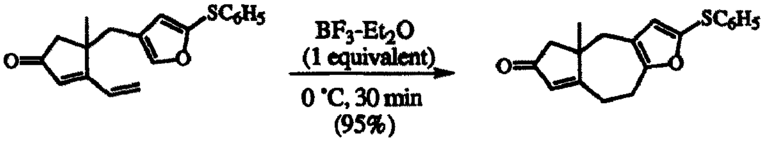
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 4887

Cyclialkylations of Conjugated Dienones with Furans.

G. Majetich, Y. Zhang and Shuang Liu
The Department of Chemistry, *The University of Georgia*, Athens, Georgia 30602.

Fused tricyclic compounds with the salient features of the guaianolides and the pseudoguaianolides were prepared using a furan-based cyclialkylation strategy.

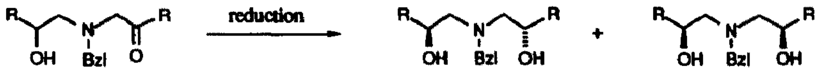


Tetrahedron Letters, **1994**, *35*, 4891

Remote Acyclic Diastereocontrol Involving a Bicyclic Metal Chelate. High 1,5 Asymmetric Induction in the Hydride Reduction of δ-Hydroxy Ketones

Han-Cheng Zhang, Michael J. Costanzo, Bruce E. Maryanoff*, Medicinal Chemistry Department, The R. W. Johnson Pharmaceutical Research Institute, Spring House, Pennsylvania 19477 USA

Reduction of **3a** with *R*-Alpine-Hydride (**7**) in THF affords a preponderance of *anti* diastereomer **4a** (*anti*:*syn* = 7:1). Reduction of **3b** with **7** or $Zn(BH_4)_2$, in CH_2Cl_2, affords impressive *anti* stereoselectivity (*anti*:*syn* = 10:1 or 13:1, respectively). A chelation-controlled mechanism involving a bicyclic metal complex is proposed.



3a or **3b** a: R = 2-benzofuranyl
b: R = phenyl

(±)
4a or **4b**

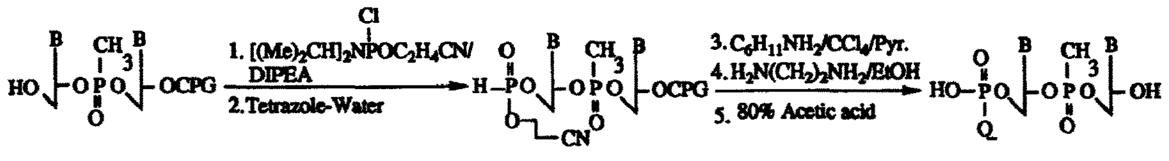
(meso)
5a or **5b**

Tetrahedron Letters, **1994**, *35*, 4895

SOLID-PHASE SYNTHESIS OF OLIGONUCLEOSIDE METHYLPHOSPHONATE 5'-PHOSPHATES.

Purshotam Bhan, Dyad Pharmaceutical Corp., 7101 Riverwood Drive, Columbia, MD 21046, USA.

A method for the chemical 5'-phosphorylation of oligonucleoside methylphosphonates on solid support is described

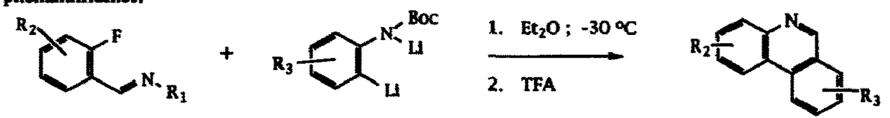


Tetrahedron Letters, **1994**, *35*, 4899

S$_N$Ar REACTIONS OF BENZALDIMINES: A CONCISE SYNTHESIS OF SUBSTITUTED PHENANTHRIDINES.

Deborah C. Reuter,* Lee A. Flippin,* Joel McIntosh, Joan M. Caroon and Jeff Hammaker, *Institute of Organic Chemistry, Syntex Discovery Research, Palo Alto, CA 94304*

S$_N$Ar addition of dilithiated N-Boc-anilines and o-fluorobenzaldimines, followed by mild hydrolysis, yields a series of substituted phenanthridines.

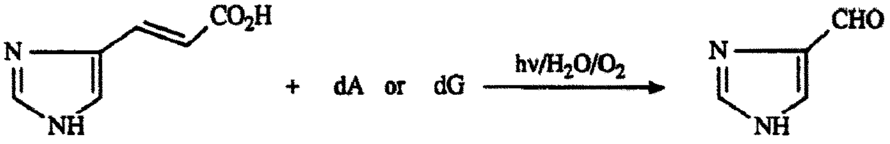


R_1 = 2, 4-dimethylpent-3-yl R_2, R_3 = CH_3, CH_3O, H, F, and Cl

Tetrahedron Letters, **1994**, *35*, 4903

UROCANIC ACID PHOTOBIOLOGY. PURINE-ASSISTED PHOTOOXIDATION TO 1H-IMIDAZOLE-4(5)-CARBOXALDEHYDE

Taj Mohammad, Annette Kasper and Harry Morrison*
Department of Chemistry, Purdue University, West Lafayette, IN 47907-1393

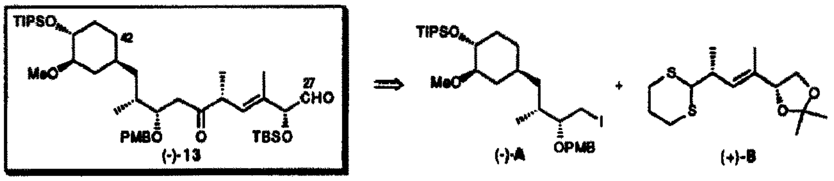


Tetrahedron Letters, **1994**, *35*, 4907

RAPAMYCIN SYNTHETIC STUDIES. 1. CONSTRUCTION OF THE C(27)-C(42) SUBUNIT

Amos B. Smith, III,* Stephen M. Condon, John A. McCauley, James W. Leahy, Johnnie L. Leazer, Jr., and Robert E. Maleczka, Jr.
Department of Chemistry, Laboratory for Research on the Structure of Matter, and Monell Chemical Senses Center, University of Pennsylvania, Philadelphia, Pennsylvania 19104, U.S.A.

A convergent synthetic approach to the C(27)-C(42) fragment of the immunosuppressive macrocycle rapamycin is described.

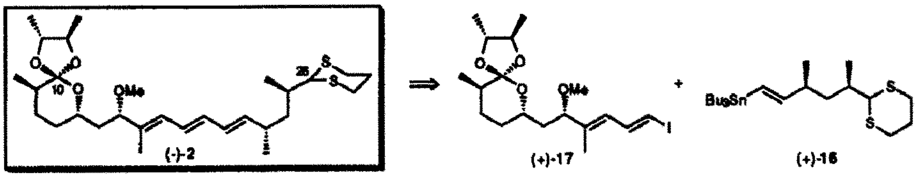


Tetrahedron Letters, **1994**, *35*, 4911

RAPAMYCIN SYNTHETIC STUDIES. 2. ELABORATION OF THE C(10)-C(26) PERIMETER

Amos B. Smith, III,* Robert E. Maleczka, Jr., Johnnie L. Leazer, Jr., James W. Leahy, John A. McCauley, and Stephen M. Condon
Department of Chemistry, Laboratory for Research on the Structure of Matter, and Monell Chemical Senses Center, University of Pennsylvania, Philadelphia, Pennsylvania 19104, U.S.A.

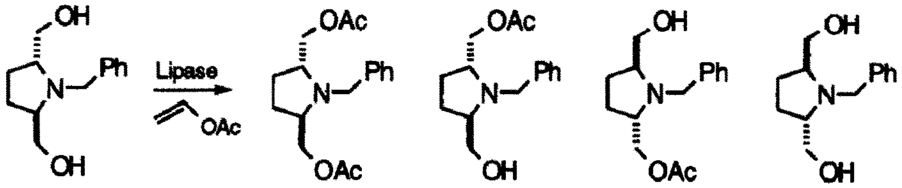
The C(10)-C(26) triene subunit of the potent immunomodulator rapamycin has been constructed.



Tetrahedron Letters, **1994**, *35*, 4915

Synthesis of Both Enantiomers of C_2 Symmetric *trans*-2,5-Bis(hydroxymethyl)pyrrolidine. Lipase Mediated Sequential Kinetic Resolutions

Mukund P. Sibi* and JianLiang Lu, Department of Chemistry, North Dakota State University,
Fargo, North Dakota 58105-5516

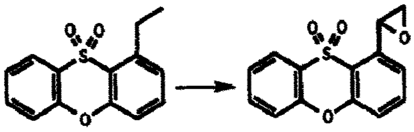


Tetrahedron Letters, **1994**, *35*, 4919

Metabolite Structure Elucidation Using NMR Micro-/Nano-detection

John P. Shockcor, Robert M. Wurm, Ivin S. Silver, Ronald C. Crouch
and Gary E. Martin, Burroughs Wellcome Co., Research Triangle Park, NC 27709 USA

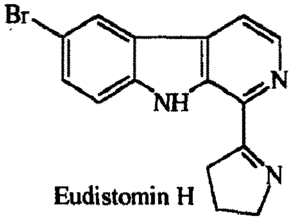
The structure of the epoxide of 1-ethyl-phenoxathiin 10,10-dioxide was elucidated using a combination of 500 MHz homo- and heteronuclear NMR techniques. The natural abundance ^{13}C reference spectrum of the metabolite was obtained on a 30 μg sample (0.07 μMoles).



Tetrahedron Letters, **1994**, *35*, 4923

Biosynthetic Studies of Eudistomin H in the Tunicate *Eudistoma olivaceum*. Guo Q. Shen and Bill J. Baker,* Department of Chemistry, Florida Institute of Technology, 150 W. University Blvd., Melbourne, Florida 32901

The origin of eudistomin H in the Floridian tunicate *Eudistoma olivaceum* has been investigated by *in vivo* techniques. 5-Bromotryptophan and 5-bromotryptamine were both utilized by the tunicate to biosynthesize this antibiotic.



Eudistomin H

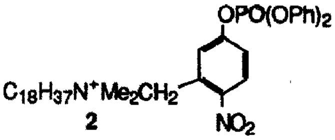
Tetrahedron Letters, **1994**, *35*, 4927

THE REACTIVITY OF A SURFACTANT-BOUND MICELLAR PHOSPHOTRIESTER. A. Kotchevar, R.A. Moss, P. Scrimin, P. Tecilla, and H. Zhang, Department of Chemistry, Rutgers University, New Brunswick, NJ 08903, USA, and Dipartimento di Chimica Orgânica, Universitá degli Studi di Padova, Via Marzolo 1, 35131 Padova, Italy.

The covalently bound substrate-surfactant **2** is more reactive toward iodosocarboxylate and copper metallomicellar catalysts than the parent substrate **1** in aqueous cetyltrimethylammonium ion micelles.

$(PhO)_2\overset{\overset{O}{\|}}{P}OC_6H_4NO_2$

1



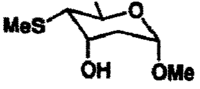
2

Tetrahedron Letters, **1994**, *35*, 4931

A STEREOCHEMICALLY GENERAL SYNTHESIS OF METHYL 2,4,6-TRIDEOXY-4-METHYLTHIO-α-D-*ribo*-PYRANOSIDE, THE THIO SUGAR OF ESPERAMICIN A_1

W. R. Roush* and Darin Gustin, Department of Chemistry
Indiana University, Bloomington, IN 47405

A stereochemically general synthesis of methyl 2,4,6-trideoxy-4-methylthio-α-D-ribo-pyranoside (**1b**) is described. The synthesis involves the neighboring group assisted delivery of a sulfur nucleophile to C(5) of an epoxyalcohol via aniline promoted cyclization of the corresponding 2,3-epoxy thioimidazolide derivative.



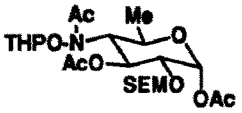
1b

Tetrahedron Letters, **1994**, *35*, 4935

ASYMMETRIC SYNTHESIS OF THE HYDROXYLAMINO SUGAR OF CALICHEAMICIN

W. R. Roush* and B. C. Follows, Department of Chemistry
Indiana University, Bloomington, IN 47405

A stereochemically general procedure for the conversion of 2,3-epoxyalcohols to *N*-alkoxyoxazolidinones via the DBU promoted cyclizations of 2,3-epoxy-*N*-alkoxyurethanes has been developed and applied to the first asymmetric synthesis of the calicheamicin hydroxylamino sugar, **7**.

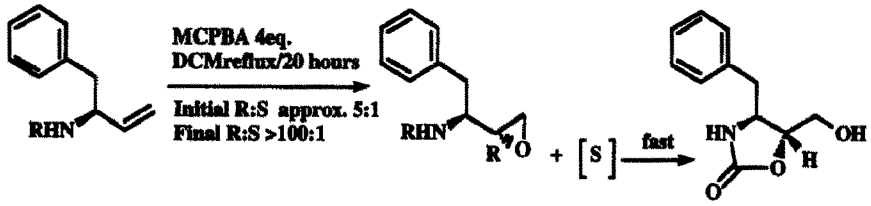


7

Tetrahedron Letters, **1994**, *35*, 4939

STEREOSELECTIVE SYNTHESIS OF PROTECTED AMINO ALKYL EPOXIDES.

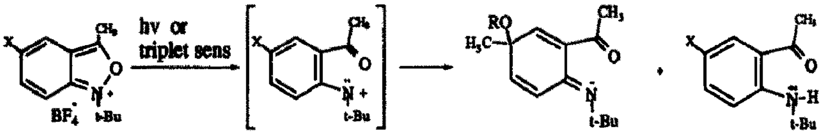
Sergio Romeo and Daniel H. Rich*, School of Pharmacy and Department of Chemistry,
University of Wisconsin-Madison, 425 N. Charter St., Madison, WI 53706.



Tetrahedron Letters, **1994**, *35*, 4943

Photogenerated Nitrenium Ions: Singlet and Triplet State Reactions of *tert*-Butyl-(2-Acetyl-4-Methyl)Phenyl Nitrenium Ion.

Rebecca J. Robbins and Daniel E. Falvey * Department of Chemistry and Biochemistry, University of Maryland, College Park, MD 20742



1a 2a 6 5

Irradiation of 5-methyl-3-N-*tert*-butyl-2,1-benzisoxazolium tetrafluoroborate (**1a**) gives nitrenium ion **2a** which gives stable products **5** and **6** depending on its spin state.

Tetrahedron Letters, **1994**, *35*, 4947

ONE-STEP CONVERSION OF ESTERS TO ACYL AZIDES USING DIETHYLALUMINUM AZIDE

Viresh H. Rawal* and Hua M. Zhong
Department of Chemistry, The Ohio State University, Columbus, Ohio 43210.

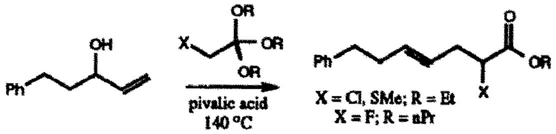
Diethylaluminum azide, prepared from either sodium azide and diethylaluminum chloride or hydrazoic acid and triethylaluminum, reacts with esters to yield acyl azides in one step.

Tetrahedron Letters, **1994**, *35*, 4951

On the Utility of α-Heteroatom Substituted Orthoesters in the Johnson Claisen Rearrangement

Todd R. Elworthy, David J. Morgans, Jr., Wylie S. Palmer, David B. Repke,
David B. Smith*, and Ann Marie Waltos

Institute of Organic Chemistry
Syntex Discovery Research
3401 Hillview Avenue
Palo Alto, CA 94304



16 examples
19-92% yields

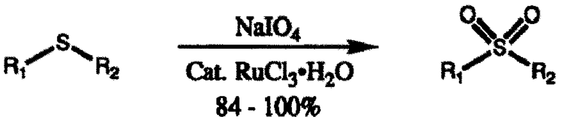
α-Heteroatom substituted orthoesters were found to undergo the Johnson Claisen rearrangement.

Tetrahedron Letters, **1994**, *35*, 4955

An Efficient Method for the Oxidation of Sulfides to Sulfones.

Weiguo Su, Department of Medicinal Chemistry, Central Research Division, Pfizer Inc., Groton, CT 06340

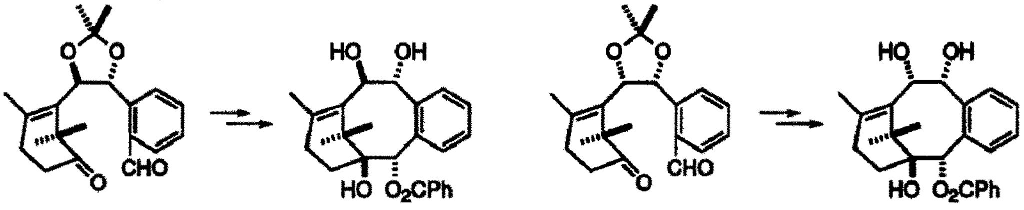
A useful reagent for the oxidation of highly unreactive sulfides to sulfones is described.



Tetrahedron Letters, **1994**, *35*, 4959

PINACOL CLOSURE OF OXYGENATED TAXANE SKELETA AT C-1–C-2 WITH STEREOINDUCTION BY OXYGEN SUBSTITUENTS AT C-9 AND C-10.

Charles S. Swindell,* Weiming Fan, and Peter G. Klimko, Department of Chemistry, Bryn Mawr College, 101 North Merion Avenue, Bryn Mawr, Pennsylvania 19010-2899 USA

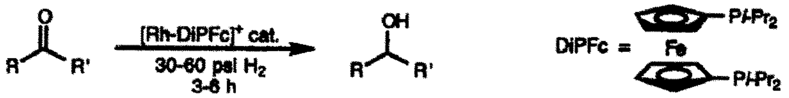


Tetrahedron Letters, **1994**, *35*, 4963

EFFICIENT RHODIUM-CATALYZED HYDROGENATION OF ALDEHYDES AND KETONES

Mark J. Burk*, T. Gregory P. Harper, Jeffrey R. Lee, Christopher Kalberg
Department of Chemistry, Duke University, P.M. Gross Chemical Laboratory, Durham, NC 27706

Aldehydes and ketones are hydrogenated under mild conditions using the cationic Rh-DiPFc catalyst.

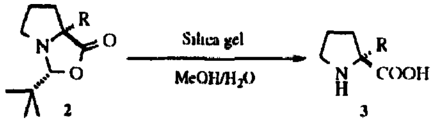


Tetrahedron Letters, **1994**, *35*, 4967

An Improved Method of Oxazolidinone Hydrolysis in the Asymmetric Synthesis of α-Alkylprolines

Michael J. Genin, Paul W. Baures and Rodney L. Johnson*
Department of Medicinal Chemistry, University of Minnesota, 308 Harvard Street, S.E., Minneapolis, MN 55455

An improvement in Seebach's method for the synthesis of α-alkylprolines is reported wherein the hydrolysis of the chiral oxazolidinone **2** is performed on a suspension of silica gel in MeOH/H_2O.



a: $R = CH_3$
b: $R = CH_2CH{=}CH_2$
c: $R = CH_2C_6H_5$
d: $R = CH_2CO_2CH_3$

Tetrahedron Letters, **1994**, *35*, 4969

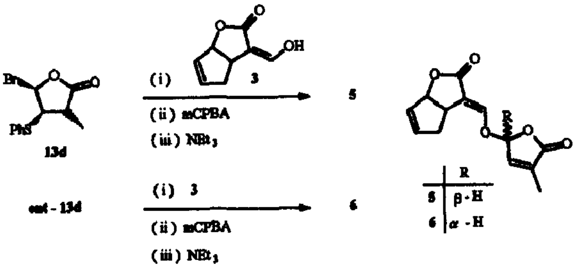
Generation of Octamethylcuneane and Octamethylcubane from *syn*-Octamethyltricyclo[4.2.0.0^{2,5}]octa-3,7-diene.

Rolf Gleiter* and Stefan Brand, Organisch-Chemisches Institut der Universität Heidelberg, Im Neuenheimer Feld 270, D 69120 Heidelberg, Germany.

Tetrahedron Letters, **1994**, *35*, 4973

Strigol Synthetic Studies: The First Synthetic Approach that Allows Control of C-2' Configuration

K.Frischmuth, A.Marx,
T.Petrowitsch, U.Wagner,
K.Koerner, S.Zimmermann,
H.Meuer, W.S.Sheldrick,
and P.Welzel*
Fakultät für Chemie
der Ruhr-Universität,
44780 Bochum (Germany)

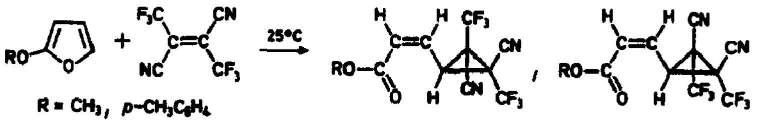


Tetrahedron Letters, **1994**, *35*, 4977

DONOR-SUBSTITUTED FURANS AND 1,2-BIS(TRIFLUOROMETHYL)-ETHYLENE-1,2-DICARBONITRILE: A NOVEL REARRANGEMENT AND ITS STERIC COURSE

G. Urrutia-Desmaison, G. Mloston, and R. Huisgen, Institut für Organische Chemie der Universität, Karlstr. 23, D-80333 München, Germany

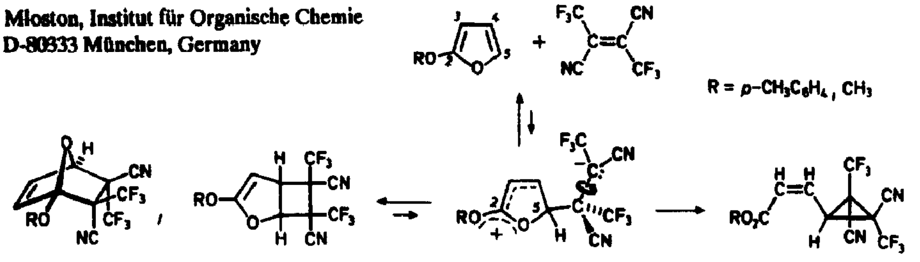
Simultaneous opening of the furan ring and closure of the cyclopropane ring are interpreted with a zwitterion resulting from electrophilic attack of the acceptor olefin at the 5-position of the donor furan.



Tetrahedron Letters, **1994**, *35*, 4981

DONOR-SUBSTITUTED FURANS AND 1,2-BIS(TRIFLUOROMETHYL)-ETHYLENE-1,2-DICARBONITRILE: THE HIDDEN CYCLOADDITIONS

Rolf Huisgen and Grzegorz Mloston, Institut für Organische Chemie der Universität, Karlstr. 23, D-80333 München, Germany

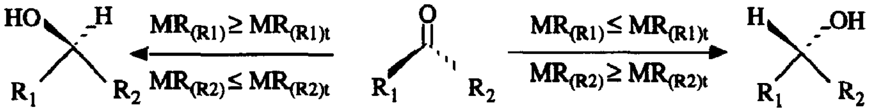


R = p-$CH_3C_6H_4$, CH_3

Tetrahedron Letters, **1994**, *35*, 4985

STRUCTURE-ENANTIOSELECTIVITY RELATIONSHIPS USING NEURAL NETWORKS FOR THE REDUCTION OF CARBONYL COMPOUNDS WITH BAKER'S YEAST.

D. Zakarya[a],*, L. Farhaoui [b] and S. Fkih-Tetouani[b]
a)-Faculté des Sciences et Techniques, B.P. 146, Mohammedia, Maroc. b)- Laboratoire de Chimie des Plantes et de synthèse Organique et Bioorganique, Faculté des Sciences, Université Mohamed V, Rabat-Maroc



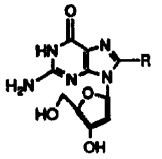
The rules were derived from a Neural Network model. $MR_{(R2)t} = MR_{(R1)t}$: threshold value; MR molar refraction.

Tetrahedron Letters, **1994**, *35*, 4989

HYDROLYSIS OF 2'-DEOXYPURINE NUCLEOSIDES. THE EFFECT OF SUBSTITUTION AT THE C-8 POSITION.

*Ali LAAYOUN, Jean-Luc DECOUT, and Jean LHOMME**
LEDSS, URA CNRS D0 332, Université J. Fourier, BP 53, 38041 GRENOBLE Cedex 9, FRANCE

Hydrolytic N-glycosidic bond cleavage is increased by electron-withdrawing substituents at C-8 in a series of 2'-deoxypurine nucleosides.



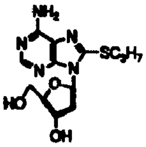
In particular :
$k_{R : SO_2CH_3}/k_{R : SCH_3} = 7 \times 10^3$

Tetrahedron Letters, **1994**, *35*, 4991

HYDROLYSIS OF OLIGONUCLEOTIDES CONTAINING 8-SUBSTITUTED PURINE NUCLEOSIDES. A NEW ROUTE FOR PREPARING ABASIC OLIGODEOXYNUCLEOTIDES

*Ali LAAYOUN, Jean-Luc DECOUT, Eric DEFRANCQ, and Jean LHOMME**
LEDSS, URA CNRS D0 332, Université J. Fourier, BP 53, 38041 GRENOBLE Cedex 9, FRANCE

8-Propylthio-2'-deoxyadenosine was incorporated into oligodeoxyribonucleotides by the phosphoramidite approach. Oxidation of the sulfur, followed by mild hydrolysis afforded the corresponding abasic sequences.

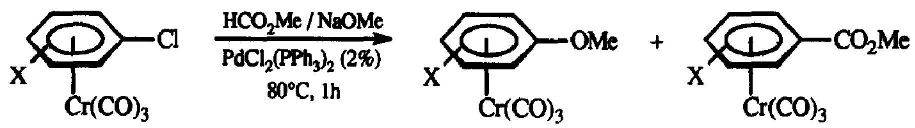


Tetrahedron Letters, **1994**, *35*, 4995

COMPETITIVE NUCLEOPHILIC AROMATIC SUBSTITUTION AND PALLADIUM-CATALYZED ALKOXYCARBONYLATION OF (SUBSTITUTED CHLOROARENE)Cr(CO)$_3$ COMPLEXES.

Jean-François Carpentier*, Eric Finet, Yves Castanet, Jacques Brocard and André Mortreux, Laboratoire de Catalyse Hétérogène et Homogène, URA CNRS 402, Groupe de Chimie Organique Appliquée, ENSCL, B.P. 108 - 59652 Villeneuve d'Ascq Cedex, France

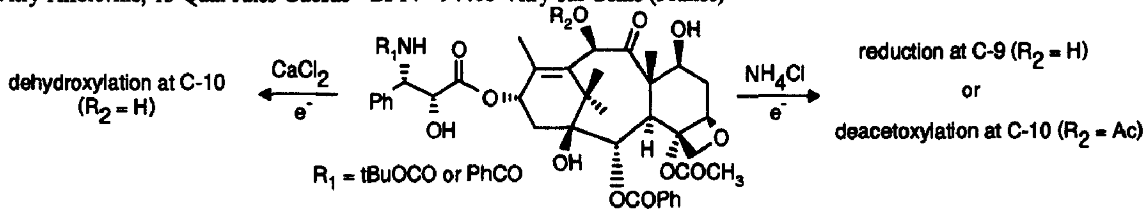
Reactions of tricarbonyl(substituted chloroarene)chromium complexes lead to ethers or esters.



Tetrahedron Letters, **1994**, *35*, 4999

Electrochemical Reduction of Taxoids: Selective Preparation of 9-dihydro-, 10-deoxy- and 10-deacetoxy-Taxoids

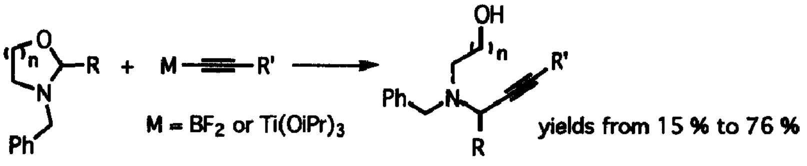
J-P. Pulicani, J-D. Bourzat, H. Bouchard and A. Commerçon*, Rhône-Poulenc Rorer S.A.- Centre de Recherches de Vitry-Alfortville, 13 Quai Jules Guesde - BP14 - 94403 Vitry-sur-Seine (France)



Tetrahedron Letters, **1994**, *35*, 5003

NUCLEOPHILIC RING OPENING OF TETRAHYDRO-1,3-OXAZINES AND 1,3-OXAZOLIDINES BY ALKYNYL ANIONS; A NOVEL SYNTHESIS OF β-AMINOACETYLENES

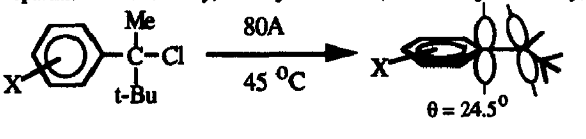
Ming-Jung Wu[a,b,*], Der-Sheng Yan[b], Hui-Wen Tsai[c], and Shu-Hui Chen[c]
a) School of Chemistry, b) Graduate Institute of Natural Products, Kaohsiung Medical College, Taiwan,
c) Department of Applied Chemistry, Providence University, Shalu, Taiwan.



Tetrahedron Letters, **1994**, *35*, 5005

Substituent Effect on the Solvolysis of α-t-Butyl-α-methylbenzyl Chlorides

Mizue FUJIO,* Hideyuki NOMURA, Kazuhide NAKATA,
Yoshihiro SAEKI, Masaaki MISHIMA, Shinjiro KOBAYASHI,
Toshio MATSUSHITA,[†] Kichisuke NISHIMOTO,[†] and Yuho TSUNO
Institute for Fundamental Research of Organic Chemistry, Kyushu University, Higashiku, Hakozaki, Fukuoka 812, Japan
[†]Department of Christmas of Chemistry, Faculty of Science, Osaka City University, Sumiyoshiku, Osaka 558, Japan



$\log k/k_o = -4.3\,(\sigma^{o} + 0.91\,\Delta\bar{\sigma}_R^{+})$

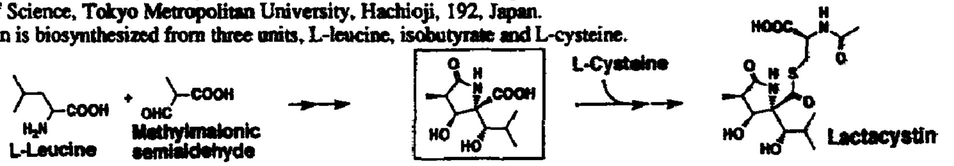
$r/r_{max} = \cos\theta = 0.91$

Tetrahedron Letters, **1994**, *35*, 5009

BIOSYNTHESIS OF LACTACYSTIN. ORIGIN OF THE CARBONS AND STEREOSPECIFIC NMR ASSIGNMENT OF THE TWO DIASTEREOTOPIC METHYL GROUPS.

Akira Nakagawa,* Senji Takahashi and Kenichi Uchida, Department of Biosciences, Teikyo University, Utsunomiya, 320, Japan. Keiichi Matsuzaki and Satoshi Ōmura, School of Parmaceutical Sciences, Kitasato University and The Kitasato Institue, Minato-ku, 108, Japan. Asao Nakamura, Noboru Kurihara and Tsuyoshi Nakamatsu, Central Research Laboratories, Ajinomoto Co. Inc., Kawasaki, 210, Japan. Yoko Miyake, Kanako Take and Masatsune Kainosho, Faculty of Science, Tokyo Metropolitan University, Hachioji, 192, Japan.

Lactacystin is biosynthesized from three units, L-leucine, isobutyrate and L-cysteine.

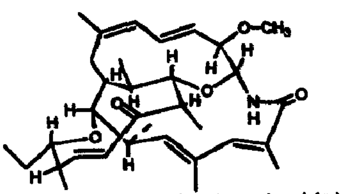


Tetrahedron Letters, **1994**, *35*, 5013

Halichomycin, a New Class of Potent Cytotoxic Macrolide Produced by an Actinomycete from a Marine Fish

Chika Takahashi, Tamie Takada, Takeshi Yamada, Katsuhiko Minoura, Kenshiro Uchida,[a] Eiko Matsumura and Atsushi Numata*
Osaka University of Pharmaceutical Sciences, Matsubara, Osaka 580, JAPAN and [a]Bruker Japan Co., Ltd., Ninomiya, Tsukuba, Ibaraki 305, JAPAN

Halichomycin, produced by a strain of *Streptomyces hygroscopicus* from the marine fish *Halichoeres bleekeri*, is a novel class of macrolide with potent cytotoxicity against tumour cells in culture.



1 (relative stereochemistry)

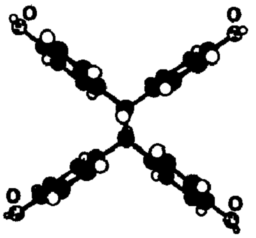
Tetrahedron Letters, **1994**, *35*, 5015

GUEST SELECTIVITY OF 1,1,2,2-TETRAKIS(4-HYDROXYPHENYL)ETHANE

Hiroshi Suzuki

R&D Laboratory for specialty Chemicals, Nippon Soda Co., Ltd.,
12-54 Goi-Minamikaigan, Ichihara 290, Japan

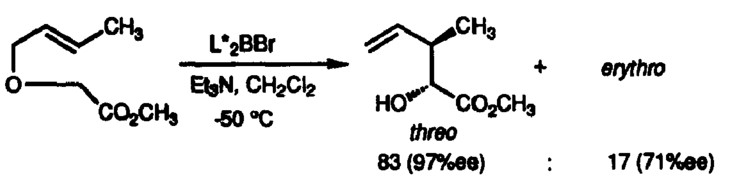
The inclusion selectivity of 1,1,2,2-Tetrakis(4-hydroxyphenyl)ethane is derived from the hydrogen bonding system in the host-guest aggregates. The mechanism for the inclusion selectivity has been studied by ^{13}C CP/MAS NMR.



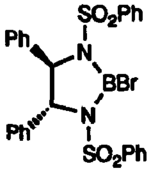
Tetrahedron Letters, **1994**, *35*, 5019

Enantioselective [2,3]Wittig Rearrangement Involving a Chiral Boron Enolate Terminus

Katsuhiko Fujimoto and Takeshi Nakai*
Department of Chemical Technology, Tokyo Institute of Technology, Meguro-ku, Tokyo 152, Japan



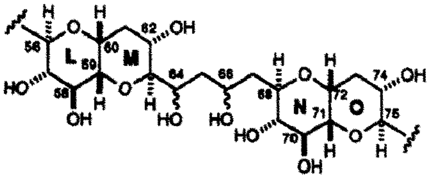
L*$_2$BBr :

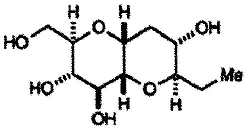


Tetrahedron Letters, 1994, 35, 5023

SYNTHESIS AND STEREOCHEMICAL CONFIRMATION OF THE *CIS*-FUSED L/M AND N/O RING SYSTEMS OF MAITOTOXIN

Makoto Sasaki, Taro Nonomura, Michio Murata, and Kazuo Tachibana*
Department of Chemistry, School of Science, The University of Tokyo, Hongo, Bunkyo-ku, Tokyo 113, Japan



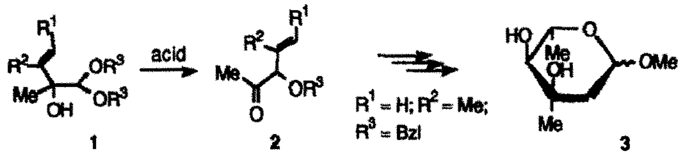


Tetrahedron Letters, 1994, 35, 5027

Acyloin Rearrangement of α-Hydroxy Acetals: Application to the Methyl L-Mycaroside Synthesis

Tadashi Sato,* Tsutomu Nagata, Kenji Maeda, and Sawako Ohtsuka
Department of Applied Chemistry, Waseda University, Ookubo 3, Shinjuku-ku, Tokyo 169, Japan

Acid-treatment of α-hydroxy acetals **1** induced 1,2-migration to afford α-alkoxy-β,γ-enones **2**. One of the migration products was utilized for the synthesis of methyl L-mycaroside **3**.

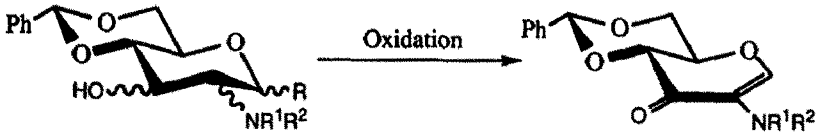


1 2 3

Tetrahedron Letters, 1994, 35, 5031

A NOVEL GENERAL METHOD FOR 2-AMINOGLYCAL SYNTHESIS.

Fernando Iglesias-Guerra*, José I. Candela, José L. Espartero and José M. Vega-Pérez*.
Departamento de Química Orgánica y Farmacéutica. Facultad de Farmacia. Universidad de Sevilla. 41071 SEVILLA, Spain.

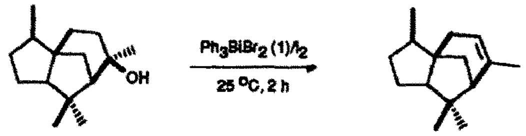


Tetrahedron Letters, 1994, 35, 5035

TRIPHENYLBISMUTH DIBROMIDE-IODINE: AN EFFICIENT REAGENT FOR THE DEHYDRATION OF ALCOHOLS

Carmen Betancor,[†] Rosa L. Dorta[‡] and Ernesto Suárez*[,‡]
[‡]Instituto de Productos Naturales y Agrobiología del C.S.I.C., Carretera de La Esperanza 2, 38206-La Laguna, Tenerife, Spain
[†]Departamento de Química Orgánica, Universidad de La Laguna, Tenerife, Spain.

Tertiary and secondary alcohols react under mild conditions with triphenylbismuth dibromide and iodine under an inert atmosphere to give the corresponding most stable alkenes in good yields.

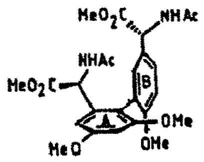


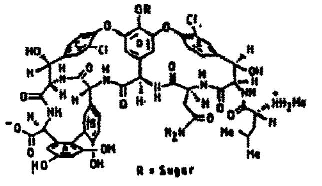
2 4

Tetrahedron Letters, 1994, 35, 5039

A CONCISE ROUTE TO BIARYLS : FORMAL SYNTHESIS OF BIARYL DIAMINO DIACID (AB SEGMENT) OF VANCOMYCIN

A V Rama Rao*, K Laxma Reddy and M Machender Reddy
Indian Institute of Chemical Technology, Hyderabad 500 007, India



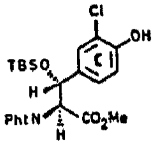


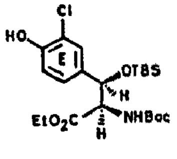
Voncomycin

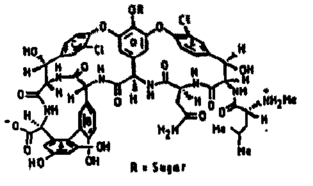
Tetrahedron Letters, 1994, 35, 5043

AN EXPEDITIOUS APPROACH FOR THE SYNTHESIS OF β-HYDROXY ARYL-α-AMINO ACIDS PRESENT IN VANCOMYCIN

A V Rama Rao*, T K Chakraborty, K Laxma Reddy and A Srinivasa Rao
Indian Institute of Chemical Technology, Hyderabad 500 007, India





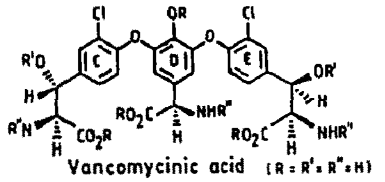


Vancomycin

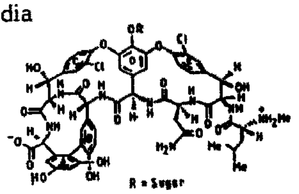
Tetrahedron Letters, 1994, 35, 5047

THE FIRST SYNTHESIS OF VANCOMYCINIC ACID

A V Rama Rao*, K Laxma Reddy and A Srinivasa Rao
Indian Institute of Chemical Technology, Hyderabad 500 007, India



Vancomycinic acid (R = R' = R'' = H)

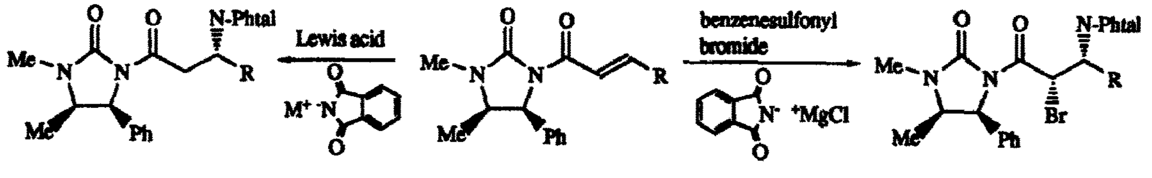


Vancomycin

Tetrahedron Letters, 1994, 35, 5051

MICHAEL-TYPE ADDITION OF PHTHALIMIDE SALTS TO CHIRAL α,β-UNSATURATED IMIDES

Giuliana Cardillo*, Angela De Simone, Luca Gentilucci, Piera Sabatino and Claudia Tomasini
Dipartimento di Chimica "G. Ciamician" - Università di Bologna - Via Selmi, 2 - 40126 Bologna - ITALY

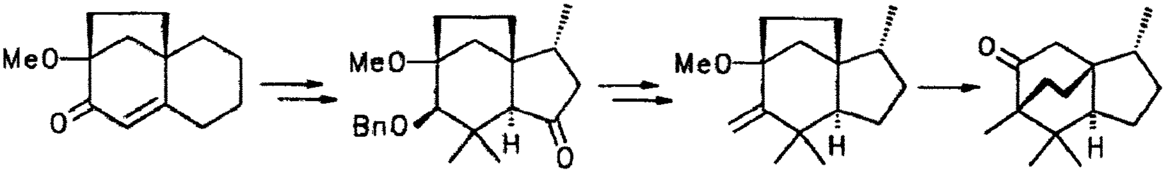


Tetrahedron Letters, **1994**, *35*, 5055

TOTAL SYNTHESIS OF (±)-ALLO-CEDROL [KHUSIOL]

P. Sathya Shanker and G. S. R. Subba Rao*

Department of Organic Chemistry, Indian Institute of Science, Bangalore-560012, India.



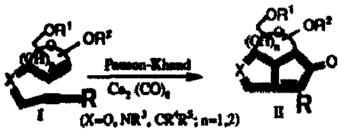
Tetrahedron Letters, **1994**, *35*, 5059

THE PAUSON-KHAND REACTION ON CARBOHYDRATE TEMPLATES.I. THE SYNTHESIS OF BIS-HETEROANNULATED PYRANOSIDES.

José Marco-Contelles

Instituto de Química Orgánica General, CSIC, Juan de la Cierva, 3. 28006-Madrid, Spain.

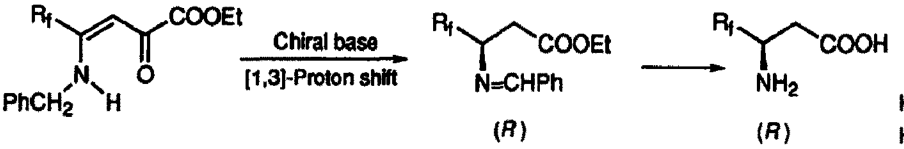
The Pauson-Khand reaction of some 1-hepten-6-ynes I derived from carbohydrates is reported.



Tetrahedron Letters, **1994**, *35*, 5063

CATALYTIC ASYMMETRIC SYNTHESIS OF β-FLUOROALKYL-β-AMINO ACIDS *VIA* BIOMIMETIC [1,3]-PROTON SHIFT REACTION

V. A. Soloshonok,* A. G. Kirilenko, S. V. Galushko, and V. P. Kukhar *Institute of Bioorganic Chemistry and Petrochemistry, Ukrainian Academy of Sciences, Kiev 253160, Ukraine*



CF_3	16% ee (*R*)
C_2F_5	29% ee (*R*)
C_3F_7	30% ee (*R*)
$H(CF_2)_2$	36% ee (*R*)
$H(CF_2)_4$	20% ee (*R*)

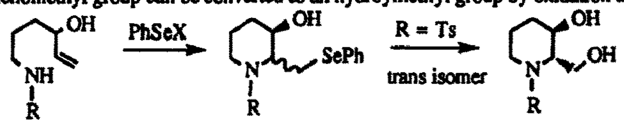
The asymmetric biomimetic [1,3]-proton shift reaction has been achieved by using (-)-cinchonidine as chiral catalyst.

Tetrahedron Letters, **1994**, *35*, 5065

SELENIUM INDUCED STEREOSELECTIVE CYCLIZATION OF N-SUBSTITUTED-4-HYDROXY-5-HEXENYLAMINES

Mathew A. Cooper and A. David Ward, Department of Chemistry, University of Adelaide, Australia, 5005

N-substituted-4-hydroxy-5-hexenylamines cyclise with phenylselenenyl halides to form *trans*-2-phenylselenomethyl-3-hydroxypiperidines stereoselectively. The phenylselenomethyl group can be converted to an hydroymethyl group by oxidation and treatment with base.



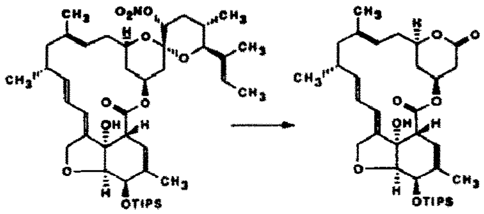
5 : 1, trans : cis

Tetrahedron Letters, **1994**, *35*, 5069

ALKOXY RADICALS IN ORGANIC SYNTHESIS. A NOVEL APPROACH TO A KEY INTERMEDIATE IN MILBEMYCIN CHEMISTRY.

Nigel Hussain,* David O. Morgan and Charles R. White. SmithKline Beecham Pharmaceuticals, Great Burgh, Yew Tree Bottom Road, Epsom, Surrey. KT18 5XQ.
John A. Murphy. Department of Chemistry, University of Nottingham, University Park, Nottingham, Nottinghamshire. NG7 2RD.

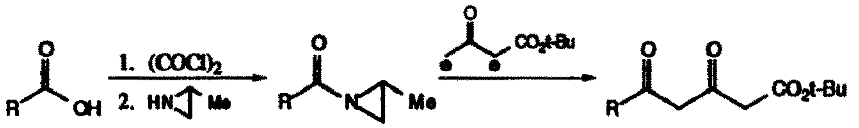
Conversion of a nitrate ester to a lactone involving an alkoxy radical is described. This process includes an interesting fragmentation of the alkoxy radical.



Tetrahedron Letters, **1994**, *35*, 5073

N-ACYL AZIRIDINES - C-ACYLATING AGENTS FOR THE PREPARATION OF POLYKETIDES.

Barry Lygo
Department of Chemistry and Applied Chemistry, University of Salford, Manchester, M5 4WT, UK.



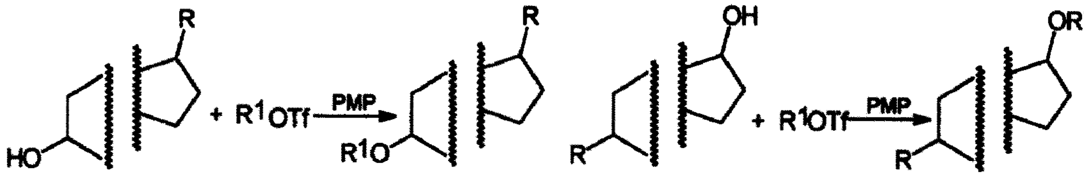
R = alkyl, aryl, α,β-unsaturated

Tetrahedron Letters, **1994**, *35*, 5075

ETHERIFICATION OF HYDROXYSTEROIDS *VIA* TRIFLATES.

Anatoly M. Belostotskii and Alfred Hassner, Chemistry Department, Bar-Ilan University, Ramat-Gan,52900, Israel.

Triflates of aliphatic alcohols alkylate 3- and 17-hydroxysteroids in the presence of hindered amines forming the steroidal ethers.

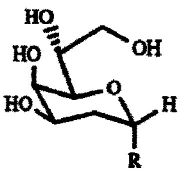


Tetrahedron Letters, **1994**, *35*, 5077

SYNTHESIS OF NOVEL PHOSPHONATE ANALOGUE OF Kdo AS A TOOL FOR THE DESIGN OF POTENT INHIBITORS FOR LIPOPOLYSACCHARIDE BIOSYNTHESIS

Shani Sheffer-Dee-Noor, Valery Belakhov and Timor Baasov*
Department of Chemistry, Technion - Israel Institute of Technology, Haifa 32000, Israel

Abstract: The new phosphonate analogue 3 of 2-deoxy-β-Kdo (2) was prepared in a stereospecific manner via intramolecular C-C bond formation. X-Ray structure analysis and NMR studies of the cyclyzation product established the twist-boat conformation of the pyranose ring with α-anomeric configuration of the phosphonate linkage.



2 R = CO_2H
3 R = PO_3H_2

Tetrahedron Letters, **1994**, *35*, 5081

NOVEL REACTIVITY OF STABILIZED METHYLENETRIBUTYLPHOSPHORANE: A NEW MITSUNOBU REAGENT

Tetsuto Tsunoda,* Fumie Ozaki, and Shô Itô
Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Tokushima, 770 Japan

$$\underset{(1.5\ \text{eq})}{\text{ROH} + \text{HA}} \xrightarrow[\text{PhH, 100 °C, 24h}]{\text{Bu}_3\text{P=CHCN (1.5 eq)}} \text{R-A}$$

HA =	
TsNHMe	> 90% yield
$CF_3CONHBn$	< 80% yield
PhCOOH	> 95% yield

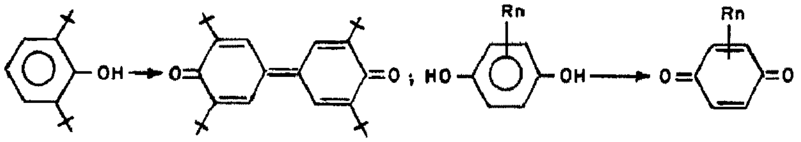
The reaction of 2-octanol with benzoic acid or *N*-methyltosylamide proceeds with complete Walden inversion.

Tetrahedron Letters, **1994**, *35*, 5083

Fe(III)-EDTA MEDIATED AUTOXIDATION OF 2,6-Di-t-BUTYLPHENOL AND SUBSTITUTED HYDROQUINONES BY MOLECULAR OXYGEN

Bir Sain, Pappu S. Murthy, T. Venkateshwar Rao, T. S. R. Prasada Rao, and Girish C. Joshi*
Indian Institute of Petroleum, Dehra Dun - 248 005, India

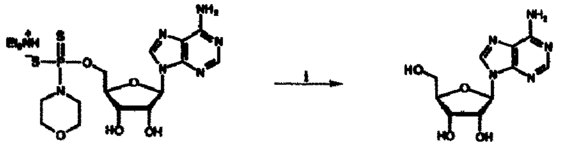
Title compounds oxidized in aq. MeOH containing Fe(III)-EDTA at room temperature and pH 8.0-8.5 by molecular oxygen in excellent yields.



Tetrahedron Letters, **1994**, *35*, 5085

ACID - CATALYSED HYDROLYSIS OF ADENOSINE 5'- PHOSPHORODITHIOMORPHOLIDATE

Colin B. Reese*, Louise H. K. Shek and Zhengyun Zhao
Department of Chemistry, King's College London, Strand, London WC2R 2LS, England



Reagents and conditions : i, AcOH - H_2O (95 : 5 v/v), RT