

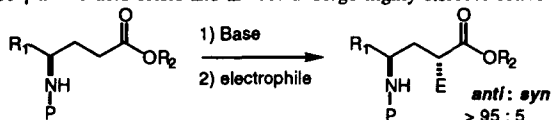
GRAPHICAL ABSTRACTS

Tetrahedron Letters, 1997, 38, 163

1,3-ASYMMETRIC INDUCTION IN ENOLATE ALKYLATION REACTIONS OF N-PROTECTED γ -AMINO ACID DERIVATIVES

Stephen Hanessian* and Robert Schaum, *Department of Chemistry, Université de Montréal, C.P. 6128, Succursale Centre-ville, Montréal, Québec. H3C 3J7, Canada*

Dianions of N-TFA and N-Boc γ -amino acid esters and amides undergo highly stereoselective α -alkylation reactions.

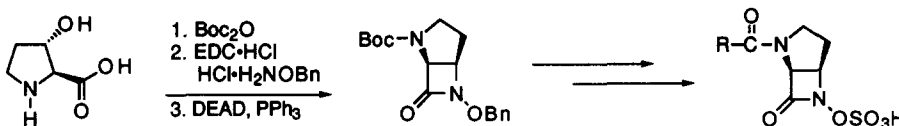


Tetrahedron Letters, 1997, 38, 167

A SHORT SYNTHESIS OF AN IMPORTANT PRECURSOR TO A NEW CLASS OF BICYCLIC β -LACTAMASE INHIBITORS. John R. Belletini and

Marvin J. Miller,* *Department of Chemistry and Biochemistry, University of Notre Dame, Notre Dame, IN 46556, USA.*

The synthesis of a precursor to known bicyclic β -lactamase inhibitors was accomplished in three steps from *trans*-3-hydroxy-L-proline.

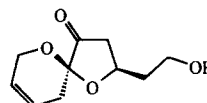


Tetrahedron Letters, 1997, 38, 169

LISSOKETAL, A SPIROKETAL FROM THE PALAUAN ASCIDIAN *LISSOCLINUM VOELTZKOWI*

Cordula Hopmann and D. John Faulkner
Scripps Institution of Oceanography, University of California at San Diego
La Jolla, California, 92093-0212, USA

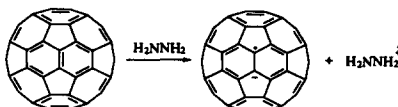
Specimens of *Lissoclinum voeltzkowi* from Palau contained lissoketal, which was identified by analysis of spectral data.



Tetrahedron Letters, 1997, 38, 171

REDUCTION OF C₆₀ USING ANHYDROUS HYDRAZINE

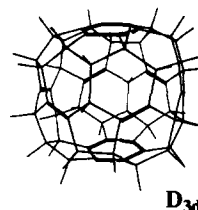
W. E. Billups,* Weimei Luo, Alexander Gonzalez, David Arguello, Lawrence B. Alemany and Terry Marriott, *Department of Chemistry, Rice University, Houston, TX 77251 USA* Martin Saunders,* Hugo A. Jiménez-Vázquez and Anthony Khong, *Department of Chemistry, Yale University, New Haven, CT 06520 USA*



³HE NMR SPECTRA OF HIGHLY REDUCED C₆₀

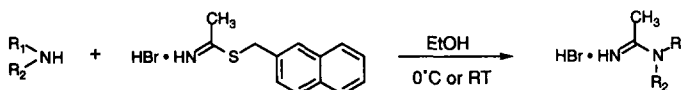
W. E. Billups,* Alexander Gonzalez, Christoph Gesenberg, Weimei Luo, Terry Marriott and Lawrence B. Alemany, Department of Chemistry, Rice University, Houston, TX 77251 USA Martin Saunders,* Hugo A. Jiménez-Vázquez and Anthony Khong, Department of Chemistry, Yale University, New Haven, CT 06520 USA

Two signals were observed in the ³He NMR spectrum of ³He@C₆₀H₃₆. The major signal corresponds with the ³He chemical shift calculated for a structure with D_{3d}' symmetry.

**S-2-NAPHTHYLMETHYL THIOACETIMIDATE HYDROBROMIDE:****A NEW ODORLESS REAGENT FOR THE MILD SYNTHESIS OF**

SUBSTITUTED ACETAMIDINES Barry G. Shearer,* Jeffrey A. Oplinger and Shuliang Lee, Division of Medicinal Chemistry, Glaxo Wellcome, Five Moore Drive, Research Triangle Park, NC, 27709

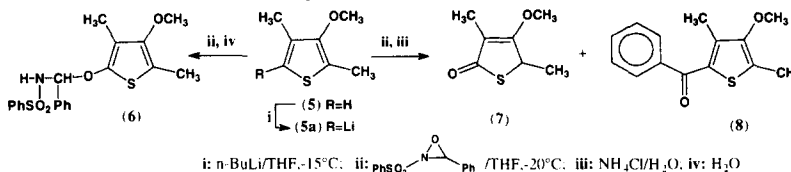
The synthesis and use of S-2-naphthylmethyl thioacetimidate hydrobromide as a highly reactive reagent for the efficient conversion of amines to substituted acetamides in a nonodorous process is described.

**Thiophene Ring Hydroxylation: A Novel Application of *trans*-(±)-2-(Phenylsulfonyl)-3-Phenyloxaziridine.**

Raymundo Cruz-Almanza,* Teresa Hernández-Quiroz, Leonardo J. Breña-Valle, and Francisco Pérez-Flores. Instituto de Química, UNAM, Circuito Exterior, Ciudad Universitaria, Coyoacán, 04510, México, D.F.

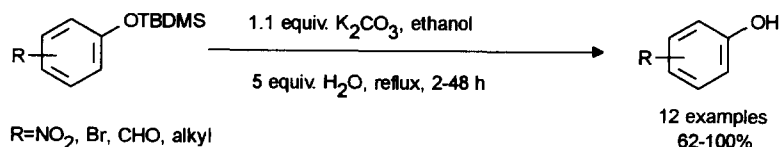
Hydroxylation of thiophene **5** produces thiolactone **7**.

Isolation of **6** supports favored mechanism while **8** indicates attack of **5a** at the ring carbon of the oxaziridine.

**MILD BASE MEDIATED DESILYLATION OF VARIOUS PHENOLIC SILYL ETHERS**

Noel S. Wilson and Brian A. Keay*

Department of Chemistry, University of Calgary, Calgary, Alberta, Canada, T2N 1N4

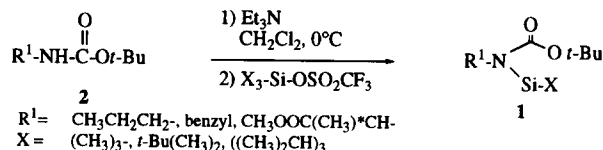


Protection of a Protecting Group: Preparation of Stable *N*-Silylated *t*-Butyl Carbamates

Johanne Roby and Normand Voyer*

Département de chimie, Université de Sherbrooke, Sherbrooke, QC, Canada J1K 2R1

Treatment of *N*-*t*-Boc-protected primary amines (**2**) with a silyl triflate leads to stable *N*-silylated carbamate (**1**) in 89-98% yields.

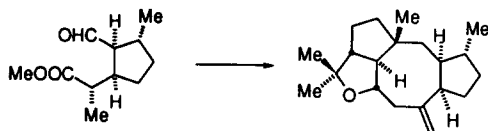


HIGHLY ENANTIOSELECTIVE TOTAL SYNTHESIS OF NATURAL EPOXYDICTYMENE. AN ALKOXY-DIRECTED CYCLIZATION ROUTE TO HIGHLY STRAINED *trans*-OXABICYCLO[3.3.0]OCTANES

Leo A. Paquette,* Li-Qiang Sun, Dirk Friedrich, and Paul B. Savage

Evans Chemical Laboratories, The Ohio State University, Columbus, Ohio 43210

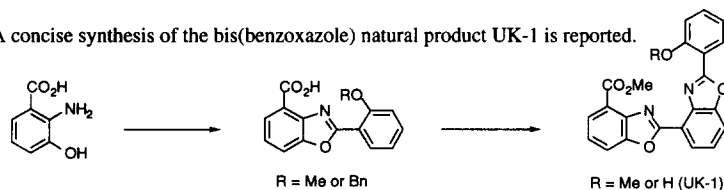
An enantioselective synthesis of (+)-epoxydictymene is reported.



THE TOTAL SYNTHESIS OF UK-1.

Mark R. DeLuca and Sean M. Kerwin*, Division of Medicinal Chemistry, College of Pharmacy, The University of Texas at Austin, Austin, Texas, 78712

A concise synthesis of the bis(benzoxazole) natural product UK-1 is reported.

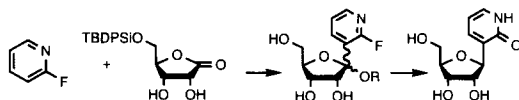


SYNTHESIS OF 3-(β -D-RIBOFURANOSYL)-2-FLUOROPYRIDINE AND 3-(β -D-RIBOFURANOSYL)-PYRIDIN-2-ONE

Jasenska Matulic-Adamic and Leonid Beigelman,

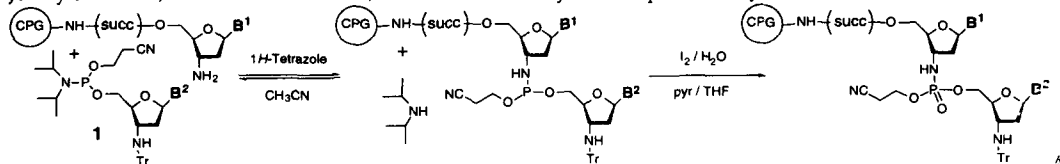
Department of Organic Chemistry, Ribozyme Pharmaceuticals Inc., Boulder, CO 80301

Several approaches toward synthesis of 3-(β -D-ribofuranosyl)pyridinone from 2-fluoropyridine and suitably protected D-ribo-1,4-lactone were investigated. The successful approach utilized reductive opening of furanose ring followed by intramolecular Mitsunobu cyclisation.



AN IMPROVED METHOD FOR THE SYNTHESIS OF N3'→P5'**PHOSPHORAMIDATE OLIGONUCLEOTIDES.** Sarah N. McCurdy,

Jeffrey S. Nelson, Bernard L. Hirschbein, Karen L. Fearon,* Lynx Therapeutics, Hayward CA 94545

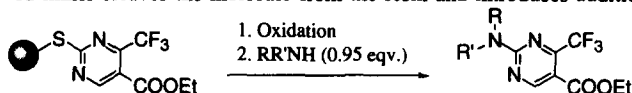


new method for the synthesis of N3'→P5' phosphoramidate oligonucleotides is demonstrated.

Traceless Linker: Oxidative Activation and Displacement**of a Sulfur-Based Linker.** Leah M. Gayo and Mark J. Suto*,

Department of Medicinal Chemistry, Signal Pharmaceuticals, Inc., 5555 Oberlin Drive, San Diego, CA 92121 USA.

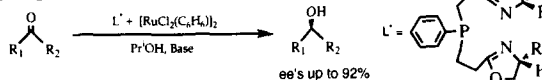
Described is a "traceless" linker useful for the combinatorial synthesis of heterocycles. The linker is inert until "activated" by oxidation, but as the sulfide, stable to a number of reaction conditions. Addition of a nucleophile (0.95 eqv.) to the activated linker cleaves the molecule from the resin and introduces additional diversity.

**Highly Effective NPN-type Tridentate Ligands for Asymmetric Transfer Hydrogenation of Ketones**

Yutong Jiang, Qiongzong Jiang, Guoxin Zhu and Xumu Zhang*

Department of Chemistry, The Pennsylvania State University, University Park, PA 16802

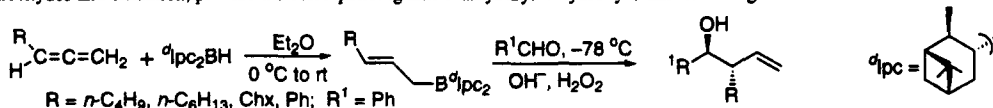
New chiral NPN-type tridentate ligands containing two oxazoline rings and one phosphine have been synthesized, and their Ru(II) complexes show high reactivity and enantioselectivity in transfer hydrogenations of both aryl alkyl and dialkyl ketones.

**HYDROBORATION OF MONO-SUBSTITUTED ALLENES: A GENERAL SYNTHETIC ROUTE TO THE HIGHER CROTYLBORANES AND anti-3-ALKYL/ARYL-4-HYDROXY-1-ALKENES.**

Gowriswari Narla and Herbert C. Brown*,

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

An efficient method for the generation of [E]-higher crotylboranes was developed and these higher crotylboranes, on reaction with aldehydes and oxidation, provide the corresponding anti-3-alkyl/aryl-4-hydroxy-1-alkenes in high de and ee.



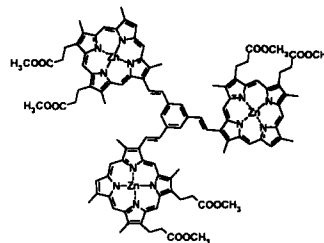
Tetrahedron Letters, 1997, 38, 223

Synthesis of Carbon-carbon Linked Porphyrinologomers by Utilization of Heck-type Coupling of Natural Tetrapyrroles

Rainer Gauler and Nikolaus Risch*

Fachbereich Chemie und Chemietechnik, der Universität-GH Paderborn
Warburger Str. 100, D-33098 Paderborn, Germany.

The Heck type cross-coupling reaction of zinc(II)-mono-bromodeuteroporphyrin-dimethylester and di- or trivinylbenzene provided C-C-linked di- and trimeric porphyrins in high yields.

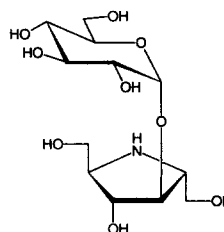


Tetrahedron Letters, 1997, 38, 225

SYNTHESIS OF A NOVEL α -GLUCOSIDE OF THE POWERFUL GLUCOSIDASE INHIBITOR 2,5-DIDEOXY-2,5-IMINO-D-MANNITOL VIA ENZYMATIC GLUCOSYLATION OF 5-AZIDO-5-DEOXY-D-FRUCTOPYRANOSE

Karl Dax, Michael Ebner, Roland Peinsipp, and Arnold E. Stütz*
Institut für Organische Chemie der Technischen Universität Graz, Stremayrgasse 16, A-8010 Graz, Austria

α -Glucosidases transfer glucopyranosyl moieties from maltose to O-4 of 5-azido-5-deoxy-D-fructopyranose. The disaccharide thus obtained by intramolecular reductive amination was readily transformed into the 3-O- α -D-glucopyranosyl derivative of 2,5-dideoxy-2,5-imino-D-mannitol.

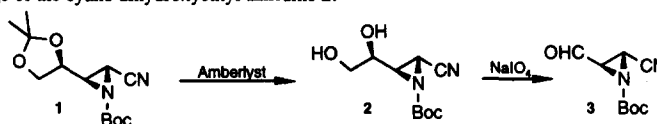


Tetrahedron Letters, 1997, 38, 227

Synthesis of *tert*-Butyl (2*R*,3*R*)-2-cyano-3-formyl-aziridine-1-carboxylate - A New Potential Building Block for Amino Alcohols and Polyamines.

Klaus Jähnisch, Institut für Angewandte Chemie Berlin-Adlershof e.V., Rudower Chaussee 5, D-12484 Berlin, Germany

The first 3-formyl-aziridine-2-carboxylic acid derivative **3** was prepared by hydrolysis of the acetonide protected aziridine **1** and subsequent glycol cleavage of the cyano dihydroxyethyl aziridine **2**.

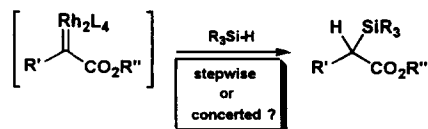


Tetrahedron Letters, 1997, 38, 229

MECHANISM OF METAL-CARBENOID INSERTION INTO THE Si-H BOND.

Yannick Landais,* Liliana Parra-Rapado, Denis Planchenault, Valéry Weber

Institut de Chimie Organique, Université de Lausanne, Collège Propédeutique, 1015 Lausanne-Dorigny, Switzerland.

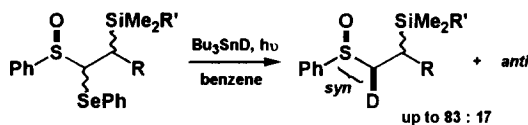


Kinetic investigations on the insertion of metal-carbenoid into the Si-H bond have been carried out. A kinetic isotope effect of 1.5 was found and the $\log k_X/k_H$ vs σ_p for the reaction of arylsilanes with EDA, gave a straight line with $\rho = -0.31$ ($R = 0.993$). This clearly indicates that the insertion proceeds through a concerted pathway with development of a partial positive charge at the silicon centre and a relatively early transition state.

RADICAL DEUTERATION OF α -SELENYLATED- β -SILYLSULFOXIDES.

Rémy Angelaud, Yannick Landais,*

Institut de Chimie Organique, Université de Lausanne, Collège Propédeutique, 1015 Lausanne-Dorigny, Switzerland.



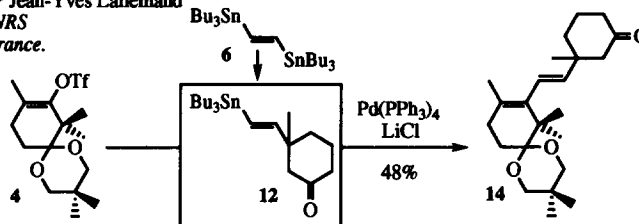
The deuteration of α -selenylated- β -silyl- and β -*tert*-butylsulfoxides led with good stereoselectivity to the formation of *syn* isomers irrespective of the stereochemistry of the sulfinyl precursors. Our results have been rationalized by transition state models which parallel the Felkin-Anh model.

(E)-Bis-1,2-(tributylstannyl)ethylene as a Staple in a Synthetic Approach to Taxol

Francette Delalogue, Joëlle Prunet, Ange Pancrazi,* Jean-Yves Lallemand

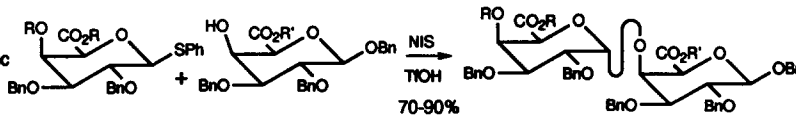
Laboratoire de Synthèse Organique associé au CNRS
DCSO, Ecole Polytechnique, 91128, Palaiseau, France.

In a synthetic approach to taxol, a higher order cuprate derived from **6** was added to a cyclohexenone to form vinyl stannane **12**, which was coupled under Stille conditions to afford *seco*-taxane **14**.

AN EFFICIENT AND HIGHLY STEREOSELECTIVE $\alpha(1 \rightarrow 4)$ GLYCOSYLATION BETWEEN TWO D-GALACTURONIC ACID ESTER DERIVATIVES

D. Magaud^a, C. Grandjean^a, A. Doutheau^a, D. Anker^{a*}, V. Shevchik^b, N. Cotte-Pattat^b, J. Robert-Baudouy^b, ^a Laboratoire de Chimie Organique and UMR-CNRS-5622. ^b Laboratoire de Génétique Moléculaire des Micro-organismes et des Interactions Cellulaires. UMR-CNRS-1577. I. N. S. A., 20 av. A. Einstein, 69621 Villeurbanne, France.

The title reaction was carried out using a phenyl-1-thioglycoside as donor and gave rise to D-galacturonic acid ester dimers in good yields. A *O*-protected trimer was obtained.



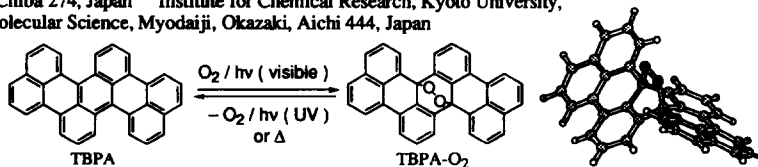
CRYSTAL STRUCTURE AND THERMODYNAMICAL BEHAVIOR OF

TETRABENZO[*de,hi,op,st*]PENTACENE ENDOPEROXIDE Akira Izuoka,

Tomohide Murase, Mitsuyosi Tsukada, Yuko Ito, Tadashi Sugawara*, Akira Uchida*,

Naoki Sato^b, Hiroo Inokuchi^c Graduate School of Arts and Sciences, Department of Pure and Applied Sciences,The University of Tokyo, Komaba, Meguro, Tokyo 153 Japan ^a Department of Biomolecular Science, Faculty of Science,Toho University, Miyama, Funabashi, Chiba 274, Japan ^b Institute for Chemical Research, Kyoto University,Uji, Kyoto 611, Japan ^c Institute for Molecular Science, Myodaiji, Okazaki, Aichi 444, Japan

Crystal structure of an endoperoxide of tetrabenzo[*de,hi,op,st*]pentacene (TBPA-O₂) was determined by X-ray crystallography.

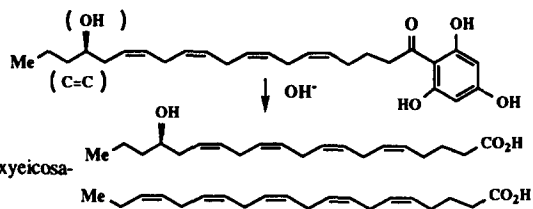


Tetrahedron Letters, 1997, 38, 249

A SIMPLE PREPARATION OF 17(R)-HYDROXYEICOSATETRA-ENOIC AND EICOSAPENTAENOIC ACIDS FROM THE EICOSA-NOYLPHLOROGLUCINOLS, COMPONENTS OF THE BROWN ALGA, *ZONARIA DIESINGIANA*

Tatsuo Munakata, Takashi Ooi, and Takenori Kusumi*
Faculty of Pharmaceutical Sciences, Tokushima University,
Tokushima 770, Japan

The alkaline treatment of the title compounds afforded 17(R)-hydroxyeicosa-tetraenoic and eicosapentaenoic acids, respectively, in good yields.

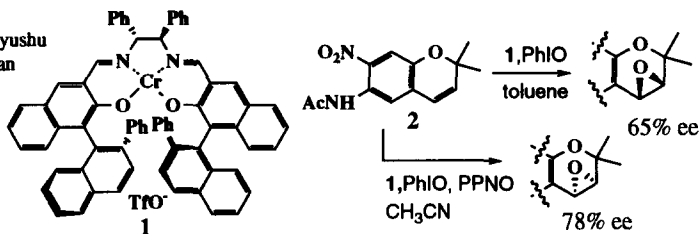


Tetrahedron Letters, 1997, 38, 251

UNUSUAL SOLVENT-EFFECT IN STEREOCHEMISTRY OF ASYMMETRIC EPOXIDATION USING A (SALEN)CHROMIUM(III) COMPLEX AS A CATALYST

Hirotohi Imanishi and Tsutomu Katsuki*
Department of Chemistry, Faculty of Science, Kyushu
University 33, Higashiku, Fukuoka 812-81, Japan

Epoxydation using (salen)chromium(III) complex 1 exhibited the strongly solvent-dependent stereochemistry. For example, epoxydations of chromene 2 in toluene and acetonitrile showed the opposite sense of enantioselectivity to each other.

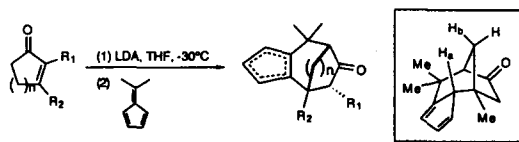


Tetrahedron Letters, 1997, 38, 255

SEQUENTIAL "DOUBLE-MICHAEL" ADDITIONS OF DIENOLATES TO FULVENE: RAPID ACCESS TO THE TRICYCLO[5.3.0.N^{2,5}]ALKANE

SYSTEMS Bor-Cherng Hong* and Jang-Hsing Hong,
Department of Chemistry, National Chung-Cheng University, Chia-Yi, Taiwan, R. O. C.

A highly efficient approach to the tricyclo[5.3.0.n^{2,5}]alkan-4-one system has been achieved via the intermolecular double Michael reaction of lithium dienolates to fulvene.

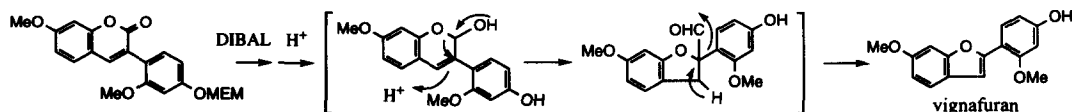


Tetrahedron Letters, 1997, 38, 259

A PLAUSIBLE CHEMICAL ANALOGY FOR BIOSYNTHESIS OF 2-ARYLBENZOFURAN OF ISOFLAVONOID ORIGIN AND ITS APPLICATION TO SYNTHESIS OF VIGNAFURAN

Takeshi Kinoshita, Faculty of Pharmaceutical Sciences, Teikyo University, Sagamiko-machi, Kanagawa 199-01 JAPAN

A new hypothesis of 2-arylbenzofuran biosynthesis depicting 2-hydroxy-isoflav-3-ene as the ultimate precursor was proposed, and a potent fungicidal phytoalexin vignafuran was synthesized by this scheme.



SYNTHESIS AND BIOLOGICAL ACTIVITIES OF DEGRADED LIMONOIDS, (±)-FRAXINELLONONE AND ITS RELATED COMPOUNDS

Hiroaki Okamura,* Keiko Yamauchi, Keiji Miyawaki, Tetsuo Iwagawa, and Munehiro Nakatani*
 Department of Chemistry, Faculty of Science, Kagoshima University, 1-21-35 Korimoto, Kagoshima 890, Japan.

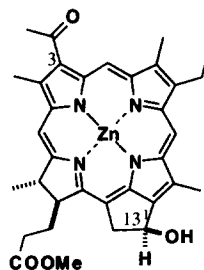


The first synthesis of (±)-fraxinellonone (1a) and its short step conversion into (±)-fraxinellone (2a) and (±)-isofraxinellone (3a) is described. The synthesized compounds exhibited moderate insect-antifeeding activity and ichthyotoxicity.

SELF-AGGREGATION OF SYNTHETIC ZINC CHLORINS POSSESSING "INVERSE" KETO AND HYDROXYL GROUPS

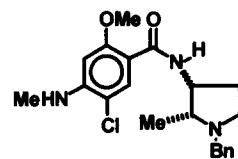
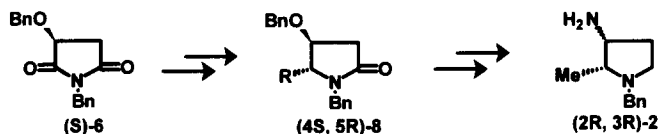
Hitoshi Tamiaki,* Tomohiro Miyatake and Rikuhei Tanikaga
 Department of Bioscience and Biotechnology, Faculty of Science and Engineering, Ritsumeikan University, Kusatsu, Shiga 525-77, Japan

Zinc 3-acetyl-13¹-hydroxychlorin was efficiently prepared as a model for bacteriochlorophyll-*d* and self-aggregates to form oligomers in non-polar organic solvents.



FIRST ASYMMETRIC SYNTHESIS OF (2R, 3R)-3-AMINO-1-BENZYL-2-METHYLPYRROLIDINE VIA A HIGHLY DIASTEREROSELECTIVE REDUCTIVE ALKYLATION

Pei Qiang HUANG*, Si Li WANG, Hong ZHENG and Xiang Su FEI
 Department of Chemistry, Xiamen University, Xiamen, Fujian 361005, CHINA



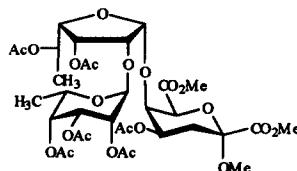
1. Emonapride

Synthesis of the Unique Trisaccharide: 6-d-L-Talpa(1→2)-L-Rhafβ(1→5)-DHA

Anna Banaszek^{a*} and Zbigniew Ciunik^b

a) *Institute of Organic Chemistry, Polish Academy of Sciences, Kasprzaka 44/52, 01-224 Warsaw;*

b) *Department of Chemistry, Wrocław University, F. Joliot-Curie 14, 50-383 Wrocław (Poland).*

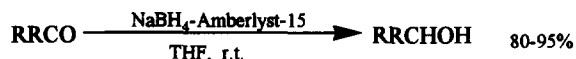


This is the first synthesis of the trisaccharide containing 3-deoxy-D-lyxose heptulosaric acid as an unique monosaccharide. It is linked with disaccharide also composed of very rare sugars: 6-deoxy-L-talopyranose and L-rhamnofuranose.

Tetrahedron Letters, 1997, 38, 277

SODIUM BOROHYDRIDE-AMBERLYST-15 (H⁺): AN EFFECTIVE REDUCTOR FOR HINDERED AND UNREACTIVE KETONES IN APROTIC SOLVENT.

Juana Robles Caycho^a, Fernando García Tellado^{a*}, Pedro de Armas^{a*}, José Juan Marrero Tellado^b.
^aInstituto de Productos Naturales y Agrobiología, Consejo Superior de Investigaciones Científicas, Astrofísico Francisco Sánchez 3, 38206 La Laguna, Islas Canarias, Spain. ^bInstituto Universitario de Bioorganica "Antonio González", Universidad de La Laguna, Astrofísico Francisco Sánchez 2, 38206 La Laguna, Islas Canarias, Spain.

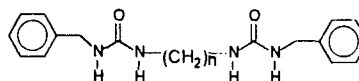


Tetrahedron Letters, 1997, 38, 281

Di-urea Compounds as Gelators for Organic Solvents

Jan van Esch, Richard M. Kellogg^{*}, Ben L. Feringa^{*}
Department of Organic and Molecular Inorganic Chemistry, Groningen Centre for Catalysis and Synthesis, University of Groningen, Nijenborgh 4, 9747 AG Groningen, The Netherlands

Simple diurea compounds form thermoreversible gels with several organic solvents. Electron microscopy reveals that in these solvents the gelation agents assemble into very thin rectangular sheets which are several tens of micrometers long.

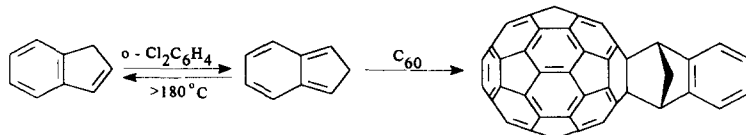


Tetrahedron Letters, 1997, 38, 285

NEW ROUTE FOR [60]FULLERENE FUNCTIONALISATION IN [4+2] CYCLOADDITION REACTION USING INDENE.

Austris Puplovskis, Janis Kacens and Ojars Neilands^{*}, Riga Technical University, Department of Organic Chemistry, Azenes 14, Riga LV 1048, Latvia

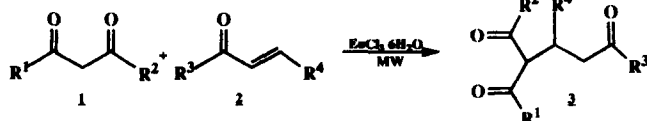
Reaction of [60]fullerene with isoindene, generated *in situ* from indene, leads to the new [60]fullerene cycloaddition product.



Tetrahedron Letters, 1997, 38, 289

Solvent Free Reaction Under Microwave Irradiation: A New Procedure for Eu⁺³-Catalyzed Michael Addition of 1,3-Dicarbonyl Compounds

A. Soriente^{*}, A. Spinella^{*}, M. De Rosa, M. Giordano, A. Scettri
Dipartimento di Chimica, Università di Salerno, 84081 Baronissi (SA)-Italy



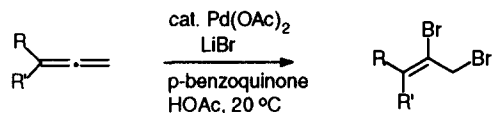
C-C bond formation via Michael addition is achieved in high yields and short times by employing catalytic amounts of EuCl_3 in dry media under microwave irradiation.

Palladium-Catalyzed 1,2-Oxidation of Allenes

Jan-E. Bäckvall* and Catrin Jonasson

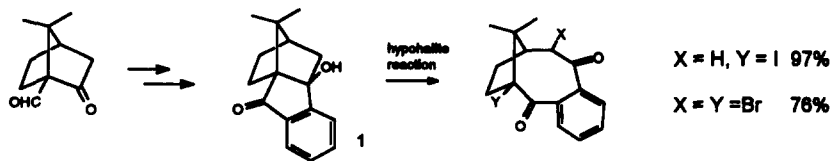
Department of Organic Chemistry, University of Uppsala, Box 531, S-751 21 Uppsala, Sweden

Palladium-catalyzed oxidation of allenes proceed with high regioselectivity to produce 1,2-dibromides. The reaction proceeds via a (π -allyl)palladium intermediate.



SYNTHESIS OF BRIDGED CYCLOOCTANE DERIVATIVES VIA ALKOXY RADICAL FRAGMENTATION.

Radomir N. Saičić, Faculty of Chemistry, University of Belgrade, POBox 550, 11000 Belgrade, Yugoslavia



Alkoxy radical fragmentation of ketol **1** affords bridged cyclooctane derivatives in excellent yields.

SIMPLE METHODS FOR THE PREPARATION OF PROTECTED DERIVATIVES OF D-*allo*- AND L-*allo*-THREONINE

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