

Tetrahedron Lett. 28, 4917 (1987)

**BIOMIMETIC TOTAL SYNTHESIS OF COLNELEIC ACID AND ITS FUNCTION AS A LIPOXYGENASE INHIBITOR**

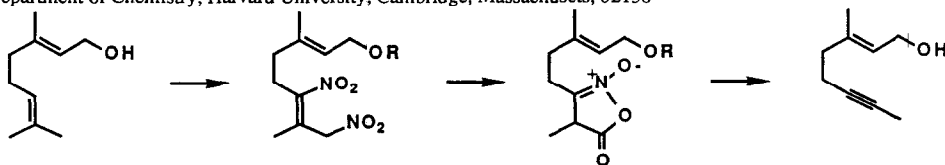
E. J. Corey, Ryu Nagata, and Stephen W. Wright  
Department of Chemistry, Harvard University, Cambridge, Massachusetts, 02138



Tetrahedron Lett. 28, 4921 (1987)

**MECHANISM OF THE NITROUS ACID-INDUCED DEALKYLATION OF TRISUBSTITUTED (TERMINAL ISOPROPYLIDENE) OLEFINS TO FORM ACETYLENES**

E. J. Corey, William L. Seibel and John C. Kappos  
Department of Chemistry, Harvard University, Cambridge, Massachusetts, 02138

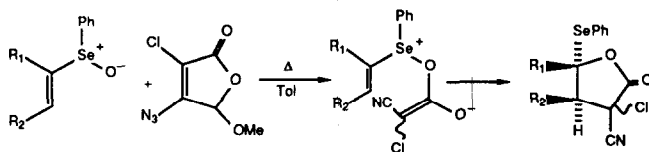


Tetrahedron Lett. 28, 4925 (1987)

**REACTIONS OF VINYL SELENOXIDES AND KETENES VIA A 3,3-SIGMATROPIC REARRANGEMENT**

J. P. Marino and Min Woo Kim  
Department of Chemistry, The University of Michigan, Ann Arbor, MI 48109

The reactions of several vinyl selenoxides with chlorocyanoketene proceed via a 3,3-sigmatropic rearrangement of an enolate oxyselenonium species to yield seleno-substituted  $\gamma$ -butyrolactones.

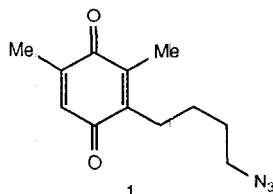


Tetrahedron Lett. 28, 4929 (1987)

**INTRAMOLECULAR REACTIONS OF 2-(AZIDOBUTYL)-1,4-BENZOQUINONES. UNEXPECTED REARRANGEMENT TO A FURO[3,4-B]INDOLIZIDINE-2-ONE**

Arthur G. Schultz, Wayne G. McMahon, Ronald R. Staib and Rudolph K. Kullnig  
Department of Chemistry, Rensselaer Polytechnic Institute, Troy, NY 12180-3590

The intramolecular dipolar cycloaddition chemistry of 1 and an analogue is described.



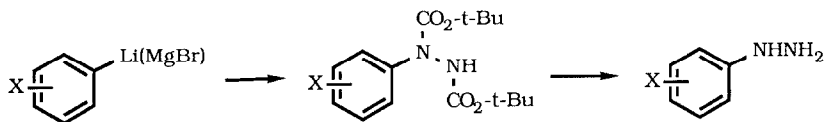
Tetrahedron Lett., 28, 4933 (1987)

ADDITION OF ARYLMETALLICS TO AZODICARBOXYLATES: A NOVEL  
SYNTHESIS OF ARYLHYDRAZINES BY AROMATIC HYDRAZINATION

James P. Demers and Dieter H. Klaubert

Research Laboratories, Ortho Pharmaceutical Corporation, Raritan NJ 08869

Addition of arylmetallics to the N=N bond of azodicarboxylates provides protected arylhydrazines.



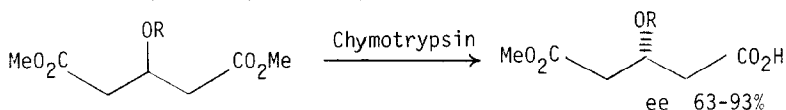
Tetrahedron Lett., 28, 4935 (1987)

CHEMOENZYMATIC SYNTHESIS OF A C<sub>5</sub>-CHIRAL BUILDING BLOCK:  
A SUBSTRATE MODIFICATION APPROACH.

René Roy\* and Allan W. Rey

Dept. of Chemistry, Ottawa University, Ottawa, Ont., Canada K1N 9B4.

The enantioselectivity of chymotrypsin hydrolysis of prochiral dimethyl-3-hydroxy-glutarates was controlled by the hydroxyl protecting groups.



Tetrahedron Lett., 28, 4939 (1987)

PRIANOSIN A, A NOVEL ANTILEUKEMIC ALKALOID FROM THE OKINAWAN MARINE  
SPONGE *Prianos melanos*

Jun'ichi Kobayashi,\* Jie-fei Cheng, Masami Ishibashi, Hideshi Nakamura, Yasushi Ohizumi

Mitsubishi-Kasei Institute of Life Sciences, 11 Minamiooya, Machida, Tokyo 194, Japan

Yoshimasa Hirata

Meijo University, Faculty of Pharmacy, Nagoya 468, Japan

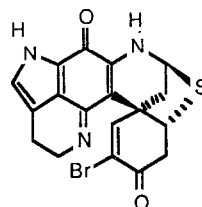
Takuma Sasaki

Cancer Research Institute, Kanazawa University, Kanazawa 920, Japan

Helen Lu and Jon Clardy\*

Department of Chemistry - Baker Laboratory, Cornell University, Ithaca, NY 14853-1301

A novel alkaloid, prianosin A (1), with potent antineoplastic activity has been isolated from the Okinawan marine sponge *Prianos melanos*. Its absolute stereostructure was determined by single crystal x-ray diffraction analysis.



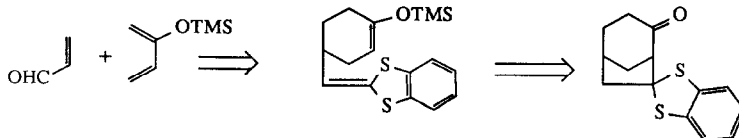
Tetrahedron Lett., 28, 4943 (1987)

SYNTHESIS OF BICYCLO[3.2.1]OCTANES BY A TANDEM DIELS-  
ALDER CARBOCATION-CYCLIZATION STRATEGY

James H. Rigby and Atul S. Kotnis

Department of Chemistry, Wayne State University, Detroit, Michigan

Functionalized bicyclo[3.2.1]octane species are prepared in a three-step protocol.

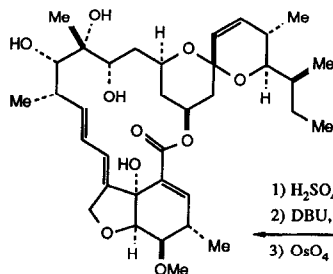
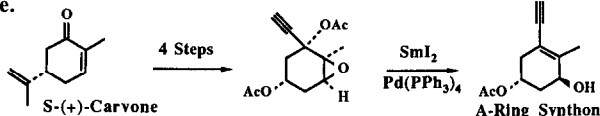


**A SHORT, ENANTIOSPECIFIC SYNTHESIS OF THE  
1 $\alpha$ -HYDROXYVITAMIN D ENYNE A-RING SYNTHON**

J. Miguel Aurrecochea and William H. Okamura\*

Department of Chemistry, University of California, Riverside, California 92521

The title compound was synthesized from S-(+)-carvone via SmI<sub>2</sub>-Pd<sup>0</sup> promoted reductive elimination of an epoxypropargyl acetate derivative.



1) H<sub>2</sub>SO<sub>4</sub>, THF, H<sub>2</sub>O  
2) DBU, PhH, 80°C  
3) OsO<sub>4</sub>, THF, Pyr

Avermectin A<sub>1a</sub>

**A NOVEL DEGRADATION IN THE AVERMECTIN SERIES:  
A STEREOSPECIFIC OSMYLATION REACTION**

Harold G. Selnick and Samuel J. Danishefsky

Department of Chemistry, Yale University, New Haven, CT 06511

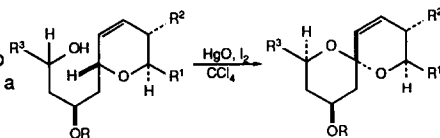
The osmylation of a  $\Delta^2$  isomer of avermectin A<sub>1a</sub> aglycone occurs with high regio- and facial selectivity

**MODEL STUDIES DIRECTED TOWARD THE AVERMECTINS;  
A ROUTE TO THE SPIROKETAL SUBUNIT.**

Francine E. Wincott and Samuel J. Danishefsky\*

Department of Chemistry, Yale University, New Haven, Ct. 06511

Oxidative cyclization of a dihydropyran bearing a pendant alcohol is used to synthesize a spiroketal system of the type found in avermectins containing a C<sub>22</sub> - C<sub>23</sub> olefin.

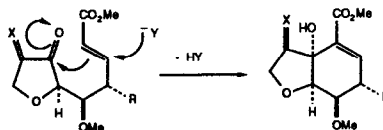


**MODEL STUDIES DIRECTED TOWARD THE AVERMECTINS:  
A ROUTE TO THE OXAHYDRINDENE SUBUNIT.**

David M. Armistead and Samuel J. Danishefsky\*

Department of Chemistry, Yale University, New Haven, Ct. 06511

A Michael-Aldol sequence of an enoate ketone triggered by the action of an aluminum thiophenoxy "ate" complex leads to the oxahydrindene subunit of the avermectins.



Tetrahedron Lett., 28, 4963 (1987)**A CONVENIENT SYNTHESIS OF S-HPMPA**

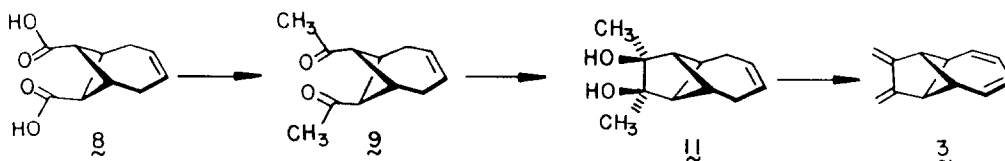
Robert R. Webb II\* and John C. Martin

Bristol-Myers Company, P.O. Box 5100, Wallingford, CT 06492-7660

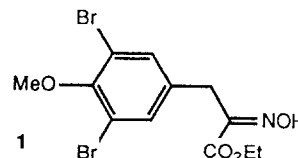
S-HPMPA, **1**, a broad spectrum antiviral, has been synthesized from S-DHPA **2**.Tetrahedron Lett., 28, 4965 (1987)**9,10-DIMETHYLENETRICYCLO[5.3.0.0<sup>2,8</sup>]DECA-3,5-DIENE**

Leo A. Paquette,\* Jürgen Dressel, and Paul D. Pansegrau

Evans Chemical Laboratories, The Ohio State University, Columbus, Ohio 43210 USA

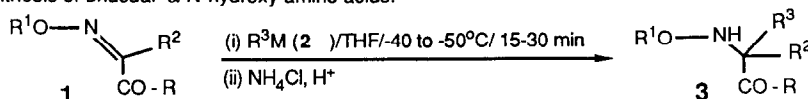
The title hydrocarbon (**3**) has been prepared in five steps from dicarboxylic acid **8**.Tetrahedron Lett., 28, 4969 (1987)**STUDIES ON A BIOMIMETIC APPROACH TO AEROTHIONIN AND PSAMMAPLYSIN-A**

Kelvin T. Okamoto and Jon Clardy,\* Department of Chemistry - Baker Laboratory, Cornell University, Ithaca, NY 14853-1301 USA

The oxime **1** was oxidized by various methods in attempts to obtain the spirocyclic systems of arothionin, psammmaplysin-A, and related molecules.Tetrahedron Lett., 28, 4973 (1987)**REACTIONS OF ORGANOMETALLICS WITH OXIMES. SYNTHESIS OF  $\alpha$ -N-HYDROXY AMINO ACIDS**

Teodozjy Kolasa,\* Sushil Sharma, and Marvin J. Miller\*

Department of Chemistry, University of Notre Dame, Notre Dame, IN 46556

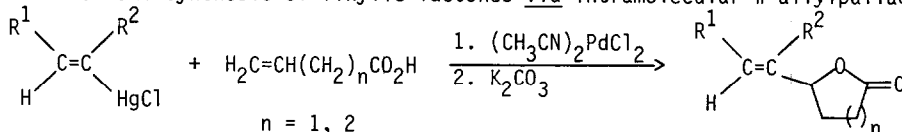
Reaction of organolithium reagents with glyoxylate and pyruvate derived oximes provides a direct route for the synthesis of unusual  $\alpha$ -N-hydroxy amino acids.

Tetrahedron Lett., 28, 4977 (1987)

MERCURY IN ORGANIC CHEMISTRY. 35. SYNTHESIS OF VINYLIC LACTONES FROM VINYL MERCURIALS AND ALKENOIC ACIDS VIA INTRAMOLECULAR  $\pi$ -ALLYLPALLADIUM DISPLACEMENT

Richard C. Larock\*, David J. Leuck and L. Wayne Harrison  
Department of Chemistry, Iowa State University, Ames, IA 50011 USA

A convenient synthesis of vinylic lactones *via* intramolecular  $\pi$ -allylpalladium displacement.

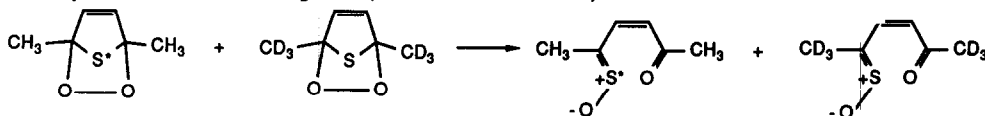
Tetrahedron Lett., 28, 4981 (1987)

INTRAMOLECULAR REARRANGEMENT OF THIOOZONIDES: SULFINE FORMATION WITHOUT SULFUR ATOM SCRAMBLING, A DOUBLE ISOTOPE CROSSOVER STUDY

Michael G. Matturo\* and Robert P. Reynolds

Exxon Research and Engineering Company, Corporate Research, Annandale, NJ 08801

Decomposition of a mixture of  $^{34}S$  and deuterium labeled thioozonides has shown that sulfines are formed intramolecularly without sulfur scrambling in the presence of sulfur allotropes.

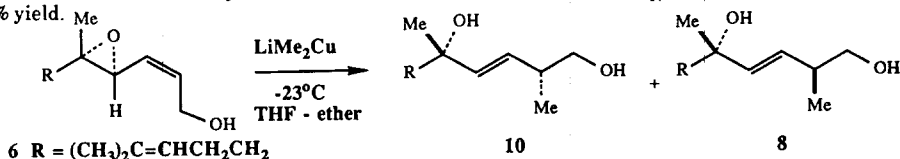
Tetrahedron Lett., 28, 4985 (1987)

STEREOSELECTIVE  $S_N2'$  ADDITIONS TO CHIRAL ACYCLIC VINYLOXIRANES

James A. Marshall and Joseph D. Trometer

Department of Chemistry, University of South Carolina, Columbia, South Carolina 29208 U.S.A.

Addition of  $LiMe_2Cu$  in THF-ether to the (*Z*)-vinyloxirane **6** affords a 97:3 mixture of the anti  $S_N2'$  adduct **10** and the syn  $S_N2'$  adduct **8** in 75% yield.

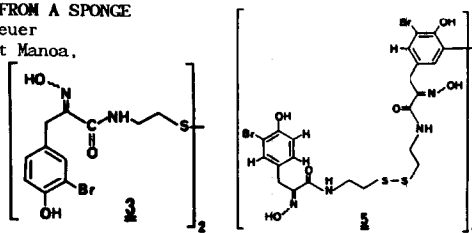
Tetrahedron Lett., 28, 4989 (1987)

TWO BROMOTYROSINE-CYSTEINE DERIVED METABOLITES FROM A SPONGE

A. D. Rodriguez, Rhone K. Akee, and Paul J. Scheuer

Department of Chemistry, University of Hawaii at Manoa, Honolulu, HI 96822

The structures of a dimeric degraded dipeptide, aprasin (**3**), and an analogous tetramer, bisaprasin (**5**), have been elucidated. The compounds are formally derived from bromotyrosine and cysteine.

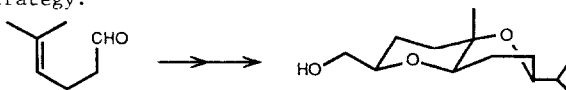


## SYNTHETIC STUDIES ON THYRSIFEROL

Chris A. Broka, Linda Hu, Wen Jee Lee and Tong Shen  
Department of Chemistry, University of Illinois at  
Urbana-Champaign, Urbana, Illinois 61801

Tetrahedron Lett. 28,4993(1987)

A pyranopyran alcohol possessing the stereochemistry of the central ring system of thyransferol and venustatriol has been constructed utilizing an iterative mercuricyclization-oxidative demercuration strategy.



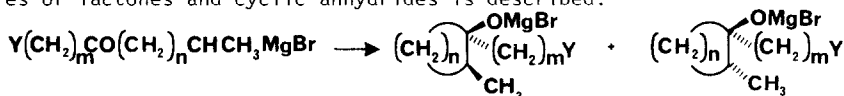
## DIASTEREOSELECTIVE SPIROANNELEATION

Perséphone Canonne\*, Raynald Boulanger and Michel  
Bernatchez

Département de chimie, Université Laval, Québec (Québec) Canada G1K 7P4

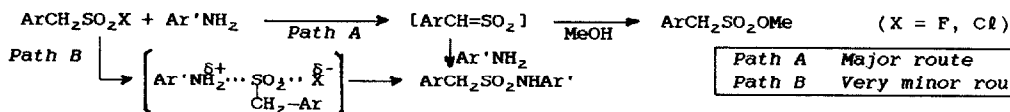
Tetrahedron Lett. 28,4997(1987)

The diastereoselection in the reaction of 1,4-di-(bromomagnesio)pentane with various structures of lactones and cyclic anhydrides is described.

A CAUTIONARY COMMENT ON A RECENT COMMUNICATION ENTITLED  
"A MEASURE OF CHARGE TRANSFER ( $\rho$ ) VERSUS A MEASURE OF  
BOND TIGHTNESS ( $\rho_{ij}$ ) IN THE TRANSITION STATE"

J.F. King and S. Skonieczny

Department of Chemistry, University of Western Ontario, London, Ontario, Canada, N6A 5B7.

Tetrahedron Lett. 28,5001(1987)

Path A Major route  
Path B Very minor route

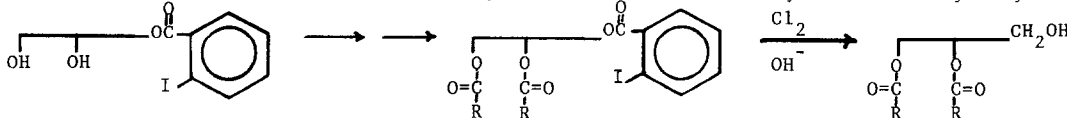
We present evidence for the scheme above, and hence that recently published conclusions about interpretation of  $\rho$  and  $\rho_{XY}$  values (based on an assumed  $S_N2$  on S mechanism) are unfounded.

A CONVENIENT PREPARATION OF 1,2-DIACYLGLYCEROLS: *o*-  
IODOBENZOYL AS A PROTECTING GROUP

R. A. Moss, P. Scrimin, S. Bhattacharya, and S. Chatterjee, Department of Chemistry,  
Rutgers University, New Brunswick, New Jersey 08903

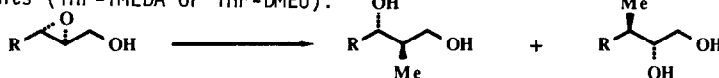
Tetrahedron Lett. 28,5005(1987)

The *o*-iodobenzoyl moiety is a useful 3-hydroxyl protecting group in the synthesis of 1,2-diacylglycerols; it can be removed by chlorination followed by mild basic hydrolysis.



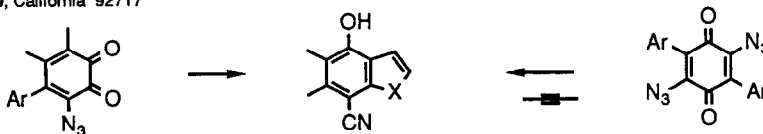
Tetrahedron Lett., 28, 5009 (1987)

## REGIOSELECTIVE OPENING OF 2,3,-EPOXY ALCOHOLS WITH ORGANOCUPRATES. ENHANCED C-2 SELECTIVITY THROUGH SOLVENT EFFECTS.

J. Michael Chong\*, Douglas R. Cyr, and Eduardo K. Mar.  
Guelph-Waterloo Centre for Graduate Work in Chemistry, University of Waterloo, Waterloo, Ontario Canada N2L 3G1.Enhanced selectivity for opening at C-2 of 2,3,-epoxy alcohols with  $\text{Me}_2\text{CUCuLi}_2$  is observed in coordinating solvents (THF-TMEDA or THF-DMEU).

Tetrahedron Lett., 28, 5013 (1987)

## (2-ARYL-2-CYANOETHENYL)KETENES - ANNULATED CYANOPHENOLS FROM AZIDOQUINONES

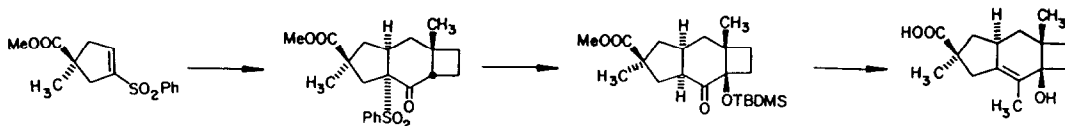
Ken Chow and Harold W. Moore\*  
Department of Chemistry  
University of California, Irvine  
Irvine, California 92717

Tetrahedron Lett., 28, 5017 (1987)

## TOTAL SYNTHESIS OF (±)-STERPURIC ACID

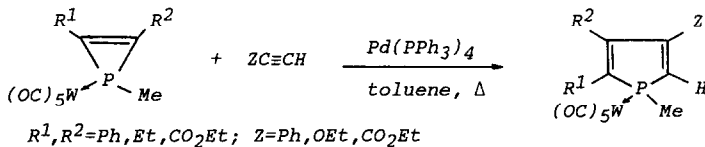
Leo A. Paquette,\* Ho-Shen Lin, and Michael J. Coghlan  
Evans Chemical Laboratories, The Ohio State University, Columbus, Ohio 43210 USA

The synthesis of (±)-sterpuric acid has been achieved by consecutive 6- and 4-ring annulation.



Tetrahedron Lett., 28, 5021 (1987)

## INSERTION OF TERMINAL ALKYNES INTO THE PHOSPHIRENE RING

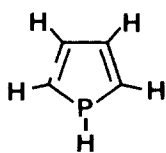
A. Marinetti and F. Mathey  
Laboratoire de Chimie du Phosphore et des métaux de transition  
DCPH Ecole Polytechnique, Palaiseau Cedex (France)

CHARACTERISATION OF PARENT PHOSPHOLE AND PARENT PHOSPHOLYL ANION AND SOME OF THEIR C-SUBSTITUTED DERIVATIVES BY  $^1\text{H}$  AND  $^{13}\text{C}$  NMR SPECTROSCOPY

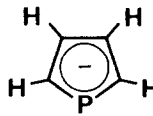
Tetrahedron Lett. 28, 5025 (1987)

Claude Charrier and François Mathey

Laboratoire de Chimie du Phosphore et des Métaux de Transition  
D.C.P.H. Ecole Polytechnique - 91128 Palaiseau Cedex (France)



$\delta(\text{PH})$	5.19	$\delta\text{C}_\alpha$	131.15
$^1\text{J}(\text{H-P})$	233.1	$\delta\text{C}_\beta$	140.68
$^3\text{J}(\text{HPCH})$	0	$^1\text{J}(\text{C}_\alpha\text{-P})$	6.1
		$^2\text{J}(\text{C}_\beta\text{-P})$	6.1



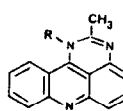
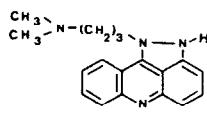
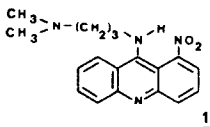
$\delta\text{C}_\alpha$	129.71
$\delta\text{C}_\beta$	119.74
$^1\text{J}(\text{C}_\alpha\text{-P})$	46.6
$^2\text{J}(\text{C}_\beta\text{-P})$	4.5

REDUCTION OF THE ANTICANCER DRUG "NITRACRINE".  
ACCESS TO DIHYDROPIRAZOLO- AND DIHYDROPIRIMIDINO-ACRIDINES.

W.M. CHOLODY, Polytechnical University, 80952 GDANSK, POLAND.

M.F. LHOMME and J. LHOMME\*, Université de LILLE I, 59655 VILLENEUVE D'ASCQ CEDEX, FRANCE

Reduction of the anticancer drug nitracrine 1 leads to the dihydropyrazolo-acridine 3 by heterocyclisation. New dihydropyrimidino-acridines 8, 9 and 10 are also described.



8 : R =  $(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$

9 : R = NHAc

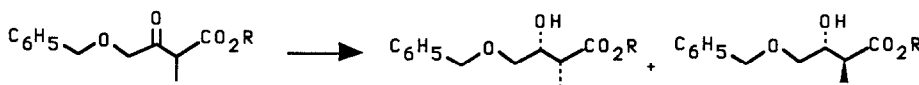
10 : R = H.

NEW CHIRAL BUILDING BLOCKS BY MICROBIAL ASYMMETRIC  
REDUCTION: A DIRECT ACCESS TO FUNCTIONALISED 2R,3R  
AND 2S,3R-2-METHYL-3-HYDROXY BUTYRATE SYNTHONS

Tetrahedron Lett. 28, 5033 (1987)

Didier BUISSON, Serge Henrot, Marc LARCHEVEQUE\* and Robert AZERAD\*

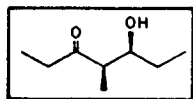
Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques associé au CNRS, Université R. Descartes, 45, rue des Saints-Pères, 75270-Paris Cedex 06 and Laboratoire de Chimie, Ecole Normale Supérieure, ER 12 du CNRS, 24, rue Lhomond, 75231-Paris Cedex 05, France.



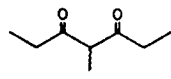
USE OF BIOLOGICAL SYSTEMS FOR THE PREPARATION  
OF CHIRAL MOLECULES IV. A TWO-STEP CHEMOENZYMIC  
SYNTHESIS OF A NATURAL PHEROMONE (4R,5S)-(-)-4-METHYL 5-HYDROXYHEPTAN 3-ONE, SITOPHILURE.

Tetrahedron Lett. 28, 5037 (1987)

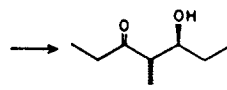
Annie Fauve and Henri Veschambre, Laboratoire de Chimie Organique Biologique, UA 485 CNRS, Université Blaise Pascal (Clermont II), BP 45, 63170 Aubière, France.



1



2



*Geotrichum candidum* cells placed under different conditions of aeration reduced prochiral 2 to either sitophilure 1 or to diastereoisomer.

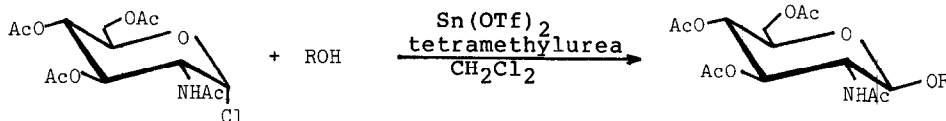


**Stannous Triflate mediated glycosidations.**

Tetrahedron Lett., 28, 5041 (1987)

**Synthesis of 2-amino 2-deoxy  $\beta$ -D-glucopyranosides directly with the natural N-acetyl protecting group.**

André Lubineau, Joelle Le Gallic and Annie Malleron  
Laboratoire de Chimie Organique Multifonctionnelle, associé au CNRS (UA N°462), Bat.420, Université Paris-Sud.91405 Orsay Cedex, France.

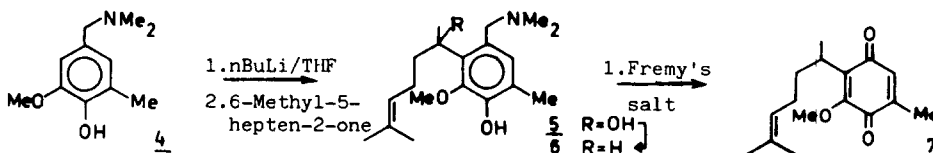


**A SHORT AND EFFICIENT SYNTHESIS OF ( $\pm$ ) O-METHYLPEREZONE BASED ON A NOVEL OXIDATIVE DEGRADATION APPROACH**

Tetrahedron Lett., 28, 5045 (1987)

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**A CONVENIENT SYNTHESIS OF BOTH THE ANOMERS OF ETHYL (2,3,4,6-TETRA-O-BENZYL-D-GLUCOPYRANOSYL)ACETATE**

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