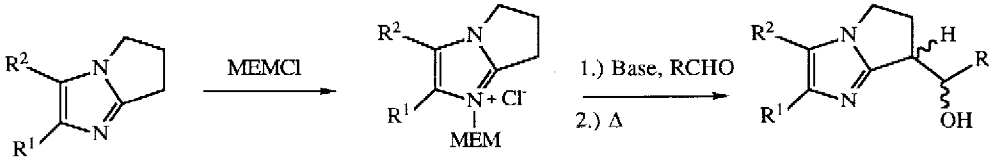
GRAPHICAL ABSTRACTS

Tetrahedron Lett. 30, 6599 (1989)

C-7 FUNCTIONALIZATION OF 6,7-DIHYDRO[5H]-PYRROLO[1,2-a]IMIDAZOLES: ACTIVATION VIA QUATERNIZATION WITH MEMCl.

Timothy F. Gallagher* and Jerry L. Adams, Department of Medicinal Chemistry,
Smith Kline & French Laboratories, P.O. Box 1539, King of Prussia, PA 19406-0939, USA

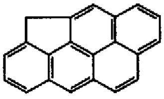


Tetrahedron Lett. 30, 6603 (1989)

SYNTHESES OF METHYLENE-BRIDGED BENZOPYRENES, CARCINOGENIC COMPONENTS OF AUTOMOBILE EXHAUST RESIDUE

Robert J. Young and Ronald G. Harvey*, Ben May Institute, University of Chicago

Syntheses of the methylene-bridged benzopyrenes **1** and **2** via synthetic routes based on pyrene and cyclopenta[def]phenanthrene are described.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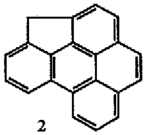
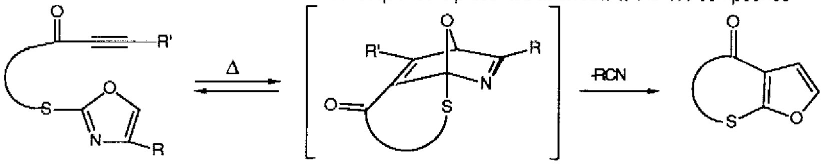

2

Tetrahedron Lett. 30, 6607 (1989)

THE SYNTHESIS OF DIHYDROFURANO[2,3-b]THIOPYRANS, THIEPINS AND THIOPHENES

H. G. Selnick and L. M. Brookes
Merck Sharp and Dohme Research Laboratories, West Point, Pennsylvania 19486

An intramolecular Diels-Alder retro Diels -Alder sequence is presented as a route to the title compounds

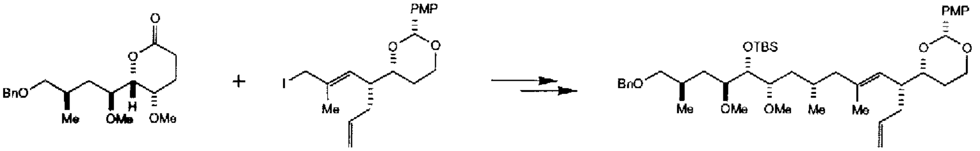


Tetrahedron Lett. 30, 6611 (1989)

STEREOSELECTIVE SYNTHESIS OF THE C_{10}-C_{24} FRAGMENT OF FK-506

Zhaoyin Wang, Merck Frosst Centre For Therapeutic Research
P. O. Box 1005, Pointe Claire/Dorval, Québec, Canada H9R 4P8

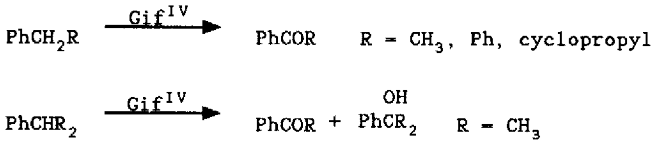
A synthesis of the C_{10}-C_{24} segment of FK-506 was accomplished by using a stereoselective alkylation reaction as the key step.



Tetrahedron Lett. 30, 6615 (1989)

BENZYLIC OXIDATION BY THE GIF^{IV} SYSTEM

Derek H. R. Barton*, Frank Halley, Nubar Ozbalik and Wolf Mehl
Department of Chemistry, Texas A&M University, College Station, TX 77843



$$PhCH_2R \xrightarrow{Gif^{IV}} PhCOR \quad R = CH_3,\ Ph,\ cyclopropyl$$

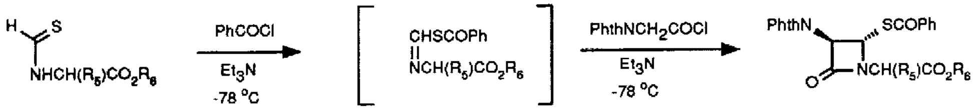
$$PhCHR_2 \xrightarrow{Gif^{IV}} PhCOR + PhC(OH)R_2 \quad R = CH_3$$

Tetrahedron Lett. 30, 6619 (1989)

AN EFFICIENT METHOD FOR THE SYNTHESIS OF 4-BENZOYLTHIOAZETIDINONES

Mark P. Wentland,* Philip E. Hansen, Steven R. Schow, and Sol J. Daum
Medicinal Chemistry Department, Sterling Research Group, Rensselaer, NY 12144

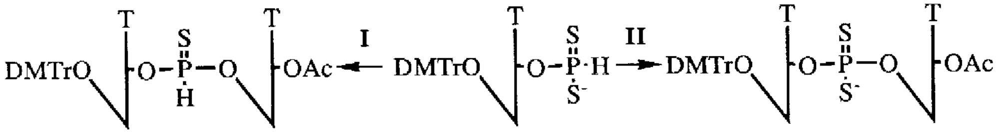
A new variation of the ketene-imine cycloaddition reaction was used to prepare 4-benzoylthioazetidinones.



Tetrahedron Lett. 30, 6621 (1989)

OXIDATIVE AND NONOXIDATIVE FORMATION OF INTERNUCLEOTIDE LINKAGES

Wolfgang K.-D. Brill, Eric Yau and Marvin H. Caruthers
Department of Chemistry & Biochemistry, University of Colorado, Boulder, CO 80309, USA



I: 1-methyl-2-chloropyridinium chloride, nucleoside; **II**: I_2, nucleoside

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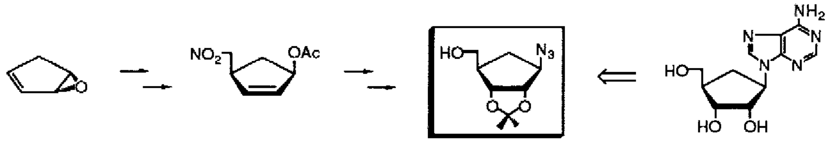
Tetrahedron Lett. 30, 6625 (1989)

PALLADIUM-ASSISTED ROUTE TO CARBOCYCLIC NUCLEOSIDES: A FORMAL SYNTHESIS OF (±)-ARISTEROMYCIN

Donald R. Deardorff,* Michael J. Shulman and James E. Sheppeck II
Occidental College, Los Angeles, California

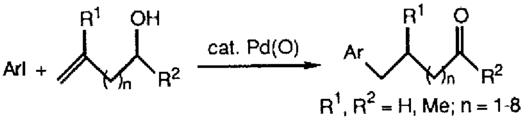


Tetrahedron Lett. 30,6629(1989)

SYNTHESIS OF ARYL-SUBSTITUTED ALDEHYDES AND KETONES VIA PALLADIUM-CATALYZED COUPLING OF ARYL HALIDES AND NON-ALLYLIC UNSATURATED ALCOHOLS

Richard C. Larock,* Wai-Yee Leung and Sandra Stolz-Dunn
Department of Chemistry, Iowa State University, Ames, Iowa 50011

The palladium-catalyzed coupling of aryl halides and non-allylic unsaturated alcohols affords excellent yields of aryl-substituted aldehydes and ketones.



Tetrahedron Lett. 30,6633(1989)

CATALYTIC HYDROGENATION OF HETEROCYCLIC COMPOUNDS. (II)[1] BOND ISOMERIZATION AND HYPERSTABILITY.

David K. Johnson and Bradford P. Mundy
Chemistry Department, Montana State University
Bozeman, Montana 59717

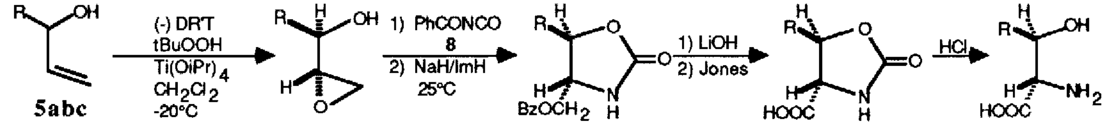
The concept of hyperstability is used to account for the isomerization and reactivity to reduction for the alkenes:

Tetrahedron Lett. 30,6637(1989)

RAPID SYNTHESIS OF β-HYDROXY-α-AMINO ACIDS, SUCH AS L-THREONINE, β-HYDROXYPHENYLALANINE, AND β-HYDROXYLEUCINE, VIA AN APPLICATION OF THE SHARPLESS ASYMMETRIC EPOXIDATION

Michael E. Jung* and Young H. Jung, Department of Chemistry and Biochemistry, University of California, Los Angeles, CA 90024

The optically active epoxy alcohols **6abc**, prepared by a Sharpless kinetic resolution-epoxidation process, were converted to the optically pure β-hydroxy-α-amino acids **1abc** in four steps and high overall yield.



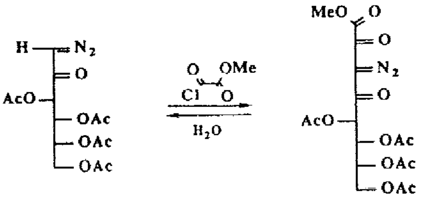
5abc
a R=Me; **b** R=Ph; **c** R=iPr
6abc
13abc
14abc
1abc

Tetrahedron Lett. 30,6641(1989)

SYNTHESIS AND PROPERTIES OF METHYL 5,6,7,8-TETRA-O-ACETYL-3-DEOXY-3-DIAZO-D-ARABINO-OCT-2,4-DIULOSONATE

B. Meyer*+, H. Kogelberg+, P. Köll, and U. Laumann, Fachbereich Chemie der Univ., Carl-von-Ossietzky-Str. 9, D-2900 Oldenburg, FRG. +Present Addr.: CCRC, Univ. of Georgia, 220 Riverbend Rd., Athens, Ga 30602, USA.

The title compound is prepared in high yield and exhibits unusual properties: the carbon chain is cleaved by water in a retro-reaction and the diazo group appears to be moderately stable towards acid.

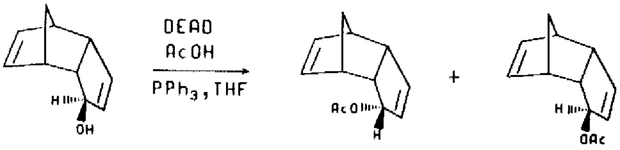


Tetrahedron Lett. 30,6645(1989)

COMPLEX STEREOCHEMICAL COURSE OF THE MITSUNOBU "INVERSION" OF ALLYLIC ALCOHOLS

Vittorio Farina
Pharmaceutical Research and Development Division
Bristol-Myers Co.,P.O.Box 5100 Wallingford CT 06492-7660

Mitsunobu esterification of endo-dicyclopentadienols proceeded with a mixture of retention and inversion. Deuterium labeling showed a complex regiochemical course.

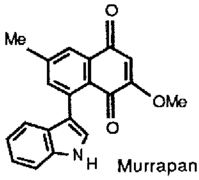


Tetrahedron Lett. 30,6649(1989)

STRUCTURE AND SYNTHESIS OF MURRAPANINE, A NOVEL SKELETAL INDOLE-NAPHTHOQUINONE ALKALOID AND CYTOTOXIC PRINCIPAL FROM *MURRAYA PANICULATA VAR. OMPHALOCARPA*

Tian-Shung Wu,*[a] Meei-Jen Liou,[a] Chwan-Jen Lee,[a] Ting-Ting Jong,[a] Andrew T. McPhail,[b]* Donald R. McPhail,[b] and Kuo-Hsiung Lee*[c]
[a]Department of Applied Chemistry, Providence University, Shalu 43309, Taichung Hsien, Taiwan, R.O.C., [b]Department of Chemistry, Duke University, Durham, NC 27706, U.S.A., and [c]Natural Products Laboratory, School of Pharmacy, University of North Carolina, Chapel Hill, NC 27599, U.S.A.

The structure of murrapanine has been established from spectral data and single-crystal X-ray analysis. It is also synthesized from indole-3-aldehyde.

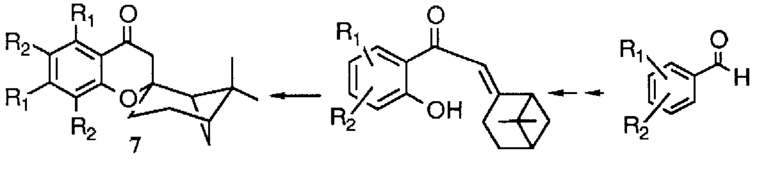


Murrapanine

Tetrahedron Lett. 30,6653(1989)

STEREOSELECTIVE CONSTRUCTION OF THE RING SYSTEM OF ROBUSTADIALS

Marek Majewski* and Gary Bantle
Department of Chemistry, University of Saskatchewan, Saskatoon, Sask., Canada S7N 0W0

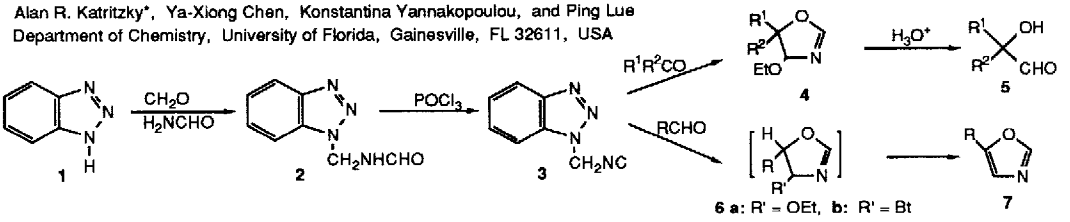


Compound **7**, a key intermediate in the synthesis of Robustadials, was synthesized from 1S-β-pinene and subst. benzaldehyde.

Tetrahedron Lett. 30,6657(1989)

BENZOTRIAZOL-1-YLMETHYL ISOCYANIDE, A NEW SYNTHON FOR CH-N=C TRANSFER. SYNTHESES OF α-HYDROXYALDEHYDES, 4-ETHOXY-2-OXAZOLINES AND OXAZOLES

Alan R. Katritzky*, Ya-Xiong Chen, Konstantina Yannakopoulou, and Ping Lue
Department of Chemistry, University of Florida, Gainesville, FL 32611, USA

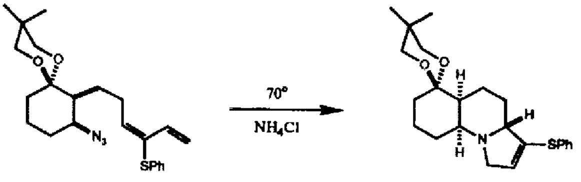


Tetrahedron Lett. 30,6661(1989)

ASSEMBLY OF THE GEPHYROTOXIN RING SYSTEM VIA A [4+1] APPROACH TO 3-PYRROLINES

William H. Pearson*, Yam-Foo Poon
Department of Chemistry, The University of Michigan, Ann Arbor, MI 48109

The tricyclic nucleus of gephyrotoxin is assembled in one operation from a readily accessible azido-diene:

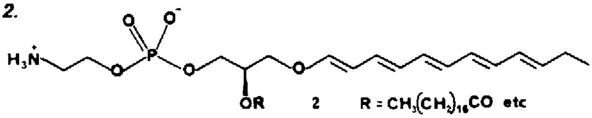


Tetrahedron Lett. 30,6665(1989)

ISOLATION AND STRUCTURE ELUCIDATION OF PLASMALOPENTAENE-12, THE BIOLOGICAL PRECURSOR OF FECAPENTAENE-12.

David G.I. Kingston,* C.-Y. Duh, T. Piccariello, R. F. Keyes. Department of Chemistry, Virginia Polytechnic Institute and State University, Blacksburg, Virginia 24061-0212. R. L. Van Tassell, and T. D. Wilkins.* Department of Anaerobic Microbiology, Virginia Polytechnic Institute and State University, Blacksburg, Virginia 24061-0305

The biological precursor of the potent fecal mutagen fecapentaene-12 has been purified and its structure elucidated as the polyunsaturated plasmalogen 2.



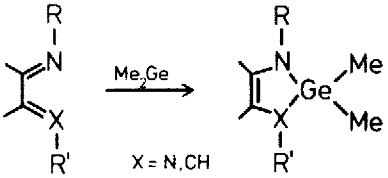
2 R = $CH_3(CH_2)_{16}CO$ etc

Tetrahedron Lett. 30,6669(1989)

THE FIRST SYNTHESIS OF AZA-GERMACYCLOPENTENES: CYCLOADDITIONS OF 1-AZA- AND 1,4-DIAZABUTADIENES WITH FREE SINGLET DIMETHYL GERMYLENE

Konrad Bootz and Wilhelm P. Neumann*
Lehrstuhl für Organische Chemie I der
Universität Dortmund, Otto-Hahn-Str. 6,
D-4600 Dortmund 50, Federal Republic of Germany

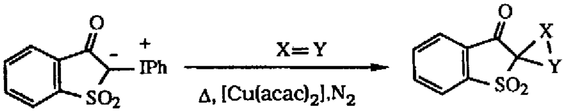
The preparation of substituted 1-aza- and 1,3-diaza-2-germacyclopent-4-enes from corresponding azabutadienes and dimethylgermylene is described.



Tetrahedron Lett. 30,6673(1989)

REACTIONS OF 2,3-DIHYDRO-2-PHENYLIODONIUM-3-OXO-BENZO[b]THIOLENIDE-1,1-DIOXIDE.

*Lazaros Hadjiarapoglou, Kurt Schank**
Department of Organic Chemistry, University of Saaarlandes, D-6600 Saarbrücken, Federal Republic of Germany.



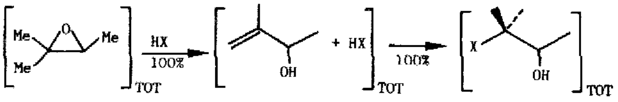
2,3-Dihydro-2-phenyliodonium-3-oxo-benzo[b]thiolenide-1,1-dioxide was found to react under thermolytic conditions with various substrates like alkenes,alkynes,thiobenzophenones etc.

Tetrahedron Lett. 30, 6677 (1989)

THE PSEUDO-ENZYMATIC ACTION OF TRI-o-THYMOTIDE CLATHRATES APPLIED TO THE DETERMINATION OF THE ABSOLUTE CONFIGURATION OF FOUR HALOHYDRINS

Raymond Gerdil* and Giacomo Barchietto
Department of Organic Chemistry, University of Geneva, CH-1211 Geneva 4, Switzerland

Heterogenous reactions of hydrogenhalides (gas) with TOT-enclathrated methyloxiranes allow the absolute configuration assignment of the product owing to highly specific host-reactant interactions.

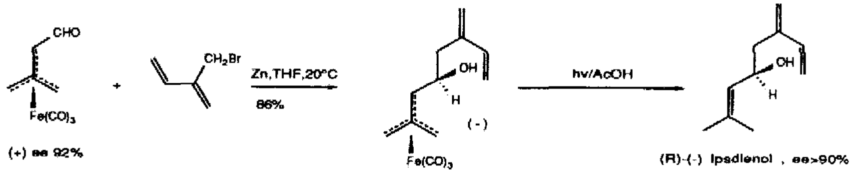


Tetrahedron Lett. 30, 6679 (1989)

FORMYL-TRIMETHYLENEMETHANE (IRON) TRICARBONYL AS CHIRAL SYNTHETIC EQUIVALENT OF PRENAL. SYNTHESIS OF (R)-(-)-IPSDIENOL.

Michel FRANCK-NEUMANN, Daniel MARTINA, Marie-Paule HEITZ

Laboratoire de Chimie Organique Synthétique URA CNRS n° DO466, Institut de Chimie, Université Louis Pasteur, 1, rue Blaise Pascal 67008 - STRASBOURG (France)



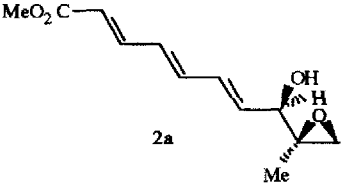
Tetrahedron Lett. 30, 6683 (1989)

Chiral Synthesis of a Key Intermediate in the Preparation of the AF Toxin IIc.

M. Laabassi and R. Grée.

Laboratoire de Chimie Organique Biologique, E.N.S.C.R., Av. du Gal Leclerc,
35700 Rennes, France.

The epoxytriene 2a , which is a key intermediate in the synthesis of the host specific toxin AF IIc, is efficiently prepared using chiral butadiene-tricarbonyliron complexes.



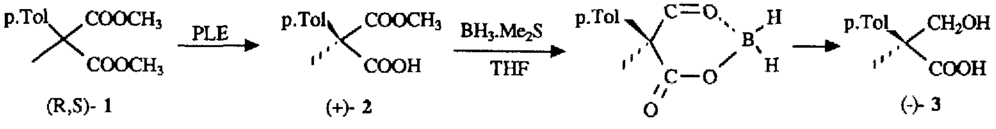
2a

Tetrahedron Lett. 30, 6687 (1989)

REVERSE CHEMOSELECTIVE BORANE REDUCTION OF AN OPTICALLY ACTIVE MALONIC ACID ESTER

Antoine FADEL, Jean-Louis CANET and Jacques SALAUN
Laboratoire des Carbocycles, Associé au C.N.R.S., Institut de Chimie Moléculaire d'Orsay, Bât. 420
Université de Paris-Sud, 91405 ORSAY (France)

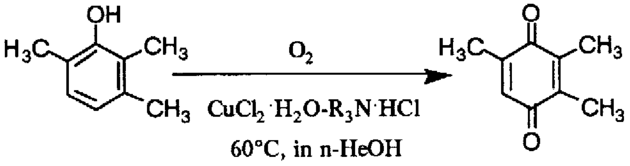
A malonic acid ester undergoes unexpectedly BH_3-Me_2S reduction on the ester function, proceeding likely through a six-membered ring intermediate and intramolecular hydride transfer.



Tetrahedron Lett. 30, 6691 (1989)

A NOVEL OXYGENATION OF 2,3,6-TRIMETHYLPHENOL TO TRIMETHYL-*p*-BENZOQUINONE BY DIOXYGEN WITH COPPER(II) CHLORIDE / AMINE HYDROCHLORIDE CATALYST

Katsuomi TAKEHIRA,* Masao SHIMIZU,
Yoshihito WATANABE, Hideo ORITA and
Takashi HAYAKAWA
National Chemical Laboratory for Industry,
Tsukuba Research Center, Tsukuba,
Ibaraki 305, Japan

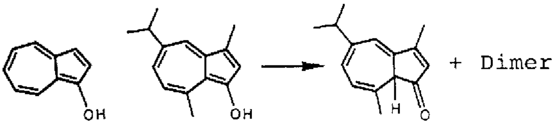


Tetrahedron Lett. 30, 6693 (1989)

1-HYDROXYAZULENE AND 3-HYDROXYGUAIAZULENE: SYNTHESIS AND THEIR PROPERTIES

Toyonobu Asao,* Shunji Ito, and Noboru Morita
Department of Chemistry, College of General Education, Tohoku University,
Kawauchi, Aobaku, Sendai 980 Japan

1-Hydroxyazulene (1) and 3-hydroxyguaiazulene (2) were obtained as unstable green oils by the reduction of the corresponding acetoxyazulenes. 1 easily changed to polymeric substance, but 2 converted to a mixture of its keto form (3) and dimeric compound.



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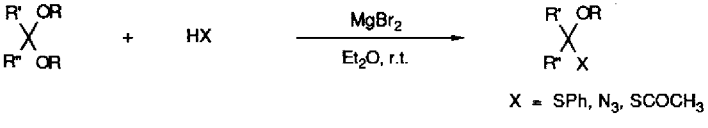
Tetrahedron Lett. 30, 6697 (1989)

CONVERSION OF ACETALS INTO MONOTHIOACETALS, α-ALKOXYAZIDES AND α-ALKOXYALKYL THIOACETATES WITH MAGNESIUM BROMIDE

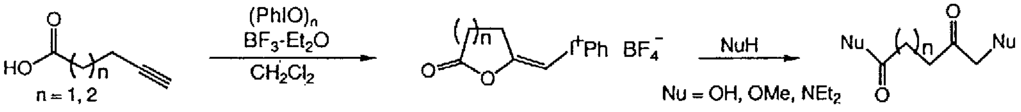
Sunggak Kim*, Jung Ho Park and Sangphil Lee
Department of Chemistry, Korea Advanced Institute of Science and Technology, Seoul 130-012, Korea



Tetrahedron Lett. 30, 6701 (1989)

SYNTHESIS OF IODO(III) ENOL LACTONES VIA IODINE(III)-INDUCED LACTONIZATION OF ALKYNOIC ACIDS. STRUCTURALLY POTENTIAL SERINE PROTEASE INACTIVATORS

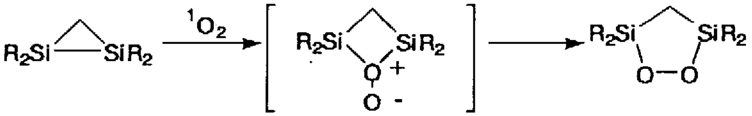
Masahito Ochiai,[a,*] Yoshikazu Takaoka,[a] Yukio Masaki,[a] Minako Inenaga,[b] and Yoshimitsu Nagao[b]
a Gifu Pharmaceutical University, 5-6-1 Mitahora-higashi, Gifu 502, Japan
b Institute for Chemical Research, Kyoto University, Uji, Kyoto 611, Japan



Tetrahedron Lett. 30, 6705 (1989)

FORMATION OF 1,2-DIOXOLANE IN THE SINGLET OXYGENATION OF A SILICON-SILICON σ-BOND: PEROXONIUM ION INTERMEDIATE

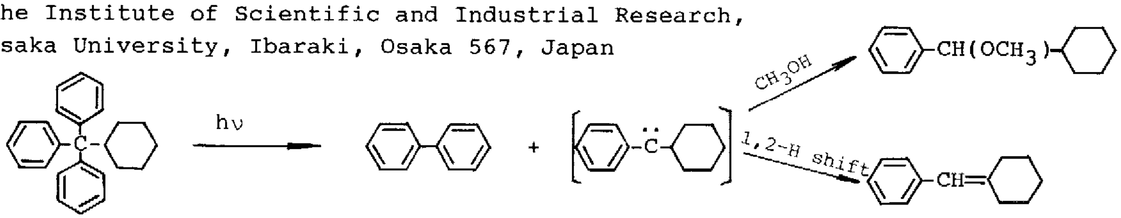
Wataru Ando*[,a], Masahiro Kako,[a] Takeshi Akasaka,[a]
Shigeru Nagase,[b] Takatoshi Kawai,[c] Yasushi Nagai,[c] and Tadashi Sato[c]
[a] *Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305, Japan*
[b] *Department of Chemistry, Faculty of Education, Yokohama National University Yokohama 240, Japan*
[c] *Eisai Company Co., Ltd., Tokodai, Tsukuba, Ibaraki 305, Japan*



Tetrahedron Lett. 30, 6709 (1989)

PHOTOLYSIS OF 1,1,1-TRIPHENYLALKANES

Min Shi, Yoshiki Okamoto,* and Setsuo Takamuku
The Institute of Scientific and Industrial Research,
Osaka University, Ibaraki, Osaka 567, Japan

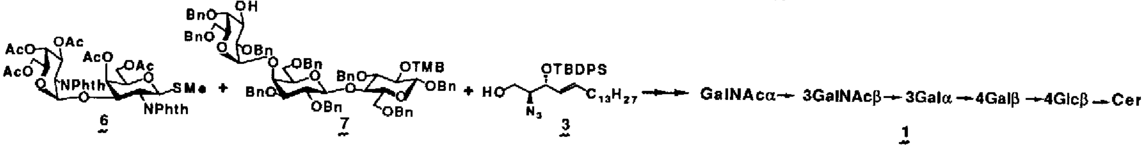


Tetrahedron Lett. 30, 6713 (1989)

A TOTAL SYNTHESIS OF FORSSMAN GLYCOLIPID, GLOBOPENTAOSYL CERAMIDE $IV^3GalNAc\alpha Gb_4Cer$

Shigeki Nunomura, Masato Mori, Yukishige Ito, and Tomoya Ogawa*
RIKEN (The Institute of Physical and Chemical Research), Wako-shi, Saitama, 351-01 Japan

A total synthesis of Forssman antigen was achieved in a stereocontrolled manner.



Tetrahedron Lett. 30, 6717 (1989)

MECHANISTIC STUDY ON THE PHOTOOXIDATION OF PERHALOFLUOROALKYL SULFINATES

Chang-Ming Hu, Ze-Qi Xu and Feng-Ling Qing
Shanghai Institute Of Organic Chemistry, Academia Sinica, Shanghai, China.

Photochemical formation of perhalofluorocarboxylic acids and their esters from perhalofluoroalkyl sulfinates is considered to proceed via electron transfer.

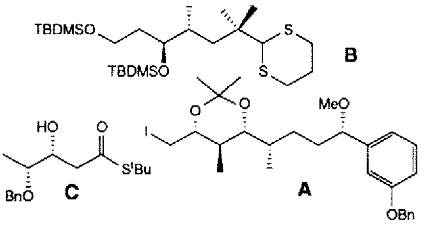
$$R_FCF_2SO_2^- \xrightarrow{O_2} R_FCF_2SO_2^{\bullet} \longrightarrow R_FCF_2^{\bullet} \xrightarrow{O_2^{\bullet-}} R_FCF_2OO^- \longrightarrow R_FCO_2R$$

Tetrahedron Lett. 30,6721(1989)

SYNTHETIC STUDY ON APLYSIATOXINS, HIGHLY INFLAMMATORY AGENTS AND TUMOR PROMOTERS
SYNTHESIS OF THE THREE OPTICALLY ACTIVE SEGMENTS

H. Toshima, S. Yoshida, T. Suzuki, S. Nishiyama,* and S. Yamamura*
Dept. of Chemistry, Faculty of Sci. and Tech., Keio University

The main segments (**A**, **B** and **C**) in debromoaplysiatoxin have been successfully synthesized.

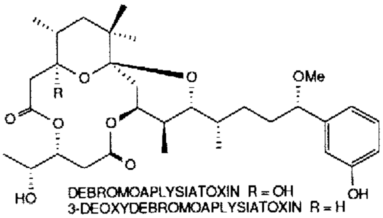


Tetrahedron Lett. 30,6725(1989

SYNTHETIC STUDY ON APLYSIATOXINS, HIGHLY INFLAMMATORY AGENTS AND TUMOR PROMOTERS
SYNTHESIS OF 3-DEOXYDEBROMOAPLYSIATOXIN

H. Toshima, T. Suzuki, S. Nishiyama,* and S. Yamamura*
Dept. of Chemistry, Faculty of Sci. and Tech., Keio University

3-Deoxydebromoaplysiatoxin has been synthesized.



DEBROMOAPLYSIATOXIN R = OH
3-DEOXYDEBROMOAPLYSIATOXIN R = H

Tetrahedron Lett. 30,6729(1989)

STRUCTURE OF ANTHOCYANINS IN SCARLET, PURPLE, AND BLUE FLOWERS OF SALVIA

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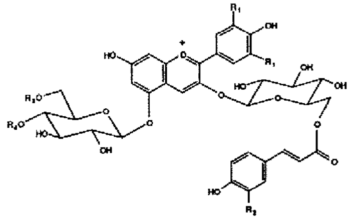
Chemical Instrument Center and Faculty of Agriculture,
Nagoya University, Chikusa, Nagoya 464, Japan
Sugiyama Jogakuen University, Chikusa, Nagoya 464, Japan



Salvia splendens (scarlet) gives salvianin (R_1=H, R_2=OH, R_3=R_4=malonyl), monardaein (R_1=R_2=H, R_3=R_4=malonyl) and their demalonyl derivs. S. splendens (purple) gives salvia-delphin (R_1=R_2=OH, R_3=R_4=malonyl) and its demalonyl derivs. S. farinacea (blue) gives salviamalvin (R_1=OMe, R_2=R_4=H, R_3=malonyl).

Tetrahedron Lett. 30,6733(1989)

PHOTODECARBOXYLATION OF UNMODIFIED CARBOXYLIC ACIDS WITH USE OF AZA AROMATIC COMPOUNDS

Keiji Okada,* Katsura Okubo, and Masaji Oda*
Department of Chemistry, Faculty of Science, Osaka University, Toyonaka, Osaka 560, Japan

A very simple method of photodecarboxylation of intact carboxylic acids leading to alkanes is developed.

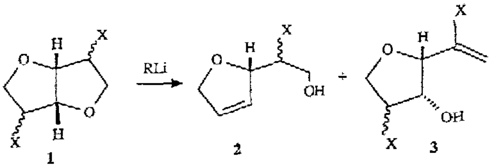
$$\underset{1}{RCO_2H} \xrightarrow[\substack{\text{N-aromatics} \\ \text{t-BuSH in } C_6H_6}]{h\nu} \underset{2}{RH} + CO_2$$

Tetrahedron Lett. 30, 6737 (1989)

ALKYLLITHIUM-PROMOTED RING FISSIONS OF HALIDES DERIVED FROM 1,4:3,6-DIANHYDROHEXITOLS

Vanda Cerè, Claudio Paolucci,* Salvatore Pollicino, Edda Sandri, and Antonino Fava.*
Dipartimento di Chimica Organica, Università di Bologna, Viale Risorgimento 4, 40136 Bologna, Italy.

Treated with an alkyllithium the title compounds undergo competing dehalogenative and/or non-dehalogenative ring fissions, the outcome largely depending on the nature of the halogen. The highly functionalized homochiral reaction products 2 and 3, may be susceptible to further elaboration towards valuable synthetic targets.

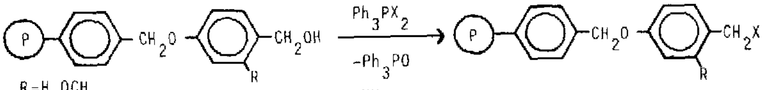


R = Me, n-Bu ; X = I, Br, Cl

Tetrahedron Lett. 30, 6741 (1989)

PEPTIDE SYNTHESIS BY ... III
RESIN DERIVATIVES ALLOWING MINIMUM-RACEMIZATION COUPLING OF N$^\alpha$-PROTECTED AMINO ACIDS

M. Mergler, R. Nyfeler, J. Gosteli
BACHEM AG, Hauptstrasse 144, CH-4416 Bubendorf/Switzerland

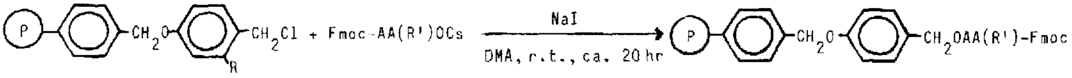


R = H, OCH_3
X = Cl, Br

Tetrahedron Lett. 30, 6745 (1989)

PEPTIDE SYNTHESIS BY ... IV
MINIMUM-RACEMIZATION COUPLING OF N$^\alpha$-9-FLUORENYLMETHYLOXYCARBONYL AMINO ACIDS TO ALKOXY BENZYL ALCOHOL TYPE RESINS1)

M. Mergler, R. Nyfeler, J. Gosteli, R. Tanner
BACHEM AG, Hauptstrasse 144, CH-4416 Bubendorf/Switzerland



R = H, OCH_3; AA = amino acid; R' = protecting group
Fmoc = 9-fluorenylmethyloxycarbonyl

very low racemization of protected AA(R')

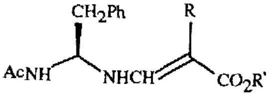
Tetrahedron Lett. 30, 6749 (1989)

Novel Peptide Surrogates : The Retroreduced Isostere

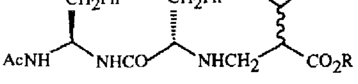
M.M. Campbell[a], B.C. Ross[b] and G. Semple[a]

[a]School of Chemistry, University of Bath, Bath, BA2 7AY, U.K.
[b]Glaxo Group Research Ltd, Ware, Herfordshire, U.K.

New peptide mimetics incorporating eneamine units (1) are described. Tripeptides containing a retroamide and a retroreduced isostere have been prepared (2).



1



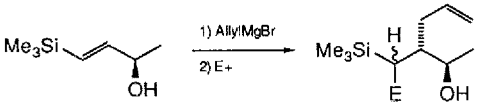
2

Tetrahedron Lett. 30, 6753 (1989)

SYNTHESIS AND FUNCTIONALISATION OF INTERMEDATES DERIVED FROM ALLYLMAGNESIATION OF (E)-1-(TRIMETHYLSILYL)-BUT-3-EN-1-OL.

Philip Kocieński, Christopher Love, and David A. Roberts
Chemistry Department, The University, Southampton, SO2 9NH, U. K.

AllylMgBr adds to the title compound diastereoselectively. The C-Mg bond of the intermediate adducts reacts with electrophiles to give synthetically useful products.

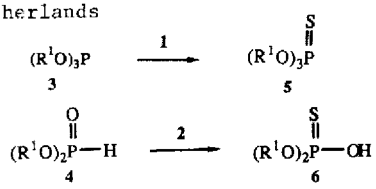


Tetrahedron Lett. 30, 6757 (1989)

AN EFFICIENT APPROACH TOWARD THE SYNTHESIS OF PHOSPHOROTHIOATE DIESTERS VIA THE SCHÖNBERG REACTION

P.C.J. Kamer, H.C.P.F. Roelen, H. van den Elst, G.A. van der Marel and J.H. van Boom
Gorlaeus Laboratory, P.O. Box 9502, 2300 RA Leiden, The Netherlands

Phenacetyl (1) and benzoyl disulfide (2) are suitable reagents for rapid conversion of trialkyl phosphites (3) and H-phosphonate diesters (4) into the phosphorothioates (PS) 5 and 6, respectively. The scope of the sulfurization is further demonstrated by the synthesis of PS-diester analogues of nucleic acids.



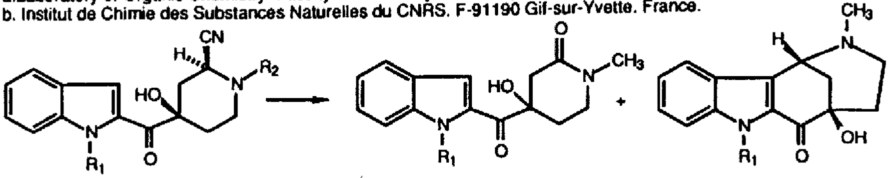
$$\underset{\mathbf{3}}{(\mathrm{R}^1\mathrm{O})_3\mathrm{P}} \xrightarrow{\mathbf{1}} \underset{\mathbf{5}}{(\mathrm{R}^1\mathrm{O})_3\overset{\mathrm{S}}{\overset{\|}{\mathrm{P}}}}$$

$$\underset{\mathbf{4}}{(\mathrm{R}^1\mathrm{O})_2\overset{\mathrm{O}}{\overset{\|}{\mathrm{P}}}\!-\!\mathrm{H}} \xrightarrow{\mathbf{2}} \underset{\mathbf{6}}{(\mathrm{R}^1\mathrm{O})_2\overset{\mathrm{S}}{\overset{\|}{\mathrm{P}}}\!-\!\mathrm{OH}}$$

Tetrahedron Lett. 30, 6761 (1989)

SYNTHETIC APPLICATIONS OF 2-(1,3-DITHIAN-2-YL)INDOLES. SYNTHESIS OF 1-METHYL-15-HYDROXY-20-DEETHYLDASYCARPIDONE

Mario Rubiralta,[a] Antoni Torrens,[a] Anna Palet,[a] D. S. Grierson,[b] and H.-P. Husson[b]
a. Laboratory of Organic Chemistry. Faculty of Pharmacy. University of Barcelona. 08028 Barcelona. Spain.
b. Institut de Chimie des Substances Naturelles du CNRS. F-91190 Gif-sur-Yvette. France.



The acid-induced cyclization of 4-hydroxy-4-indolylcarbonyl-2-piperidinecarbonitriles are reported.

Tetrahedron Lett. 30, 6765 (1989)

CONFORMATIONAL ENERGY AND ENTROPY DIFFERENCES OF t-BuO. IMPLICATIONS IN STEREOCHEMISTRY AND STEREOELECTRONICS.

Hanoch Senderowitz, Sarah Abramson, Pinchas Aped, Leah Schleifer and Benzion Fuchs*
School of Chemistry, Tel-Aviv University, Ramat-Aviv, 69 978 Tel-Aviv, Israel

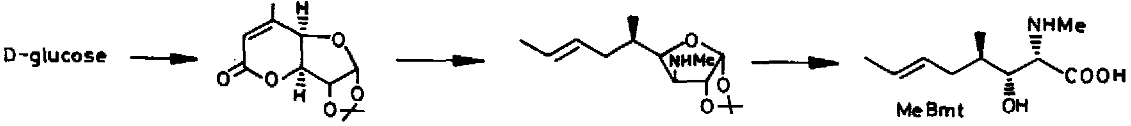
The conformational energy and entropy differences of the t-butoxy group were determined using ^{1}H- and ^{13}C-NMR variable temperature techniques: ΔG^o_{conf} = - 0.75 kcal/mol, $\Delta H^o_{conf} \approx$ - 0.7 kcal/mol and $\Delta S^o_{conf} \approx$ 0 cal/K*mol. ΔE_{conf} was calculated by molecular mechanics (MM2) to be - 0.5 kcal/mol. The strikingly small magnitude of the difference values is interpreted in terms of relative non-bonded interactions and discussed in conjunction with the alleviated anomeric effect in 2-t-butoxytetrahydropyran systems.

Tetrahedron Lett. 30, 6769 (1989)

HIGHLY STEREOSELECTIVE APPROACH FOR β-HYDROXY-α-AMINO ACIDS FROM D-GLUCOSE:THE SYNTHESIS OF MeBmt.

A V Rama Rao*, J S Yadav, S Chandrasekhar and C Srinivas Rao
Indian Institute of Chemical Technology, Hyderabad 500 007, India

A stereospecific synthesis of MeBmt, an unusual amino acid present in the clinically used immunosuppressive agent - Cyclosporin, is described.

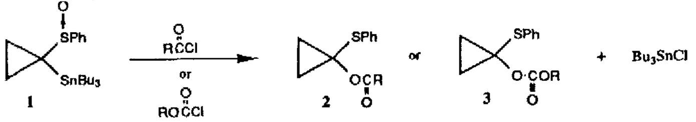


Tetrahedron Lett. 30, 6773 (1989)

DESTANNYLATIVE PUMMERER TYPE REARRANGEMENT OF 1-(PHENYLSULFINYL)-1-(TRIBUTYLSTANNYL)-CYCLOPROPANE

Manat Pohmakotr* and Srisamorn Sithikanchanakul

Department of Chemistry, Faculty of Science, Mahidol University, Rama VI Road, Bangkok 10400, Thailand.

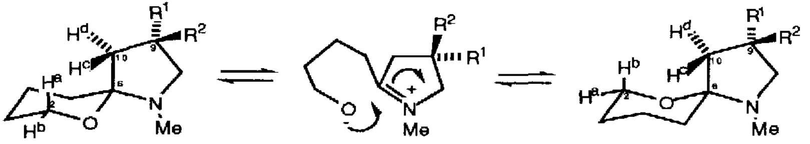


Tetrahedron Lett. 30, 6777 (1989)

DETECTION AND MECHANISTIC IMPLICATION OF A DYNAMIC EQUILIBRIUM IN SOME NOVEL SPIRO-HETEROCYCLIC SYSTEMS

Alex I. D. Alanine, Colin W.G. Fishwick, and Csaba Szantay Jr.*
School of Chemistry, The University of Leeds, Leeds LS2 9JT.

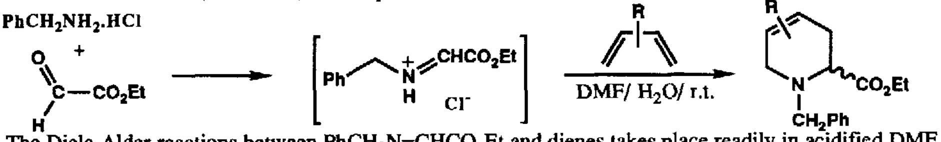
Evidence is presented based upon NMR analysis for a novel dynamic equilibrium in a number of spiro-heterocyclic compounds which involves inversion at only one of two asymmetric centres present in each system.



Tetrahedron Lett. 30, 6781 (1989)

STEREOSELECTIVE SYNTHESIS OF PIPECOLIC ACID DERIVATIVES USING AZA-DIELS-ALDER REACTIONS

Patrick D. Bailey[a], Robert D. Wilson[a] and George R. Brown[b]
[a] Department of Chemistry, University of York, Heslington, York YO1 5DD, U.K.
[b] ICI Pharmaceuticals, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG, U.K.



The Diels-Alder reactions between $PhCH_2N{=}CHCO_2Et$ and dienes takes place readily in acidified DMF if catalytic H_2O is also present, giving pipecolic acid derivatives with high regio- and diastereo-selectivity.