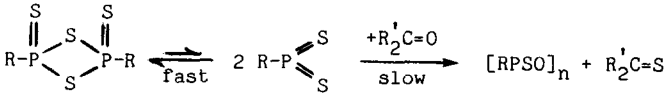
GRAPHICAL ABSTRACTS

Tet.Lett.,27,30,3445 (1986)

MECHANISTIC STUDIES OF THE THIATION OF CARBONYLS BY LAWESSON'S REAGENT: THE ROLE OF A 3-COORDINATE PHOSPHORUS(V) SPECIES

Gregg A. Zank and Thomas B. Rauchfuss*
School of Chemical Sciences, University of Illinois, Urbana, IL 61801

Structural and spectroscopic studies indicate that monomeric 4-$CH_3OC_6H_4PS_2$ is the reactive form of Lawesson's reagent:

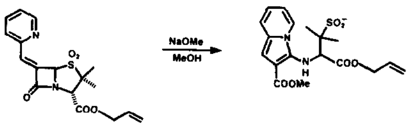


Tet.Lett.,27,3P,3449 (1986)

SYNTHESIS OF A POTENT β-LACTAMASE INHIBITOR — 1,1-DIOXO-6-(2-PYRIDYL)METHYLENEPENICILLANIC ACID AND ITS REACTION WITH SODIUM METHOXIDE

Yuhpyng L. Chen*, Chih-Wu Chang, and Kirk Hedberg
Central Research, Pfizer Inc., Groton, CT 06340

Reaction of 1,1-Dioxo-6-(2-pyridyl)methylenepenicillanate with sodium methoxide.

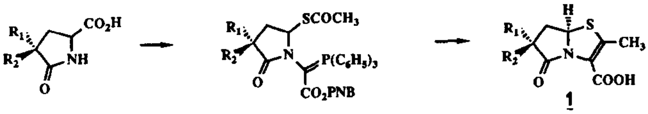


Tet.Lett.,27,30,3453 (1986)

γ - LACTAM ANALOGUES OF THE PENEMS

Donald B. Boyd, Thomas K. Elzey, Lowell D. Hatfield,
Michael D. Kinnick, and John M. Morin, Jr.*
Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN 46285 USA

Racemic γ- lactam analogues of the penems (1) have been prepared and tested for biological activity. The 7-acylamino derivatives exhibited low levels of activity.

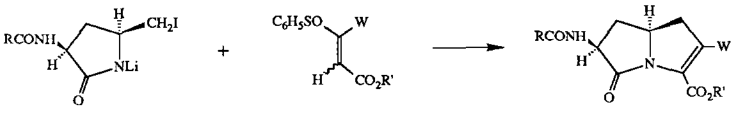


Tet.Lett.,27,30,3457 (1986)

γ-LACTAM ANALOGUES OF CARBAPENEMS

D. B. Boyd, B. J. Foster, L. D. Hatfield, W. J. Hornback,
N. D. Jones, J. E. Munroe*, and J. K. Swartzendruber
Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, Indiana 46285 USA.

γ-Lactam analogues of carbapenems have been synthesized using a [3+2] cyclization approach. Slight antibiotic activity was observed in one case.

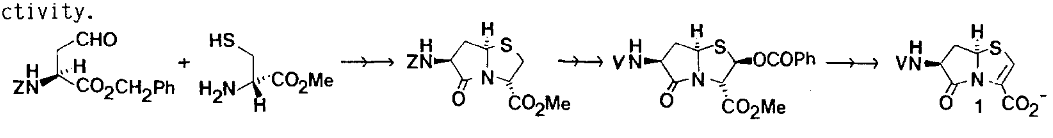


Tet.Lett.,27,30,3461 (1986)

A γ-LACTAM ANALOGUE OF PENEMS POSSESSING ANTIBACTERIAL ACTIVITY.

Jack E. Baldwin*[a] Christopher Lowe[a] Christopher J. Schofield [a] and Eun Lee.[b]
[a] The Dyson Perrins Laboratory, South Parks Road, Oxford OX1 3QY.
[b] The Department of Chemistry, Seoul National University, Seoul 151, Korea.

A synthesis of a γ-lactam analogue (1) of the penems, which displayed antibacterial activity.

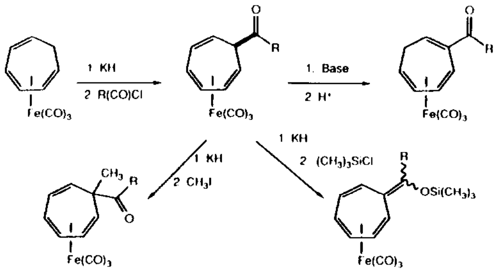


Tet.Lett.,27,30,3465 (1986)

STEREOCONTROLLED ACYLATION OF (η^4-CYCLOHEPTATRIENE)IRON TRICARBONYL

Gregory M. Williams* and Duane E. Rudisill

Department of Chemistry
University of California
Irvine, California 92717 USA

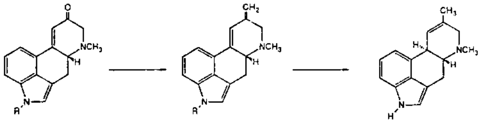


Tet.Lett.,27,30,3469 (1986)

WITTIG METHYLENATION OF 9,10-DIDEHYDRO-6-METHYLERGOLIN-8-ONE, A NOVEL SYNTHESIS OF LYSERGENE AND ITS SUBSEQUENT CONVERSION TO AGROCLAVINE

William J. Wheeler, Lilly Research Laboratories, Eli Lilly and Co.,Indianapolis,IN 46285 USA

N-p-Ts-lysergene (5) was synthesized by the reaction of N-p-Ts-9,10-didehydro-6-methylergolin-8-one (4) with methylene triphenylphosphorane. Subsequent lithium/ammonia reduction of 5 yielded agroclavine (6).

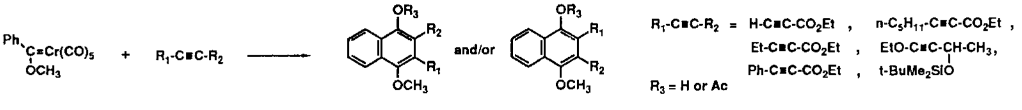


Tet.Lett.,27,30,3471 (1986)

REGIOSELECTIVITY OF THE REACTION OF A CHROMIUM-CARBENE COMPLEX WITH ALKYNES: EXAMINATION OF STERIC AND ELECTRONIC FACTORS

A. Yamashita* and A. Toy, Research Laboratories, The Upjohn Company, Kalamazoo, Michigan 49001

Examination of a steric and electronic factor of alkyne in regioselectivity in the reaction of a phenyl chromium carbene complex with unsymmetrical alkynes is described.



Tet.Lett.,27,30,3475 (1986)

π-ACCEPTOR INDUCED THERMAL REACTIONS: BOND CLEAVAGE REACTIONS

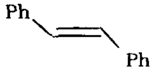
John H. Penn* and
Ronald S. Smith, Department of Chemistry, West Virginia University, Morgantown, WV 26506 USA

Bond cleavage reactions induced by TCNQ, TCNQF4, and DDQ

$$\mathrm{PhCH_2SPh} \xrightarrow[\mathrm{CH_3CN}]{\pi\text{-}A} \mathrm{PhCHO + PhSSPh + PhCH_2NHCOCH_3}$$

$$\mathrm{PhCH_2OPh} \longrightarrow \mathrm{PhCHO + PhOH + PhCH_2NHCOCH_3}$$

$\mathrm{PhCH_2CH_2Ph} \longrightarrow$



Tet.Lett.,27,30,3479 (1986)

FREE RADICAL SUBSTITUTION REACTIONS OF PHENYLACETYLENE DERIVATIVES BY AN ADDITION-ELIMINATION MECHANISM

Glen A. Russell* and Preecha Ngoviwatchai
Department of Chemistry, Iowa State University, Ames, Iowa 50011 USA

Synthesis of $\mathrm{PhC{\equiv}C\text{-}R}$ by a free radical process from $\mathrm{PhC{\equiv}C\text{-}Q}$ via $\mathrm{Ph\dot{C}{=}C(R)Q}$.

$$\mathrm{PhC{\equiv}C\text{-}Q + RHgX} \xrightarrow{h\nu} \mathrm{PhC{\equiv}C\text{-}R + QHgX\ (or\ Bu_3SnCl + Hg^{\circ})}$$

$$R = 1^{\circ},\ 2^{\circ},\ 3^{\circ}\text{-alkyl},\ \mathrm{(EtO)_2PO^-},\ \mathrm{PhSO_2},\ \mathrm{PhS};$$

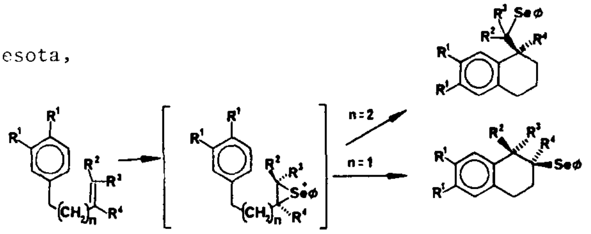
$$Q\ (\text{rel. react}) = \mathrm{PhSO_2}\ (9.1) > \mathrm{I}\ (2.0) > \mathrm{PhS}\ (0.6) > \mathrm{Bu_3Sn}\ (0.16)$$

Tet.Lett.,27,30,3483 (1986)

ON THE DIRECT GENERATION OF EPISELENONIUM IONS FROM ALKENES. AN EFFICIENT NEW PROCEDURE FOR EFFECTING SELENYLATIVE ARENE-ALKENE CARBOANNULATIONS.

Eric D. Edstrom and Tom Livinghouse*
Department of Chemistry, University of Minnesota,
Minneapolis, MN 55455 USA

An efficient procedure for effecting selenylative carboannulations is described.

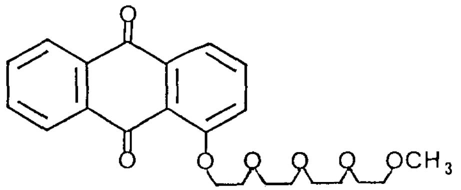


Tet.Lett.,27,30,3487 (1986)

GEOMETRICAL AND ELECTRONIC COOPERATIVITY IN CATION-MEDIATED ELECTROCHEMICAL REDUCTIONS OF ANTHRAQUINONE-SUBSTITUTED PODANDS

Deborah A. Gustowski, Milagros Delgado, Vincent J. Gatto, Luis Echegoyen,* and George W. Gokel,* Department of Chemistry, University of Miami, Coral Gables, Florida 33124 U.S.A.

Unlike their nitroaromatic counterparts, podands based upon the anthraquinone skeleton bind cations more strongly when reduced because of a combination of geometrical and electronic factors.

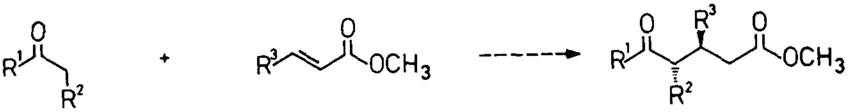


Tet.Lett.,27,30,3491 (1986)

ASYMMETRIC MICHAEL ADDITIONS VIA SAMP-/RAMP-HYDRAZONES anti-DIASTEREO- AND ENANTIOSELECTIVE SYNTHESIS OF 3,4-DISUBSTITUTED 5-OXO-ALKANOATES

Dieter Enders*[a], Kyriakos Papdopoulos[a], Beatrice E.M. Rendenbach[a], Rolf Appel[b] and Falk Knoch[b]
[a]Institut für Organische Chemie, Professor-Pirlet-Str. 1, 5100 Aachen, FRG
[b]Anorganisch-Chemisches Institut, Gerhard-Domagk-Str. 1, 5300 Bonn, FRG

The 1.4-addition of aldehydes and ketones to enoates in excellent asymmetric inductions is described.



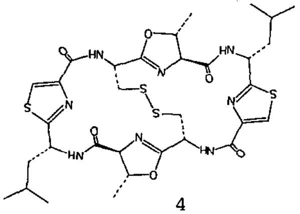
de ≈ 90 - ≈ 100 %
ee ≈ 92 - ≈ 100 %

Tet.Lett.,27,30,3495 (1986)

TOTAL SYNTHESIS OF ULITHIACYCLAMIDE

Ulrich Schmidt* and Dietmar Weller
Institut für Organische Chemie, Biochemie
und Isotopenforschung der Universität
Pfaffenwaldring 55, D-7000 Stuttgart 80, Fed.Rep.Germany

The total synthesis of ulithiacyclamide (4), a potent cancerostatic cyclopeptide via cyclisation of a bifunctional pentafluorophenyl ester.



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Tet.Lett.,27,30,3497 (1986)

ELECTROCATALYTIC SYNTHESIS OF AROMATIC OR VINYLIC IODIDES FROM CORRESPONDING BROMIDE COMPOUNDS

Gilbert MEYER, Yolande ROLLIN and Jacques PERICHON

Laboratoire d'Electrochimie, Catalyse et Synthèse Organique
C.N.R.S. 2, rue Henri-Dunant 94320 THIAIS (France)

An electrocatalytic assistance by nickel complexes of a substitution reaction at a sp^2 carbon from bromides to iodides

$$\mathrm{RBr + KI} \xrightarrow{\mathrm{Ni^{++} + 2e^- \longrightarrow Ni(0)\ catalyst}} \mathrm{RI + KBr}$$

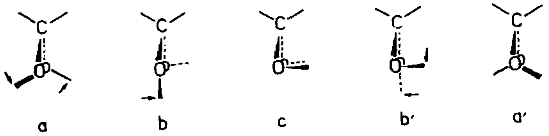
R = aromatic or vinylic group.

Tet.Lett.,27,30,3501 (1986)

Conformational dynamics: Association of correlated and non correlated rotations of methoxy groups in anomeric structures. Convergence of a theoretical study of 2,2-dimethoxypropane and of some crystallographic data of acyclic analogues of pyranoses.

A. Cossé-Barbi and J.E. Dubois
ITODYS - Université Paris VII, associé au CNRS
1, rue Guy de La Brosse, 75005 PARIS (France).

A multistep interconversion pathway with correlated disrotations (a → b, b' → a') and non correlated rotations (b → c, c → b') of the two alkoxy groups is favoured.

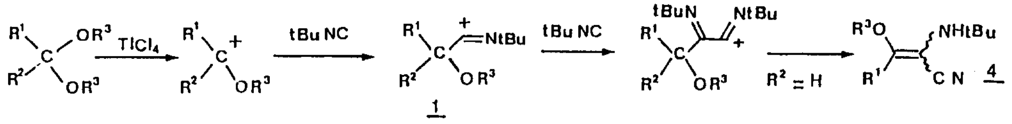


Tet.Lett.,27,30,3505 (1986)

REACTIONS OF ISOCYANIDES. II - ADDITION TO ACETALS

Hélène PELLISSIER, Alain MEOU and Gérard GIL
Unité Associée au C.N.R.S. n°109 - Faculté des Sciences et Techniques
Rue Henri Poincaré - 13397 MARSEILLE CEDEX 13

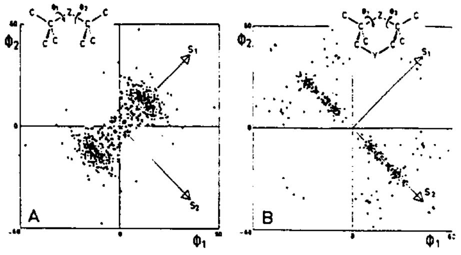
In the presence of $TiCl_4$, tert-butyl isocyanide reacts with aldehyde acetals to afford β-alkoxycyanoenamines.



Tet.Lett.,27,30,3507 (1986)

Conformational distortions in cyclic and acyclic C_3CZCC_3 fragments (Z = C, P, Si, N, S, O). Crystallographic data analysis.

J.E. Dubois and A. Cossé-Barbi.
ITODYS - Université Paris VII, associé au CNRS
1, rue Guy de la Brosse, 75005 PARIS (France)



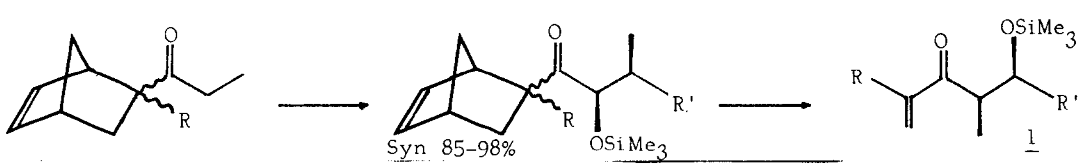
Conrotatory (acyclic fragments, A) or disrotatory (cyclic fragments, B) correlated rotations induced by strain lead to a quadrant sectorization in the Φ_1, Φ_2 space.

STEREOSELECTIVE ALDOL CONDENSATIONS INDUCED BY A THERMOLABILE GROUP

Tet.Lett.,27,30,3511 (1986)

R. Bloch* and L. Gilbert
Laboratoire des Carbocycles, Université de Paris-Sud, Bât. 420, 91405 ORSAY CEDEX (France)

Synthetically useful ethylenic ketones 1 were obtained via a stereoselective aldol condensation followed by thermolysis.

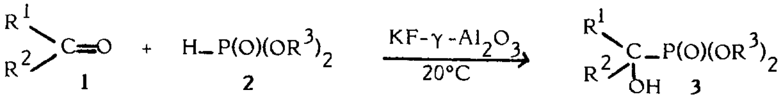


AN UNEXPECTED REACTIVITY OF SIMPLE HETEROGENEOUS MIXTURE OF γ-ALUMINA AND POTASSIUM FLUORIDE.

Tet.Lett.,27,30,3515 (1986)

F. Texier-Boullet * and M. Lequitte
G.R.P.S., U.A. C.N.R.S., Université de Rennes I, Campus de Beaulieu, 35042 Rennes, France.

The mixture of γ-Al_2O_3 and KF (1:1 in weight) without additional organic solvent at room temperature, catalysts efficiently addition of non activated ketones on dialkylphosphites.



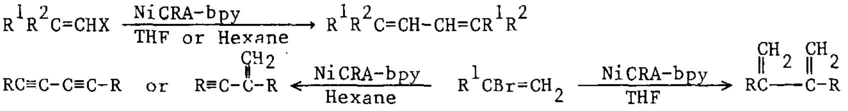
$$\underset{\mathbf{1}}{R^1R^2C{=}O} + \underset{\mathbf{2}}{H{-}P(O)(OR^3)_2} \xrightarrow[20°C]{KF\text{-}\gamma\text{-}Al_2O_3} \underset{\mathbf{3}}{R^1R^2C(OH){-}P(O)(OR^3)_2}$$

R^1, R^2 : CH_3, C_2H_5, C_6H_5, $(CH_2)_5$; R^3 : CH_3, C_2H_5, $(CH_3)_2CH$, $CH_3(CH_2)_3$-, $C_6H_5CH_2$-

Tet.Lett.,27,30,3517 (1986)

ACTIVATION OF REDUCING AGENTS. SODIUM HYDRIDE CONTAINING COMPLEX REDUCING AGENTS 22. NEW COUPLING REACTION WITH "NICKEL DOPED" COMPLEX REDUCING AGENT.

Régis VANDERESSE, Yves FORT, Sandrine BECKER and P. CAUBERE*
Laboratoire de Chimie Organique I, Associé au CNRS, Université de Nancy I, B.P. 239
54506 VANDOEUVRE LES NANCY (FRANCE)



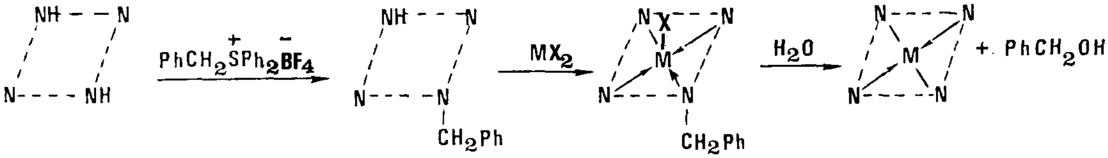
$$R^1R^2C{=}CHX \xrightarrow[\text{THF or Hexane}]{\text{NiCRA-bpy}} R^1R^2C{=}CH{-}CH{=}CR^1R^2$$

$$RC{\equiv}C{-}C{\equiv}C{-}R \text{ or } R{\equiv}C{-}\overset{\overset{CH_2}{\|}}{C}{-}R \xleftarrow[\text{Hexane}]{\text{NiCRA-bpy}} R^1CBr{=}CH_2 \xrightarrow[\text{THF}]{\text{NiCRA-bpy}} R\overset{\overset{CH_2}{\|}}{C}{-}\overset{\overset{CH_2}{\|}}{C}{-}R$$

Tet.Lett.,27,30,3521 (1986)

EFFICIENT METALLOPORPHYRIN SYNTHESIS USING N-BENZYLPORPHYRINS

D.K. Lavallee, A. White, A. Diaz, JP. Battioni, D. Mansuy
Hunter College, CUNY (USA) and Université René Descartes, UA 400, Paris (France).

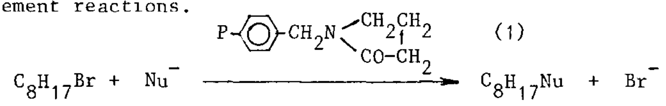


Tet.Lett.,27,30,3525 (1986)

SOLID-PHASE COSOLVENTS. A POLYMER ANALOG OF N-METHYL-2-PYRROLIDONE BASED ON CROSSLINKED POLYSTYRENE.

Václav Janout and Pavel Čefelín
Institute of Macromolecular Chemistry, Czechoslovak Academy of Sciences,
162 06 Prague 6, Czechoslovakia

Preparation of a polymer analog of N-methyl-2-pyrrolidone (1) and its use as a catalyst of nucleophilic displacement reactions.



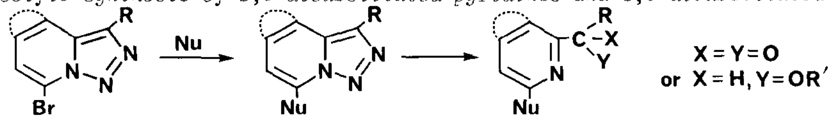
$$C_8H_{17}Br + Nu^- \longrightarrow C_8H_{17}Nu + Br^-$$

Tet.Lett.,27,30,3543 (1986)

NUCLEOPHILIC SUBSTITUTIONS ON BROMOTRIAZOLOPYRIDINES - AN IMPROVED ROUTE TO 2,6-DISUBSTITUTED PYRIDINES AND TO 1,3-DISUBSTITUTED ISOQUINOLINES.

Belen Abarca[a*], Rafael Ballesteros[a], Gurnos Jones[b*], and Fatemeh Mojarrad[a]
[a]Departamento de Quimica Organica, Facultad de Farmacia, Universidad de Valencia, Spain.
[b]Department of Chemistry, University of Keele, Keele, Staffordshire, ST5 5BG, England.

A general regiospecific synthesis of 2,6-disubstituted pyridines and 1,3-disubstituted isoquinolines.

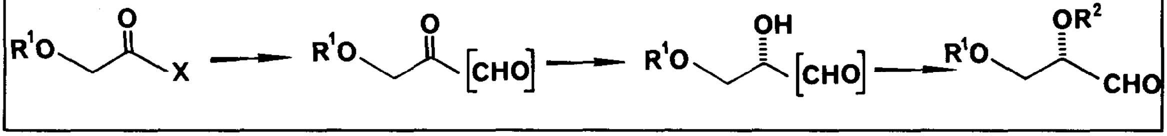


Tet.Lett.,27,30,3547 (1986)

MICROBIOLOGICAL SYNTHESIS OF VARIOUSLY PROTECTED L-GLYCERALDEHYDES IN HIGH OPTICAL PURITY.

Giuseppe Guanti,* Luca Banfi, and Enrica Narisano,
Istituto di Chimica Organica e C.N.R., Centro di Studio sui Diariloidi, corso Europa 26, Genova (Italy).

Variously protected L-glyceraldehydes have been prepared by baker's yeast mediated reduction, and successive deblocking, of acylated formylanion equivalents.

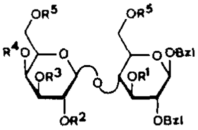


Tet.Lett.,27,30,3551 (1986)

ASYMMETRIC MICHAEL REACTION USING MACROCYCLIC LACTOSE DERIVATIVES AS CHIRAL CATALYSTS.

Manuel Alonso-López, Manuel Martín-Lomas and Soledad Penadés*
Instituto de Química Orgánica, CSIC, Juan de la Cierva 3, 28006 Madrid, Spain.

Asymmetric Michael addition of **5a**, **5b**, **5c** to methyl acrylate in the presence of the macrocyles **1**, **2**, **3**, and **4** and KBu^{t}O or KNH$_2$ gave compounds **6a**, **6b** and **6c**, in 20 to 70% enantiomeric excess.

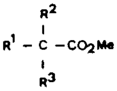


1, $R^1, R^2 = (CH_2CH_2O)_3CH_2CH_2$; $R^3, R^4 = (CH_3)_2C$, $R^5 = Bzl$

2, $R^1, R^3 = (CH_2CH_2O)_3CH_2CH_2$; $R^2 = R^4 = R^5 = Bzl$

3, $R^1 = R^2 = Bzl$; $R^3, R^4 = (CH_3)_2C$, $R^5 = (CH_2CH_2O)_3CH_2CH_2$

4, $R^1, R^2 = (CH_2CH_2O)_3CH_2CH_2$; $R^3, R^4 = (CH_2CH_2O)_4CH_2CH_2$, $R^5 = Bzl$



$$R^1-\overset{\overset{\displaystyle R^2}{|}}{\underset{\underset{\displaystyle R^3}{|}}{C}}-CO_2Me$$

5a, $R^1 = C_{10}H_7$, $R^2 = R^3 = H$

5b, $R^1 = C_6H_5$, $R^2 = R^3 = H$

5c, $R^1 = C_6H_5$, $R^2 = CH_3$, $R^3 = H$

6a, $R^1 = C_{10}H_7$, $R^2 = CH_2CH_2CO_2Me$, $R^3 = H$

6b, $R^1 = C_6H_5$, $R^2 = CH_2CH_2CO_2Me$, $R^3 = H$

6c, $R^1 = C_6H_5$, $R^2 = CH_2CH_2CO_2Me$, $R^1 = CH_3$