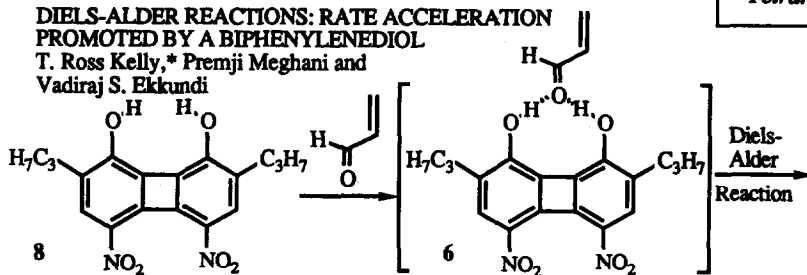


GRAPHICAL ABSTRACTS

Tetrahedron Lett. 1990, 31, 3381

DIELS-ALDER REACTIONS: RATE ACCELERATION PROMOTED BY A BIPHENYLENEDIOL

T. Ross Kelly,* Premji Meghani and Vadiraj S. Ekkundi



The presence of biphenylenediol **8** accelerates the rate of some Diels-Alder reactions. Catalysis via a complex involving two hydrogen bonds (as in **6**) is proposed.

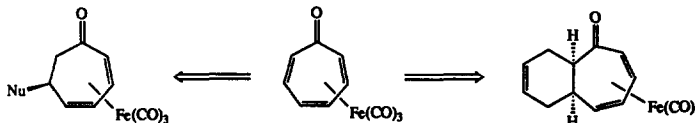
Tetrahedron Lett. 1990, 31, 3385

TRICARBONYL (TROPONE) IRON AS A USEFUL FUNCTIONALIZED ENONE EQUIVALENT

James H. Rigby* and Cyprian O. Ogbu

Department of Chemistry, Wayne State University, Detroit, MI 48202

Tricarbonyl (tropone) iron has been shown to undergo reactions characteristic of an isolated enone system.

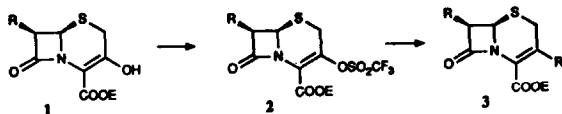


Tetrahedron Lett. 1990, 31, 3389

REACTION OF ORGANOCUPRATES WITH VINYL-TRIFLATES AND RELATED CEPHEMS: A NOVEL APPROACH TO 3-SUBSTITUTED CEPHALOSPORINS

Joydeep Kant*, Chester Sapino Jr., and Stephen R. Baker, Chemical Process Development, Pharmaceutical Research and Development Division, Bristol-Myers Squibb Company, Syracuse, NY 13201

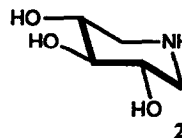
Trifluorocephems **2**, synthesized from 3-hydroxycephems **1**, readily undergo addition-elimination reactions with a variety of organocuprates to form new carbon-carbon bonds in cephalosporins **3**.



Tetrahedron Lett. 1990, 31, 3393

A NEW FAMILY OF FIVE-CARBON IMINOALDITOLS WHICH ARE POTENT GLYCOSIDASE INHIBITORS

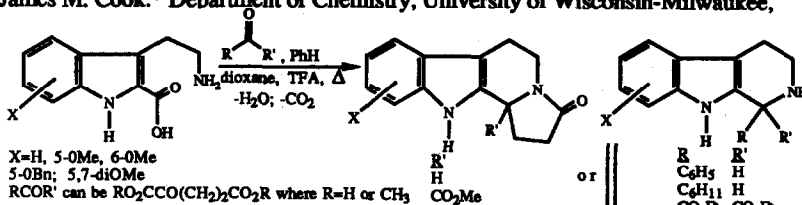
R.C. Bernotas, G. Papandreou, J. Urbach and Bruce Ganem*
Department of Chemistry, Baker Laboratory
Cornell University
Ithaca, New York 14853 USA



Syntheses of 1,5-dideoxy-1,5-imino-D-xylitol **2** and the corresponding D-lyxose and L-arabinose derivatives are described.

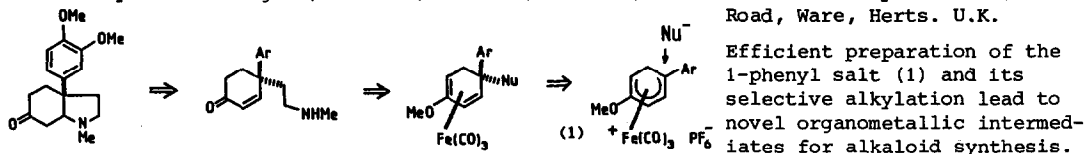
CARBOXYL-MEDIATED PICTET-SPENGLER REACTION. DIRECT SYNTHESIS OF 1,2,3,4-TETRAHYDRO β -CARBOLINES FROM TRYPTAMINE-2-CARBOXYLIC ACIDS.

Krishnaswamy Narayanan and James M. Cook.* Department of Chemistry, University of Wisconsin-Milwaukee, Milwaukee, Wisconsin 53201.



ARYL-SUBSTITUTED CYCLOHEXADIENYL COMPLEXES: NOVEL INTERMEDIATES FOR AN ORGANOMETALLIC APPROACH TO Sceletium and Amarylolidaceae Alkaloid Synthesis

D.A. Owen,^a G.R. Stephenson,^a H. Finch^b and S. Swanson^b
University of East Anglia, Norwich, Norfolk, NR4 7TJ, U.K. a) School of Chemical Sciences, b) Glaxo Group Research, Park Road, Ware, Herts. U.K.

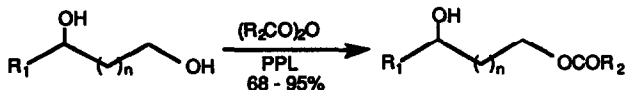


PORCINE PANCREATIC LIPASE MEDIATED SELECTIVE ACYLATION OF PRIMARY ALCOHOLS IN ORGANIC SOLVENT

Sowmianarayanan Ramaswamy,¹ Allan C. Oehlschlager,^{1*} and Brian Morgan.²

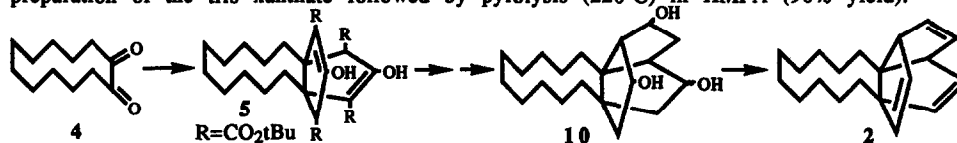
1. Department of Chemistry, Simon Fraser University, Burnaby, B.C. Canada V5A 1S6.
2. Phero Tech Inc., 7572 Progress Way, R.R.#5, Delta, B.C. Canada V4G

PPL mediated acylation of 1,n-diols and triols affords primary acylated products in high yields.



GENERAL APPROACH FOR THE SYNTHESIS OF POLYQUINENES VIA THE WEISS REACTION XII. THE CHUGAEV APPROACH TO ELLACENE (1,10-CYCLDODECANOTRIQUINACENE)

X.Fu, J.M.Cook*, Department of Chemistry, University of Wisconsin-Milwaukee, Milwaukee, WI 53201
Ellacene 2 was synthesized (from 4) via the Weiss reaction. Conversion of 10 to 2 was effected by preparation of the tris xanthate followed by pyrolysis (220°C) in HMPA (90% yield).

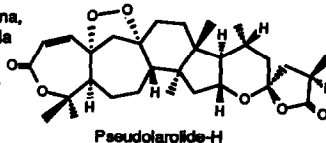


**STRUCTURE AND STEREOCHEMISTRY OF PSEUDOLAROLIDE-H,
A NOVEL PEROXY TRITERPENE DILACTONE FROM *PSEUDOLARIX KAEMPFERI***

Guo-Fu Chen,^a Zhu-Lian Li,^a Ke Chen,^b Cheng-Min Tang,^c Xiang He,^c De-Ji Pan,^a Donald R. McPhail,^d Andrew T. McPhail,^d and Kuo-Hakung Lee^{a,b}

^aDepartment of Chemistry of Natural Drugs, School of Pharmacy, Shanghai Medical University, Shanghai 200032, People's Republic of China, ^bNatural Products Laboratory, Division of Medicinal Chemistry and Natural Products, School of Pharmacy, University of North Carolina, Chapel Hill, North Carolina 27599, U.S.A., ^cShanghai Institute of Materia Medica, Academia Sinica, Shanghai 200032, People's Republic of China, and ^dDepartment of Chemistry, P. M. Gross Chemical Laboratory, Duke University, Durham, North Carolina 27706, U.S.A.

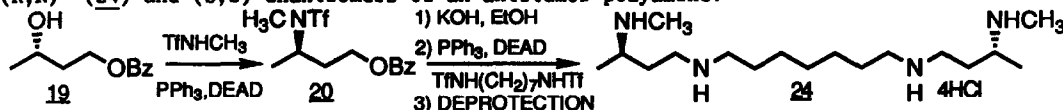
The structure of Pseudolarolide-H has been established from spectral data and single-crystal X-ray analysis.



**STEREOSPECIFIC SYNTHESIS OF SECONDARY AMINES BY THE
MITSUNOBU REACTION**

Michael L. Edwards*, David M. Stemerick and James R. McCarthy
Merrell Dow Research Institute, 2110 E. Galbraith Road, Cincinnati, Ohio 45215

A chiral synthesis of secondary amines from alcohols utilizing *N*-alkyltrifluoromethanesulfonamides in the Mitsunobu reaction was developed and applied to the synthesis of the (*R,R*)- (**24**) and (*S,S*)-enantiomers of an antitumor polyamine.



**A NEW AND VERSATILE ROUTE TO THE SYNTHESIS OF
HIGHLY SUBSTITUTED BENZENOIDS**

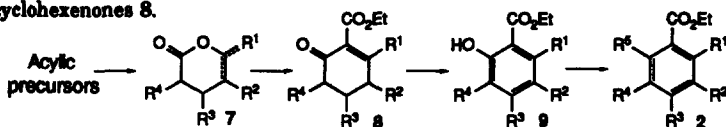
Jeffrey A. Robl

The Squibb Institute for Medical Research, P.O. Box 4000, Princeton, N.J. 08540-4000.

A general route for the synthesis of highly substituted benzenoids (**2**) has been developed. Unsaturated lactones **7** are converted to the corresponding carboxy cyclohexenones **8**.

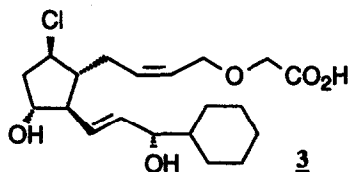
Subsequent aromatization gives phenols **9**.

The phenolic functionality provides a handle for further modification, providing benzenoids of type **2**.



**SYNTHESIS OF A CHEMICALLY AND METABOLICALLY
STABLE AND BIOLOGICALLY POTENT PGD₂-ANALOGUE**

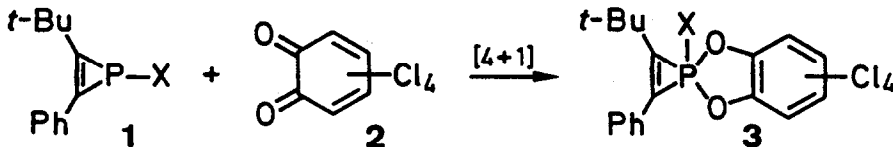
B. Buchmann, W. Skuballa and H. Vorbrüggen
Research Laboratories of Schering AG,
D-1000 Berlin 65, Federal Republic of Germany



The synthesis of the 3-oxa-PGD₂-analogue **3** is described. The reaction sequence used might be generally useful for preparing prostaglandins with a 3-oxa- $\Delta^{5,6}$ - α -side chain.

FIRST SYNTHESIS OF PENTACOORDINATED PHOSPHIRENES

Michael Ehle, Oliver Wagner, Uwe Bergsträsser und Manfred Regitz

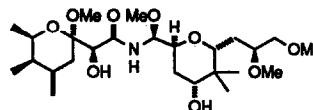
Fachbereich Chemie der Universität Kaiserslautern, Erwin-Schrödinger-Strasse,
D-6750 Kaiserslautern, Federal Republic of Germany1H-Phosphirenes (1) react with 2 to the so far unknown pentacoordinated phosphirenes 3.

A SYNTHESIS OF (+)-PEDERIN. THE METALLATED DIHYDROPYRAN APPROACH.

Krzysztof Jarowicki, Philip Kociński*, Stanislaw Marczak, and Timothy Willson

Chemistry Department, The University, Southampton, SO9 5NH, UK

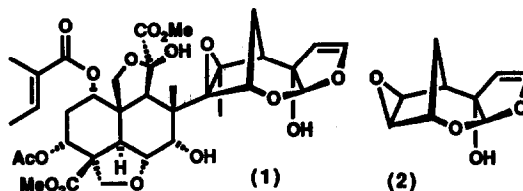
The addition of a 6-lithio-3,4-dihydro-2H-pyran to a methyl oxamate ester in the presence of TMEDA is a key step in the synthesis of a masked 1,2,3-tricarbonyl moiety used to construct the N-(1-alkoxy-1-alkyl)-amide bridge of the potent cytotoxic agent pederin. A Pd(0)-catalysed stannylation of an O-trifluoromethylsulfonyl ketene acetal provides an efficient synthesis of the 6-(trimethylstannyl)-3,4-dihydro-2H-pyran which transmetalates to the lithium derivative on treatment with *n*-BuLi.

CHEMISTRY OF INSECT ANTIFEEDANTS FROM AZADIRACHTA INDICA (PART 7)¹: PREPARATION OF AN OPTICALLY PURE HYDROXYACETAL EPOXIDE RELATED TO AZADIRACHTIN.

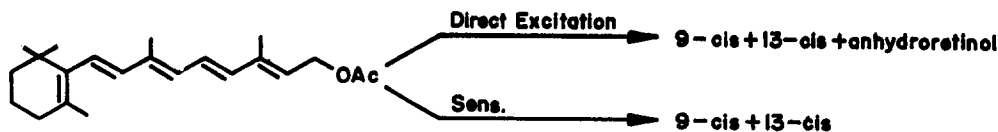
James C. Anderson and Steven V. Ley.*

Department of Chemistry, Imperial College of Science, Technology
and Medicine, London, SW7 2AY, U.K.

Summary: Preparation of an optically pure hydroxyacetal epoxide (2) as a model compound of the potent antifeedant azadirachtin (1) is reported.



REGIOSELECTIVE PHOTOISOMERISATION OF RETINOLACETATE

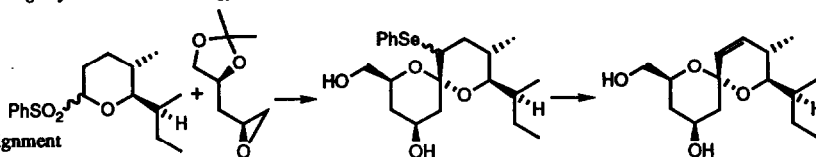
V. Jayathirtha Rao[†] and U.T. BhaleraroOrganic Division, Indian Institute of Chemical Technology,
Hyderabad 500 007, India.

Synthesis of a C16-C28 Spiroacetal Fragment of Avermectin B1a and Reassignment of Some ^1H and ^{13}C Resonances of Avermectin B1a

David Diez-Martin, Peter Grice, Hartmuth C. Kolb, Steven V. Ley* and Andrew Madin

Department of Chemistry, Imperial College of Science Technology and Medicine, London SW7 2AY.

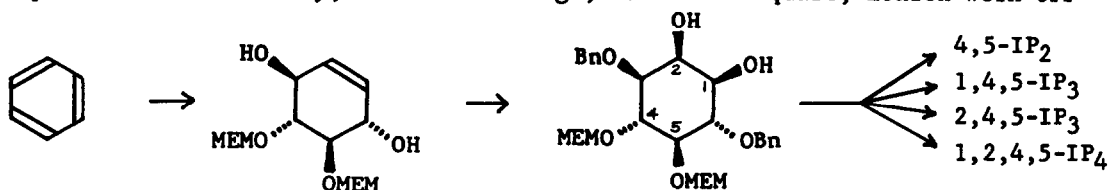
A new method for the synthesis of unsaturated spiroacetals from 2-benzenesulphonyltetrahydropyrans is presented. ^1H and ^{13}C studies of one of these spiroacetals led to a reassignment of some ^1H and ^{13}C resonances of Avermectin B1a.



TOTAL SYNTHESIS OF *myo*-INOSITOL POLYPHOSPHATES FROM BENZENE VIA CONDURITOL B DERIVATIVES

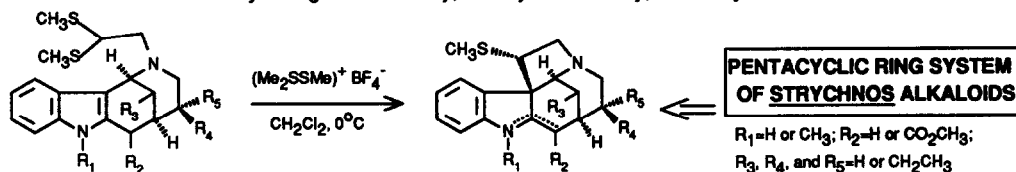
Howard A.J. Carless and Kofi Busia

Department of Chemistry, Birkbeck College, 29 Gordon Square, London WC1H 0PP



DIMETHYL(METHYLTHIO)SULFONIUM FLUOROBORATE INDUCED CYCLIZATION OF DITHIOACETALS UPON 2,3-DISUBSTITUTED INDOLES

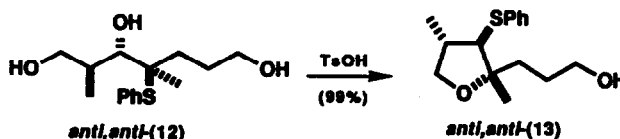
Mercedes Amat, Mercedes Alvarez, Josep Bonjoch, Núria Casamitjana, Jordi Gràcia, Rodolfo Lavilla, Xavier Garcias, and Joan Bosch. Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, 08028-Barcelona, Spain



STEREOELECTRONIC FACTORS IN THE SYNTHESIS OF TETRAHYDROFURANS BY HYDROXYL PARTICIPATION IN PHENYLTHIO MIGRATION

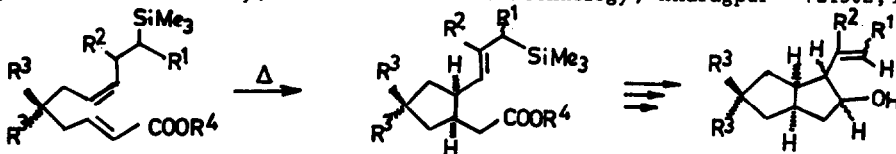
Sara McIntyre and Stuart Warren, University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW

The factors controlling stereospecific cyclisation of an OH group onto an episulphonium ion in tetrahydrofuran synthesis e.g. (12) to (13) include attack at the more highly substituted carbon atom, preferential formation of the more highly substituted five-membered ring, and preferential closure in the 5-*exo-tet* sense according to Baldwin's rules.



CYCLOPENTANOID ALLYLSILANES IN SYNTHESIS : GENERATION VIA
INTRAMOLECULAR ENE REACTION OF ACTIVATED 1,6-DIENES AND
APPLICATION TO THE SYNTHESIS OF FUNCTIONALIZED DIQUINANES

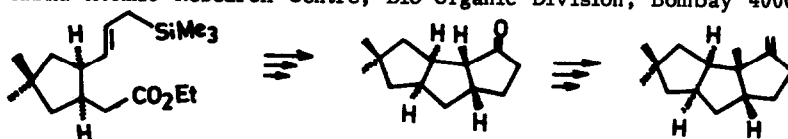
T. K. Sarkar*, S. K. Ghosh, P.S.V. Subba Rao & T. K. Satapathi
Department of Chemistry, Indian Institute of Technology, Kharagpur - 721302, India



CYCLOPENTANOID ALLYLSILANES IN SYNTHESIS :
A STEREOSELECTIVE SYNTHESIS OF (+)-HIRSUTENE

T. K. Sarkar*¹, S. K. Ghosh², P.S.V. Subba Rao¹ & V. R. Mamdapur²

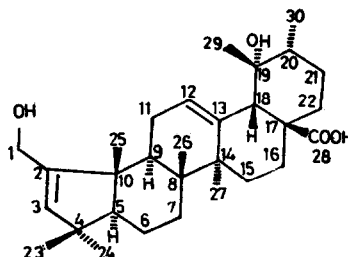
1 - Department of Chemistry, Indian Institute of Technology, Kharagpur 721302, India
2 - Bhabha Atomic Research Centre, Bio-Organic Division, Bombay 400085, India



COLEONOLIC ACID, A REARRANGED URSANE
TRITERPENOID FROM COLEUS FORSKOHLII

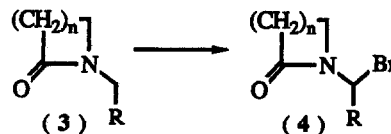
Raja Roy^a, R.A. Vishwakarma^b, Neeraj Varma^a
and J.S. Tandon

^aCentral Drug Research Institute &
^bCentral Institute of Medicinal
and Aromatic Plants, Lucknow, India.



Exocyclic Bromination of *N*-Substituted
β- and γ-Lactams

Christopher J. Easton* and Michael J. Pitt
Department of Organic Chemistry, University of Adelaide,
G.P.O. Box 498, Adelaide, South Australia 5001

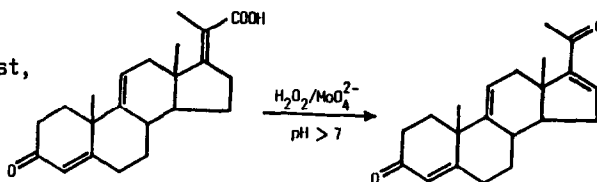


Regioselective halogenation of the *N*-substituted lactams (3a)-(3d)
affords the corresponding exocyclic bromides (4a)-(4d). The procedure
provides a novel alternative route to *N*-(α-haloalkyl)-substituted lactams,
which are of particular interest in the synthesis of β-lactam antibiotics.

a; R = CO₂Et, n = 1
b; R = CN, n = 1
c; R = CO₂Me, n = 2
d; R = CN, n = 2

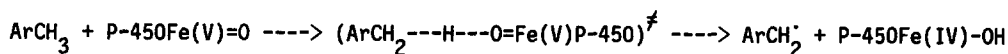
OXIDATIVE DECARBOXYLATION OF 17(20)-
-DEHYDRO-23,24-DINORCHOLANOIC ACIDS

András Toró and Gábor Ambrus

Institute for Drug Research, Budapest,
H-1325 HungaryA new route for preparation of
corticosteroid intermediates from
sitosterol.SELECTIVITY AND MECHANISM IN THE MICROSOMAL BENZYLIC
HYDROXYLATION

R. Amodeo, E. Baciocchi, M. Crescenzi and O. Lanzalunga

Dipartimento di Chimica, Università "La Sapienza" P.le A. Moro 5, 00185 Roma, Italy



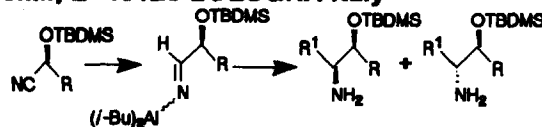
A hydrogen atom transfer mechanism is suggested for the cytochrome P-450 induced oxidation of alkylaromatics on the basis of a study of the microsomal oxidation of 4-substituted-1,2-dimethylbenzenes and 4-methoxybenzyltrimethylsilane.

A NEW APPROACH TO α -AMINO ALCOHOLS.

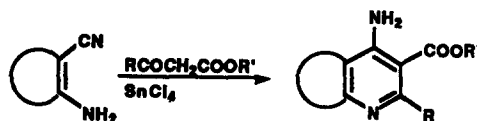
Gianfranco Cainelli, Elisabetta Mezzina and Mauro Panunzio*

Dipartimento Chimico "G. Ciamician" Via Selmi, 2 40126 BOLOGNA Italy

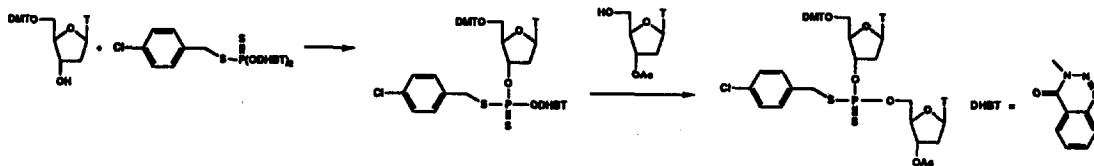
Summary: The addition of lithium alkyls to α -hydroxy N-diisobutylaluminum-imines obtained from cyanohydrines proceeds with good stereoselectivity to give syn amino-alcohols.

TIN (IV) CHLORIDE-PROMOTED REACTIONS
OF β -DICARBONYL COMPOUNDS WITH NITRILES.
SYNTHESIS OF AMINOPYRIDINES AND AMINOQUINOLINES.Augusto C. Veronese*, Rosella Callegari, Suada Ahmed Ali Salah,
Dipartimento di Scienze Farmaceutiche, via Scandiana 21, 44100 Ferrara, Italy.

β -Ketoesters and β -diesters react with β -enamino-nitriles, in the presence of stoichiometric amounts of tin (IV) chloride, to give 4-amino-pyridines and pyridones while they react with aromatic ortho-amino-nitriles to give 4-amino-quinolines and quinolones.



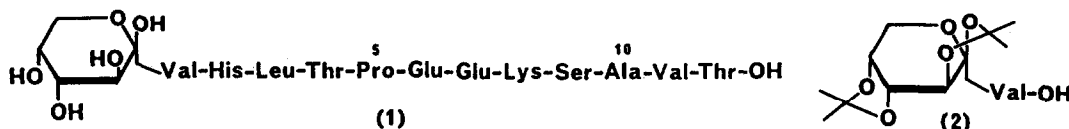
DEOXYNUCLEOSIDE PHOSPHORODITHIOATES. PREPARATION BY A TRIESTER METHOD
 Bjarne H. Dahl, Kirsten Bjergård, John Nielsen and Otto Dahl*
 Department of General and Organic Chemistry, The H. C. Ørsted Institute, University of Copenhagen,
 Universitetsparken 5, DK-2100 Copenhagen, Denmark.



SYNTHESIS OF THE N-GLYCOPEPTIDE PARTIAL SEQUENCE
 A¹-A¹² OF THE β-CHAIN OF GLYCOSYLATED HAEMOGLOBIN
 HbA_{1c}. A NEW APPROACH TO AMADORI N-GLYCOPEPTIDES.

Nigel J. Forrow* and Mark J. Batchelor¹
 MediSense (UK), Inc., 14 Blacklands Way,
 Abingdon, Oxon. OX14 1DY, UK.

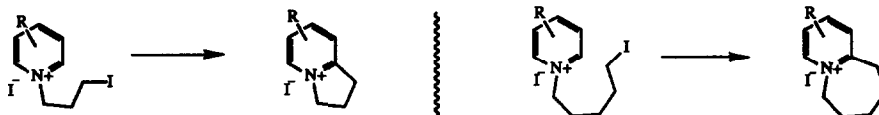
A new approach to (1) is described whereby a protected Amadori amino acid (2) is incorporated into a solution-phase peptide synthesis.



Intramolecular Free Radical Substitution Reactions of Pyridinium
 Rings: Efficient Formation of [5,6] and [6,7] Fused Ring Systems

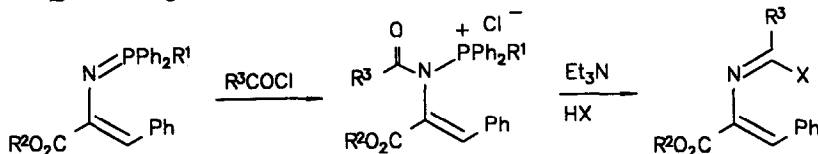
John A. Murphy* and Michael S. Sherburn, Department of Chemistry, University of Nottingham,
 Nottingham NG7 2RD.

The scope of intramolecular radical-induced substitution of pyridinium salts has been investigated, and it has been shown that [5,6] and [6,7]-membered fused ring systems form with surprising efficiency.



A SIMPLE AND EFFICIENT "ONE-POT" SYNTHESIS OF
 2-AZA-1,3-BUTADIENES FROM N-VINYLIC λ⁵-PHOSPHAZENES
 José BARLUENGA,* Miguel FERRERO, and Francisco PALACIOS.

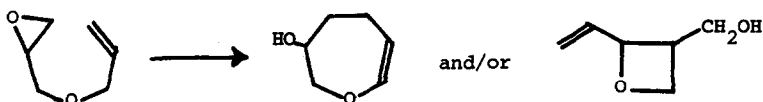
Departamento de Química Organometálica, Universidad de Oviedo, 33071 Oviedo, Spain.



THE SCOPE OF A NEW APPROACH TO TETRAHYDROOXEPANOL SYNTHESIS

C. W. Bird* and N. Hormozi

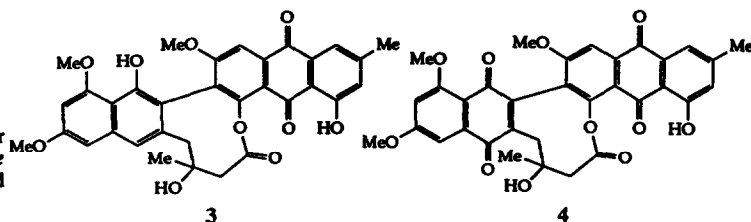
Department of Chemistry, King's College London,
The Strand, London WC2R 2LS, U.K.



The Dermocanarins, Unique Macrocyclic Lactones
from the Fungus *Dermocybe canaria*.

M. Gill and A. Gimenez
Department of Organic Chemistry
University of Melbourne
Parkville Victoria 3052 Australia.

The coupled octaketides **3** and **4**, the major pigments of the mycelium of *Dermocybe canaria*, have been isolated and characterised by spectroscopic methods.



REARRANGEMENT OF *unsym*-AZETIDINONE DISULPHIDES
TO 2β-(THIO-SUBSTITUTED METHYL)PENAMS

Marco Alpegiani, Franco Giudici, Ettore Perrone* and Daniela Borghi
Farmitalia Carlo Erba, Via dei Gracchi 35, 20146 Milano, Italy

"Kamiya disulphides" **3**, **8** rearranged to penams **4**, **10** upon thermolysis. The reaction could be extended to other substrates in the presence of an external acid catalyst (PTSA).

