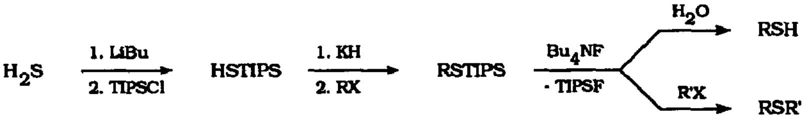
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 3221

THIOLS, UNSYMMETRICAL SULFIDES AND THIOACETALS FROM THE NEW REAGENT: TRIISOPROPYLSILANETHIOL

Edgar I. Miranda, Michael J. Díaz, Izander Rosado and John A. Soderquist*
Department of Chemistry, University of Puerto Rico, Rio Piedras, Puerto Rico 00931

The triisopropylsilyl (TIPS) substitution facilitates the sequential alkylation of H_2S.

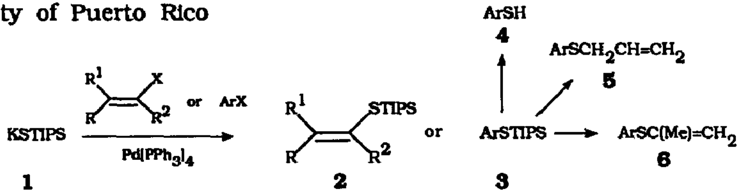


Tetrahedron Letters, **1994**, *35*, 3225

POTASSIUM TRIISOPROPYLSILANETHIOLATE: VINYL AND ARYL SULFIDES THROUGH PD-CATALYZED CROSS COUPLING

Anil M. Rane, Edgar I. Miranda and John A. Soderquist*
Department of Chemistry, University of Puerto Rico
Rio Piedras, Puerto Rico 00931.

*Compound **1** efficiently converts vinyl and aryl halides to the corresponding silyl sulfides (**2**, **3**), with **3** being easily hydrolyzed to **4**, alkylated to **5** or cross coupled to **6**.*

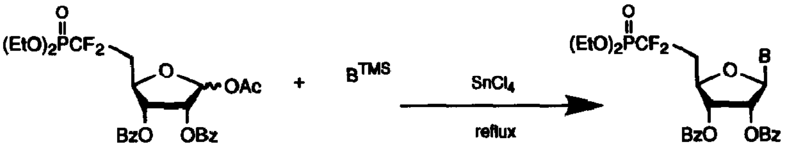


Tetrahedron Letters, **1994**, *35*, 3227

SYNTHESIS OF NUCLEOSIDE 5'-DEOXY-5'-DIFLUOROMETHYLPHOSPHONATES.

Jasenka Matulic-Adamic and Nassim Usman,
Department of Chemistry & Biochemistry
Ribozyme Pharmaceuticals Inc., 2950 Wilderness Place, Boulder, CO 80301

Condensation of 1-*O*-acetyl-2,3-di-*O*-benzoyl-D-ribofuranose 5-deoxy-5-difluoromethylphosphonate with silylated pyrimidines and purines affords nucleoside 5'-deoxy-5'-difluoromethylphosphonates.



Tetrahedron Letters, **1994**, *35*, 3231

GENERATION OF N-ACYL IMINIUM IONS FROM IONIZATION REACTIONS OF N-TRIFLYLOXY AMIDES

Robert V. Hoffman*, Naresh K. Nayyar, Jean M. Shankweiler, and Bruce W. Klinekole III
Department of Chemistry, New Mexico State University, Las Cruces, NM, 88003-0001

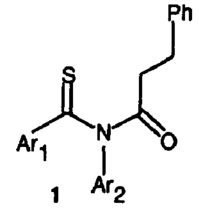
N-Triflyloxy amides undergo ionization in refluxing isopropanol to give N-acyliminium ions.

Tetrahedron Letters, **1994**, *35*, 3235

A NEW MECHANISM FOR THE PHOTOCLEAVAGE OF MONOTHIOIMIDES

Tai Y. Fu, John R. Scheffer* and James Trotter*
Department of Chemistry, University of British Columbia
Vancouver, Canada V6T 1Z1

Experiments are described that require a new mechanism for the photocleavage of monothioimides, one that does not involve initial γ-hydrogen abstraction as originally suggested in the literature.



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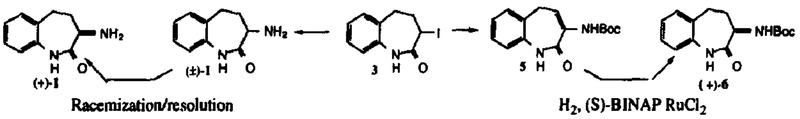
Tetrahedron Letters, **1994**, *35*, 3239

An Efficient Asymmetric Synthesis of (R)-3-Amino-2,3,4,5-tetrahydro-1H-[1]benzazepin-2-one†

Joseph D. Armstrong, III,* Kan K. Eng,* Jennifer L. Keller, Robert M. Purick, Frederick W. Hartner, Jr., Woo-Baeg Choi, David Askin, and R. P. Volante
Department of Process Research, Merck Research Laboratories, P.O. Box 2000, Rahway, New Jersey 07065

Two approaches for the asymmetric preparation of (-)- or (+)- α-aminobenzlactam 1 are described.

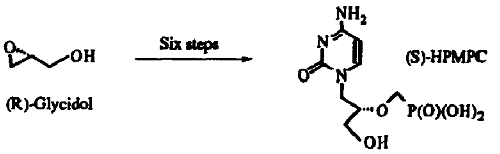


Tetrahedron Letters, **1994**, *35*, 3243

A PRACTICAL SYNTHESIS OF (S)-HPMPC

Paul R. Brodfuehrer, Henry G. Howell, Chester Sapino Jr., and Purushotham Vemishetti*
Process Exploration Labs-II, Bio/Chem Division, Bristol-Myers Squibb Company, P.O.Box 4755, Syracuse, New York 13221-4755

A new method for the preparation of (S)-HPMPC was developed utilizing (R)-glycidol.

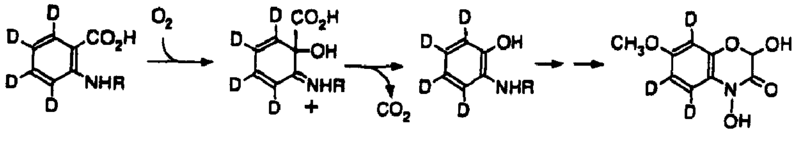


Tetrahedron Letters, **1994**, *35*, 3247

INCORPORATION OF ANTHRANILATE-d_4 INTO DIMBOA IN MAIZE.

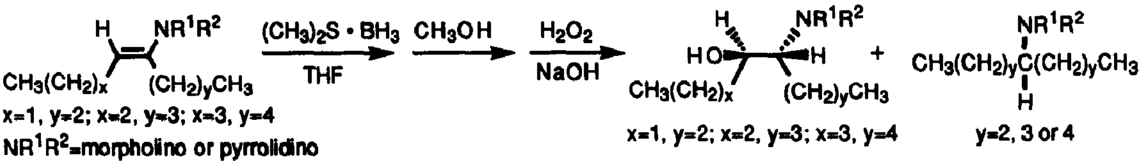
Praveen Kumar and William Scott Chilton, Department of Botany, North Carolina State University, Raleigh, NC 27695.

High retention of deuterium in the biosynthesis of DIMBOA from anthranilic acid-d_4 in maize indicates that oxygenation of anthranilic acid precedes decarboxylation.



Tetrahedron Letters, **1994**, *35*, 3251

Boranes in Synthesis. 3. Conversion of the Morpholine and Pyrrolidine Enamines of Symmetrical Dialkylketones to the Corresponding *threo*-β-Amino Alcohols via Hydroboration/Oxidation. Christian T. Goralski*§, Dennis L. Hasha‡, Lawrence W. Nicholson‡, Donald Zakett‡, Gary B. Fisher†, and Bakthan Singaram,*† *§Pharmaceuticals Process Research and ‡Analytical Sciences, Core R&D, The Dow Chemical Company, Midland, Michigan 48674 and the †Department of Chemistry and Biochemistry, University of California, Santa Cruz, Santa Cruz CA 95064*



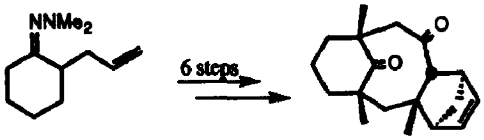
Tetrahedron Letters, **1994**, *35*, 3255

SYNTHESIS OF THE TRICYCLO[9.3.1.0^{3,8}]PENTADECANE (ABC) RING SYSTEM OF TAXANE DITERPENES

Miguel A. Romero*, Rubén Pérez Franco, Raymundo Cruz-Almanza, and Fernando Padilla

Instituto de Química, Universidad Nacional Autónoma de México, Circuito Exterior, Ciudad Universitaria, Coyoacán 04510, México, D.F.

A short, stereoselective synthesis of a tetracyclic intermediate containing the taxane ABC ring system is described.

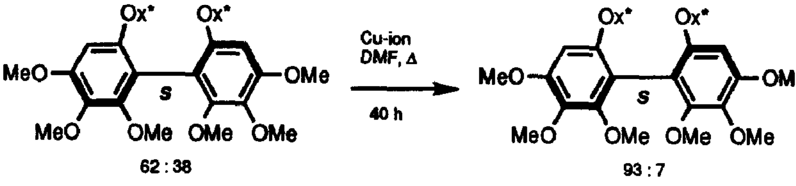


Tetrahedron Letters, **1994**, *35*, 3259

The Asymmetric Ullmann Reaction III. Application of a First-Order Asymmetric Transformation to the Synthesis of C_2-Symmetric, Chiral, Non-Racemic Biaryls

Todd D. Nelson and A. I. Meyers
Colorado State University, Department of Chemistry,
Fort Collins, Colorado 80523 USA

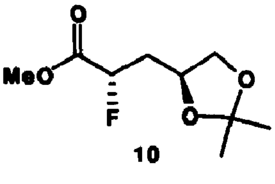
Heating a diastereomeric mix (62:38) of chiral biaryls with Cu-ion resulted in a (93:7) mix of diastereomers.



Tetrahedron Letters, **1994**, *35*, 3263

A DIASTEREOSELECTIVE SYNTHESIS OF (S,S)-α-FLUORO-2,2-DIMETHYL-1,3-DIOXOLANE-4-PROPANOIC ACID METHYL ESTER, A KEY INTERMEDIATE FOR THE PREPARATION OF ANTI-HIV EFFECTIVE FLUORODIDEOXYNUCLEOSIDES. Maqbool A. Siddiqui, Victor E. Marquez,* John S. Driscoll and Joseph J. Barchi, Jr. Laboratory of Medicinal Chemistry, Developmental Therapeutics Program, Division of Cancer Treatment, National Cancer Institute, NIH, Bethesda, Maryland 20892, USA.

(S,S)-α-fluoro-2,2-dimethyl-1,3-dioxolane-4-propanoic acid methyl ester (**10**), a key precursor for the preparation of anti-HIV active fluorodideooxynucleosides was prepared in diastereoselective fashion from 1,2:5,6-di-O-isopropylidene-D-mannitol in 25% overall yield and *de* 93%.



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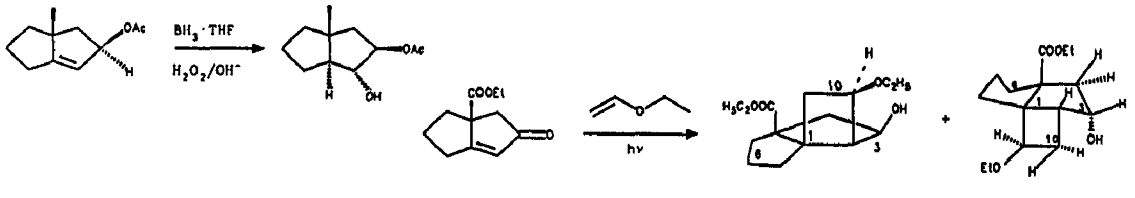
Tetrahedron Letters, **1994**, *35*, 3267

STEREOSELECTIVITY IN REACTIONS OF BICYCLO[3.3.0] OCT-1-ENES.

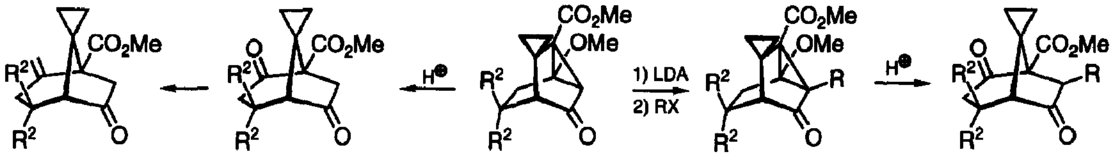
D. Bourgin, R. Büchel, P. Gerber and R. Keese*,
Institute of Organic Chemistry, University of Bern, CH - 3012 Bern, Switzerland.



Tetrahedron Letters, **1994**, *35*, 3269

Facile Synthesis of Highly Functionalized Bicyclo[3.2.1]octanes as Potential Building Blocks for Various Natural Products

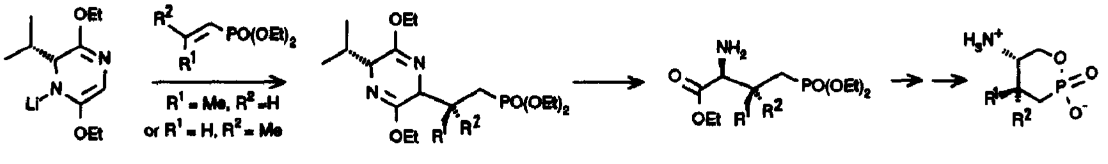
Lazaros Hadjiarapoglou, Armin de Meijere*
Institut für Organische Chemie der Georg-August-Universität Göttingen, Tammannstraße 2, D-37077 Göttingen, Germany
Hans-Jürgen Seitz, Iris Klein, Dietrich Spitzner*
Institut für Chemie, Universität Hohenheim, Garbenstraße 30, D-70599 Stuttgart, Germany.



Tetrahedron Letters, **1994**, *35*, 3273

ENANTIOSPECIFIC SYNTHESIS OF 2-AMINO-3-METHYL-4-PHOSPHONOBUTANOIC ACIDS VIA 1,4-ADDITION OF LITHIATED SCHÖLLKOPF ANION TO PROP-2-ENYLPHOSPHONATES

V. Ojea, M. Ruiz, G. Shapiro* and E. Pombo-Villar*; Preclinical Research, Sandoz Pharma Ltd., CH-4002 Basel

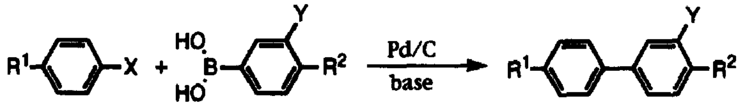


Tetrahedron Letters, **1994**, *35*, 3277

Aryl Couplings with Heterogeneous Palladium Catalysts.

Guy Marck, Alois Villiger and Richard Buchecker,* F. Hoffmann-La Roche Inc., Dept. RLCR, 4002 Basel, Switzerland

The use of simple heterogeneous Pd/C hydrogenation catalysts in the Suzuki reaction was investigated with a broad variety of substrates, solvents and bases.



R^1 = CHO, CN, Alkyl, OH, N(CH$_3$)$_2$
R^2 = H, Alkyl, Cl
X = Cl, Br, I, OTf; Y = H, F

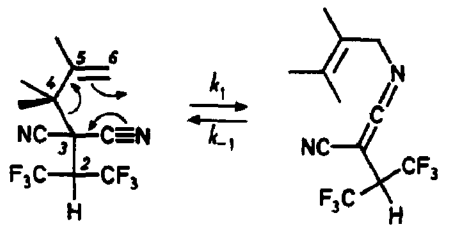
Tetrahedron Letters, **1994**, *35*, 3281

HOMOALLYL CYANIDE AND N-ALLYLKETENE IMINE; A [3,3]SIGMATROPIC EQUILIBRIUM

R. Brückner and R. Huisgen*, Institut für Organische Chemie der Universität
Karlstr. 23, 80333 München, Germany

The γ,δ-unsaturated nitrile and the ketene imine shown equilibrate via [3,3]sigmatropic rearrangement with rate constants that depend only little on solvent polarity.

K_{eq} = 0.8 (CCl_4) - 1.3 (benzene) at 25°C

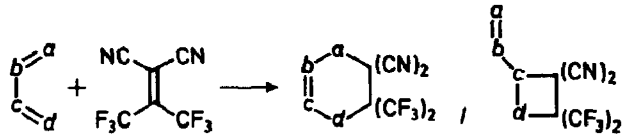


Tetrahedron Letters, **1994**, *35*, 3285

DIELS-ALDER REACTIONS WITH 2,2-BIS(TRIFLUOROMETHYL)-ETHYLENE-1,1-DICARBONITRILE AS DIENOPHILE

Reinhard Brückner and Rolf Huisgen, Institut für Organische Chemie der Universität
Karlstr. 23, 80333 München, Germany

In [4+2] cycloadditions to methyl- and phenyl-substituted butadienes, the title compound (BTF) shows electronic acceleration and steric deceleration in rate comparisons with TCNE.

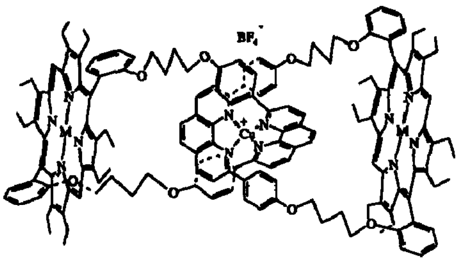


Tetrahedron Letters, **1994**, *35*, 3289

Synthesis of Interlocked Basket Handle Porphyrins

Michel Momenteau*, François Le Bras and Bernard Loock
Institut Curie-Biologie, CNRS URA 1387
Bât. 112, Centre Universitaire, 91405 Orsay, France.

Interlocked basket handle porphyrins were prepared by introducing the template effect between phenanthroline moieties inserted in superstuctures of porphyrin subunits.



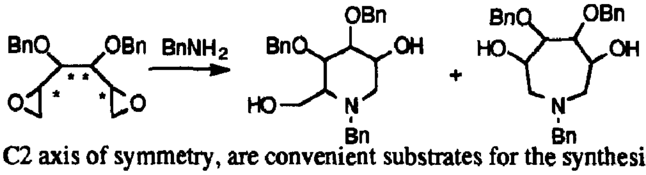
Tetrahedron Letters, **1994**, *35*, 3293

Polyhydroxylated Piperidines and Azepanes from *D*-Mannitol

Synthesis of 1-Deoxynojirimycin and Analogues

Lydie Poitout, Yves Le Merrer, Jean Claude Depezay

Université René Descartes. Laboratoire de Chimie
et Biochimie Pharmacologiques et Toxicologiques,
associé au CNRS, 45, rue des Saints-Pères,
75270 Paris cedex 06. France.

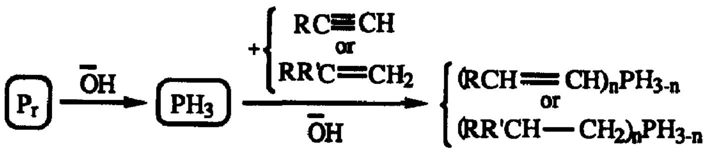


D-mannitol and *L*-iditol bis-epoxides, with a C2 axis of symmetry, are convenient substrates for the synthesis of polyhydroxylated piperidines and azepanes.

Tetrahedron Letters, **1994**, *35*, 3297

ALKYLATION OF PHOSPHINE PH_3 GENERATED FROM RED PHOSPHORUS

D. Semenzin, G. Etemad-Moghadam*, D. Albouy, M. Koenig*; *Laboratoire AMPERES- Université Paul Sabatier-31062 Toulouse - FRANCE.*



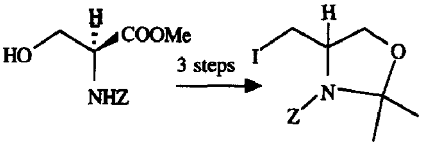
The generation of phosphine by alkaline hydrolysis of red phosphorus and this subsequent alkylation by terminal alkenes and alkynes in basic media are realized.

Tetrahedron Letters, **1994**, *35*, 3301

Convenient Preparation of (*R*)- and (*S*)-1-(2-amino-3-iodo)propanol Derivatives from (*S*)-serine: Application in Radical Reactions.

E. Jose Maria, A. D. Da Silva, J-L. Fourrey * A. S. Machado and M. Robert-Gero.
Institut de Chimie des Substances Naturelles,
C.N.R.S., 91198 Gif-sur-Yvette, France

A 3 step synthesis of the iodo derivatives (*R*)-**4** and (*S*)-**7** from (*S*)-serine and their use in radical reactions is proposed.



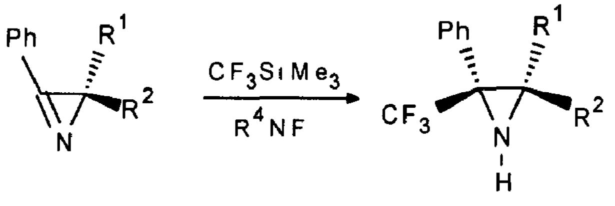
(*R*)-**4** or (*S*)-**7**

Tetrahedron Letters, **1994**, *35*, 3303

Stereoselective Addition of CF_3SiMe_3 on Azirines. Synthesis of (E)-Aziridines

Caroline P. FELIX, Nadia KHATIMI and André J. LAURENT
Université Claude Bernard-Lyon I, Lab. de Chimie Orga. 3, associé au CNRS, 43 Bd du 11.11.1918 69622 Villeurbanne (France)

The unusual use of CF_3SiMe_3 on a carbon nitrogen double bond is described. Azirines provide (E)-aziridines.



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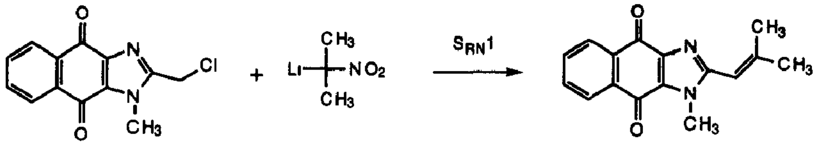
Tetrahedron Letters, **1994**, *35*, 3305

FIRST ELECTRON TRANSFER C-ALKYLATION INVOLVING A FUSED QUINONEIMIDAZOLE REDUCTIVE ALKYLATING AGENT

Patrice VANELLE*, Santa DONINI, José MALDONADO, Jean-François SABUCO and Michel P. CROZET
Laboratoire de Chimie Organique, Faculté de Pharmacie, 27 Bd Jean Moulin, 13385 Marseille Cedex 05, France

The C-alkylation reaction of 2-chloromethyl-4,9-dihydro-1-methyl-1H-naphtho[2,3-*d*]imidazol-4,9-dione, a new alkylating agent by 2-nitropropane is shown to proceed by the $S_{RN}1$ mechanism.

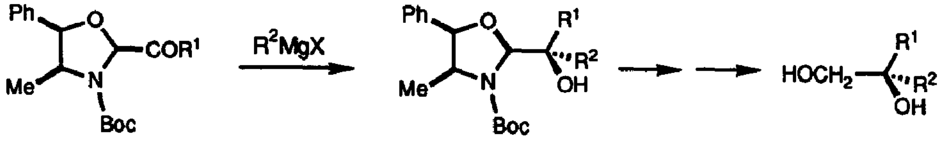


Tetrahedron Letters, **1994**, *35*, 3309

ASYMMETRIC SYNTHESIS OF HOMOCHIRAL 1,2-DIOLS VIA *N*-BOC OXAZOLIDINES

C. Agami,* F. Couty* and C. Lequesne
Laboratoire de Chimie Organique Associé au CNRS, Université P. et M. Curie, 4 place Jussieu, 75005 Paris, France.

A chelated model, involving the carbamate moiety, accounts for the high stereoselectivity displayed by the following addition onto the keto group:

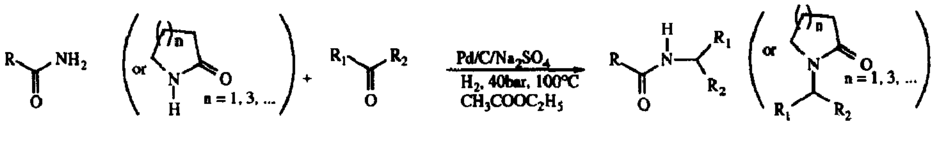


Tetrahedron Letters, **1994**, *35*, 3313

EXTENSION OF THE ESCHWEILER-CLARKE PROCEDURE TO THE N-ALKYLATION OF AMIDES

Fabienne Fache, Laurent Jacquot and Marc Lemaire*, Institut de Recherches sur la Catalyse- Laboratoire de Catalyse et Synthèse Organique, UCBL, ESCIL, Bât. 308, 43 Bd du 11 novembre 1918, 69622 Villeurbanne CEDEX.

The selective N-alkylation of amides (cyclic or acyclic) under hydrogen is reported using aldehydes or ketones as alkylating agents and $Pd/C/Na_2SO_4$ as catalyst.



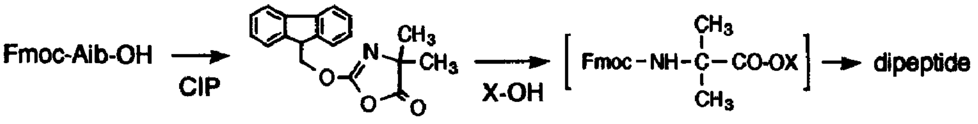
isolated yield 81% to 98%

Tetrahedron Letters, **1994**, *35*, 3315

EFFICIENT COUPLING OF α,α-DIMETHYL AMINO ACID USING A NEW CHLORO IMIDAZOLIDIUM REAGENT, CIP

Kenichi Akaji, Naohiro Kuriyama, and Yoshiaki Kiso, Department of Medicinal Chemistry, Kyoto Pharmaceutical University, Yamashina-ku, Kyoto 607, Japan

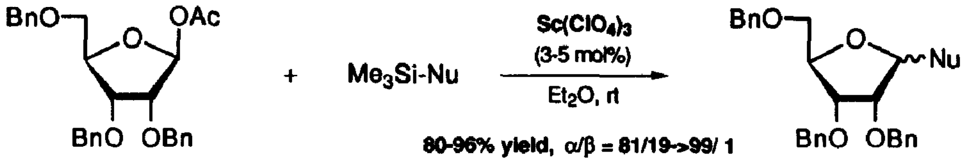
CIP shows superior efficiency for the coupling of Nα-protected Aib residue in the presence of additive.



Tetrahedron Letters, **1994**, *35*, 3319

Scandium(III) Perchlorate ($Sc(ClO_4)_3$). A Novel Catalyst in the α-C- and N-Glycosylation Reactions

Iwao HACHIYA and Shū KOBAYASHI *
Department of Applied Chemistry, Faculty of Science, Science University of Tokyo (SUT), Kagurazaka, Shinjuku-ku, Tokyo 162



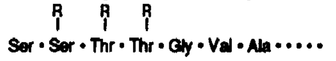
SYNTHESIS OF HUMAN M BLOOD GROUP ANTIGENIC GLYCOPEPTIDE

Tetrahedron Letters, **1994**, *35*, 3321

Yoshiaki Nakahara*[a], Hiroyuki Iijima[a], and Tomoya Ogawa*[a,b]
a) The Institute of Physical and Chemical Research (RIKEN) Wako-shi, Saitama, 351-01, Japan
b) Department of Cellular Biochemistry, University of Tokyo, Yayoi, Bunkyo-ku, Tokyo 113, Japan

A first total synthesis of N-terminal glycoheptapeptide of glycophorin AM 1 is described.

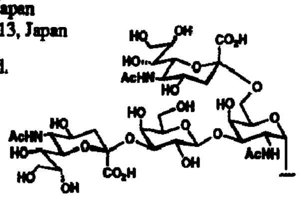
Glycophorin AM :



$$\overset{R}{\text{Ser}}\cdot\overset{R}{\text{Ser}}\cdot\overset{R}{\text{Thr}}\cdot\text{Thr}\cdot\text{Gly}\cdot\text{Val}\cdot\text{Ala}\cdots\cdots$$

1

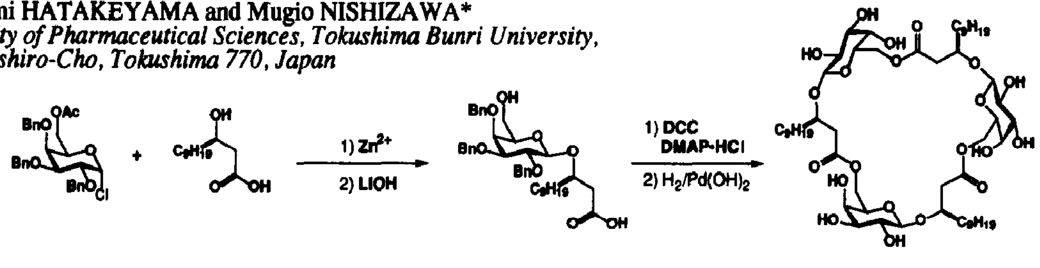
R =



TOTAL SYNTHESIS OF ARTHROBACILIN A

Tetrahedron Letters, **1994**, *35*, 3325

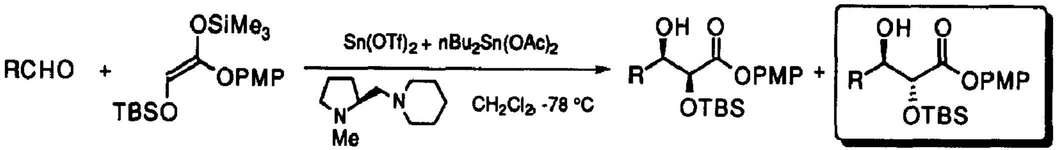
Dulce M. GARCIA, Hidetoshi YAMADA,
Susumi HATAKEYAMA and Mugio NISHIZAWA*
Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Yamashiro-Cho, Tokushima 770, Japan



Anti-Selective Asymmetric Aldol Reactions. Enantioselective Synthesis of *anti*-α,β-Dihydroxy Phenyl Ester Derivatives.

Tetrahedron Letters, **1994**, *35*, 3329

Shu KOBAYASHI* and Takashi KAWASUJI, Department of Applied Chemistry, Faculty of Science, Science University of Tokyo (SUT), Kagurazaka, Shinjuku-ku, Tokyo 162



PMP = p-Methoxyphenyl

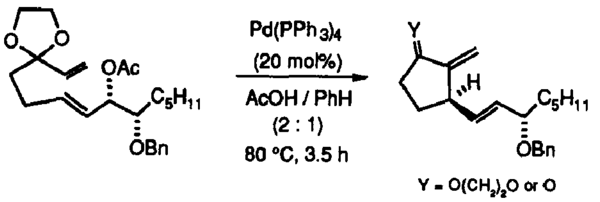
anti selective, up to 96% de, 95% ee

CHIRALITY TRANSFER IN THE PALLADIUM(0)-CATALYZED CYCLIZATION OF 3-OXO-8,9-DIHYDROXYTETRADECA-1,6-DIENE DERIVATIVES INTO 2-METHYLENECYCLOPENTANONES.

Tetrahedron Letters, **1994**, *35*, 3333

Kazuhiko Togashi, Masahiko Terakado, Masahiro Miyazawa, Keiji Yamamoto,* and Takashi Takahashi,*
Department of Chemical Engineering, Tokyo Institute of Technology, Ookayama, Meguro-ku, Tokyo 152, JAPAN

Intramolecular olefin insertion into a π-allylpalladium arising from an optically active *threo*-(*E*)-isomer provides 2-methylene cyclopentanone corresponding to the Stork's intermediate.



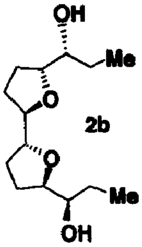
Y = $O(CH_2)_2O$ or O

Tetrahedron Letters, **1994**, *35*, 3337

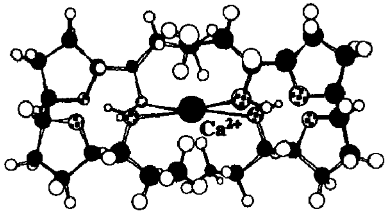
Novel Calcium Ionophores: Supramolecular Complexation by The Hydroxylated-Bistetrahydrofuran Skeleton of Potent Antitumor *Annonaceous* Acetogenins.

Shigeki Sasaki,* Hiroyuki Naito, Katsunori Maruta, Eiji Kawahara, Minoru Maeda, Faculty of Pharmaceutical Sciences, Kyushu University, 3-1-1 Maidashi, Higashi-ku, Fukuoka 812, Japan.

Structural components of potent antitumor acetogenins (**2**, **3**, **4**) formed supramolecular complexes with metal cations. In particular, **2b** formed 2:1 ligand/cation complex with calcium cation with high selectivity.



2b



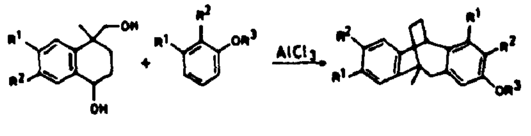
A Plausible Structure of $2b \cdot Ca^{2+}$ 2:1 Complex

Tetrahedron Letters, **1994**, *35*, 3341

A NOVEL DOUBLE FRIEDEL-CRAFTS REACTION: A NEW ENTRY INTO BICYCLO[3.2.2]NONANE SYSTEM.

Panicker Bijoy and G.S.R.Subba Rao*, Department of Organic Chemistry, Indian Institute of Science, Bangalore - 560 012, India.

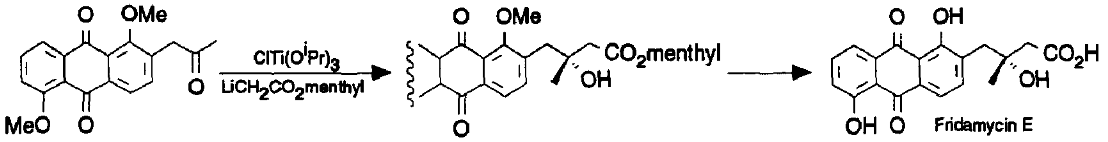
A new synthesis of a bicyclo[3.2.2]nonane systems using a double Friedel-Crafts reaction.



Tetrahedron Letters, **1994**, *35*, 3345

TITANIUM MEDIATION OF ALDOL REACTIONS IN FRIDAMYCIN AND VINEOMYCINONE SYNTHESES

Gabrielle M. Pausler and Peter S. Rutledge.*
Department of Chemistry, University of Auckland, private bag, Auckland, New Zealand.



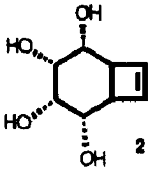
Titanium(IV)-mediated additions of $LiCH_2CO_2$menthyl are chemoselective for acetonyl sidechains of anthrarufin ethers providing syntheses of enantiopure fridamycin E and intermediates for vineomycinones.

Tetrahedron Letters, **1994**, *35*, 3349

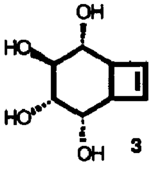
SYNTHESIS OF NEW CONDURITOL ANALOGUES DERIVED FROM BICYCLOOCTATRIENE: *BIS*-HOMO-CONDURITOL-D AND *BIS*-HOMO-CONDURITOL-F

Yunus Kara[a], Metin Balci*[a], Susan A. Bourne[b] and William H. Watson[b]. [a]Atatürk University, Department of Chemistry, Erzurum, Turkey. [b]Department of Chemistry, Texas Christian University, Fort Worth, 76129, U.S.A.

Two new conduritol analogues **2** and **3** have been synthesized starting from 7,8-dibromo-bicyclooctadiene.



2

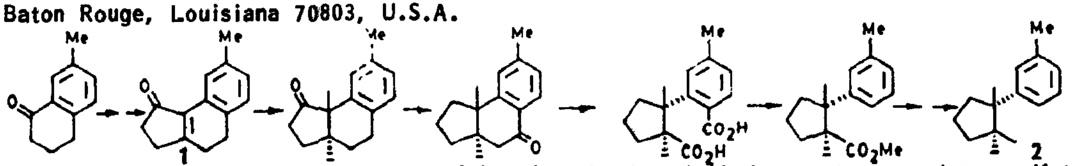


3

A TOTAL SYNTHESIS OF (±)-HERBERTENE

Tetrahedron Letters, **1994**, *35*, 3353

Asok Kumar Saha, Sarbani Das and Debabrata Mukherjee
Department of Organic Chemistry, Indian Association
for the Cultivation of Science, Jadavpur, Calcutta - 700 032, India.
Frank R. Fronczek, Department of Chemistry, Louisiana State University,
Baton Rouge, Louisiana 70803, U.S.A.



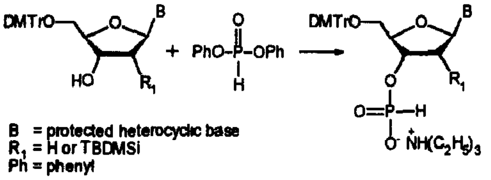
A total synthesis of (±)-herbertene (2) using the benz[e]indenone 1 as an intermediate.

STUDIES ON ARYL H-PHOSPHONATES. I. AN EFFICIENT METHOD FOR THE PREPARATION OF DEOXYRIBO- AND RIBONUCLEOSIDE 3'-H-PHOSPHONATE MONOESTERS BY TRANSESTERIFICATION OF DIPHENYL H-PHOSPHONATE

Tetrahedron Letters, **1994**, *35*, 3355

Jadwiga Jankowska, Michał Sobkowski, Jacek Stawiński[a], and Adam Kraszewski*

Institute of Bioorganic Chemistry, Polish Academy of Sciences, Noskowskiego 12/14, 61-704 Poznań, Poland
[a]Department of Organic Chemistry, Stockholm University, Arrhenius Laboratory, S-106 91 Stockholm, Sweden



B = protected heterocyclic base
R_1 = H or TBDMSi
Ph = phenyl

Synthesis of nucleoside H-phosphonate *via* transesterification of diphenyl phosphite is described

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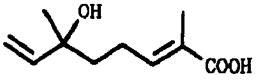
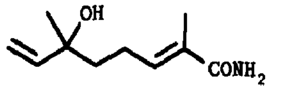
SYNTHESIS OF (±)-(E)-2,6-DIMETHYL-6-HYDROXYOCTA-2,7-DIENOIC ACID AND THE CORRESPONDING AMIDE.

Tetrahedron Letters, **1994**, *35*, 3359

Miguel Carda,[a] Juan Murga,[a] and J. Alberto Marco[b]
[a]*Departamento de Ciencias Experimentales, Universitat Jaume I, E-12080 Castellón, Spain,*
[b]*Departamento de Química Orgánica, Universidad de Valencia, E-46100 Burjassot, Valencia, Spain.*



2



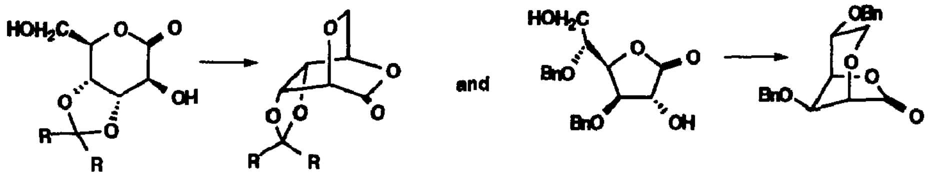
3

The naturally occurring acid 2 and its amide 3 ("acacialactam") have been synthesized in 5 and 6 steps, respectively, from racemic linalool.

TETRAHYDROPYRAN DERIVATIVES FROM γ- and δ-HEXONOLACTONES

Tetrahedron Letters, **1994**, *35*, 3361

J. C. Estevez, A. J. Fairbanks, K. Y. Hsia, P. Ward and G. W. J. Fleet
Dyson Perrins Laboratory, Oxford University, Oxford Centre for Molecular Sciences, South Parks Road, Oxford OX1 3QY, UK;Glaxo Group Research, Greenford Road, Greenford, Middlesex UB6 0HE, UK

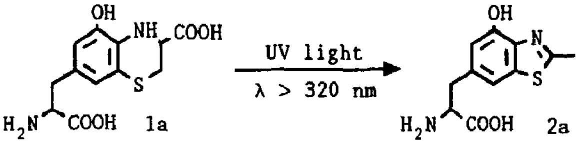


PHOTOCHEMICAL RING CONTRACTION OF DIHYDRO-1,4-BENZOTHIAZINES.

Tetrahedron Letters, **1994**, *35*, 3365

C. Costantini, G. Testa, O. Crescenzi and M. d'Ischia*. Dept.
Org. Biol. Chem., Univ. of Naples, Via Mezzocannone 16, I-80134 Naples, Italy.

Irradiation of the pheomelanin precursor 1a and related dihydro-1,4-benzothiazines with pyrex-filtered UV light promotes a ring contraction reaction to give the corresponding 2-methylbenzothiazoles, *e.g.* 2a.

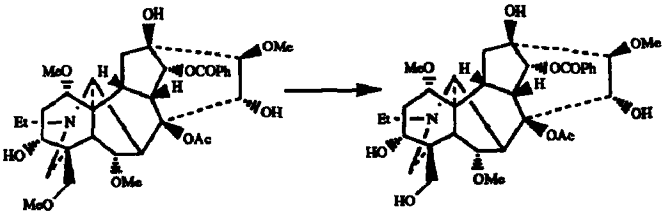


REGIOSELECTIVE DEMETHYLATION OF ACONITINE

Tetrahedron Letters, **1994**, *35*, 3367

I. S. Blagbrough*, D. J. Hardick, S. Wonnacott¶ and B. V. L. Potter
School of Pharmacy and Pharmacology, and ¶School of Biology and Biochemistry, University of Bath, Claverton Down, Bath BA2 7AY, U.K.

Aconitine has been demethylated to give predominantly 18-O-desmethylaconitine

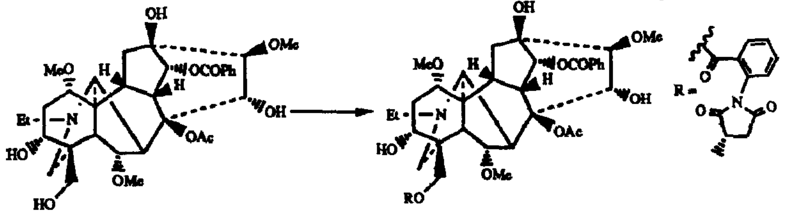


REGIOSELECTIVE ANTHRANOYLATION OF DEMETHYLATED ACONITINE : NOVEL ANALOGUES OF ACONITINE, INULINE AND METHYLLYCACONITINE.

Tetrahedron Letters, **1994**, *35*, 3371

D. J. Hardick, I. S. Blagbrough*, S. Wonnacott¶ and B. V. L. Potter
School of Pharmacy and Pharmacology, and ¶School of Biology and Biochemistry, University of Bath, Bath BA2 7AY, U.K.

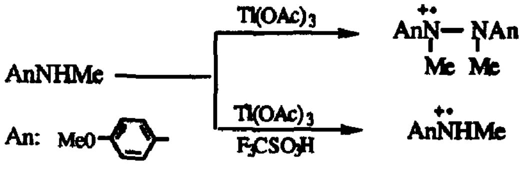
Demethylated aconitine has been regioselectively acylated to give an analogue of methyllycaconitine, a potent nicotinic antagonist



Tetrahedron Letters, **1994**, *35*, 3375

EPR CHARACTERIZATION OF RADICAL CATIONS GENERATED BY ONE-ELECTRON OXIDATION OF N-METHYL-p-ANISIDINE.

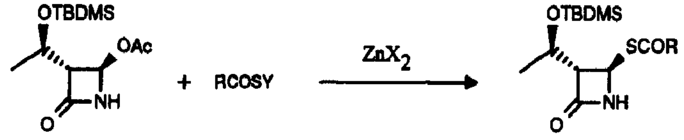
Francesco Ciminale, *Centro CNR "M.I.S.O."*, Dipartimento di Chimica, Università, via Amendola 173, 70126 Bari, Italy



ZINC HALIDES-MEDIATED NUCLEOPHILIC ATTACK OF THIOACID SALTS IN NON PROTIC MEDIA. A KEY STEP IN THE TOTAL SYNTHESIS OF PENEMS.

Tetrahedron Letters, **1994**, *35*, 3379

Walter Cabri,* Ilaria Candiani, Franco Zarini and Angelo Bedeschi
Pharmacia, Process Research, via Giovanni XXIII,23 20014-Nerviano (MI), Italy



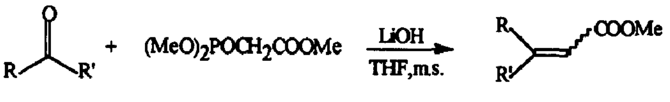
yields >90%

A NEW PROCEDURE FOR HORNER-WADSWORTH-EMMONS OLEFINATION OF CARBONYL COMPOUNDS

Tetrahedron Letters, **1994**, *35*, 3383

Francesco Bonadies[a], Antonella Cardilli[a], Alessandra Lattanzi[a], Liliana R. Orelli[b], Arrigo Scettri[a]
[a]*Centro CNR di Studio per la Chimica delle Sostanze Organiche Naturali, Dipartimento di Chimica, Università "La Sapienza", Roma, Italy.* [b]*Departamento de Quimica Organica, Facultad de Farmacia y Bioquimica, Junin 956, Buenos Aires, Argentina*

Aldehydes undergo an efficient E-stereoselective Horner-Wadsworth-Emmons olefination by generation of phosphonate carbanion with lithium hydroxide. The reaction proceeds satisfactorily with linear, cyclic, polifunctional ketones in the presence of activated 4Å zeolites and by the slow addition of base.

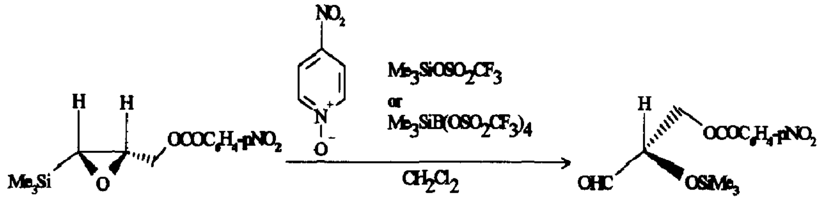


R = H, alkyl

OXIDATION OF α,β-(EPOXYALKYL)TRIMETHYLSILANE WITH PYRIDINE OXIDES IN THE PRESENCE OF SILYLATING AGENTS. A FACILE ENANTIOSELECTIVE SYNTHESIS OF GLYCERALDEHYDE DERIVATIVES

Tetrahedron Letters, **1994**, *35*, 3387

Piotr Raubo and Jerzy Wicha*, Institute of Organic Chemistry, Polish Academy of Sciences, Warsawa, Poland

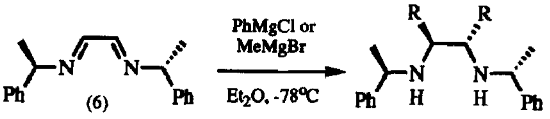


SIMPLE SYNTHESIS OF A C_2 SYMMETRIC VICINAL DIAMINE: HIGHLY DIASTEREOSELECTIVE GRIGNARD ADDITION TO A CHIRAL *BIS*-IMINE

Tetrahedron Letters, **1994**, *35*, 3391

Kimberley Bambridge, Michael J. Begley and Nigel S. Simpkins*, Department of Chemistry, University of Nottingham, University Park, Nottingham NG7 2RD, UK

The reaction of the enantiomerically pure *bis*-imine derived from glyoxal and (*R*)-α-methylbenzylamine with PhMgCl in diethylether results in diastereoselective formation of C_2 symmetric vicinal diamine 7.



(6)

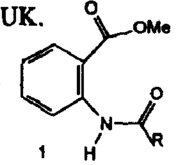
(7) R = Ph 47%
(8) R = Me 35%

Tetrahedron Letters, **1994**, *35*, 3395

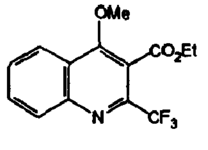
The Wittig Reaction of some Fluorinated Amide Derivatives

E. J. Latham, S. M. Murphy and S. P. Stanforth*, Department of Chemical and Life Sciences, University of Northumbria at Newcastle, Newcastle upon Tyne, NE1 8ST, UK.

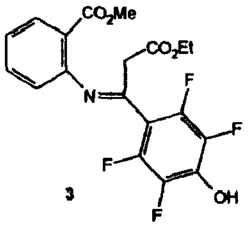
Amides **1** (R = CF_3; C_6F_5) gave quinoline **2** and compound **3** with $Ph_3P{=}CHCO_2Et$.



1



2



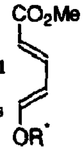
3

Tetrahedron Letters, **1994**, *35*, 3397

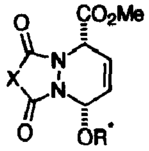
ENHANCED DISCRIMINATION BY AZA DIENOPHILES OVER THEIR OLEFINIC COUNTERPARTS FOR THE DIASTEREOTOPIC FACES OF METHYL (*E,E*)-5-(2',3',4',6'-TETRA-*O*-ACETYL-β-D-GLUCOPYRANOSYLOXY)PENTA-2,4-DIENOATE

Ian H. Aspinall, Phillip M. Cowley and Richard J. Stoodley*, Department of Chemistry, UMIST, PO Box 88, Manchester M60 1QD, UK
Glynn Mitchell, ZENECA Agrochemicals, Jealott's Hill Research Station, Bracknell, Berkshire, RG12 6EY, UK

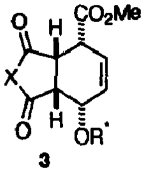
Whereas aza dienophiles react with the diene **1** to afford single cycloadducts of type **2**, their olefinic counterparts afford 3:1 to 6:1 mixtures of cycloadducts of types **3** and **4**.



1



2



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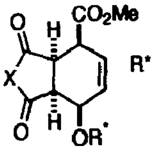
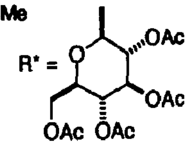


4



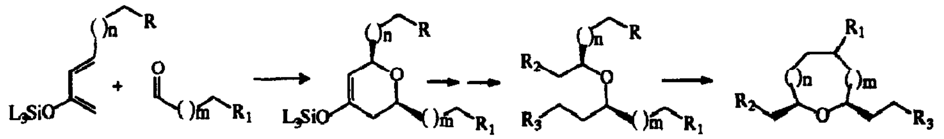
X = PhN, C_2H_2 or C_6H_4

Tetrahedron Letters, **1994**, *35*, 3401

A VERSATILE APPROACH TO CYCLIC ETHERS. SYNTHESIS OF DISUBSTITUTED OXEPANES AND OXOCANES.

M. Teresa Mujica, María M. Afonso, Antonio Galindo and J. Antonio Palenzuela, C.P.N.O. "Antonio González", Instituto Universitario de Bio-Orgánica, Universidad de La Laguna, 38206 La Laguna, Tenerife, SPAIN

Cyclic ethers of various ring sizes are prepared from Hetero Diels Alder adducts

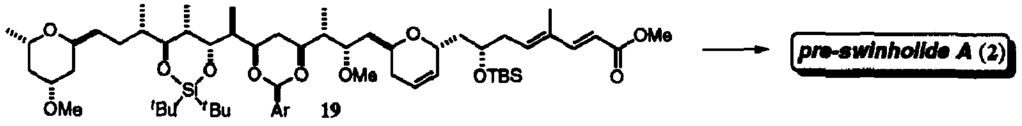


Tetrahedron Letters, **1994**, *35*, 3405

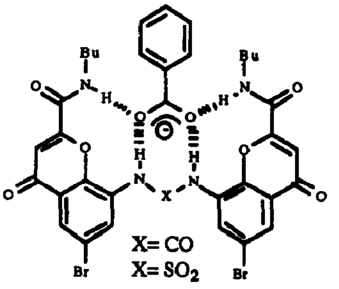
TOWARDS THE SYNTHESIS OF SWINHOLIDE A AND SCYTOPHYCIN C.
A HIGHLY STEREOCONTROLLED SYNTHESIS OF (–)-PRESWINHOLIDE A.

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

The fully protected monomeric unit **19** of swinholide A was prepared with a high level of stereocontrol. Deprotection gave (–)-pre-swinholide A, the putative secoacid precursor of this cytotoxic marine macrodiolide.



Tetrahedron Letters, **1994**, *35*, 3409



Readily Available Chromenone Receptors for Carboxylates

César Raposo, Mercedes Crego, Mª Luisa Mussons, Mª Cruz Caballero, J. R. Morán
Departamento de Química Orgánica, Universidad de Salamanca,
Plaza de los Caidos 1-5, E-37008 Salamanca, Spain.

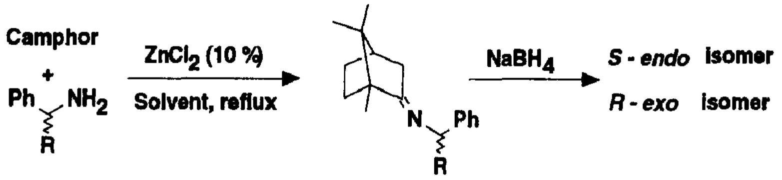
Chromenone based receptors combined with a sulfurylamide group are very suitable for complexing tetraethylammonium benzoate

---

Tetrahedron Letters, **1994**, *35*, 3411

PREPARATION AND REDUCTION OF SOME CAMPHOR IMINES

Roger Bolton, Timothy N. Danks* and Jane M. Paul Department of Chemistry, University of Surrey, Guildford, Surrey, GU2 5XH

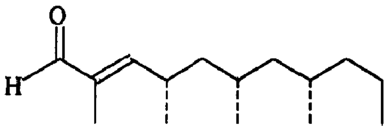


Tetrahedron Letters, **1994**, *35*, 3413

Isolation and Synthesis of Siphonarienal, a New Polypropionate From *Syphonaria grisea.*

Manuel Norte, José J. Fernández and Agustín Padilla. Institute of Bioorganic Chemistry, University of La Laguna, 38206, Tenerife, Spain

Siphonarienal 1, a pentapropionate derivative, was isolated from the marine pulmonate mollusk *Siphonaria grisea*. Its structure was established on the basis of its spectroscopic data and its absolute configuration was assured by its enantioselective synthesis.



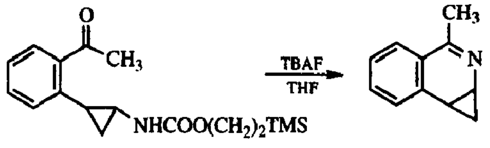
1

Tetrahedron Letters, **1994**, *35*, 3417

THE FORMATION OF 3-METHYL-1A,7B-DIHYDRO-1H-CYCLOPROP[*C*]ISOQUINOLINE FROM *TRANS*-*N*-[2-(2-ACETYLPHENYL)-CYCLOPROPYL]-2-(TRIMETHYLSILYL)-ETHYLCARBAMATE

Ulf Appelberg, Jerk Vallgårda and Uli Hacksell*, Department of Organic Pharmaceutical Chemistry, Uppsala Biomedical Centre, Box 574, Uppsala University, S-751 23 Uppsala, Sweden

3-methyl-1a,7b-dihydro-1H-cycloprop[*c*]isoquinoline is formed from *trans*-2-(2-acetylphenyl)cyclopropylamine generated in situ. The mechanism for this reaction appears to involve the formation of a *cis*-arylcyclopropylamine via a homoconjugated intermediate.



(1*R*,2*S*)-2

(±)-3