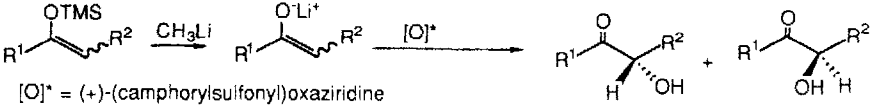
GRAPHICAL ABSTRACTS

Tetrahedron Lett.30,779(1989)

INFLUENCE OF ENOLATE GEOMETRY AND STRUCTURE ON THE STEREOCHEMISTRY OF THE ASYMMETRIC OXIDATION OF PROCHIRAL KETONE ENOLATES TO OPTICALLY ACTIVE α-HYDROXY KETONES

Franklin A. Davis,* Aurelia C. Sheppard and G. Sankar Lal Department of Chemistry, Drexel University, Philadelphia, PA 19104

The stereoselectivity for the asymmetric oxidation of enolates to optically active α-hydroxy ketones using (+)-(camphorylsulfonyl)oxaziridine is dependent on the enolate substitution pattern, the solution structure of the enolate and to a lesser extent the enolate geometry.

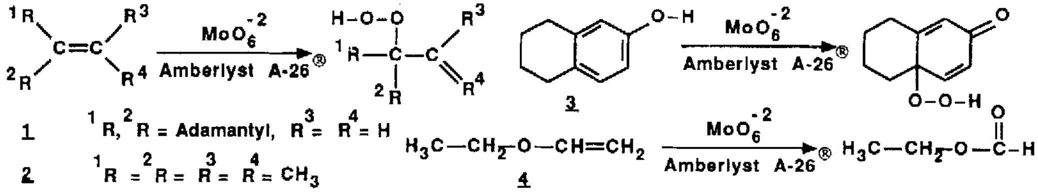


[O]* = (+)-(camphorylsulfonyl)oxaziridine

Tetrahedron Lett.30,783(1989)

CHEMICAL SOURCE OF SINGLET OXYGEN, OR ITS SYNTHETIC EQUIVALENT. GENERATION FROM RESIN-BOUND PEROXOMOLYBDATE.

Ernest C. McGoran* and Mark Wyborney, Department of Chemistry, Eastern Washington University, Cheney, WA 99004, USA

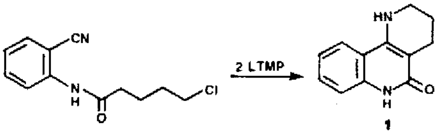


Tetrahedron Lett.30,787(1989)

A SIMPLE BIS-ANNELATION ROUTE TO 3,4,5,6-TETRAHYDRO-PYRIDO[3,2-c]QUINOLIN-2-ONES

Fredric J. Vinick,* Stanley Jung and Peter Thadeio
Central Research, Pfizer Inc., Groton, Connecticut 06340

A one-step dianion-mediated synthesis of compound **1** is described and the scope and limitations of this methodology explored.

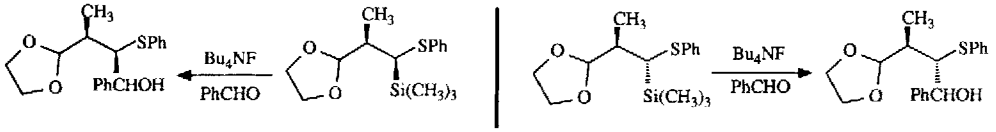


1

Tetrahedron Lett.30,789(1989)

RETENTION OF CONFIGURATION IN THE DESILYLATIVE HYDROXYALKYLATION OF α-SILYL SULFIDES

Patrick G. McDougal* and Brian D. Condon
School of Chemistry, Georgia Institute of Technology, Atlanta, Georgia 30332



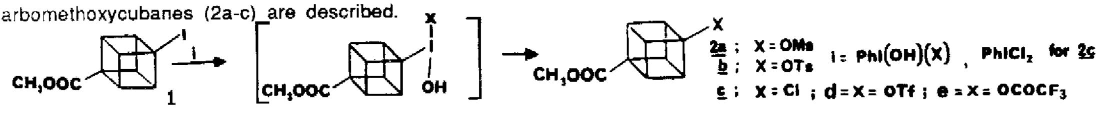
The C-Si bond α to sulfur is replaced with retention of configuration when an α-silyl sulfide of defined configuration is treated with tetrabutylammonium fluoride in the presence of benzaldehyde.

Tetrahedron Lett. 30, 791 (1989)

FUNCTIONALIZED CUBANES. OXIDATIVE DISPLACEMENT UPON METHYL 4-IODOCUBANE CARBOXYLATE USING HYPERVALENT IODINE

Robert M. Moriarty, Jaffar S. Khosrowshahi and Raju Penmasta
Department of Chemistry, University of Illinois at Chicago, Chicago, Illinois 60680

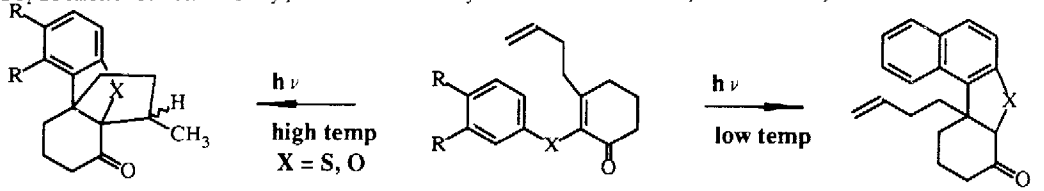
Methyl 4-iodocubane carboxylate undergoes substitution via ligand exchange with the hypervalent reagents $C_6H_5I(OH)(OTs)$, $C_6H_5I(OH)(OMs)$ and $C_6H_5ICl_2$. Syntheses of 4-mesyloxy, 4-tosyloxy and 4-chloro-1-carbomethoxycubanes (2a-c) are described.



Tetrahedron Lett. 30, 795 (1989)

INTRAMOLECULAR ADDITION REACTIONS DURING HETEROATOM DIRECTED PHOTOARYLATION

James P. Dittami, H. Ramanathan, S. Breining
Department of Chemistry, Worcester Polytechnic Institute, Worcester, MA 01609

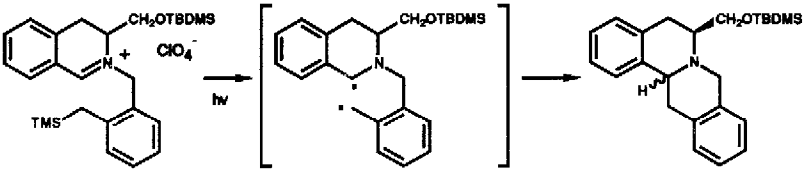


Tetrahedron Lett. 30, 799 (1989)

STEREOCHEMICAL ASPECTS OF PHOTO-SET INDUCED DIRADICAL CYCLIZATION REACTIONS AS PART OF ISOQUINOLINE ALKALOID SYNTHETIC STRATEGIES

I. S. Cho, C. P. Lee and P. S. Mariano
Department of Chemistry and Biochemistry, University of Maryland, College Park, Md 20742

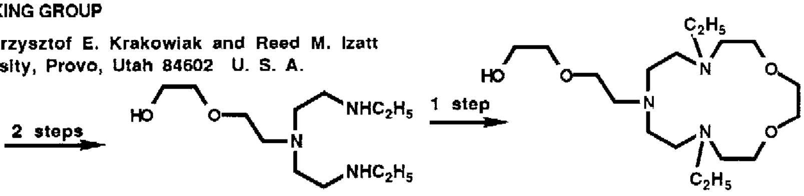
Studies of photo-SET induced cyclizations of the N-silylbenzyldihydroisoquinolinium salt have uncovered an interesting feature governing stereochemistry.



Tetrahedron Lett. 30, 803 (1989)

CONVENIENT SYNTHESES OF N-[2-(2-HYDROXYETHOXY)ETHYL]-SUBSTITUTED AZA-CROWNS AND CYCLAMS WITHOUT THE NEED FOR A HYDROXY BLOCKING GROUP

Jerald S. Bradshaw, Krzysztof E. Krakowiak and Reed M. Izatt
Brigham Young University, Provo, Utah 84602 U. S. A.

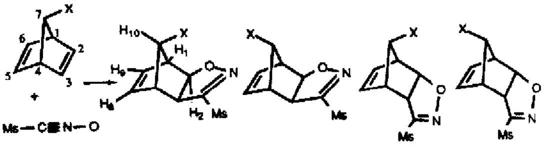


Tetrahedron Lett.30,807(1989)

STEREOSELECTIVITIES OF MESITONITRILE OXIDE CYCLOADDITIONS TO 7-SUBSTITUTED NORBORNADIENES

Lorenzo Dal Bo, Marco De Amici, Carlo De Micheli, Remo Gandolfi and K. N. Houk
Istituto Chimico Farmaceutico dell' Universita de Milano, Viale Abruzzi 42, 20121 Milano, Italy; Istituto di Chimica Organica, Universita di Pavia, Viale Taramelli 10, 27100 Pavia, Italy; Department of Chemistry and Biochemistry, University of California, Los Angeles, California 90024, U.S.A.

The stereochemistries of cycloadditions of mesitonitrile oxide to norbornadiene and nine 7-substituted derivatives have been investigated. The stereoselectivities are controlled primarily by torsional effects which are altered by 7 substituents.

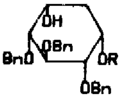


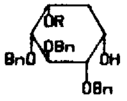
Tetrahedron Lett.30,811(1989)

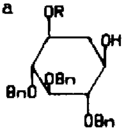
FACILE CHEMO-ENZYMATIC SYNTHESES OF SELECTIVELY PROTECTED DERIVATIVES OF DEOXY-INOSITOLS

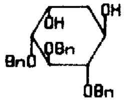
H.Hönig*, P.Seufer-Wasserthal, A.E.Stütz and E.Zenz, Institute of Organic Chemistry
Graz University of Technology,Stremayrgasse 16, A-8010 Graz, Austria

Selectively substituted 3-deoxy-*epi*- (e.g. 2,4) and 1-deoxy-*scyllo*-inositol derivatives (e.g. 6) conveniently can be prepared by chemo-enzymatic methods.


2 R = COC_3H_7
3 R = H


4 R = COC_3H_7
(ent -2)


6 R = COC_3H_7
7 R = H


8

Tetrahedron Lett.30,813(1989)

FACILE ENZYMATIC SYNTHESIS OF LABELLED GERANYL PYROPHOSPHATE

Lutz Heide*[a] and Mamoru Tabata[b]
Institut für Pharmazeutische Biologie, Universität Bonn, 53 Bonn 1, W. Germany[a]; Department of Pharmacognosy, Faculty of Pharmaceutical Sciences, Kyoto University, Kyoto 606, Japan[b]

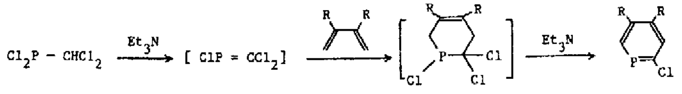
An enzymatic synthesis of [$1-^{14}C$] geranyl pyrophosphate from [$1-^{14}C$] isopentenyl pyrophosphate and dimethylallyl pyrophosphate in 50 % yield.

Tetrahedron Lett.30,817(1989)

A VERY SIMPLE ONE-POT SYNTHESIS OF 2-CHLOROPHOSPHININES

Pascal LE FLOCH and François MATHEY

Laboratoire de Chimie du Phosphore et des Métaux de Transition
DCPH - Ecole Polytechnique, 91128 PALAISEAU Cédex (France)

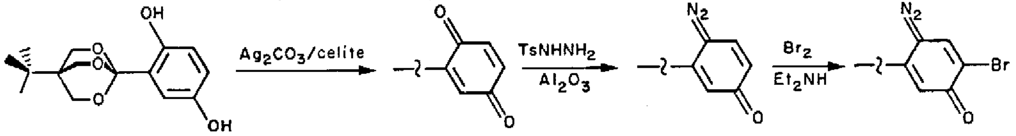


Tetrahedron Lett. 30, 823 (1989)

DIAZOCYCLOHEXADIENONES AS PHOTOAFFINITY LIGANDS: SYNTHESES OF TRIOXABICYCLOOCTANE PROBES FOR THE CONVULSANT BINDING SITE OF THE GABA$_A$ RECEPTOR.

Maurice P. Goeldner*, Jon E. Hawkinson and John E. Casida
Pesticide Chemistry and Toxicology Laboratory, Department of Entomological Sciences, University of California, Berkeley, CA 94720 USA.

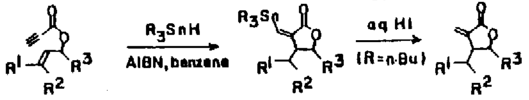
The syntheses of diazocyclohexadienonyl *t*-butyltrioxabicyclooctane derivatives are presented:



Tetrahedron Lett. 30, 827 (1989)

INTRAMOLECULAR CYCLIZATION OF ALLYLIC PROPIOLATES MEDIATED BY THE ADDITION OF STANNYL RADICALS: A NEW SYNTHETIC ROUTE TO α-METHYLENE-γ-BUTYROLACTONES.

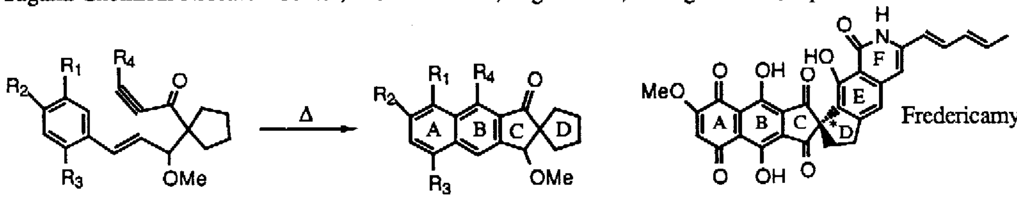
Eun Lee*, Sung Bo Ko, and Kyung Woon Jung
Department of Chemistry, College of Natural Sciences, Seoul National University
Seoul 151-742, Korea
Moon Ho Chang
Korea Advanced Institute of Science and Technology, Seoul 130-650, Korea



Tetrahedron Lett. 30, 829 (1989)

A NOVEL SYNTHESIS OF BASIC CARBON FRAMEWORK OF FREDERICAMYCIN A. PROMISING ROUTES FOR THE SPIRO CHIRAL CENTER CONSTRUCTION OF THE CD-RING SYSTEM.

Masahiro Toyota and Shiro Terashima*
Sagami Chemical Research Center, Nishi-Ohnuma, Sagamihara, Kanagawa 229, Japan

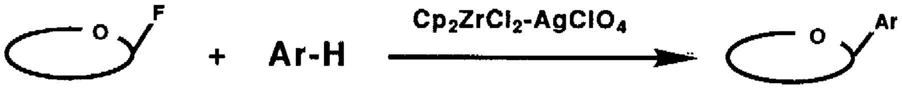


Fredericamycin A

Tetrahedron Lett. 30, 833 (1989)

Cp_2ZrCl_2-$AgClO_4$: Efficient Promoter for the Friedel-Crafts Approach to C-Aryl Glycosides

Takashi Matsumoto, Miyoko Katsuki, and Keisuke Suzuki*
Department of Chemistry, Keio University, Yokohama 223, Japan

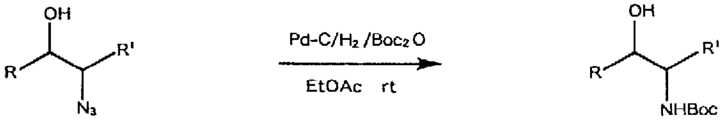


1 2 3

Tetrahedron Lett. 30, 837 (1989)

ONE-POT TRANSFORMATION OF AZIDO-GROUP TO N-(t-BUTOXYCARBONYL)AMINO GROUP

Seiki Saito,* Hitoshi Nakajima, Masami Inaba, and Toshio Moriwake*
Department of Applied Chemistry, Faculty of Engineering, Okayama University,
Tsushima, Okayama, Japan, 700



Tetrahedron Lett. 30, 839 (1989)

A NEW DIRECT METHOD FOR INTRODUCING 2-(2,4,6-TRI-t-BUTYLPHENYL)-2-PHOSPHAVINYLIDENE GROUP. FORMATION OF 1-PHOSPHA- AND 1,3-DIPHOSPHA-ALLENES

Masaaki Yoshifuji,* Shigeru Sasaki, and Naoki Inamoto
Department of Chemistry, Faculty of Science, The University of Tokyo, Hongo, Tokyo 113, Japan

2-Phosphavinylidene group "ArP=C" was introduced by silyllithium **9** toward carbonyl compounds to give 1-phosphaallenes (**10**, **11**), whereas 1,3-diphosphaallene **3** was prepared by the reaction of **7** toward ArP(H)Cl followed by dehydrochlorination.

$$\underset{\mathbf{7}}{ArP{=}C(Cl)Li} \longrightarrow ArP{=}C(Cl)Tms \longrightarrow \underset{\mathbf{9}}{ArP{=}C(Li)Tms} \xrightarrow{RR'CO} \underset{\mathbf{10,\ 11}}{ArP{=}C{=}CRR'}$$

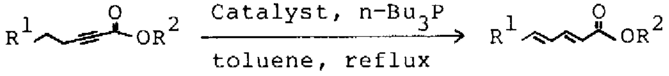
$$\xrightarrow{ArP(H)Cl} \xrightarrow{DBU} \underset{\mathbf{3}}{ArP{=}C{=}PAr} \qquad (Ar{=}2,4,6\text{-}Bu^t{}_3C_6H_2;\ R,R'{=}Ph,\ H)$$

Tetrahedron Lett. 30, 843 (1989)

FACILE SYNTHESIS OF (2E,4E)-DIENOIC ESTERS VIA STEREOSELECTIVE ISOMERIZATION OF 2-YNOIC ESTERS

Dawei Ma and Xiyan Lu*
Shanghai Institute of Organic Chemistry, Academia Sinica, Shanghai, China

(2E,4E)-Dienoic esters were synthesized stereoselectively from the 2-ynoic esters in high yield under the catalysis of an iridium hydride or ruthenium hydride complex.

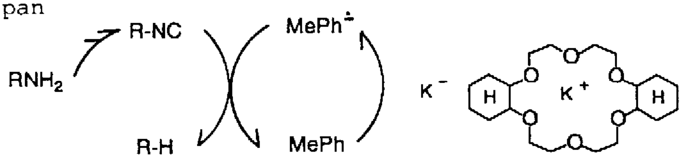


Tetrahedron Lett. 30, 845 (1989)

DISSOLVING METAL REDUCTION WITH CROWN ETHER ---REDUCTIVE REMOVAL OF ISOCYANO GROUPS

Tomihiko Ohsawa*, Naoki Mitsuda, Jun'ichi Nezu, Takeshi Oishi*
RIKEN (The Institute of Physical and Chemical Research)
Wako, Saitama, 351-01 Japan

K/crown ether/toluene system can cleave C-NC in an almost quantitative fashion.

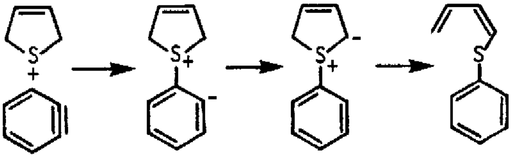


Tetrahedron Lett.30,847(1989)

PREPARATION OF 1-PHENYLTHIO-1,3-DIENES BY REACTION OF 2,5-DIHYDROTHIOPHENES WITH BENZYNE THROUGH FRAGMENTATION OF SULFONIUM YLIDE INTERMEDIATES

Juzo Nakayama,* Yuichi Kumano, and Masamatsu Hoshino
Department of Chemistry, Faculty of Science, Saitama University, Urawa, Saitama 338, Japan

A series of substituted 2,5-dihydrothiophenes react with benzyne to give 1-phenylthio-1,3-dienes in good yields through fragmentation of cyclic sulfonium ylide intermediates.

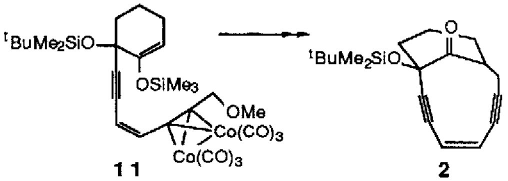


Tetrahedron Lett.30,851(1989)

SYNTHESIS OF THE CORE ENEDIYNE STRUCTURE OF ESPERAMICIN-CALICHEMICIN CLASS OF ANTITUMOR ANTIBIOTICS

Kiyoshi Tomioka,* Hitoshi Fujita, and Kenji Koga, *Faculty of Pharmaceutical Sciences, University of Tokyo,Tokyo 113, Japan*

TMS-enol ether **11** cyclized smoothly to afford the corresponding product, which was converted to the title compound **2**.



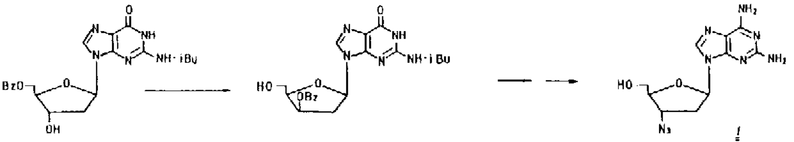
11 **2**

Tetrahedron Lett.30,855(1989)

SYNTHESIS OF 9-(3-AZIDO-2,3-DIDEOXY-β-D-ERYTHRO-PENTO-FURANOSYL)-2,6-DIAMINOPURINE (AzddDAP)

P. Herdewijn* and A. Van Aerschot
Rega Institute, Division of Pharmaceutical Chemistry, K.U.Leuven, Belgium

A new synthesis of AzddDAP (1) starting from 2'-deoxyguanosine makes use of trifluoromethanesulfonic anhydride for inversion of the configuration at the 3'-position as well as for the introduction of the 6-amino group.



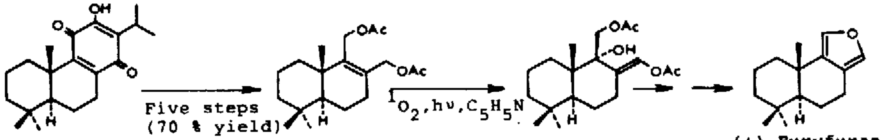
1

Tetrahedron Lett.30,859(1989)

REGIO- AND STEREOSELECTIVE PHOTO-OXYGENATION OF (+)-11,12-DIACETOXYDRIM-8-ENE; AN EFFICIENT SYNTHESIS OF A KEY INTERMEDIATE FOR DRIMANE-RELATED SESQUITERPENES

Juan A. Hueso-Rodríguez and Benjamín Rodríguez*

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



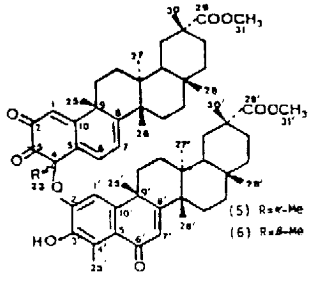
Royleanone **(+)-Euryfuran**

Tetrahedron Lett. 30,863(1989)

NEW EPIMERIC DI-TRITERPENEQUINONE ETHERS. THEIR PARTIAL SYNTHESIS AND THAT OF NETZAHUALCOYENE FROM PRISTIMERIN AND DDQ.

A.G.González,J.J.Mendoza,J.G.Luis,A.G.Ravelo and I.L.Bazzocchi
Centro de Productos Naturales Orgânicos "Antonio Gonzâlez"
Carretera de la Esperanza, 2, La Laguna, 38206 Tenerife,Canary Islands, Spain.

(5) and (6) were synthesized from pristimerin. Formation of -netzahualcoyene supports biogenetic pathway to 14(15)-ene-quinone methides.

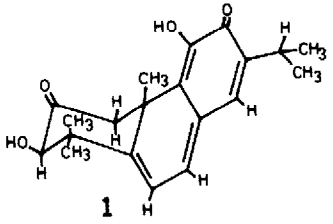


Tetrahedron Lett. 30,867(1989)

ISOBHARANGIN, A NEW BIOGENETICALLY SIGNIFICANT DITERPENOID QUINONEMETHIDE FROM PYGMACOPREMNA HERBACEA (ROXB) MOLDENKE

A.V.B.Sankaram, K.Bhaskaraiah, M.Marthandamurthi and M.Subrahmanyam
Regional Research Laboratory, Hyderabad, India

Isobharngin isolated from the hexane extract of the root nodules of the Ayurvedic drug *Pygmacopremna herbacea* (Roxb) Moldenke has been shown to be (1).



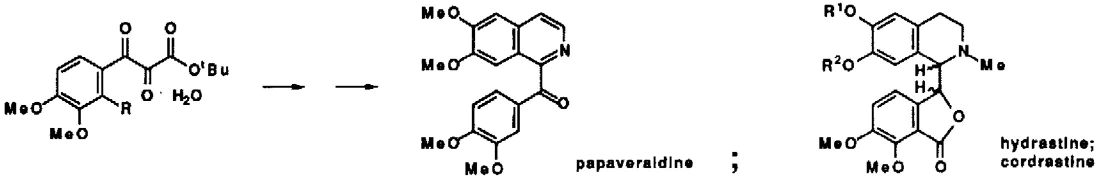
1

Tetrahedron Lett. 30,869(1989)

THE CHEMISTRY OF VICINAL TRICARBONYL COMPOUNDS. APPLICATIONS IN THE SYNTHESIS OF ISOQUINOLINE ALKALOIDS

H.H. Wasserman*, R.Amici, R.Frechette, and J.H. van Duzer
Department of Chemistry, Yale University, New Haven, CT 06511 USA

A vicinal tricarbonyl system is used as a dielectrophile in a syntheses of isoquinoline alkaloids.



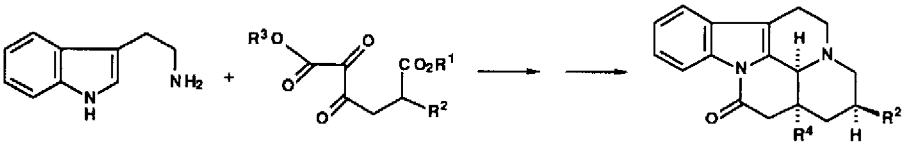
papaveraldine ; hydrastine; cordrastine

Tetrahedron Lett. 30,873(1989)

THE CHEMISTRY OF VICINAL TRICARBONYL COMPOUNDS. APPLICATIONS IN THE SYNTHESIS OF VINCAMINE-RELATED ALKALOIDS

Harry H. Wasserman* and Gee-Hong Kuo
Department of Chemistry, Yale University, New Haven, CT 06511 USA

A vicinal tricarbonyl system attached to a suitable ester residue has been used in the synthesis of the indole alkaloids, eburnamonine and tacamonine.

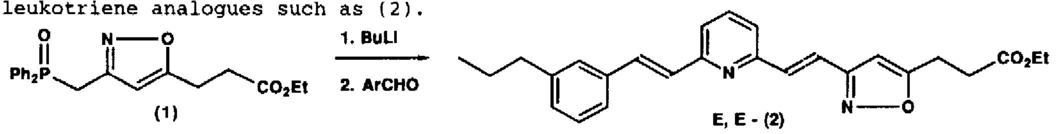


Tetrahedron Lett. 30, 877 (1989)

REGIOSPECIFIC SYNTHESIS OF (E) UNSATURATED 3,5-DIALKYL-ISOXAZOLES AND DERIVED LEUKOTRIENE ANALOGUES USING PHOSPHINE OXIDES

E.W. Collington, J.G. Knight, C.J. Wallis, and S. Warren, Glaxo Group Research, Ware, Herts SG12 0DP, and University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW.

Phosphine oxides with isoxazole substituents, e.g. (1) may be used in the synthesis of leukotriene analogues such as (2).

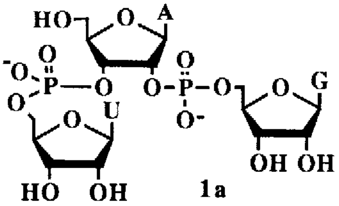


Tetrahedron Lett. 30, 881 (1989)

SYNTHESIS OF "BRANCHED" TRINUCLEOTIDE USING THE H-PHOSPHONATE CHEMISTRY

A. Földesi, N. Balgobin & J. Chattopadhyaya*
Department of Bioorganic Chemistry, Box 581, Biomedical Center, University of Uppsala, S-751 23 Uppsala, Sweden

A new synthesis of the title compund **1a** is reported using the H-phosphonate methodology entirely for the introduction of both of its vicinal phosphate functions.



1a

Tetrahedron Lett. 30, 885 (1989)

SYNTHESES OF ENANTIOMERS OF 2-[6-(4-CHLORO-PHENOXY)HEXYL]-OXIRANE-2-CARBOXYLIC ACID

Martine M.L. Crilley,[a] Andrew J.F. Edmunds.[b] Klaus Eistetter,[b]* and Bernard T. Golding[a]*
a Department of Chemistry, Bedson Building, The University, Newcastle upon Tyne, NE1 7RU.
b Byk Gulden Pharmazeutika, D-7750 Konstanz, Byk Gulden Strasse 2, Federal Republic of Germany.

The active enantiomer of the candidate anti-diabetic drug Etomoxir has been synthesised: