

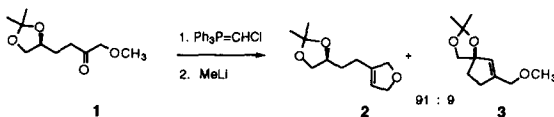
GRAPHICAL ABSTRACTS

IMPROVED CHEMOSELECTIVITY IN INTRAMOLECULAR ALKYLIDENE CARBENE C-H INSERTION

Douglas F. Taber and Thomas E. Christos, Department of Chemistry and Biochemistry,
University of Delaware, Newark, DE 19716 USA

Tetrahedron Letters, 1997, 38, 4927

The alkylidene carbene generated from **1** by chloromethylenation / α -elimination proceeds with a high degree of selectivity for methoxy C-H insertion, to give mainly **2**. In contrast, the alkylidene carbene generated from **1** by trimethylsilyldiazomethane addition leads to about a 1:1 mixture of **2** and **3**.

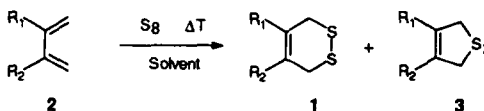


SULFURATION OF DIENES WITH ELEMENTAL SULFUR

Andrzej Z. Rys and David N. Harpp*
Department of Chemistry
McGill University
Montréal, Québec, Canada, H3A 2K6

Tetrahedron Letters, 1997, 38, 4931

Elemental sulfur reacts with conjugated 1,3-dienes, **2** to deliver cyclic di- (**1**) and polysulfides **3** in good yield with no activation other than heat. Mechanistic aspects are discussed.

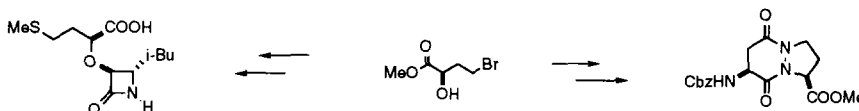


MALIC ACID: A CONVENIENT PRECURSOR FOR THE SYNTHESIS OF PEPTIDE SECONDARY STRUCTURE MIMETICS.

Hwa-Ok Kim*, Chris Lum and Min S. Lee. Molecumetics Ltd., 2023 120th Ave. N.E. Suite 400,
Bellevue, WA 98005-2199.

Tetrahedron Letters, 1997, 38, 4935

Syntheses of optically active ether-linked β -lactams and peptide secondary structure mimetics derived from (S)- or (R)-malic acid are described.

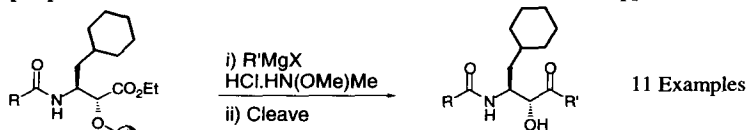


Solid Phase Synthesis of Ketones from Esters

Owen B. Wallace. *Combinatorial Drug Discovery*, Bristol-Myers Squibb
Pharmaceutical Research Institute, 5 Research Parkway, Wallingford, CT 06492.

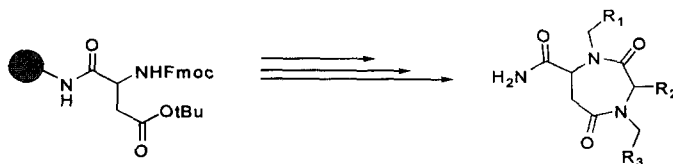
Tetrahedron Letters, 1997, 38, 4939

A one-pot procedure for the conversion of esters to ketones on solid support is described.



SOLID PHASE SYNTHESIS OF 1,3,4,7-TETRASUBSTITUTED**PERHYDRO-1,4-DIAZEPINE-2,5-DIONES.** Adel Nefzi, John M. Ostresh

and Richard A. Houghten*, Torrey Pines Institute for Molecular Studies, 3550 General Atomics Ct., San Diego, CA 92121 USA

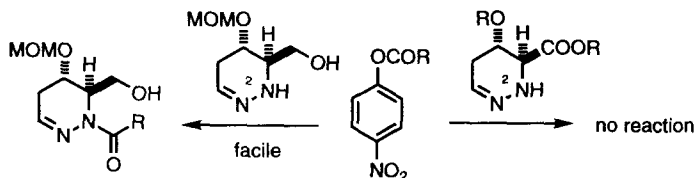
Tetrahedron Letters, 1997, 38, 4943**FURTHER STUDIES ON THE CHEMISTRY OF PIPERAZIC ACIDS: NEW BUILDING BLOCKS FOR β -HYDROXY- α -AMINOACIDS THROUGH THE AZA-ACHMATOWICZ REACTION**

Marco A. Ciufolini,* Toshio Shimizu, Shankar Swaminathan and Ning Xi

Department of Chemistry, MS60, Rice University, 6100 Main, Houston, TX 77005-1892, U.S.A.

Tetrahedron Letters, 1997, 38, 4947

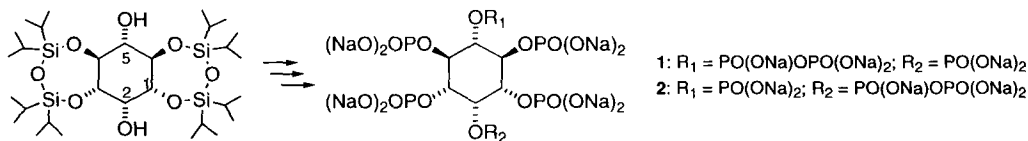
The reluctance of piperazic acids to undergo N-2 acylation is due to inductive, not steric, effects. These studies have unveiled new educts for enantioselective syntheses of β -hydroxy- α -aminoacids

**SYNTHESIS OF 2- AND 5-DIPHOSHO-*myo*-INOSITOL PENTAKIS-PHOSPHATE (2- AND 5-PP-InsP₅), INTRACELLULAR MEDIATORS.**

K. Malla Reddy, K. Kishta Reddy, and J. R. Falck*, Depts. of Biochemistry and Pharmacology, University of Texas Southwestern Medical Center, Dallas, Texas 75235-9038

Tetrahedron Letters, 1997, 38, 4951

The title pyrophosphates were prepared from a readily available bis-disiloxanylidene derivative of *myo*-inositol.

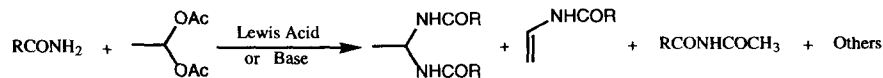
**REACTIONS OF ETHYLIDENE DIACETATE: FORMATION OF N-VINYLAMIDE PRECURSORS ETHYLIDENE BISACETAMIDE AND ETHYLIDENE BISFORMAMIDE.**

John J. Rabasco and Francis J. Waller

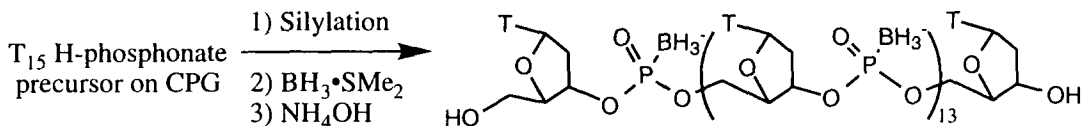
Corporate Science and Technology Center, Air Products and Chemicals, Inc., Allentown, PA 18195 USA

Tetrahedron Letters, 1997, 38, 4953

Ethylidene diacetate reacts with formamide or acetamide under stoichiometric base or catalytic Lewis acid conditions to afford the corresponding ethylidene bisamides and N-vinylamides. Sn(OAc)₂ afforded an overall 82.6% selectivity to the desired acetamide derivatives. Sn(OAc)₂ and Zn(OAc)₂ facilitate amide attack at the tertiary carbon of ethylidene diacetate.



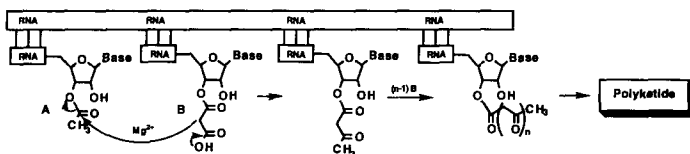
SYNTHESIS AND HYBRIDIZATION STUDY OF A BORANOPHOSPHATE-LINKED OLIGOTHYMIDINE DEOXYNUCLEOTIDE. Jiancun Zhang, Terry Terhorst and Mark D. Matteucci*, Gilead Sciences, Inc., 353 Lakeside Drive, Foster City, CA 94404 USA



HOW WERE PORPHYRINS AND LIPIDS SYNTHESIZED IN THE RNA WORLD?

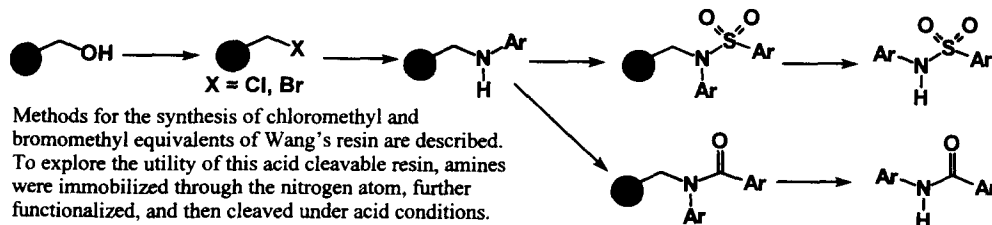
A. I. Scott, Department of Chemistry, Texas A&M University, College Station, TX 77843-3255

Molecular mechanisms are proposed for carbon-carbon bond forming processes in the RNA world leading to the lipids necessary for the membranes and to the production of porphyrinoids in these organisms.



Use of Halomethyl Resins to Immobilize Amines: An Efficient Method for

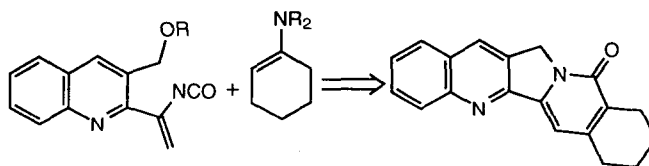
Synthesis of Sulfonamides and Amides on a Solid Support. B. Raju* and Timothy P. Kogan, Department of Chemistry & Biophysics, Texas Biotechnology Corporation, 7000 Fannin, Suite 1920, Houston, TX 77030, U.S.A.



VINYL ISOCYANATES IN ALKALOID SYNTHESIS. CAMPTOTHECIN MODEL STUDIES

James H. Rigby* and Diana Danca
Department of Chemistry, Wayne State University,
Detroit, MI 48202-3489, USA

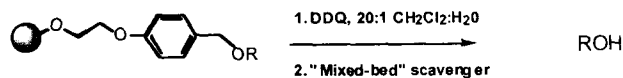
Model studies directed toward camptothecin employing a vinyl isocyanate-enamine cyclocondensation are reported.



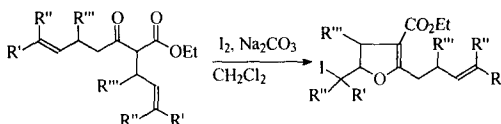
**Non-Acidic Cleavage of Wang-Derived Ethers From Solid-Support:
Utilization of a Mixed-Bed Scavenger For DDQ**

 Tracy Deegan, Owen W. Gooding, Sylvie Baudart, and John A. Porco, Jr.* Argonaut Technologies, Inc.,
887G Industrial Road, San Carlos, CA 94070

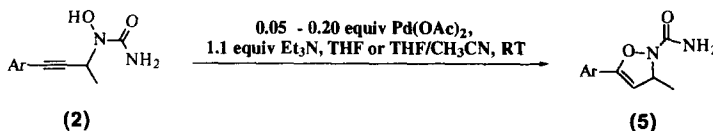
Ethers derived from ArgoGel Wang-Chloride resin have been prepared and cleaved using both TFA and DDQ cleavage procedures. In order to facilitate the removal of excess DDQ and DDQH from cleaved products, a mixed-bed ion exchange scavenger has been developed.


**Iodine Promoting Cyclofunctionalization Reaction of 2,4-Dialkenyl-
1,3-Dicarbonyl Compounds.**

 Hélio A. Stefani^{a*}, Nicola Petragani^b,
Claudete J. Valduga^a, Carlos A. Brandt^{c*} ^aDepartamento de Farmácia, Faculdade de Ciências Farmacêuticas, Universidade de São Paulo, São Paulo, SP - Brasil; ^bInstituto de Química, Universidade de São Paulo, São Paulo, SP - Brasil; ^cInstituto Butantan, São Paulo, SP - Brasil

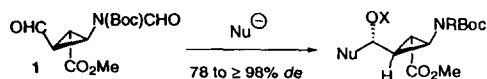
 The reaction of 2,4-disubstituted-1,3-dicarbonyl compounds with I₂ lead to 5-iodoalkyl-4,5-dihydrofurans rings in good yield and mild conditions.

**SUBSTITUTED 2,3-DIHYDROISOXAZOLES (Δ⁴-ISOXAZOLINES) VIA
PALLADIUM-MEDIATED CYCLIZATION OF PROPARGYLIC N-HYDROXYUREAS**

 Eric J. Stoner^{*}, Brian A. Roden and Sanjay Chemburkar.
Process Research and Development, Abbott Labs, N. Chicago, IL 60064

 Treatment of propargylic N-hydroxyureas with Pd(OAc)₂ in the presence of Et₃N affords 2,3-dihydroisoxazoles in moderate to high yields. Isoxazoles are formed as by-products of this cyclization.

**Exceptionally High Felkin-Anh Control for the Addition of Nucleophiles
to a β-Aminocyclopropylcarbaldehyde**

 Christian Bubert^a and Oliver Reiser^{b*}
^aInst. f. Organische Chemie der Universität Göttingen, Tammannstr. 2, D-370 7-Göttingen

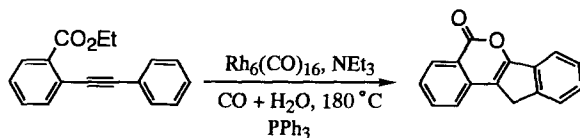
^bInstitut für Organische Chemie der Universität Stuttgart, Pfaffenwaldring 55, D-70569 Stuttgart

 Non chelation controlled addition of nucleophiles to the cyclopropylcarbaldehyde **1** proceeds with high diastereoselectivity in agreement with the Felkin-Anh model.


**NOVEL RHODIUM-CATALYZED CYCLIC CARBOXYLATION
OF 2-PHENYLETHYNYLBENZOATES LEADING TO**

INDENO[1,2-c]ISOCOUMARIN. Takashi Sugioka, Eiji Yoneda, Kiyotaka Onitsuka, Shi-Wei Zhang, and Shigetoshi Takahashi*
The Institute of Scientific and Industrial Research, Osaka University, Ibaraki, Osaka 567, Japan

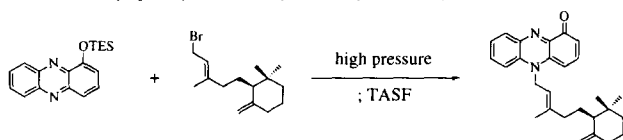
Tetrahedron Letters, 1997, 38, 4989



**TOTAL SYNTHESIS OF PHENAZINOMYCIN AND ITS ENANTIOMER
VIA HIGH-PRESSURE REACTION**

Yoshiharu Kinoshita and Takeshi Kitahara*
Department of Applied Biological Chemistry, Graduate School of Agricultural Life Sciences,
The University of Tokyo, 1-1-1 Yayoi, Bunkyo-ku, Tokyo 113, Japan

Tetrahedron Letters, 1997, 38, 4993



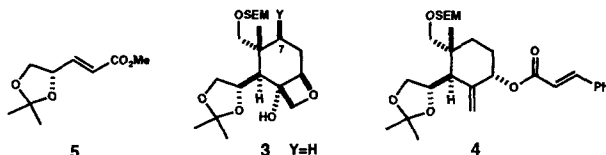
Phenazinomycin, an *N*-alkylated phenazine antibiotic, was synthesized by using high-pressure reaction.

**EFFICIENT SYNTHESIS OF THE TAXANE C RING BY
FRAGMENTATION REACTION OF A BICYCLO[2.2.2]OCTANE
DERIVATIVE**

Yoshimasa Hirai, Takuya Suga, and Hiroto Nagaoka*
Meiji College of Pharmacy, Yato-cho, Tanashi, Tokyo 188, Japan

Tetrahedron Letters, 1997, 38, 4997

Compounds **3** and **4** were synthesized stereoselectively from methyl (*E,S*)-3-(2,2-dimethyl-1,3-dioxolan-4-yl)-2-pentenoate (**5**) using fragmentation reaction of a bicyclo[2.2.2]octane derivative as a key step.

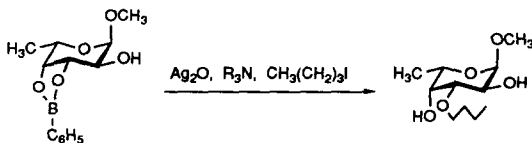


**COMPLEXATION-INDUCED ACTIVATION OF SUGAR OH GROUPS.
REGIOSELECTIVE ALKYLATION OF METHYL FUCOPYRANOSIDE
VIA CYCLIC PHENYLBORONATE IN THE PRESENCE OF AMINE.**

Kenji Oshima,[†] Ei-ichi Kitazono,[‡] and Yasuhiro Aoyama^{†,*}, [†] Department of BioEngineering, Nagaoka University of Technology, Kamitomioka, Nagaoka, Niigata 940-21, Japan, [‡] Fundamental Research of Organic Chemistry, Kyushu University, Hakozaki, Higashi-ku, Fukuoka 812-81, Japan

Tetrahedron Letters, 1997, 38, 5001

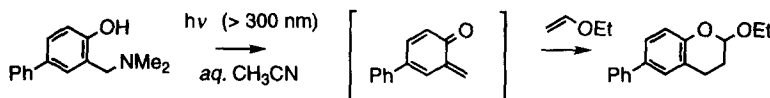
Methyl fucopyranoside undergoes highly regioselective 3-*O*-alkylation via complexation with phenylboronic acid.



**HIGHLY EFFICIENT PHOTOCHEMICAL
GENERATION OF *o*-QUINONE METHIDE
FROM MANNICH BASES OF PHENOL DERIVATIVES**

Kazuhiko Nakatani, Nobuhiro Higashida, and Isao Saito*

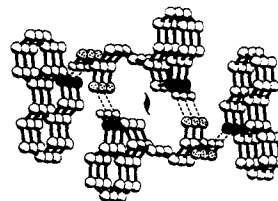
Department of Synthetic Chemistry and Biological Chemistry, Faculty of Engineering, Kyoto University, Kyoto 606-01, Japan, CREST, Japan Science and Technology Corporation



**PREPARATION, STRUCTURE AND DISCRIMINATION OF A
CHIRAL BIMOLECULAR CRYSTAL BY THE SELF-ASSEMBLY
OF 3-INDOLEPROPIONIC AND PHENANTHRIDINE.**

Hideko Koshima,* Eiji Hayashi,* Teruo Matsuura,* Koichi Tanaka,^b Fumio Toda,^b Midori Kato^c and Masashi Kiguchi*, * Department of Materials Chemistry, Faculty of Science and Technology, Ryukoku University, Seta, Otsu 520-21, Japan. ^b Department of Applied Chemistry, Faculty of Engineering, Ehime University, Matsuyama 790, Japan.

^c Advanced Research Laboratory, Hitachi, Ltd., Hatoyama, Saitama 350-03, Japan.

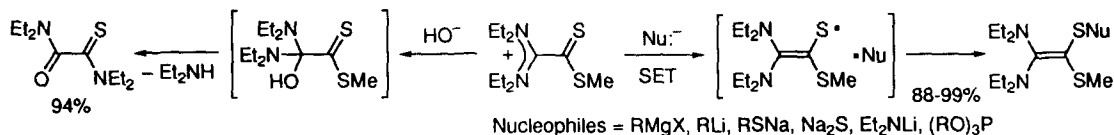


Although 3-indolepropionic acid and phenanthridine are achiral molecules, a chiral bimolecular crystal is spontaneously formed with a helical structure through hydrogen bonding.

**AMBIDENT REACTIVITIES OF BIS(DIETHYLAMINO)-
[(METHYLTHIO)THIOCARBONYL]CARBENIUM SALTS;
REACTIONS AT THE CARBENIUM CARBON ATOM VS. THIOCARBONYL SULFUR ATOM**

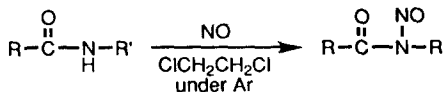
Juzo Nakayama,* Takashi Otani, Yoshiaki Sugihara, and Akihiko Ishii

Department of Chemistry, Faculty of Science, Saitama University, Urawa, Saitama 338, Japan



REACTION OF AMIDES WITH NITRIC OXIDE (NO)

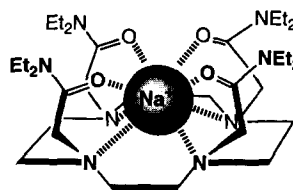
Takashi Itoh, Kazuhiro Nagata, Yûji Matsuya,
Michiko Miyazaki, and Akio Ohsawa*
School of Pharmaceutical Sciences,
Showa University, 1-5-8 Hatanodai,
Shinagawa-ku, Tokyo 142, Japan



Na⁺ ION-SPECIFIC BINDING AND EXTRACTION BY LIPOPHILIC ARMED CYCLENS VIA OCTADENTATE ENCAPSULATION. Hiroshi Tsukube,* Yoshihisa Mizutani, Satoshi Shinoda, Makoto Tadokoro and Kenzi Hori, *Department of Chemistry, Faculty of Science, Osaka City University, Osaka 558, Japan*

Ester- and amide-armed cyclens specifically formed octadentate complexes with Na⁺ ion, and predominantly extracted it from an aqueous mixture of alkali metal cations.

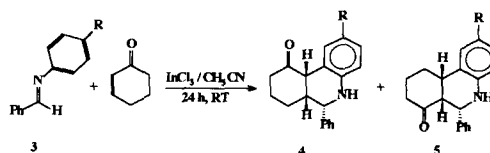
Tetrahedron Letters, 1997, 38, 5021



IMINO DIELS-ALDER REACTIONS CATALYZED BY INDIUM TRICHLORIDE (InCl₃). FACILE SYNTHESIS OF QUINOLINE AND PHENANTHRIDINONE DERIVATIVES.

Govindarajulu Babu and Paramasivan T. Perumal[†]
Organic Chemistry Division, Central Leather Research Institute,
Adyar, Chennai - 600 020, INDIA.

Anhydrous indium trichloride (InCl₃) is found to catalyze the imino Diels-Alder reactions and results in facile synthesis of quinoline and phenanthridinone derivatives.

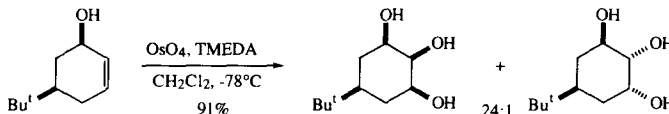


Tetrahedron Letters, 1997, 38, 5025

THE DIRECTED DIHYDROXYLATION OF ALLYLIC ALCOHOLS

Timothy J. Donohoe,* Peter R. Moore, Michael J. Waring and Nicholas J. Newcombe, *Department of Chemistry, The University of Manchester, Oxford Road, Manchester, M13 9PL, U. K. Zeneca Pharmaceuticals, Mereside, Alderley Park, Macclesfield, Cheshire. SK10 4TG, U. K.*

The reagent combination of OsO₄ and TMEDA in CH₂Cl₂ was shown to effect the directed dihydroxylation of both acyclic and cyclic allylic alcohols.



Tetrahedron Letters, 1997, 38, 5027

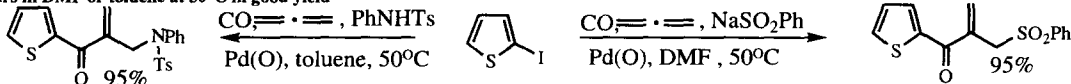
PALLADIUM CATALYSED TETRAMOLECULAR CASCADE PROCESSES INCORPORATING ALLENE AND CARBON MONOXIDE

Ronald Grigg^{a*}, Stephen Brown^b, Visuvanathar Sridharan^a and Michael D. Uttley^a

^aMolecular Innovation, Diversity and Automated Synthesis (MIDAS) Centre, School of Chemistry, Leeds University, Leeds LS2 9JT

^bZeneca Ltd., Process Technology Department, Huddersfield Works, HD2 1FF

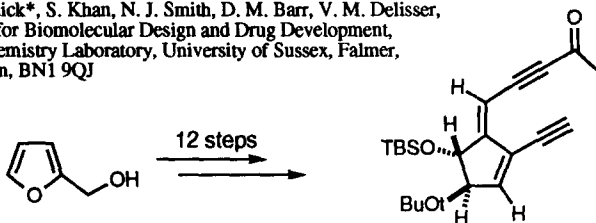
The chemo- and regio-specific sequential assembly of an aryl/heteroaryl iodide, CO(1atm), allene(1atm) and a S- or N- nucleophile occurs in DMF or toluene at 50°C in good yield



Tetrahedron Letters, 1997, 38, 5031

SYNTHESIS OF A DIHYDROXYLATED DIENEDIYNE ANALOGUE RELATED TO NEOCARZINOSTATIN CHROMOPHORE

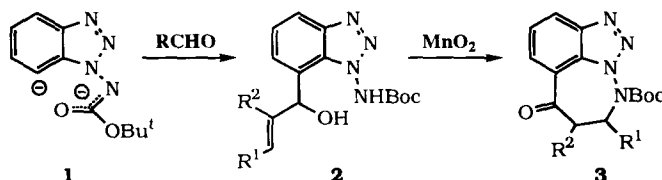
S. Caddick*, S. Khan, N. J. Smith, D. M. Barr, V. M. Delisser,
Centre for Biomolecular Design and Drug Development,
The Chemistry Laboratory, University of Sussex, Falmer,
Brighton, BN1 9QJ

**A New 1,2,3-Triazol[1,2]benzodiazepine Ring System**

D. W. Knight and P.B. Little,

Chemistry Department, Cardiff University, P.O. Box 912, Cardiff, CF1 3TB, UK.

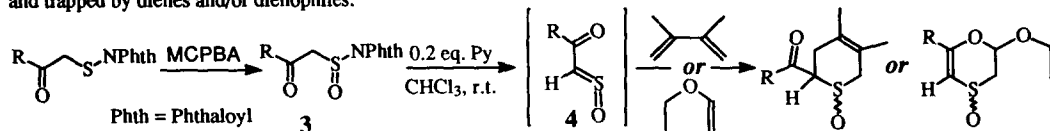
MnO₂ oxidation of allylic alcohols **2**, derived from dianion **1**, leads to the triazol[1,2]benzodiazepinones **3**

 **α -OXOSULFINES PART 3. ¹ GENERATION AND TRAPPING OF α -OXOTHIOALDEHYDE S-OXIDES.**

G. Capozzi,* A. Corti, S. Menichetti,* C. Nativi

Centro C.N.R. "Chimica dei Composti Eterociclici", Universita' di Firenze, Via G. Capponi 9, I-50121, Firenze Italy.

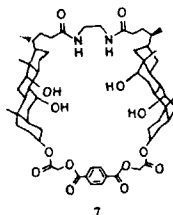
α -Oxothioaldehyde S-Oxides **4** are generated under very mild conditions from N-phthalimidesulfinyl derivatives **3** and trapped by dienes and/or dienophiles.

**SYNTHESIS OF A HEAD TO HEAD CHOLAPHANE**

Pramod S. Pandey and Rhiddi Bir Singh

Department of Chemistry, Indian Institute of Technology
Hauz Khas, New Delhi-110 016, India

A general synthetic route for the construction of head to head cholaphanes has been described.

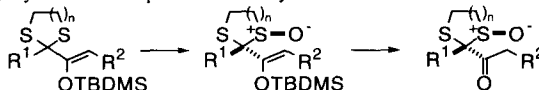


Enhanced Diastereo and Enantioselectivity in the Formation of Acyldithiolane Sulphoxides by the Asymmetric Oxidation of their Enolsilyl Ethers.

M. Teresa Barros, Alcino J. Leitão and Christopher D. Maycock*.

Instituto de Tecnologia Química e Biológica, Universidade Nova de Lisboa, Rua da Quinta Grande 6, 2780 Oeiras and Departamento de Química, Universidade de Lisboa, Rua Ernesto de Vasconcelos, 1700 Lisboa, Portugal.

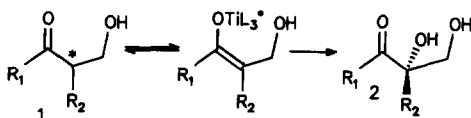
The asymmetric oxidation of the enolsilyl ethers of acyldithiolanes produces sulphoxides with high stereoselectivity. Subsequent fluorolysis affords the corresponding acyldithiolane sulphoxide. Fluorolysis under acid conditions causes racemisation.



DIRECT ASYMMETRIC α -HYDROXYLATION OF β -HYDROXYKETONES

Margus Lopp^a, Anne Paju, Tõnis Kanger, Tõnis Pehk¹, Institute of Chemistry, Akadeemia tee 15, Tallinn EE0026, Estonia; ¹Institute of Chemical Physics and Biophysics, Akadeemia tee 27, Tallinn EE0026, Estonia

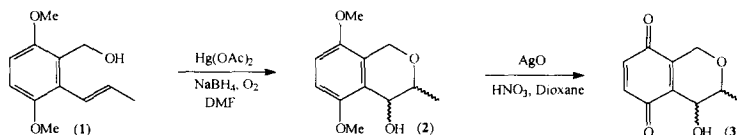
Direct oxidation of racemic β -hydroxyketones **1** under Sharpless oxidation conditions resulted in the enantiomeric α,β -dihydroxyketones **2** in 86-97% ee, in 37-58% of isolated yield. The oxidation is assumed to proceed via an allylic enolate intermediate



A NOVEL SYNTHESIS OF SUBSTITUTED 4-HYDROXYBENZO[c]PYRAN

QUINONES Charles B. de Koning,^a Ivan R. Green,^b Joseph P. Michael^a and José R. Oliveira^a. ^aCentre for Molecular Design, Department of Chemistry, University of the Witwatersrand, PO Wits, 2050, South Africa. ^bDepartment of Chemistry, University of the Western Cape, Bellville, 7535, South Africa

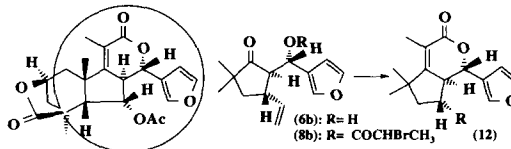
Treatment of benzyl alcohols with *o*-vinyl substituents, e.g. (**1**), with Hg(OAc)₂ and NaBH₄ in the presence of O₂ led to 4-hydroxybenzo[c]pyrans such as (**2**). The products were oxidized to quinones e.g. (**3**).



STEREoselective APPROACH TO THE BCD FRAMEWORK OF RICHARDIANIDINS VIA INTRAMOLECULAR REFORMATSKY-TYPE REACTION PROMOTED BY DIETHYLALUMINUM CHLORIDE.

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A synthetic strategy to access to the furanoid bicyclo[4.3.0]nonane nucleus (**12**) present in Richardianidins has been accomplished via intramolecular Reformatsky-type reaction of α -bromopropionate (**8b**). Ketol (**6b**) has been prepared by tandem copper-catalyzed addition of vinyl magnesium bromide and 3 furaldehyde to 5,5-Dimethyl-2-cyclopentenone.



DIRECT α -ARYLATION OF KETONES: THE REACTION OF CYCLIC KETONE ENOLATES WITH DIPHENYLIODONIUM TRIFLATE.

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Reaction of diphenyliodonium triflate with the enolate of cyclohexanone, in the presence of copper(I) cyanide, leads to 2-phenylcyclohexanone.

