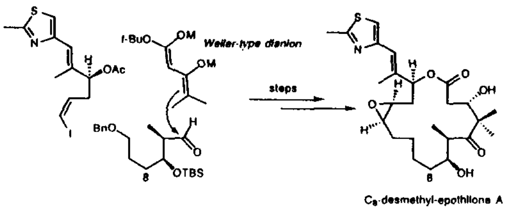
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1997**, *38*, 4529

Stereoselective Syntheses and Evaluation of Compounds in the 8-Desmethylepothilone A Series: Some Surprising Observations Regarding Their Chemical and Biological Properties

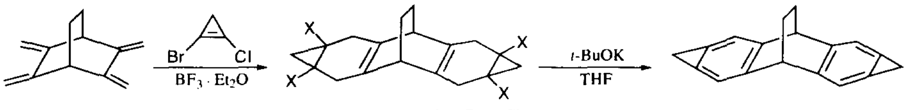
[a]Aaron Balog, [a]Peter Bertinato, [a]Dai-Shi Su, [a,c]Dongfang Meng, [a]Erik Sorensen, [a,c]Samuel J. Danishefsky,* [b]Yu-Huang Zheng, [b]Ting-Chao Chou, [d]Lifeng He and [d]Susan B. Horwitz Contribution from [a]The Laboratory for Bioorganic Chemistry, [b]The Laboratory for Biochemical Pharmacology, The Sloan-Kettering Institute for Cancer Research, 1275 York Ave., New York, N.Y. 10021, [c]The Department of Chemistry, Columbia University, Havemeyer Hall, New York, N.Y. 10027 and [d] The Department of Molecular Pharmacology, The Albert Einstein College of Medicine, Bronx, N.Y. 10461



Tetrahedron Letters, **1997**, *38*, 4533

SYNTHESIS OF A NOVEL DICYCLOPROPARENES.

W. E. Billups,* Weimei Luo, Brenda Harmon, Dianne McCord, and Robert Wagner, Department of Chemistry, Rice University, Houston, Texas 77005



X = Br or Cl

Tetrahedron Letters, **1997**, *38*, 4535

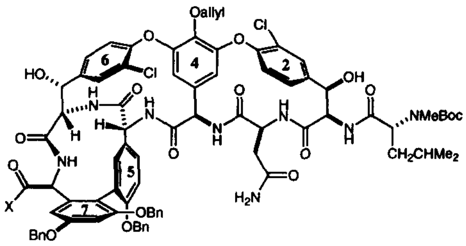
Mild Nitrosation and Hydrolysis of Polyfunctional Amides

David A. Evans,* Percy H. Carter, Christopher J. Dinsmore, James C. Barrow, Jeffrey L. Katz, and Daniel W. Kung

Department of Chemistry & Chemical Biology, Harvard University, Cambridge, MA 02138, USA

Secondary amides may be hydrolyzed *via* a mild, high-yielding sequence consisting of *N*-nitrosation, treatment with lithium hydroperoxide, and reduction with sodium sulfite. These operations may be executed in the presence of an array of functional groups, including other amide functionality, as demonstrated in the context of two different synthetic studies.

X = NH–Me → X = OH, *78% yield*
(a) N_2O_4. (b) LiOH, H_2O_2; Na_2SO_3

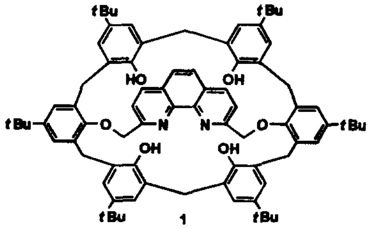


Tetrahedron Letters, **1997**, *38*, 4539

CONCAVE REAGENTS - 23. SYNTHESIS OF A CALIX[6]ARENE BRIDGED BY A 1,10-PHENANTHROLINE

Haymo Ross and Ulrich Lüning*, Institut für Organische Chemie der Christian-Albrechts-Universität zu Kiel, Olshausenstraße 40, D-24098 Kiel, Germany

The synthesis, basicity, and preliminary ¹H NMR complexation studies of metal ions of the title compound 1 are reported.

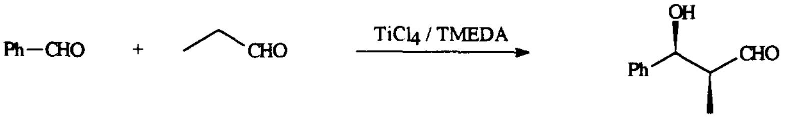


1

Tetrahedron Letters, **1997**, *38*, 4543

Aldol Addition of Aldehydes - A Stereoselective Approach to *syn*-3-Hydroxyaldehydes.

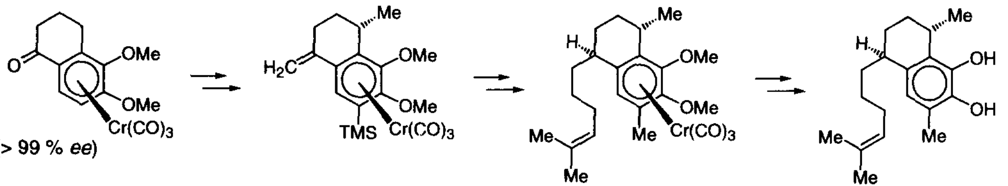
Rainer Mahrwald,* Bilgi Gündogan, Humboldt-Universität Berlin, Hessische Straße 1-2, D-10115 Berlin, Germany
Burkhard Costisella, Institut für Angewandte Chemie, Rudower Chaussee 5, D-12484 Berlin, Germany



Tetrahedron Letters, **1997**, *38*, 4545

CHIRAL η^6-ARENE-$Cr(CO)_3$ COMPLEXES IN ORGANIC SYNTHESIS A SHORT AND HIGHLY SELECTIVE SYNTHESIS OF THE 18-NOR-SECO-PSEUDOPTEROSIN AGLYCONE

André Majdalani and Hans-Günther Schmalz*
Institute of Organic Chemistry, Technical University Berlin, Strasse des 17. Juni 135, D-10623 Berlin, Germany

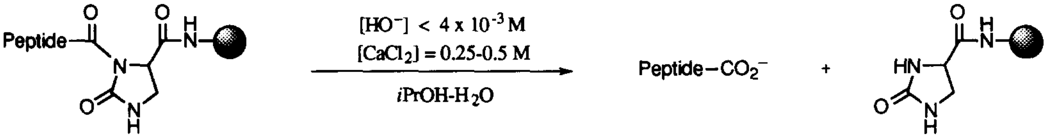


Tetrahedron Letters, **1997**, *38*, 4549

CALCIUM-PROMOTED HYDROLYSIS OF *N*-ACYLUREAS ALLOWS MILD RELEASE OF PEPTIDES ANCHORED WITH THE DPR(PHOC) LINKER TO HYDROPHILIC RESINS.

Robert Pascal* and Régine Sola, Centre de Recherches de Biochimie Macromoléculaire, CNRS, 1919 Route de Mende, F-34293 Montpellier Cedex 5, France

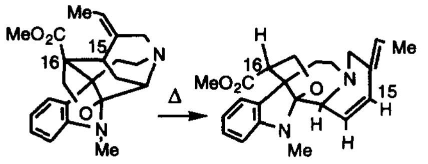
Calcium catalysis of *N*-acylimidazolidin-2-one cleavage.



Tetrahedron Letters, **1997**, *38*, 4553

THE FLOW THERMOLYSIS OF PSEUDOAKUAMMIGINE

Georgette Hugel* and Jean Lévy, Laboratoire de Transformations et Synthèse de Substances Naturelles, associé au CNRS, Université de Reims Champagne-Ardenne, Faculté de Pharmacie, 51 rue Cognacq-Jay, F-51096 Reims Cédex, France.

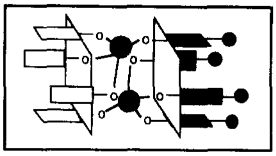


The flow thermolysis of pseudoakuammigine (485°C) yielded the 15,16-*seco* derivative (*cis* C/D ring junction), in contrast with the thermal rearrangements of parent indolenines in the *akuamma* series of indole alkaloids.

Tetrahedron Letters, **1997**, *38*, 4555

MULTICAVITANDS V : SYNTHESIS AND X-RAY ANALYSIS OF UNSYMMETRICAL LINEAR KOILANDS BASED ON DOUBLE FUSION OF TWO DIFFERENT CALIX[4]ARENES BY TWO SILICON ATOMS.

Frédérique Hajek, Ernest Graf, Mir Wais Hosseini* , André De Cian, Jean Fischer
Institut de Chimie, Université Louis Pasteur, 4, rue Blaise Pascal, F-67000 Strasbourg, France

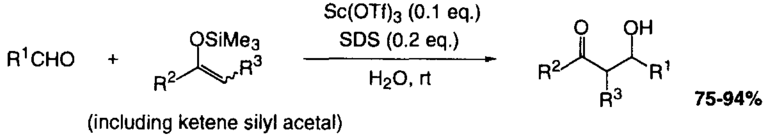


Unsymmetrical hollow molecular modules possessing two cavities oriented divergently were prepared by fusing two different calix[4]arene derivatives with two silicon atoms. Several of the compounds obtained were characterised by X-ray analysis.

Tetrahedron Letters, **1997**, *38*, 4559

LEWIS ACID CATALYSIS IN MICELLAR SYSTEMS. Sc(OTf)3-CATALYZED AQUEOUS ALDOL REACTIONS OF SILYL ENOL ETHERS WITH ALDEHYDES IN THE PRESENCE OF A SURFACTANT

S. Kobayashi,* T. Wakabayashi, S. Nagayama, H. Oyamada, Department of Applied Chemistry, Faculty of Science, Science University of Tokyo (SUT), and CREST, Japan Science and Technology Corporation (JST), Kagurazaka, Shinjuku-ku, Tokyo 162

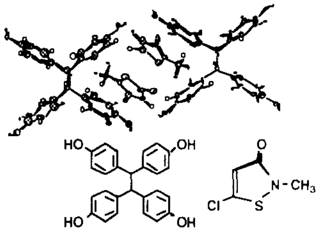


Tetrahedron Letters, **1997**, *38*, 4563

Crystal Habit of a Novel Host-Guest Complex Composed of 1,1,2,2-Tetrakis(4-hydroxyphenyl)ethane and 5-Chloro-2-methyl-4-isothiazolin-3-one

Hiroshi Suzuki[a,*] Hideo Takagi[b] and Ryu Sato[c]

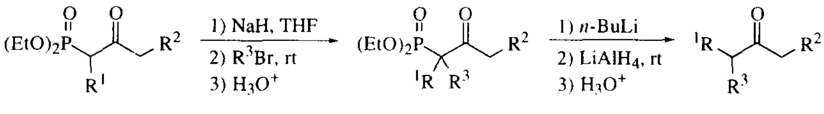
[a]R&D Laboratory for specialty Chemicals, Nippon Soda Co., Ltd., 12-54 Goi-Minamikaigan, Ichihara 290, Japan
[b]Odawara Research Center, Nippon Soda Co., Ltd., 345 Takada, Odawara 250-02, Japan
[c]Department of Applied Chemistry and Molecular Science, Faculty of Engineering, Iwate University, Morioka 020, Japan



Tetrahedron Letters, **1997**, *38*, 4567

DEPHOSPHONYLATION OF α-FULLY SUBSTITUTED β-KETO PHOSPHONATES WITH LiAlH$_4$; REGIOSELECTIVE ALKYLATION OF KETONES EMPLOYING PHOSPHONATE AS A TEMPORARY ACTIVATING GROUP.

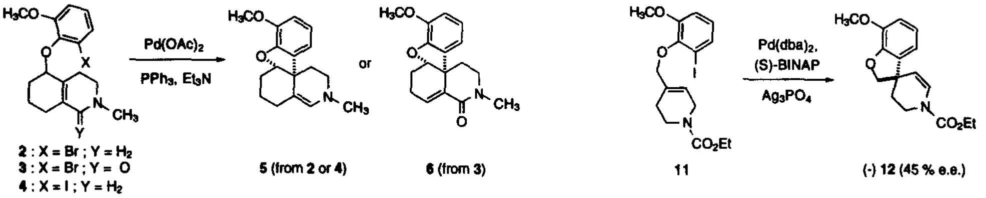
Shi Yong Lee, Jong Eoun Hong, Won Bum Jang and Dong Young Oh,* Department of Chemistry, Korea Advanced Institute of Science and Technology, 373-1, Kusong-Dong, Yusong-Gu, Taejon, 305-701, Korea



Tetrahedron Letters, **1997**, *38*, 4571

SYNTHESIS OF MORPHINE FRAGMENTS SPIRO[BENZOFURAN-3(2H),4'-PIPERIDINE] AND OCTAHYDRO-1H-BENZOFURO[3,2-e]ISOQUINOLINE BY INTRAMOLECULAR HECK REACTION

Chen-Yu Cheng*, Jing-Ping Liou, and Mei-Jing Lee
Institute of Pharmaceutical Sciences, National Taiwan University, 1, Sec 1, Jen-Ai Road, Taipei, Taiwan 10018



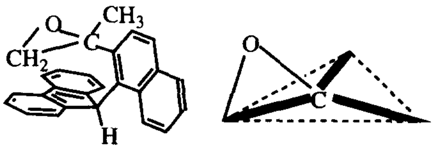
2 : X = Br ; Y = H₂
3 : X = Br ; Y = O
4 : X = I ; Y = H₂
5 (from 2 or 4)
6 (from 3)
11
(-) 12 (45 % e.e.)

Tetrahedron Letters, **1997**, *38*, 4575

MANIFESTATION OF A (DISTORTED) TRIGONAL PYRAMIDAL STRUCTURE OF A QUADRIVALENT CARBON.

Takanori Hirose, Nobuhiro Morita, Shinji Toyota, and Michinori Ōki,* Department of Chemistry, Faculty of Science, Okayama University of Science, Ridaicho, Okayama 700, Japan

The carbon atom, which is a part of the oxirane ring and is connected to the naphthalene ring, in *ap*-1-(9-fluorenyl)-2-(2-methyl-2-oxiranyl)naphthalene is found to take a distorted trigonal pyramidal structure.

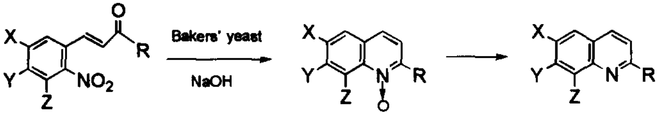


Tetrahedron Letters, **1997**, *38*, 4579

BAKERS' YEAST REDUCTION OF NITROARENES IN NaOH MEDIA 5. REDUCTIVE CYCLIZATION OF *o*-NITROCINNAMALDEHYDES.

Woonphil Baik*, Dong Ik Kim, Hyun Joo Lee, Wook-Jin Chung, Seok Woo Lee and Byeong Hyo Kim
Department of Chemistry, Myong Ji University, Yong In, Kyung Ki Do, 449-728, **Korea**.

Reduction of *o*-nitrocinnamaldehydes or 4-(2'-nitrophenyl)-3-buten-2-one by bakers' yeast has been found to cyclized in NaOH media, resulting in the formation of quinolines *via* cyclized *N*-oxides.

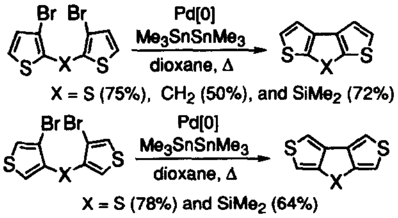


Tetrahedron Letters, **1997**, *38*, 4581

SYNTHESIS OF DITHIENOTHIOPHENES, CYCLOPENTA-DITHIOPHENE AND SILACYCLOPENTADITHIOPHENES USING PALLADIUM-CATALYZED CYCLIZATION

Masahiko Iyoda,* Mami Miura, Shigeru Sasaki, S. M. Humayun Kabir, Yoshiyuki Kuwatani, and Masato Yoshida
Department of Chemistry, Faculty of Science, Tokyo Metropolitan University, Hachioji, Tokyo 192-03, Japan

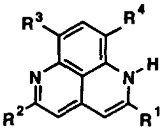
The intramolecular cyclization of bromothiophene derivatives with hexamethylditin in the presence of tetrakis(triphenylphosphine)palladium(0) gave dithienothiophenes, cyclopentadithiophene, and silacyclopentadithiophenes in moderate to good yields.



Tetrahedron Letters, **1997**, *38*, 4583

Synthesis and Properties of 1,6-Diazaphenalenes and their Charge-Transfer Complexes with Tetracyanoquinodimethane

Kouichi Tamaki, Yasushi Morita,[a] Jiro Toyoda, [a] Hideki Yamochi,[b] Gunzi Saito,[b] and Kazuhiro Nakasuji*[a]
Department of Functional Molecular Science, The Graduate University for Advanced Studies, Myodaiji, Okazaki 444, Japan,
[a] Department of Chemistry, Graduate School of Science, Osaka University, Toyonaka, Osaka 560, Japan,
[b]Department of Chemistry, Faculty of Science, Kyoto University, Kyoto 606-01, Japan



4

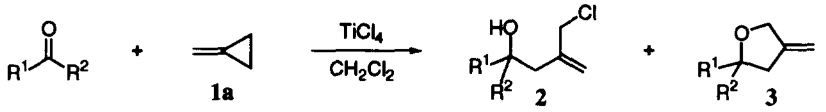
	R^1	R^2	R^3	R^4
a	H	H	Br	H
b	Cl	Cl	H	H
c	CH_3	CH_3	Cl	Cl
d	C_6H_5	CH_3	Cl	Cl
e	2-Py	CH_3	Cl	Cl

Tetrahedron Letters, **1997**, *38*, 4587

LEWIS ACID PROMOTED ADDITION OF METHYLENECYCLOPROPANES TO ALDEHYDES AND KETONES

Katsukiyo Miura, Mizuki Takasumi, Takeshi Hondo, Hiroshi Saito, and Akira Hosomi*
Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305, Japan

In the presence of $TiCl_4$, methylenecyclopropane (1a) easily reacted with aliphatic aldehydes to give the β-(chloromethyl)allylated products 2 in good yields along with a small amount of the methylenetetrahydrofurans 3.

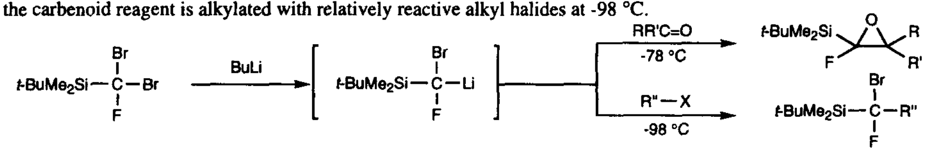


Tetrahedron Letters, **1997**, *38*, 4591

BROMO(*t*-BUTYLDIMETHYLSILYL)FLUOROMETHYLLITHIUM: A FLUORINATED NUCLEOPHILIC CARBENOID REAGENT STABILIZED BY A SILYL SUBSTITUENT

Masaki Shimizu,* Takeshi Hata, and Tamejiro Hiyama, Research Laboratory of Resources Utilization, Tokyo Institute of Technology, 4259 Nagatsuta, Midori-ku, Yokohama, Kanagawa 226, JAPAN

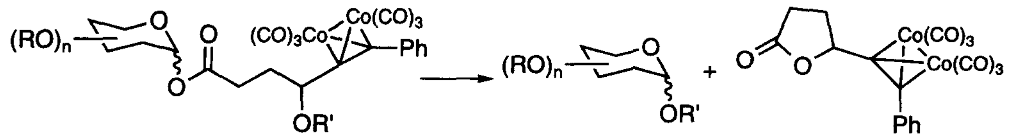
Lithiation of (t-$BuMe_2Si$)$CFBr_2$ followed by treatment with aldehydes or ketones at -78°C gives 1-fluoro-1-silyloxiranes in good yields, whereas the carbenoid reagent is alkylated with relatively reactive alkyl halides at -98 °C.



Tetrahedron Letters, **1997**, *38*, 4595

NEW GLYCOSYLATION REACTION BASED ON ALKYNE-$Co_2(CO)_6$ COMPLEX.

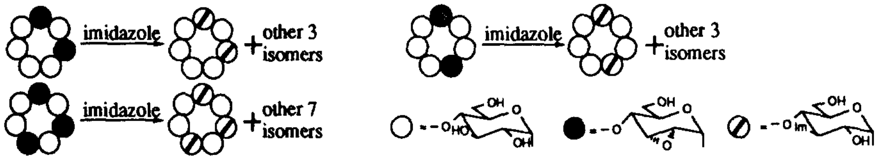
Chisato Mukai,* Takahiro Itoh, and Miyoji Hanaoka,*
Faculty of Pharmaceutical Sciences, Kanazawa University Takara-machi, Kanazawa 920, Japan



Tetrahedron Letters, **1997**, *38*, 4599

REGIOSPECIFICALLY MULTIFUNCTIONAL β-CYCLODEXTRINS WITH TWO OR THREE GLUCOSE RESIDUES BEARING IMIDAZOLYL GROUPS AT THE C3 POSITIONS

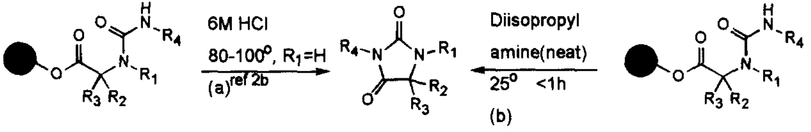
Wen-Hua Chen, De-Qi Yuan and Kahee Fujita*
Faculty of Pharmaceutical Sciences, Nagasaki University, Bunkyo-machi, Nagasaki 852, Japan



Tetrahedron Letters, **1997**, *38*, 4603

SOLID PHASE SYNTHESIS OF HYDANTOIN LIBRARY USING A NOVEL CYCLIZATION AND TRACELESS CLEAVAGE STEP

Sang Woong Kim*, Sang Youl Ahn, Jong Sung Koh, Jin Ho Lee, Seonggu Ro and Hae Yeon Cho
Biotech Research Institute, LG Chemical Ltd./Research Park,Science Town,Taejon 305-380, KOREA



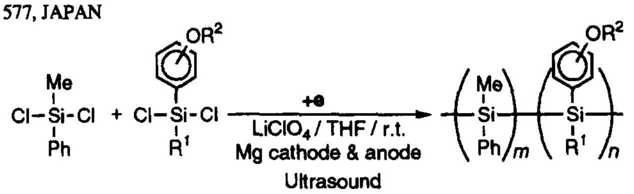
6 **1** **6**

Tetrahedron Letters, **1997**, *38*, 4607

ELECTROREDUCTIVE SYNTHESIS OF SOME FUNCTIONALIZED POLYSILANES AND RELATED POLYMERS

Shigenori Kashimura,* Manabu Ishifune, Hang-Bom Bu, Masakatsu Takebayashi, Satsuki Kitajima, Daisuke Yoshihara, Ryoichi Nishida, Shin-ichi Kawasaki, Hiroaki Murase, and Tatsuya Shono*
Kinki University, 3-4-1 Kowakae Higashi-Osaka 577, JAPAN

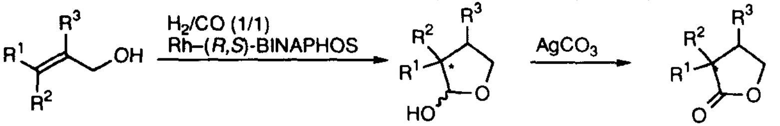
Polysilanes having hydroxyl and related functional groups have been synthesized by the electroreduction of appropriate dichlorosilanes with Mg electrode, and a vinyl polymer which has oligosilane unit in the side chain has also been synthesized.



Tetrahedron Letters, **1997**, *38*, 4611

ASYMMETRIC HYDROFORMYLATION OF ALLYLIC ALCOHOLS CAYALYZED BY Rh(I)-(*R,S*)-BINAPHOS.

Kyoko Nozaki*, Wen-ge Li, Toshihide Horiuchi, and Hidemasa Takaya, Department of Material Chemistry, Graduate School of Engineering, Kyoto University, Yoshida, Sakyo-ku, Kyoto 606-01, Japan



R^1 = Ph, R^2 = H, R^3 = H; R^1 = Me, R^2 = H, R^3 = H;

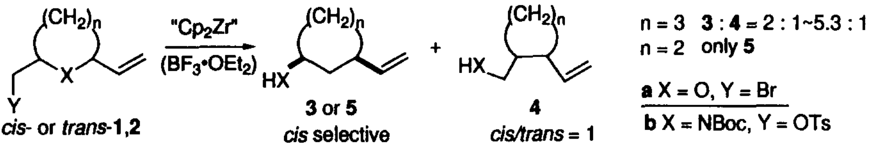
up to 88% *ee*

R^1 = H, R^2 = Et, R^3 = H; R^1 = H, R^2 = H, R^3 = Me; R^1 = H, R^2 = H, R^3 = H

Tetrahedron Letters, **1997**, *38*, 4615

NEW "Cp_2Zr"-MEDIATED RING TRANSFORMATIONS OF 2-VINYLHETEROCYCLES TO CARBOCYCLES.

Yuji Hanzawa,* Hiromichi Kiyono, Noriaki Tanaka and Takeo Taguchi*
School of Pharmacy, Tokyo University of Pharmacy and Life Science, 1432-1 Horinouchi, Hachioji, Tokyo 192-03 Japan



cis- or *trans*-**1**,**2**

3 or **5**
cis selective

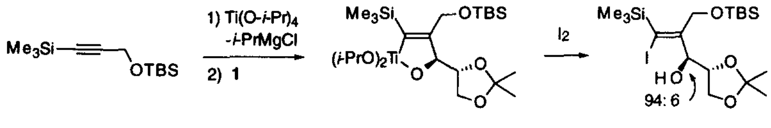
4
cis/trans = 1

Tetrahedron Letters, **1997**, *38*, 4619

Diastereoselective Addition of (η^2-Alkyne)Ti(O-*i*-Pr)$_2$ Complexes to 2,3-*O*-Isopropylideneglyceraldehyde

Koki Yamashita, Hirokazu Urabe, and Fumie Sato*
Department of Biomolecular Engineering, Tokyo Institute of Technology,
4259 Nagatsuta-cho, Midori-ku, Yokohama, Kanagawa 226, Japan

The title alkyne-titanium complexes, prepared from acetylenes, Ti(O-*i*-Pr)$_4$, and *i*-PrMgCl, reacted with 2,3-*O*-isopropylideneglyceraldehyde (**1**) in good to excellent diastereoselectivities of up to 94:6.

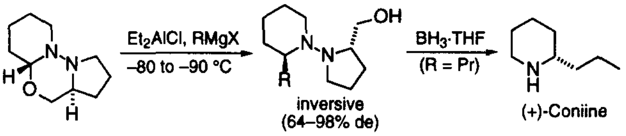


Tetrahedron Letters, **1997**, *38*, 4623

LEWIS ACID-MEDIATED S$_N$2 TYPE DISPLACEMENT BY GRIGNARD REAGENTS ON CHIRAL PERHYDROPYRIDO[2,1-*b*]PYRROLO[1,2-*d*][1,3,4]OXADIAZINE. CHIRALITY INDUCTION IN ASYMMETRIC SYNTHESIS OF 2-SUBSTITUTED PIPERIDINES

Naoki Yamazaki and Chihiro Kibayashi*
School of Pharmacy, Tokyo University of Pharmacy & Life Science, Horinouchi, Hachioji, Tokyo 192-03, Japan

Et$_2$AlCl-mediated alkylation of the tricyclic hydrazine N,O-acetal with Grignard reagents proceeds by an S$_N$2 mechanism at lower temperature, leading to enantioselective preparation of 2-substituted piperidines.



inversive
(64–98% de)

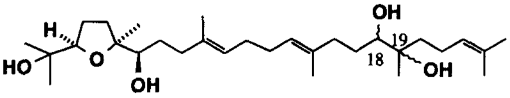
(+)-Coniine

Tetrahedron Letters, **1997**, *38*, 4627

Enantioselective Synthesis of (3*R*,6*S*,7*R*,18*R*,19*S*)- (3*R*,6*S*,7*R*,18*R*,19*R*)-, and (3*R*,6*S*,7*R*,18*S*,19*R*)-Quassiols A. A Comment on the Stereochemistry of Natural Quassiol A.

M. Kodama,* S. Yoshio, T. Tabata, Y. Deguchi, Y. Sekiya, and Y. Fukuyama
Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Yamashiro-cho, Tokushima 770, Japan

Three tetraols (**1a**, **1b**, **1c**) were synthesized enantioselectively and the enantiomer of **1a** was proposed as the stereostructure of quassiol A isolated from *Quassia multiflora*.



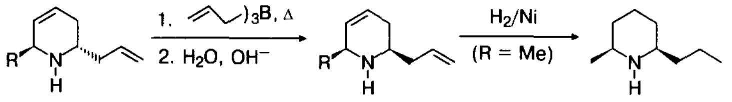
1a (18*R*,19*S*)
1b (18*R*,19*R*)
1c (18*S*,19*R*)

Tetrahedron Letters, **1997**, *38*, 4631

TRANS-CIS-ISOMERIZATION OF *TRANS*-2-ALLYL-6-ALKYL(ARYL)-1,2,3,6-TETRAHYDROPYRIDINES ON HEATING WITH TRIALLYLBORANE. SYNTHESIS OF (±)-DIHYDROPINIDINE.

Yuri N. Bubnov,*[a] Elena V. Klimkina,[a] Anatoly V. Ignatenko,[a] and Ilya D. Gridnev[b]
[a]N. D. Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Leninsky Prospect 47, Moscow, Russia
[b]A. N. Nesmeyanov Institute of Organoelement Compounds, Russian Academy of Sciences, 28 ul. Vavilova, Moscow, Russia

The method for the preparation of 4 is reported.



R = Me, *n*-Bu, Ph

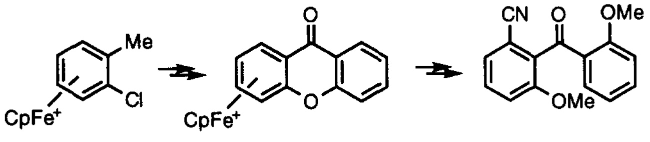
4

(±)-Dihydropinidine

Tetrahedron Letters, **1997**, *38*, 4635

A Divergent Route to Substituted Benzophenones Based on Cationic η^6-(Arene)iron Intermediates.

J. Peter Nilsson and Carl-Magnus Andersson,* *Organic Chemistry 1, Department of Chemistry, Lund University, P. O. Box 124, S-221 00 Lund, SWEDEN*

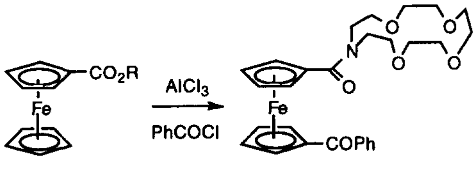


Tetrahedron Letters, **1997**, *38*, 4639

ACYLATION OF ALKYL FERROCENECARBOXYLATES: AN APPROACH TO UNSYMMETRICAL 1,1'-DISUBSTITUTED FERROCENE DERIVATIVES AND BRIDGED METALLOCENE RECEPTORS.

Martin C. Grossel,* Darren G. Hamilton and Tracy Vine, Department of Chemistry, University of Southampton, Highfield, Southampton, SO17 1BJ, UK

Acylations of benzyl- and methyl- ferrocenecarboxylate lead to unsymmetrical 1,1'-disubstituted ferrocene derivatives and provide a synthetic route to novel acyclic receptor architectures.

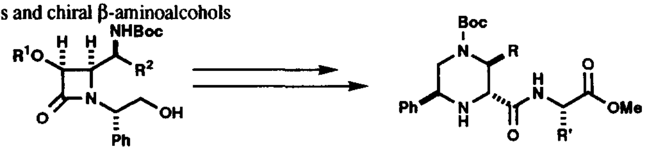


Tetrahedron Letters, **1997**, *38*, 4643

A CONCISE SYNTHESIS OF PIPERAZINE-2-CARBOXYLIC ACIDS VIA β-LACTAM-DERIVED α-AMINO ACID N-CARBOXY ANHYDRIDES.

Claudio Palomo*, Iñaki Ganboa, Carmen Cuevas, Carlos Boschetti and Anthony Linden.
Departamento de Química Orgánica. Facultad de Química. Universidad del Pais Vasco.Apdo 1072. 20080 San Sebastián. Spain

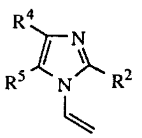
A route to piperazine-fused 3-hydroxy β-lactams was accomplished via [2+2] cycloaddition of alkoxyketenes with imines derived from chiral α-amino aldehydes and chiral β-aminoalcohols

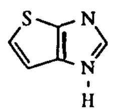


Tetrahedron Letters, **1997**, *38*, 4647

USE OF THE VINYL GROUP AS AN EFFICIENT PROTECTING GROUP FOR AZOLE *N*-ATOMS: SYNTHESIS OF POLYFUNCTIONALIZED IMIDAZOLES AND THIENO[2,3-*d*] $\rightleftharpoons$ [3,2-*d*]IMIDAZOLE

David J. Hartley and Brian Iddon*
[b]Division of Chemical Sciences, Science Research Institute, University of Salford, Salford M5 4WT, U.K.





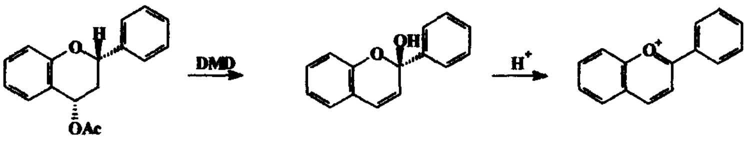
The Br-atoms in 2,4,5-tribromo-1-vinylimidazole were replaced regioselectively *via* Br → MgBr and other exchange reactions. The first synthesis of thieno[2,3-*d*] $\rightleftharpoons$ [3,2-*d*]imidazole is reported.

Tetrahedron Letters, **1997**, *38*, 4651

AN EFFICIENT OXYFUNCTIONALISATION BY DIMETHYLDIOXIRANE OF THE BENZYLETHEREAL CARBON OF FLAVONOIDS; A GENERAL AND USEFUL WAY TO ANTHOCYANIDINS

Roberta Bernini, Enrico Mincione, Anna Sanetti, Paolo Bovicelli, Paolo Lupattelli

Compounds with flavonoid structure were selectively oxyfunctionalised at the C-2 carbon atom by DMD. The reaction permitted a new route to flavylium salts.

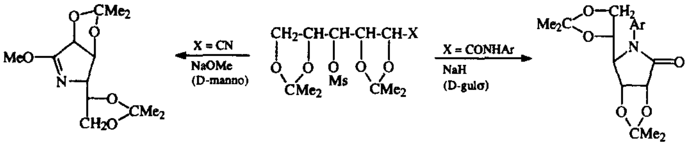


Tetrahedron Letters, **1997**, *38*, 4655

SUGAR LACTAMS AND LACTIM ETHERS, USEFUL PRECURSORS OF CYCLIC AMIDINES, FROM INTRAMOLECULAR NUCLEOPHILIC DISPLACEMENTS

By Veronique Moreaux, Heidi Warren and J. Michael Williams*
Chemistry Department, University of Wales Swansea, Singleton Park, Swansea, SA2 8PP, UK

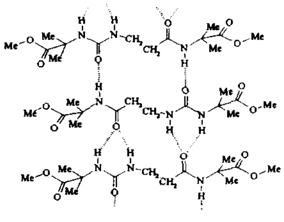
γ-Lactam and lactim ether derivatives result from the cyclisation of selected acetal-protected aldonamide and aldononitrile 4-sulfonates.



Tetrahedron Letters, **1997**, *38*, 4659

SELF-ASSEMBLING UREA-BASED PEPTIDOMIMETICS: A SIMPLE ONE-STEP SYNTHESIS AND CRYSTAL STRUCTURE OF CORE β-ALANYL UREYLENE RETRO-BISPEPTIDES (MeO-A_{aa}-[NH-CO-NH]-CH_2-CH_2-CO-NH-A_{aa}-OMe; A_{aa} = AMINO ACID A)

Darshan Ranganathan,*[a] Sunita Kurur[a], K. P. Madhusudanan[b] and Isabella L. Karle*[c]
a Biomolecular Research Unit, Regional Research Laboratory (CSIR), Trivandrum 695019, India; b Central Drug Research Institute, Lucknow; c Naval Research Laboratory, Washington, DC 20375-5341.

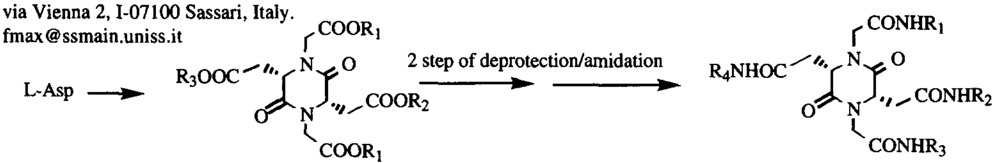


A simple, one-step synthesis of core β-alanyl ureylene peptides is described. Crystal structure shows infinite hydrogen-bonded ribbon assemblies.

Tetrahedron Letters, **1997**, *38*, 4663

A NEW DIKETOPIPERAZINE TETRA-CARBOXYLIC ACID AS A TEMPLATE FOR THE HOMOGENEOUS PHASE SYNTHESIS OF CHEMICAL LIBRARIES

Massimo Falorni,* Giampaolo Giacomelli, Francesco Nieddu and Maurizio Taddei, Dipartimento di Chimica dell'Università, via Vienna 2, I-07100 Sassari, Italy.
fmax@ssmain.uniss.it



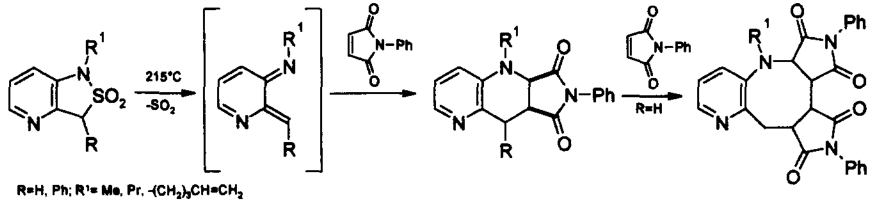
R_1 = t-Bu, Bn; R_2 = Me; R_3 = Bn.

27 diversomers from 9 different amines

Tetrahedron Letters, **1997**, *38*, 4667

GENERATION AND REACTIONS OF HETEROANALOGUES OF AZA-*ORTHO*-XYLYLENES

Krzysztof Wojciechowski* and Szymon Kosiński
Institute of Organic Chemistry Polish Academy of Sciences, ul. Kasprzaka 44/52, PL-01-224 Warszawa 42, POBox 58, Poland

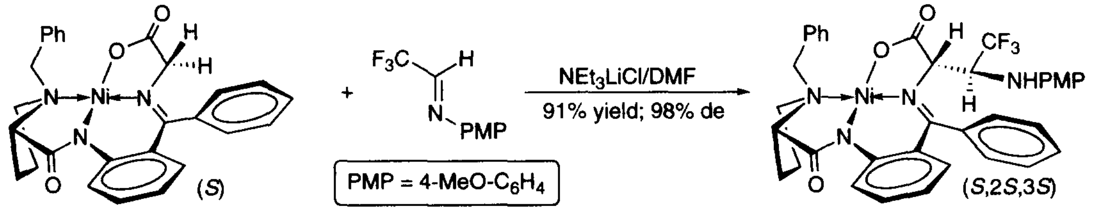


R=H, Ph; R'= Me, Pr, $-(CH_2)_3CH=CH_2$

Tetrahedron Letters, **1997**, *38*, 4671

Highly Diastereoselective aza-Aldol Reactions of a Chiral Ni(II) Complex of Glycine with Imines. An Efficient Asymmetric Approach to 3-Perfluoroalkyl-2,3-Diamino Acids

V.A.Soloshonok,* *National Industrial Research Institute of Nagoya, Japan*; D.V.Avilov, V.P.Kukhar *Institute of Bioorganic Chemistry and Petrochemistry, Ukraine*; Luc Van Meervelt, N. Mischenko *K. U. Leuven - Department of Chemistry, Belgium*

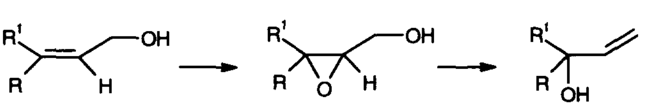


Tetrahedron Letters, **1997**, *38*, 4675

1,3-TRANSPOSITION OF PRIMARY ALLYLIC ALCOHOLS: SYNTHESIS OF OPTICALLY ACTIVE SECONDARY AND TERTIARY ALLYLIC ALCOHOLS

R. L. Dorta,[a] M. S. Rodríguez,[a] J. A. Salazar,* E. Suárez
Instituto de Productos Naturales y Agrobiología del C.S.I.C. Carretera de La Esperanza 3, 38206-La Laguna, Tenerife, Spain.
[a]Departamento de Química Orgánica, Universidad de La Laguna, Tenerife, Spain.

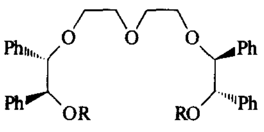
The reaction of optically active 2,3-epoxy alcohols with the system triphenylphosphine/iodine/ imidazole/2,6-lutidine/water leads in one step to optically active secondary and tertiary allylic alcohols.

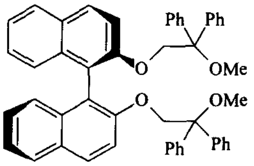


Tetrahedron Letters, **1997**, *38*, 4679

AN IMPROVED WILLIAMSON ETHERIFICATION OF HINDERED ALCOHOLS PROMOTED BY 15-CROWN-5 AND SODIUM HYDRIDE.

Helen C. Aspinall, Nicholas Greeves*, Wai-Man Lee, Edward G. McIver, Peter M. Smith, Department of Chemistry, Robert Robinson Laboratories, PO Box 147, Liverpool L69 7ZD, UK.



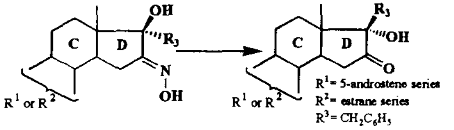


15-Crown-5 facilitates the etherification of hindered alcohols. This improved procedure has been applied to the synthesis of novel homochiral podands and bis-allylic ethers.

Tetrahedron Letters, **1997**, *38*, 4683

A NOVEL REARRANGEMENT OF STEROIDAL α-HYDROXY OXIMES

Dušan Miljković, Katarina Penov-Gaši, Evgenija Djurendić, Marija Sakač;
Institute of Chemistry, Faculty of Sciences, University of Novi Sad, 21000 Novi Sad, Trg Dositeja Obradovića 3, Yugoslavia
Ljubica Medić-Mijačević, Vjera Pejanović; ICN Galenika Institute, 29. Novembar 111, 11000 Beograd, Yugoslavia
Slobodanka Stanković, Dušan Lazar; Institute of Physics Faculty of Sciences, University of Novi Sad, 21000 Novi Sad, Trg Dositeja Obradovića 4, Yugoslavia



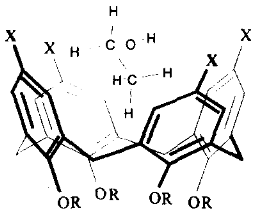
By the action of acidic titanium trichloride upon 16-oximino-17α-benzyl-17β-hydroxy derivatives in the androstane and estrane series the 16-oxo-17β-benzyl-17α-hydroxy derivatives **6** and **7** with inversed configuration at C_{17} were obtained. A mechanism for this novel rearrangement is proposed.

Tetrahedron Letters, **1997**, *38*, 4685

CHARGE ASSISTED HYDROPHOBIC BINDING OF ETHANOL INTO THE CAVITY OF CALIX[4]ARENE RECEPTORS IN AQUEOUS SOLUTION.

Giuseppe Arena,[†] Alessandro Casnati,[‡] Annalinda Contino,[†] Domenico Sciotto*[†] and Rocco Ungaro[‡†] Dipartimento di Scienze Chimiche, Università di Catania, Viale Andrea Doria 6, I-95125 Catania, Italy.[‡] Dipartimento di Chimica Organica e Industriale, Università di Parma, Viale delle Scienze 78, I-43100 Parma, Italy.

Ethanol is included into the hydrophobic cavity of water soluble calixarenes in aqueous solution with the sulphonate groups serving as anchoring points.

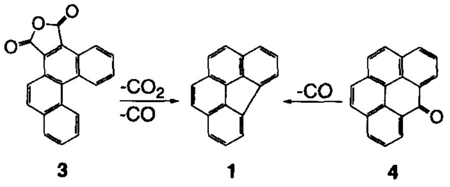


Tetrahedron Letters, **1997**, *38*, 4689

THERMOLYSIS OF BENZO[*c*]PHENANTHRENE-5,6-DICARBOXYLIC ANHYDRIDE AND 6*H*-BENZO[*cd*]PYREN-6-ONE. ENTRIES TO THE COMBUSTION EFFLUENTS BENZO[*ghi*]FLUORANTHENE AND CYCLOPENTA[*cd*]PYRENE.

Martin Sarobe, Leonardus W. Jenneskens* and Ulfert E. Wiersum.
Debye Institute, Department of Physical Organic Chemistry, Utrecht University, Padualaan 8, 3584 CH Utrecht, The Netherlands.

Thermolysis of the oxy-PAH benzo[*c*[phenanthrene-5,6-dicarboxylic anhydride (**3**) and 6*H*-benzo[*cd*]pyren-6-one (**4**) gave the $C_{18}H_{10}$ CP-PAH combustion effluents benzo[*ghi*]fluoranthene (**1**) and cyclopenta[*cd*]pyrene (**2**).

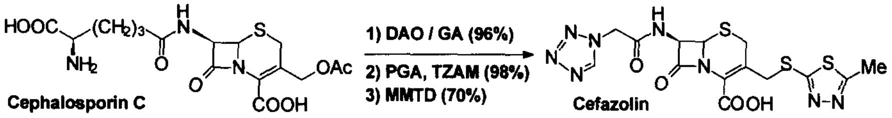


Tetrahedron Letters, **1997**, *38*, 4693

Chemoenzymatic One-pot Synthesis of Cefazolin from Cephalosporin C in Fully Aqueous Medium, Involving Three Consecutive Biotransformations Catalyzed by D-Aminoacid Oxidase, Glutaryl Acylase and Penicillin G Acylase

R. Fernández-Lafuente and J. M. Guisán* *Inst. Catalisis, C.S.I.C. Univ. Autonoma, Cantoblanco, 28049 Madrid, Spain.* M. Pregnolato and M. Terreni* *Dip. Chimica Farmaceutica, Univ. Pavia, via Taramelli 12, 27100 Pavia, Italy.*

Chemoenzymatic one-pot synthesis of Cefazolin through the correct assembly of three biotransformations catalysed by DAO, GA and PGA in fully aqueous medium. Almost quantitative yields have been achieved in all the enzymatic reactions.

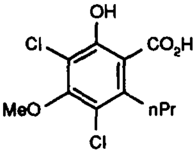


Tetrahedron Letters, **1997**, *38*, 4697

SYNTHESES OF DIFFERANISOLE A

Richard H. Green
Exploratory Chemistry, Glaxo Wellcome Research and Development,
Gunnelswood Road, Stevenage, SG1 2NY

Differanisole A (1), a metabolite from a strain of Chaetomium, has been synthesised by two routes.

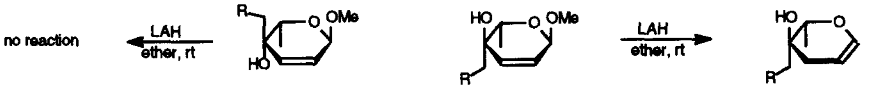


Tetrahedron Letters, **1997**, *38*, 4701

REDUCTIVE REARRANGEMENT OF 4-*C*-SUBSTITUTED HEX-2-ENOPYRANOSIDES. SYNTHESIS OF 3-DEOXY GLYCALS

Osman Achmatowicz* and Barbara Szechner
Pharmaceutical Research Institute, 8 Rydygiera Str., 01-793 Warszawa, Poland

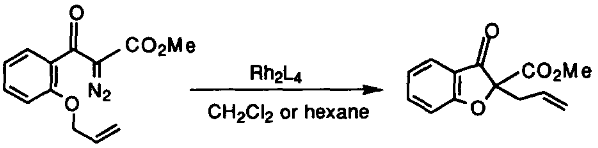
4-*C*-Substituted hex-2-enopyranosides with C1 and C4 oxygen functions *cis*, unlike their *trans* stereoisomers, are reduced by LAH at rt to 3-deoxy glycals.



Tetrahedron Letters, **1997**, *38*, 4705

CATALYTIC ASYMMETRIC OXONIUM YLIDE - [2,3] SIGMATROPIC REARRANGEMENT WITH DIAZOCARBONYL COMPOUNDS : FIRST USE OF C_2-SYMMETRY IN Rh(II) CARBOXYLATES.

Nicolas Pierson, Concepción Fernández-García and M. Anthony McKervey*
School of Chemistry, The Queen's University, Belfast BT9 5AG, UK



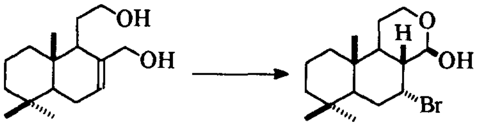
ee = 60%
L = (*S*)-PTTL

Tetrahedron Letters, **1997**, *38*, 4709

CONCOMITANT BROMINATION AND LACTOLISATION OF UNSATURATED DIOLS USING SODIUM BROMITE IN AQUEOUS ACETIC ACID.

Gary B. Evans and Peter K. Grant,
University of Otago
PO Box 56, Dunedin, New Zealand.

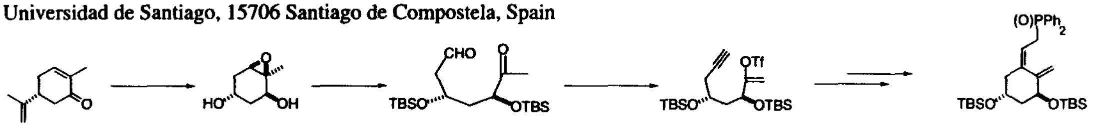
A series of unsaturated alcohols were treated with sodium bromite in aqueous acetic acid and underwent concomitant bromination and lactolisation.



Tetrahedron Letters, **1997**, *38*, 4713

EFFICIENT AND VERSATILE SYNTHESIS OF A-RING PRECURSORS OF 1α,25-DIHYDROXYVITAMIN D_3 AND ANALOGUES. APPLICATION TO THE SYNTHESIS OF LYTHGOE-ROCHE PHOSPHINE OXIDE

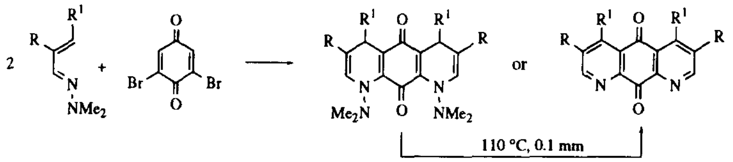
Antonio Mouriño,* Mercedes Torneiro, Cristian Vitale, Sara Fernández, José Pérez-Sestelo, Sofía Anné, and Carlos Gregorio.
Departamento de Química Orgánica y Sección de Alcaloides del CSIC
Universidad de Santiago, 15706 Santiago de Compostela, Spain



Tetrahedron Letters, **1997**, *38*, 4717

A VERY EFFICIENT SYNTHESIS OF 1,8-DIAZAANTHRAQUINONES.

José María Pérez, Carmen Avendaño, and J. Carlos Menéndez
Departamento de Química Orgánica y Farmacéutica, Facultad de Farmacia, Universidad Complutense, 28040-Madrid, Spain.



Tetrahedron Letters, **1997**, *38*, 4721

A NOVEL, MILD AND FACILE REDUCTIVE CLEAVAGE OF ALLYL ETHERS BY $NaBH_4/I_2$ SYSTEM

R. Mathew Thomas, G. Hari Mohan and D. S. Iyengar*
Organic Division-II, Indian Institute of Chemical Technology, Hyderabad-500 007