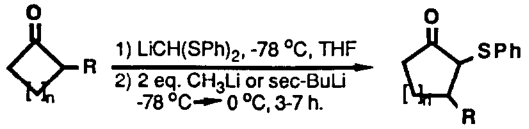
GRAPHICAL ABSTRACTS

Tetrahedron Lett, 28, 2203 (1987)

CARBENOID TYPE BASE INDUCED RING EXPANSION OF THE ADDUCTS OF CYCLIC KETONES WITH BIS(PHENYLTHIO)METHYLLITHIUM

William D. Abraham, M. Bhupathy, and Theodore Cohen*, Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260 USA.

The adducts of cyclic ketones with bis-(phenylthio)methyllithium react with an alkyllithium to yield the ring expanded α-(phenylthio)ketone.



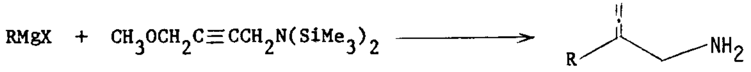
n=1-4
R= H or CH_3

Tetrahedron Lett. 28, 2207 (1987)

A FACILE SYNTHESIS OF β-SUBSTITUTED-α-ALLENYL PRIMARY AMINES.

James R. McCarthy, Charlotte L. Barney, Donald P. Matthews, Thomas M. Bargar, Merrell Dow Research Institute, Indianapolis Center, Indianapolis, Indiana 46268-0470

Copper or nickel catalyzed substitution of Grignard reagents on the bis-trimethylsilyl protected 4-methoxy-2-butynylamine provides β-substituted-α-allenyl primary amines in high yield.

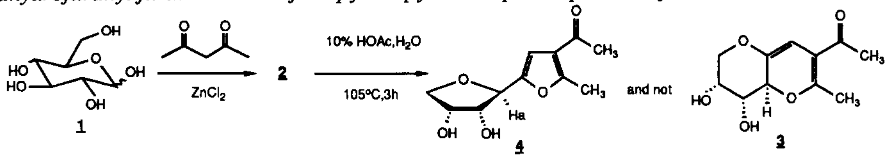


Tetrahedron Lett. 28, 2211 (1987)

AN APPROACH TO BI-TETRAHYDROFURANS FROM GLUCOSE AND A CORRECTION OF THE LITERATURE.

Alan P. Kozikowski,* G. Q. Lin and James P. Springer
University of Pittsburgh, Pittsburgh, PA 15260 and Merck Institute, Rahway, NJ 07065

*The condensation of glucose with acetylacetone in the presence of zinc chloride has been found to yield the tetrahydrofuranyl furan **4** in lieu of the pyranopyran **3** reported previously.*



Tetrahedron Lett. 28, 2215 (1987)

RHODIUM CARBONYL-2-METHOXYETHANOL. AN EFFECTIVE CATALYTIC SYSTEM FOR THE DECARBONYLATION OF FORMATE ESTERS.

Hayder A. Zahalka and Howard Alper*
Department of Chemistry, University of Ottawa, Ottawa, Ontario K1N 9B4 Canada

Formate esters can be decarbonylated in good yields by treatment with a catalytic amount of rhodium carbonyl (200/1 ratio of formate/Rh) and added 2-methoxyethanol.

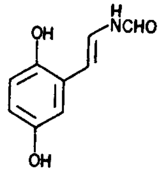
$$\mathrm{HCOOR}\ \xrightarrow[\substack{\mathrm{CH_3OCH_2CH_2OH} \\ 200\text{-}220^\circ\mathrm{C}}]{\mathrm{Rh_6(CO)_{16}}}\ \mathrm{ROH} + \mathrm{CO}$$

Tetrahedron Lett.28,2217(1987)

TOTAL SYNTHESIS OF ERBSTATIN.

Robert L. Dow* and Matthew J. Flynn
Central Research, Pfizer Inc.
Groton, Connecticut 06340

A six step synthesis of the tyrosine kinase inhibitor, erbstatin (1), is described.



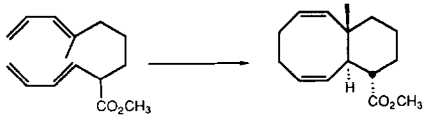
1

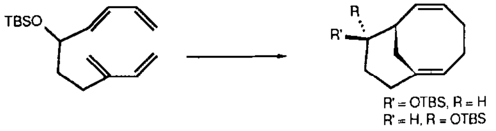
Tetrahedron Lett.28,2221(1987)

INTRAMOLECULAR NICKEL CATALYZED CYCLOADDITIONS OF BIS-DIENES: APPROACHES TO THE TAXANE SKELETON

Paul A. Wender* and Marc L. Snapper
Department of Chemistry, Stanford University, Stanford, California 94305 USA

The nickel catalyzed cycloaddition of bis-dienes is demonstrated to provide angularly alkyl-substituted bicyclo[6.4.0]dodecane and to bicyclo[5.3.1]undecanes. Models of both the AB and BC ring systems of the taxane diterpenes are described.



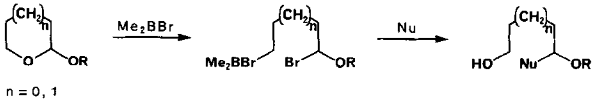


Tetrahedron Lett.28,2225(1987)

RING CLEAVAGE OF THP AND THF ETHERS USING DIMETHYLBORON BROMIDE

Yvan Guindon*, Michael A. Bernstein and Paul C. Anderson*
Merck Frosst Canada Inc., P.O. Box 1005, Pointe Claire-Dorval, Quebec, Canada H9R 4P8

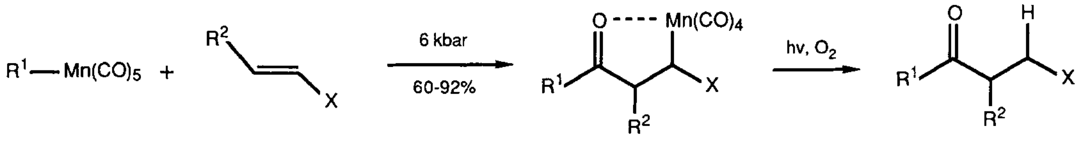
Dimethylboron bromide reacts with THP and THF ethers to give initially, intermediates resulting from selective cleavage of the ring carbon-oxygen bond. These can be trapped with a variety of nucleophiles to give ring-opened products.



Tetrahedron Lett.28,2229(1987)

SYNTHESIS OF CARBONYL COMPOUNDS USING ORGANOMANGANESE PENTACARBONYL COMPLEXES.

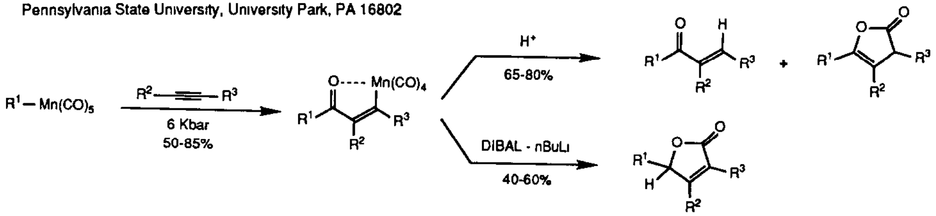
Philip DeShong*, Greg A. Slough, and Arnold L. Rheingold; Department of Chemistry and Biochemistry, University of Maryland, College Park, MD 20742; Department of Chemistry, Pennsylvania State University, University Park, PA 16802 and University of Delaware, Newark, DE 19711



Tetrahedron Lett. 28, 2233 (1987)

SYNTHESIS OF ENONES AND BUTENOLIDES USING ORGANOMANGANESE PENTACARBONYL COMPLEXES.

Philip DeShong*, Daniel R. Sidler, and Greg A. Slough
Department of Chemistry and Biochemistry, University of Maryland, College Park, MD 20742 and Department of Chemistry, Pennsylvania State University, University Park, PA 16802

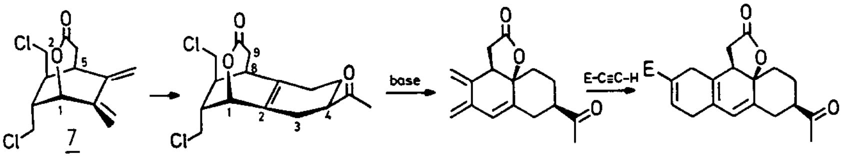


Tetrahedron Lett. 28, 2239 (1987)

SYNTHESIS OF POLYFUNCTIONAL, LINEARLY CONDENSED SIX-MEMBERED RING SYSTEMS BY REGIOSELECTIVE TANDEM DIELS-ALDER ADDITIONS.

Bernard Demarchi and Pierre Vogel*, Institut de chimie organique de l'Université,
2, rue de la Barre, CH-1005 Lausanne, Switzerland.

Diene 7 is a useful compound for regioselective tandem Diels-Alder additions.

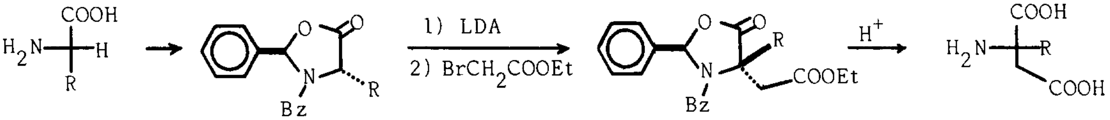


Tetrahedron Lett. 28, 2243 (1987)

α-Alkylation of Acyclic Amino Acids with Self-Reproduction of the Center of Chirality. A new route to (S)-(+)- α-Alkylated Aspartic Acids.

Antoine FADEL* and Jacques SALAÜN
Laboratoire des Carbocycles, Université de Paris-Sud, Bâtiment 420, 91405 ORSAY (France)

Alkylation of the chiral enolates of oxazolidinone provides the α-alkylated aspartic acids (ee > 95%).

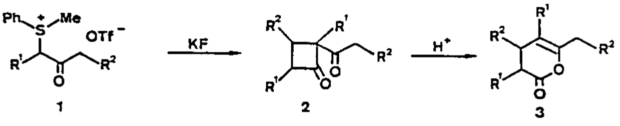


Tetrahedron Lett. 28, 2247 (1987)

FLUORIDE ANION INDUCED NOVEL REACTION OF α-(SULFONIO)KETONE TRIFLATE

Yoshihiko Ito*, Eiji Nakajo, Katsuhiko Shō and Kohei Tamao
Department of Synthetic Chemistry, Kyoto University, Kyoto 606, Japan

α-(Phenylmethylsulfonio)ketone triflates (1) are treated with KF to give 2-acylcyclobutanones (2), which are rearranged to unsaturated δ-valerolactones (3) by acid catalyst.



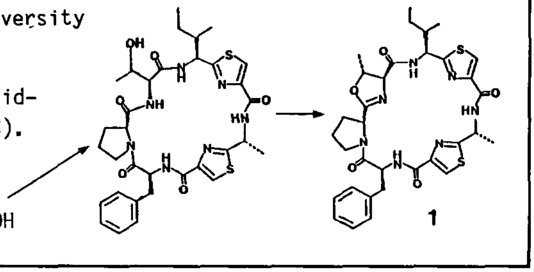
Tetrahedron Lett.28,2251(1987)

A FACILE SYNTHESIS OF ULICYCLAMIDE

Tsuneyuki Sugiura, Yasumasa Hamada, and Takayuki Shioiri*
Faculty of Pharmaceutical Sciences, Nagoya City University
Tanabe-dori, Mizuho-ku, Nagoya 467, JAPAN

A facile total synthesis of ulicyclamide (1) by solid-phase method using diethyl phosphorocyanidate (DEPC).

Boc-L-Pro-OCH$_2$-(P) ⟶ H-L-aThr-L-(ile)Thz-D-(ala)Thz-L-Phe-L-Pro-OH

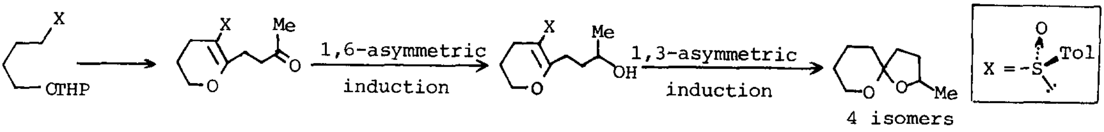


Tetrahedron Lett.28,2255(1987)

STEREOSELECTIVE SYNTHESIS OF ALL ISOMERS OF 2-METHYL-1,6-DIOXASPIRO[4.5]DECANE (INSECT PHEROMONE) USING A CHIRAL SULFOXIDE AUXILIARY

Chuzo Iwata,* Yasunori Moritani, Kenji Sugiyama, Masahiro Fujita, and Takeshi Imanishi
Faculty of Pharmaceutical Sciences, Osaka University, Yamadaoka, Suita, Osaka 565, Japan

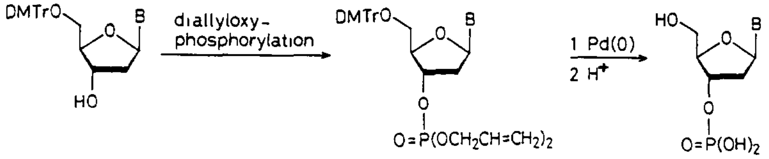
All four isomers of 2-methyl-1,6-dioxaspiro[4.5]decane were asymmetrically synthesized using a chiral sulfoxide auxiliary.



Tetrahedron Lett.28,2259(1987)

A GENERAL APPROACH TO NUCLEOSIDE 3'- AND 5'-MONOPHOSPHATES

Y. Hayakawa,* S. Wakabayashi, T. Nobori,+ and R. Noyori*+
Chemical Instrument Center and +Department of Chemistry, Nagoya University, Chikusa, Nagoya 464, Japan



Tetrahedron Lett.28,2263(1987)

MECHANISTIC ASPECTS OF FLUORIDE ION-CATALYZED REDUCTION OF CARBONYL COMPOUNDS WITH HYDROSILANES

Makoto Fujita and Tamejiro Hiyama*
Sagami Chemical Research Center, 4-4-1 Nishiohnuma, Sagamihara, Kanagawa 229, Japan

The title reaction is found to involve a hexavalent silicate $[HSiR_3F(HMPA)]^-$ as the active species, and no evidence is obtained for interaction of the carbonyl oxygen with the silicon.

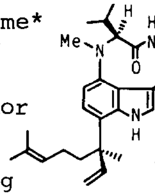
$$H\text{-}SiR_3 + F^- \rightleftharpoons [H\text{-}SiR_3F]^- \underset{-HMPA}{\overset{HMPA}{\rightleftharpoons}} [H\text{-}SiR_3F(HMPA)]^- \xrightarrow{R^1COR^2} R^1CH(O^-)R^2 + FSiR_3(HMPA)$$

Tetrahedron Lett. 28, 2265 (1987)

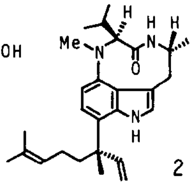
TOTAL SYNTHESIS OF LYNGBYATOXIN A (TELEOCIDIN A-1) AND TELEOCIDIN A-2

Hideaki Muratake and Mitsutaka Natsume*
Research Foundation Itsuu Laboratory
Tamagawa, Setagaya, Tokyo 158, Japan

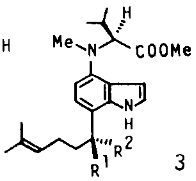
In an eleven-step procedure, the tumor promoters lyngbyatoxin A (teleocidin A-1) (1) and teleocidin A-2 (2) were synthesized from 1-tosylpyrrole using a novel reaction forming 7-alkyl-4-aminoindole derivatives 3.



1



2



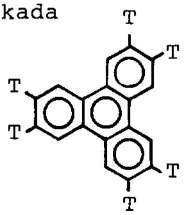
3

Tetrahedron Lett. 28, 2269 (1987)

LIQUID CRYSTALS II. THE TAIL DESIGN VIA β-OXYGEN ATOM MODIFICATION FOR THE REMARKABLY ENHANCED STABILITY OF HEXAKIS(ALKANOYLOXY)TRIPHENYLENE MESOPHASE

Iwao Tabushi*, Kazuo Yamamura, Yukihiro Okada
Department of Synthetic Chemistry,
Kyoto University, Sakyo-ku, Kyoto
606, Japan

Syntheses and remarkably stable mesophasic properties of new β-oxa substituted triphenylenes($1\text{-}C_n\cdot O^3$).



$1\text{-}C_n\cdot O^3$

$T=\text{-}OCOCH_2O\text{-}C_{n-3}H_{2n-5}$

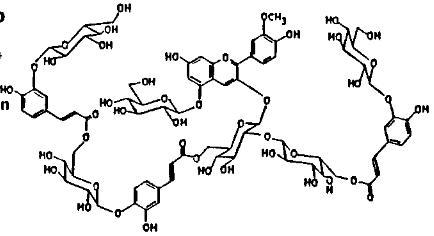
n=4,6,8,10,12,14

Tetrahedron Lett. 28, 2273 (1987)

STRUCTURE DETERMINATION OF HEAVENLY BLUE ANTHOCYANIN, A COMPLEX MONOMERIC ANTHOCYANIN FROM THE MORNING GLORY *IPOMOEA TRICOLOR*, BY MEANS OF THE NEGATIVE NOE METHOD

Tadao Kondo*+, Takatoshi Kawai, Hirotoshi Tamura, and Toshio Goto*
+Chemical Instrument Center, and Laboratory of Organic Chemistry;
Faculty of Agriculture, Nagoya University, Chikusa, Nagoya 464, Japan

The structure of heavenly blue anthocyanin was elucidated by application of the negative nuclear Overhauser effect difference spectroscopy.



Tetrahedron Lett. 28, 2277 (1987)

IONIC DENITROHYDROGENATION OF α-NITRO OR β-NITRO SULFIDES WITH TRIETHYLSILANE

Noboru Ono,* Toshihiro Hashimoto, Tuo Xiao Jun, and Aritsune Kaji
Department of Chemistry, Faculty of Science, Kyoto University, Kyoto 606, Japan

$$R\text{-}NO_2 \quad + \quad Et_3SiH \xrightarrow{\text{Lewis acid}} R\text{-}H \quad + \quad Et_3SiONO$$

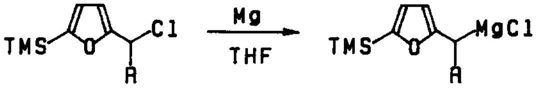
$R\text{-}NO_2$: β-Nitro sulfides, β-Nitro sulfides

Tetrahedron Lett.28,2281(1987)

Remarkable Stabilizing Effects of Silyl Group on Furfuryl Chlorides and Furfuryl Grignard Reagents

K. Takanishi, H. Urabe, and I. Kuwajima,* Department of Chemistry, Tokyo Institute of Technology, Meguro, Tokyo 152, Japan

Introduction of TMS group on 5-position stabilizes both furfuryl chlorides and the Grignard reagents to use them for synthetic purposes.

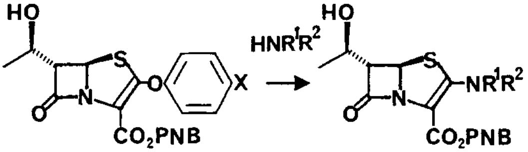


Tetrahedron Lett.28,2283(1987)

A NOVEL SYNTHESIS OF SUBSTITUTED 3-AMINOPENEMS

A. J. Barker*, M. R. Teall and G. Johnson (in part)
Department of Synthetic Chemistry Hoechst Pharmaceutical Research Laboratories,
Walton, Milton Keynes, MK7 7AJ, UK.

A synthesis of substituted 3-aminopenems via displacement of phenol leaving groups at the C-3 position of penems.

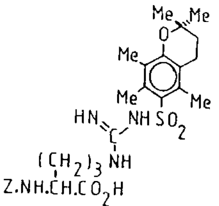


Tetrahedron Lett.28,2287(1987)

N_G-2,2,5,7,8-PENTAMETHYLCHROMAN-6-SULPHONYL-L-ARGININE: A NEW ACID LABILE DERIVATIVE FOR PEPTIDE SYNTHESIS

R. RAMAGE* and J. GREEN
Department of Chemistry, University of Edinburgh,
West Mains Road, Edinburgh, EH9 3JJ, Scotland, UK

The arginine derivative (3) is rapidly deprotected by mild acidolysis using TFA or 50% TFA in dichloromethane to regenerate the free amino acid.

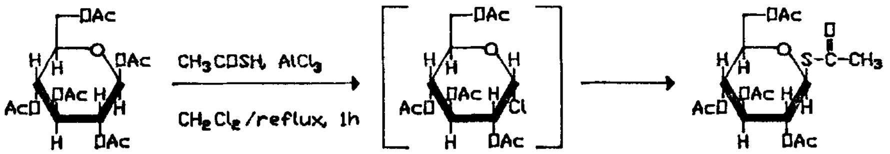


3

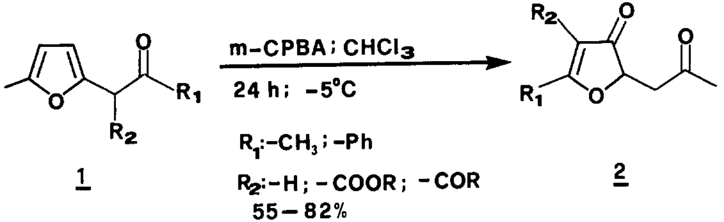
Tetrahedron Lett.28,2295(1987)

STEREOSELECTIVE SYNTHESES OF 1,2-TRANS-1-THIOGLYCOSES USING ALUMINIUM CHLORIDE: EVIDENCE FOR 1,2-CIS-1-CHLOROGLYCOPYRANOSYL PERACETATES AS THE ACTUAL REACTION INTERMEDIATES

B.Rajanikanth and R.Seshadri
Central Food Technological Research Institute, Mysore 570 013, India.



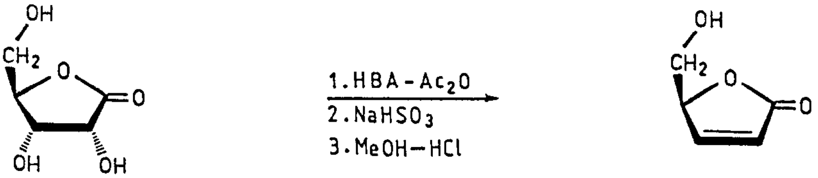
Tetrahedron Lett. 28, 2297 (1987)



3(2H)-Furanones 2 are obtained through a simple and rapid procedure involving a selective and controlled ring opening of furan derivatives of type 1 by oxidation with m-chloroperbenzoic acid.

Tetrahedron Lett. 28, 2299 (1987)

An Efficient Synthesis of (S)-5-Hydroxymethyl-2(5H)-Furanone



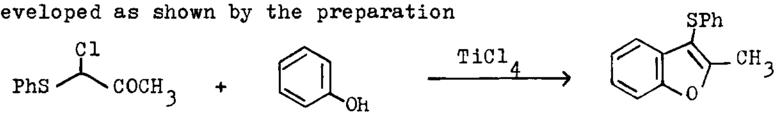
A straightforward and operationally simple synthesis of the title butenolide from D-ribono-1,4-lactone in 48% yield is reported.

Tetrahedron Lett. 28, 2301 (1987)

The Reaction of Chloro-phenylthioketones with Phenols: An Alternative Synthesis of Benzofurans.

Thomas V. Lee*, Adam A. Galan and Christopher B. Chapleo,
School of Chemistry, University of Bristol and Reckitt & Colman plc, Hull.

A new synthesis of benzofurans, in one-pot, has been developed as shown by the preparation of (1).



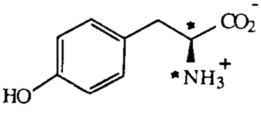
(1)

Tetrahedron Lett. 28, 2303 (1987)

ENZYMIC SYNTHESIS OF ISOTOPICALLY LABELLED L-TYROSINE

J.E. Baldwin[a*], S.C. Ng[a], A.J. Pratt[a], M.A. Russell[a] and R.L. Dyer[b]
[a] Dyson Perrins Laboratory, South Parks Road, Oxford OX1 3QY
[b] Searle Research and Development, Division of G.D. Searle & Co. Ltd, P.O. Box 53, Lane End Road, High Wycombe, Buckinghamshire HP12 4HL

L-Tyrosine specifically labelled with ^{13}C and ^{15}N has been enzymatically synthesised from achiral precursors in high yield and optical purity using *E. coli* Aspartate Transaminase.

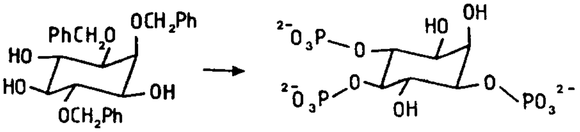


Tetrahedron Lett. *28*, 2305 (1987)

SYNTHESIS OF DL-*MYO*-INOSITOL 1,4,5-TRISPHOSPHATE

Allan M. Cooke*, Barry V.L. Potter* and Roy Gigg†
*Department of Chemistry, Leicester University, Leicester LE1 7RH, UK and
†Laboratory of Lipid amd General Chemistry, National Institute for Medical Research,
Mill Hill, London, NW7 1AA, UK.

DL-*Myo*-Inositol 1,4,5-trisphosphate has been synthesised from (±)-tetra-*O*-benzyl-1,2,4 *myo*-inositol using a phosphite chemistry approach.

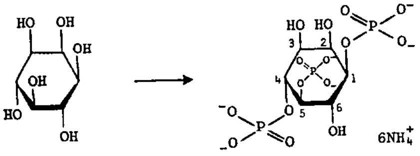


Tetrahedron Lett. *28*, 2309 (1987)

SYNTHESIS OF *D*-*myo*-INOSITOL 1,4,5-TRISPHOSPHATE

Colin B. Reese* and John G. Ward
Department of Chemistry, King's College London, Strand, London WC2R 2LS, England.

The conversion of *myo*-inositol into the ammonium salts both of racemic and enantiomerically pure *D*-*myo*-inositol 1,4,5-trisphosphate (*6*) is described.



(*6*)