

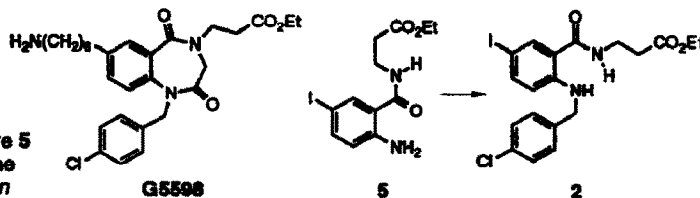
GRAPHICAL ABSTRACTS

Tetrahedron Letters, 1994, 35, 2113

Mono-N-Alkylation Of Anthranilamides via Quinazolones. An Efficient Synthesis of G5598, A Benzodiazepine Dione GpIIb/IIIa Antagonist

Robert R. Webb, II,* Peter L. Barker, Mark Baier, Mark E. Reynolds, Kirk D. Robarge, Brent K. Blackburn, Maureen H. Tischler and Kenneth J. Weese, Genentech, Inc., 460 Pt. San Bruno Blvd., South San Francisco, CA 94080

The mono-N-alkylation of anthranilamide derivative 5 to give 2 enables the synthesis of benzodiazepine dione derivative G5598, a potent inhibitor of the *in vitro* binding of GpIIb/IIIa to fibrinogen.

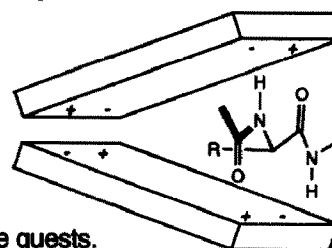


Tetrahedron Letters, 1994, 35, 2117

Synthesis and Properties of A₄B₆ Cyclooligomeric Receptors.

Seung Soo Yoon and W. Clark Still
Department of Chemistry, Columbia University,
New York, NY 10027

To investigate a design for cyclooligomeric receptors, we prepared new macrotricyclic receptors by a single step self-assembly from trimestic acid chloride and acyclic diamines. These A₄B₆ receptors display highly selective binding of peptide guests.



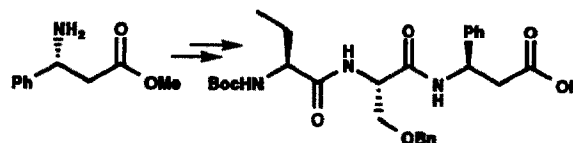
Tetrahedron Letters, 1994, 35, 2121

Approaches Toward the Total Syntheses of Astins A, B, and C

Jianjun Jiang, Kelly K. Schumacher and Madeleine M. Joullie*
Department of Chemistry, University of Pennsylvania
Philadelphia, PA 19104-6323

Franklin A. Davis* and Rajarathnam E. Reddy
Department of Chemistry, Drexel University
Philadelphia, PA 19104

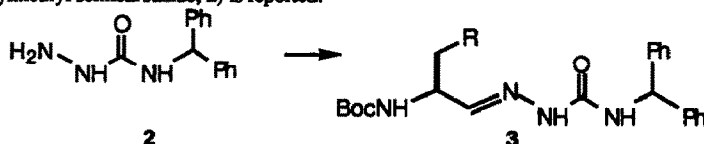
Two nonessential amino acids, (+)-(-)-2-aminobutanoic acid and the methyl ester of L-β-phenylalanine [(+)-(-)-3-amino-3-phenyl propanoic acid], were synthesized to provide a tripeptide which will be used in the total syntheses of astins A, B, and C.



Tetrahedron Letters, 1994, 35, 2125

IMPROVED SYNTHESIS OF ARGININE PEPTIDE ALDEHYDES.

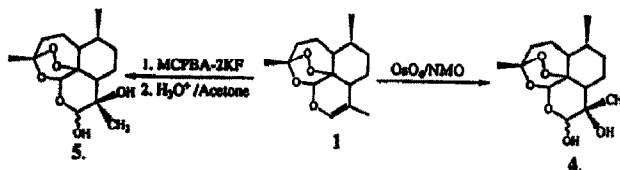
Raymond Dagnino, Jr.† and Thomas R. Webb*†, Corvas International,
Department of Medicinal Chemistry, 3030 Science Park Rd., San Diego, California, 92121 USA.
An improved method for the synthesis of peptide argininals, by the use of a new aldehyde protecting group (diphenylmethyl semicarbazide, 2) is reported.



STERESELECTIVE OXIDATIONS OF A β -METHYLGLYCAL, ANHYDRODIHYDRO-ARTEMISININ

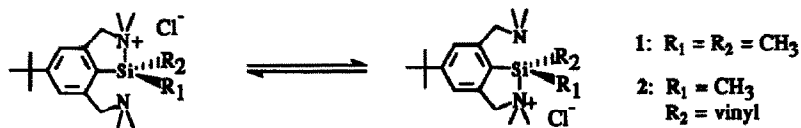
Yu-Ming Pu, Boris Yagen and Herman Ziffer*, National Institutes of Health, Bldg. 5, Rm. B1-31, Bethesda, MD 20892, USA.

Compound 1 was converted stereoselectively into 4 and 5 with the reagents shown.



LOW TEMPERATURE NMR EVIDENCE SHOWS THE ELUSIVE SILICONIUM ION IS A FURTHER EXAMPLE OF TAUTOMERIC EQUILIBRIUM. Vladimir A. Benin, J. C. Martin and M. Robert Willcott*, Department of Chemistry, Vanderbilt University, Nashville, TN 37235 USA

Low temperature NMR evidence for the structure of new compounds with a potentially pentacoordinated central silicon atom.



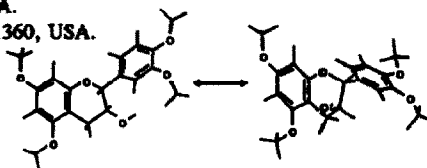
PREDICTING HETEROCYCLIC RING COUPLING CONSTANTS THROUGH A CONFORMATIONAL SEARCH OF TETRA-O-METHYL-(+)-CATECHIN

FRED L. TOBIASON* AND RICHARD W. HEMINGWAY^b

^aDepartment of Chemistry, Pacific Lutheran University, Tacoma, WA 98447, USA.

^bSouthern Forest Experiment Station, 2500 Shreveport Highway, Pineville, LA 71360, USA.

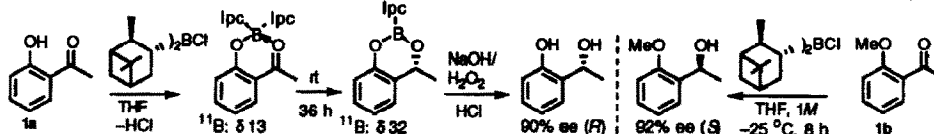
A GMMX conformational search routine gives a family of conformations that reflect the Boltzmann-averaged heterocyclic ring conformation as evidenced by accurate prediction of all three coupling constants observed for tetra-*O*-methyl-(+)-catechin.



A REMARKABLE INVERSION IN CONFIGURATION OF THE PRODUCT ALCOHOLS FROM THE ASYMMETRIC REDUCTION OF *ORTHO*-HYDROXYACETOPHENONES WITH *B*-CHLORODIISOPINOCAMPHEYLBORANE. P. Veeraraghavan Ramachandran, Baoqing Gong and Herbert C. Brown*

H. C. Brown and R. B. Wetherill Laboratories of Chemistry, Purdue University, West Lafayette, IN 47907-1393

Asymmetric reduction of *o*-hydroxyacetophenones with *B*-chlorodiisopinocampheylborane provides product alcohols with the opposite configuration compared to those produced in the reduction of the corresponding *o*-methoxyacetophenones.

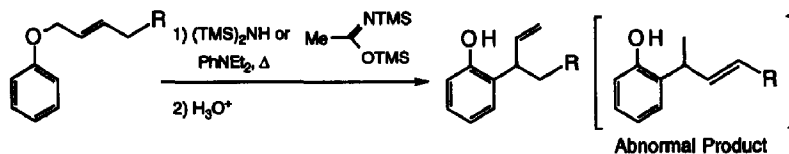


Use of 1,1,1,3,3,3-Hexamethyldisilazane and *N,O*-Bis(trimethylsilyl)acetamide in Aromatic Claisen Rearrangement: An Efficient Method for Preventing Abnormal Claisen Rearrangement.

Tetrahedron Letters, 1994, 35, 2145

Tohru Fukuyama,* Tangqing Li, and Ge Peng, Department of Chemistry, Rice University, Houston, TX 77251.

Both 1,1,1,3,3,3-hexamethyldisilazane and *N,O*-bis-(trimethylsilyl)acetamide have been shown to suppress the formation of abnormal aromatic Claisen rearrangement products by efficiently trapping the incipient normal products as their silyl ethers under mild conditions.

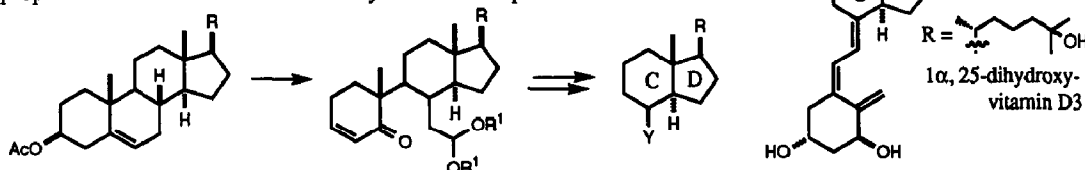


Photochemical Synthesis of C/D-Ring Synthons of Vitamin D

Tetrahedron Letters, 1994, 35, 2149

William G. Dauben,* Richard R. Ollmann, Jr., Shung C. Wu
Department of Chemistry, University of California, Berkeley, California 94720

Beginning with a steroid-5-ene, C/D-ring synthons of vitamin D are readily prepared via ozonolysis followed by a Norrish II photoelimination reaction

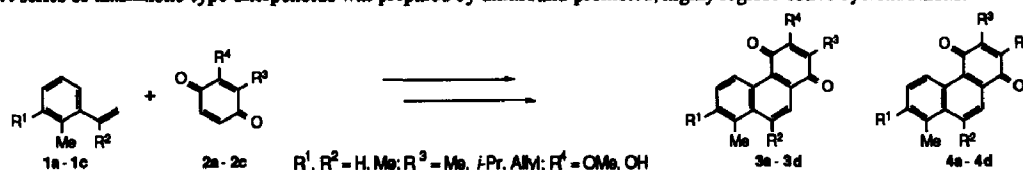


Ultrasound-Promoted Synthesis of Substituted Phenanthrene-1,4-quinones; The Structure of Plecranthon D.

Tetrahedron Letters, 1994, 35, 2153

Zhao-rong Zhang, Felix Flachsmann, Firouz Matloubi Moghaddam*, and Peter Rüedi*.
*Organisch-chemisches Institut der Universität Zürich, Winterthurerstrasse 190, CH-8057 Zürich, Switzerland; *Department of Chemistry, Sharif University of Technology, P.O. Box 11365-9516, Tehran, Iran.*

A series of tanshinone-type diterpenoids was prepared by ultrasound-promoted, highly regioselective cycloadditions.



IMIDAZOLE DERIVATIVES, PART IX.

Tetrahedron Letters, 1994, 35, 2157

SELECTIVE REACTIONS OF FUNCTIONALIZED IMIDAZO[1,2-a]PYRIDINES:

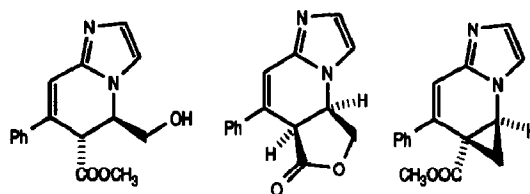
STEREOSPECIFIC SYNTHESIS OF 5,6-DIHYDROIMIDAZO[1,2-a]PYRIDINES

H.-J. Knölker* and R. Hitzemann

Institut für Organische Chemie, Universität Karlsruhe

Richard-Willstätter-Allee, 76131 Karlsruhe, Germany

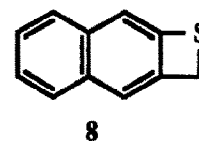
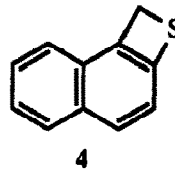
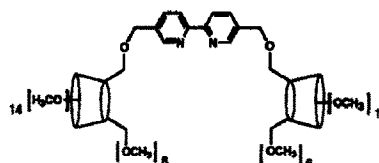
Chemo-, regio-, and stereoselective reactions of functionalized imidazo[1,2-a]pyridines are reported.



1H-Naphtho[2,1-b]thiete and 2H-Naphtho[2,3-b]thiete*Tetrahedron Letters, 1994, 35, 2161***- Synthesis and Reactivity**

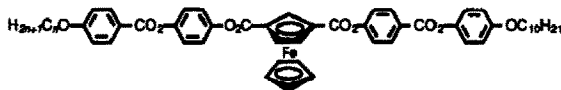
Axel Mayer and Herbert Meier*, Institute of Organic Chemistry, University of Mainz, D-55099 Mainz, Germany

The title compounds **4** and **8** were prepared by flash vacuum pyrolysis of the corresponding hydroxymethylthio-naphthols. Whereas the opening of the 4-membered ring in **4** can be achieved above 80 °C, **8** is thermally much more stable. The ring opening in **8** is performed by irradiation. The generated valence isomeric thionaphthoquinonemethides are highly reactive components for cyclo-addition reactions with (hetero)dienophiles (**9**, **10**, **11**, **12**).

**BIPYRIDINE-COUPLED PERMETHYLATED β-CYCLODEXTRIN***Tetrahedron Letters, 1994, 35, 2165*Robert Deschenaux,^{a*} Alain Greppi,^a Thomas Ruch,^a Hans-Peter Kriemler,^b Fritz Raschdorf^b and Raymond Ziessel.^c^aUniversité de Neuchâtel, Institut de Chimie, Av. de Bellevaux 51, 2000 Neuchâtel, Switzerland.^bResearch Services Physics Department, Spectroscopy, Ciba-Geigy Ltd, 4002 Basel, Switzerland.^cEcole Européenne des Hautes Etudes des Industries Chimiques de Strasbourg, 1 rue Blaise Pascal, 67008 Strasbourg, France.**UNSYMMETRICALLY 1,3-DISUBSTITUTED FERROCENE-CONTAINING THERMOTROPIC LIQUID CRYSTALS: A NEW FAMILY OF CHIRAL METALLOMESOGENS.***Tetrahedron Letters, 1994, 35, 2169*

Robert Deschenaux,* and Julio Santiago.

Université de Neuchâtel, Institut de Chimie, Av. de Bellevaux 51, 2000 Neuchâtel, Switzerland.



The synthesis and liquid crystal properties of the title compounds are presented.

Synthesis of an Artificial Phosphate Bio-isostere of Glucotropaeolin*Tetrahedron Letters, 1994, 35, 2173*

Saïd Lazar and Patrick Rollin*

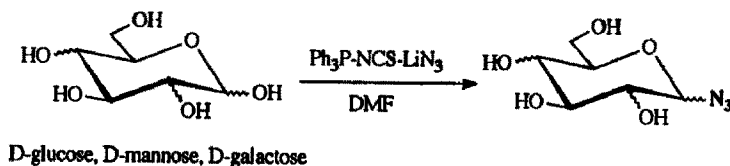
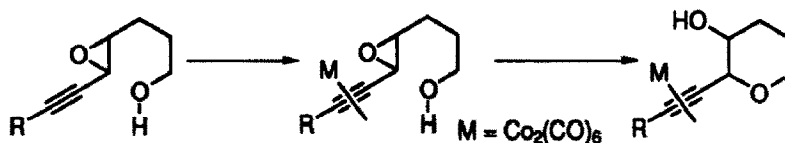
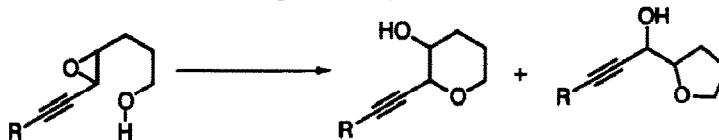
Laboratoire de Chimie Bioorganique et Analytique, associé au CNRS, Université d'Orléans, BP. 6759, 45067 Cedex 2, France.

A synthetic sequence was devised to produce phospho-glucotropaeolin **6**, the first representative of phosphate bio-isosteres of naturally-occurring glucosinolates with a view to enzymatic studies.



SYNTHESE DIRECTE D'AZOTURES DE GLYCOSYLE.

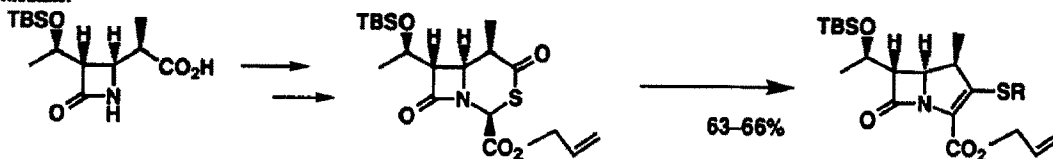
Moulay-Larbi Larabi, Catherine Fréchet et Gilles Demailly*

Laboratoire de Chimie Organique, Groupe de Valorisation des Glucides, Faculté des Sciences, 33 rue Saint Leu
80039 Amiens (France). Fax: (33) 22 82 75 76*Tetrahedron Letters, 1994, 35, 2175*Azidation of some unprotected aldoses with $\text{Ph}_3\text{P-N-chlorosuccinimide-LiN}_3$ in DMF leads regioselectively to glycosyl azides; 1,2-trans compounds are obtained stereoselectively**REGIOSELECTIVE AND STEREOSPECIFIC FORMATION OF 2-ETHYNYL-3-HYDROXYTETRAHYDROPYRAN DERIVATIVES VIA 6-ENDO RING CLOSURE**Chisato Mukai, Yoshitaka Ikeda, Yu-ichi Sugimoto, and Miyoji Hanaoka
Faculty of Pharmaceutical Sciences, Kanazawa University, Kanazawa 920, Japan*Tetrahedron Letters, 1994, 35, 2179***AN ALTERNATIVE PROCEDURE FOR THE STEREORELECTIVE FORMATION OF TETRAHYDROPYRAN DERIVATIVES VIA 6-ENDO RING CLOSURE**Chisato Mukai, Yu-ichi Sugimoto, Yoshitaka Ikeda, and Miyoji Hanaoka
Faculty of Pharmaceutical Sciences, Kanazawa University, Kanazawa 920, Japan. The mode of ring closure was found to depend on the property of terminal substituent on the triple bond of 4,5-epoxy-6-heptyn-1-ols. Electron-donating substituents favored the 6-endo mode over the 5-exo mode, whereas 5-exo products were predominantly formed when R was electron-deficient group.*Tetrahedron Letters, 1994, 35, 2183***A NEW SYNTHETIC METHOD OF 1β-METHYLCARBAPENEMS UTILIZING THE ESCHENMOSER SULFIDE CONTRACTION**

Osamu Sakurai, Tsuyoshi Ogiku, Masami Takahashi, Hiroshi Horikawa,* and Tameo Iwasaki, Department of Synthetic Chemistry, Research Laboratory of Applied Biochemistry, Tanabe Seiyaku Co., Ltd., 3-16-89, Kashima, Yodogawa, Osaka 532, Japan

Tetrahedron Letters, 1994, 35, 2187

1β-Methylcarbapenems were synthesized using the sulfide contraction as a key step in a one-pot procedure from the novel thiazinone intermediate.

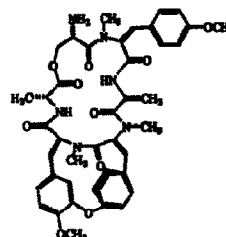


**RA-III LACTONE, A 19-MEMBERED RING ANALOGUE OF RAS,
ANTITUMOR CYCLIC HEXAPEPTIDE.**

Tetrahedron Letters, 1994, 35, 2191

Yukio Hitotsuyanagi, Kazuyuki Kondo, Koichi Takaya, and Hideji Itokawa*

Department of Pharmacognosy, Tokyo College of Pharmacy,
Horinouchi 1432-1, Hachioji, Tokyo 192-03, Japan



**AN EFFICIENT DEOXYGENATION OF 1-ALKENYL OR ALKYL PHENYL SULFOXIDES
TO THE CORRESPONDING SULFIDES MEDIATED BY MAGNESIUM IN ALCOHOL**

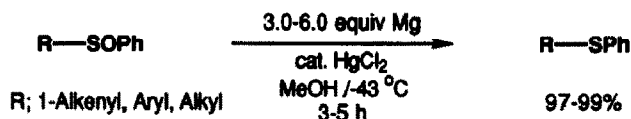
Tetrahedron Letters, 1994, 35, 2195

Ge Hyeong Lee, Eun Bok Choi, Eun Lee*[†], and Chwang Siek Pak*

Korea Research Institute of Chemical Technology, Daedeog Danji, P. O. Box 9, Daejeon, Korea

[†]Department of Chemistry, College of Natural Sciences, Seoul National University, 151-742, Seoul, Korea

Reactions of alkyl, 1-alkenyl, and aryl phenyl sulfoxides with magnesium in methanol give the corresponding sulfides.

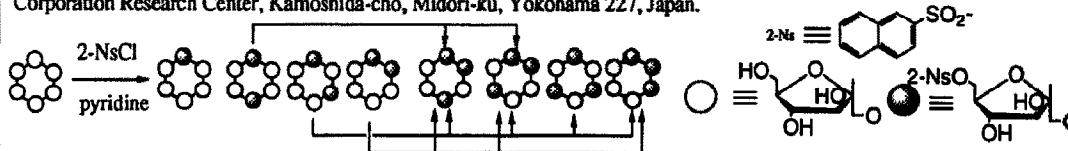


**6-O-SULFONATES OF CYCLOINULOHEXAOSE
(CYCLOFRUCTAN-6)**

Tetrahedron Letters, 1994, 35, 2197

Kahee Fujita*^a, Masato Atsumi,^a Kazuko Ohta,^a and Naoshi Imaki^b

^aFaculty of Pharmaceutical Sciences, Nagasaki University, Bunkyo-machi, Nagasaki 852, Japan and ^bMitsubishi Kasei Corporation Research Center, Kamoshida-cho, Midori-ku, Yokohama 227, Japan.



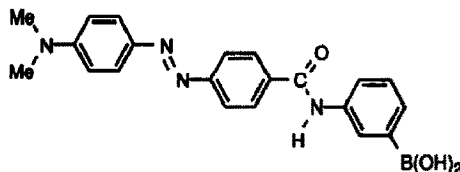
Attempts to Change the Color of Dye Molecules by Saccharides

Tetrahedron Letters, 1994, 35, 2201

Takeshi Nagasaki, Hideyuki Shimori, and Seiji Shinkai*

Department of Organic Synthesis, Faculty of Engineering, Kyushu University, Fukuoka 812, Japan

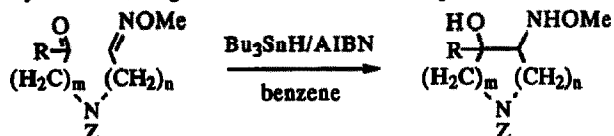
Azobenzene derivatives bearing a phenylboronic acid moiety changed their colors in response to added saccharides.



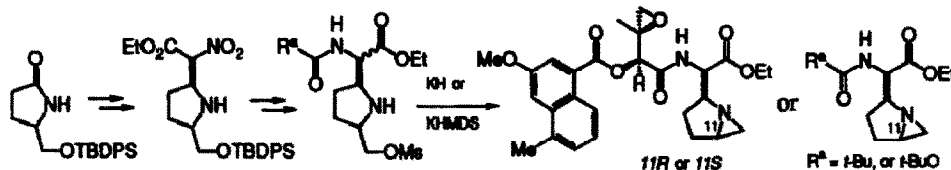
Radical Cyclizations of Oxime Ethers Connected with Aldehydes or Ketones : A New Entry to Cyclic Amino Alcohols

Takeaki Naito,* Kazumi Tajiri, Takako Harimoto, Ichiya Ninomiya, and Toshiko Kiguchi
Kobe Women's College of Pharmacy, Motoyamakita, Higashinada, Kobe 658, Japan

Radical cyclizations of oxime ethers connected with aldehydes or ketones proceeded smoothly to give the cyclic amino alcohols.



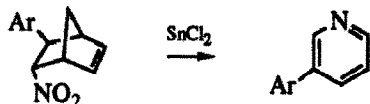
Synthesis, Chemical Property, and Cytotoxicity of the Carzinophilin Congeners Carrying a 2-(1-Acylamino-1-alkoxycarbonyl)methylidene-1-azabicyclo[3.1.0]hexane System
Masaru Hashimoto, Miyoko Matsumoto, Kaoru Yamada, and Shiro Terashima*
Sagami Chemical Research Center, Nishi-Ohnuma, Sagamihara, Kanagawa 229, Japan



REDUCTIVE REARRANGEMENT OF 5-NITROBICYCLO-[2.2.1]HEPT-2-ENES. FORMATION OF 3-ARYLPYRIDINES.

Tse-Lok Ho* and Po-Yau Liao, Department of Chemistry, National Taiwan University, Taipei;
Department of Applied Chemistry, National Chiao Tung University, Hsinchu, TAIWAN

6-Aryl-5-nitrobicyclo[2.2.1]hept-2-enes undergo deoxygenative rearrangement on treatment with stannous chloride to give 3-arylpiperidines.

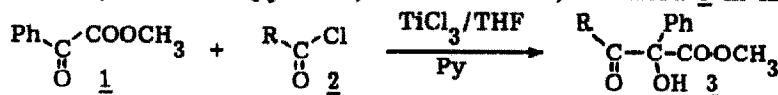


EFFICIENT SYNTHESIS OF α -HYDROXY- β -KETOESTERS FROM METHYL PHENYLGLYOXALATE AND ACID CHLORIDES MEDIATED BY TITANIUM TRICHLORIDE

Silvia Araneo, Angelo Clerici and Ombretta Porta*

Dipartimento di Chimica del Politecnico, Via Mancinelli 7, 20131 Milano, Italy

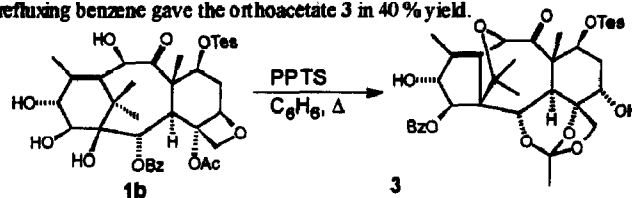
Titanium trichloride, in THF/ CH_2Cl_2 , promotes rapid condensation reactions of **1** with **2** in the presence of pyridine, as an additive, to afford **3** in high yields.



A NEW REARRANGEMENT OF OXETANE-TYPE TAXOIDS

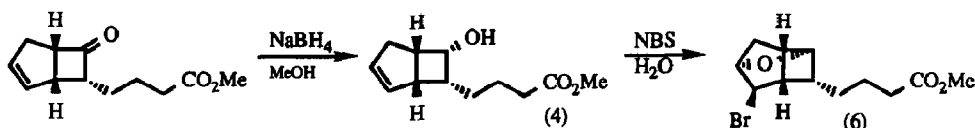
Giovanni Appendino,* Marcella Varese, Dip. Scienza e Tecnologia del Farmaco, Torino, Italy, Pierluigi Gariboldi, Dip. Scienze Chimiche, Camerino, Italy and Bruno Gabetta, Indena S.p.A., Milano, Italy.

Treatment of 1b with cat. PPTS in refluxing benzene gave the orthoacetate 3 in 40% yield.

FORMATION OF THE OXATRICYCLO[3.2.1.0^{3,6}]OCTANE RING SYSTEM VIA AN INTRAMOLECULAR BROMOETHERIFICATION.

D. C. Horwell, A. I. Morrell* and E. Roberts, Parke-Davis Neuroscience Research Centre, Addenbrookes Hospital Site, Hills Road, Cambridge, CB2 2QB, UK.

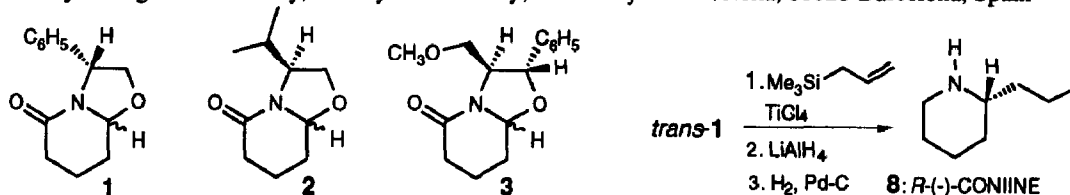
The treatment of (4) with aqueous NBS leads to the formation of the tricyclic compound (6).



Chiral Precursors for the Synthesis of Enantiomerically Pure Piperidines. Total Synthesis of (R)-(-)-Coniine.

Mercedes Amat, Núria Llor, and Joan Bosch

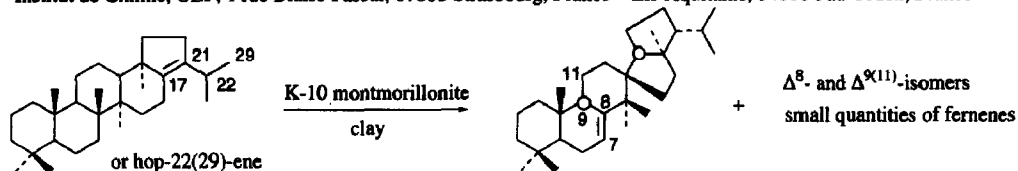
Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, 08028-Barcelona, Spain



SPIRO-TRITERPENES FROM CLAY-CATALYSED REARRANGEMENT OF HOPENES: NMR STRUCTURAL ELUCIDATION AND OCCURRENCE IN A RECENT SEDIMENT

V r na Hauke,¹ Jean M. Trendel,¹ Pierre Albrecht¹ and J. Connan²

¹Institut de Chimie, ULP, 1 rue Blaise Pascal, 67000 Strasbourg, France ²Elf-Aquitaine, 64018 Pau Cedex, France

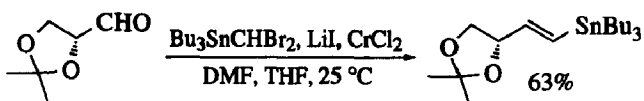


CHEMOSELECTIVITY IN THE CHROMIUM(II)-MEDIATED SYNTHESIS OF *E*-ALKENYLSTANNANES FROM ALDEHYDES AND $\text{Bu}_3\text{SnCHBr}_2$

David M. Hodgson,^{a,b} Lee T. Boulton^a and Graham N. Maw^b

^a Department of Chemistry, University of Reading, Whiteknights, PO Box 224, Reading RG6 2AD, U.K.

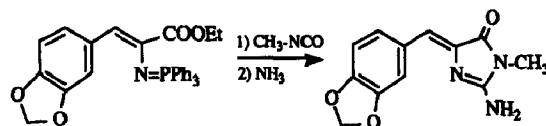
^b Pfizer Central Research, Ramsgate Road, Sandwich, Kent, CT13 9NJ, U.K.



AN IMINOPHOSPHORANE-MEDIATED EFFICIENT SYNTHESIS OF THE ALKALOID LEUCETTAMINE B OF MARINE ORIGIN.

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

A four-step synthesis of the alkaloid Leucettamine B in an overall yield of 50% is described. The Key step, formation of the 2-aminoimidazole ring, involves a tandem aza Wittig/carbodiimide-mediated annulation process.

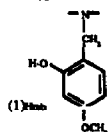


Reversible Modification of the Acid Labile 2-Hydroxy-4-methoxybenzyl (Hmb) Amide Protecting Group: A simple scheme yielding Backbone Substituted Free Peptides

Martin Quibell, William G. Turnell and Tony Johnson*

Laboratory of Molecular Biology, Medical Research Council, Hills Road, Cambridge, CB2 2QH, UK.

Acetylation of the trifluoroacetic acid labile 2-hydroxy-4-methoxybenzyl backbone amide protecting group (1) gave a dramatic increase in acid stability. Acid lability was easily restored by piperidine mediated de-O-acetylation. Backbone substituted peptides (2,3) showed enhanced solubility in various solvents.



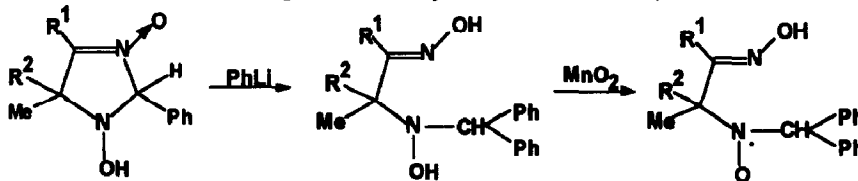
(2) H.LMV(Hmb)GGVVIA-OH

(3) Fmoc-LMV(Hmb)GGVVIA-OH

STABLE NITROXIDES WITH HYDROGEN AT α -CARBON OF THE NITROXYL GROUP. Vladimir A. Reznikov*, Leonid

B. Volodarsky

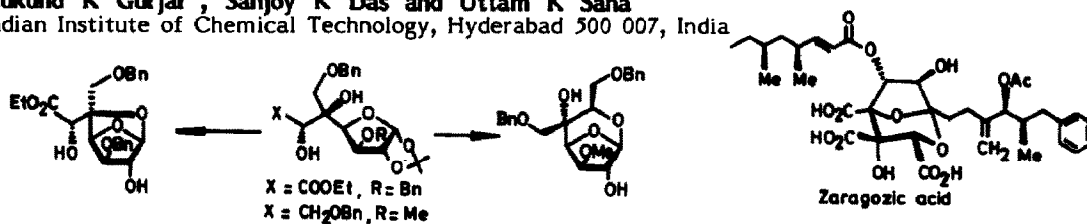
Novosibirsk Institute of Organic Chemistry 630090 Novosibirsk, Russia



**ZARAGOZIC ACID A : INTERESTING OBSERVATIONS
IN ANHYDRO-RING FORMATION OF DENSELY FUNC-
TIONALISED CARBOHYDRATE TEMPLATES**

Tetrahedron Letters, 1994, 35, 2241

Mukund K Gurjar*, Sanjoy K Das and Uttam K Saha
Indian Institute of Chemical Technology, Hyderabad 500 007, India

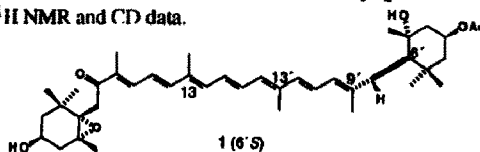


**ISOLATION AND CHARACTERISATION OF FOUR ALLENIC
(6'S)-ISOMERS OF FUCOXANTHIN**

Tetrahedron Letters, 1994, 35, 2245

Jarle André Haugan and Synnøve Liaacn-Jensen
Organic Chemistry Laboratories, University of Trondheim-NTNU, N-7034 Trondheim, Norway

Four allenic (6'S)-isomers: all-*trans* (1), 9'-*cis*, 13'-*cis* and 13-*cis* were obtained by I₂-cat. stereomutation (strong light, benzene) of natural (6'R)-fucoxanthin; HPLC, VIS, ¹H NMR and CD data.



**MANDAPAMATE, A DITERPENOID FROM THE SOFT CORAL
SINULARIA DISSECTA**

Tetrahedron Letters, 1994, 35, 2249

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California 92093-0212, USA.

Mandapamate (3), is a diterpene with an unusual carbon skeleton from the coral *Sinularia dissecta*. The structure was characterized by the study of extensive NMR experiments, and was supported by mechanistic hypothesis for its confirmation and molecular modelling.

