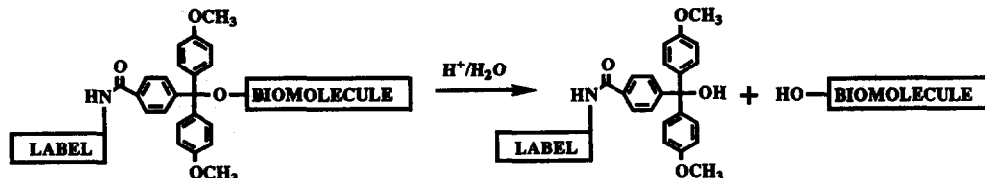


GRAPHICAL ABSTRACTS

Tetrahedron Lett. 1990, 31, 7095

A VERSATILE ACID-LABILE LINKER FOR MODIFICATION OF SYNTHETIC BIOMOLECULES

Brian D. Gildea*, James M. Coull and Hubert Köster
MilliGen/Biosearch, Division of Millipore, Burlington, MA. 01803

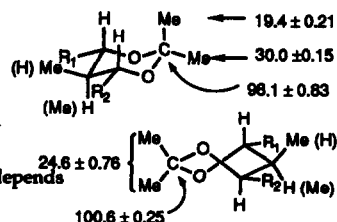


Tetrahedron Lett. 1990, 31, 7099

¹³C NMR Chemical Shift Correlations in 1,3-Diol Acetonides. Implications for the Stereochemical Assignment of Propionate-Derived Polyols

David A. Evans*, Dale L. Rieger, and James R. Gage
Department of Chemistry, Harvard University, Cambridge, Mass. 02138

Rychnovsky's recent correlation of the stereochemistry-dependent ¹³C NMR chemical shifts of 4,6-disubstituted 1,3-diol acetonides with diol stereochemistry may be extended to more highly substituted dioxolanes bearing substituents in the five position. The ¹³C resonances of the acetonide carbons follow a predictable, stereoregular pattern which depends solely on the diol stereochemical relationship.

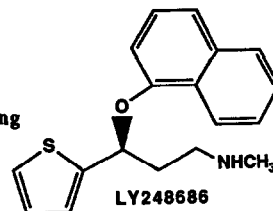


Tetrahedron Lett. 1990, 31, 7101

ASYMMETRIC SYNTHESIS AND ABSOLUTE STEREOCHEMISTRY OF LY248686

Jack Deeter, Jeff Frazier, Gilbert Staten, Mike Staszak, Leland Weigel*
Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN 46285

3-(Dialkylamino)-1-aryl-1-propanones are reduced in high ee's to the corresponding 1,3-aminoalcohols with a complex of the 2*R*,3*S*- isomer of Darvon® alcohol and lithium aluminum hydride. The potent serotonin and norepinephrine uptake inhibitor LY248686 has been prepared by this methodology and the absolute stereochemistry established as *S* by single crystal x-ray analysis.

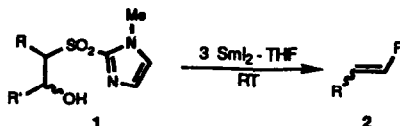


Tetrahedron Lett. 1990, 31, 7105

AN IMPROVED VARIANT OF THE JULIA OLEFIN SYNTHESIS: REDUCTIVE ELIMINATION OF β-HYDROXY IMIDAZOLYL SULFONES BY SAMARIUM DIODIDE

Andrew S. Kende* and José S. Mendoza
Department of Chemistry, University of Rochester, Rochester, New York 14627, USA

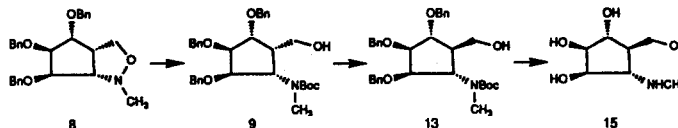
The reductive elimination reaction of β-hydroxy imidazolyl sulfones **1** to afford the corresponding olefins can be accomplished under mild conditions and in good yields using SmI₂, offering a convenient modification of the Julia olefin synthesis.



SYNTHESIS OF 1S,2R,3S,4R,5R-METHYL[2,3,4-TRIHYDROXY-5-(HYDROXYMETHYL)CYCLOPENTYL]AMINE: A POTENT α -MANNOSIDASE INHIBITOR

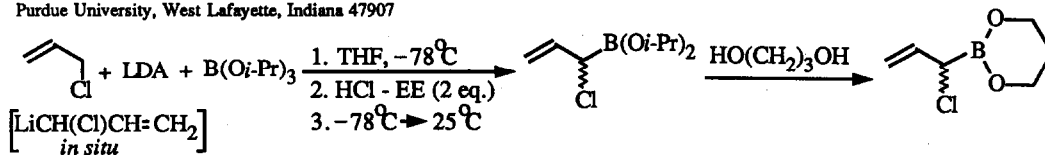
Robert A. Farr,* Norton P. Peet and Mohinder S. Kang
Department of Chemistry, Merrell Dow Research Institute, Cincinnati, Ohio 45215

Cyclopentylamine **15**, a potent α -mannosidase inhibitor, was synthesized in high yield from isoxazolidine **8**.



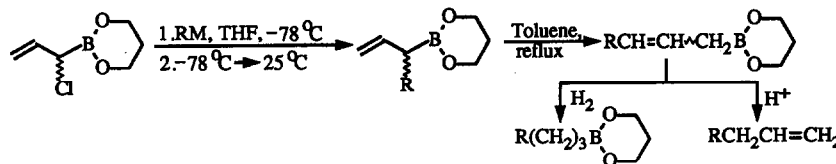
SUCCESSFUL APPLICATION OF α -HALOALLYLLITHIUM FOR A SIMPLE, CONVENIENT PREPARATION OF α -HALOALLYLBORONATE ESTERS

Herbert C. Brown* and M. V. Rangaishenvi
H. C. Brown and R. B. Wetherill Laboratories of Chemistry,
Purdue University, West Lafayette, Indiana 47907



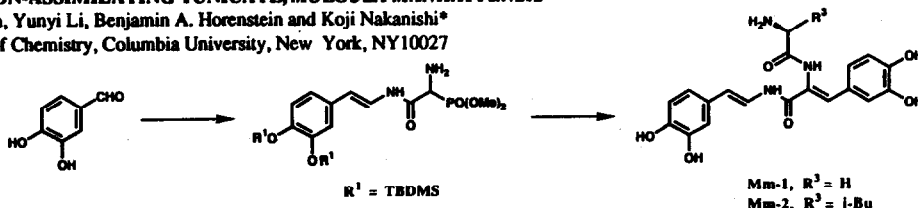
A SIMPLE PROCEDURE FOR THE SYNTHESIS OF THREE-CARBON HOMOLOGATED BORONATE ESTERS AND TERMINAL OLEFINS VIA NUCLEOPHILIC DISPLACEMENT IN α -HALOALLYLBORONATE ESTER

H. C. Brown* and M. V. Rangaishenvi
H. C. Brown and R. B. Wetherill Laboratories of Chemistry, Purdue University, West Lafayette, Indiana 47907



SYNTHESIS OF TUNICROMES Mm-1 AND Mm-2, BLOOD PIGMENTS OF THE IRON-ASSIMILATING TUNICATE, *MOLGULA MANHATTENSIS*

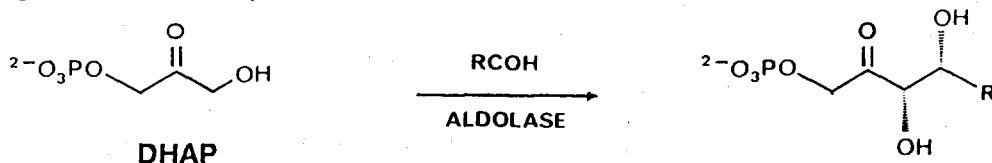
Dooseop Kim, Yunyi Li, Benjamin A. Horenstein and Koji Nakanishi*
Department of Chemistry, Columbia University, New York, NY 10027



STAPHYLOCOCCUS CARNOSUS ALDOLASE AS CATALYST FOR ENZYMIC ALDOL REACTIONS

H.P. Brockamp and M.R. Kula*

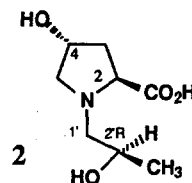
Institut für Enzymtechnologie der Heinrich Heine Universität Düsseldorf
Forschungszentrum Jülich, Postfach 2050, D-5170 Jülich, FRG



DC-ENANTIOMERENTRENNUNG NACH DEM LIGANDENAUSTAUSCHPRINZIP: SYNTHESE UND STRUKTUR EINES CHIRALEN MODELL-KOMPLEXES

Stefan LÜBBEN, Jürgen MARTENS*, Detlev HAASE, Siegfried POHL und Wolfgang SAAK
Fachbereich Chemie der Universität Oldenburg,
Ammerländer Heerstraße 114-118, D-2900 Oldenburg i. O., Germany

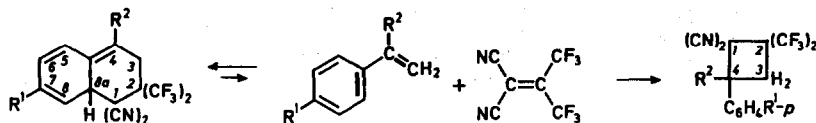
The new chiral selector 2 is synthesized from (2*S*,4*R*)-hydroxyproline.



2,2-BIS(TRIFLUOROMETHYL)ETHYLENE-1,1-DICARBONITRILE AND STYRENES - A DICHOTOMY OF CYCLOADDITION PATHWAYS

R. Brückner, R. Huisgen*, J. Schmid, Institut für Organische Chemie der Universität München, Germany

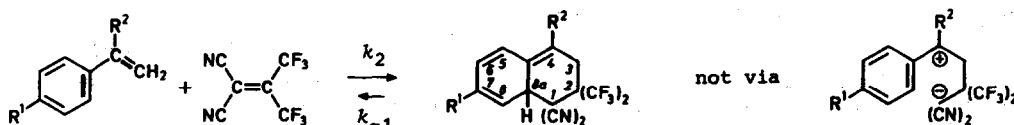
The title compounds establish equilibria with nonaromatic tetrahydronaphthalenes as Diels-Alder adducts, whereas cyclobutanes are formed under conditions of thermodynamic control.



2,2-BIS(TRIFLUOROMETHYL)ETHYLENE-1,1-DICARBONITRILE AND STYRENES - THE CONCERTEDNESS OF THE [2+4] CYCLOADDITION

R. Brückner, R. Huisgen*, Institut für Organische Chemie der Universität München, Germany

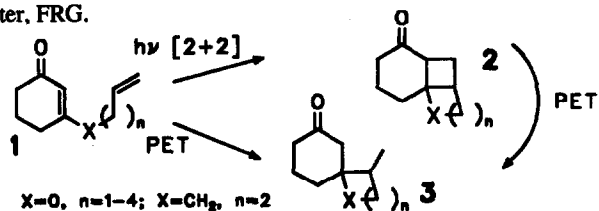
The effects of substituents and solvent variation on the rate constants k_2 are in harmony with a concerted cycloaddition.



RADICAL ANIONIC CYCLIZATION REACTIONS VIA PHOTO-CHEMICALLY INDUCED ELECTRON TRANSFER

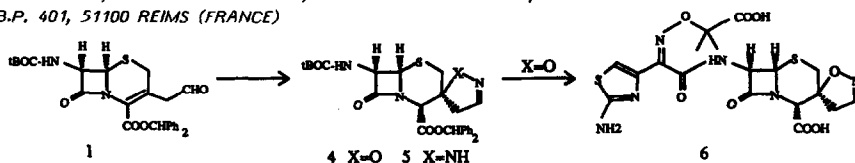
Eric W. Bischof, Jochen Mattay*, Universität Münster, FRG.

Irradiating cyclohexenones containing an olefinic side chain under PET-conditions leads to new spirocyclic products **3**, as well as [2+2]-cycloaddition products **2**. A new reductive cyclobutane ring opening allows photochemical conversion of cyclobutanes **2** to spirocyclic compounds **3**.



SYNTHESIS AND STRUCTURE ELUCIDATION OF NEW SPIROCEPHAMS

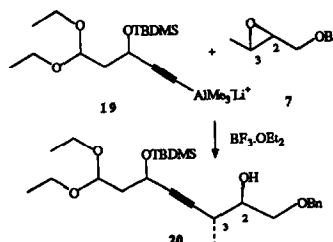
P. Bruneau, L.F. Hennequin*, L. Quééré, M.C. Shermann, P.J. Siret
I.C.I.-Pharma, Centre de Recherches, Zone Industrielle La Pompele
B.P. 401, 51100 REIMS (FRANCE)



THE OPENING OF *trans*-2-3-EPOXY-BUTAN-1-OL WITH ORGANOMETALLIC REAGENTS.

Troels Skrydstrup, Michel Bénéchie and Françoise Khuong-Huu*
CNRS, Institut de Chimie des Substances Naturelles, 91198 Gif-sur-Yvette, France

Studies were effected concerning the opening of *trans*-2-3-epoxy-butan-1-ol with organometallic reagents to find the best conditions in the point of view of yield and regioselectivity for application in natural products synthesis. As result, compound **20** was obtained in 85% yield by opening of **7** with the alanate **19** in the presence of $BF_3 \cdot OEt_2$.

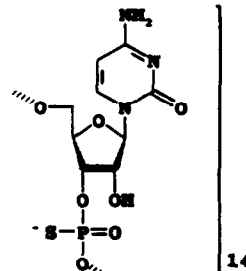


MODIFIED OLIGONUCLEOTIDES : IV. SOLID PHASE SYNTHESIS AND PRELIMINARY EVALUATION OF PHOSPHOROTHIOATE RNA AS POTENTIAL ANTISENSE AGENTS.

François MORVAN, Bernard RAYNER and Jean-Louis IMBACH.

Université de MONTPELLIER II, Laboratoire de Chimie Bio-Organique, Unité associée 488 du CNRS, Case 008, Place Eugène Bataillon, 34095 MONTPELLIER Cédex 5, France.

The phosphorothioate cytidylate, PS-rC₁₄ has been synthesized. This non natural oligoribonucleotide is more resistant to enzymatic degradation than the natural one and binds to a complementary RNA strand.



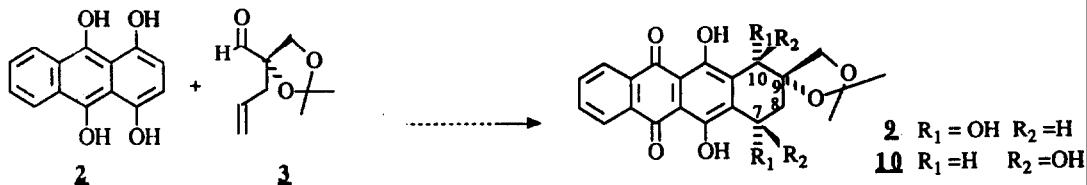
DIASTEREOSELECTIVE SYNTHESIS OF 7,10-DIHYDROXY-4-DEME-THOXY-ANTHRACYCLINONES

Tetrahedron Lett. 1990, 31, 7153

E. Bertounesque, J.-C. Florent, and C. Monneret*

Institut Curie, Section Biologie, 26 rue d'Ulm, 75231 Paris cédex 05, France.

The total synthesis of anthracyclines **9** and **10** from leucoquinizarin **2** and chiral aldehyde **3** is described.



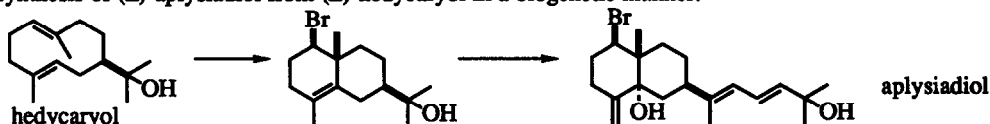
A BIOGENETIC-TYPE SYNTHESIS OF (±)-APLYSIADIOL, A BROMINATED DITERPENE ISOLATED FROM THE MARINE MOLLUSC *APLYSIA KURODAI*

Tetrahedron Lett. 1990, 31, 7157

Haruki Niwa,* Shigeru Ieda, Hideaki Inagaki, and Kiyoyuki Yamada

Department of Chemistry, Faculty of Science, Nagoya University, Chikusa, Nagoya 464, Japan

A synthesis of (±)-aplysiadiol from (±)-hedycaryol in a biogenetic manner.



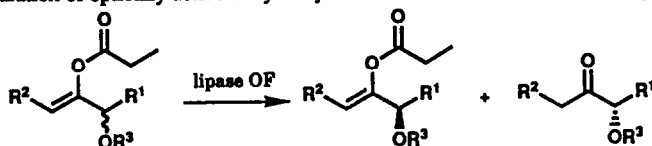
Preparation of Optically Active α -Hydroxy Ketone Derivatives by Enzyme-Mediated Hydrolysis of Enol Esters

Tetrahedron Lett. 1990, 31, 7159

Kazutsugu Matsumoto, Natsuko Suzuki, and Hiromichi Ohta*

Department of Chemistry, Keio University, Hiyoshi, Yokohama 223, Japan

A new method of preparation of optically active α -hydroxy ketone derivatives has been developed.



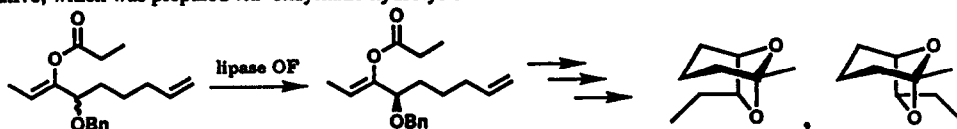
Synthesis of (+)-endo- and (+)-exo-Brevicomins via Enzyme-Mediated Hydrolysis of an Enol Ester

Tetrahedron Lett. 1990, 31, 7163

Kazutsugu Matsumoto, Natsuko Suzuki, and Hiromichi Ohta*

Department of Chemistry, Keio University, Hiyoshi, Yokohama 223, Japan

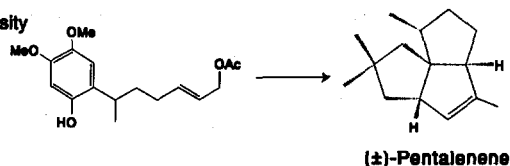
Optically pure (+)-endo- and (+)-exo-brevicomins have been synthesized in short steps starting from α -hydroxy ketone derivative, which was prepared via enzymatic hydrolysis of racemic enol ester.



SYNTHESIS OF (±)-PENTALENE USING ELECTROCHEMICAL METHOD AS A KEY STEP

Y. Shizuri, S. Maki, M. Ohkubo, and S. Yamamura*
Dept. of Chemistry, Faculty of Sci. and Tech., Keio University
Hiyoshi, Yokohama 223, Japan

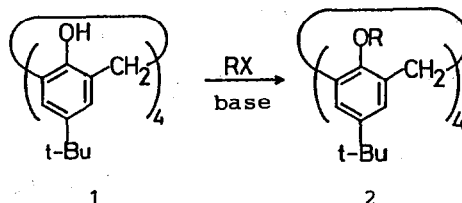
(±)-Pentalene has been synthesized using anodic oxidation of the phenol as a key step.



REMARKABLE METAL TEMPLATE EFFECTS ON SELECTIVE SYNTHESIS OF p-t-BUTYLCALIX[4]ARENE CONFORMERS

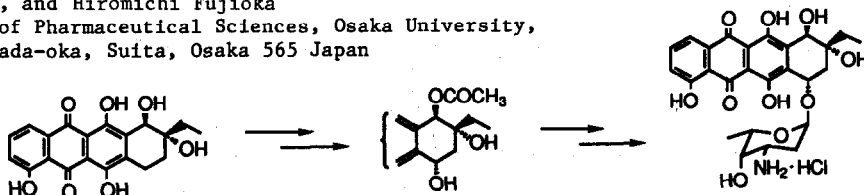
K. Iwamoto, K. Fujimoto, T. Matsuda, and S. Shinkai

The conformer distribution in tetra-O-substitution of p-t-butylcalix[4]arene (1) by RX to give 2 (2a:R=n-Pr, 2b:R=EtOCOCH₂) was examined. It was remarkably affected by metal species in base. Thus, 1,2-alternate-2a and partial-cone-2b were isolated for the first time.



THE FIRST TOTAL SYNTHESIS OF A POTENT β-RHODOMYCIN, OXAUNOMYCIN: REGIOSELECTIVE GLYCOSIDATION OF THE C-7 HYDROXYL GROUP OF β-RHODOMYCINONE

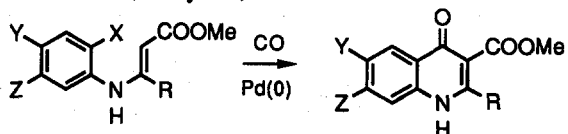
Yasuyuki Kita,* Hiroshi Maeda, Masayuki Kirihara, Yuji Fujii, Toyokazu Nakajima, Hirofumi Yamamoto, and Hiromichi Fujioka
Faculty of Pharmaceutical Sciences, Osaka University,
1-6, Yamada-oka, Suita, Osaka 565 Japan



A DIRECT APPROACH TO 2-SUBSTITUTED 1,4-DIHYDRO-4-OXO-QUINOLINE-3-CARBOXYLATES BY PALLADIUM-CATALYZED CARBONYLATIVE CYCLIZATION

Sigeru TORII,* Hiroshi OKUMOTO, Long He XU, Department of Applied Chemistry,
Faculty of Engineering, Okayama University, Tsushima naka, Okayama, 700 JAPAN

A simple and efficient approach to a variety of 4-quinolinone-3-carboxylates, which are very important antibacterial agents, is shown.

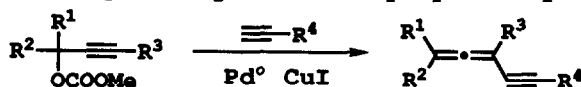


PALLADIUM-CATALYZED REACTIONS OF 2-ALKYNYL CARBONATES WITH TERMINAL ACETYLENES;

A NEW SYNTHETIC METHOD FOR 1,2-DIEN-4-YNES.

T. Mandai, T. Nakata, H. Murayama, H. Yamaoka, M. Ogawa, M. Kawada, J. Tsuji
Okayama University of Science, Ridai-cho, Okayama 700, Japan

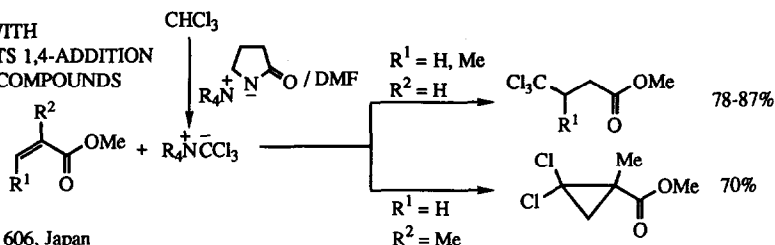
Allenyl acetylenes are prepared by the Pd catalyzed reactions of propargyl carbonates with terminal acetylenes.



FORMATION OF A REASONABLY STABILIZED TRICHLOROMETHYL ANION BY THE REACTION OF CHLOROFORM WITH ELECTROGENERATED BASE, AND ITS 1,4-ADDITION TO α,β -UNSATURATED CARBONYL COMPOUNDS

Tatsuya Shono,* Manabu Ishifune,
Osamu Ishige, Hiroshi Uyama,
and Shigenori Kashimura

Department of Synthetic
Chemistry, Kyoto University, Kyoto 606, Japan

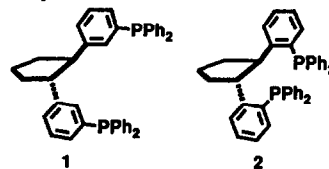


SYNTHESIS OF NEW CHIRAL BIS(TRIARYLPHOSPHINE) LIGANDS BASED ON ASYMMETRIC HYDROGENATION OF 4,5-DIARYL-2-OXOCYCLOPENTANECARBOXYLATES

Norio Fukuda, Kazushi Mashima, Yoh-ichi Matsumura, and Hidemasa Takaya*

Department of Industrial Chemistry, Faculty of Engineering,
Kyoto University, Kyoto 606, Japan

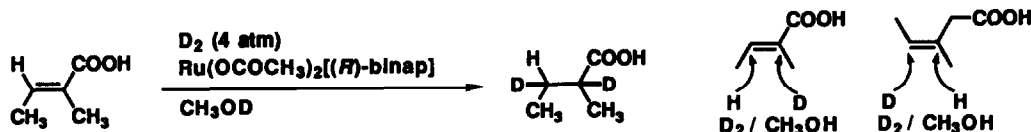
New chiral ligands 1 and 2 have been prepared in optically pure forms based on asymmetric hydrogenation of 4,5-diaryl-2-oxocyclopentanecarboxylates catalyzed by the BINAP—Ru(II) complex.



STEREOCHEMISTRY AND MECHANISM OF THE ASYMMETRIC HYDROGENATION OF UNSATURATED CARBOXYLIC ACIDS CATALYZED BY BINAP—RUTHENIUM(II) DICARBOXYLATE COMPLEXES

Tetsuo Ohta and Hidemasa Takaya,* Department of Industrial Chemistry, Faculty of Engineering,
Kyoto University, Yoshida, Sakyo-ku, Kyoto 606, Japan

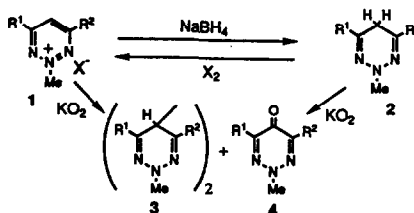
Ryoji Noyori,* Department of Chemistry, Nagoya University, Chikusa, Nagoya 464-01, Japan



REDOX PROPERTIES OF SUPEROXIDE WITH 1,2,3-TRIAZINE DERIVATIVES

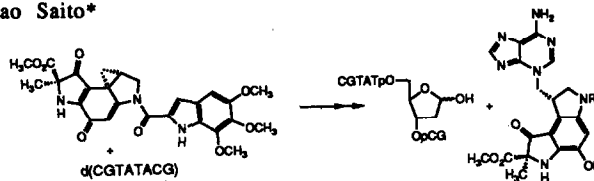
Takashi Itoh, Kazuhiro Nagata, Mamiko Okada, and Akio Ohsawa*
 School of Pharmaceutical Sciences, Showa University
 1-5-8 Hatanodai, Shinagawa-ku, Tokyo 142, Japan

2-Methyl-1,2,3-triazinium iodide **1** and its dihydro derivative **2** were both allowed to react with superoxide to give the same products, which showed the unique dual reactivities of superoxide.



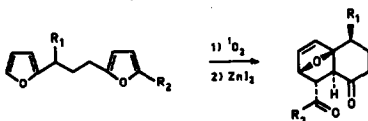
COVALENT ALKYLATION OF DNA WITH
 DUOCARMYCIN A.
 IDENTIFICATION OF ABASIC SITE STRUCTURE

Hiroshi Sugiyama, Masahiro Hosoda, and Isao Saito*
 Department of Synthetic Chemistry,
 Faculty of Engineering, Kyoto University,
 Kyoto 606, Japan
 Akira Asai and Hiromitsu Saito
 Tokyo Research Laboratories,
 Kyowa Hakko Kogyo, Co., Ltd.,
 Machida, Tokyo 194, Japan



Stereoselective Synthesis of Decalines via Tandem Photooxidation -
 Intramolecular Diels-Alder Reactions of Bis-Furans

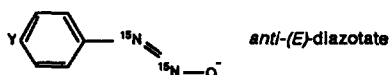
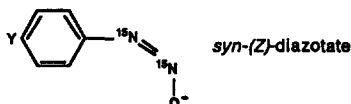
Ben L. Feringa*, O.J. Gelling and L. Meesters, Department of Organic Chemistry, University of Groningen, Nijenborgh 16, 9747 AG Groningen, The Netherlands



THE CONFIGURATION AND CONFORMATION OF ARYL DIAZOTATES AND DIAZOCYANIDES

R.M. Eloffson, Natsuko Cyr and J.K. Laidler, Alberta Research Council, Edmonton, Alberta, Canada T6G 1Z4,
 Joan Mason,* Department of Chemistry, The Open University, Milton Keynes MK7 6AA, UK

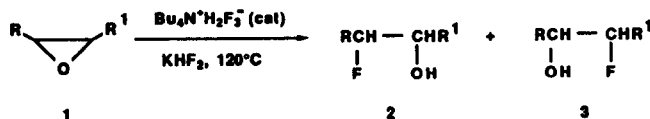
¹⁵N and ¹³C NMR shifts and coupling constants in 4-substituted aryl diazotates, compared with aryl diazocyanides, and other aryl diazo compounds, reflect the conformation and twist in solution.



TETRABUTYLAMMONIUM HYDROGENTRIFLUORIDE: AN EFFICIENT CATALYST FOR REGIO AND STEREoseLECTIVE CONVERSION OF EPOXIDES TO FLUOROHYDRINS UNDER SOLID-LIQUID CATALYSIS CONDITIONS.

Dario Landini and Michele Penso
 Centro CNR and Dipartimento di Chimica Organica e Industriale dell'Università, Via C. Golgi 19
 20133 Milano, Italy.

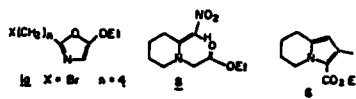
Tetrahedron Lett. 1990, 31, 7209



INTRAMOLECULAR FORMATION OF OXAZOLIUM SALTS AND THEIR REACTION WITH N- AND C-NUCLEOPHILES

A. Hassner, B. Fischer, Department of Chemistry Bar-Ilan University, Ramat Gan 52100, Israel

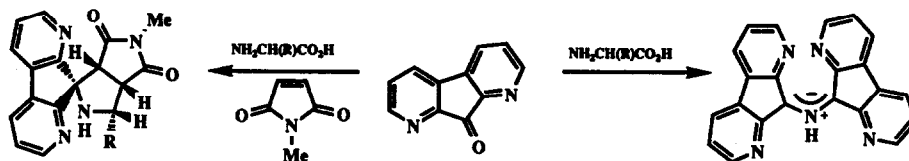
1 with various nucleophiles resulted in substituted piperidines, e.g. 8. In the presence of dipolarophiles, azomethine ylides were trapped to give tetrahydroindolizines, e.g. 6.



Tetrahedron Lett. 1990, 31, 7213

1,8-DIAZAFLUORENONE AND RELATED COMPOUNDS. A NEW REAGENT FOR THE DETECTION OF α -AMINO ACIDS AND LATENT FINGERPRINTS.

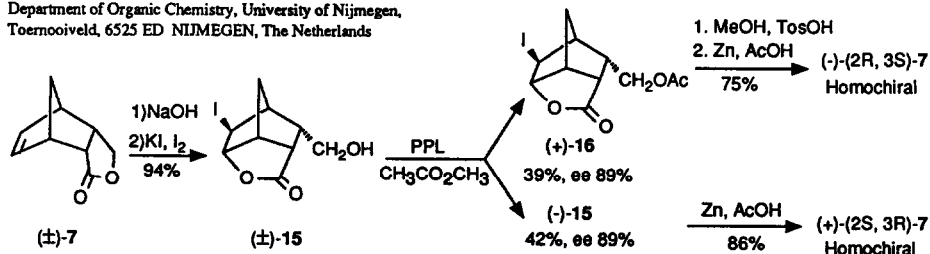
Ronald Grigg,^{a*} Theeravat Mongkolsavaratana,^a C. Anthony Pounds^b and Saikala Sivagnanam.^a
 a. School of Chemistry, Leeds University, Leeds LS2 9JT. b. Central Research and Support Establishment, Home Office Forensic Science Service, Aldermaston



Tetrahedron Lett. 1990, 31, 7215

ENZYME MEDIATED OPTICAL RESOLUTION OF *endo*-NORBORNENE LACTONE

A.J.M. Janssen, A.J.H. Klunder, B. Zwanenburg^{*}
 Department of Organic Chemistry, University of Nijmegen, Toernooiveld, 6525 ED NIJMEGEN, The Netherlands



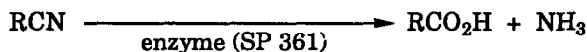
Tetrahedron Lett. 1990, 31, 7219

SELECTIVE HYDROLYSIS OF NITRILES UNDER MILD CONDITIONS BY AN ENZYME

Mark A. Cohen, Janette Sawden and Nicholas J. Turner*

Department of Chemistry, University of Exeter, Stocker Road, Exeter, EX4 4QD.

A wide range of aromatic/aliphatic nitriles and dinitriles have been selectively hydrolysed using a commercially available enzyme preparation from a *Rhodococcus* sp.

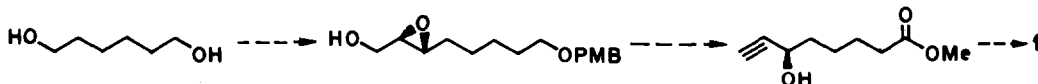


SYNTHESIS OF 6-(R)-HYDROXY-7,9-OCTADECADIENOIC ACID, A HMG-CoA REDUCTASE INHIBITOR

S Y Mhaskar and G Lakshminarayana*

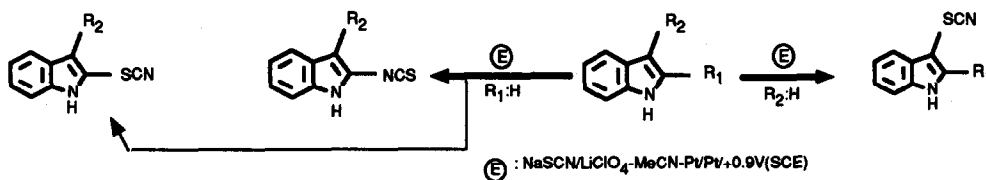
Indian Institute of Chemical Technology, Hyderabad 500 007, India

Enantiospecific synthesis of 6-(R)-hydroxy-7,9-octadecadienoic acid (1) via Sharpless epoxidation and acetylenic coupling is reported.



SELECTIVITY IN THE THIOCYANATION OF 3-ALKYL-INDOLES: AN UNEXPECTEDLY EASY ACCESS TO 2-ISOTHIOCYANO DERIVATIVES

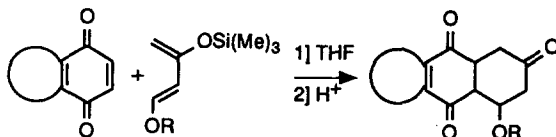
G. Palmisano,* E. Brenna, B. Danieli, G. Lesma, B. Vodopivec, and G. Fiori



UNEXPECTEDLY HIGH DIASTEREOMERIC INDUCTION IN THE DIELS-ALDER REACTION OF QUINONES WITH CHIRAL ARYL CONTAINING 1-ALKOXY-3-TRIMETHYLSILOXYBUTA-1,3-DIENES.

J. F. M. de Bie, G. P. F. van Strijdonck, J. P. Seerden, G. Beurskens and J. W. Scheeren

Department of Organic Chemistry, University of Nijmegen, Toernooiveld, 6525 ED Nijmegen, The Netherlands.



Chiral 1-alkoxy-3-trimethylsilyloxybuta-1,3-dienes induce a high diastereomeric excess in the cycloaddition with quinones when the chiral alkoxy group OR is of the type OCH(CH₃)R₁ with R₁ is aryl

**Radical Mediated Oxidations in Organic Chemistry. 3.
An Efficient and Versatile Transformation of
Aldehydes into Amides.**

*I. E. Markó * and A. Mekhafia*

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