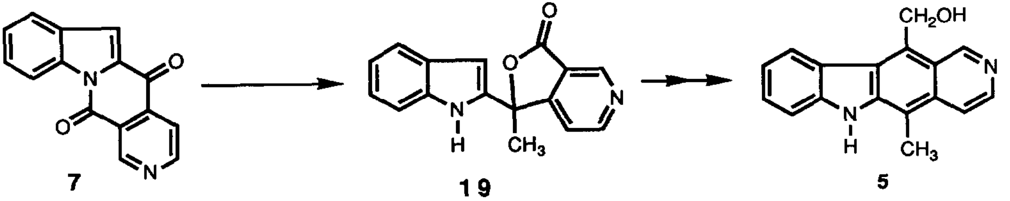
*Tetrahedron*, **1991**, *47*, 6539

## AN EFFICIENT SYNTHESIS OF C-11 SUBSTITUTED 6H-PYRIDO[4,3-B]CARBAZOLES

**Sandeep P. Modi,[1] Meged A. Michael,[1] Sydney Archer,*[1] James J. Carey [2]**
[1] Department of Chemistry, Rensselaer Polytechnic Institute, Troy, NY 12180; [2] Sterling Research Group, Rensselaer, NY 12144.

A synthesis of the natural product 5-methyl-6H-pyrido[4,3-b]carbazole-11-methanol, 5 from the ketolactam 7 is described.



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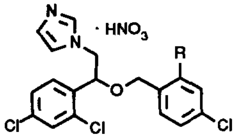
*Tetrahedron*, **1991**, *47*, 6549

## CHARACTERIZATION OF AUTOXIDATION PRODUCTS OF THE ANTIFUNGAL COMPOUNDS ECONAZOLE NITRATE AND MICONAZOLE NITRATE

Alan R. Oyler,* Roxanne E. Naldi, Kevin L. Facchine, David J. Burinsky, Madeleine H. Cozine, Richard Dunphy, J. Douglas Alves-Santana, and Mary Lou Cotter

R. W. Johnson Pharmaceutical Research Institute, Raritan, New Jersey 08869-0602



1, R = H
2, R = Cl

The products of autoxidation of econazole nitrate 1 and miconazole nitrate 2 in 90% ethanol at 77 °C in the presence of AIBN and oxygen are described.

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*Tetrahedron*, **1991**, *47*, 6561

## THE FUNCTIONALIZATION OF SATURATED HYDROCARBONS. PART XIX. OXIDATION OF ALKANES BY $H_2O_2$ IN PYRIDINE CATALYZED BY COPPER(II) COMPLEXES. A GIF-TYPE REACTION.

Barton, D.H.R.[a]; Csuhai, E.[a]; Doller, D.[a] and Geletii, Yu.V.*[a,b]
a. *Department of Chemistry, Texas A&M University, College Station, Texas 77843-3255, USA.*
b. *Institute of Chemistry, USSR Academy of Sciences, Chernogolovka, Moscow region 142 432, USSR.*

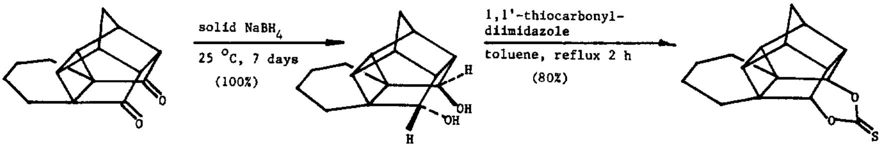
$$R^1R^2CH_2 \xrightarrow[\text{Pyridine, Acetic Acid}]{H_2O_2\text{, Cu(II) catalyst}} R^1R^2C{=}O \qquad \textit{ca..}\ 30\%\ \text{yield}$$

Cu(II) salts catalize the $H_2O_2$-mediated oxidation of saturated hydrocarbons to ketones. The reaction shares with Gif-type processes their unusual chemical properties.

*Tetrahedron*, **1991**, *47*, 6571

## STEREOSPECIFIC SOLID STATE SODIUM BOROHYDRIDE REDUCTIONS OF CAGE DIKETONES

Alan P. Marchand* and G. Madhusudhan Reddy
Department of Chemistry, University of North Texas
NT Station, Box 5068, Denton, Texas 76203-5068



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*Tetrahedron*, **1991**, *47*, 6577

## A RECONSIDERATION OF THE MECHANISM FOR THE AROMATIC VERSION OF RADICAL NUCLEOPHILE DISPLACEMENT REACTIONS

Donald B. Denney* and Dorothy Z. Denney
Department of Chemistry, Rutgers, The State University
of New Jersey, New Brunswick NJ 08903

A review of the literature and new experimental data indicate that aromatic radical anions containing leaving groups react with nucleophiles by a bimolecular displacement process.

$$ArX^{\bullet -} + Nu^{-} \longrightarrow ArNu^{\bullet -} + X^{-}$$

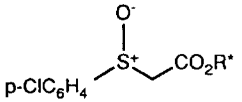
---

*Tetrahedron*, **1991**, *47*, 6601

## STEREOCHEMICALLY MATCHED SULFINYLACETATES FOR DOUBLE DIASTEREOSELECTION IN THE SPAC REACTION

Kevin Burgess* and Ian Henderson, Department of Chemistry
Rice University, Houston, TX 77251

Optically, and diastereoemerically pure sulfinyl acetates I were prepared by various routes. These materials are equipped with sulfoxide asymmetry and a chiral auxiliary for asymmetric induction. The magnitude and sense of double diastereoselection was assessed for application of these reagents in the SPAC reaction.



I

R* = chiral auxiliary

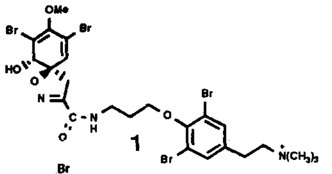
*Tetrahedron*, **1991**, *47*, 6617

## PUREALIDINS B AND C, NEW BROMOTYROSINE ALKALOIDS FROM THE OKINAWAN MARINE SPONGE *PSAMMAPLYSILLA PUREA*

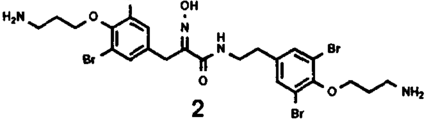
Jun'ichi Kobayashi*, Masashi Tsuda, Kaori Agemi, Hideyuki Shigemori, Masami Ishibashi, Takuma Sasaki[a], and Yuzuru Mikami[b]

Faculty of Pharmaceutical Sciences, Hokkaido University, Sapporo 060, Japan, [a]Cancer Research Institute, Kanazawa University, Kanazawa 920, Japan, and [b]Research Center for Pathogenic Fungi and Microbial Toxicoses, Chiba University, Chiba 280, Japan

Two new bromotyrosine alkaloids, purealidins B (**1**) and C (**2**), with antimicrobial and/or antineoplastic activities have been isolated from the Okinawan marine sponge *Psammaplysilla purea*..



**1**



**2**

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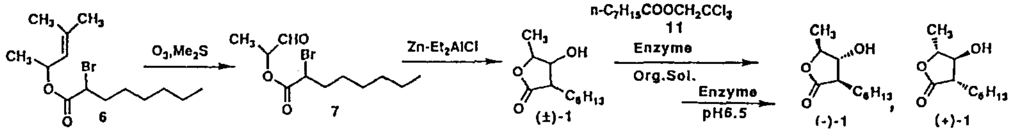
*Tetrahedron*, **1991**, *47*, 6623

## FACILE SYNTHESIS OF RACEMIC 2-HEXYL-3-HYDROXY-4-PENTANOLIDE(NFX-2) AND ITS OPTICAL RESOLUTION

Takuo Nishida[b], Takuya Nihira[a] and Yasuhiro Yamada[a]*
a) Department of Biotechnology, Faculty of Engineering, Osaka University, 2-1 Yamada-oka, Suita-shi, Osaka 565, Japan
b) Research Laboratory of Applied Biochemistry, Tanabe Seiyaku CO.,LTD., 16-89 Kashima 3-chome, Yodogawa-ku, Osaka 532, Japan

(2RS,3RS,4SR)-2-Hexyl-3-hydroxy-4-pentanolide((±)-1) was obtained by stereoselective intramolecular aldol condensation of 7. (±)-1 was kinetically resolved with a lipase, affording optically pure (-)-1 and (+)-1.



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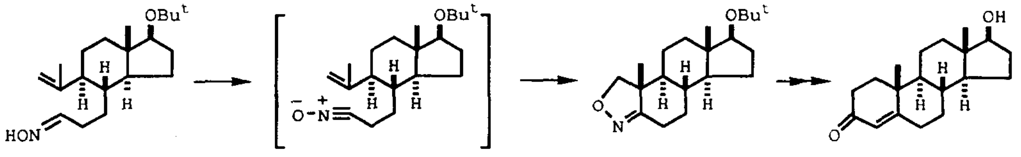
*Tetrahedron*, **1991**, *47*, 6635

## STEREOSELECTIVE SYNTHESIS OF (+)-TESTOSTERONE VIA INTRAMOLECULAR 1,3-DIPOLAR CYCLOADDITION OF NITRILE OXIDE

Masataka Ihara, Yuji Tokunaga, Nobuaki Taniguchi and Keiichiro Fukumoto*
Pharmaceutical Institute, Tohoku University, Aobayama, Sendai 980, Japan

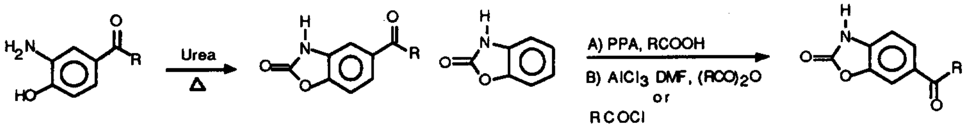


*Tetrahedron*, **1991**, *47*, 6649

## REGIOSELECTIVITY IN THE C-ACYLATION OF 2-(3H)-BENZOXAZOLONES

Hocine Aichaoui*, Jacques H. Poupaert**, Daniel Lesieur* and Jean-Pierre Hénichart*
* Institut de Chimie Pharmaceutique - Faculté de Pharmacie - 3, rue du Professeur Laguesse 59045 Lille, France
** Ecole de Pharmacie, Université Catholique de Louvain, av. E. Mounier 7340, B-1200 Bruxelles, Belgique

Unequivocal syntheses of 5-and 6-acyl derivatives of the 2-(3H)-benzoxazolone ring.



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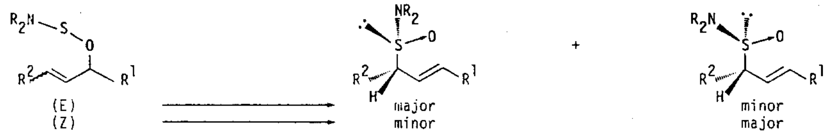
*Tetrahedron*, **1991**, *47*, 6655

## DIASTEREOSELECTIVITY IN THE [2,3]-SIGMATROPIC REARRANGEMENT OF SUBSTITUTED ALLYLIC N,N-DIALKYLAMIDOSULFOXYLATES. X-RAY MOLECULAR STRUCTURE OF [(1')*S**, (S)*S**]-(2'E)-4-[[3'-(4"-BROMOPHENYL)-1'-METHYL-2'-PROPENYL] SULFINYL]-MORPHOLINE.

Jean-Bernard Baudin[a], Itka Bkouche-Waksman[b], Georges Hareau[a], Sylvestre A. Julia[a], Robert Lorne[a] and Claudine Pascard[b].
a) Laboratoire de Chimie, Ecole Normale Supérieure, 24 rue Lhomond, 75231 Paris Cedex 05, France. b) Laboratoire de Cristallochimie, Institut de Chimie des Substances Naturelles du CNRS, 91190 Gif sur Yvette, France.

The title N,N-dialkylamidosulfoxylates rearrange preferentially via an *endo-transoid* transition state.



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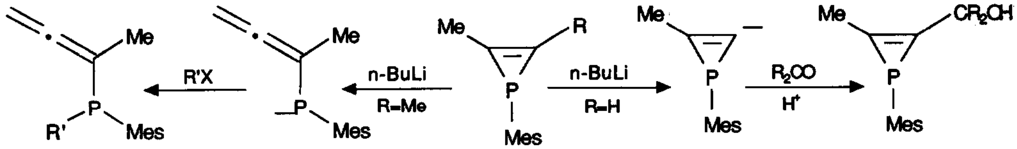
*Tetrahedron*, **1991**, *47*, 6673

## New Reactivity of Phosphirenes (Phosphacyclopropenes). Synthesis of Allenylphosphines and of Functionnal Phosphirenes.

F. Nief* and F. Mathey
Laboratoire de Chimie du Phosphore et des Métaux de Transition, CNRS - UM 13, DCPH, Ecole Polytechnique, 91128 PALAISEAU CEDEX, FRANCE.

n-BuLi deprotonates 2-methyl mesitylphosphirenes. With R=H, a metalated phosphirene results; it can be functionnalized. With R=Me, ring-opening is observed and allenylphosphines are obtained.

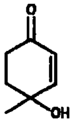


*Tetrahedron*, **1991**, *47*, 6681

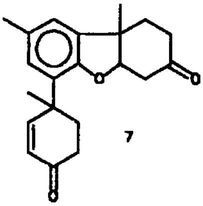
## A NOVEL EFFICIENT ROUTE TO HEXAHYDRODIBENZOFURAN DERIVATIVES

Christian Berrier, Marie-Paule Jouannetaud, Jean-Claude Jacquesy* and Faustin Kigabo.
Laboratoire de Chimie XII - Faculté des Sciences - 40, Avenue du Recteur Pineau
86022 POITIERS CEDEX.

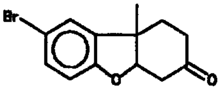
In HF, ketone 2 reacted at 0°C to yield ketone 7(43%) and with parabromophenol at -20°C to give ketone 6(40%).



2



7



6

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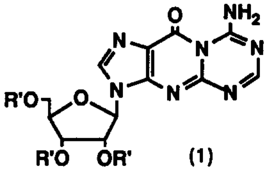
*Tetrahedron*, **1991**, *47*, 6689

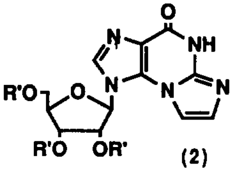
## $N^2$,3-Ethenoguanosine and 1A'-Metamorphosine: $^{15}N$ NMR Spectroscopy and Elucidation of Physico-chemical Properties by Kinetic and Equilibrium Measurements

C. Glemarec, Y. Besidsky, J. Chattopadhyaya*, J. Kusmierek, M. Lahti, M. Oivanen and H. Lönnberg*

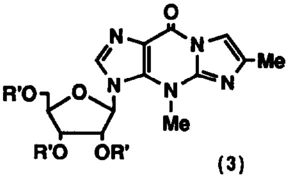
Department of Bioorganic Chemistry, Box 581, Biomedical Center, University of Uppsala, S-751 23 Uppsala, Sweden,
Inst. of Biochem. & Biophys., Polish Academy of Sciences, 02-532 Warsaw, Poland, &
Dept. of Chemistry, University of Turku, SF-20500 Turku, Finland.



(1)



(2)



(3)

*A comparative structural study on (1), (2) & (3) is reported.*

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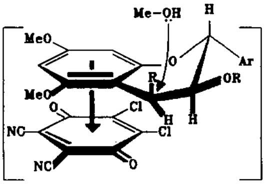
*Tetrahedron*, **1991**, *47*, 6705

## REGIO- AND STEREOSELECTIVE OXIDATION OF FLAVAN-3-OL-, 4-ARYLFLAVAN-3-OL-, AND BIFLAVANOID DERIVATIVES WITH 2,3-DICHLORO-5,6-DICYANO-1,4-BENZOQUINONE (DDQ)

JACOBUS A. STEENKAMP*, C. HENDRIK L. MOUTON, AND DANEEL FERREIRA*

*Department of Chemistry, University of the Orange Free State,*
*P.O. Box 339, Bloemfontein, 9300 South Africa*



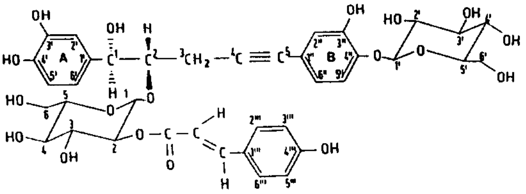
Various flavan-3-ol derivatives are susceptible to regio- and stereoselective oxygenation at C-4 with DDQ in chloroform/methanol at ambient temperatures, stereoselectivity being explicable in terms of a diastereogenic donor-acceptor interaction.

*Tetrahedron*, **1991**, *47*, 6717

## RESEARCH ON AFRICAN MEDICINAL PLANTS - XXVII - INTERJECTIN, A DERIVATIVE OF NYASICOSIDE FROM HYPOXIS INTERJECTA AND HYPOXIS MULTICEPS.

G.B. Marini-Bettolo[a,+], C. Galeffi [b], G. Multari[b], G. Palazzino [b], I. Messana[c].
[a]Università "La Sapienza" (Italy), [b] Istituto Superiore di Sanità (Italy), [c] Università Cattolica S. Cuore, (Italy).

The structure of the new glucoside, interjectin, was assigned on the basis of the spectral data and was correlated to that of tetramethylnyasicoside.



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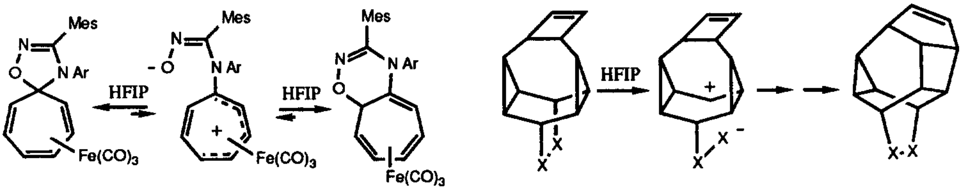
*Tetrahedron*, **1991**, *47*, 6725

## HEXAFLUOROISOPROPANOL AS A SUITABLE SOLVENT FOR REARRANGEMENTS *VIA* ZWITTERIONIC INTERMEDIATES.

Marina Burdisso,[a] Remo Gandolfi,[a] Lucio Toma,[a] Roberta Oberti[b]
a) Dip. Chim. Organica, Universita' di Pavia; b) Centro CNR per la Cristallochimica e la Cristallografia, Pavia, Italy



Mes = 2,4,6-$Me_3C_6H_2$  Ar = p- $MeC_6H_4$

X—X = $(CN)_2C{=}C(CN)_2$

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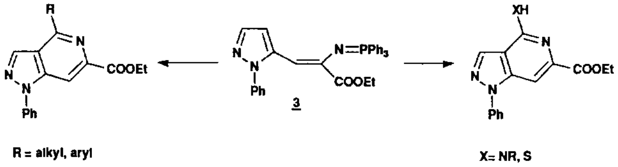
*Tetrahedron*, **1991**, *47*, 6737

## PYRIDO ANNELATION REACTION BY A TANDEM AZA WITTIG/ELECTROCYCLIC RING-CLOSURE STRATEGY: PREPARATION OF PYRAZOLO[4,3-c]- AND PYRAZOLO[3,4-c]PYRIDINE DERIVATIVES.

Pedro Molina*, Enrique Aller, Angeles Lorenzo
Departamento de Química Orgánica, Universidad de Murcia
Campus de Espinardo, 30071 Murcia, Spain.

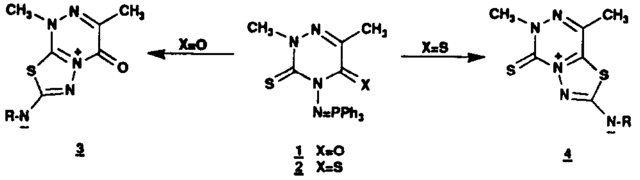
Aza Wittig-type reactions of iminophosphorane **3** with isocyanates, ketenes, carbon disulfide, and aldehydes lead to pyrazolo[4,3-c]pyridines bearing an amino group, an alkyl (aryl) group or an sulfur atom in the 4 position.



*Tetrahedron*, **1991**, *47*, 6747

### Regioselective Iminophosphorane-Mediated Annelation of a 1,3,4-Thiadiazole Ring into a 1,2,4-Triazine Ring: Preparation of Novel Mesoionic Compounds Derived from [1,3,4]Thiadiazolo[2,3-c]- and [1,3,4]Thiadiazolo[3,2-d][1,2,4]triazines.

Pedro Molina*, Mateo Alajarín, Antonia López-Lázaro
Departamento de Química Orgánica, Universidad de Murcia
Campus de Espinardo, 30071 Murcia, Spain.



Aza Wittig-type reaction of iminophosphorane **1** with iso(thio)cyanates leads to thiadiazolo[2,3-c] triazines **3**, whereas iminophosphorane **2** leads to thiadiazolo[3,2-d]triazines **4**.

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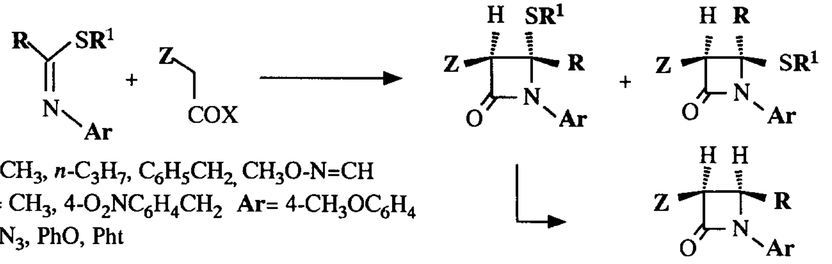
*Tetrahedron*, **1991**, *47*, 6759

## A CONVENIENT SYNTHESIS OF *B*-LACTAMS FROM ALKYLTHIOIMIDATES

Edward Grochowski* and Krzysztof Pupek
*Institute of Organic Chemistry, Polish Academy of Sciences, 01-224 Warsaw, Poland*



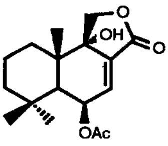
R= $CH_3$, $n$-$C_3H_7$, $C_6H_5CH_2$, $CH_3O$-N=CH
$R^1$= $CH_3$, 4-$O_2NC_6H_4CH_2$ Ar= 4-$CH_3OC_6H_4$
Z= $N_3$, PhO, Pht

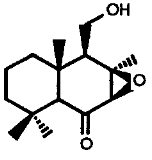
---

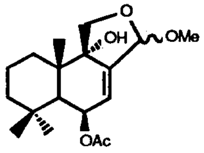
*Tetrahedron*, **1991**, *47*, 6769

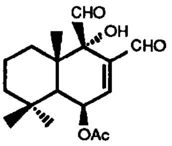
### Synthetic Studies on Biologically Active Natural Compounds Part III. Stereospecific Transformation of Uvidin A into (-)-Cinnamosmolide.

Luigi Garlaschelli, Pascal de Tullio and Giovanni Vidari*
Dipartimento di Chimica Organica, Universita' di Pavia, Viale Taramelli 10, 27100 Pavia, Italy


1


2


3a = β 12-H
3b = α 12-H


4

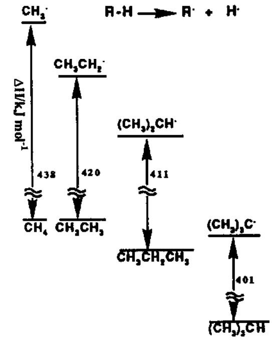
The first Synthesis of natural (-)-cinnamosmolide ( 1 ) from the sesquiterpene uvidin A (2 ) is described. The important synthetic intermediates 3 a-b were also obtained from (-)-cinnamodial ( 4 ).

*Tetrahedron*, **1991**, *47*, 6777

## STABILIZATION EFFECTS IN ALKANES AND IN PRIMARY, SECONDARY AND TERTIARY ALKYL RADICALS

Richard A. Jackson
*School of Chemistry and Molecular Sciences,*
*University of Sussex, Brighton BN1 9QJ, UK.*

The lower value of D(Et-H) compared with D(Me-H) results mainly from differences in intrinsic bond energies on rehybridization, with electron delocalization playing only a minor role.



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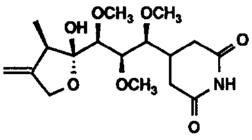
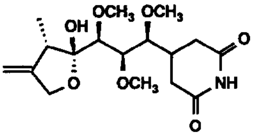
*Tetrahedron*, **1991**, *47*, 6787

## Synthesis of Acyclic Analogues of Sesbanimides A and B

J.C. van den Bos, W.J. Vloon, G.-J. Koomen and U.K. Pandit*; University of Amsterdam (The Netherlands)





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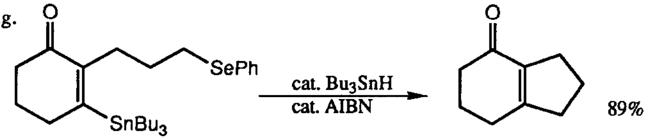
*Tetrahedron*, **1991**, *47*, 6795

## CARBOCYCLIC RING EXPANSION REACTIONS *VIA* RADICAL CHAIN PROCESSES. PART II.

Jack E. Baldwin*, Robert M. Adlington, and Jeremy Robertson; The Dyson Perrins Laboratory, University of Oxford, South Parks Road, Oxford, OX1 3QY.

Further exploitation of the homolytic ring expansion reaction of cyclohexanone derivatives with application to the preparation of exomethylene cycloalkanones, α-alkylated cyclodecanones, indanones, and decalinols is described.

e.g.

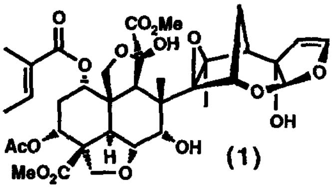


*Tetrahedron*, **1991**, *47*, 6813

# CHEMISTRY OF INSECT ANTIFEEDANTS FROM *AZADIRACHTA INDICA* (PART 8)[1]: SYNTHESIS OF HYDROXYDIHYDROFURAN ACETAL FRAGMENTS FOR BIOLOGICAL EVALUATION AND AZADIRACHTIN TOTAL SYNTHESIS STUDIES.

James C. Anderson, Steven V. Ley,* Dinos Santafianos and Richard N Sheppard.

The work describes a unified strategy towards the preparation of hydroxydihydrofuran acetal fragments for biological evaluation as antifeedants and as potential coupling fragments for azadirachtin (1) synthesis.



(1)