GRAPHICAL ABSTRACTS

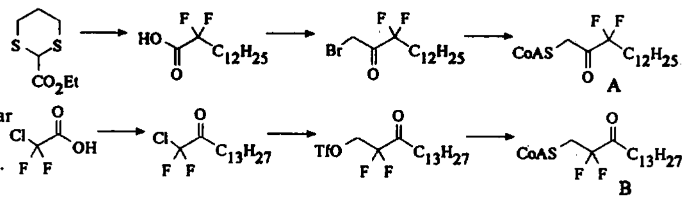
Tetrahedron, **1994**, *50*, 9847

SYNTHESIS AND INHIBITORY ACTIVITY OF DIFLUOROKETONE SUBSTRATE ANALOGS OF *N*-MYRISTOYLTRANSFERASE

Karen M. Neder*, Stephanie A. French, Stephen P.F. Miller

NINDS, National Institites of Health,
Bldg 10, Rm 3D-11, Bethesda, MD 20892

Compounds A and B were found to inhibit *N*-myristoyltransferase *in vitro* with sub-micromolar IC$_{50}$ values. Extents of hydration of A and B in aqueous solution were determined using ^{19}F NMR.

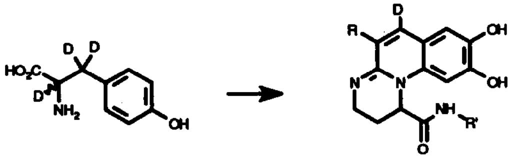


Tetrahedron, **1994**, *50*, 9865

Biosynthesis of the Pseudobactin Chromophore from Tyrosine

Brian Nowak-Thompson and Steven J. Gould*
Department of Chemistry, Oregon State University, Corvallis, OR 97331-4003

Biosynthetic studies have shown the incorporation of DL-[2,3,3-$^{2}H_{3}$]-tyrosine into the chromophore of pseudobactin, a siderophore produced by *Pseudomonas fluorescens* B10.

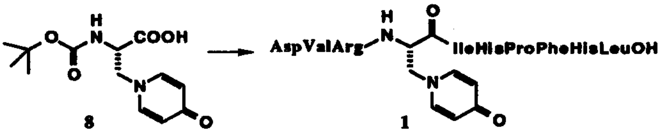


Tetrahedron, **1994**, *50*, 9873

Synthesis of [L-3-Deoxymimosine^4]-Angiotensin I as an Approach to the Preparation of Selective Protein-tyrosine Kinase (PTK) Inhibitors

Eung-Seok Lee, Jurjus Jurayj and Mark Cushman*
Department of Medicinal Chemistry and Pharmacognosy, Purdue University, West Lafayette, Indiana 47907

tert-Boc-L-3-Deoxymimosine (8) was prepared in two steps from *tert*-Boc-L-asparagine and incorporated into [L-3-deoxymimosine4]-angiotensin I (1), which was prepared as an approach to the design and synthesis of selective protein-tyrosine kinase (PTK) inhibitors.

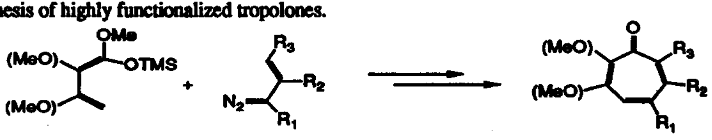


Tetrahedron, **1994**, *50*, 9883

SYNTHESIS OF HIGHLY FUNCTIONALIZED TROPOLONES BY RHODIUM(II)-CATALYZED REACTIONS OF VINYLDIAZOMETHANES WITH OXYGENATED DIENES,

Huw M. L. Davies* and T. Jeffrey Clark, Department of Chemistry, Wake Forest University, Box 7486, Winston-Salem, North Carolina 27109.

Abstract: Rhodium(II) catalyzed decomposition of vinyldiazomethanes to vinylcarbenoids in the presence of oxygenated dienes is the basis of a general synthesis of highly functionalized tropolones.

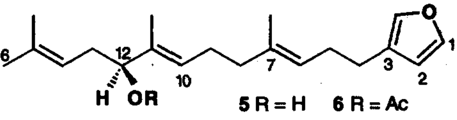


Tetrahedron, **1994**, *50*, 9893

Scalemic 12-Hydroxyambliofuran and 12-Acetoxy ambliofuran, Five Tetracyclic Furanoditerpenes and a Furanosesterterpene from *Spongia* sp.

Philip A. Searle and Tadeusz F. Molinski*, Department of Chemistry, University of California, Davis, CA 95616, U.S.A.

Eight new compounds were isolated from a Western Australian sponge *Spongia* sp., including 12-hydroxyambliofuran (5), its acetate ester 6, five new tetracyclic diterpenes 7 - 11 and the epoxyfuranosesterterpene carboxylic acid 12. Compounds 5 and 6, were each isolated as a 3:1 mixture of enantiomers.

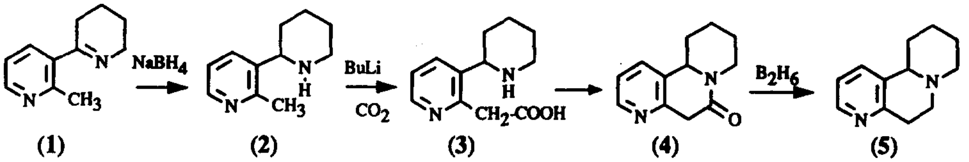


Tetrahedron, **1994**, *50*, 9909

SYNTHESIS AND CONFORMATIONAL ANALYSIS OF A BRIDGED ANABASINE AND RELATED COMPOUNDS . A NUCLEAR MAGNETIC RESONANCE SPECTROSCOPY AND MOLECULAR MODELING STUDY

Katalin Prokai-Tatrai[1,*], John A. Zoltewicz[2], and William R. Kem[1]; [1]Department of Pharmacology and Therapeutics, College of Medicine,, University of Florida, Gainesville, FL 32610, and [2]Department of Chemistry, University of Florida, Gainesville, FL 32611, U.S.A.

An anabasine constrained to a cisoid conformation by a two carbon chain (5) is synthesized and characterized by NMR spectroscopy and molecular modeling.

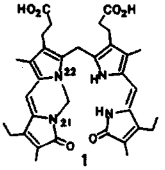


Tetrahedron, **1994**, *50*, 9919

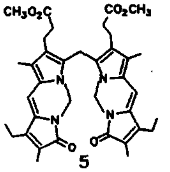
SYNTHESIS AND PROPERTIES OF BILIRUBIN ANALOGS WITH *N*,*N*-METHYLENE BRIDGES

Ki-Oh Hwang, D. Timothy Anstine and David A. Lightner*
Department of Chemistry, University of Nevada, Reno

N,*N*-Bridged bilirubin analog 1 has been synthesized from mesobiliverdin-XIIIα dimethyl ester by reaction with $LiCH_2SOCH_3/CH_2I_2$ followed by reduction ($NaBH_4$) then saponification. Despite the methylene bridge, 1 adopts a partially folded, hydrogen-bonded conformation similar to bilirubin, as determined by molecular mechanics computations and supported by NMR and UV spectroscopy. Acid treatment of the dimethyl ester of 1 leads to the doubly bridged pigment 5, formed by disproportionation.



1



5

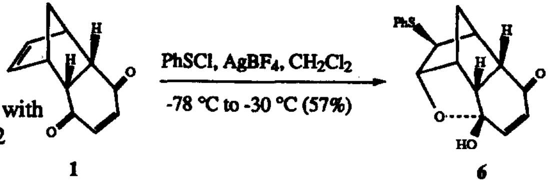
Tetrahedron, **1994**, *50*, 9933

REACTIONS OF SOME SULFUR(II)- AND IODINE(III)-CONTAINING ELECTROPHILES WITH *endo*-TRICYCLO-[6.2.1.0^{2,7}]UNDECA-4,9-DIENE-3,6-DIONE

Alan P. Marchand*, Viktor D. Sorokin, D. Rajagopal, and Simon G. Bott*

Department of Chemistry ,University of North Texas, Denton, TX 76203-0068

The results obtained via reaction of the title compound (1) with ArSCl (Ar = phenyl or *ortho*-nitrophenyl) and with $PhICl_2$ under a variety of experimental conditions are reported.

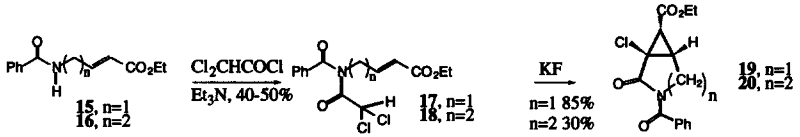


Tetrahedron, **1994**, *50*, 9943

The Tandem Michael-S_N2 Reaction For The Construction Of The 3-Azabicyclo[3.1.0]hexane Ring System.

Samantha Chan and Tamim F. Braish*, Central Research Division, Pfizer Inc. Groton, CT. 06340

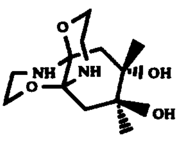
The 3-azabicyclo[3.1.0]-hexane ring system was constructed in a convergent one-pot procedure starting from readily available starting materials, via the tandem Michael-S_N2 reaction. The methodology was also extended to the 3-azabicyclo[4.1.0]hepatane ring system.

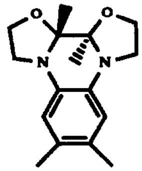


Tetrahedron, **1994**, *50*, 9951

NEW TRICYCLIC PRODUCTS FROM THE REACTION OF 2,3-BUTADIONE AND ETHANOLAMINE.

Norberto Farfán, Rosa Santillan, Julián Guzman, Belinda Castillo
and Aurelio Ortiz. Departamento de Química, CINVESTAV-IPN,
Apartado Postal 14-740, México, D. F. 07000 México.
Jean-Claude Daran, Francis Robert and Sabine Halut.
Lab. de Chim. des Met. de Trans., Université Pierre et Marie Curie, 4,
Place Jussieu, Boite No. 42,75252 Paris CEDEX 05, France.





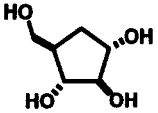
Condensation of 2,3-butadione with ethanolamine afforded two new tricyclic products as established by X-ray analysis.

Tetrahedron, **1994**, *50*, 9961

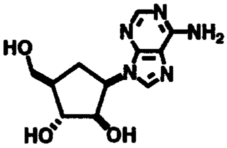
Facile Syntheses of *Pseudo*-α-D-arabinofuranose, and Two *Pseudo*-D-arabinofuranosylnucleosides, (+)-Cyclaradine and (+)-1-*Pseudo*-β-D-arabinofuranosyluracil, from D-Arabinose

Masayuki Yoshikawa,*[,a] Yoshihiro Yokokawa,[a] Yasunao Inoue,[a] Shoko Yamaguchi,[a] Nobutoshi Murakami,[a] Isao Kitagawa[b]
Kyoto Pharamaceutical University,[a] 5 Nakauchi-cho, Misasagi, Yamashina-ku, Kyoto 607, Japan and Faculty of Pharmaceutical Sciences, Osaka University,[b] 1-6, Yamada-oka, Suita, Osaka 565, Japan

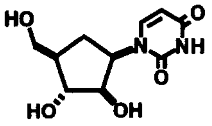
Pseudo-α-D-arabinofuranose, (+)-cyclaradine, and C-Ara-U were synthesized from D-arabinose by using stereoselective nitromethane addition reaction as a key step.



pseudo-D-arabinofuranose



(+)-cyclaradine



C-Ara-U

Tetrahedron, **1994**, *50*, 9975

Pseudostellarins D - F, New Tyrosinase Inhibitory Cyclic Peptides from *Pseudostellaria heterophylla*

Hiroshi Morita, Takashi Kayashita, Hideyuki Kobata,
Akira Gonda, Koichi Takeya and Hideji Itokawa*

Department of Pharmacognosy, Tokyo College of
Pharmacy, Horinouchi 1432-1, Hachioji, Tokyo
192-03, Japan

Pseudostellarins
D: Cyclo[Gly-Gly-Tyr-Pro-Leu-Ile-Leu]
E: Cyclo[Gly-Pro-Pro-Leu-Gly-Pro-Val-Ile-Phe]
F: Cyclo[Gly-Gly-Tyr-Leu-Pro-Pro-Leu-Ser]

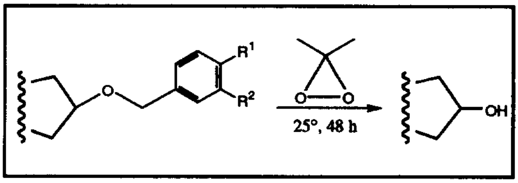
Tetrahedron, **1994**, *50*, 9983

CONVENIENT OXIDATIVE DEBENZYLATION USING DIMETHYLDIOXIRANE

RENÉ CSUK* and PETRA DÖRR

PHARMAZEUTISCH-CHEMISCHES INSTITUT, UNIVERSITÄT HEIDELBERG, Im Neuenheimer Feld 364,
D-69120 Heidelberg, Germany.

Substituted benzyl ethers are easily cleaved by their treatment with an excess of dimethyldioxirane; the corresponding alcohols are obtained in high yields.

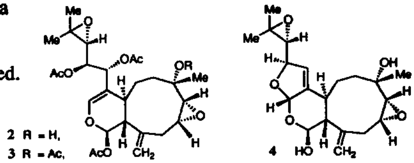


Tetrahedron, **1994**, *50*, 9989

HELIOXENICINS A-C. DITERPENES FROM THE BLUE CORAL *Heliopora coerulea*

J. Tanaka, N. Ogawa, J. Liang and T. Higa, Department of Marine Sciences,
University of the Ryukyus, Nishihara, Okinawa 903-01, Japan
R. deNys, B.F. Bowden, A.R. Carroll, and J.C. Coll, Department of Molecular Sciences,
James Cook University of North Queensland, Townsville 4811 Qld., Australia
G. Bernardinelli and C.W. Jefford, Department of Organic Chemistry,
University of Geneva, 1211 Geneva 4, Switzerland

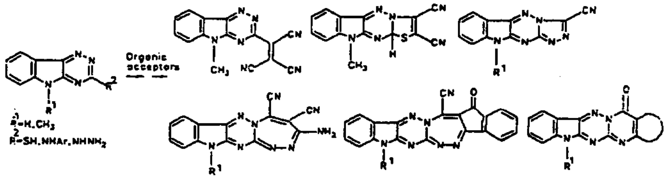
The structures of new diterpenes, the helioxenicins A-C (2-4), were determined.



Tetrahedron, **1994**, *50*, 9997

NOVEL HETEROCYCLICS FROM 3-SUBSTITUTED-5H-1,2,4-TRIAZINO[5,6-*b*]INDOLES AND π-ACCEPTORS

Alaa A. Hassan, Nasr K. Mohamed, Bahaa A. Ali and Aboul-Fetouh E. Mourad
Chemistry Department, Faculty of Science, El-Minia University, El-Minia, A. R. Egypt.

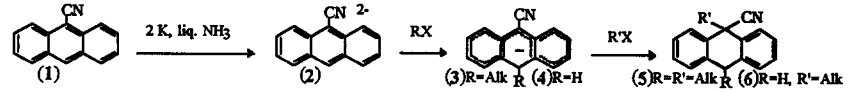


Tetrahedron, **1994**, *50*, 10011

REDUCTIVE ACTIVATION OF ARENES. VII. ALKYLATION OF 9-CYANOANTHRACENE TWO-ELECTRON REDUCTION PRODUCTS IN LIQUID AMMONIA.

Tamara A. Vaganova[a], Elena V. Panteleeva[a], Andrej P. Tananakin[a], Vitalij D. Shteingarts[a]*, Itzhak I. Bilkis[b].
[a]Institute of Organic Chemistry, Siberian Division of the Russian Academy of Sciences, Novosibirsk, 630090, Russia;
[b]The Hebrew University of Jerusalem, Faculty of Agriculture, P.O.Box 12, Rehovot 76-100, Israel.

Action of alkylating or protonating reagents on dianion 2 leads to cyanoalkyldihydroaryl 3 or cyanodihydroaryl 4 anions, alkylation of the latters yields di- or monoalkylcyanodihydroarenes 5 or 6.

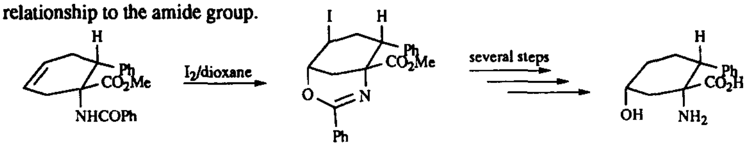


Tetrahedron, **1994**, *50*, 10021

SYNTHESIS OF γ-HYDROXY-α-AMINO ACIDS BY DIRECTED HYDROXYLATION VIA A DIHYDRO-1,3-OXAZINE INTERMEDIATE.

Carlos Cativiela*. Dº of Organic Chemistry. ICMA. Universidad de Zaragoza-CSIC. 50009 Zaragoza. Spain. Alberto Avenoza and Jesús M. Peregrina. Dº of Chemistry (Organic Chemistry). Ciencias. Universidad de La Rioja. 26001 Logroño. Spain.

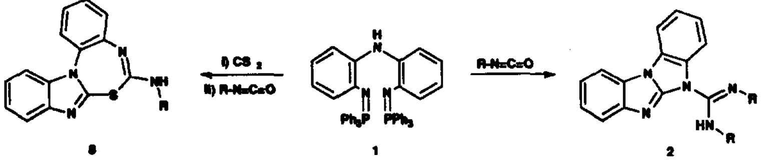
The present report describes the synthesis of the 1-amino-*t*-6-phenyl-*t*-3-cyclohexanol-*r*-1-carboxylic acid starting from a methyl γ,δ-unsaturated-α-benzamidocarboxylate, where the key step is the iodo-oxazination reaction of this product, allowing the direct hydroxylation in a syn relationship to the amide group.



Tetrahedron, **1994**, *50*, 10029

SYNTHETIC APPLICATIONS OF C,C-BIS(IMINOPHOSPHORANES): PREPARATION OF [5+5] RIGID BICYCLIC GUANIDINES AND 1,3,6-BENZOTHIADIAZEPINO[3,2-a]BENZIMIDAZOLE DERIVATIVES

Pedro Molina*, Mª Josefa Lidón, Alberto Tárraga
Departamento de Química Orgánica, Facultad de Química, Universidad de Murcia, Campus de Espinardo, 30071 Murcia, Spain.

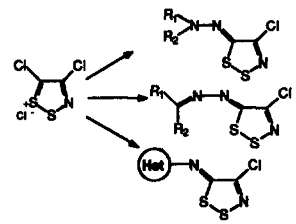


Tetrahedron, **1994**, *50*, 10037

4,5-DICHLORO-1,2,3-DITHIAZOLIUM CHLORIDE: REACTION WITH NUCLEOPHILES.

Ana M. Cuadro[a] and Julio Alvarez-Builla[b]*
[a]*Department of Chemistry, Imperial College of Science, Technology and Medicine, London SW7 2AY, England.*
[b]*Departamento de Química Orgánica, Universidad de Alcalá. 28871 Alcalá de Henares, Madrid. Spain.*

Different N-nucleophiles have been reacted with 4,5-dichloro-1,2,3-dithiazolium chloride (Appel's salt), producing imines containing the 1,2,3-dithiazole ring.

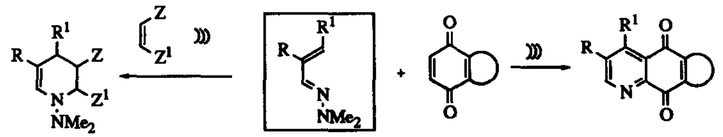


Tetrahedron, **1994**, *50*, 10047

ULTRASOUND ASSISTED DIELS-ALDER REACTIONS OF 1-AZADIENES WITH "NORMAL" ELECTRONIC DEMAND

Mercedes Villacampa, José María Pérez, Carmen Avendaño and J. Carlos Menéndez. Departamento de Química Orgánica y Farmacéutica, Facultad de Farmacia, Universidad Complutense. 28040 Madrid, Spain.

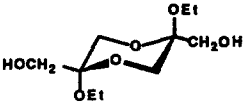
Ultrasound irradiation accelerates hetero Diels-Alder reactions between 1-azadienes and electron-defficient dienophiles.



Tetrahedron, **1994**, *50*, 10055

DIHYDROXYACETONE DIMERS; SOLUTION AND CRYSTAL STRUCTURE OF STEREOISOMERS OF 2,5-DIETHOXY-1,4-DIOXANE-2,5-DIMETHANOL

Viggo Waagen[1], Tilak K. Barua[1], Henrik W. Anthonsen[2], Lars K. Hansen[3],
Dag-J. Fossli[3], Edward Hough[3] and Thorleif Anthonsen[1]
1, Department of Chemistry, The University of Trondheim,
N-7055 Trondheim, Norway
2, MR- Center, SINTEF UNIMED, N-7030 Trondheim, Norway
3, Institute of Mathematical and Physical Sciences,
The University of Tromsø, N-9037 Tromsø, Norway



trans

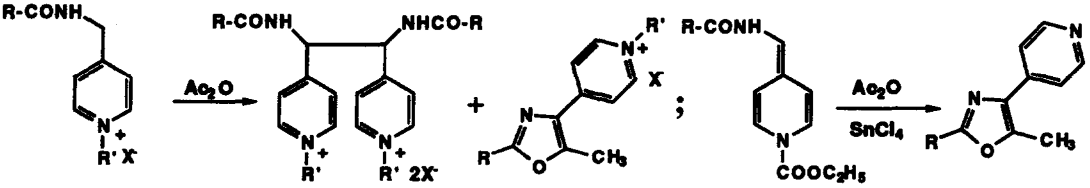
cis

Tetrahedron, **1994**, *50*, 10061

SYNTHESIS OF 4-(4-PYRIDYL)OXAZOLES

Miguel F. Braña* and José M. Castellano
Laboratorios Knoll S.A., Avda. de Burgos 91, 28050-Madrid, Spain
Pilar de Miguel, Paloma Posada and Concepción R. Sanz
Universidad Complutense, 28040-Madrid, Spain
Ana S. Migallón
Universidad de Castilla-La Mancha,13071-Ciudad Real, Spain

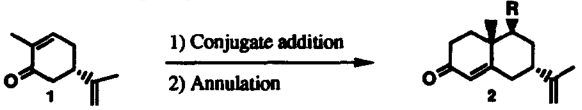
Dimers or 4-(4-pyridyl)oxazoles were obtained by reaction with Ac_2O of pyridinium salts or anhydrobases.



Tetrahedron, **1994**, *50*, 10073

S-(+)-CARVONE AS STARTING MATERIAL IN SYNTHESIS.CONJUGATE ADDITION OF CYANIDE AND GRIGNARD NUCLEOPHILES FOLLOWED BY ANNULATION TO FUNCTIONALIZED DECALONES.

Anja A. Verstegen-Haaksma, Henk J. Swarts, Ben J.M. Jansen and Aede de Groot*. Laboratory of Organic Chemistry, Agricultural University, Dreijenplein 8, 6703 HB Wageningen, The Netherlands.



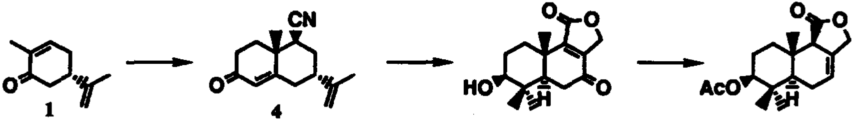
R = cyanide
methyl
vinyl
allyl

The Lewis acid catalyzed silyl enol ether variation of the Robinson annulation and the base catalyzed Robinson annulation are used to synthesize the functionalized decalones 2 from S-(+)-carvone (1).

Tetrahedron, **1994**, *50*, 10083

TOTAL SYNTHESIS OF DRIMANE SESQUITERPENES FROM S-(+)-CARVONE (PART 5)

Henk J. Swarts, Anja A. Verstegen-Haaksma, Ben J.M. Jansen and Aede de Groot*. Laboratory of Organic Chemistry, Agricultural University, Dreijenplein 8, 6703 HB Wageningen, The Netherlands.

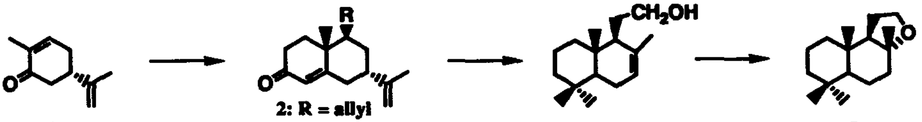


Conjugate addition of potassium cyanide to S-(+)-carvone 1, followed by base catalyzed Robinson annulation with MVK gives in 84% yield the intermediate 4, a suitable starting compound for the synthesis of 3-oxygenated drimane sesquiterpenes.

Tetrahedron, **1994**, *50*, 10095

TOTAL SYNTHESIS OF (-)-AMBROX® FROM S-(+)-CARVONE (PART 6)

Anja A. Verstegen-Haaksma, Henk J. Swarts, Ben J.M. Jansen and Aede de Groot*
Laboratory of Organic Chemistry, Agricultural University, Dreijenplein 8, 6703 HB Wageningen, The Netherlands



1 2: R = allyl 3: R = CN 4 5

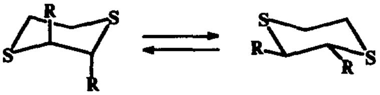
Decalones **2** and **3** were synthesized stereoselectively by conjugate addition of cyanide and allyl nucleophiles to S-(+)-carvone followed by annulation with MVK, and subsequently transformed into (-)-Ambrox **5** *via* the unsaturated alcohol **4**.

Tetrahedron, **1994**, *50*, 10107

CONFORMATIONAL ANALYSIS OF 2,3-DISUBSTITUTED 1,4-DITHIANES BY NMR SPECTROSCOPY AND MMX CALCULATIONS

Yu.A.Strelenko[a], V.V.Samoshin[b], E.I.Troyansky[a]*, D.V.Demchuk[a], D.E.Dmitriev[a], G.I.Nikishin[a], and N.S.Zefirov[c]

[a]N.D.Zelinsky Institute of Organic Chemistry the Russian Academy of Sciences, Moscow, Russia.
[b] M.V.Lomonosov Moscow State Academy of Fine Chemical Technology, Moscow, Russia.
[c]M.V.Lomonosov Moscow State University, Moscow, Russia.



R = CH_2Cl, CH_2OAc ~ 100% of diaxial conformer,
R = n-C_3H_7 63% of diaxial conformer.
R = CH_3 88% of diequatorial conformer.