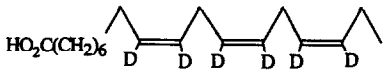
## GRAPHICAL ABSTRACTS

*Tetrahedron Letters*, **1994**, *35*, 9497

**SYNTHESIS OF DEUTERIUM LABELED POLYUNSATURATED FATTY ACIDS.** Aleš Svatoš, Athula B. Attygalle, and Jerrold Meinwald,*
Baker Laboratory, Department of Chemistry, Cornell University, Ithaca, New York 14853, USA

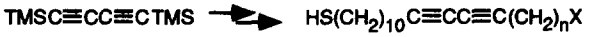
The addition of *bis*(2-deuteriocyclohexyl)borane-B-$D_1$ to skipped alkynes followed by treatment with $CH_3CO_2D$ is a convenient method for synthesizing labeled polyunsaturated fatty acids bearing *cis* deuterium atoms at the double bonds.




*Tetrahedron Letters*, **1994**, *35*, 9501

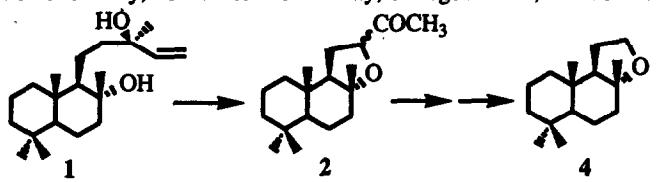
**POLYMERIC SELF-ASSEMBLING MONOLAYERS. 1. SYNTHESIS AND CHARACTERIZATION OF ω-FUNCTIONALIZED *n*-ALKANETHIOLS CONTAINING A CONJUGATED DIACETYLENE GROUP.**
Taisun Kim and Richard M. Crooks,* Department of Chemistry,
Texas A&M University, College Station, Texas 77843-3255 USA

Alkylation of diacetylene molecules and conversion of diacetylenic bromides to diacetylenic thiols




*Tetrahedron Letters*, **1994**, *35*, 9505

**An Improved Synthesis of (-)-Dodecahydro-3a,6,6,9a-tetramethylnaphtho[2,1-b]furan via Ozonolysis of (-)-Sclareol.**
Derek H.R.Barton,* Dennis K.Taylor and Chi-lam Tse
Department of Chemistry, Texas A&M University, College Station,TX 77843-3255, USA.

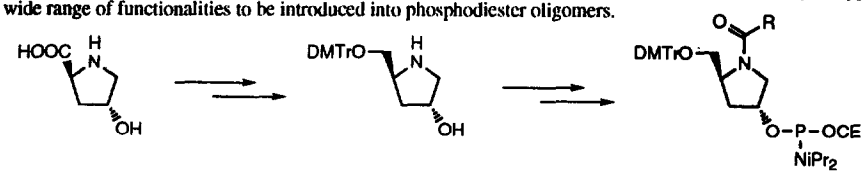



Ozonolysis of (-)-Sclareol **1** in the presence of sodium periodate or iodine affords excellent yields of the methyl-ketone **2** which can be further elaborated into the title compound.

*Tetrahedron Letters*, **1994**, *35*, 9509

**SYNTHESIS OF N-SUBSTITUTED HYDROXYPROLINOL PHOSPHORAMIDITES FOR THE PREPARATION OF COMBINATORIAL LIBRARIES.** Normand Hébert*, Peter W. Davis, Elizabeth L. DeBaets and Oscar L. Acevedo, ISIS Pharmaceuticals, 2292 Faraday Avenue, Carlsbad, CA 92008.

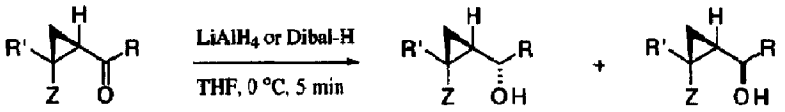
A series of N-substituted DMT-hydroxymethylpyrrolidinol phosphoramidites has been prepared from trans-4-hydroxyproline, allowing a wide range of functionalities to be introduced into phosphodiester oligomers.



*Tetrahedron Letters*, **1994**, *35*, 9513

**Effect of the Substituents on the Diastereoselectivity in the Reduction of Cyclopropyl Ketones.** Patrick H. M. Delanghe and Mark Lautens,* Department of Chemistry, University of Toronto, Toronto, M5S 1A1, Canada

A variety of (Z)-substituted cyclopropyl ketones were found to undergo a highly diastereoselective reduction with hydride reagents.




R = alkyl, R' = alkyl, $Me_3Si$, $Bu_3Sn$
Z = alkyl, $Me_3Si$, $Bu_3Sn$

15 to 29 : 1

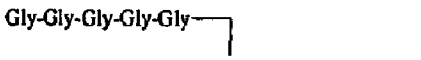
*Tetrahedron Letters*, **1994**, *35*, 9517

***Muramyl Peptide Analogs : Synthesis of a Depsipeptide Using Orthogonal SPPS***

Barry R. Cunningham*, John Hannah and A. Brian Jones

*Merck Research Laboratories, P.O. Box 2000, Rahway NJ, 07065.*

A depsipeptide analog of a muramyl peptide was synthesized and shown to be chemically and stereochemically compatible with Boc and Fmoc strategies as well as HF cleavage conditions.

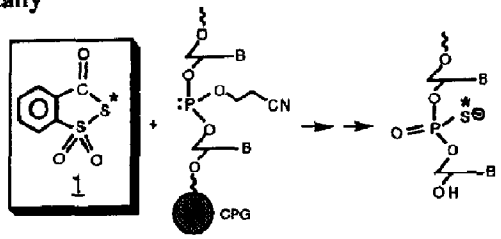



*Tetrahedron Letters*, **1994**, *35*, 9521

**Synthesis of [$^{35}$S]3*H*-1,2-Benzodithiole-3-one-1,1-Dioxide: Application in the Preparation of Site-specifically $^{35}$S-labeled Oligonucleotides.**

Radhakrishnan P. Iyer, Weitian Tan, Dong Yu and Sudhir Agrawal, Hybridon Inc., One Innovation Drive, Worcester, MA 01605.

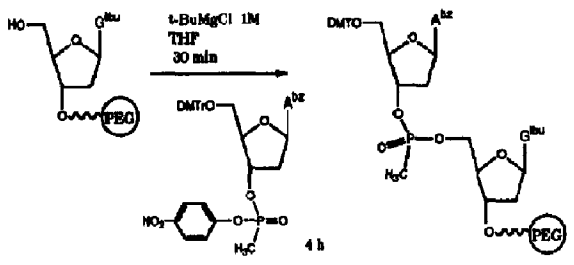
Synthesis of [$^{35}$S]3*H*-1,2-benzodithiole-3-one-1,1-dioxide (**1**) and its use in the preparation of site-specifically $^{35}$S-labeled-oligonucleotides is illustrated.




*Tetrahedron Letters*, **1994**, *35*, 9525

**STEREOSPECIFIC GRIGNARD ACTIVATED COUPLING OF A DEOXYNUCLEOSIDE METHYLPHOSPHONATE ON A POLYETHYLENE GLYCOL SUPPORT.** Christine Lel Bec and Eric Wickstrom*, Department of Pharmacology, Thomas Jefferson University, Philadelphia, Pennsylvania, 19107, USA.

Stereospecific Rp and Sp methylphosphonate diastereoisomers of d(ApG) were synthesized on a polyethylene glycol support, by the Grignard reagent solution route described by Stec.

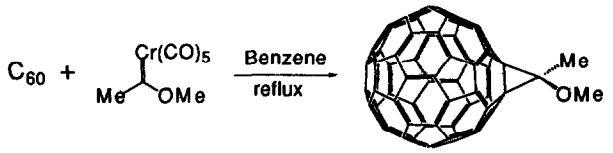


*Tetrahedron Letters*, **1994**, *35*, 9529

## CYCLOPROPANATION OF $C_{60}$ VIA A FISCHER CARBENE COMPLEX

Craig A. Merlic,* and Holly D. Bendorf
Department of Chemistry and Biochemistry, University of California, Los Angeles, CA 90024-1569

Cyclopropanation of $C_{60}$ via a Fischer carbene complex is reported.

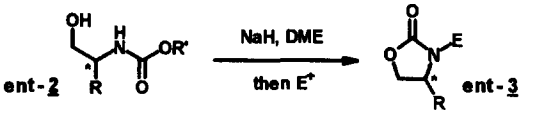



*Tetrahedron Letters*, **1994**, *35*, 9533

## ONE POT SYNTHESIS OF *N*-DERIVATIZED 2-OXAZOLIDINONES FROM AMINO ALCOHOL CARBAMATES.

Christoph M. Huwe and Siegfried Blechert* - Institut für Organische Chemie der Technischen Universität Berlin, Straße des 17. Juni 135, D-10623 Berlin, Germany.

A one pot protocol for the synthesis of *N*-derivatized 2-oxazolidinones from amino alcohol carbamates is described.

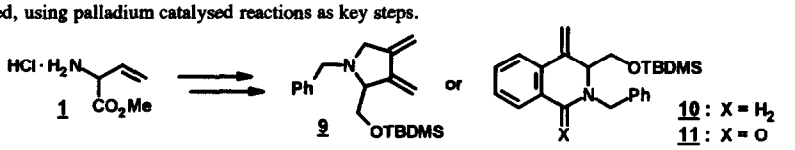



*Tetrahedron Letters*, **1994**, *35*, 9537

## SYNTHESIS OF NITROGEN HETEROCYCLES FROM VINYL GLYCINE DERIVATIVES VIA PALLADIUM CATALYSIS.

Christoph M. Huwe and Siegfried Blechert* - Institut für Organische Chemie der Technischen Universität Berlin, Straße des 17. Juni 135, D-10623 Berlin, Germany.

Useful transformations of vinyl glycine derivatives to nitrogen heterocycles bearing pyrrolidine or isoquinoline moieties are described, using palladium catalysed reactions as key steps.

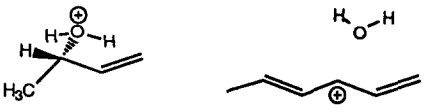



*Tetrahedron Letters*, **1994**, *35*, 9541

## A QUANTUM MECHANICAL STUDY ON CARBOCATION FORMATION ENERGY IN (POLY) ETHYLENIC COMPOUNDS.

C. Giessner-Prettre, Chimie Organique Théorique (URA 506 CNRS), Université P. & M. Curie, 4 place Jussieu, 75252- Paris Cedex 05 - J. Maddaluno, J. E. Ancel, P. Duhamel and L. Duhamel, Chimie Organique (URA 464 CNRS), Université de Rouen et IRCOF, 76821-Mont St Aignan Cedex - H. Bienaymé, Rhône-Poulenc Ind. CRIT Carrières - BP 62, 69192 - Saint Fons Cedex.

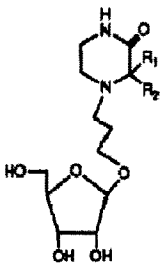
Semiempirical and *ab initio* calculations on (di)allylic alcohols show that the differences observed between their acid-catalyzed reactivity depend on their protonation-dehydration energy and is related to major structural differences between protonated intermediates.



*Tetrahedron Letters*, **1994**, *35*, 9545

## SYNTHESIS AND ANTIRETROVIRAL EVALUATION OF 3-ALKYL 2-PIPERAZINONE NUCLEOSIDE ANALOGS

A. Benjahad, R. Benhaddou, R. Granet, M. Kaouadji, P. Krausz*, S. Piekarski, F. Thomasson, C. Bosgiraud and S. Delebassée. *Laboratoire de Chimie des Substances Naturelles, Faculté des Sciences, 123, Avenue Albert Thomas 87060 Limoges Cedex, France*



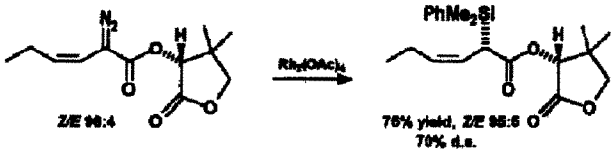
Abstract: Glycosylation of 3-alkyl $N^4$-(3-hydroxypropyl) 2-piperazinones by protected 1-*O*-acetyl ribofuranoses produces nucleoside analogs in which the base is separated from the sugar by a hydrocarbon spacer arm. The preliminary *in vitro* test results against retroviruses seem promising for compounds bearing a long alkyl chain.

*Tetrahedron Letters*, **1994**, *35*, 9549

## RHODIUM(II)-VINYLCARBENOID INSERTION INTO THE Si-H BOND. A NEW STEREOSPECIFIC SYNTHESIS OF ALLYLSILANES

Yannick Landais* Denis Planchenault and Valéry Weber

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




$Rh_2(OAc)_4$ catalysed decomposition of vinyldiazoesters in the presence of organosilanes led *stereospecifically* to the corresponding allylsilanes in good yields. An asymmetric approach has also been considered as well as the extension of the methodology to other allylic systems.

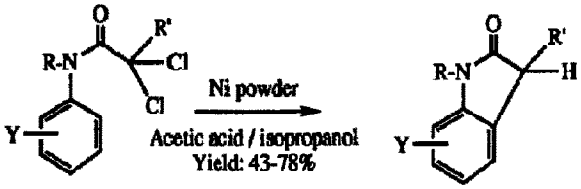
*Tetrahedron Letters*, **1994**, *35*, 9553

## A NEW AND PRACTICAL SYNTHESIS OF INDOLONES.

Jean Boivin[a], Mohammed Yousfi[a] and Samir Z. Zard[a,b]*

a) *Laboratoire de Synthèse Organique Associé au C. N. R. S., Ecole Polytechnique, F-91128 Palaiseau, France.*

*b) Institut de Chimie des Substances Naturelles, 91198 Gif-Sur-Yvette, France.*



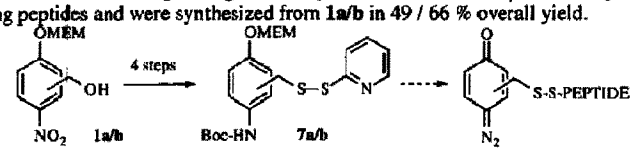

*Tetrahedron Letters*, **1994**, *35*, 9557

## SYNTHESIS OF ACTIVATED DISULFIDE ADDUCTS CONTAINING A 4-DIAZOCYCLOHEXA-2,5-DIENONE PRECURSOR FOR PHOTOAFFINITY LABELLING.

Christophe Dugave* and Pascal Kessler

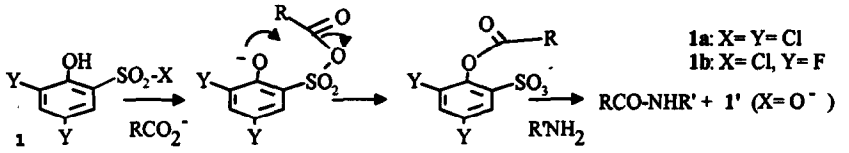
CEA, Département d'Ingéniérie et d'Etudes des Protéines (DIEP), C.E. Saclay, 91191 Gif-sur-Yvette Cedex, France.

Activated disulfides **7a/b**, precursors of corresponding 4-diazocyclohexa-2,5-dienones, were designed for covalent but reversible binding to cysteine containing peptides and were synthesized from **1a/b** in 49 / 66 % overall yield.



*Tetrahedron Letters*, **1994**, *35*, 9561

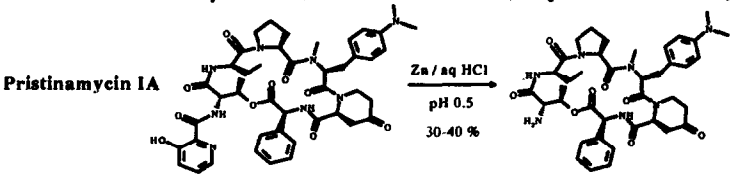
**A Low-epimerizing Peptide Coupling Reagent Based on the Rearrangement of a Carboxylic-Sulfonic Mixed Anhydride.** Daniel Cabaret and Michel Wakselman
CNRS-CERCOA, 2 rue Henri Dunant, F-94320 Thiais, France




The Young and Anteunis tests show a very low degree of epimerization in methylene chloride and acetonitrile.

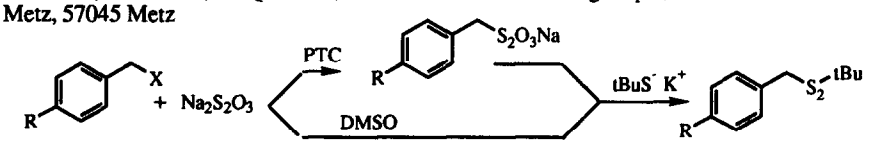
*Tetrahedron Letters*, **1994**, *35*, 9565

**PREPARATION OF DES-3-HYDROXY-PICOLINOYL PRISTINAMYCINS I**
Jean-Claude Barrière*, Eric Bacqué, Jean-Marc Paris, Franca Albano, Christian Molherat, Jean François, Marc Vuilhorgne
Centre de Recherche de Vitry-Alfortville, Rhône-Poulenc-Rorer S.A., 13 Quai Jules Guesde BP 14, 94403 Vitry sur Seine Cedex France.




*Tetrahedron Letters*, **1994**, *35*, 9569

**MEDIUM EFFECTS IN UNSYMMETRICAL DISULFIDES COMPOUNDS SYNTHESIS FROM BUNTE SALTS**
HIVER P, DICKO A, PAQUER D, Laboratoire de Chimie Organique, faculté des sciences de Metz, 57045 Metz

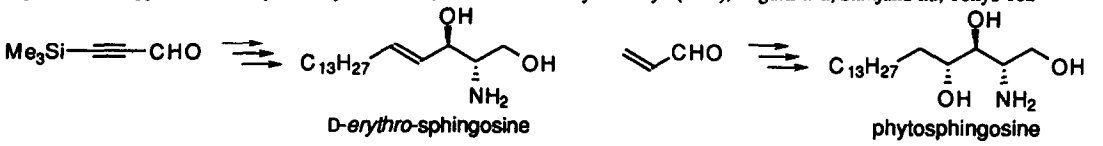



R = $NO_2$, Br, Me, MeO, O-C(O)-Ar ; X = Cl, Br

*Tetrahedron Letters*, **1994**, *35*, 9573

**Enantioselective Syntheses of D-*erythro*-Sphingosine and Phytosphingosine from Simple Achiral Aldehydes Using Catalytic Asymmetric Aldol Reactions as Key Steps**

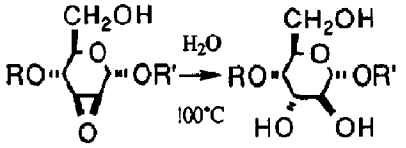
Shū KOBAYASHI,* Takaomi HAYASHI, and Takashi KAWASUJI
Department of Applied Chemistry, Faculty of Science, Science University of Tokyo (SUT), Kagurazaka, Shinjuku-ku, Tokyo 162



*Tetrahedron Letters*, **1994**, *35*, 9577

## GENERAL METHOD FOR PREPARING ALTROSIDES FROM 2,3-MANNO-EPOXIDES AND ITS APPLICATION TO SYNTHESIS OF ALTERNATIVE β-CYCLODEXTRIN WITH AN ALTROSE AS THE CONSTITUENT OF MACROCYCLIC STRUCTURE

Kahee Fujita,*[a] Kazuko Ohta,[a] Yoshihiro Ikegami,[a] Hideaki Shimada,[a] Tsutomu Tahara,[b] Yasuyoshi Nogami,[b] Toshitaka Koga,[b] Kazuki Saito,[c] and Terumi Nakajima[c]
[a]Faculty of Pharmaceutical Sciences, Nagasaki University, Bunkyo-machi, Nagasaki 852, Japan, [b]Daiichi College of Pharmaceutical Sciences, Tamagawa, Minami-ku, Fukuoka 815, Japan, and [c]Suntory Institute of Bioorganic Research, Wakayamadai, Shimamoto-cho, Mishima-gun, Osaka 618, Japan.

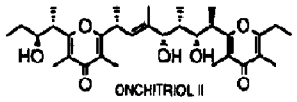


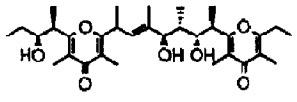

*Tetrahedron Letters*, **1994**, *35*, 9581

## Synthetic Studies on Fully Substituted γ-Pyrone-Containing Natural Products: The First Total Synthesis of Onchitriol II

H. Arimoto, S. Nishiyama, and S. Yamamura
Dept. of Chemistry, Faculty of Science and Technology, Keio University, Hiyoshi, Yokohama, Japan

The first synthesis of onchitriol II was reported. Key to this synthesis was our mild conditions for γ-pyrone cyclization. Structural revidion of onchitriol I was also discussed.

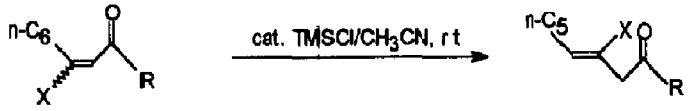



*Tetrahedron Letters*, **1994**, *35*, 9585

## Novel Chlorotrimethylsilane Catalyzed Stereoselective Deconjugation of β-Bromo (or Iodo) Substituted α,β-Unsaturated Acyclic Ketone

Fen-Tair Luo,* Li-Chen Hsieh
Institute of Chemistry, Academia Sinica, Nankang, Taipei, Taiwan, ROC



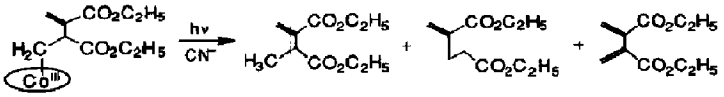

R = Me, n-$C_5$, Ph; X = I, Br.

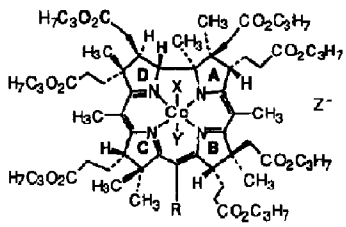
*Tetrahedron Letters*, **1994**, *35*, 9589

## ISOMERIZATION OF AN AXIAL LIGAND COORDINATED TO HYDROPHOBIC VITAMIN $B_{12}$ AS EFFECTED BY CYANIDE ION AND MICROENVIRONMENT

Teruhisa Ohno, Akihiro Ogawa, Yoshio Hisaeda, and Yukito Murakami*
Department of Chemical Science and Technology, Faculty of Engineering, Kyushu University, Fukuoka 812, Japan

The cyanide ion enhanced a carbon-skeleton rearrangement of the 2,3-bis(ethoxycarbonyl)-1-butene moiety bound to hydrophobic vitamin $B_{12}$ derivatives in bialyer vesicles under photolysis conditions.



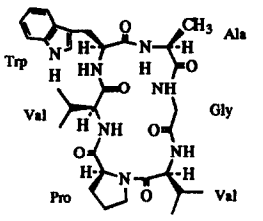

Hydrophobic Vitamin $B_{12}$

*Tetrahedron Letters*, **1994**, *35*, 9893

## SEGETALIN A, A NEW CYCLIC HEXAPEPTIDE FROM *VACCARIA SEGETALIS*

**Hiroshi Morita, Young Sook Yun, Koichi Takeya and Hideji Itokawa***

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



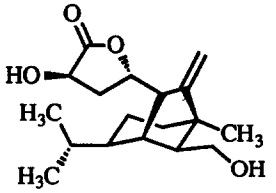

Segetalin A (1)

*Tetrahedron Letters*, **1994**, *35*, 9597

## SOROKINIANIN: A NOVEL PHYTOTOXIN PRODUCED BY THE PHYTOPATHOGENIC FUNGUS *BIPOLARIS SOROKINIANA*.

Hiromitsu Nakajima,* Keiko Isomi and Takashi Hamasaki,
Department of Bio-resource Science, Faculty of Agriculture, Tottori University, Koyama, Tottori 680, Japan
Masakatsu Ichinoe,
National Institute of Hygienic Sciences, Setagaya-ku, Tokyo 158, Japan

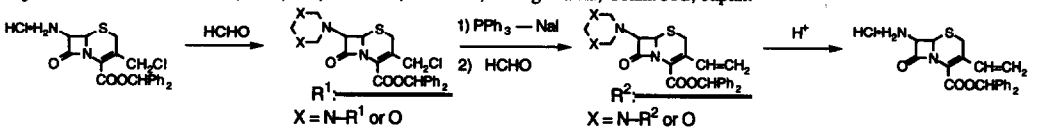
The structure of sorokinianin, a phytotoxin produced by an isolate of *Bipolaris sorokiniana* from imported barley grain, was determined by 1D and 2D NMR analysis.



*Tetrahedron Letters*, **1994**, *35*, 9601

## A CONVENIENT PROTECTIVE METHOD FOR THE 7-AMINO FUNCTION ON A CEPHEM DERIVATIVE IN WITTIG VINYLATION

Yousuke Katsura[a] and Matsuhiko Aratani[b], New Drug Research Laboratories[a] and Manufacturing Technology Laboratories[b], Fujisawa Pharmaceutical Co., Ltd., 1-6, 2-chome, Kashima, Yodogawa-ku, Osaka 532, Japan.



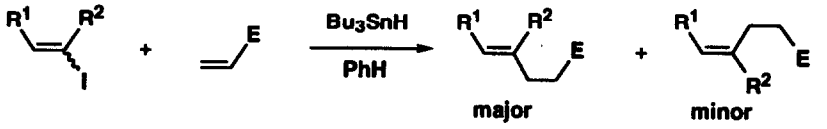

For protection of the 7-amino function on a cephem nucleus, azine skeletons incorporating this amino group were used. After the Wittig vinylation, the azine moieties were readily removed under mild acidic conditions.

*Tetrahedron Letters*, **1994**, *35*, 9605

## Stereoselective Synthesis of Di- and Trisubstituted Alkenes *via* Intermolecular Addition of Vinyl Radicals to Alkenes

Katsukiyo Miura, Daisuke Itoh, Takeshi Hondo, and Akira Hosomi
Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305, Japan

Vinyl radicals, generated from vinyl iodides by tributylstannyl radical, react with electron-deficient alkenes to give di- and trisubstituted alkenes.



*Tetrahedron Letters*, **1994**, *35*, 9609

## CARBONYLATION OF SELENILIMINES TO ARYLSULFONYL ISOCYANATES

Gábor Besenyei, Sándor Németh, and László I. Simándi
Central Research Institute for Chemistry of the Hungarian Academy of Sciences,
H-1525 Budapest, P. O. Box 17, Hungary

Catalytic carbonylation of selenilimines $ArSO_2N{=}SePh_2$ provides arylsulfonyl isocyanates. This transformation represents a new example for the two-step oxidative N-carbonylation.

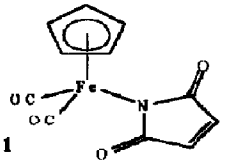
$$ArSO_2N{=}SePh_2 + CO \xrightarrow[50\ ^\circ C]{\text{Pd -complex, 35 bar}} ArSO_2NCO + Ph_2Se$$

*Tetrahedron Letters*, **1994**, *35*, 9611

## ($\eta^5$-CYCLOPENTADIENYL)Fe(CO)$_2$-COMPLEX OF MALEIMIDE ANION: AN ORGANOMETALLIC CARBONYL PROBE FOR BIOMOLECULES CONTAINING HS GROUPS

Bogna Rudolf and Janusz Zakrzewski*. Department of Organic Chemistry, University of Łódź,
90-136 Łódź, Narutowicza 68, Poland

A metal carbonyl probe **1** designed for biological thiols has been prepared and tested in the reaction with L-cysteine ethyl ester hydrochloride and glutathione.



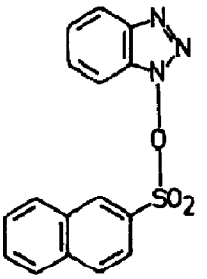
**1**

*Tetrahedron Letters*, **1994**, *35*, 9613

## USE OF 1-β-NAPHTHALENESULFONYLOXYBENZOTRIAZOLE AS COUPLING REAGENT IN SOLID PHASE PEPTIDE SYNTHESIS

Bijoy Kundu*, Sushma Shukla and Manisha Shukla,
Division of Biopolymers,
Central Drug Research Institute,
Lucknow- 226001,India.

Application of 1-β-napthalenesulfonyloxybenzotriazole (NSBt) as an efficient coupling reagent in solid phase procedure is reported.

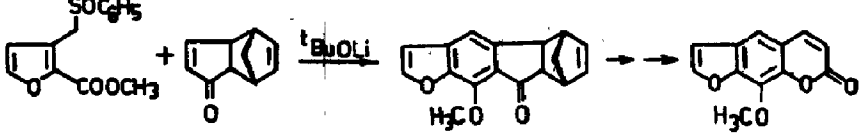


*Tetrahedron Letters*, **1994**, *35*, 9617

## A SEQUENTIAL ANIONIC [4+3] CYCLOADDITION AND THERMAL [4+2] CYCLOREVERSION STRATEGY TO FUROCOUMARINS : A CONCISE SYNTHESIS OF METHOXSALEN

D. Mal, *, K. V. S. N. Murthy and K. Dutta
Department of Chemistry, Indian Institute of Technology, Kharagpur : 721 302, INDIA

A new route as shown below, has been developed to accomplish the synthesis of methoxsalen.



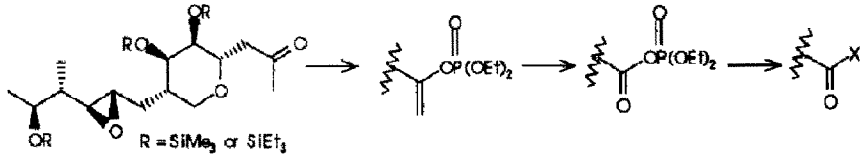
**Methoxsalen**

*Tetrahedron Letters*, **1994**, *35*, 9619

**NOVEL SYNTHESIS OF A MIXED PHOSPHONIC ANHYDRIDE. A ROUTE TO CARBOXYLIC ACID DERIVATIVES FROM A METHYL KETONE.**

Pamela Brown* and Peter J. O'Hanlon, SmithKline Beecham Pharmaceuticals, Brockham Park, Betchworth, Surrey, RH3 7AJ.

Conversion of a methyl ketone to the kinetic enol phosphate followed by ozonolysis provides a novel synthesis of a mixed phosphonic anhydride.

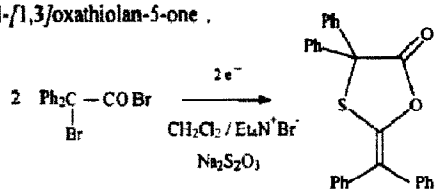



*Tetrahedron Letters*, **1994**, *35*, 9623

**SURPRISING FORMATION OF A NEW SULPHURATED HETEROCYCLE BY CATHODIC REDUCTION OF 2-BROMO-2,2-DIPHENYLACETYL BROMIDE**

Jose I. Lozano and Fructuoso Barba*, Departamento de Química Orgánica, Universidad de Alcalá de Henares. Madrid. Spain.

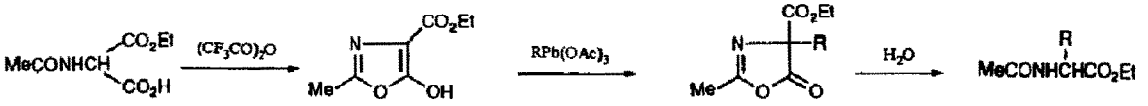
Electroreduction of 2-bromo-2,2-diphenylacetyl bromide on graphite cathode in the presence of sodium thiosulphate led to 2-benzhydrylidene-4,4-diphenyl-[1,3]oxathiolan-5-one .




*Tetrahedron Letters*, **1994**, *35*, 9625

**Reaction of Organolead Triacetates with 4-Ethoxycarbonyl-2-methyloxazol-5-one. The Synthesis of α-Aryl and α-Vinyl N-Acetylglycine Ethyl Esters and Their Enzymic Resolution.**

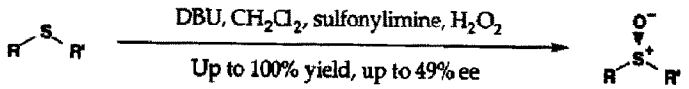
Jacqueline Morgan and John T. Pinhey*, Department of Organic Chemistry, University of Sydney, Sydney 2006, Australia




*Tetrahedron Letters*, **1994**, *35*, 9629

**A New System for Catalytic Asymmetric Oxidation of Sulfides using a Hydrogen Peroxide Based Reagent**

Philip C. Bulman Page,* Jag P. Heer, Donald Bethell, Eric W. Collington† and David M. Andrews†
*Robert Robinson Laboratories, Department of Chemistry, University of Liverpool, Oxford Street, Liverpool, L69 3BX, England; †Glaxo Group Research Ltd., Greenford Road, Greenford, Middlesex UB6 0HE, England*



*Tetrahedron Letters*, **1994**, *35*, 9633

## Solid-Phase Synthesis of "Head-to-Tail" Cyclic Peptides *via* Lysine Side-Chain Anchoring

Jordi Alsina, Francesc Rabanal, Ernest Giralt, and Fernando Albericio

Department of Organic Chemistry, University of Barcelona, E-08028 Barcelona, Spain.

The disuccinimidyl carbonate (DSC) has been successfully used for the efficient conversion of conventional hydroxymethyl resins into active carbonate resins, which are suitable for the incorporation of protected amino acids *via* an amino function, allowing the preparation of "head-to-tail" cyclic lysine containing peptides.