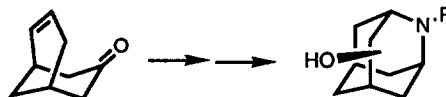


GRAPHICAL ABSTRACTS

Tetrahedron Lett. **1990**, *31*, 2949

A SUBSTRATE-DIRECTED SYNTHESIS OF SUBSTITUTED 2-AZAADAMANTANES. Jeffrey T. Hane and James G. Henkel*, The University of Connecticut, School of Pharmacy, Medicinal Chemistry Section, Box U-92, Storrs, CT 06269. A synthesis of mono- and disubstituted 2-azaadamantanes with control of substituent stereochemistry has been developed and the kinetic behavior of derivatives was examined.

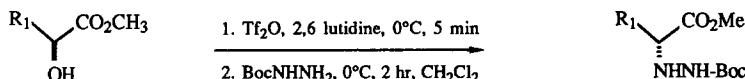


Tetrahedron Lett. **1990**, *31*, 2953

THE PREPARATION OF 2-HYDRAZINYL ESTERS IN HIGH OPTICAL PURITY FROM 2-SULFONYLOXY ESTERS

Robert V. Hoffman* and Hwa-Ok Kim

Department of Chemistry, New Mexico State University, Las Cruces, NM 88003-0001



3a, R₁=CH₃, **3b**, R₁=CH₂CO₂Me

3c, R₁=CH₂Ph, **3d**, R₁=CH₂CH(CH₃)₂

3e, R₁=Ph, **3f**, R₁=H

2a, 82%, +53.4°, >95%ee; **2b**, 91%, +6.2°, >95%ee

2c, 81%, +12.0°, >95%ee; **2d**, 100%, +41.2°, >95%ee

2e, 98%, -71.4°, 71%ee; **2f**, 100%, -

Tetrahedron Lett. **1990**, *31*, 2957

A WATER SOLUBLE TIN HYDRIDE REAGENT

James Light and Ronald Breslow

Department of Chemistry, Columbia University, New York, New York 10027

A water soluble tin hydride has been synthesized carrying three methoxyethoxypropyl groups. It reduces various alkyl halides in water, or in organic solvents.

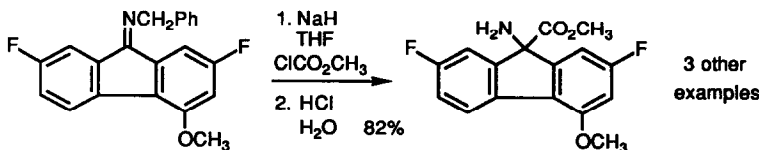


Tetrahedron Lett. **1990**, *31*, 2959

A Transaminative Synthesis of 9-Amino-9-Fluorene-carboxylic Acid Esters.

M. T. DuPriest, R. E. Conrow and D. Kuzmich

Alcon Laboratories, Inc., Fort Worth, Texas 76134 USA

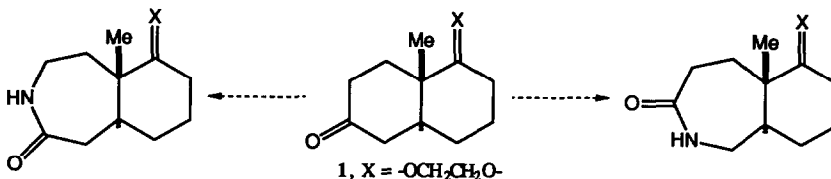


Tetrahedron Lett. 1990, 31, 2963

DIRECTED REGIOCHEMICAL CONTROL IN RING EXPANSION REACTIONS OF A SUBSTITUTED TRANS-DECALONE

Jeffrey Aubé and Marlys Hammond, Department of Medicinal Chemistry, University of Kansas, Lawrence, Kansas 66045-2506

Ketone 1 can be selectively converted to either regioisomeric ring expansion product.

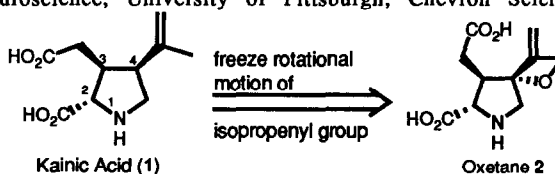


Tetrahedron Lett. 1990, 31, 2967

PROBING THE TOPOGRAPHY OF KAINATE RECOGNITION SITES: SYNTHESIS OF A NOVEL OXETANE CONTAINING KAINIC ACID ANALOGUE.

Alan P. Kozikowski* and Abdul H. Fauq
Departments of Chemistry and Behavioral Neuroscience, University of Pittsburgh, Chevron Science Center, Pittsburgh, PA 15260

The synthesis and binding affinity of the novel oxetane bearing kainic acid analogue 2 are reported.



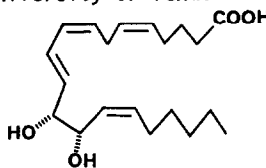
Tetrahedron Lett. 1990, 31, 2971

SYNTHESIS AND STEREOCHEMICAL REVISION OF A BIOACTIVE DIHYDROXYEICOSANOID ISOLATED FROM THE RED MARINE ALGA *FARLOWIA MOLLIS*

Sun Lumin and J.R. Falck*

Departments of Molecular Genetics and Pharmacology, University of Texas Southwestern Medical Center, Dallas, Texas 75235 USA

The structure of a novel, marine eicosanoid is revised to 12(R), 13(S)-dihydroxyeicosatetraenoic acid based on comparisons with standards synthesized from chiral *trans*-enals generated from 2-deoxypyranoses.



Tetrahedron Lett. 1990, 31, 2975

ACCELERATION OF THE 4-EXO RADICAL CYCLIZATION TO A SYNTHETICALLY USEFUL RATE. CYCLIZATION OF THE 2,2-DIMETHYL-5-CYANO-4-PENTENYL RADICAL

Seung-Un Park*, Thomas R. Varick, and Martin Newcomb*
Departments of Chemistry, Texas A&M University College Station, Texas, 77843, USA and Konkuk University Sungdong Gu, Mojin dong 93-1, Seoul 133-701, Korea

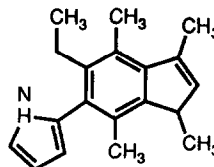
The rate constant at 50 °C for the cyclization shown is $1.9 \times 10^4 \text{ s}^{-1}$ as determined by the tin hydride method.



Tetrahedron Lett. **1990**, *31*, 2979

TRIKENTRAMINE, AN UNUSUAL PYRROLE DERIVATIVE FROM THE SPONGE *TRIKENTRION LOEVE CARTER*

Maurice Aknin, Joseph Miralles, Jean-Michel Komprobst, Robert Faure, Emile-Marcel Gaydou, Nicole Boury-Esnault, Yoko Kato and Jon Clardy
Département de Chimie and Département de Biologie Végétale
Université Cheikh Anta Diop de Dakar, Dakar, SENEGAL
Department of Chemistry - Baker Laboratory, Cornell University
Ithaca, NY 14853-1301, U.S.A.



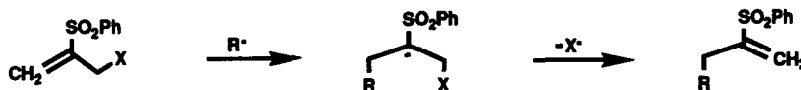
Summary: Trikentramine, an unusual pyrrole, has been isolated from the Senegalese sponge *Trikentrion loeve* and characterized by spectroscopic and X-ray diffraction techniques.

Tetrahedron Lett. **1990**, *31*, 2983

SYNTHESIS OF VINYLIC AND CYCLIC SULFONES VIA A RADICAL ADDITION ELIMINATION SEQUENCE

Albert Padwa*, S. Shaun Murphree and Philip E. Yeske
Department of Chemistry, Emory University Atlanta, GA 30322 USA

Radical attack on the double bond of 2,3-bis(phenylsulfonyl)-1-propene leads to vinylic sulfones which can further react to give cyclic compounds.



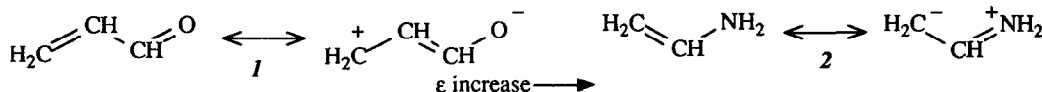
Tetrahedron Lett. **1990**, *31*, 2987

IMPORTANCE OF DIPOLAR RESONANCE STRUCTURES IN DETERMINING GROUND STATE CHARGE DISTRIBUTION

Alan R. Katritzky* and Mati Karelson

Department of Chemistry, University of Florida, Gainesville, Florida 32611-2046, U.S.A..

AM1 SCRF calculations indicate the substantial importance of solvent-assisted polar resonance in acrolein and vinylamine.

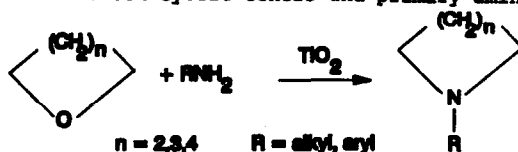


Tetrahedron Lett. **1990**, *31*, 2991

gem-CYCLODIALKYLATION: A Facile Synthetic Route to N-Substituted Heterocycles. D.C. Hargis and R.L.

Shubkin, Ethyl Corp. Technical Center, Box 14799, Baton Rouge, Louisiana 70898 USA

N-Alkylated and N-arylated pyrroles, pyrrolidines, and piperidines are synthesized in high yield by the reaction between cyclic ethers and primary amines over a heterogeneous titania catalyst.

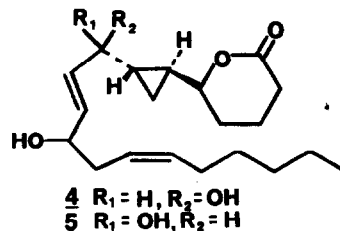


Tetrahedron Lett. 1990, 31, 2995

**ISOLATION AND STRUCTURE OF CONSTANOLACTONES A AND B,
NEW CYCLOPROPYL HYDROXY-EICOSANOIDS FROM THE TEMPERATE
RED ALGA *CONSTANTINEA SIMPLEX***

Dale G. Nagle and William H. Gerwick; College of Pharmacy,
Oregon State University, Corvallis, Oregon 97331

The structures of two novel cyclopropyl containing
eicosanoids were deduced by spectroscopic analyses of
their synthetic diacetate derivatives. A 12-lipoxygenase
origin for the new compounds is supported by the co-
isolation of 3 known 12-lipoxygenase metabolites.

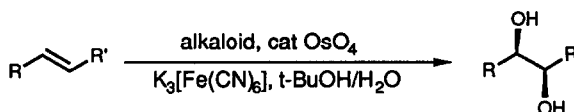


Tetrahedron Lett. 1990, 31, 2999

**Preclusion of the "Second Cycle" in the Osmium—
Catalyzed Asymmetric Dihydroxylation of Olefins Leads
to a Superior Process**

Hoi-Lun Kwong, Carla Sorato, Yasukazu Ogino, Hou Chen, and K. Barry Sharpless*
Department of Chemistry, Massachusetts Institute of Technology, Cambridge, Massachusetts 02139

The highest enantioselectivities yet obtained in
catalytic asymmetric dihydroxylations are
realized using potassium hexacyanoferrate(III)
as the reoxidant.

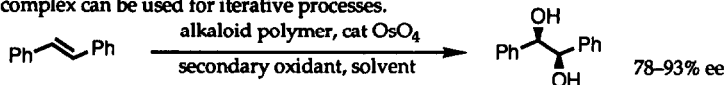


Tetrahedron Lett. 1990, 31, 3003

**Heterogeneous Catalytic Asymmetric Dihydroxylation:
Use of a Polymer-Bound Alkaloid**

B. Moon Kim and K. Barry Sharpless*
Department of Chemistry, Massachusetts Institute of Technology
Cambridge, Massachusetts 02139

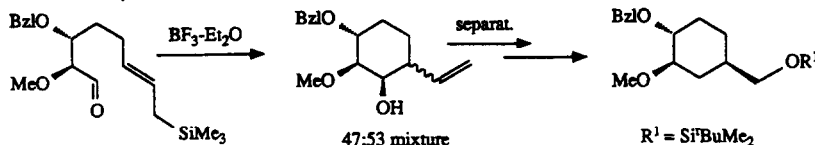
Polymer-bound alkaloid was successfully used for the catalytic asymmetric dihydroxylation of *trans*-stilbene. Good
to excellent asymmetric induction was observed along with reasonable rates. It was shown that the OsO₄-polymer
complex can be used for iterative processes.



Tetrahedron Lett. 1990, 31, 3007

**SYNTHESIS OF THE CYCLOHEXYL FRAGMENT OF FK-506 BY
INTRAMOLECULAR ENE-REACTION**

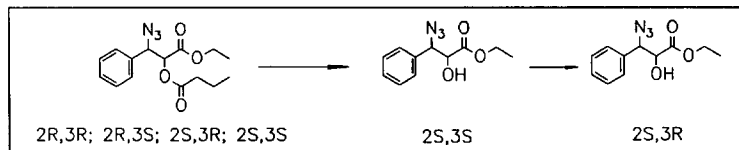
Martin E. Maier and Bärbel Schöffling
Fakultät Chemie, Universität Konstanz
Postfach 5560, D-7750 Konstanz, Germany



Simultaneous Separation of Enantiomers of Diastereomers by Lipases

H.Hönig*, P. Seuffer-Wasserthal and H. Weber

Institute of Organic Chemistry, Graz University of Technology, A-8010 Graz, Stremayrgasse 16, Austria

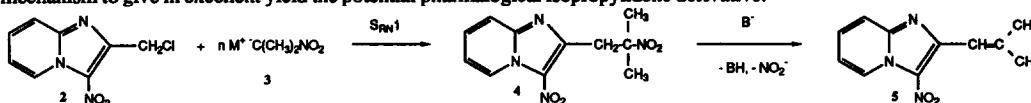


Enantiomerically and diastereomerically pure 3-azido-2-hydroxy-3-phenyl propanoates are obtained from a mixture of racemic *threo*- and *erythro*-3-azido-2-butanoyloxy-3-phenyl propanoates by asymmetric hydrolysis with lipases from *Candida cylindracea* and *Pseudomonas* sp., resp.

S_{RN}1 REACTIONS IN IMIDAZO [1,2-a] PYRIDINE SERIES

Patrice VANELLE^{a*}, José MALDONADO^a, Nasser MADADI^a, Alain GUEIFFIER^b, Jean-Claude TEULADE^b, Jean-Pierre CHAPAT^b, and Michel P. CROZET^{c*}

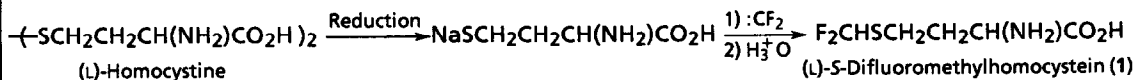
^aLaboratoire de Chimie Organique, 27 Bd J. Moulin, 13885 Marseille Cedex 04, France. ^bLaboratoire de Chimie Organique Pharmaceutique, CNRS, 15, Avenue C. Flahaut, 34006 Montpellier Cedex, France. ^cRadicaux Libres et Synthèse, CNRS, 13397 Marseille Cedex 13, France. 2-Chloromethyl-3-nitroimidazo[1,2-a]pyridine is shown for the first time to react with 2-nitropropane salts by an S_{RN}1 mechanism to give in excellent yield the potential pharmacological isopropylidene derivative.



FLUORINE-CONTAINING AMINO ACIDS AND THEIR DERIVATIVES. 9.
SYNTHESIS AND BIOLOGICAL ACTIVITIES OF DIFLUOROMETHYL-
HOMOCYSTEIN

Tadahiko Tsushima^a, Shoichi Ishihara^a, and Yusuke Fujita^b

^aShionogi Research Laboratories, Shionogi & Co., Ltd., Fukushima-ku, Osaka 553, ^bAburahi Laboratories, Shionogi & Co., Ltd., Kokacho, Kokagun, Shiga 520-34, Japan

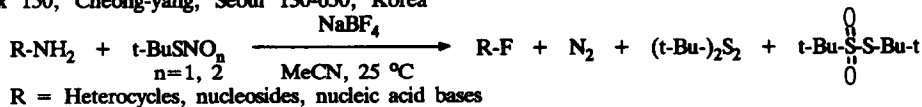


FACILE DIRECT CONVERSION OF AMINO-HETEROCYCLES
TO FLUORO-HETEROCYCLES USING *t*-BUTYLTHIONITRITE
OR *t*-BUTYLTHIONITRATE WITH SODIUM TETRAFLUOROBORATE

Yong Hae Kim*, Chun Ho Lee, and Ki Young Chang

Department of Chemistry, Korea Advanced Institute of Science & Technology

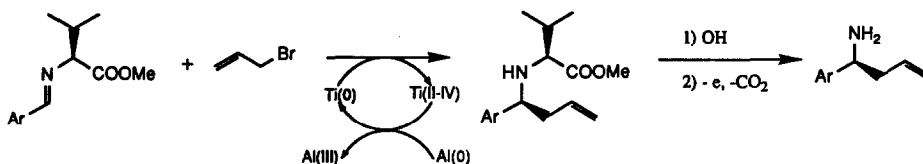
P.O. Box 150, Cheong-yang, Seoul 130-650, Korea



A NOVEL "Ti(0)" INDUCED ALLYLATION OF IMINES IN A TiCl₄ (cat.)/Al BIMETAL SYSTEM. CHIRALITY TRANSFER OF L-VALINE TO HOMOALLYLAMINE

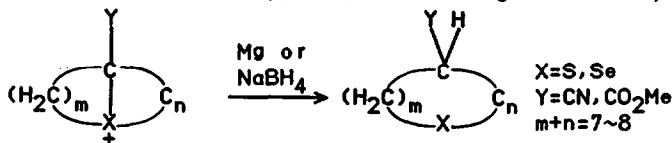
Hideo TANAKA, Keizo INOUE, Ulrike POKORSKI, Masatoshi TANIGUCHI, and Sigeru TORII*

Department of Applied Chemistry, Faculty of Engineering, Okayama University, Okayama 700, Japan



NOVEL SYNTHESIS OF MEDIUM-SIZED HETEROCYCLES CONTAINING A SULFUR OR SELENIUM ATOM

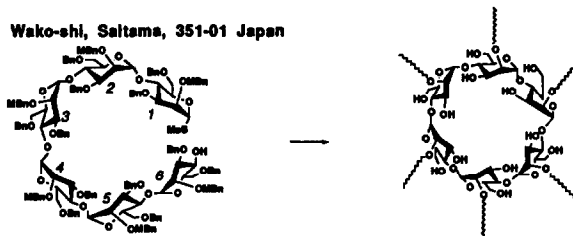
Tadashi Kataoka, Kazuhiro Tsutsumi, Tetsuo Iwama, Hiroshi Shimizu, and Mikio Hori
Gifu Pharmaceutical University, 6-1, Mitahora-higashi 5-chome, Gifu 502, Japan



AN APPROACH TO THE REGIOSELECTIVE INTRODUCTION OF FUNCTIONAL GROUPS ON α -(1 \rightarrow 4) LINKED CYCLOMANNOHEXAOSE: ALKYLATION AT O-2

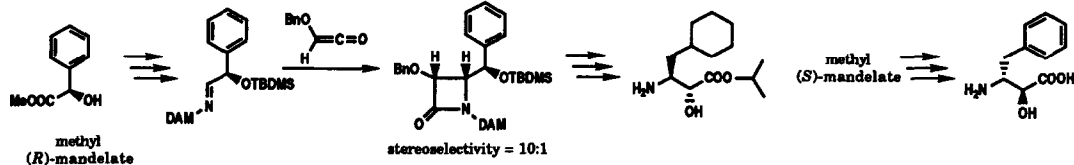
Masato Mori, Yukishige Ito, and Tomoya Ogawa
RIKEN (The Institute of Physical and Chemical Research), Wako-shi, Saitama, 351-01 Japan

A stereo- and regioselective introduction of tetradecyl group at O-2 of cyclomannohexaose is described.



A NOVEL SYNTHESIS OF THE (2*R*,3*S*)- AND (2*S*,3*R*)-3-AMINO-2-HYDROXY-CARBOXYLIC ACID DERIVATIVES, THE KEY COMPONENTS OF A RENIN INHIBITOR AND BESTATIN, FROM METHYL (*R*)- AND (*S*)-MANDELATE

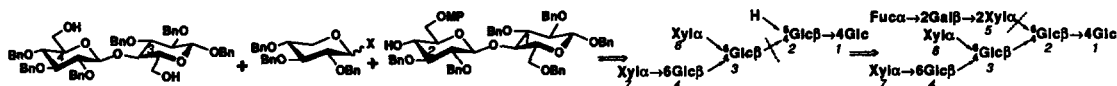
Yuko Kobayashi, Yoshiji Takemoto, Yoshio Ito, and Shiro Terashima*
Sagami Chemical Research Center, Nishi-Onnuma, Sagami-hara, Kanagawa 229, Japan



TOTAL SYNTHESIS OF NONASACCHARIDE REPEATING UNIT OF PLANT CELL WALL XYLOGLUCAN: AN ENDOGENOUS HORMONE WHICH REGULATES CELL GROWTH

Kelichiro Sakai, Yoshiaki Nakahara, and Tomoya Ogawa
RIKEN (The Institute of Physical and Chemical Research), Wako-shi, Saitama, 351-01 Japan

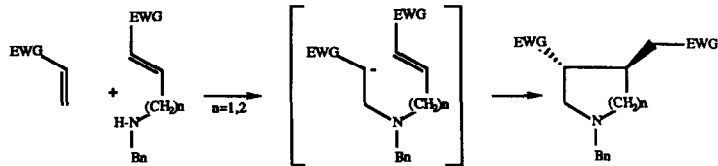
Both glycoheptaosyl and glycononaosyl repeating units of plant cell wall xyloglucan were synthesized in a stereocontrolled manner.



TANDEM MICHAEL REACTIONS FOR THE CONSTRUCTION OF PYRROLIDINE AND PIPERIDINE RING SYSTEMS

Achille Barco^a, Simonetta Benetti^a, Alberto Casolari^b, Gian Piero Pollini^b and Giampiero Spalluto^a

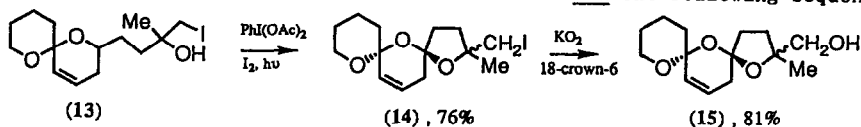
^aDipartimento di Chimica, Via L. Borsari 46; ^bDipartimento di Scienze Farmaceutiche, Via Scandiana 21, I-44100 Ferrara



SYNTHESIS OF A FUNCTIONALISED BIS-SPIROACETAL

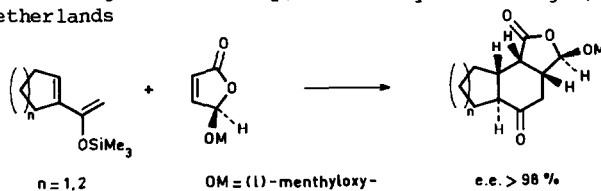
Margaret A Brimble* and Geoffrey M Williams,
Department of Chemistry and Biochemistry, Massey University, Palmerston North, New Zealand
Raymond Baker* and Mark James, Merck, Sharpe and Dohme Research Laboratories,
Neuroscience Research Centre, Terlings Park, Harlow, Essex CM202QR, United Kingdom

The synthesis of bis-spiroacetal (15) has been achieved via the following sequence.



ASYMMETRIC SYNTHESIS OF DECALINES AND HEXAHYDROINDANES

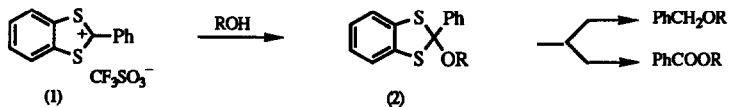
Johannes C. de Jong, Johan F.G.A. Jansen and
Ben L. Feringa*, Department of Organic Chemistry, University of Groningen, Nijenborgh 16,
9747 AG Groningen, The Netherlands



**2-PHENYL-1,3-BENZODITHIOLYLUM TRIFLUOROMETHANESULFONATE:
A REAGENT FOR THE CONVERSION OF ALCOHOLS INTO BENZYL
ETHERS AND BENZOATES UNDER MILD CONDITIONS**

Mauro Mocerino and Robert V. Stick*. Department of Organic Chemistry, The University of Western Australia, Nedlands, WA 6009

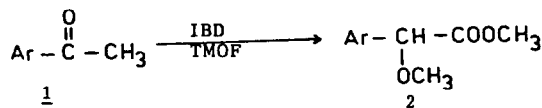
The salt (1) converts alcohols into dithioorthoesters (2), and subsequent treatment with Bu_3SnH or HgO/HBF_4 yields benzyl ethers and benzoates, respectively.



**Hypervalent Iodine Oxidation of Aryl Methyl Ketones: A Convenient
Route to methyl α -Methoxyarylacetates.**

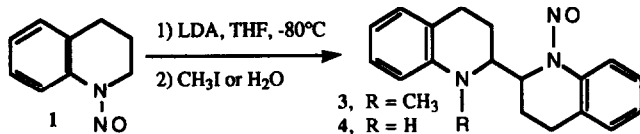
Om V. Singh,
Department of Chemistry, Kurukshetra University, Kurukshetra- 132 119, India.

Oxidation of aryl methyl ketones to methyl α -methoxyarylacetates using iodosobenzene diacetate in trimethyl orthoformate.



**NEW DIMERS FROM THE DECOMPOSITION OF α -LITHIO-
N-NITROSAMINES. EVIDENCE FOR NO^- ELIMINATION.**

Pelayo Camps*, Jesús Maldonado, David Mauleón, Cristina Minguillón, and María Dolores Pujol
Laboratorio de Química Farmacéutica, Fac. Farmacia, Univ. Barcelona, Av. Diagonal, 08028 Barcelona (Spain).

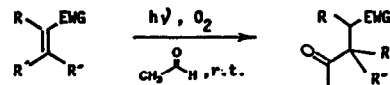


Reaction of (1) with LDA in THF at temperatures below -80°C followed by reaction with MeI or quenching with H_2O gave, among other products, dimers (3) and (4), respectively, through the possible intermediacy of 3,4-dihydroquinoline.

**AN EFFICIENT AND MILD ENTRY TO 1,4-DICARBONYL COMPOUNDS VIA
PHOTOCHEMICAL ADDITION OF ACYL RADICAL TO ELECTRON-DEFICIENT OLEFINS**

Francisco A. Macías, José María G. Molinillo, Isidro G. Collado, Guillermo M. Massanet and Francisco Rodríguez-Luis.
Departamento de Química Orgánica. Facultad de Ciencias. Universidad de Cádiz. Apdo. 40, Puerto Real, Cádiz, Spain.

Photochemical addition of acetaldehyde to electron-deficient olefins in the presence of molecular oxygen provides an efficient and mild method for the synthesis of 1,4-functionalized compounds.

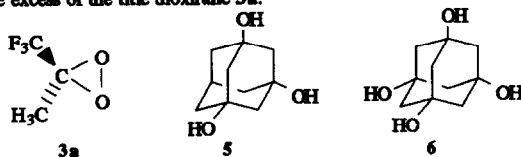


Tetrahedron Lett. 1990, 31, 3067

**OXIDATIONS BY METHYL(TRIFLUOROMETHYL)DIOXIRANE. 3.
SELECTIVE POLYOXYFUNCTIONALIZATION OF ADAMANTANE.**

Rossella Mello, Luigi Cassidei, Michele Fiorentino, Caterina Fusco, and Ruggero Curci*
Centro CNR "M.I.S.O.", Dipartimento di Chimica, Università di Bari, Bari, Italy 70126

Adamantane could be converted directly into adamantane-1,3,5-triol (**5**) or into adamantane-1,3,5,7-tetraol (**6**) under mild conditions by employing an appropriate excess of the title dioxirane **3a**.



Tetrahedron Lett. 1990, 31, 3071

BROMINATIVE LACTONIZATION IN EUDESMANES

P. Ceccherelli, M. Curini, M.C. Marcotullio and O. Rosati

Istituto di Chimica Organica, Facoltà di Farmacia, Università di Perugia, Italy.

The interaction of ilicic acid **1** with bromine affords directly the bromolactone **3**.



Tetrahedron Lett. 1990, 31, 3075

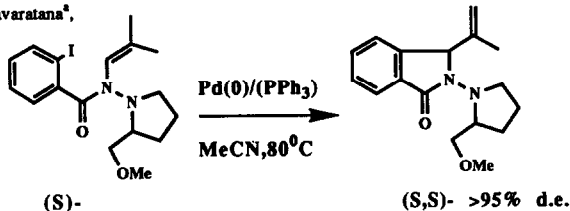
**Asymmetric Induction in the Creation of Tri- and Tetra-substituted
Carbon Stereocentres by the Intramolecular Heck Reaction.**

Ronald Grigg^{a*}, M.J.R. Dorrity^b, J.F. Malone^b, Theeravat Mongkoloassavaratana^a,

W.D.J. Amilaprasadh Norbert^a and Visuvanathar Sridharan^a.

^a. School of Chemistry, University of Leeds, Leeds LS2 9JT.

^b. Chemistry Department, Queen's University, Belfast BT9 5AG,
Northern Ireland.

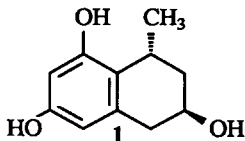


Tetrahedron Lett. 1990, 31, 3077

**FEROXIDIN, A NOVEL 1-METHYLTETRALIN
DERIVATIVE ISOLATED FROM CAPE ALOE**

Giovanna Speranza*, Paolo Manitto, Diego Monti, and Francesca Lianza

Dipartimento di Chimica Organica e Industriale and Centro di Studio sulle Sostanze Organiche
Naturali, via Venezian 21, 20133-Milano (Italy)



The structure and the preferred conformation of feroxidin (**1**) are determined by spectroscopic methods (¹H-NMR, NOE, CD)