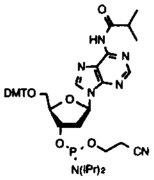
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1997**, *38*, 3123

Synthesis of Oligonucleotides Containing 3'-Alkyl Amines Using *N*-Isobutyryl Protected Deoxyadenosine Phosphoramidite.

Dustin L. McMinn and Marc M. Greenberg*, Department of Chemistry, Colorado State University, Ft. Collins, CO 80523

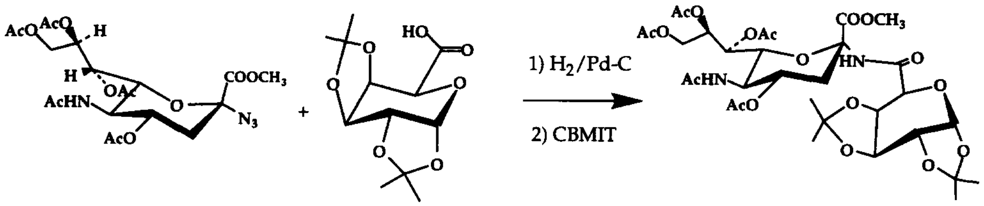
N-Isobutyryl protected deoxyadenosine phosphoramidite is compatible with photocleavable solid phase oligonucleotide synthesis supports, can be used as a relatively fast deprotecting amidite, and does not undergo transamidation with primary alkyl amines.



Tetrahedron Letters, **1997**, *38*, 3127

Synthesis of Peptidosialosides And Peptidosaccharides

Subramaniam Sabesan, Contribution No. 7557 from Chemical and Biological Sciences, P. O. Box 80328, Dupont Company, Wilmington, DE 19880-0328

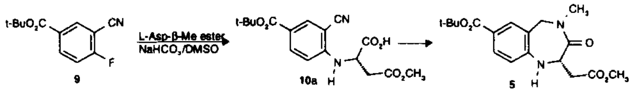


Tetrahedron Letters, **1997**, *38*, 3131

AN ALTERNATE ENANTIOSPECIFIC SYNTHESIS OF METHYL (S)-7-TERT-BUTOXYCARBONYL-2,3,4,5-TETRAHYDRO-4-METHYL-3-OXO-1H-1,4-BENZODIAZEPINE-2-ACETATE

T. W. Ku,* F. E. Ali, W. E. Bondinell, K. F. Erhard, W. F. Huffman, J. W. Venslavsky, and C. C.-K. Yuan
SmithKline Beecham Pharmaceuticals, 709 Swedeland Road, P.O. Box 1539, King of Prussia, PA 19406-0939

An alternate enantiospecific synthesis of methyl (S)-tert-butoxylcarbonyl-2,3,4,5-tetrahydro-4-methyl-3-oxo-1H-1,4-benzodiazepine-2-acetate (5) is reported. The key step, which involves an intermolecular displacement of the activated aryl fluoride (9), proceeds without racemization.

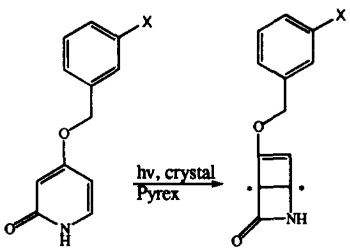


Tetrahedron Letters, **1997**, *38*, 3135

CRYSTAL ENGINEERING FOR ABSOLUTE ASYMMETRIC SYNTHESIS THROUGH THE USE OF *META*-SUBSTITUTED ARYL GROUPS

L-C. Wu and C.J. Cheer, Department of Chemistry, University of Rhode Island, Kingston, RI 02881; S-L. Wang and F-L. Liao, Department of Chemistry, National Tsing Hua University, Hsinchu 30043, Taiwan; G. Olovsson, J.R. Scheffer and J. Trotter, Department of Chemistry, University of British Columbia, Vancouver, Canada V6T 1Z1

4-Benzyloxy-2-pyridones whose phenyl groups are *meta*-substituted crystallize in chiral space groups, and irradiation of single crystals of these materials leads to optically active β-lactams.

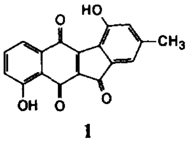


Tetrahedron Letters, **1997**, *38*, 3139

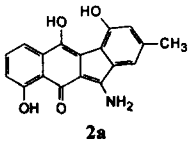
SEONGOMYCIN: A NEW SULFUR-CONTAINING BENZO[*b*]FLUORENE DERIVED FROM GENES CLUSTERED WITH THOSE FOR KINAMYCIN BIOSYNTHESIS.

John R. Carney,[a] Seong-Tshool Hong,[b] and Steven J. Gould,*[a,b] Departments of Chemistry[a] and Biochemistry and Biophysics,[b] Oregon State University, Corvallis, OR 97331

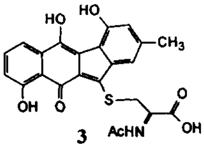
Streptomyces lividans ZX7 transformed with a ~40 kb fragment of *S. murayamaensis* DNA produces the kinamycin biosynthetic intermediates kinobscurinone (**1**) and stealthin C (**2a**), as well as seongomycin (**3**), which had been previously observed in *S. murayamaensis* UV mutant MC1.



1



2a



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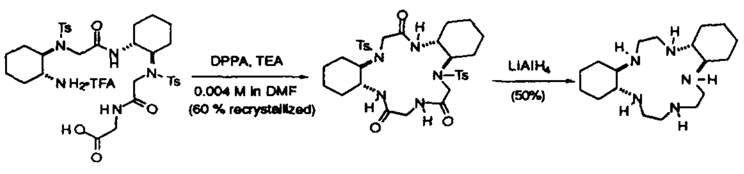
Tetrahedron Letters, **1997**, *38*, 3143

Pseudopeptide Synthesis of a Pentaazamacrocycle Containing Two trans-Fused Cyclohexane Rings.

William L. Neumann,* Gary W. Franklin, Kirby R. Sample, Karl W. Aston, Randy H. Weiss, Dennis P. Riley and Nigam Rath† Monsanto Corporate Research, St. Louis, Missouri 63167 and The University of Missouri, St. Louis.†

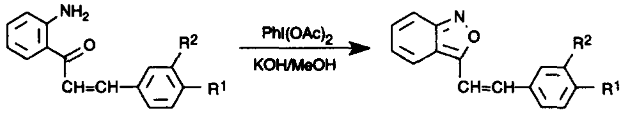


Tetrahedron Letters, **1997**, *38*, 3147

HYPERVALENT IODINE OXIDATION OF *O*-AMINOCHALCONES: A NOVEL SYNTHESIS OF 3-(β-STYRYL)-2,1-BENZISOXAZOLES

Om Prakash*[1], Rajesh K. Saini[1,2], Shiv P. Singh[1] and Rajender S. Varma*[2]
[1]Department of Chemistry, Kurukshetra University, Kurukshetra-136 119, Haryana, INDIA; [2]Department of Chemistry and Texas Regional Institute for Environmental Studies (TRIES), Sam Houston State University, Huntsville, TX 77341-2117, U.S.A.

Hypervalent iodine oxidation of *o*-aminochalcones using $C_6H_5I(OAc)_2$-KOH/MeOH leads to a novel and useful route for the synthesis of 3-(β-styryl)-2,1-benzisoxazoles. A plausible mechanism for this novel rearrangement is proposed.



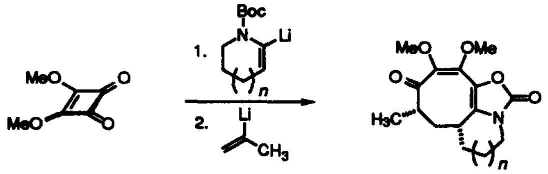
R^1 = H, OCH_3, Cl, CH_3, Br, R^2 = H;
R^1 = R^2 = OCH_3

Tetrahedron Letters, **1997**, *38*, 3151

USE OF METALATED ENECARBAMATES IN THE SQUARATE CASCADE. DIRECT ACCESS TO HIGHLY FUNCTIONALIZED 2,4-CYCLOOCTADIENONES BY INTRAMOLECULAR INTERCEPTION OF THE MESOCYCLIC DIENOLATES

Leo A. Paquette* and Jingsung Tae
Evans Chemical Laboratories, The Ohio State University, Columbus, Ohio 43210

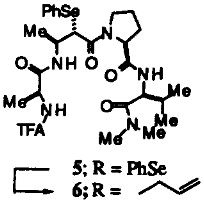
Sequential reaction of dimethyl squarate with an α-metalated enecarbamate and alkenyllithium leads to polycyclic products.



Design of a Novel Secondary Structure Scaffolding Device: Induction of a Reverse Turn in Tetrapeptides by Incorporating a β-Amino Acid and Stereocontrolled Free Radical α-Substitution Reactions in Peptide Motifs

Tetrahedron Letters, **1997**, *38*, 3155

Stephen Hanessian* and Hua Yang
*Department of Chemistry, Université de Montréal
P.O. Box 6128, Succ. Centre-ville, Montréal, P.Q.,
CANADA, H3C 3J7

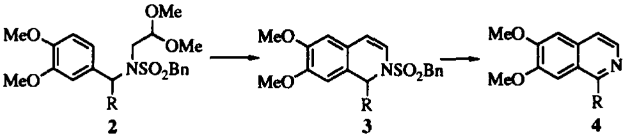


PREPARATION OF *N*-BENZYLSULFONAMIDO-1,2-DIHYDRO ISOQUINOLINES AND THEIR REACTION WITH RANEY NICKEL. A MILD NEW SYNTHESIS OF ISOQUINOLINES.

Tetrahedron Letters, **1997**, *38*, 3159

Enrique L. Larghi and Teodoro S. Kaufman.* Instituto de Química Orgánica de Síntesis (CONICET-UNR) and Facultad de Ciencias Bioquímicas y Farmacéuticas, Universidad Nacional de Rosario, Casilla de Correo 991, 2000 Rosario, Argentina

1,2-dihydroisoquinolines 3, readily obtained by cyclization of *N*-benzylsulfonamides 2, react with Raney nickel under mild conditions to afford simple isoquinolines 4.

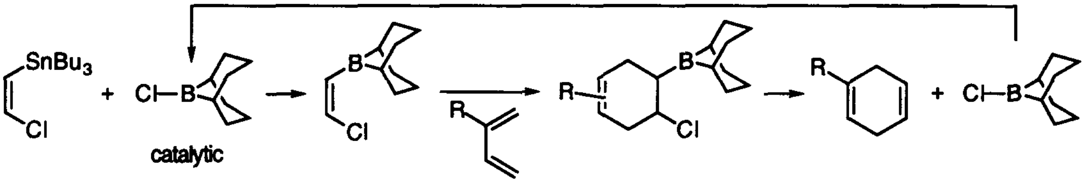


R= Me, Et, 4-MeO-C_6H_4-CH_2-, Ph

CATALYSIS BY TEMPORARY COVALENT ACTIVATION. A NOVEL CATALYSIS OF UNACTIVATED DIELS-ALDER REACTIONS.

Tetrahedron Letters, **1997**, *38*, 3163

Daniel A. Singleton,* Shun-Wang Leung, Jose P. Martinez, and Yu-Kai Lee, Department of Chemistry, Texas A&M University, College Station, Texas 77843 USA

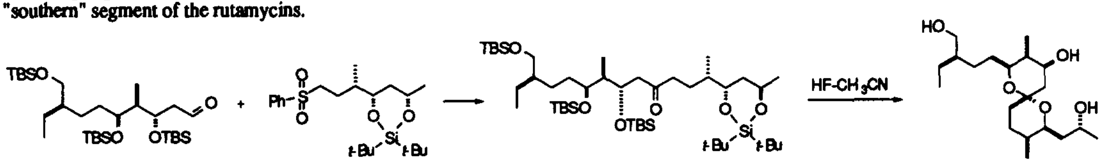


SYNTHESIS OF THE SPIROKETAL SEGMENT (C19-C34) OF THE RUTAMYCINS, ANTIFUNGAL METABOLITES OF *STREPTOMYCES* SPECIES.

Tetrahedron Letters, **1997**, *38*, 3167

James D. White,* Yoshihiro Ohba, Warren J. Porter, and Shan Wang, Department of Chemistry, Oregon State University, Corvallis, OR 97331-4003 USA

Julia coupling of sulfone 14 with aldehyde 23 led to the hexadecanone 25 which underwent spirocyclization to 4 representing the "southern" segment of the rutamycins.



Tetrahedron Letters, **1997**, *38*, 3171

COPPER-CATALYZED CROSS-COUPLING OF ALKYLSAMARIUM REAGENTS WITH ALKYL HALIDES

William F. Berkowitz* and Yanzhong Wu
Department of Chemistry and Biochemistry, Queens College of the City University of New York,
65-30 Kissena Blvd., Flushing, NY 11367 USA

$$\underset{X=Br,\ I}{RX} \xrightarrow[THF,\ rt]{SmI_2/HMPA} \xrightarrow[\substack{\text{or } Li_2CuCl_4 \\ X=Cl,\ Br,\ I}]{\text{Cat. } CuX} \xrightarrow[\substack{rt \\ X=Br,\ I}]{R'X} R'\text{-}R$$

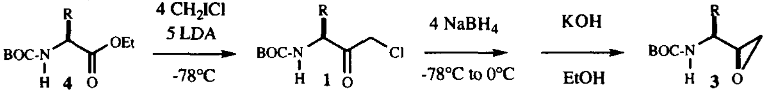
R: 1°, 2° alkyl, benzyl
R': 1°, 2° alkyl

Tetrahedron Letters, **1997**, *38*, 3175

A PRACTICAL METHOD FOR THE PREPARATION OF α-CHLOROKETONES OF N-PROTECTED-α-AMINOACIDS.

Ping Chen,[†] Peter T. W. Cheng,[†] Steven H. Spergel,[†] Robert Zahler,[†] Xuebao Wang,[‡] John Thottathil,[‡] Joel C. Barrish,*[†] and Richard P. Polniaszek*[‡] Bristol-Myers Squibb Pharmaceutical Research Institute, [†]Princeton, NJ 08543 and [‡]New Brunswick, NJ 08903

The Kowalski homologation reaction of N-BOC amino acid esters **4** affords α-chloroketones **1** in high optical purity. **1** were converted to epoxides **3**, building blocks of protease inhibitors.

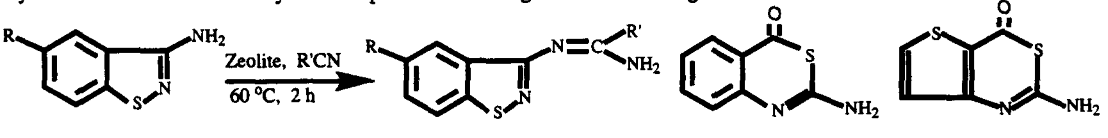


Tetrahedron Letters, **1997**, *38*, 3179

Zeolite : An Efficient Catalyst for the Synthesis of Various Heterocyclic Compounds.

R. Sreekumar*[a], P. Rugmini [b] and Raghavakaimal Padmakumar[b], [a] Department of Physiology, University of Wisconsin, Madison, USA, [b] Department of Chemistry and Biochemistry, University of Nebraska, Lincoln, USA.

Synthesis of various heterocyclic compounds of biological interest using zeolites are described.

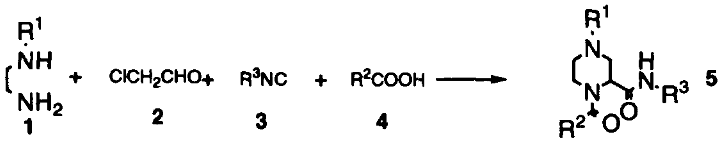


Tetrahedron Letters, **1997**, *38*, 3183

An Efficient and Versatile Synthesis of Piperazine-2-carboxamides

K. Rossen*, J. Sager*, L.M. DiMichele
Department of Process Research, Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065

Piperazine-2-carboxamides **5** are prepared in a one-pot, 4-component Ugi condensation between an N-alkylethylenediamine **1**, chloroacetaldehyde (**2**), an isonitrile **3** and a carboxylic acid **4**.

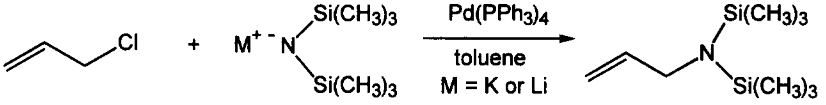


Tetrahedron Letters, **1997**, *38*, 3187

LITHIUM AND POTASSIUM BIS(TRIMETHYLSILYL)AMIDE: UTILIZING NON-NUCLEOPHILIC BASES AS NITROGEN SOURCES.

Jörg Brüning, Callery Chemical Company, Division of Mine Safety Appliances, 1420 Mars-Evans City Road,
Evans City, PA 16033.

Lithium- and potassium bis(trimethylsilyl)amides can be utilized as nitrogen sources in palladium(0) catalyzed aminations of allylchloride.

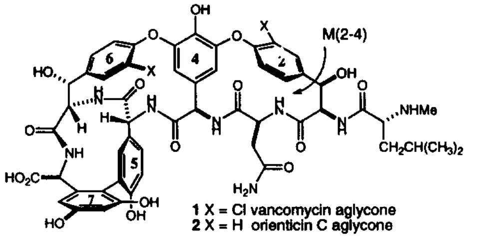


Tetrahedron Letters, **1997**, *38*, 3189

Oxidative Macrocyclizations for the Vancomycin Antibiotics. Unexpected Transannular Effects in the Thallium(III)-Mediated M(2-4) Macrocyclic Ring Closure

David A. Evans,* Christopher J. Dinsmore, and Andrew M. Ratz
Department of Chemistry & Chemical Biology, Harvard University, Cambridge, MA02138, USA

The course of the Tl(III)-mediated intramolecular oxidative macrocyclization of phenolic residues to provide the M(2-4) diarylether ring of the vancomycin antibiotics is remarkably sensitive to transannular effects across the M(4-6) ring, brought about by variations in the degree of ring-6 chlorination as well as the conformational bias imparted by the distal M(5-7) ring. The effect of structure on this reaction is documented.



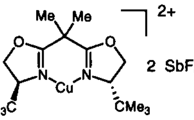
1 X = Cl vancomycin aglycone
2 X = H orienticin C aglycone

Tetrahedron Letters, **1997**, *38*, 3193

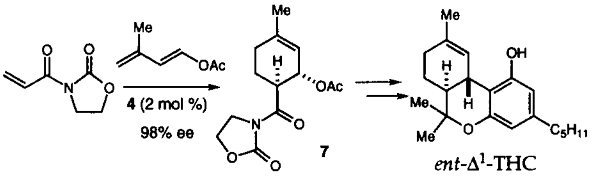
Cationic Bis(oxazoline)Cu(II) Lewis Acid Catalysts. Application to the Asymmetric Synthesis of ent-D1-Tetrahydrocannabinol

David A. Evans,* Eileen A. Shaughnessy, and David M. Barnes
Department of Chemistry & Chemical Biology, Harvard University, Cambridge, MA 02138, USA

The reaction of acryloyl oxazolidinone and 1-acetoxy-3-methylbutadiene is catalyzed by the cationic bis(oxazoline)Cu(II) complex 4 in high enantioselectivity. The cycloadduct is converted to ent-Δ^1-tetrahydrocannabinol (THC) in four steps.



4



7

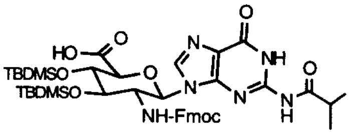
ent-Δ^1-THC

Tetrahedron Letters, **1997**, *38*, 3195

Synthesis of Thymine, Cytosine, Adenine, and Guanine Containing N-Fmoc Protected Amino Acids: Building Blocks for Construction of Novel Oligonucleotide Backbone Analogs

Robert A. Goodnow, Jr.*, Anne-Roberte Richou, Steve Tam
Roche Research Center, Hoffmann-La Roche, Nutley, New Jersey 07110

A convenient synthesis is described for thymine, cytosine, adenine, and guanine containing, glucosamine-based N-Fmoc protected amino acids. These molecules are building blocks useful for the construction of novel oligonucleotide analogs via N-Fmoc type peptide chemistry.

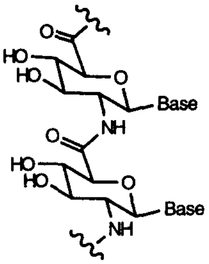


Tetrahedron Letters, **1997**, *38*, 3199

Oligomer Synthesis and DNA / RNA Recognition Properties of a Novel Oligonucleotide Backbone Analog: Glucopyranosyl Nucleic Amide (GNA)

Robert A. Goodnow, Jr.*, Steve Tam, David L. Pruess, and Warren W. McComas
Roche Research Center, Hoffmann-La Roche, Nutley, New Jersey 07110

A general solid phase synthesis is described for the construction of novel oligonucleotide analogs having glucopyranosyl configuration. The selective binding by these oligomers to DNA and RNA sequences is characterized.

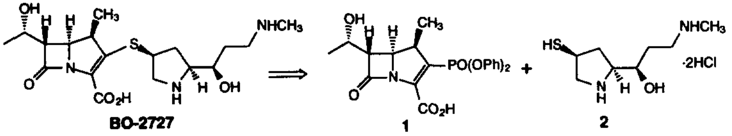


Tetrahedron Letters, **1997**, *38*, 3203

An Efficient Asymmetric Synthesis of the Mercaptopyrrolidine Side Chain of an Important β-Methyl Carbapenem Antibiotic

Joseph D. Armstrong, III,* Jennifer L. Keller, Joseph Lynch, Tom Liu, Frederick W. Hartner, Jr., Norikazu Ohtake,† Shigemitsu Okada,† Yasuyuki Imai,† Osamu Okamoto,† Ryosuke Ushijima,† Susumu Nakagawa,† and R. P. Volante
Department of Process Research, Merck Research Laboratories, P.O. Box 2000, Rahway, New Jersey 07065

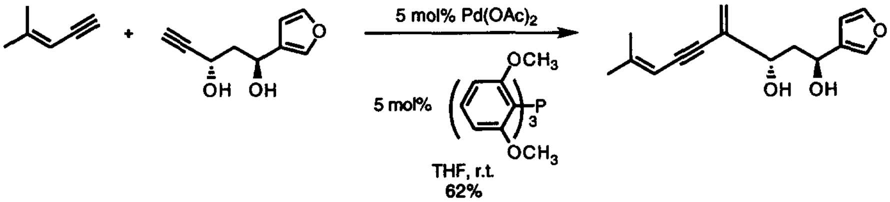
The asymmetric synthesis of bis-HCl salt **2** of the thiol side chain of BO-2727 is described.



Tetrahedron Letters, **1997**, *38*, 3207

An Unusual Selectivity in Pd Catalyzed Cross-Coupling of Terminal Alkynes with "Unactivated" Alkynes

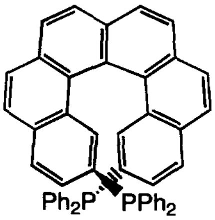
Barry M. Trost and Matthias C. McIntosh
Department of Chemistry, Stanford University, Stanford, CA 94305



Tetrahedron Letters, **1997**, *38*, 3211

FIRST ENANTIOSELECTIVE CATALYSIS USING A HELICAL DIPHOSPHANE

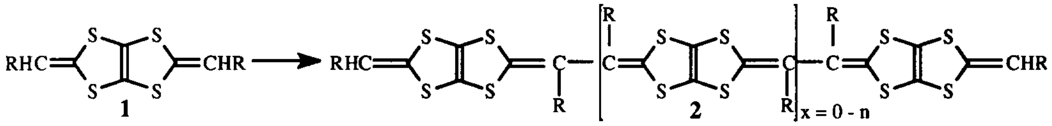
Manfred T. Reetz,* Eckart W. Beuttenmüller and Richard Goddard
Max-Planck-Institut für Kohlenforschung
Kaiser-Wilhelm-Platz 1, D-45470 Mülheim/Ruhr, Germany



Tetrahedron Letters, **1997**, *38*, 3215

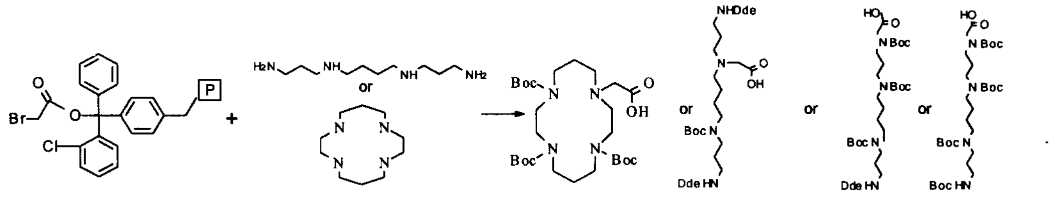
BIS-SUBSTITUTED TETRATHIAPENTALENES - NOVEL BUILDING BLOCKS FOR EXTENDED TETRATHIAFULVALENES AND CONDUCTING POLYMERS. Harald Müller*[a], Fouad Salhi[a], and B. Divisia-Blohorn[b] [a]European Synchrotron,Radiation Facility, B.P. 220, F-38043 Grenoble, [b]CEA, DRFMC-SI3M/EM, 17 rue des martyrs, F-38054 Grenoble

Tetrathiapentalenes (1) can be dimerized to vinylogous TTF derivatives (2; x = 0) and conducting polymers (2; generalized formula; x = n).



Tetrahedron Letters, **1997**, *38*, 3219

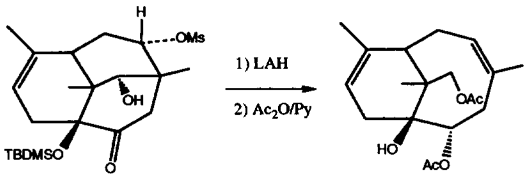
ONE POT SYNTHESIS OF UNSYMMETRICALLY FUNCTIONALIZED POLYAMINES BY A SOLID PHASE STRATEGY STARTING FROM THEIR SYMMETRICAL POLYAMINE-COUNTERPARTS. **Gerardo Byk*, Marc Frederic and Daniel Scherman,**
UMR-133 Rhône-Poulenc Rorer Gencell/CNRS 13, Quai Jules Guesde B.P. 14, 94403-Vitry sur Seine, France



Tetrahedron Letters, **1997**, *38*, 3223

AN ANGULAR HYDROXYLATION ROUTE TO TAXANES FACILE ACCESS TO THE BRIDGED AB RING SYSTEM OF TAXOL.

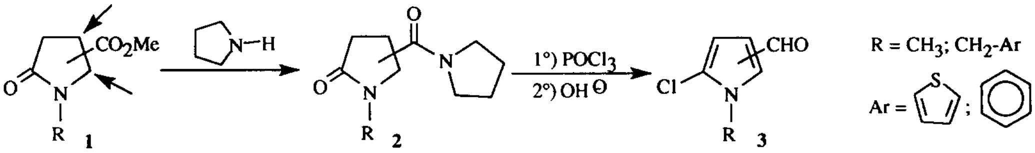
B.Kerkar, D. Do Khac*, M. Fetizon* and F.Guir. ICSN-CNRS, 91198 Gif sur Yvette cedex-France; CEB 91710 Vert-le-Petit cedex France.



Tetrahedron Letters, **1997**, *38*, 3227

SYNTHESIS OF 5-CHLOROPYRROLE CARBOXALDEHYDES FROM 5-OXOPYRROLIDINE CARBOXAMIDES. Pierre Netchitaïlo*, Mohamed Othman, Adam Daïch, Bernard Decroix. Laboratoire de Chimie, Faculté des Sciences et Techniques de l'Université du Havre, 30 rue Gabriel Péri, 76600 Le Havre, France.

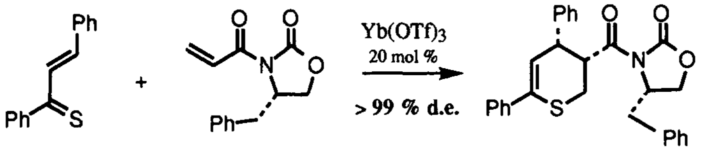
A short and facile access to N-alkyl-5-chloropyrrole-2(or 3)-carboxaldehydes 3 is described from corresponding methyl N-alkyl-5-oxo-pyrrolidine-2(or 3)-carboxylates 1 via bis-amides 2.



Tetrahedron Letters, **1997**, *38*, 3231

YTTERBIUM TRIFLATE-CATALYZED ASYMMETRIC HETERO DIELS-ALDER CYCLOADDITION OF A 1-THIABUTA-1,3-DIENE WITH A CHIRAL *N*-ACRYLOYLOXAZOLIDINONE DIENOPHILE. DIASTEREOFACE CONTROL BY SOLVENTS OR ACHIRAL ADDITIVES

Takao Saito, Mikako Kawamura, and Jun-ichi Nishimura. Department of Chemistry, Faculty of Science, Science University of Tokyo, Kagurazaka, Shinjuku-ku, Tokyo 162 Japan

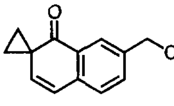


Tetrahedron Letters, **1997**, *38*, 3235

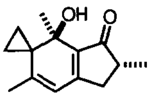
A NEW SYNTHETIC ANALOGUE OF THE BRACKEN ULTIMATE CARCINOGEN: ELEVATION OF STABILITY AND ALTERATION OF DNA ALKYLATION SITE SELECTIVITY

Hideo Kigoshi, Yuusi Kitamura, Tatsuya Fujita, Hidekazu Ohashi, Toshiyuki Atsumi, Junko Takagi, Tsuyoshi Mutou, Kiyoyuki Yamada,* Tetsuya Kusakabe,[†] Daisuke Sasaki,[†] and Yukio Sugiura[†]*
Department of Chemistry, Faculty of Science, Nagoya University, Chikusa, Nagoya 464, Japan
[†]Institute for Chemical Research, Kyoto University, Uji, Kyoto 611, Japan

The benzodienone as a stable analogue of the bracken ultimate carcinogen has been designed and synthesized. In the reaction with DNA the benzodienone was found to give only the N-7 alkylated product of guanine and no N-3 alkylated product of adenine and reveal guanine-selective cleavage in contrast to the bracken ultimate carcinogen.



benzodienone



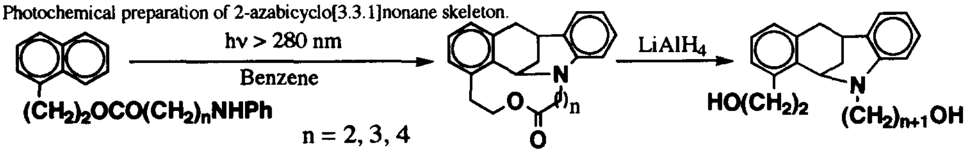
bracken ultimate carcinogen

Tetrahedron Letters, **1997**, *38*, 3239

SYNTHESIS OF TRICYCLIC LACTONES CONTAINING 2-AZABICYCLO[3.3.1]NONANE SKELETON VIA TANDEM INTRAMOLECULAR PHOTOCYCLIZATION OF 2-(1-NAPHTHYL)ETHYL ω-ANILINOALKANOATE

Akira Sugimoto, Chie Hayashi, Yoshikazu Omoto and Kazuhiko Mizuno, Department of Applied Chemistry, Osaka Prefecture University, Sakai, Osaka 593, Japan

Photochemical preparation of 2-azabicyclo[3.3.1]nonane skeleton.

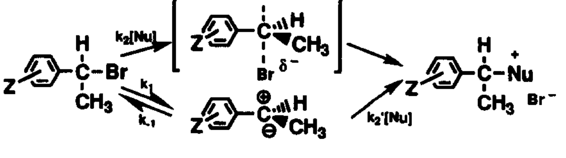


Tetrahedron Letters, **1997**, *38*, 3243

THE MENSCHUTKIN REACTION OF 1-ARYLETHYL BROMIDES WITH PYRIDINE: EVIDENCE FOR THE DUALITY OF CLEAN S_N1 AND S_N2 MECHANISMS

Chultack Lim, Sung-Hong Kim, Soo-Dong Yoh,! Mizue Fujio,* and Yuho Tsuno
Institute for Fundamental Research of Organic Chemistry, Kyushu University, Hakozaki, Fukuoka 812, Japan
!Department of Chemistry, Teacher's College, Kyungpook National University, Taegu 702, Korea

1-Arylethyl bromides react with pyridine in acetonitrile by unimolecular and bimolecular processes, affording clear evidence for the duality of clean S_N1 and S_N2 mechanisms

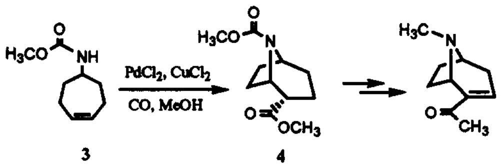


Tetrahedron Letters, **1997**, *38*, 3247

A Formal Total Synthesis of (±)-Ferruginine by Pd-catalyzed Intramolecular Aminocarbonylation.

Won-Hun Ham,* Young Hoon Jung, Kyunghae Lee, Chang-Young Oh, and Kee-Young Lee
College of Pharmacy, SungKyunKwan University, Suwon 440-746, Korea

A practical and efficient synthetic route to the neuroactive alkaloid ferruginine has been developed. 8-Azabicyclo[3.2.1]octane skeleton 4 was prepared in one step using intramolecular aminocarbonylation of 3 catalyzed by palladium.

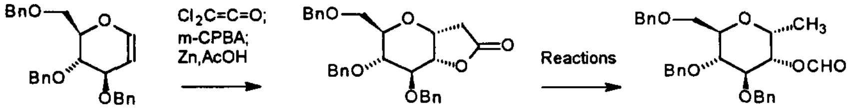


Tetrahedron Letters, **1997**, *38*, 3249

SYNTHESIS OF α-D-*C*-GLUCOSIDE EMPLOYING DICHLOROKETENE CYCLOADDITION AND BAEYER-VILLIGER OXIDATION.

Jonghoon Oh, Department of Chemistry, Chonnam National University, Kwangju, 500-757, S. KOREA

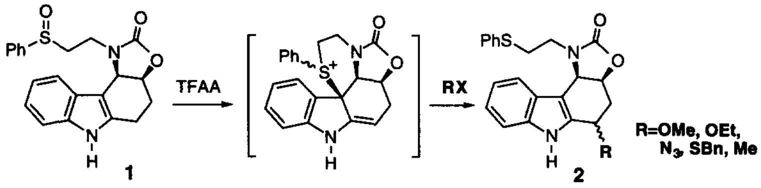
Dichloroketene cycloaddition to glucal followed by Baeyer-Villiger oxidation and dechlorination gave a bicyclic γ-lactone, an α-D-*C*-glucoside. The latter was further transformed to glucitol derivative under Suárez protocol.



Tetrahedron Letters, **1997**, *38*, 3251

UNUSUAL CYCLIZATION AND SN'-TYPE DISPLACEMENT OF CARBAZOLE SULFOXIDE UNDER PUMMERER REACTION CONDITIONS.

Tomomi Kawasaki, Hirohide Suzuki, Ikuhiro Sakata, Hiroyuki Nakanishi, and Masanori Sakamoto*, Meiji College of Pharmacy, 1-35-23 Nozawa, Setagaya-ku, Tokyo 154, Japan

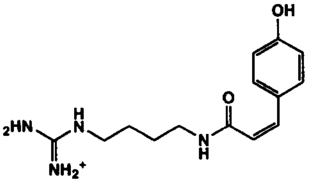


Tetrahedron Letters, **1997**, *38*, 3253

*cis–p–*COUMAROYLAGMATINE, THE GENUINE LEAF-OPENING SUBSTANCE OF A NYCTINASTIC PLANT, *ALBIZZIA JULIBRISSIN DURAZZ.*

Minoru Ueda, Chitose Tashiro, and Shosuke Yamamura*
Department of Chemistry, Faculty of Science and Technology, Keio University,
Hiyoshi, Yokohama 223, Japan.

*cis–p–*Coumaroylagmatine (1) was isolated from *Albizzia julibrissin* Durazz as a bioactive substance for nyctinasty. The compound was quite effective for leaf–opening of the plant at 1 × 10^{-5} M at night. Nyctinastic movement of *Albizzia julibrissin* Durazz is assumed to be controlled by the balance of concentration between 1 and an unknown leaf–closing substance.



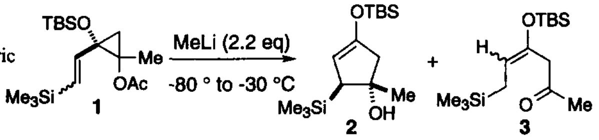
*cis–p–*coumaroylagmatine (1)

Tetrahedron Letters, **1997**, *38*, 3257

LOW-TEMPERATURE OXYANION-ACCELERATED VINYLCYCLOPROPANE-CYCLOPENTENE REARRANGEMENT. REACTION OF 2-(2-(TRIMETHYLSILYL)ETHENYL)CYCLOPROPYL ACETATES WITH METHYL LITHIUM

Kei Takeda,* Keiki Sakurama, and Eiichi Yoshii
Faculty of Pharmaceutical Sciences, Toyama Medical and Pharmaceutical University, 2630 Sugitani, Toyama 930-01, Japan

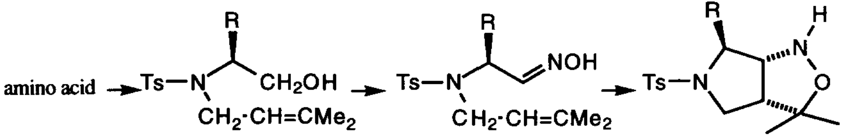
The first oxyanion-accelerated vinylcyclopropane-cyclopentene rearrangement which proceeds at temperatures below -30 °C is observed in the reactions of four diastereomeric vinylcyclopropylacetates **1** with MeLi, providing a single cyclopentenol **2** irrespective of the vinylsilane geometry, and a ring-opening product **3**.



Tetrahedron Letters, **1997**, *38*, 3261

NEW ISOXAZOLIDINE-BASED CHIRAL AUXILIARIES FOR ASYMMETRIC SYNTHESES

Atsushi Abiko,* Ji-Feng Liu, Guo-qiang Wang and Satoru Masamune†
Institute for Fundamental Research, Kao Corp., Ichikai-machi, Haga-gun, Tochigi, 321-34, Japan
†Dept. of Chem., MIT, Cambridge, MA, 02139, USA



60~80% overall yield

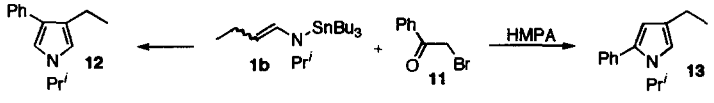
Excellent selectivities for asymmetric alkylation and boron-mediated aldol reactions.

Tetrahedron Letters, **1997**, *38*, 3265

ORGANOTIN(IV) ENAMINES AS SELECTIVE REAGENTS: COUPLING WITH α-HALOCARBONYLS FOR SYNTHESIS OF SUBSTITUTED PYRROLES

Makoto Yasuda, Junji Morimoto, Ikuya Shibata, and Akio Baba*
Department of Applied Chemistry, Faculty of Engineering, Osaka University, 2-1 Yamadaoka, Suita, Osaka 565, Japan

The reaction of tin enamine **1b** with 2-bromoacetophenone **11** gave 3,4-disubstituted pyrroles **12**, while the addition of HMPA changed the selectivity to afford the 2,4-isomer **13** predominantly.

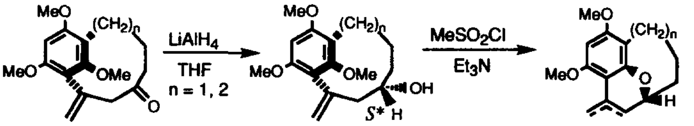


Tetrahedron Letters, **1997**, *38*, 3267

TRANSFORMATION OF [6] AND [7]METACYCLOPHANOLS INTO NEW STRAINED TRICYCLICETHERS VIA AN INTRAMOLECULAR VERSION OF THE S$_N$2 REACTION

Woo Song Lee and Yoshimitu Nagao, Faculty of Pharmaceutical Sciences, The University of Tokushima, Sho-machi, Tokushima 770, Japan

Reduction of [6] and [7]Metacyclophanones with $LiAlH_4$ gave the *S**-cyclophanols. These alcohols were rapidly converted to the corresponding tricyclic ethers upon treatment with $MeSO_2Cl$ in the presence of Et_3N in CH_2Cl_2.



Tetrahedron Letters, **1997**, *38*, 3271

REDUCTIVE COUPLING OF CARBONYL COMPOUNDS TO PINACOLS BY USING Sm-I_2-MeOH OR Sm-I_2-Ti(O^iPr)$_4$-MeOH SYSTEMS.

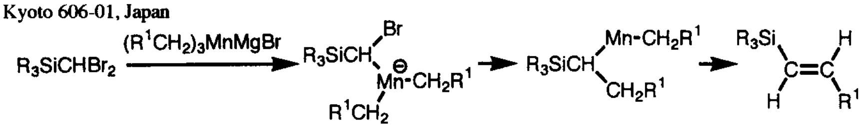
Reiko Yanada* and Nobuyuki Negoro, Faculty of Pharmaceutical Sciences, Kyoto University, Yoshida, Sakyo-ku, Kyoto 606-01, Japan
Kazuo Yanada and Tetsuro Fujita, Faculty of Pharmaceutical Sciences, Setsunan University, Nagaotoge-cho, Hirakata, Osaka 573-01, Japan

The coupling reaction of aromatic carbonyl compounds was performed with Sm-I_2 or Sm-I_2-Ti(O^iPr)$_4$ in methanol. *Meso* isomer was mainly produced in the presence of Ti(O^iPr)$_4$.

Tetrahedron Letters, **1997**, *38*, 3275

MANGANESE-CATALYZED REACTION OF *gem*-DIBROMOALKANES WITH GRIGNARD REAGENTS. SELECTIVE SYNTHESIS OF ALKENYLSILANES

H. Kakiya, R. Inoue, H. Shinokubo, and K. Oshima*
Department of Material Chemistry, Faculty of Engineering, Kyoto University, Yoshida, Sakyo-ku
Kyoto 606-01, Japan

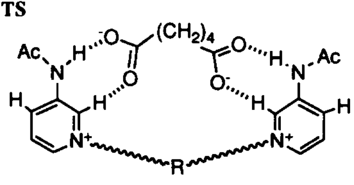


Tetrahedron Letters, **1997**, *38*, 3279

HIGHLY STRONG COMPLEXATION OF CARBOXYLATES WITH 1-ALKYLPYRIDINIUM RECEPTORS IN POLAR SOLVENTS

Kyu-Sung Jeong* and Young Lag Cho
Department of Chemistry, Yonsei University
Seoul 120-749, Korea

Mono- and bispyridinium salts strongly bind to carboxylates in highly polar media through multiple hydrogen bonds and additional electrostatic interactions.

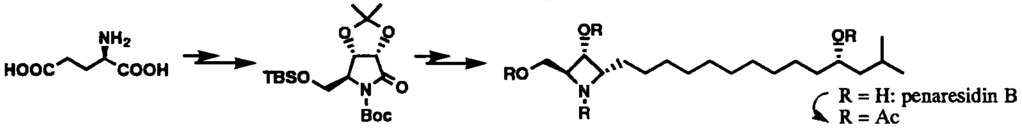


Tetrahedron Letters, **1997**, *38*, 3283

NOVEL ASYMMETRIC SYNTHESIS OF PENARESIDIN B AS A POTENT ACTOMYOSIN ATPASE ACTIVATOR

Hidemi Yoda,* Tetsuhiro Oguchi, and Kunihiko Takabe
Department of Molecular Science, Faculty of Engineering, Shizuoka University, Hamamatsu 432, Japan

An azetidine alkaloid, Penaresidin B, was synthesized from D-glutamic acid via the functionalized *N*-Boc lactam.



Tetrahedron Letters, **1997**, *38*, 3285

MONTMORILLONITE CLAY CATALYSIS V: AN EFFICIENT AND FACILE PROCEDURE FOR DEPROTECTION OF 1,1-DIACETATES.

Tong-Shuang Li,* Zhan-Hui Zhang and Cheng-Guang Fu, Department of Chemistry, Hebei University, Baoding 071002, P. R. China.

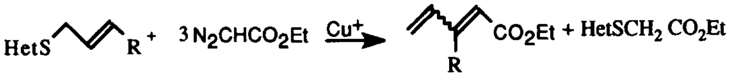
Efficient cleavage of 1,1-diacetates to aldehydes has been carried out under catalysis by montmorillonite.

$$RCH(OAc)_2 \xrightarrow[86\text{-}99\%]{K\ 10\ or\ KSF} RCHO + Ac_2O$$

Tetrahedron Letters, **1997**, *38*, 3289

REACTION OF HETEROCYCLIC ALLYL SULPHIDES WITH ETHYL DIAZOACETATE: A SIMPLE METHOD FOR THE SYNTHESIS OF CONJUGATED DIENOIC ESTERS.

Vincenzo Caló,* Angelo Nacci, Vito Fiandanese and Angela Volpe, CNR Centro di Studio sulle Metodologie Innovative di Sintesi Organiche, Dipartimento di Chimica, Università di Bari, via Orabona 4-70126 Bari, (Italy). FAX: 0039 80 2924. E-Mail: v.calo@area.ba.cnr.it

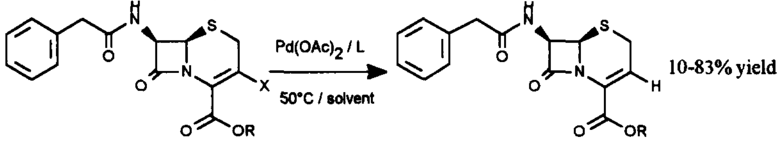


Reaction of heterocyclic allyl sulphides with ethyl diazoacetate allows an one-step synthesis of conjugated dienoates.

Tetrahedron Letters, **1997**, *38*, 3291

Palladium-Catalysed Reduction of 3-Substituted Cephems. A High Yield Approach to Ceftizoxime Synthetic Intermediate

Walter Cabri,[a] Maurizio Botta,[b] Federico Corelli[b] and Flavia Messina[b] a. Bristol-Myers Squibb, via Del Murillo, Sermoneta-14100 (LT) Italy. b. Universita' di Siena, via Banchi di Sotto,55 Siena-53100, Italy.



Tetrahedron Letters, **1997**, *38*, 3293

NEW SRATEGY FOR THE SYNTHESIS OF LARGE PEPTIDES AS APPLIED TO THE C-TERMINAL CYSTEINE-RICH 41 AMINO ACID FRAGMENT OF THE MOUSE AGOUTI PROTEIN.

József Bódi[†], Helga Süli-Vargha[†], Krisztina Ludányi[‡], Károly Vékey[‡] and György Orosz[†]*, [†]Research Group of Peptide Chemistry, Hungarian Academy of Sciences and [‡]Central Research Institute for Chemistry PO Box 32, Budapest 112, Hungary, H-1518

The Boc-peptide fragments were synthesized on 2-chlorotrityl resin by Fmoc technique, cleaved and condensed in solution.

$$\text{H-Asn-Cys-OBzl} \xrightarrow[\text{2. TFA, HCl/dioxane}]{\text{1. Boc}\boxed{\text{Fragment1}}\text{-OH, EDC}} \cdots \xrightarrow[\text{2. TFA, HCl/dioxane}]{\text{1. Boc}\boxed{\text{Fragment 4}}\text{-OH, EDC}} \xrightarrow[\text{3. GSH-GSSG, pH 8.5}]{\text{1. HF 2. Hg(OAc)}_2} \text{Agouti(91-131)}$$

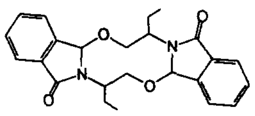
Tetrahedron Letters, **1997**, *38*, 3297

FORMATION OF A NOVEL RING SYSTEM : AN UNEXPECTED INTERMOLECULAR CYCLIZATION.

G. Biju Kumar[a], Amrish C. Shah*[a] and Tullio Pilati[b]

a Department of Chemistry, Faculty of Science, M.S. University of Baroda, Baroda, Gujarat. INDIA 390002.

b. Centro per lo Studio delle Relazioni tra Struttura e Reattivita Chimica, c/o Dipartimento di Chimica Fisica ed Elettrochimica dell Universita degli Studi di Milano. Via C. Golgi, 19 I-20133, ITALY.



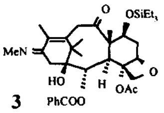
A novel chiral ten membered heterocyclic ring is synthesised in two steps from phthalimide derivatives of *(R)* and *(S)* 2-amino-1-butanol.

Tetrahedron Letters, **1997**, *38*, 3301

NEW 13-aza BACCATINS.

Maria Menichincheri, Emanuele Arlandini, Walter Ceccarelli, Maristella Colombo, Luigi Franzoi, Domenico Fusar-Bassini, Nicola Mongelli, Vittorio Pinciroli and Ermes Vanotti.
Pharmacia & Upjohn, R&D, Nerviano (MI), Italy.

Upon treatment of 7-triethylsilyl-10,13-dideoxy-13-imino baccatin III respectively with diazomethane and m-chloroperbenzoic acid methylimine **3** and oximes **4** and **5** were obtained. Interestingly, **4** is characterized by the hydroxyl at position 14.



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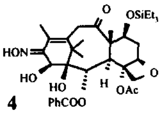

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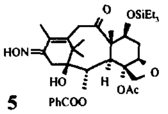

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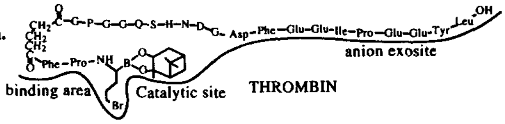
Tetrahedron Letters, **1997**, *38*, 3305

DESIGN OF A NOVEL CLASS OF BIFUNCTIONAL THROMBIN INHIBITORS, SYNTHESISED BY THE FIRST APPLICATION OF PEPTIDE BORONATES IN SOLID PHASE CHEMISTRY

Said Elgendy*, Geeta Patel, Donovan St. Green,
Christopher A. Goodwin, Michael F. Scully, Wahid Husman,
Emmanuel Skordalakes, Vijay V. Kakkar and John J. Deadman.
Thrombosis Research Institute, Emmanuel Kaye Building,
Manresa Road, Chelsea, London SW3 6LR, UK.



Borologs containing peptide boronates are new bifunctional biologically active molecules which bind to and inhibit thrombin. These compounds are designed based on the C-terminal sequence of hirudin. The inhibitors enhanced binding up to 10 fold greater than the corresponding native peptide Z-D-PheProBoroBpgOPin

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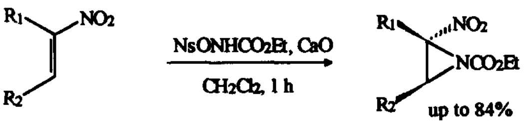
Tetrahedron Letters, **1997**, *38*, 3309

AZIRIDINATION OF CONJUGATED NITROALKENES

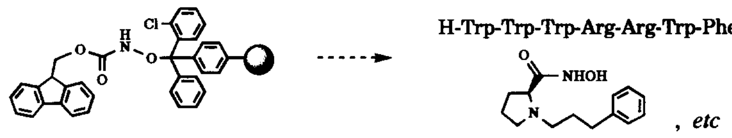
Stefania Fioravanti, Lucio Pellacani, Sara Stabile, Paolo A. Tardella
Dipartimento di Chimica, Università "La Sapienza", P.le Aldo Moro 2, I-00185 Roma, Italy
Roberto Ballini
Dipartimento di Scienze Chimiche dell'Università, Via S. Agostino 1, I-62032 Camerino, Italy



Tetrahedron Letters, **1997**, *38*, 3311

N-FMOC-AMINOOXY-2-CHLOROTRITYL POLYSTYRENE RESIN: A FACILE SOLID-PHASE METHODOLOGY FOR THE SYNTHESIS OF HYDROXAMIC ACIDS

Sarah L. Mellor, Carolann McGuire and Weng C. Chan*
Department of Pharmaceutical Sciences, University of Nottingham, University Park, Nottingham, NG7 2RD, UK.



Tetrahedron Letters, **1997**, *38*, 3315

OXIDATION OF ALLYLSELENIDES WITH THE SHARPLESS AD-REAGENTS

A. Krief,* C. Colaux, W. Dumont
Department of Chemistry, Facultés Universitaires Notre-Dame de la Paix, 61 rue de Bruxelles B-5000, NAMUR (Belgium).

Allylselenides are efficiently oxidized by AD-mix. Oxidation takes chemoselectively place on the selenium atom of allylselenides bearing a methylseleno or a phenylseleno moiety, but chemoselectively occurs on the C,C double bond of the corresponding *o*-nitrophenyl derivatives.