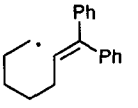
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1997**, *38*, 2783

RATE CONSTANTS FOR REACTION OF A FLUOROUS TIN HYDRIDE REAGENT WITH PRIMARY ALKYL RADICALS

John H. Horner, Felix N. Martinez, Martin Newcomb, Sabine Hadida, Dennis P. Curran
Department of Chemistry, Wayne State University, Detroit, Michigan, 48202, U.S.A. and Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260, U.S.A.

Cyclization rate constants for radical **3** were determined by laser flash photolysis, and radical clock **3** was used to determine the second order rate constants for reaction with fluorous tin hydride reagent **1**.



3

$(C_6F_{13}CH_2CH_2)_3SnH$

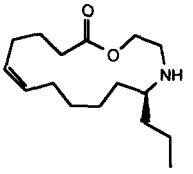
1

Tetrahedron Letters, **1997**, *38*, 2787

ABSOLUTE CONFIGURATION OF INSECT-PRODUCED EPILACHNENE.

Jay J. Farmer, Athula B. Attygalle, Scott R. Smedley, Thomas Eisner, and Jerrold Meinwald* Baker Laboratory, Department of Chemistry, Cornell University, Ithaca, NY 14853 USA Section of Neurobiology and Behavior, Cornell University, Ithaca, NY 14853 USA

Syntheses of (*R*)- and (*S*)-epilachnene and gas chromatographic comparison of their diastereomeric (*S*)-α-methoxy-α-trifluoromethylphenylacetyl amides with that of insect-produced epilachnene establishes the natural product has the (*S*)-configuration.

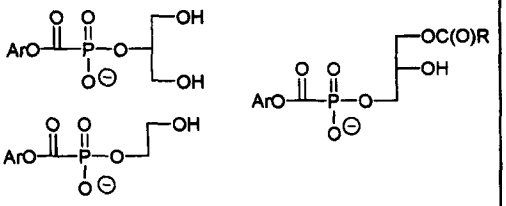


Tetrahedron Letters, **1997**, *38*, 2791

A NOVEL ESTERIFICATION PROCEDURE APPLIED TO SYNTHESIS OF BIOLOGICALLY ACTIVE ESTERS OF FOSCARNET

Boris I. Gorin, Colin G. Ferguson, Gregory R.J. Thatcher*
Department of Chemistry, Queen's University, Kingston, Ont. K7L 3N6 Canada

The development of a novel synthesis for esters of phosphonoformate is reported together with preliminary data on the antiviral activity for these esters.

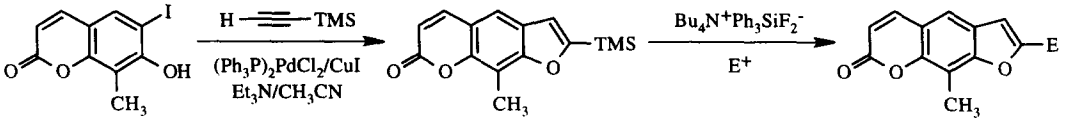


Tetrahedron Letters, **1997**, *38*, 2795

A New Synthesis of 8-Methylpsoralen Utilizing a Palladium-Copper Catalyzed Reaction to Generate the Furan Ring and Thus Allowing for the Generation of Novel Analogs in the 5'-Position.

Brian M. Aquila
Department of Chemistry, The Ohio State University, Columbus, OH 43210, USA

A new synthesis of 8-methylpsoralen that allows for the modification of the 5'-position in the psoralen ring system.

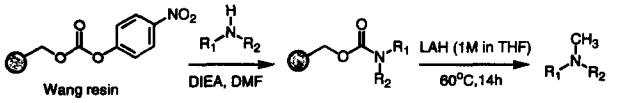


Tetrahedron Letters, **1997**, *38*, 2799

Carbamate Linkers as Latent N-Methylamines in Solid Phase Synthesis

Chih Y. Ho* and Michael J. Kukla, Chemistry Department, Janssen Research Foundation Spring House, Pennsylvania 19447

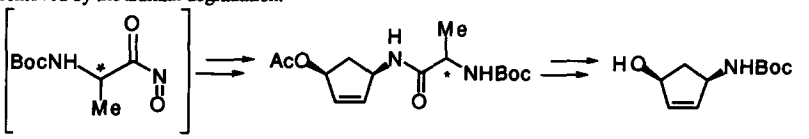
A new linker strategy for solid phase synthesis has been developed. It utilizes LAH reduction of a carbamate connection to Wang resin which results in N-methylamines.



Tetrahedron Letters, **1997**, *38*, 2803

ASYMMETRIC SYNTHESIS OF AN IMPORTANT PRECURSOR TO 5'-NOR CARBOCYCLIC NUCLEOSIDES Paul F. Vogt, Jan-Gerd Hansel and Marvin J. Miller*
Department of Chemistry and Biochemistry, University of Notre Dame, Notre Dame, IN 46556 USA

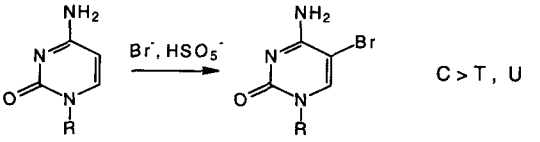
An asymmetric hetero-Diels-Alder reaction with an amino acid-derived acylnitroso dienophile was used in the synthesis of a precursor to 5'-nor carbocyclic nucleosides. The amino acid chiral auxiliary was removed by the Edman degradation.



Tetrahedron Letters, **1997**, *38*, 2805

BROMINATION OF PYRIMIDINES USING BROMIDE AND MONO-PEROXYSULFATE: A COMPETITION STUDY BETWEEN CYTIDINE, URIDINE AND THYMIDINE. Steven A. Ross and Cynthia J. Burrows,* Department of Chemistry, University of Utah, Salt Lake City, UT 84112, USA

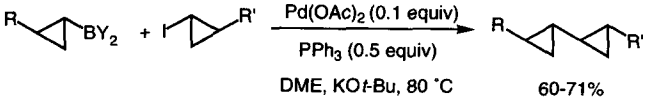
Bromination of pyrimidine nucleotides in aqueous conditions can be conveniently carried out using a mixture of potassium bromide and potassium monoperoxysulfate (Oxone). Deoxycytidine can also be selectively brominated in reaction mixtures containing uridine and thymidine. NMR studies show the course of the reaction and formation of 5-bromopyrimidine products.



Tetrahedron Letters, **1997**, *38*, 2809

SYNTHESIS OF CONTIGUOUS CYCLOPROPANES BY PALLADIUM-CATALYZED SUZUKI-TYPE CROSS-COUPLING REACTIONS.

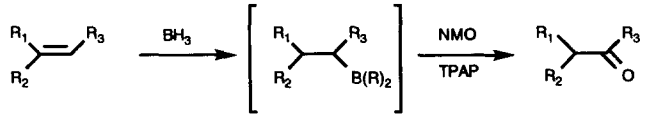
A. B. Charette,* Rossimiriam Pereira De Freitas-Gil. Département de Chimie, Université de Montréal, Montréal, Québec, Canada, H3C 3J7.



Tetrahedron Letters, **1997**, *38*, 2813

One-Pot Conversion of Olefins to Carbonyl Compounds by Hydroboration / NMO-TPAP Oxidation

Matthew H. Yates
Department of Chemistry, Rice University, 6100 Main Street, Houston, Texas 77005, U.S.A.



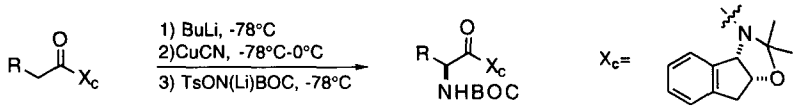
An efficient method to oxidize an olefin to the less substituted carbonyl compound is described.

Tetrahedron Letters, **1997**, *38*, 2817

Asymmetric Synthesis of α-Amino Acid Derivatives *via* an Electrophilic Amination of Chiral Amide Cuprates with Li t-Butyl-N-Tosyloxycarbamate

Nan Zheng,* Joseph D. Armstrong, III,* J. Christopher McWilliams, and R.P. Volante
Department of Process Research, Merck Research Laboratories, P.O. Box 2000, Rahway, New Jersey 07065

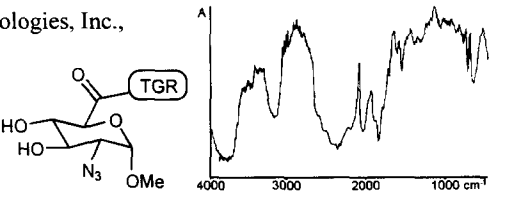
The utility of lithium t-butyl-N-tosyloxycarbamate (LiBTOC) as a (+)NHBOC synthon in highly diastereoselective reactions with chiral cis-aminoindanol derived amide cuprates is described. The diastereoselectivities of these reactions ranged from 96% to greater than 99%. The subsequent transformation of these adducts to α-amino acids is also described.



Tetrahedron Letters, **1997**, *38*, 2821

High Throughput On-Bead Monitoring of Solid Phase Reactions by Diffuse Reflectance Infrared Fourier Transform Spectroscopy (DRIFTS)

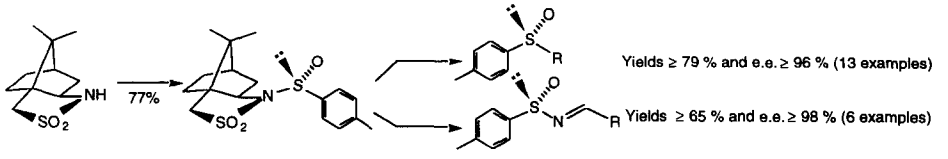
Tin Yau Chan, Ru Chen and Michael J. Sofia*, Transcell Technologies, Inc.,
2000 Cornwall Road, Monmouth Junction, NJ 08852, USA
Brian C. Smith, Spectros Instruments, Inc.,
175 North Street, Shrewsbury, MA 01545
Dennis Glennon, Midac Corp.,
17911 Fitch Ave., Irvine, CA 92714



Tetrahedron Letters, **1997**, *38*, 2825

Asymmetric Synthesis of Chiral Sulfoxides and Sulfinimines by using *N*-Sulfinylsultam

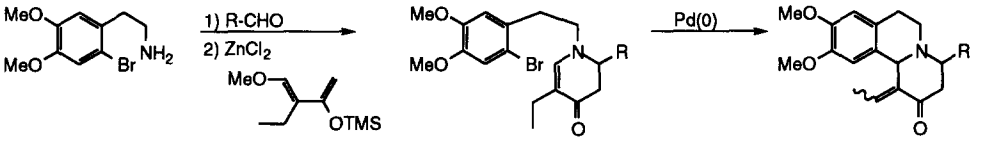
Wolfgang Oppolzer†, Olivier Froelich*, Chantal Wiaux-Zamar and Gérald Bernardinelli
Département de Chimie Organique, Université de Genève, CH-1211 Genève 4, Switzerland



Tetrahedron Letters, **1997**, *38*, 2829

CONSTRUCTION OF THE TRICYCLIC BENZOQUINOLIZINE RING SYSTEM BY COMBINATION OF A TANDEM MANNICH-MICHAEL REACTION WITH A HECK REACTION.

Stephan Kirschbaum and Herbert Waldmann*
Universität Karlsruhe, Institut für Organische Chemie, Richard-Willstätter-Allee 2, D-76128 Karlsruhe, Germany



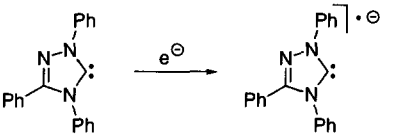
Tetrahedron Letters, **1997**, *38*, 2833

A STABLE CARBENE AS π-ACCEPTOR
Electrochemical Reduction to the Radical Anion

Dieter Enders*[a], Klaus Breuer [a], Gerhard Raabe [a], Jacques Simonet*[b], Ahmed Ghanimi [b], Hartmut B. Stegmann and J. Henrique Teles,

[a]Institut für Org. Chemie, RWTH, Prof.-Pirlet-Str. 1, D-52074 Aachen
[b]Laboratoire d'Electrochimie Organique, Université de Rennes I, F-35042 Rennes CEDEX

Electrochemical reduction of the carbene **1** led to the radical anion **2**, which was characterized by ESR techniques and *ab initio* calculations as an *aza*-analogous ketyl radical.

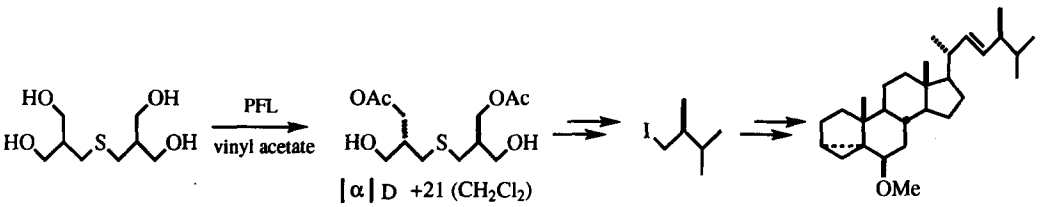


1 **2**

Tetrahedron Letters, **1997**, *38*, 2837

A FORMAL SYNTHESIS OF BRASSINOLIDE

Thierry Schmittberger and Daniel Uguen*, E.C.P.M., 67008 Strasbourg (France)

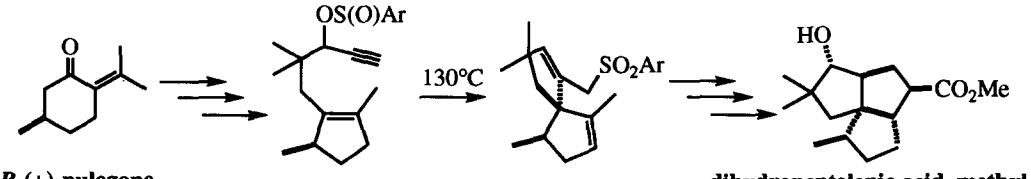


$[\alpha]_D$ +21 (CH_2Cl_2)
78% Yield

Tetrahedron Letters, **1997**, *38*, 2841

A CONVENIENT ASYMMETRIC ACCESS TO TRIQUINANIC COMPOUNDS

Cathy Bintz, Olivier Weymann and Daniel Uguen*, E.C.P.M., 67008 Strasbourg (France)
André De Cian and Jean Fischer, U.L.P., 67070 Strasbourg (France)



***R*-(+)-pulegone** *Ar*=p-*toluene* **dihydropentalenic acid, methyl ester**

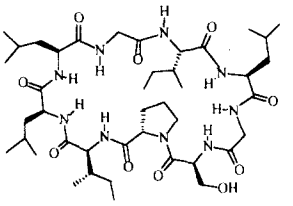
Tetrahedron Letters, **1997**, *38*, 2845

Curcacycline B , a cyclic nonapeptide from *Jatropha curcas* enhancing rotamase activity of cyclophilin.

Catherine Auvin, Carine Baraguey, Alain Blond, Françoise Lezenven, Jean-Louis Pousset and Bernard Bodo

Laboratoire de Chimie des Substances Naturelles, URA CNRS 401,

Muséum National d'Histoire Naturelle, 63 rue Buffon, 75231 Paris cedex 05



Curcacycline B is a cyclic nonapeptide *cyclo* (-Leu-Gly-Ser-Pro-Ile-Leu-Leu-Gly-Ile-) which enhanced the rotamase activity of cyclophilin-B.

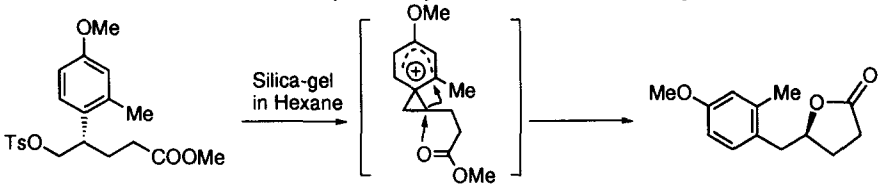
Tetrahedron Letters, **1997**, *38*, 2849

THE NOVEL LACTONIZATION INDUCED BY PHENONIUM ION.

Shinji Nagumo,*[a] Tsuneo Furukawa,[b] Machiko Ono [b] and Hiroyuki Akita *[b]

a) Hokkaido Institute of Pharmaceutical Sciences, Katuraoka 7-1, Otaru 047-02, Japan

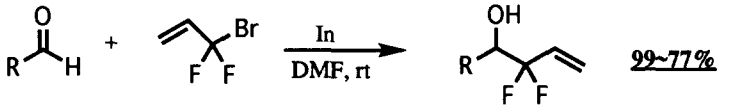
b) School of Pharmaceutical Science, Toho University, 2-2-1 Miyama, Funabashi, Chiba, 274, Japan



Tetrahedron Letters, **1997**, *38*, 2853

α,α–DIFLUOROALLYL CARBANION: INDIUM-MEDIATION IN ITS FACILE COUPLING WITH ALDEHYDES

Masayuki Kirihara, Tomofumi Takuwa, Shinobu Takizawa, and Takefumi Momose,* Faculty of Pharmaceutical Sciences, Toyama Medical and Pharmaceutical University, Sugitani 2630, Toyama 930-01, Japan

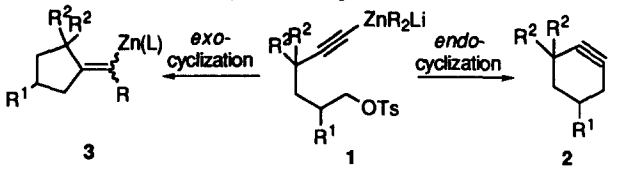


99~77%

Tetrahedron Letters, **1997**, *38*, 2855

CYCLOHEXYNES AS INTERMEDIATES IN A NOVEL *ENDO*-CYCLIZATION OF ALKYNYLZINCATES DERIVED FROM 5-HEXYNYL TOSYLATES.

Toshiro Harada,* Takeshi Otani, and Akira Oku, Department of Chemistry, Kyoto Institute of Technology, Matsugasaki, Sakyo-ku 606, Japan



The π-type *endo*-cyclization of metal acetylides to form cyclohexynes **2** was observed for the first time in the reaction of alkynylzincates **1** derived from 5-hexynyl tosylates. The *endo*-cyclization took place in competition with *exo*-cyclization leading to the formation of 1-(cyclopentylidene)alkylzincs **3**.

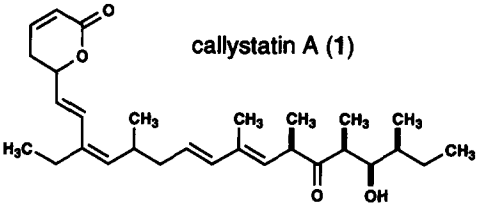
Tetrahedron Letters, **1997**, *38*, 2859

Callystatin A, a Potent Cytotoxic Polyketide from the Marine Sponge *Callyspongia truncata*

M. Kobayashi, K. Higuchi, N. Murakami, H. Tajima, and S. Aoki

Faculty of Pharmaceutical Sciences, Osaka University, Yamada-oka 1-6, Suita, Osaka 565, Japan

Callystatin A (**1**) has been isolated from the marine sponge *Callyspongia truncata* and the plane structure including parts of the absolute configurations elucidated. **1** exhibited potent cytotoxicity against KB cells.

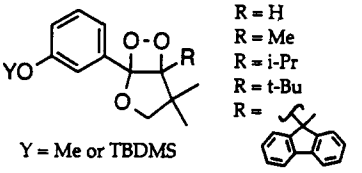


Tetrahedron Letters, **1997**, *38*, 2863

SYNTHESIS OF 5-ALKYL-1-ARYL-4,4-DIMETHYL-2,6,7-TRIOXABICYCLO[3.2.0]HEPTANES AS A CHEMI-LUMINESCENT SUBSTRATE WITH REMARKABLE THERMAL STABILITY.

Masakatsu Matsumoto*, Nobuko Watanabe, Noriko C. Kasuga, Fumiaki Hamada, and Koji Tadokoro, Department of Materials Science, Kanagawa University, Tsuchiya, Hiratsuka, Kanagawa 259-12, Japan

Various 1-aryl-4,4-dimethyl-2,6,7-trioxabicyclo[3.2.0]heptanes were synthesized and their thermal stabilities and F^--induced chemiluminescent properties were examined. Among the bicyclic dioxetanes synthesized here, one bearing a *tert*-butyl or a 9-methy-fluorenyl at the 5-position exhibited remarkable thermal stability.

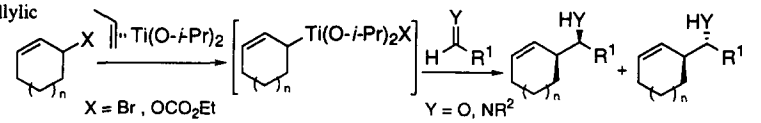


Tetrahedron Letters, **1997**, *38*, 2867

EFFICIENT SYNTHESIS OF 7-, 8- AND 9-MEMBERED CYCLIC ALLYLTITANIUM COMPOUNDS AND THEIR STEREOSELECTIVE ADDITION REACTION WITH ALDEHYDES AND IMINES.

Shinichi Hikichi, Yuan Gao, and Fumie Sato*
Department of Biomolecular Engineering, Tokyo Institute of Technology, 4259, Nagatsuta-cho, Midori-ku, Yokohama, Kanagawa, 226 Japan

Reaction of 7-, 8- and 9-membered cyclic allylic compounds with (η^2-propene)Ti(O-*i*-Pr)$_2$ provides the corresponding allylic titanium compounds, which, in turn, react with aldehydes and imines stereoselectively.

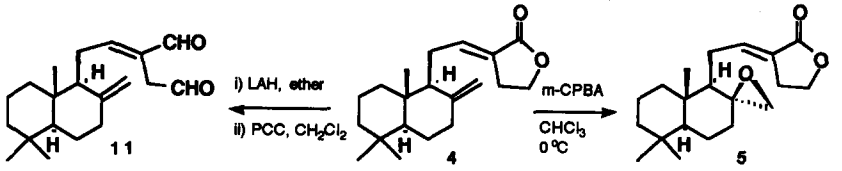


Tetrahedron Letters, **1997**, *38*, 2871

CONVERSION OF SCLAREOL INTO (+)-GALANOLACTONE AND (+)-LABDIENEDIAL.

Mankil Jung,* Seokjoon Lee and Byunghee Yoon, Department of Chemistry, Yonsei University, Seoul, Korea.

Stereoselective epoxidation or reduction-oxidation of **4** leads to (+)-galanolactone **5** and (+)-labdienedial **11**.

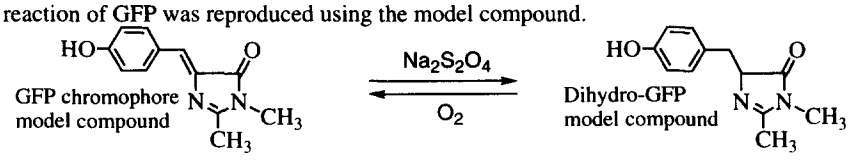


Tetrahedron Letters, **1997**, *38*, 2875

MECHANISM OF THE REDOX REACTION OF THE *AEQUOREA* GREEN FLUORESCENT PROTEIN (GFP).

Satoshi Kojima,[1] Takashi Hirano,[1] Haruki Niwa,[1*] Mamoru Ohashi,[1] Satoshi Inouye,[2] and Frederick I. Tsuji.[3]
[1]*Department of Applied Physics and Chemistry, University of Electro-Communications, Chofu, Tokyo 182, Japan.*
[2]*Yokohama Research Center, Chisso Corp., Kanazawa-ku, Yokohama 236, Japan.*[3]*Marine Biology Research Division 0202, Scripps Institution of Oceanography University of California at San Diego, La Jolla, CA 92093, U. S. A.*

The redox reaction of GFP was reproduced using the model compound.

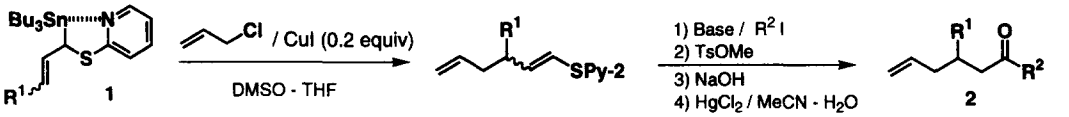


Tetrahedron Letters, **1997**, *38*, 2879

COPPER(I) IODIDE-PROMOTED REGIOSELECTIVE ALLYLATION OF α-(2-PYRIDYLTHIO)ALLYLSTANNANES. A NEW ROUTE TO δ,ε-UNSATURATED KETONES

Takeshi TAKEDA,* Ko-ichi MATSUNAGA, Tetsuya URUGA, Michiyo TAKAKURA, and Tooru FUJIWARA
Department of Applied Chemistry, Tokyo University of Agriculture and Technology, Koganei, Tokyo 184, Japan

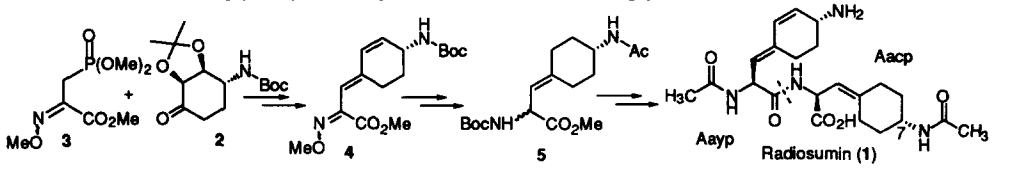
The γ-selective allylation of α-(2-pyridylthio)allylstannanes (**1**) with allyl chloride in the presence of copper(I) iodide followed by the alkylation and hydrolysis gave δ,ε-unsaturated ketones (**2**).



Tetrahedron Letters, **1997**, *38*, 2883

DETERMINATION OF THE ABSOLUTE CONFIGURATION AND TOTAL SYNTHESIS OF RADIOSUMIN, A TRYPSIN INHIBITOR FROM A FRESHWATER BLUE-GREEN ALGA

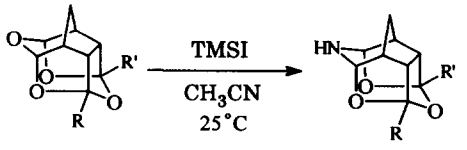
Hirohide Noguchi, Toyohiko Aoyama, and Takayuki Shioiri*
Faculty of Pharmaceutical Sciences, Nagoya City University, Tanabe-dori, Mizuho-ku, Nagoya 467, JAPAN



Tetrahedron Letters, **1997**, *38*, 2887

A NOVEL ONE-POT CONVERSION OF TETRAACETAL TETRAOXA-CAGES TO AZA-CAGES MEDIATED BY IODOTRIMETHYLSILANE IN ACETONITRILE

Hsien-Jen Wu* and Jyh-Haur Chern
Department of Applied Chemistry, National Chiao Tung University, Hsinchu, Taiwan, China

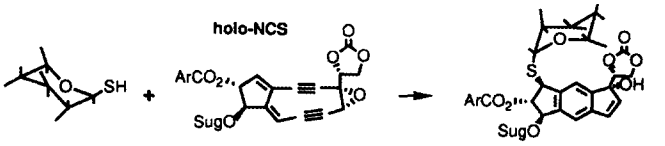


a novel one-step conversion of oxa-cages to aza-cages was discovered.

Tetrahedron Letters, **1997**, *38*, 2891

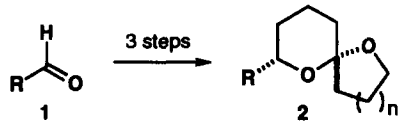
ABNORMAL CYCLOAROMATIZATION OF NEOCARZINOSTATIN INDUCED BY SUGAR THIOLS. Der-Hang Chin*, and Mei-Ching Tseng,
Department of Chemistry, National Changhua University of Education,Paisa Village, Changhua 50058, Taiwan, Republic of China

The reaction of hexose thiols with holo-NCS produces a major product of tetrahydroindacene derivative as if the enediyne chromophore were not bound to the protein.



Tetrahedron Letters, **1997**, *38*, 2895

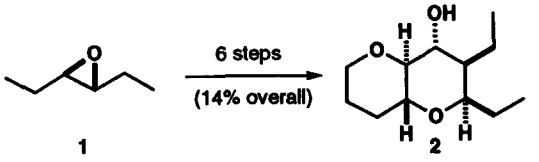
EFFICIENT METHODOLOGY FOR THE CONSTRUCTION OF SUBSTITUTED SPIROKETALS. MODEL STUDIES TOWARDS THE SYNTHESIS OF THE EASTERN SPIROKETAL SUBUNIT OF OKADAIC ACID
István E. Markó* and François Chellé
Université catholique de Louvain, Laboratoire de Chimie Organique, Louvain-la-Neuve, Belgique.



R = alkyl; n = 1, 2; yields: 50 - 60%

Tetrahedron Letters, **1997**, *38*, 2899

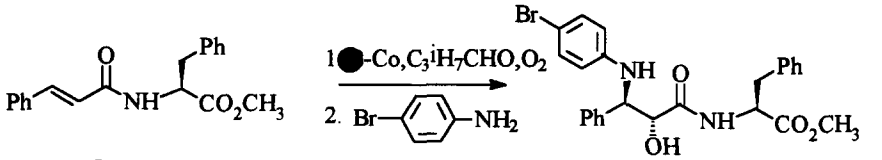
CONCISE AND STEREOCONTROLLED ASSEMBLY OF SUBSTITUTED DIHYDROPYRANS. SYNTHETIC STUDIES TOWARDS THE *trans*-DIOXADECALIN SUBUNIT OF OKADAIC ACID
István E. Markó* Adrian P. Dobbs, Vincent Scheirmann, François Chellé and Daniel J. Bayston
Université catholique de Louvain, Laboratoire de Chimie Organique, Louvain-la-Neuve, Belgique.



***trans*-Dioxadecalin 2, a model for the middle portion of okadaic acid, has been efficiently assembled using an extension of the ISMS cyclisation methodology.**

Tetrahedron Letters, **1997**, *38*, 2903

POLYANILINE SUPPORTED COBALT(II) SALEN CATALYST: ONE POT SYNTHESIS OF B-PHENYLISOERINE DERIVATIVES FROM CINNAMOYL AMIDE
Bhaskar C. Das and Javed Iqbal*
Department of Chemistry, Indian Institute of Technology, Kanpur-208 016, India



●Co = Polyaniline supported cobalt(II) complex

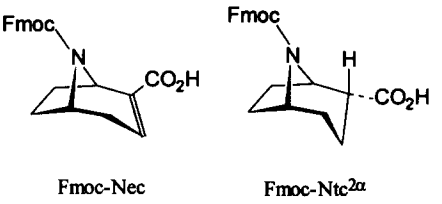
70%

Tetrahedron Letters, **1997**, *38*, 2907

FMOC-PROTECTED TROPANE-BASED AMINO ACIDS FOR PEPTIDE STRUCTURE-FUNCTION STUDIES.

Philip E. Thompson* and Milton T. W. Hearn,
Centre for Bioprocess Technology, Department of Biochemistry and Molecular Biology, Monash University, Clayton 3168, Australia

Tropane-based amino acids have been prepared for use in the development of novel, synthetic peptides and peptidomimetics.



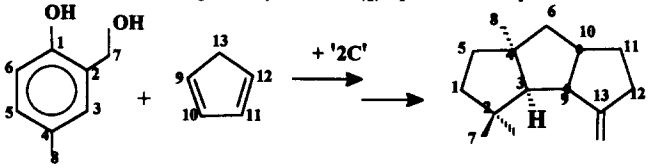
Fmoc-Nec Fmoc-Ntc$^{2\alpha}$

Tetrahedron Letters, **1997**, *38*, 2911

A NOVEL STEREOSPECIFIC TOTAL SYNTHESIS OF (±) – $\Delta^{9(12)}$– CAPNELLENE FROM p-CRESOL

Vishwakarma Singh,* Prathap S. and M. Porinchu
Department of Chemistry, Indian Institute of Technology, Powai, Bombay 400 076 (INDIA).

A novel, efficient and stereospecific synthesis of (±)capnellene from p-cresol is described.

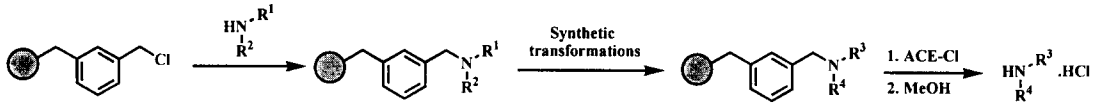


Tetrahedron Letters, **1997**, *38*, 2915

A NEW CLEAVAGE STRATEGY FOR THE SOLID-PHASE SYNTHESIS OF SECONDARY AMINES. Paolo Conti, Dennis Demont, Jos Cals,

Harry C.J. Ottenheijm and Dirk Leysen,* Department of Medicinal Chemistry, Scientific Development Group, NV Organon, PO Box 20, 5340 BH Oss, The Netherlands

Clean and efficient cleavage of N-benzyl linked tertiary amines from a solid support by treatment with α-chloroethyl chloroformate and methanol to yield secondary amines.



Tetrahedron Letters, **1997**, *38*, 2919

A PREPARATION OF HALOALKYLIDENE CYCLOPENTANONES.

Nicholas J. Cornwall, Shaun Linehan and Rex T. Weavers*,
Department of Chemistry, University of Otago, Box 56, Dunedin, New Zealand.

Cyclic haloalkylidene cyclopentanones are formed stereoselectively by free radical addition of tetrahalomethanes to acyclic acetylenic ketones bearing an appropriately positioned double bond.