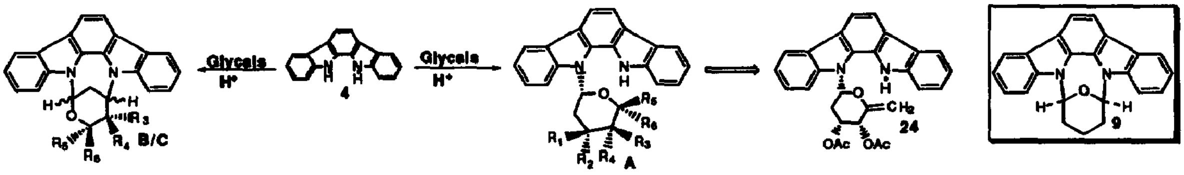
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 3005

Indolocarbazoles. 4. Synthetic Studies Towards Staurosporine and Tjipanazoles: Reactions of Indolocarbazole with Glycals.

B. B. Shankar*, S. W. McCombie, Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, New Jersey 07033.

Our efforts to synthesize Staurosporine analogs by reacting indolocarbazole 4 with a variety of glycals leading to B/C and/or A type products, along with the synthesis of the parent system 9 are described. One of the A type products was transformed to 24, a potentially useful intermediate.

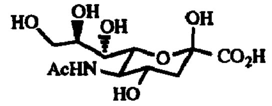


Tetrahedron Letters, **1994**, *35*, 3009

pH Dependent C6 and C8 ^{13}C Chemical Shift Assignment in *N*-Acetyl Neuraminic Acid.

Jacquelyn Gervay* and Gyula Batta
Department of Chemistry, The University of Arizona, Tucson, Arizona 85721

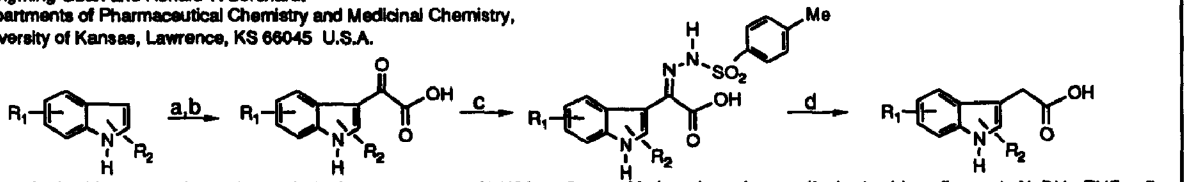
Heteronuclear correlation NMR spectroscopy was employed in the unambiguous carbon chemical shift assignment of *N*-acetyl neuraminic acid at pH 1 and 7. Our results show that at pH 1 the C6 resonance is slightly downfield from that of C8 and at pH 7 the C6 and C8 chemical shifts are transposed.



Tetrahedron Letters, **1994**, *35*, 3013

A CONVENIENT METHOD FOR THE SYNTHESIS OF INDOLE-3-ACETIC ACIDS

Xiangming Guan and Ronald T. Borchardt*
Departments of Pharmaceutical Chemistry and Medicinal Chemistry,
University of Kansas, Lawrence, KS 66045 U.S.A.



a. Oxalyl chloride, anhydrous ether, 0 °C; b. Saturated aqueous $NaHCO_3$, reflux. c. Methanol, *p*-toluenesulfonhydrazide, reflux. d. $NaBH_4$, THF, reflux.

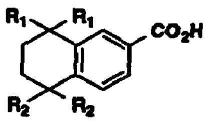
Tetrahedron Letters, **1994**, *35*, 3017

"Me_3Al-$TMSOSO_2CF_3$" A NEW REAGENT FOR CONVERSION OF CARBONYL TO GEMINAL DIMETHYL FUNCTIONALITY: REGIO-SPECIFIC SYNTHESIS OF ALKYLATED A RING OF AROTINOIDS

Choung Un Kim*, Peter F. Misco, Bing Y. Luh and Muzammil M. Mansuri

Bristol-Myers Squibb Co., Pharmaceutical Research Institute,
5 Research Parkway, Wallingford, CT 06492-7660

Regiospecific synthesis of 1 and 2 have been achieved by using "Me_3Al-$TMSOSO_2CF_3$" as a new reagent for conversion of carbonyl to geminal dimethyl functionality.



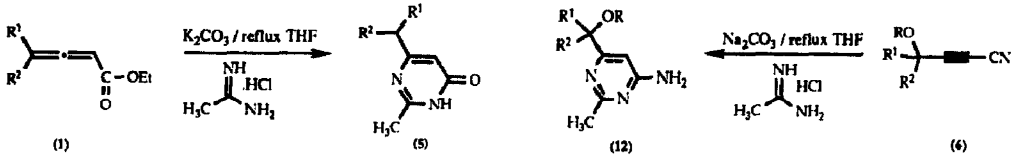
1 $R_1 = R_2 = Me$
$R_2 = R_2 = Et$

2 $R_1 = R_2 = Et$
$R_2 = R_3 = Me$

Tetrahedron Letters, **1994**, *35*, 3021

ACETYLENES. PART 2. 2-METHYLPYRIMIDIN-4(3H)-ONES AND 4-AMINO-6-(1-HYDROXYALKYL)-2-METHYLPYRIMIDINES FROM ALKA-2,3-DIENOATES AND 4-HYDROXYALK-2-YNENITRILES, RESPECTIVELY.

Ralph R. Roberts,[*a] **Stephen R. Landor**[b] **and Evon A. Bolessa.**[c] [a]Dept. of Chemistry, Howard University, Washington DC. 20059; [b]Dept. of Chemistry, University of Exeter, Exeter, EX4 4QD, UK; [c]Dept. of Chemistry, University of West Indies, Mona, Kingston 7, Jamaica WI.

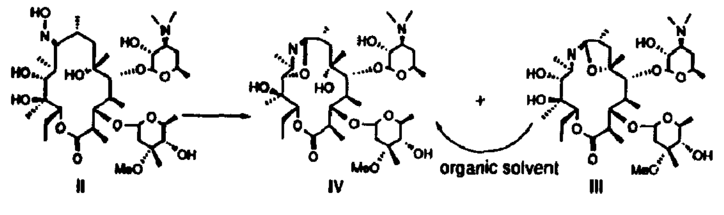


Tetrahedron Letters, **1994**, *35*, 3025

A Novel Product from Beckmann Rearrangement of Erythromycin A 9(E)-oxime.

Bingwei V. Yang,* Miriam Goldsmith and James P. Rizzi, Central Research Division, Pfizer Inc. Groton, CT 06340

Beckmann rearrangement of erythromycin A 9(E)-oxime led to 9,11-imino ether IV. IV can also be readily obtained from isomerization of its isomer 6,9-imino ether III.

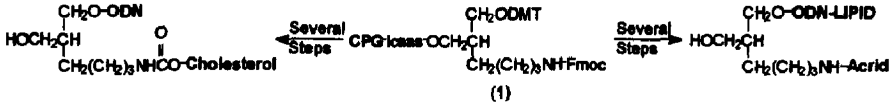


Tetrahedron Letters, **1994**, *35*, 3029

A Simplified Synthesis of Acridine and/or Lipid Containing Oligodeoxynucleotides.

Canio J. Marasco, Jr.,* Norman J. Angelino, Brajeswar Paul and Bruce J. Dolnick, Roswell Park Cancer Institute, Department Of Experimental Therapeutics, Buffalo, NY, 14263 USA

A simplified method has been developed for the synthesis of acridine and/or lipid containing oligodeoxynucleotides using a commercially available resin, 1, and reagents.

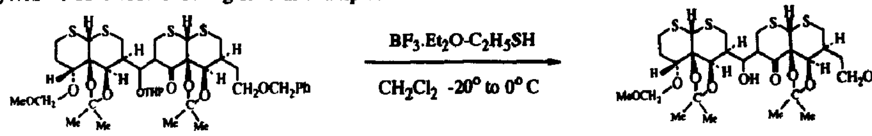

(1)

Tetrahedron Letters, **1994**, *35*, 3033

A MILD METHOD FOR SELECTIVE CLEAVAGE OF TETRAHYDROPYRANYL ETHERS IN THE PRESENCE OF OTHER ACID-LABILE FUNCTIONALITIES.

Krishnan P. Nambiar* and Abhijit Mitra, Department of Chemistry, University of California, Davis, CA 95616.

A mild method for selective cleavage of tetrahydropyranyl ethers in the presence of other acid sensitive functionalities such as acetonides, methoxymethyl ethers, methylenedioxy ethers, mesitaldehyde acetals, and t-butyldimethylsilyl ethers using Lewis acid-thiol system is described showing several examples.

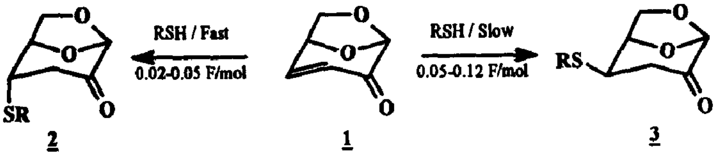


ELECTROSYNTHESIS OF NEW STEREOISOMERS OF ALKYL- AND ARYLTHIO DERIVATIVES OF LEVOGLUCOSENONE

Tetrahedron Letters, **1994**, *35*, 3037

Murat E. Niyazymbetov, Andrei L. Laikhter, Viktor V. Semenov, Dennis H. Evans
Department of Chemistry and Biochemistry, University of Delaware, Newark, DE 19716 (U.S.A)
Zelinsky Institute of Organic Chemistry, 47 Leninsky Prospect, Moscow 117913 (Russia)

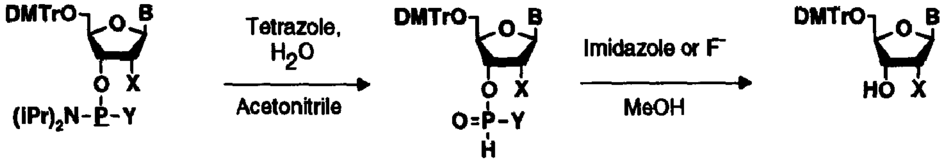
Cathodically initiated Michael addition of thiols to levoglucosenone 1 using small currents produces the previously unknown *threo* addition product 3 in several instances. The normal *erythro* isomer 2, identified as a kinetic product, tends to be formed when large currents are used.



FACILE METHODS TO RECYCLE NUCLEOSIDES DURING SOLID PHASE SYNTHESIS OF OLIGONUCLEOTIDES

Tetrahedron Letters, **1994**, *35*, 3041

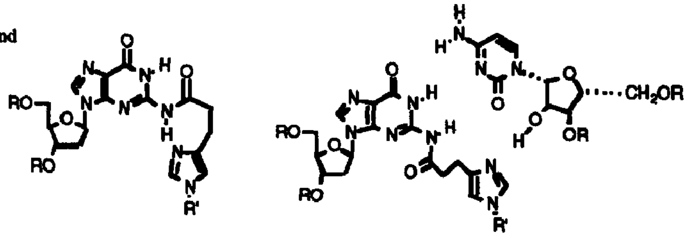
Wolfgang K.-D. Brill, Ciba-Geigy AG, R-1060.2.14,CH-4002 Basle, Switzerland



GUANOSINE DERIVATIVES BEARING AN N²-3-IMIDAZOLE PROPIONIC ACID

Tetrahedron Letters, **1994**, *35*, 3045

Norbert V. Heeb and Steven A. Benner*
Department of Chemistry, E.T.H. CH-8092 Zürich, Switzerland

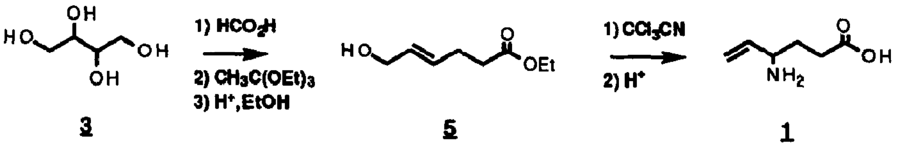


VIGABATRIN SYNTHESIS BY THERMAL REARRANGEMENTS

Tetrahedron Letters, **1994**, *35*, 3049

Patrick CASARA, Marion Merrell Dow Research Institute, 67080 Strasbourg, France.

Successive thermal reactions based on a Claisen and an Overman rearrangements furnish an original access to vigabatrin 1 starting from erythritol 3 in 25% overall yield.

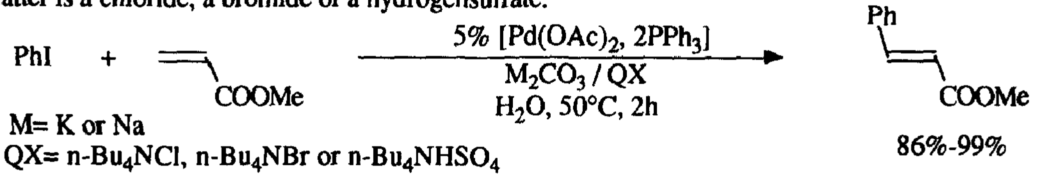


Heck-type Reactions in Water

Tetrahedron Letters, **1994**, *35*, 3051

Tuyet Jeffery
Laboratoire de Chimie de l'Ecole Normale Supérieure associé au CNRS - 24, Rue Lhomond
75231 Paris Cédex 05 - France

Palladium-catalysed vinylation of organic halides using an alkali metal carbonate as the inorganic base can proceed in neat water, without organic solvent, upon addition of a quaternary ammonium salt, whether the latter is a chloride, a bromide or a hydrogensulfate.

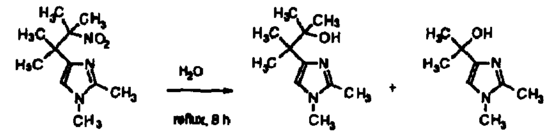


M= K or Na
QX= n-Bu$_4$NCl, n-Bu$_4$NBr or n-Bu$_4$NHSO$_4$
86%-99%

FIRST, SERENDIPITOUS AND INTRIGUING HYDROLYSIS OF A TERTIARY NITROALKANE

Tetrahedron Letters, **1994**, *35*, 3055

Michel P. Crozet*, Sophie Lapouge, Mustapha Kaafarani and Patrice Vanelle
Laboratoire de Chimie Moléculaire Organique, associé au CNRS, Faculté des Sciences et Techniques de Saint- Jérôme, B. P. 562, Université de Droit, d'Economie et des Sciences d'Aix-Marseille, 13397 Marseille Cedex 20, France

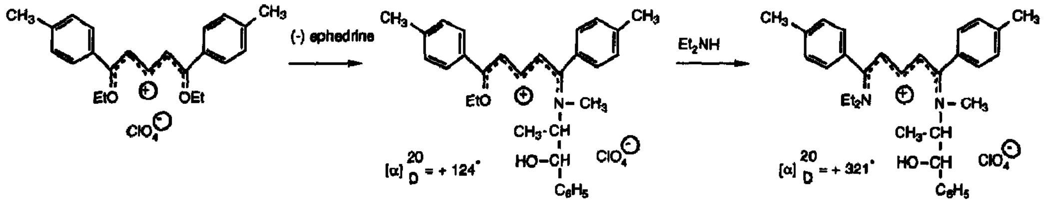


The hydrolysis of 1,2-dimethyl-4-(1,1,2-trimethyl-2-nitropropyl)imidazole which leads to two tertiary alcohols formed respectively by C-NO$_2$ and C-C bond fission, is the first example of hydrolysis of a tertiary nitroalkane.

A SYNTHETIC PATHWAY TO MACROCYCLIC AND OPTICALLY ACTIVE PENTAMETHINIUM SALTS

Tetrahedron Letters, **1994**, *35*, 3059

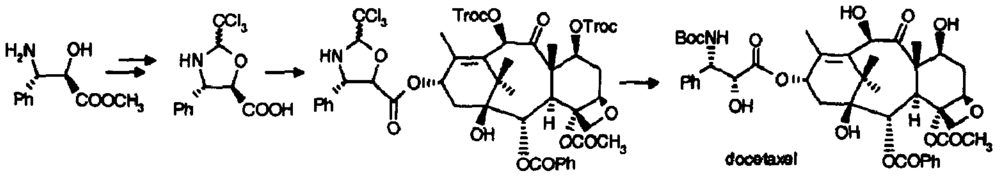
Corinne Payrastre, Nicaise Obaya, Yves Madaule, Jean-Gérard Wolf
Synthèse et Physicochimie Organique, Université Paul Sabatier, F-31062 Toulouse Cedex



Expeditious Semisynthesis of Docetaxel Using 2-Trichloromethyl-1,3-Oxazolidine as Side-Chain Protection.

Tetrahedron Letters, **1994**, *35*, 3063

E. Didier*, E. Fouque and A. Commerçon, Rhône-Poulenc Rorer S.A.- Centre de Recherches de Vitry-Alfortville, 13 Quai Jules Guesde - BP14 - 94403 Vitry-sur-Seine (France)

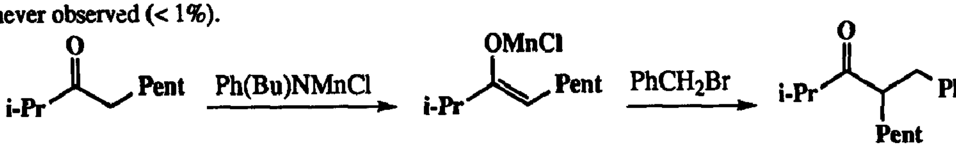


HIGHLY REGIOSELECTIVE MONOALKYLATION OF KETONES VIA MANGANESE ENOLATES PREPARED FROM MANGANESE AMIDES.

Tetrahedron Letters, **1994**, *35*, 3065

Gérard Cahiez *, Bruno Figadère and Patrick Cléry
Laboratoire de Chimie des Organoéléments, Université P. & M. Curie, 4 Place Jussieu F-75252 PARIS Cédex 05

Ketones are regioselectively converted to Mn-enolates by treatment with Mn-amides such as Ph(Me)NMnCl in THF at 20°C. Mn-enolates can then be regioselectively monoalkylated in good yields. The formation of di or polyalkylated products is never observed (< 1%).



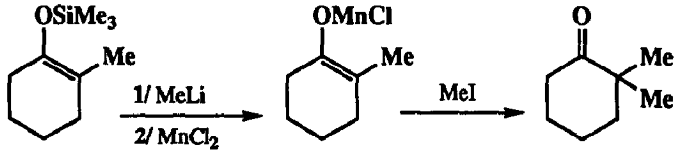
85%
Regioselectivity > 97%

HIGHLY REGIOSELECTIVE MONOALKYLATION OF KETONES VIA MANGANESE ENOLATES PREPARED FROM LITHIUM ENOLATES.

Tetrahedron Letters, **1994**, *35*, 3069

Gérard Cahiez *, Khi Chau and Patrick Cléry
Laboratoire de Chimie des Organoéléments, Université P. & M. Curie, 4 Place Jussieu F-75252 PARIS Cédex 05

Li-enolates are readily converted to Mn-enolates by treatment with manganese halides. In THF, the reaction is easily and economically performed with manganese chloride at room temperature. Mn-enolates can then be regioselectively monoalkylated in good yields. The formation of di and polyalkylated products is never observed (< 1%).



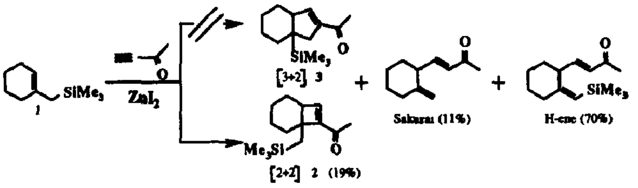
80%, Regioselectivity > 99%

ZnI_2 CATALYSED [2+2] VERSUS [3+2] CYCLOADDITION OF AN ALLYLTRIMETHYLSILANE WITH 3-BUTYN-2-ONE: CONFIRMATION OF A CYCLOBUTENE BY-PRODUCT FORMATION.

Tetrahedron Letters, **1994**, *35*, 3073

Honoré Monti*, Gérard Audran, Gilbert Léandri
Laboratoire de Réactivité Organique Sélective associé au CNRS, Faculté des Sciences de S^t Jérôme 13397 Marseille cedex 20-France.
Jean-Pierre Monti, Laboratoire de Biophysique 80037 Amiens-France.

NMR experiments unequivocally showed that the by-product obtained from the ZnI_2-promoted reaction of an allyltrimethylsilane with 3-butyn-2-one is a [2+2] cycloaddition compound. The reaction occurs without 1,2-silyl shift.

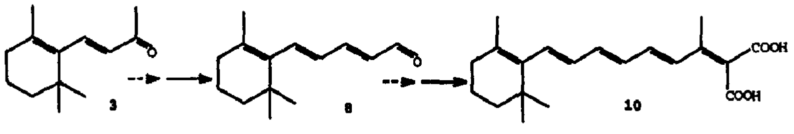


FIRST SYNTHESIS OF 9-DEMETHYL-14-CARBOXYRETINOIC ACID

Tetrahedron Letters, **1994**, *35*, 3077

Michel.Giraud*, Zo Andriamialisoa, Alain Valla, Sakina Zennache, Pierre Potier
Laboratoire de Chimie du Muséum National d'Histoire Naturelle, associé au CNRS
63 rue Buffon 75231 Paris Cedex 05, France. Fax: (+33) (1) 40 79 31 47.

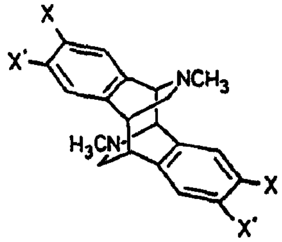
9-demethyl-14-carboxyretinoic acid **10** is synthesized in a few steps from β-ionone **3** *via* 9-demethyl-β-ionylideneacetaldehyde **8** (48% overall yield).



Tetrahedron Letters, **1994**, *35*, 3081

The Spontaneous Cyclodimerization of 2,3-Dihydroisoquinolines after Base Promoted Elimination of Methanol from 4-Methoxy-1,2,3,4-tetrahydroisoquinolines

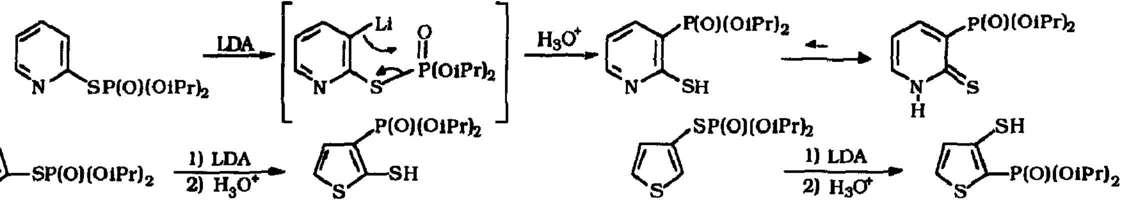
Gyula Simig and Manfred Schlosser*
Institut de Chimie organique de l'Université
Rue de la Barre 2, CH-1005 Lausanne, Switzerland



Tetrahedron Letters, **1994**, *35*, 3083

SYNTHESIS OF NEW MERCAPTO-PHOSPHONO SUBSTITUTED HETEROCYCLES VIA A THIOPHOSPHATE - β-MERCAPTOPHOSPHONATE REARRANGEMENT

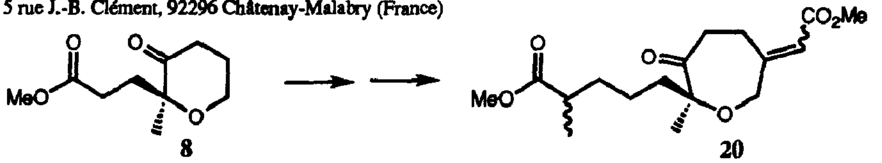
Serge Masson, Jean-François Saint-Clair and Monique Saquet
Laboratoire de Chimie des Composés Thioorganiques (associé au CNRS), Université de Caen-ISMRa, F-14050 CAEN, France



Tetrahedron Letters, **1994**, *35*, 3085

Synthetic Studies toward Zoapatanol

Gilles Pain, Didier Desmaële, Jean d'Angelo
Laboratoire de Chimie Organique associé au CNRS, Centre d'Etudes Pharmaceutiques,
5 rue J.-B. Clément, 92296 Châtenay-Malabry (France)



8 **20**

Pyranone (*S*)-**8** has been converted in 13 steps into compound **20**, an advanced intermediate in the synthesis of the anti-fertility agent zoapatanol

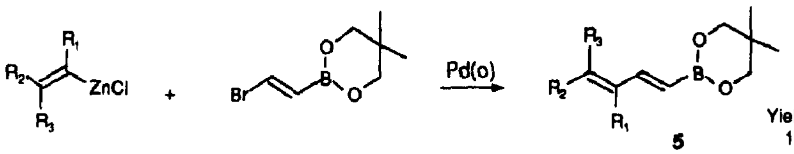
---

Tetrahedron Letters, **1994**, *35*, 3089

A GENERAL AND STEREOSELECTIVE SYNTHESIS OF 1-(DIALKOXYBORYL)-1,3-DIENES.

Ctibor Mazal and Michel Vaultier *, Université de Rennes I, GRPS, associé au CNRS, Avenue du Général Leclerc,
35042 Rennes Cédex, France.

A flexible synthesis of the air stable 1,3 dienylboronates **5** is described.



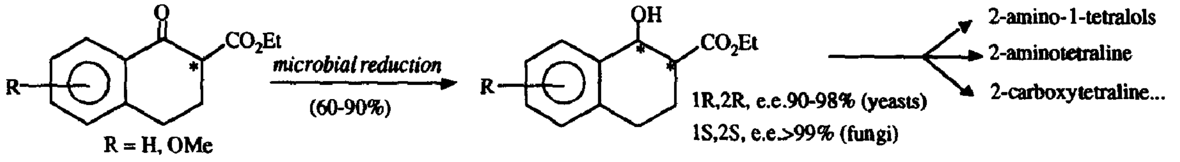
5

Yields : 51 - 82 %
10 examples

MICROBIAL REDUCTION OF 1-TETRALONE 2-CARBOXY-ESTERS AS A SOURCE OF ASYMMETRIC SYNTHONS.

Tetrahedron Letters, **1994**, *35*, 3091

D.Buisson[a], R.Cecchi[b], J.-A.Laffitte[c], U.Guzzi[b] and R.Azerad[a].
[a] Lab.Chimie Biochimie Pharmacologiques Toxicologiques, Univ R.Descartes, 45 rue des Saints-Pères, 75270-Paris, France; [b] Centre de Recherche Sanofi-Midy SpA, 38 via Piranesi, 20137 Milano, Italy; [c] G.R.L., Service de Chimie Enzymatique, BP 34, 64170 Lacq, France.



PRIMARY STRUCTURES OF ANTIBIOTIC PEPTIDES, TRICHOCELLINS-A AND -B FROM *TRICHODERMA VIRIDE*

Tetrahedron Letters, **1994**, *35*, 3095

Shun-ichi Wada,[a] Tetsuya Nishimura,[a] Akira Iida,[a] Nobuo Toyama[b] and Tetsuro Fujita[a,*]
[a]Faculty of Pharmaceutical Sciences, Kyoto University, Sakyo-ku, Kyoto 606-01, Japan. [b]Faculty of Horticulture, Minamikyushu University, Takanabe-cho, Miyazaki 884, Japan.

Ac-Aib-Ala-Aib-Ala-Aib-Ala-Gln-Aib-W-Aib-Gly-X-Aib-Pro-Val-Aib-Y-Z-Gln-Pheol

W : Leu or Ile X : Aib or Leu Y : Aib or Iva Z : Gln or Glu

Trichocellins-A : Z = Gln, Trichocellins-B : Z = Glu

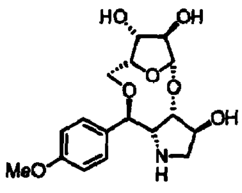
Ten peptaibols, trichocellins-A-I~VIII and -B-I and -II, containing α-aminoisobutyric acid (Aib) and isovaline (Iva) have been isolated from a fungus.

TOTAL SYNTHESIS OF AB3217-A, A NOVEL ANTI-MITE SUBSTANCE, VIA INTRAMOLECULAR GLYCOSYLATION

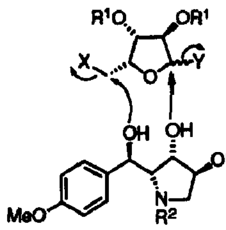
Tetrahedron Letters, **1994**, *35*, 3099

M. Nakata,* T. Tamai, T. Kamio, M. Kinoshita, and K. Tatsuta,
Department of Applied Chemistry, Keio University, 3-14-1 Hiyoshi, Kohoku-ku, Yokohama 223, Japan

The first total synthesis of AB3217-A has been achieved via intermolecular etherification and intramolecular glycosylation.



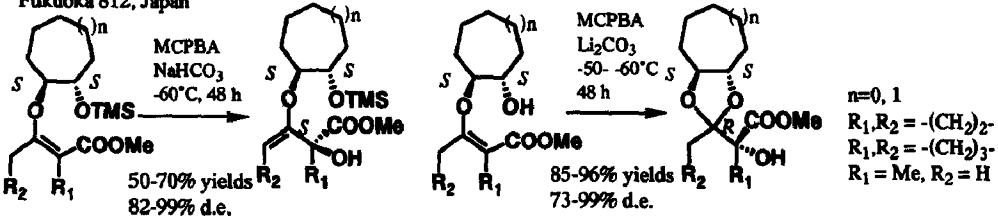
AB3217-A



ASYMMETRIC OXIDATION OF β-KETO ESTERS USING CHIRAL CYCLIC DIOLS

Tetrahedron Letters, **1994**, *35*, 3103

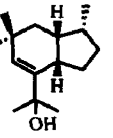
Keisuke Kato, Hiroshi Suemune and Kiyoshi Sakai*, Faculty of Pharmaceutical Sciences, Kyushu University, Fukuoka 812, Japan



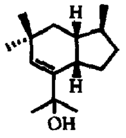
Tetrahedron Letters, **1994**, *35*, 3105

Revised Structure of a Brasilane-Type Sesquiterpene Isolated from the Red Alga *Laurencia implicata* and its Absolute Configuration

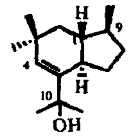
Motoo Tori, Katsuyuki Nakashima, Masashi Seike, and Yoshinori Asakawa*, *Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Yamashiro cho, Tokushima 770, Japan*; **A. D. Wright, G. M. König, and O. Sticher**, *ETH-Department of Pharmacy, Winterthurerstrasse 190, CH-8057 Zürich, Switzerland*



1



2



(-)-3

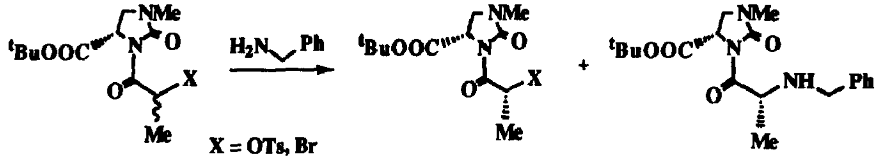
The brasilane-type sesquiterpene 1, isolated from the red alga *Laurencia implicata*, has been revised to a trans-fused compound 3 including its absolute configuration by total syntheses of 1-3.

Tetrahedron Letters, **1994**, *35*, 3107

2-OXOIMIDAZOLIDINE-4-CARBOXYLATE AS A NOVEL CHIRAL AUXILIARY FOR KINETIC RESOLUTION

Hitoshi Kubota, Akira Kubo and Ken-ichi Nunami*
Research Laboratory of Applied Biochemistry, Tanabe Seiyaku Co. Ltd., 16-89, Kashima-3-chome, Yodogawa-ku, Osaka 532, Japan

A kinetic resolution by stereospecific amination using 2-oxoimidazolidine-4-carboxylate as a novel chiral auxiliary was investigated.



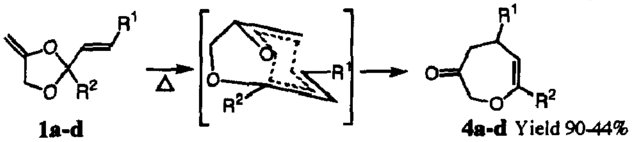
X = OTs, Br

Tetrahedron Letters, **1994**, *35*, 3111

Ring Expansion Reaction of 2-Vinyl-4-methylene-1,3-dioxolanes to 4,5-Dihydro-3(2*H*)-oxepinones by Claisen Rearrangement

J. Sugiyama*†, K. Tanikawa†, T. Okada†, K. Noguchi†, M. Ueda†, and T. Endo‡,
†Department of Materials Science and Engineering, Yamagata University, 4-3-16 Jounan, Yonezawa, Yamagata 992, JAPAN.
‡Research Laboratory of Resources Utilization, Tokyo Institute of Technology, 4259 Nagatsuta-cho Midori-ku Yokohama 227, JAPAN.

Claisen rearrangements of **1a-d** lead to **4a-d**. The rate of reaction followed the decreasing order: **1a** > **1b** > **1d** > **1c**.



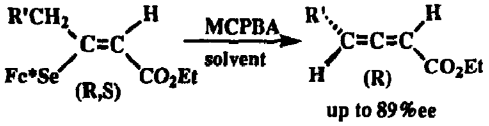
1a-d **4a-d** Yield 90-44%

1,4a : R^1=Ph, R^2=Ph
1,4b : R^1=H, R^2=Ph
1,4c : R^1=Ph, R^2=H
1,4d : R^1=Ph, R^2=ᵗBu

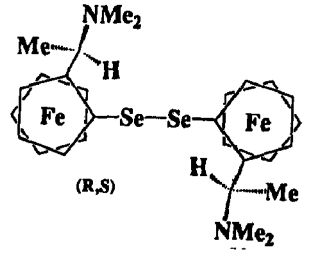
Tetrahedron Letters, **1994**, *35*, 3115

SYNTHESIS OF CHIRAL DIFERROCENYL DISELENIDES AND THEIR APPLICATION TO ASYMMETRIC REACTIONS

Yoshiaki Nishibayashi, Jai Deo Singh, and Sakae Uemura*
Division of Energy and Hydrocarbon Chemistry, Graduate School of Engineering, Kyoto University, Sakyo-ku, Kyoto 606-01, Japan
Shin-ichi Fukuzawa
Faculty of Science and Engineering, Chuo University, Bunkyo-ku, Tokyo 112, Japan



up to 89%ee

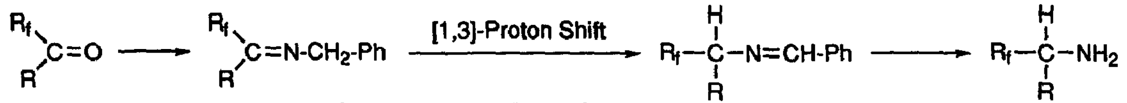


$(Fc^*Se)_2$

Tetrahedron Letters, **1994**, *35*, 3119

A Practical Route to Fluoroalkyl- and Fluoroarylamines by Base-Catalyzed [1,3]-Proton Shift Reaction

V. A. Soloshonok*
Catalysis Research Center, Hokkaido University, Sapporo 060, Japan; A. G. Kirilenko, V. P. Kukhar *Institute of Bioorganic Chemistry and Petrochemistry, Ukrainian Academy of Sciences, Kiev 253160, Ukraine;* G. Resnati *Dipartimento di Chimica, Politecnico di Milano, Milano 20131, Italy*



$Rf = C_nF_{2n+1}$, $H(C_nF_{2n})$, C_6F_5, C_6HF_4; $R = H$, Ph, $PhCH_2$

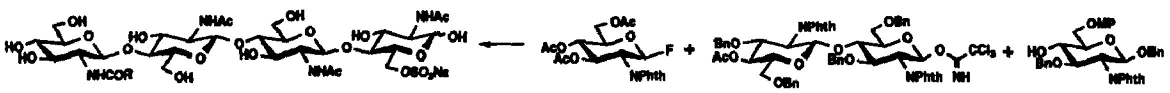
The base-catalyzed [1,3]-proton shift reaction is shown to be a convenient general method for prepation of primary fluoroalkyl and fluoroaryl amines starting from appropriate carbonyl compounds and benzylamine.

Tetrahedron Letters, **1994**, *35*, 3123

SYNTHESIS OF THE ROOT NODULE-INDUCING FACTOR NodRm-IV(C16:2,S) OF RHIZOBIUM MELILOTI AND RELATED COMPOUNDS

Shinji Ikeshita[a], Akio Sakamoto[a], Yuko Nakahara[a], Yoshiaki Nakahara[a], and Tomoya Ogawa*[a,b]
a)The Institute of Physical and Chemical Research (RIKEN), Wako-shi, Saitama, 351-01 Japan
b) Faculty of Agriculture, University of Tokyo, Yayoi, Bunkyo-ku, Tokyo, 113 Japan

A versatile synthetic route toward a root nodule-inducing factor of Rhizobium meliloti and its analogues was developed.

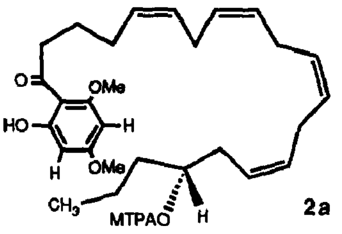


Tetrahedron Letters, **1994**, *35*, 3127

THE CONFORMATION OF THE 17-*O*-MTPA-EICOSATETRAENOYL CHAIN OF A MARINE ACYLPHLOROGLUCINOL

Takenori Kusumi,* Takashi Ooi, Hidetaka Uchimura†
Faculty of Pharmceutical Sciences, The University of Tokushima,
Tokushima 770, Japan †Chugai Pharmaceutical Company, Kyobashi,
Chuo-ku, Tokyo 104, Japan

NMR analysis using both NOESY spectrum and modified Mosher's method led to the conclusion that 17-*O*-MTPA-eicosatetraenoylphloroglucinol derivative (2) exists in a round conformation as shown in 2a.



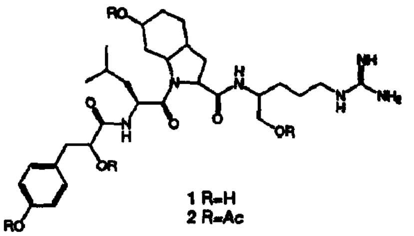
2a

Tetrahedron Letters, **1994**, *35*, 3129

AERUGINOSIN 298-A, A THROMBIN AND TRYPSIN INHIBITOR FROM THE BLUE-GREEN ALGA *MICROCYSTIS AERUGINOSA* (NIES-298)

Masahiro Murakami*, Yuji Okita, Hisashi Matsuda, Tatsufumi Okino and Katsumi Yamaguchi,
Laboratory of Marine Biochemistry, Faculty of Agriculture,
The University of Tokyo, Bunkyo-ku, Tokyo 113, Japan

A new thrombin and trypsin inhibitory peptide aeruginosin 298-A was isolated from *Microcystis aeruginosa* (NIES-298) and its structure was elucidated to be 1.

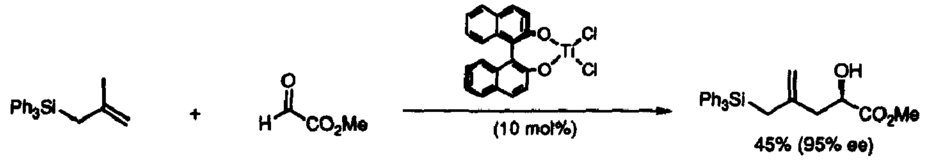


1 R=H
2 R=Ac

Tetrahedron Letters, **1994**, *35*, 3133

Ene Approach to Asymmetric Catalysis of the "Sakurai-Hosomi Reaction" Lewis Acid-promoted Carbonyl-Addition Reaction with Allylic Silanes

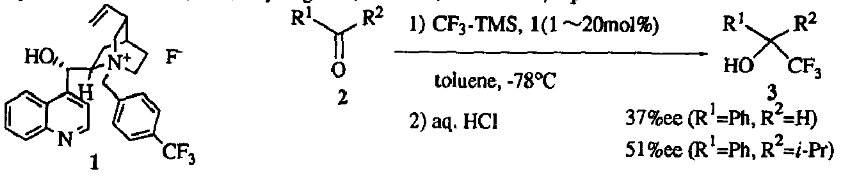
Koichi Mikami* and Satoru Matsukawa
Department of Chemical Technology, Tokyo Institute of Technology, Meguro-ku, Tokyo 152, Japan



Tetrahedron Letters, **1994**, *35*, 3137

ASYMMETRIC TRIFLUOROMETHYLATION OF ALDEHYDES AND KETONES WITH TRIFLUOROMETHYLTRIMETHYLSILANE CATALYZED BY CHIRAL QUATERNARY AMMONIUM FLUORIDES

Katsuhiko Iseki,* Takabumi Nagai and Yoshiro Kobayashi*
MEC Laboratory, Daikin Industries, Ltd., Miyukigaoka, Tsukuba, Ibaraki 305, Japan

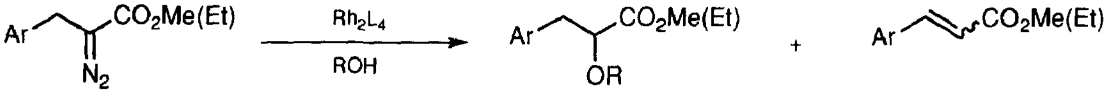


Tetrahedron Letters, **1994**, *35*, 3139

COMPETING O–H INSERTION AND β-ELIMINATION IN RHODIUM CARBENOID REACTIONS; SYNTHESIS OF 2-ALKOXY-3-ARYLPROPANOATES

G. G. Cox,[a] D. Haigh,[b] R. M. Hindley,[b] D. J. Miller[a] and C J. Moody*[a]
[a]Department of Chemistry, Loughborough University of Technology, Loughborough, Leicestershire LE11 3TU, U.K
[b]SmithKline Beecham Pharmaceuticals, Great Burgh, Epsom, Surrey KT18 5XQ, U.K.

Rhodium(II) carboxylate catalysed decomposition of 2-diazo-3-arylpropanoates in the presence of alcohols or water results in formation of 2-alkoxy- or 2-hydroxy-3-arylpropanoates by O–H insertion, in competition with cinnamates by elimination; the ratio of products can be controlled by choice of catalyst.

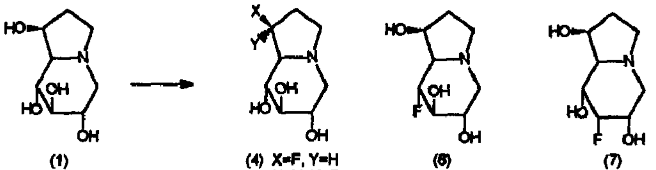


Tetrahedron Letters, **1994**, *35*, 3143

THE CHEMISTRY OF CASTANOSPERMINE, PART II: SYNTHESIS OF DEOXYFLUORO ANALOGUES OF CASTANOSPERMINE

Richard H Furneaux, Jennifer M Mason and Peter C Tyler
Industrial Research Ltd, P O Box 31310, Lower Hutt, New Zealand.

The deoxyfluorocastanospermine compounds (4), (5), (6) and (7) were prepared from castanospermine (1) *via* partially protected intermediates.

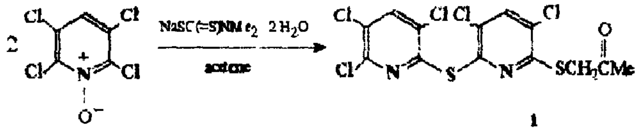


Tetrahedron Letters, **1994**, *35*, 3147

UNUSUAL NUCLEOPHILIC SUBSTITUTION REACTION OF TETRACHLOROPYRIDINE N-OXIDE

Alexey M.Sipyagin*, Valery V.Kolchanov, Nikolay N.Sveshnikov
Institute of Chemical Physics in Chernogolovka, Russian Academy of Sci., Chernogolovka, 142432, Moscow Region,Russia

A synthesis of 1-[6-(3',5',6'-trichloropyrid-2'-ylthio)-3,5-dichloropyrid-2-ylthio]propan-2-one (1) via radical coupling.



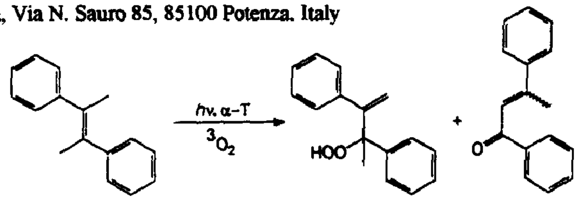
1

Tetrahedron Letters, **1994**, *35*, 3151

PHOTOCHEMICAL OXIDATION OF *trans*-α,α'-DIMETHYLSTILBENE IN THE PRESENCE OF α-TERTHIENYL

Maurizio D'Auria
Dipartimento di Chimica, Universita' della Basilicata, Via N. Sauro 85, 85100 Potenza, Italy

The irradiation of title compound in the presence of α-T and oxygen gave also 1,3-diphenyl-2-buten-1-one. The formation of this compound is due to superoxide ion oxidation.

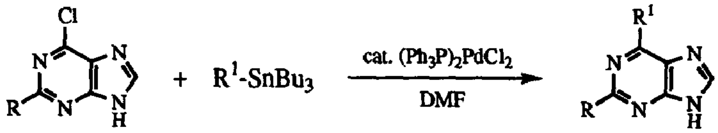


Tetrahedron Letters, **1994**, *35*, 3155

6-CHLOROPURINES AND ORGANOSTANNANES IN PALLADIUM CATALYZED CROSS COUPLING REACTIONS.

Lise-Lotte Gundersen,
Department of Chemistry, University of Oslo, P.O. Box 1033 Blindern, N-0315 Oslo and Norwegian College of Pharmacy, Sven Oftedalsvei 8, N-0950 Oslo, Norway.

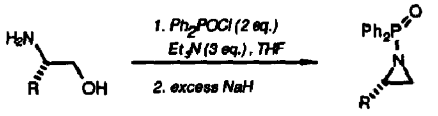
Carbon-carbon bond formation in the purine 6-position can be accomplished by Pd-catalyzed coupling between 6-chloropurines and organostannanes without protection of the purine ring *NH* function.



Tetrahedron Letters, **1994**, *35*, 3159

Direct Preparation of N-Diphenylphosphinoyl Aziridines from 1,2-Aminoalcohols Utilizing Nucleofugacity of Diphenylphosphinates

Helen M.I. Osborn, Alex A. Cantrill and J.B. Sweeney,* School of Chemistry, University of Bristol, Cantock s Close, Bristol, BS8 1TS, U.K., and William Howson, Parke-Davis Neuroscience Research Centre, Cambridge, CB2 2QB



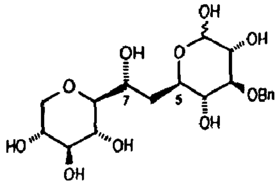
N-Diphenylphosphinoyl aziridines may be prepared in one-pot from 1,2-aminoalcohols

SYNTHESIS OF (1→6)-LINKED C-DISACCHARIDE DERIVATIVES USING NITRILE OXIDE/ISOXAZOLINE CHEMISTRY

Tetrahedron Letters, **1994**, *35*, 3163

Kenneth J. Penman and R.Michael Paton
Department of Chemistry, The University of Edinburgh,
West Mains Road, Edinburgh, EH9 3JJ, UK

The key steps in a the synthesis of (1→6)-hydroxymethylene-linked C-disaccharide derivatives, *eg* **1**, are the cycloaddition of pyranose 1-carbonitrile oxides to ω-unsaturated hexoses and subsequent manipulation of the resulting 2-isoxazolines



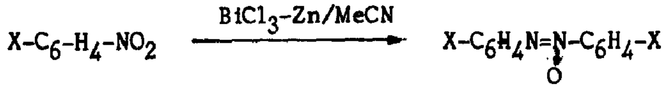
1

BISMUTH(III)CHLORIDE-ZINC PROMOTED SELECTIVE REDUCTION OF AROMATIC NITRO COMPOUNDS TO AZOXY COMPOUNDS

Tetrahedron Letters, **1994**, *35*, 3167

H.N. Borah, D. Prajapati, J.S. Sandhu* and A.C. Ghosh
Regional Research Laboratory, Jorhat 785 006, India

Aromatic nitro compounds were readily reduced to the corresponding azoxy compounds with $BiCL_3$-Zn system in 70-85% yields.



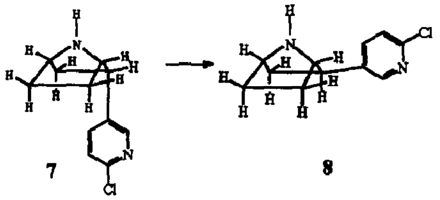
$$X\text{-}C_6\text{-}H_4\text{-}NO_2 \xrightarrow{BiCl_3\text{-}Zn/MeCN} X\text{-}C_6H_4N{=}\underset{\downarrow\atop O}{N}\text{-}C_6H_4\text{-}X$$

A PRACTICAL ROUTE TO EPIBATIDINE

Tetrahedron Letters, **1994**, *35*, 3171

Csaba Szántay*[a], Zsuzsanna Kardos-Balogh[a], István Moldvai[a], Csaba Szántay Jr[b]., Eszter Temesvári-Major[a], and Gábor Blaskó[c]
a.) Central Research Institute for Chemistry of the Hungarian Academy of Sciences, H-1525 Budapest, POB. 17, Hungary
b.) Chemical Works of Gedeon Richter, H-1475 Budapest, POB. 27, Hungary c.) EGIS Pharmaceutical LTD, H-1475 Budapest, POB. 100, Hungary

A practical synthetic approach to the alkaloid (±)-Epibatidine (**8**) and its endo-isomer (**7**) has been developed. The resolution of N-BOC derivative of **8** has already been described.



7

8

LITHIATION OF 2-CHLOROMETHYLPYRIDINE: SYNTHESIS OF 2-OXIRANYL PYRIDINES.

Tetrahedron Letters, **1994**, *35*, 3175

S. Florio,*[a] L. Troisi[b]
a) Dip. Farmaco-Chimico, Facoltà di Farmacia, Università di Bari, Traversa 200 Re David, 4, 70125 Bari, Italy; b) Dip. di Biologia, Università Lecce, Via Monteroni, 73100 Lecce, Italy.

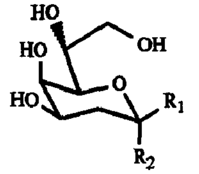
8 examples
30-75% yields

Tetrahedron Letters, **1994**, *35*, 3179

TOWARDS THE SYNTHESIS OF THE PUTATIVE REACTION INTERMEDIATE IN THE Kdo8P SYNTHASE-CATALYZED REACTION. SYNTHESIS AND EVALUATION OF 3-DEOXY-D-*MANNO*-2-OCTULOSONATE-2-PHOSPHATE

Amnon Kohen, Valery Belakhov and Timor Baasov*
Department of Chemistry, Technion - Israel Institute of Technology, Haifa 32000, Israel

Abstract: The new compounds α- and β-Kdo 2-phosphate (8 and 9) were synthesized in order to probe the introduction of the phosphate group at the anomeric center of Kdo. This method was used towards the synthesis of the putative bisphosphate intermediate 4 in Kdo8P synthase-catalyzed reaction.

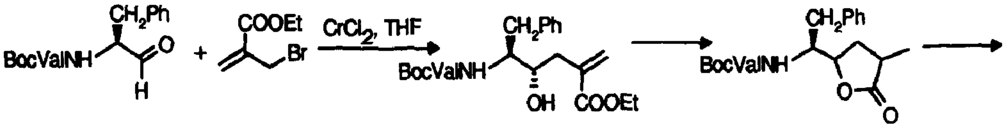


8 $R_1=CO_2^-$; $R_2=OPO_3^{2-}$
9 $R_1=OPO_3^{2-}$; $R_2=CO_2^-$

Tetrahedron Letters, 1994, *35*, 3183

$CrCl_2$ MEDIATED ALLYLATION OF N-PROTECTED α-AMINO ALDEHYDES. A VERSATILE SYNTHESIS OF POLYPEPTIDES CONTAINING AN HYDROXYETHYLENE ISOSTERE

Paola Ciapetti, Maurizio Taddei* and Paola Ulivi
Dipartimento di Chimica Organica "U.Schiff", Via G. Capponi 9, 50121 Firenze, Italy Fax.(+39)552476964



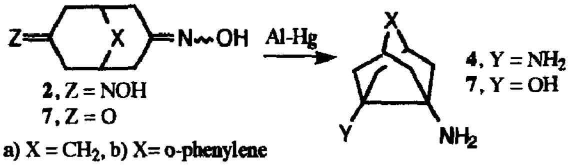
BocVal-PheΨ[CH(OH)CH_2]Ala-Ile-Pro-OMe

Tetrahedron Letters, **1994**, *35*, 3187

ALTERNATIVE SYNTHESES OF BRIDGEHEAD POLYCYCLIC 1,2-DIAMINES AND 2-AMINOALCOHOLS FROM DI- AND MONO-OXIMES OF SOME BICYCLIC DIKETONES: HIGHLY IMPROVED SYNTHESIS OF TRICYCLO[3.3.1.$0^{3,7}$]NONANE-3,7-DIAMINE.

Pelayo Camps* and Diego Muñoz-Torrero,
Laboratorio de Química Farmacéutica, Facultad de Farmacia, Universidad de Barcelona, Av. Diagonal s/n; E-08028 Barcelona, Spain

Reductive coupling of di- and mono-oximes of some polycyclic diketones with aluminum amalgam under sonication gives 1,2-diamines and 2-aminoalcohols, respectively.



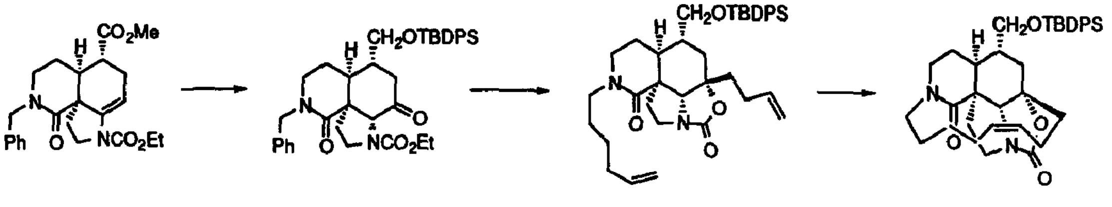
2, Z = NOH
7, Z = O
a) X = CH_2, b) X= o-phenylene

4, Y = NH_2
7, Y = OH

Tetrahedron Letters, **1994**, *35*, 3191

THE FIRST SYNTHESIS OF THE ABCD RING SYSTEM OF MANZAMINE A. CONSTRUCTION OF THE MACROCYCLIC RING D.

Bennett C. Borer, Sirik Deerenberg, Hans Bieräugel and Upendra K. Pandit,* Laboratory of Organic Chemistry, University of Amsterdam Nieuwe Achtergracht 129, 1018 WS Amsterdam, The Netherlands



Tetrahedron Letters, **1994**, *35*, 3195

Synthesis of Benzo[c]-2,7-naphthyridines by Palladium-catalyzed Coupling of Pyridine Methylstannanes with ortho Bromoacetanilides in the Presence of Copper(II)oxide.

Malm, J.; Björk, P.; Gronowitz, S.*; Hörnfeldt, A.-B. Organic Chemistry 1, Chemical Center, University of Lund, Box 124, S-22100 Lund, Sweden.

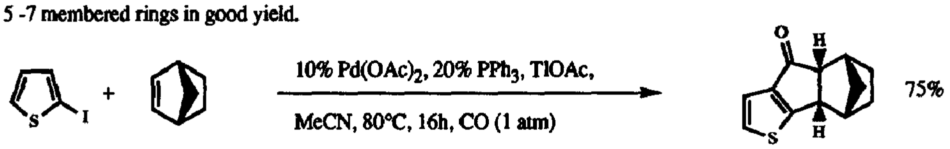
Derivatives of benzo[c]-2,7-naphthyridine have been prepared by Pd(0)-catalyzed cross-coupling of pyridine methylstannanes with ortho bromoacetanilides. The coupling is greatly promoted by the addition of copper(II)oxide.

Tetrahedron Letters, **1994**, *35*, 3197

CARBON MONOXIDE AS A ONE CARBON COMPONENT IN PALLADIUM CATALYSED CYCLOADDITION REACTIONS

Ronald Grigg,* Hashim Khalil, Philip Levett, Julia Virica and Visuvanathar Sridharan
School of Chemistry, Leeds University, Leeds, LS2 9JT.

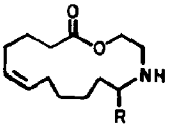
Pd(0) promotes a range of cycloadditions involving aryl / heteroaryl iodides which utilise carbon monoxide as a one carbon component, furnishing 5 -7 membered rings in good yield.



Tetrahedron Letters, **1994**, *35*, 3201

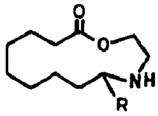
SYNTHESIS OF NOVEL AZAMACROLIDES (±) EPILACHNENE AND (±) 9-PROPYL-10-AZACYCLODODECAN-12-OLIDE

A V Rama Rao*, B Venkateswara Rao, M N Bhanu and V Satish Kumar
Indian Institute of Chemical Technology, Hyderabad 500 007, India



Epilachnene

R = $-CH_2CH_2CH_3$



9-Propyl-10-aza-cyclododecan-12-olide