

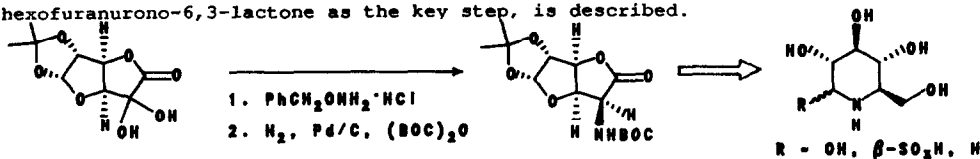
GRAPHICAL ABSTRACTS

Tetrahedron Lett. 1990, 31, 2085

EFFICIENT SYNTHESIS OF (+)-NOJIRIMYCIN AND (+)-1-DEOXY-NOJIRIMYCIN

Peter B. Anzeveno* and Laura J. Creemer, Merrell Dow Research Institute, 9550 N. Zionsville Rd., Indianapolis, IN 46268

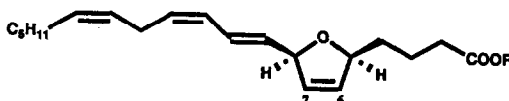
An efficient synthesis of (+)-nojirimycin and (+)-1-deoxynojirimycin, with stereoselective reductive amination of 5-hydroxy-1,2-O-isopropylidene- α -D-xylohexofuranurono-6,3-lactone as the key step, is described.



Tetrahedron Lett. 1990, 31, 2089

A STEREOSPECIFIC SYNTHESIS OF A DIHYDROFURAN ANALOG OF LEUKOTRIENE A₄

E. J. Corey and Wei-guo Su
Department of Chemistry, Harvard University
Cambridge, Massachusetts, 02138

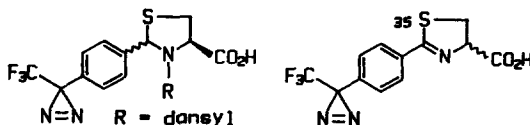


Tetrahedron Lett. 1990, 31, 2093

THIAZOLIDINE AND THIAZOLINE DERIVATIVES OF 3-ARYL 3-TRIFLUOROMETHYL DIAZIRINES FOR THE PREPARATION OF FLUORESCENT OR ³⁵S-RADIOLABELED PHOTOAFFINITY PROBES,

Stefan Kwiatkowski, Peter J. Crocker, Ashok J. Chavan, Nobuyuki Imai, Boyde E. Haley, David S. Watt, and Ren-jye Ho, Department of Chemistry and Department of Biochemistry, University of Kentucky, Lexington, KY 40506

The 3-aryl 3-trifluoromethyl diazirines having a thiazolidine with a fluorescent dansyl group or having a thiazoline with an ³⁵S radiolabel are potentially useful photoaffinity probes.

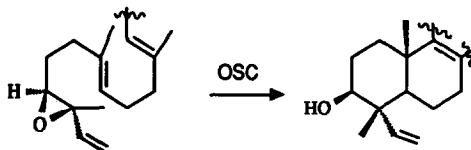


Tetrahedron Lett. 1990, 31, 2097

VINYL OXIRANE ANALOG OF (3S)-2,3-EPOXYSQUALENE: A SUBSTRATE FOR OXIDOSQUALENE CYCLASES FROM YEAST AND FROM HOG LIVER

Xiao-yi Xiao, Stephanie E. Sen, and Glenn D. Prestwich*
Department of Chemistry, State University of New York, Stony Brook, New York 11794-3400.

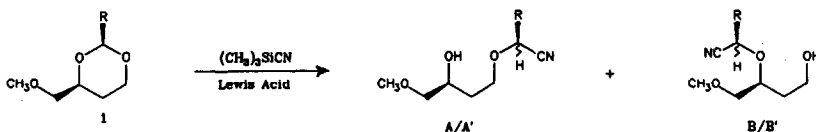
A vinyl oxirane analog of (3S)-2,3-oxidosqualene, a potential mechanism-based inactivator of oxidosqualene cyclase, was efficiently converted to the 4 α -vinyl analog of lanosterol by both fungal and vertebrate oxidosqualene cyclases.



**CHELATION AND NON-CHELATION DIRECTED
CLEAVAGE OF ACETALS**

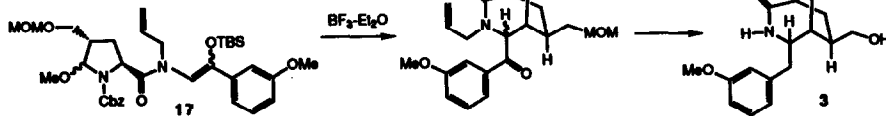
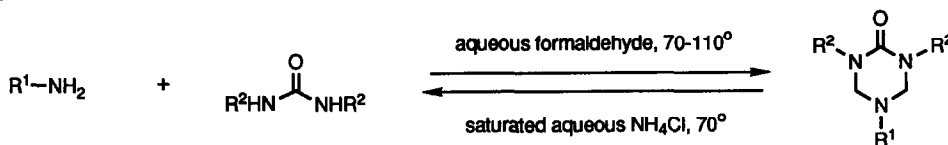
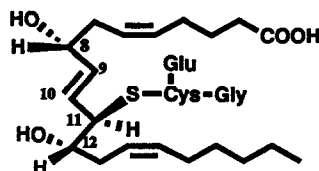
Robert C. Corcoran

Department of Chemistry, University of Nevada, Reno, NV, 89557

Compound **1** can be selectively cleaved to give either A/A' (TiCl_4) or B/B' (ZnBr_2).
**SYNTHESIS OF AN ADVANCED QUINOCARCIN INTERMEDIATE FROM
L-GLUTAMIC ACID**

Thomas A. Lessen, Donald M. Demko and Steven M. Weinreb*, Department of Chemistry, The Pennsylvania State University, University Park, Pennsylvania 16802

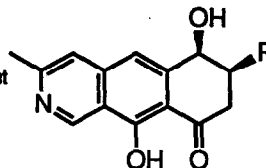
A key intramolecular N-acyliminium ion/silylenol ether cyclization of **17**, derived from L-glutamic acid, has been used to construct the bridged bicyclic quinocarcin intermediate **3**.


AMINO PROTECTION USING TRIAZONES
Spencer Knapp,* Jeffrey Hale, Margarita Bastos, and Frank S. Gibson
Department of Chemistry, Rutgers University, New Brunswick, New Jersey 08903
**(8-R)- AND (8-S)-HEPOXILIN A_3 . ASSIGNMENT OF
CONFIGURATION AND CONVERSION TO BIOLOGICALLY
ACTIVE CONJUGATES WITH GLUTATHIONE.**
E. J. Corey and Wei-guo Su
Department of Chemistry
Harvard University
Cambridge, Massachusetts, 02138

PYRENOLINE-A AND -B, TWO NEW PHYTOTOXINS FROM PYRENOPHORA TERES

Stephen J. Coval, Cynthia M. Hradil, Helen S.M. Lu and Jon Clardy,* Department of Chemistry, Baker Laboratory, Cornell University, Ithaca, New York 14853
Sami Satouri and Gary A. Strobel,* Department of Plant Pathology, Montana State University, Bozeman, Montana 59717

Two phytotoxic isoquinoline derivatives, pyrenoline-A (1) and -B (2), were isolated from *P. teres*, the causal agent of net blotch disease of barley.

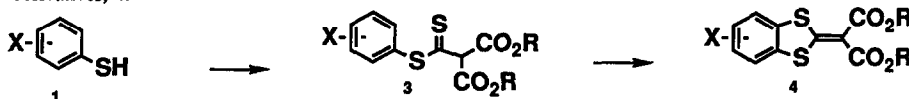


1 R = OMe
2 R = H

CYCLIZATION OF AROMATIC DITHIOIC ESTERS: SYNTHESIS OF 1,3-BENZODITHIOLES

Clinton M. Yeung and Larry L. Klein, Anti-Infective Division, 147-N, Abbott Laboratories, Abbott Park, IL 60064

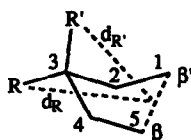
The synthesis of 1,3-benzodithiol-2-ylidene compounds such as 4 is described starting from various aromatic thiols 1. Addition of the thiolate of 1 to bis-(2,4-dicarboethoxymethylene)-1,3-dithietane, affords dithioesters 3. When treated with sulfuric acid, these esters have been shown to undergo an oxidative thiolation to yield the 1,3-benzodithiole derivatives, 4.



A GENERAL DEFINITION OF AXIAL, EQUATORIAL AND RELATED TERMS FOR SUBSTITUENTS ON RINGS OF ANY SIZE

FRANK A. L. ANET

Department of Chemistry and Biochemistry, University of California, Los Angeles
Los Angeles, California 90024, USA

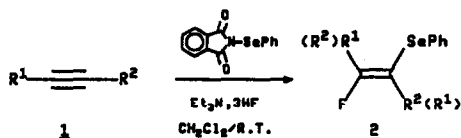


A definition of the positions of the substituents R and R' in a ring is obtained from the ratio (D_{ae}) of d_R to $d_{R'}$ in a five atom fragment of the ring. For a "normal" axial-equatorial situation, D_{ae} is 1.2 to 1.3. A D_{ae} of 1.1 to 1.15 corresponds to pseudoaxial and pseudoequatorial positions.

PHENYLSELENOFLUORINATION D'ALCYNES

Christine SALUZZO, Gérard ALVERNHE et Daniel ANKER*, UCB-LYON I, Chimie Orga. 3 (URA CNRS 467) 43 Bd du 11.11.1918 69622 VILLEURBANNE (France)
Günter HAUFE, KNU, Sekt. Chemie, Liebigstr. 18, 7010 LEIPZIG (G.D.R.).

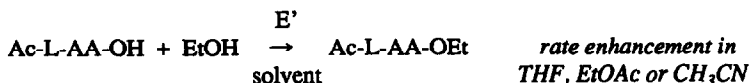
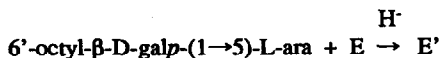
Disubstituted alkynes undergo phenylselenofluorination to give compounds 2. From monosubstituted alkynes (R^1 or $R^2=H$) regioisomers 2 undergo a further addition followed by an elimination of HF giving fluoro-diphenylseleno-vinyllic compounds.



ACCELERATED ESTERIFICATION OF AMINOACIDS WITH LIPOGLYOSYLATED α -CHYMOTRYPSIN IN POLAR SOLVENTS.

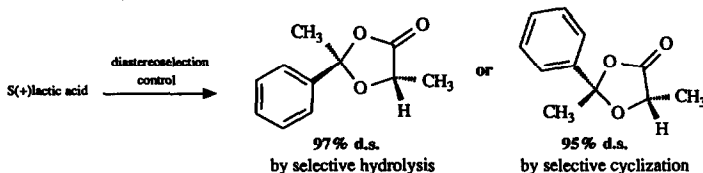
Daniel Cabaret and Michel Wakselman

CNRS-CERCOA, 2, rue Henri Dunant, F-94320 Thiais, France

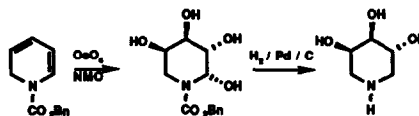


CHIRALITY TRANSFER FROM LACTIC ACID SELECTIVE SYNTHESIS OF 2,2-DISUBSTITUTED-1,3-DIOXOLAN-4-ONES

A. GREINER* and J-Y. ORTHOLAND

Rhône Poulenc Agrochimie, Centre de Recherches de la Dargoire, BP 9163
69263-LYON CEDEX 09, France

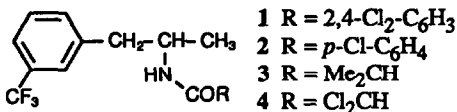
STEREOSPECIFIC DOUBLE GLYCOLISATION OF 1,2-DIHYDROPYRIDINES WITH OsO_4 . SYNTHESIS OF (\pm) AMINOARABINOSE- AND OF (\pm) AMINO-ALDROSE DERIVATIVES.

Frédérique Backenstrass, Jacques Streith*, Théophile Tschamber
Ecole Nationale Supérieure de Chimie
Université de Haute-Alsace
F-68093 Mulhouse cedex France.

OPTICAL RESOLUTION OF (\pm)-N-ACYLNORFENFLURAMINE DERIVATIVES BY PREFERENTIAL CRYSTALLIZATION

Gérard COQUEREL[§], Roger BOUAZIZ[§], and Marie-Josèphe BRIENNE^{‡*}[§]Chimie Minérale et Structurale, Faculté des Sciences, Université de Rouen, BP 118, 76134 Mont Saint Aignan,[‡]Chimie des Interactions Moléculaires, ER CNRS 285, Collège de France, 75005 Paris, France.

Three stable and one unstable conglomerates (eutectic mixtures of D and L crystals) were found among nine synthesized (\pm)-N-acylnorfenfluramine derivatives. Optical resolution of the stable conglomerates (1-3) could be achieved by preferential crystallization method only under *nonisothermal* conditions.

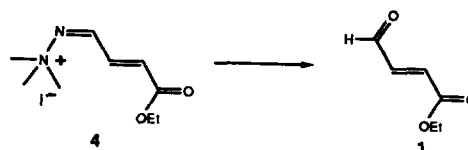


Tetrahedron Lett. 1990, 31, 2145

(E)-Ethyl β -formylacrylate Dimethylhydrazone Methiodide : a Reactive and Convenient Precursor of (E)-Ethyl- β -formylacrylate

M. SCHMITT, J.J. BOURGUIGNON and C.G. WERMUTH
Département de Pharmacochimie Moléculaire, Centre de Neurochimie du CNRS, 5, rue Blaise Pascal, 67084 STRASBOURG CEDEX (France).

The methiodide of (E)-Ethyl- β -formylacrylate dimethylhydrazone is readily prepared in two steps. It gives rise to regioselective 1,4-additions and is a stable and convenient precursor of (E)-Ethyl- β -formylacrylate.

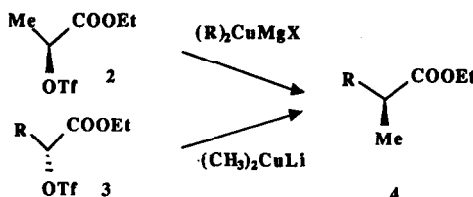


Tetrahedron Lett. 1990, 31, 2149

Stereoselective Synthesis of Optically Active α -Methyl Esters

Yves Petit, Caroline Sanner, Marc Larchevêque

Laboratoire de chimie associé au CNRS,
Ecole Normale Supérieure,
24 rue Lhomond, 75231 Paris Cedex 05 - France.



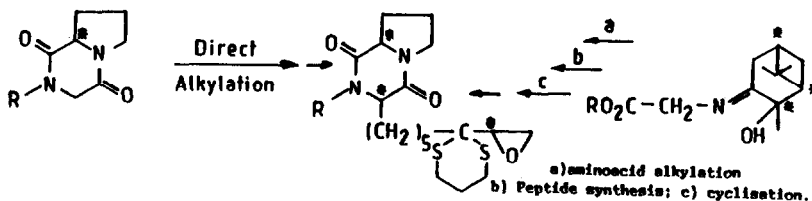
Trifluoromethanesulfonic esters of α -hydroxy-esters react with lithium dimethylcuprate in ether or magnesiumcuprates in THF to provide α -methyl esters in high enantiomeric purity.

Tetrahedron Lett. 1990, 31, 2153

PROTECTED-AOE ANALOGUES OF CHLAMYDOCIN

Farhate Guenoun*, René Lazaro*, Alfredo NEGRO** and Philippe Viallefont*

*Université Montpellier II - 34095 - Montpellier Cédex-5 ; ** Universidad de Leon - Espana

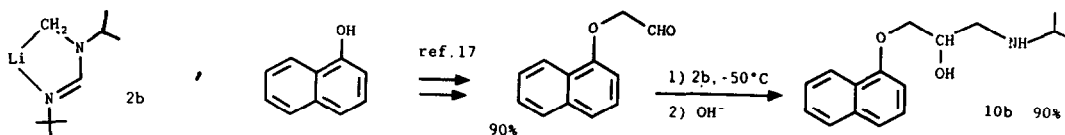


N-ISOPROPYL-N-METHYL FORMAMIDINE, a reagent for the synthesis of bioactive amino-alcohols

Tetrahedron Lett. 1990, 31, 2157

A. Solladié-Cavallo and M. Bencheqroun; Laboratoire de Stéréochimie Organométallique, EHICS, 1 rue B. Pascal 67008 Strasbourg F.

The lithium anion of N-isopropyl-N-methyl formamide **2b** reacts with aldehydes in yields up to 95% and provides a short synthesis (3 steps) of propranolol.



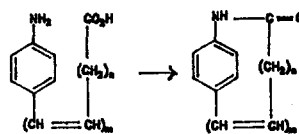
A FACILE AND EFFICIENT METHOD FOR MACROLACTAMIZATION

Donglu Bai*, Yunxin Bo and Qiting Zhou

Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 200031, China

Using 2-bromo-1-methylpyridinium iodide as activating agent, 10 ansa-macrolactams were prepared conveniently in excellent yields from corresponding seco-precursor ω -amino acids.

Tetrahedron Lett. 1990, 31, 2161



$m = 0, n = 8 - 12;$

$m = 1, n = 8 - 10$

Highly Threo-Selective Ene-Reaction of 2-(Alkylthio)allyl Silyl Ethers with Aldehydes

Keiji Tanino, Takashi Nakamura, and Isao Kuwajima*

Department of Chemistry, Tokyo Institute of Technology, Meguro, Tokyo 152, Japan

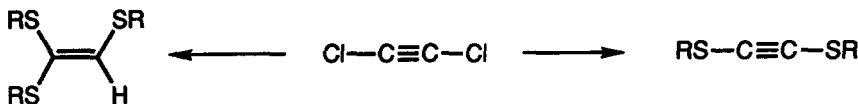
Tetrahedron Lett. 1990, 31, 2165



A BROAD SCOPE HIGHLY EFFICIENT SYNTHESIS OF BIS(R-THIO)ACETYLENES

Antoni Riera, Francesc Cabré, Albert Moyano, Miquel A. Pericàs* and Jaume Santamaría
Departament de Química Orgànica, Universitat de Barcelona. c/ Martí i Franquès, 1-11. 08028-Barcelona, Spain.

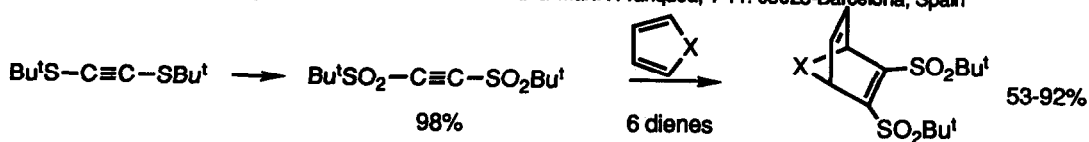
Tetrahedron Lett. 1990, 31, 2169

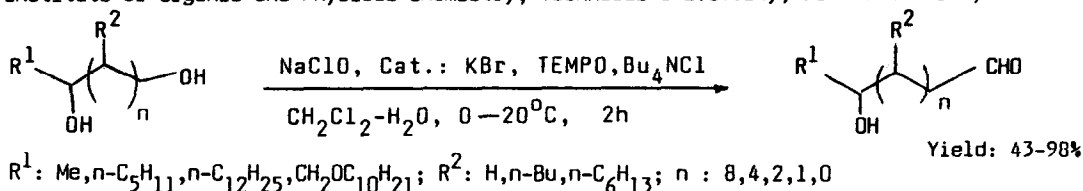


BIS(*tert*-BUTYLSULFONYL)ACETYLENE: A HIGHLY REACTIVE DIENOPHILE

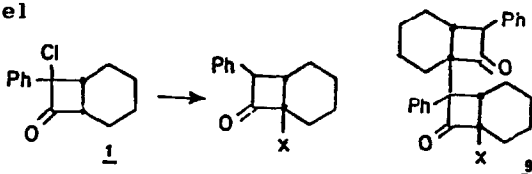
Antoni Riera, Montserrat Martí, Albert Moyano, Miquel A. Pericàs* and Jaume Santamaría
Departament de Química Orgànica, Universitat de Barcelona. c/ Martí i Franquès, 1-11. 08028-Barcelona, Spain

Tetrahedron Lett. 1990, 31, 2173



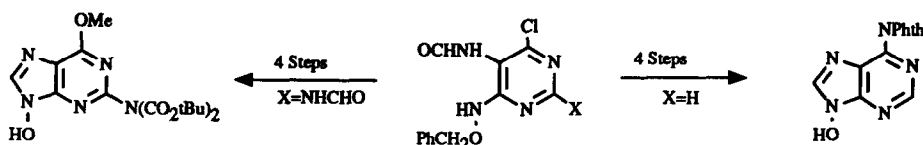
SELECTIVE OXIDATION OF PRIMARY HYDROXY GROUPS IN
PRIMARY-SECONDARY DIOLSRenata Siedlecka, Jacek Skarzewski*, and Jacek Młochowski
Institute of Organic and Physical Chemistry, Technical University, 50-370 Wrocław, PolandCINE SUBSTITUTION IN FUSED CHLOROCYCLOBUTANONES
BY N-, S AND C NUCLEOPHILES. OXYALLYL CATIONS
AND AN UNUSUAL DIMER FORMATION.A. Hassner*, S. Naidorf-Meir, H.E. Gottlieb, Department of Chemistry,
Bar-Ilan University, Ramat-Gan Israel

1 reacted with S-, N-, and C-nucleophiles via oxyallyl cations to give cine substitution. In some cases bond formation between two tertiary carbons (see 9) occurred.

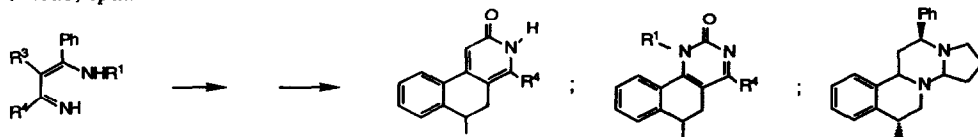
SYNTHESIS OF 9-HYDROXYPURINES: INTERMEDIATES TO NOVEL
ANTIVIRAL ACYCLONUCLEOSIDES

M.R. Harnden and P.G. Wyatt*

SmithKline Beecham Pharmaceuticals, Great Burgh, Epsom, Surrey, KT18 5XQ, UK.

A Facile and Versatile Synthesis of Heteropolycyclic Compounds
from 4-Amino-1-azabutadienes via Friedel-Crafts Cyclization
of their Heterocyclic Derivatives.

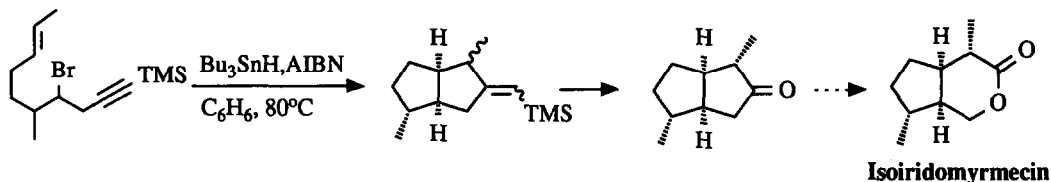
José Barluenga,* Miguel Tomás, Angel Suárez-Sobrino, and Eduardo Rubio.

Departamento de Química Organometálica, Facultad de Química, Universidad de Oviedo, 33071
Oviedo, Spain.

**STEREOSELECTIVE TANDEM RADICAL CYCLISATIONS:
SYNTHESIS OF ISOIRIDOMYRMECIN**

Jeremy D Kilburn

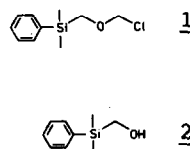
Department of Chemistry, University of Wales, Bangor, Gwynedd, LL57 2UW



USE OF (PHENYLDIMETHYLSILYL)METHOXYMETHYL AND (PHENYLDI-METHYLSILYL)METHYL ETHERS AS PROTECTING GROUPS FOR SUGAR HYDROXYLS

G.J.P.H. Boons, C.J.J. Elie, G.A. van der Marel and J.H. van Boom
Gorlaeus Laboratory, P.O. Box 9502, 2300 RA Leiden, The Netherlands

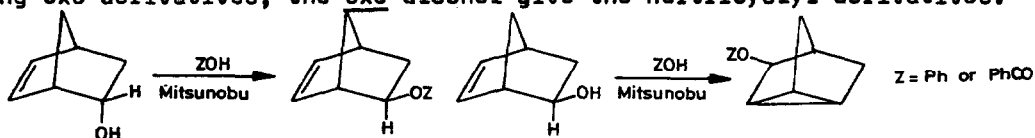
Reagent 1 reacts smoothly with primary and secondary hydroxyls to give the corresponding (phenyldimethylsilyl)methoxymethyl ethers. On the other hand, compound 2 proved to be very convenient for the protection of the anomeric centre of sugars. Both protecting groups can be deblocked with KBr/AcOOH, and are compatible with commonly applied protecting group manipulations in sugar chemistry.



**INVESTIGATIONS ON THE MITSUNOBU REACTION OF
5-NORBORNEN-2-OLS**

Rajaram Sankara Subramanian and Kalpattu Kuppusamy Balasubramanian
Department of Chemistry, Indian Institute of Technology, Madras 600036, India.

Under Mitsunobu reaction conditions endo norbornenols furnish the corresponding exo derivatives, the exo alcohol give the nortricyclic derivatives.



**ADDITION OF α -HALONITRILES TO CARBONYL COMPOUNDS CATALYZED
BY ZINC-TRIMETHYLCHLOROSILANE: A GENERAL SYNTHESIS OF
 β -TRIMETHYLSILOXY NITRILES.**

Claudio Palomo, Jesus M. Aizpurua, M. Concepción López, Natalia Aurrekoetxea.

Departamento de Química Orgánica. Facultad de Química. Universidad del País Vasco. Apto 1072. 20080, San Sebastian, Spain.

Reaction between bromoacetonitrile or chloroacetonitrile and carbonyl compounds in the presence of zinc and trimethylchlorosilane produced β -trimethylsilyloxynitriles in excellent yields.



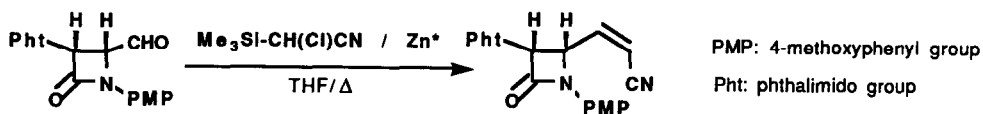
A STEREOSELECTIVE SYNTHESIS OF *cis*-ALKENENITRILES THROUGH REFORMATSKY-PETERSON REACTION.

Tetrahedron Lett. 1990, 31, 2209

Claudio Palomo*, Jesus M. Aizpurua, Natalia Aurrekoetxea.

Departamento de Química Orgánica. Facultad de Química. Universidad del País Vasco. Apto 1072. 20080, San Sebastian, Spain.

A new procedure for the preparation of alkenenitriles from trimethylsilylchloroacetone and carbonyl compounds promoted by zinc is described.



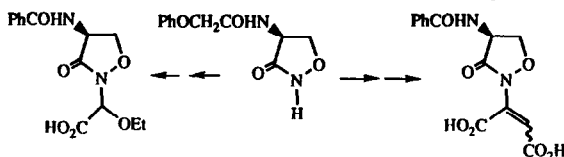
Tetrahedron Lett. 1990, 31, 2211

THE SYNTHESIS OF POTENTIAL γ -LACTAM ANTIBIOTICS CONTAINING A CYCLOSERINE NUCLEUS

J. E. Baldwin*, C. Lowe, and C. J. Schofield

The Dyson Perrins Laboratory and the Oxford Centre for Molecular Sciences, South Parks Road, Oxford, OX1 3QY, U. K.

The synthesis of *N*-derivatised cycloserine derivatives one of which possessed antibacterial activity is described



Tetrahedron Lett. 1990, 31, 2213

STEREOSELECTIVE ALDOL REACTIONS OF β -CHLOROVINYL KETONES USING DIENOL BORINATES: A NEW SYNTHESIS OF DIHYDROPYRONES.

Ian Paterson* and Simon Osborne, University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW, UK

The *syn*-selective aldol reaction of β -chlorovinyl ketones can be coupled with a Me_3SiOTf promoted cyclisation step to give *cis*-dihydropyrones, $4 \rightarrow 6 \rightarrow 7$. An enantioselective synthesis of 7 is possible by using dienol diisopinocampheylborinates in the aldol step.

