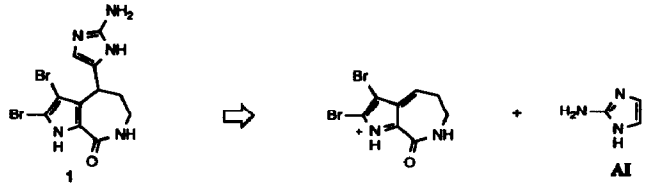
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 351

A Synthesis of (±)- Hymenin. Ying-zi Xu, Giao Phan, Kenichi Yakushijin and David A. Horne,*† Department of Chemistry, Columbia University, New York, New York 10027

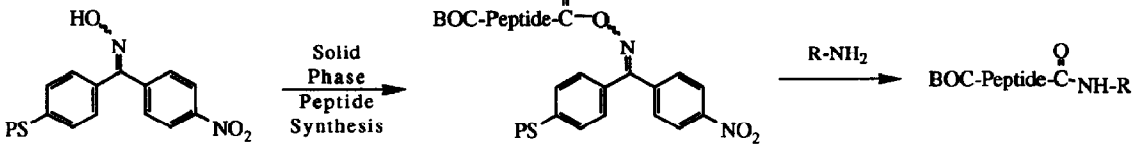
(±)-Hymenin (**1**) has been synthesized by a highly efficient route involving the generation of an azafulvene intermediate and its coupling with 2-aminoimidazole (AI).



Tetrahedron Letters, **1994**, *35*, 355

A CONVENIENT SOLID PHASE PREPARATION OF PEPTIDE SUBSTITUTED AMIDES

Normand Voyer,* Annie Lavoie, Martine Pinette, Julie Bernier,
Département de chimie, Université de Sherbrooke, Sherbrooke, Québec, Canada J1K 2R1

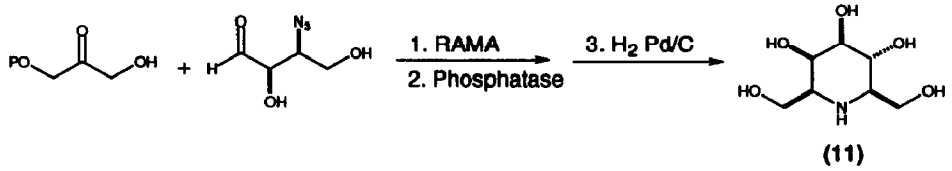


Tetrahedron Letters, **1994**, *35*, 359

CHEMOENZYMATIC SYNTHESIS OF HOMOAZASUGARS

Ian Henderson, Karen Laslo, and Chi-Huey Wong

Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Rd. La Jolla, CA 92037



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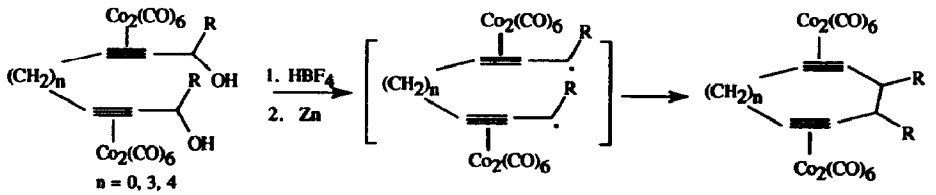
Tetrahedron Letters, **1994**, *35*, 363

A NOVEL AND EFFICIENT SYNTHESIS OF CYCLIC AND ACYCLIC 1,5-ALKADIYNES BY SELECTIVE COUPLING OF $Co_2(CO)_6$-COMPLEXED PROPARGYL RADICALS. Gagik G. Melikyan*, Richard C. Combs, John Lamirand, Masood Khan and Kenneth M. Nicholas*.
Department of Chemistry and Biochemistry, University of Oklahoma, Norman, OK 73019, USA

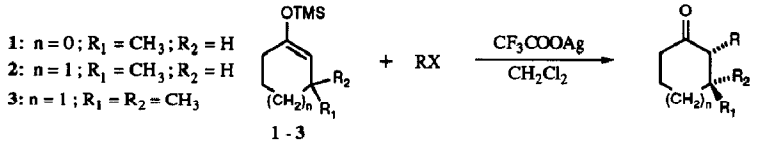
Intra- and intermolecular coupling reactions of cobalt-complexed propargyl radicals provide cyclic and acyclic 1,5-alkadiynes.



Tetrahedron Letters, **1994**, *35*, 367

The Use of Silyl Enol Ethers in the Alkylation of Substituted Cyclanones.

Paul Angers and Perséphone Canonne*
Département de chimie, Université Laval, Ste-Foy, Québec, Canada, G1K 7P4

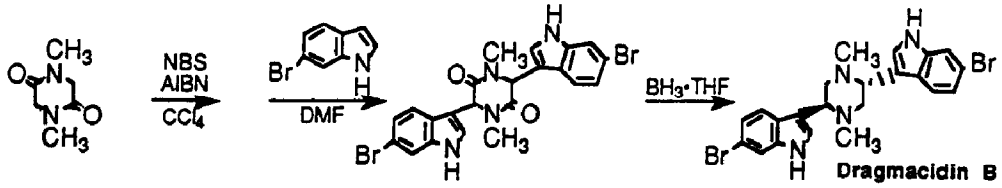


Tetrahedron Letters, **1994**, *35*, 371

A TOTAL SYNTHESIS OF DRAGMACIDIN B.

Christine R. Whitlock and Michael P. Cava*,
Department of Chemistry, The University of Alabama, Box 870336, Tuscaloosa, AL 35487-0336, U.S.A.

The first total synthesis of the marine alkaloid dragmacidin B is described.

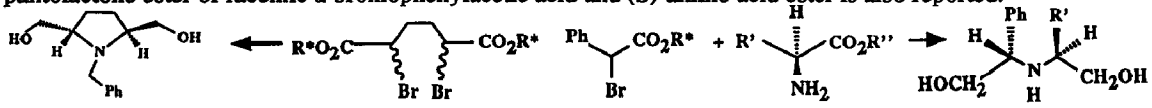


Tetrahedron Letters, **1994**, *35*, 375

A Facile Synthesis of Optically Active C_2-Symmetric 2,5-Disubstituted Pyrrolidines and other β,β'-Dihydroxyamines

Kevin Koh, Robert N. Ben and T. Durst* Ottawa-Carlton Chemistry Institute, Department of Chemistry, University of Ottawa, Ottawa, Ontario, Canada. K1N 6N5

2,5-Dibromoadipic acid has been converted into the di-(R)-pantolactone ester of (S,S)-pyyrolidine-2,5-dicarboxylic acid in two steps. This compound serves as a precursor to C_2-symmetric 2,5-disubstituted pyrrolidines. The synthesis of linear C_2-symmetric β,β'-dihydroxyamines starting with (R)-pantolactone ester of racemic α-bromophenylacetic acid and (S)-amino acid ester is also reported.

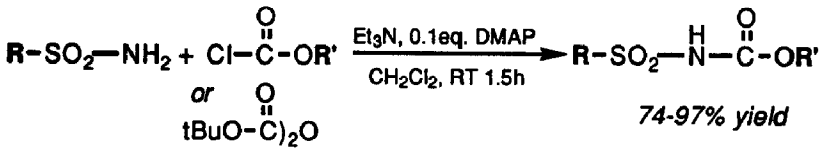


Tetrahedron Letters, **1994**, *35*, 379

FACILE PREPARATION OF N-(SULFONYL)CARBAMATES.

Bernard R. Neustadt, Schering-Plough Research Institute,
Kenilworth, NJ 07033-0539 USA

N-(Sulfonyl)carbamates can be prepared readily by reaction of sulfonamides with chloroformates or dicarbonates catalyzed by 4-(dimethylamino)pyridine.



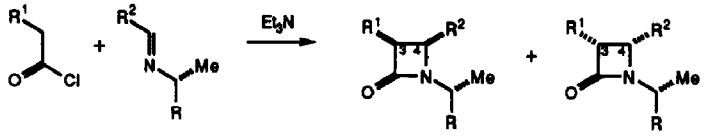
Tetrahedron Letters, **1994**, *35*, 381

An Investigation of (*R*)-(+)-1-(1-Naphthyl)ethylimines and (*R*)-(+)-1-(Phenyl)ethylimines as Chiral Templates in the Staudinger Reaction

Gunda I Georg* and Zhijun Wu
Department of Medicinal Chemistry, University of Kansas, Lawrence, KS 66045

(*R*)-(+)-1-(1-Naphthyl)ethylimines were moderately better chiral templates in the Staudinger reation in comparison to (*R*)-(+)-1-(phenyl)ethylimines. The influence of solvents and substituents on diastereomeric ratios was investigated.

R = Ph, 1-naphthyl
R^1 = PhO, phthalimido
R^2 = Ph, 4-MePh, 4-NO_2Ph, styryl, chloromethyl

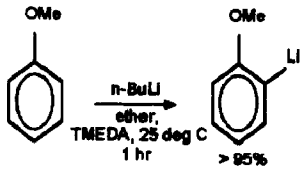


Tetrahedron Letters, **1994**, *35*, 385

A PREDICATIVE MODEL FOR CERTAIN DIRECTED METALATIONS, I; APPLICATIONS TO THE BEHAVIOR OF ANISOLE

D.W. Slocum, R. Moon, J. Thompson, D.S. Coffey, J.D. Li and M.G. Slocum, Department of Chemistry, Western Kentucky University, Bowling Green, KY 42101
A. Siegel and R. Gayton-Garcia, Department of Chemistry, Indiana State University, Terre Haute, IN 47809

A model whereby the relative ability of the methoxy group to direct metalations in aromatic systems is described. Use of a catalytic amount of TMEDA is shown to be effective.

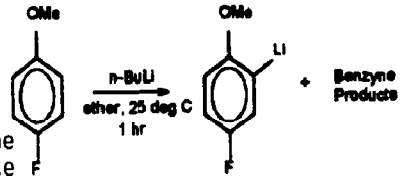


Tetrahedron Letters, **1994**, *35*, 389

A PREDICATIVE MODEL FOR CERTAIN DIRECTED METALATIONS, II; APPLICATION TO THE BEHAVIOR OF p-FLUOROANISOLE

D. W. Slocum and D. S. Coffey, Department of Chemistry, Western Kentucky University, Bowling Green, KY 42101
A. Siegel and P. Grimes, Department of Chemistry, Indiana State University, Terre Haute, IN 47809

A theoretical model has been developed which predicts that the p-fluoro substituent should significantly accelerate the rate of directed metalation of anisole. This electronic effect has been demonstrated; it can be generalized.

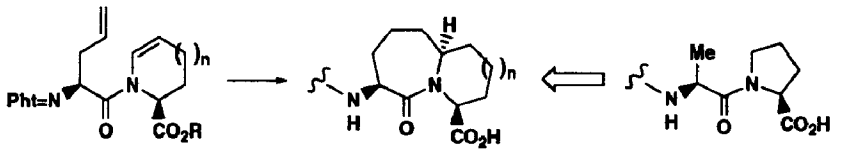


Tetrahedron Letters, **1994**, *35*, 393

Peptidomimetic Synthesis: Utilization of N-Acyliminium Ion Cyclization Chemistry in the Generation of 7,6- and 7,5-Fused Bicyclic Lactams

Jeffrey A. Robl
Bristol Myers-Squibb Pharmaceutical Research Institute, P.O. Box 4000, Princeton, N.J. 08540-4000

A stereoselective synthesis of fused bicyclic lactams via N-acyl-iminium ion cyclization chemistry is described. Compounds of type 1 may be viewed as conformationally restricted Ala-Pro mimetics.

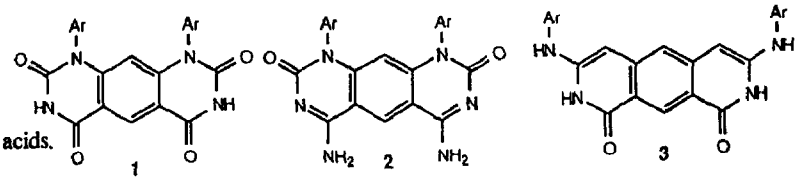


JANUS MOLECULES : SYNTHESIS OF DOUBLE-HEADED HETEROCYCLES CONTAINING TWO IDENTICAL HYDROGEN BONDING ARRAYS

Tetrahedron Letters, **1994**, *35*, 397

Andrew Marsh,[a] Ernest G. Nolen,[a,b] Kevin M. Gardinier[b] and Jean-Marie Lehn*[a]
[a]Institut Le Bel, Université Louis Pasteur, URA CNRS N°422, 4, rue Blaise Pascal, 67000 Strasbourg France;
[b]Department of Chemistry, Colgate University, Hamilton, New York, 13346-1398, USA

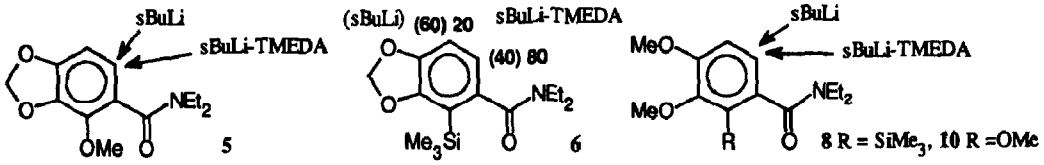
We describe the synthesis of three heterotricyclic molecules 1-3 which present two recognition "faces" reminiscent of those found in the heterocyclic bases of nucleic acids.



Dramatic Effect of Substituents and TMEDA Additive on the Regioselectivity of Directed Orthometalation of Tetrasubstituted Aromatics

Tetrahedron Letters, **1994**, *35*, 401

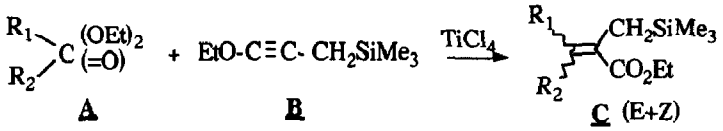
M. Khaldi, F. Chrétien and Y. Chapleur*, Laboratoire de Méthodologie et Synthèse Enantiospécifique de Biomolécules, associé au CNRS, Université de Nancy I, B.P. 239, F-54506 Vandoeuvre-les-Nancy (France)



Substituent Effect on the Chemical Behaviour of some Carbonyl Compounds and Ketals with 1-Ethoxy-3-Trimethylsilylprop-1-yne

Tetrahedron Letters, **1994**, *35*, 405

D. Zakarya[a*], A. Rayadh[a*], M. Samih[b] and T. Lakhlifi[a] . a) Département de Chimie, Faculté des Sciences, Meknés, Morocco; b) Département de Mathématiques et d'Informatique, Faculté des Sciences, Meknés, Morocco.

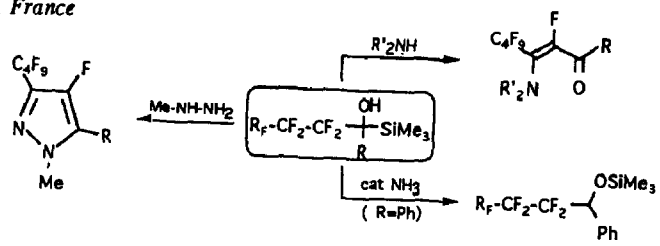


Chemical behaviour of **A** toward **B** = f (R_1, R_2 properties)

Mixed Organofluorine-Organosilicon Chemistry. 5. Reactivity of 1-aryl (or alkyl)-1-trialkylsilyl perfluoroalkanols

Tetrahedron Letters, **1994**, *35*, 409

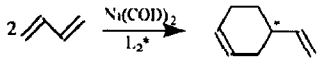
Boniface DONDY, Pascale DOUSSOT, Charles PORTELLA*
Unité des Réarrangements Thermiques et Photochimiques, Associée au CNRS, U.F.R. Sciences, B.P. 347, 51062 REIMS, France



Tetrahedron Letters, **1994**, *35*, 413

HIGHLY SELECTIVE SYNTHESIS OF 4-VINYLCYCLOHEXENE BY CYCLODIMERIZATION OF BUTADIENE CATALYSED BY AMINOPHOSPHINEPHOSPHINITE AND BIS(AMINOPHOSPHINE) CHIRAL LIGANDS NICKEL COMPLEXES

Isabelle Suisse, Hervé Bricout and André Mortreux*
Laboratoire de Chimie Organique Appliquée associé au CNRS, Ecole Nationale Supérieure de Chimie de Lille
Université des Sciences et Techniques de Lille, BP 108, F-59652 Villeneuve d'Ascq, France



$L_2^* / Ni = 2$
Selectivity up to 99%

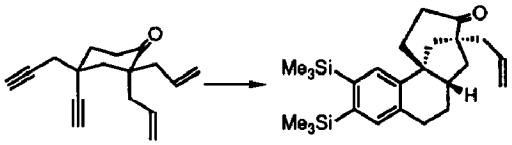
L_2^* = aminophosphinephosphinite or bis(aminophosphine)

Tetrahedron Letters, **1994**, *35*, 417

Synthetic Approach to Aphidicolan and Stemodan Basic Skeletons Using a "Tandem Principle" [2+2+2] and [4+2] Cycloaddition Reactions.

Robert Stammler, Karine Halvorsen, Jean-Pierre Gotteland and Max Malacria*
Université P. et M. Curie, Laboratoire de Chimie Organique de Synthèse, associé au CNRS, B.229, 4 Place Jussieu, 75252 Paris cédex 05, France.

A strategy based upon two consecutive [2+2+2] and [4+2] cycloaddition reactions allowed the formation of the stemodan basic skeleton.

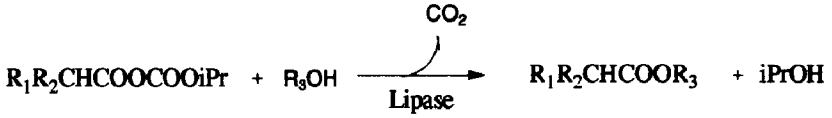


Tetrahedron Letters, **1994**, *35*, 421

LIPASE CATALYZED RESOLUTION OF CHIRAL ACIDS USING THEIR MIXED CARBOXYLIC CARBONIC ANHYDRIDES. Eryka Guibé-Jampel * and Mohamed Bassir

Laboratoire des Réactions Sélectives sur Supports. Institut de Chimie Moléculaire d'Orsay, CNRS UA 478, Bâtiment 410, Université Paris-Sud, 91405 Orsay, France

Mixed carboxylic-carbonic anhydrides are very efficient substrates for the lipase catalyzed resolution of carboxylic acids.

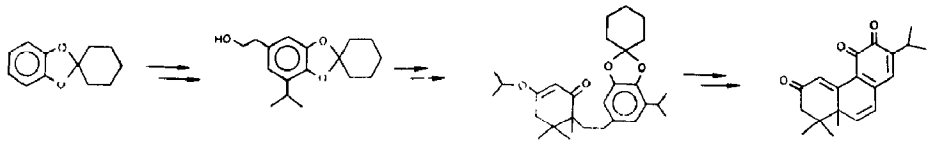


Tetrahedron Letters, **1994**, *35*, 423

The First Total Synthesis of (±) Pygmaeocin B

Xi-Lu Wang, Yu-Xin Cui, and Xin-Fu Pan*
Department of Chemistry, State Key Laboratory of Applied Organic Chemistry, Lanzhou University, Lanzhou, Gansu 730000 P. R. China.

The first 20(10→5) *abeo*-abictane diterpenoid, pygmaeocin B, was synthesised in 13 steps from catechol.



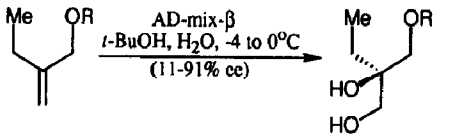
Tetrahedron Letters, **1994**, *35*, 425

ANOMALOUS ENANTIOSELECTIVITY IN THE SHARPLESS CATALYTIC ASYMMETRIC DIHYDROXYLATION OF 1,1-DISUBSTITUTED ALLYL ALCOHOL DERIVATIVES.

Karl J. Hale,* Soraya Manaviazar, and S. Andrew Peak.

The Christopher Ingold Laboratories, Department of Chemistry, University College London, 20 Gordon Street, London WC1H 0AJ, England.

The Sharpless asymmetric dihydroxylation (AD) reaction has been examined on a number of 1,1-disubstituted allyl alcohol derivatives. In the majority of substrates studied, the product diols had ee's in the 11-91% range, and had absolute stereochemistry opposite to that predicted using the Sharpless steric model.



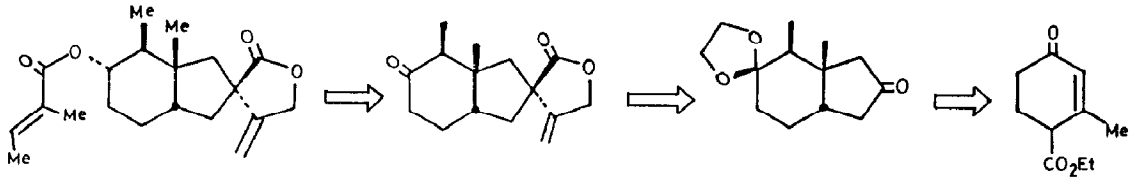
R = -$SiPh_2Bu$-*t*, -$SiMe_2Bu$-*t*, -C(O)C(Me)$_3$, -CH_2Ph

Tetrahedron Letters, **1994**, *35*, 429

A STEREOSELECTIVE SYNTHESIS OF (±)-HOMOGYNOLIDE-B

A. Srikrishna,* S. Nagaraju and S. Venkateswarlu

Department of Organic Chemistry, Indian Institute of Science Bangalore - 560 012, India.



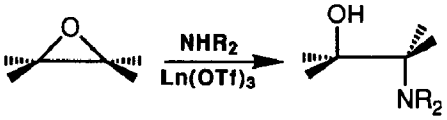
Tetrahedron Letters, **1994**, *35*, 433

Lanthanide(III) Trifluoromethanesulfonates as Extraordinarily Effective New Catalysts for the Aminolysis of 1,2-Epoxides

Marco Chini, Paolo Crotti,* Lucilla Favero, Franco Macchia, and Mauro Pineschi

Dipartimento di Chimica Bioorganica, Università di Pisa, via Bonanno 33, 56126 Pisa, Italy

Ln(OTf)$_3$ catalyze in a extraordinarily efficient way the aminolysis of 1,2-epoxides, affording the corresponding β-amino alcohols, at r.t. and in a non-protic solvent (CH_2Cl_2 or toluene), in very good yields. The reactions are completely anti stereoselective and highly regioselective.



Tetrahedron Letters, **1994**, *35*, 437

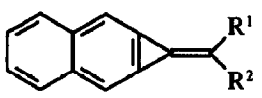
THE PREPARATION OF EXOCYCLIC FUNCTIONALISED ALKYLIDENECYCLOPROPARENES VIA A NEW PROCEDURE

Aileen T. McNichols,[a] Peter J. Stang,[a]* Diana M. Addington,[a] Brian Halton,[b]*

[a] Department of Chemistry, University of Utah, Salt Lake City, Utah 84112 USA

[b] Department of Chemistry, Victoria University of Wellington, Wellington, New Zealand

The general preparation of several new alkylidenecyclopropanes containing functional groups at the exocyclic (C8) position are described.

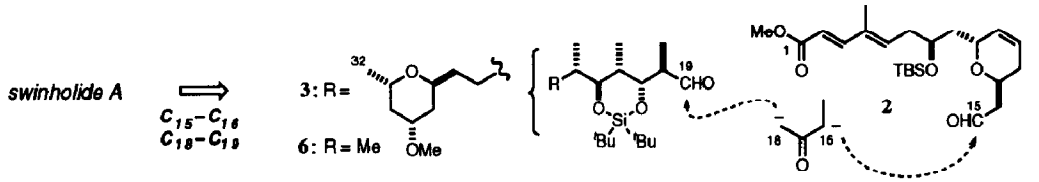


Tetrahedron Letters, **1994**, *35*, 441

STUDIES IN MARINE MACROLIDE SYNTHESIS: BORON AND SILICON-MEDIATED COUPLING STRATEGIES FOR SWINHOLIDE A.

I. Paterson,* J.G. Cumming, J.D. Smith and R.A. Ward, *University Chemical Laboratory, Lensfield Road, Cambridge, UK.*

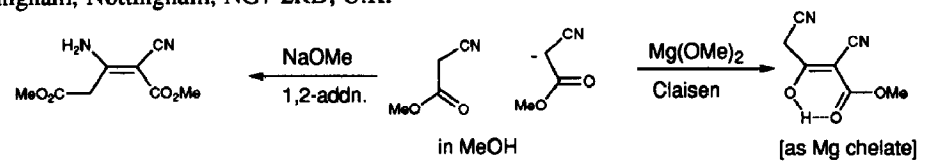
Using both single and double asymmetric induction strategies, the stereoselectivity in various enol borinate, silyl enol ether, and allylsilane addition reactions to aldehydes **2** and **6** are examined.



Tetrahedron Letters, **1994**, *35*, 445

PRODUCT DIVERSION BY MAGNESIUM CHELATION IN THE CHEMISTRY OF CYANOESTERS.

S. Richard Baker, Leslie Crombie* and David A.V. Edwards, Department of Chemistry, University of Nottingham, Nottingham, NG7 2RD, U.K.

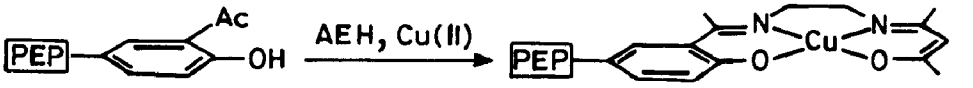


Tetrahedron Letters, **1994**, *35*, 447

THE CRAFTING OF PEPTIDE SEGMENTS WITH Cu(II) UPTAKE POTENTIAL.

Subramania Ranganathan* and Natarajan Tamilarasu, Department of Chemistry, Indian Institute of Technology, Kanpur 208016, India

L-Tyrosine side chain has been crafted to one having independent Cu(II) uptake potential:



PEP = peptide backbone AEH = ethylenediamine-acetyl acetone mono Schiff base

Tetrahedron Letters, **1994**, *35*, 451

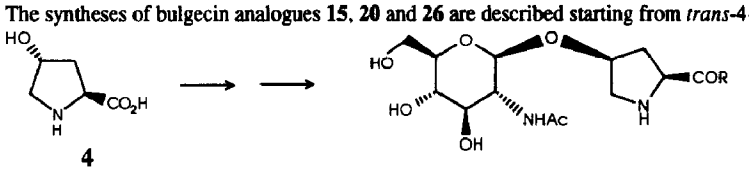
SYNTHESES OF DE(HYDROXYMETHYL)DESULFO ANALOGUES OF BULGECINS A, B AND C.

Allan G. Brown[a], Stephen F. Moss*,[b] and Robert Southgate[b]

a. Biotics Ltd., School of Chemistry and Molecular Sciences, University of Sussex, Brighton, BN1 9QJ, UK.

b. Department of Medicinal Chemistry, SmithKline Beecham Pharmaceuticals, Brockham Park, Betchworth, Surrey, RH3 7AJ, UK.

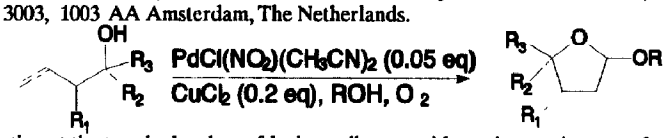
The syntheses of bulgecin analogues **15**, **20** and **26** are described starting from *trans*-4-hydroxy-L-proline **4**.



15	R=OH
20	R=$NHCH_2CH_2CO_2H$
26	R=$NHCH_2CH_2SO_3H$

Tetrahedron Letters, **1994**, *35*, 455

CATALYTIC OXIDATION OF HOMOALLYLALCOHOLS TO α-ALKOXYTETRAHYDROFURANS BY A PD-NITRO COMPLEX AND MOLECULAR OXYGEN. Tom M. Meulemans, Niklaas H. Kiers, Ben L. Feringa* and Piet W.N.M. van Leeuwen†
Department of Organic and Molecular Inorganic Chemistry, Groningen Center of Catalysis and Synthesis, University of Groningen, Nijenborgh 4, 9747 AG Groningen, The Netherlands.† Koninklijke/Shell Laboratorium, Amsterdam (Shell Research B.V.), PO Box 3003, 1003 AA Amsterdam, The Netherlands.

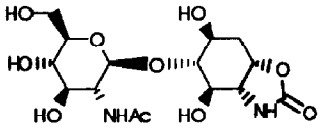


Highly regioselective oxidation at the terminal carbon of hydroxyalkenes, without the requirement of substituents at the allylic position (R_1), is described.

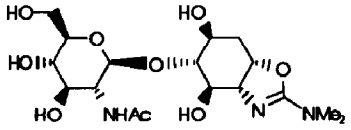
Tetrahedron Letters, **1994**, *35*, 459

SYNTHESIS OF PSEUDO-DISACCHARIDES RELATED TO ALLOSAMIDIN. David F. Corbett, David K. Dean,* and Stephen R. Robinson, SmithKline Beecham Pharmaceuticals, Great Burgh, Yew Tree Bottom Road, Epsom, Surrey KT18 5XQ, UK.

The stereospecific synthesis of pseudo-disaccharides **14** and **18** from D-glucosamine is described.



14



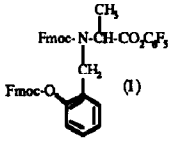
18

Tetrahedron Letters, **1994**, *35*, 463

The N-(2-hydroxybenzyl) Protecting Group for Amide Bond Protection in Solid Phase Peptide Synthesis

T. Johnson* and M. Quibell, Laboratory of Molecular Biology, Medical Research Council, Hills Road, Cambridge, CB2 2QH, UK.

Incorporation of N-(2-hydroxybenzyl) alanine , through **1**, at residue Ala^{68} of the well known difficult sequence, acyl carrier protein residues (65-74), **2**, prevents chain association. The backbone amide protecting group is subsequently removed on treatment of the peptide with trifluoromethanesulphonic acid.



H.Val-Gln-Ala-Ala-Ile-Asp-Tyr-Ile-Asn-Gly-OH
(2)

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ASPARAGINE AS A MASKED DEHYDROALANINE RESIDUE IN SOLID PHASE PEPTIDE SYNTHESIS.

Carsten Blettner & Mark Bradley*, Department of Chemistry, University of Southampton, Highfield, Southampton, SO9 5NH UK.

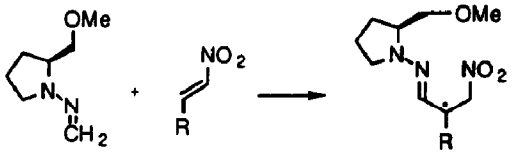
Sequential action of $PhI(O_2CCF_3)_2$ followed by $MeI/KHCO_3$ on resin bound asparagine containing peptides produces dehydroalanine containing peptides.

ZNH-Ala-Asn-Val-Asn-Phe-Resin ⟶ ZNH-Ala-Dha-Val-Dha-Phe-OH

Tetrahedron Letters, **1994**, *35*, 471

ASYMMETRIC SYNTHESIS OF FUNCTIONALIZED NITROCOMPOUNDS THROUGH MICHAEL ADDITION OF FORMALDEHYDE SAMP HYDRAZONE TO NITROOLEFINS.

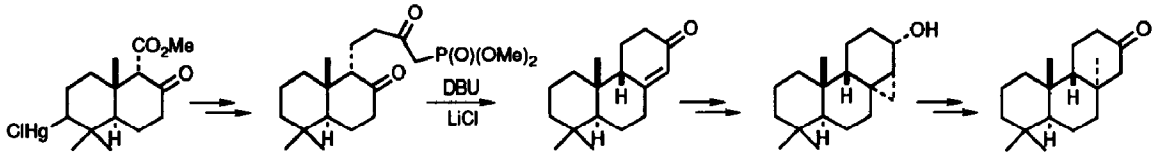
Rosario Fernández[1], Consolación Gasch[1], José-María Lassaletta[1*] and José Manuel Llera[2*]. [1]Dpto. de Química Orgánica. Universidad de Sevilla. Apartado de Correos No 553. E-41071. Seville (Spain). [2]Dpto. de Química Orgánica y Farmaceútica. Universidad de Sevilla. Apartado de Correos No 874. E-41071 Seville (Spain).



Tetrahedron Letters, **1994**, *35*, 473

A NEW ACCESS TO *TRANS-SYN-TRANS* PERHYDROPHENANTHRENIC SYSTEMS. SYNTHESIS OF (9βH)-8α-METHYLPODOCARPAN-13-ONE

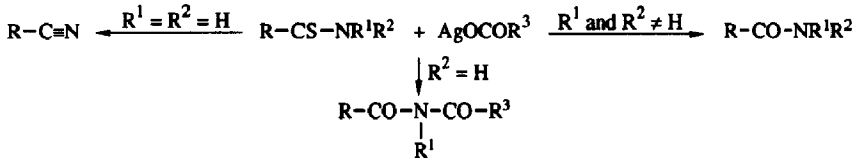
Jean-Marc Weibel and Denis Heissler.* Institut de Chimie, URA CNRS 31, Université Louis Pasteur, BP 296, 67008 Strasbourg, France



Tetrahedron Letters, **1994**, *35*, 477

REACTION OF THIOAMIDES WITH SILVER CARBOXYLATES IN APROTIC MEDIA. A NUCLEOPHILIC APPROACH TO THE SYNTHESIS OF IMIDES, AMIDES, AND NITRILES.

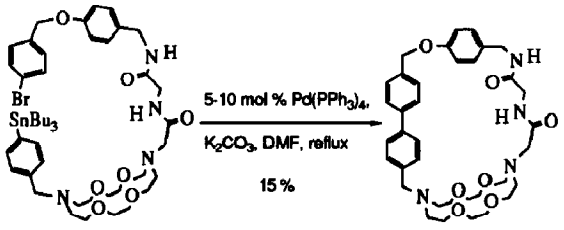
Martín Avalos, Reyes Babiano, Carlos J. Durán, José L. Jiménez, and Juan C. Palacios.
Departamento de Química Orgánica. Facultad de Ciencias. Universidad de Extremadura. 06071-Badajoz, Spain



Tetrahedron Letters, **1994**, *35*, 481

SYNTHESIS OF AN UNNATURAL PRODUCT -- 4,4' BIARYL FORMATION AS A MACROCYCLISATION STEP

Hitesh K Patel,[a] Jeremy D Kilburn,[a*] G John Langley,[a] Peter D Edwards,[b] Tim Mitchell[b] and Robert J Southgate[b]
a Department of Chemistry, University of Southampton, Southampton, SO9 5NH, UK
b SmithKline Beecham Pharmaceuticals, Brockham Park, Betchworth, Surrey, RH3 7AJ, UK

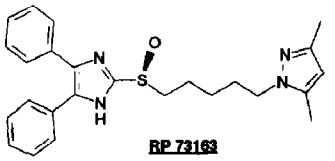


Tetrahedron Letters, **1994**, *35*, 485

LARGE SCALE ASYMMETRIC SYNTHESIS OF A BIOLOGICALLY ACTIVE SULFOXIDE

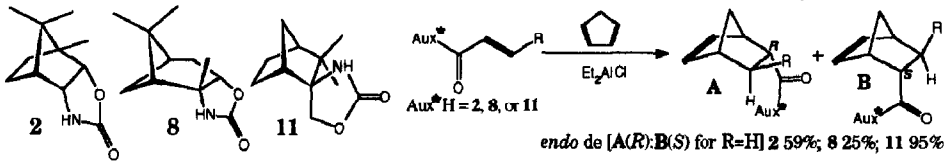
Philippe Pitchen*, Christopher J. France, Ian M. McFarlane, Christopher G. Newton, David M. Thompson
Rhône-Poulenc Rorer Ltd., Dagenham Research Centre, Rainham Road South, Dagenham, ESSEX RM10 7XS, UK.

The asymmetric synthesis of RP 73163 is described, based on the enantioselective oxidation of a suitably designed methyl sulfide, followed by α-alkylation of the resulting sulfoxide.



Tetrahedron Letters, **1994**, *35*, 489

EXPLOITING STERIC SHIELDING: TUNING TERPENOID-DERIVED OXAZOLIDIN-2-ONES AS CHIRAL AUXILIARIES FOR THE DIELS-ALDER REACTION Malcolm R. Banks, Alexander J. Blake, Angus R. Brown, Suneel Gaur, Ian Gosney, and Paul Thorburn, Department of Chemistry, The University of Edinburgh, West Mains Road, Edinburgh, EH9 3JJ, Scotland; J. I. G. Cadogan and Philip K. G. Hodgson, B.P. International Ltd., Research and Engineering Centre, Chertsey Road, Sunbury-on-Thames, Middlesex, TW16 7LN, England



endo de [A(*R*):B(*S*) for R=H] **2** 59%; **8** 25%; **11** 95%