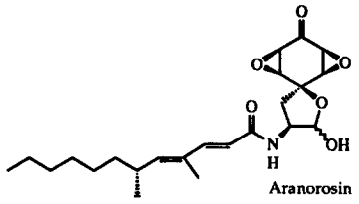
Tetrahedron Lett. **1993**, *34*, 5519

A CONCISE SYNTHESIS OF THE NOVEL ANTIBIOTIC ARANOROSIN

Alexander McKillop,[a*] Lee McLaren,[a] Robert J. Watson,[a] R.J.K. Taylor[a*] and Norman Lewis[b]
[a] School of Chemical Sciences, University of East Anglia, Norwich, NR4 7TJ, U.K.
[b] SmithKline Beecham Pharmaceuticals, Leigh, Tonbridge, Kent, TN11 9AN, U.K.

A four step synthesis of aranorosin from L-tyrosine ethyl ester is described.



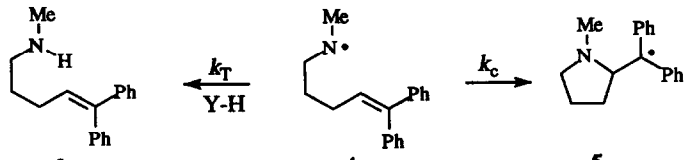
Aranorosin

Tetrahedron Lett. **1993**, *34*, 5523

RATE CONSTANTS FOR AMINYL RADICAL REACTIONS

Martin Newcomb*, John H. Horner, Haifa Shahin
Department of Chemistry, Wayne State University, 5101 Cass Ave., Detroit, MI, 48202, USA

Rate constants for reaction of aminyl radical 4 with *t*-BuSH and for cyclization of 4 to 5 were determined by direct methods.

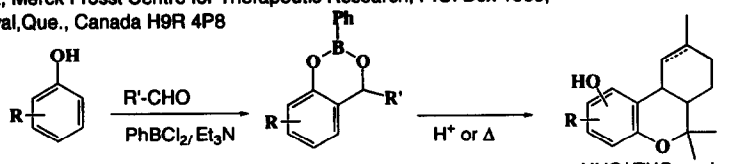


6 4 5

Tetrahedron Lett. **1993**, *34*, 5527

DICHLOROPHENYLBORANE, A NEW REAGENT FOR THE PREPARATION OF 2-PHENYL-4H-1,3,2-BENZODIOXABORINS

Cheuk K. Lau, Marcy Mintz, Michael A. Bernstein, Claude Dufresne*, Medicinal Chemistry Department, Merck Frosst Centre for Therapeutic Research, P.O. Box 1005, Pointe Claire-Dorval, Que., Canada H9R 4P8



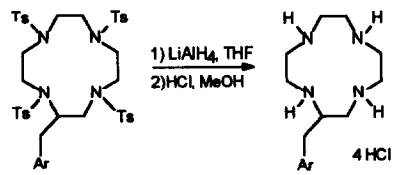
HHC/ THC analog

Tetrahedron Lett. **1993**, *34*, 5531

A NEW SYNTHETIC ROUTE TO 2-(P-NITROBENZYL)-1,4,7,10-TETRAAZACYCLODODECANE.

Martha L. Garrity, Gilbert M. Brown,* Jeffrey E. Elbert, and Richard A. Sachleben, Chemistry Division, Oak Ridge National Laboratory, Oak Ridge, Tennessee, 37831

A new, general synthetic method for the title compound has been developed, based on deprotection of the tosyl-protected 2-benzyl-1,4,7,10-tetraazacyclododecane with $LiAlH_4$ and nitration of the benzyl group. This method provides higher yields and easier purification for scale-up than previously reported methods.

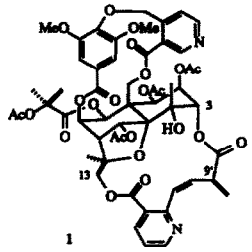


Tetrahedron Lett. **1993**, *34*, 5535

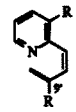
The Absolute Configuration of Edulinic Acid, a Constituent of the "Khat" Alkaloid Cathedulin K-19

Tae-Seong Kim and James D. White*
Department of Chemistry, Oregon State University, Corvallis, Oregon 97331-4003

Edulinic acid (**2**) a constituent of the "khat" alkaloid cathedulin K-19 (**1**) has been shown to possess (*S*) configuration by synthesis of edulindiol (**3**) from methyl (*R*)-3-hydroxy-2-methylpropionate.



1

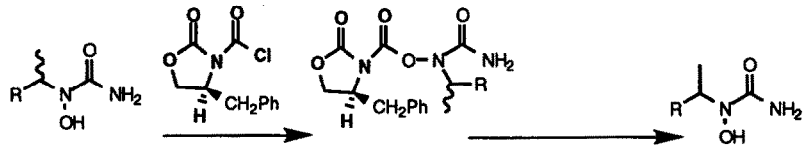


2, R = CO_2H
3, R = CH_2OH

Tetrahedron Lett. **1993**, *34*, 5537

Resolution of Hydroxyureas. Ravi S. Garigipati*, Margaret E. Sorenson, Karl F. Erhard and Jerry L. Adams
Department of Medicinal Chemistry, SmithKline Beecham Pharmaceuticals, King of Prussia, PA 19046

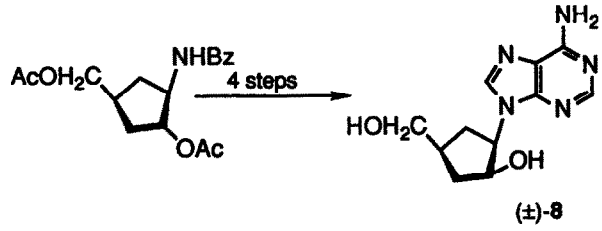
Racemic hydroxyureas can be efficiently resolved on a preparative scale using (4S)-4-benzyl-2-oxazolidinone-3-carbonylchloride **3** as resolving agent.



Tetrahedron Lett. **1993**, *34*, 5541

(±)-3'-DEOXYARAARISTEROMYCIN VIA A SURPRISING REARRANGEMENT

Wendelin Frick, Sharadbala D. Patil, Anthony J. Gambino, and Stewart W. Schneller*, *Department of Chemistry, University of South Florida, Tampa, Florida 33620-5250*



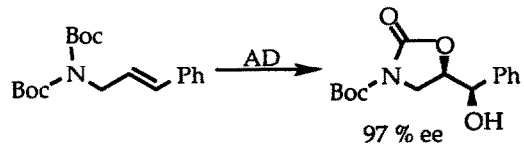
(±)-8

Tetrahedron Lett. **1993**, *34*, 5545

Asymmetric Dihydroxylation (AD)/Cyclization of N-DiBoc Allylic and Homoallylic Amines: Selective Differentiation of the Hydroxyl Groups.

Patrick Walsh, Youssef L. Bennani and K. Barry Sharpless*
Department of Chemistry, The Scripps Research Institute
La Jolla, California 92037, USA

Asymmetric dihydroxylation of N-diBoc protected allylic and homoallylic amines with *in situ* cyclization affords the corresponding oxazolidinones in good yields and, in most cases, high enantioselectivity.

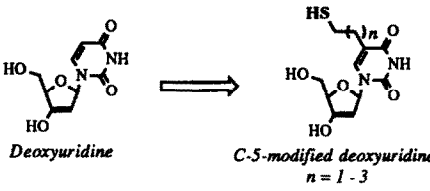


Tetrahedron Lett. **1993**, *34*, 5549

INCORPORATION OF ALKYLTHIOL CHAINS AT C-5 OF DEOXYURIDINE

Jay T. Goodwin and Gary D. Glick*
Department of Chemistry, University of Michigan
Ann Arbor, Michigan, 48109-1055, U.S.A.

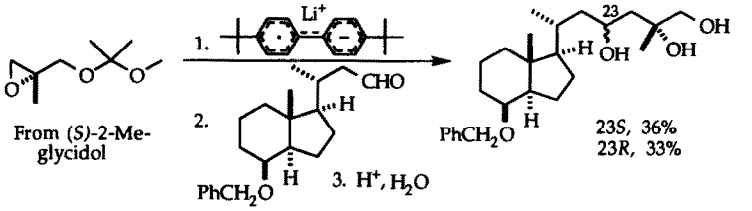
2'-Deoxyuridine with alkylthiol chains of various lengths at C-5 have been synthesized, and incorporated into DNA oligomers through solid-phase phosphoramidite chemistry.



Tetrahedron Lett. **1993**, *34*, 5553

A Convergent Route to Calcitriol Lactone via Reductive Cleavage of an Enantiopure Glycidyl Ether

Raymond E. Conrow, Alcon Laboratories, Inc., Fort Worth, Texas 76134 USA



From (*S*)-2-Me-glycidol

23*S*, 36%
23*R*, 33%

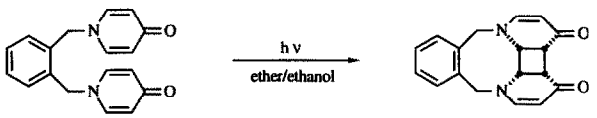
The readily separated 23*S* product is Johnson's key intermediate to calcitriol lactone

Tetrahedron Lett. **1993**, *34*, 5555

INTRAMOLECULAR [2+2] PHOTOCYCLOADDITION OF JUXTAPOSED 4-PYRIDONE MOIETIES

Barry L. Johnson, Yoshiyasu Kitahara, Timothy J. R. Weakley and John F. W. Keana,* Department of Chemistry, University of Oregon, Eugene Oregon 97403 USA

Photolysis of α,α'-di-(4-pyridon-1-yl)-*o*-xylene in 3:7 ethanol–ether gives *cis,syn,cis*-12,13-benzo-1,10-diazatetracyclo-[8.4.2.$0^{5,15}$.$0^{6,16}$]hexadeca-2,8-diene-4,7-dione (78%), the structure of which was determined by x-ray crystallography.

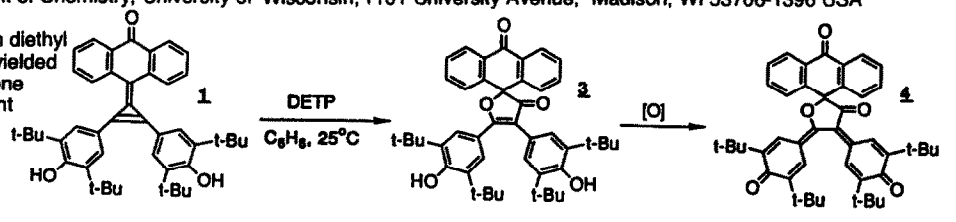


Tetrahedron Lett. **1993**, *34*, 5559

OXIDATIVE RING OPENING AND REARRANGEMENT OF AN ANTHROQUINOCYCLOPROPENE. MOLECULAR STRUCTURE OF A NOVEL SPIRO-3-FURANONE.

Howard B. Yokelson, Anthony J. Millevolte, Kenneth J. Haller and Robert West,* Department of Chemistry, University of Wisconsin, 1101 University Avenue, Madison, WI 53706-1396 USA

Reaction of **1** with diethyl dithiophosphate yielded the spiro-3-furanone **3**, which underwent oxidation to the 4,5-bis(quino)-3-furanone **4**.



Studies Directed Toward the Design of Chiral Acylating Agents. The Utility of Chiral *N*-Benzoylimides in Enantioselective Alcohol Acylation

Tetrahedron Lett. **1993**, *34*, 5563

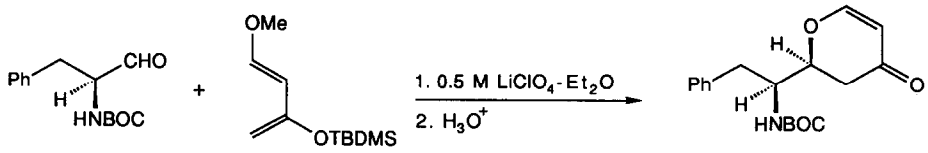
David A. Evans,* James C. Anderson, and Marta K. Taylor
Department of Chemistry, Harvard University, Cambridge, Mass. 02138

The general utility of the illustrated *N*-benzoylimide 1 as a chiral acylating agent has been evaluated in the enantioselective acylation of racemic secondary alcohols. Enantioselectivities greater that 90% are observed for aryl *n*-alkyl carbinols.

LITHIUM CATALYZED HETERO DIELS-ALDER REACTIONS CYCLOCONDENSATION OF N-PROTECTED α-AMINO ALDEHYDES WITH 1-METHOXY-3-*tert*-BUTYLDIMETHYLSILYLOXYBUTADIENE IN THE PRESENCE OF LITHIUM PERCHLORATE

Tetrahedron Lett. **1993**, *34*, 5567

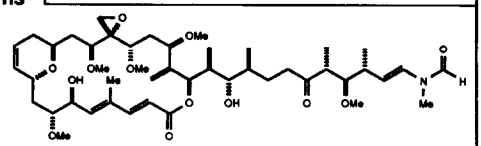
Paul A. Grieco* and Eric D. Moher,[1] Department of Chemistry, Indiana University, Bloomington, Indiana 47405



Biosynthesis of Tolytoxin. Origin of the Carbons and Heteroatoms

Tetrahedron Lett. **1993**, *34*, 5571

S. Carmeli,[+] R. E. Moore,* G. M. L. Patterson, and W. Y. Yoshida
Department of Chemistry, University of Hawaii, Honolulu, Hawaii 96822
[+]Department of Chemistry, Tel-Aviv University, Ramat-Aviv 69978, Israel

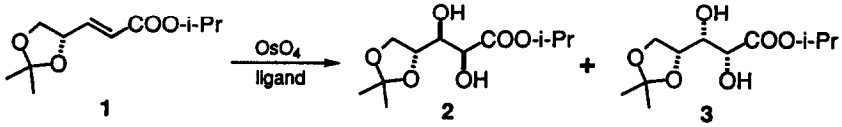


Preliminary studies on the biosynthesis of tolytoxin in the terrestrial blue-green alga *Scytonema mirabile* BY-8-1 are reported. Incorporation experiments with sodium [1,2-^{13}C]acetate and [1-^{13}C,^{18}O]acetate, [1,2-^{13}C]glycine, [2-^{13}C,^{15}N]glycine and [*methyl*-^{13}C]-L-methionine indicate that the carbon chain of tolytoxin is a polyketide assembled from a glycine starter unit and 15 acetate units. The one carbon branches on the polyketide chain originate from the tetrahydrofolate C_1 pool.

Double Diastereoselection in Asymmetric Dihydroxylation

Tetrahedron Lett. **1993**, *34*, 5575

Kouhei Morikawa and K. Barry Sharpless*
Department of Chemistry, The Scripps Research Institute, 10666 N. Torrey Pines Road, La Jolla,CA 92037 USA



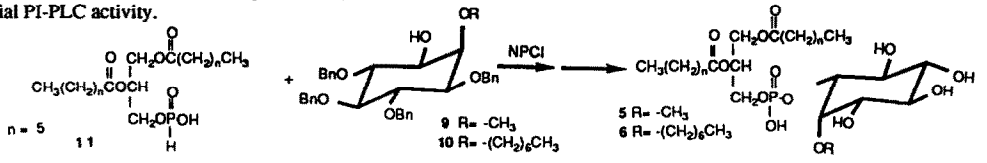
New ligand classes, the phthalazines and pyrimidines, give improved diastereoselection in asymmetric dihydroxylation (AD) of chiral olefin 1

Tetrahedron Lett. **1993**, *34*, 5579

Synthesis of phosphatidyl-2-O-alkylinositols as potential inhibitors for PI specific PLC

Venkata R. Garigapati and Mary F. Roberts, Department of Chemistry, Boston College, Chestnut Hill, MA 02154

Phosphatidyl-2-O-methylinositol and phosphatidyl-2-O-heptylinositol were synthesized and tested as mechanism-based inhibitors of bacterial PI-PLC activity.

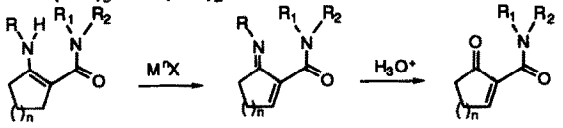


Tetrahedron Lett. **1993**, *34*, 5583

DEHYDROGENATION OF CARBOXAMIDOENAMINES WITH MANGANESE ACETATE AND COPPER ACETATE.

Janine COSSY*, Abderrahim BOUZIDE
Laboratoire de Chimie Organique Associé au CNRS. ESPCI, 10 rue Vauquelin, 75231 PARIS Cédex 05 - France

Carboxamidoenamines are converted to α,β-unsaturated imines or to α,β-unsaturated ketones (derived from unsaturated enamines by hydrolysis) by treatment with $Mn(OAc)_3$ or $Cu(OAc)_2$.

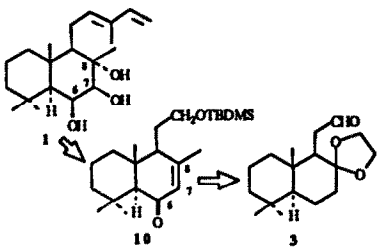


Tetrahedron Lett. **1993**, *34*, 5587

Total Synthesis of Crotomachlin

Denyse Herlem[a], Françoise Khuong-Huu[a]*, Andrew S. Kende[b]
a) CNRS, Institut de Chimie des Substances Naturelles, 91190 Gif-sur-Yvette,France.
b) University of Rochester, Department.of Chemistry, Rochester, N.Y. 14627, USA

Abstract The synthesis of the racemic 6β, 7β, 8α-trihydroxy labdadiene, **1** was achieved starting from decalin **3** *via* the dioxolane-aldehyde **10**. Diene **1** was found to be identical to crotomachlin, a diterpene from *Croton macrostachys*. in which the configuration at C(8) has not been established with certainty.

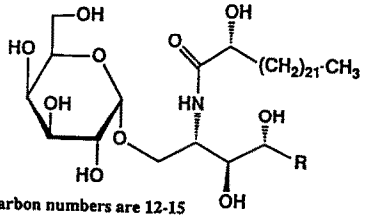


Tetrahedron Lett. **1993**, *34*, 5591

AGELASPHINS, NOVEL α-GALACTOSYLCERAMIDES FROM THE MARINE SPONGE *AGELAS MAURITIANUS*

Takenori Natori,*[a] Yasuhiko Koezuka,[a] and Tatsuo Higa[b]
[a] Pharmaceutical Research Laboratory, Kirin Brewery Co., Ltd., 3, Miyahara-cho, Takasaki, Gunma 370-12, Japan
[b] Department of Marine Sciences, University of the Ryukyus, Nishihara, Okinawa 903-01, Japan

New bioactive glycosphingolipids, named agelasphins, have been isolated from an extract of a marine sponge, *Agelas mauritianus*. They are characterized to be the first instances of α-galactosylceramide structure.

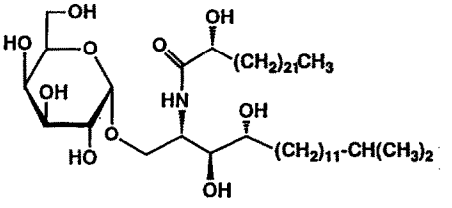


SYNTHESIS AND STEREOCHEMISTRY OF AGELASPHIN-9b

Tetrahedron Lett. **1993**, *34*, 5593

Kohji Akimoto*, Takenori Natori, and Masahiro Morita
Pharmaceutical Research Laboratory, Kirin Brewery Co., Ltd.,
3, Miyahara-cho, Takasaki, Gunma 370-12, Japan

Agelasphin-9b, one of the α-galactosylceramides from an Okinawan marine sponge, was synthesized, and the absolute stereochemistry was determined.



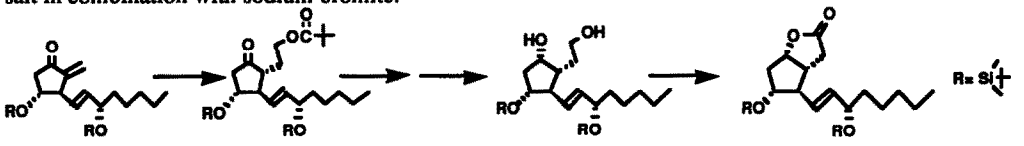
Agelasphin-9b

A NEW SYNTHETIC ROUTE OF COREY LACTONE HAVING ω-SIDE CHAIN.

Tetrahedron Lett. **1993**, *34*, 5597

Katsuaki Miyaji, Yoshio Ohara, Toshihiko Tsuruda, Yuka Miyauchi and Kazutaka Arai*. Central Research Institute, Nissan Chemical Ind.Ltd., Tsuboi, Funabashi, Chiba 274, Japan.

A new synthetic route of Corey lactone having ω-side chain, by using organozinc reagent and oxoammonium salt in combination with sodium bromite.

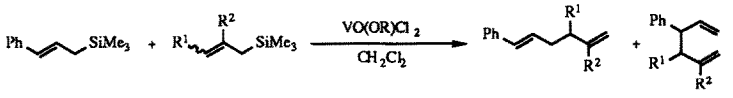


Oxovanadium-Induced Oxidative Desilylation of Allylic and Benzylic Silanes

Tetrahedron Lett. **1993**, *34*, 5601

Takashi Fujii, Toshikazu Hirao, Yoshiki Ohshiro
Department of Applied Chemistry, Faculty of Engineering, Osaka University, Yamadaoka, Suita, Osaka 565, Japan

Oxidative transformations of allylic or benzylic silanes based on the carbon-silicon bond cleavage with $VO(OR)Cl_2$ are described.

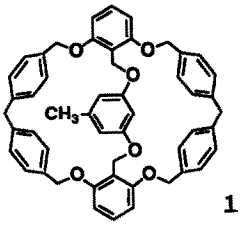


SYNTHESIS AND STRUCTURE OF A NOVEL MACROBICYCLIC CYCLOPHANE WITH A MOLECULAR CAVITY

Tetrahedron Lett. **1993**, *34*, 5605

Kei Goto, Norihiro Tokitoh, Midori Goto,† and Renji Okazaki*
Department of Chemistry, Faculty of Science, The University of Tokyo,
7-3-1 Hongo, Bunkyo-ku, Tokyo 113, Japan
†National Institute of Materials and Chemical Research, Higashi 1-1, Tsukuba, Ibaraki 305, Japan

A novel bicyclic cyclophane 1 was synthesized and shown to have a bowl-like cavity by X-ray structure analysis.



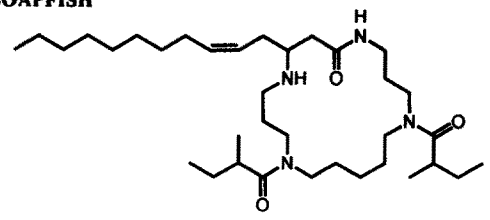
1

Tetrahedron Lett. **1993**, *34*, 5609

STRUCTURE OF LIPOGRAMMISTIN-A, A LIPOPHILIC ICHTHYOTOXIN SECRETED BY THE SOAPFISH *DIPLOPRION BIFASCIATUM*

Hiroyuki Onuki and Kazuo Tachibana*
Department of Chemisty, Faculty of Science

Nobuhiro Fusetani*
Laboratory of Marine Biochemistry, Faculty of Agriculture
The University of Tokyo, Bunkyo-ku, Tokyo 113, Japan

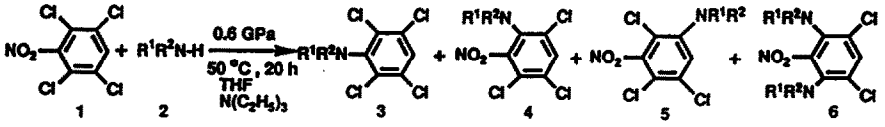


Tetrahedron Lett. **1993**, *34*, 5613

Nitro Group Substitution Reaction of 2,3,5,6-Tetrachloronitrobenzene with Primary and Secondary Amines under High Pressure

Toshikazu Ibata,* Xinzhuo Zou and Tetsuo Demura
Institute of Chemistry, College of General Education, Osaka University, Toyonaka, Osaka, Japan 560

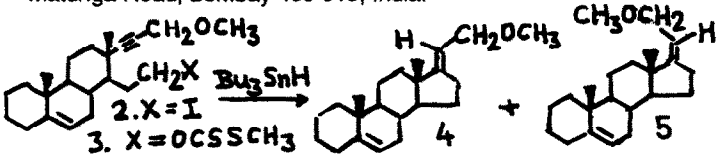
The ratio of products is affected by the bulkiness of amines in reaction of tetrachloronitrobenzene with amines under high pressure.



Tetrahedron Lett. **1993**, *34*, 5615

Unexpected Reversal in Stereochemistry of Radical Alkyne Cyclisation

Suresh K. Pradhan and Sakina Sitabkhan. Bombay University Department of Chemical Technology, Matunga Road, Bombay 400 019, India.



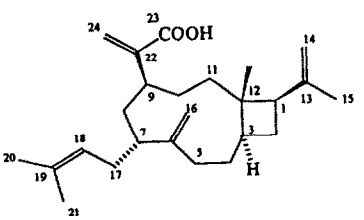
With Bu_3SnH (0.07 M) both **2** and **3** give only **4**. With Bu_3SnH (2.4 M) **2** again gives **4** whereas **3** gives a 70:30 mixture of **5**:**4**. An explanation is proposed.

Tetrahedron Lett. **1993**, *34*, 5617

RAOULIC ACID: A NOVEL BIOACTIVE C_{25} TERPENE ACID FROM *RAOULIA AUSTRALIS*

Stephen J. Bloor
Industrial Research Ltd, PO Box 31 310
Lower Hutt, New Zealand

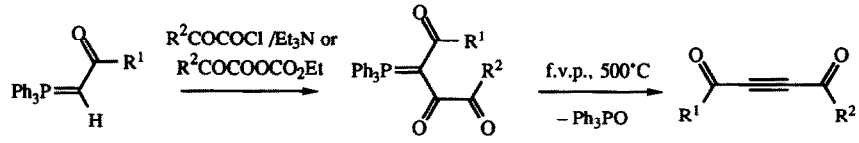
Examination of P388 active extracts of the New Zealand plant, *Raoulia australis*, has resulted in the isolation of a novel biocyclic C_{25} terpene acid. The structure was solved by NMR studies on an ozonolysis-type derivative.



Pyrolysis of β,γ,β´-Trioxo Phosphorus Ylides: Convenient Synthesis of Symmetrical and Unsymmetrical Diacylalkynes

Tetrahedron Lett. **1993**, *34*, 5621

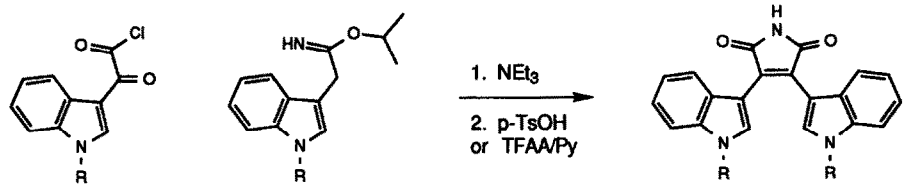
R. Alan Aitken*, Hugues Hérion, Amaya Janosi, Swati V. Raut, Shirley Seth, Ian J. Shannon and Fiona C. Smith
Department of Chemistry, University of St. Andrews, St. Andrews, Fife, KY16 9ST, U.K.



A CONVENIENT SYNTHESIS OF BISINDOLYLMALEIMIDES

Tetrahedron Lett. **1993**, *34*, 5623

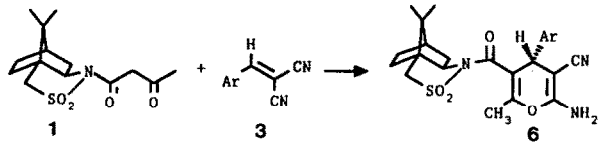
Rino A. Bit, Peter H. Crackett, William Harris and Christopher H. Hill*
Roche Products Ltd., Research Centre, Broadwater Road, Welwyn Garden City, Herts., AL7 3AY, UK.



ASYMMETRIC ALKYLATION OF ß-KETOESTERS: SYNTHESIS AND MICHAEL ADDITIONS OF A CHIRAL SULTAM-DERIVED ACETOACETYL EQUIVALENT

Tetrahedron Lett. **1993**, *34*, 5627

Nazario Martín,[*a] Angeles Martínez-Grau,[a] Carlos Seoane,[*a] José L. Marco;[*b] [a] *Departamento de Química Orgánica, Facultad de Química, U. Complutense, 28040-Madrid, Spain.* [b] *Instituto de Química Orgánica (CSIC), Juan de la Cierva 3, 28006-Madrid, Spain*



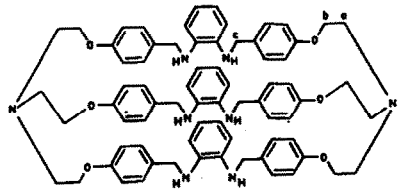
1 3 6

The polyfunctionalized 4*H*-pyrans have been prepared by Michael addition of N-acyl sultam 1 to arylidenemalononitriles 3.

SYNTHESIS OF CRYPTANDS HAVING TRITOPIC RECEPTOR SITES BY [2+3] SCHIFF BASE CONDENSATION USING Cs(I) ION AS THE TEMPLATE

Tetrahedron Lett. **1993**, *34*, 5631

Kalippa G. Ragunathan, Rameshwer Shukla, Swati Mishra and P. K. Bharadwaj*
Department of Chemistry, Indian Institute of Technology Kanpur, 208016, INDIA

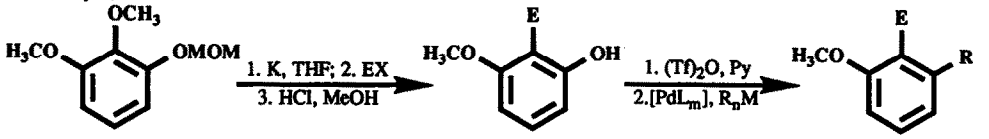


Tetrahedron Lett. **1993**, *34*, 5635

REDUCTIVE ELECTROPHILIC SUBSTITUTION OF PYROGALLOL DERIVATIVES: SYNTHESIS OF 2,3-DISUBSTITUTED PHENOLS

U. Azzena,* G. Melloni, L. Pisano, Dipartimento di Chimica, Università di Sassari, via Vienna 2, I - 07100 Sassari, ITALY

1,2-Dimethoxy-3-methoxymethoxybenzene was used as the starting material for the transformation of a 1,2,3-trioxybenzene into various 1-oxy-2,3-dicarbobenzenes.

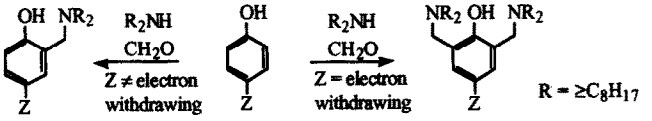


Tetrahedron Lett. **1993**, *34*, 5639

UNORTHODOX RATE ENHANCEMENT IN THE MANNICH REACTION OF *PARA*-SUBSTITUTED PHENOLS CONTAINING ELECTRON-WITHDRAWING GROUPS

David A Leigh[a]*, Patrick Linnane[a] and Graham Jackson[b]
[a] Department of Chemistry, UMIST, PO Box 88, Manchester M60 1QD, United Kingdom
[b] Exxon Chemicals Limited, PO Box 1, Milton Hill, Abingdon, Oxfordshire OX13 6BB, United Kingdom

The Mannich reaction of phenols with long chain secondary amines gives mono- or di- amino-methylated products depending on the nature of the phenol ring substituent.

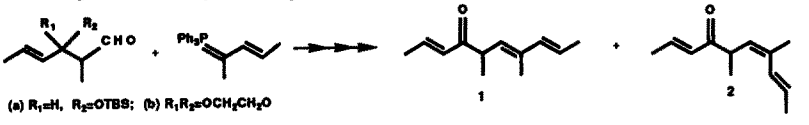


Tetrahedron Lett. **1993**, *34*, 5641

SYNTHESIS AND FIELD BIOASSAY OF THE ISRAELI PINE BAST SCALE, *Matsucoccus josephi*, FEMALE SEX PHEROMONE.

Lev Zegelman, Alfred Hassner*, Department of Chemistry, Bar-Ilan University, Ramat Gan 52100, Israel; Zvi Mendel and Ezra Dunkelblum, Institute of Plant Protection, Volcani Center, Bet Dagan 50250, Israel.

The two female sex pheromone components of *Matsucoccus josephi* 1 and 2 were synthetized for the first time by two routes, employing modified intermediates, using the Reformatzky and Wittig reactions as key steps. Bioassays were conducted in the laboratory and in the forest.

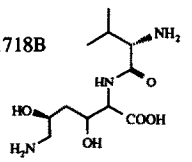


Tetrahedron Lett. **1993**, *34*, 5645

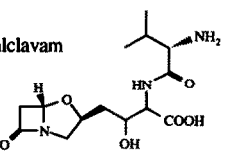
REVISED STRUCTURES FOR TÜ 1718B AND VALCLAVAM

Jack E. Baldwin, Timothy D. W. Claridge, Kee-Chuan Goh, John W. Keeping and Christopher J. Schofield*
The Dyson Perrins Laboratory and the Oxford Centre for Molecular Sciences, South Parks Road, Oxford OX1 3QY, U.K.

Revised Structure of Tü 1718B



Revised Structure of valclavam

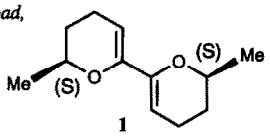


DISPIROKETALS IN SYNTHESIS (PART 4): ENANTIOSELECTIVE DESYMMETRISATION OF GLYCEROL USING A C_2-SYMMETRIC DISUBSTITUTED *bis*-DIHYDROPYRAN.

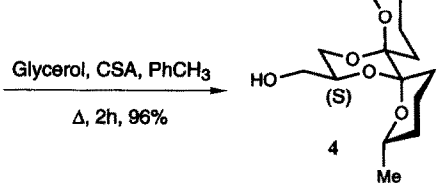
Tetrahedron Lett. **1993**, *34*, 5649

Geert-Jan Boons, David A. Entwistle, Steven V. Ley,* and Martin Woods.
University Chemical Laboratory, Lensfield Road, Cambridge, CB2 1EW, UK.

Glycerol may be enantioselectively desymmetrised by dispiroketal formation with (*S,S*)-dimethyl-*bis*-dihydropyran 1.



1



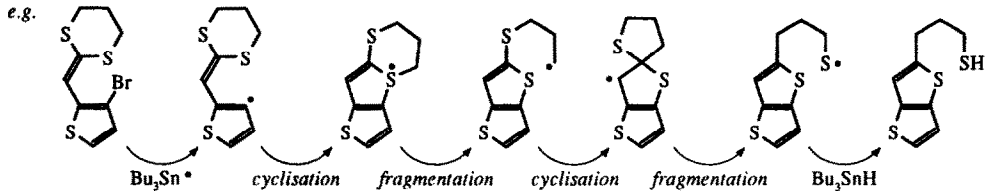
4

'Cascade' Radical Reactions in Synthesis: Condensed Thiophenes from Ketenethioacetals.

Tetrahedron Lett. **1993**, *34*, 5653

David C. Harrowven, University of Wales, Bangor, Gwynedd, LL57 2UW.

e.g.



Specific Monodeuteration of Chalcones and Related Compounds

Tetrahedron Lett. **1993**, *34*, 5657

Artur M. S. Silva, William A. Price[§] and José A. S. Cavaleiro*.
Department of Chemistry, University of Aveiro, 3800 Aveiro, Portugal; [§]Department of Chemistry and Biochemistry, La Salle University, Philadelphia, PA 19141, USA.

The specific α-deuteration of chalcones, cinnamylideneacetophenones and flavones is described. Application of this method to 2-styrylchromones is also discussed.