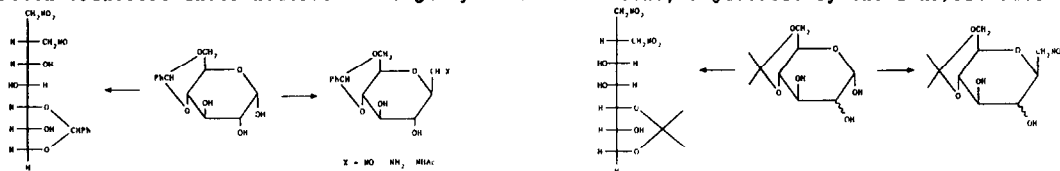


## GRAPHICAL ABSTRACTS

*Tetrahedron*, 1991, 47, 6113

### C-GLYCOSIDE SYNTHESIS II: HENRY CONDENSATIONS WITH A 1,3-PROTON TRANSFER CATALYST-A ROUTE TO AMINOMETHYL-C-GLYCOSIDES.

Kenneth N. Drew and Paul H. Gross<sup>†</sup>, Dept. of Chemistry, Univ. of the Pacific, Stockton, CA 95211. A novel catalyst system consisting of 2-hydroxypyridine/DBU/molecular sieves can catalyze 1,3-proton transfers under neutral or slightly basic conditions, adjustable by the 2-HP/DBU ratio.

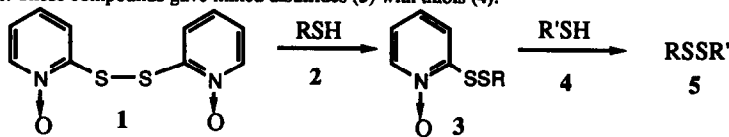


*Tetrahedron*, 1991, 47, 6127

### SYNTHESIS OF DISULFIDES VIA SULFENYLATION OF ALKYL AND ARYLDITHIOPYRIDINE N-OXIDES

Derek H. R. Barton<sup>1\*</sup>, Chen Chen<sup>1</sup>, and G. Michael Wall<sup>2, 1</sup>, Department of Chemistry, Texas A&M University, College Station, Texas 77843. <sup>2</sup>Alcon Laboratories, Inc., 6201 S. Freeway, Fort Worth, Texas, 76314.

Alkyl aryldithiopyridine N-oxides (3) were prepared by the reaction of 2,2'-dithiopyridine-1,1'-dioxide (1) with various thiols (2) in high yields. These compounds gave mixed disulfides (5) with thiols (4).

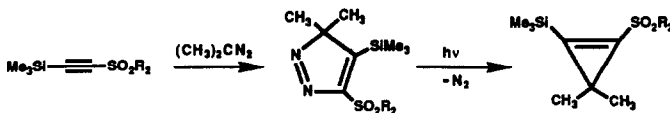


*Tetrahedron*, 1991, 47, 6139

### PREPARATION AND REACTIVITY OF ARYLSULFONYL SUBSTITUTED CYCLOPROPENES

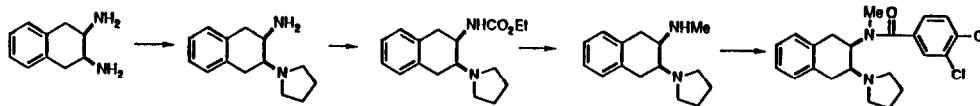
Albert Padwa<sup>\*</sup> and M. Woods Wannamaker  
Department of Chemistry, Emory University Atlanta, GA 30322 USA

The reaction of several arylsulfonyl substituted alkynes with 2-diazopropane gave 3H-pyrazoles which extrude nitrogen on photolysis to produce cyclopropenes in high yield. Soft nucleophiles such as thiophenoxide readily add to the activated pi-bond to give thiophenyl substituted cyclopropanes.



ANTICONVULSANTS RELATED TO U-54495 PREPARED FROM *cis*-1,2- AND *cis*-2,3-DIAMINOTETRALIN  
 Stan V. D'Andrea, Jeremiah P. Freeman, Philip F. VonVoigtlander, and Jacob Szmuszkovicz  
 Department of Chemistry and Biochemistry, University of Notre Dame, Notre Dame, IN 46556 USA

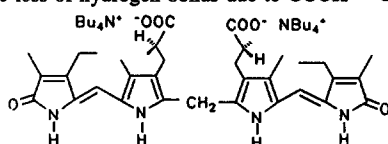
Synthesis of *cis*-2,3- and *cis*-1,2-aminoamides derived from tetralin, analogs of *cis*-1,2-aminoamides derived from cyclohexane.



### ON THE CONFORMATION OF BILIRUBIN DIANION

Yu-Ming Pu and David A. Lightner\*

The dicarboxylate anion of ( $\alpha R$ ,  $\alpha' R$ )-mesobilirubin-XIII $\alpha$  maintains a ridge-tile shaped, intramolecularly hydrogen-bonded conformation, as determined by circular dichroism spectroscopy of the bis-tetra-*n*-butyl and bis-tetramethylammonium salts despite the loss of hydrogen bonds due to COOH  $\rightarrow$  COO $^-$  ionization.



in (CH <sub>3</sub> ) <sub>2</sub> SO		in CHCl <sub>3</sub>	
Dianion	Diacid	Dianion	Diacid
$\Delta\epsilon_{433}^{\max} +260$	$\Delta\epsilon_{428}^{\max} +18$	$\Delta\epsilon_{438}^{\max} +194$	$\Delta\epsilon_{436}^{\max} +246$
$\Delta\epsilon_{390}^{\max} -190$	$\Delta\epsilon_{393}^{\max} -9$	$\Delta\epsilon_{394}^{\max} -130$	$\Delta\epsilon_{398}^{\max} -133$

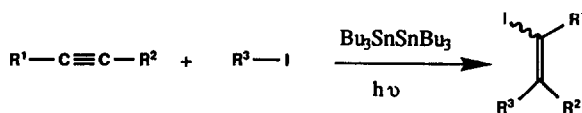
### Iodine Atom Transfer Addition Reactions with Alkynes.

#### Part 1: Alkyl iodides

Dennis P. Curran\* and Dooseop Kim

Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260, USA

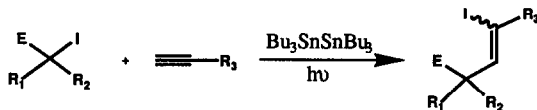
Simple 2°- and 3°-alkyl iodides add smoothly to electron deficient alkynes under standard atom transfer conditions (10% Bu<sub>3</sub>SnSnBu<sub>3</sub>, sunlamp photolysis).



**Iodine Atom Transfer Addition Reactions with Alkynes.****Part 2:  $\alpha$ -Iodocarbonyls**

Dennis P. Curran,\* Dooseop Kim, and Carl Ziegler

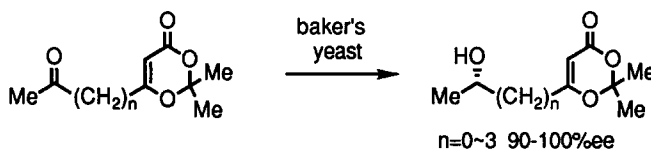
Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260, USA, and Medical Research Division, Lederle Laboratories, Pearl River, NY 10965, USA

*1<sup>-</sup>Iodo esters, ketones, and nitriles react smoothly in atom transfer addition reactions with alkyl-substituted (nucleophilic) alkynes, but a 3<sup>-</sup>iodoester prefers to add to ester-substituted (electrophilic) alkyne.***SYNTHESIS OF 1,3-DIOXIN-4-ONES HAVING CHIRAL HYDROXYALKYL GROUPS AT THE 6-POSITION BY MEANS OF BAKER'S YEAST REDUCTION AND THEIR USE FOR EPC SYNTHESIS**

Jun-ichi Sakaki\*, Yoshiaki Sugita, Masayuki Sato, and Chikara Kaneko\*

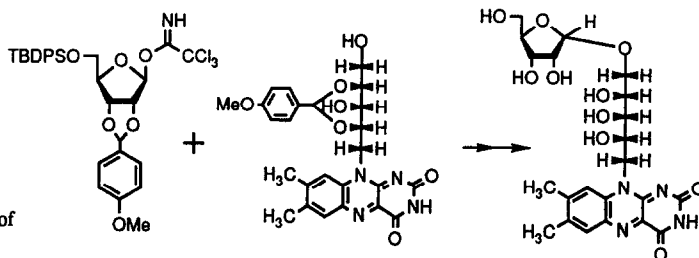
Pharmaceutical Institute, Tohoku University, Aobayama Sendai 980, Japan

Prochiral methylketones connected with 6-(4-oxo-1,3-dioxinyl) group are enantioselectively reduced with fermenting baker's yeast.

**CHEMICAL SYNTHESIS OF LAMPTEROFLAVIN AS LIGHT EMITTER IN THE LUMINOUS MUSHROOM, *Lampteromyces japonicus***

Hiroyuki Takahashi, Minoru Isobe\* and Toshio Goto

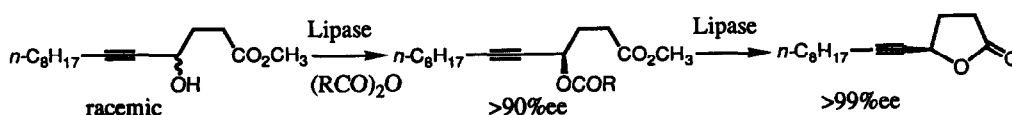
Laboratory of Organic Chemistry, School of Agriculture, Nagoya University, Chikusa, Nagoya 464-01, Japan.



**LIPASE-CATALYZED KINETIC RESOLUTION OF METHYL 4-HYDROXY-5-TETRADECYNOATE  
AND ITS APPLICATION TO A FACILE SYNTHESIS OF JAPANESE BEETLE PHEROMONE**

Eiichi Fukusaki, Shuji Senda, Yutaka Nakazono and Tetsuo Omata

Medical and Membrane Research Laboratory, Nitto Denko Co., 1-1-2, Shimohozumi, Ibaraki, Osaka 567, Japan



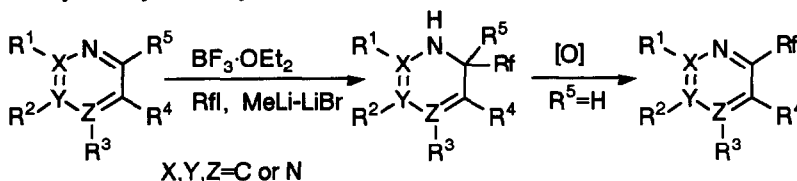
**PREPARATION OF PERFLUOROALKYL AZAARENES WITH A PERFLUOROALKYLLITHIUM-BORON TRIFLUORIDE SYSTEM**

Hidemitsu Uno, \* Shin-ichiro Okada, and Hitomi Suzuki\*†

Advanced Instrumentation Center for Chemical Analysis, Ehime University, Bunkyo-cho, Matsuyama 790, Japan

†Department of Chemistry, Faculty of Science, Kyoto University, Sakyo-ku, Kyoto 606, Japan

In the presence of  $\text{BF}_3\cdot\text{OEt}_2$ , perfluoroalkyllithiums generated *in situ* smoothly added to bicyclic azaarenes and diazines to give perfluoroalkylated dihydro heterocycles.

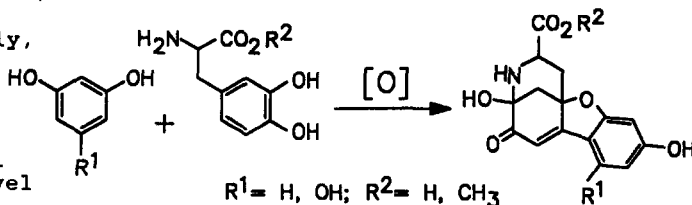


**OXIDATIVE COUPLING OF DOPA WITH RESORCINOL AND  
PHLOROGLUCINOL: ISOLATION OF ADDUCTS WITH AN  
UNUSUAL TETRAHYDROMETHANOBENZOFURO[2,3-d]AZOCINE SKELETON**

O. Crescenzi<sup>a)</sup>, A. Napolitano<sup>a)</sup>,  
G. Prota<sup>a)</sup>, and M.G. Peter<sup>b)</sup>

<sup>a)</sup> University of Naples, Italy,  
and <sup>b)</sup> University of Bonn,  
Germany

Biomimetic oxidation of dopa  
in the presence of resorcinol  
or phloroglucinol affords novel  
coupling products.

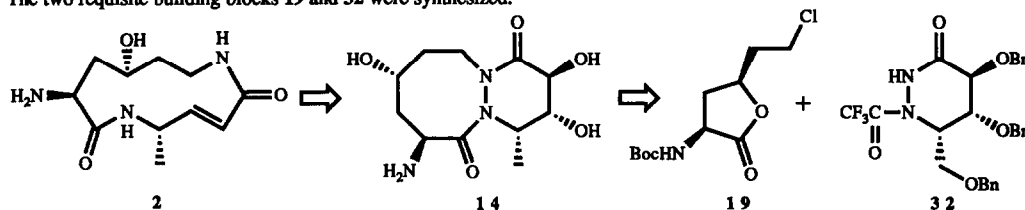


## Synthetic Approaches toward Glidobamine, the Core Structure of the Glidobactin Antibiotics

Qingchang Meng and Manfred Hesse\*

Organisch-chemisches Institut der Universität Zürich, Winterthurerstrasse 190, CH-8057 Zürich, Switzerland

The two requisite building blocks 19 and 32 were synthesized.

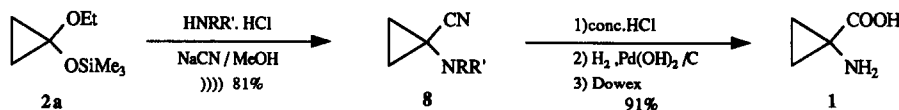


## A New and Convenient Synthesis of 1-Aminocyclopropanecarboxylic Acid from Cyclopropanone Acetal

Antoine Fadel

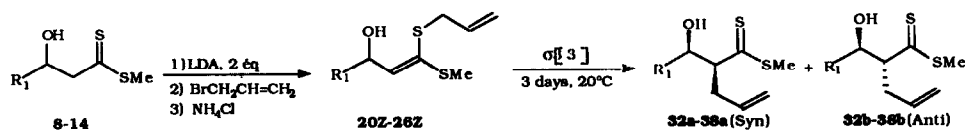
Laboratoire des Carbocycles, Associé au C.N.R.S., Institut de Chimie Moléculaire d'Orsay, Bât. 420  
Université de Paris-Sud, 91405 ORSAY (France)

Cyclopropanone acetal 2a undergoes a one-pot Strecker synthesis with sonication to afford the amino nitrile 8 which on hydrolysis, furnished the 1-aminocyclopropanecarboxylic acid (ACC.1) in good overall yield.

Formation stéréocontrôlée de deux centres chiraux contigus par Thio-réarrangement de Claisen d' $\alpha$ -hydroxydithioacétals de cétène S-allylés.

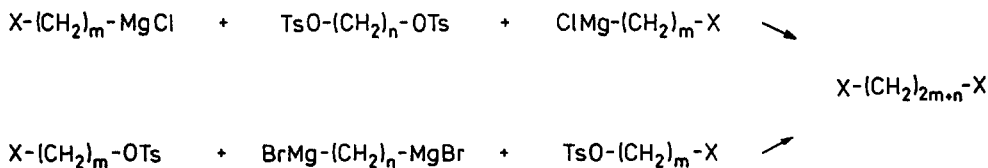
Pierre Beslin\* et Stéphane Perrio.

Laboratoire de Chimie des Composés Thio-organiques (Associé au CNRS), ISMRA, 14050 Caen, France.

Asymmetric induction by an external hydroxy group in Thio-Claisen rearrangement provides a syn major  $\alpha$ -allyl- $\beta$ -hydroxy dithioester.

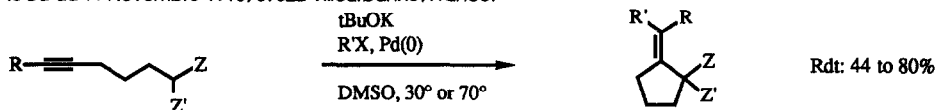
**THE 'TWO-FOLD REACTION' BENCHMARK APPLIED TO THE  
COPPER CATALYZED ASSEMBLING OF 1, $\omega$ -DIFUNCTIONAL HYDROCARBON CHAINS**

Manfred SCHLOSSER\* and Henri BOSSERT  
Institut de Chimie organique, Université de Lausanne, Switzerland



**SYNTHESIS OF (E)-ARYLIDENE AND ALLYLIDENECYCLOPENTANES BY A  
PALLADIUM(0) CYCLISATION PROCESS.**

Guy FOURNET, Geneviève BALME and Jacques GORE  
Laboratoire de Chimie Organique 1, associé au CNRS, Université Claude Bernard, ESCIL  
43 Bd du 11 Novembre 1918, 69622 Villeurbanne, France.



Z = CO<sub>2</sub>Me, Z' = Z, COMe, SO<sub>2</sub>Ph R = vinyl or possibly functionalized alkyl group R' = Aryl or vinyl group

**NEW REGIOSPECIFIC SYNTHESIS OF BRANCHED TETRA-, NONA-  
& DECA-RNA MODELLING THE LARIAT FORMED IN RNA SPLICING REACTIONS**

C. Sund, A. Földesi, S. Yamakage, P. Agback & J. Chattopadhyaya\*

*Department of Bioorganic Chemistry, Box 581, Biomedical Center,  
University of Uppsala, S-751 23 Uppsala, Sweden*

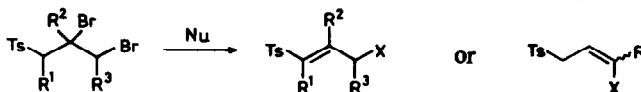
Convergent syntheses of *branched* tetra-RNA **39**, nona-RNA **40** and deca-RNA **41**, modelling the lariat of pre-mRNA processing reaction, are reported. Detailed 500 MHz <sup>1</sup>H-NMR and 202.4 MHz <sup>31</sup>P-NMR studies of **39**, **40** and **41** have unequivocally established their purities, and 2D experiments such as COSY, HOHAHA & NOESY have clearly substantiated their structures.

**A SIMPLE METHOD FOR THE SYNTHESIS OF  
γ-FUNCTIONALIZED VINYL AND ALLYL SULFONES.**

C. Nájera, A. Pérez-Pinar, and J. M. Sansano

*Departamento de Química Orgánica, Facultad de Ciencias, Universidad, 03690 Alicante, Spain*

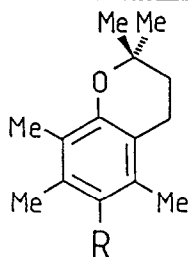
Dibromides derived from allyl sulfones react with two or three equivalents of different nucleophiles to yield γ-functionalized vinyl or allyl sulfones, respectively.



**AN ACID LABILE ARGININE DERIVATIVE FOR PEPTIDE SYNTHESIS**  
**N<sup>G</sup>-2,2,5,7,8-PENTAMETHYLCHROMAN-6-SULPHONYL-L-ARGININE**

Robert Ramage, Jeremy Green and Alexander J. Blake

Department of Chemistry, University of Edinburgh, West Mains Road, Edinburgh EH9 3JJ

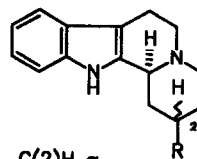


10 R = SO<sub>2</sub>.Cl

2,2,5,7,8 Pentamethylchroman-6-sulphonyl chloride (10) affords effective TFA-labile N<sup>G</sup>-protected derivatives for Arg in solution and solid phase peptide synthesis

**STEREOCHEMICAL COURSE OF THE MODIFIED POLONOVSKI REACTION AND  
MERCURIC ACETATE OXIDATION IN THE PREPARATION OF 2-SUBSTITUTED  
1,2,3,4,6,7,12,12b-OCTAHYDROINDOLO[2,3-a]QUINOLIZINES**

Mauri Lounasmaa\* and Esko Karvinen  
Laboratory for Organic and Bioorganic Chemistry,  
Technical University of Helsinki,  
SF-02150 Espoo, Finland

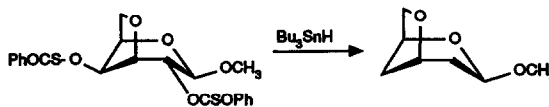


C(2)H α  
C(2)H β

### Bis-Deoxygenation of Methyl 3,6-Anhydro-D-pyranosides

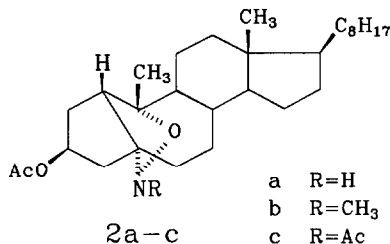
Christopher J. France, Ian M. McFarlane, Christopher G. Newton\*, Philippe Pitchen\*  
Rhône-Poulenc Rorer Ltd, Rainham Road South, Dagenham, ESSEX RM10 7xs, UK.  
Dereck H. R. Barton, Texas A&M University, Department of Chemistry, College Station, TX 77843.

The synthesis and reduction of thiocarbonyl derivatives of 3,6-anhydro pyranosides is described. The desired bis-deoxygenation was only observed in the galactose series:



### NON-SENSITIZED PHOTOOXYGENATIONS OF SOME STEROIDAL ISOXAZOLIDINES

Ljubinka B. Lorenc, Ivan O. Juranić, Milan M. Dabović, Mihailo Lj. Mihatlović\*  
Faculty of Chemistry, University of Belgrade, Studentski trg 16, P.O.Box 550, YU-11001 Belgrade, and Institute of Chemistry, Technology and Metallurgy, Belgrade, Yugoslavia

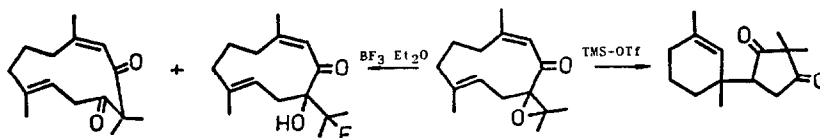


Photooxygenation of the steroidal isoxazolidines of type 2a - c, involving initial electron-transfer from nitrogen to molecular oxygen, results in the formation of azoxy compounds and/or nitro derivatives.

### LEWIS ACID REARRANGEMENT OF 7,11-EPOXYISOGERMACRONE. FORMATION OF A NEW CARBON SKELETON.

Valentin St. Encv\* and Elena T. Tsankova

Institute of Organic Chemistry with Center of Phytochemistry  
Bulgarian Academy of Sciences, 1113 Sofia, Bulgaria



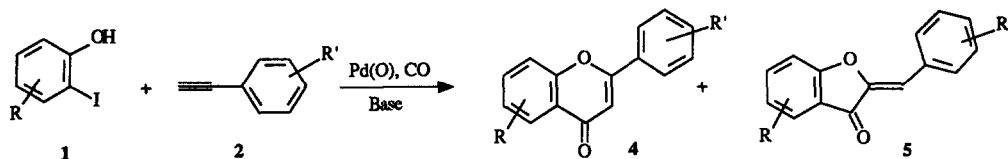




**PREPARATIVE AND REGIOCHEMICAL ASPECTS OF THE  
PALLADIUM-CATALYZED CARBONYLATIVE COUPLING  
OF 2-HYDROXYARYL IODIDES WITH ETHYNYLARENES**

P.G. Ciattini, E. Morera, G. Ortar, and S. Strano Rossi. Dipartimento di Studi Farmaceutici e Centro di Studio per la Chimica del Farmaco del C.N.R., Università "La Sapienza", 00185 Roma, Italy

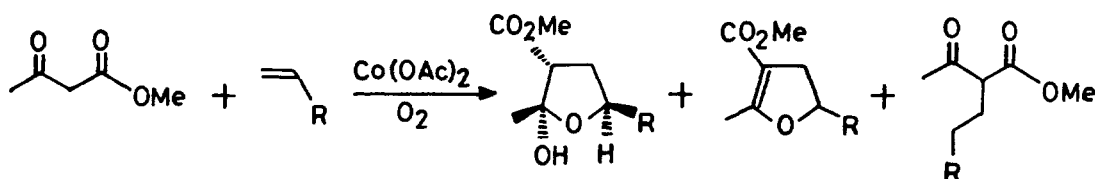
The title reaction has been carried out in DMF at 60°C under 1 atm of CO pressure using DBU as the base and Pd(OAc)<sub>2</sub>(DPPF)<sub>2</sub> as the catalyst to afford generally mixtures of flavones 4 and aurones 5. Factors controlling 4/5 selectivity in this and in related chemistry have been examined.



**COBALT(II)ACETATE PROMOTED OXIDATIVE ADDITION  
OF 1,3-DICARBONYL COMPOUNDS TO ALKENES UNDER  
AEROBIC CONDITIONS**

Javed Iqbal<sup>\*</sup>, Beena Bhatia and Naresh K. Nayyar

Department of Chemistry, Indian Institute of Technology, Kanpur - 208016, India

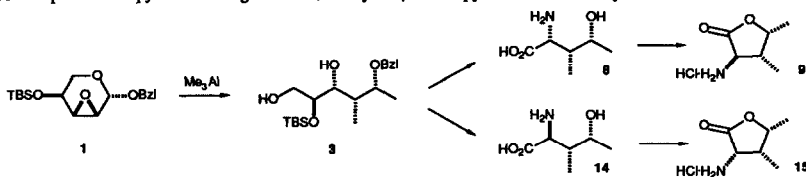


**ORGANOALUMINIUM INDUCED RING-OPENING OF EPOXYPYRANOSIDES. IV.  
SYNTHESIS AND STRUCTURE OF  $\gamma$ -HYDROXY-ISOLEUCINE STEREOISOMERS  
AND THEIR CORRESPONDING LACTONES.**

Tord Inghardt, Torbjörn Frejd<sup>\*</sup> and Göran Svensson<sup>a</sup>

Organic Chem. 2 and Inorganic Chem. 2<sup>a</sup>, Chemical Center, The Lund Institute of Technology, P.O. Box 124, S-221 00 Lund, Sweden

(2R,3R,4R)- and (2S,3R,4R)- $\gamma$ -hydroxy-isoleucine (8 and 14) and their lactone hydrochlorides (9 and 15) were synthesized using a tandem, Me<sub>3</sub>Al induced opening of the epoxide and pyranoside rings of the 2,3-anhydro- $\beta$ -L-ribose 1. The crystal structure of 9 was determined (X-ray).

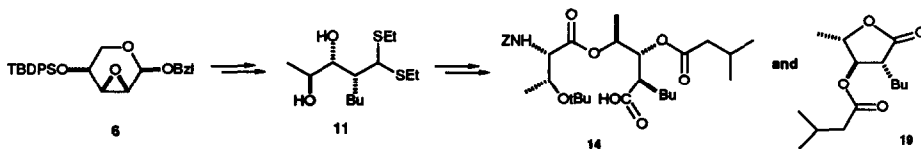


**ORGANOALUMINIUM INDUCED RING-OPENING OF EPOXYPYRANOSIDES. V. FORMAL TOTAL SYNTHESIS OF ANTIMYCIN A<sub>3</sub> AND SYNTHESIS OF (+)-BLASTMYCINONE.**

Tord Inghardt and Torbjörn Frejd\*

Organic Chemistry 2, Chemical Center, The Lund Institute of Technology, P.O.Box 124, S-221 00 Lund, Sweden

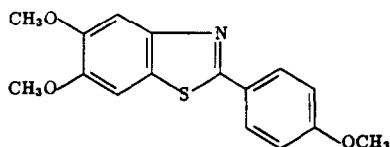
Epoxyde ring-opening of the  $\alpha$ -L-ribosepyranoside **6** with lithium butynyl(trimethyl)aluminate followed by FGI's gave the key compound **11** from which (+)-blastmycinone (**19**) and compound **14**, an intermediate in Kinoshita's antimycin A<sub>3</sub> synthesis, were obtained.



**STRUCTURAL STUDIES ON BENZOTHAZOLES. CRYSTAL AND MOLECULAR STRUCTURE OF 5,6-DIMETHOXY-2-(4-METHOXYPHENYL)-BENZOTHAZOLE AND MOLECULAR ORBITAL CALCULATIONS ON RELATED COMPOUNDS**

P.C. Yates, C.J. McCall and M.F.G. Stevens, Pharmaceutical Sciences Institute, Department of Pharmaceutical Sciences, Aston University, Aston Triangle, Birmingham B4 7ET (United Kingdom)

The synthesis of the title compound is reported. Molecular mechanics calculations predict a torsional barrier to inter-ring twist of 6.3 kcal mol<sup>-1</sup> for unsubstituted benzothiazole.

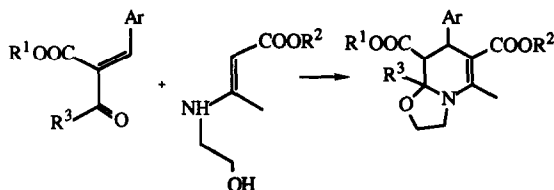


**2,3,8,8a-Tetrahydro-7H-oxazolo[3,2-a]pyridine: A NEW HETEROCYCLIC SYSTEM**

Arturo San Feliciano\*, Esther Caballero, Juan A.P. Pereira and Pilar Puebla.

Departamento de Química Orgánica y Farmacéutica. Facultad de Farmacia, University of Salamanca, 37007 Salamanca, Spain.

2,3,8,8a-tetrahydro-7H-oxazolo[3,2-a]pyridines were prepared by reactions between  $\alpha,\beta$ -unsaturated carbonyls and N-hydroxyethylenamines of acetoacetate esters



**PREPARATION AND CONFORMATIONAL ANALYSIS OF SEVERELY HINDERED  $\beta$ -DIKETONES, DIPOLE MOMENT DETERMINATIONS AND THEORETICAL CALCULATIONS.**

M. Moreno-Mañas,\* A. González, C. Jaime, M.E. Lloris, J. Marquet, A. Martínez, A.C. Siani, A. Vallribera, I. Hernández-Fuentes, M.F. Rey-Stolle, and C. Salom.  
 Dep. of Chemistry, Univ. Autònoma de Barcelona. Bellaterra. 08193-Barcelona. Spain.  
 Dep. de Química Física I. Universidad Complutense. 28040-Madrid. Spain.

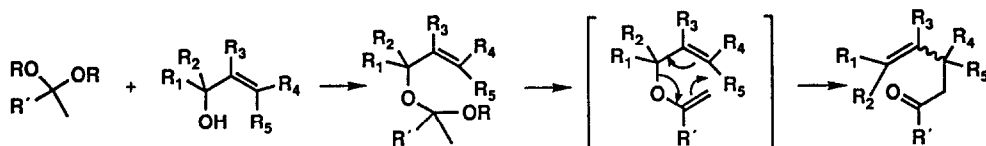
Conformational analysis (dipole moment determinations and Molecular Mechanics calculations) of non-enolic  $\beta$ -diketones show significant populations of conformations having low ( $< 2.5D$ ) and high ( $> 2.5D$ ) dipole moment.



**ISOPRENOID CHAIN ELONGATIONS BY CLAISEN REARRANGEMENT USING ACETALS AS PRECURSORS OF VINYL ETHERS**

Peter Baeckström\* and Lanna Li

Department of Organic Chemistry, Royal Institute of Technology, S-100 44 Stockholm, Sweden

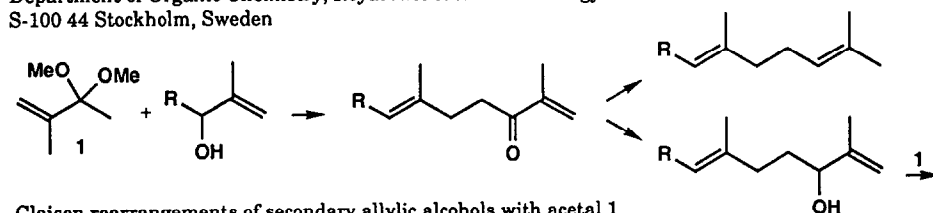


Acetals as precursors for in situ formation of vinyl ethers used in Claisen rearrangements have been investigated and compared. The acetals of acetaldehyde, acetone and isopropenyl methyl ketone and different types of allylic alcohols were used as model compounds.

**SYNTHESES OF ALL-TRANS ACYCLIC ISOPRENOID PHEROMONE COMPONENTS**

Peter Baeckström\* and Lanna Li

Department of Organic Chemistry, Royal Institute of Technology  
 S-100 44 Stockholm, Sweden



Claisen rearrangements of secondary allylic alcohols with acetal 1 were used iteratively to create all-trans head to tail isoprenoid chains, followed by a one-pot deoxygenation to achieve target compounds. Pheromone components, (*E*)- $\beta$ -farnesene, (*E*)- $\beta$ -springene and dendrolasin have been synthesized.