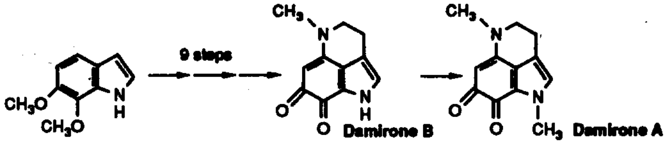
GRAPHICAL ABSTRACTS

Tetrahedron Lett. **1993**, *34*, 2405

TOTAL SYNTHESES OF DAMIRONE A AND DAMIRONE B.

E. V. Sadanandan and Michael P. Cava,**Department of Chemistry, The University of Alabama, Box 870336, Tuscaloosa, AL 35487-0336, U.S.A.*

The first total syntheses of the tricyclic alkaloids damirone A and damirone B have been achieved starting from 6,7-dimethoxyindole.

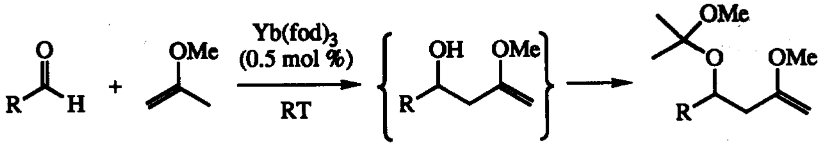


Tetrahedron Lett. **1993**, *34*, 2409

Yb(fod)$_3$-PROMOTED ENE REACTION OF ALDEHYDES WITH VINYL ETHERS

Melissa V. Deaton and Marco A. Ciufolini*
Department of Chemistry, Rice University, P.O.Box 1892, Houston, Texas 77251, USA

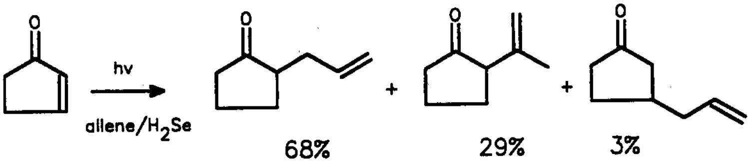
Ordinary aldehydes react at room temperature with certain vinyl ethers to furnish ene-like products under catalysis by Yb(fod)$_3$.



Tetrahedron Lett. **1993**, *34*, 2413

ORIGIN OF THE REGIOCHEMISTRY IN THE PHOTOCHEMICAL CYCLOADDITION REACTION OF 2-CYCLOPENTENONE WITH ALLENE: TRAPPING OF TRIPLET 1,4-BIRADICAL INTERMEDIATES WITH HYDROGEN SELENIDE

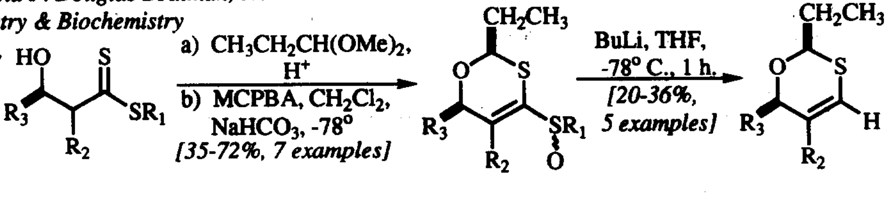
David J. Maradyn,[a] Leiv K. Sydnes[b] and Alan C. Weedon[a]*
[a]The Photochemistry Unit, Department of Chemistry, University of Western Ontario, London, Ontario, N6A 5B7, Canada; [b]Department of Chemistry, University of Tromsø, N-9037, Tromsø, Norway



Tetrahedron Lett. **1993**, *34*, 2417

PREPARATION OF 4-ALKANESULFINYL-Δ^4-1,3-OXATHIANES AND Δ^4-1,3-OXATHIANES. ON THE RESISTANCE OF ENDOCYCLIC KETENE DITHIOACETALS TO NUCLEOPHILIC ATTACK

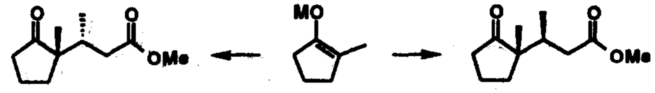
Robert D. Walkup, and P. Douglas Boatman, Jr.*
Department of Chemistry & Biochemistry
Texas Tech University,
Lubbock, Texas
79409-1061



Tetrahedron Lett. **1993**, *34*, 2421

THE CONTROL OF DIASTEREOSELECTIVITY IN THE MICHAEL REACTION OF KETONIC ENOLATES WITH CROTONIC ACID DERIVATIVES

E. J. Corey and Ioannis N. Houpis
Department of Chemistry
Harvard University
Cambridge, Massachusetts, 02138

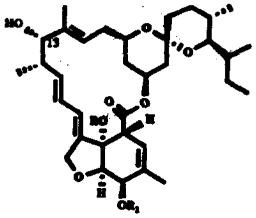


Tetrahedron Lett. **1993**, *34*, 2425

Inversion of the Sterically Constrained C_{13}-Hydroxyl of 22,23-Dihydroavermectin B_1 Aglycone

**Chris H. Senanayake*, Sheo B. Singh, Timothy J. Bill,
Lisa M. DiMichele, Ji Liu, Robert D. Larsen and
Thomas R. Verhoeven. Merck Research Laboratories**
P.O. Box 2000, Rahway, New Jersey 07065, USA.

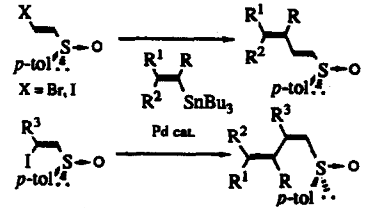
A highly effective and novel inversion process for the C_{13}-Hydroxyl of 22,23-Dihydroavermectin B_1 Aglycone is described.



Tetrahedron Lett. **1993**, *34*, 2429

STEREOCONTROLLED SYNTHESIS OF ENANTIOMERICALLY PURE DIENYL SULFOXIDES VIA PALLADIUM-CATALYZED COUPLING REACTIONS

Robert S. Paley[a]*, Alfonso de Dios[a]
Roberto Fernández de la Pradilla[b]*
[a]Swarthmore College, Dept. of Chemistry,
Swarthmore, PA 19081, USA
[b]Instituto de Química Orgánica, C.S.I.C.,
Juan de la Cierva 3, 28006, Madrid, Spain



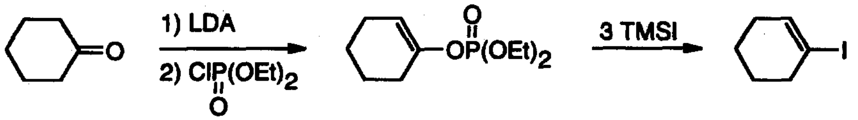
Stereospecific coupling of enantiopure 2-halovinylsulfoxides and (*E*)-vinyl stannanes affords enantiopure 1-sulfinyldienes.

Tetrahedron Lett. **1993**, *34*, 2433

Reaction of Vinyl Phosphates with Iodotrimethylsilane: Synthesis of Vinyl Iodides from Ketones

Koo Lee and David F. Wiemer*
Department of Chemistry, University of Iowa, Iowa City, Iowa 52242.

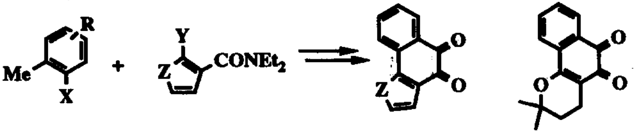
A new method for preparation of vinyl iodides from ketones is described, based on reaction of vinyl phosphates with three equivalents of TMSI or TMSCl-NaI.



Tetrahedron Lett. **1993**, *34*, 2437

COMBINED DIRECTED METALATION - CROSS COUPLING STRATEGIES. A REGIOSPECIFIC ROUTE TO HETERORING-ANNELATED ortho- NAPHTHOQUINONES AND A SHORT SYNTHESIS OF β-LAPACHONE

M.A.F. Brandao, A.B. de Oliveira, and V. Snieckus*, Guelph-Waterloo Centre for Graduate Work in Chemistry, University of Waterloo, Waterloo, Ontario, Canada. N2L 3G1



X, Y = Br, B(OH)$_2$ or vice versa; Z = O, S

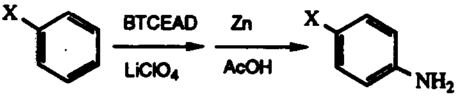
β-Lapachone

Tetrahedron Lett. **1993**, *34*, 2441

SYNTHESIS OF AROMATIC AMINES FROM ELECTRON-RICH ARENES AND BIS(2,2,2-TRICHLOROETHYL) AZODICARBOXYLATE.

Irina Zaltsgendler, Yves Leblanc* and Michael A. Bernstein, Merck Frosst Centre for Therapeutic Research, P.O. Box 1005, Pointe Claire-Dorval, Quebec, Canada H9R 4P8.

Bis(2,2,2-Trichlorethyl) Azodiearboxylate adds on electron-rich arenes to provide aryl hydrazides in high yields. The free amines are generated from the zinc-acetic acid reduction.

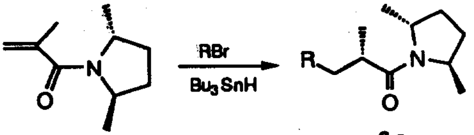


Tetrahedron Lett. **1993**, *34*, 2445

Stereoselective Radical Reactions with Chiral Acrylamides and Methacrylamides

Bernd Giese, Ursula Hoffmann, Martin Roth, Andreas Veit, Caroline Wyss, Margaretha Zehnder, and Hendrik Zipse*
Department of Chemistry, University of Basel, Switzerland

Radical reactions with methacrylamide 3 give 6a as main product, although both the alkene and the intermediate radical are twisted.



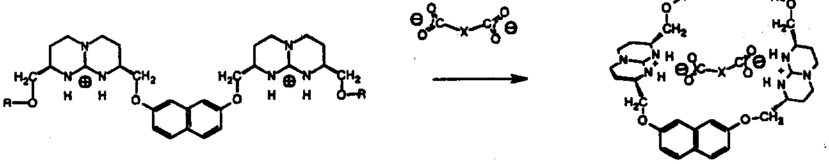
3

6a

Tetrahedron Lett. **1993**, *34*, 2449

Abiotic Molecular Recognition of Dicarboxylic Anions in Methanol

Petra Schießl and Franz P. Schmidtchen*
Lehrstuhl für Org.Chemie und Biochemie, Technische Universität München
Lichtenbergstrasse 4, D-8046 Garching, Fed.Rep. Germany

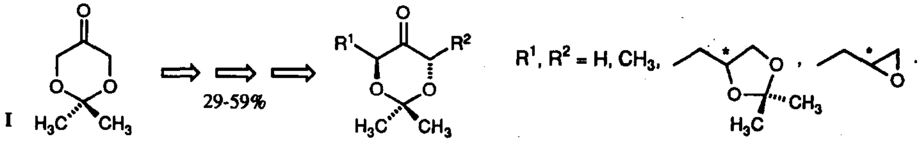


Tetrahedron Lett. **1993**, *34*, 2453

1,3-Dioxan-5-one as C_3-Building Block for the Diastereo- and Enantioselective Synthesis of C_5- to C_9-Deoxysugars Using the SAMP-/RAMP-Hydrazone Method.

D. Enders*, U. Jegelka.

The diastereo- and enantioselective synthesis of deoxy- and dideoxysugars is demonstrated using the protected dihydroxyacetone derivative I as a C_3-building block in combination with the SAMP-/RAMP-Hydrazone Method.

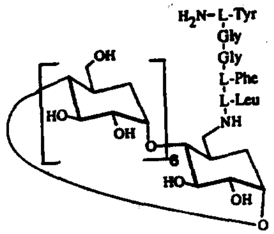


Tetrahedron Lett. **1993**, *34*, 2457

SYNTHESIS OF A NEW MOLECULAR CARRIER : N-(LEU-ENKEPHALIN)YL 6-AMIDO-6-DEOXY CYCLOMALTOHEPTAOSE.

F. Djedaïni-Pilard* , J. Désalos and B. Perly,
Service de Chimie Moléculaire, Centre d'Etudes de Saclay, F-91191 Gif sur Yvette
Cedex, France.

The synthesis and inclusion properties of a new molecular carrier obtained by grafting Leu-Enkephalin onto 6-amino-6-deoxy-cyclomaltoheptaose are described.

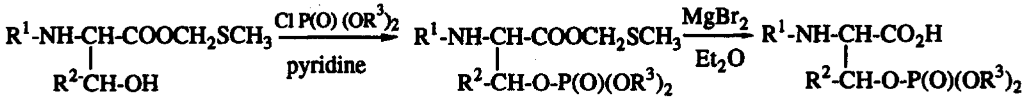


Tetrahedron Lett. **1993**, *34*, 2461

A NEW APPROACH TO PHOSPHOSERINE AND PHOSPHOTHREONINE SYNTHONS SUITABLE FOR THE STEPWISE SYNTHESIS OF PHOSPHOPEPTIDES.

N. Mora, J.M. Lacombe, A.A. Pavia*, Laboratoire de Chimie Bioorganique,Faculté des Sciences d'Avignon,33,rue Louis Pasteur, 84000 Avignon, France.

Compounds **2a-l** were prepared in high yields by treatment of MTM esters **1a-f** with commercially avalaible phosphochloridate reagent in pyridine . Synthons **3a-l** were obtained by treatment of compounds **2** with $MgBr_2$ in diethylether.



$$\begin{array}{c}R^1\text{-NH-CH-COOCH}_2\text{SCH}_3\\ |\\ R^2\text{-CH-OH}\end{array} \xrightarrow[\text{pyridine}]{\text{Cl P(O)(OR}^3)_2} \begin{array}{c}R^1\text{-NH-CH-COOCH}_2\text{SCH}_3\\ |\\ R^2\text{-CH-O-P(O)(OR}^3)_2\end{array} \xrightarrow[\text{Et}_2\text{O}]{\text{MgBr}_2} \begin{array}{c}R^1\text{-NH-CH-CO}_2\text{H}\\ |\\ R^2\text{-CH-O-P(O)(OR}^3)_2\end{array}$$

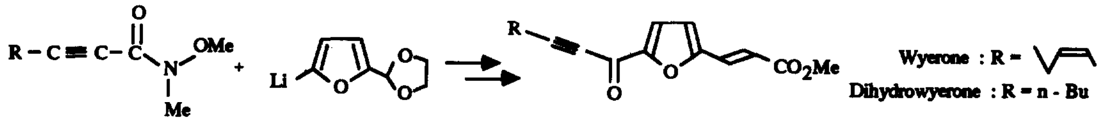
1a-f **2a-l** **3a-l**

Tetrahedron Lett. **1993**, *34*, 2465

NEW EFFICIENT SYNTHESIS OF FURANOACETYLENE PHYTOALEXINS WYERONE AND DIHYDROWYERONE

Isabelle Delamarche and Paul Mosset*
Laboratoire de Synthèses et Activations de Biomolécules, associé au CNRS
ENSCR, Avenue du Général Leclerc, 35700 Rennes-Beaulieu, France

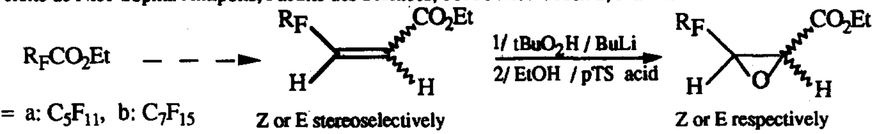
An efficient and flexible synthesis of furanoacetylene phytoalexins was developped starting from furfural.
The key step involved an acylation by acetylenic N-methoxy-N-methylamides.



Tetrahedron Lett. **1993**, *34*, 2469

STEREOSELECTIVE SYNTHESIS OF *F*-ALKYL α,β-UNSATURATED ESTERS AND THEIR EPOXIDATION

Marion Lanier, Mustapha Haddach, Raphael Pastor*, and Jean G. Riess
Laboratoire de Chimie Moléculaire, associé au CNRS, URA 426,
Université de Nice-Sophia Antipolis, Faculté des Sciences, 06108 Nice Cedex 2, France.



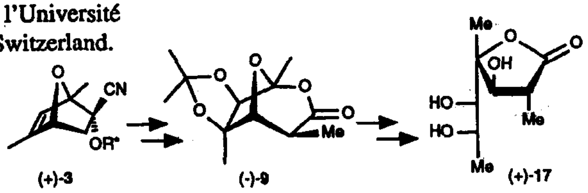
Stereoselective preparation of Z and E 3-*F*-alkyl 2-propenoates and their epoxidation with retention of stereochemistry.

Tetrahedron Lett. **1993**, *34*, 2473

TOTAL ASYMMETRIC SYNTHESIS OF POLYPROPIONATE FRAGMENTS AND DOUBLY BRANCHED HEPTONO-1,4-LACTONES.

Philippe Kernen, Pierre Vogel*, Section de chimie de l'Université de Lausanne, 2, rue de la Barre, CH 1005 Lausanne, Switzerland.

2,4-Dimethylfuran was converted to optically pure 1,5-dimethyl-7-oxabicyclo[2.2.1]hept-5-en-2-yl systems that can be transformed into doubly branched heptose derivatives.

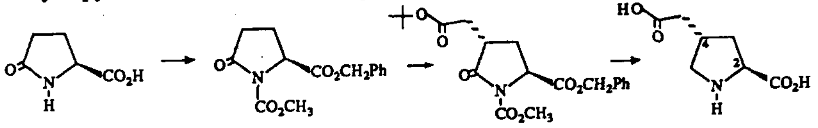


Tetrahedron Lett. **1993**, *34*, 2477

Synthesis of (2*S*,4*S*) 2-Carboxy-4-Pyrrolidine Acetic Acid, a Conformationally Constrained 2-Amino Adipic Acid Analogue

Nicole Langlois* and Anne Rojas
Institut de Chimie des Substances Naturelles, C.N.R.S., 91198 Gif-sur-Yvette, France

(2*S*,4*S*) 2-carboxy-4-pyrrolidine acetic acid was synthesized from (*S*)pyroglutamic acid in 26% overall yield.

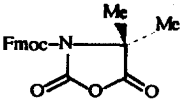


Tetrahedron Lett. **1993**, *34*, 2481

Z-Gln(Trt)-Aib-Aib-Aib-OMe Synthesis Using UNCA and BOP/PyBroP Coupling Methods

C. AUVIN-GUETTE[a], E. FREROT[b], J. COSTE[b], S. REBUFFAT[a], P. JOUIN[b] and B. BODO[a]
[a] Laboratoire de Chimie, URA 401 CNRS, GR 964 CNRS, Muséum National d'Histoire Naturelle, 63 rue Buffon, 75231 Paris Cedex 05, FRANCE.
[b] Centre CNRS-INSERM de Pharmacologie-Endocrinologie, rue de la Cardonille, 34094 Montpellier Cedex 05, FRANCE.

The combined use of BOP/PyBroP and UNCA methods is most suitable for the difficult coupling of $C_{\alpha,\alpha}$ dialkylated amino acids, such as Aib.

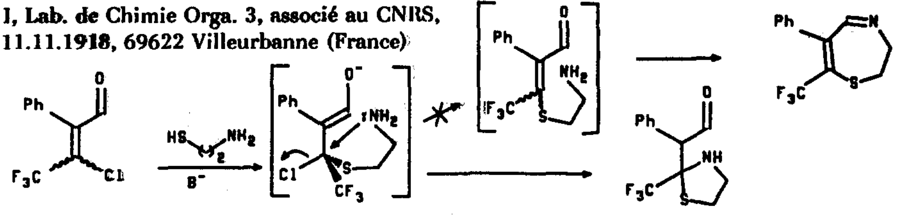


Fmoc-Aib-NCA

Tetrahedron Lett. **1993**, *34*, 2483

Trifluoromethyl Substitution Affects the Regiochemistry of Cycliaing Condensation in 1,4 Substitution Processes

G. Alvernhe, A. Laurent, I. Le Dréan and A. Selmi
UCB-Lyon I, Lab. de Chimie Orga. 3, associé au CNRS,
43, Bd du 11.11.1918, 69622 Villeurbanne (France)

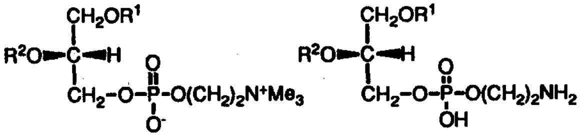


Tetrahedron Lett. **1993**, *34*, 2487

REGIOSPECIFIC DEACYLATION OF GLYCEROPHOSPHOLIPIDS BY USE OF *MUCOR JAVANICUS* LIPASE

Takashi Morimoto, Nobutoshi Murakami, Akito Nagatsu, and Jinsaku Sakakibara*
Faculty of Pharmaceutical Sciences, Nagoya City University, Tanabe-dori, Mizuho-ku, Nagoya 467, Japan

Lipase from *Mucor javanicus* catalyzed deacylation of phosphatidylcholine(PC,**1**) and phosphatidylethanolamine (PE,**2**) in boric acid-borax buffer regiospecifically to furnish *sn*-1 lysoPC(**1a**) and *sn*-1 lysoPE(**2a**) quantitatively.



1 : PC (R^1=R^2=acyl residue)
1a : R^1=H, R^2=acyl residue
1b : R^1=acyl residue, R^2=H

2 : PE (R^1=R^2=acyl residue)
2a : R^1=H, R^2=acyl residue
2b : R^1=acyl residue, R^2=H

Tetrahedron Lett. **1993**, *34*, 2491

CHEMOSELECTIVE CONVERSION OF THIOESTERS TO ALDEHYDES: PALLADIUM-CATALYZED REDUCTION OF (Z)-1,3-BIS(ARYLTHIO)-2-ALKEN-1-ONES WITH *n*-Bu$_3$SnH

Hitoshi Kuniyasu, Akiya Ogawa, and Noboru Sonoda*
Department of Applied Chemistry, Faculty of Engineering, Osaka University, Suita, Osaka 565, Japan

Pd(PPh$_3$)$_4$-catalyzed reduction of thioesters **1** with *n*-Bu$_3$SnH affords the corresponding aldehydes in good yields.

Tetrahedron Lett. **1993**, *34*, 2495

Application of the Tetrabutylammonium Salt of an Amino Acid for Liquid Phase Peptide Synthesis: An Easy Way to Prepare Endothelin Antagonistic Linear Peptides via an Azide Method.

Toshio NAGASE,* Takehito FUKAMI, Yuko URAKAWA, Uno KUMAGAI and Kiyofumi ISHIKAWA, *New Drug Discovery Research Laboratories, Tsukuba Research Institute, Banyu Pharmaceutical Co., Ltd. Tsukuba Techno-Park Oho, 3 Okubo, Tsukuba 300-33, Japan*

The highly soluble tetrabutylammonium salt of an amino acid was allowed to directly react with a dipeptide derivative in an anhydrous dipolar aprotic solvent such as DMF via an azide method to afford the desired linear tripeptide derivative upon usual work-up procedures.

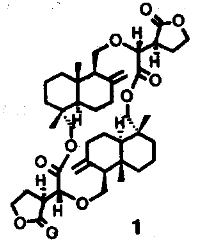
$$\text{Boc-Leu-D-Trp-N}_2\text{H}_3 \xrightarrow[\text{4) Et}_3\text{N},\ \ \text{5) 10\% aq. citric acid}]{\text{1) H}^+,\ \ \text{2)}{}^i\text{AmONO},\ \ \text{3) AA-ONBu}_4} \text{Boc-Leu-D-Trp-AA-OH}$$

Tetrahedron Lett. **1993**, *34*, 2497

ROSEOLIDE A, A NOVEL DIMERIC DRIMANE SESQUITERPENOID FROM THE BASIDIOMYCETE *ROSEOFORMES SUBFLEXIBILIS*

Shigeo Nozoe,* Tsutomu Agatsuma, Akira Takahashi, Hirobumi Ohishi,[a] Yasuko In,[a] and Genjiro Kusano,[a]
Faculty of Pharmaceutical Sciences, Aoba-ku, Sendai 980, Japan, and Osaka University of Pharmaceutical Sciences,[a] Kawai, Matsubara, Osaka 580, Japan

Roseolide A (1), a novel dimeric sesquiterpenoid containing drimane skeletons, has been isolated from the wood-rotting fungus *Roseoformes subflexibilis* (Berk. et Curt.) Aoshi. The chemical structure was elucidated on the basis of 2D NMR spectroscopy, chemical transformation to a known compound, and X-ray crystallographic analysis.



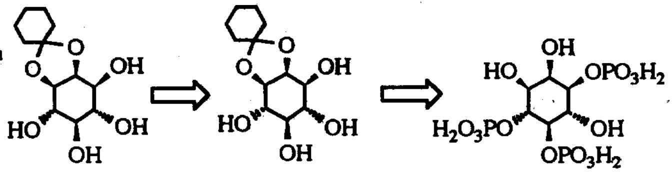
1

Tetrahedron Lett. **1993**, *34*, 2501

ENZYME AIDED SYNTHESIS OF D-*MYO*-INOSITOL 1,4,5-TRIPHOSPHATE

Lei Ling and Shoichiro Ozaki
Department of Applied Chemistry, Faculty of Engineering,Ehime University, Matsuyama 790, Japan

Racemic 2,3-mono-O-cyclohexylidene-*myo*-inositol(1) was resolved by enzymatic esterification and D-*myo*-inositol 1,4,5-trisphosphate (13) has been effectively synthesized from 3.

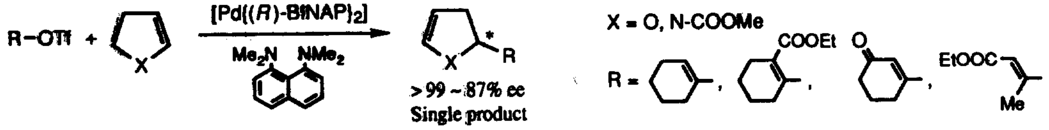


d l-1 3 13 D-*myo*-inositol 1,4,5-trisphosphate

Tetrahedron Lett. **1993**, *34*, 2505

PALLADIUM-CATALYZED ASYMMETRIC ALKENYLATION OF CYCLIC OLEFINS.

Fumiyuki Ozawa,* Yasuhiro Kobatake, and Tamio Hayashi*
Catalysis Research Center and Graduate School of Pharmaceutical Sciences, Hokkaido University, Sapporo 060, Japan

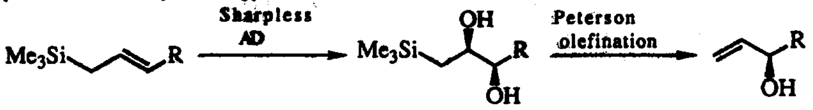


Palladium-catalyzed asymmetric alkenylation of cyclic olefins with alkenyl triflates proceeded in high enantioselectivity.

Tetrahedron Lett. **1993**, *34*, 2509

Synthesis of Optically Active Secondary Allylic Alcohols from Allylsilanes via Successive Asymmetric Dihydroxylation (AD) and Peterson Olefination Reactions

Sentaro Okamoto,† Kousuke Tani,† Fumie Sato,*† K. Barry Sharpless,*‡ and Davit Zargarian‡
†Department of Biomolecular Engineering, Tokyo Institute of Technology, Meguro, Tokyo 152, Japan, and ‡Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA

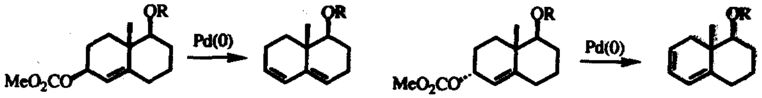


Tetrahedron Lett. **1993**, *34*, 2513

Highly Active Pd(0) Catalyst from Pd(OAc)$_2$-Bu$_3$P Combination in Untapped 1:1 Ratio: Preparation, Reactivity, and ^{31}P-NMR

T. Mandai,* T. Matsumoto, J. Tsuji*, Department of Applied Chemistry, Okayama University of Science, Ridai-cho, Okayama 700, Japan, S. Saito*, Department of Applied Chemistry, Faculty of Engineering, Okayama University, Tsushima, Okayama 700, Japan

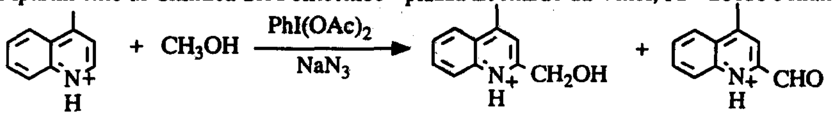
Reaction of Pd(OAc)$_2$ with Bu$_3$P(1:1) in benzene or THF afforded extraordinarily active zerovalent palladium species, the nature of which has been discussed on the basis of the significant difference in catalytic reactivity and ^{31}P-NMR features between such a catalyst and one prepared from Pd$_2$(dba)$_3$ and excess Bu$_3$P.



Tetrahedron Lett. **1993**, *34*, 2517

A NOVEL AND MILD SOURCE OF CARBON-CENTERED RADICALS BY IODOSOBENZENE DIACETATE (IBDA) AND SODIUM AZIDE FROM ALCOHOLS, ETHERS, ALDEHYDES, AMIDES AND ALKYL IODIDES.

Francesca Fontana,* Francesco Minisci,* Yong Ming Yan, Lihua Zhao
Dipartimento di Chimica del Politecnico - piazza Leonardo da Vinci, 32 - 20133 Milano - Italy



Tetrahedron Lett. **1993**, *34*, 2521

AN EFFICIENT AND MILD CLEAVAGE OF THIOL ACETATE WITH CLAYFEN IN THE ABSENCE OF SOLVENT

Harshadas M. Meshram
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The disulphides are synthesised through the mild cleavage of thiol acetate with clayfen in the absence of solvent.

$$2\ \mathrm{R{-}S{-}\underset{\underset{O}{\|}}{C}{-}CH_3} \xrightarrow{\text{Clayfen}} \mathrm{R{-}S{-}S{-}R}$$

Tetrahedron Lett. **1993**, *34*, 2523

A CATALYTIC SYSTEM FOR ALLYLIC ACETOXYLATION CONSISTING OF PALLADIUM(II) AND NITRATE AND USING OXYGEN AS FINAL OXIDANT.

E. Magnus Larsson* and Björn Åkermark*
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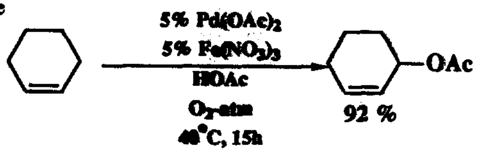
Cyclohexene is oxidized to cyclohexenyl acetate by palladium acetate in acetic acid using nitrate and oxygen as reoxidation system for palladium. Addition of chloride or acetate are negative for the yield while addition of acetic anhydride will give a more reproducible reaction. A mechanism for the reoxidation, including a palladium nitro-nitrosyl redox couple is suggested.



Tetrahedron Lett. 1993, *34*, 2527

AN EXPEDIENT STEREOSELECTIVE SYNTHESIS OF GLUCONOLACTAM

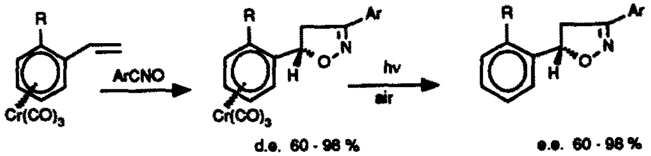
Herman S. Overkleeft, Jim van Wiltenburg and Upendra K. Pandit
Organic Chemistry Laboratory, University of Amsterdam,
Nieuwe Achtergracht 129, 1018 WS Amsterdam, The Netherlands.

Gluconolactam is synthesised in four easy steps from tetrabenzylgluconolactone.

Tetrahedron Lett. 1993, *34*, 2529

TRICARBONYLCHROMIUM COMPLEXATION AS STEREOSELECTIVE TOOL IN NITRILE OXIDE CYCLOADDITIONS TO STYRENES.

Clara Baldoli, Paola Del Buttero, Stefano Maiorana*, Gaetano Zecchi* and Massimo Moret.
Dipartimento di Chimica Organica e Industriale - Istituto di Chimica Strutturistica Inorganica dell'Universita'. Via G. Venezian, 21. - 20133 MILANO - Italy.



The cycloaddition of 3,5 - dichloro - 2,4,6 - trimethylbenzonitrile oxide to optically pure tricarbonylchromium complexed styrenes proceeds with high stereoselectivity.

Tetrahedron Lett. 1993, *34*, 2533

LYSINE-SANDWICHED IONOPHORES

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Indian Institute of Technology
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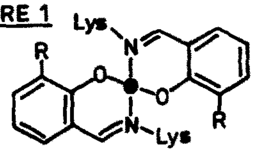
The lysine side chain is transformed, by insertion of pre-formed salicylaldehyde metal templates, to ionophores (Figure 1). They are hosts that assemble and organize to transport ions across layers and model biological membranes.

FIGURE 1

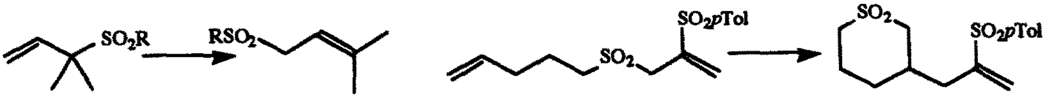


R = IONOPHORE ARM
● = Cu(II)/Ni(II)

Tetrahedron Lett. 1993, *34*, 2537

1,3-REARRANEMENT OF ALLYLIC SULPHONES: REARRANGEMENT-CYCLISATION OF ALLYLIC 4-PENTENYL SULPHONES.

Eifion D. Phillips and Gordon H. Whitham*, Dyson Perrins Laboratory, South Parks Road, OXFORD, OX1 3QY, UK



R=Me, Et, *i*Pr, *t*Bu, CH_2SiMe_3, $CH_2CH_2SiMe_3$, $CH_2CH(OH)Me$

Tetrahedron Lett. **1993**, *34*, 2541

FREE RADICAL REARRANGEMENT OF UNSATURATED SULPHONES INVOLVING AN INTRAMOLECULAR HYDROGEN ABSTRACTION

Eifion D. Phillips and Gordon H. Whitham*, Dyson Perrins Laboratory, South Parks Road, OXFORD, OX1 3QY, UK