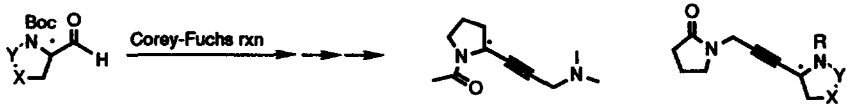
GRAPHICAL ABSTRACTS

Tetrahedron Lett. **1990**, *31*, 3957

SYNTHESIS OF CHIRAL α-ACETYLENIC CYCLIC AMINES FROM α-AMINO ACIDS: APPLICATIONSTO DIFFERENTIALLY CONSTRAINED OXOTREMORINE ANALOGUES AS MUSCARINIC AGENTS

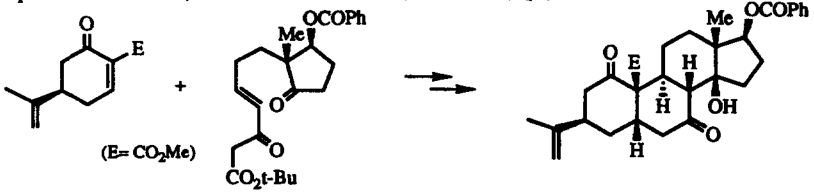
John Y. L. Chung* and James T. Wasicak
Neuroscience Research Division, Pharmaceutical Discovery, D47W, Abbott Laboratories, Abbott Park, IL 60064



Tetrahedron Lett. **1990**, *31*, 3961

SYNTHESIS OF AN OPTICALLY ACTIVE 13ß-METHYL 14ß-HYDROXY STEROID VIA BASE-CATALYZED REACTIONS.

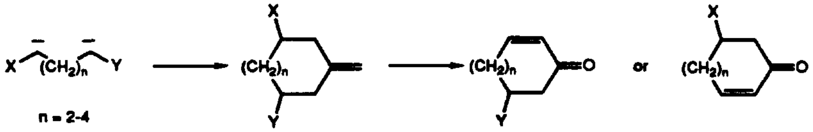
Réjean Ruel and Pierre Deslongchamps.
Département de chimie, Université de Sherbrooke, Sherbrooke, QC, Canada J1K 2R1.



Tetrahedron Lett. **1990**, *31*, 3965

SYMMETRY-ENHANCED REMOTE DICARBANION ANNULATIONS FOR LATENT CYCLOALKENONES: APPLICATION TO ALKALOIDS AND TERPENES

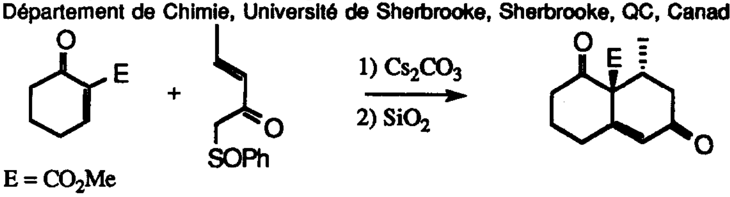
Peter T. Lansbury, Ciro J. Spagnuolo, Ben Zhi and Erich L. Grimm*
Department of Chemistry, State University of New York at Buffalo, Buffalo, New York 14214 USA



Tetrahedron Lett. **1990**, *31*, 3969

HIGHLY STEREOSELECTIVE DOUBLE MICHAEL CYCLIZATION OF 1-PHENYLSULFINYL AND SULFONYL ANALOGUES OF THE NAZAROV REAGENT.

Claude Spino and Pierre Deslongchamps.
Département de Chimie, Université de Sherbrooke, Sherbrooke, QC, Canada J1K 2R1.

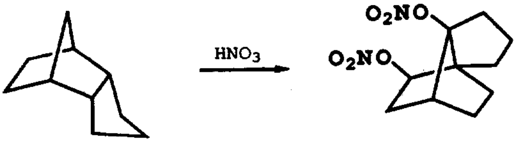


Tetrahedron Lett. **1990**, *31*, 3973

Novel Isomerization Reaction of Tricyclo[5.2.1.0^{2,6}]decane

P.A.Krasutsky[†], I.R.Likhotvorik[+][†], A.L.Litvyn[†], A.G.Yurchenko[†], and D.v.Engen[+]
[†]Department of Chemical Engineering, Kiev Polytechnic Institute, 252056, Kiev,USSR
[+]Department of Chemistry, Princeton University, Princeton, New Jersey 08544,USA

The isomerization reaction of tricyclo[5.2.1.0^{2,6}]decane in the nitric acid media afforded, with synthetically useful yield, tricyclo[4.2.2.0^{1,5}]decane cage structure - the "bottle neck" in the adamantane rearrangement.

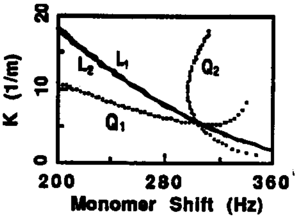


Tetrahedron Lett. **1990**, *31*, 3975

ACCURATE NMR DATA EVALUATION FOR MONOMER SHIFT, DIMER SHIFT AND DIMERIZATION CONSTANT IN A SELF-ASSOCIATING SYSTEM

Jenn-shing Chen* and Franz Rosenberger
Center for Microgravity and Materials Research
University of Alabama in Huntsville, Huntsville, Al 35899

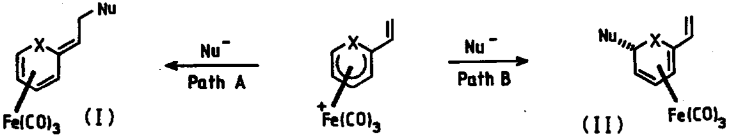
The NMR dilution shift data for valerolactam self-association are evaluted for the determination of monomer shift, dimer shift and dimerization constant by locating the intersect of four plots for linear and quadratic regressions based on two derived equations.



Tetrahedron Lett. **1990**, *31*, 3979

ELECTROPHILIC VINYLOGOUS DIENYL Π-COMPLEXES: A NOVEL APPROACH TO THE STEREOCONTROLLED PREPARATION OF POLYENES

G. Richard Stephenson,[a*] Martyn Voyle[b] and Sarah Williams[a]
a: School of Chemical Sciences, University of East Anglia, Norwich, NR4 7TJ, U.K.
b: Smith Kline and French Research Ltd., The Frythe, Welwyn, Hertfordshire, AL6 9AR, U.K.

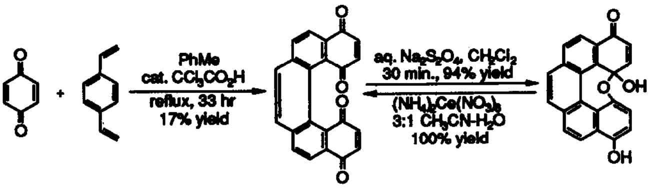


Selection of products of the type I or II can be accomplished by choice of reagent and R-group.

Tetrahedron Lett. **1990**, *31*, 3983

SIMPLE PREPARATION OF A HELICAL QUINONE

Longbin Liu and Thomas J. Katz*
Department of Chemistry, Columbia University, New York, New York 10027, USA



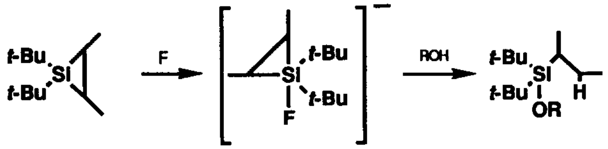
Resolved by enzymatic hyrolysis of the acetate.

Tetrahedron Lett. **1990**, *31*, 3987

POTASSIUM FLUORIDE ACTIVATED ALCOHOLYSIS OF HINDERED SILIRANES

Rajkumar Kumarathasan and Philip Boudjouk*
Department of Chemistry
North Dakota State University, Fargo, ND 58105

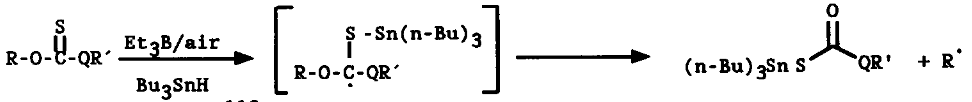
Alcoholysis of 1,1-di-*t*-butylsiliranes is accelerated in the presence of 10% KF and 1% 18-crown-6. A pentacoordinate siliconate is proposed as the intermediate responsible for the observed rate increases.



Tetrahedron Lett. **1990**, *31*, 3991

ON THE MECHANISM OF DEOXYGENATION OF SECONDARY ALCOHOLS BY TIN HYDRIDE REDUCTION OF METHYL XANTHATES AND OTHER THIOCARBONYL DERIVATIVES

Derek H. R. Barton, Doo Ok Jang and Joseph Cs. Jaszberenyi*
Department of Chemistry, Texas A&M University, College Station, TX 77843

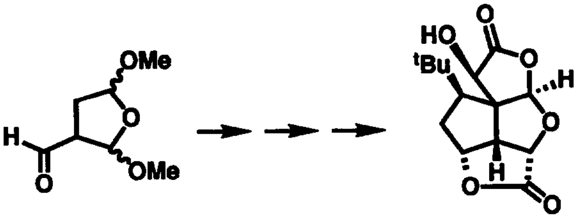


Variable temperature ^{119}Sn N.M.R. shows that the attack of tin radicals takes place on the double-bonded sulphur in xanthates and other thiocarbonyl derivatives at -20°C.

Tetrahedron Lett. **1990**, *31*, 3995

A SIMPLE STEREOSELECTIVE SYNTHESIS OF A TETRACYCLIC C_{14} GINKGOLIDE

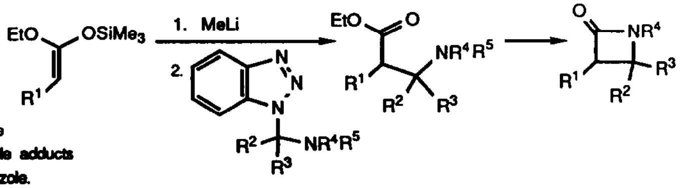
E. J. Corey and Keiji Kamiyama
Department of Chemistry, Harvard University
Cambridge, Massachusetts, 02138



Tetrahedron Lett. **1990**, *31*, 3999

PREPARATION OF β-AMINOESTERS FROM KETENE SILYL ACETALS AND N-(ALKYLAMINO)BENZOTRIAZOLES

Alan R. Katritzky,* N. Shobana and Philip A. Harris
Department of Chemistry, University of Florida,
Gainesville, FL 32611-2046, USA



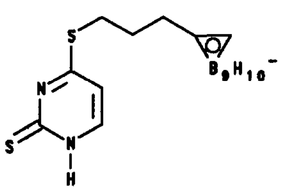
A wide variety of β-aminoesters are prepared by the reaction of lithium ester enolates with the readily available adducts from an aldehyde or ketone, an amine and benzotriazole. Secondary β-aminoesters are converted to the corresponding β-lactams.

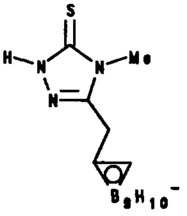
Tetrahedron Lett. **1990**, *31*, 4003

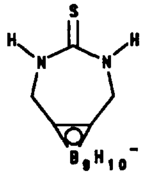
SYNTHESIS OF NIDO-CARBORATE CONTAINING THIOUREAS

Hartmut Ketz, Werner Tjarks, and Detlef Gabel*
Department of Chemistry, University of Bremen, Bremen, FRG

Three nido-carborate containing cyclic thioureas have been synthesized for boron neutron capture therapy of melanomas.







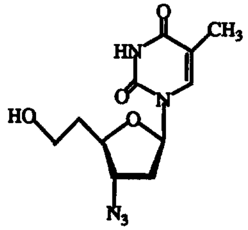
Tetrahedron Lett. **1990**, *31*, 4007

1-(3′-AZIDO- 2′,3′,5′-TRIDEOXY-ß-D-ALLOFURANOSYL)THYMINE - A SIDE-CHAIN HOMOLOGUE OF 3′- AZIDO - 3′- DEOXYTHYMIDINE

Johann HIEBL and Erich ZBIRAL*

Institut für Organische Chemie der Universität Wien,Währingerstraße 38,
A - 1090 Wien, Austria

1-(3′-Azido-2′,3′,5′-trideoxy-ß-D-allofuranosyl)thymine 12 (homo-AZT) was synthesized starting from 1,2;5,6-di-O-isopropylidene-3-O-mesyl-α-D-allofuranose 1 in an eleven step sequence.

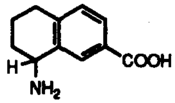


Tetrahedron Lett. **1990**, *31*, 4011

THREE NOVEL MIMICS FOR THE CONSTRUCTION OF STERICALLY CONSTRAINED PROTEIN TURN MODELS

I. Ernest,[a] J. Kalvoda,[b] G. Rihs,[c] and M. Mutter[d*]

[a] Institut für Organische Chemie, Universität Basel, CH-4056 Basel
[b] Pharmazeutische Division, Ciba-Geigy AG, CH-4002 Basel
[c] Zentrale Forschung, Ciba-Geigy AG, CH-4002 Basel
[d] Section de Chimie, Université de Lausanne, CH-1005 Lausanne



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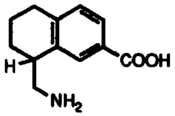

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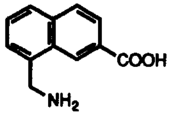


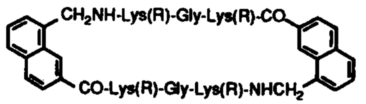
3

The amino-acids 1, 2 and 3 in their protected forms were synthesized for use in the construction of sterically constrained protein turn models.

Tetrahedron Lett. **1990**, *31*, 4015

SYNTHESIS OF A 4-HELIX BUNDLE-LIKE TEMPLATE-ASSEMBLED SYNTHETIC PROTEIN (TASP) BY CONDENSATION OF A PROTECTED PEPTIDE ON A CONFORMATIONALLY CONSTRAINED CYCLIC CARRIER

I. Ernest,[a] S. Vuilleumier,[a] H. Fritz,[b] and M. Mutter[c*]
[a] Institut für Organische Chemie, Universität Basel, 4056-Basel
[b] Zentrale Forschung, Ciba-Geigy AG, CH-4002 Basel
[c] Section de Chimie, Université de Lausanne, CH-1005 Lausanne



7: R = H (HCl)
9: R = Ac-Asp-Ala-Aib-Thr-Ala-Ala-Aib-Asn-Ala-Aib-Lys-Lys-Leu-Gly

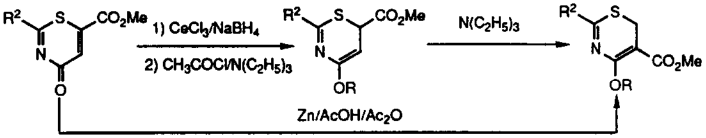
The synthesis of the cyclic peptide 7 containing a novel turn mimic and its use in the construction of the 4-helix bundle protein-like molecule 9 is described.

Tetrahedron Lett. **1990**, *31*, 4019

SELECTIVE REDUCTION OF 4H-1,3-THIAZINE-4-ONES : EASY ACCESS TO SUBSTITUTED 6H-1,3-THIAZINES

Abdesselam Abouelfida,[1] Jean-Paul Pradère,[1]* Jean-Claude Rozé[1] and Michel Jubault,[2]

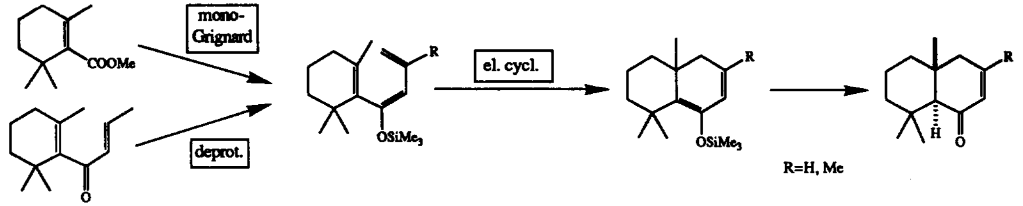
1 Laboratoire de Synthèse Organique, U.A. au C.N.R.S. N° 475, 44072 Nantes Cedex 03, France
2 Laboratoire de Synthèse Organique et d'Electrochimie, U.A. au C.N.R.S. N° 439, 49045 Angers cedex, France



Tetrahedron Lett. **1990**, *31*, 4021

DIASTEREOCONTROLLED SYNTHESIS OF FUNCTIONALIZED *TRANS*-DECALINS *VIA* ELECTROCYCLIC REACTION OF TRIENOL ETHERS

Charles Fehr*, José Galindo and Olivier Guntern , Firmenich SA, Research Laboratories, CH-1211 Geneva 8



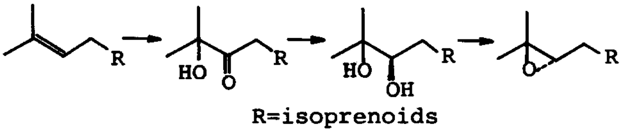
Tetrahedron Lett. **1990**, *31*, 4025

CONVENIENT SYNTHESIS OF CHIRAL EPOXYISOPRENOIDS BY YEAST REDUCTION

Mitsuaki Kodama,* Hiroyuki Minami, Yukiko Mima, and Yasuyoshi Fukuyama

Faculty of Pharmaceutical Sciences, Tokushima Bunri University,
Yamashiro-cho, Tokushima 770, Japan

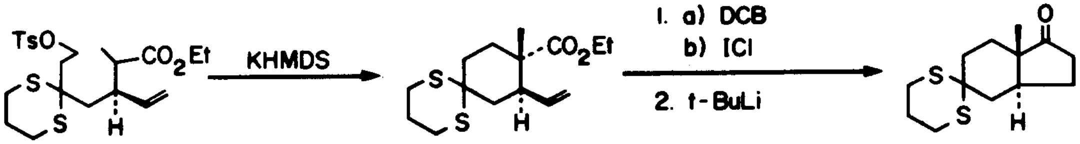
Enantioselective conversion of terminal double bond of acyclic isoprenoids into (S)-epoxide by yeast reduction



Tetrahedron Lett. **1990**, *31*, 4027

STEREOSELECTIVE CONSTRUCTION OF STEROIDAL TRANS-HYDRINDANES VIA ESTER ENOLATE ALKYLATION

Deukjoon Kim*, Sanghee Kim, Jae Jeong Lee, and Hak Sung Kim
College of Pharmacy, Seoul National University, San 56-1,
Shinrim-Dong, Kwanak-Ku, Seoul 151-742, Korea



Tetrahedron Lett. 1990, 31, 4029

SYNTHESIS OF POLYHEDRAL BORANE DERIVATIVES HAVING A CARBOXY GROUP

Koichiro Nagasawa* and Masayuki Narisada
Shionogi Research Laboratories, Shionogi & Co., Ltd., Fukushima-ku, Osaka 553, Japan

$$Na_2{}^{10}B_{12}H_{11}SH + Br(CH_2)_nCOOR \longrightarrow Na_2{}^{10}B_{12}H_{11}S(CH_2)_nCOOR \longrightarrow \longrightarrow$$

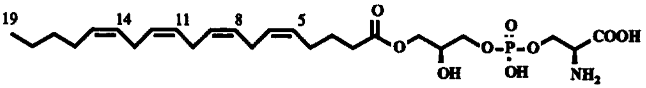
$$Cs_2{}^{10}B_{12}H_{11}S(CH_2)_nCOOH \xrightarrow{{}^1/_m\ \text{protein}} [\,Cs_2{}^{10}B_{12}H_{11}S(CH_2)_nCONH\,]_m\text{—Protein}$$

Tetrahedron Lett. 1990, 31, 4033

SYNTHESIS OF LYSOPHOSPHATIDYLSERINE WITH 19:4 ACYL GROUP, AS A NOVEL SODIUM-POTASIUM ATPASE INHIBITOR, IN RELATION TO DLIS-2, AN ENDOGENOUS DIGOXIN-LIKE SUBSTANCE

Kaoru Inami, Tadashi Teshima, Junji Emura, and Tetsuo Shiba,* *Peptide Institute, Protein Research Foundation, Osaka 562, Japan*

The title compound proposed as a candidate of DLIS-2, an endogenous digoxin-like substance was chemically synthesized. The synthetic compound showed a significant activity of Na^+,K^+-ATPase inhibition, which has been accepted as a major indicator activity for the essential hypertension.

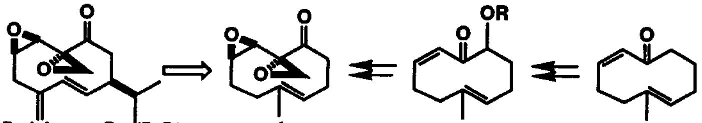


Tetrahedron Lett. 1990, 31, 4037

SYNTHESIS OF A SIMPLE ANALOG OF PERIPLANONE-B

Masataka Mori*, Kentaro Okada, Kazuko Shimazaki and Tatsuji Chuman,
Life Science Research Laboratory, Japan Tobacco Inc., 6-2 Umegaoka, Midori-ku, Yokohama 227, Japan.

The synthesis of a simple analog (1) of periplanone-B with high biological activity is described mainly on the examination of the conformational property of the key intermediate 3 by molecular mechanics calculation and dynamic NMR spectroscopy.

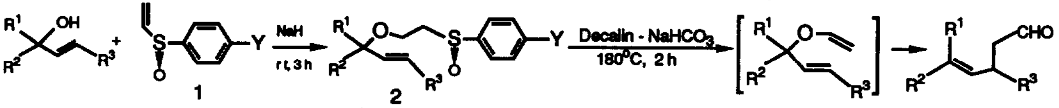


Periplanone-B (P-B) 1 3 2

Tetrahedron Lett. 1990, 31, 4041

PREPARATION AND REARRANGEMENT OF 2-ALLYLOXYETHYL ARYL SULFOXIDES: A MERCURY-FREE CLAISEN SEQUENCE

Tadakatsu Mandai, Masaki Ueda, Shun-ichi Hasegawa, Mikio Kawada, Jiro Tsuji, Seiki Saito
Department of Applied Chemistry, Okayama University of Science, Okayama 700, Japan



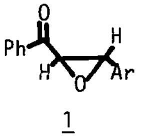
3 4

Hg-free preparative method of allyl vinyl ethers 3 from 2-allyloxyethyl aryl sulfoxides 2 and their Claisen rearrangement are presented.

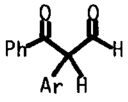
Tetrahedron Lett. **1990**, *31*, 4045

Selective Cβ-O Bond Cleavage of Chalcone Epoxides Induced by Pyrylium Salt Sensitized Photoreactions and Dark Reactions with Cerium(IV) Salts

Eietsu Hasegawa,* Kenyuki Ishiyama, Hiroki Kashiwazaki, Takaaki Horaguchi, Takahachi Shimizu
Department of Chemistry, Faculty of Science, Niigata University, Ikarashi, Niigata 950-21
Japan


1

Ar
a, p-$MeOC_6H_4$
b, p-MeC_6H_4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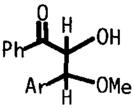
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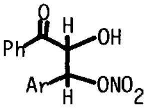
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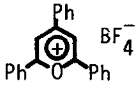


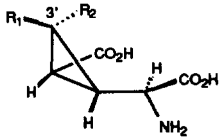
$Ce(NBu_4)_2(NO_3)_6$

Tetrahedron Lett. **1990**, *31*, 4049

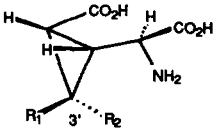
SYNTHESES OF 3'-SUBSTITUTED-2-(CARBOXYCYCLOPROPYL)-GLYCINES VIA INTRAMOLECULAR CYCLOPROPANATION. THE FOLDED FORM OF L-GLUTAMATE ACTIVATES THE NON-NMDA RECEPTOR SUBTYPE

K. Shimamoto and Y. Ohfune*
Suntory Institute for Bioorganic Research
Shimamoto-cho, Osaka 618, Japan

Four stereoisomers of 3'-methoxymethyl-L-2-(carboxycyclopropyl)glycines (trans and cis MCGs), conformationally constrained analogues of L-glutamate, were synthesized from one D-serinal derivative. Selective activation either the NMDA or Non-NMDA receptor by these isomers in the rat spinal cord was observed.



t-MCG-III: R_1=CH_2OMe, R_2=H
c-MCG-III: R_1=H, R_2=CH_2OMe



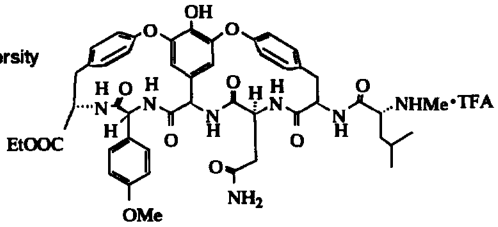
t-MCG-IV: R_1=CH_2OMe, R_2=H
c-MCG-IV: R_1=H, R_2=CH_2OMe

Tetrahedron Lett. **1990**, *31*, 4053

SYNTHESIS OF A BICYCLIC HEXAPEPTIDE AS A PLAUSIBLE ACTIVE CENTER IN VANCOMYCIN

Y. Suzuki, S. Nishiyama, and S. Yamamura,
Dept of Chem, Faculty of Science and Technology, Keio University
Hiyoshi, Yokohama 223, Japan

A bicyclic hexapeptide in vancomycin has been successfully synthesized.

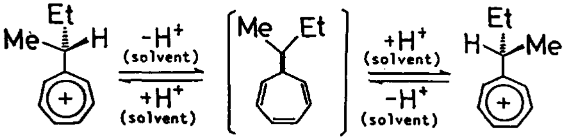


Tetrahedron Lett. **1990**, *31*, 4057

SYNTHESES AND RACEMIZATION VIA INTERMOLECULAR PROTOTROPY OF OPTICALLY ACTIVE ALKYLTROPYLIUM IONS. A NOVEL SCALE FOR THE KINETIC BRØNSTED BASICITY OF ORGANIC SOLVENTS

Tomomi Kinoshita,* Ken'ichi Haga, Keizo Ikai, Ken'ichi Takeuchi,* and Kunio Okamoto
Department of Hydrocarbon Chemistry, Faculty of Engineering, Kyoto University, Sakyo-ku, Kyoto 606, Japan

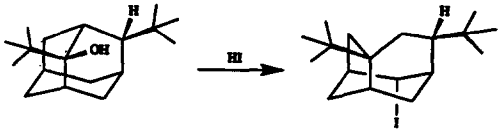
The racemization rate of optically active (1-methylpropyl)tropylium ion gives a novel scale for the kinetic Brønsted basicity of organic solvents.



Tetrahedron Lett. **1990**, *31*, 4061

AN ADAMANTANE --> PROTOADAMANTANE REARRANGEMENT AND ATROPISOMERISM ABOUT A *TERT.*-BUTYL-TO-PROTOADAMANTYL BOND

Helmut Duddeck[a], M. Anthony McKervey[b] and
Doris Rosenbaum[a]
[a] Ruhr-Universität Bochum, Fakultät für Chemie, Postfach 102148, D-4630 Bochum, West Germany;
[b] University College, Department of Chemistry, Cork, Republic of Ireland



Tetrahedron Lett. **1990**, *31*, 4065

A FACILE REDUCTION PROCEDURE FOR NITROARENES WITH Al-NiCl$_2$-THF SYSTEM

Parijat Sarmah and Nabin C Barua*
Division of Natural Products Chemistry
Regional Research Laboratory (CSIR)
Jorhat 785 006, Assam, India

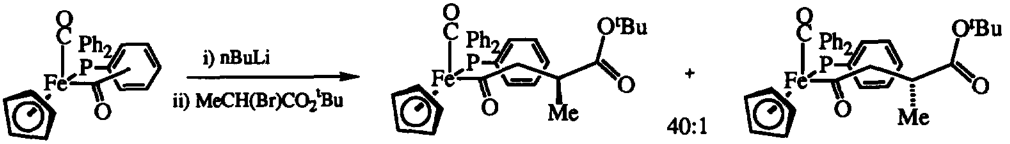
It has been demonstrated that aromatic nitro compounds could be reduced to the corresponding amines very efficiently using reagent system consisting of Al-NiCl$_2$.6H$_2$O-THF.

$$ArNO_2 \xrightarrow[THF]{Al\text{-}NiCl_2.6H_2O} ArNH_2$$

Tetrahedron Lett. **1990**, *31*, 4067

Chiral Recognition in the S$_N$2 Reaction of t-Butyl 2-Bromopropionate with the Enolate Derived from [(η^5-C$_5$H$_5$)Fe(CO)(PPh$_3$)COCH$_3$]

Stephen P. Collingwood, Stephen G. Davies* and Simon C. Preston
The Dyson Perrins Laboratory, South Parks Road, Oxford OX1 3QY, UK.

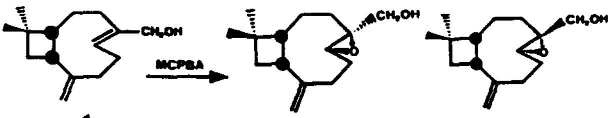


Tetrahedron Lett. **1990**, *31*, 4069

EVIDENCES ABOUT THE STEREOCHEMISTRY OF 14-HYDROXY-9-EPI-β-CARYOPHYLLENE.

A.F.Barrero, J.E.Oltra and A.Barragán.
Depto. de Química Orgánica. Facultad de Ciencias. 18071 Granada. Spain.

14-hydroxy-9-epi-β-caryophyllene (1), a natural sesquiterpene alcohol, was a mixture of two conformational isomers at room temperature. When 1 was oxidized with MCPBA, epoxides 2 and 3 were obtained. The rigid conformation of 2 and 3 allowed us to establish their skeleton and *cis* interannular junction. Thus, the proposed structure for 1 was confirmed.

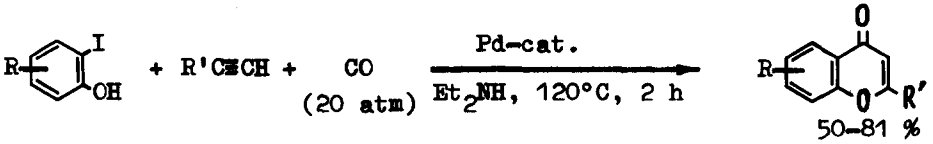


1 2 3

Tetrahedron Lett. **1990**, *31*, 4073

PALLADIUM-CATALYZED SYNTHESIS OF FLAVONES AND CHROMONES VIA CARBONYLATIVE COUPLING OF o-IODOPHENOLS WITH TERMINAL ACETYLENES

V.N.Kalinin, M.V.Shostakovsky, and A.B.Ponomaryov
Institute of Organoelement Compounds, Moscow, 117813, Vavilova 28, USSR



Tetrahedron Lett. **1990**, *31*, 4077

CLEAVAGE AND OLIGOMERIZATION OF MALONDIALDEHYDE UNDER PHYSIOLOGICAL CONDITIONS

Antonio Gómez-Sánchez,* Isidro Hermosín and Inés Maya
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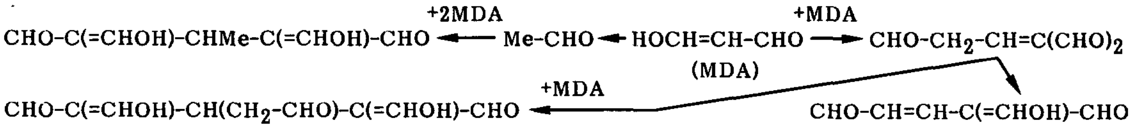
Apartado de Correos No. 1078, 41012-Seville, Spain



Tetrahedron Lett. **1990**, *31*, 4081

EFFICIENT OXYGENATION OF THIOPHOSPHORYL AND SELENOPHOSPHORYL GROUPS USING TRIFLUOROACETIC ANHYDRIDE

Jan Heliński, Zbigniew Skrzypczyński, Jacek Wasiak and Jan Michalski*
Polish Academy of Sciences, Centre of Molecular and Macromolecular Studies,
Sienkiewicza 112, 90-363 Łódź, Poland

$$RR'R''P{=}X + (CF_3CO)_2O \longrightarrow RR'R''P{=}O + (CF_3CO)_2X \qquad X{=}S,Se$$

Trifluoroacetic anhydride reacts with organophosphorus compounds containing thiophosphoryl and selenophosphoryl groups converting them in high yield to the corresponding oxygenated systems.

Tetrahedron Lett. **1990**, *31*, 4085

STABILIZATION OF CARBENIUM IONS BY AN α-AZIDO GROUP

Shmaryahu Hoz* and J. L. Wolk
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Israel 52900.

ab-initio calculations have shown that the azido group can stabilize a carbenium ion better than the RO- group.