

GRAPHICAL ABSTRACTS

Tetrahedron Lett. 30, 3749 (1989)

MARINE CEMBRANOID SYNTHESIS

Marcus A. Tius and Jean M. Cullingham
Department of Chemistry, University of Hawaii
Honolulu, Hawaii 96822, U.S.A.

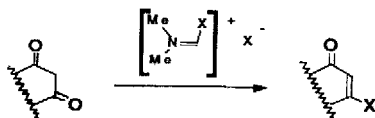
The conversion of trans,trans-farnesol to a versatile intermediate for the synthesis of C-3,C-4 oxygenated marine cembranoids has been described.



VILSMEIER REAGENTS: PREPARATION OF β -HALO- α,β -UNSATURATED KETONES

Richard E. Mewshaw
Department of Synthetic Chemistry, Smith Kline & French Laboratories
P. O. Box 1539, King of Prussia, PA 19406-0939, USA

A new method for the preparation of β -chloro and β -bromo- α,β -unsaturated ketones from β -diketones is described. Utilizing Vilsmeier reagents β -halo- α,β -unsaturated ketones are isolated in excellent yields.

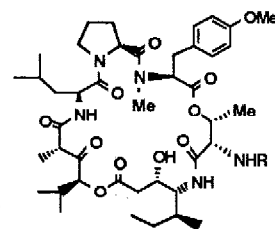


Tetrahedron Lett. 30, 3753 (1989)

SYNTHETIC STUDIES OF DIDEMNINS. IV SYNTHESIS OF THE MACROCYCLE

William R. Ewing, Bruce D. Harris, Wen-Ren Li and Madeleine M. Joullie*
Department of Chemistry, University of Pennsylvania,
Philadelphia, PA 19104-6323

A stereocontrolled route to the 23-membered macrocycle found in the didemnins is described.

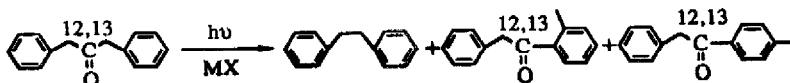


Tetrahedron Lett. 30, 3757 (1989)

MAGNETIC ISOTOPE AND MAGNETIC FIELD EFFECTS ON THE PRODUCT DISTRIBUTIONS OF PHOTOLYSES OF DIBENZYL KETONE ADSORBED ON ZEOLITES

Nicholas J. Turro* and Zhenyu Zhang
Department of Chemistry, Columbia University, New York, New York 10027

The product distributions of photolyses of dibenzyl ketone adsorbed on zeolites were modified by magnetic effects.



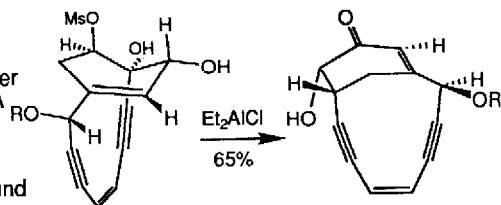
Tetrahedron Lett. 30, 3761 (1989)

Tetrahedron Lett. 30, 3765 (1989)

SYNTHESIS AND CRYSTALLOGRAPHIC ANALYSIS OF A BICYCLIC CORE RELATED TO THE ESPERAMICIN/CALICHEMICIN AGLYCONES

Frank J. Schoenen, John A. Porco, Jr., and Stuart L. Schreiber
Department of Chemistry, Harvard University, Cambridge MA
Gregory VanDuyne and Jon Clardy
Department of Chemistry, Cornell University, Ithaca, NY

A rearrangement reaction is used to prepare the title compound

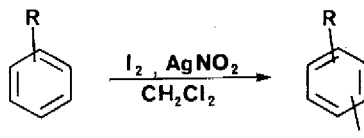


Tetrahedron Lett. 30, 3769 (1989)

IODINATION OF ALKYL BENZENES WITH IODINE AND SILVER NITRITE

Wing-Wah Sy* and Bruce A. Lodge, Drug Identification Division, Bureau of Drug Research, Health Protection Branch, Health and Welfare Canada
Tunney's Pasture, Ottawa, Ontario, Canada K1A 0L2

Iodination of alkylbenzenes with iodine and silver nitrite at room temperature gives iodoalkylbenzenes in good yield.

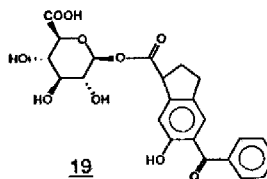


Tetrahedron Lett. 30, 3773 (1989)

A NEW PROTECTED FORM OF GLUCURONIC ACID FOR THE SYNTHESIS OF LABILE 1-O-ACYL- β -D-GLUCURONIDES

Alain De Mesmaeker*, Pascale Hoffmann, Beat Ernst
Central Research Laboratories, Ciba-Geigy Ltd.,
CH-4002 Basel, Switzerland.

A new approach to functionalized 1-O-acyl- β -D-glucuronides is illustrated by the synthesis of 19.

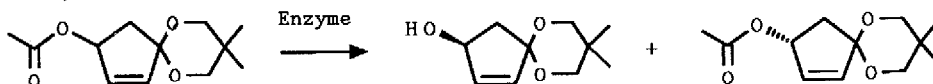


Tetrahedron Lett. 30, 3777 (1989)

CHIRAL CYCLOPENTANOID BUILDING BLOCKS BY ASYMMETRIC ENZYMIC HYDROLYSIS

P. Washausen^a*, H. Grebe^b, K. Kieslich^a and E. Winterfeldt^b

^aGesellschaft fuer Biotechnologische Forschung mbH, Mascheroder Weg 1, D-3300 Braunschweig, FRG, and ^bInstitut fuer Organische Chemie der Universitaet, Schneiderberg 1 B, D-3000 Hannover 1, FRG



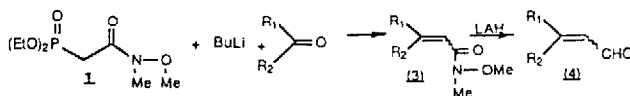
The preparation of both pure enantiomers by enzymatic kinetic resolution is reported.

A NEW SYNTHESIS OF α,β -UNSATURATED ALDEHYDES.

Jean-Marc NUZILLARD, Ahcene BOUMENDJEL, Georges MASSIOT
Laboratoire de Pharmacognosie, Faculté de Pharmacie.
51 rue Cognacq-Jay-51096 REIMS- FRANCE.

Tetrahedron Lett. 30, 3779 (1989)

α,β -Unsaturated aldehydes are prepared in two steps from aldehydes or ketones using the new Weinreb-Wittig Horner reagent **1** and LAH reduction.



The use of circular dichroism for the determination of the absolute configuration of chiral butadiene-tricarbonyl iron complexes.

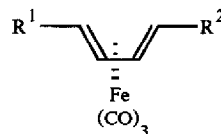
F. Djedaini ^(a), D. Grée ^(a), J. Martelli ^(a), R. Grée ^(a), L. Leroy ^(b), J. Bolard ^(b), L. Toupet ^(c)

a. Laboratoire de Chimie Organique Biologique, E.N.S.C.R., Av. du Gal Leclerc, 35700 Rennes, France.

b. Laboratoire de Physique et Chimie Biomoléculaire, Université P & M Curie, 4 Pl. Jussieu, 75252 Paris, Cedex 05, France.

c. Département de Physique Cristalline, Université de Rennes I, 35042 Rennes Cedex, France.

The circular dichroism spectra of functionalized butadiene-tricarbonyl iron complexes can be used to predict the absolute configuration of these organometallic derivatives.

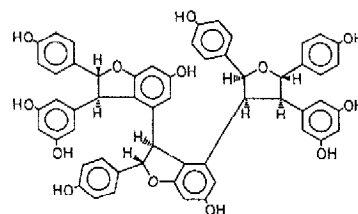


Tetrahedron Lett. 30, 3781 (1989)

KOBOPHENOL A, A UNIQUE TETRASTILBENE FROM CAREX KOBOMUGI (CYPERACEAE)

Jun Kawabata,* Satoshi Ichikawa, Hideyuki Kurihara and Junya Mizutani
Department of Agricultural Chemistry,
Hokkaido University, Sapporo 060, Japan

A novel tetrastilbene named kobophenol A has been isolated from the title plant, and its unprecedented 2,3,4,5-tetraaryltetrahydrofuranoid structure has been determined by spectroscopic and chemical methods.



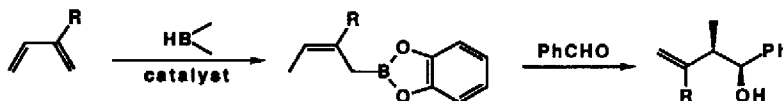
Tetrahedron Lett. 30, 3785 (1989)

NEW CONVENIENT APPROACH TO THE PREPARATION OF (Z)-ALLYLIC BORONATES VIA THE CATALYTIC 1,4-HYDROBORATION OF 1,3-DIENES WITH CATECHOLBORANE

Makoto Sato, Yoshihiro Nomoto, Norio Miyaura, and Akira Suzuki*

Department of Applied Chemistry, Faculty of Engineering, Hokkaido University, Sapporo 060, Japan

Pd- or Rh-catalyzed 1,4-hydroboration of 1,3-dienes to provide (Z)-allylic borates is described.



Tetrahedron Lett. 30, 3789 (1989)

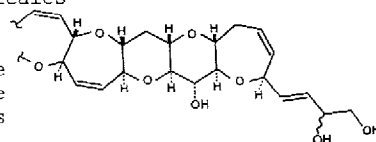
A PROBABLE PARTIAL STRUCTURE OF CIGUATOXIN ISOLATED FROM THE MARAY EEL *Gymnothorax javanicus*

Tetrahedron Lett. 30, 3793 (1989)

Michio Murata,^a Anne M. Legrand,^b and Takeshi Yasumoto^{a*}

^aFaculty of Agriculture, Tohoku University, Tsutsumidori-Amamiya, Sendai 980, Japan. ^bInstitut Territorial de Recherches Médicales Louis Malardé, B.P. 30, Papeete, Tahiti, Polynésie Française

A probable partial structure of ciguatoxin obtained from the moray eel *Gymnothorax javanicus* was deduced to be 1 on the basis of MS and NMR spectra. The proposed structure involves 22 carbons, accounting for 37% of the total carbons.



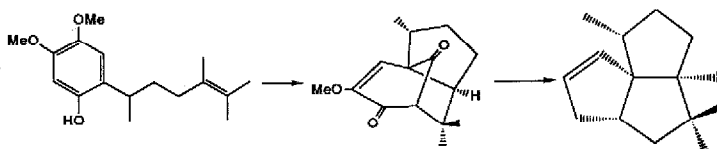
SYNTHESIS OF (±)-SILPHINENE USING ELECTROCHEMICAL METHOD AS A KEY STEP

Tetrahedron Lett. 30, 3797 (1989)

Yoshikazu Shizuri, Mitsuru Ohkubo, and Shosuke Yamamura*

Department of Chemistry, Faculty of Science and Technology, Keio University, Hiyoshi, Yokohama, Japan

(±)-Silphinene has been synthesized using electrochemical method as a key step.



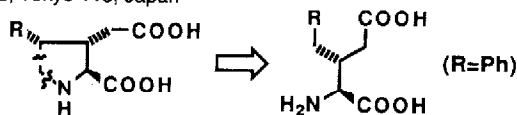
SYNTHESES OF ACYCLIC ANALOGUES OF KAINOIDS AND NEUROEXCITATORY ACTIVITY

Tetrahedron Lett. 30, 3799 (1989)

Miwa Yanagida, Kimiko Hashimoto, Michiko Ishida[†], Haruhiko Shinozaki[†] and Haruhisa Shirahama*

Department of Chemistry, Faculty of Science, Hokkaido University, Sapporo 060, Japan; [†]The Tokyo Metropolitan Institute of Medical Science, 3-18-22, Honkomagome, Bunkyo-ku, Tokyo 113, Japan

Four configurational isomers of 3-benzylglutamic acid, acyclic analogues of kainoids, were synthesized based on their structure-activity relationship.

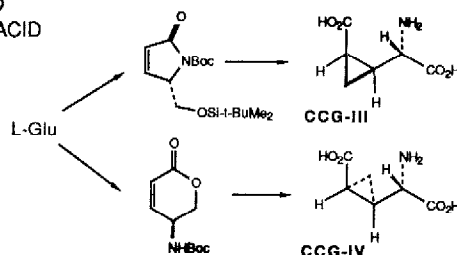


NEW ROUTES TO THE SYNTHESIS OF CIS- α -(CARBOXYCYCLOPROPYL)-GLYCINES FROM L-GLUTAMIC ACID. CONFORMATIONALLY RESTRICTED ANALOGUES OF THE EXCITATORY NEUROTRANSMITTER L-GLUTAMIC ACID

Tetrahedron Lett. 30, 3803 (1989)

Keiko Shimamoto and Yasufumi Ohfuné* (Suntory Institute for Bioorganic Research, Shimamoto-cho, Mishima-gun, Osaka 618, Japan)

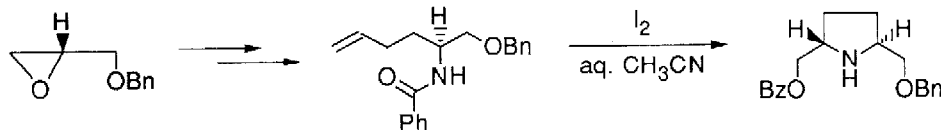
Potent neuroexcitatory amino acids, (2S,3S,4R) and (2S,3R,4S) isomers of α -(carboxycyclopropyl)glycines (CCG-III and CCG-IV), were synthesized from L-glutamic acids via a palladium (II) catalyzed cyclopropanation of α,β -unsaturated pyrrolidone and δ -lactone derivatives, respectively.



Tetrahedron Lett., **30**, 3805 (1989)

CONCISE SYNTHESIS OF C₂-SYMMETRIC TRANS-2,3-DIOXYMETHYLPYRROLIDINE DERIVATIVES BY NOVEL CYCLIZATION

Seiichi Takano,* Minoru Moriya, Yoshiharu Iwabuchi, and Kunio Ogasawara
Pharmaceutical Institute, Tohoku University, Aobayama, Sendai 980, Japan

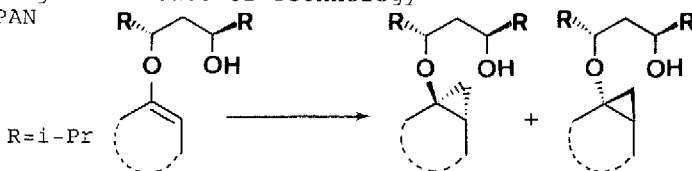


Tetrahedron Lett., **30**, 3807 (1989)

DIASTEREO-DIFFERENTIATING SIMMONS-SMITH REACTION USING A NEW CHIRAL AUXILIARY

Takashi Sugimura, Tohru Futagawa, Masato Yoshikawa, and Akira Tai*
Basic Research Laboratory, Himeji Institute of Technology
2167 Shosha Himeji 671-22 JAPAN

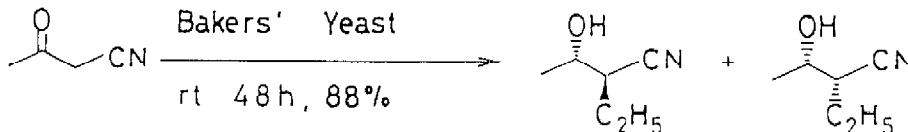
Simmons-Smith reaction using a new chiral auxiliary shown in Scheme has been performed in over 99% d.e..



Tetrahedron Lett., **30**, 3811 (1989)

A NOVEL CARBON-CARBON BOND FORMATION IN THE COURSE OF BAKERS' YEAST REDUCTION OF CYANOACETONE

T. ITOH,* Y. TAKAGI, and T. FUJISAWA**
Department of Chemistry, Faculty of Education, Okayama University, Okayama 700, *Chemistry Department of Resources, Mie University, Tsu, Mie 514, Japan.

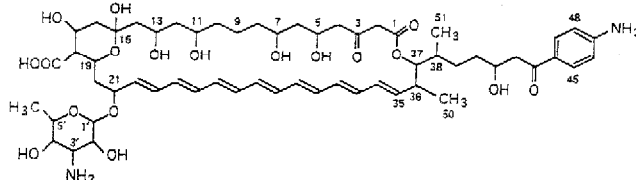


Tetrahedron Lett., **30**, 3813 (1989)

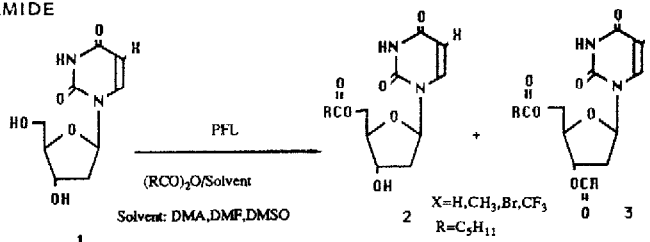
STRUCTURE OF TRICHOMYCIN A. A POLYENE MACROLIDE FROM STREPTOMYCES.

T. Komori* and Y. Morimoto, Fujisawa Pharmaceutical Co., Ltd., Kashima, Osaka 532, Japan;
M. Niwa and Y. Hirata, Meijo University, Tempaku, Nagoya 468, Japan.

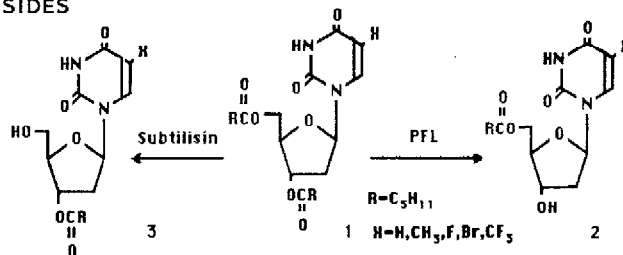
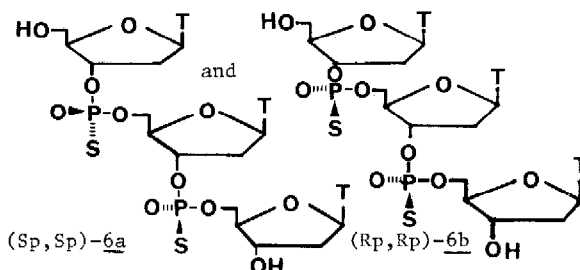
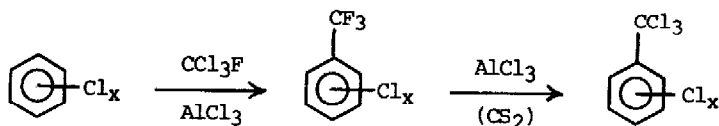
The structure of trichomycin A has been determined.



LIPASE CATALYZED ACYLATION OF SUGAR MOIETY OF NUCLEOSIDES IN DIMETHYLACETAMIDE

Atsuhiko, UEMURA, * Kenji NOZAKI,
Jun-ichi YAMASHITA and Mitugi
YASUMOTOChemical Synthesis Research
Laboratory, TAIHO
Pharmaceutical Co., Ltd.
Kodama-gun, Saitama
367-02, JAPAN

ENZYMATIC REGIOSELECTIVE DEPROTECTION OF 3', 5'-O-ACYLATED PYRIMIDINE NUCLEOSIDES

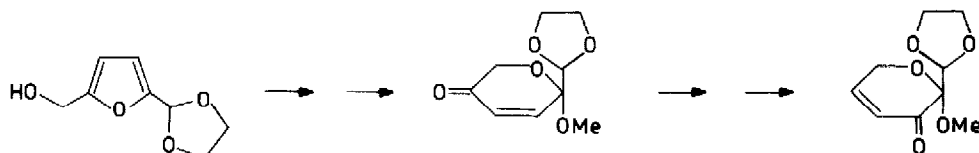
Atsuhiko UEMURA, Kenji NOZAKI *,
Jun-ichi YAMASHITA and Mitsugi
YASUMOTOChemical Synthesis Research Laboratory,
TAIHO Pharmaceutical Co., Ltd.
Kodama-gun, Saitama, 367-02
JAPANSTUDIES ON STEREOSPECIFIC FORMATION OF P-CHIRAL INTER-
NUCLEOTIDE LINKAGE. SYNTHESIS OF (Rp,Rp)- AND (Sp,Sp)-
THYMIDYL(3',5')THYMIDYL(3',5')THYMIDINE
DI(0,0-PHOSPHOROTHIOATE) USING OF 2-NITRO-
BENZYL GROUP AS A NEW S-PROTECTION.
Z.J.Lesnikowski*, M.M.JaworskaPolish Academy of Sciences, Centre
of Molecular and Macromolecular Studies,
Department of Bioorganic Chemistry,
90-362 Lodz, Boczna 5, PolandNEW SYNTHESIS OF POLYCHLORO(TRIFLUOROMETHYL)BENZENES AND
HIGHLY STRAINED POLYCHLORO(TRICHLOROMETHYL)BENZENES.Juan Riera,* Juan Castañer,* José Carilla and Ana Robert
Departamento de Materiales Orgánicos Halogenados, CID (CSIC),
Jorge Girona Salgado 18-26, 08034 Barcelona, SpainA new, easy and high yield
synthesis of polychloro(tri-
fluoromethyl)benzenes, via
Friedel-Crafts alkylation,
and polychloro(trichlorome-
thyl)benzenes, through a
chlorine - fluorine exchange,
is here presented.

Tetrahedron Lett. 30, 3829 (1989)

TOTAL SYNTHESIS OF PROTECTED FORM
OF FUNGI METABOLITE CORTALCERONE

Barbara Szechner

Institute of General Chemistry, Warsaw Agricultural University, Warsaw, Poland

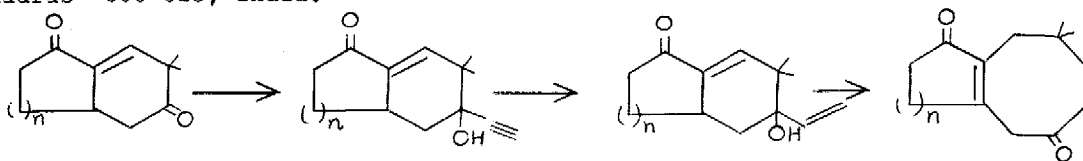


Tetrahedron Lett. 30, 3833 (1989)

METAL HYDRIDE PROMOTED [1,3] SIGMATROPIC
REARRANGEMENT

G. Subramaniam, V. T. Ramakrishnan* and K. Rajagopalan*

Department of Organic Chemistry, University of Madras, Guindy Campus,
Madras 600 025, India.

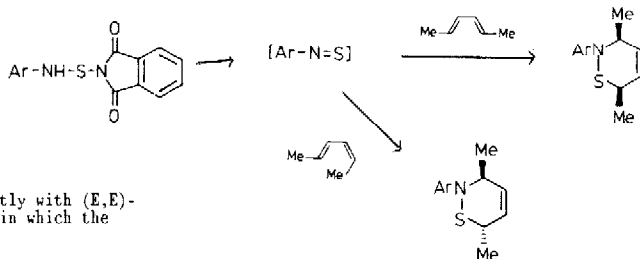


Tetrahedron Lett. 30, 3835 (1989)

THE STEREOCHEMICAL OUTCOME OF DIENE ADDITIONS TO THIONITrosoarenes (ArN=S)

MARTIN R. BRYCE* and PAUL C. TAYLOR

Department of Chemistry, University of Durham,
South Road, Durham, DH1 3LE, U.K.



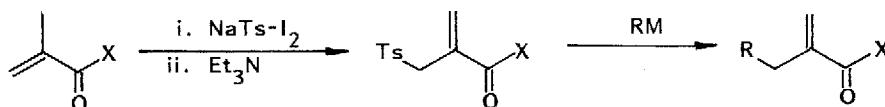
Abstract - Thionitrosoarenes (ArN=S) react efficiently with (E,E)-
and (E,Z)-hexadienes to yield 1,2-thiazine adducts in which the
stereochemistry of the diene is retained.

Tetrahedron Lett. 30, 3837 (1989)

SIMPLE SYNTHESIS OF α -METHYLENE ESTERS
AND AMIDES FROM METHACRYLIC DERIVATIVES
VIA TOSYLATED INTERMEDIATES

Carmen Nájera,* Balbino Mancheño, and Miguel Yus

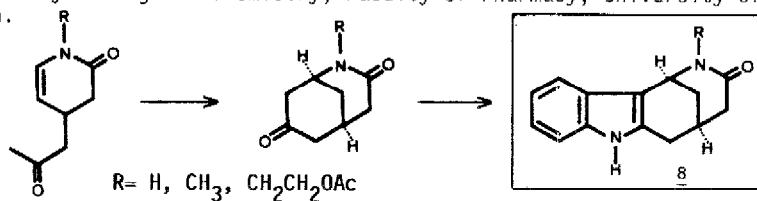
División de Química Orgánica, Facultad de Ciencias, Universidad de Alicante, Alicante, Spain



Tetrahedron Lett. 30, 3841 (1989)

SYNTHESIS OF 2-AZABICYCLO[3.3.1]NONAN-3,7-DIONES AND THEIR FISCHER INDOLIZATION

Mercedes Amat*, Enric Sanfeliu, Josep Bonjoch, and Joan Bosch*
Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, 08028-Barcelona, Spain.

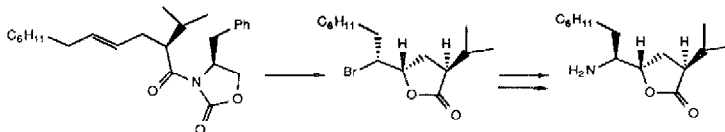


POTENTIAL PRECURSOR OF
PENTACYCLIC *STRYCHNOS*
ALKALOIDS

Tetrahedron Lett. 30, 3845 (1989)

AN EFFICIENT SYNTHESIS OF THE γ -LACTONE CORRESPONDING TO A HYDROXYETHYLENE DIPEPTIDE ISOSTERE USING STEREOSELECTIVE BROMOLACTONISATION OF A CHIRAL ACYLOXAZOLIDINONE

Robert H. Bradbury, John M. Reville, Janet E. Rivett and David Waterson
Department of Chemistry, ICI Pharmaceuticals, Alderley Park, Macclesfield, Cheshire, SK10 4TG, UK



Tetrahedron Lett. 30, 3849 (1989)

AN EFFICIENT PROCEDURE FOR THE SYNTHESIS AND ISOLATION OF (+)-(2*R*,3*R*,11*R*,12*R*)- AND (-)-(2*S*,3*S*,11*S*,12*S*)-TETRAPHENYL-18-CROWN-6

John Crosby,^a Martin E. Fakley,^b Collin Gemmill,^c Keith Martin,^c

Andrew Quick,^d Alexandra M.Z. Slawin,^e Hooshang Shahrlari-Zavareh,^c J. Fraser Stoddart,^c and David J. Williams^e

^a ICI FCMO, Hexagon House, Blackley, Manchester M9 3DA, UK

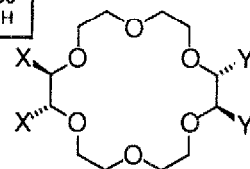
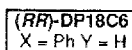
^b ICI C&P Ltd, P O Box 1, Billingham, Cleveland TS23 1LB, UK

^c Department of Chemistry, The University, Sheffield S3 7HF, UK

^d University of London Computing Centre, 20 Guilford Street, London WC1 N1DZ, UK

^e Department of Chemistry, Imperial College, London SW7 2AY, UK

Procedures are described for the preparation of (*RR*)-DP18C6 and (*RRRR*)-TP18C6 and their (*SS*)- and (*SSSS*)-enantiomers from (*RR*)- and (*SS*)-hydrobenzoins, respectively.

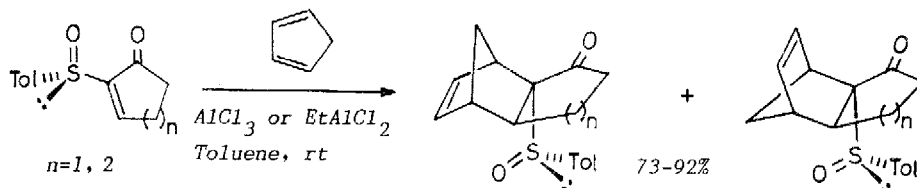


Tetrahedron Lett. 30, 3853 (1989)

HIGHLY DIASTEREOSELECTIVE DIELS-ALDER REACTION OF OPTICALLY ACTIVE 2-*p*-TOLYLSULPHINYL-2-CYCLOALKENONES WITH CYCLOPENTADIENE

I. Alonso, J.C. Carretero* and J.L. García Ruano*

Departamento de Química (C-1). Universidad Autónoma. Cantoblanco. 28049-Madrid. SPAIN



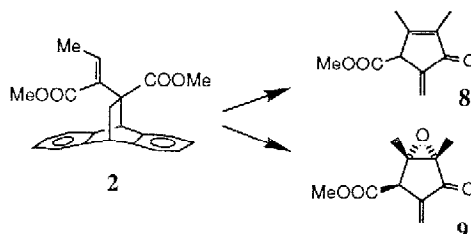
Tetrahedron Lett. 30, 3857 (1989)

Synthesis of Deepoxy-4,5-didehydromethylenomycin A and Methylenomycin A methyl esters

C. Mahidol, C. Thebtaranonth, Y. Thebtaranonth, C. Yenjai

Chulabhorn Research Centre and Department of Chemistry, Mahidol University, Bangkok, Thailand.

Deepoxy-4,5-didehydromethylenomycin A and methylenomycin A methyl esters, **8** and **9** respectively, are synthesised from anthracene adduct **2**.



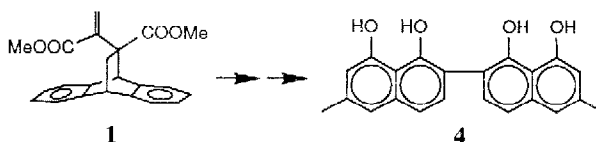
Tetrahedron Lett. 30, 3861 (1989)

Total Synthesis of Diospyrol : An Anthelmintic Drug from *Diospyros mollis* Griff

C. Mahidol, B. Tarnchompoo, C. Thebtaranonth, Y. Thebtaranonth

Chulabhorn Research Centre and Department of Chemistry, Mahidol University, Bangkok, Thailand.

Diospyrol **4** is synthesised from the masked butadiene synthon, anthracene adduct **1**.

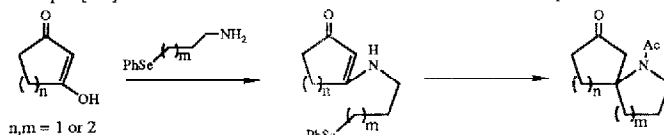


Tetrahedron Lett. 30, 3865 (1989)

SYNTHESIS OF *N*-PROTECTED SPIROAMINES RELATED TO NATURAL PRODUCTS USING RADICAL CYCLISATIONS

Donald S. Middleton and Nigel S. Simpkins,* Department of Chemistry, University of Nottingham, University Park, Nottingham, NG7 2RD, and Nicholas K. Terrett, Pfizer Central Research, Sandwich, Kent, CT13 9NJ

ABSTRACT: The use of radical cyclisations allows direct access to a family of azaspirocyclic ketones, including compounds having the azaspiro[4.4]nonane, and azaspiro[5.5]undecane structures which are found in the alkaloids cephalotaxine and histrionicotoxin.

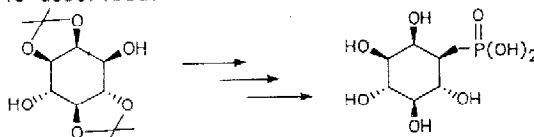


Tetrahedron Lett. 30, 3869 (1989)

THE SYNTHESIS OF (±)myo-INOSITOL-1-PHOSPHONATE

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The synthesis of a phosphonate analogue of (±)-myo-inositol-1-phosphate using Horner-Emmons methodology is described.

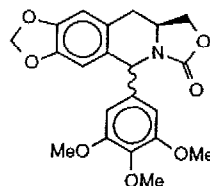


THE SYNTHESIS OF 4-DESOXY-2-AZAPODOPHYLLOTOXINS

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Duphar B.V., C.J. Van Houtenlaan, 1380 AA WEESP (The Netherlands)

4-Desoxy-2-azapodophyllotoxins, tetrahydroisoquinoline analogues of podophyllotoxin, have been synthesized and evaluated for their anti-tumor activities.

Tetrahedron Lett. 30, 3873 (1989)



THE SYNTHESIS OF 2-AZAPODOPHYLLOTOXINS

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All four geometrical isomers of 2-azapodophyllotoxin, tetrahydroisoquinoline isosteres of podophyllotoxin, have been synthesized. They constitute a new class of highly potent anti-tumor agents.

Tetrahedron Lett. 30, 3877 (1989)

