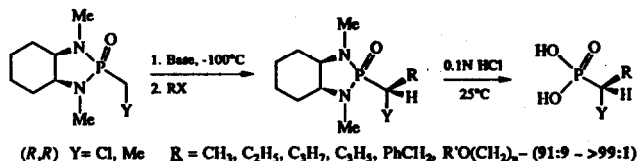


GRAPHICAL ABSTRACTS

THE ASYMMETRIC SYNTHESIS OF α -CHLORO α -ALKYL AND α -METHYL α -ALKYL PHOSPHONIC ACIDS OF HIGH ENANTIOMERIC PURITY.

Stephen Hanessian,* Youssef L. Bennani and Daniel Delorme;
Department of Chemistry, Université de Montréal, C.P. 6128, Succ. A, Montréal, QC, CANADA, H3C 3J7.

A method is described for the synthesis of α -chloroalkyl and α -methylalkyl phosphonic acids in either enantiomeric form and in high optical purity, based on the asymmetric alkylation of bicyclic phosphonamides derived from a C_2 symmetrical diamine.

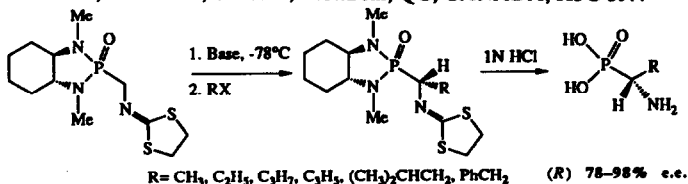


Tetrahedron Lett. 1990, 31, 6461

A VERSATILE ASYMMETRIC SYNTHESIS OF α -AMINO α -ALKYLPHOSPHONIC ACIDS OF HIGH ENANTIOMERIC PURITY

Stephen Hanessian* and Youssef L. Bennani;
Department of Chemistry, Université de Montréal, C.P. 6128, Succ. A, Montréal, QC, CANADA, H3C 3J7.

A general protocol for the synthesis of α -amino- α -alkyl phosphonic acids in either enantiomeric form is described based on the alkylation of chiral bicyclic phosphonamides derived from (*R,R*)- and (*S,S*)-1,2-diaminocyclohexane.

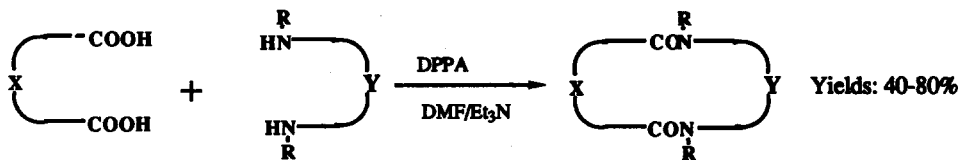


Tetrahedron Lett. 1990, 31, 6465

A CONVENIENT SYNTHESIS OF MACROCYCLIC LACTAMS

Ligang Qian, Zhong Sun, Tamboue Deffo and Kristin Bowman Mertes
Department of Medicinal Chemistry, University of Kansas, Lawrence, KS 66045

A facile method is described for the synthesis of macrocyclic lactams using dicarboxylic acids and diamines directly in the presence of diphenylphosphoryl azide.

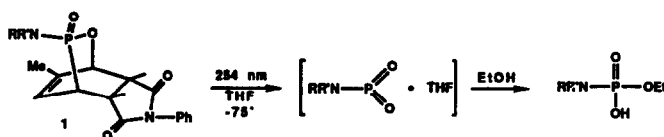


Tetrahedron Lett. 1990, 31, 6469

DIRECT DETECTION OF TWO METAPHOSPHORAMIDATES IN -75° THF SOLUTIONS BY ^{31}P NMR SPECTROSCOPY.

Louis D. Quin, Catherine Bourdieu, and Gyöngyi S. Quin, Dept. of Chemistry,
Univ. of Massachusetts, Amherst, MA 01003.

Irradiation of 1 at -75° in THF provides metaphosphoramidates that are detectable by ^{31}P NMR and converted to O-ethyl phosphoramidates with ethanol.

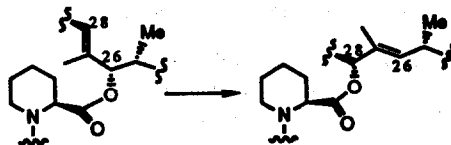


Tetrahedron Lett. 1990, 31, 6473

THERMAL REARRANGEMENT OF THE IMMUNOSUPPRESSANT FK-506

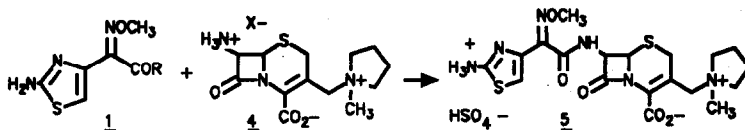
H. Ok*, B. H. Arison, R. G. Ball, T. R. Beattie,
M. H. Fisher and M. J. Wyvratt
Department of Basic Medicinal Chemistry
Merck Sharp and Dohme Research Laboratories
P.O. Box 2000; Rahway, New Jersey 07065

Treatment of FK-506 in xylene at reflux results in a [3,3] sigmatropic rearrangement of the allylic ester portion of the molecule to give a new ring expanded macrolide.



NEW CEPHALOSPORIN ACYLATING AGENTS DERIVED FROM SYN-2-(2-AMINOTHIAZOL-4-YL)-2-METHOXYIMINO-ACETIC ACID. APPLICATION TO THE SYNTHESIS OF CEFEPIME SULFATE
Donald G. Walker, Bristol-Myers Squibb Co., Pharmaceutical Research Institute, Chemical Process Research, P.O. Box 4755, Syracuse, NY 13221-4755

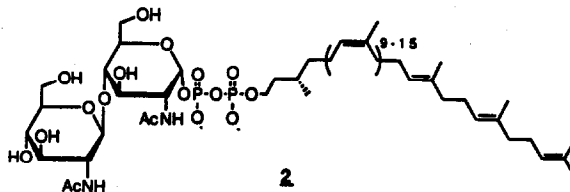
Acylation of **4** with new thiol derivatives of **1** gave cefepime sulfate **5** in good yields.



SYNTHESIS OF DOLICHYLPYROPHOSPHATE-LINKED OLIGOSACCHARIDES

Barbara Imperiali* and Janet Warren Zimmerman
Division of Chemistry and Chemical Engineering,
California Institute of Technology,
Pasadena CA 91125

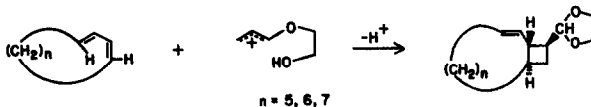
A synthesis of P¹-di-N-acetyl- α -chitobiosyl P²-dolichylpyrophosphate involving both chemical and enzymatic transformations is described.



THE $2\pi + 2\pi$ CYCLOADDITION OF AN ALLYL CATION TO (1Z,3E)-CYCLOALKADIENES. EVIDENCE FOR A STEPWISE PROCESS IN THE IONIC DIELS-ALDER REACTION.

Paul G. Gassman,* Subhash P. Chavan, and Lawrence B. Fiertel
Department of Chemistry, University of Minnesota, Minneapolis, Minnesota 55455

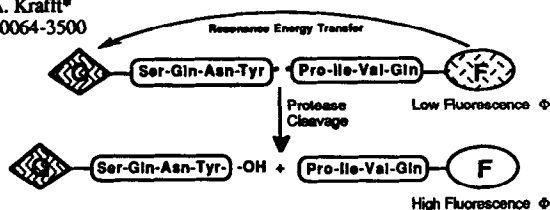
Allyl cations have been shown to add to (1Z,3E)-cycloalkadienes to produce cyclobutanes.



DESIGN AND SYNTHESIS OF NEW FLUOROGENIC HIV PROTEASE SUBSTRATES BASED ON RESONANCE ENERGY TRANSFER

Gary T. Wang, Edmund Matayoshi, H. Jan Huffaker and Grant A. Krafft*
Abbott Laboratories, One Abbott Park Road, Abbott Park, IL 60064-3500

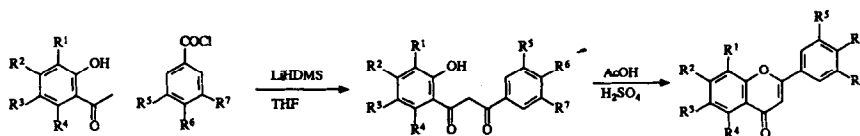
The design and synthesis of new fluorogenic substrate probes for HIV protease based on resonance energy transfer are described. These substrates permit sensitive, continuous measurement of HIV protease activity.



A METHOD FOR THE FACILE SYNTHESIS OF RING-A HYDROXYLATED FLAVONES

Mark Cushman and Dhanapalan Nagarathnam, Department of Medicinal Chemistry and Pharmacognosy, Purdue University, West Lafayette, Indiana 47907.

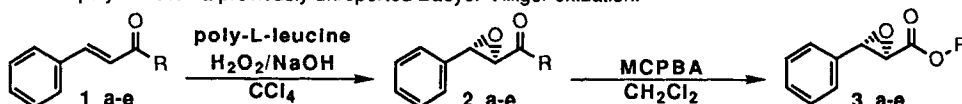
Ring-A hydroxylated flavones were synthesized by the following pathway:



AN EFFICIENT ASYMMETRIC SYNTHESIS OF SUBSTITUTED PHENYL GLYCIDIC ESTERS

Paul W. Baures, Drake S. Eggleston, Joseph R. Flisak,* Kerry Gombatz, Ivan Lantos,* Wilford Mendelson and James J. Remick
Synthetic Chemistry Department, SmithKline Beecham Pharmaceuticals, Post Office Box 1539, King of Prussia, PA 19406-0939

SUMMARY: Chiral substituted glycidic esters (3a-e) have been prepared from their corresponding chalcones (1a-e) through an asymmetric epoxide (2a-e) via a two step procedure consisting of an asymmetric epoxidation mediated by a poly-L-leucine polymer then a previously unreported Baeyer-Villiger oxidation.

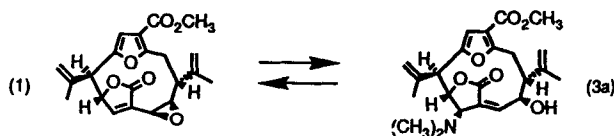


FURANOCEMBRANOLIDE INTERCONVERSIONS. TRANSFORMATION OF PSEUDOPTEROLIDE INTO TOBAGOLIDE AND ITS REVERSAL

Leo A. Paquette* and Peter C. Astles

Evans Chemical Laboratories, The Ohio State University, Columbus, Ohio 43210 USA

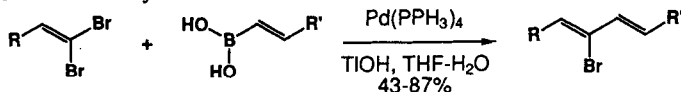
The reversible interconversion of pseudopterolide (1) with tobagolide (3a) is described.



STEREOSELECTIVE SYNTHESIS OF (Z,E)-2-BROMODIENES VIA THE PALLADIUM (0) CATALYZED CROSS COUPLING REACTIONS OF 1,1-DIBROMOOLEFINS AND VINYLBORONIC ACIDS

William R. Roush,* Kevin J. Moriarty and Bradley B. Brown
Department of Chemistry, Indiana University, Bloomington, IN 47405

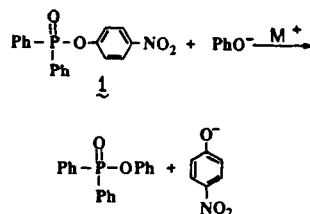
(Z,E)-2-Bromodienes are synthesized via stereoselective Pd⁰ catalyzed cross coupling reactions of 1,1-dibromoolefins and vinylboronic acids.



SOLVENT EFFECT ON GROUND-STATE VS. TRANSITION-STATE STABILIZATION BY METAL IONS. CONTRASTING METAL ION BEHAVIOUR IN TETRAGLYME AND ETHANOL FOR NUCLEOPHILIC DISPLACEMENT AT A PHOSPHORUS CENTRE

E. Bunčel^a, E. J. Dunn^a, Ng. Truong^a, R. A. B. Bannard^b and J. G. Purdon^c.
^aDepartment of Chemistry, Queen's University, Kingston, Canada K7L 3N6; ^bDefence Research Establishment Ottawa, Shirley Bay, Canada K1A 0Z4; ^cDefence Research Establishment Suffield, Alberta, Canada T1A 8K6

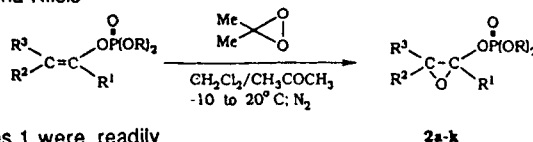
The reaction of PhO⁻ with **1** is subject to catalysis by alkali metal cations in ethanol as solvent but not in tetraglyme where the reaction rate is much faster.



DIMETHYLDIOXIRANE EPOXIDATION OF ENOL PHOSPHATES

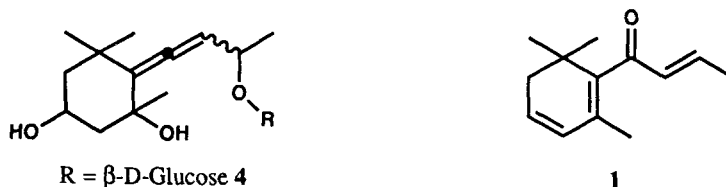
Waldemar Adam*, Lazaros Hadjirapoglou and Jasna Klicic

Institute of Organic Chemistry of the University
of Würzburg, D-8700 Würzburg, F.R.G.



The novel epoxides **2** of a variety of enol phosphates **1** were readily prepared by epoxidation with dimethyldioxirane at subambient temperatures.

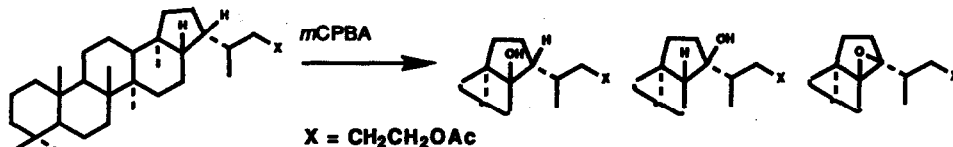
ISOLATION OF A GLUCOSIDIC PRECURSOR OF DAMASCENONE FROM LYCIUM HALIMIFOLIUM MIL.
by Regula Näf* and Alain Velluz



The glucoside **4** was found in *Lycium halimifolium* and represents the first isolated precursor of Damascenone (**1**).

OXIDATION OF THE TRITERPENIC HOPANE SKELETON BY PERACIDS.

P. Bisseret, D. Armspach, S. Neunlist and M. Rohmer*. Ecole Nationale Supérieure de Chimie de Mulhouse, 3 rue A. Werner, F68093 Mulhouse Cedex, France.



$\text{Et}_3\text{N}\cdot 2\text{HF}$, A NEW CONVENIENT REAGENT FOR
NÚCLEOPHILIC FLUORINE DISPLACEMENT REACTIONS

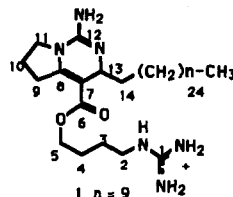
M. B. GIUDICELLI, D. PICQ* and B. VEYRON, Lab. Chimie Organique 3, Université Claude Bernard Lyon I, 43 B^d du 11 Novembre, 69622 Villeurbanne, France



Two new guanidine alkaloids from the
Mediterranean sponge *Crambe crambe*

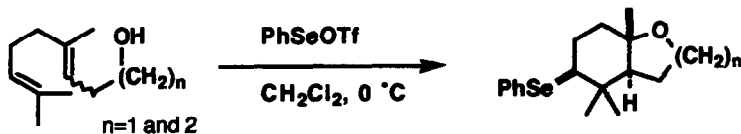
R.G.S. Berlinck¹, J.C. Braekman¹, D. Daloz², K. Hellenge², R. Ottinger³, I. Bruno⁴, R. Riccio⁴) Lab. de Chimie Bio-Organique, Faculty of Sciences; 2) Plant Genetic Systems; 3) Service de Chimie Organique, Faculty of Applied Sciences, University of Brussels; 4) Dipartimento di Chimica delle Sostanze Naturali, Fac. di Farmacia, Università di Napoli.

Two new guanidine alkaloids, crambine A (1) and crambine B (2) have been isolated from the marine sponge *Crambe crambe*, and their structures determined by spectroscopic methods. Particularly useful were the ¹H-¹³C long range correlations (HMBC).



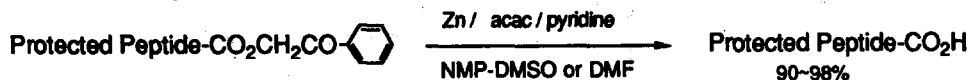
CYCLIZATION OF TERPENE ALCOHOLS AND RELATED
POLYENOLS BY BENZENESELENYL TRIFLATE

Shizuaki Murata* and Toshiyasu Suzuki, Department of Chemistry, College of General Education, Nagoya University, Chikusa, Nagoya 464-01, Japan



A Novel and Efficient Method for Cleavage of Phenacyl Esters by Zinc Reduction with Acetylacetone and Pyridine

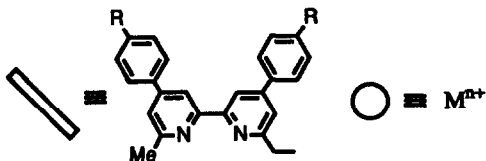
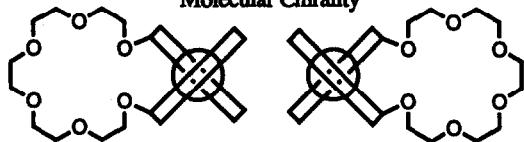
Daijiro Hagihara,^{a*} Masahiro Neya,^b Masashi Hashimoto,^b a)New Drug Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., 2-1-6 Kashima, Yodogawa-ku, Osaka 532. b)Exploratory Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., 5-2-3 Tokodai, Tsukuba, Ibaraki 300-26.



SELECTIVE RECOGNITION FOR HEAVY AND TRANSITION METALS BY NOVEL POLYETHERS BEARING BIPYRIDINES, AND MOLECULAR CHIRALITY OF PSEUDOCROWN STRUCTURE IN THE Cu(I) COMPLEX

Tatsuya Nabeshima,* Tadashi Inaba,[†] Naomichi Furukawa,^{†*} Shunji Ohshima, Toshiyuki Hosoya, and Yumihiko Yano, Department of Chemistry, Gunma University, Kiryu, Gunma 376, Japan, [†]Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305, Japan

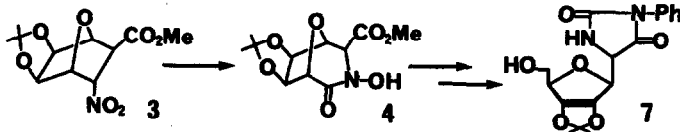
Molecular Chirality



SYNTHESIS OF C-NUCLEOSIDES FROM NON-CARBOHYDRATE PRECURSORS. A 2,4-DIOXOIMIDAZOLIDIN-5-YL RIBOFURANOSIDE

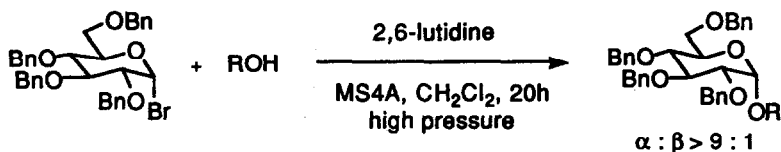
Akira Sera,* Kuniaki Itoh, and Hiroshi Yamaguchi
Department of Chemistry, Faculty of Science, Kobe University, Kobe 657, Japan

A new synthetic route to C-nucleosides is described. A key step is regioselective formation of 4 from 3 by irradiation.



GLYCOSYLATION REACTION UNDER HIGH PRESSURE

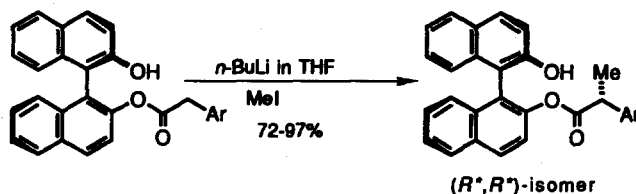
Makoto SASAKI,* Yasuo GAMA, Masahiko YASUMOTO, and Yutaka ISHIGAMI
National Chemical Laboratory for Industry, Tsukuba, Ibaraki 305, Japan



Tetrahedron Lett. 1990, 31, 6553

COMPLEX-INDUCED PROXIMITY EFFECTS IN ENOLATE FORMATION.
HIGHLY DIASTERESELECTIVE α -METHYLATION OF BINAPHTHYL
ESTERS OF ARYLACETIC ACIDS.

Kaoru Fuji,^{a*} Manabu Node^b, and Fujie
Tanaka^a
Institute for Chemical Research,^a Kyoto
University, Uji, Kyoto 611, Japan. Kyoto
Pharmaceutical University,^b Yamashina-Ku,
Kyoto 607, Japan

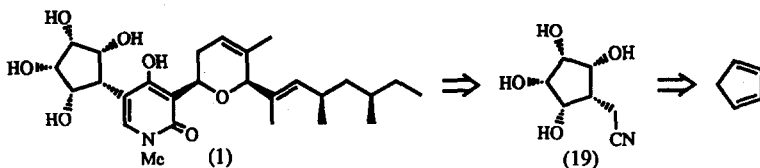


STUDIES TOWARDS FUNICULOSIN. SYNTHESIS OF THE
UNIQUE ALL-*CIS*-CYCLOPENTANETETROL MOIETY

Tetrahedron Lett. 1990, 31, 6557

Gerald Pattenden^{*} and Graham F. Smith
Department of Chemistry, The University, Nottingham, NG7 2RD.

A total synthesis of the all-*cis*-cyclopentanetetrol moiety (19) present in the antibiotic funiculosin (1) is described.

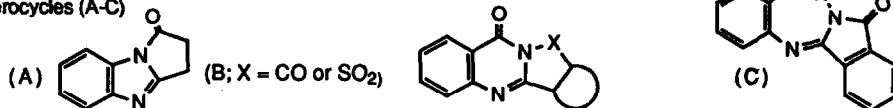


HETEROCYCLES BY INTRAMOLECULAR AZA-WITTIG REACTIONS OF
IMINOPHOSPHORANES OBTAINED FROM 2-AZIDOBENZOYL AND 2-
AZIDOBENZYLIDENE DERIVATIVES

Tetrahedron Lett. 1990, 31, 6561

Abdul-Basset N. Luheshi, Salem M. Salem and Robert K. Smalley, Department of Chemistry and Applied Chemistry,
University of Salford, Salford, M54WT; Peter D. Kennewell and Robert Westwood, Roussel Laboratories Ltd.,
Kingfisher Drive, Covingham, Swindon, Wilts., SW3 5BT.

Intramolecular aza-Wittig reactions have been used to prepare 2,3-disubstituted-4-quinolones and other heterocycles (A-C)



A NEW TRITERPENOID SKELETON FROM THE MEDITERRANEAN
SPONGE *RASPACIONA ACULEATA*: STRUCTURE OF RASPACIONIN

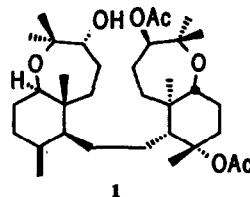
Tetrahedron Lett. 1990, 31, 6565

G. Cimino, A. Crispino, C. A. Mattia^o, L. Mazzarella^o, R. Puliti, E. Trivellone, M. J. Uriz^a
Istituto per la Chimica di Molecole di Interesse Biologico del C.N.R. Via Toiano n. 6,
80072, Arco Felice, Napoli, Italy.

^oDipartimento di Chimica, Università "Federico II", Via Mezzocannone n. 4,
80134, Napoli, Italy.

^aCentre d'Estudios Avanzados, Camí de Santa Barbara, 17300, Blanes (Gerona), Spain.

A new triterpenoid, raspacionin (1), has been isolated from the sponge *Raspaciona aculeata*. The structure has been secured by X-ray analysis.

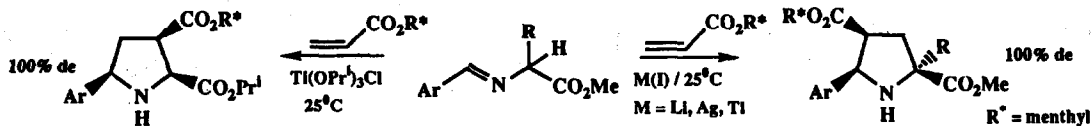


Metal Ion Catalysed Asymmetric 1,3- Dipolar Cycloaddition Reactions of Imines of α - Amino Esters.

Darrin A. Barr^a, Michael J. Dorrity^a, Ronald Grigg^{a,b}, John F. Malone^a, John Montgomery^b, Shuleewan Rajvirongit^c and Paul Stevenson^a.

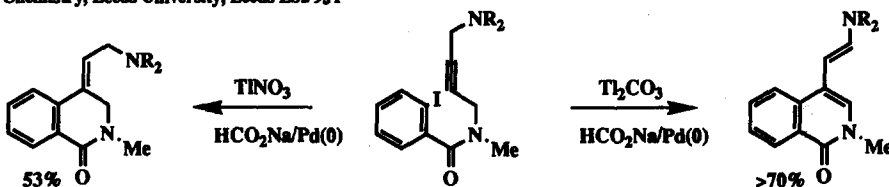
a. Chemistry Department, Queen's University, Belfast, Northern Ireland BT9 5AG. b. School of Chemistry, Leeds University, Leeds LS2 9JT.

c. Chemistry Department, Mahldol University, Bangkok, Thailand.



THE EFFECT OF THALLIUM(I) SALTS ON PALLADIUM CATALYSED TANDEM CYCLISATION-ANION CAPTURE PROCESSES.

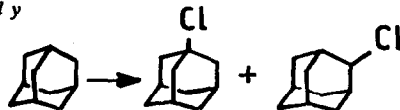
Ronald Grigg,^{*} Vani Loganathan, Sukanthini Sukirthalingam and Visuvanathar Sridharan
School of Chemistry, Leeds University, Leeds LS2 9JT



NICKEL(SALEN) CATALYSED CHLORINATION OF SATURATED HYDROCARBONS BY SODIUM HYPOCHLORITE

Cecilia Querci, Sauro Strologo, and Marco Ricci^{*}
Istituto G. Donegani S.p.A., 28100 Novara, Italy

In the presence of nickel(salen) as the catalyst, basic (pH 11) aqueous solutions of sodium hypochlorite chlorinate saturated hydrocarbons under very mild conditions.

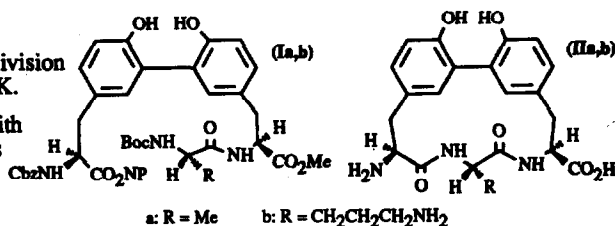


SYNTHESIS OF ANALOGUES OF THE BIPHENOMYCIN ANTIBIOTICS

Allan G. Brown and Peter D. Edwards^{*}

SmithKline Beecham Pharmaceuticals, Research Division
Brockham Park, Betchworth, Surrey, RH3 7AJ, U.K.

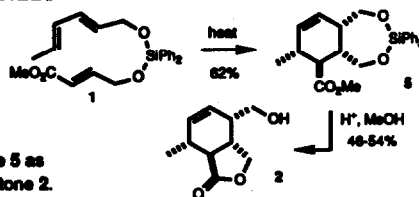
The oxidative coupling of L-tyrosine derivatives with vanadium oxyhalides gave dityrosine intermediates (Ia,b) which were cyclised to give analogues of the biphenomyacin antibiotics (IIa,b).



**A NOVEL STRATEGY FOR REGIO- AND STEREOCONTROL
IN [4 + 2] CYCLOADDITIONS. INTRAMOLECULAR DIELS-
ALDER REACTION OF A SILYL ACETAL TRIENE**

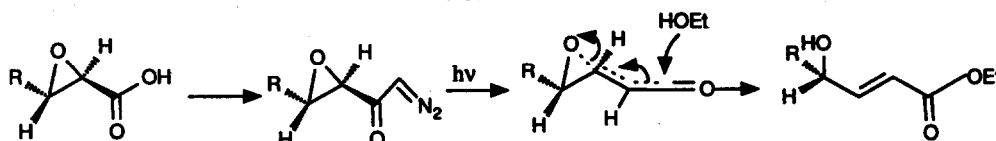
Donald Craig* and John C. Reader
Department of Chemistry, Imperial College of Science,
Technology and Medicine, London SW7 2AY, U.K.

The intramolecular Diels-Alder reaction of the silyl acetal triene 1 gave 5 as
the major (> 50:1) product. Acidic methanolysis of 5 gave hydroxylactone 2.



A GENERAL STEREOSPECIFIC SYNTHESIS OF γ -HYDROXY- α,β -UNSATURATED ESTERS

L. Thijs, F. J. Dommerholt, F. M. C. Leemhuis and B. Zwanenburg*
Department of Organic Chemistry, University of Nijmegen, Toernooiveld, 6525 ED Nijmegen, The
Netherlands



The optical activity, introduced by the Sharpless epoxidation, is retained in this reaction sequence.