

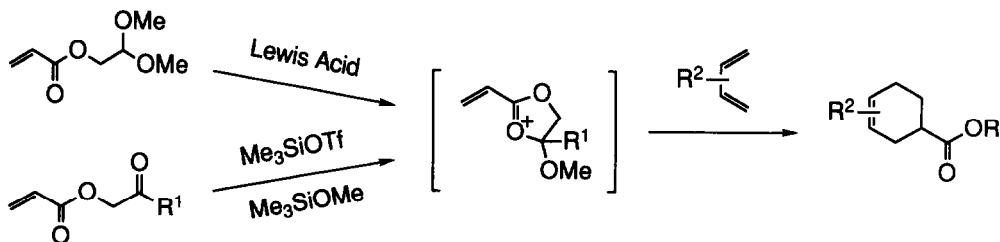
## GRAPHICAL ABSTRACTS

*Tetrahedron*, 1993, 49, 6349

### Mild and Efficient Diels-Alder Reaction Using Cationic Dienophiles Generated *in Situ*

Yukihiko Hashimoto, Tadamichi Nagashima, Katsuhiro Kobayashi, Masaki Hasegawa, and Kazuhiko Saigo\*

Department of Synthetic Chemistry, Faculty of Engineering, The University of Tokyo, Hongo, Bunkyo-ku, Tokyo 113, Japan

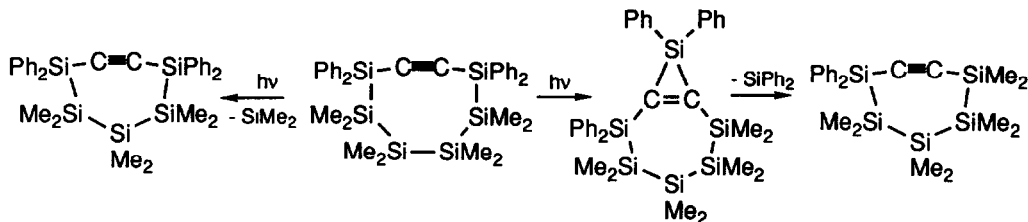


*Tetrahedron*, 1993, 49, 6359

### Ring Contraction Reactions of Polysilacycloalkynes

Sachie Sekigawa, Toshio Shimizu, and Wataru Ando\*

Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305, Japan



Ring contraction of polysilacycloalkynes proceeds via two different pathways; one is a process via bicyclic silacyclpropene and another is a direct desilylation process.

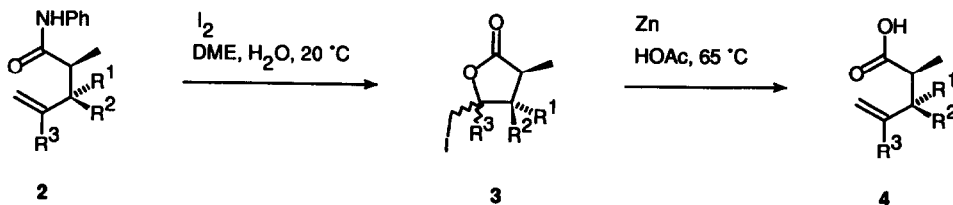
*Tetrahedron*, 1993, 49, 6367

### A MILD TWO-STEP HYDROLYSIS OF $\gamma,\delta$ -UNSATURATED ANILIDES

Peter Metz

Organisch-Chemisches Institut der Universität Münster, Corrensstrasse 40, D-4400 Münster, Germany

Anilides **2** are hydrolyzed to carboxylic acids **4** by iodolactonization and subsequent reduction without significant epimerization. The diastereoselectivity of the iodolactonization step is also addressed.

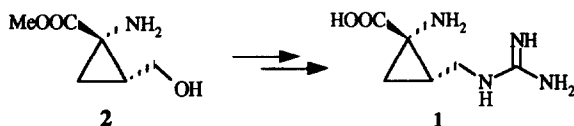


## FIRST ASYMMETRIC SYNTHESIS OF CARNOSADINE

David J. Aitken, Dominique Guillaume and Henri-Philippe Husson

Laboratoire de Chimie Thérapeutique, URA 1310 du CNRS, Faculté des Sciences Pharmaceutiques et Biologiques, 4 avenue de l'Observatoire, 75270 Paris cedex France.

The natural product carnosadine 1 has been prepared in stereochemically pure form in five steps and 45% overall yield from chiral derivative 2.



## REGIOSELECTIVE SYNTHESIS OF INHIBITORS OF HISTONE ACETYLTRANSFERASE COVALENTLY LINKING SPERMIDINE TO THE S-TERMINUS OF COENZYME A AND FRAGMENTS.

Georges ROBLOT<sup>1</sup>, Renée WYLDE<sup>1</sup>, Aimée MARTIN<sup>2</sup> and Joseph PARELLO<sup>2</sup>

<sup>1</sup>Centre C.N.R.S.-I.N.S.E.R.M. de Pharmacologie-Endocrinologie <sup>2</sup>Unité Associée n°1111 au C.N.R.S., Chimie des Médiateurs et Physico-chimie des Interactions Biologiques, Faculté de Pharmacie, 15 avenue Charles Flahault, 34060 Montpellier Cedex1, France

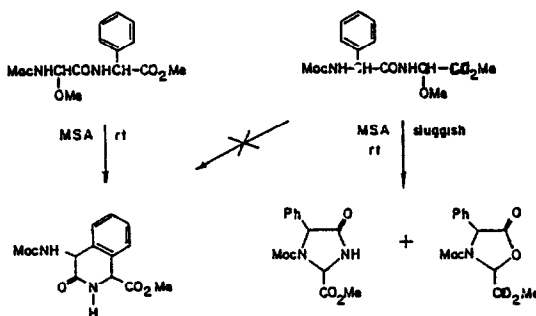
Bromoacetylthioesters, BrCH<sub>2</sub>CO-S-R [with R = CoA (coenzyme A) or CoA fragments] react with a primary amine H<sub>2</sub>N-R' to yield unexpectedly the corresponding carboxamide of an S-substituted thioglycolic acid, i.e. R-S-CH<sub>2</sub>-CO-NH-R'. The reaction appears to be general and is likely to proceed in two steps, through formation of a bromoacetamide and a thiol as intermediates:



It is shown that the reaction of CoA-SH with BrCH<sub>2</sub>-CO-SC<sub>6</sub>H<sub>5</sub> affords the CoA-S-COCH<sub>2</sub>Br derivative, through acylation of the thiol function, in contrast with a previous report in the literature stating that S-alkylation is taking place instead, thus yielding CoA-S-CH<sub>2</sub>-CO-SC<sub>6</sub>H<sub>5</sub>. The bromoacetyl derivative is therefore to be considered as the precursor of CoA-S-CH<sub>2</sub>-CO-Spd upon reaction with spermidine (Spd). Esters of S-substituted thioglycolic acids, R-S-CH<sub>2</sub>-COOH, were used in parallel to prepare different R-S-CH<sub>2</sub>-CO-Spd derivatives in the coenzyme A series under regioselective conditions, thus affording a convenient synthetic route to a variety of novel inhibitors of histone acetyltransferase.

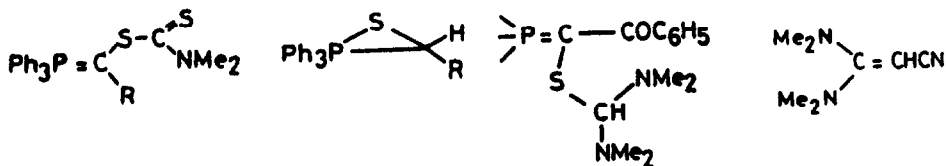
INTRAMOLECULAR AMIDOALKYLATION  
OF AROMATICS II. SYNTHESIS OF  
CONFORMATIONALLY RESTRICTED  
BRIDGED PEPTIDES ANALOGUES OF  
Phg-Gly OR Gly-Phg.

D. Ben-Ishai\* and A.R. McMurray  
Department of Chemistry, Israel  
Institute Technology, Haifa,  
Israel.



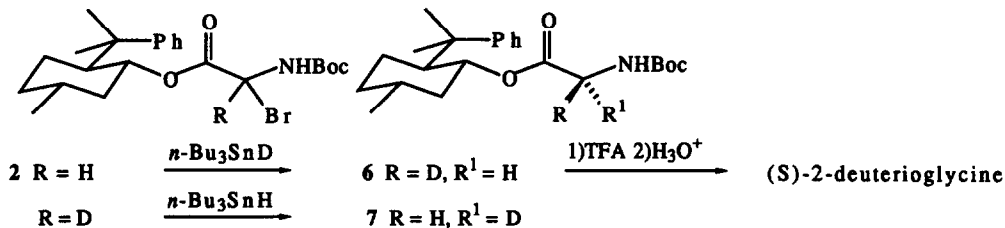
## STUDIES OF PHOSPHORUS YLIDES WITH TETRAMETHYLTHIURAM DISULFIDE

Wafaa M. Abdou and El-Sayed M.A. Yakout  
National Research Centre, Dokki, Cairo, Egypt.



## ASYMMETRIC INDUCTION IN ACYCLIC RADICAL REACTIONS: ENANTIOSELECTIVE SYNTHESIS OF (S)-2-DEUTERIOGLYCINE AND (R)-2-DEUTERIOGLYCINE.

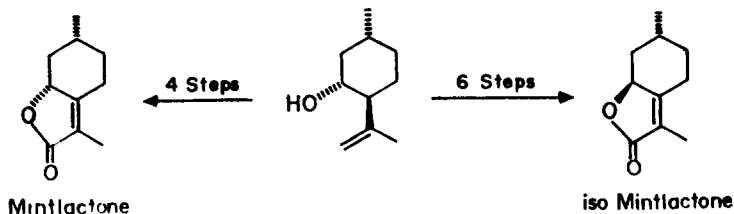
David P.G. Hamon,\* Ralph A. Massy-Westropp and Pasquale Razzino  
Department of Organic Chemistry, University of Adelaide, GPO Box 498, Adelaide, South Australia, 5001, Australia.



## A SHORT AND EFFICIENT SYNTHESIS OF (-) MINTLACTONE AND (+) ISO-MINTLACTONE

Subhash P. Chavan\*, P.K. Zubaidha & Vijay D. Dhondge  
National Chemical Laboratory, Pune 411 008, India.

A short, highly convenient, stereoselective synthesis of (-) mintlactone and (+) iso-mintlactone from (-) isopulegol is described.

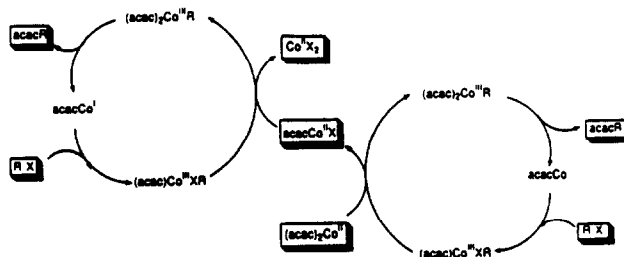


### MECHANISTIC STUDIES ON THE ALKYLATION OF PENTANE-2,4-DIONE THROUGH ITS Co(II) COMPLEX

Adelina Valinbera, Jorge Marquet,\* Marcial Moreno-Mañas and Eduard Cayón

Departament de Química. Universitat Autònoma de Barcelona. 08193 Bellaterra. Barcelona. Spain.

A mechanistic study on the alkylation of pentane-2,4-dione through its Co(II) complex in concentrated chloroform solutions indicates a "non carbon radical" chain mechanism based in reductive eliminations on Co(III) species, and oxidative additions to Co(I) species.

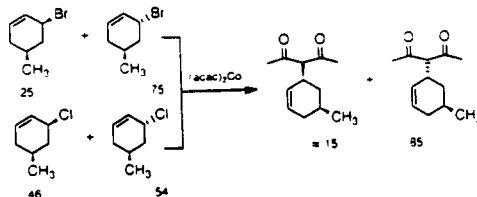


### STEREOCHEMICAL AND REGIOCHEMICAL STUDIES ON THE ALKYLATION OF PENTANE-2,4-DIONE THROUGH ITS Co(II) COMPLEX

Adelina Valinbera, Neus Serra, Jorge Marquet,\* and Marcial Moreno-Mañas\*

Departament de Química. Universitat Autònoma de Barcelona. 08193 Bellaterra. Barcelona. Spain.

Stereochemical and regiochemical results on the alkylation of pentane-2,4-dione with benzyl and allyl halides, through its Co(II) complex in concentrated chloroform solution are interpreted in terms of Curtin-Hammett preequilibrium conditions.

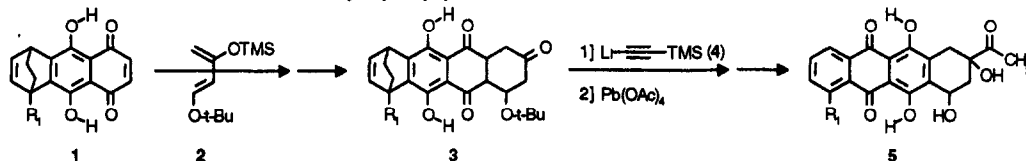


### A PRACTICAL AND EFFICIENT MULTIGRAM APPROACH TO DAUNOMYCINONE AND DERIVATIVES

Joannes F M de Bie, Rob M. Peperzak, Marian J Daenen and Hans W Scheeren\*

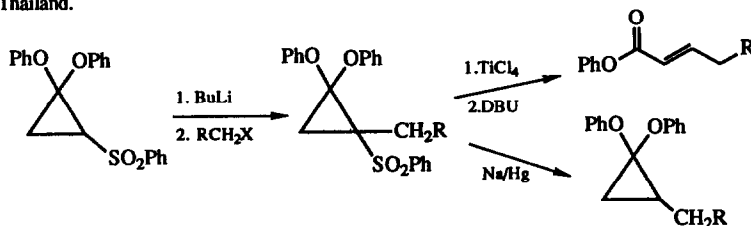
Department of Organic Chemistry, University of Nijmegen, Toernooiveld, 6525 ED Nijmegen, The Netherlands

(+/-)-Daunomycinone (**5**,  $R_1 = \text{OCH}_3$ ) and (+/-)-4-demethoxydaunomycinone (**5**,  $R_1 = \text{H}$ ) were synthesized on multigram scale by two successive Diels-Alder reactions from naphazarin. Key steps are the Diels-Alder reaction of the BCD-fragment (**1**) and diene (**2**) and further functionalisation with trimethylsilylethynyl lithium (**4**).



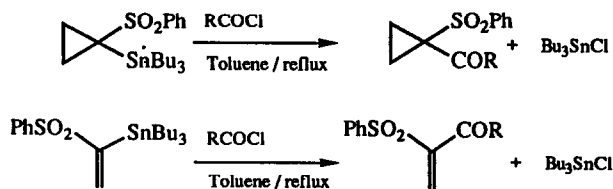
**1-LITHIO-2,2-DIPHENOXY-1-(PHENYLSULFONYL)CYCLOPROPANE AS  
β-LITHIO ACRYLATE AND CYCLOPROPANONE ACETAL ANION SYNTHONS.**

M. Pohmakotr\* and J. Ratchataphusit; Department of Chemistry, Faculty of Science, Mahidol University, Rama 6 Rd., Bangkok 10400, Thailand.



**DESTANNYLATIVE ACYLATION OF α-STANNYL SULFONES.  
SYNTHESIS OF 1-ACYL-1-(PHENYLSULFONYL)-CYCLOPROPANES AND -ETHENES.**

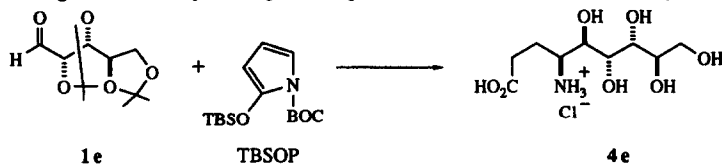
M. Pohmakotr\* and S. Khosavanna; Department of Chemistry, Faculty of Science, Mahidol University, Rama 6 Rd., Bangkok 10400, Thailand.



**ASYMMETRIC SYNTHESIS OF 4-AMINO-2,3,4-TRIDEOXY-  
ALDONIC ACIDS: NOVEL GABA C-GLYCOCONJUGATES**

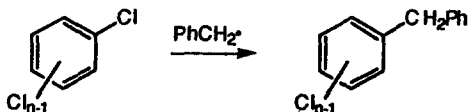
Gloria Rassu, Luigi Pinna, Pietro Spanu, Fausta Ulgheri, Mara Cornia, Franca Zanardi, and Giovanni Casiraghi\*, Dipartimento di Chimica dell'Università and Istituto per l'Applicazione delle Tecniche Chimiche Avanzate del CNR, Via Vienna 2, I-07100 Sassari, Italy.

Novel C-glycosylated γ-aminobutyric acid derivatives (e.g. compound 4e) have been synthesized starting with aldehyde sugars (e.g. 1e) and *N*-*t*-Boc-2-(*tert*-butyldimethylsilyloxy)pyrrole (TBSOP).



*ipso*-Substitution in Reactions of Benzyl Radicals with Dihalobenzenes and 1,2,4-Trichlorobenzene

Roberto Henríquez and Derek C. Nonhebel,\*

<sup>a</sup>Department of Pure and Applied Chemistry, University of Strathclyde, Glasgow G1 1XL<sup>b</sup>Chemistry Department, University of St. Andrews, St. Andrews, Fife KY16 9ST

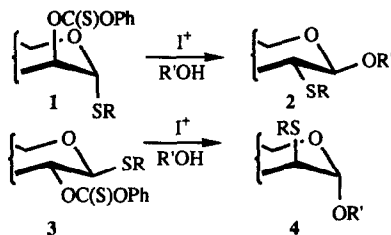
Di- and tri-chlorobenzenes undergo *ipso*-substitution on reaction with benzyl radicals. The greater reactivity of trichlorobenzene is consistent with a transition state in which there is significant charge-transfer character.

**A STEREOSPECIFIC APPROACH TOWARDS THE SYNTHESIS OF 2-DEOXY  $\alpha$ - AND  $\beta$ -GLYCOSIDES BASED ON A 1,2-ETHYL (PHENYL) THIO GROUP MIGRATION**

H.M. Zuurmond, P.A.M. van der Klein, G.A. van der Marel and J.H. van Boom

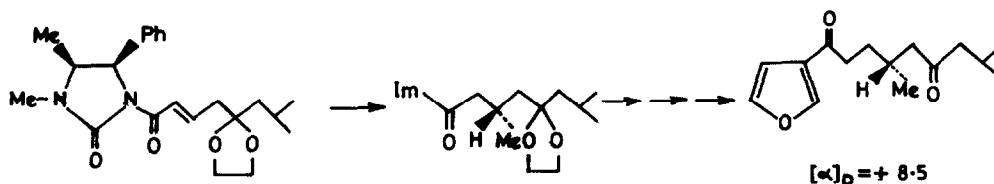
Gorlaeus Laboratoria, P.O. Box 9502, 2300 RA Leiden, The Netherlands

Iodonium-promoted glycosidations of ethyl(phenyl) 2-*O*-phenoxythiocarbonyl 1-thioglycosides **1** and **3** with glycosyl acceptors (R'OH) gave the  $\beta$ -linked *gluco* dimer **2** and the  $\alpha$ -linked *manno* type disaccharide **4**, respectively.


**ENANTIOSELECTIVE SYNTHESIS AND ABSOLUTE CONFIGURATION OF MYOPORONE**

Ramesh C. Anand\* and Vibha Singh,

Department of Chemistry, Indian Institute of Technology, Hauz Khas, New Delhi-110 016, India.



PHOTOXYGENATION OF AN ENOL ETHER: SYNTHESIS  
OF *exo*- and *endo*-3,4-DIOXA-2,5-DIMETHOXY-7,8-  
BENZO[4.2.2.0<sup>2,5</sup>]DECA-7,9-DIENE AND ITS CHEMICAL TRANSFORMATIONS

Ramazan Altundağ and Metin Balcı\*

Department of Chemistry, Faculty of Science, Atatürk University, 25240-Erzurum-Turkey

The reaction of singlet oxygen with 2 afforded the isomeric dioxetanes 3 and 4. The chemistry of these dioxetanes have been studied.



SYNTHESIS OF 4-OXAHEXACYCLO[5.4.1.0<sup>2,6</sup>.0<sup>3,10</sup>.  
.0<sup>5,9</sup>.0<sup>8,11</sup>]DODECANE-3-CARBOXYLIC ACID

F J.C. Martins, A.M. Viljoen, H.G. Kruger and P.L. Wessels, Department of Chemistry,  
Potchefstroom University for CHE, Potchefstroom 2520, South Africa.

Trans-annular internal nucleophilic displacement of bromine atom produced the title compound.

Structures of products are elucidated from  $^1H$  and  $^{13}C$  studies.

