecyl chains and (ii) tetracationic cyclophanes containing two π-electron deficient bipyridinium units linked by either *p*-xylyl or bitolyl residues.

Tetrahedron Lett. **1992,** *33,* 7775

A NOVEL INTRAMOLECULAR 1,2-ARENE-ALKENE PHOTOCYCLOADDITION

G. P. Kalena, P. Pradhan and A. Banerji*
Bio-Organic Division,
Bhabha Atomic Research Centre,
Trombay, Bombay-400 085 INDIA



1-3 R = OH, OMe, OEt   4

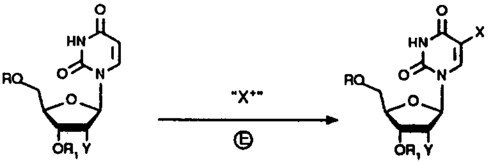
An intramolecular arene-alkene photocycloaddition of 1-3 resulted in the formation of 4

Tetrahedron Lett. **1992,** *33,* 7779

In-cell Indirect Electrochemical Halogenation of Pyrimidine Bases and their Nucleosides to 5-Haloderivatives

G.Palmisano,* B.Danieli, M.Santagostino, B.Vodopivec and G.Fiori

Reaction of anodically generated "halonium" species with pyrimidine bases and nucleosides leads to 5-halo compounds in good yields.

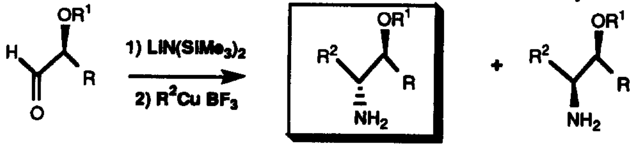


Tetrahedron Lett. **1992,** *33,* 7783

Reversal of Diastereoselectivity in the Addition of C-Nucleophiles to *N*-Trimethylsilyl imines *via* Grignard Derived Organo Copper-Boron Trifluoride Reagents.

Gianfranco Cainelli*, Daria Giacomini, Mauro Panunzio, Paola Zarantonello
Dipartimento di Chimica "G. Ciamician" Università and C.S.F.M.-C.N.R. Via Selmi, 2 - 40126 Bologna Italy.

A high stereocontrolled synthesis of ***anti*** α-amino alcohols from aldehydes *via* silylimines is reported.



Tetrahedron Lett. **1992**, *33*, 7787

A FACILE CONVERSION OF ALIPHATIC ALDEHYDES TO 1,1-DIFLUOROALKANES.

A. García Martínez[+a], J. Osío Barcina[a], A. Z. Rys[a], L. R. Subramanian[b]. [a]Departamento de Qúimica Orgánica, Facultad de Ciencias Químicas, Universidad Complutense, E-28040, Madrid, Spain.
[b] Institut für Organische Chemie der Universität, Auf der Morgenstelle 18, D-7400 Tübingen, Germany.

Aliphatic aldehydes can be easily converted to 1,1-difluoroalkanes by reaction of the corresponding *gem*-bistriflates with tetrabutylammonium difluorotriphenylstannate in methylene chloride at room temperature.

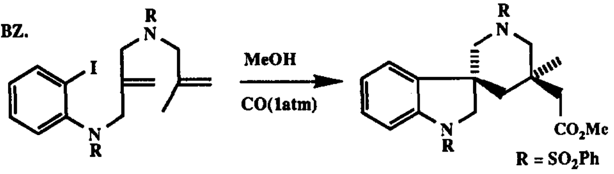
$$\text{R-CHO} \longrightarrow \text{R-CH(OTf)}_2 \longrightarrow \text{R-CHF}_2$$

Tetrahedron Lett. **1992**, *33*, 7789

PALLADIUM CATALYSED CYCLISATION - CARBONYLATION PROCESSES. RATE ENHANCEMENT BY Tl(I) SALTS.

Ronald Grigg,[a,*] Peter Kennewell[b] and Andrew J Teasdale[a].
a. School of Chemistry, Leeds University, Leeds, LS2 9JT.
b. Roussel Scientific Institute, Kingfisher Drive, Swindon, SN3 5BZ.

The addition of TlOAc (3 mol) promotes a series of cyclisation-carbonylation reactions under 1 atmosphere of CO at 65°C. One example of a bis-cyclisation-carbonylation is reported.



Tetrahedron Lett. **1992**, *33*, 7793

SYNTHESIS OF INOSITOL PHOSPHOLIPIDS WITH THIOPHOSPHOESTER BONDS

M. A. Alisi, M. Brufani, L. Filocamo*, G. Gostoli
Dipartimento di Scienze Biochimiche "A.Rossi Fanelli",Università di Roma "La Sapienza", Via degli Apuli 9, 00185 Roma (Italy)
S. Maiorana
Dipartimento di Chimica Organica e Industriale,Università di Milano, Via Venezian 21, 20133 Milano (Italy)
M. C. Cesta, E. Ferrari, S. Lappa, and P. Pagella
Mediolanum Farmaceutici S.p.a.,Via S. G. Cottolengo 31, 20143 Milano (Italy)

A series of phosphothiolates analogues of phosphatidylinositol was synthesised with the aim of obtaining phospholipase C inhibitors.