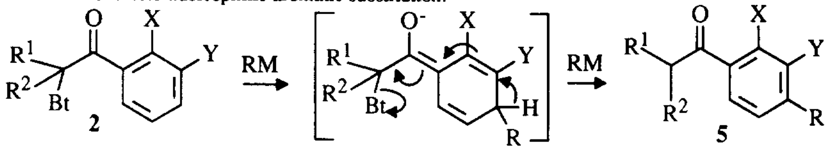
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1997**, *38*, 903

Novel *Tele* Nucleophilic Aromatic Substitutions in α-(Benzotriazol-1-yl)alkyl Aryl Ketones.

Alan R. Katritzky*, Hong Wu and Linghong Xie,
Center for Heterocyclic Compounds, Department of Chemistry, University of Florida, Gainesville, FL 32611-7200, USA

Reactions of α-(benzotriazol-1-yl)alkyl aryl ketones 2 with alkyllithiums or Grignard reagents afforded *para*-alkylated products 5 *via* a novel *tele* nucleophilic aromatic substitution.

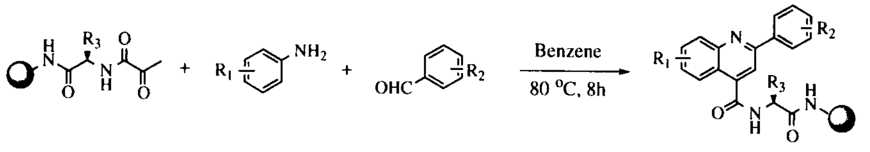


Tetrahedron Letters, **1997**, *38*, 907

COMBINATORIAL SYNTHESIS OF HETEROCYCLES: SOLID PHASE SYNTHESIS OF 2-ARYLQUINOLINE-4-CARBOXYLIC ACID DERIVATIVES

Ariamala Gopalsamy* and Peter V. Pallai
Department of Rational Drug Design, Procept, Inc., 840 Memorial Drive, Cambridge, MA 02139

A solid phase multi-component condensation approach for the synthesis of 2-arylquinoline-4-carboxylic acid derivatives is disclosed.

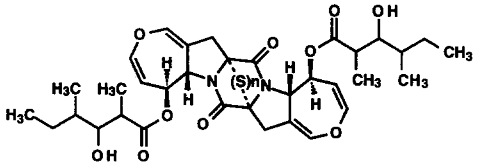


Tetrahedron Letters, **1997**, *38*, 911

Novel Thiodiketopiperazine Fungal Metabolites As Epidermal Growth Factor Receptor Antagonists.

Vinod R. Hegde,* Ping Dai, Mahesh Patel, Pradip R. Das, and Mohindar S. Puar, Schering Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ 07033, USA

Three novel esters of an eight carbon aliphatic acid, 2,4-dimethyl-3- hydroxyhexanoic acid and a thiodiketopiperazine, aranotin, were isolated as epidermal growth factor (EGF) receptor antagonists from a fungal fermentation extract.



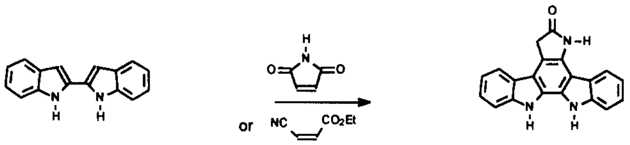
1. n = 2
2. n = 3
3. n = 4

Tetrahedron Letters, **1997**, *38*, 915

SYNTHESIS OF THE STAUROSPORINE AGLYCONE (K-252c) LACTAM REGIOISOMER.

Robert L. Hudkins* and James L. Diebold, Dept. of Chemistry, Cephalon, Inc., 145 Brandywine Pkwy., West Chester, PA 19380 USA

The staurosporine aglycone lactam regioisomer was prepared from 2,2'-biindole and maleimide or ethyl *cis*-β-cyanoacrylate by a tandem Michael-acid catalyzed condensation sequence.

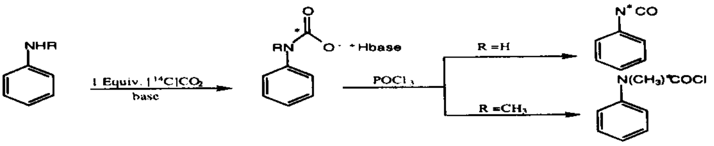


Tetrahedron Letters, **1997**, *38*, 919

Efficient Utilization of [^{14}C]Carbon Dioxide as a Phosgene Equivalent for Labeled Synthesis

Dennis C. Dean*, Michael A.Wallace, Tina M. Marks and David G. Melillo
Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065

Utilization of stoichiometric amounts of [^{14}C]CO_2 in the McGhee protocol represents a useful alternative to [^{14}C]phosgene for the preparation of most isocyantes and carbamyl chlorides.

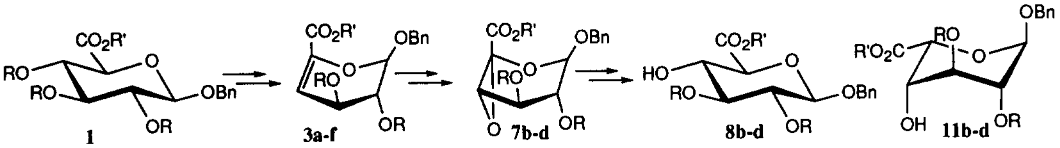


* denotes carbon-14 label

Tetrahedron Letters, **1997**, *38*, 923

REGIO AND STEREOSELECTIVE CONVERSION OF Δ^4-URONIC ACIDS TO L-IDO AND D-GLUCOPYRANOSIDURONIC ACIDS.

Hélène G. Bazin, Robert J. Kerns and Robert J. Linhardt*, Division of Medicinal and Natural Products Chemistry and Department of Chemical and Biochemical Engineering, The University of Iowa, Phar-S328, Iowa City, IA 52242, USA

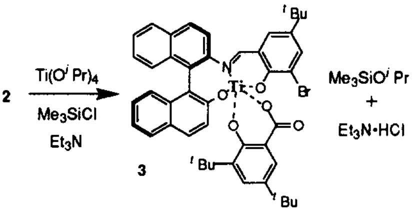


Tetrahedron Letters, **1997**, *38*, 927

An *In Situ* Procedure for Catalytic, Enantioselective Acetate Aldol Addition. Application to the Synthesis of (*R*)-(–)-Epinephrine.

Robert A. Singer and Erick M. Carreira*
Arnold and Mabel Beckman Laboratory of Chemical Synthesis
Division of Chemistry and Chemical Engineering
California Institute of Technology, Pasadena, California 91125

We report an *in situ* preparation of catalyst 3 which substantially simplifies the experimental procedure for the enantioselective, catalytic acetate aldol addition and maintains the salient features of the catalytic process : high yields and % ee's, low catalyst loads, and convenient reaction times and temperatures. This new procedure is utilized in an efficient synthesis of (*R*)-(–)-epinephrine from commercially available reagents in an overall yield of 45%.

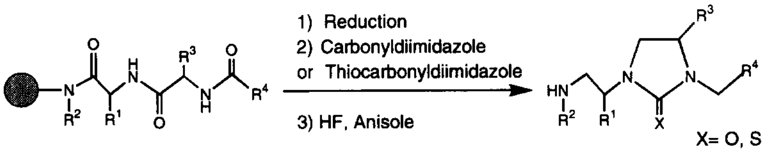


Tetrahedron Letters, **1997**, *38*, 931

SOLID PHASE SYNTHESIS OF HETEROCYCLIC COMPOUNDS FROM LINEAR PEPTIDES: CYCLIC UREAS AND THIOUREAS.

Adel Nefzi, John M. Ostresh, Jean-Philippe Meyer and Richard. A Houghten*, Torrey Pines Institute for Molecular Studies
3550 General Atomics Ct., San Diego, CA 92121 USA

The design and synthesis on solid phase of cyclic ureas and thioureas derived from modified dipeptide templates.

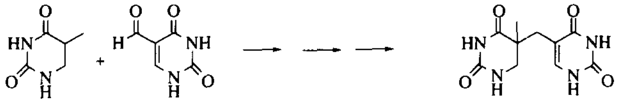


Tetrahedron Letters, **1997**, *38*, 935

SYNTHESIS OF THE SPORE PHOTOPRODUCT

Robb Nicewonger and Tadhg P. Begley*
Department of Chemistry, Baker Laboratory, Cornell University, Ithaca, NY 14853

The spore photoproduct 1 was synthesized in seven steps from dihydrothymine and 5-formyl uracil using a mixed Aldol coupling as the key bond forming step.



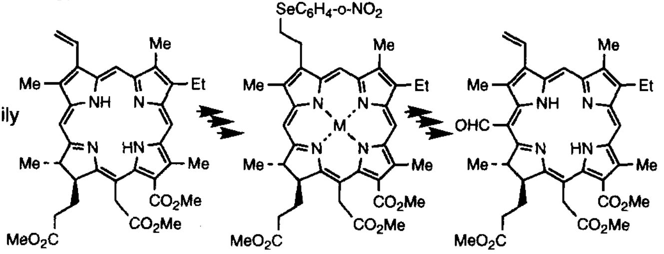
1

Tetrahedron Letters, **1997**, *38*, 937

VINYL GROUP PROTECTION IN PORPHYRINS AND CHLORINS: ORGANOSELENIUM DERIVATIVES.

S. E. Brantley, B. Gerlach, M. M. Olmstead and K. M. Smith,*
Department of Chemistry, University of California, Davis, CA 95616.

The o-nitrophenylselenoethyl group can be readily prepared from vinyl groups in porphyrins and chlorins; it can be used as an effective vinyl-protected function which survives important reactions (e.g. Vilsmeier formylation) in porphyrin and chlorin systems.

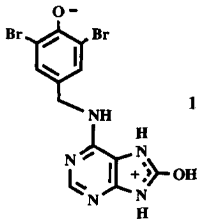


Tetrahedron Letters, **1997**, *38*, 941

APLIDIAMINE, A UNIQUE ZWITTERIONIC BENZYL HYDROXYADENINE FROM THE WESTERN AUSTRALIAN MARINE ASCIDIAN *APLIDIOPSIS* sp.

Heonjoong Kang and William Fenical*
Scripps Institution of Oceanography, University of California, San Diego, La Jolla, CA 92093-0236 USA

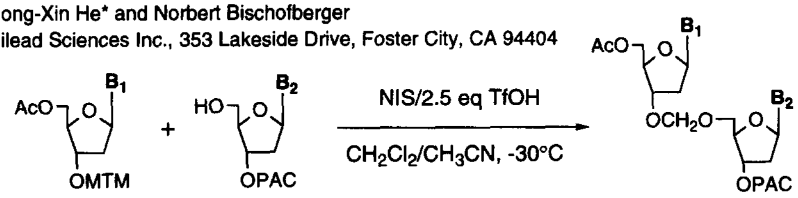
The structure of a novel zwitterionic natural product, aplidiamine (1) has been determined by combined spectral and chemical methods. The new compound was isolated from the marine ascidian *Aplidiopsis* sp., collected in Western Australia.



Tetrahedron Letters, **1997**, *38*, 945

Preparation of Formacetal-Linked Purine-Purine Dinucleotide Analogs

Gong-Xin He* and Norbert Bischofberger
Gilead Sciences Inc., 353 Lakeside Drive, Foster City, CA 94404



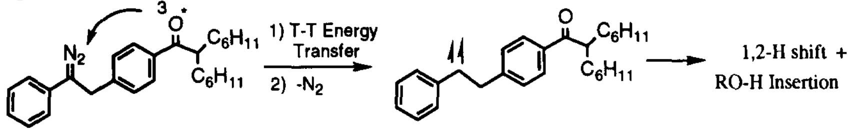
B_1 = G^{iBu}, B_2 = G^{iBu}: 60%
B_1 = G^{iBu}, B_2 = A^{Bz}: 50%
B_1 = A^{Bz}, B_2 = G^{iBu}: 57%
B_1 = A^{Bz}, B_2 = A^{Bz}: 40%
B_1 = G^{iBu}, B_2 = T: 65%

Tetrahedron Letters, **1997**, *38*, 949

ARYLALKYLCARBENES FROM TRIPLET ARYLALKY-DIAZOALKANES.

Krista R. Motschiedler,[1] John P. Toscano[2] and Miguel A. Garcia-Garibay,[1]*
Departments of Chemistry, [1]University of California, Los Angeles CA 90095 and
[2]Johns Hopkins University, Baltimore MD 21218

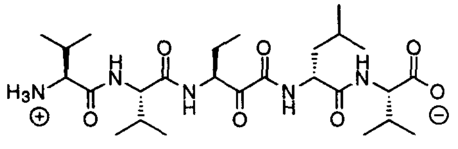
Triplet carbenes generated by inter- and intra-molecular triplet state sensitization of their diazo precursors undergo concurrent 1,2-H-shifts and alcohol insertion reactions.



Tetrahedron Letters, **1997**, *38*, 953

A CONVERGENT SYNTHESIS OF POSTSTATIN: APPLICATION OF THE ACYL CYANOPHOSPHORANE COUPLING PROCEDURE IN THE FORMATION OF A PEPTIDIC 2-KETO AMIDE.

Harry H. Wasserman* and Anders K. Petersen, Department of Chemistry, Yale University, New Haven, CT 06520-8107, U.S.A.

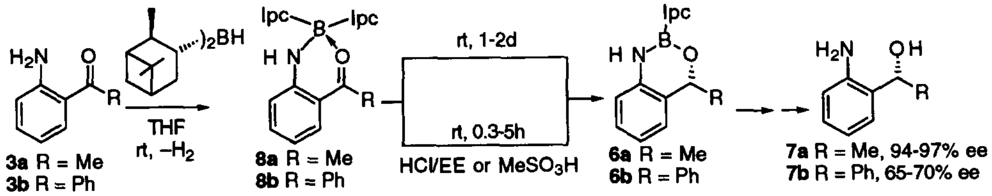


Poststatin: H-Val-Val-Pos-D-Leu-Val-OH

Tetrahedron Letters, **1997**, *38*, 957

Rate Enhancing Effect of Hydrogen Chloride and Methanesulfonic Acid on the Intramolecular Asymmetric Reduction of *o*-Aminoaceto- and -benzophenones with Diisopinocampheylborane.

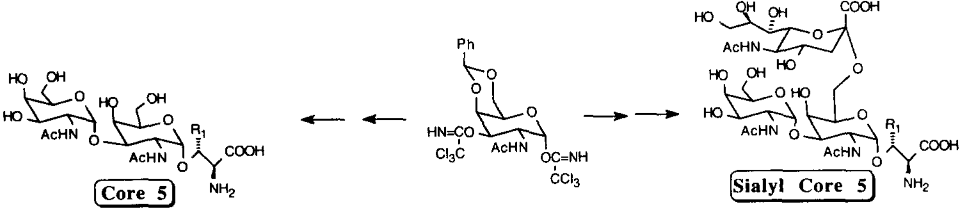
P. V. Ramachandran, S. V. Malhotra, and Herbert C. Brown*
H. C. Brown and R. B. Wetherill Laboratories of Chemistry, Purdue University, West Lafayette, IN 47907-1393



Tetrahedron Letters, **1997**, *38*, 961

A Novel Glycosyl Donor for the Synthesis of Cancer specific Core 5 and Sialyl Core 5 as Glycopeptide Building Blocks

Dongxu Qiu and R. Rao Koganty, Biomira Inc. 2011-94 Street, Edmonton, Alberta, Canada T6N 1H1

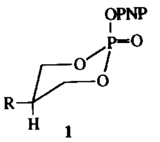


Tetrahedron Letters, **1997**, *38*, 965

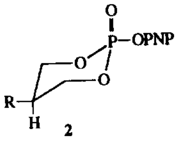
KINETICS OF THE MICELLAR NUCLEOPHILIC CLEAVAGE OF DIASTEREOMERIC PHOSPHOTRIESTERS.

Robert A. Moss and Susmita Bose, Department of Chemistry, Rutgers University, New Brunswick, New Jersey 08903 USA

Diastereomeric phosphotriesters 1 and 2 are rapidly cleaved by micellar iodosobenzoate, iodosonaphthoate, and hydroperoxide; diastereoselectivity is modest.



1



2

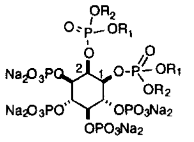
(R = PhMe$_2$C; PNP = *p*-nitrophenyl)

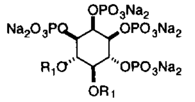
Tetrahedron Letters, **1997**, *38*, 969

Regioselective Synthesis of Photolabile P(1,2)- and P(4,5)-(*o*-Nitrobenzyl) Ester Derivatives of *myo*-Inositol 1,2,3,4,5,6-Hexakisphosphate.

Jian Chen and Glenn D. Prestwich*, Department of Chemistry, University at Stony Brook, Stony Brook, New York 11794-3400

Photoremovable esters masking either the P(1,2)- or P(4,5)-bisphosphates of InsP$_6$ were synthesized in a stereochemically- and regiochemically-controlled fashion using allyl groups as the phosphate protecting group.





6a, R$_1$ = H, R$_2$ = *o*-NO$_2$Bn
6b, R$_1$ = R$_2$= *o*-NO$_2$Bn

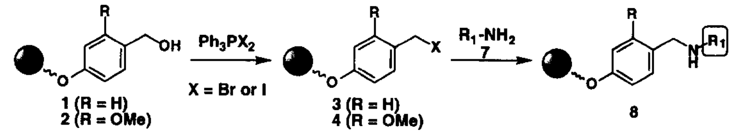
14a, R$_1$ =P(O)(ONa)[O(*o*-NO$_2$)Bn]
14b, R$_1$ = P(O)[O(*o*-NO$_2$)Bn]$_2$

Tetrahedron Letters, **1997**, *38*, 973

Preparation of Acid-labile Resins with Halide Linkers and their Utility in Solid Phase Organic Synthesis

Khehyong Ngu and Dinesh V. Patel*
Versicor Inc, 270 East Grand Avenue, South San Francisco, CA 94080, USA.

Mild and efficient preparation of acid-labile resins with displaceable halide linkers (3, 4, X = Br and I) is described. Their synthetic utility is exemplified by high yielding N-alkylations with structurally and electronically diverse sets of aliphatic and aromatic amines.

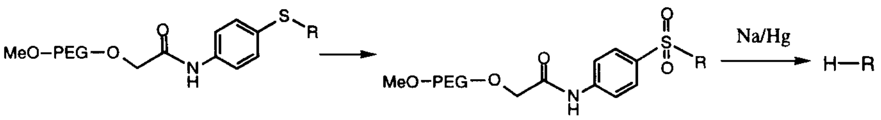


Tetrahedron Letters, **1997**, *38*, 977

Soluble Polymer Synthesis: An Improved Traceless Linker Methodology for Aliphatic C-H Bond Formation

Xu-yang Zhao, Kyung Woon Jung and Kim D. Janda*
Department of Chemistry, The Scripps Research Institute and The Skaggs Institute for Chemical Biology, 10550 N. Torrey Pines Road, La Jolla, CA 92037, USA

A traceless linker was developed that allows the attachment of molecules possessing an alkyl halide functionality and later cleavage of the molecules via a two-step oxidation-reduction sequence.

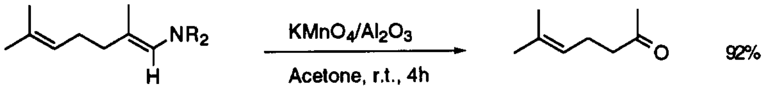


Tetrahedron Letters, **1997**, *38*, 981

Enamine Oxidations. 2. Selective Oxidative Cleavage of β,β - Disubstituted Enamines Using Alumina Supported Permanganate. Synthesis of One-Carbon Dehomologated Carbonyl Compounds from Enamines

Clifford E. Harris, William Chrisman, Sally A. Bickford, Lawrence Y. Lee, Antonia E. Torreblanca, and Bakthan Singaram*

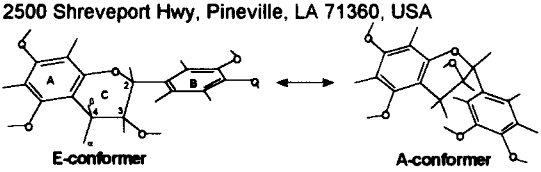
Treatment of β,β - disubstituted enamines with alumina supported potassium permanganate leads to a mild and selective oxidative cleavage reaction.



Tetrahedron Letters, **1997**, *38*, 985

TEMPERATURE DEPENDENCE OF (+)-CATECHIN PYRAN RING PROTON COUPLING CONSTANTS AS MEASURED BY NMR AND MODELED USING GMMX SEARCH METHODOLOGY.

FRED L. TOBIASON[a]*, STEPHEN S. KELLEY[b], M. MARK MIDLAND[c], and RICHARD W. HEMINGWAY[d], [a]Department of Chemistry, Pacific Lutheran University, Tacoma, WA 98447, USA [b]National Renewable Energy Laboratory, 1617 Cole Boulevard, Golden, CO 80401, USA [c]Department of Chemistry, University of California at Riverside, Riverside, CA 92521, USA [d]Southern Research Station, USDA Forest Service, 2500 Shreveport Hwy, Pineville, LA 71360, USA



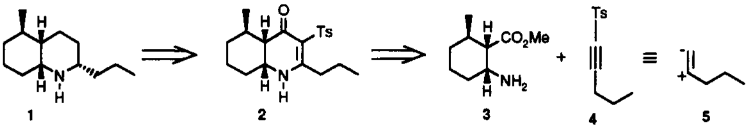
A GMMX conformational search routine has been modified to give the Boltzmann averaged temperature dependent values for the heterocyclic ring NMR proton coupling constants in (+)-catechin.

Tetrahedron Letters, **1997**, *38*, 989

USE OF AN ACETYLENIC SULFONE AS AN ALKENE DIPOLE EQUIVALENT IN THE SYNTHESIS OF (±)PUMILIOTOXIN C

Thomas G. Back* and Katsumasa Nakajima, Department of Chemistry, University of Calgary, Calgary, Alberta, Canada, T2N 1N4

(±)Pumiliotoxin C (1) was obtained via the cycloaddition of amino ester 3 with acetylenic sulfone 4.

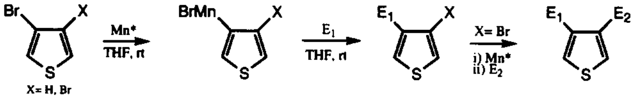


Tetrahedron Letters, **1997**, *38*, 993

Preparation and Coupling Reaction of Thienylmanganese Bromides

Seung-Hoi Kim, and Reuben D. Rieke
Department of chemistry, University of Nebraska-Lincoln, Lincoln, NE 68588-0304 USA

Substituted thiophene derivatives were synthesized by the direct oxidative addition of Rieke manganese to Bromothiophenes.

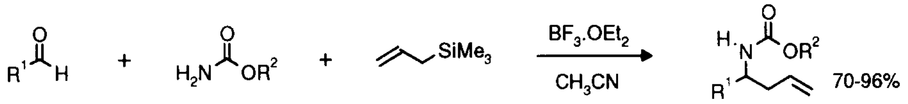


Tetrahedron Letters, **1997**, *38*, 997

ONE-POT SYNTHESIS OF PROTECTED HOMOALLYL AMINES.

Siem J. Veenstra* and Priska Schmid
Research Department, Pharmaceuticals Division, CIBA-GEIGY AG, CH-4002 Basel, Switzerland.

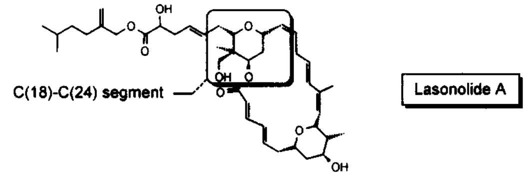
One-pot synthesis of protected homoallyl amines from aldehydes, carbamates and allyltrimethylsilane under influence of $BF_3.OEt_2$



Tetrahedron Letters, **1997**, *38*, 1001

ASYMMETRIC SYNTHESIS OF THE C(18)-C(24) UNIT OF LASONOLIDE A

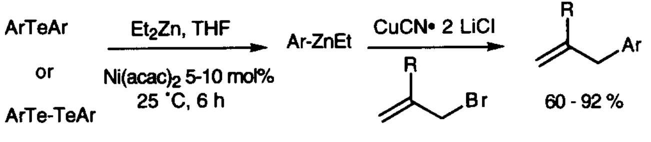
Marc Nowakowski* and H. M. R. Hoffmann*
Department of Organic Chemistry, University of Hannover, Schneiderberg 1 B, 30167 Hannover, Germany



Tetrahedron Letters, **1997**, *38*, 1005

Nickel Catalyzed Tellurium-Zinc Exchange Reactions. A New Preparation of Arylzinc Reagents.

Thomas Stüdemann,[a] Vijay Gupta,[b] Lars Engman[b,*] and Paul Knochel[a,*]
[a]Fachbereich Chemie der Philipps-Universität Marburg, 35032 Marburg, Germany
[b]Uppsala University, Department of Organic Chemistry, Box-531, 75121 Uppsala, Sweden

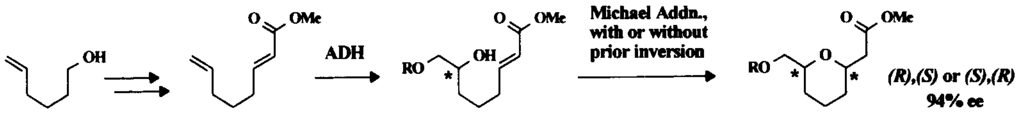


Tetrahedron Letters, **1997**, *38*, 1009

A SIMPLE ASYMMETRIC SYNTHESIS OF CIS-2,6-DISUBSTITUTED TETRAHYDROPYRAN ACETIC ACID DERIVATIVES

A.J.F. Edmunds* and W. Trueb, Sandoz Agro AG, CH-4002 Basel, Switzerland

Asymmetric synthesis of functionalised cis-2,6-disubstituted tetrahydropyran acetic acid methyl esters is described which utilises Sharpless asymmetric dihydroxylation (ADH), intramolecular Michael addition, and Mitsunobu inversion as key steps.

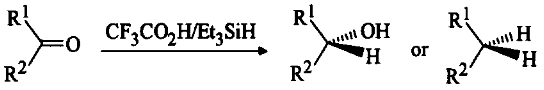


Tetrahedron Letters, **1997**, *38*, 1013

SELECTIVITIES IN IONIC REDUCTIONS OF ALCOHOLS AND KETONES WITH TRIETHYLSILANE / TRIFLUOROACETIC ACID.

Herbert Mayr*[a] and Barbara Dogan,[b]
Institut für Organische Chemie der Ludwig-Maximilians-Universität München, Karlstraße 23, D-80333 München, Germany, Fax: 49-89-5902 254;[a] Institut für Organische Chemie der Technischen Hochschule Darmstadt, Petersenstraße 22, D-64287 Darmstadt, Germany, Fax: 49-6151-16 5991.[b]

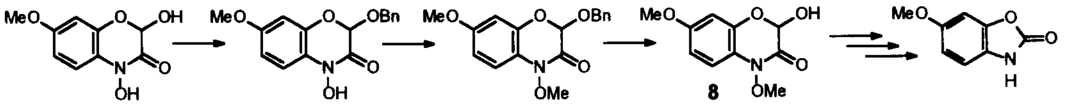
REDUCTION TO HYDROXY OR METHYLENE GROUP?



Tetrahedron Letters, **1997**, *38*, 1017

Syntheses for 2-Hydroxy-4,7-dimethoxy-2*H*-1,4-benzoxazin-3(4*H*)-one: A Precursor of a Bioactive Electrophile from *Gramineae*

Carlos A. Escobar, Michael Kluge, and Dieter Sicker,* Institut für Organische Chemie, Universität Leipzig, Talstr. 35, 04103 Leipzig, Germany



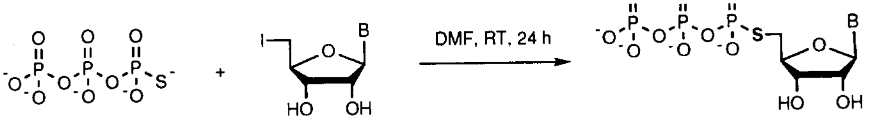
The title aglycone 8 was synthesized following two independent pathways. Its behaviour supports the assumption that 8, when naturally released from its acetal glucoside is a precursor for a bioactive multi-centered electrophile.

Tetrahedron Letters, **1997**, *38*, 1021

5′-Deoxy-5′-thioribonucleoside-5′-triphosphates

Bhisma Kumar Patel and Fritz Eckstein*, Max-Planck-Institut für experimentelle Medizin, Hermann-Rein-Str.3, D-37075 Göttingen, Germany.

Reaction of P^1-(S)-thiotriphosphate with 5′-iodo-5′-deoxynucleoside leads to 5′-deoxy-5′-thioribonucleoside-5′-triphosphates. Their incorporation into RNA to produce a 5′-S-bridging phosphorothioate internucleotide linkage, using T7 RNA polymerase, was investigated.

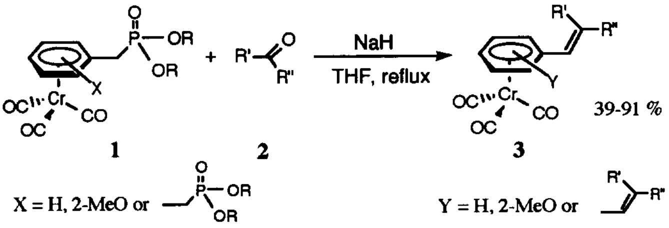


Tetrahedron Letters, **1997**, *38*, 1025

Cr(CO)3-Complexed Benzylphosphonates - A Horner-Emmons-Wadsworth Approach To Alkenyl Substituted Tricarbonylchromium Arene Complexes

Thomas J. J. Müller
Institut für Organische Chemie,
Technische Hochschule Darmstadt,
Petersenstr. 22, D-64287 Darmstadt, Germany

The anions of the η^6-benzylphosphonate complexes 1 react as novel organometallic Horner-Emmons-Wadsworth reagents with carbonyl compounds 2 to give arene$Cr(CO)_3$ *trans*-substituted alkenes 3 in moderate to good yields.

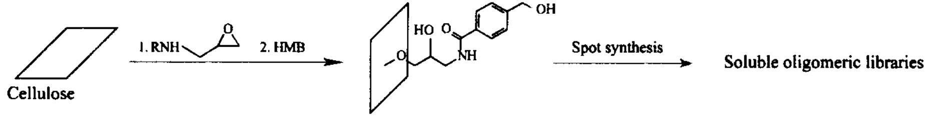


Tetrahedron Letters, **1997**, *38*, 1029

Stable Attachment of the HMB-Linker to Continuous Cellulose Membranes for Parallel Solid Phase Spot Synthesis.

Rudolf Volkmer-Engert, Berit Hoffmann and Jens Schneider-Mergener, Institut für Medizinische Immunologie, Universitätsklinikum Charité, Humboldt-Universität zu Berlin, Schumannstr. 20-21, D-10098 Berlin, Germany

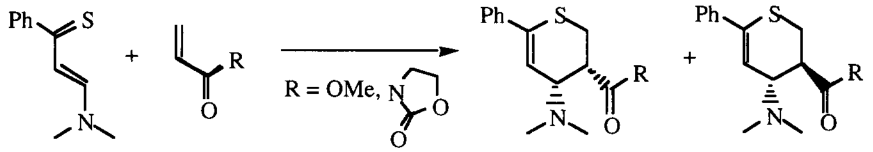
After attachment of 1,2-epoxy-propylamine to the support, the HMB linker was coupled to this construct resulting in a suitable orthogonal linkage for solid phase spot synthesis.



Tetrahedron Letters, **1997**, *38*, 1033

Synthesis of (+, -) 3,4-Disubstituted 3,4-Dihydro-2*H*-thiopyrans via a Diastereoselective Hetero Diels-Alder Reaction

A. Marchand, J. P. Pradère, A. Guingant
Laboratoire de Synthèse Organique associé au C.N.R.S , Faculté des Sciences et des Techniques,
2, rue de la Houssinière, 44072 Nantes Cedex 03 (France)

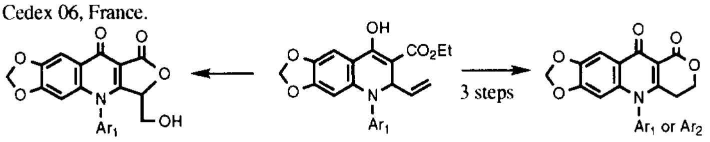


Endo or exo adducts were selectively formed depending on the reaction conditions used.

Tetrahedron Letters, **1997**, *38*, 1037

SYNTHESIS OF γ-AND δ-LACTONES DERIVED FROM 4-QUINOLONE-3-CARBOXYLIC ACID.

Claire Clémencin-Le Guillou, Sylviane Giorgi-Renault, Jean-Charles Quirion and Henri-Philippe Husson. Laboratoire de Chimie Thérapeutique associé au CNRS, Faculté des Sciences Pharmaceutiques et Biologiques, Université René Descartes, 4, Avenue de l'Observatoire, 75270 Paris Cedex 06, France.



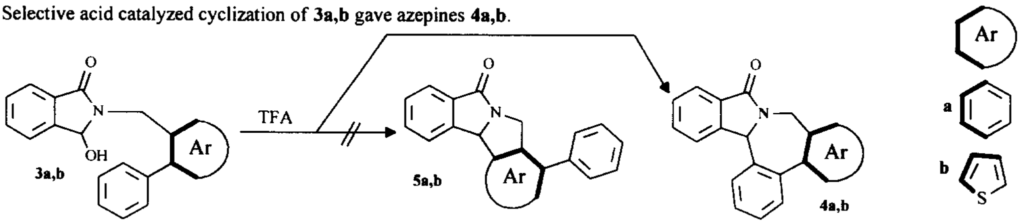
Ar_1 =3,4,5-trimethoxyphenyl
Ar_2 = 4-hydroxy-3,5-dimethoxyphenyl

Tetrahedron Letters, **1997**, *38*, 1041

SYNTHESIS OF DIBENZ[*c,e*]AZEPINE AND BENZO[*e*]THIENO[*c*] AZEPINE *VIA* *N*-ACYLIMINIUM ION CYCLIZATION.

Pascal Pigeon and Bernard Decroix, Laboratoire de Chimie, Université du Havre, 30 rue Gabriel-Péri, 76600 Le Havre, France.

Selective acid catalyzed cyclization of **3a,b** gave azepines **4a,b**.

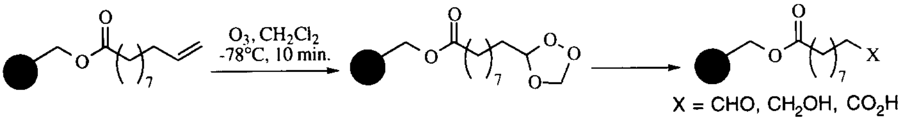


Tetrahedron Letters, **1997**, *38*, 1043

OZONE: A VERSATILE REAGENT FOR SOLID PHASE SYNTHESIS

C. Sylvain, A. Wagner, C. Mioskowski
Laboratoire de Synthèse Bioorganique, Université Louis Pasteur de Strasbourg,
Unité associée au CNRS, Faculté de pharmacie, 74 route du Rhin - BP 24 - 67401 Illkirch, France.

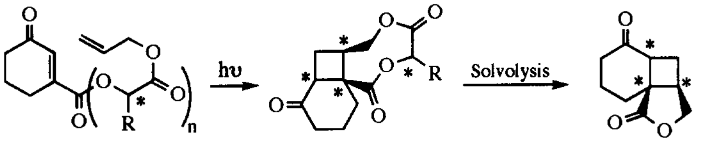
Ozone is a versatile reagent well adapted to solid phase synthesis. Terminal double bonds can be efficiently converted into alcohols, aldehydes or carboxylic acids depending on the reaction conditions.



Tetrahedron Letters, **1997**, *38*, 1045

HYDROXYACIDS AS EFFICIENT CHIRAL SPACERS FOR ASYMMETRIC INTRAMOLECULAR [2+2] PHOTOCYCLOADDITIONS

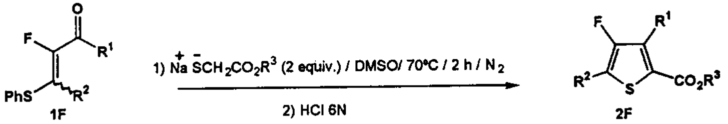
Sophie Faure, Sylvie Piva-Le Blanc, Olivier Piva* and Jean-Pierre Pete*
Laboratoire des Réarrangements Thermiques et Photochimiques Associé au CNRS
Université de Reims-Champagne-Ardenne - BP 1039 - F-51687 Reims cedex 2 - France



Tetrahedron Letters, **1997**, *38*, 1049

Reaction of Thioglycolate with α-Fluoro-β-(Phenylthio)enones (or -enals): Synthesis of Substituted α-Carboxy-γ-Fluorothiophenes

D.F. Andrès#, E. G. Laurent* and B. S. Marquet*, Univeresité Claude Bernard, Lab. de Chimie Organique 3, associé au CNRS, 43 Bd du 11 Novembre 1918, 69622 VILLEURBANNE Cedex (France)



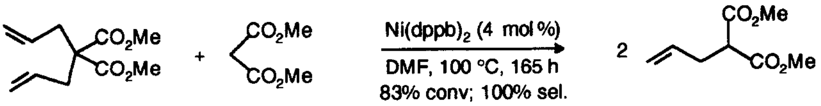
Substituted α-carboxy-γ-fluoro thiophenes 2F were prepared from α-fluoro-β-(phenylthio)enones 1F and two equivalents of alkyl thioglycolates in DMSO.

Tetrahedron Letters, **1997**, *38*, 1053

FURTHER DEVELOPMENTS IN METAL-CATALYSED C-C BOND CLEAVAGE IN ALLYLIC DIMETHYL MALONATE DERIVATIVES

Hervé Bricout, Jean-François Carpentier and André Mortreux*
Laboratoire de Catalyse Hétérogène et Homogène associé au CNRS, ENSCL, B.P. 108 - 59652 Villeneuve d'Ascq, France

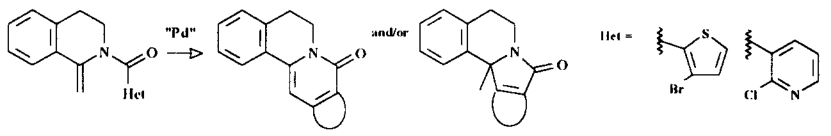
The activation of the C-C bond in dimethyl allylmalonate derivatives proceeds efficiently in the presence of Ni(0)-dppb as the catalyst.



Tetrahedron Letters, **1997**, *38*, 1057

Palladium-Catalyzed Cyclization of 2-Heteroyl-1-Methylene-1,2,3,4-Tetrahydroisoquinolines. Studies on 6-endo- versus 5-exo-trig Cyclization.

Agnès Bombrun* and Olivia Sageot
Glaxo Wellcome, ZA de Courtaboeuf, 25 avenue du Québec, 91951 Les Ulis Cedex, France

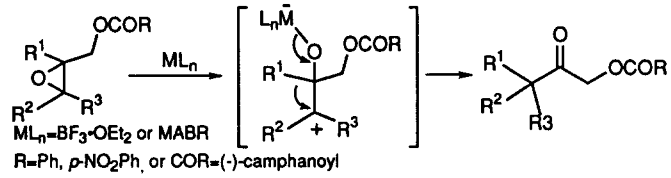


Tetrahedron Letters, **1997**, *38*, 1061

ACID-PROMOTED REARRANGEMENT OF α,β-EPOXY ACYLATES: REMARKABLE EFFECTS OF AN ACYL GROUP AND A LEWIS ACIDS.

Yasuyuki Kita,* Shinji Kitagaki, Yutaka Yoshida, Sachiko Mihara, Dai-Fei Fang, and Hiromichi Fujioka
Faculty of Pharmaceutical Sciences, Osaka University, 1-6, Yamada-oka, Suita, Osaka, 565, Japan

Remarkable effects of acyl groups and bulky Lewis Acid (MABR), which accelerate rearrangement reaction of various types of α,β-epoxy acylates including acyclic ones, are reported.



Tetrahedron Letters, **1997**, *38*, 1065

FACILE REDUCTION OF AZIDES TO THE CORRESPONDING AMINES WITH METALLIC SAMARIUM AND CATALYTIC AMOUNT OF IODINE.

You Huang[a] Yongmin Zhang*[a] Yulu Wang[b]
a. Department of Chemistry, Hangzhou University, Hangzhou, 310028, P. R. China
b. Department of Chemistry, Henan Normal University, Xinxiang, 453002, P. R. China

Alkyl, aryl, aroyl and sulfonyl azides are reduced to the corresponding amines or amides in good yields by metallic samarium and a catalytic amount of iodine, respectively.

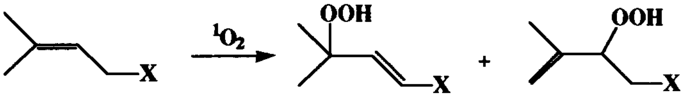
$$R-N_3 \xrightarrow[N_2\text{, r. t. or reflux}]{Sm/I_2/\ MeOH} R-NH_2$$

R = alkyl, aryl, aroyl and sulfonyl

Tetrahedron Letters, **1997**, *38*, 1067

Regioselectivity in the Ene Reaction of Singlet Oxygen With Alkenes Bearing an Electron Withdrawing Group at β- Position

Manolis Stratakis and Michael Orfanopoulos*
Department of Chemistry, University of Crete, Iraklion 71409, Greece.



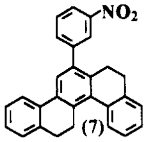
X = COOH, COOMe, COMe, CONR$_2$, SOPh, POPh$_2$, PO(EtO)$_2$

Tetrahedron Letters, **1997**, *38*, 1071

NEW ROUTES FOR SYNTHESIS OF BRANCHED FUNCTIONALIZED BENZENOIDS USING TETRACHLOROSILANE-ETHANOL REAGENT.

Saad S. Elmorsy*, Abdel Galel. M. Khalil, M. M. Girges and Tarek A. Salama
Chemistry Department. Faculty of Science. Mansoura University. Mansoura - EGYPT

Abstract: *The successive reactions of cyclic ketones with aryl methyl ketones mediated by tetrachlorosilane-ethanol, provides an benzenoid compounds. Synthesis of selective unsymmetrical branched triarylbenzenes in quantitative yields is described.*



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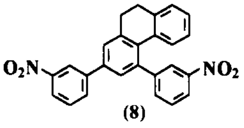

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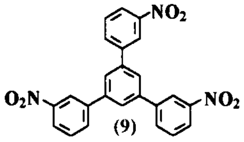

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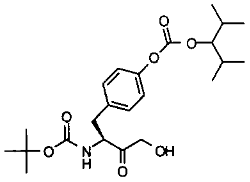
Tetrahedron Letters, **1997**, *38*, 1075

THE 2,4-DIMETHYLPENT-3-YLOXYCARBONYL (DOC) GROUP AS A NEW, NUCLEOPHILE-RESISTANT PROTECTING GROUP FOR TYROSINE IN SOLID PHASE PEPTIDE SYNTHESIS.

Katri Rosenthal, Amelie Karlström and *Anders Undén,
Department of Neurochemistry and Neurotoxicology, Stockholm University, S-10691 Stockholm, Sweden.

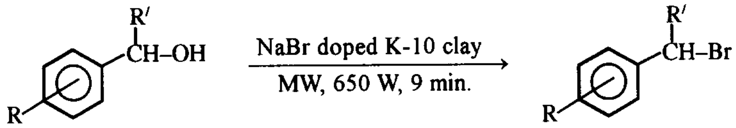


Boc-Tyr(Doc)-OH

Tetrahedron Letters, **1997**, *38*, 1079

SELECTIVE PREPARATION OF BENZYLIC BROMIDES IN DRY MEDIA COUPLED WITH MICROWAVE IRRADIATION.

Goverdhan L.Kad*, Vasundhara Singh
Kanwal Preet Kaur and Jaswinder Singh, Department of Chemistry, Panjab University, Chandigarh, 160014, India.

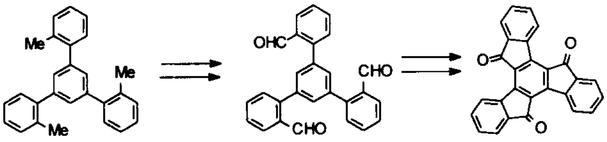


Tetrahedron Letters, **1997**, *38*, 1081

A NEW SYNTHESIS OF TRUXENONE

M. John. Plater* and Marapaka Praveen
Department of Chemistry, Aberdeen University, Meston Walk, Aberdeen, AB24 3UE.

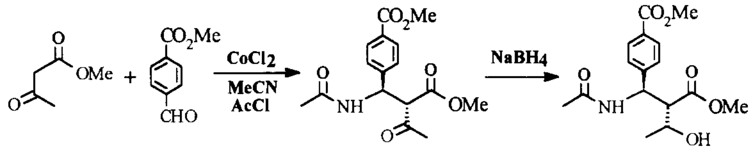
A new entry to the Truxenone skeleton is provided via the cyclotrimerisation of 2-methylacetophenone



Tetrahedron Letters, **1997**, *38*, 1083

COBALT CATALYZED MULTIPLE COMPONENT CONDENSATION ROUTE TO β-ACETAMIDO CARBONYL COMPOUND LIBRARIES

Manoj Mukhopadhyay, Beena Bhatia and Javed Iqbal*
Department of Chemistry, Indian Institute of Technology, Kanpur 208 016, INDIA

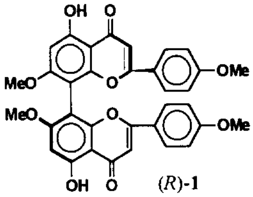


Tetrahedron Letters, **1997**, *38*, 1087

THE FIRST ENANTIOSELECTIVE SYNTHESIS OF OPTICALLY PURE (*R*)- AND (*S*)-5,5"-DIHYDROXY-4',4"',7,7"-TETRAMETHOXY-8,8"-BIFLAVONE AND THE RECONFIRMATION OF THEIR ABSOLUTE CONFIGURATION

Guo-Qiang Lin* and Min Zhong,
Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences,
354 Fenglin Lu , Shanghai, 200032, China

The first enantioselective synthesis of optically pure (*R*)- and (*S*)-5,5"-dihydroxy-4',4"', 7,7"-tetramethoxy-8,8"-biflavone ((*R*)- and (*S*)-**1**) and the reconfirmation of their absolute configuration by CD spectra are described. The key steps involve the intramolecular oxidative coupling of the cyanocuprate intermediate and Friedel-Crafts rearrangement.

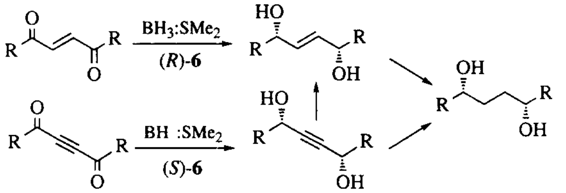


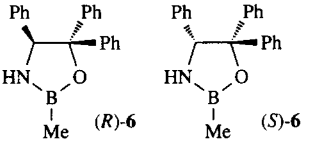
(*R*)-**1**

Tetrahedron Letters, **1997**, *38*, 1091

STEREOSELECTIVE REDUCTION OF UNSATURATED 1,4-DIKETONES. A PRACTICAL ROUTE TO CHIRAL 1,4-DIOLS

Jordi Bach, Ramon Berenguer,* Jordi Garcia,* Teresa Loscertales, Judith Manzanal, and Jaume Vilarrasa
Departament de Química Orgànica, Universitat de Barcelona, Catalonia, Spain





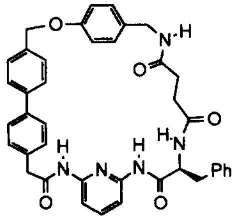
A new synthetic route to C_2-symmetryc chiral 1,4-diols based on borane-mediated oxazaborolidine-catalysed reduction of 2-unsaturated-1,4-diones is described.

Tetrahedron Letters, **1997**, *38*, 1095

MODIFIED SYNTHESIS AND BINDING PROPERTIES OF A PEPTIDE RECEPTOR

James Dowden,[a] Peter D. Edwards[b] and Jeremy D. Kilburn[a]*
a. Department of Chemistry, University of Southampton, Southampton, SO17 1BJ, UK
b. Department of Medicinal Chemistry, SmithKline Beecham Pharmaceuticals, Brockham Park, Betchworth, Surrey, RH3 7AJ, UK

Macrocyclic receptor **9**, has been prepared in homochiral form. The receptor shows selectivity for certain dipeptides, and most notably a strong preference for *N*-Cbz-β-alanyl amino acids over *N*-Cbz-β-alanyl lactic acids.



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Tetrahedron Letters, **1997**, *38*, 1099

REACTIVITY OF SOME TETRASUBSTITUTED FURANS AND THIOPHENES TOWARDS BF_3-Et_2 O CATALYSED DIELS-ALDER REACTION. A. Sampath Kumar* and S. N. Balasubrahmanyam
Department of Organic Chemistry, Indian Institute of Science, Bangalore-560012, India

The enhancement of Diels-Alder reactivity in furans and thiophenes was acheived by aryl substitution at 3,4 positions.