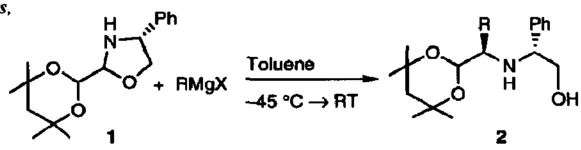
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 7489

Enantioselective Synthesis of α-Amino Acetals (Aldehydes) via Nucleophilic 1,2-Addition to Chiral 1,3-Oxazolidines

K. Raman Muralidharan, Mohamed K. Mokhallalati, and Lendon N. Pridgen*
Synthetic Chemistry Department, SmithKline Beecham Pharmaceuticals,
Post Office Box 1539, King of Prussia, Pennsylvania 19406-0939

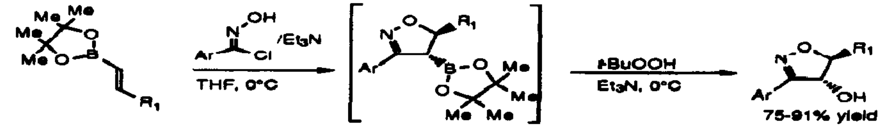
Treatment of the oxazolidines **1** with Grignard reagents afforded the aminoalcohols **2** in good yields and high stereoselectivity.



Tetrahedron Letters, **1994**, *35*, 7493

A FACILE METHOD FOR THE PREPARATION OF 4-HYDROXY-Δ^2-ISOXAZOLINES *VIA* A CYCLOADDITION/ OXIDATION PROCEDURE EMPLOYING NITRILE OXIDES AND VINYLBORONIC ESTERS. Richard H. Wallace* and Jinchu Liu, Department of Chemistry, University of Alabama, Tuscaloosa, AL 35487

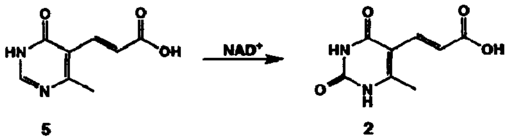
Dipolar cycloaddition of aromatic nitrile oxides with vinylboronic esters followed by treatment with *t*-BuOOH affords the corresponding 4-hydroxy-Δ^2-isoxazolines in good yield.



Tetrahedron Letters, **1994**, *35*, 7497

THE BIOSYNTHESIS OF SPARSOMYCIN. FURTHER INVESTIGATIONS OF THE BIOSYNTHESIS OF THE URACIL ACRYLIC ACID MOIETY. Ronald J. Parry, Jeffrey Hoyt, and Yan Li, Department of Chemistry, Rice University, Houston, TX 77251, USA

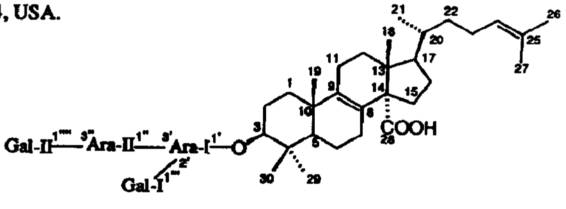
An enzyme which catalyzes the formation of the uracil acrylic acid moiety (**2**) of the antibiotic sparsomycin from the pyrimidine acrylic acid **5** has been partially purified.



Tetrahedron Letters, **1994**, *35*, 7501

A TRITERPENE TETRASACCHARIDE, FORMOSIDE, FROM THE CARIBBEAN CHORISTIDA SPONGE *ERYLUS FORMOSUS*
Marcel Jaspars and Phillip Crews*, Department of Chemistry and Biochemistry and Institute for Marine Sciences University of California, Santa Cruz, California 95064, USA.

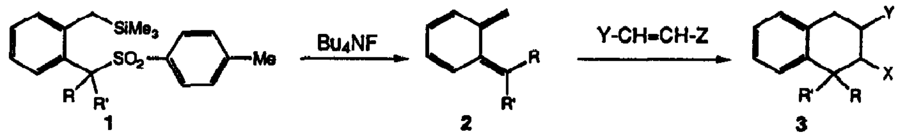
A new triterpene tetrasaccharide, formoside (**1**) was isolated in 25% yield from the butanolic extract of the marine sponge *Erylus formosus*. The structure of the aglycone was identical to that of penasterol while the glycoside was composed of two arabinose and two galactose units with Ara-I as the branch point for Gal-I and the Ara-II-Gal-II unit.



Tetrahedron Letters, **1994**, *35*, 7505

SUBSTITUTED o-[(TRIMETHYLSILYL)METHYL]BENZYL p-TOLYL SULFONES: PRACTICAL REAGENTS FOR PREPARING CYCLOADDUCTS OF o-QUINODIMETHANES. B. D. Lenihan and H. Shechter,* Department of Chemistry, The Ohio State University, Columbus, Ohio, 43210

Tetrahydronaphthalenes 3 are preparable from o-quinodimethanes 2 as generated from silyl sulfones 1.

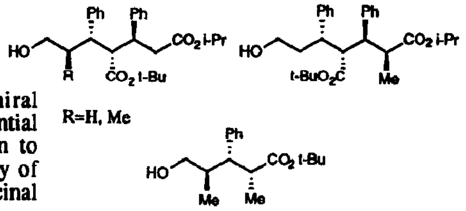


Tetrahedron Letters, **1994**, *35*, 7509

Highly Stereocontrolled Sequential Asymmetric Michael Addition Reactions with Cinnamate Esters - Generation of Three and Four Contiguous Stereogenic Centers on Seven-Carbon Acyclic Motifs

Stephen Hanessian* and Arthur Gomtsyan
Department of Chemistry, Université de Montréal, P.O. Box 6128, Succ. Centre-ville, Montréal, P.Q., CANADA, H3C 3J7

Abstract: The reaction of allyl and crotyl bicyclic chiral phosphonamide anions with two cinnamate esters leads to a sequential Michael reaction with excellent diastereoselectivity. The option to quench enolates with methyl iodide greatly enhances the versatility of the reaction in the synthesis of acyclic seven-carbon chains with vicinal and alternating C-methyl and C-phenyl substituents.

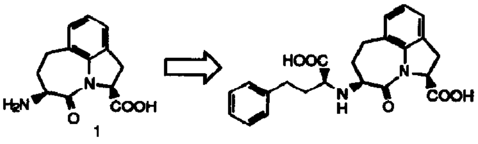


Tetrahedron Letters, **1994**, *35*, 7513

Practical Syntheses of a Novel Tricyclic Dipeptide Mimetic Based on a [6H]-Azepino Indoline Nucleus : Application to Angiotensin-Converting Enzyme Inhibition

S. De Lombaert,* L. Blanchard, L. B. Stamford, D. M. Sperbeck, M. D. Grim, T. M. Jenson and H. R. Rodriguez
Research Department, Pharmaceuticals Division, CIBA-GEIGY Corporation, Summit, New Jersey 07901

Two stereocontrolled synthetic approaches towards the novel conformationally restricted tricyclic dipeptidomimetic 1, based on intramolecular Friedel-Crafts acylations, are described. This template has been applied to the design of a potent and orally active inhibitor of angiotensin-converting enzyme (ACE).



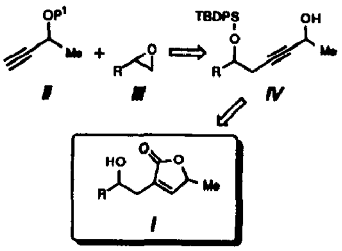
Tetrahedron Letters, **1994**, *35*, 7517

AN EFFICIENT AND VERSATILE SYNTHESIS OF THE BUTENOLIDE SUBUNIT OF 4-HYDROXYLATED ANNONACEOUS ACETOGENINS

Thomas R. Hoye, Paul E. Humpal, Jorge I. Jiménez, Michael J. Mayer, Lushi Tan, and Zhixiong Ye*

Department of Chemistry, University of Minnesota, Minneapolis, MN 55455

Coupling of epoxide II with alkyne III and Stille carbonylative lactonization of IV are strategic for preparation of any stereoisomer of the title subunit (I).

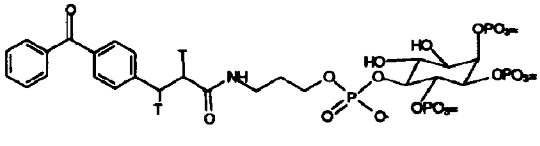


Tetrahedron Letters, **1994**, *35*, 7521

SYNTHESIS OF P-5 TETHERED INOSITOL-1,2,6-TRISPHOSPHATE, AN AFFINITY REAGENT FOR α-TRINOSITOL RECEPTORS

Anu Chaudhary, György Dormán and Glenn D. Prestwich, Department of Chemistry, University at Stony Brook, Stony Brook, New York 11794-3400

D-*myo*-P-5-(*O*-aminopropyl)-Ins(1,2,5,6)P_4, a C-5 phosphodiester tethered analog of the antiinflammatory compound Ins(1,2,6)P_3, was synthesized by a Ferrier rearrangement route and a benzophenone-containing photoaffinity probe has been prepared.

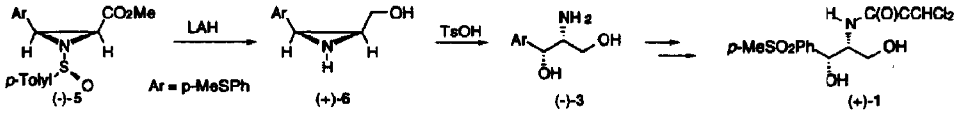


Tetrahedron Letters, **1994**, *35*, 7525

ASYMMETRIC SYNTHESIS OF THE ANTIBIOTIC (+)-THIAMPHENICOL USING CIS-N-(*p*-TOLUENESULFINYL)-AZIRIDINE 2-CARBOXYLIC ACIDS

Franklin A. Davis* and Ping Zhou
Department of Chemistry, Drexel University, Philadelphia, PA 19104

The key intermediate in the asymmetric synthesis of the broad spectrum antibiotic thiamphenicol (+)-**1**, aminopropanediol (-)-**3**, was prepared in two steps from cis-N-(*p*-toluenesulfinyl)aziridine 2-carboxylic acid (-)-**5**.

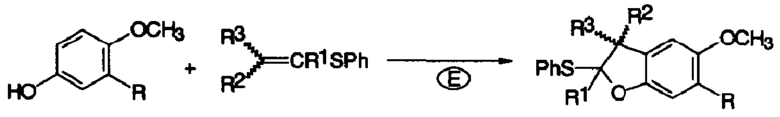


Tetrahedron Letters, **1994**, *35*, 7529

DIHYDROBENZOFURAN DERIVATIVES VIA THE ANODIC CYCLOADDITION REACTION OF *p*-METHOXYPHENOLS AND VINYL SULFIDES

Michael L. Kerns, Sean M. Conroy, and John S. Swenton*
Department of Chemistry, The Ohio State University
120 West 18th Avenue, Columbus, OH 43210

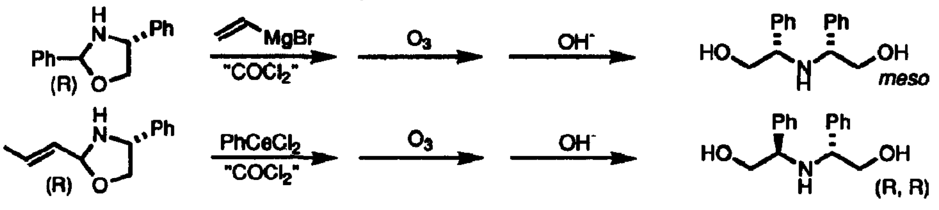
Vinyl sulfides are excellent substrates for anodic cycloaddition reactions with oxidized phenols.



Tetrahedron Letters, **1994**, *35*, 7533

A Stereoselective Concise Synthesis of C_2- and *meso*-Aminodiols from *(R)*-Phenylglycinol

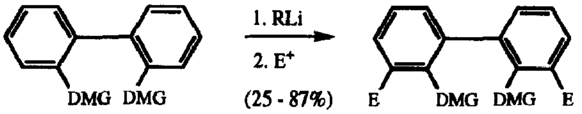
Mark A. Sciaidone and A. I. Meyers*
Department of Chemistry, Colorado State University, Fort Collins, Colorado 80523 USA



Tetrahedron Letters, **1994**, *35*, 7537

Directed *ortho* Metalation Reactions. Expedient Synthesis of 3,3'-Disubstituted 1,1'-Bi-(2-Phenols) (BIPOLS)

Andrew S. Parsons, Jesus M. Garcia and Victor A. Snieckus*
Guelph-Waterloo Centre for Graduate Work in Chemistry, University of Waterloo, Waterloo, Ontario CANADA N2L 3G1



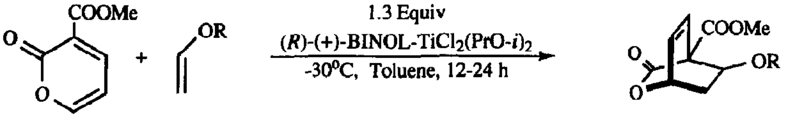
DMG = Directed Metalation Group
= OCONEt$_2$, OMOM

E = Me, CHO, CH(OH)Ar, CO$_2$H, NH$_2$, OH, SMe, TMS, I

Tetrahedron Letters, **1994**, *35*, 7541

BINAPHTHOL-TITANIUM-PROMOTED, HIGHLY ENANTIOCONTROLLED, DIELS-ALDER CYCLOADDITIONS OF ELECTRONICALLY MATCHED 2-PYRONES AND VINYL ETHERS : STREAMLINED ASYMMETRIC SYNTHESIS OF AN A-RING PRECURSOR TO PHYSIOLOGICALLY ACTIVE 1α-HYDROXYVITAMIN D$_3$ STEROIDS

Gary H. Posner,* Franck Eydoux, J.K. Lee, and D. Scott Bull
Department of Chemistry, School of Arts and Sciences, The Johns Hopkins University, Baltimore, MD, 21218, USA



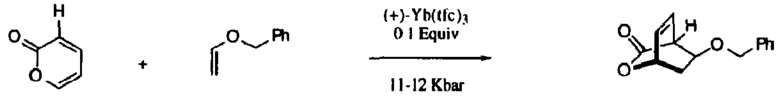
86-90 % Yield
95-98 % ee

Tetrahedron Letters, **1994**, *35*, 7545

LEWIS ACID-CATALYZED, HIGH PRESSURE, STEREOSPECIFIC, REGIOSPECIFIC, DIELS-ALDER CYCLOADDITION OF UNSUBSTITUTED 2-PYRONE: SHORT SYNTHESIS OF A RACEMIC A-RING PRECURSOR TO PHYSIOLOGICALLY ACTIVE 1-HYDROXYVITAMIN D$_3$ STEROIDS

Gary H. Posner* and Yuji Ishihara, Department of Chemistry, School of Arts and Sciences, The Johns Hopkins University, Baltimore, MD 21218, USA

Under the combined influence of high pressure and a Lewis acid catalyst, unsubstituted 2-pyrone cycloadds with only two equivalents of benzyl vinyl ether to form exclusively bicyclic lactone (±)-1



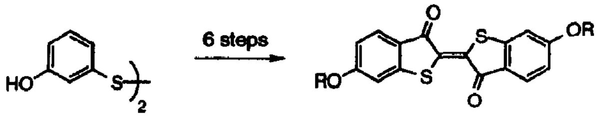
(±)-1, 91%

Tetrahedron Letters, **1994**, *35*, 7549

A CONVENIENT SYNTHESIS OF 6,6'-DIALKOXYTHIOINDIGO DYES SUITABLE FOR DOPING INTO A LIQUID CRYSTAL HOST

Liviu Dinescu, Adam Mezo, Leonard G. Luyt and Robert P. Lemieux,* Department of Chemistry, Queen's University, Kingston, Ontario, Canada K7L 3N6

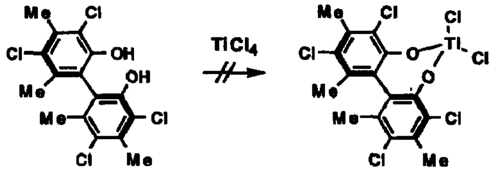
A synthesis of 6,6'-di-*n*-alkoxythioindigo dyes suitable for doping into a liquid crystal host is reported, along with measurements of solubility limits in nematic and smectic liquid crystals with broad temperature range.



Tetrahedron Letters, **1994**, *35*, 7553

Correlation of the Structure of Chiral *o,o'*-Bisphenol-Ti(IV) Complexes with Ligand Electronic Effects. A Relationship Between Lewis Acidity and Angle Strain

E. J. Corey,* Michael A. Letavic, Mark C. Noe and Sepehr Sarshar
Department of Chemistry, Harvard University
Cambridge, Massachusetts 02138

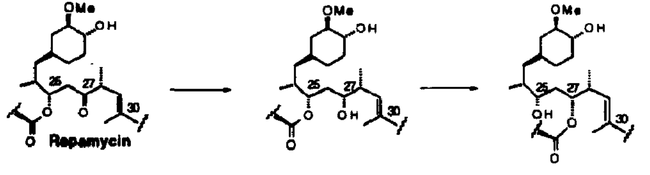


Tetrahedron Letters, **1994**, *35*, 7557

A Novel Ring Contraction Of Rapamycin

Frances C. Nelson,* Shawn J. Stachel, and James F. Mattes
Chemical Sciences, Wyeth-Ayerst Research, CN 8000, Princeton, New Jersey 08543-8000

The first synthesis of a novel ring contracted analogue of rapamycin is reported. The synthesis employs a stereoselective and regioselective reduction of the C_{27} ketone followed by a 1,3-acyl migration.

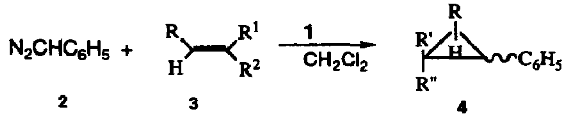


Tetrahedron Letters, **1994**, *35*, 7561

Iron Lewis Acid Catalyzed Reactions of Phenyldiazomethane and Olefins : Formation of Cyclopropanes with Very High *Cis* Selectivity

William J. Seitz and M. Mahmun Hossain*
Department of Chemistry, University of Wisconsin-Milwaukee, Wisconsin 53201

The iron Lewis acid, $(\eta^5\text{-}C_5H_5)Fe^+(CO)_2(THF)BF_4^-$, (1) catalyzes the reaction of phenyldiazomethane (2) with olefins at room temperature to provide *cis* cyclopropanes (4).

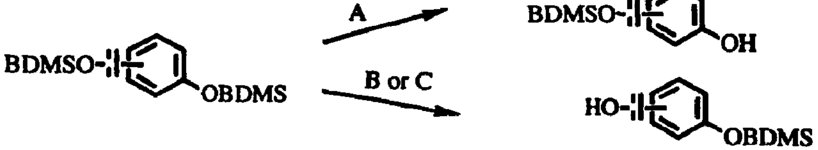


Tetrahedron Letters, **1994**, *35*, 7565

SELECTIVE REMOVAL OF PHENOLIC AND ALCOHOLIC SILYL ETHERS

Chandra Prakash*, Samir Saleh and Ian A. Blair, Departments of Pharmacology and Chemistry,
Vanderbilt University, Nashville, TN 37232

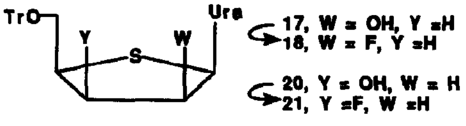
Potassium carbonate/Kriptofix 222 (A) and pyridinium p-toluenesulfonate (B) or BF_3 etherate (C) were found to remove *tert*-butyldimethylsilyl group from phenolic and alcoholic silyl ethers, respectively.



Tetrahedron Letters, **1994**, *35*, 7569

UNANTICIPATED RETENTION OF CONFIGURATION IN THE DAST FLUORINATION OF DEOXY-4'-THIOPYRIMIDINE NUCLEOSIDES WITH "UP" HYDROXYL GROUPS.

Lak S. Jeong,† Marc C. Nicklaus,† Cliff George,‡ and Victor E. Marquez,†*. †Laboratory of Medicinal Chemistry, Developmental Therapeutics Program, Division of Cancer Treatment, National Cancer Institute, NIH, Bethesda, Maryland 20892, and ‡Laboratory for the Structure of Matter, Naval Research Laboratory, Washington, DC 20375.

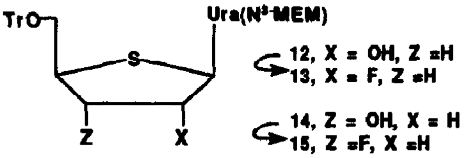


Fluorination of 1-(5-*O*-trityl-3-deoxy-4-thio-β-D-*threo*-pentofuranosyl)uracil (**17**) and 1-(5-*O*-trityl-2-deoxy-4-thio-β-D-*threo*-pentofuranosyl)uracil (**20**) with DAST proceeded with exclusive retention of configuration. The structures of the products were confirmed by X-ray analysis.

Tetrahedron Letters, **1994**, *35*, 7573

FACILE FLUORINATION OF DEOXY-4'-THIOPYRIMIDINE NUCLEOSIDES WITH "DOWN" HYDROXYL GROUPS. RETENTION OF CONFIGURATION AFTER FLUORIDE OPENING OF THE QUATERNIZED N^3-MEM ANHYDRONUCLEOSIDES.

Lak S. Jeong,† Marc C. Nicklaus,† Cliff George,‡ and Victor E. Marquez,†*. †Laboratory of Medicinal Chemistry, Developmental Therapeutics Program, Division of Cancer Treatment, National Cancer Institute, NIH, Bethesda, Maryland 20892, and ‡Laboratory for the Structure of Matter, Naval Research Laboratory, Washington, DC 20375.



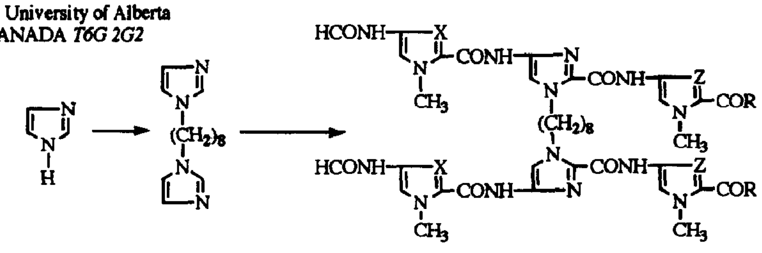
Attempted fluorination of 1-(5-*O*-trityl-3-deoxy-4-thio-β-D-*erythro*-pentofuranosyl)-3-(2-MEM)uracil (**12**) and 1-(5-*O*-trityl-2-deoxy-4-thio-β-D-*erythro*-pentofuranosyl)-3-(2-MEM)uracil (**14**) with DAST produced very reactive anhydronucleoside intermediates that reacted with KF to give the desired fluorinated nucleosides with retention of configuration.

Tetrahedron Letters, **1994**, *35*, 7577

SYNTHESIS OF NOVEL CROSS-LINKED BIS-LEXITROPSINS

Naim H. Al-Said and J. William Lown
Department of Chemistry, University of Alberta
Edmonton, Alberta, CANADA *T6G 2G2*

The synthesis of cross-linked bis-lexitropsins **14**, **15** and **16** is described.



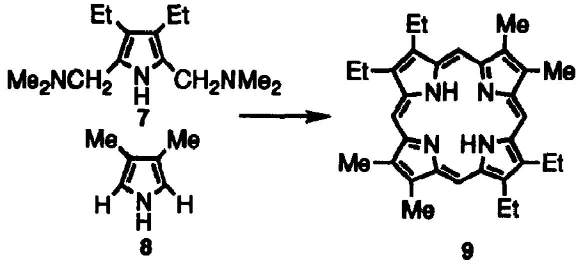
14 X=Z=CH; **15** X=N, Z=CH; **16** X=Z=N [R = $-NH(CH_2)_3NMe_2$]

Tetrahedron Letters, **1994**, *35*, 7581

ONE-POT SYNTHESIS OF REGIOCHEMICALLY PURE PORPHYRINS FROM TWO DIFFERENT PYRROLES.

Liem T. Nguyen, Mathias O. Senge and Kevin M. Smith,*
Department of Chemistry, University of California,
Davis, CA 95616, U.S.A.

2,5-Bis-(N,N-dimethylaminomethyl)pyrroles 7 react with 2,5-di-unsybstituted pyrroles (e.g. 8), under carefully controlled conditions to give so-called *opp*-porphyrins (e.g. 9) in which like pyrrole rings are regiochemically situated opposite to each other.

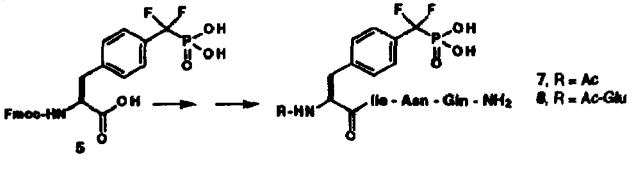


Tetrahedron Letters, **1994**, *35*, 7585

N-α-Fmoc-4-Phosphono(difluoromethyl)-L-phenylalanine: A New O-Phosphotyrosine Isosteric Building Block Suitable for Direct Incorporation into Peptides

Mikhail F. Gordeev,* Dinesh V. Patel, Peter L. Barker, and Eric M. Gordon
Affymax Research Institute, 3410 Central Expressway, Santa Clara, CA 95051

An efficient preparation of side chain unprotected phosphotyrosine isostere Fmoc-F_2Pmp-OH **5**, and its direct incorporation in the synthesis of model phosphono(difluoromethyl)-L-phenylalanine peptides **7** and **8** is described.

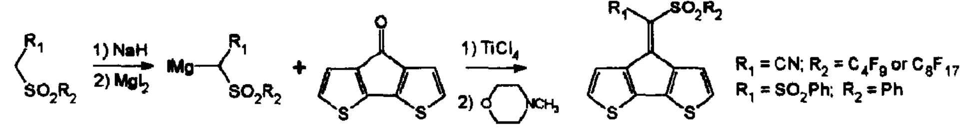


Tetrahedron Letters, **1994**, *35*, 7589

KNOEVENAGEL-LIKE CONDENSATIONS WITH HIGHLY STABILIZED ACTIVE METHYLENE COMPOUNDS

Diego A. Torres and John P. Ferraris*
Department of Chemistry, University of Texas at Dallas, P. O. Box 830688, Richardson, TX., 75083-0688, USA

Active methylene compounds containing cyano and sulfonyl substitutents were condensed with a fused bithiophene ketone.

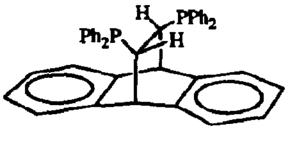


Tetrahedron Letters, **1994**, *35*, 7593

THE SYNTHESIS OF ANTHRAPHOS, A CONFORMATIONALLY RIGID, C_2-SYMMETRIC DIPHOSPHINE AND THE X-RAY CRYSTAL STRUCTURE OF [Rh(COD)(ANTHRAPHOS)]BF_4

Tai Y. Fu, Zhaoqing Liu, John R. Scheffer,* and James Trotter, Department of Chemistry, University of British Columbia, Vancouver, Canada V6T 1Z1

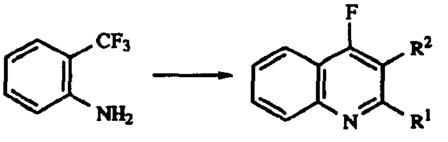
Anthraphos (structure at right) has been synthesized, resolved and used to prepare the hydrogenation catalyst precursor, [Rh(COD)(anthraphos)]BF_4, whose X-ray crystal structure is reported.



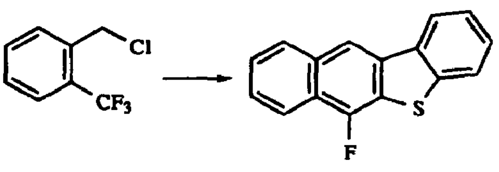
Tetrahedron Letters, **1994**, *35*, 7597

AN UNCONVENTIONAL SYNTHETIC APPROACH TO FLUORO HETEROAROMATIC COMPOUNDS BY A NOVEL TRANSFORMATION OF AN ANIONICALLY ACTIVATED TRIFLUOROMETHYL GROUP

Alexander S. Kiselyov and Lucjan Strekowski, Department of Chemistry, Georgia State University, Atlanta, Georgia 30303 USA



R^1 = Ph, R^2 = H; R^1 = NH_2, R^2 = alkyl, vinyl, aryl

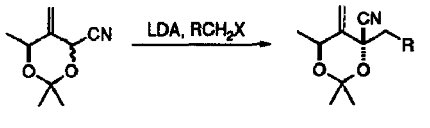


Tetrahedron Letters, **1994**, *35*, 7601

AN UNEXPECTED REVERSAL OF STEREOCHEMISTRY IN A MODIFICATION OF THE RYCHNOVSKY CYANOHYDRIN ALKYLATION

Linda Joy Brzezinski, Dinah D. Levy and James W. Leahy*
Department of Chemistry, University of California,
Berkeley, CA 94720-1460

Alkylation of cyanohydrin 1,3-acetonides with unsaturated substitution at the 2-position is described. The stereochemistry of the products obtained from this reaction was opposite to that anticipated.

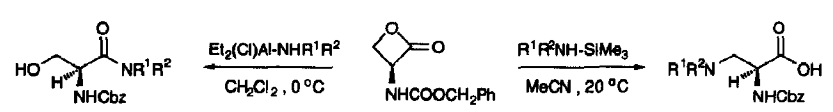


Tetrahedron Letters, **1994**, *35*, 7605

REACTION OF TRIMETHYLSILYLAMINES WITH N-CBZ-L-SERINE-β-LACTONE: A CONVENIENT ROUTE TO OPTICALLY PURE β-AMINO-L-ALANINE DERIVATIVES.

Elaref S. Ratemi and John C. Vederas,* Department of Chemistry, University of Alberta, Edmonton, Alberta, Canada T6G 2G2

Trimethylsilylamines, $Me_3Si\text{-}NR_2$, react with N-Cbz-L-serine-β-lactone in acetonitrile to give optically pure N-Cbz-β-amino-L-alanine derivatives whereas aluminum-amine reagents produce the N-Cbz-L-serinamides.

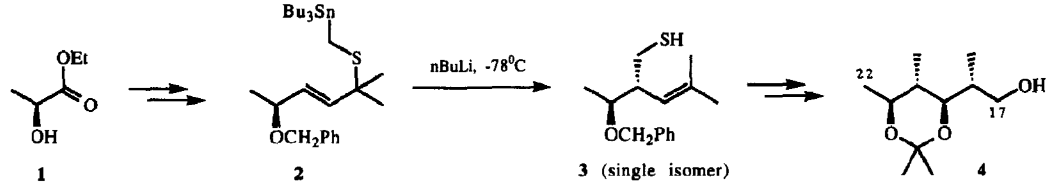


Tetrahedron Letters, **1994**, *35*, 7609

1,2-ASYMMETRIC INDUCTION IN THE [2,3]-THIA-WITTIG REARRANGEMENT APPLIED TO A SYNTHESIS OF THE C_{17}-C_{22} SUBUNIT OF IONOMYCIN

Holger von der Emde [a], *Anja Langels* [b], *Mathias Noltemeyer* [c], *and Reinhard Brückner* [a]*
[a] *Institut für Organische Chemie der Georg-August-Universität, Tammannstr. 2, D-37077 Göttingen, Germany;* [b] *Institut für Organische Chemie der Universität, Am Hubland, D-97074 Würzburg, Germany;* [c] *Institut für Anorganische Chemie der Georg-August-Universität, Tammannstr. 4, D-37077 Göttingen, Germany*

Compound **4** was synthesized from (*S*)-ethyl lactate **1** using the stereoselective [2,3]-thia-Wittig rearrangement **2**→**3** as a key step.



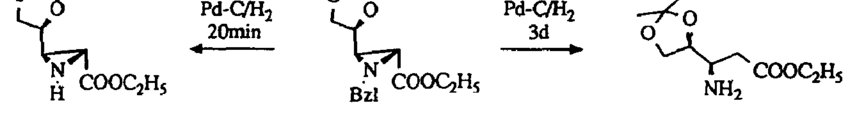
1 **2** **3** (single isomer) **4**

Tetrahedron Letters, **1994**, *35*, 7613

Hydrogenation of 1-Benzyl-3-(2,2-dimethyl-1,3-dioxolan-4-yl)-aziridine-2-carboxylic acid ethyl ester.

H.-D. Ambrosi[a], W Duczek[a], M Ramm[b], K. Jähnisch[c]*
Institut für Angewandte Chemie[c], Rudower Chaussee 5, D-12484 Berlin-Adlershof, Germany,Technische Fachhochschule Berlin[a], Luxemburger Straße 10, D-13353 Berlin; Freie Universität Berlin, Institut für Kristallographie[b], Takustraße 6, D-14195 Berlin

The hydrogenation of optically pure azindine-2-carboxylic acid ethyl ester leads to 1H-aziridine or β-amino carbonic acid ethyl ester

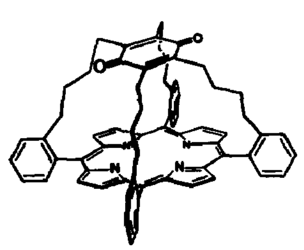


Tetrahedron Letters, **1994**, *35*, 7617

SYNTHESIS OF A FOURFOLD-BRIDGED PORPHYRIN-QUINONE CYCLOPHANE AND ITS ZINC COMPLEX[1]

Heinz A. Staab*, Annelie Döhling, Patricia Voit and Matthias Dernbach,
Abteilung Organische Chemie,
Max-Planck-Institut für medizinische Forschung,
Jahnstraße 29, D-69120 Heidelberg

A fourfold-bridged porphyrin-quinone cyclophane and its zinc complex were prepared in multistep syntheses; their steric structures are discussed with regard to photoinduced electron-transfer reactions.



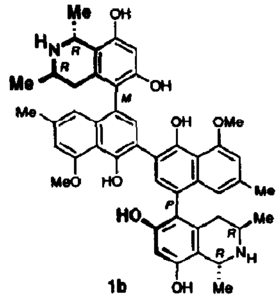
Convergent Total Synthesis of the Michellamines

Tetrahedron Letters, **1994**, *35*, 7621

T. Ross Kelly*[a], A. Garcia[a], F. Lang[a], J.J. Walsh[a], K.V. Bhaskar[a], M.R. Boyd[b], R. Götz[c], P.A. Keller[c], R. Walter[c], G. Bringmann*[c]

[a]Department of Chemistry, Eugene F. Merkert Chemistry Center, Boston College, Chestnut Hill, MA 02167-3860, USA
[b]Laboratory of Drug Discovery Research and Development, National Cancer Institute, Building 1052 Room 121, Frederick, MD 21702-1201, USA
[c]Institut für Organische Chemie, Universität Würzburg, Am Hubland, 97074 Würzburg, Germany

The total synthesis of the naturally occurring anti-HIV agents michellamines A and B (**1b**) has been achieved by a sequence of intermolecular coupling reactions.



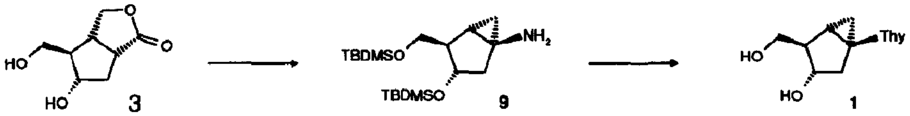
1b

Tetrahedron Letters, **1994**, *35*, 7625

1', 6'-Methano Carbocyclic Thymidine: Synthesis, X-ray Crystal Structure, and Effect on Nucleic Acid Duplex Stability.

Karl-Heinz Altmann[$]*, René Imwinkelried[$], Rudolf Kesselring[$], and Grety Rihs[+]
CIBA, Central Research Laboratories[$] and Physics Department[+], CH-4002 Basel, Switzerland.

The title compound **1** has been synthesized *via* known homochiral lactone **3** and amine **9** as the key intermediates. X-ray crystallographic analysis of **1** indicates a boat-like (3'-exo) conformation of the bicyclo[3.1.0]hexane skeleton. Oligodeoxyribonucleotides incorporating up to 10 building blocks **1** in place of thymidine are still capable of binding to complementary DNA or RNA, albeit with lower affinity than the unmodified parent compounds.



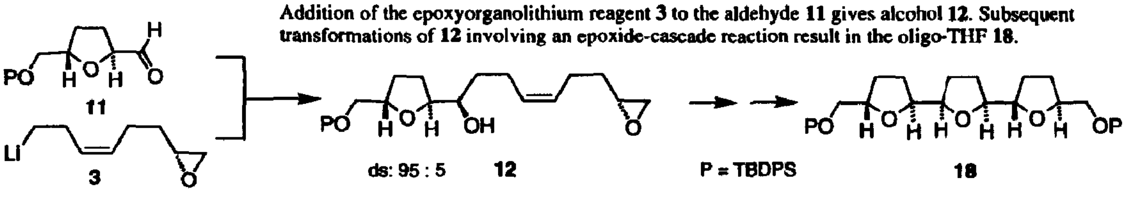
3 9 1

Tetrahedron Letters, **1994**, *35*, 7629

An Enantiomerically Pure Epoxyorganolithium Reagent for the Synthesis of Oligo(tetrahydrofurans) by an Epoxide-Cascade Reaction

Ulrich Koert*, Holger Wagner and Matthias Stein, Fachbereich Chemie der Philipps Universität, D-35032 Marburg, Germany

Addition of the epoxyorganolithium reagent **3** to the aldehyde **11** gives alcohol **12**. Subsequent transformations of **12** involving an epoxide-cascade reaction result in the oligo-THF **18**.



11 3

ds: 95 : 5 **12**

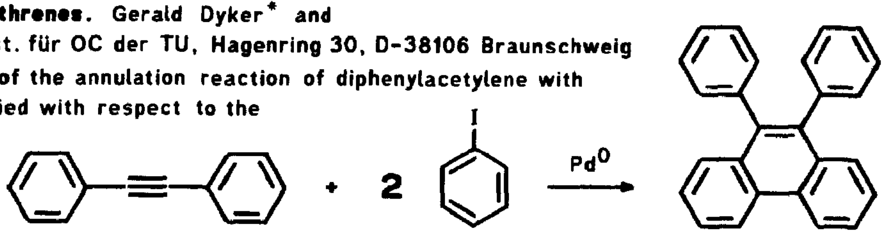
P = TBDPS **18**

Tetrahedron Letters, **1994**, *35*, 7633

A Palladium Catalyzed Domino Coupling Process to Substituted Phenanthrenes.

Gerald Dyker* and Andreas Kellner, Inst. für OC der TU, Hagenring 30, D-38106 Braunschweig

The regiochemistry of the annulation reaction of diphenylacetylene with iodobenzene is studied with respect to the electronic effects of selected substituents.



Tetrahedron Letters, **1994**, *35*, 7637

HYPERVALENT IODINE IN SYNTHESIS XVI: SYNTHESIS OF SELENOSULFONATES USING A ONE POT REACTION OF DIARYL DISELENIDES, SODIUM SULFINATES AND [BIS(TRIFLUOROACETOXY) IODO]BENZENE

Da—wei Chen and Zhen—Chu Chen*

Department of Chemistry, University of Hangzhou, Hangzhou, 310028, P. R. China

$$RSO_2Na + ArSeSeAr \xrightarrow[CH_2Cl_2]{PhI(OCOCF_3)_2} RSO_2SeAr \ (68-83\%)$$

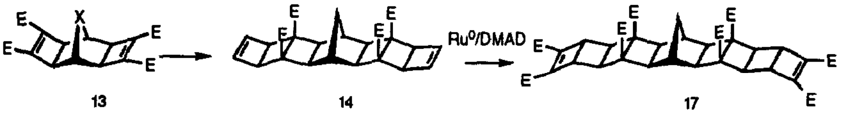
Selenosulfonates were synthesized from diaryl diselenides, sodium sulfinates and [bis(trifluoroacetoxy)iodo]benzene through a one pot reaction.

Tetrahedron Letters, **1994**, *35*, 7639

HOMOLADDERANES: A CHEVRON-SHAPED MOTIF FOR MOLECULAR DESIGN.

R.N. Warrener, G.A. Abbenante, R.G. Solomon and R.A. Russell, Centre for Molecular Architecture, Central Queensland University, Rockhampton, Queensland, Australia 4702.

Several homo[4]ladderanes(13, X=O, CO, CH₂) have been prepared and the methylene analogues extended to the homo [8] and [10]ladderanes (14) and (17).

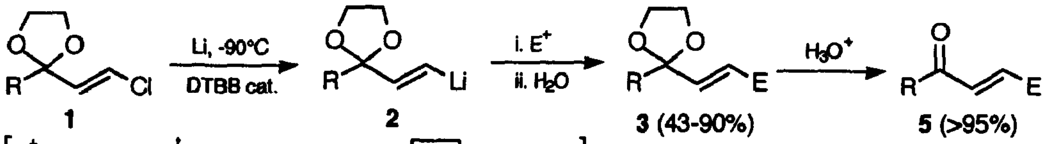


Tetrahedron Letters, **1994**, *35*, 7643

2-SUBSTITUTED (*E*)-2-(2-LITHIOETHENYL-1,3-DIOXOLANES: NEW DIASTEREOISOMERIC PURE β-ACYLVINYL ANION EQUIVALENTS

A. Bachki, F. Foubelo and M. Yus*

Departamento de Química Orgánica, Facultad de Ciencias, Universidad de Alicante, Apdo. 99, 03080 Alicante, Spain



[E^+=H_2O, D_2O, Bu^{t}CHO, PhCHO, Me_2CO, $(CH_2)_4CO$, PhCOMe]

NUCLEOPHILIC ADDITION OF PHOSPHINE TO ARYL- AND HETARYLETHENES. A CONVENIENT SYNTHESIS OF BIS(2-ARYLALKYL)- AND BIS(2-HETARALKYL)PHOSPHINES

Tetrahedron Letters, **1994**, *35*, 7647

Boris A. Trofimov [a]*, Lambert Brandsma[b], Svetlana N. Arbuzova[a], Svetlana F. Malysheva[a], Nina. K. Gusarova[a],
[a]Institute of Organic Chemistry of the Russian Academy of Sciences; Siberian Branch, 664033 Irkutsk, Russia.
[b]Department of Organic Chemistry, Debije Institute, University of Utrecht, Padualaan 8, 3584 CH, Utrecht, The Netherlands.

$$2\ R(R')C{=}CH_2 + PH_3 \xrightarrow[-60^{\circ}C]{KOH\ /\ DMSO} [R(R')CH{-}CH_2]PH$$

Nucleophilic addition of phosphine to alkenes leads to secondary phosphines

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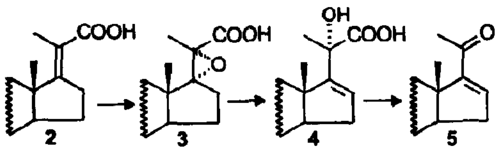
SYNTHESIS OF 16-DEHYDRO-20-OXOPREGNANES FROM 17α,20-EPOXY-23,24-DINORCHOLAN-22-OIC ACIDS.

Tetrahedron Letters, **1994**, *35*, 7651

HIGHLY STEREOSPECIFIC OXIRANE → ALLYL ALCOHOL ISOMERIZATION OF AN EPOXYCARBOXYLIC ACID

András Toró*, István Pallagi and Gábor Ambrus; Institute for Drug Research, Budapest; P.O.Box 82 H-1325, Budapest, Hungary

Microbial degradation products of natural sterols were converted into traditional precursors of steroid syntheses. For the title $AlCl_3$ catalyzed isomerization (3 → 4), a concerted mechanism was demonstrated.

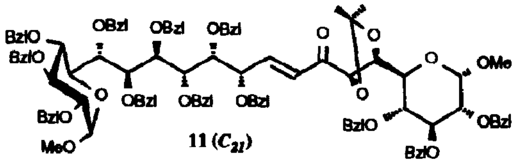


SYNTHESIS OF DERIVATIVES OF C_{19} AND C_{21} DIALDOSES.

Tetrahedron Letters, **1994**, *35*, 7655

Sławomir Jarosz
Institute of Organic Chemistry, Polish Academy of Sciences, 01-224 Warszawa, Poland

Coupling of the C_{12}-monosaccharide aldehyde 3 with the C_9 phophonate 8 or C_9 phosphorane 7 led to a C_{21} dialdose 11 in *ca* 50% yield.

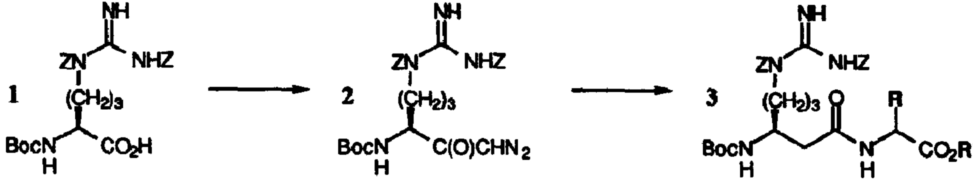


DIRECT CONVERSION OF ARGININE INTO β-HOMOARGININE DIPEPTIDES

Tetrahedron Letters, **1994**, *35*, 7659

H.M.M. Bastiaans, A.E. Alewijnse, J.L. van der Baan and H.C.J. Ottenheijm*
Scheikundig Laboratorium, Vrije Universiteit, De Boelelaan 1083, 1081 HV Amsterdam, The Netherlands

Irradiation of diazomethyl ketone 2 in the presence of amino esters gives the corresponding β-homoarginine dipeptide esters 3.

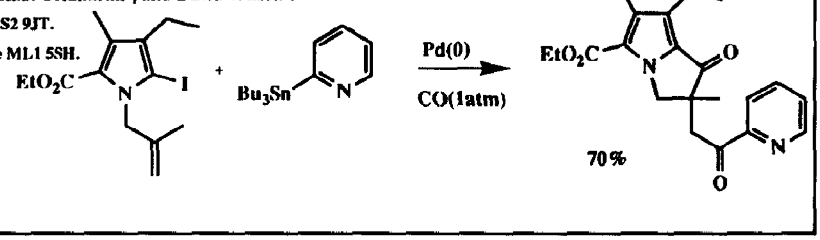


Tetrahedron Letters, **1994**, *35*, 7661

PALLADIUM CATALYSED CASCADE CARBONYLATION - CYCLISATION - CARBOMETALLATION - ANION CAPTURE. TETRAMOLECULAR QUEUING PROCESSES

Ronald Grigg,[a,*] James Redpath,[b], Visuvanathar Sridharan[a], and David Wilson[a].
a. School of Chemistry, Leeds University, Leeds LS2 9JT.
b. Organon Laboratories, Newhouse, Lanarkshire ML1 5SH.

Palladium catalysed sequential carbonylation - cyclisation - carbometallation - anion capture from Sn(IV) or B(III) occurs in good yield under 1 atm. of CO.

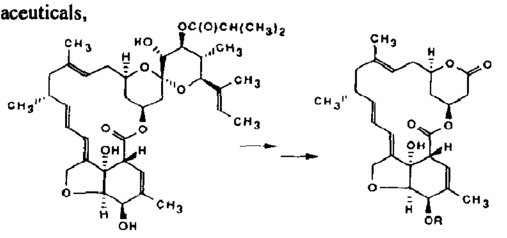


Tetrahedron Letters, **1994**, *35*, 7665

A CONVENIENT AND CONCISE SYNTHESIS OF A KEY LACTONE INTERMEDIATE IN MILBEMYCIN CHEMISTRY.

Nigel Hussain* and David O. Morgan. SmithKline Beecham Pharmaceuticals, Old Powder Mills, Near Leigh, Tonbridge, Kent. TN11 9AN.
Roderick J.J. Dorgan and Graham S. Macaulay.
SmithKline Beecham Animal Health, Walton Oaks, Dorking Road, Tadworth, Surrey. KT20 7NT.

A 3 "pot" synthesis of a milbemycin lactone from a C22,23-dioxygenated milbemycin is described.

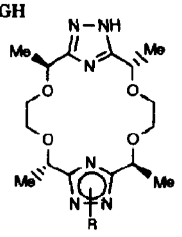


Tetrahedron Letters, **1994**, *35*, 7669

EXTRACTION OF CHIRAL AMMONIUM CATIONS AND TRANSPORT THROUGH SUPPORTED LIQUID MEMBRANES MEDIATED BY 1,2,4-TRIAZOLE-CONTAINING PODANDS AND MACROCYCLES

M.V. Martínez-Díaz, J. de Mendoza and T. Torres*
Dept. de Química (C-I). Universidad Autónoma de Madrid 28049-Madrid, Spain.

The synthesis of proton-ionizable bistriazolo-18-crown-6 3 is described. The mediated transport of chiral ammonium cations (HNEA⁺) by 3 and related carriers has been studied using a supported liquid membrane.



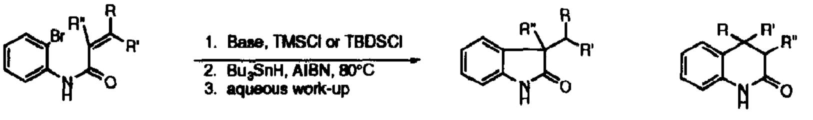
3

Tetrahedron Letters, **1994**, *35*, 7673

INTRAMOLECULAR REACTIONS USING AMIDE LINKS: ARYL RADICAL CYCLISATION OF SILYLATED ACRYLOYLANILIDES

Keith Jones*, James Wilkinson and Richard Ewin
Department of Chemistry, King's College London, Strand, London WC2R 2LS U.K.

Radical cyclisations of *in situ* silylated ortho-bromoacryloylanilides are presented and the ratios of oxindole and dihydroquinolone obtained are discussed.

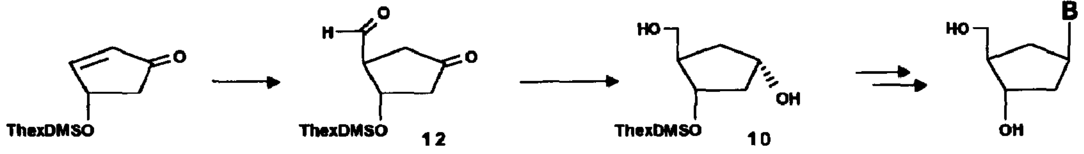


Tetrahedron Letters, **1994**, *35*, 7677

An Efficient Synthesis of a Chiral Carbocyclic 2'-Deoxyribonucleoside Synthon by Directed Reduction

Alan D. Borthwick*, Andrew J. Crame, Anne M. Exall, and Gordon G. Weingarten.
Department of Medicinal Chemistry II, Glaxo Research and Development Ltd, Greenford, Middlesex UB6 OHE. UK.

Directed reduction of the keto-aldehyde **12** with $NaBH(OAc)_3$ gave exclusively in high yield the 1,4-diol **10**.

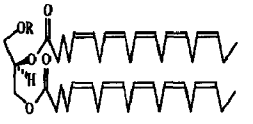


Tetrahedron Letters, **1994**, *35*, 7681

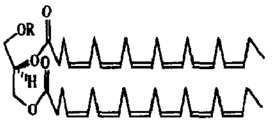
THE GENERATION OF GLYCERYL ETHER LIPIDS HIGHLY ENRICHED WITH EICOSAPENTAENOIC ACID AND DOCOSAHEXAENOIC ACID BY LIPASE.

Gudmundur G. Haraldsson* and Atli Thorarensen
Science Institute, University of Iceland,
Dunhaga 3, 107 Reykjavik, Iceland.

Methods for generating non-polar glyceryl ether lipids highly enriched with EPA or DHA or preparing them highly efficiently homogeneous with EPA, **1**, or DHA, **2**, by immobilized lipases is described.



1



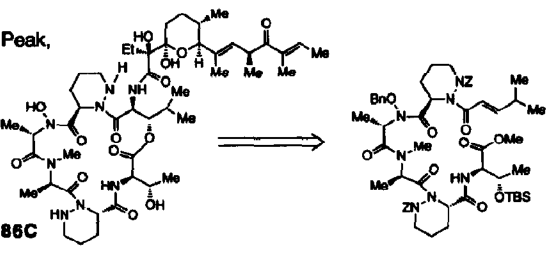
2

Tetrahedron Letters, **1994**, *35*, 7685

SYNTHETIC STUDIES ON THE AZINOTHRICIN FAMILY OF ANTIBIOTICS. 3. ENANTIOSELECTIVE SYNTHESIS OF A HEXAPEPTIDE PRECURSOR FOR ANTITUMOUR ANTIBIOTIC A83586C

Karl J. Hale,* Vern M. Delisser, Li-Kuan Yeh, S. Andrew Peak, Soraya Manaviazar, and Gurpreet S. Bhatia.
The Christopher Ingold Laboratories,
Department of Chemistry,
University College London,
20 Gordon Street,
London WC1H 0AJ, England.

A [3+2+1] fragment condensation strategy to a hexapeptide precursor of antitumour antibiotic A83586C is described.



A83586C