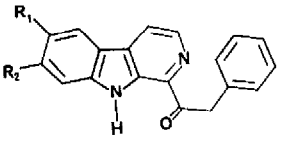
GRAPHICAL ABSTRACTS

Tetrahedron Lett. 30, 3605 (1989)

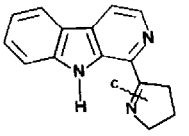
SHORT, EFFICIENT SYNTHESES OF THE ANTIBIOTIC EUDISTOMINS I AND T

Bradford C. VanWagenen and John H. Cardellina II*
Natural Products Laboratory, Department of Chemistry,
Montana State University, Bozeman, Montana 59717

Simple, concise syntheses of eudistomins I and T, ß-carboline antibiotics from the tunicate Eudistoma olivaceum, have been achieved. The route utilized is an attractive alternative to traditional ß-carboline syntheses and is amenable to preparation of a wide range of analogs.



3 H H



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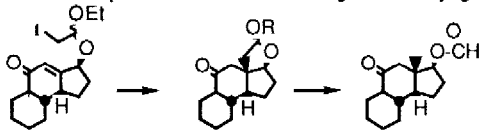
Tetrahedron Lett. 30, 3609 (1989)

Introduction of Angular Methyl Groups via Radical Cyclization.

Gilbert Stork* and Robert Mah
Dept. of Chemistry, Columbia University, New York, New York 10027

Cyclization of radical chains tethered to allylic hydroxyl groups of polycyclic systems can be used as a method for the regio- and stereospecific introduction of "angular" methyl groups, as well as for controlling the stereochemistry of ring junctions.

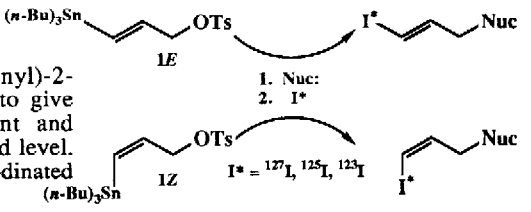


Tetrahedron Lett. 30, 3613 (1989)

RADIOIODINATION VIA VINYLSTANNYLATED ALKYLATING AGENTS John L. Musachio and John R. Lever*

Departments of Environmental Health Sciences and Radiology
The Johns Hopkins University, Baltimore, MD 21205, U.S.A.

p-Toluenesulfonate esters of (*E*)- and (*Z*)-3-(tri-*n*-butylstannyl)-2-propen-1-ol (**1*E*** and **1Z**) readily react with nucleophiles to give vinylstannanes which are substrates for rapid, efficient and stereospecific radioiododestannylation at the no-carrier-added level. This general approach is illustrated by the synthesis of radioiodinated spiperone analogs for studies of dopamine D_2 receptors.

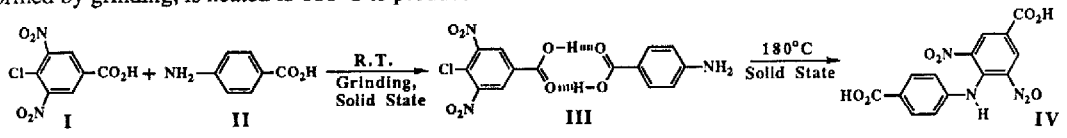


Tetrahedron Lett. 30, 3617 (1989)

SOLID-STATE NUCLEOPHILIC AROMATIC SUBSTITUTION REACTION OF A CARBOXYLIC ACID COCRYSTAL

M.C. Etter,* G.M. Frankenbach, J. Bernstein
Department of Chemistry, University of Minnesota, Minneapolis, MN 55455

A solid-state reaction takes place when the solid-state complex, **III**, of compounds **I** and **II**, which was formed by grinding, is heated to 180°C to produce **IV**.

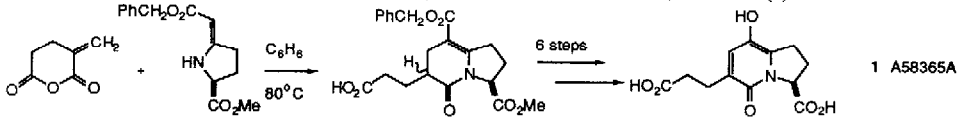


Tetrahedron Lett.30,3621(1989)

TOTAL SYNTHESIS OF THE ANGIOTENSIN-CONVERTING ENZYME INHIBITOR A58365A: ON THE USE OF PYROGLUTAMATE AS A CHIRAL EDUCT

Francis G. Fang and Samuel J. Danishefsky*
Department of Chemistry Yale University New Haven CT 06511

Annulation of a pyroglutamate derived vinylogous urethane with α-methyleneglutaric anhydride is the key reaction in a seven step enantiospecific synthesis of the ACE inhibitor, A58365A (1).



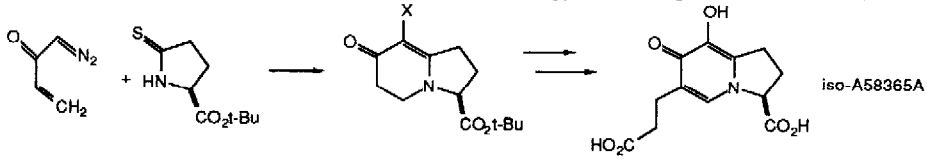
1 A58365A

Tetrahedron Lett.30,3625(1989)

THE AZA-ROBINSON ANNULATION: AN APPLICATION TO THE SYNTHESIS OF ISO-A58365A.

Francis G. Fang, Maurizio Prato,[1] Guncheol Kim, and Samuel J. Danishefsky*
Department of Chemistry, Yale University, New Haven CT 06511

Annulation of diazomethylvinylketone with secondary thiolactams provides a novel route to dihydro-γ-pyridones. This approach has been applied to the synthesis of iso-A58365A , the γ-pyridone analog of the ACE inhibitor, A58365A .



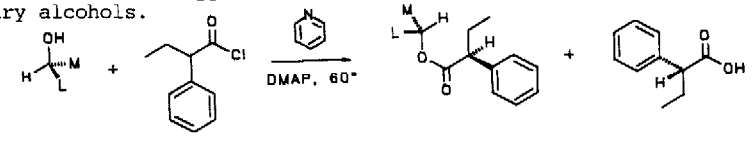
iso-A58365A

Tetrahedron Lett.30,3629(1989)

DETERMINING THE ABSOLUTE CONFIGURATION OF HINDERED SECONDARY ALCOHOLS - A MODIFIED HOREAU'S METHOD

David E. Barnekow and John H. Cardellina II*, Natural Products Laboratory, Department of Chemistry, Montana State University, Bozeman, MT 59717

The kinetic resolution of racemic 2-phenylbutyryl chloride by hindered chiral secondary alcohols has been shown to be a viable approach to the determination of the absolute configuration of secondary alcohols.

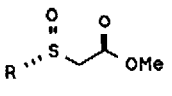


Tetrahedron Lett.30,3633(1989)

A FACILE ROUTE TO HOMOCHIRAL SULFOXIDES

Kevin Burgess* and Ian Henderson
Department of Chemistry, Rice University,
Houston, TX 77251

Biocatalytic resolutions afforded optically pure methyl sulfinylacetates (1) - (6); these materials were used in a systematic study of the "SPAC" reaction, an asymmetric synthesis of γ-hydroxy-α,β-unsaturated esters.

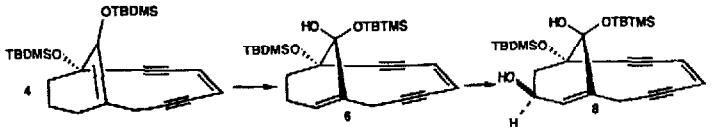


R = 4-$NO_2C_6H_4$, 4-ClC_6H_4, Ph, 4-$MeOC_6H_4$, n-Bu, Cy; (1) - (6).

Tetrahedron Lett. 30, 3637 (1989)

SYNTHETIC STUDIES ON THE ESPERAMICIN/CALICHEAMICIN ANTITUMOR ANTIBIOTICS. SELENIUM DIOXIDE OXIDATION OF A BRIDGEHEAD TRIALKYLSILYL ENOL ETHER.

Philip Magnus* and Frank Bennett.
Department of Chemistry, Indiana University, Bloomington, Indiana 47405
Department of Chemistry, The University of Texas at Austin, Austin, Texas 78712



Tetrahedron Lett. 30, 3641 (1989)

A FACILE PREPARATION OF ETHYL α-FLUOROALKANOATES

Alagappan Thenappan and Donald J. Burton
Dept. of Chemistry, The University of Iowa,
Iowa City, IA 52242, USA

Alkylation of fluorocarboalkoxymethylene tri-n-butylphosphorane followed by hydrolysis provides the title compounds in moderate to good yields.

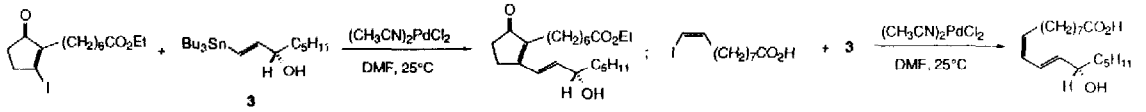
$$Bu_3P{=}CFCOOR \xrightarrow[NaHCO_3(aq)]{R'X} R'CFHCOOR \quad (34\text{-}59\%)$$

Tetrahedron Lett. 30, 3645 (1989)

COUPLING REACTIONS OF 1-TRIBUTYLSTANNYL-1-OCTEN-3-OL CATALYZED BY PALLADIUM: THE SYNTHESIS OF PGB_1 AND CORIOLIC ACID

J.K. Stille* and Mark P. Sweet
Department of Chemistry, Colorado State University, Fort Collins, Colorado 80523

Coupling (S) E-1-tributylstannyl-1-octen-3-ol with 2-(6-carbethoxyhexyl)-3-iodo-2-cyclopenten-1-one gave the (S) ethyl ester of PGB_1. Coriolic acid was obtained by the coupling with Z-10-iododecenoic acid, demonstrating the tolerance of this coupling reaction to the carboxylic acid function.

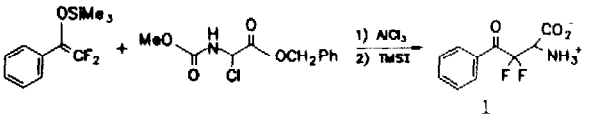


Tetrahedron Lett. 30, 3649 (1989)

A CONVENIENT SYNTHETIC ACCESS TO β,β-DIFLUORO-γ-KETO-α-AMINO ACIDS. APPLICATION TO THE SYNTHESIS OF A POTENTIAL INHIBITOR OF KYNURENINASE.

Jeffrey P. Whitten, Charlotte L. Barney, Edward W. Huber, Philippe Bey, James R. McCarthy
Merrell Dow Research Institute, 2110 E. Galbraith Road, Cincinnati, Ohio 45215

A convenient synthesis of a novel class of kynureninase inhibitors, difluoroketoamino acid (1), is described.



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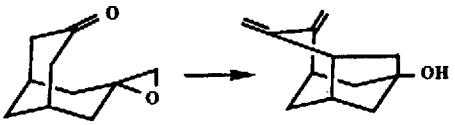
Tetrahedron Lett.30,3653(1989)

An Anomalous Reaction of Dimethyloxosulfonium Methylide

A.G.Yurchenko and N.N.Melnik
Department of Chemical Engineering, Kiev Polytechnic Institute, 252056, Kiev,USSR
I.R.Likhotvorik
Department of Chemistry, Princeton University, Princeton, New Jersey 08544

On treatment with dimethyloxosulfonium methylide in the presence of sodium methylsulfinylmethyde, 3-methylenebicyclo[3.3.1]nonane-7-one oxide (1) undergoes an unusual transformation to 4,5-dimethylenetricyclo[4.3.1.$0^{3,8}$]decane-1-ol (3).



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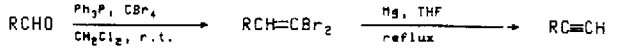
Tetrahedron Lett.30,3655(1989)

A PRACTICAL PROCEDURE FOR THE CONVERSION OF ALDEHYDES TO TERMINAL ALKYNES BY A ONE CARBON HOMOLOGATION

Luc VAN HIJFTE*, Michael KOLB and Pascale WITZ
Merrell Dow Research Institute, Strasbourg Center
16 rue d'Ankara 67084 Strasbourg Cedex

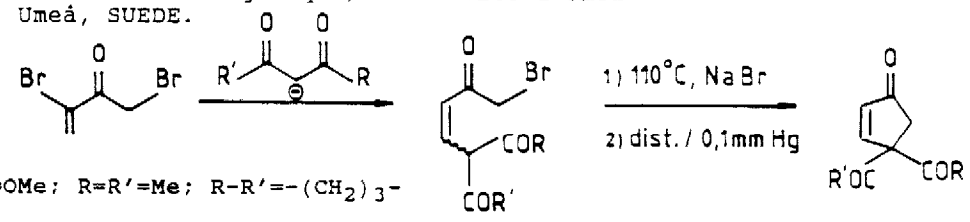
A practical method for the conversion of aldehydes to terminal alkynes via the corresponding 1,1-dibromoalkenes, using magnesium in THF, is described.



Tetrahedron Lett.30,3657(1989)

NOUVELLE VOIE D'ACCES AU SQUELETTE CYCLOPENTENOIDIQUE

Thierry HERMAN et Rolf CARLSON*
Département de chimie organique, Université d'Umeå
S-901 87 Umeå, SUEDE.



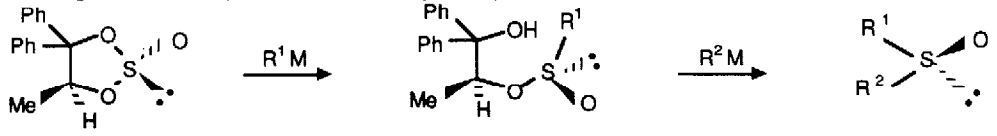
R=Me, R'=OMe; R=R'=Me; R-R'=-$(CH_2)_3$-

Tetrahedron Lett.30,3659(1989)

AN EFFICIENT ROUTE TO CHIRAL t-BUTYL SULFOXIDES

François Rebière, Henri B. Kagan*
Laboratoire de Synthèse Asymétrique, Associé au CNRS , ICMO, Université Paris-Sud, 91405 ORSAY Cedex

An easily available chiral cyclic sulfite gives rise to alkylsulfinates and then to sulfoxides (100 % ee) by addition of organometallics (R^1 = t-Bu, R^2 = alkyl or aryl)



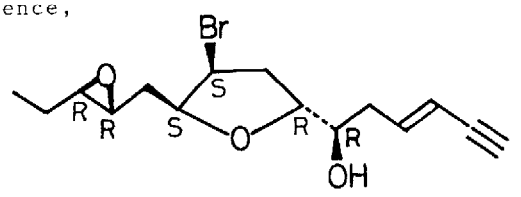
Tetrahedron Lett. 30, 3665 (1989)

LAUREOXOLANE, A NEW BROMO ETHER FROM LAURENCIA NIPPONICA

Akio Fukuzawa,* Mya Aye, Yoshiaki Takaya, Hideto Fukui, Tadashi Masamune, and Akio Murai*

Department of Chemistry, Faculty of Science, Hokkaido University, Sapporo 060, Japan

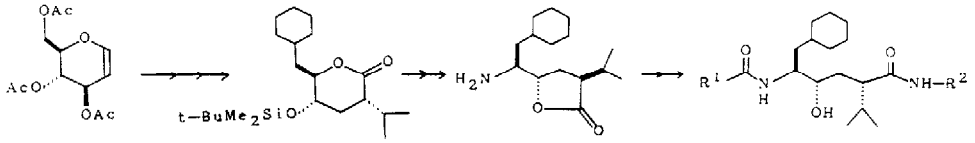
The structure of a new bromo ether, designated as laureoxolane, was determined by its physical properties and synthetic correlation.



Tetrahedron Lett. 30, 3669 (1989)

SYNTHESIS OF THE LACTONE PRECURSOR TO HYDROXYETHYLENE DIPEPTIDE ISOSTERE FROM 3,4,6-TRI-O-ACETYL-D-GLUCAL

Masao Shiozaki,* Tadashi Hata, and Youji Furukawa
New Lead Res. Lab.,* and Analytical and Metabolic Res. Lab.,
Sankyo Co. Ltd., Hiromachi 1-2-58, Shinagawa-ku, Tokyo 140, Japan

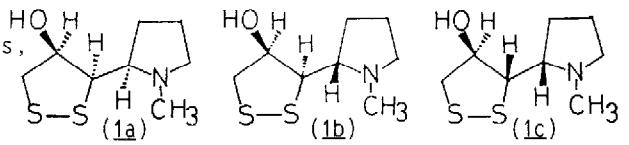


Tetrahedron Lett. 30, 3671 (1989)

GUINESINE-A, -B AND -C: NEW SULFUR CONTAINING INSECTICIDAL ALKALOIDS FROM *CASSIPOUREA GUIANENSIS*

Atsushi Kato[a]*, Momoyo Ichimaru[a], Yohei Hashimoto[a] and Hiroyuki Mitsudera[b], [a]Kobe Women's College of Pharmacy, Motoyamakita-machi, Higashinada-ku, Kobe 658, Japan. [b]Plant protection Reseach Laboratories, Agro Division, Takeda Chemical Industries, Ltd., Yodogawa-ku, Osaka 532, Japan.

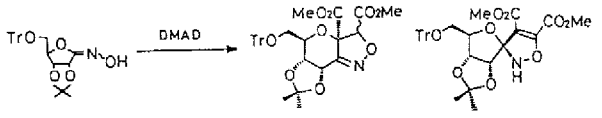
New sulfur containing insecticidal alkaloids, guinesine-A (1a), -B (1b) and -C (1c) have been isolated from the bark of *Cassipourea guianensis*.



Tetrahedron Lett. 30, 3675 (1989)

SYNTHESIS OF SPIRO AND BICYCLIC NUCLEOSIDES FROM RIBOSE NITRILE OXIDE WITH DIMETHYL ACETYLENEDICARBOXYLATE

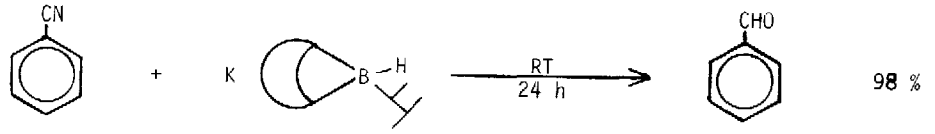
Masataka Yokoyama and Naoyuki Yamada
Department of Chemistry, Faculty of Science, Chiba University, Yayoi-cho, Chiba City 260, Japan



Tetrahedron Lett.30,3677(1989)

SELECTIVE REDUCTION OF AROMATIC NITRILES TO ALDEHYDES BY POTASSIUM 9-sec-AMYL-9-BORATABICYCLO[3.3.1]NONANE

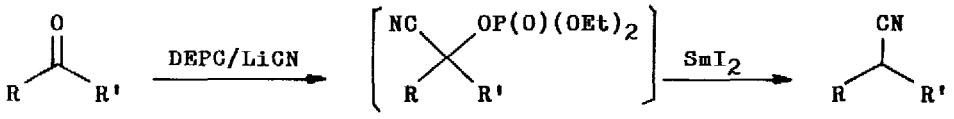
Jin Soon Cha and Mal Sook Yoon
Department of Chemistry, Yeungnam University, Gyongsan 713-749, Korea



Tetrahedron Lett.30,3681(1989)

CYANOPHOSPHATE: AN EFFICIENT INTERMEDIATE FOR CONVERSION OF CARBONYL COMPOUNDS TO NITRILES

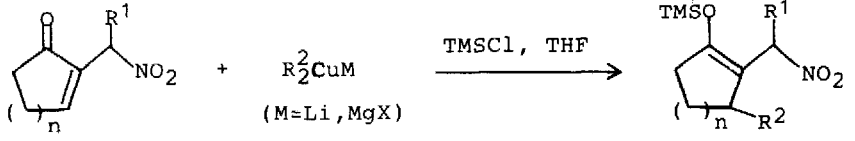
Ryuji Yoneda, Shinya Harusawa, and Takushi Kurihara*
Osaka University of Pharmaceutical Sciences, 2-10-65,
Kawai, Matsubara, Osaka 580, Japan



Tetrahedron Lett.30,3685(1989)

Me_3SiCl PROMOTED CONJUGATE ADDITION OF ORGANOCUPRATES TO BASE-SENSITIVE CYCLIC α-(NITROALKYL)ENONES.

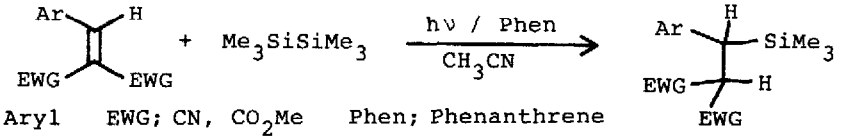
Rui Tamura,*[a] Shinobu Tamai,[b] Hitoshi Katayama[b] and Hitomi Suzuki[b]
[a]Department of Chemistry, Faculty of General Education, and [b]Department of Chemistry, Faculty of Science, Ehime University, Matsuyama 790, Japan



Tetrahedron Lett.30,3689(1989)

PHOTOSILYLATION OF ELECTRON-DEFICIENT ALKENES BY USE OF DISILANES VIA PHOTOINDUCED ELECTRON TRANSFER

Kazuhiko Mizuno*, Kazuhisa Nakanishi, Jun-ichi Chosa, Tien Nguyen, and Yoshio Otsuji*
Department of Applied Chemistry, College of Engineering,
University of Osaka Prefecture, Sakai, Osaka 591, Japan



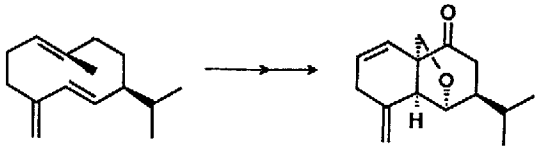
Ar; Aryl EWG; CN, CO_2Me Phen; Phenanthrene

Tetrahedron Lett.30,3693(1989)

SYNTHESIS OF A TRICYCLIC CIS-SELINANE-TYPE COMPOUND FROM GERMACRENE-D IN CONNECTION WITH PERSOONS' PERIPLANONE A

Yoshikazu Shizuri, Kimihiro Matsunaga, and Shosuke Yamamura*
Department of Chemistry, Faculty of Science and Technology, Keio University, Hiyoshi, Yokohama, Japan

The cis-selinane was synthesized from germacrene-D.



Tetrahedron Lett.30,3697(1989)

A NEW CONVENIENT SYNTHESIS OF CYCLOOCTATETRAENYLLANTHANIDE COMPLEXES: *X*-RAY CRYSTAL STRUCTURE OF CeI(C_8H_8)(THF)$_3$

Kazushi Mashima and Hidemasa Takaya*
Department of Industrial Chemistry, Faculty of Engineering, Kyoto University, Yoshida, Kyoto 606, Japan

A simple one-pot synthesis of LnI(C_8H_8)(THF)$_n$ (Ln = La, Ce, Pr, Nd, or Sm; *n* = 1, 2, or 3) has been achieved by the reaction of lanthanide metals with cyclooctatetraene in the presence of iodine.

LnI(C_8H_8)(THF)$_n$

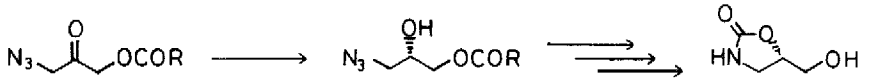
Ln = La, Ce, Pr, Nd, Sm;
***n* = 1, 2, 3**
81–92% yield

Tetrahedron Lett.30,3701(1989)

PREPARATION AND APPLICATION OF A CHIRAL C_3-BUILDING BLOCK FOR AMINO ALCOHOL SYNTHESIS BY BAKERS' YEAST REDUCTION OF 1-ACYLOXY-3-AZIDO-2-PROPANONE

Toshio SATO, Toshihiro MIZUTANI, Yoshiyuki OKUMURA, and Tamotsu FUJISAWA*
Chemistry Department of Resources, Mie University, Tsu, Mie 514, Japan

Bakers' yeast-mediated reduction of 1-acyloxy-3-azido-2-propanone gives (S)-1-acyloxy-3-azido-2-propanol with high enantiomeric excess, which is easily converted into (S)-5-hydroxymethyl-2-oxazolidinone.

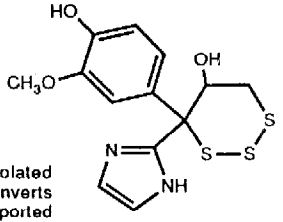


Tetrahedron Lett.30,3703(1989)

A BIOLOGICALLY ACTIVE 1,2,3-TRITHIANE DERIVATIVE FROM THE NEW ZEALAND ASCIDIAN *APLIDIUM* SP. D.

Brent R. Copp[1], John W. Blunt[1], Murray H. G. Munro[1] and Lewis K. Pannell[2]
[1]*Department of Chemistry, University of Canterbury, Christchurch, NEW ZEALAND*
[2]*National Institute of Diabetes, Digestive and Kidney Diseases, NIH, Bethesda, MD 20892, USA*

cis-5-Hydroxy-4-(4'-hydroxy-3'-methoxyphenyl)-4-(2"-imidazolyl)-1,2,3-trithiane **1** was isolated from the New Zealand ascidian *Aplidium* sp. D. In neutral or slightly basic solution **1** interconverts to the *trans* isomer. These isomers are the precursors to 2-vanilloyl imidazole, previously reported from an extract of an Australian species of *Aplidium*. Both trithiane isomers are active against P388 leukemia cells *in vitro*.



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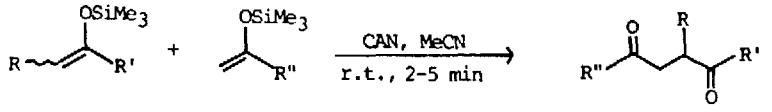
Tetrahedron Lett. 30, 3707 (1989)

SYNTHESIS OF UNSYMMETRICAL 1,4-DIKETONES BY THE CERIC AMMONIUM NITRATE PROMOTED CROSS-COUPLING OF TRIMETHYLSILYL ENOL ETHERS

E.Baciocchi[a]*, A.Casu[b], R.Ruzziconi[b]*, Dip. di Chimica, Università di Roma[a] and Perugia[b], Italy

Unsymmetrical 1,4-diketones are prepared in good yield (60-80%) under very mild conditions by oxidative cross-coupling of silyl enol ethers promoted by ceric (IV) ammonium nitrate.



Tetrahedron Lett. 30, 3715 (1989)

SYNTHESES OF 2-ARYL-3-CINNOLINONES BY CYCLISATION OF DIARYLAZO COMPOUNDS

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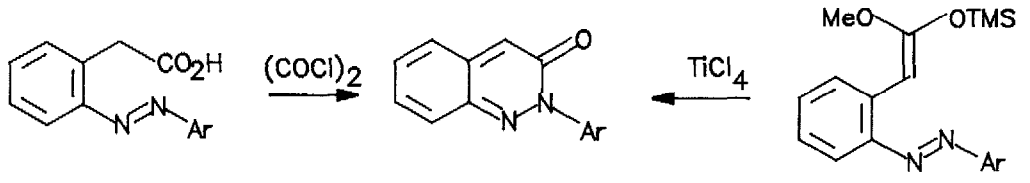
ICI Colours and Fine Chemicals, Fine Chemicals Research Centre, Blackley, Manchester M9 3DA



Tetrahedron Lett. 30, 3719 (1989)

THE REACTION OF ARYL DIAZONIUM IONS WITH 5-ALKYL-4,6-PYRIMIDINEDIOLS: A NOVEL PYRIMIDINE TO 1,2,4-TRIAZINE RING TRANSFORMATION

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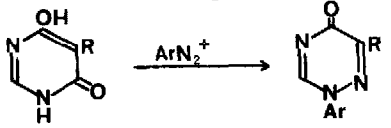
School of Life Sciences, Kingston Polytechnic, Kingston upon Thames, Surrey KT1 2EE, UK

The reaction of diazotised arylamines with 5-alkyl-4,6-pyrimidinediols gives 6-alkyl-2-aryl-1,2,4-triazin-5(2H)-ones.

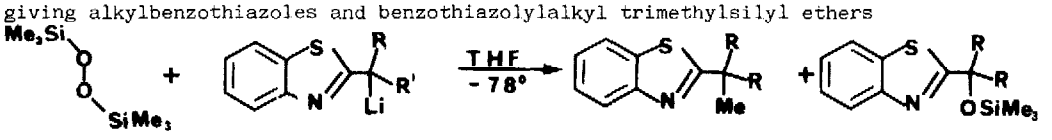


Tetrahedron Lett. 30, 3721 (1989)

REACTION OF BISTRIMETHYLSILYLPEROXIDE WITH BENZOTHIAZOLYLALKYLLITHIUMS: AN UNPRECEDENTED DEMETHYLATION

S. Florio* [a] and L. Troisi[b]
[a]Laboratorio di Chimica Organica, Dip. di Biologia, Univ. Lecce, Italy
[b]Centro MISO, Dip. di Chimica, Univ. Bari, Italy

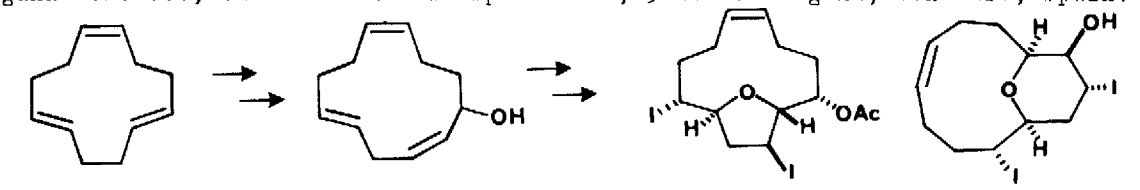
Bistrimethylsilylperoxide reacts with benzothiazolylalkyllithiums giving alkylbenzothiazoles and benzothiazolylalkyl trimethylsilyl ethers



Tetrahedron Lett.30,3725(1989)

MODEL STUDIES DIRECTED TOWARD MICROALGA POLYETHER MACROLIDES: A ROUTE TO 12-CARBON TETRAHYDROFURAN AND TETRAHYDROPYRAN SUBUNITS

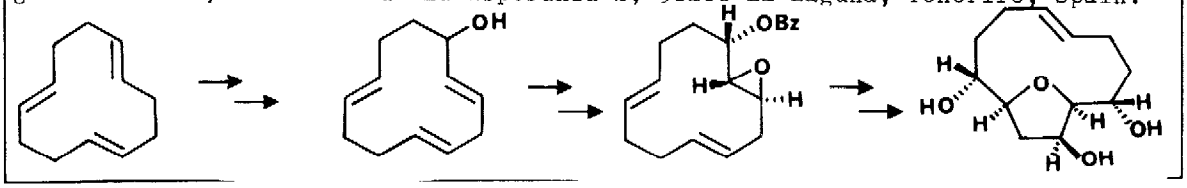
Miguel Zárraga, Matías L. Rodríguez, Catalina Ruiz-Pérez and Julio D. Martín.*
Centro de Productos Naturales Orgánicos Antonio González, Universidad de La Laguna-C.S.I.C., Carretera de La Esperanza 2, 38206 La Laguna, Tenerife, Spain.



Tetrahedron Lett.30,3729(1989)

MODEL STUDIES DIRECTED TOWARD MICROALGA POLYETHER MACROLIDES: A ROUTE TO OXYGENATED 2,5-CIS TETRAHYDROFURAN SUBUNITS

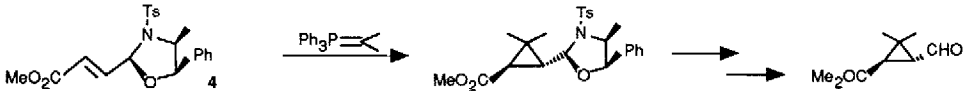
Eleuterio Alvarez, Dácil Zurita, Catalina Ruiz-Pérez, Matías L. Rodríguez and Julio D. Martín.*
Centro de Productos Naturales Orgánicos Antonio González, Universidad de La Laguna-C.S.I.C., Carretera de La Esperanza 2, 38206 La Laguna, Tenerife, Spain.



Tetrahedron Lett.30,3733(1989)

ALLYLIC STEREOCENTRE DIRECTED CYCLOPROPANATION. A NEW HIGHLY ENANTIOSELECTIVE SYNTHESIS OF HEMICARONIC ALDEHYDE.

Anna Bernardi, Carlo Scolastico*, Roberto Villa
Dipartimento di Chimica Organica e Industriale dell'Università di Milano, Via Venezian 21, 20133 Milano.

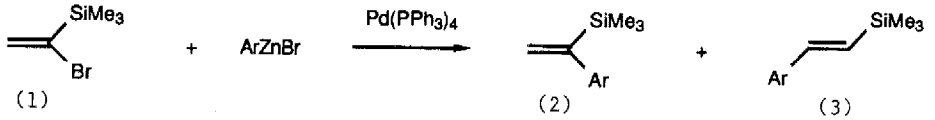


Isopropylidenetriphenylphosphorane reacts with oxazolidine **4** with excellent π-face selectivity . The title compound is obtained in high optical purity after removal of the chiral auxiliary.

Tetrahedron Lett.30,3735(1989)

ABNORMAL PRODUCTS OF PALLADIUM CATALYSED COUPLING OF (1-BROMOVINYL)TRIMETHYLSILANE

David S. Ennis and Thomas L. Gilchrist, University of Liverpool, U.K.



(1) (2) (3)

The expected coupling products (2) are accompanied by isomers (3) in a 55:45 ratio.