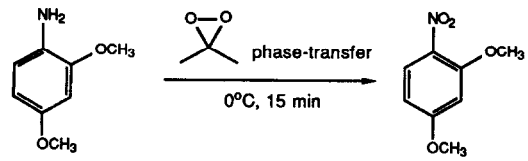
Tetrahedron Lett.29,4501(1988)

THE OXIDATION OF AROMATIC AMINES IN THE PRESENCE OF "ELECTRON-RICH" AROMATIC SYSTEMS

Daniel L. Zabrowski*, Alan E. Moormann, and Kenneth R. Beck, Jr., Gastrointestinal Diseases Research Department, G.D. Searle & Co., Skokie, IL 60077

Aromatic amines are oxidized under mild conditions in the presence of highly nucleophilic aromatic systems such as indoles and furans.

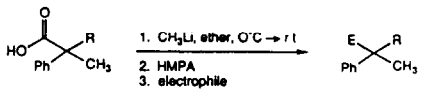


Tetrahedron Lett.29,4505(1988)

STABILIZED CARBANIONS BY ALKYLLITHIUM-INDUCED DECARBOXYLATION OF NON-ENOLIZABLE CARBOXYLIC ACIDS. AN ANIONIC EQUIVALENT TO THE HUNSDIECKER REACTION.

John P. Gilday and Leo A. Paquette*
Evans Chemical Laboratories, The Ohio State University, Columbus, Ohio 43210 USA

Intermediate dianions formed by nucleophilic attack of methyllithium on α-phenyl or α-phenylthio carboxylate salts fragment in highly coordinating solvents to produce stabilized carbanions. Once formed, these anions may be conveniently functionalized with various electrophilic reagents.

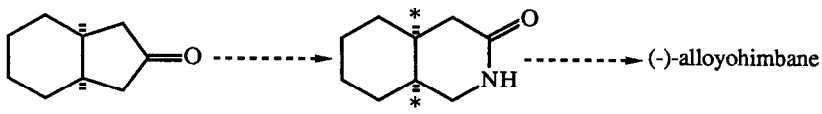


Tetrahedron Lett.29,4509(1988)

AN ENANTIOSELECTIVE SYNTHESIS OF (-)-ALLOYOHIMBANE

Jeffrey Aubé, Department of Medicinal Chemistry, University of Kansas, Lawrence Kansas 66045

A synthesis of (-)-alloyohimbane was accomplished using a group-selective nitrogen insertion reaction as the key step.

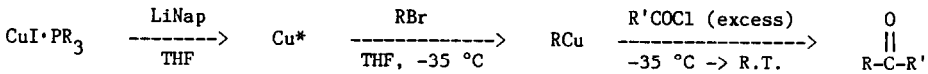


Tetrahedron Lett.29,4513(1988)

DIRECT FORMATION OF FUNCTIONALIZED KETONES VIA THE COUPLING OF FUNCTIONALIZED ORGANOCOPPER REAGENTS WITH ACID CHLORIDES

Richard M. Wehmeyer and Reuben D. Rieke*
Department of Chemistry, University of Nebraska-Lincoln, Lincoln, NE 68588-0304

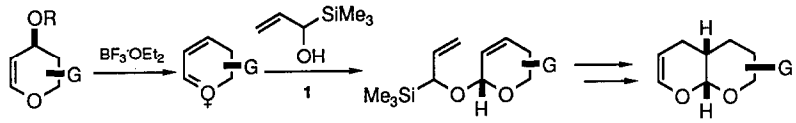
Functionalized organocopper reagents have been prepared directly from highly reactive copper and functionalized alkyl halides. These organocopper reagents have been effectively trapped with acid chlorides giving functionalized ketones. Ester, nitrile, chloride, remote epoxide, and, to some degree, ketone groups can be tolerated by this approach.



Tetrahedron Lett.29,4517(1988)

STEREOSELECTIVE GLYCOSIDATION REACTIONS OF ACTIVATED GLYCALS WITH A C1-OXYGENATED ALLYLSILANE: SYNTHESIS OF A CIS-PYRANO[2,3-b]PYRAN

James S. Panek* and Michelle A. Sparks
Department of Chemistry
Metcalf Center for Science and Engineering
Boston University
Boston, Massachusetts 02215

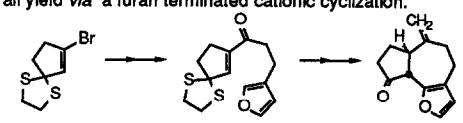


Tetrahedron Lett.29,4521(1988)

Furans in Synthesis 9. Approaches to the Syntheses of Guaianolides and Pseudoguaianolides

Steven P. Tanis*, The Upjohn Co., 7250-209-6, Metabolic Diseases Research, Kalamazoo, MI 49001.
Gary M. Johnson and Mark C. McMills, Department of Chemistry, Michigan State University, East Lansing, MI 48824

The bicyclo[5.3.0]decane containing **23**, which should serve as a precursor to a variety of guaianolides and pseudoguaianolides has been constructed in 5 steps and 36% overall yield *via* a furan terminated cationic cyclization.



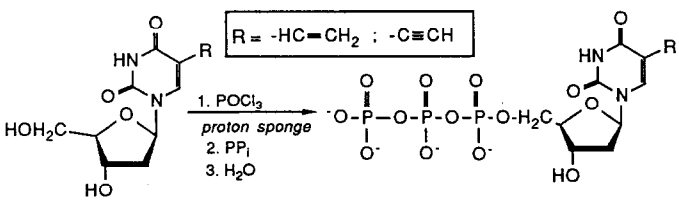
23

Tetrahedron Lett.29,4525(1988)

SIMPLE SYNTHESIS OF 5-VINYL- AND 5-ETHYNYL-2'-DEOXYURIDINE-5'-TRIPHOSPHATES

Teréz Kovács* and László Ötvös, *Centr. Res. Inst.Chem., Budapest, P.O.Box 17, H-1525 Hungary*

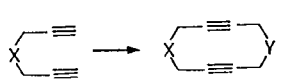
Acid sensitive nucleoside 5'-O-triphosphates can be obtained in good yield directly from the unprotected nucleosides in the presence of a proton sponge.



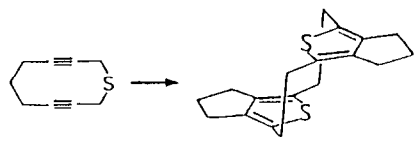
Tetrahedron Lett.29,4529(1988)

SYNTHESIS AND PROPERTIES OF TEN-MEMBERED CYCLODIYNES WITH ONE OR TWO HETERO ATOMS

Rolf Gleiter and Stefan Rittinger
Organisch-Chemisches Institut der Universität Heidelberg, Im Neuenheimer Feld 270, D-6900 Heidelberg (FRG)



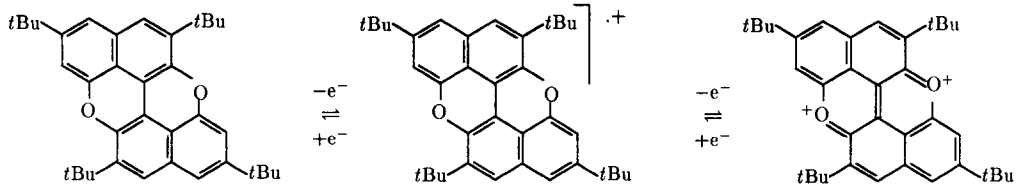
X = CH_2,S Y = S; X = CH_2,O Y = O



Tetrahedron Lett.29,4533(1988)

REVERSIBLE ELECTROCHEMICAL OXIDATION OF 2,5.8,11-TETRA-*tert*-BUTYL-*peri*-XANTHENOXANTHENE TO ITS RADICAL CATION AND DICATION

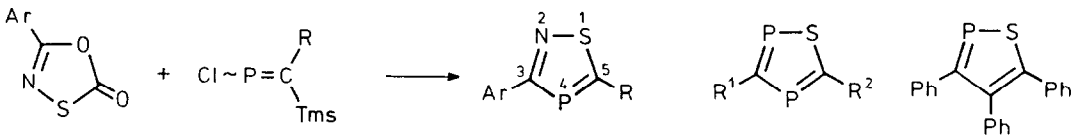
Alwin Dettling, Anton Rieker, and Bernd Speiser, Institut für Organische Chemie, Auf der Morgenstelle 18, D-7400 Tübingen 1, FRG



Tetrahedron Lett.29,4535(1988)

1,2,4λ^3-THIAAZAPHOSPHOLE, 1,2λ^3,4λ^3-THIADIPHOSPHOLE UND 1,2λ^3-THIAPHOSPHOLE AUS 1,3,4-OXATHIAZOL-2-ONEN UND PHOSPHAALKENEN.

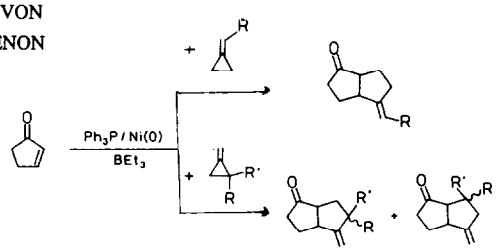
G. Märkl und W. Hölzl
Institut für Organische Chemie der Universität Regensburg, Universitätsstr. 31, D-8400 Regensburg, BRD



Tetrahedron Lett.29,4539(1988)

6-METHYLEN-BICYCLO[3.3.0]OCTAN-2-ONE DURCH NICKEL(0)-KATALYSIERTE [3+2]CYCLOADDITION VON METHYLENCYCLOPROPANEN MIT 2-CYCLOPENTENON

Paul Binger und Bernd Schäfer
Max-Planck-Institut für Kohlenforschung,
Kaiser-Wilhelm-Platz 1,
D-4330 Mülheim an der Ruhr

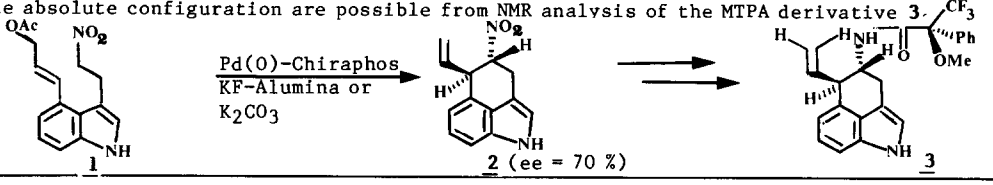


Tetrahedron Lett.29,4543(1988)

ASYMMETRIC SYNTHESIS : AN ENTRY INTO TRICYCLIC NITRO ERGOLINE SYNTHON.

J.P. GENET* S. GRISONI - Laboratoire de Chimie Organique et Organométallique, Université P. et M. Curie, 8, rue Cuvier - 75005 - Paris - France.

Asymmetric synthesis of tricyclic ergoline synthon **2** (ee up to 70 %) is described from 4-indole carboxaldehyde in absence of a protecting group. The key step involves Palladium mediated carbocyclisation of **1** with a chiral ligand on the metal. Optical purity as well as assignment of the absolute configuration are possible from NMR analysis of the MTPA derivative **3**.

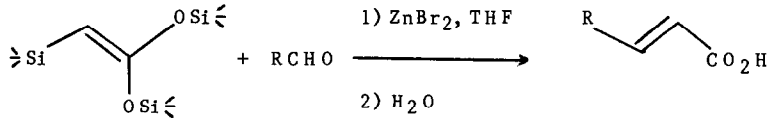


STEREOSELECTIVE SYNTHESIS OF (E)-α,β-UNSATURATED ACIDS FROM C,O,O-TRI(TRIMETHYL SILYL) KETENE ACETAL AND ALDEHYDES.

Tetrahedron Lett. 29, 4551 (1988)

Moncef BELLASSOUED and Marcel GAUDEMAR - Laboratoire de Synthèse Organométallique, Université P. et M. Curie, 8, rue Cuvier - 75005 - Paris - France.

Aldehydes are converted into (E)-α,β-unsaturated carboxylic acids by means of C,O,O-tri(trimethylsilyl) ketene acetal and catalytic amount of $ZnBr_2$.

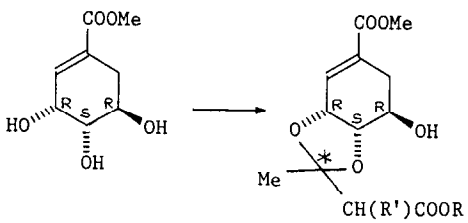


Tetrahedron Lett. 29, 4555 (1988)

CHIRAL DIOXOLANS FROM (-)METHYL SHIKIMATE. DETERMINATION BY ^1H 2D and ^{13}C NMR OF THE NEW ASYMMETRIC CENTER CONFIGURATION

Delfourne E., Gorrichon L. and Zedde C.
Synthèse et Physicochimie organique, UA CNRS 471, Univ. P. Sabatier, 31062 TOULOUSE CEDEX (FRANCE)

Modelisation of the enolization process postulated in the dehydroquinate hydrolyase (shikimate pathway)

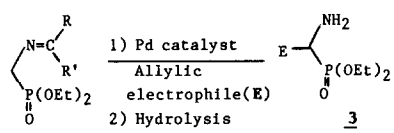


Tetrahedron Lett. 29, 4559 (1988)

SYNTHESIS OF α-AMINOPHOSPHONIC ACIDS BY Pd(0) ALKYLATION OF DIETHYL AMINOMETHYLPHOSPHONATE SCHIFF BASES.

J.P. GENET, J. UZIEL and S. JUGE - Laboratoire de Chimie Organique et Organométallique, Université P. et M. Curie, 8, rue Cuvier - 75005 - Paris - France.

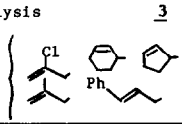
Alkylation of diethyl aminomethylphosphonate Schiff bases 1 and 2 is described under neutral or basic conditions in THF or DME by allylic carbonates, esters or halides in the presence of Pd(0) catalyst. Several γ,δ-unsaturated α-aminophosphonic esters 3 were synthesized in good yields (50-80 %).



3

1 R = H, R' =

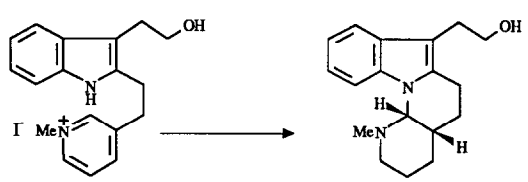
2 R = R' = Ph

E : 

Tetrahedron Lett. 29, 4563 (1988)

Synthetic and Structural Studies in the Goniomitine Alkaloid Series : A New Reductive Cyclization Reaction in the Indole Field.

C. Hashimoto and H.-P. Husson, Institut de Chimie des Substances Naturelles, CNRS, 91198 Gif-sur-Yvette, France.



6 (±)-8 goniomitine 1

The synthesis of (±)-8, structurally similar to goniomitine 1, was achieved by a novel reductive cyclization of the pyridinium salt 6.

ORGANIC SYNTHESES WITHOUT SOLVENT: BASE-CATALYSED ESTER INTERCHANGE

Tetrahedron Lett.29,4567(1988)

Jean BARRY, Georges BRAM* and Alain PETIT

I.C.M.O. Laboratoire des Réactions Sélectives sur Supports, associé au CNRS, Bâtiment 410. Université de Paris-Sud. F-91405 ORSAY (France).

$$RCO_2Me \text{ (or Et)} + R'OH \underset{NR_4^+ X^-}{\overset{K_2CO_3}{\rightleftharpoons}} RCO_2R' + MeOH \text{ (or EtOH)}$$

$$CH_3\text{-}CO_2\text{-}\underset{CH_3}{\overset{|}{C}}=CH_2 + R'OH \overset{K_2CO_3}{\rightleftharpoons} CH_3\text{-}CO_2\text{-}R' + [\ HO\text{-}\underset{CH_3}{\overset{|}{C}}=CH_2 \rightleftharpoons CH_3\text{-}\underset{O}{\overset{\|}{C}}\text{-}CH_3\ ]$$

CARBONYLATION OF TERTIARY ALKYL HALIDES SYNTHESIS OF PIVALOYL HALIDES

Tetrahedron Lett.29,4569(1988)

J. -J. Brunet*, P. Legars, Y. Peres, I. Tkatchenko and S. Lecolier
Laboratoire de Chimie de Coordination du CNRS
205, route de Narbonne, 31077 Toulouse Cedex (France)

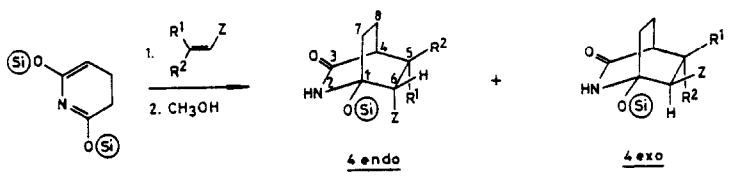
$$tBuX + CO\ (50\ bar) \xrightarrow[-25°C,\ 1h]{RSO_3H/CCl_4} tBu\underset{O}{\overset{\|}{C}}\text{-}X$$

X = Cl, Br; R = CF_3, Cl 40-45% yield

DIELS-ALDER REACTIONS OF 2-AZADIENES. DIASTEREOSELECTIVE SYNTHESES OF 2-AZABICYCLO[2.2.2]OCTAN-2-ONES AND OF 2,3,4-SUBSTITUTED CYCLOHEXANONES.

Tetrahedron Lett.29,4573(1988)

M. Rivera, H. Lamy-Schelkens, F. Sainte, K. Mbiya and L. Ghosez*
Laboratoire de Chimie Organique de Synthèse, U.C.L., Belgium.



A NEW SYNTHESIS OF VINYLPHOSPHONIUM SALTS. APPLICATION FOR DEUTERIUM LABELING.

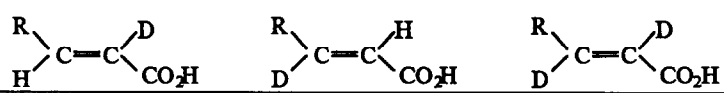
Tetrahedron Lett.29,4577(1988)

Chantal LARPENT and Henri PATIN

Laboratoire de Chimie Organique et des Substances Naturelles, associé au CNRS UA n° 704. Ecole Nationale Supérieure de Chimie de Rennes, 35700 RENNES-Beaulieu (France)

$$(Ar_{3-n}Ph_n)P: + R\text{-}C\equiv C\text{-}CO_2H \xrightarrow[\text{or } (D_2O)]{H_2O} (Ar_{3-n}Ph_n)\overset{\oplus}{P}\text{-}C(R)=C\begin{matrix}H\,(D)\\ \diagup\\ \diagdown\\ CO_2^{\ominus}\end{matrix}$$

Regiospecifically mono or dideuteriated fumaric or cinnamic acids are obtained from the water-soluble vinylphosphonium salts.



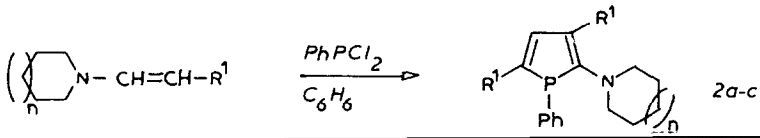
2-Amino 1-phenylphospholes from dichlorophosphine and enamines.

Tetrahedron Lett.29,4581(1988)

Wai Hé-Line Wai Tan and André Foucaud.*
Groupe de Chimie Structurale, associé au C.N.R.S., Université de Rennes, 35042 Rennes, France.

The reaction of dichlorophenylphosphine with two equivalents of enamines **1** at room temperature gives 2-amino 1-phenylphosphine **2**.

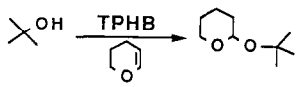
	n	R^1
1a	1	Me
b	1	Et
c	0	Et



Tetrahedron Lett.29,4583(1988)

Triphenylphosphine hydrobromide :
A mild and efficient catalyst for tetrahydropyranylation of tertiary alcohols

Véronique Bolitt , Charles Mioskowski ,* Laboratoire de Chimie Bio-Organique , CNRS UA 31 , Faculté de Pharmacie , Universite Louis Pasteur, 67400 Strasbourg , Cédex FRANCE
Dong-Soo Shin , and J.R.Falck*
Departments of Molecular Genetics and Pharmacology ,
University of Texas Southwestern Medical Center , Dallas ,
Texas 75235 USA

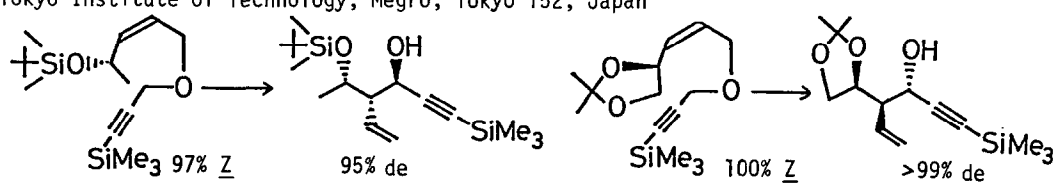


Triphenylphosphine hydrobromide (TPHB) is a mild and very efficient catalyst for the tetrahydropyranylation of tertiary alcohols .

Tetrahedron Lett.29,4587(1988)

ASYMMETRIC INDUCTION IN THE [2,3]WITTIG REARRANGEMENT OF ALLYLIC ETHERS WITH A CHIRAL SUBSTITUENT. NEW ENTRIES TO STEREOCONTROL OVER THREE CONTIGUOUS CHIRAL CENTERS

Ei-ichi Nakai and Takeshi Nakai*, Department of Chemical Technology,
Tokyo Institute of Technology, Megro, Tokyo 152, Japan

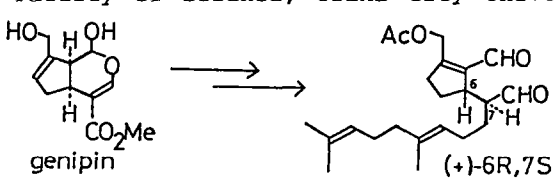


Tetrahedron Lett.29,4591(1988)

SYNTHESIS OF OPTICALLY ACTIVE PETIODOAL AND DETERMINATION OF ITS ABSOLUTE STRUCTURE†

Sachihiko Isoe*, Yuting Ge, Kazuhiro Yamamoto, and Shigeo Katsumura*
Institute Of Organic Chemistry, Faculty Of Science, Osaka City University, Osaka 558, Japan

The absolute structure of natural (-)-petiodial is determined to be **6S, 7R.**

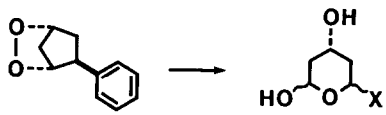


Tetrahedron Lett.29,4595(1988)

ELECTROPHILE-INITIATED CONVERSION OF A PROSTAGLANDIN ENDOPEROXIDE MODEL COMPOUND TO THE THROMBOXANE B SKELETON

Kimio Takahashi and Morio Kishi*
Shionogi Research Laboratories, Shionogi & Co., Ltd.,
Fukushima-ku, Osaka 553, Japan

Reaction of the simplified prostaglandin endoperoxide model (1) with ferric or cupric ion afforded the lactols (2a, b) containing the thromboxane B ring moiety.



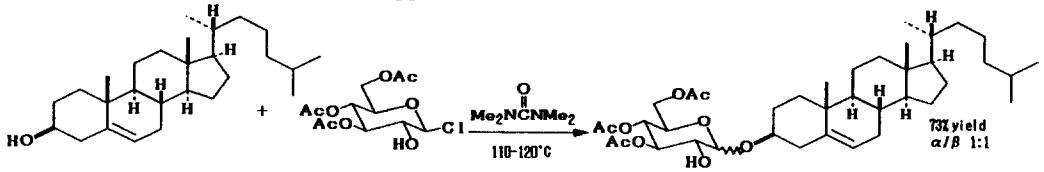
(1) (2a) X= β-Ph (2b) X= α-Ph

Tetrahedron Lett.29,4597(1988)

A SIMPLE METAL FREE 2'-DISCRIMINATED GLUCOSIDATIO.. PROCEDURE

Mugio Nishizawa,* Yukiko Kan, and Hidetoshi Yamada
Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Yamashiro-Cho, Tokushima 770, Japan

A variety of 2'-discriminated glucosides have been prepared under thermal conditions without metal catalysis using special reaction apparatus.

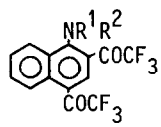


Tetrahedron Lett.29,4599(1988)

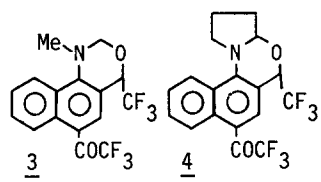
ACID CATALYZED CYCLIZATION OF N,N-DIALKYL-2,4-BISTRIFLUOROACETYL-1-NAPHTHYLAMINES TO NAPHTHO[1,2-d][1,3]-OXAZINES

Masaru Hojo*, Ryōichi Masuda and Etsuji Okada
Department of Industrial Chemistry, Faculty of Engineering,
Kobe University, Kobe 657, Japan

N,N-Dialkyl-2,4-bistrifluoroacetyl-1-naphthylamines(1 and 2) in the presence of acids such as trifluoroacetic acid, p-toluenesulfonic acid or silica gel to give naphtho[1,2-d][1,3]-oxazines(3 and 4) in high yields.



1:NR^1R^2=NMe_2, 2:NR^1R^2= 



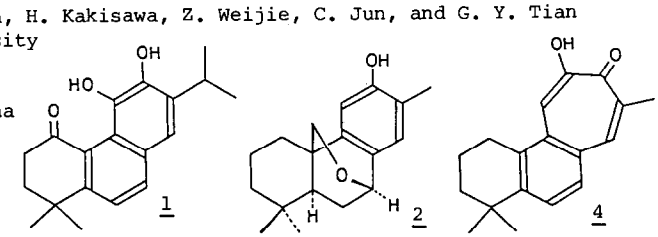
3 4

Tetrahedron Lett.29,4603(1988)

SALVIOLONE, A CYTOTOXIC BISNORDITERPENE WITH A BENZOTROPOLONE CHROMOPHORE FROM CHINESE DRUG DAN-SHEN (Salvia miltiorrhiza)

H. Ginda, T. Kusumi, M. O. Ishitsuka, H. Kakisawa, Z. Weijie, C. Jun, and G. Y. Tian
Department of Chemistry, The University of Tsukuba, Ibaraki, Japan 305.
Dalian Institute of Medical and Pharmaceutical Science, Dalian, China

Three new norditerpenes 1, 2, 4 were obtained.



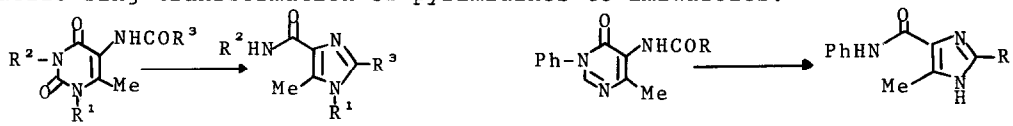
1 2 4

Tetrahedron Lett.29,4607(1988)

A NOVEL RING TRANSFORMATION OF 5-ACYLAMINOURACILS AND 5-ACYLAMINOPYRIMIDIN-4(3H)-ONES INTO IMIDAZOLES

Taisei Ueda, Izumi Matsuura, Nobutoshi Murakami, Shin-ichi Nagai, Jinsaku Sakakibara*, and Masafumi Goto
Faculty of Pharmaceutical Sciences, Nagoya City University, Nagoya 467, Japan

A facile ring transformation of pyrimidines to imidazoles.



Tetrahedron Lett.29,4611(1988)

ALKOXYFLUOROALKYL RADICALS: STRUCTURE AND CONFORMATIONS FROM SOLID STATE ESR SPECTRA.

A. Faucitano, A. Buttafava, F. Martinotti
DPTM Chimica Generale Università - V.le Taramelli, 12 - 27100 Pavia (Italy)
G. Marghionni - Montefluos - Milano (Italy)

$R_FCF_2CFR'OOCF_2CFR'R_F \xrightarrow[77K]{h\nu} R_FO\dot{C}F_2 \ , \ R_FO\dot{C}F(CF_3)$

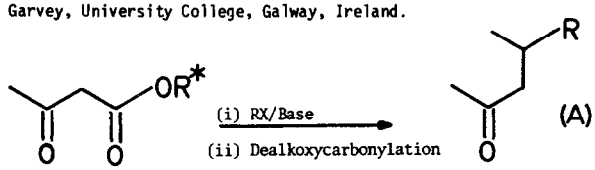
R'=F, CF_3

The structure of R_FOCF_2 and $R_FOCF(CF_2)$ is determined by solid state ESR.

Tetrahedron Lett.29,4615(1988)

SURFACE ENHANCED ENANTIODIFFERENTIATION IN REACTIONS OF CHIRAL ACETOACETATES.

Georges Bram, Universite de Paris-Sud, Orsay, France; Daniel Cabaret and Zoltan Welvart, C.N.R.S., Thiais, France; Niall W.A. Geraghty* and James Garvey, University College, Galway, Ireland.



R* = menthyl, phenylmenthyl
R = hexyl, phenyl

When the alkylation step (1) is carried out on alumina, the optical purity of the ketone (A) is up to 6$\frac{1}{2}$ times greater than that obtained when the same step is carried out in solution.

Tetrahedron Lett.29,4619(1988)

A NEW TYPE OF FUNCTIONALIZATION OF THE BENZYLIC-TYPE POSITIONS IN ALKYLPYRIDINE BY DMSO-Ac_2O

Elena Vismara
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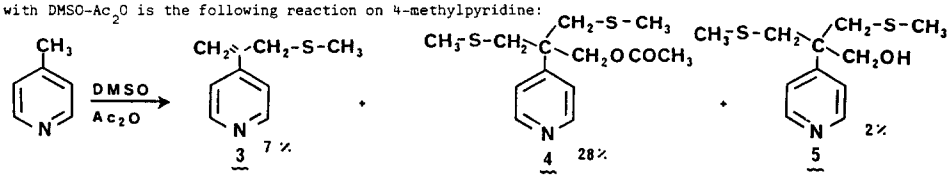
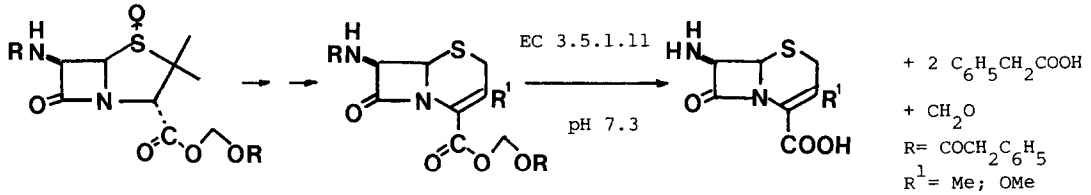
An example of the new type of functionalization of the C-H benzylic type bond with DMSO-Ac_2O is the following reaction on 4-methylpyridine:



Tetrahedron Lett.29,4623(1988)

PHENYLACETYLOXYMETHYLENE, A CARBOXYL PROTECTING GROUP REMOVABLE WITH IMMOBILIZED PENICILLIN ACYLASE, USEFUL IN BENZYL PENICILLIN CHEMISTRY

Eva Baldaro, Daniela Faiardi, Claudio Fuganti, Piero Grasselli
Ameriga Lazzarini (Sclavo, Divisione Biochimica DE.BI., 20060 Cassina de'Pecchi, Italy)

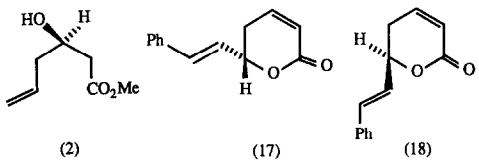


Tetrahedron Lett.29,4625(1988)

AN ALTERNATIVE APPROACH TO MEVINIC ACID ANALOGUES FROM METHYL (3R)-3-HYDROXY-5-HEXENOATE AND AN EXTENSION TO RATIONAL SYNTHESES OF (+)-(6R)-GONIOTHALAMIN AND ITS NON-NATURAL (-)-(6S)-ENANTIOMER.

Frank Bennett and David W. Knight*
Department of Chemistry, University of Nottingham, NG7 2RD, UK.

The yeast reduction product (2) has been converted to both (6S)- and (6R)-Goniothalamin [(17) and (18)] by homologation and selenolactonisation.



Tetrahedron Lett.29,4629(1988)

5-DEOXYANTHRACYCLINES: NEW ANALOGUES OF DAUNOMYCIN AND ADRIAMYCIN

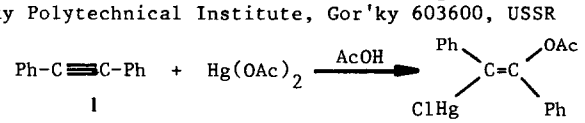
Donald W. Cameron*, (the late) Geoffrey I. Feutrill and Peter G. Griffiths
Department of Organic Chemistry, University of Melbourne, Parkville, Victoria 3052, Australia

Hydrogenation of daunomycin(1) and adriamycin(2) has afforded a new family of 5-deoxyanthracyclines eg (3), (4) possessing high anticancer activity.

Tetrahedron Lett.29,4631(1988)

TRANS-ACETOXYMERCURATION OF DIPHENYLACETYLENE

Yu.K.Grishin*, D.V.Bazhenov, Yu.A.Ustynyuk and N.S.Zefirov* and
Department of Chemistry, Moscow State University, Moscow 119899, USSR
V.R.Kartashov*, T.N.Sokolova, E.V.Skorobogatova and A.N.Chernov
Gor'ky Polytechnical Institute, Gor'ky 603600, USSR



X-ray, ^{13}C and ^{199}Hg NMR data for 2.