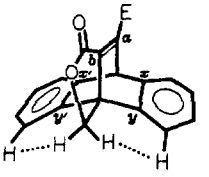
Tetrahedron Lett. **1992**, *33*, 1535

CONTROL OF REGIOSELECTIVITY THROUGH RELIEF OF STERIC CROWDING IN THE DI-π-METHANE PHOTOREARRANGEMENT OF 9,10-ETHENOANTHRACENE DERIVATIVES

Jianxin Chen, Phani Raj Pokkuluri, John R. Scheffer* and James Trotter*
Department of Chemistry, University of British Columbia, Vancouver, Canada

Relief of steric crowding appears to be the factor responsible for the regioselectivity of the di-π-methane photorearrangement of ethenoanthracene derivative 1 and related compounds.



1

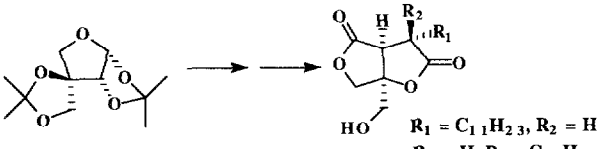
Tetrahedron Lett. **1992**, *33*, 1539

SYNTHESIS OF TWO RIGID DIACYLGLYCEROL ANALOGUES HAVING A BIS-BUTYROLACTONE SKELETON

Jeewoo Lee, Kelly Teng and Victor E. Marquez*

Laboratory of Medicinal Chemistry, Developmental Therapeutics Program, Division of Cancer Treatment, National Cancer Institute, NIH, Bethesda, MD 20892.

The stereoselective synthesis of two rigid diacylglycerol analogues starting from protected D-apio-L-furanose (apiose) is described. The construction of the desired bis-butyrolactone bicyclic structure was accomplished via an intramolecular radical cyclization.



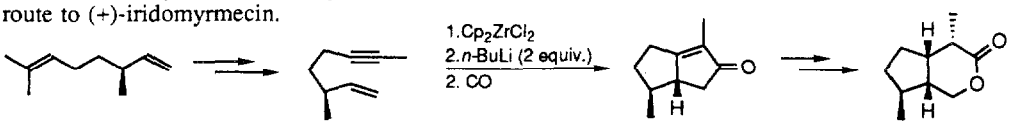
$R_1 = C_{11}H_{23}$, $R_2 = H$
$R_1 = H$, $R_2 = C_{11}H_{23}$

Tetrahedron Lett. **1992**, *33*, 1543

DIASTEREOSELECTIVE ZIRCONOCENE-PROMOTED BICYCLIZATION-CARBONYLATION OF ALLYLICALLY METHYL-SUBSTITUTED ENYNES. SYNTHESIS OF (+)-IRIDOMYRMECIN

Gilbert Agnel, Zbyslaw Owczarczyk, and Ei-ichi Negishi*
Department of Chemistry, Purdue University, West Lafayette, IN 47907, USA

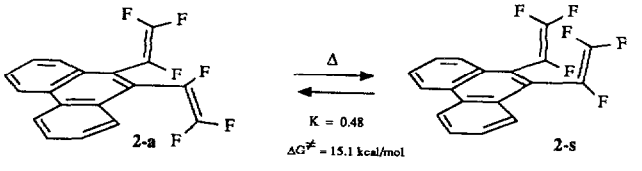
Zr-Promoted bicyclization of allylically Me-substituted enynes is >90% d.e., providing a stereoselective route to (+)-iridomyrmecin.



Tetrahedron Lett. **1992**, *33*, 1547

A NEW TYPE OF TORSIONAL STEREOISOMERISM

William R. Dolbier, Jr. and Keith W. Palmer
Department of Chemistry, University of Florida, Gainesville, FL 32611-2046



2-a **2-s**

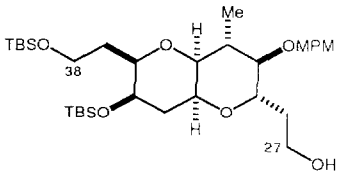
Tetrahedron Lett. **1992**, *33*, 1549

Synthetic Studies Towards Halichondrins: Synthesis of the C.27-C.38 Segment

Thomas D. Aicher, Keith R. Buszek, Francis G. Fang, Craig J. Forsyth, Sun Ho Jung, Yoshito Kishi*, Paul M. Scola

Department of Chemistry, Harvard University, Cambridge, Massachusetts 02138, U.S.A.

An efficient synthesis of the C.27-C.38 segment **12** of halichondrins is reported, using the Ireland-Claisen rearrangement, Ni(II)/Cr(II)-mediated coupling and Michael reactions as the key steps.



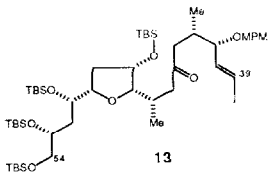
Tetrahedron Lett. **1992**, *33*, 1553

Synthetic Studies Towards Halichondrins: Synthesis of the Left Half of Halichondrins

Keith R. Buszek, Francis G. Fang, Craig J. Forsyth, Sung Ho Jung, Yoshito Kishi*, Paul M. Scola, Suk Kyoon Yoon

Department of Chemistry, Harvard University Cambridge, MA 02138 U.S.A.

An efficient synthesis of the left half **13** of halichondrins B and C is reported.



13

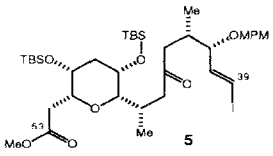
Tetrahedron Lett. **1992**, *33*, 1557

Synthetic Studies Towards Halichondrins: Synthesis of the Left Halves of Norhalichondrins and Homohalichondrins

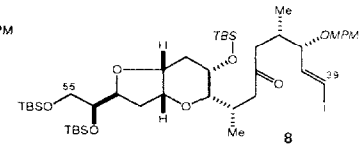
Francis G. Fang, Yoshito Kishi*, Michael C. Matelich, Paul M. Scola

Department of Chemistry
Harvard University
Cambridge, Massachusetts 02138, U.S.A.

Efficient syntheses of the left halves of norhalichondrins (**5**), and homohalichondrins (**8**), are reported.



5



8

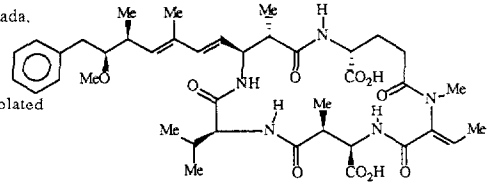
Tetrahedron Lett. **1992**, *33*, 1561

MOTUPORIN, A POTENT PROTEIN PHOSPHATASE INHIBITOR ISOLATED FROM THE PAPUA NEW GUINEA SPONGE *THEONELLA SWINHOEI* GRAY

E.D. de Silva[1], D.E. Williams[1], R.J. Andersen[1]*, H. Klix[2], C.F.B. Holmes[2]* and T.M. Allen[3]*.

[1] Dept. of Chemistry and Oceanography, UBC, Vancouver, B.C. Canada.
[2] Dept. of Biochemistry, U of Alberta, Edmonton, Alberta, Canada.
[3] *Dept. of Pharmacology, U. of Alberta, Edmonton, Alberta, Canada.*

Motuporin (1), a biologically active cyclic pentapeptide has been isolated from the sponge *Theonella swinhoei.*

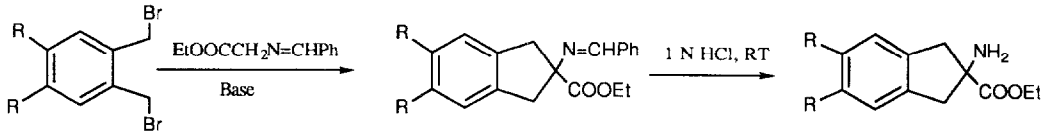


Tetrahedron Lett. **1992**, *33*, 1565

A Simple Method for the Synthesis of Cyclic α-Amino Acids

Sambasivarao Kotha and Atsuo Kuki
Department of Chemistry, Baker Laboratory, Cornell University
Ithaca, NY 14853-1301

The benzylidene derivative of glycine ethyl ester was alkylated with various electrophiles to synthesize cyclic α-amino acids bearing aromatic and aliphatic side chains.

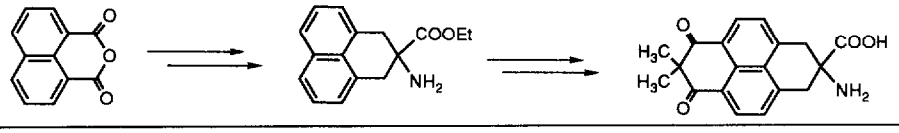


Tetrahedron Lett. **1992**, *33*, 1569

Friedel-Crafts Approach to Electron Deficient Cyclic α–Amino Acids

Sambasivarao Kotha, Demetrios Anglos, and Atsuo Kuki
Department of Chemistry, Baker Laboratory, Cornell University
Ithaca, NY 14853-1301

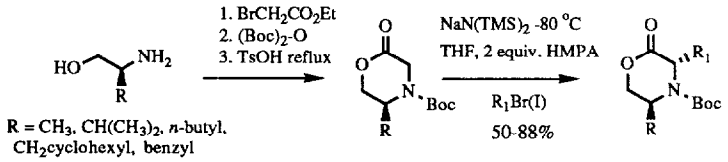
An electron deficient cyclic α-amino acid was synthesized in 7 steps using Friedel-Crafts acylation as the key step. A new recipe was found to deprotect the phthalimide group in hindered substrates.



Tetrahedron Lett. **1992**, *33*, 1573

SYNTHESIS AND STRUCTURE DETERMINATION OF (3S,5S)-2,3,5,6-TETRAHYDRO-3,5-DIALKYL-N-(*TERT*-BUTYLOXYCARBONYL)-4H-1,4-OXAZINE-2-ONES

William R. Baker,* Stephen L. Condon, and Stephen Spanton
Pharmaceutical Products Division, Abbott Laboratories, One Abbott Park Road, Abbott Park, IL 60064

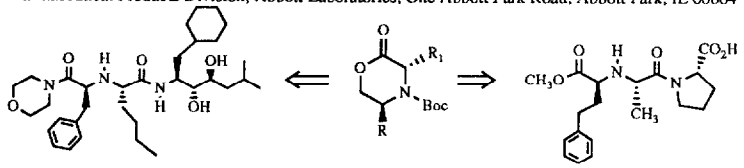


R = CH_3, $CH(CH_3)_2$, *n*-butyl, CH_2cyclohexyl, benzyl

Tetrahedron Lett. **1992**, *33*, 1577

SYNTHESIS OF THE NONPEPTIDE RENIN INHIBITOR A-68064 AND THE ACE INHIBITOR METHYL ENALAPRILAT FROM (5S)-2,3,5,6-TETRAHYDRO-5-ALKYL-N-(*TERT*-BUTYLOXYCARBONYL)-4H-1,4-OXAZINE-2-ONES

William R. Baker* and Stephen L. Condon
Pharmaceutical Products Division, Abbott Laboratories, One Abbott Park Road, Abbott Park, IL 60064

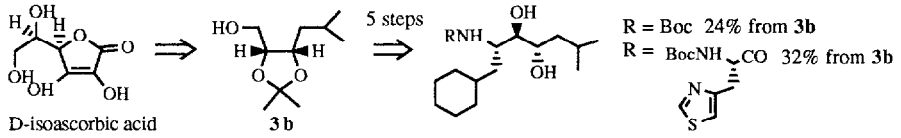


nonpeptide renin inhibitor, A-68064 — methyl enalaprilat

Tetrahedron Lett. **1992**, *33*, 1581

A PRACTICAL SYNTHESIS OF THE DIHYDROXYETHYLENE DIPEPTIDE ISOSTERE, (2S,3R,4S) 2-[(*TERT*-BUTYLOXYCARBONYL)AMINO]-1-CYCLOHEXYL-3,4-DIHYDROXY-6-METHYLHEPTANE, FROM D-ISOASCORBIC ACID

William R. Baker* and Stephen L. Condon
Pharmaceutical Products Division, Abbott Laboratories, One Abbott Park Road, Abbott Park, IL 60064



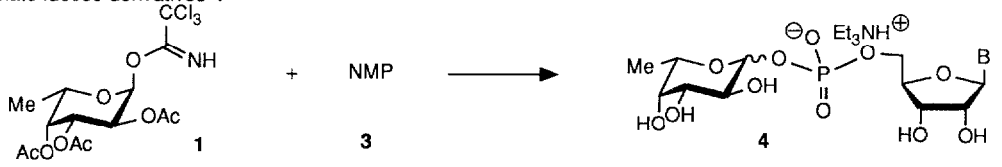
D-isoascorbic acid **3b**

Tetrahedron Lett. **1992**, *33*, 1585

DIRECT SYNTHESIS OF NUCLEOSIDE MONOPHOSPHATE SUGARS SYNTHESIS OF GMP-FUCOSE[1]

R. R. Schmidt, Heike Braun, and Karl-Heinz Jung
Fakultaet Chemie, Universitaet Konstanz, D-7750 Konstanz, Germany

α-L-Fucosyltrichloroacetimidate **1** furnishes with nucleoside monophosphates **3** (NMP) directly nucleoside monophosphate fucose derivatives **4**



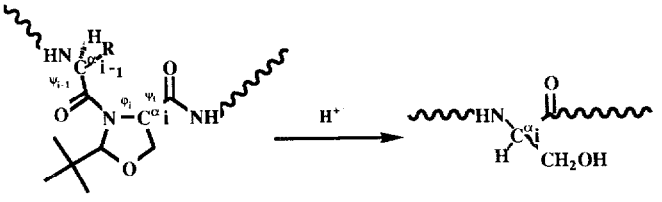
1 **3** **4**

Tetrahedron Lett. **1992**, *33*, 1589

SERINE DERIVED OXAZOLIDINES AS SECONDARY STRUCTURE DISRUPTING, SOLUBILIZING BUILDING BLOCKS IN PEPTIDE SYNTHESIS

Thomas Haack and Manfred Mutter*
Séction de Chimie, Université de Lausanne,
Rue de la Barre 2, CH - 1005 Lausanne (Switzerland)

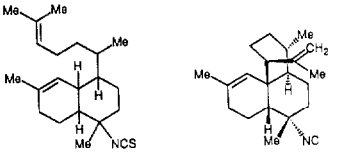
The use of serine - derived oxazolidines as 'pseudo - prolines in peptide synthesis



Tetrahedron Lett. **1992**, *33*, 1593

TWO NEW DITERPENE ISOCYANIDES FROM A SPONGE OF THE FAMILY *ADOCIDAE*

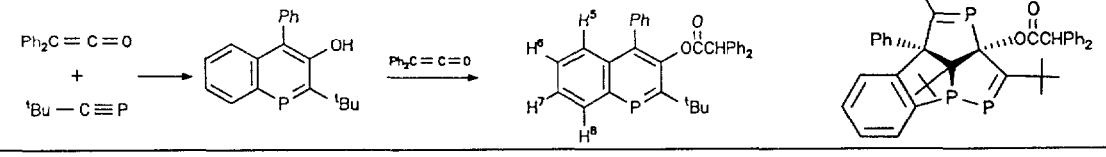
Hari A. Sharma, Jun-ichi Tanaka, and Tatsuo Higa,
Department of Marine Sciences, University of the Ryukyus,
Nishihara, Okinawa 903-01, Japan,
Anna Lithgow, PharmaMar Research Institution,
28086 Tres Cantos, Madrid, Spain
Gérald Bernardinelli and Charles W. Jefford,
Department of Organic Chemistry, Univesriy of Geneva,
1211 Geneva 4, Switzerland



Reaction of Diphenylketene with tert-Butylphosphaethyne - Formation of a 1-Phosphanaphthalene

Tetrahedron Lett. **1992**, *33*, 1597

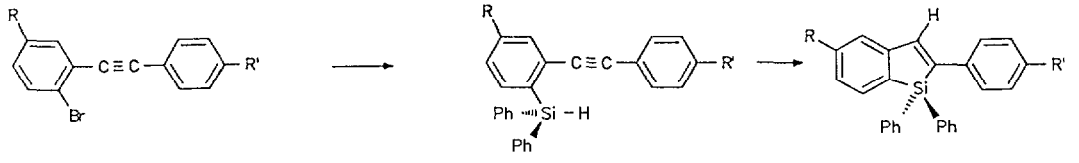
G. Märkl* und A. Kallmünzer
Institut für Organische Chemie der Universität Regensburg, Universitätsstr. 31, D-8400 Regensburg
H. Nöth und K. Pohlmann
Institut für Anorganische Chemie der Universität München, Meiserstr. D-8000 München



Silaindenes - a simple Synthesis

Tetrahedron Lett. **1992**, *33*, 1601

G. Märkl* und K.-P. Berr
Institut für Organische Chemie der Universität Regensburg,
Universitätsstr. 31 D-8400 Regensburg

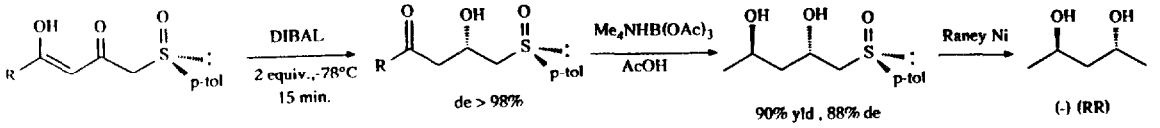


Asymmetric Synthesis of β-Hydroxyketones, Precursors of Chiral 1,3-Diols, from β, δ-Diketosulfoxides.

Tetrahedron Lett. **1992**, *33*, 1605

Guy Solladié* and Nasser Ghiatou

Ecole Européenne des Hautes Etudes des Industries Chimiques F-67008-Strasbourg, France

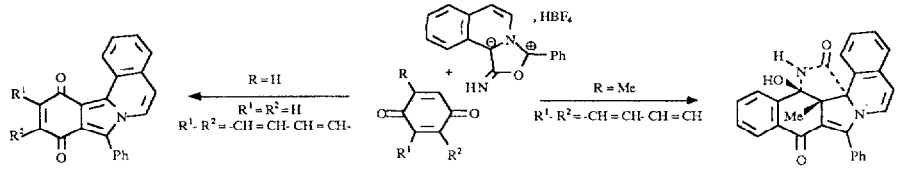


Tetrahedron Lett. **1992**, *33*, 1609

REACTION OF 2-BENZOYL-1,2-DIHYDROISOQUINALDONITRILE HYDROFLUOROBORATE SALT WITH SOME 1,4-QUINONES

Karin MONNIER, Gérard SCHMITT, Bernard LAUDE, Laboratoire de Chimie Organique, Univ.de Franche-Comté, 16 Route de Gray, 25030 Besançon (France).
François THEOBALD, Laboratoire de Chimie du Solide Cristallin, Université de Paris-Sud, 91405 Orsay (France) and
Noël RODIER, Laboratoire de Chimie Structurale, Faculté des Sciences Pharmaceutiques, Rue J.B. Clément, 92290 Chatenay Malabry (France).

1,3-dipolar cycloadditions of a Reissert salt with olefins in an acidic medium are pointed out for the first time.

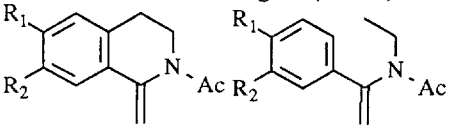


Tetrahedron Lett. **1992**, *33*, 1611

Study of the Reduction of Enamides with an NADH Model

Corine Leroy, Vincent Levacher, Georges Dupas, Jean Bourguignon and Guy Quéguiner
URA 1429 CNRS / Institut National des Sciences Appliquées BP 08 76131 Mont Saint Aignan (France)

The regioselectivity of the reduction of the cyclic enamide **2a** with an NADH model compound was established using a deuterated model. The geometry of the substrate seems to play a fundamental role since cyclic derivatives **2a** and **2d** were reduced and non cyclic derivatives **2b** and **2c** were not reduced. Electronic factors were also examined.



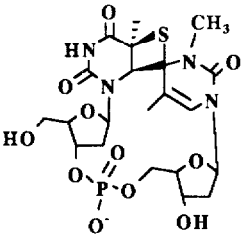
R_1=R_2= MeO: **2a** R_1=R_2=MeO: **2b**
R_1=R_2= H : **2d** R_1=R_2=H : **2c**

Tetrahedron Lett. **1992**, *33*, 1615

Novel Insight into the Stereochemical Pathway Leading to (6-4) Pyrimidine-Pyrimidone Photoproducts in DNA

Pascale Clivio, Jean-Louis Fourrey*, Jeannette Gasche and Alain Favre.
I. C.S.N., C.N.R.S., 91198 Gif sur Yvette Cedex, France.

Irradiation of dinucleoside phosphate **5** led to the formation of thietane **9** suggesting a new minor pathway to "6-4" lesions in DNA.



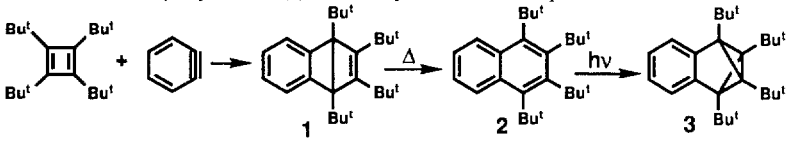
9

Tetrahedron Lett. **1992**, *33*, 1619

SYNTHESIS AND PHOTOREACTION OF 1,2,3,4-TETRA-t-BUTYL-NAPHTHALENE: A HIGHLY CROWDED NAPHTHALENE DERIVATIVE AND ITS VALENCEISOMERS

Sadao Miki,* Tadashi Ema, Rie Shimizu, Hiroshi Nakatsuji and Zen-ichi Yoshida*
Department of Synthetic Chemistry, Faculty of Engineering, Kyoto University, Yoshida, Kyoto 606, Japan.

Highly crowded 1,2,3,4-tetra-t-butylnaphthalene (2) has been synthesized, and its photoreaction to valene isomer (3) was studied.

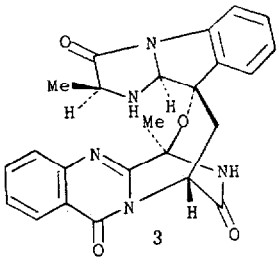


Tetrahedron Lett. **1992**, *33*, 1621

FUMIQUINAZOLINES, NOVEL METABOLITES OF A FUNGUS ISOLATED FROM A SALTFISH

Atsushi Numata,* Chika Takahashi, Tomochika Matsushita, Tamie Miyamoto, Kenzo Kawai, Yoshihide Usami, Eiko Matsumura, Masatoshi Inoue, Hirofumi Ohishi and Tetsuro Shingu[a]
Osaka University of Pharmaceutical Sciences, Osaka 580, Japan, and Kobe Gakuin University, Nishi-ku, Kobe 673, Japan[a]

Fumiquinazolines A, B and C(3) with moderate cytotoxicity have been isolated from the mycelium of a strain of Aspergillus fumigatus in the gastrointestinal tract of the saltwater fish Pseudolabrus japonicus.

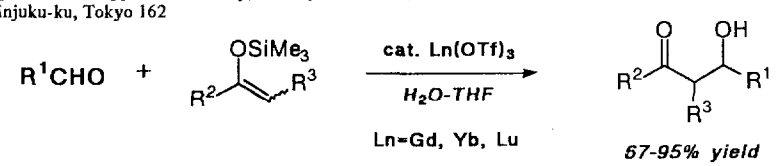


3

Tetrahedron Lett. **1992**, *33*, 1625

The Aldol Reaction of Silyl Enol Ethers with Aldehydes in Aqueous Media

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

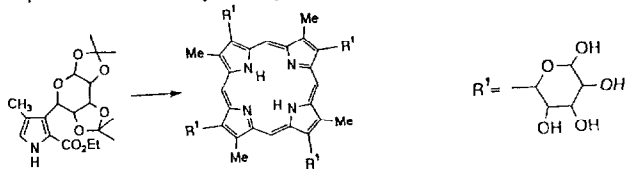


Water soluble aldehydes are applicable and the catalyst can be recovered and reused.

Tetrahedron Lett. **1992**, *33*, 1629

Water-Soluble Porphyrins with Four Sugar Molecules

Noboru Ono,* Masahiro Bougauchi,+ and Kazuhiro Maruyama+
Department of Chemistry, Faculty of Science, Ehime University, Matsuyama 790, Japan
+Department of Chemistry, Faculty of Science, Kyoto University, Kyoto 606, Japan

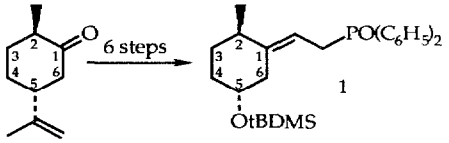


Tetrahedron Lett. **1992**, *33*, 1633

A Synthesis of A-Ring Synthons for Dihydrotachysterols.

Rob Boer Rookhuizen[1], Jaap C. Hanekamp[1,2], Hendrik J. T. Bos[2]. [1] Research Group for Bone Metabolism, University Hospital Utrecht, Heidelberglaan 100, 3584 GA Utrecht, The Netherlands. [2] Laboratory for Preparative Organic Chemistry, The Debye Institute, Utrecht University, Padualaan 8, 3584 CH Utrecht, The Netherlands.

Phosphine oxide 1 (R, R'), and its epimeric counterpart (S, S') were synthesised from the appropiate dihydrocarvons in a good yield.

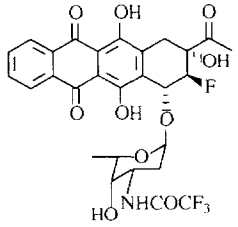


Tetrahedron Lett. **1992**, *33*, 1637

The Synthesis of 8-(S)-Fluoro-N-Trifluoroacetylidarubicin

A. Giolitti, A. Guidi, F. Pasqui, V. Pestellini, and F. M. Arcamone*
Laboratori di Ricerca Chimica, A. Menarini Aziende Farmaceutiche Riunite, Via Sette Santi 3, 50131 Firenze, Italy.

The synthesis of the first ring-A fluorinated anthracycline has been achieved through the coupling of the racemic aglycon with L-daunosamine.

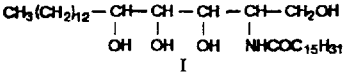


Tetrahedron Lett. **1992**, *33*, 1641

AN ANTIVIRAL SPHINGOSINE DERIVATIVE FROM THE GREEN ALGA Ulva Fasciata+

Hari S. Garg, Mithlesh Sharma (nee' Pandey), Dewan S. Bhakuni, *Medicinal Chemistry Division, Central Drug Research Institute,* Lucknow 226 001, India; **Birendra N. Pramanik,** Schering-Plough Corporation, 60 Orange Street, Bloomfield, New Jersey 07003, U.S.A; **Ajay K. Bose,** *Department of Chemistry and Chemical Engineering Stevens Institute of Technology,* Hoboken, New Jersey 07030, U.S.A

A metabolite isolated from the green alga *Ulva fasciata* from the Indian ocean , which showed antiviral activity, was assigned structure I based on its spectral studies.



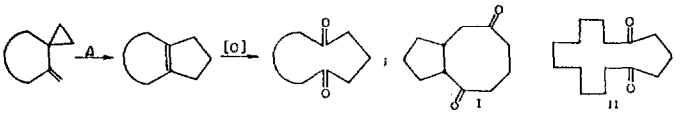
I

Tetrahedron Lett. **1992**, *33*, 1645

ON THE CONSTRUCTION OF BICYCLO[m.3.0]BRIDGED ALKENES

H.R. Sonawane*, B.S. Nanjundiah and G.M. Nazeruddin
National Chemical Laboratory, Pune 411008, India

Thermal conversion of vinylspirocyclopropanes to bridged alkenes and their oxidative scission to I and II are described.

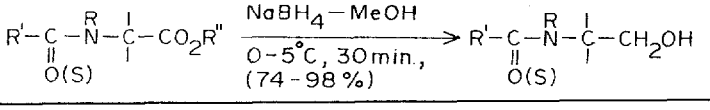


Tetrahedron Lett. **1992**, *33*, 1647

A Convenient Reduction of Substituted Amino-acid Esters

Sukhendu B. Mandal[*,a], **Basudeb Achari**[a] and **Subhagata Chattopadhyay**[b]

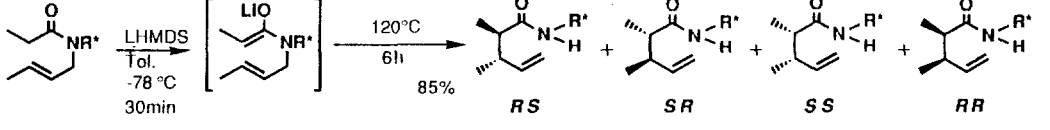
a,Indian Institute of Chemical Biology, Calcutta-700032, India. b, Department of Chemistry, University of Jadavpur, Calcutta-700032, India.



Tetrahedron Lett. **1992**, *33*, 1651

ASYMMETRIC INDUCTION IN AZA-CLAISEN REARRANGMENT OF CARBOXAMIDE ENOLATES. EFFECT OF CHIRAL AUXILIARY ON NITROGEN.

Tetsuto Tsunoda*, Mika Sakai, Osamu Sasaki, Yoshie Sako, Yuka Hondo, and Shô Itô
Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Tokushima 770, Japan



R*=(*S*)-1-phenethyl

Tetrahedron Lett. **1992**, *33*, 1655

Convenient Synthesis of Dimethyl-1-Aryl-4-Hydroxy-N-Methylcarbazole-2,3-Dicarboxylates via Michael Initiated Ring Closure Methodology

Raghao S. Mali* and Prakash G. Jagtap

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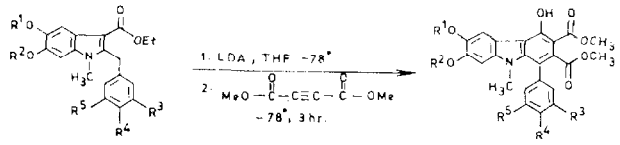

Tetrahedron Lett. **1992**, *33*, 1657

THE GENERATION OF CARBONYL COMPOUNDS FROM ACETALS AND KETALS BY IODOTRICHLOROSILANE (ITCS)

Saad S. Elmorsy,*[a] M. V. Bhatt[b] and Andrew Pelter[c]
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[b]Department of Organic Chemistry, Indian Institute of Science, Bangalore, India.
[c]Department of Chemistry, U. C. of Swansea, Singleton Park, Swansea SA2 8PP, U.K.

Iodotrichlorosilane is a cheap, efficient chemoselective reagent for the production of ketones and aldehydes from ketals and acetals.

Tetrahedron Lett. **1992**, *33*, 1661

CARDIONIDINE, AND UNUSUAL C-20 DITERPENOID ALKALOID FROM DELPHINIUM CARDIOPETALUM DC

Matías Reina, Alberto Madinaveitia, Gabriel de la Fuente*, Matías L. Rodriguez and Ivan Brito
Centro de Productos Naturales Orgánicos "Antonio González" C.S.I.C., Universidad de La Laguna, La Laguna, Tenerife, Spain

The structure of cardionidine was determinated by spectroscopic data and X-ray crystallography.