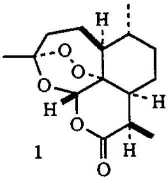
GRAPHICAL ABSTRACTS

Synthesis, Conformational Analysis, and Antimalarial Activity of Tricyclic Analogues of Artemisinin.

Tetrahedron, **1994**, *50*, 957

Mitchell A. Avery,*[1] Fenglan Gao,[1] Wesley K.M. Chong,[2] Wayne D. Inman[3], and Phillip Crews[3]; [1]Department of Chemistry, University of North Dakota, Grand Forks, North Dakota 58202; [2]BioOrganic Chemistry Laboratory, SRI International, 333 Ravenswood Ave., Menlo Park, California 94025; [3]Department of Chemistry, University of California, Santa Cruz, California 95064. Antimalarial potency in the flexible seco-artemisinin analogs 2-5 appears to be related to the ability of these analogs to adopt an artemisinin-like (1) conformation.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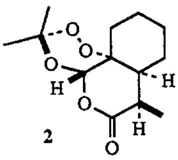
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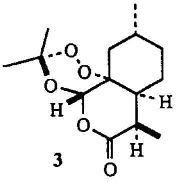
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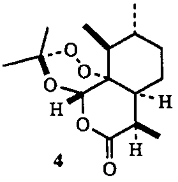
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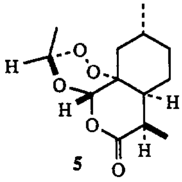
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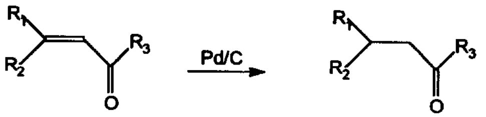
A SELECTIVE REDUCTION OF α,β-UNSATURATED KETONES

Tetrahedron, **1994**, *50*, 973

Maria Luiza A. von Holleben, Mônica Zucolotto, Claudia A. Zini and Eduardo R. Oliveira.
Instituto de Química - Universidade Federal do Rio Grande do Sul, Av. Bento Gonçalves, 9500 Campus do Vale - 91501-970. Porto Alegre, RS, BRAZIL.

Selective reduction of carbon-carbon double bond of some α,β-unsaturated ketones using limonene and palladium is performed through a simple, cheap and effective method.



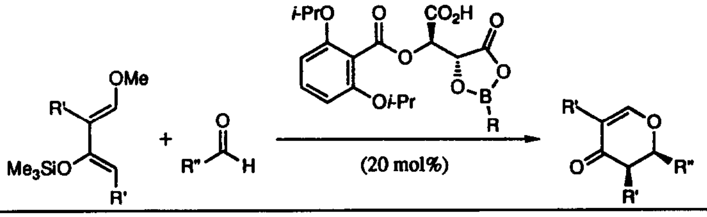
R_1,R_2,R_3, = H, alkyl group

Asymmetric Hetero Diels-Alder Reaction Catalyzed by Stable and Easily Prepared CAB Catalysts

Tetrahedron, **1994**, *50*, 979

Qingzhi Gao, Kazuaki Ishihara, Tohru Maruyama, Makoto Mouri and Hisashi Yamamoto*
School of Engineering, Nagoya University, Chikusa, Nagoya 464-01, Japan

A chiral (acyloxy)borane (CAB) complex is effective to catalyze hetero Diels-Alder reaction to produce dihydropyrone derivatives of high optical purities.

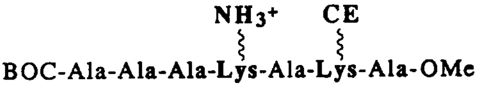


DESIGN AND SYNTHESIS OF NOVEL PEPTIDES BEARING A HOST AND A GUEST SIDE CHAINS

Tetrahedron, **1994**, *50*, 989

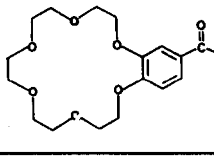
Normand Voyer* and Brigitte Guérin,
Département de chimie, Université de Sherbrooke, Sherbrooke, QC, Canada J1K 2R1

The synthesis of novel peptides designed to form intramolecular host-guest complexes under specific conformations is reported.



$$\text{BOC-Ala-Ala-Ala-}\overset{NH_3^+}{\text{Lys}}\text{-Ala-}\overset{CE}{\text{Lys}}\text{-Ala-OMe}$$

CE=



THE SELECTIVE FUNCTIONALIZATION OF SATURATED HYDROCARBONS. PART 28. THE ACTIVATION OF BENZYLIC METHYLENE GROUPS UNDER GOAGG^{IV} AND GOAGG^{V} CONDITIONS

Tetrahedron, **1994**, *50*, 1011

Derek H. R. Barton* and Tie-Lin Wang*
Department of Chemistry, Texas A&M University, College Station, Texas 77843-3255

The ketonization of benzylic methylene groups under GoAgg^{IV} and GoAgg^{V} conditions followed two different pathways: (a) Alkane to alkyl t-butylperoxide to ketone; and (b) alkane to alkyl hydroperoxide to ketone.

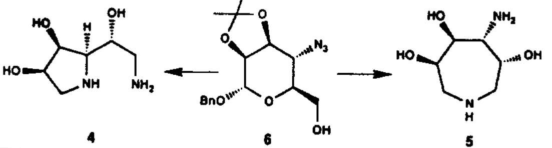
$$\begin{tikzcd}
\text{(a) } Ar_2CH\text{-}Fe^{V} \arrow[r, "TBHP"] & Ar_2CH\text{-}O\text{-}O\text{-}Bu\text{-}t \arrow[r] & Ar_2C{=}O \\
\text{(b) } Ar_2CH\text{-}Fe^{III \text{ or } V} \arrow[r, "O_2"] & Ar_2CH\text{-}O\text{-}O\text{-}Fe^{III \text{ or } V} \arrow[r] & Ar_2CH\text{-}O\text{-}O\text{-}H \arrow[u]
\end{tikzcd}$$

PYRROLIDINE AND AZEPINE MIMICS OF THE 'FLAP UP' MANNOSYL CATION

Tetrahedron, **1994**, *50*, 1033

Robert A. Farr*, Amy K. Holland, Edward W. Huber, Norton P. Peet and Philip M. Weintraub, Marion Merrell Dow Research Institute, 2110 East Galbraith Road, Cincinnati, Ohio, U.S.A.

Abstract: The pyrrolidine **4**, 6-amino-1,4,6-trideoxy-1,4-imino-*D*-mannitol dihydrochloride, and the azepine **5**, 4-amino-1,4,6-trideoxy-1,6-imino-*D*-mannitol dihydrochloride, were synthesized from azido alcohol **6** as potential inhibitors of α-mannosidase.



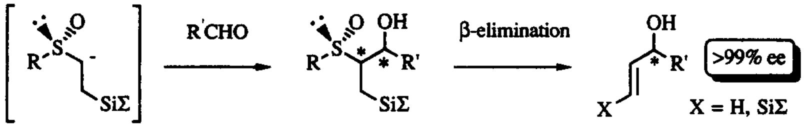
4 **6** **5**

Vinyl Anion Equivalent V. Asymmetric Synthesis of Allylic Alcohols Using Chiral 2-(Trialkylsilyl)ethyl Sulfoxides

Tetrahedron, **1994**, *50*, 1045

Shinya Kusuda, Yoshio Ueno, and Takeshi Toru*
Department of Applied Chemistry, Nagoya Institute of Technology, Gokiso, Showa-ku, Nagoya 466, Japan

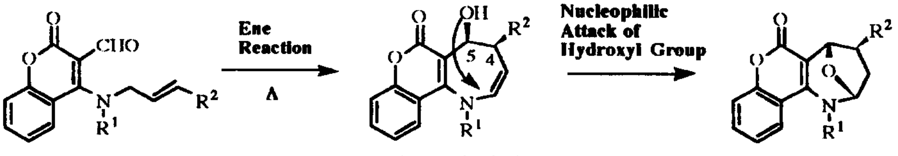
Optically pure allylic alcohols can be conveniently prepared by the reaction of the lithium carbanion of 2-(trialkylsilyl)ethyl sulfoxides with aldehydes followed by β-elimination



THERMAL ENE REACTION OF 4-(2-ALKENYLAMINO)-3-FORMYL-2(2*H*)-CHROMENONES

Tetrahedron, **1994**, *50*, 1063

Yoshiaki Kuroki
Pharmaceutical Research Division, Ube Laboratory, Corporate Research & Development, Ube Industries. LTD, 1978-5 Kogushi, Ube 755, Japan
Ryosuke Akao, Tomonori Inazumi, and Michihiko Noguchi*
Department of Applied Chemistry, Faculty of Engineering, Yamaguchi University, Tokiwadai, Ube 755, Japan



(4,5-*cis*; selectively)

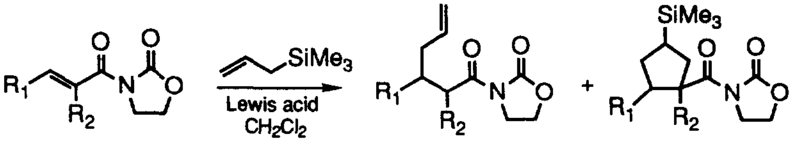
PM3 MO calculations reveal that this ene reaction proceeds with two steps through an intermediate.

Conjugate Addition of Allylsilanes to α,β-Unsaturated N-Acyloxazolidinones

Tetrahedron, **1994**, *50*, 1073

Ming-Jung Wu[a]* and Jiann-Yih Yeh[b]
a) School of Chemistry, b) Graduate Institute of Pharmaceutical Sciences, Kaohsiung Medical College, Kaohsiung, Taiwan, Republic of China

Reaction of allyltrimethylsilane with α,β-unsaturated N-acyloxazolidinones in the presence of $TiCl_4$ gave the conjugate addition adduct in good chemical yield along with small amount of cyclopentane adduct in some cases. The enantioselective synthesis was achieved by employing the chiral oxazolidinone as a chiral auxiliaries.

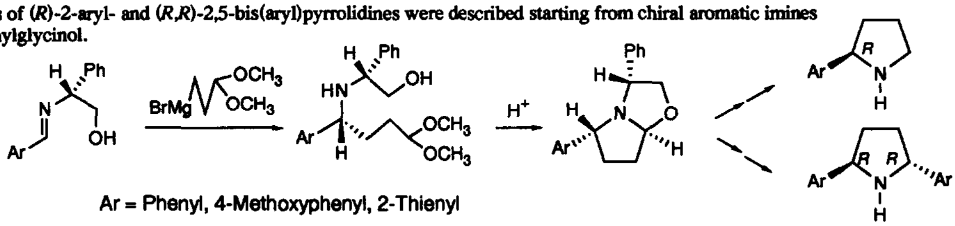


DIASTEREOSELECTIVE ADDITION OF CHIRAL IMINES AND 1,3-OXAZOLIDINES WITH GRIGNARD REAGENTS; ASYMMETRIC SYNTHESIS OF (*R*)-2-ARYL- AND (*R,R*)-2,5-BIS(ARYL)PYRROLIDINES

Tetrahedron, **1994**, *50*, 1083

Kimio Higashiyama,* Hiroaki Inoue, and Hiroshi Takahashi
Faculty of Pharmaceutical Science, Hoshi University, Ebara 2-4-41, Shinagawa-ku, Tokyo 142, Japan

Asymmetric syntheses of (*R*)-2-aryl- and (*R,R*)-2,5-bis(aryl)pyrrolidines were described starting from chiral aromatic imines derived from (*R*)-phenylglycinol.



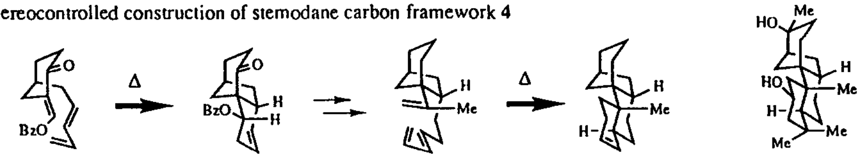
Ar = Phenyl, 4-Methoxyphenyl, 2-Thienyl

Synthetic Approach To Stemodin (I) --- A Novel Stereo-controlled Construction Of The Stemodane System By The Successive Intramolecular Diels-Alder Reactions

Tetrahedron, **1994**, *50*, 1093

Masahiro Toyota[a], Takashi Seishi[a], Masaharu Yokoyama[a], Keiichiro Fukumoto[a]*, Chizuko Kabuto[b] a) Pharmaceutical Institute, Tohoku University, Aobayama Sendai 980, Japan b) Instrumental Analysis Center for Chemistry, Faculty of Science, Tohoku University, Aobayama, Sendai 980, Japan

Successive intramolecular Diels-Alder reactions (1 → 2 and 3 → 4) have been utilized as the key steps for a highly stereocontrolled construction of stemodane carbon framework 4

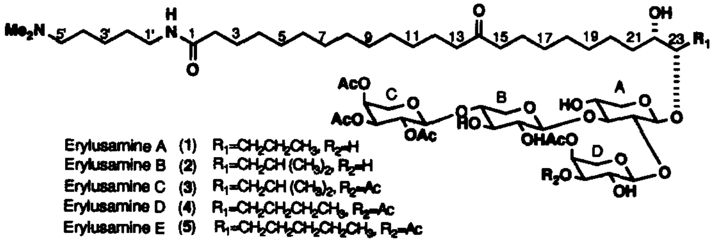


1 2 3 4 Stemodin

Erylusamines, IL-6 Receptor Antagonists, from the Marine Sponge, *Erylus placenta*

Tetrahedron, **1994**, *50*, 1105

Noriko Sata, Naoki Asai, Shigeki Matsunaga, and Nobuhiro Fusetani*, Laboratory of Marine Biochemistry, Faculty of Agriculture, The University of Tokyo, Bunkyo-ku, Tokyo 113, Japan



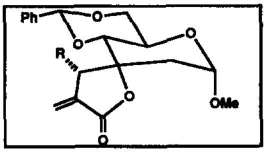
Erylusamine A (1) R_1=$CH_2CH_2CH_3$, R_2=H
Erylusamine B (2) R_1=$CH_2CH(CH_3)_2$, R_2=H
Erylusamine C (3) R_1=$CH_2CH(CH_3)_2$, R_2=Ac
Erylusamine D (4) R_1=$CH_2CH_2CH_2CH_3$, R_2=Ac
Erylusamine E (5) R_1=$CH_2CH_2CH_2CH_2CH_3$, R_2=Ac

DOUBLE STEREODIFFERENTIATING DREIDING-SCHMIDT REACTIONS

Tetrahedron, **1994**, *50*, 1111

RENÉ CSUK*+, BRIGITTE I. GLÄNZER+, ZHONG HU+, and ROLAND BOESE#
+ PHARMAZEUTISCH-CHEMISCHES INSTITUT, UNIVERSITÄT HEIDELBERG, Im Neuenheimer Feld 364, D-69120 Heidelberg, Germany; # INSTITUT FÜR ANORGANISCHE CHEMIE, UNIVERSITÄT - GH - ESSEN, Universitätsstraße 5-7, D-45117 Essen, Germany.

Spiroanellated carbohydrate-derived α-methylene-γ-butyrolactones with an additional stereogenic center in the β-position of the anellated lactone ring and spiroanellated α-alkylidene-γ-butyrolactones were obtained in a stereoselective manner by *Dreiding-Schmidt* reactions using zinc-silver/graphite.

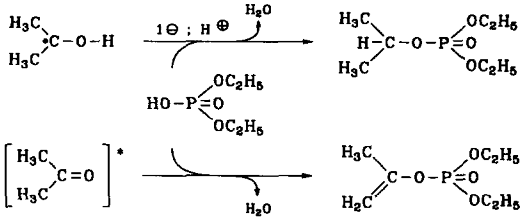


DIETHYL ISOPROPYL AND ISOPROPENYL PHOSPHATE VIA DIFFERENTLY GENERATED KETYL RADICALS OF ACETONE REACTING WITH DIETHYL PHOSPHORIC ACID

Tetrahedron, **1994**, *50*, 1125

J. Schole, C. Schole, J. Eikemeyer, and H.C. Krebs,
Tierärztliche Hochschule Hannover, Germany.

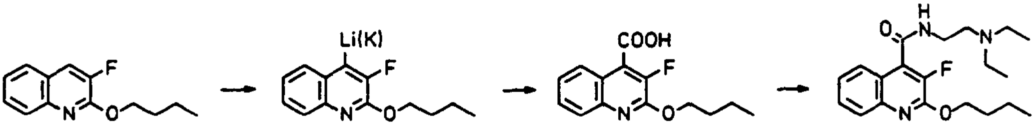
Ketyl radicals of acetone generated by photochemical excitation, amalgam reduction, or thermolysis of tetramethyldioxetane react with diethyl phosphoric acid to diethyl isopropyl or diethyl isopropenyl phosphate, respectively.



METALATED FLUOROPYRIDINES AND FLUOROQUINOLINES AS REACTIVE INTERMEDIATES : NEW WAYS FOR THEIR REGIOSELECTIVE GENERATION

Tetrahedron, **1994**, *50*, 1129

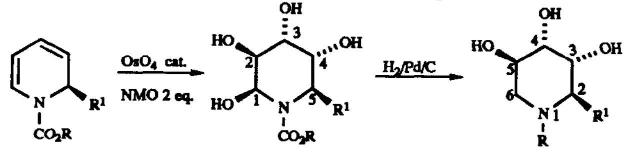
Guo-qiang SHI, Sadahito TAKAGISHI and Manfred SCHLOSSER *
Institut de Chimie organique, Université de Lausanne, Switzerland



STEREOSELECTIVE CATALYTIC DOUBLE OSMYLATION OF 1,2-DIHYDROPYRIDINES LEADING TO AMINO-ARABINOSE AND TO AMINOALTROSE DERIVATIVES AND TO POTENTIAL GLYCOSIDASE INHIBITORS

Tetrahedron, **1994**, *50*, 1135

Théophile Tschamber[a)], Frédérique Backenstrass[a)], Marcus Neuburger[b)], Margareta Zehnder[b)] and Jacques Streith[a)*]
a) Ecole Nationale Supérieure de Chimie, Université de Haute-Alsace, 3, rue Alfred Werner, F-68093 Mulhouse Cédex, France
b) Institut für Anorganische Chemie, Universität Basel, Spitalstrasse 51, CH-4056-Basle, Switzerland.

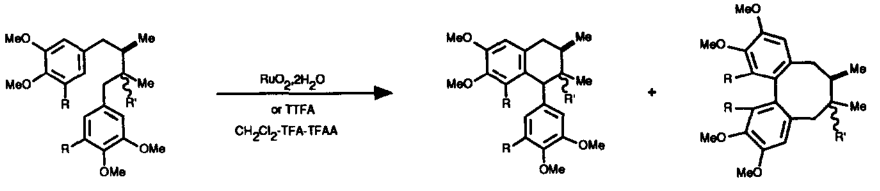


R = Bn; R^1 = H
R = Bn; R^1 = Me

Ruthenium dioxide in fluoro acid medium. V. Application to the non phenolic oxidative coupling of diarylbutanes. Conformational studies of cis and trans deoxyschizandrins.

Tetrahedron, **1994**, *50*, 1153

R. Dhal, Y. Landais, A. Lebrun, V. Lenain, and J.-P. Robin*
Département de Chimie, Institut Universitaire de Technologie, Université du Maine, Route de Laval, 72017 Le Mans Cedex, France.

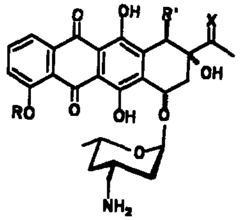


SYNTHESIS AND CYTOTOXIC ACTIVITY OF NEW 4'-DEOXY C-3'-HOMO ANTHRACYCLINES

Tetrahedron, **1994**, *50*, 1165

J.-P. Gesson,* J.-C. Jacquesy and B. Renoux
Laboratoire de Chimie 12, Associé au CNRS
40, Avenue du Recteur Pineau, F-86022 Poitiers

The synthesis and cytotoxicity of new daunorubicin (R=Me, R'=H, X=O) and β-rhodomycin (R=H, R'=OH, X=H,H) analogs bearing a CH_2-NH_2 group instead of NH_2 at C-3' is described.



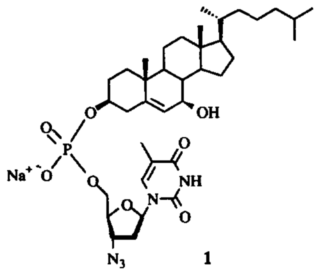
Synthesis of the Phosphodiester of 3β(7β-hydroxycholesterol) and of 5'(3'deoxy, 3'azido-thymidine).

Tetrahedron, **1994**, *50*, 1173

X. Pannecoucke, G. Parmentier, G. Schmitt, F. Dollé & B. Luu*.

Laboratoire de Chimie Organique des Substances Naturelles, URA CNRS,
Centre de Neurochimie, 5 rue Blaise Pascal, 67084 Strasbourg, France.

The sodium salt of 3β(7β-hydroxycholesterol) 5'(3'deoxy, 3'azido-thymidine) mono-phosphate (1) has been synthesized using both the phosphoramidite and the hydrogen phosphonate methods.



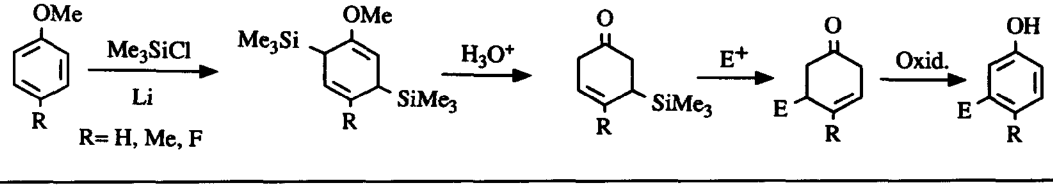
1

UNE VOIE DE SYNTHESE SIMPLE ET RAPIDE DE PHENOLS META ACYLES

Tetrahedron, **1994**, *50*, 1179

Bernard Bennetau[a], Florent Rajarison[a], Pierre Babin[b] et Jacques Dunoguès[a]
[a] *Université Bordeaux I, France*, [b] *Université Bordeaux II, France.*

A novel route to meta acyl phenols, from anisole and its para-methyl or fluoro derivatives, is reported according to:

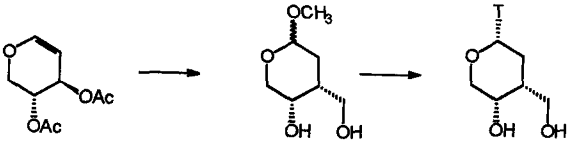


Tetrahedron, **1994**, *50*, 1189

SYNTHESIS OF A NEW BRANCHED CHAIN HEXOPYRANOSYL NUCLEOSIDE: 1-[2',3'-DIDEOXY-3'-C-(HYDROXYMETHYL)-α-D-*ERYTHRO*-PENTOPYRANOSYL]-THYMINE

K. Augustyns, J. Rozenski, A. Van Aerschot, R. Busson, P. Claes and P. Herdewijn, Laboratory of Medicinal Chemistry, Rega Institute, Minderbroedersstraat 10, B-3000 Leuven, Belgium

The synthesis of a new branched chain hexopyranosyl nucleoside is described starting from 3,4-di-O-acetyl-D-xylal.

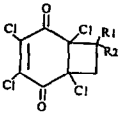


Tetrahedron, **1994**, *50*, 1199

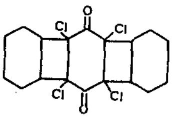
PHOTOINDUCED ADDITION REACTIONS OF CHLORANIL WITH ALKENES – FACTORS INFLUENCING THE REACTION SITES

Jian–Hua Xu, Yi–Lin Song, Zhao–Guo Zhang, Long–Cheng Wang, Jian–Wei Xu
Dcpartment of Chemistry, Nanjing University, Nanjing 210008, China

Phtoadditions of chloranil (CA) with nine alkenes gave cyclobutanes (10–15 and 18), oxetanes 16 and 17 and phenol–ether 19 respectively, depending on the △ G_{ET} with $^3CA^*$ and the structure of the alkenes.



10–15



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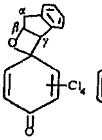

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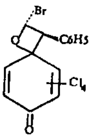

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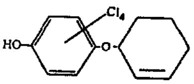

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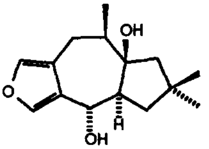
Tetrahedron, **1994**, *50*, 1211

CONFORMATIONAL STUDIES AND STEREOCHEMICAL ASSIGNMENTS OF THE LACTARANE SESQUITERPENES FUROSCROBICULIN D AND BLENNIN D

Luigi Garlaschelli,[a] Lucio Toma,[a]* Giovanni Vidari,[a] and Diego Colombo[b]
[a] Dipartimento di Chimica Organica, Università di Pavia, Via Taramelli 10, 27100 Pavia, Italy
[b] Dipartimento di Chimica e Biochimica Medica, Università di Milano, Via Saldini 50, 20133 Milano, Italy

Furoscrobiculin D and blennin D were assigned stereostructures **4** and **5**, respectively, by molecular mechanics and ^1H NMR spectroscopy.



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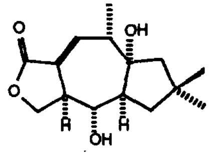

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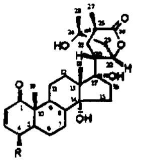
Tetrahedron, **1994**, *50*, 1217

WITHAJARDINS, WITHANOLIDES WITH A NEW TYPE OF SKELETON. STRUCTURE OF WITHAJARDINS A, B, C AND D. ABSOLUTE CONFIGURATION OF WITHAJARDIN C

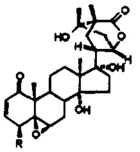
Javier G. Luis*, Fernando Echeverri, Winston Quiñones, Antonio González.
C.P.N.O.A.G., U.La Laguna, 38206 Tenerife, Canary Islands. Spain

Fernando Torres, Gloria Cardona, Rosendo Archbold
Dpto. de Química.Uni. de Antioquia.Apdo,Aéreo 1226.Medellín.Colombia

Aurea Perales
Instituto Rocasolano,CSIC,Madrid,Spain



R = OH Withajardin A (1)
R = OAc Withajardin D (2)



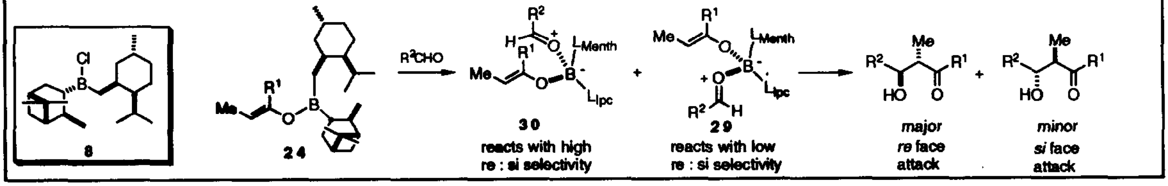
R = OH Withajardin B (3)
R = OAc Withajardin C (4)

COMPUTER-ASSISTED DESIGN OF CHIRAL BORON ENOLATES: THE ROLE OF ATE COMPLEXES IN DETERMINING ALDOL STEREOSELECTIVITY

Tetrahedron, **1994**, *50*, 1227

A. Bernardi, A. Comotti, C. Gennari, C. T. Hewkin, Dipartimento di Chimica Organica e Industriale, Università di Milano, via Venezian 21, 20133 Milano, Italy.*
J. M. Goodman, A. Schlapbach, I. Paterson, University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW, UK.*

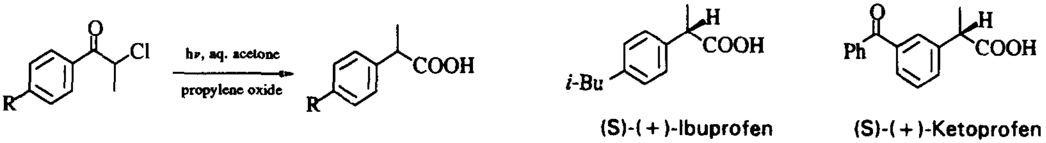
The rationally designed boron reagent **8** was prepared and used to direct asymmetric anti aldol reactions of ethyl ketones with aldehydes *via* the *E* enol borinate **24**. The low enantiomeric excesses observed (18–41% ee) may be due to the different *re* : *si* selectivity of the ate complexes **29** and **30**, which are epimeric at boron.



Tetrahedron, **1994**, *50*, 1243

PHOTOCHEMICAL REARRANGEMENT OF α-CHLOROPROPIOPHENONES TO α-ARYLPROPANOIC ACIDS: STUDIES ON CHIRALITY TRANSFER AND SYNTHESIS OF (S)-(+)-IBUPROFEN AND (S)-(+)-KETOPROFEN.

Harikisan R. Sonawane,* Nanjundiah S. Bellur, Dilip G. Kulkarni and Nagaraj R. Ayyangar
National Chemical Laboratory, Pune 411 008, INDIA



This methodology has been applied for the synthesis of optically active ibuprofen and ketoprofen

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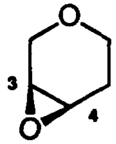
Regiochemical Control of the Ring Opening of 1,2-Epoxides by Means of Chelating Processes. 6. Opening Reactions of 3,4-Epoxytetrahydropyran

Tetrahedron, **1994**, *50*, 1261

Marco Chini, Paolo Crotti,* Cristina Gardelli, and Franco Macchia
Dipartimento di Chimica Bioorganica, Università di Pisa,Via Bonanno 33, 56126 Pisa, Italy

The use of metal assisted procedures in several ring opening reactions of the title epoxide leads to a modification of the regiochemical outcome, and the attack of the nucleophile on the C-4 oxirane carbon turns out to be highly favored in these conditions.

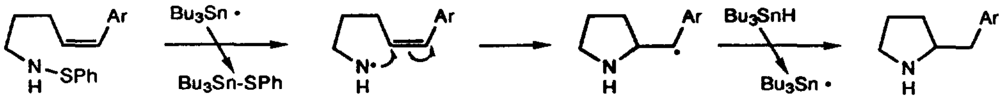


GENERATION OF AMINYL RADICALS USING SULFENAMIDES AS SYNTHETIC PRECURSORS

Tetrahedron, **1994**, *50*, 1275

W. Russell Bowman*, David N. Clark, and Robert J. Marmon
Department of Chemistry, University of Technology, Loughborough, Leics. LE11 3TU, Great Britain

Sulfenamides are synthesised from amines and *N*-(benzenesulfenyl)phthalimide or benzenesulfenyl chloride and react with Bu_3SnH to yield aminyl radicals which can be cyclised onto alkenes.



SYNTHESIS OF PYRROLIZIDINES USING AMINYL RADICALS GENERATED FROM SULFENAMIDES PRECURSORS

Tetrahedron, **1994**, *50*, 1295

W. Russell Bowman*, David N. Clark, and Robert J. Marmon
Department of Chemistry, University of Technology, Loughborough, Leics. LE11 3TU, Great Britain

Tandem radical cyclisations of aminyl radicals generated from sulfenamide precursors have been used for the synthesis of pyrrolizidines and other polycyclic nitrogen heterocycles.