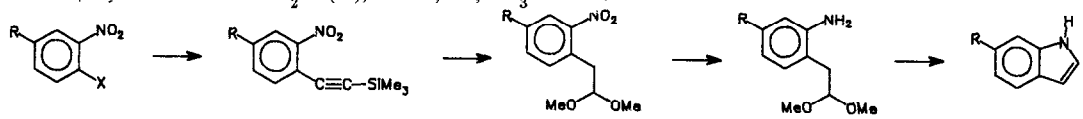
Tet.Lett.,27,15,1653 (1986)

6-SUBSTITUTED INDOLES FROM o-HALONITROBENZENES

Allan N. Tischler* and Thomas J. Lanza
Merck Sharp & Dohme Res. Labs, P.O. Box 2000, Rahway, NJ 07065

o-Chloro- and o-bromonitrobenzenes are efficiently converted to 6-substituted indoles in a four step synthesis. R = $CO_2Me(H)$, COPh, Ph, CF_3 and Me.



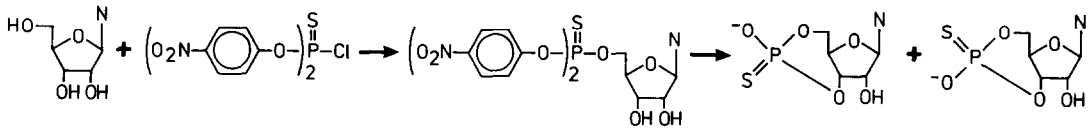
Tet.Lett.,27.15,1657 (1986)

SYNTHESIS OF NUCLEOSIDE 3',5'-CYCLIC PHOSPHOROTHIOATES

Fritz Eckstein and Ursula Kutzke

Max-Planck-Institut für experimentelle Medizin, Abteilung Chemie, 3400 Göttingen, FRG

A synthesis of nucleoside 3',5'-cyclic phosphorothioates is described.

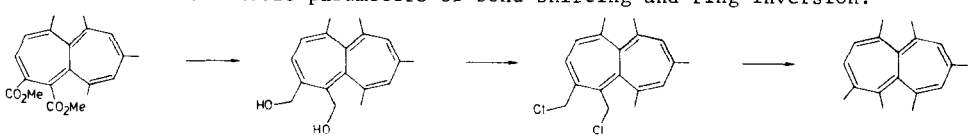


Tet.Lett.,27,15,1665 (1986)

SYNTHESIS AND DYNAMIC PROPERTIES OF 1,2,5,6,8,10-HEXAMETHYL-HEPTALENE

Klaus Hafner* and Günter L. Knaup
Institut für Organische Chemie, Technische Hochschule Darmstadt, 6100 Darmstadt, Germany

Synthesis of the optically active bond shift isomers of 5 from (-)-(P)-1 via 2 and 4 and determination of the kinetic parameters of bond shifting and ring inversion.



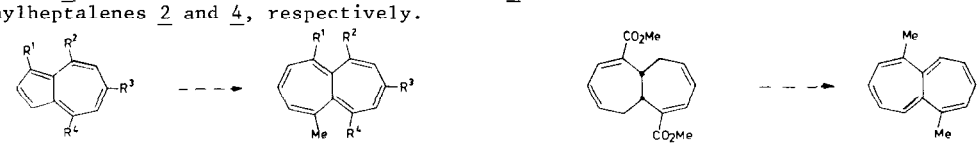
(-)-(P)-1 2 4 5

Tet.Lett.,27,15,1669 (1986)

SYNTHESIS OF DI-, TETRA- AND PENTA-METHYL-HEPTALENES

Klaus Hafner*, Norbert Hock, Günter L. Knaup, and Klaus-Peter Meinhardt
Institut für Organische Chemie, Technische Hochschule Darmstadt, D-6100 Darmstadt, Germany

Azulenes 1 and tetrahydroheptalene derivative 3 are converted into thermally and air stable methylheptalenes 2 and 4, respectively.



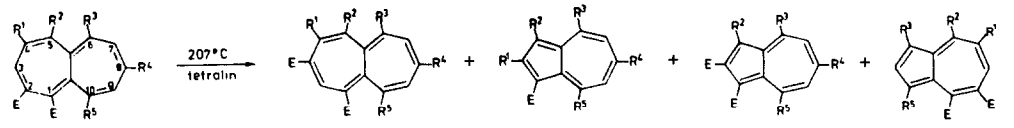
1 2 3 4

Tet.Lett.,27,15,1673 (1986)

THERMAL SKELETAL REARRANGEMENTS OF DIMETHYL 1,2-HEPTALENEDICARBOXYLATES

Klaus Hafner* and Günter L. Knaup
Institut für Organische Chemie, Technische Hochschule Darmstadt, D-6100 Darmstadt (Germany)

Thermolysis of dimethyl 1,2-heptalenedicarboxylates 1 yields by skeletal rearrangement 1,3-dicarboxylates 2 and by ring contraction azulenes 3 - 5.



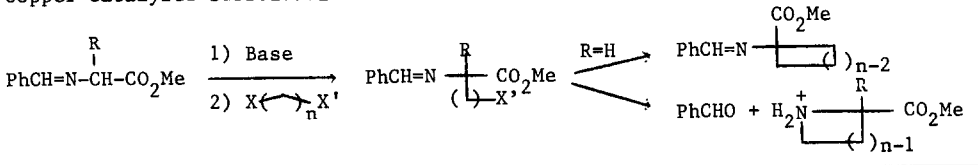
1 2 3 4 5

Tet.Lett.,27,15,1677 (1986)

REACTION OF SCHIFF BASES ANIONS WITH α,ω-DIHALOALKANES : SYNTHETIC ROUTE TO CYCLIC α-AMINO-ACID DERIVATIVES.

M. Joucla and M. El Goumzili
G.R.P.S. 3, UA CNRS 704, Université de Rennes I, Campus de Beaulieu, 35042 Rennes Cedex

Copper-catalyzed substitution reactions.

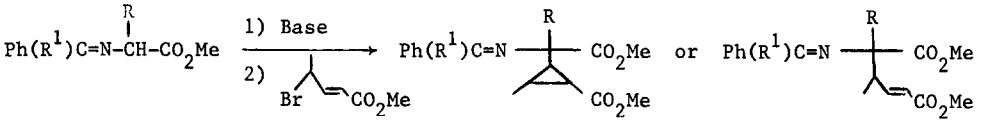


Tet.Lett.,27,15,1681 (1986)

REACTION OF SCHIFF BASES ANIONS WITH 4-HALO-2-BUTENOATES : SELECTIVE SYNTHESIS OF α-CYCLOPROPYL AND γ,δ-UNSATURATED α-AMINO ACID DERIVATIVES.

M. Joucla, M. El Goumzili and B. Fouchet
G.R.P.S. 3, UA CNRS 704, Université de Rennes-Beaulieu, 35042 Rennes Cedex, France.

Addition-elimination versus substitution reactions.

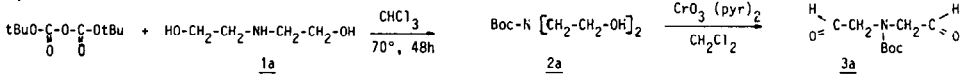


Tet.Lett.,27,15,1685 (1986)

SYNTHESIS OF AMINODIALDEHYDES

B. Garrigues* and M. Lazraq
UA CNRS n° 454 118 Route de Narbonne 31062 Toulouse Cédex

The first N-protected aminodialdehydes (3a) have been synthesized by oxidation of N-protected aminodiols (1a, scheme) or from aminodiacids by reduction of N-Boc di (N-métoxy N-méthyl) amides



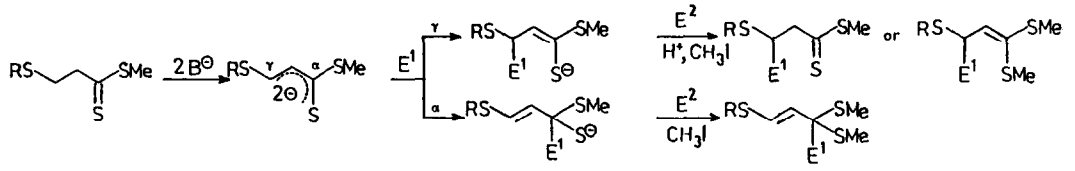
1a 2a 3a

Tet.Lett.,27,15,1687 (1986)

REACTIVITY OF A NOVEL AMBIDENT DIANION FORMED BY DOUBLE DEPROTONATION OF β-THIO-SUBSTITUTED DITHIOPROPANOATES : A LITHIO-ACRYLATE EQUIVALENT.

P. Beslin* and A. Dlubala
Laboratoire de Chimie des Composés Thioorganiques, UA CNRS n° 480, ISMRA, Université de Caen - Basse Normandie, 14032 Caen, France.

γ-Selectivity with alkyl halides and epoxydes ; γ and α-prefered selectivity with respectively ketones and aldehydes.

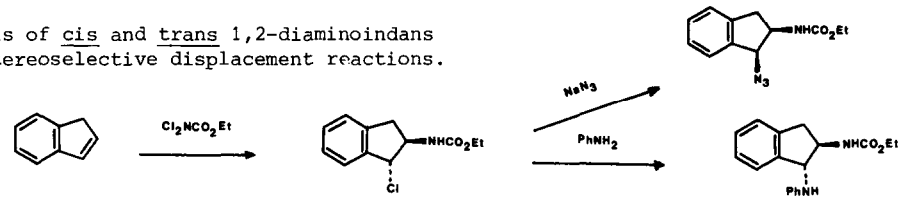


Tet.Lett.,27,15,1699 (1986)

STEREOSELECTIVE SYNTHESIS OF 1,2-DIAMINOINDANS

Barry S. Orlek
Beecham Pharmaceuticals Research Division, The Pinnacles, Harlow, Essex. CM19 5AD, U.K.

Synthesis of cis and trans 1,2-diaminoindans using stereoselective displacement reactions.

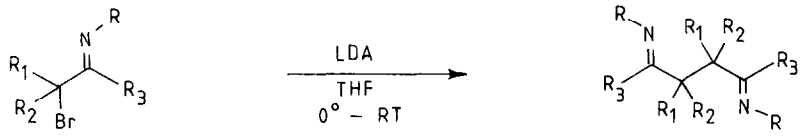


Tet.Lett.,27,15,1707 1986)

DEHYDRODIMERIZATION OF IMINES VIA α-BROMOIMINES USING LITHIUM DIISOPROPYLAMIDE

Norbert De Kimpe, Zi-peng Yao and Niceas Schamp
Laboratory of Organic Chemistry, Faculty of Agricultural Sciences, State University of Gent, Coupure Links 653, B-9000 Gent, Belgium

α-Bromoimines were transformed into 1,4-diimines using LDA in tetrahydrofuran

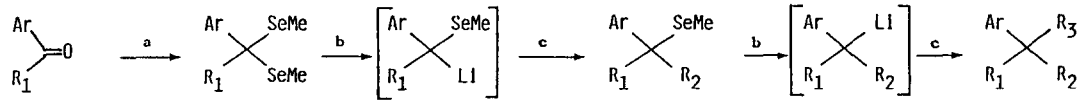


Tet.Lett.,27,15,1719 (1986)

A NOVEL METHOD FOR THE GEMINAL DIALKYLATION OF THE CARBONYL GROUP OF AROMATIC ALDEHYDES AND KETONES

M. Clarembeau and A. Krief

The title transformation is efficiently achieved by using the selenium methodology which involves the sequential reductive alkylation of arylselenoacetals and of benzylselenides.



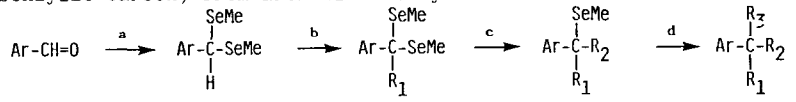
a) Method A:MeSeH, 0.5 $ZnCl_2$, CCl_4; Method B:MeSeH, 0.3 $TiCl_4$, CH_2Cl_2
b) nBuLi/THF-Hexane (4-1) -78°, 0.3h c) R_2X or R_3X in THF, -78°, 0.5 then -78° to 20° 0.5h

Tet.Lett.,27,15,1723 (1986)

METALLATION OF BENZYL SELENIDES AND OF α ARYL SELENOACETALS. SCOPE AND LIMITATIONS.

M. Clarembeau and A. Krief
FNDP, 61 rue de Bruxelles, B-5000 Namur (Belgium)

α-Metallo benzylselenides and α-metallo selenoacetals derived from aromatic aldehydes have been conveniently prepared by metallation with KDA of the corresponding carbon acids. These reactions have been used for the synthesis of arylalkanes, including those bearing a trialkylated benzylic carbon, from aromatic aldehydes.



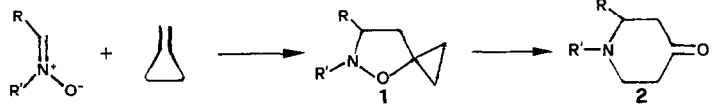
a: $MeSeH/ZnCl_2$, CH_2Cl_2, 20°C b: i. KDA THF, -78° ii. R_1X c: i. nBuLi THF -78° ii. R_2X d: i. nBuLi THF -78° ii. R_3X

Tet.Lett.,27,15,1727 (1986)

REARRANGEMENT OF NITRONE CYCLOADDUCTS TO METHYLENE CYCLOPROPANE. SYNTHESIS OF INDOLIZIDINE AND QUINOLIZIDINE DERIVATIVES.

A. Brandi,* A. Guarna, A. Goti and F. De Sarlo
Centro Eterocicli, CNR. Dip. Chimica Organica, Università di Firenze, Italy.

Piperidin-4-ones 2 including indolizidines and quinolizidines are obtained by thermal rearrangement of 5-spirocyclopropylisoxazolidines 1.



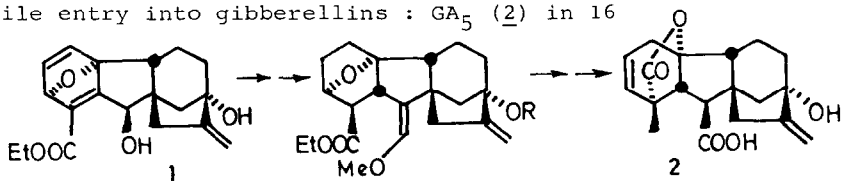
a: R = Ph , R' = Me
b: R-R' = $-CH_2CH_2CMe_2-$
c: R-R' = $-(CH_2)_4-$

Tet.Lett.,27,15,1731 (1986)

A NOVEL EXPEDITIOUS ENTRY INTO GIBBERELLINS. THE TOTAL SYNTHESIS OF (±)-GA_5.

Werner M. Grootaert and Pierre J. De Clercq*
Department of Organic Chemistry, State University of Ghent, B-9000 GENT Belg

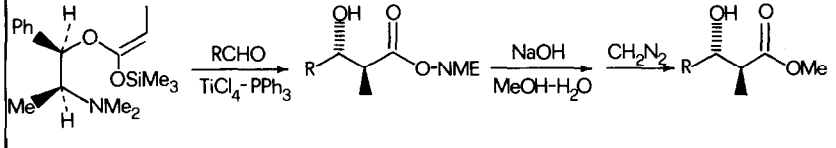
A short and versatile entry into gibberellins : GA_5 (2) in 16 steps from m-methoxybenzoic acid via (1), the result of an intramolecular Diels-Alder reaction



Tet.Lett.,27,15,1735 (1986)

IMPROVED ENANTIOSELECTIVE SYNTHESIS OF ANTI α-METHYL-β-HYDROXYESTERS THROUGH $TiCl_4$-PPh_3 MEDIATED ALDOL CONDENSATION

Camillo Palazzi, Lino Colombo*, Cesare Gennari*
Dipartimento di Chimica Org. e Ind., Università, via Venezian 21, I-20133 Milan



R=Ph, $CH_3(CH_2)_2CH=CH$, $PhCH=CH$, $CH_3CH_2CH=CH$.
anti-syn ≥ 10-30:1
e.e. 66-94 %