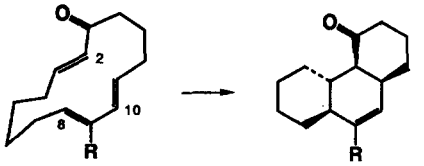
Tetrahedron Lett. **1993**, *34*, 4427

SYNTHESIS AND TRANSANNULAR DIELS-ALDER REACTIONS OF (E,E,E)-CYCLOTETRADECA-2,8,10-TRIENONES

W. R. Roush,* J. S. Warmus and A. B. Works,
Department of Chemistry
Indiana University, Bloomington, IN 47405

Syntheses and transannular Diels-Alder reactions of **4** and **5** are described.

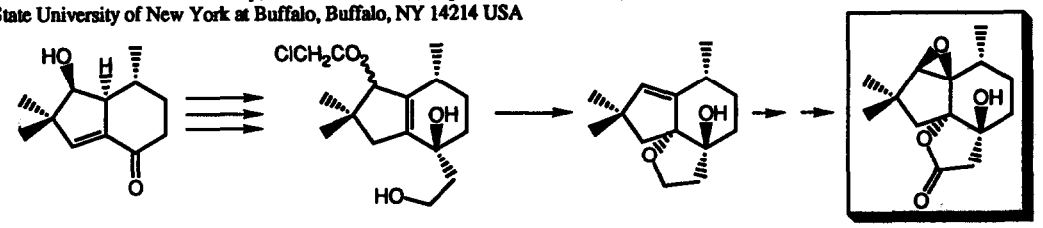


4, R = $SiMe_3$
5, R = H

6, R = $SiMe_3$
7, R = H

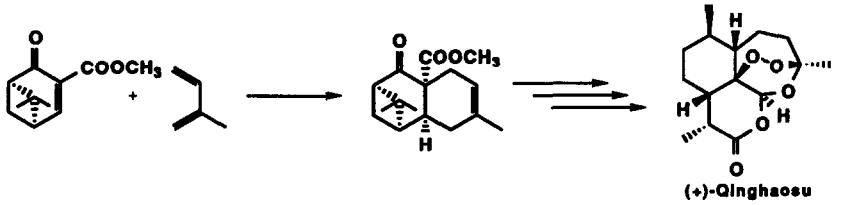
Tetrahedron Lett. **1993**, *34*, 4431

A STEREOSELECTIVE TOTAL SYNTHESIS OF ALLIACANE LACTONES. Peter T. Lansbury,* James J. La Clair, Department of Chemistry, State University of New York at Buffalo, Buffalo, NY 14214 USA



Tetrahedron Lett. **1993**, *34*, 4435

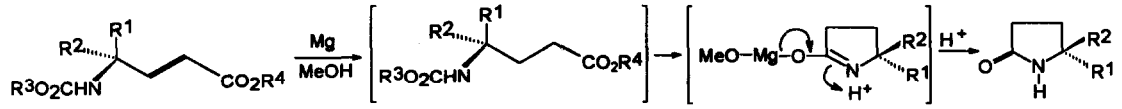
A TOTAL SYNTHESIS OF THE ANTIMALARIAL NATURAL PRODUCT (+)-QINGHAOSU. Hsing-Jang Liu*, Wen-Lung Yeh, and Sew Yeu Chew, Department of Chemistry, University of Alberta, Edmonton, Alberta, Canada T6G 2G2.



Tetrahedron Lett. **1993**, *34*, 4439

SYNTHESIS OF CHIRAL 5-SUBSTITUTED 2-PYRROLIDINONES: AN UNUSUAL ONE-STEP TRANSFORMATION. Zhong-Yong Wei and *Edward E. Knaus, Faculty of Pharmacy, University of Alberta, Edmonton, Alberta, Canada T6G 2N8

Chiral γ-lactams were prepared, starting from chiral N-alkoxycarbonyl γ-amino α,β-unsaturated carboxylates using a one-pot reaction with magnesium in methanol.

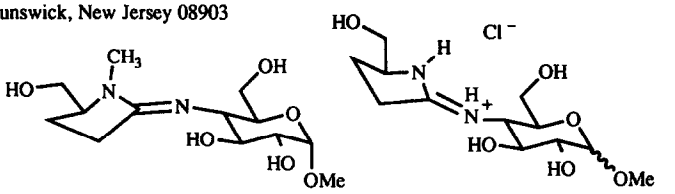


AMIDINE PSEUDODISACCHARIDES

Tetrahedron Lett. **1993**, *34*, 4443

Spencer Knapp*, Yun H. Choe, and Eileen Reilly
Department of Chemistry, Rutgers University, New Brunswick, New Jersey 08903

The synthesis of several aminoglucopyranose-based amidine pseudodisaccharides is described. They may serve as glycosidase inhibitors by virtue of structural similarities to both the reducing and non-reducing pyranose units involved in glycolysis.

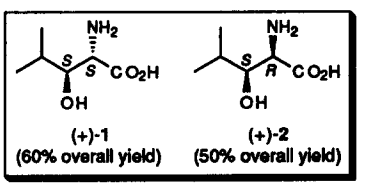


AN EFFICIENT ASYMMETRIC SYNTHESIS OF THE FOUR STEREOISOMERS OF 3-HYDROXYLEUCINE

Tetrahedron Lett. **1993**, *34*, 4447

Toshiaki Sunazuka, Tohru Nagamitsu, Haruo Tanaka, and Satoshi Ōmura*
Research Center for Biological Function, The Kitasato Institute, and School of Pharmaceutical Sciences, Kitasato University, Minato-ku, Tokyo 108, Japan
Paul A. Sprengeler and Amos B. Smith, III*
Department of Chemistry, Laboratory for Research on the Structure of Matter, and Monell Chemical Senses Center, University of Pennsylvania, Philadelphia, Pennsylvania 19104, U.S.A.

The four stereoisomers of 3-hydroxyleucine have been prepared. Key steps include Sharpless asymmetric epoxidation, benzyl isocyanate-induced epoxide opening, and epimerization of an intermediate oxazolidinone ester.

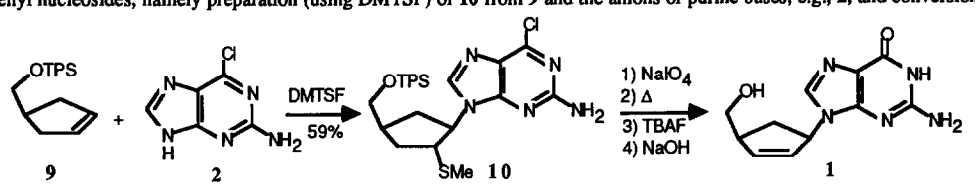


NEW EFFICIENT METHOD FOR THE SYNTHESIS OF THE ANTIVIRAL AGENT CARBOVIR

Tetrahedron Lett. **1993**, *34*, 4449

Michael E. Jung* & Hakjune Rhee, Department of Chemistry and Biochemistry, University of California, Los Angeles, California 90024

An efficient synthesis of (±)-carbovir **1** and simple des(hydroxymethyl) analogues, e.g., **5**, is reported that uses a new approach for making cycloalkenyl nucleosides, namely preparation (using DMTSF) of **10** from **9** and the anions of purine bases, e.g., **2**, and conversion into **1**.

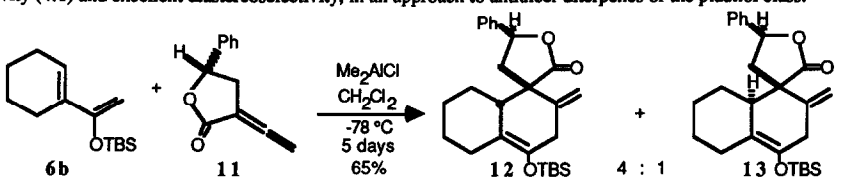


DIASTEREOCONTROL IN INTERMOLECULAR DIELS-ALDER REACTIONS OF ALLENIC LACTONES: SYNTHETIC APPROACH TO THE PLAUNOLS

Tetrahedron Lett. **1993**, *34*, 4453

Michael E. Jung* Craig N. Zimmerman, Gregory T. Lowen, and Saeed I. Khan, Department of Chemistry and Biochemistry, UCLA

Diels-Alder cycloaddition of the allenic lactone **11** with the 1-[(silyloxy)vinyl]cyclohexene **6b** produces the desired cycloadduct **12** with good endo selectivity (4:1) and excellent diastereoselectivity, in an approach to antiulcer diterpenes of the plaunol class.



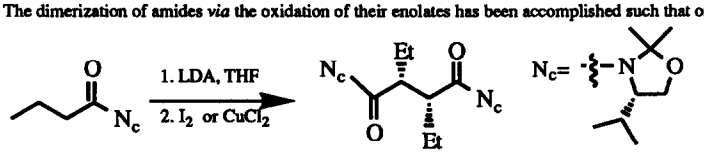
Tetrahedron Lett. **1993**, *34*, 4457

THE STEREOSELECTIVE SYNTHESIS OF SUCCINAMIDE DERIVATIVES *VIA* ENOLATE OXIDATIVE COUPLING.

Ned A. Porter*, Qi Su, Jill J. Harp, Ian J. Rosenstein, and Andrew T. McPhail

Department of Chemistry, Duke University, Durham, NC, 27708

The dimerization of amides *via* the oxidation of their enolates has been accomplished such that one isomer forms predominately.



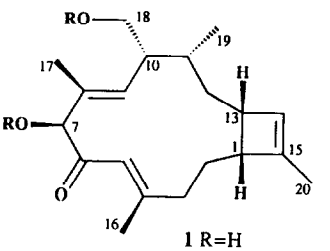
major isomer

Tetrahedron Lett. **1993**, *34*, 4461

BERSHACOLONE, AN UNPRECEDENTED DITERPENE CYCLOBUTENE FROM *Maprounea africana*

Matthew W. Bernart, Yoel Kashman, Mark Tischler, John H. Cardellina II and Michael R. Boyd*
Laboratory of Drug Discovery Research and Development, Developmental Therapeutics Program, Division of Cancer Treatment, National Cancer Institute, Building 1052, Room 121, Frederick, MD 21702-1201, USA

The organic extract of *Maprounea africana* was found to contain bershacolone (1), which was defined by spectral methods as a unique diterpene containing a cyclobutene ring within a novel carbon skeleton.



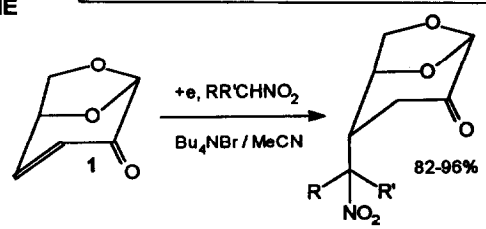
1 R=H

Tetrahedron Lett. **1993**, *34*, 4465

CATHODICALLY PROMOTED HIGHLY SELECTIVE MICHAEL ADDITION OF NITRO COMPOUNDS TO LEVOGLUCOSENONE

Andrei L. Laikhter, Murat E. Niyazymbetov, Dennis H. Evans*
Department of Chemistry and Biochemistry, University of Delaware, Newark, DE 19716, U. S. A.
Aleksandr V. Samet, Viktor V. Semenov*
N.D.Zelinsky Institute of Organic Chemistry, Leninsky Prospekt 47, 117913 Moscow, Russia

Regioselective Michael addition of nitrocompounds to levoglucosenone (1) is effectively catalyzed by cathodic electrolysis under mild conditions.



Tetrahedron Lett. **1993**, *34*, 4469

Dimethyl(methylthio)sulfonium Tetrafluoroborate: A Reagent for Disulfide Bond Formation in Peptides

Patricia Bishop, Cory Jones, Jean Chmielewski*, Department of Chemistry, Purdue University, West Lafayette, IN 47907

NH_2-Ala-Cys(Acm)-Gly-Asn-Leu-Ser-Thr-Cys(Acm)-Met-Ala-OH
(4)

1. $(CH_3)_2S^+$-SCH_3 BF_4^- **(1)**
2. direct purification by HPLC

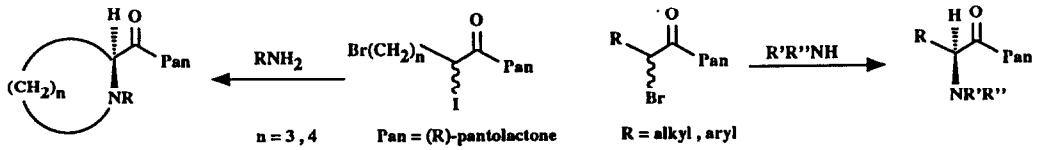
NH_2-Ala-Cys-Gly-Asn-Leu-Ser-Thr-Cys-Met-Ala-OH **(5)**

Direct Deprotection and Disulfide Bond Formation with a Calcitonin Analog.

Tetrahedron Lett. **1993**, *34*, 4473

Reaction of (R)-pantolactone esters of alpha-bromoacids with amines. A remarkable synthesis of optically active alpha-amino esters.

Kevin Koh, Robert N. Ben and Tony Durst*
Ottawa-Carlton Chemistry Institute, Department of Chemistry, University of Ottawa, Ottawa, Ontario, Canada. K1N 6N5

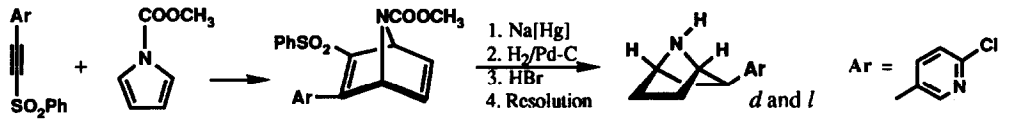


Tetrahedron Lett. **1993**, *34*, 4477

A VERSATILE TOTAL SYNTHESIS OF EPIBATIDINE AND ANALOGS.

Dao Fei Huang and T. Y. Shen*, Department of Chemistry, University of Virginia, Charlottesville, VA 22901 USA

A racemic mixture of epibatidine, the first alkaloid possessing a 7-azanorbornane structure and a highly potent non-opioid analgesic, has been synthesized *via* a versatile four-step synthetic route and resolved to two enantiomers.

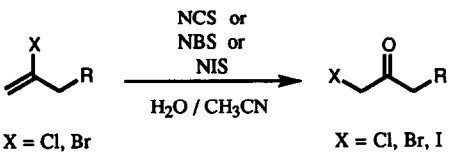


Tetrahedron Lett. **1993**, *34*, 4481

SYNTHESIS OF α-HALOMETHYL KETONES: OXIDATIVE HYDROLYSIS OF VINYL HALIDES.

Howard E. Morton* and M. Robert Leanna
Process Research, Department 45L / AP10
Pharmaceutical Products Division
Abbott Laboratories, One Abbott Park Road
Abbott Park, IL 60064-3500

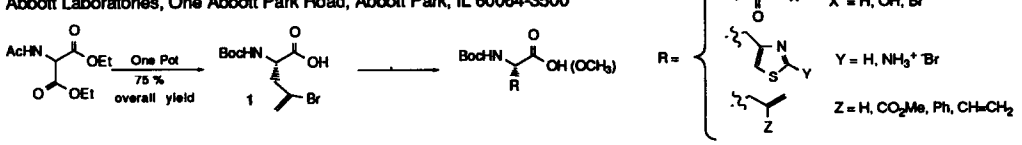
Oxidative hydrolysis (e.g. aqueous NBS) of various vinyl halides affords the corresponding α-halomethyl ketones in good yield and purity.



Tetrahedron Lett. **1993**, *34*, 4485

N-(BOC)-L-(2-BROMOALLYL)-GLYCINE: A VERSATILE INTERMEDIATE FOR THE SYNTHESIS OF OPTICALLY ACTIVE UNNATURAL AMINO ACIDS

M. Robert Leanna* and Howard E. Morton
Process Chemistry, Department 45L / AP10, Pharmaceutical Products Division,
Abbott Laboratories, One Abbott Park Road, Abbott Park, IL 60064-3500

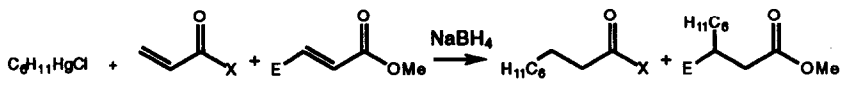


Tetrahedron Lett. **1993**, *34*, 4489

Rate Constants for the Additions of Cyclohexyl Radicals to Acrylamides, Imides and Sulfonimides

Dennis P. Curran* and Hongyan Qi
Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260, USA
Ned A. Porter*, Qi Su, Wen-Xue Wu
Department of Chemistry, Duke University, Durham, NC 27706, USA

Competition experiments are used to provide estimated rate constants for the addition of cyclohexyl radical to a series of acrylamides, imides and sulfonimides.

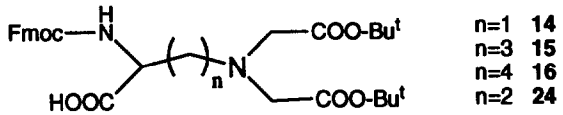


Tetrahedron Lett. **1993**, *34*, 4493

METAL CHELATING AMINO ACIDS IN THE DESIGN OF PEPTIDES AND PROTEINS. SYNTHESIS OF N$^{\alpha}$-Fmoc/Bu^{t} PROTECTED AMINO ACIDS INCORPORATING AMINODIACETIC ACID MOIETY.

Wieslaw M. Kazmierski.
Department of Pharmacognosy, University of Rhode Island, Kingston, RI 02881, USA

The synthesis of Fmoc/Bu^{t} protected amino acids chelators **14**, **15**, **16** and **24** is described.

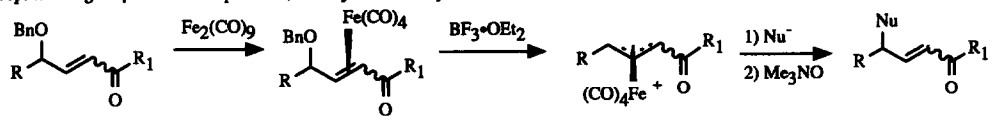


Tetrahedron Lett. **1993**, *34*, 4497

IRON CARBONYL-MEDIATED HOMOLOGOUS MICHAEL REACTIONS OF γ-ALKOXY ALKENONES

Tianhao Zhou and James R. Green*
Department of Chemistry and Biochemistry, University of Windsor, Windsor, Ontario, N9B 3P4, CANADA

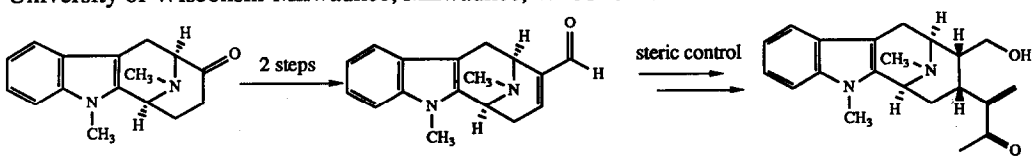
Iron tetracarbonyl complexes of γ-benzyloxy-α,β-unsaturated ketones give a stereospecific, Lewis acid mediated reaction with nucleophiles to give γ-substitution products, via allyltetracarbonyliron cation intermediates.



Tetrahedron Lett. **1993**, *34*, 4501

GENERAL APPROACH FOR THE SYNTHESIS OF MACROLINE/SARPAGINE ALKALOIDS. THE TOTAL SYNTHESIS OF (+)-MACROLINE.

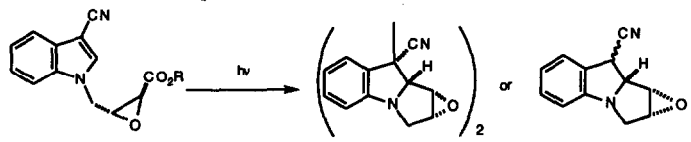
Yingzhi Bi and James M. Cook, Department of Chemistry, University of Wisconsin-Milwaukee, Milwaukee, WI 53201 USA



A Route to Chiral Epoxypyrroloindoles via Oxiranyl Radical Cyclization Frederick E. Ziegler* and Patrick G. Harran, *Sterling Chemistry Laboratory, Yale University, New Haven, CT 06511-8118 USA*

Tetrahedron Lett. **1993**, *34*, 4505

The generation of an oxiranyl radical has been achieved from a glycidic acid via the Barton thiohydroxamic acid anhydride procedure. The radical is capable of cyclization to generate tetracyclic dihyroindole dimers and monomers.



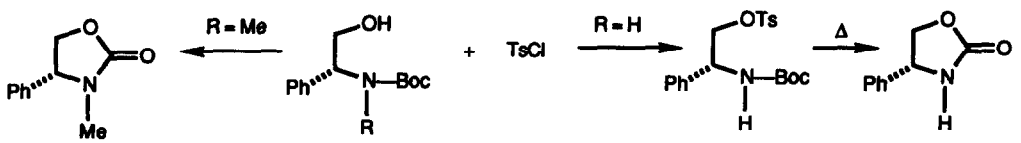
CHIRAL OXAZOLIDINONES FROM N-BOC DERIVATIVES OF β-AMINO ALCOHOLS. EFFECT OF A N-METHYL SUBSTITUENT ON REACTIVITY AND STEREOSELECTIVITY

C. AGAMI,* F. COUTY, L. HAMON and O. VENIER

Laboratoire de Chimie Organique Associé au CNRS, Université P. et M. Curie, 4 place Jussieu, 75005 Paris, France.

Tetrahedron Lett. **1993**, *34*, 4509

Rate enhancements by alkyl substitutions on ring-closure reactions similar to the following are studied experimentally and by means of AM1 calculations :



TWO SYNTHESES OF 2,4,6-TRIDEOXY-4-METHYLTHIO-D-*RIBO*-PYRANOSE

François-Yves Dupradeau, Sophie Allaire, Jacques Prandi and Jean-Marie Beau

Université d'Orléans, Laboratoire de Biochimie Structurale, CNRS 499 BP 6759, 45067 Orléans Cedex 2, France

Tetrahedron Lett. **1993**, *34*, 4513

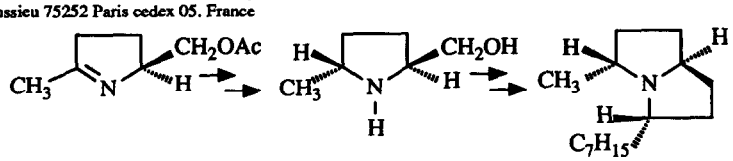
A NEW SYNTHESIS OF ANT VENOM ALKALOID : (3S,5R,8S)-3-HEPTYL-5-METHYLPYRROLIZIDINE

C. Grandjean, S. Rosset, J.P. Célérier, and G. Lhommet*

Université Pierre et Marie Curie. Laboratoire de Chimie des Hétérocycles, associé au CNRS. 4 Place Jussieu 75252 Paris cedex 05. France

Tetrahedron Lett. **1993**, *34*, 4517

A highly enantioselective synthesis of (3S,5R,8S)-3-heptyl-5-methyl-pyrrolizidine is described using (S)-pyroglutamic as starting material

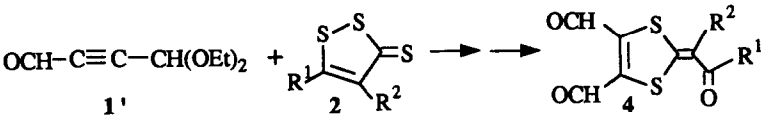


Tetrahedron Lett. **1993**, *34*, 4519

CYCLOADDITION OF 3-THIOXO-1,2-DITHIOLES ONTO ACETYLENEDICARBALDEHYDE AND ITS MONO-DIETHYL ACETAL: READY ACCESS TO SYNTHETIC INTERMEDIATES IN THE TETRATHIAFULVALENE (TTF) SERIES

Pierre Frère,[a] Ahmed Belyasmine,[a] Alain Gorgues,[a*] Guy Duguay,[b] Kamal Boubekeur,[c] and Patrick Batail[c]

[a]LCOFA, Université d'Angers, 2 Bd Lavoisier, 49045 Angers, France. [b]LSO, Université de Nantes, 2 rue de la Houssinière, 44072 Nantes, France. [c]Lab. de Physique des Solides, Université de Paris-Sud, Bât. 510, 91405 Orsay, France.



A short preparation of compounds **4** (from **1'** and **2**) and their structural features (δ-*cis* conformation of the $=CR^2-CR^1=O$ moiety) are presented.

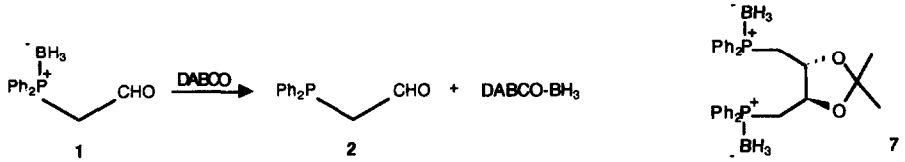
Tetrahedron Lett. **1993**, *34*, 4523

PHOSPHINE-BORANE COMPLEXES; DIRECT USE IN ASYMMETRIC CATALYSIS.

Hugues Brisset, Yann Gourdel, Pascal Pellon and Maurice Le Corre*

Laboratoire de Synthèse Organique, Associé au CNRS. Université de Rennes I, Avenue du Général Leclerc, 35042 Rennes , France.

Easy decomplexation of phosphine-borane complexes has been shown by obtention of diphenylphosphinoacetaldehyde 2 and by in situ using of DIOP-borane complex 7 in asymmetric catalytic reaction.

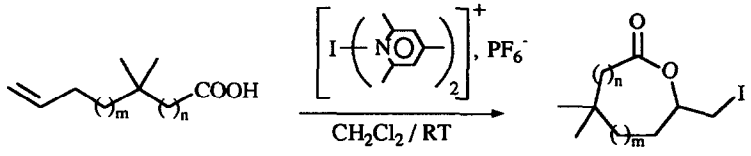


Tetrahedron Lett. **1993**, *34*, 4527

Gem-Dimethyl Effect in the formation of Seven to Eleven-membered Ring Lactones by Iodolactonisation

Bruno Simonot and Gérard Rousseau *

Laboratoire des Carbocycles, ICMO, Bât. 420, Université de Paris-Sud, 91405 Orsay (FRANCE)



ring sizes: 7 to 11

Yields: 19-81%

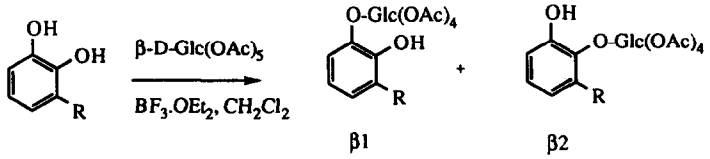
Tetrahedron Lett. **1993**, *34*, 4531

Direct Synthesis of Mono-Glycosylated Catechols from Glycosylacetates or Imidates Using $BF_3.OEt_2$ as Catalyst

Stéphane Mabic, Claude Benezra† and Jean-Pierre Lepoittevin*

Laboratoire de Dermatochimie associé au CNRS, Université Louis Pasteur, Clinique Dermatologique, CHU, F-67091 Strasbourg, France.

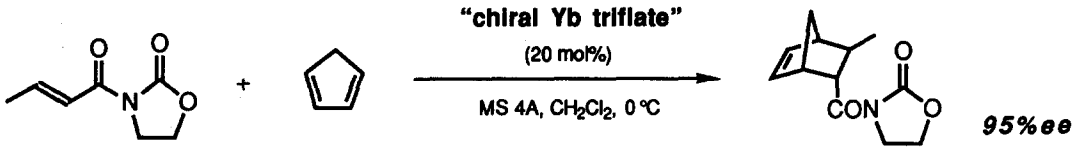
The coupling of 3-n-alkylcatechols to the acetate or trichloroacetoimidate derivatives of β-D- or α-D- sugars (glucose, galactose, xylose, mannose and maltose) catalysed by $BF_3.OEt_2$ is reported.



Tetrahedron Lett. **1993**, *34*, 4535

Asymmetric Diels-Alder Reaction Catalyzed by a Chiral Ytterbium Trifluoromethanesulfonate

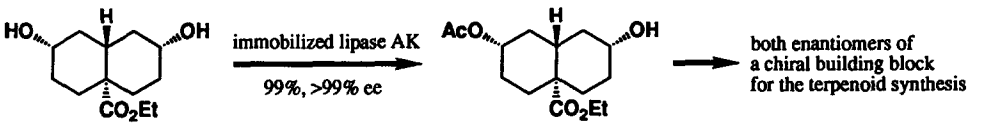
Shū KOBAYASHI *, Iwao HACHIYA, Haruro ISHITANI, and Mitsuharu ARAKI
Department of Applied Chemistry, Faculty of Science, Science University of Tokyo (SUT), Kagurazaka, Shinjuku-ku, Tokyo 162



Tetrahedron Lett. **1993**, *34*, 4539

RING DIFFERENTIATION OF THE *TRANS*-DECAHYDRONAPHTHALENE SYSTEM *VIA* CHEMO-ENZYMATIC DISSYMMETRIZATION OF ITS σ-SYMMETRIC GLYCOL: SYNTHESIS OF A HIGHLY FUNCTIONALIZED CHIRAL BUILDING BLOCK FOR THE TERPENE SYNTHESIS

Naoki Toyooka, Akira Nishino, and Takefumi Momose*
Faculty of Pharmaceutical Sciences, Toyama Medical and Pharmaceutical University, Sugitani 2630, Toyama 930-01, Japan



Tetrahedron Lett. **1993**, *34*, 4541

AN EFFICIENT DESULFONYLATION METHOD MEDIATED BY MAGNESIUM IN ETHANOL

Ge Hyeong Lee, Eun Bok Choi, Eun Lee*†, and Chwang Siek Pak*
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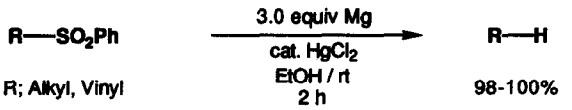
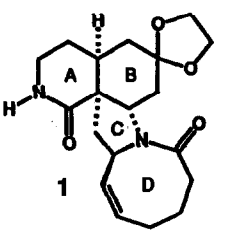
Reactions of alkyl and vinyl phenyl sulfones with magnesium in ethanol give the corresponding alkanes and alkenes.



Tetrahedron Lett. **1993**, *34*, 4543

DIHYDROPYRIDINONE APPROACH TO MANZAMINES: AN EXPEDIENT CONSTRUCTION OF THE TETRACYCLIC CORE OF MANZAMINE A

M. Nakagawa*, Y. Torisawa, T. Hosaka, K. Tanabe, T. Da-te, K. Okamura, and Tohru Hino
Faculty of Pharmaceutical Sciences, Chiba University, Yayoi-Cho, Chiba-shi, 263 Japan and Organic Chemistry Research Laboratory, Tanabe Seyaku Co., Ltd., Kawagishi, Toda-shi, Saitama, 335, Japan

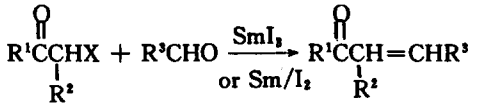


An expedient construction of the ABCD tetracyclic core (**1**) of manzamine A is described.

Tetrahedron Lett. **1993**, *34*, 4547

Carbon-Carbon Double Bond Formation Between α-Haloketones And Aldehydes Promoted By Samarium Triiodide

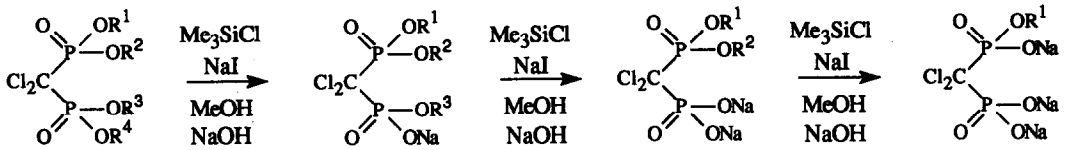
Yongping Yu, Ronghui Lin and Yongmin Zhang*
Department of Chemistry, Hangzhou University
Hangzhou, Zhejiang, 310028, China



Tetrahedron Lett. **1993**, *34*, 4551

BISPHOSPHONIC COMPOUNDS V. SELECTIVE PREPARATION OF (DICHLOROMETHYLENE)BISPHOSPHONATE PARTIAL ESTERS.

Jouko Vepsäläinen*[a], Heikki Nupponen[b] and Esko Pohjala[b]. [a]Univ. Kuopio, Dept. Chem., P.O. Box 1627, SF-70211 Kuopio, Finland. [b]Leiras Oy, P.O. Box 33, SF-33721 Tampere, Finland



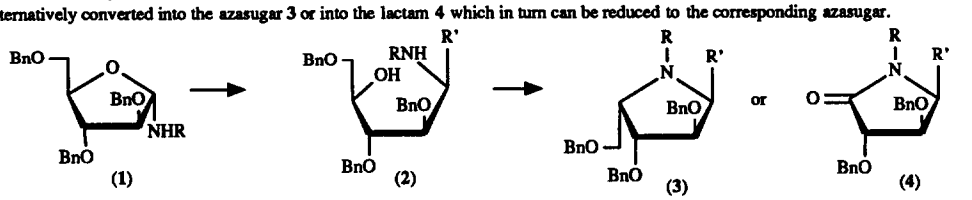
Tetrahedron Lett. **1993**, *34*, 4555

A NEW PROCEDURE FOR THE SYNTHESIS OF AZASUGARS

Luigi Lay, Francesco Nicotra*, Angelo Paganini, Cristina Pangrazio and Luigi Panza

Dipartimento di Chimica Organica e Industriale, Centro per lo Studio delle Sostanze Organiche Naturali del C.N.R., via Venezian 21, 20133 Milano, Italy

Reaction of N-benzyl-2,3,5-tri-O-benzyl-D-arabinosylamine with a Grignard reagent stereoselectively affords the aminoalditol 2 which can be alternatively converted into the azasugar 3 or into the lactam 4 which in turn can be reduced to the corresponding azasugar.

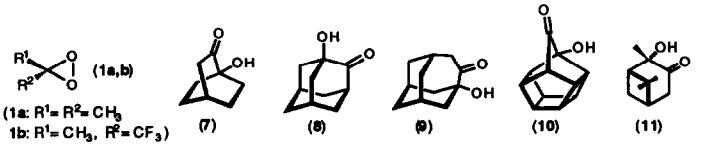


Tetrahedron Lett. **1993**, *34*, 4559

SELECTIVE OXIDATION OF TERTIARY-SECONDARY *VIC*-DIOLS TO α-HYDROXY KETONES BY DIOXIRANES.

Ruggero Curci,* Lucia D'Accolti, Antonia Detomaso, Caterina Fusco (*Centro CNR "M.I.S.O.", Dipartimento di Chimica, Università di Bari, I-70126 Bari, Italy*), and Ken'ichi Takeuchi,* Yasushi Ohga (*Department of Hydrocarbon Chemistry, Faculty of Engeeniring, Kyoto University, Sakyo-ku, Kyoto 606-01, Japan*), and Philip E. Eaton,* Yu Chi Yip (*Department of Chemistry, University of Chicago, 5735 S. Ellis Ave., Chicago, IL 60637, USA*).

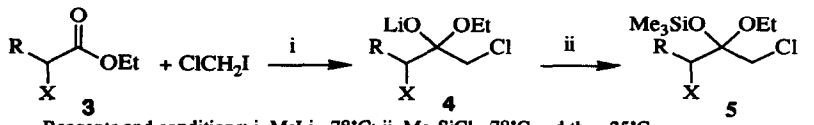
Synthesis of α-ketols **7-11** in high yield upon oxidation of the corresponding *vic*-diols using **1a** or **1b**.



Tetrahedron Lett. **1993**, *34*, 4563

THE FIRST DIASTEREOSELECTIVE ADDITION OF AN ORGANOLITHIUM COMPOUND TO α-HALOCARBOXYLIC ACID ESTERS

José Barluenga*, Bruno Pedregal, and José M. Concellón
Departamento de Química Organometálica, Facultad de Química, Universidad de Oviedo, 33071 Oviedo, Spain



Reagents and conditions: i, MeLi, -78°C; ii, Me_3SiCl, -78°C and then 25°C

Tetrahedron Lett. **1993**, *34*, 4565

A Convenient Route to Vicinally Substituted Cyclopentanones via Pinacol Type Rearrangement of Cyclobutanes

Subrata Ghosh* and Debasis Patra, Department of Organic Chemistry, Indian Association for the Cultivation of Science, Jadavpur, Calcutta-700 032, India.

A stereoselective route to vicinally substituted cyclopentanones has been developed.