

GRAPHICAL ABSTRACTS

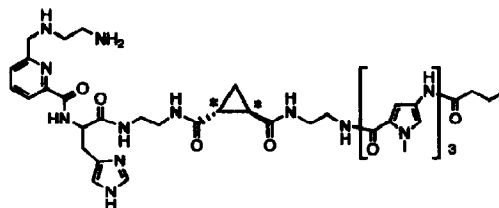
DESIGN AND SYNTHESIS OF DNA CLEAVING BLEOMYCIN MODELS: 1,2-TRANS-DISUBSTITUTED CYCLOPROPANE UNITS AS NOVEL LINKERS

Tetrahedron Letters, 1994, 35, 5323

Liren Huang, James C. Quada, Jr. and J. William Lown*

Department of Chemistry
University of Alberta, Edmonton, Alberta, T6G 2G2 Canada

The synthesis of simple models for bleomycin, in which 1,2-trans-disubstituted cyclopropane units are used as linkers is achieved and their effective cleavage of DNA is demonstrated.



HEPOXILINS B₃: SYNTHESIS OF ALL FOUR STEREOISOMERS AND A GLUTATHIONE ADDUCT

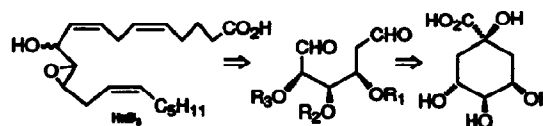
Tetrahedron Letters, 1994, 35, 5327

Y.Y. Belosludtsev¹, R.O. Kollah¹, J.R. Falck^{*1}, J.H. Capdevila²

¹Depts. of Molecular Genetics and Pharmacology, UT Southwestern, Dallas, TX 75235

²Depts. of Medicine and Biochemistry, Vanderbilt University Med. School, Nashville, TN 37205

Utilizing (-)-quinic acid as a differentiated bis-aldehyde chiron, both pairs of hepodin B₃ enantiomers and a glutathione adduct were synthesized by regioselective functionalization of an acyclic vic-diol.



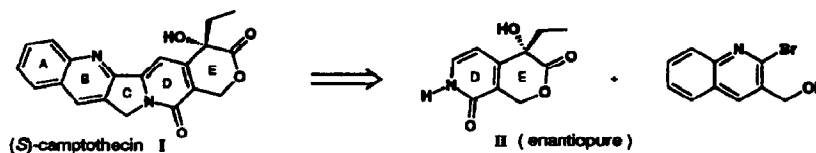
ASYMMETRIC SYNTHESIS OF CAMPTOTHECIN ALKALOIDS: A NINE-STEP SYNTHESIS OF (S)-CAMPTOTHECIN.

Tetrahedron Letters, 1994, 35, 5331

Daniel L. Comins*,

Hao Hong, and Gao Jianhua, Department of Chemistry, North Carolina State University, Raleigh, NC 27695-8204 USA

DE Ring camptothecin intermediate II was prepared enantioselectively in six steps and used in a nine-step synthesis of (S)-camptothecin.



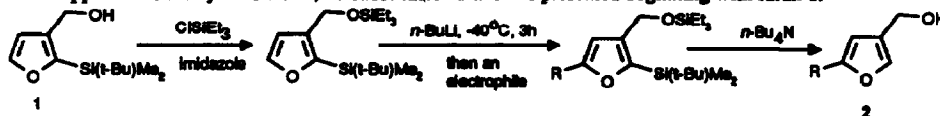
A FACILE PREPARATION OF 2,4-DISUBSTITUTED FURANS

Tetrahedron Letters, 1994, 35, 5335

James A. Nieman and Brian A. Keay*

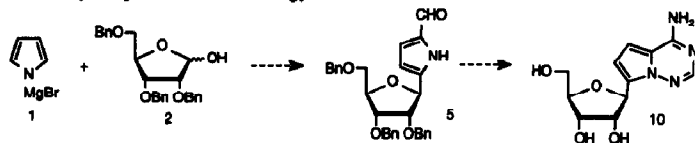
Department of Chemistry, University of Calgary, Calgary, Alberta, Canada, T2N 1N4

A new approach to the synthesis of 2,4-disubstituted furans 2 is presented beginning with furan 1.



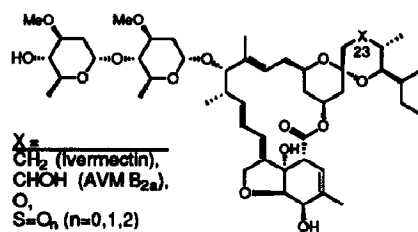
4-AZA-7,9-DIDEAZAADENOSINE, A NEW CYTOTOXIC SYNTHETIC C-NUCLEOSIDE ANALOGUE OF ADENOSINE.

Shirish A. Patil, Brian A. Otter and Robert S. Klein, Albert Einstein College of Medicine Cancer Center and Medicinal Chemistry Laboratory, Department of Oncology, Montefiore Medical Center, 111 East 210th St., Bronx, NY 10467, USA.



A new C-nucleoside analogue of adenosine, 10, was prepared by the direct ribosylation of pyrrole-MgBr followed by C-formylation, N-amination, ring-closure and debenzoylation.

Synthesis of Spiroketal-Modified Avermectin Analogs: 23-Nor-23-Oxa- and 23-Nor-23-Thia-Avermectins



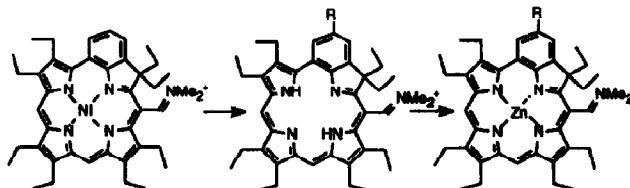
P. T. Meinke,* S. P. O'Connor, M. H. Fisher and H. Mrozik; Merck Research Laboratories, Dept. of Basic Medicinal Chemistry, PO Box 2000, Rahway, NJ 07065-0900

Abstract: The synthesis of avermectin analogs wherein the C23 carbon has been excised and replaced with an oxygen or sulfur atom is described. The new, heteroatom-substituted avermectins represent isosteres of Ivermectin and, in the case of the sulfoxides and sulfones, are isosteric to avermectin B_{2a} (AVM B_{2a}).

SYNTHESIS OF BENZOCHLORIN IMINIUM SALTS WITH IMPROVED PHOTOSENSITIZING PROPERTIES

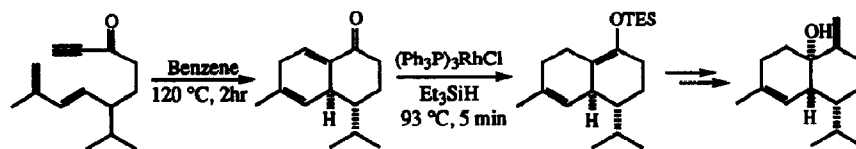
Alan R. Morgan, Sapna Gupta, Department of Chemistry, University of Toledo, Toledo, Ohio 43606.

Treatment of a nickel benzochlorin iminium salt with conc. sulfuric acid results in formation of the free-base derivative and the corresponding sulfonate (R=H, SO₃⁻). Zinc insertion proceeds smoothly in both cases. Both free-base and zinc iminium salts show increased photoactivity when compared to the copper analog which is being studied *in vivo* for use in Photodynamic Therapy.



TOTAL SYNTHESIS OF (±)-EPICUBENOL

David E. Cane, Manish Tandon
Department of Chemistry, Box H, Brown University, Providence, RI 02912, U. S. A.

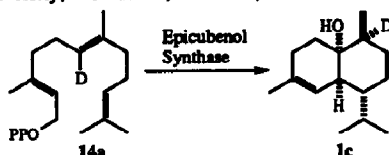


Total synthesis of (±)-Epicubenol using an intramolecular Diels-Alder reaction is described.

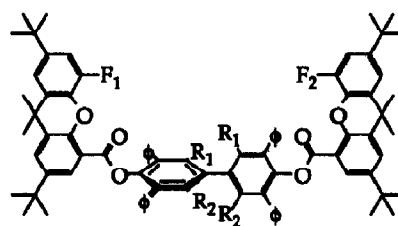
BIOSYNTHESIS OF (+)-EPICUBENOL

David E. Cane, Manish Tandon

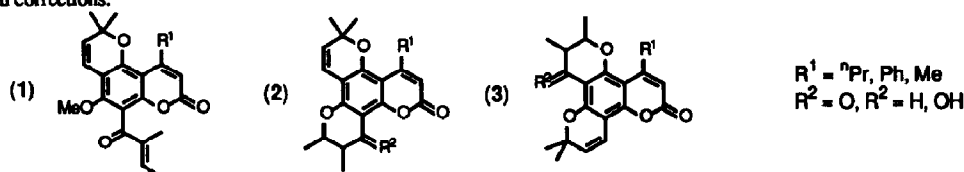
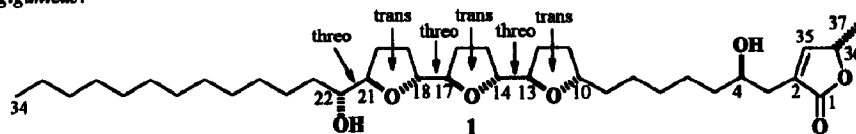
Department of Chemistry, Box H, Brown University, Providence, RI 02912, U. S. A.

Tetrahedron Letters, 1994, 35, 5355Enzymatic cyclization of [6-²H]farnesyl diphosphate (14a) to epicubanol (1c) is shown to involve a 1,2-hydride shift.**SYNTHESIS AND ROTATIONAL PROPERTIES OF A SERIES OF POLYAROMATIC CLEFTS.** Amalia Galán^a, Andrew J. Sutherland^a, Pablo Ballester^b and Julius Rebek, Jr.^{a*}^aDepartment of Chemistry, Massachusetts Institute of Technology, Cambridge, Massachusetts, 02139, USA.^bDepartament de Química, Universitat de les Illes Balears, 07071 Palma de Mallorca, Spain.

A series of molecular clefts containing convergent functional groups has been developed. Their rotational properties have been investigated by dynamic NMR spectroscopy and molecular modelling.

Tetrahedron Letters, 1994, 35, 5359**SYNTHESIS OF THE CALOPHYLLUM COUMARINS**Christopher J. Palmer^a and Jonathan L. Josephs

ISK Mountain View Research Center, Inc., 1195 W. Fremont Avenue, Sunnyvale, California 94087, USA

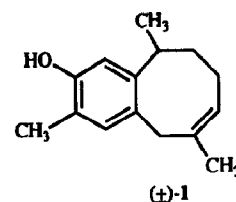
Synthetic routes leading to the synthesis of the natural coumarins isolated from *Calophyllum* sp. are reported, together with some structural corrections.*Tetrahedron Letters*, 1994, 35, 5363**Goniocin from *Goniothalamus Giganteus*: The First Tri-THF****Annonaceous Acetogenin.** Zhe-ming Gu, Xin-ping Fang, Lu Zeng,and Jerry L. McLaughlin^{*}, Department of Medicinal Chemistry and Pharmacognosy, School of Pharmacy and Pharmacal Sciences, Purdue University, West Lafayette, Indiana 47907*Tetrahedron Letters*, 1994, 35, 5367A novel cytotoxic component, goniocin (1), the first tri-tetrahydrofuran (THF) Annonaceous acetogenin, has been isolated from *Goniothalamus giganteus*.

Total Synthesis of (±)-Parvifoline

Erich L. Grimm*, Sylvain Levac and Michel Coutu

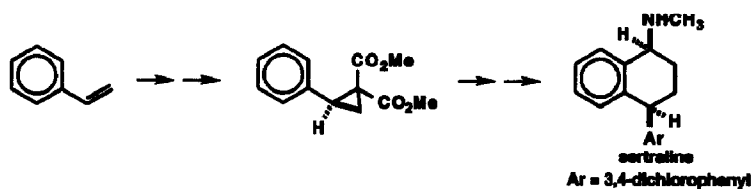
Merck Frosst Centre for Therapeutic Research, P.O. Box 1005 Pointe Claire-Dorval,
Quebec H9R 4P8 Canada

(±)-Parvifoline (1) has been synthesized via intramolecular cyclization of a sulfone-stabilized carbanion.

Tetrahedron Letters, 1994, 35, 5369**A Catalytic Enantioselective Synthetic Route to the Important Antidepressant Sertraline**

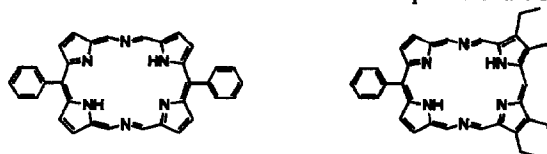
E. J. Corey* and Thomas G. Gant

Department of Chemistry, Harvard University, Cambridge, Massachusetts 02138

*Tetrahedron Letters*, 1994, 35, 5373**MESO-PHENYL SUBSTITUTED PORPHOCYANINES: A NEW CLASS OF FUNCTIONALIZED EXPANDED PORPHYRINS.**

Ross W. Boyle,

Lily Yun Xie and David H. Dolphin*, Department of Chemistry, University of British Columbia, 2036 Main Mall, Vancouver, B.C., Canada, V6T 1Z1

Porphocyanines bearing phenyl substituents at both or one of the available *meso* positions have been synthesized.*Tetrahedron Letters*, 1994, 35, 5377**A SIMPLE METHOD TO PREPARE UNSYMMETRICAL DI-TRI-AND TETRASULFIDES**

Gerard Derbesy and David N. Harpp*

Department of Chemistry

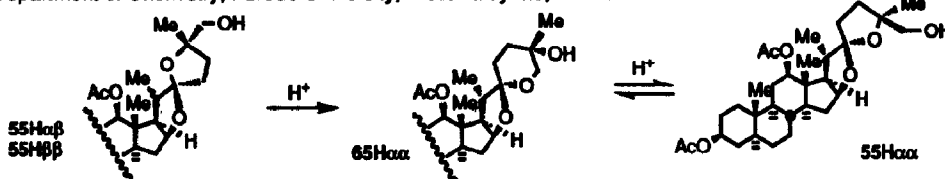
McGill University

Montreal, Quebec, Canada, H3A 2K6

Unsymmetrical di- tri- and tetrasulfides can be prepared in a one-pot reaction using SO_2Cl_2 , SCl_2 and S_2Cl_2 , respectively to permit coupling of the appropriate thiols. Yields of isolated, pure product range from 25%-quantitative.*Tetrahedron Letters*, 1994, 35, 5381

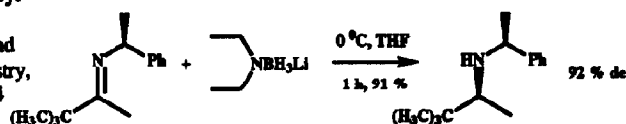
SPIROKETAL EQUILIBRATION: INTERCONVERSION OF 1,6-DIOXASPIRO[4.4]NONANES AND 1,6-DIOXASPIRO[4.5]DECANES. IMPLICATIONS FOR THE SYNTHESIS OF CEPHALOSTATIN 7.

Jae Uk Jeong, P. L. Fuchs*
Department of Chemistry, Purdue University, West Lafayette, IN 47907



Aminoborohydrides 6. Diastereoselective Reduction of the Carbon-Nitrogen Double Bond in Chiral Imines Using Lithium Diethylaminoborohydride and Lithium Diisopropylaminoborohydride.

Joseph C. Fuller, Christopher Bellale, Christian T. Goralski and Bakthan Singaram*, Department of Chemistry and Biochemistry, University of California, Santa Cruz, Santa Cruz, Calif. 95064

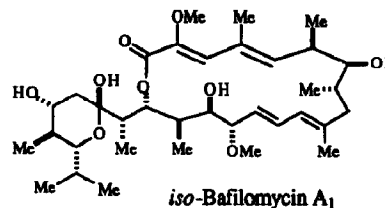


Lithium diethylaminoborohydride and lithium diisopropylaminoborohydride reduce chiral aliphatic and aromatic imines, derived from α -methylbenzylamines, to give the corresponding enantiomerically enriched secondary amines. The yields of secondary amines from this procedure range from very good to essentially quantitative. The diastereomeric induction in the reduction of the carbon-nitrogen double bond ranged from moderate to very good.

AN UNPRECEDENTED RING EXPANSION IN THE MACROLIDE SERIES – SYNTHESIS OF *ISO*-BAFILOMYCIN A₁

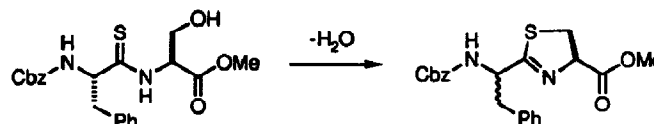
Stephen Hanessian* Qingchang Meng and Eric Olivier
Department of Chemistry, Université de Montréal, P.O. Box 6128, Succ. Centre-ville, Montréal, P.Q., Canada, H3C 3J7

Treatment of 7,21-di-O-TMS-bafilomycin A₂ with a reagent prepared from equimolar quantities of methyl lithium and cuprous iodide in THF leads to a ring expanded 18-membered lactone isomer. The structure was confirmed by X-ray crystallography. Functional group manipulation gives isobafilomycin A₁.



SYNTHESIS OF PEPTIDE THIAZOLINES FROM β -HYDROXYTHIOAMIDES. AN INVESTIGATION OF RACEMIZATION IN CYCLODEHYDRATION PROTOCOLS

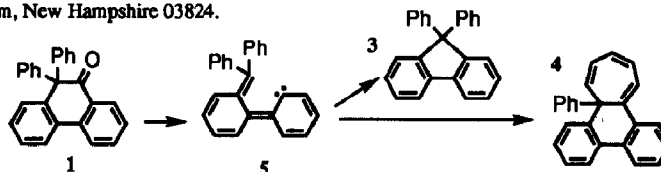
Peter Wipf* and Paul C. Fritch
Department of Chemistry
University of Pittsburgh
Pittsburgh, Pennsylvania 15260, U.S.A.



Cyclodehydration of hydroxythioamides with TsCl/Et₃N, SOCl₂, and Mitsunobu conditions, but not with the Burgess protocol, leads to extensive epimerization at the C(2) *exo* methine position of thiazolines.

The Argon Laser-Jet Initiated, Multiple-Photon (Reluctant), Electrocyclic**Ring Opening of 10,10-Diphenyl-9-(10H)-phenanthrenone: A Carbene****and Biradical Modeling Study.** R. Marshall Wilson,* Tatiana N. Romanova, Ardeshtir Azadnia, Jeanette A. Krause Bauer,

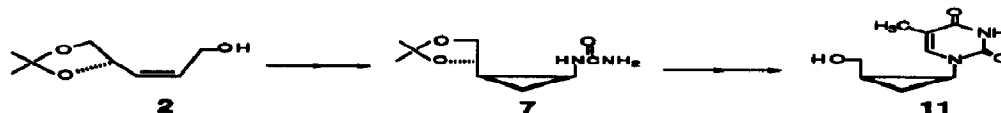
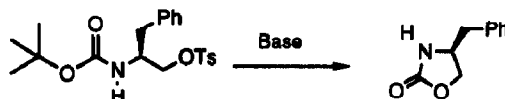
Department of Chemistry, University of Cincinnati, Cincinnati, Ohio 45221-0172, Richard P. Johnson*, Department of Chemistry, University of New Hampshire, Durham, New Hampshire 03824.

High-intensity laser-jet irradiation of **1** affords **3** and **4** via collapse of the singlet and triplet carbenes ¹**5** and ³**5**, respectively.**SYNTHESIS OF ENANTIOMERICALLY PURE CYCLOPROPYL****CARBOCYCLIC NUCLEOSIDES.** Yufen Zhao,† Te-Fang Yang,† Migyoung

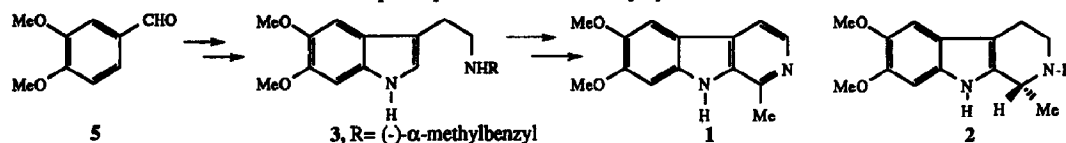
Lee,† Byoung K. Chun,† Jinfa Du,† Raymond F. Schinazi,‡ Doowon Lee,‡ M. Gary Newton,‡ and Chung K. Chu,†*

†Department of Medicinal Chemistry, College of Pharmacy and ‡Department of Chemistry, The University of Georgia, Athens, GA 30602, USA and §Emory University, School of Medicine/V.A. Medical Center, Decatur, GA 30033, USA.

The enantiomeric synthesis of cyclopropyl carbocyclic nucleosides has been achieved and the key intermediate was characterized by X-ray crystallography.

**LOSS OF THE *tert*-BUTYLOXYCARBONYL (Boc)****PROTECTING GROUP UNDER BASIC CONDITIONS**Timothy P. Curran^{a,b*}, Michael P. Pollastri^a, Susan M. Abelleira^b, Renee J. Messier^b, Theresa A. McCollum^a and Campbell G. Rowe^a. ^aDepartment of Chemistry, College of the Holy Cross, Worcester, MA 01610-2395 USA, ^bAlkermes, Inc., 64 Sidney Street, Cambridge, MA 02139-4136 USA

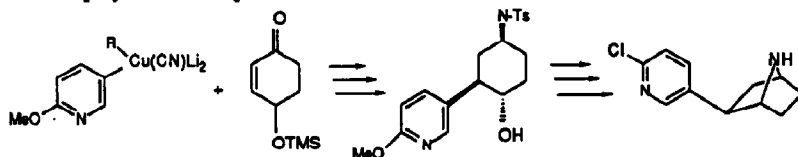
Several examples where the Boc group is lost under basic conditions are reported.

THE SYNTHESIS OF ROEHARMINE AND (-)-1,2,3,4-TETRAHYDRO-**ROEHARMINE.** M. Sreenivasa Reddy and J. M. Cook,* Department of Chemistry, UW-Milwaukee, Milwaukee, WI 53201.The total synthesis of roeharmine **1** as well as an enantiospecific synthesis of (-)-1,2,3,4-tetrahydroroeharmine **2** has been achieved via the Pictet-Spengler reaction. The optical rotation of synthetic (-)-**2** was found to be higher than that reported for the natural product. A possible mechanism for the racemization of **2** upon exposure to acid has been proposed.

SYNTHESIS OF EPIBATIDINE

Kazimir Sestan^{*}, Edward Melenski and Ivo Jirkovsky
Wyeth-Ayerst Research, Princeton, NJ 08540

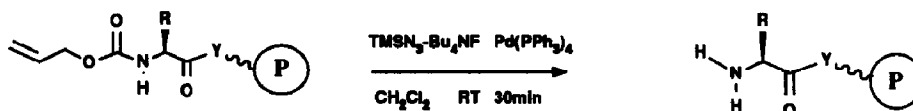
A six-step synthesis of epibatidine is described:



MILD AND RAPID AZIDE-MEDIATED PALLADIUM CATALYZED CLEAVAGE OF ALLYLESTER BASED PROTECTING GROUPS

Gideon Shapiro and Dieter Buechler

Preclinical Research, Sandoz Pharma Ltd., CH-4002 Basel, Switzerland

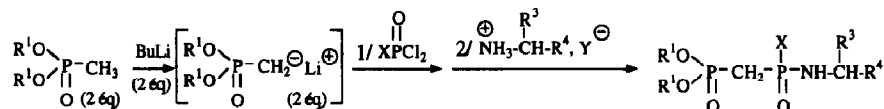
Under palladium catalysis various allylester protecting groups are rapidly cleaved in high yield with the reagent 8:3 $\text{TMSN}_3\text{-Bu}_4\text{NF}(\text{SH}_2\text{O})$ in CH_2Cl_2 . The efficiency of this method makes it particularly useful for solid phase deprotections

SYNTHÈSE DE PRECURSEURS D'ANALOGUES D'ETATS DE TRANSITION DE REACTIONS ENZYMATIQUES DE TRANSCARBAMYLATION

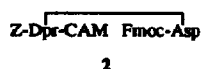
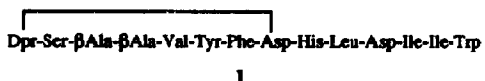
C. Grison^{*}, F. Charbonnier, Ph. Coutrot

Institut Nancéien de Chimie Moléculaire, Laboratoire de Chimie Organique II, associé au CNRS, Université de Nancy I, BP 239, 54506 Vandoeuvre-les-Nancy, France

An efficient synthesis of immediate precursors of new transition state analogues of enzymatic transcarbamylation reactions, N-[(phosphinylmethyl)phosphonate]-L-aspartate and N-[(phosphinylmethyl)phosphonate]-L-ornithine is described.



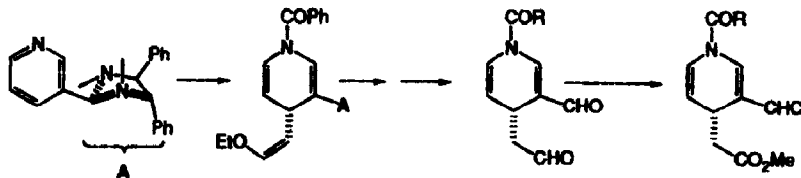
SYNTHESIS OF AN ENDOTHELIN CYCLIC ANALOGUE USING AN ORIGINAL MULTIDIMENSIONAL PROTECTION SCHEME.

Christiane Mendre^{*}, Robert Pascal and Bernard Calas, Centre de Recherches de Biochimie Macromoléculaire, CNRS and INSERM, route de Mende, BP 5051, 34033 Montpellier, FranceThe endothelin cyclic analogue 1 with an amide bond mimicking the disulphide bridge between Cys¹-Cys¹⁵ has been synthesized using Fmoc-chemistry from the synthon 2.CAM = O-CH₂-CONH₂
Dpr = L-2,3-diaminopropionic acid

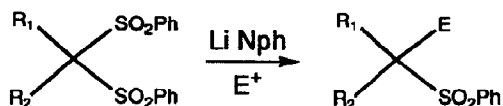
REGIO AND ENANTIOSELECTIVE SYNTHESIS OF 4-CARBOMETHOXYMETHYL-1,4-DIHYDROPYRIDINES.

Sabine Raussou, Romain Gosmini, Pierre Mangeney*, Alexandre Alexakis, Monique Commerçon

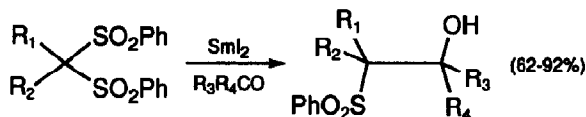
Laboratoire de Chimie des Organoéléments, CNRS UA 473, Université P. et M. Curie, 4 Place Jussieu, F-75252 Paris Cedex 05, France

**REDUCTIVE LITHIATION OF BIS-PHENYLSULFONES**J. Yu¹, H.-S. Cho¹, S. Chandrasekhar¹, J. R. Falck¹, C. Mioskowski²¹Depts. of Molecular Genetics/Pharmacology, Univ. of Tx Southwestern, Dallas, Texas 75235 U.S.A.;²Laboratoire de Synthèse Bio-Organique associé au CNRS, Université Louis Pasteur, F-67401 Illkirch

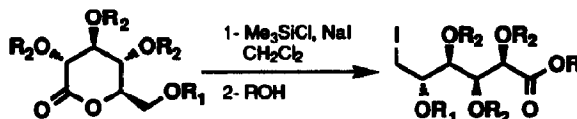
Reductive cleavage of geminal bis-phenylsulfones using lithium naphthalene in THF at -78°C selectively affords α -sulfonyl carbanions which participate in typical reactions with electrophiles.

**SmI₂ MEDIATED REDUCTIVE ADDITION OF BIS-PHENYLSULFONES TO KETONES**S. Chandrasekhar¹, J. Yu¹, J. R. Falck¹, C. Mioskowski²¹Depts. of Molecular Genetics/Pharmacology, Univ. of Tx Southwestern, Dallas, Texas 75235;²Laboratoire de Synthèse Bio-Organique associé au CNRS, Université Louis Pasteur, 67401 F-Illkirch

SmI₂ mediates the *in situ* reductive addition of geminal bis-phenylsulfones to unhindered ketones affording β -hydroxyphenylsulfones in good to excellent yields.

**UNEXPECTED OUTCOME IN THE REACTION OF SUGAR LACTONES WITH IODOTRIMETHYLSILANE**M.P. Heck^a, S. Monthiller^a, C. Mioskowski^{a,b}, J.P. Guidot^b, T. Le Gall^b^a- Univ. Louis Pasteur, Faculté de Pharmacie, Illkirch (France)^b- CEA; CE Saclay; SMM; Gif sur Yvette (France)

The reaction of iodotrimethylsilane with sugar lactones protected by ester groups led to primary iodides of the same configuration.



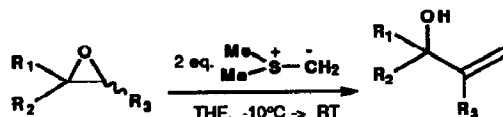
NOVEL CONVERSION OF EPOXIDES TO ONE CARBON HOMOLOGATED ALLYLIC ALCOHOLS BY DIMETHYL SULFONIUM METHYLIDE

Tetrahedron Letters, 1994, 35, 5449

L. Alcaraz^(a), J.J. Harnett^(a), C. Mioskowski^(a,b), J.P. Martel^(b), T. Le Gall^(b), D-S Shin^(c), J.R. Falck^(c).

^(a) ULP Faculté de Pharmacie F-67401 Illkirch. ^(b) CEA - Saclay, F-91191 Gif sur Yvette. ^(c) Univ. of Texas, Southwestern Medical Center, Dallas, TX 75235.

The reaction of excess of dimethylsulfonium methylide with terminal, allylic or benzylic epoxides affords good to excellent yields of one carbon homologated allylic alcohols.



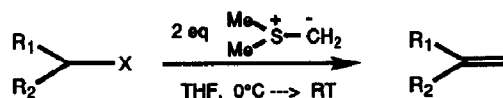
SULFUR YLIDE VINYLATION OF HALIDES AND MESYLATES

Tetrahedron Letters, 1994, 35, 5453

L. Alcaraz^(a), J.J. Harnett^(a), C. Mioskowski^(a,b), J.P. Martel^(b), T. Le Gall^(b), D-S Shin^(c), J.R. Falck^(c).

^(a) ULP, Faculté de Pharmacie, F-67401 Illkirch. ^(b) CEA-Saclay, F-91191 Gif sur Yvette. ^(c) Univ. of Texas, Southwestern Medical Center, Dallas, TX 75235.

One-carbon homologation of benzylic, allylic, propargylic and primary halides or mesylates with dimethylsulfonium methylide affords terminal olefins in good to excellent yields.



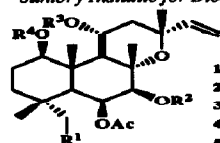
Structures of Five New Highly Oxygenated Labdane-Type Diterpenoids, Ptychantins A-E, Closely Related to Forskoln from the Liverwort *Ptychanthus striatus*

Tetrahedron Letters, 1994, 35, 5457

Toshihiro Hashimoto^a, Miho Horie^a, Masao Toyota^a, Zenei Taira^a, Reiji Takeda^b, Motoo Tori^a and Yoshinori Asakawa^{a*}

^aFaculty of Pharmaceutical Sciences, Tokushima Bunri University, Yamashiro-cho, Tokushima 770, Japan

^bSuntory Institute for Bioorganic Research, Shimamoto-cho, Mishima-gun, Osaka 618, Japan



- 1 : R¹=R²=H, R³=R⁴=Ac
- 2 : R¹=H, R²=R³=R⁴=Ac
- 3 : R¹=R²=R³=H, R⁴=Ac
- 4 : R¹=OH, R²=H, R³=R⁴=Ac
- 5 : R¹=R²=R³=H, R⁴=Ac

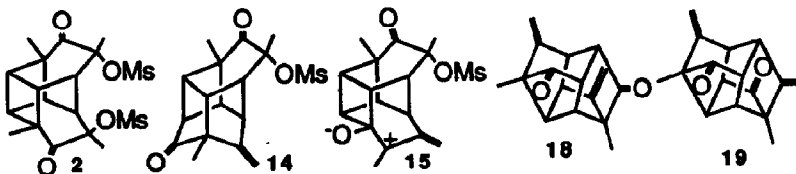
Ptychantins A-E (1-5) have been isolated from the liverwort *Ptychanthus striatus* and their absolute stereostructures were established by a combination of high resolution NMR and CD spectra, and X-ray analysis.

Acid-catalyzed Rearrangement of Pentacyclic Cage Compound via a Strange By-path. Ken-ichi Hirao,^{a*} Hiroki Takahashi,^a and Kimiko Kobayashi^b

Tetrahedron Letters, 1994, 35, 5461

^aLaboratory of Organic Chemistry, Graduate School of Environmental Earth Science, Hokkaido University, Sapporo 060, Japan ^bThe Institute of Physical and Chemical Research (RIKEN), Hirosawa, Wako-shi, Saitama 351-01, Japan

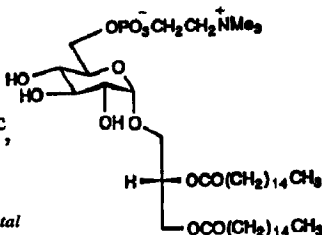
The strange reaction pathway via an allyl cation 15 for the acid-catalyzed rearrangement of mesyloxy ketone 2 to diketones 18 and 19 is revealed by an X-ray crystallographic study of the intermediate enone 14.



Tetrahedron Letters, 1994, 35, 5465

SYNTHESIS AND ABSOLUTE CONFIGURATION OF 6-O-PHOSPHOCHOLINE- α -D-GLUCOPYRANOSYL GLYCEROLIPID ISOLATED FROM HTLV-I-INFECTED CELL LINES

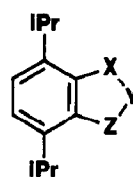
Y. Nishida^a, H. Ohrai^a, H. Meguro^a, M. Ishizawa^b, K. Matsuda^c,
T. Taki^c, S. Handa^c and N. Yamamoto^c
a) Faculty of Agriculture, Tohoku University, b) UBE Bioscience Laboratory,
Science University of Tokyo, c) Faculty of Medicine, Tokyo Medical and Dental
University, JAPAN



Tetrahedron Letters, 1994, 35, 5469

FIRST SYSTEMATIC SYNTHESIS AND ELECTROCHEMICAL OXIDATION OF 4,7-DIISOPROPYL-1,2,3-BENZOTRICHALCOGENOLES CONTAINING BOTH SULFUR AND SELENIUM ATOMS. Satoshi Ogawa, Takamasa Kikuchi, Atsuko Sasaki, Shin-ichi Chida, and Ryu Sato,* Department of Applied Chemistry and Molecular Science, Faculty of Engineering, Iwate University, Morioka 020, Japan

New benzotrithalcohenoles containing both sulfur and selenium atoms in the five-membered ring have been synthesized, the cyclic voltammograms of which show the reversible electrochemical oxidation with low oxidation potential.



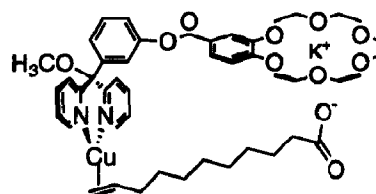
	X	Y	Z
6 :	S	Se	S
7 :	S	S	Se
8 :	S	Se	Se
9 :	Se	S	Se

Tetrahedron Letters, 1994, 35, 5473

COMPLEXATION OF A BIFUNCTIONAL SUBSTRATE TO A HETEROBIMETALLIC COMPLEX OF A LIGAND WITH HARD AND SOFT COORDINATION SITES

Magnus Cernerud, Kenneth Wärnmark and Christina Moberg*
Department of Chemistry, Organic Chemistry,
Royal Institute of Technology, S-100 44 Stockholm (Sweden)

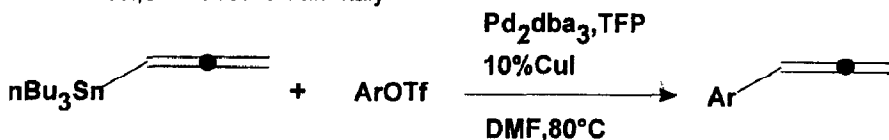
A bimetallic complex of a ligand containing dipyrldylmethane and crown ether residues, capable of cooperative binding to a bifunctional substrate, is described.



Tetrahedron Letters, 1994, 35, 5477

The Stille coupling reaction of aryl triflates with n-tributylallenyl stannane : a general route to arylallenes.

Domenico Badone*, Rosanna Cardamone and Umberto Guzzi. Research Center Sanofi-Midy SpA
via Piranesi,38 20137 Milan Italy

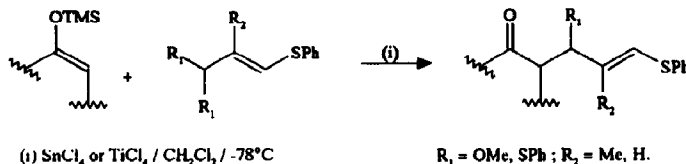


REGIOSELECTIVE ALLYLATION OF ENOL SILYL ETHERS WITH γ -HETEROSUBSTITUTED VINYLTHIONIUM IONS.

Tetrahedron Letters, 1994, 35, 5481

Roger Hunter^{a*}, Joseph P. Michael^b and Daryl S. Walter^b. *a: Department of Chemistry, University of Cape Town, Rondebosch 7700, South Africa; b: Department of Chemistry, University of the Witwatersrand, Johannesburg, 2001, South Africa.*

γ -Heterosubstituted vinylthionium ions regioselectively and diastereoselectively allylate enol silyl ethers.

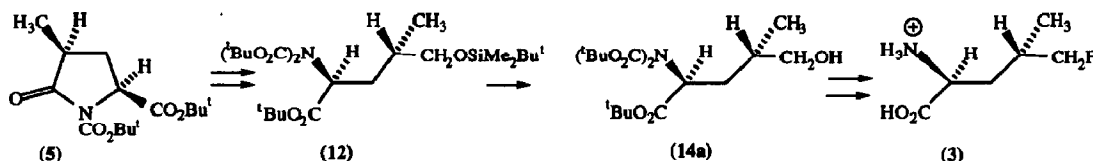


SYNTHESIS OF (2S,4S)-5-FLUOROLEUCINE

Tetrahedron Letters, 1994, 35, 5485

Claire M. Moody, Bernard A. Starkmann and Douglas W. Young*

School of Chemistry and Molecular Sciences, University of Sussex, Falmer, Brighton, BN1 9QJ, U. K.



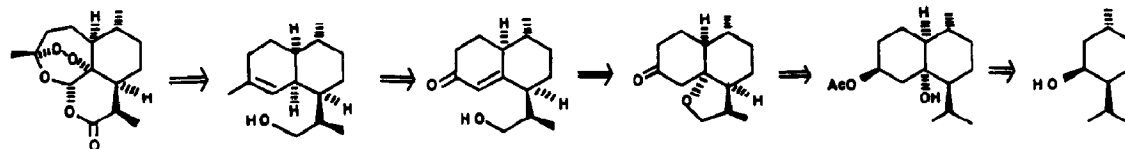
NEW SYNTHETIC STRATEGIES TOWARDS (+)-ARTEMISININ*

Tetrahedron Letters, 1994, 35, 5489

Jayendra B. Bhonsle, Bipin Pandey, Vishnu H. Deshpande and T. Ravindranathan*

Division of Organic Chemistry: Technology, National Chemical Laboratory, Pune-411 008, INDIA.

Novel synthetic strategies for (+)-artemisinin involving OH-assisted chemo- and stereoselective C-H functionalisation and subsequent acid/base induced ring opening have been described, as per the following retrosynthetic analysis.

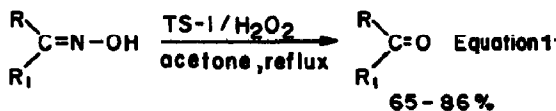


Selective Catalytic Oxidative Cleavage of Oximes to Carbonyl Compounds with H_2O_2 over TS-1

Tetrahedron Letters, 1994, 35, 5493

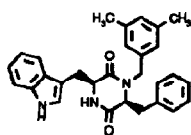
Reni Joseph, A. Sudalai and T. Ravindranathan*
National Chemical Laboratory Pune 411008, India.

TS-1- H_2O_2 combination efficiently catalyzes oxidative cleavage of oximes to carbonyl compounds



The Solution Conformation of 1-(3,5-Dimethylphenyl)methyl-3(S)-(1H-indol-3-yl)methyl-6(S)-phenylmethyl-2,5-piperazinedione (1).

Richard H. Herbert* and Fintan Kelleher, Merck Sharp and Dohme Research Laboratories, Neuroscience Research Centre, Terlings Park, Eastwick Road, Harlow, Essex, CM20 2QR, UK



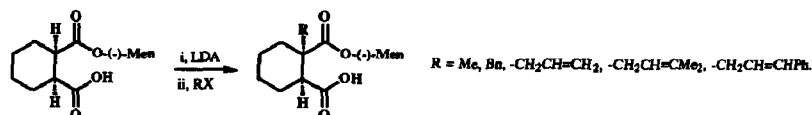
An NMR study of the solution conformation of (1)

Tetrahedron Letters, 1994, 35, 5497

THE STEREoselective ALKYLATION OF CYCLOHEXANE-1,2-DICARBOXYLIC ACID MONO-(-)-MENTHYL ESTER.

Samantha J. Hulme, Paul R. Jenkins*, John Fawcett and David R. Russell, Leicester University, Leicester, LE1 7RH, U.K.

The dianion of (1*R*),(2*S*)-cyclohexane-1,2-dicarboxylic acid mono-(-)-menthyl ester reacts with alkyl bromides and an iodide to give alkylation with selectivities ranging from 7:1 to 32:1, the configuration of one product has been proved by X-ray crystallography.

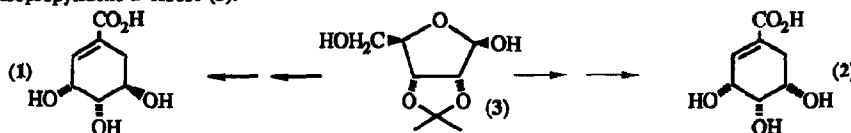


Tetrahedron Letters, 1994, 35, 5501

ENANTIOSPECIFIC SYNTHESIS OF (-)-5-*epi*-SHIKIMIC ACID AND A NEW ROUTE TO (-)-SHIKIMIC ACID

Shende Jiang, Boualem Mekki, Gurdial Singh, *School of Science and Technology, University of Teesside, Middlesbrough, TS1 3BA, U.K.*, and Richard H. Wightman, *Department of Chemistry, Heriot-Watt University, Edinburgh EH14 4AS, U.K.*

(-)-Shikimic acid (1) and (-)-5-*epi*-shikimic acid (2) have each been prepared enantiospecifically and with high diastereoselectivity from 2,3-*O*-isopropylidene-D-ribose (3).

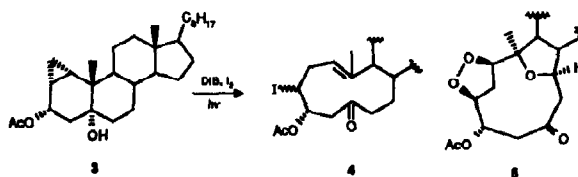


Tetrahedron Letters, 1994, 35, 5505

SEQUENTIAL ALKOXY RADICAL FRAGMENTATION-CYCLOPROPYL-CARBINYL REARRANGEMENT. SYNTHESIS OF HIGHLY FUNCTIONALIZED ELEVEN-MEMBERED RINGS.

Alicia Boto,^a Carmen Betancor,^b Ernesto Suárez^{a*}
^aInstituto de Productos Naturales y Agrobiología del C.S.I.C., Carretera de La Esperanza 2, La Laguna, Tenerife, Spain. ^bDepartamento de Química Orgánica, Universidad de La Laguna.

The steroidal alcohol (3) with (diacetoxyiodo)benzene (DIB)-iodine under irradiation with visible light undergoes a tandem alkoxy radical β -fragmentation-cyclopropylcarbinyl rearrangement reaction to give ketone (4). In the presence of oxygen, highly functionalized eleven-membered cyclic ketone (6) is obtained.



Tetrahedron Letters, 1994, 35, 5509

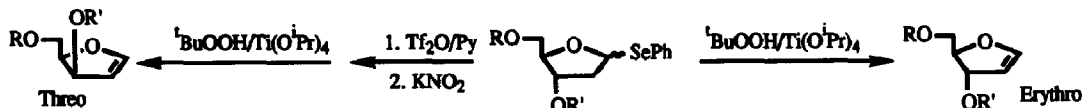
EFFICIENT PROCEDURE FOR THE SYNTHESIS OF ERYTHRO AND THREO FURANOID GLYCALs FROM 2-DEOXYRIBOSE

Tetrahedron Letters, 1994, 35, 5513

Mohamed Kassou and Sergio Castellón*

Departament de Química, Universitat Rovira i Virgili, Pça. Imperial Tàrraco 1, 43005 Tarragona, Spain.

Phenyl 2-deoxy-1-selenofuranosides, easily obtained from 2-deoxyribose, have been efficiently converted into furanoid glycols of erythro and threo configuration.

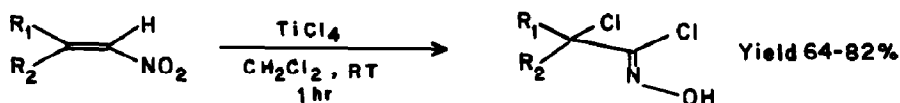


Tetrahedron Letters, 1994, 35, 5517

A Facile Conversion of Nitro olefins to Functionalised Hydroximoyl Chlorides As Nitrile Oxide Precursors. G. Kumaran and Gurunath H. Kulkarni*

Division of Organic Chemistry(Synthesis), National Chemical Laboratory, Pune 411008, India.

Hydroximoyl chlorides bearing α -chloro functionality were prepared from conjugated nitro olefins using TiCl₄ at RT in moderate to good yield.



Novel Stereochemical Manipulations of the Penicillin Nucleus

Tetrahedron Letters, 1994, 35, 5519

Jack E. Baldwin, Robert Y. Chan and John D. Sutherland

The Dyson Perrins Laboratory and the Oxford Centre for Molecular Sciences, South Parks Road, Oxford OX1 3QY, UK.

The synthesis of a homochiral C2-epipenicillin *tert*-butylamide **1** from 6- β -phthalimidopenicillanic acid via a novel ring β -lactam ring opening followed by Ugi reclosure is described.

