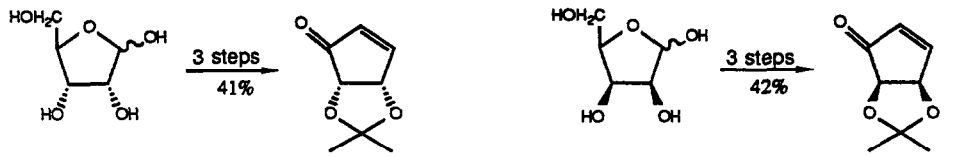
Tetrahedron Lett. **1990**, *31*, 1509

EFFICIENT ENANTIOSELECTIVE SYNTHESES OF CARBOCYCLIC NUCLEOSIDE AND PROSTAGLANDIN SYNTHONS

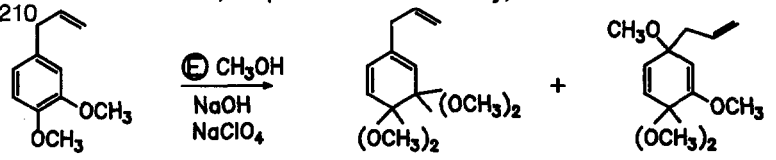
Syed Mashhood Ali, Kakarla Ramesh and Ronald T. Borchardt*
Department of Medicinal Chemistry, The University of Kansas, Lawrence, Kansas 66045



Tetrahedron Lett. **1990**, *31*, 1513

ANODIC 1,2- AND 1,4-ADDITION PRODUCTS FROM METHYL EUGENOL AS PREDICTED BY THE EEC_rC_p MECHANISM

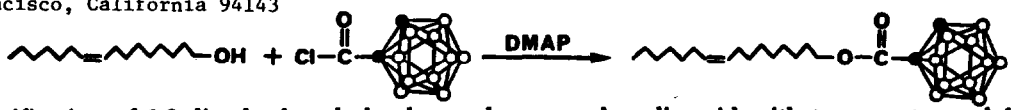
Shaopeng Wang and John S. Swenton*, Department of Chemistry, The Ohio State University, Columbus, Ohio 43210



Tetrahedron Lett. **1990**, *31*, 1517

COMPARISON OF THREE METHODS FOR THE SYNTHESIS OF CARBORANE CARBOXYLIC ACID ESTERS

Stephen B. Kahl, Department of Pharmaceutical Chemistry, University of California, San Francisco, California 94143



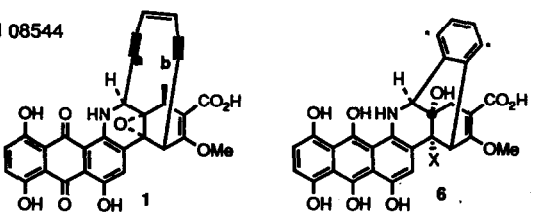
Esterification of 1,2-dicarbaclosododecaboranyl monocarboxylic acid with ten unsaturated fatty alcohols, as exemplified here with palmitoleyl alcohol, occurs most efficiently *via* reaction of the acid chloride and alcohol in the presence of p-dimethylamino pyridine.

Tetrahedron Lett. **1990**, *31*, 1521

BIOREDUCTIVE ALKYLATION AS A TRIGGER FOR TOXIC EFFECTS OF DYNEMICIN

M. F. Semmelhack, J. Gallagher, and D. Cohen
Department of Chemistry, Princeton University, Princeton NJ 08544

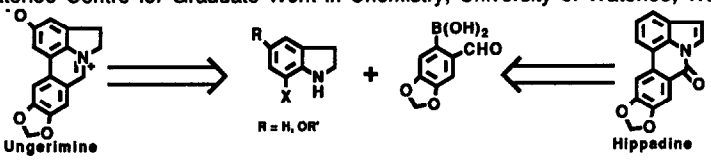
Bioreductive cis ring opening of the epoxide in dynemicin (**1**) is postulated to trigger rearrangement of the endiyne unit to an arene 1,4-diyl (**6**), based on the relationship to calicheamicin/esperamicin and molecular mechanics calculations.



Tetrahedron Lett. **1990**, *31*, 1523

CONCISE SYNTHESES OF THE AMARYLLIDACEAE ALKALOIDS UNGERIMINE AND HIPPADINE VIA THE SUZUKI ARYL-ARYL CROSS COUPLING REACTION

M. A. Siddiqui and V. Snieckus*
Guelph-Waterloo Centre for Graduate Work in Chemistry, University of Waterloo, Waterloo, Ontario, Canada N2L 3G1

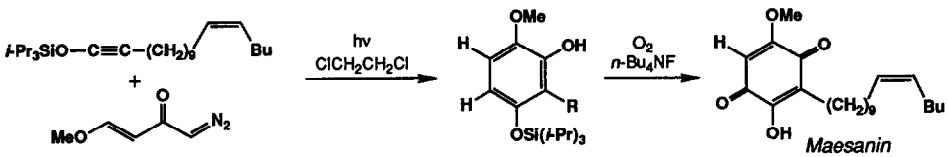


Tetrahedron Lett. **1990**, *31*, 1527

TOTAL SYNTHESIS OF THE HOST DEFENSE STIMULANT MAESANIN

Rick L. Danheiser* and Don D. Cha
Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA 02139

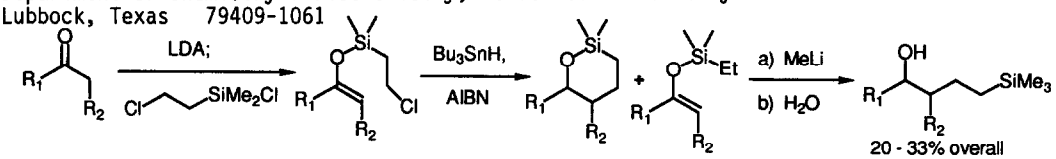
An efficient total synthesis of maesanin has been achieved by a route featuring a photochemical aromatic annulation as a key step.



Tetrahedron Lett. **1990**, *31*, 1531

AN α-ALKYLATION/REDUCTION OF KETONES VIA RADICAL CYCLIZATIONS OF β-CHLOROETHYLSILYL ENOL ETHERS

Robert D. Walkup,* Robert R. Kane and Nihal U. Obeyesekere
Department of Chemistry & Biochemistry, Texas Tech University
Lubbock, Texas 79409-1061

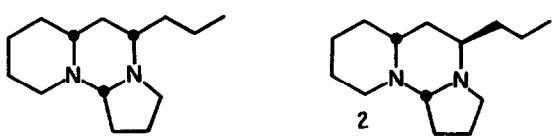


Tetrahedron Lett. **1990**, *31*, 1535

A SHORT TETRAPONERINE SYNTHESIS

Tappey H. Jones
Laboratory of Chemistry
National Heart, Lung, and Blood Institute
Bethesda, MD 20892 USA

A stereoselective synthesis of (±) tetraponerine T4 **1** along with its "unnatural" isomer **2** is reported.

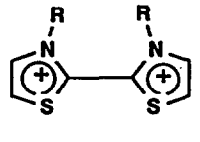


Tetrahedron Lett. **1990**, *31*, 1539

SYNTHESIS AND PROPERTIES OF BRIDGED 2,2'-BITHIAZOLIUM SALTS.

Veronique Goulle, Sara Chirayil, and Randolph P. Thummel
Department of Chemistry, University of Houston,
Houston, Texas 77204-5641

Summary: Bridged and methylated bithiazolium salts **5a-d** have been prepared by alkylation of 2,2'-bithiazole. The electronic absorption spectra and reduction potentials have been measured and a stable radical cation of the di- and trimethylene bridged species has been prepared.



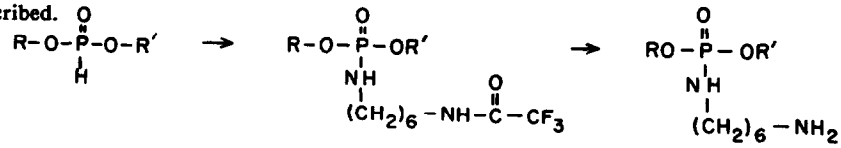
5 a R = $(CH_2)_2$
b R = $(CH_2)_3$
c R = $(CH_2)_4$
d R = CH_3

Tetrahedron Lett. **1990**, *31*, 1543

SITE-SPECIFIC FUNCTIONALIZATION OF OLIGODEOXY-NUCLEOTIDES FOR NON-RADIOACTIVE LABELLING

Sudhir Agrawal* and J.-Y. Tang
Worcester Foundation for Experimental Biology, Maple Avenue, Shrewsbury, MA 01545

Functionalization of oligodeoxynucleotides to attach non-radioactive labels such as biotin and fluorophores is described.

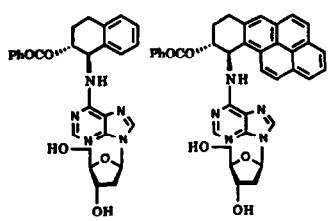


Tetrahedron Lett. **1990**, *31*, 1547

SYNTHESIS OF POLYCYCLIC AROMATIC HYDROCARBON SUBSTITUTED 2'-DEOXYADENOSINE ANALOGS

*Maheshkumar Lakshman and Roland E. Lehr**
Department of Chemistry, University of Oklahoma, Norman, Oklahoma 73019.

The chemical synthesis of polycyclic aromatic hydrocarbon (PAH) modified 2'-deoxyadenosine analogs has been achieved. Two model adducts, incorporating a naphthalene (Np) and a benzo[a]pyrene (BaP) unit have been prepared.

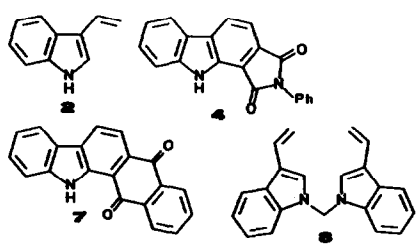


Tetrahedron Lett. **1990**, *31*, 1551

THE 3-VINYLINDOLE PARENT COMPOUND AND ITS ANION: NEW REACTIVITY ASPECTS

Ulf Pindur*, Myung-Hwa Kim, and Manfred Eitel
Institut für Pharmazie im Fachbereich Chemie und Pharmazie der Universität, Saarstrasse 21, D-6500 Mainz 1, FRG

Synthesis and reactivity of 3-vinylindole (**2**) and its anion are described. The compounds react as dienes in HOMO-controlled [4 + 2]cycloadditions to give **4** and **7**. The anion undergoes an S_N reaction with dichloromethane to furnish **8**.

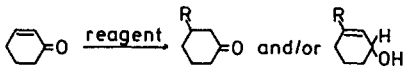


Tetrahedron Lett. **1990**, *31*, 1553

KONJUGIERTE ADDITION VON ALKYLDERIVATEN DER SPÄTEN ÜBERGANGSMETALLE Ag, Pd, Rh, Ru, Co UND Fe AN 2-CYCLOHEXENON

Thomas Kauffmann*, Achim Hülsdünker, Detlef Menges, Hubert Nienaber, Lutz Rethmeier, Sonja Robbe, Dominik Scherler, Jörg Schrickel und Dorothea Wingbermühle
Organisch-Chemisches Institut der Universität Münster, Corrensstr. 40, D-4400 Münster, BR Deutschland

By treating alkyl derivatives of Ag, Pd, Rh, Ru (= 4d metals), Co and Fe with 2-cyclohexenone most of them reacted selectively according to



Tetrahedron Lett. **1990**, *31*, 1557

NEW THIO-ANALOGS OF PHOSPHOENOL PYRUVATE

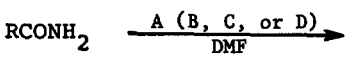
Corine Despax and Jacques Navech*
UA 454, Université Paul Sabatier, 118 Route de Narbonne, 31062 Toulouse Cedex (France)

A synthesis of some thio-analogs of phosphoenol pyruvate by Perkov reaction

Tetrahedron Lett. **1990**, *31*, 1559

NEW METHOD FOR HOFMANN REARRANGEMENT

Sang-sup Jew*, Hyeung Geun Park, Hee-Joo Park, Min-soo Park, and Youn-sang Cho
College of Pharmacy, Seoul National University
San 56-1, Shinrim-Dong, Kwanak-Ku, Seoul 151-742, KOREA



1 2

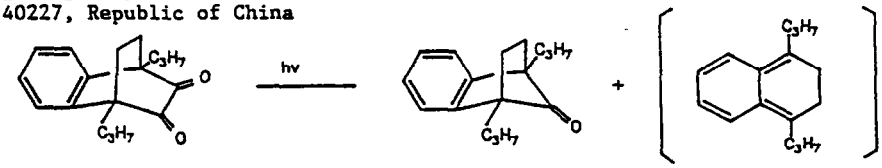
A: NBS-Hg(OAc)$_2$-R'OH B: dibromantin-Hg(OAc)$_2$-R'OH
C: NBS-AgOAc-R'OH D: dibromantin-AgOAc-R'OH

Treatment of a series of carboxamides 1 with A, B, C, or D in DMF provides the corresponding carbamates 2 in nearly quantitative yields.

Tetrahedron Lett. **1990**, *31*, 1563

PHOTOLYSIS OF 1,4-DIPROPYLBENZOBICYCLO[2.2.2]OCT-5-ENE-2,3-DIONE. INTERMEDIACY OF 1,4-DIPROPYL-2,3-DIHYDRO-NAPHTHALENE

Chun-Chen Liao*, Department of Chemistry, National Tsing Hua University, Hsinchu, Taiwan 30043, Republic of China
Yih-Gang Ueng, Department of Chemistry, National Chung-Hsing University, Taichung, Taiwan 40227, Republic of China

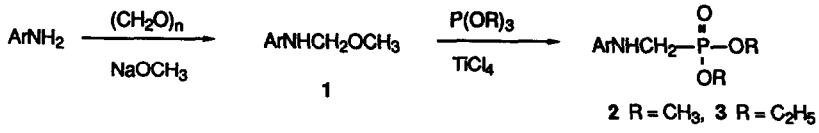


Tetrahedron Lett. **1990**, *31*, 1567

A Facile Synthesis of N-Arylaminomethylphosphonates

Hyun-Joon Ha*, Gong-Sil Nam and Kyong Pae Park
Division of Chemistry, Korea Institute of Science and Technology, P.O.Box 131, Cheongryang, Seoul, Korea

N-Arylaminomethylphosphonates were prepared from the reaction of N-(methoxymethyl)arylamines with trialkylphosphonates in the presence of titanium tetrachloride.

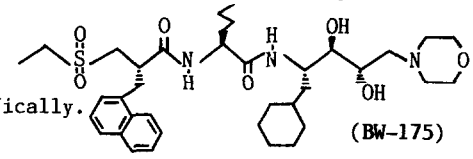


Tetrahedron Lett. **1990**, *31*, 1569

A STEREOSPECIFIC SYNTHESIS OF A RENIN INHIBITOR(BW-175) WHICH INCORPORATES A SULFONEMETHYLENE ISOSTERE AND A DIHYDROXYETHYLENE ISOSTERE

Masato Nakano,* Shugo Atsuumi, Yutaka Koike, Seiichi Tanaka, Hiroshi Funabashi, Junko Hashimoto, and Hajime Morishima. Chemistry of Natural Products, Exproratory Research Laboratories, Banyu Pharmaceutical Co.,LTD. Meguro-ku, Tokyo 153, Japan

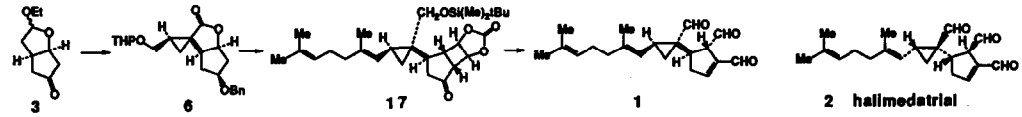
A renin inhibitor, (2S,3R,4S)-4-[L-N-[(2S)-3-ethylsulfonyl-2-(1-naphthylmethyl)propionyl]-norleucyl]amino-5-cyclohexyl-1-morpholino-2,3-pentanediol (BW-175) was synthesized stereospecifically.



Tetrahedron Lett. **1990**, *31*, 1573

TOTAL SYNTHESIS OF (+)-HALIMEDATRIAL: THE ABSOLUTE CONFIGURATION OF HALIMEDATRIAL

Hiroto Nagaoka, Hiroaki Miyaoka, and Yasuji Yamada*
Tokyo College of Pharmacy, Horinouchi, Hachioji, Tokyo 192-03, Japan

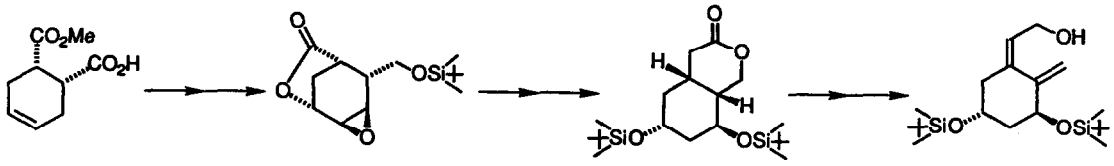


(+)-Halimedatrial (1) was synthesized stereoselectively from (S)-4-hydroxy-2-cyclopentenone via 3, 6 and 17. This accomplishment determined the absolute configuration of halimedatrial as shown in 2

Tetrahedron Lett. **1990**, *31*, 1577

An Enantioselective Synthesis of the A-Ring Synthon for Vitamin D_3 Metabolites by Chemicoenzymatic Approach

Susumu Kobayashi, Jun Shibata, Mitsuyuki Shimada, and Masaji Ohno
Faculty of Pharmaceutical Sciences, University of Tokyo, Hongo, Bunkyo-ku, Tokyo 113

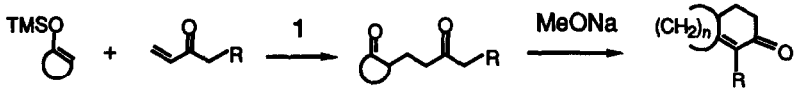


Tetrahedron Lett. **1990**, *31*, 1581

ORGANOTIN TRIFLATES AS FUNCTIONAL LEWIS ACIDS. A NEW ENTRY TO SIMPLE AND EFFICIENT ROBINSON ANNULATION

Tsuneo Sato, Yoshiyuki Wakahara, Junzo Otera,* and Hitosi Nozaki
Department of Applied Chemistry, Okayama University of Science, Ridai-cho, Okayama 700, Japan

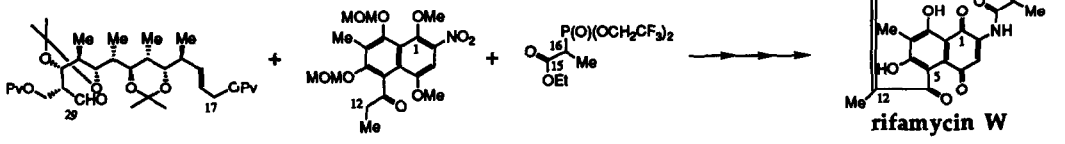
$Bu_2Sn(OTf)_2$ (1) catalyzes the Michael addition to effect novel Robinson annulation.



Tetrahedron Lett. **1990**, *31*, 1585

TOTAL SYNTHESIS OF RIFAMYCIN W

Masaya Nakata, Nobutake Akiyama, Kyoko Kojima, Hirokazu Masuda, Mitsuhiro Kinoshita, and Kuniaki Tatsuta*
Department of Applied Chemistry, Keio University, Hiyoshi, Kohoku-ku, Yokohama 223, Japan

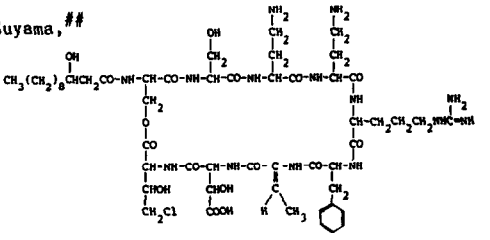


Tetrahedron Lett. **1990**, *31*, 1589

STRUCTURE OF PHYTOTOXIN SYRINGOMYCIN PRODUCED BY A SUGAR CANE ISOLATE OF PSEUDOMONAS SYRINGAE PV. SYRINGAE

Naoyuki Fukuchi, Akira Isogai, Shuichi Yamashita,# Kazuo Suyama,##
Jon Y. Takemoto### and Akinori suzuki*

Department of Agricultural Chemistry,
#Department of Agrobiology, The University of Tokyo, Bunkyo-ku, Tokyo 113, Japan.
##Department of Agriculture, Tokyo University of Agriculture, Setagaya-ku, Tokyo 156, Japan.
###Department of Biology, Utah State University, Logan UT 84322-5305, U.S.A.

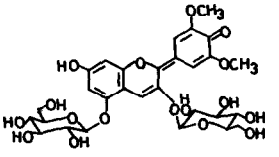


Tetrahedron Lett. **1990**, *31*, 1593

EFFECTS OF pH AND CONCENTRATION ON THE SELF-ASSOCIATION OF MALVIN QUINONOIDAL BASE -- ELECTRONIC AND CIRCULAR DICHROIC STUDIES

Tsutomu Hoshino and Toshio Goto
Faculty of Agriculture, Niigata Univ.,Ikarashi, Niigata 950-21 and Faculty of Agriculture, Nagoya Univ.,Chikusa, Nagoya 464, Japan

Malvin (AH) in 5 x 10^{-3}M soln. exists in the equilibria, $AHAH \rightleftarrows AHA^- \rightleftarrows A^-A^-$, at pH 6-9. Ionization is suppressed by increasing concentration.



AH

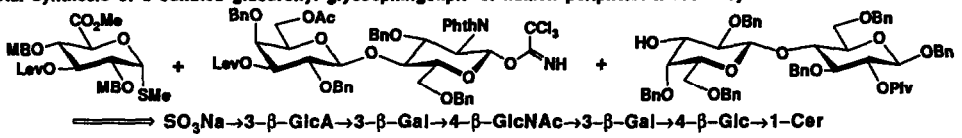
Tetrahedron Lett. **1990**, *31*, 1597

TOTAL SYNTHESIS OF A SULFATED GLUCURONYL GLYCOSPHINGOLIPID, IV^{3}GlcA(3-SO$_3$)nLcOse$_4$Cer, A CARBOHYDRATE EPITOPE OF NEURAL CELL ADHESION MOLECULES

Takahisa Nakano, Yukishige Ito and Tomoya Ogawa

RIKEN (The Institute of Physical and Chemical Research), Wako-shi, Saitama, 351-01 Japan

A first total synthesis of a sulfated glucuronyl glycosphingolipid of human peripheral nervous system was achieved.

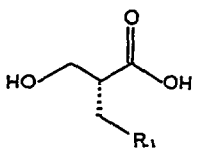


$\Longrightarrow$ $SO_3Na \rightarrow 3\text{-}\beta\text{-}GlcA \rightarrow 3\text{-}\beta\text{-}Gal \rightarrow 4\text{-}\beta\text{-}GlcNAc \rightarrow 3\text{-}\beta\text{-}Gal \rightarrow 4\text{-}\beta\text{-}Glc \rightarrow 1\text{-}Cer$

Tetrahedron Lett. **1990**, *31*, 1601

AN EFFICIENT ENANTIOSELECTIVE PREPARATION OF 2-SUBSTITUTED-3-HYDROXYPROPIONIC ACIDS VIA CHEMO-ENZYMATIC REACTION

S. Atsuumi, M. Nakano, Y. Koike, S. Tanaka, M. Ohkubo, T.Yonezawa, H.Funabashi, J. Hashimoto, and H. Morishima
Chemistry of Natural Products, Exploratory Research Laboratories, Banyu Pharmaceutical Co., LTD.
2-9-3, Shimomeguro, Meguro-ku, Tokyo 153, Japan



1

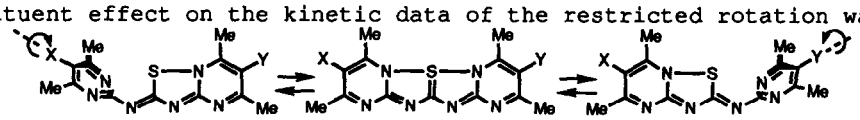
The key intermediates, 2-substituted-3-hydroxypropionic acids **1**, of the potent renin inhibitors were synthesized enantioselectively starting from 2-substituted-1,3-propanediols via lipase-catalyzed reaction.

Tetrahedron Lett. **1990**, *31*, 1605

RESTRICTED ROTATION OF PYRIMIDINE RING IN SYMMETRICAL 10-S-3 SULFRANES: EVALUATION OF HYPERVALENT N-S-N BOND ENERGY

Katsuo OHKATA, Minoru OHSUGI, Tetsuo KUWAKI, Kazuhiro YAMAMOTO, and Kin-ya AKIBA*
Department of Chemistry, Faculty of Science, Hiroshima University
Higashisenda-machi, Naka-ku, Hiroshima 730, Japan

Substituent effect on the kinetic data of the restricted rotation was studied.

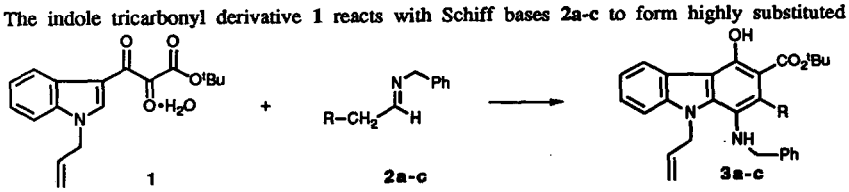


Tetrahedron Lett. **1990**, *31*, 1609

THE CHEMISTRY OF VICINAL TRICARBONYLS. FORMATION OF CARBAZOLE DERIVATIVES.

Harry H. Wasserman,* John H. van Duzer, and Chi B. Vu.
Yale University, Department of Chemistry, New Haven, CT 06511 USA

The indole tricarbonyl derivative **1** reacts with Schiff bases **2a-c** to form highly substituted carbazoles **3a-c**.



a, R = $(CH_3)_2CH$
b, R = $CH_3(CH_2)_2$
c, R = $CH_3(CH_2)_3$

Tetrahedron Lett. **1990**, *31*, 1613

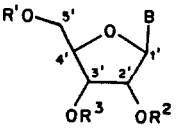
SILYLATIONS OF RIBONUCLEOSIDES USING DIBUTYLTIN OXIDE

Vidhya Gopalakrishnan, Hari Babu Mereyala, A. George Samuel and K. Nagappa Ganesh National Chemical Laboratoty, Pune 411008, INDIA.*

Ribonucleosides 1 on treatment with TBDMSC and dibutyltin oxide gave selective 5'-O-silylated derivatives 2 in good yields.

1 $R^1=R^2=R^3=H$

2 R^1=TBDMS; $R^2=R^3=H$



Tetrahedron Lett. **1990**, *31*, 1615

ENHANCED OPTICAL PURITY OF 3-HYDROXYESTERS OBTAINED BY BAKER'S YEAST REDUCTION OF 3-KETOESTERS

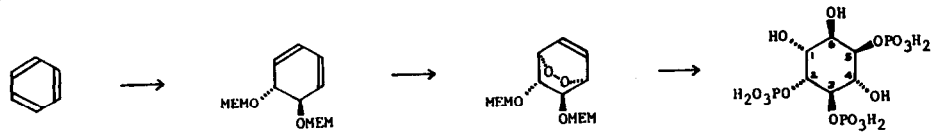
Vassilis Spiliotis, Demetris Papahatjis and Nikitas Ragoussis
VIORYL S.A. Research Department, Kato kifissia, 145-64 Athens GREECE

Fermenting Baker's yeast enclosed in a dialysis tube, reduce efficiently 3-ketoesters added to the surrounding subtonic solution, to the corresponding 3-hydroxyesters in good yield (45-55%) and high optical purity (ee 96-97%).

Tetrahedron Lett. **1990**, *31*, 1617

TOTAL SYNTHESIS OF chiro-INOSITOL 2,3,5-TRISPHOSPHATE: A myo-INOSITOL 1,4,5-TRISPHOSPHATE ANALOGUE FROM BENZENE VIA PHOTO-OXIDATION

Howard A.J. Carless* and Kofi Busia
Department of Chemistry, Birkbeck College, Malet Street, London WC1E 7HX



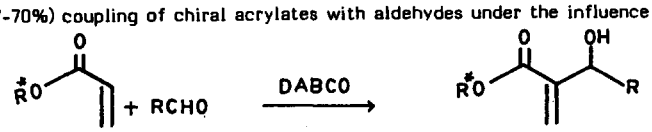
(5) (4)

Tetrahedron Lett. **1990**, *31*, 1621

CHIRAL ACRYLATES AS SUBSTRATES IN BAYLIS-HILLMAN REACTION

D. Basavaiah*, V.V.L. Gowriswari, P.K.S. Sarma and P. Dharma Rao
School of Chemistry, University of Hyderabad
Hyderabad 500 134, India

Diastereoselective (7-70%) coupling of chiral acrylates with aldehydes under the influence of DABCO.



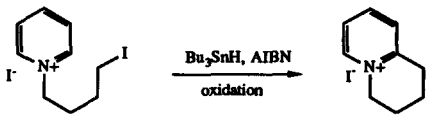
R*: Chiral group

Tetrahedron Lett. **1990**, *31*, 1625

Intramolecular Addition of Free Radicals to Quaternised Heterocyclic Rings.

John A. Murphy* and Michael S. Sherburn,Department of Chemistry, University of Nottingham, Nottingham NG7 2RD.

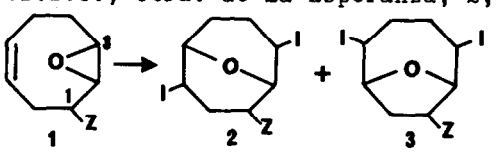
The intramolecular addition of free radicals to quaternary pyridinium salts gives good yields of tetrahydroquinolizinium salts.



Tetrahedron Lett. **1990**, *31*, 1629

TRICYCLIC OXONIUM-DIRECTED ADDITION: REGIOCHEMISTRY AND STEREOCHEMISTRY OF THE IODINATION REACTIONS IN 2,3-EPOXY CYCLOOCT-5-EN-1-OLS AND 2,3-EPOXY-5-EN-1-ONE. Eleuterio Alvarez, M. Teresa Díaz, Matías L. Rodriguez and Julio D. Martín.* Centro de Productos Naturales Orgánicos Antonio González, Universidad de La Laguna-C.S.I.C., Ctra. de La Esperanza, 2, 38206, La Laguna, Tenerife, Spain.

The C-3 regioselectivity observed in 1 to give 2 and/or 3 is studied in terms of a tricyclic oxonium ion and rationalized by means of MNDO calculations.



Tetrahedron Lett. **1990**, *31*, 1633

APPROACHES TO THE SYNTHESIS OF THE TETRAHYDROPYRAN SUBUNITS OF MARINE TRANS-FUSED POLYETHER TOXINS. Miguel Zárraga, Eleuterio Alvarez, José L. Ravelo, Victor Rodríguez, Matías L. Rodriguez and Julio D. Martín.* Centro de Productos Naturales Orgánicos Antonio González, Universidad de la Laguna-C.S.I.C., Ctra. de La Esperanza, 2, 38206, La Laguna, Tenerife, Spain.

Tetrahedron Lett. **1990**, *31*, 1637

A SYNTHESIS OF THE C16-C23 SEGMENT OF FK-506

*Michael Stocks and Philip Kocieński**
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David K. Donald
Fisons Pharmaceuticals, Bakewell Road, Loughborough, Leicestershire, LE 11 0RH, UK

A copper-catalysed migratory insertion reaction was used to construct the tri-substituted alkene of the C16-C23 segment 4 of the potent Immunosuppressant FK-506 (Tsukubaenolide).