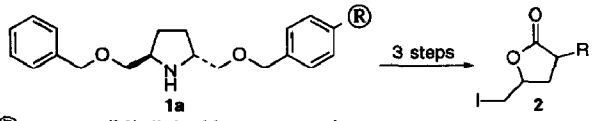
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 8915

A POLYMER-SUPPORTED C_2-SYMMETRIC CHIRAL AUXILIARY: PREPARATION OF NON-RACEMIC 3,5-DISUBSTITUTED-γ-BUTYROLACTONES. Hong-sik Moon, Neil E. Schore, and Mark J. Kurth,* Department of Chemistry, University of California, Davis, California 95616 USA

Polymer-bound "C_2-symmetric" pyrrolidine-based auxiliary **1a** serves as an effective control agent for a 3-step process consisting of N-acylation, Cα-alkylation, and subsequent iodolactonization to deliver optically active 3,5-disubstituted-γ-butyrolactone.



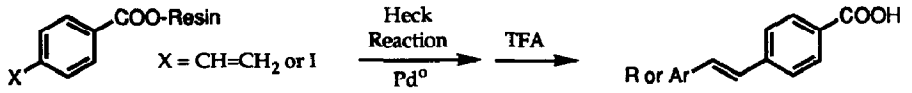
® = styrene/2% divinyl benzene copolymer

Tetrahedron Letters, **1994**, *35*, 8919

HECK REACTIONS IN SOLID PHASE SYNTHESIS

Kuo-Long Yu,* Milind S. Deshpande and Dolatrai M. Vyas
Bristol Myers-Squibb Company, Pharmaceutical Research Institute
5 Research Parkway, Wallingford, Connecticut, 06492

Heck reactions of polymer bound aryl styrene (1) or iodide (2)with olefins or aryl halides gave good yields of products of high purity. The methodology developed can be applied in combinatorial chemical libraries.

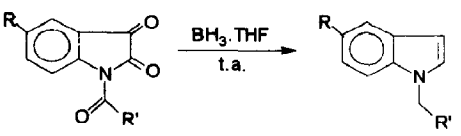


Tetrahedron Letters, **1994**, *35*, 8923

REDUCTION OF N-ACYLISATINS WITH [BH_3.THF] COMPLEX

Angelo C. Pinto*, Filipe Soares Q. da Silva
Instituto de Química - UFRJ - 21949-900 - Rio de Janeiro - Brazil
Rosângela B. da Silva
Centro de Tecnologia Mineral/CNPq - 21941-590 - Rio de Janeiro - Brazil

N-acylisatins can be directly converted in high yields(72-86%) to N-alkylindoles by reduction, at room temperature, with freshly prepared BH_3.THF complex.



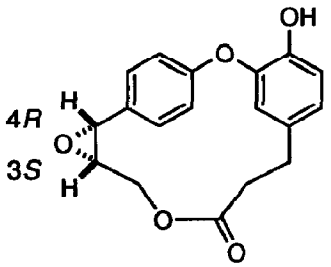
Tetrahedron Letters, **1994**, *35*, 8927

Synthesis and Revised Configuration of (+)-Combretastatin D-1

Scott D. Rychnovsky,* and Kooksang Hwang
Department of Chemistry, University of Minnesota, Minneapolis, Minnesota 55455

(+)-Combretastatin D-1 was prepared by Jacobsen enantioselective epoxidation of an alkene. Hydrogenolysis and advanced Mosher ester analysis confirmed the configuration of the synthetic material as (3*R*,4*S*)-(+)-combretastatin D-1.

The configuration of natural (–)-combretastatin D-1 was previously assigned as (3*R*,4*S*), but that assignment should be corrected to (3*S*,4*R*).

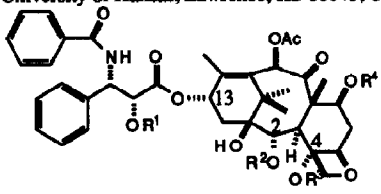


(–)-Combretastatin D-1

Tetrahedron Letters, **1994**, *35*, 8931

Selective C-2 and C-4 Deacylation and Acylation of Taxol: The First Synthesis of a C-4 Substituted Taxol Analogue

Gunda I. Georg,* Syed M. Ali, Thomas C. Boge, Apurba Datta, Lise Falborg and Richard H. Himes,‡ Departments of Medicinal Chemistry and Biochemistry,‡ University of Kansas, Lawrence, KS 66045, USA



1 R^1=R^4=H, R^2=COPh, R^3= Ac, taxol
2 R^1=TBS, R^2=COPh, R^3= Ac, R^4=TES
3 R^1=TBS, R^2=H, R^3= Ac, R^4=TES
4 R^1=TBS, R^2=R^3=H, R^4=TES
5 R^1=R^4=H, R^2=4-ClPhCO, R^3= Ac
6 R^1=R^4=H, R^2=COPh, R^3= *iso*-PrCO

Selective hydrolysis of silylated taxol 2 with anhydrous KOH yielded 2-debenzoyl analogue 3 or 2,4-dideacyl derivative 4, depending on the reaction conditions. Reacylation provided 2-(4-chlorobenzoyl)-2-debenzoyltaxol (5) and 4-deacetyl-4-iso-butanoyltaxol (6).

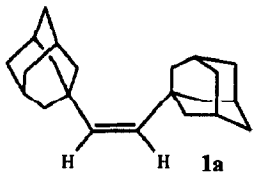
Tetrahedron Letters, **1994**, *35*, 8935

(*Z*)- AND (*E*)-1,2-DI(1-ADAMANTYL)ETHENE

Alan P. Marchand,* Dongxia Xing, and Simon G. Bott*
Department of Chemistry, University of North Texas
Denton, Texas 76203-0068

Keiichiro Ogawa* and Jun Harada

Department of Chemistry , College of Arts and Sciences
The University of Tokyo, Komaba, Meguro-ku, Tokyo 153, Japan



1a

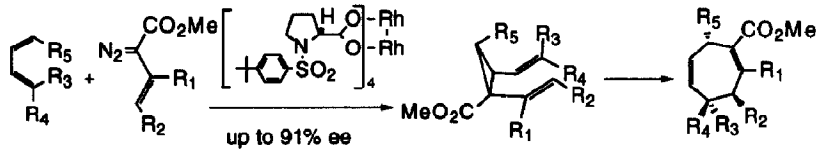
A highly stereoselective four-step synthesis of (*Z*)-1,2-di-(1-adamantyl)ethene is described. This compound is isomerized quantitatively to the corresponding (*E*)- isomer by reaction with I_2.

[and corresponding (*E*)- isomer]

Tetrahedron Letters, **1994**, *35*, 8939

ASYMMETRIC SYNTHESIS OF 1,4-CYCLOHEPTADIENES AND BICYCLO[3.2.1]OCTA-2,6-DIENES BY RHODIUM(II) N-(p-(*tert*-BUTYL)PHENYLSULFONYL)PROLINATE CATALYZED REACTIONS BETWEEN VINYLDIAZOMETHANES AND DIENES

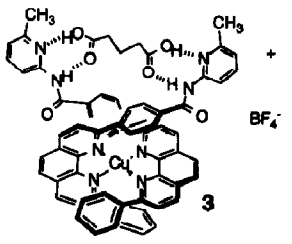
Huw M. L. Davies,* Zhi-Qiang Peng and Jeffrey H. Houser, Department of Chemistry, Wake Forest University,Box 7486, Winston-Salem, North Carolina, 27109.



Tetrahedron Letters, **1994**, *35*, 8943

A SELF-ASSEMBLING RECEPTOR FOR DICARBOXYLIC ACIDS.

M. Scott Goodman,§ Jean Weiss,¶ and Andrew D. Hamilton§*
§Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260, USA
and ¶U.R.A. 405 au CNRS, Institut Le Bel, Université Louis Pasteur, Strasbourg, France



3

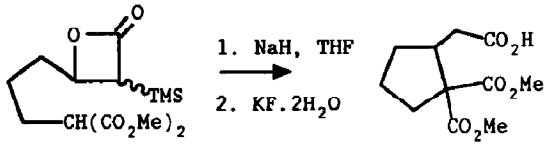
A simple binding subunit that self-assembles in the presence of Cu(I) ions to form a receptor (3) for dicarboxylic acids is described.

Tetrahedron Letters, **1994**, *35*, 8947

CARBANION-INDUCED INTRAMOLECULAR BETA-CLEAVAGE REACTIONS OF 2-OXETANONES

Keith T. Mead* and Jinqi Lu
Department of Chemistry, Mississippi State University
Mississippi State, MS 39762

Enolate-directed intramolecular ring opening of a variety of 2-oxetanones has been studied.

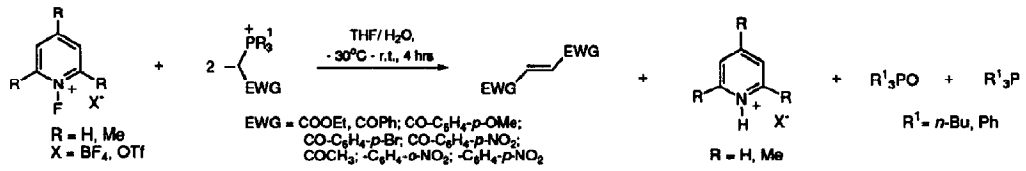


Tetrahedron Letters, **1994**, *35*, 8951

REACTION OF *N*-FLUOROPYRIDINIUM SALTS WITH WITTIG REAGENTS: A NOVEL AND CONVENIENT APPROACH TO SYMMETRIC *trans*-OLEFINS.

Alexander S. Kiselyov
Department of Chemistry, Georgia State University, University Plaza, Atlanta, GA 30303-3083

N-Fluoropyridinium salts were found to react with Wittig reagents containing electron-withdrawing groups (EWG) to give symmetrical *trans*-olefins in 47-83% yield. The mechanism of this conversion is believed to involve a single-electron transfer from the Wittig reagent to the *N*-fluoropyridinium cation

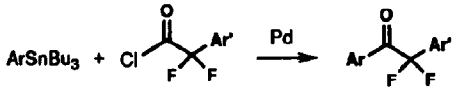


Tetrahedron Letters, **1994**, *35*, 8955

A NOVEL SYNTHESIS OF 1, 2-DIARYL-2, 2-DIFLUOROETHANONES

Kuo-Long Yu,* Muzammil M. Mansuri and John E. Starrett Jr.
Bristol-Myers Squibb Company, Pharmaceutical Research Institute,
5 Research Parkway, Wallingford, CT 06492

A novel synthesis of 1, 2-diaryl- 2, 2-difluoroethanones involving Stille reaction of an aryl difluoroacetyl chloride and an arylstannane is described.

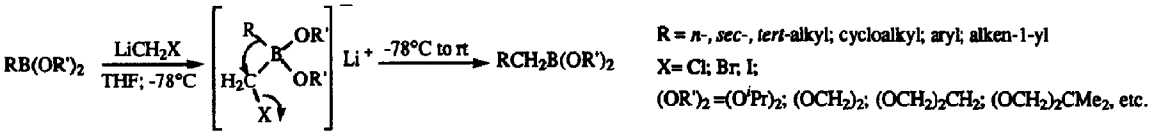


Tetrahedron Letters, **1994**, *35*, 8957

Homologation of Representative Boronic Esters Using *in situ* Generated (Halomethyl)lithiums: A Comparative Study.

Raman Soundararajan, Guisheng Li and Herbert C. Brown*
H. C. Brown and R. B. Wetherill Laboratories of Chemistry, Purdue University, West Lafayette, Indiana 47907 USA

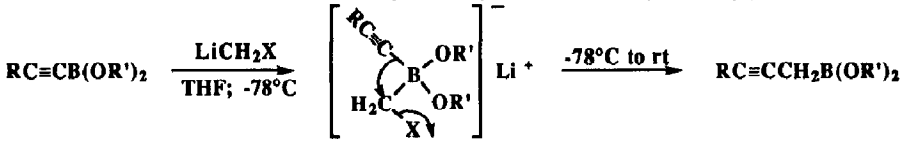
A comparative study of homologation of representative boronic esters with *in situ* generated $LiCH_2X$ (X= Cl; Br; I) is presented.



Tetrahedron Letters, **1994**, *35*, 8961

General Synthesis of 2-Alkyn-1-ylboronates in Excellent Isomeric Purity Raman Soundararajan, Guisheng Li and Herbert C. Brown*

H.C. Brown and R. B. Wetherill Laboratories of Chemistry, Purdue University, West Lafayette, Indiana 47907 USA

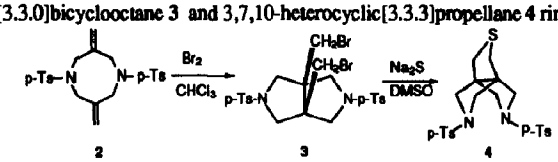


2-Alkyn-1-ylboronates have been synthesized successfully, in excellent isomeric purity, by the *in situ* homologation of alkyn-1-ylboronates with $LiCH_2I$. The relative reactivities exhibited by various $LiCH_2X$ reagents (X=Cl;Br;I) are described.

Tetrahedron Letters, **1994**, *35*, 8965

1,5-DIAZACYCLOOCTANE-3,7-DERIVATIVES AS PRECURSORS OF THE 3,7-DIAZA[3.3.0]BICYCLOOCTANE AND 3,7,10-HETEROCYCLIC[3.3.3]PROPELLANE RING SYSTEMS. P.R. Dave* and F. Forohar,Geo-Centers, Inc. at ARDEC, 762 Route 15 South, Lake Hopatcong, NJ 07849 USA. T. Axenrod, L. Qi, C. Watnick and H. Yazdekhasti, Department of Chemistry, The City College of CUNY, New York, NY 10031 USA

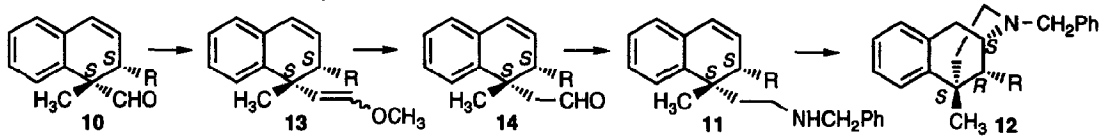
2 leads to the 3,7-diaza[3.3.0]bicyclooctane 3 and 3,7,10-heterocyclic[3.3.3]propellane 4 ring systems.



Tetrahedron Letters, **1994**, *35*, 8969

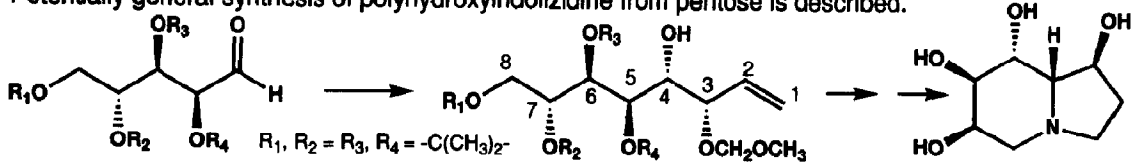
A NOVEL STEREO- AND ENANTIOSELECTIVE SYNTHESIS OF TRANS-9-ALKYL-2-BENZYL-5-METHYL-6,7-BENZOMORPHANS. Ali Dehghani, Xu Bai, S. Wayne Mascarella, and F. Ivy Carroll,* Chemistry and Life Sciences, Research Triangle Institute, P O Box 12194, Research Triangle Park, North Carolina 27709, USA
Wayne D. Bowen, Laboratory of Medicinal Chemistry, NIDDK, NIH, Bethesda, MD 20892, USA

The first stereo- and enantioselective syntheses of **12** are described.



Tetrahedron Letters, **1994**, *35*, 8973

Potentially General Synthesis of Polyhydroxyindolizidines
Prabhakar K. Jadhav* and Francis J. Woerner
E. I. du Pont de Nemours & Company, Inc., Central Research & Development Department
Experimental Station, P. O. Box 80328, Wilmington, DE 19880-0328
Potentially general synthesis of polyhydroxyindolizidine from pentose is described.

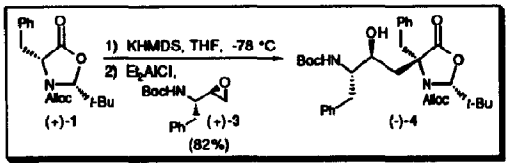


Tetrahedron Letters, **1994**, *35*, 8977

ENANTIORETENTIVE ALKYLATION OF OXAZOLIDINONE ALUMINUM ENOLATES WITH EPOXIDES: PREPARATION OF UNCODED HOMOSERINE ANALOGS

Amos B. Smith, III,* Alexander Pasternak, Akihisa Yokoyama and Ralph Hirschmann*
Department of Chemistry, Laboratory for Research on the Structure of Matter, and Monell Chemical Senses Center, University of Pennsylvania, Philadelphia, Pennsylvania 19104, U.S.A.

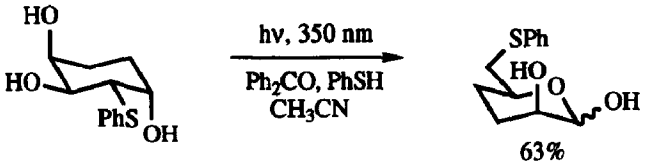
The alkylation of Karady/Seebach oxazolidinone enolates with epoxides, promoted by 2.1 equivalents of diethylaluminum chloride, furnishes ring-opened adducts in moderate-to-good yields with high diastereoselectivity. The method provides an effective approach to uncoded homoserine analogs and expands the utility of readily available oxazolidinones in asymmetric synthesis.



Tetrahedron Letters, **1994**, *35*, 8981

PHOTOINDUCED REARRANGEMENT OF CARBOCYCLIC 2-PHENYLTHIO-1,3-DIOLS TO DEOXYSUGARS

Denis Gravel*, Luc Farmer, Réal C. Denis and Erwin Schultz, Département de chimie, Université de Montréal, C.P. 6128, Montreal Québec, Canada, H3C 3J7.

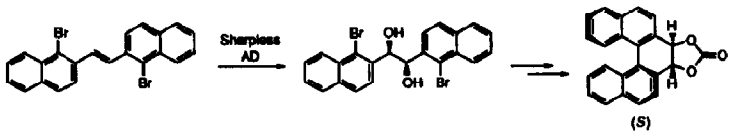


Tetrahedron Letters, **1994**, *35*, 8985

A New Strategy for the Synthesis of Axially Chiral Biaryl Compounds

Viresh H. Rawal,* Alan S. Florjancic, and Surendra P. Singh
Department of Chemistry, The Ohio State University, Columbus, Ohio 43210.

Carbon-centered chirality, obtained via Sharpless osmylation, can be translated into axial chirality.

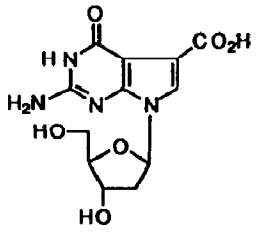


Tetrahedron Letters, **1994**, *35*, 8989

Total Synthesis of 2'-Deoxycadeguomycin, a New Pyrrolo-[2,3-*d*]pyrimidine Nucleotide Analogue

Eric D. Edstrom* and Yuan Wei
Department of Chemistry and Biochemistry, Utah State University, Logan, Utah 84322-0300

The synthesis of 2'-deoxycadeguomycin, an analogue of the naturally occurring antitumor nucleotide cadeguomycin, is reported.



Tetrahedron Letters, **1994**, *35*, 8991

Synthesis of Aza-*C*-disaccharides - a New Class of Sugar Mimics

Carl R. Johnson,* Michael W. Miller, Adam Golebiowski,
Hari Sundram and Mohamad B. Ksebati, Department of Chemistry, Wayne State University, Detroit, MI 48202

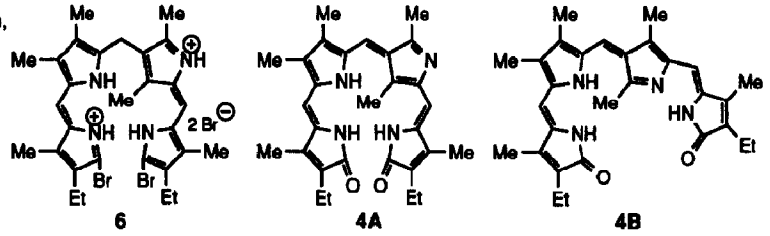
The synthesis of a novel aza-*C*-disaccharide from D-galactose and (1*S*,2*S*)-3-bromocyclohexadien-1,2-diol is described.

Tetrahedron Letters, **1994**, *35*, 8995

A NOVEL BILIVERDIN WITH AN INVERTED PYRROLE SUBUNIT

Ravindra K. Pandey, Sam H. Leung, Timothy P. Forsyth and Kevin M. Smith, Department of Chemistry, University of California, Davis, CA 95616, USA, and Department of Radiation Biology, Roswell Park Cancer Institute, Buffalo, NY 14263, USA

Synthesis, from a dipyrromethane and a,c-biladiene 6, of a novel monopyrrole-inverted biliverdin 4.

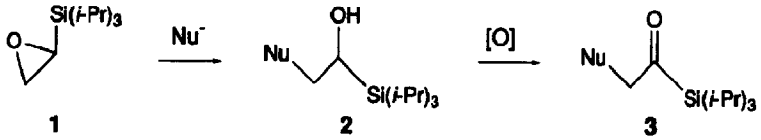


Tetrahedron Letters, **1994**, *35*, 8999

A CONVENIENT PREPARATION OF TRIISOPROPYLSILYL ACYL SILANES

Bruce H. Lipshutz,* Craig Lindsley, Richard Susfalk and Tim Gross
Department of Chemistry, University of California, Santa Barbatra, CA 93106-9510

Conversion of epoxide 1 *via* 2 to TIPS acyl silanes 3.

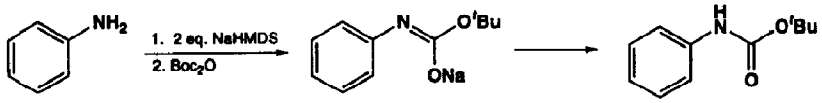


Tetrahedron Letters, **1994**, *35*, 9003

A SIMPLE METHOD FOR THE PROTECTION OF ARYL AMINES AS THEIR *t*-BUTYLCARBAMOYL (Boc) DERIVATIVES.

Terence A. Kelly* and Daniel W. McNeil, Department of Medicinal Chemistry, Boehringer Ingelheim Pharmaceuticals Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, Connecticut 06877 USA

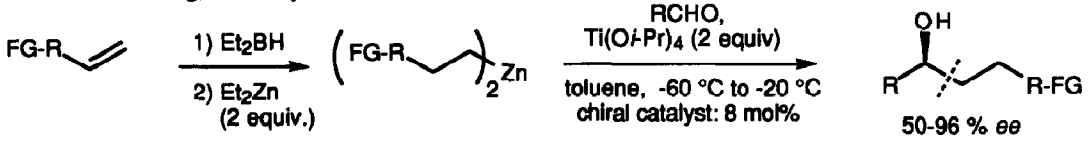
Aryl amines can be directly protected as their Boc derivatives by treatment of the amine with two equivalents of NaHMDS followed by di-*t*-butyldicarbonate.



Tetrahedron Letters, **1994**, *35*, 9007

Catalytic Asymmetric Reductive Addition of Olefins to Aldehydes Mediated by Boron and Zinc Organometallics.

Lothar Schwink and Paul Knochel*, Fachbereich Chemie der Philipps-Universität Marburg
D - 35032 Marburg, Germany.



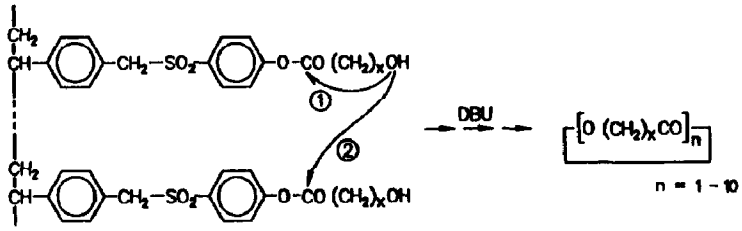
FG = CO_2R, $OSiR_3$, Br, I

Tetrahedron Letters, **1994**, *35*, 9011

POLYMER-SUPPORTED SYNTHESIS OF SERIES OF MACROCYCLIC OLIGOESTERS

Manfred Rothe * and Michael Zieger,
Lehrstuhl Organische Chemie II,
Universität Ulm, 89069 Ulm, Germany

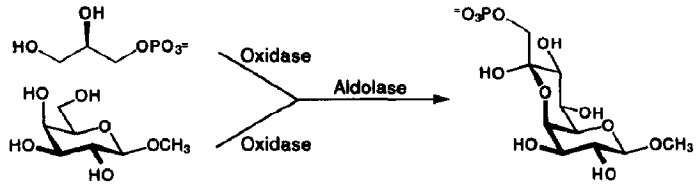
Cyclo-oligomerizations of ω-hydroxy acids and oligoesters bound to cross-linked polystyrene as active esters lead to series of rings up to 91 ring atoms.



Tetrahedron Letters, **1994**, *35*, 9013

Higher-carbon Sugars by Enzymatic Chain Extension Oxidative Generation of Aldol Precursors *in situ*

Oliver Eyrisch,[a] Manfred Keller,[a] and Wolf-Dieter Fessner [b]* [a]Department of Organic Chemistry and Biochemistry, University of Freiburg, D-79104 Freiburg i.Br. and [b]Department of Organic Chemistry, RWTH Aachen, D-52056 Aachen, Germany

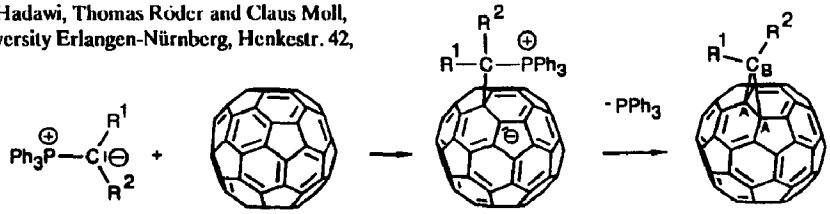


Tetrahedron Letters, **1994**, *35*, 9017

6,6 ÜBERBRÜCKTE GESCHLOSSENE METHANOFULLERENE AUS C_{60} UND PHOSPHONIUMYLIDEN.

Hans Jürgen Bestmann *, Dariusch Hadawi, Thomas Röder and Claus Moll,
Institut für Organic Chemistry, University Erlangen-Nürnberg, Henkestr. 42,
D-91054 Erlangen

Phosphonium ylides react with C_{60} under formation of 6.6-closed methanofullerenes.

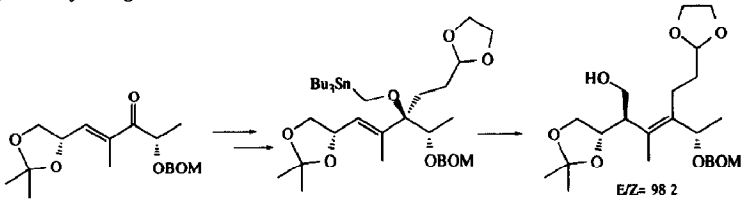


Tetrahedron Letters, **1994**, *35*, 9021

Highly Stereoselective Synthesis of Tetrasubstituted Alkenes via [2,3]-Wittig Rearrangement

Johann Mulzer and Benjamin List, Institut für Organische Chemie der Freien Universität Berlin, Takustraße 3, D-14195 Berlin.*

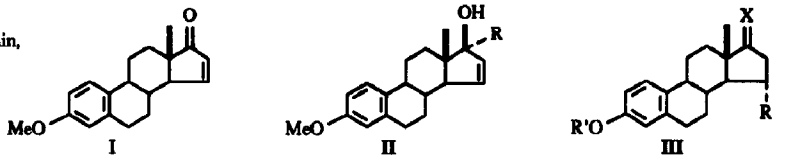
Tetrasubstituted alkenes were prepared stereoselectively by using the Wittig-Still rearrangement. Eight examples are given.



Tetrahedron Letters, **1994**, *35*, 9025

AN OXY-COPE REARRANGEMENT APPROACH TO C(15) α-ALKYLATED DERIVATIVES OF ESTRADIOL

G. Bojack and H. Künzer*
Research Laboratories, Schering AG-Berlin, Müllerstr. 170-178, 13342 Berlin, Germany



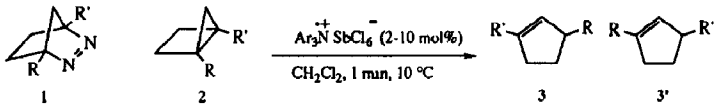
A new steroidal key intermediate (III, R'= Me, X= O, R= allyl) was obtained by oxy-Cope rearrangement of the allyl-Grignard addition product II (R= allyl) derived from I. Examples for its elaboration into potential estrogen receptor agonists/antagonists are given.

Tetrahedron Letters, **1994**, *35*, 9027

***TRIS*(ARYL)AMINIUM HEXACHLOROANTIMONATES: CONVENIENT ONE- ELECTRON OXIDANTS FOR CHEMICAL ELECTRON TRANSFER WITH BICYCLIC AZOALKANES AND BICYCLO[2.1.0]PENTANES**

Waldemar Adam* and Coskun Sahin
Institut für Organische Chemie der Universität Würzburg, Am Hubland, D-97074 Würzburg, Germany

The chemical behavior of the radical cations derived from 2,3-diazabicyclo[2.2.1]hept-2-enes **1** and bicyclo[2.1.0]pentanes **2** by oxidation with *tris*(aryl)aminium hexachloroantimonates has been examined.



1 2 3 3'

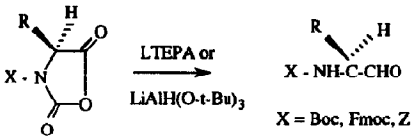
a (R= R'= H); b (R= Me; R'= H); c (R= Ph; R'= H); d (R= R'= Me)

Tetrahedron Letters, **1994**, *35*, 9031

SYNTHESIS OF CHIRAL N-PROTECTED α-AMINO ALDEHYDES BY REDUCTION OF N-PROTECTED N-CARBOXYANHYDRIDES (UNCAs).

Jean-Alain Fehrentz[1], Catherine Pothion[1], Jean-Christophe Califano[1], Albert Loffet[2] & Jean Martinez[1]*
[1] Laboratoire de Chimie et Pharmacologie de Molécules d'Intérêt Biologique, URA 1845 CNRS, Faculté de Pharmacie, 15 av. C. Flahault, 34060 Montpellier, France. [2] Propeptide, 91710 Vert-le-Petit, France.

A wide variety of chiral N-protected α-amino aldehydes were synthesized by reduction of the corresponding N-protected N-carboxyanhydrides (UNCAs) with lithium tris(tert-butoxy) aluminium hydride [$LiAlH(O\text{-}t\text{-}Bu)_3$] or tris[(3-ethyl-3-pentyl)oxy] aluminium hydride (LTEPA)



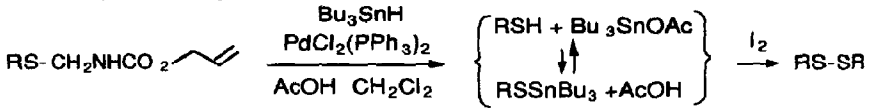
Tetrahedron Letters, **1994**, *35*, 9035

THE ALLYLOXYCARBONYLAMINOMETHYL GROUP : A NEW ALLYLIC PROTECTION FOR THE THIOL GROUP OF CYSTEINE.

André Malanda Kimbonguila[a], Ahmed Merzouk[a], François Guibé[a]* and Albert Loffet[b], [a]Laboratoire des Réactions Organiques Sélectives, URA CNRS 1497, Bât. 420, Université Paris-Sud, 91405 Orsay (France)

[b]Propeptide, 91710, Vert-le-Petit, France

Allocam derivatives of thiols in general and cysteine in particular are readily and selectively cleaved through palladium catalyzed hydrostannolysis.

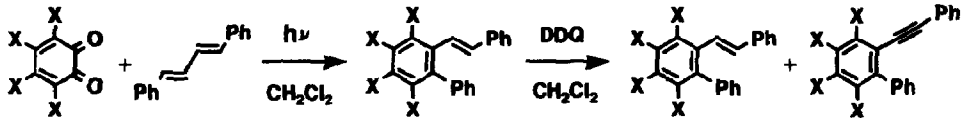


Tetrahedron Letters, **1994**, *35*, 9039

FORMATION AND OXIDATION OF 1:1 ADDUCTS OF TETRAHALO-1,2-BENZOQUINONES AND 1,3-DIENE

Sung Sik Kim,* Young Hyun Yu, Sang Chul Shim,[+] and In Ho Cho, Department of Chemistry, Chonbuk National University, Chonju 560-756, Korea. [+] Department of Chemistry, Korea Advanced Institute of Science and Technology, Taejon 305-701, Korea

Irradiation of tetrahalo-1,2-benzoquinones and *trans,trans*-1,4-diphenyl-1,3-butadiene gave rise to 1:1 adducts, which were oxidized to give stilbenes and alkynes

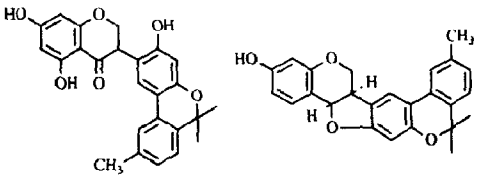


Tetrahedron Letters, **1994**, *35*, 9043

TETRAPTEROLS A AND B: NOVEL FLAVONOIDS FROM *SOPHORA TETRAPTERA*

Toshiyuki Tanaka, Masayoshi Ohyama, Yoko Kawasaka, and Munekazu Iinuma, Department of Pharmacognosy, Gifu Pharmaceutical University, Mitahora-higashi 5-6-1, Gifu 502, Japan

Two novel flavonoid compounds, tetrapterols A and B, in which a geranyl group is dehydrogenated and isomerized to form a new aromatic ring, were isolated from the roots of *Sophora tetraptera* (Leguminosae). The structures were established by means of 2D NMR spectroscopy.

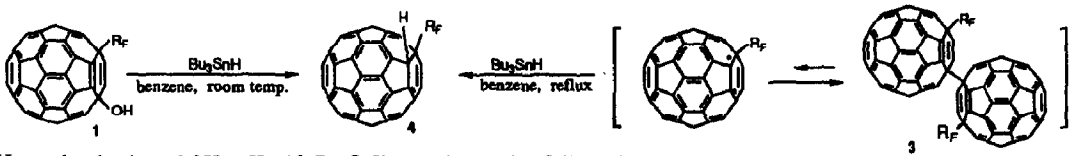


tetrapterol A tetrapterol B

Tetrahedron Letters, **1994**, *35*, 9045

Reactions of Fullerols and Fullerene Dimer Containing Perfluoroalkyl Groups with Tributyltin Hydride

Masato Yoshida,* Ayako Morishima, Yoshihiro Morinaga, and Masahiko Iyoda*
Department of Chemistry, Faculty of Science, Tokyo Metropolitan University, Hachioji, Tokyo 192-03, Japan

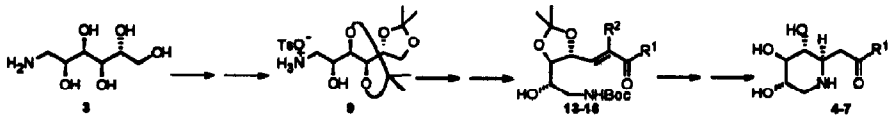


Unusual reduction of OH to H with Bu_3SnH was observed in fullerol 1 under mild conditions. Fullerene dimer 3 also gave 4 by treating with Bu_3SnH.

Tetrahedron Letters, **1994**, *35*, 9047

THE SYNTHESIS OF 7-CARBONYL HOMOLOGUES OF 1-DEOXYNOJIRIMYCIN. Amuri Kilonda, Frans Compernolle,* Suzanne Toppet, Georges J. Hoornaert, Laboratorium voor Organische Synthese, Katholieke Universiteit Leuven Celestijnenlaan 200 F, 3001 Leuven-Heverlee, Belgium.

α,β-Unsaturated esters and ketones **13-16** derived from aminoglucitol **3**, were converted to piperidines **4-7** *via* deprotection and intramolecular 1,4-addition of the amino group.



Tetrahedron Letters, **1994**, *35*, 9051

ESTERS OF CROSS-LINKED POLYVINYL ALCOHOL WITH FATTY ACIDS: NEW STATIONARY PHASES FOR THE SEPARATION OF LIPOLYTIC ENZYMES. Enrico Cernia, *Giancarlo Ortaggi, Simonetta Soro, Dipartimento di Chimica, Università di Roma "La Sapienza", Piazzale A. Moro 5, 00185 Roma (Italy) Massimo Castagnola, Dipartimento di Chimica, Università di Roma "Sacro Cuore", Largo F. Vito 1, 00100 Roma (Italy)

A new class of functionalized synthetic polymers with a modular affinity toward lipidic molecules was prepared and tested in the successful separation of lipases by a single step chromatography. The resins were found to adsorb strongly lipase from *Candida rugosa* and appear to have high versatility and selectivity

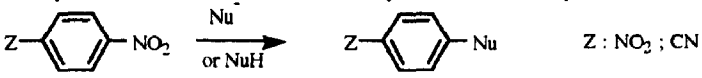
Tetrahedron Letters, **1994**, *35*, 9055

REDUCTIVELY ACTIVATED "POLAR" NUCLEOPHILIC AROMATIC SUBSTITUTION. II. THE REACTION OF *p*-DINITROBENZENE AND *p*-NITROBENZONITRILE WITH CHARGED AND NEUTRAL NUCLEOPHILES.

Miquel Mir, Martirio Espín, Jorge Marquet,* Iluminada Gallardo* and Chiara Tomasi

Department of Chemistry, Universitat Autònoma de Barcelona. 08193 Bellaterra, Barcelona. Spain.

Electrochemical experiments show that no reaction between p-dinitrobenzene or p-nitrobenzonitrile radical anions with phenolate or phenol nucleophiles takes place in DMF. However, the reaction of p-dinitrobenzene and phenol can be reductively activated



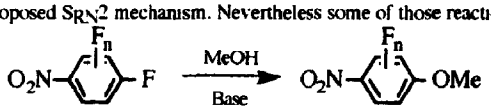
Tetrahedron Letters, **1994**, *35*, 9059

REDUCTIVELY ACTIVATED "POLAR" NUCLEOPHILIC AROMATIC SUBSTITUTION.III. THE REACTIONS OF POLYFLUORONITROBENZENES WITH METHANOL.

Mohammed Niat, Jorge Marquet,* Iluminada Gallardo, Maria Cervera and Miquel Mir

Department of Chemistry, Universitat Autònoma de Barcelona. 08193 Bellaterra, Barcelona. Spain.

Mechanistic studies indicate that the reactions of polyfluoronitrobenzenes (including pentafluoronitrobenzene) with methanol do not occur through the previously proposed $S_{RN}2$ mechanism. Nevertheless some of those reactions are reductively activated.

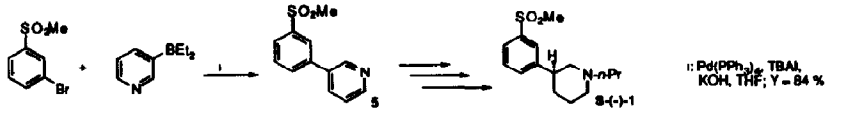


Tetrahedron Letters, **1994**, *35*, 9063

AN EFFICIENT SYNTHESIS OF THE NOVEL DOPAMINE AUTO-RECEPTOR ANTAGONIST S-(-)-OSU6162, *VIA* PALLADIUM CATALYZED CROSS-COUPLING REACTION.

Clas Sonesson* and Jonas Lindborg, Medicinal Chemistry Unit, Dept. of Pharmacol., Univ. of Göteborg, S-41390 Göteborg, Sweden.

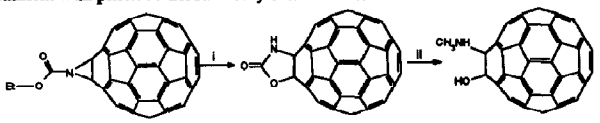
Abstract: Optically active S-(-)-OSU6162 (S(-)-1) has been synthesized in 4 steps with an overall yield of 48 %. The four steps consists of palladium catalyzed cross-coupling, catalytic hydrogenation, classical resolution with tartaric acid and reductive amination.



Tetrahedron Letters, **1994**, *35*, 9067

Chemical Transformations on the Surface of [60]Fullerene:Synthesis of [60]Fullereno[1',2':4,5]oxazolidin-2-one. Malcolm R. Banks[a], J. I. G. Cadogan[b], Ian Gosney[a], Philip K. G. Hodgson[c], John R. A. Millar[a], Patrick R. R. Langridge-Smith[a] and Alan T. Taylor[a];[a]Department of Chemistry, The University of Edinburgh, West Mains Road, Edinburgh EH9 3JJ, Scotland; [b]Department of Chemistry, Imperial College of Science, Technology and Medicine, South Kensington, London SW7 2AY, England; [c]BP International, Research and Engineering Centre, Chertsey Road, Sunbury-on-Thames, Middlesex TW16 7LN, England.

Base-induced α-elimination from substituted *O*-4-nitrophenylsulfonylhydroxamic acids has been used to synthesize [60]fullereno-[1',2':2,3]aziridines. Treatment with phenol/chlorotrimethylsilane results in the formation of the title compound.

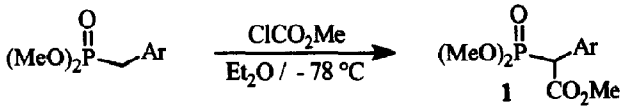


Tetrahedron Letters, **1994**, *35*, 9071

A FACILE SYNTHESIS OF α-PHOSPHONO ESTERS THROUGH METHOXYCARBONYLATION OF α-PHOSPHONO CARBANIONS.

Jon K.F. Geirsson* and Jon T. Njardarson
Science Institute, University of Iceland, Dunhaga 3, IS-107 Reykjavik, Iceland

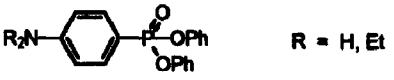
An effective and simple procedure for the preparation of methyl α-phosphonoarylacetates **1** is described.



Tetrahedron Letters, **1994**, *35*, 9073

THE PHOSPHONATE ESTER GROUP IN NONLINEAR OPTICAL DONOR-ACCEPTOR BENZENES Michael G. Hutchings*, Paul F. Gordon, Peter J. Duggan, Zeneca Specialties Research Centre, Blackley, Manchester M9 8ZS, U.K.; Isabelle Ledoux, G. Puccetti & Joseph Zyss, France Télécom, CNET, Centre Paris B, Laboratoire de Bagneux, 196 avenue Henri Ravera, 92220-Bagneux, France

The phosphonate ester group, typified by $P(=O)(OPh)_2$, is an effective π-electron acceptor group in donor-acceptor substituted benzenoid compounds for nonlinear optics, particularly second harmonic generation of blue light..



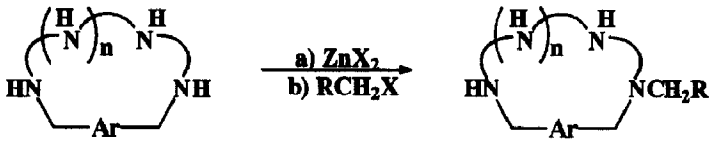
R = H, Et

Tetrahedron Letters, **1994**, *35*, 9075

SELECTIVE MONOFUNCTIONALIZATION OF POLYAZA[n]PARACYCLOPHANES

M.I. Burguete, B. Escuder, S.V. Luis*, J.F. Miravet and E. García-España*
Dpt. of Experimental Sciences, Univ. Jaume I, 12080 Castellón, Spain and Dpt. of Inorganic Chemistry, Univ. of Valencia, 46100 Burjassot (Valencia), Spain.

Polyaza[n]paracyclophanes direct their own selective functionalization upon interaction with simple guests such as Zn^{2+} cations.



Tetrahedron Letters, **1994**, *35*, 9079

SONICATION-ASSISTED CLEAVAGE OF HYDROPHOBIC PEPTIDES. APPLICATION IN MULTIPIN PEPTIDE SYNTHESIS.

Andrew M. Bray*, Liana M. Lagniton, Robert M. Valerio and N. Joe Maeji.
Chiron Mimotopes Pty. Ltd., 11 Duerdin Street, Clayton, Victoria 3168, Australia.

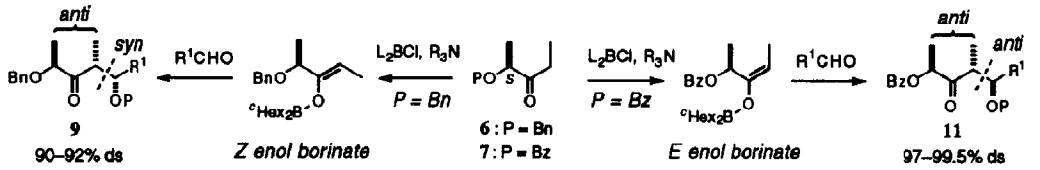
High-power sonication enables hydrophobic peptides, that would otherwise cleave with poor efficiency, to cleave and elute from the solid support in good yield. The method is demonstrated in conjunction with the multipin method, using a diketopiperazine-forming handle with cleavage at pH 8.3.

Tetrahedron Letters, **1994**, *35*, 9083

STUDIES IN POLYPROPIONATE SYNTHESIS: HIGH π-FACE SELECTIVITY IN SYN AND ANTI ALDOL REACTIONS OF CHIRAL BORON ENOLATES OF LACTATE-DERIVED KETONES.

I. Paterson,* D. J. Wallace and S. M. Velázquez, *University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW, UK.*

A simple choice of protecting group in ketones **6** and **7** allows control of *Z* / *E* enolisation and *syn* / *anti* selectivity in their $^cHex_2BCl$-promoted aldol reactions.

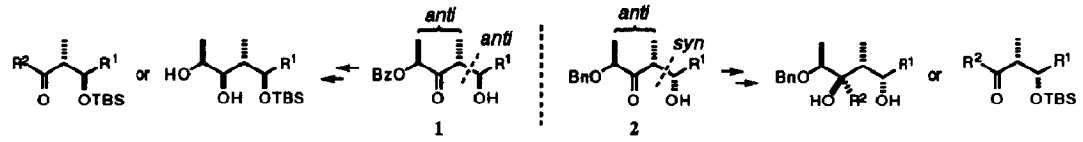


Tetrahedron Letters, **1994**, *35*, 9087

MANIPULATION OF THE ALDOL ADDUCTS FROM LACTATE-DERIVED KETONES. A VERSATILE CHIRAL AUXILIARY FOR THE ASYMMETRIC SYNTHESIS OF β-HYDROXY CARBONYL COMPOUNDS.

Ian Paterson* and Debra J. Wallace, *University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW, UK.*

Ketones **1** and **2** can be transformed into a wide variety of enantiopure *anti* and *syn* α-methyl-β-hydroxy ketones and aldehydes. The α'-methyl group may be retained, demonstrating the use of the lactate-derived group as an optional chiral auxiliary.



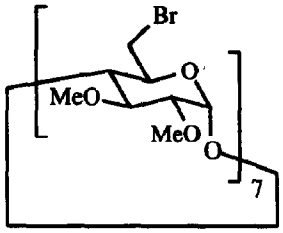
Tetrahedron Letters, **1994**, *35*, 9091

PER-6-BROMO-PER-2,3-DIMETHYL-ß-CYCLODEXTRIN

David Alker,[a] Peter R. Ashton,[b] Valerie D. Harding,[a] Rainer Königer,[b]
J. Fraser Stoddart,[b] Andrew J.P. White,[c] David J. Williams [c]

[a]Central Research, Pfizer Limited, Sandwich, Kent CT13 9NJ, UK
[b]School of Chemistry, University of Birmingham, Edgbaston, Birmingham B15 2TT, UK
[c]Department of Chemistry, Imperial College, South Kensington, London SW7 2AY, UK

The efficient synthesis of the title compound is described. The solid state structure of this compound shows that the loss of the intramolecular hydrogen bonding between hydroxyl groups on neighbouring glucopyranosidic units of ß-cyclodextrin leads to a substantial departure from C_7 symmetry for molecules of per-6-bromo-per-2,3-dimethyl-ß-cyclodextrin.

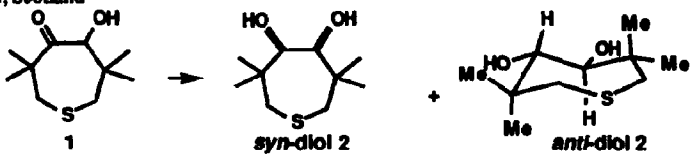


Tetrahedron Letters, **1994**, *35*, 9095

STEREOCHEMISTRY OF REDUCTION OF A HETEROCYCLIC α-HYDROXY-KETONE: THE STRUCTURE, CONFORMATION AND PREPARATION OF THE *SYN* AND *ANTI*- 3,3,6,6,-TETRAMETHYLTHIEPAN-4,5-DIOLS

Neil Feeder, Michael J. Ginnelly, Ray V. H. Jones, Susan O'Sullivan and Stuart Warren
University Chemical Laboratory, Lensfield Road, Cambridge, CB2 1EW, England and ZENECA Fine Chemicals Manufacturing Organisation, Earls Road, Grangemouth FK3 8XG, Scotland

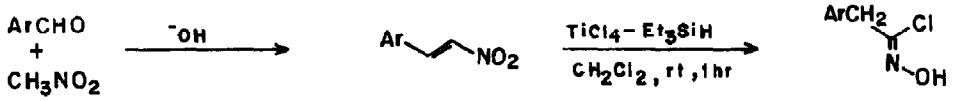
We report an improved synthesis of hydroxyketone **1**, stereoselective reductions to *syn* diol **2** in 92% yield with $NaBH_4$ or *anti* diol **2** in 57% yield with DIBAL/$ZnCl_2$ and X-ray crystal structures of both diols.



Tetrahedron Letters, **1994**, *35*, 9099

Titanium(IV)chloride-Triethylsilane Mediated Conversion of ω-Nitrostyrenes to Phenylacetohydroximoyl chlorides.

G. Kumaran and Gurunath H. Kulkarni.
Division of Organic Chemistry(Synthesis), National Chemical Laboratory, Pune 411008, **India.**



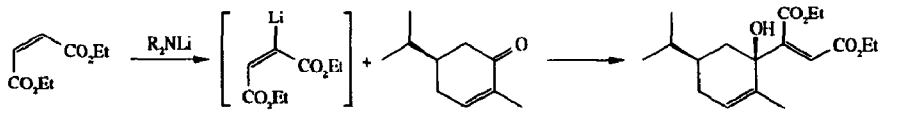
A novel single step conversion of ω-nitrostyrenes to the hitherto unreported phenylacetohydroximoyl chlorides by reaction with $TiCl_4$-Et_3SiH is described.

Tetrahedron Letters, **1994**, *35*, 9101

DIETHYL LITHIOMALEATE: PREPARATION AND USE IN SYNTHESIS.

David C. Harrowven* and Hon Suen Poon, *Department of Chemistry, University of Wales, Bangor, Gwynedd, LL57 2UW.*

e.g.



Tetrahedron Letters, **1994**, *35*, 9103

THE MICROPEROXIDASE - 11 CATALYZED OXIDATION OF SULFIDES IS ENANTIOSELECTIVE.

Stefano Colonna,*[a] Nicoletta Gaggero,[a] Giacomo Carrea[b] and Piero Pasta.[b]
[a]Centro C.N.R. and Istituto di Chimica Organica della Facoltà di Farmacia, Via Venezian 21, 20133 Milano, Italy;
[b]Istituto di Chimica degli Ormoni, C.N.R., Via Mario Bianco 9, 20131 Milano; Italy.

Microperoxidase - 11 catalyzed oxidation of alkyl aryl sulfides by H_2O_2 preferentially gives the (-)-(S)-sulfoxides (e.e. 16 - 25 %).

$$\text{Ar-S-R} \xrightarrow{\text{MP-11; } H_2O_2} \text{Ar-*SO-R}$$

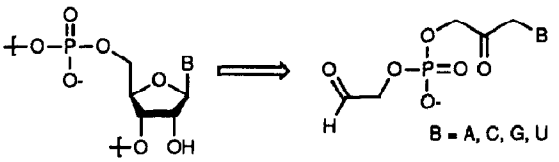
Tetrahedron Letters, **1994**, *35*, 9105

Studies on a Potentially Prebiotic Synthesis of RNA

John D. Sutherland* and George W. Weaver

The Dyson Perrins Laboratory, South Parks Road, Oxford OX1 3QY, UK.

A novel, potentially prebiotic synthesis of RNA is proposed in which the key steps are an aldol mode of polymerisation, an intramolecular redox transfer and ring closure *via* a mesomeric heterocylic betaine intermediate.



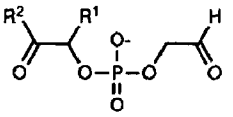
Tetrahedron Letters, **1994**, *35*, 9109

Synthesis of Bis(glycoaldehyde) Phosphodiester and Mixed Glycoaldehyde-triose Phosphodiesters

John D. Sutherland* and George W. Weaver

The Dyson Perrins Laboratory, South Parks Road, Oxford OX1 3QY, UK.

Compounds **1**, **2** and **3**, key intermediates in a potentially prebiotic synthesis of RNA have been synthesised. **2** and **3** derive from 3- and 2-hydroxymethyfuran respectively by a novel double furan oxidolysis strategy.



1 R^1=R^2=H
2 R^1=H, R^2=CH_2OH
3 R^1=CH_2OH, R^2=H

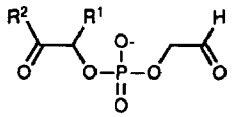
Tetrahedron Letters, **1994**, *35*, 9113

Solution Structures of Bis(glycoaldehyde) Phosphodiester and Mixed Glycoaldehyde-triose Phosphodiesters

John D. Sutherland* and George W. Weaver

The Dyson Perrins Laboratory, South Parks Road, Oxford OX1 3QY, UK.

The aldehyde moieties of **1**, **2**, and **3** are fully hydrated in aqueous solution whereas the ketone moiety of **2** is only approximately 50% hydrated. This results in kinetically preferred ketone (*cf.* aldehyde) enolisation with **2** under mildly alkaline conditions.



1 R^1=R^2=H
2 R^1=H, R^2=CH_2OH
3 R^1=CH_2OH, R^2=H