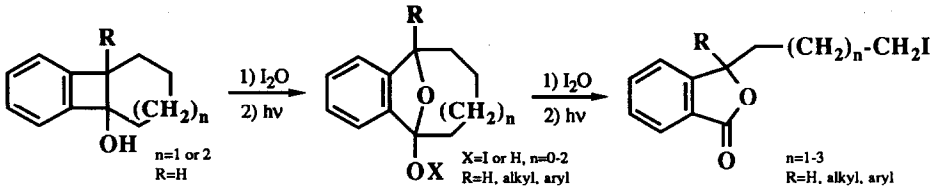
Tetrahedron, **1991**, *47*, 5437

NEW SHORT STEP GENERAL SYNTHESIS OF ISOBENZOFURAN-1(3*H*)-ONES (PHTHALIDES) BASED ON A SINGLE OR DOUBLE β-SCISSION OF ALKOXYL RADICALS GENERATED FROM 1-ETHYLBENZOCYCLOBUTEN-1-OLS AND FROM 1,3-DIHYDROISOBENZOFURAN-1-OLS; SYNTHESIS OF SOME NATURAL PHTHALIDES

Kazuhiro Kobayashi, Masahito Itoh, Akiyoshi Sasaki, and Hiroshi Suginome*
Organic Synthesis Division, Faculty of Engineering, Hokkaido University, Sapporo, 060, Japan

Synthesis of 3-substituted phthalides including (±)-pierardine is described.



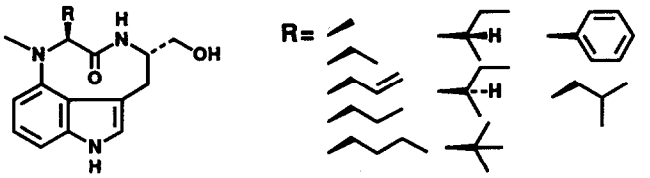
Tetrahedron, **1991**, *47*, 5453

SYNTHESIS OF NEW INDOLACTAM ANALOGUES BY MICROBIAL CONVERSION

Shin-ichiro Kajiyama, Kazuhiro Irie*, Takae Kido, Koichi Koshimizu, Hideo Hayashi[a] and Motoo Arai[a]

Department of Food Science and Technology, Faculty of Agriculture, Kyoto University, Kyoto 606, Japan
[a]Department of Agricultural Chemistry, College of Agriculture, University of Osaka Prefecture, Sakai 591, Japan

Ten indolactam congeners in the optically active form were synthesized from their seco-compounds (*N*-methyl L-amino acidyl-L-tryptophanol) by microbial conversion.



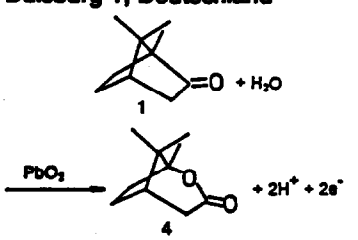
Tetrahedron, **1991**, *47*, 5463

SELECTIVE ANODIC OXIDATION OF CAMPHOR

Siyu Ye and Fritz Beck

Universität Duisburg, Fachgebiet Elektrochemie, D-4100 Duisburg 1, Deutschland

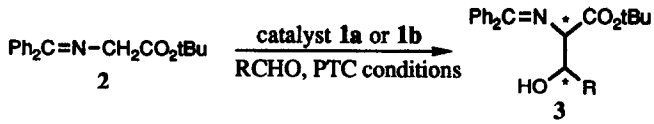
Camphor **1** was anodically oxidized at lead dioxide in 1 M H_2SO_4, 50 Vol. % MeCN. Current densities were 10-100 mA cm^{-2}. The 1,2-campholide **4** was obtained with high selectivities up to 96% at high conversions of **1**. Current efficiencies were low due to parallel oxygen evolution.



Tetrahedron, **1991**, *47*, 5367

SYNTHESIS OF β-HYDROXY-α-AMINO ACIDS BY ALDOL CONDENSATION USING A CHIRAL PHASE TRANSFER CATALYST. Catherine M. Gasparski and Marvin J. Miller. Department of Chemistry and Biochemistry, University of Notre Dame, Notre Dame, Indiana 46556

Efficient, nearly racemic syntheses of β-hydroxy-α-amino acids by aldol condensations between *t*-butyl (diphenylmethylene)-glycinate **2** and aldehydes under phase transfer catalytic conditions in the presence of N-benzylcinchoninium chloride are described.

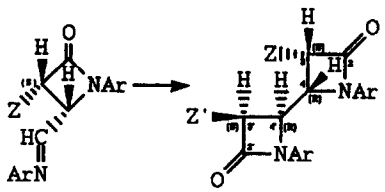


Tetrahedron, **1991**, *47*, 5379

DIASTEREOSELECTIVE SYNTHESIS OF BIS-BETA-LACTAMS

A.K. Bose, J.F. Womelsdorf, L.Krishnan, Z. Urbanczyk-Lipkowska, D.C. Shelly, M.S. Manhas
Department of Chemistry and Chemical Engineering, Stevens Institute of Technology, Hoboken, NJ 07030 USA

Steric course of beta-lactam formation was determined by using chiral HPLC separation of enantiomers and by single crystal X-ray diffraction studies on racemic *bis* - β - lactam.
(a) Z = Z' = OPh
(b) Z = OCH_2Ph, Z' = OPh
(c) Z = Phthalimido, Z' = OCH_2Ph

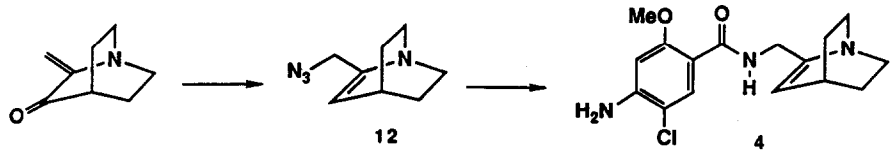


Tetrahedron, **1991**, *47*, 5391

KINETICALLY-CONTROLLED DISPLACEMENT BY AZIDE ON AN ALLYLIC CHLORIDE: SYNTHESIS OF A HIGHLY POTENT SEROTONIN-3 RECEPTOR LIGAND PROTOTYPE

Terry Rosen* and Karen J. Guarino
Central Research Division, Pfizer Inc, Groton, CT 06340

Synthesis of the highly potent 5-HT_3 receptor ligand **4**, proceeding through the thermodynamically disfavored allylic azide isomer **12**, is described.

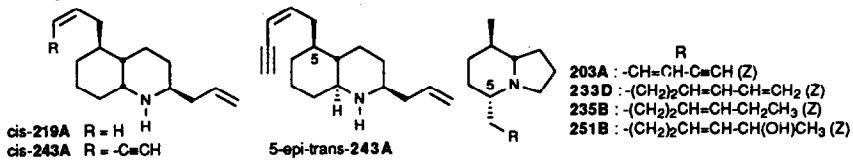


Tetrahedron, **1991**, *47*, 5401

ALKALOIDS FROM DENDROBATID POISON FROGS: FURTHER CIS-DECAHYDROQUINOLINES AND 8-METHYLINDOLIZIDINES.

T. TOKUYAMA, T. TSUJITA, A. SHIMADA.
Faculty of Science, Osaka City University, Osaka, Japan.
H.M. GARRAFFO, T.F. SPANDE, J.W. DALY*.
National Institute of Diabetes and Digestive and Kidney Diseases, National Institutes of Health, Bethesda, Maryland 20892 U.S.A.

Two decahydroquinolines (DHQs) from a dendrobatid poison frog are characterized by NMR as cis-**219A** and cis-**243A**. A trans-DHQ epimeric at C(5) with the previously reported trans-**243A** is characterized. GC-FTIR readily distinguishes cis and trans DHQ ring fusions. The 5-substituted 8-methylindolizidines, **203A**, **233D**, **251B** and **235B** are characterized by NMR and GC-FTIR.

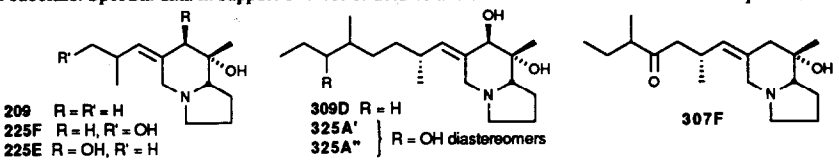


Tetrahedron, **1991**, *47*, 5415

ALKALOIDS FROM DENDROBATID POISON FROGS: FURTHER PUMILIOTOXINS AND ALLOPUMILIOTOXINS AND A REASSIGNMENT OF THE KETO FUNCTION IN PUMILIOTOXIN 307F.

T. TOKUYAMA, T. TSUJITA.
Faculty of Science, Osaka City University, Osaka, Japan.
H.M. GARRAFFO, T.F. SPANDE, J.W. DALY*.
National Institute of Diabetes and Digestive and Kidney Diseases, National Institutes of Health, Bethesda, Maryland 20892 U.S.A.

Six trace alkaloids of the pumiliotoxin-A class have been characterized from a dendrobatid poison frog. Three (**209F**, **225F** and **225E**) have four-carbon 6-alkylidene side chains, while three (**309D**, **325A'** and **325A"**) have the more typical ten-carbon side chain and are of the allopumiliotoxin subclass. Spectral data in support of these structures and a revised structure for **307F** are reported.

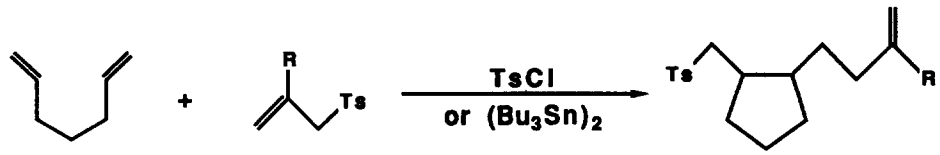


Tetrahedron, **1991**, *47*, 5425

THE FREE RADICAL CYCLIZATION REACTION OF 1,6-DIENES WITH ALLYLSULFONES

Che-Ping Chuang
Dept. of Chem., National Cheng Kung University, Tainan, Taiwan, 70101, R.O.C.

A radical reaction of 1,6-dienes with allylsulfones giving functionalized cyclopentane systems is described.



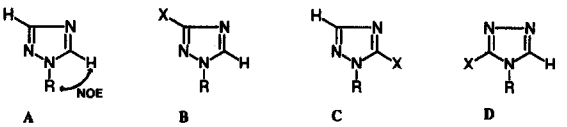
Tetrahedron, **1991**, *47*, 5471

SPECTRAL AND STRUCTURAL ASSIGNMENTS WITH VARIOUS N-SUBSTITUTED 1,2,4-TRIAZOLES: NOE DIFFERENCE SPECTROSCOPY AS A POWERFUL TOOL

Wolfgang Holzer

Institute of Pharmaceutical Chemistry, University of Vienna, Währinger Straße 10, A-1090 Vienna, Austria

The unambiguous discrimination beween signals due to H-3 and H-5 in various 1-substituted 1,2,4-triazoles of type **A** as well as the differentiation between triazole regioisomers **B** - **D** by means of NOE difference spectroscopy is described.



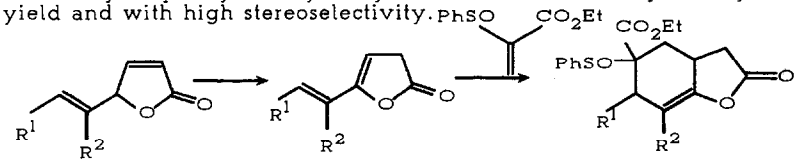
A **B** **C** **D**

Tetrahedron, **1991**, *47*, 5481

SYNTHESIS AND DIELS-ALDER REACTIONS OF 5-ALCENYL (3H)-2-FURANONES

C. ALEXANDRE, C.BERTHO, B. TABTI, F. ROUESSAC
Laboratoire de Synthèse organique (URA 482) Faculté des Sciences, Université du Maine, F-72017 Le Mans

The synthesis and Diels-Alder reactions of (3H)-2-furanones are investigated. When maleic anhydride or ethyl α-phenylsulfinyl acrylate are used as dienophile, cycloadditions proceed in good yield and with high stereoselectivity.

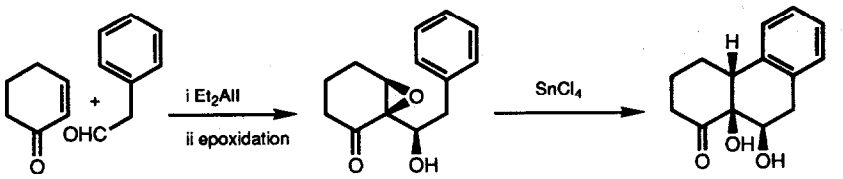


Tetrahedron, **1991**, *47*, 5491

STEREOCONTROLLED SYNTHESES OF HYDROXYLATED TRICYCLIC SYSTEMS BY A NEW ANNULATION OF 2-CYCLOHEXEN-1-ONE

Charles M. Marson,* David W. M. Benzies and Adrian D. Hobson
Department of Chemistry, The University, Sheffield, S3 7HF, U.K.

A three-step annulation of 2-cyclohexen-1-one affords tricyclic keto-diols.

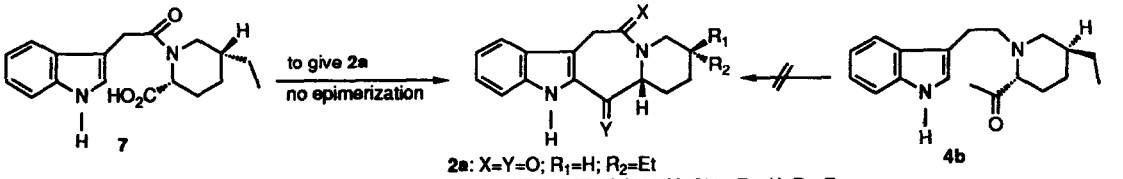


Tetrahedron, **1991**, *47*, 5507

STUDIES ON THE SYNTHESIS OF THE INDOLE ALKALOIDS NGOUNIENSINE AND EPINGOUNIENSINE

M.-Lluïsa Bennasar, Ester Zulaica, Josep Bonjoch, and Joan Bosch

Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, 08028-Barcelona, Spain

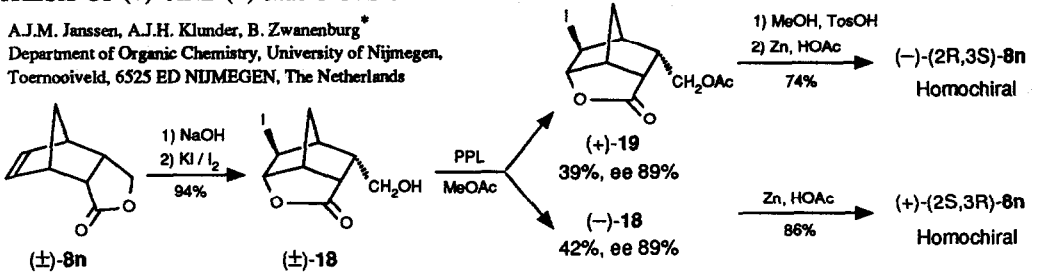


2a: X=Y=O; R_1=H; R_2=Et
NGOUNIENSINE: X=H,H; Y=CH_2; R_1=H; R_2=Et
EPINGOUNIENSINE: X=H,H; Y=CH_2; R_1=Et; R_2=H

Tetrahedron, **1991**, *47*, 5513

ENZYMATIC RESOLUTION OF NORBOR(NE)NYLMETHANOLS IN ORGANIC MEDIA. AN APPLICATION TO THE SYNTHESIS OF (+)- AND (−)-*endo*-NORBORNENE LACTONE

A.J.M. Janssen, A.J.H. Klunder, B. Zwanenburg*
Department of Organic Chemistry, University of Nijmegen,
Toernooiveld, 6525 ED NIJMEGEN, The Netherlands



The influence of structural variations on the efficiency of the PPL-catalyzed resolution of lactone methanols, such as **18**, is evaluated.

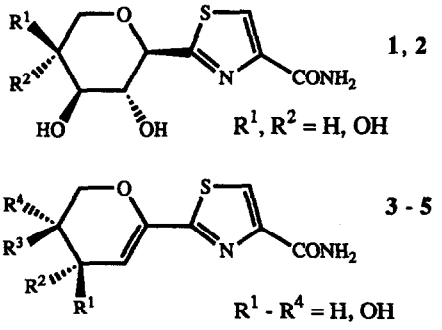
Tetrahedron, **1991**, *47*, 5539

THIAZOLE *C*-NUCLEOSIDES III. SYNTHESIS OF PYRANOSE ANALOGUES OF TIAZOFURIN

Lajos Kovács,*[a] Pál Herczegh,*[b] Gyula Batta,[b] and István Farkas[a]

[a]L. Kossuth University, Dept. Org. Chem., H - 4010 Debrecen, P. O. B. 20, and
[b]Research Group for Antibiotics, Hungarian Academy of Sciences, H - 4010 Debrecen, P. O. B. 70, Hungary

New tiazofurin analogues (**1** - **5**) have been synthesized starting from the corresponding thioamides



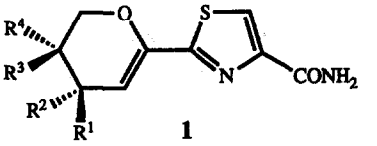
Tetrahedron, **1991**, *47*, 5549

THIAZOLE *C*-NUCLEOSIDES IV. AN ENTRY TO PENT-1′-ENOPYRANOSYLTHIAZOLE DERIVATIVES

Lajos Kovács,*[a] Pál Herczegh,*[b] Gyula Batta,[b] and István Farkas[a]

[a]L. Kossuth University, Dept. Org. Chem., H - 4010 Debrecen, P. O. B. 20, and
[b]Research Group for Antibiotics, Hungarian Academy of Sciences, H - 4010 Debrecen, P. O. B. 70, Hungary

The regio- and stereoselective synthesis of novel unsaturated analogues (1) of tiazofurin has been achieved



1

R^1, R^2 = H, N_3, β-D-glucopyranosylthio, uracil-1/3-yl *etc.*

R^3, R^4 = H, OH

Tetrahedron, **1991**, *47*, 5561

THE TITANIUM TETRACHLORIDE INDUCED SYNTHESIS OF N-PHOSPHINOYLIMINES AND N-SULPHONYLIMINES DIRECTLY FROM AROMATIC ALDEHYDES

W. Brian Jennings* and Carl J. Lovely≠
School of Chemistry, The University of Birmingham, Edgbaston,Birmingham, B15 2TT, UK.

The reaction of phosphinic amides or sulphonamides with an aromatic aldehyde or camphor in the presence of titanium tetrachloride and triethylamine provides a simple, one-step preparation of N-phosphinoylimines (**5a-e**) and (**10**) and N-sulphonylimines (**7a-g**) and (**9**).

$ArCH{=}NP(O)Ph_2$ (5a-e)

$ArCH{=}NSO_2R$ (7a-g)

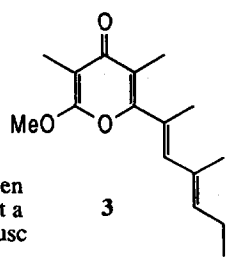
(9): X = 4-$MeC_6H_4SO_2$-
(10): X = $Ph_2P(O)$-

Tetrahedron, **1991**, *47*, 5569

CYERCENES, NOVEL POLYPROPIONATE PYRONES FROM THE AUTOTOMIZING MEDITERRANEAN MOLLUSC *CYERCE CRISTALLINA*

R. R. Vardaro, V. Di Marzo, A. Crispino and G. Cimino
Istituto per la Chimica di Molecole di Interesse Biologico (C.N.R.), Via Toiano 6, 80072, Arco Felice (NA), Italy

Cyercene A (3), along with six related but demethylated α- and γ-pyrones have been isolated and characterized from the ascoglossan *C. cristallina*. Cyercenes represent a novel class of polypropionate pyrones and their multiple biological role in the mollusc is hypothesized.

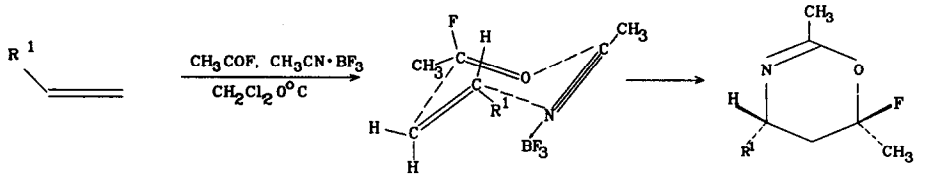


3

Tetrahedron, **1991**, *47*, 5577

THE CONSERTED MECHANISM OF ACYLAMIDATION.
SYN-STEREOSPECIFITY OF THE REACTION.

I.D.Gridnev, A.V.Shastin, E.S.Balenkova*
Department of chemistry, Moscow State University, Moscow, 119899, U.S.S.R.

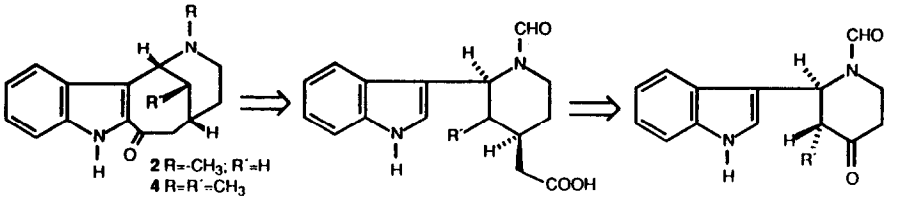


Tetrahedron, **1991**, *47*, 5585

SYNTHETIC APPLICATIONS OF 2-ARYL-4-PIPERIDONES. VI.[1] SYNTHESIS OF THE FUNDAMENTAL TETRACYCLIC SKELETON OF ERVITSINE AND ITS 20-DEETHYLIDENE-6,16-DIHYDRO ANALOGUE

Mario Rubiralta,* M. Pilar Marco, Jordi Bolós, and Jaume Trapé
Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, 08028 Barcelona, Spain

The synthesis of the basic tetracyclic skeleton **2** of ervitsine and its 20-deethylidene-6,16-dihydro analogue **4** is reported.

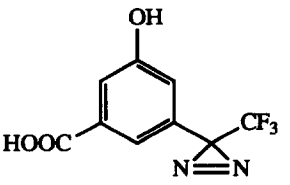


Tetrahedron, **1991**, *47*, 5603

THE SYNTHESIS OF SUBSTITUTED ARYL DIAZIRINES.
A BIFUNCTIONAL REAGENT SUITABLE FOR APPLICATION TO PHOTOAFFINITY LABELLING STUDIES.

J.E. Baldwin, C.D. Jesudason, M.G. Moloney, D.R. Morgan, and A. J. Pratt.
The University of Oxford, Dyson Perrins Laboratory, South Parks Road, Oxford.

The synthesis of diazirine (1), and its elaboration to a reagent suitable for photoaffinity labelling, is described.

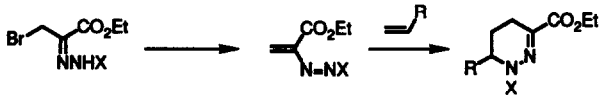


(1)

Tetrahedron, **1991**, *47*, 5615

REACTIONS OF AZOALKENES DERIVED FROM HYDRAZONES OF ETHYL BROMOPYRUVATE WITH ELECTRON RICH ALKENES AND HETEROCYCLES

Simon J. Clarke, Thomas L. Gilchrist, Américo Lemos and Tony G. Roberts, Chemistry Department, University of Liverpool, U. K.



(X = $C_6H_3(NO_2)_2$-2,4; $SO_2C_6H_4Me$-4; CO_2CMe_3)

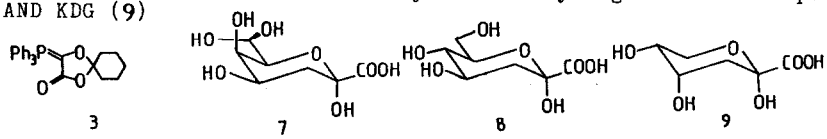
Three hydrazones of ethyl bromopyruvate have been reacted with sodium carbonate to produce transient azoalkenes. These have been intercepted by a range of electron rich alkenes and heterocycles to give either tetrahydropyridazines or open chain adducts.

Tetrahedron, **1991**, *47*, 5625

DIOXALANONES AS SYNTHETIC INTERMEDIATES. PART 6 SYNTHESIS OF 3-DEOXY-D-MANNO-2-OCTULOSONIC ACID (KDO), 3-DEOXY-D-ARABINO-2-HEPTUOLOSONIC ACID (DAH) AND 2-KETO-3-DEOXY-D-GLUCONIC ACID (KDG)

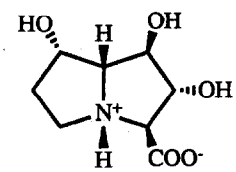
Robert Ramage,[a] Angus M. MacLeod[b] and Graeme W. Rose[a]
[a]Department of Chemistry, University of Edinburgh, West Mains Road, Edinburgh EH9 3JJ
[b]Department of Chemistry, UMIST, Manchester M60 1QD

Application of the Wittig reagent(3) to the synthesis of monosaccharide-derived 3-deoxy-2-ketoacids afforded three biosynthetically significant examples KDO (7), DAH (8) AND KDG (9)



Tetrahedron, **1991**, *47*, 5637

Isolation of 7a-epialexaflorine from leaves of *Alexa Grandiflora*; a unique pyrrolizidine amino acid with a carboxylic acid substituent at C-3



A.C. de S.Pereira, M.A.C.Kaplan, J.G.S.Maia, O.R.Gottlieb, R.J.Nash, G.W.J.Fleet, L.Pearce, D.J .Watkin and A.M.Scofield

Núcleo de Pesquisas de Produtos Naturais, Universidade Federal do Rio de Janeiro, 21941 Rio de Janeiro, Brasil; Museu Paraense Emilio Goeldi, 66040 Belém, PA, Brasil; Instituto de Quimica, Universidade de Sáo Paulo, 05508 Sáo Paulo, SP, Brasil; Jodrell Laboratory, Royal Botanic Gardens, Kew, Surrey TW9 3DS, UK; Crystallography Laboratory, Oxford University, 9, Parks Road, Oxford OX1 3QY, UK; Department of Biochemistry and Biological Sciences, University of London, Wye College, Ashford, Kent TN25 5AH, UK.