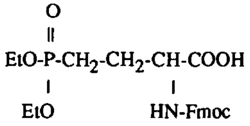
GRAPHICAL ABSTRACTS

SYNTHESIS AND SEPARATION OF A DIASTEREOMERIC PAIR OF PHOSPHONOPEPTIDE INHIBITORS OF THE CYCLIC AMP-DEPENDENT PROTEIN KINASE CATALYTIC SUBUNIT.

Tetrahedron, **1994**, *50*, 1919

Chunhua Yang, Raheel Qamar, Scott J. Norton and Paul F. Cook, Departments of Biochemistry and Molecular Biology, amd Microbiology and Immunology, University of North Texas Health Science Center, Ft. Worth, TX 76107; David E. Minter, Department of Chemistry, Texas Christian University, Ft. Worth, TX.

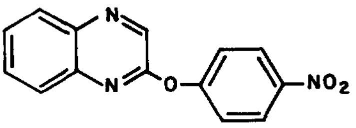
An effective inhibitor (K_i,9 μM) phosphonopeptide analog (Leu-Arg-Arg-Ala-[DL-2-amino-4-phosphonobutyrate]-Leu-Gly) of the phosphoprotein product (Leu-Arg-Arg-Ala-phosphoSer-Leu-Gly) of a protein kinase has been prepared from the 9-fluorenylmethyl derivative of DL-2-amino-4-diethylphosphonobutyric.



$$\mathrm{EtO{-}\overset{\overset{O}{\|}}{\underset{\underset{EtO}{|}}{P}}{-}CH_2{-}CH_2{-}\underset{\underset{HN\text{-}Fmoc}{|}}{CH}{-}COOH}$$

SYNTHESIS OF 2-(4-NITROPHENOXY)QUINOXALINE AND ITS REACTION WITH HYDROXIDE ION IN MICELLAR SYSTEMS.

Tetrahedron, **1994**, *50*, 1927



A. Cuenca,* C. Bruno and A. Taddei
Universidad Simón Bolívar
Departamento de Química
Apartado 89000, Caracas 1080-A.
Venezuela.

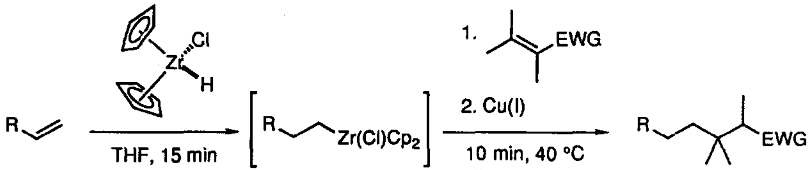
The synthesis of the title compound is described and its reactions with hydroxide ion in aqueous and micellar systems were studied.

COPPER-CATALYZED CONJUGATE ADDITIONS OF ORGANOZIRCONOCENES. SYNTHETIC AND MECHANISTIC STUDIES.

Tetrahedron, **1994**, *50*, 1935

Peter Wipf,* Wenjing Xu and Jacqueline H. Smitrovich
Department of Chemistry, University of Pittsburgh, Pittsburgh, Pennsylvania 15260
Roman Lehmann and Luigi M. Venanzi
Laboratory for Inorganic Chemistry, ETH-Zentrum, CH-8092 Zürich, Switzerland

In the presence of 3-10 mol % of Cu(I) salts such as CuBr·SMe_2 or CuCN, alkylzirconocenes add readily to α,β-unsaturated ketones, aldehydes, and sulfones.

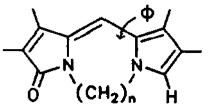


SYNTHESIS AND SPECTROSCOPIC PROPERTIES OF N,N-BRIDGED DIPYRRINONES

Tetrahedron, **1994**, *50*, 1955

Ki-Oh Hwang and David A. Lightner*
Department of Chemistry, University of Nevada, Reno

N,N-Bridged dipyrrinones (1-3) with one, two and three carbon belts are held in decreasingly rigid and increasingly twisted conformations, with $\phi \simeq 0°$, 14° and 27°, respectively. The fluorescence quantum yield drops with increasing n, from ~0.8 to ~0.3 to ~0.001, respectively, with apparent increasing importance of an internal de-excitation pathway for the excited state involving motion about ϕ.



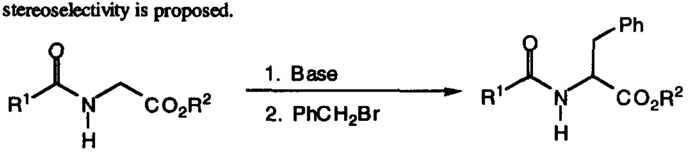
1: n=1; 2: n=2; 3: n=3

The Alkylation of Menthyl Hippurate and Some Related Materials: A Reinvestigation.

Tetrahedron, **1994**, *50*, 1967

John M. McIntosh,* Rasiah Thangarasa, and Nancy K. Foley
Department of Chemistry and Biochemistry, University of Windsor, Ontario, N9B 3P4, Canada
David J. Ager,* Diane E. Froen, and Russell C. Klix
NutraSweet Research and Development, 601 E. Kensington Road, Mount Prospect, Il 60056, USA.

Benzylation of chiral esters of *N*-acylglycines under various conditions was examined. A model to account for observed stereoselectivity is proposed.

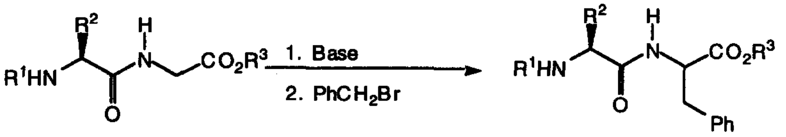


Studies on the Alkylation of Dipeptide Substrates

Tetrahedron, **1994**, *50*, 1975

David J. Ager,* Diane E. Froen, Russell C. Klix, and Benxin Zhi
NutraSweet Research and Development, 601 E. Kensington Road, Mount Prospect, IL 60056, USA
John M. McIntosh,* and Rasiah Thangarasa
Department of Chemistry and Biochemistry, University of Windsor, Ontario, N9B 3P4, Canada

Benzylations of dipeptides with a glycine *C*-terminus were investigated. The side chain of the *N*-terminal residue and chiral esters were found to influence stereoselectivity.

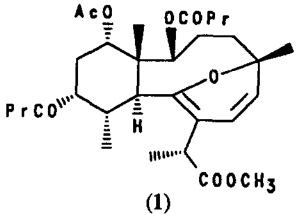


Briarane and Asbestinane Diterpenes from Briareum Asbestinum.

Tetrahedron, **1994**, *50*, 1983

R. Dookran,[a] D. Maharaj,[a] B. S. Mootoo,[a] R. Ramsewak,[a] S. McLean,[b] W. F. Reynolds,[b] and W. F. Tinto[c]
[a]Department of Chemistry, University of the West Indies, St Augustine, Trinidad and Tobago
[b]Department of Chemistry, University of Toronto, Toronto, Canada, M5S 1A1
[c]Department of Chemistry, University of the West Indies, Cave Hill, Barbados

A number of briarane and asbestinane diterpenes, including (1) were isolated from *B. asbestinum*. Their structures were established by a combination of 2D NMR experiments.



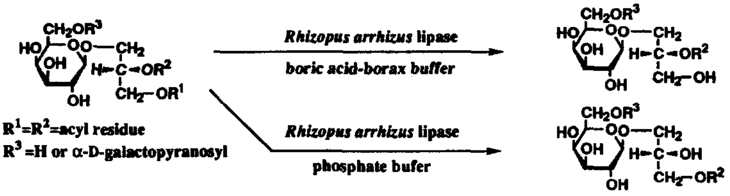
(1)

ENZYMATIC TRANSFORMATION OF GLYCEROGLYCOLIPIDS INTO *SN*-1 AND *SN*-2 LYSOGLYCEROGLYCOLIPIDS BY USE OF *RHIZOPUS ARRHIZUS* LIPASE

Tetrahedron, **1994**, *50*, 1993

Nobutoshi Murakami, Takashi Morimoto, Hideaki Imamura, Akito Nagatsu, and Jinsaku Sakakibara
Faculty of Pharmaceutical Sciences, Nagoya City University, Tanabe-dori, Mizuho-ku, Nagoya 467, Japan

Rhizopus arrhizus lipase is a usefull catalyst for regiospecific deacylation of glyceroglycolipids to *sn*-1 lysoglycolipids and complete acyl migration of *sn*-1 lysoglyceroglycolipids to *sn*-2 lyso congeners.

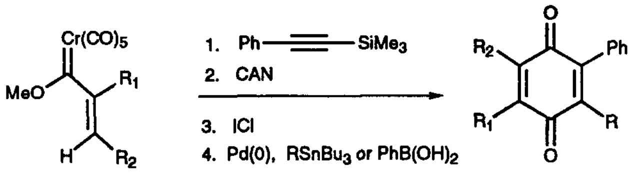


R^1=R^2=acyl residue
R^3 =H or α-D-galactopyranosyl

Tetrahedron, **1994**, *50*, 2003

A TRANSITION-METAL MEDIATED REGIOSELECTIVE SYNTHESIS OF PHENYL QUINONES VIA SEQUENTIAL BENZANNULATION AND CROSS COUPLING REACTIONS

Kin Shing Chan*and Chi Ching Mak
Department of Chemistry, The Chinese University of Hong Kong, Shatin, Hong Kong

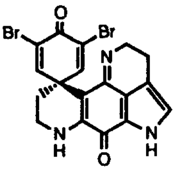


Tetrahedron, **1994**, *50*, 2017

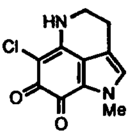
SYNTHETIC STUDIES ON TETRAHYDROPYRROLOQUINOLINE-CONTAINING NATURAL PRODUCTS: SYNTHESES OF DISCORHABDIN C, BATZELLINE C AND ISOBATZELLINE C

X. L. Tao, J-. F. Cheng, S. Nishiyama, and S. Yamamura

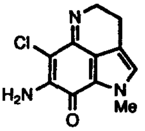
Department of Chemistry, Faculty of Science and Technology, Keio University
Hiyoshi, Yokohama 223, Japan



Discorhabdin C (1)



Batzelline C (2)



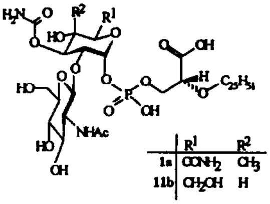
Isobatzelline C (3)

Syntheses of the tetrahydropyrroloquinoline alkaloids, discorhabdin C, batzelline C, and isobatzelline C have been accomplished.

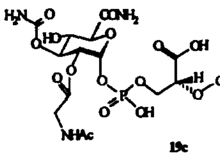
Tetrahedron, **1994**, *50*, 2029

STRUCTURAL ANALOGUES OF THE ANTIBIOTIC MOENOMYCIN A WITH A D-GLUCOSE-DERIVED UNIT F

M. Heuer[a], K. Hohgardt[a], F. Heinemann[a],
H. Kühne[a], W. Dietrich[a], D. Grzelak[a],
D. Müller[a], P. Welzel[a]*, A. Markus[b],
Y. van Heijenoort[c], and J. van Heijenoort[c]
[a] Fakultät für Chemie der Ruhr-Universität, D-44780 Bochum (Germany)
[b] Hoechst AG, D-65926 Frankfurt (Germany)
[c] Biochimie Moléculaire et Cellulaire, Université Paris-Sud, Orsay (France)



	R1	R2
1a	CONH2	CH3
11b	CH2OH	H



19c

Disaccharide derivative 1a is the smallest transglycosylase inhibiting compound known up to now. Structurally closely related compounds 11b and 19c have been synthesized. They do not inhibit the transglycosylase demonstrating the high specificity of the interaction between inhibitor 1a and the binding-site at the enzyme.

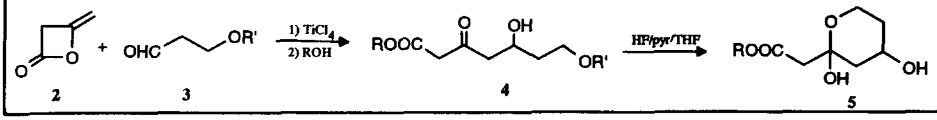
Tetrahedron, **1994**, *50*, 2047

A NEW METHOD FOR THE SYNTHESIS OF 2-CARBOXYMETHYL-2-HYDROXY-TETRAHYDROPYRANS

B. Loubinoux[++], A. C. O'Sullivan[+], J-L. Sinnes*[++], and T. Winkler[+]

[+]Ciba-Geigy AG, Plant Protection Division, CH-4002 Basle, Switzerland. and [++]Laboratoire de Chimie Organique 4, Faculté des Sciences, BP 239, 54506 Vandoeuvre-les-Nancy, cédex France.

A simple synthesis of the title compounds 5 from diketene, and the aldehyde 3 is described.

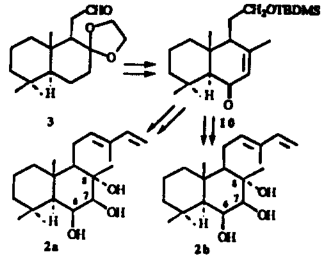


Studies Toward the Total Synthesis of Diterpenes in the Labdane Series. III. Synthesis of Two Epimeric 6, 7, 8-Trihydroxylabdadienes

Tetrahedron, **1994**, *50*, 2055

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

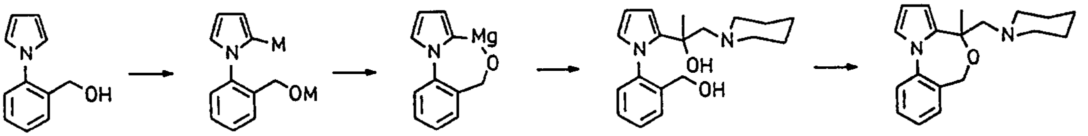
Abstract The syntheses of the racemic 6β, 7β, 8α- and 6β, 7β, 8β-trihydroxy-labdadienes, **2a** and **2b** respectively, were achieved starting from decalin **3** *via* the enone **10**. Diene **2a** was found to be identical to crotomachlin, a diterpene from *Croton macrostachys*. in which the configuration at C(8) has not been established with certainty.



PYRROLOBENZOXAZEPINE RING CONSTRUCTION THROUGH METALATION AND ELECTROPHILIC SUBSTITUTION OF *N*-(2-HYDROXYMETHYLPHENYL)PYRROLE

Tetrahedron, **1994**, *50*, 2071

Manfred SCHLOSSER * and Ferenc FAIGL
Institut de Chimie organique, Université de Lausanne, Switzerland

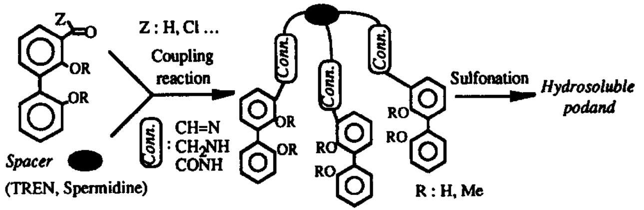


TRIPODAL LIGANDS POSSESSING SIX CONVERGENT HYDROXYL GROUPS ; A NOVEL FAMILY OF IRON SEQUESTERING AGENTS BASED ON o,o'-DIHYDROXYBIPHENYL SUBUNITS

Tetrahedron, **1994**, *50*, 2077

Paul Baret*, Claude Béguin, Didier Gaude, Gisèle Gellon, Cyrille Mourral, Jean-Louis Pierre, Guy Serratrice and Alain Favier‡
LEDSS (URA CNRS 332), Université Joseph Fourier, BP 53 X, 38041 Grenoble Cedex, France
and (A.F.‡) Groupe de Recherches sur les Pathologies Oxydatives, Faculté de Pharmacie, Université J. Fourier

Synthesis and characterization of new, hexadentate podands based on biphenol as ligating group and the evaluation of the complexing abilities towards iron(III) and alkali cations are described.



SYNTHESIS OF THE MACROCYCLIC THIOCROWN ETHERS

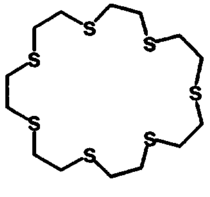
1,4,7,10,13,16,19 - HEPTATHIAUNOEICOSANE (21 - S - 7) AND

1,4,7,10,13,16,19,22 - OCTATHIATETRAEICOSANE (24 - S - 8).

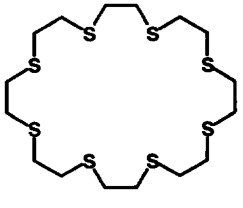
Tetrahedron, **1994**, *50*, 2095

Jilles J. H. Edema, Jan Buter, Richard M. Kellogg*

Department of Organic and Molecular Inorganic Chemistry, University of Groningen, Nijenborgh 4, 9747 AG Groningen, The Netherlands.



21 - S - 7

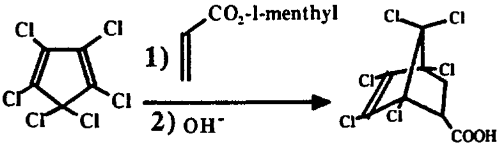


24 - S - 8

HEXACHLOROCYCLOPENTADIENE IN DIELS-ALDER ASYMMETRIC REACTION

Tetrahedron, **1994**, *50*, 2099

Idris M. Akhmedov, Bekir Peynircioğlu, Eldar G. Mamedov, Cihangir Tanyeli and Ayhan S. Demir*
Department of Chemistry, Middle East Technical University 06531 Ankara TURKEY

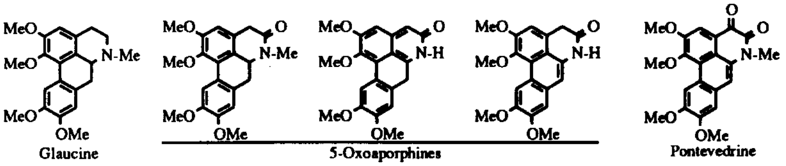


RADICAL CYCLIZATION TO APORPHINES. A NEW, EFFICIENT TOTAL SYNTHESIS OF THE APORPHINE GLAUCINE AND THE 4,5-DIOXOAPORFINE PONTEVEDRINE, AND THE FIRST TOTAL SYNTHESIS OF 5-OXOAPORPHINES.

Tetrahedron, **1994**, *50*, 2107

Juan C. Estévez, M. Carmen Villaverde, Ramón J. Estévez and Luis Castedo*
Departamento de Química Orgánica, Facultade de Química, Universidade de Santiago de Compostela, 15706 Santiago de Compostela, Spain.

We describe the radical cyclization of bromobenzylisoquinolines and benzylisoquinolin-3-ones, which afford aporphines or the novel 5-oxoaporphines and 5-oxodehydroaporphines respectively. Oxidation of the latter compounds provides a new route to 4,5-dioxoaporphines.

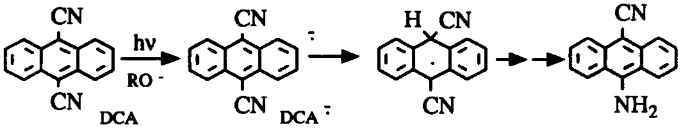


THE PHOTOCHEMICAL REACTIONS OF 9,10-ANTHRACENEDICARBONITRILE AND 1,4-NAPHTHALENEDICARBONITRILE IN ACETONITRILE IN THE PRESENCE OF BASES.

Tetrahedron, **1994**, *50*, 2115

Mauro Freccero,[a] Mariella Mella,[a] and Angelo Albini[b],
a)Dip.Chimica Organica, Università, v.Taramelli 10, 27100 Pavia, Italy. b)Ist.Chimica Organica, Università, v.Giuria 7, 10125 Torino, Italy.

The radical anion of DCA is generated through photoinduced SET. It is exceptionally persistent, being converted in hours to an amine.

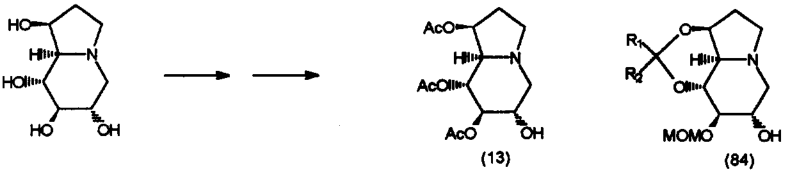


THE CHEMISTRY OF CASTANOSPERMINE, PART I: SYNTHETIC MODIFICATIONS AT C-6.

Tetrahedron, **1994**, *50*, 2131

Richard H Furneaux, Graeme J Gainsford, Jennifer M Mason, and Peter C Tyler*
Industrial Research Ltd P O Box 31310 Lower Hutt New Zealand

The selectively functionalised castanospermine derivatives (13) and (84) were prepared and from these a number of analogues modified at C-6 were made.



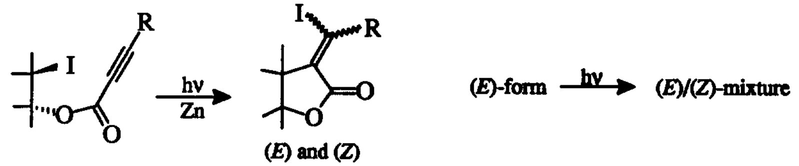
(13) (84)

Tetrahedron, **1994**, *50*, 2161

PHOTOISOMERISATION OF (*E*)-IODOALKYLIDENE LACTONES A ROUTE TO (Z)-IODOALKYLIDENE LACTONES

Gerald Haaima, Lyall R. Hanton, Mary-Jeanne Lynch, Simon D. Mawson, Anne Routledge and Rex T. Weavers
Department of Chemistry, University of Otago, Box 56, Dunedin New Zealand.

Photochemical syntheses of (Z)-iodoalkylidene lactones and methods for determination of the (*E*)/(Z)-configuration are described, along with X-ray analysis of a pair of iodoalkylidene lactone isomers.

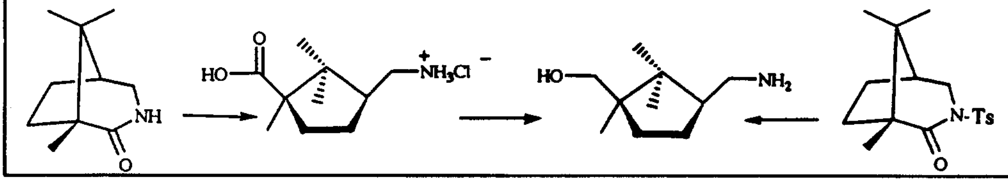


Tetrahedron, **1994**, *50*, 2175

Synthesis of (1*R*,*cis*)-3-Aminomethyl-1,2,2-trimethylcyclopentanemethanol: Two Approaches Using α-Camphidone

Olga Caamaño[a,*], Franco Fernández[a], Generosa Gómez[b] and Isabel Nieto[a]
[a]*Departamento de Química Orgánica, Facultad de Farmacia, Universidad de Santiago, E-15706 Santiago de Compostela, Spain*
[b]*Departamento de Química Pura y Aplicada, E.U.I.T.I., Universidad de Vigo, Torrecedeira, 86, E-36208 Vigo, Spain*

Title aminoalcohol has been prepared in two ways starting from (1*R*)-α-camphidone.

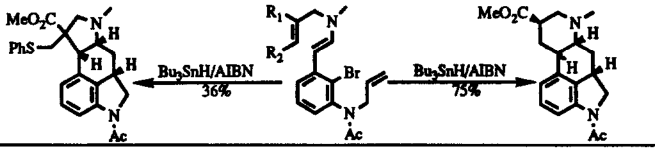


Tetrahedron, **1994**, *50*, 2183

TANDEM RADICAL CYCLISATIONS: SYNTHESIS OF LYSERGIC ACID DERIVATIVES

Yusuf Özlü[a], David E.Cladingboel[b] and Philip J.Parsons[a,*]
a)Department of Chemistry, University of Reading, Whiteknights, Reading RG6 2AD,England
b)Fisons Pharmaceuticals, Bakewell Road, Loughborough, Leicestershire, LE11 0RH, England

Aryl radicals generated by the cleavage of the carbon-bromine bond undergo tandem radical cyclisation reactions onto the enamine double bond giving lysergic acid analogues.

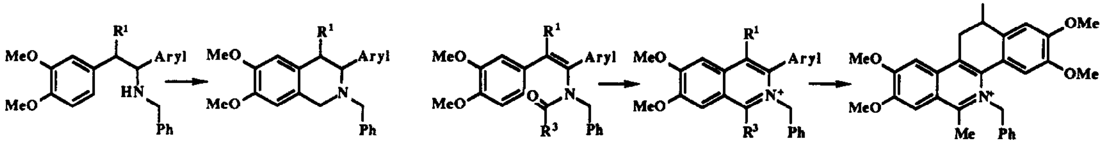


Tetrahedron, **1994**, *50*, 2207

AN IMPROVED METHOD FOR THE GENERATION OF IMINES AND ENAMIDES.APPLICATION TO THE SYNTHESIS OF 3-ARYLISOQUINOLINE DERIVATIVES

Nuria Sotomayor, T. Vicente, Esther Domínguez, Esther Lete,* and María-Jesús Villa, Departamento de Química Orgánica, Facultad de Ciencias, Universidad del País Vasco, Apdo. 644, 48080 Bilbao, Spain.

One-pot preparation of 1,2-diarylethylamines and ethylenenamides *vía* imines. Synthesis of 3-arylisoquinolines and benzophenanthridines

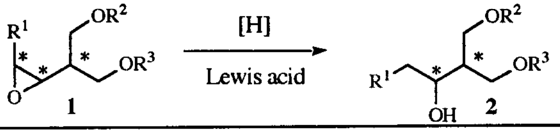


REGIOCONTROL IN REDUCTIVE RING OPENING OF EPOXIDES DERIVED FROM ASYMMETRIZED 2-ALKENYL-1,3-PROPANEDIOLS

Tetrahedron, **1994**, *50*, 2219

Giuseppe Guanti,* Luca Banfi, Valeria Merlo, Enrica Narisano - *Istituto di Chimica Organica dell'Università & C. N. R., Centro di Studio per la Chimica dei Composti Cicloalifatici ed Aromatici, Corso Europa 26, I-16132 Genova (Italy)*

Homochiral *cis* epoxides 1, available in any absolute configuration, are reduced to the corresponding differently protected triols 2 by various hydride donors in the presence of Lewis acids with a regioselectivity up to 99 : 1.



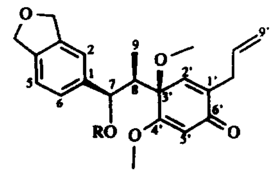
LIGNANS AND NEOLIGNANS FROM STEMS AND FRUITS OF *PIPER WIGHTII*

Tetrahedron, **1994**, *50*, 2231

Ashok K. Prasad[a], Om D. Tyagi[a], Jesper Wengel[a], Per M. Boll[a*], Carl E. Olsen[b], Nawal K. Sharma[c], Kirpal S. Bisht[c], Suman Gupta[c] and Virinder S. Parmar[c]

a) Department of Chemistry, Odense University, DK-5230 Odense M, Denmark; b) Department of Chemistry, Royal Veterinary and Agricultural University, DK-1871 Frederiksberg C Denmark; c) Department of Chemistry, University of Delhi, Delhi-110 007, India

From stems and fruits of *Piper wightii* Miq., two new neolignans, *(7S,8R,3'S)*-7-acetoxy-3',4'-dimethoxy-3,4-methylenedioxy-6'-oxo-Δ-$^{1',4',8'}$-8.3'-lignan (1) and *(7S,8R,3'S)*-7-hydroxy-3',4'-dimethoxy-3,4-methylenedioxy-6'-oxo-Δ-$^{1',4',8'}$-8.3-lignan (2) were isolated alongwith five neolignans and three lignans already reported in the literature from other natural sources.



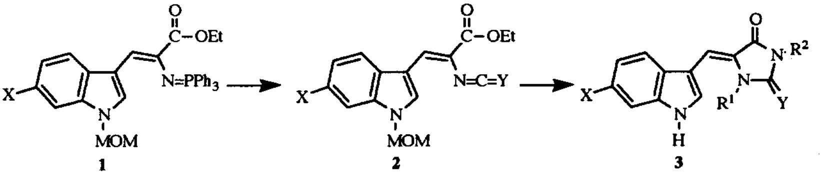
1 R = $COCH_3$

2 R = H

IMINOPHOSPHORANE-MEDIATED IMIDAZOLE RING FORMATION: A NEW AND GENERAL ENTRY TO APLYSINOPSIN-TYPE ALKALOIDS OF MARINE ORIGIN.

Tetrahedron, **1994**, *50*, 2241

Pedro Molina*, Pedro Almendros, Pilar M. Fresneda, Departamento de Química Orgánica, Universidad de Murcia, Campus de Espinardo, 30071, Murcia, Spain.



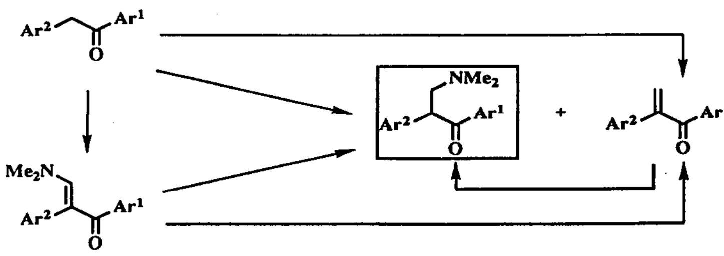
Aza Wittig-type reactions of iminophosphorans 1 with isocyanates, CO_2 and CS_2 lead to heterocumulenes 2 which undergo ring-closure by the action of nitrogenous reagents to give the aplysinopsins 3.

A Convenient Alternative Route to β-Aminoketones

Tetrahedron, **1994**, *50*, 2255

Raúl San Martín, Eduardo Martínez de Marigorta and Esther Domínguez*

Departamento de Química Orgánica, Facultad de Ciencias, Universidad del País Vasco, P.O. Box 644 - 48080 Bilbao (Spain)



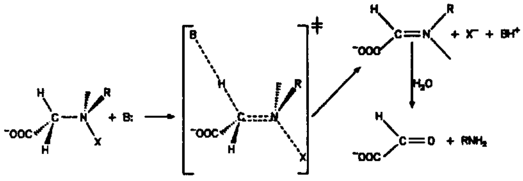
A series of β-aminoketones has been prepared either by reduction of enaminones, or applying Mannich conditions to deoxybenzoins, using a tandem amination system.

Tetrahedron, **1994**, *50*, 2265

ALKOXIDE-PROMOTED DECOMPOSITION OF N-HALO-α-AMINO ACIDS IN AQUEOUS MEDIUM.

X.L. Armesto; M. Canle L.; M.V. García; M. Losada; P. Rodríguez; J.A. Santaballa*. Departamento de Química Fundamental e Industrial. Facultade de Ciencias. Universidade da Coruña. A Zapateira, s/n. E-15071. A Coruña. ESPAÑA.

The base-promoted decomposition of N-halo-α-amino acids takes place through a concerted non-synchronous $A_{xh}D_HD_N$ mechanism.

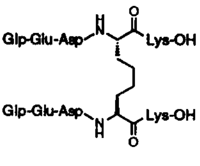


Tetrahedron, **1994**, *50*, 2277

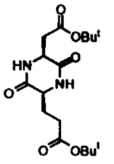
Solution Synthesis of a Dimeric Pentapeptide: Diketopiperazine Cyclisation of Glu-Asp Dipeptide Esters and Asp-Racemisation During Segment Condensation

Peter M. Fischer,* Magne Solbakken and Kjell Undheim
Nycomed Bioreg AS, Gaustadalléen 21, N-0371 Oslo, Norway

A haemoregulatory peptide 1 was prepared by segment condensation in solution. The preparation of protected Glp-Glu-Asp-OH tripeptides was found to be hampered by the surprising ease with which *t*-butyl side-chain protected H-Glu-Asp-OR dipeptide esters underwent cyclisation to diketopiperazine 2. A number of Asp esters were compared in this respect. Reaction conditions for the segment condensation were investigated in terms of racemisation as well as chemical yield and product purity.



1



2

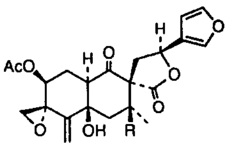
Tetrahedron, **1994**, *50*, 2289

REARRANGED *NEO*-CLERODANE DITERPENOIDS FROM *TEUCRIUM BREVIFOLIUM*

Benjamín Rodríguez[a], María C. de la Torre[a], Maurizio Bruno[b], Caterina Fazio[b], Franco Piozzi[b], Giuseppe Savona[b], Aurea Perales[c] and Nelly A. Arnold[d]

[a]Instituto de Química Orgánica, CSIC, Juan de la Cierva 3, 28006 Madrid, Spain; [b]Dipartimento di Chimica Organica, Università di Palermo, Archirafi 20, 90123 Palermo, Italy; [c]Instituto "Rocasolano", CSIC, Serrano 119, 28006 Madrid, Spain; [d]Istituto di Botanica Farmaceutica, Università di Camerino, 62032 Camerino, Italy

The structures of teubrevins A (1) and B (2) were established by spectroscopic means, including an X-ray diffraction analysis of the former. The biogenesis of the new carbon skeleton is dicussed.



1 R= H

2 R=OH