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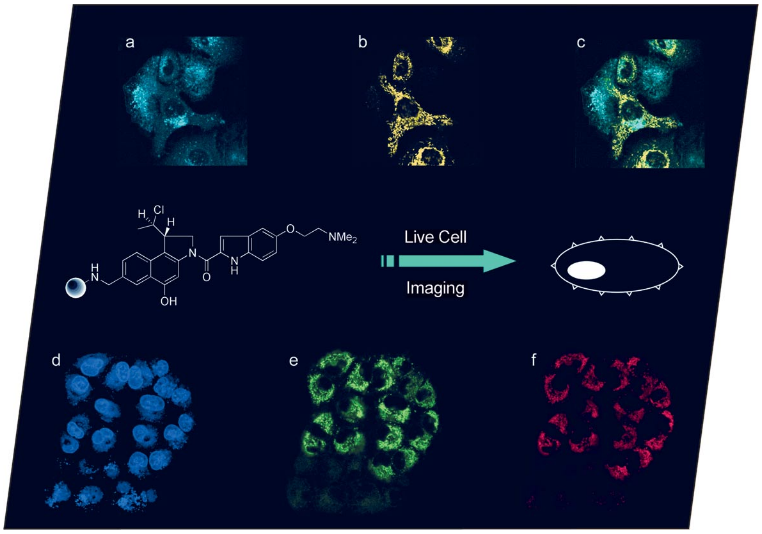
COVER PICTURE

The cover picture shows live-cell images of novel fluorescence-labelled Duocarmycin analogues. Images a and b represent the cellular uptake of the new compound at λ_{exc} = 405 nm and λ_{em} = 500 nm (a) and at λ_{exc} = 514 nm and λ_{em} = 560 nm (b), whereas image c shows the overlap of a and b. Images d and f show colocalisation experiments with Hoechst 33342 (d) and Mito-Tracker® DeepRed (f), whereas image e shows the cellular uptake of the new compound (λ_{exc} = 514 nm, λ_{em} = 560 nm) again for comparison with MitoTracker® DeepRed. Details are discussed in the article by L. F. Tietze et al. on p. 6909ff.



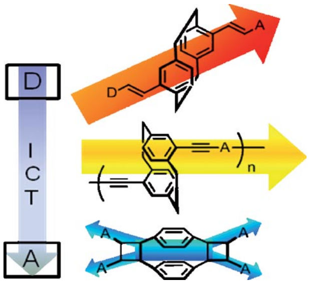
MICROREVIEW

Decorated Paracyclophane Materials

E. Elacqua,
L. R. MacGillivray* 6883–6894

From the Decks to the Bridges: Optoelectronics in [2.2]Paracyclophane Chemistry

Keywords: Cyclophanes / Fluorescence / Internal charge transfer / Conjugated polymers



Architectures based on [2.2]paracyclophane (pCp) have received considerable attention owing to distinct spectroscopic properties. The properties stem from internal charge transfer (ICT) between the rings of the cyclophane core. The aim of this microreview is to highlight deck- and bridge-substituted pCps and describe how the optical properties of pCp can be perturbed to affect electronic communication.

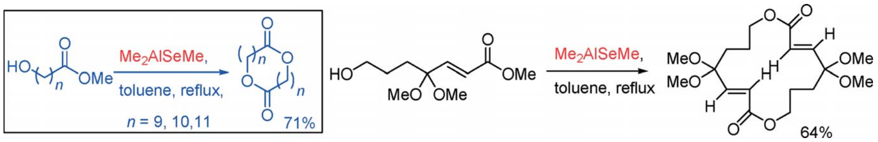
SHORT COMMUNICATIONS

Macrocyclisation of Macrodiolides

L.-L. Shen, H.-S. Mun,
J.-H. Jeong* 6895–6899

Macrocyclisation of Macrodiolide with Dimethylaluminium Methaneselenolate

Keywords: Macrocyclization / Macrodiolides / Aluminum / Selenium / Pyrenophorin



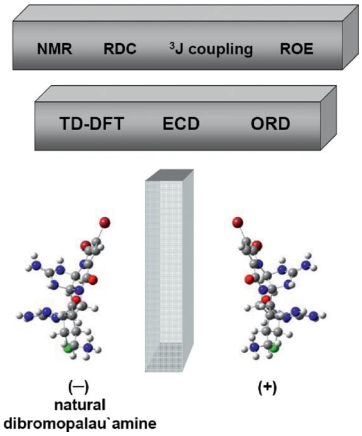
Dimethylaluminium methaneselenolate ($Me_2AlSeMe$, **1**) acts as an acyl transfer agent for esterification. In cases of direct macrolactonisation ($n = 10-12$), this selenium–aluminium complex preferentially creates symmetric macrodiolides rather than macrolides. The factors determining macrodilactonisation were investigated and applied toward the total synthesis of norpyrenophorine.

Absolute Configuration

U. M. Reinscheid,* M. Köck, C. Cychon,
V. Schmidts, C. M. Thiele,
C. Griesinger* 6900–6903

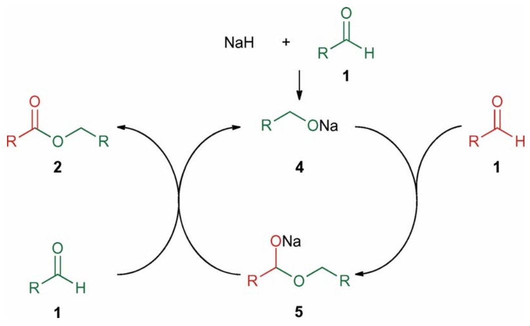
The Absolute Configuration of Dibromopalau'amine

Keywords: Configuration determination / Chirality / Residual dipolar coupling / Electronic circular dichroism / Chiroptical methods / Dibromopalau'amine



Based on experimental and DFT-calculated electronic circular dichroism (ECD) and optical rotatory dispersion (ORD) spectra the absolute configuration of natural (–)-dibromopalau'amine was determined as 6*S*,10*R*,11*S*,12*S*,16*R*,17*S*,18*S*,20*S*.

NaH Catalysis



The use of catalytic amounts of sodium hydride as an efficient promoter for the dimerization of aromatic and even heteroaromatic aldehydes under standard laboratory conditions is reported. A range of substituted aromatic and heteroaromatic aldehydes was converted, and the corresponding esters were obtained in good to excellent yields even on a multigram scale.

T. Werner,* J. Koch **6904–6907**

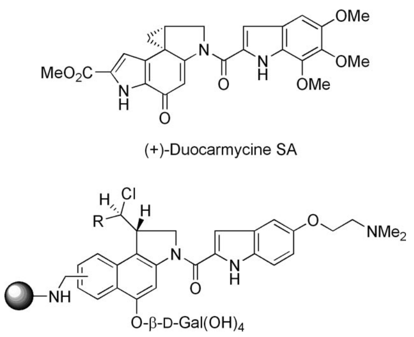
Sodium Hydride Catalyzed Tishchenko Reaction

Keywords: Tishchenko Reaction / Dimerization / Sodium hydride / Aldehydes / Synthetic methods

FULL PAPERS

Novel Fluorescence-Labelled Prodrugs

Fluorescence-labelled compounds can be used for verification of interaction with cellular targets on the molecular level by use of confocal laser scanning microscopy for cell cultures and the Explore-Optics instrument (GE) for mice. The described fluorescence-labelled glycosidic prodrugs based on the cytotoxic antibiotic duocarmycine SA have high potential for selective treatment of cancer.



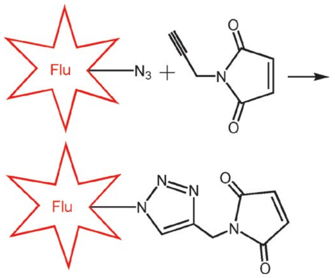
L. F. Tietze,* F. Behrendt, F. Major, B. Krewer, J. M. von Hof **6909–6921**

Synthesis of Fluorescence-Labelled Glycosidic Prodrugs Based on the Cytotoxic Antibiotic Duocarmycin

Keywords: Antitumor agents / Cancer therapy / Duocarmycin / Glycosides / Prodrugs / Fluorescence

Fluorescent Probes

A click reaction allows the efficient introduction of a maleinimido group into azido-modified fluorophores to yield thiol-reactive labels. Specifically shown for three fluorescent thiol labels, the approach can also serve as a tool for the preparation of isotopic, radioactive, electro-active, or spin labels.

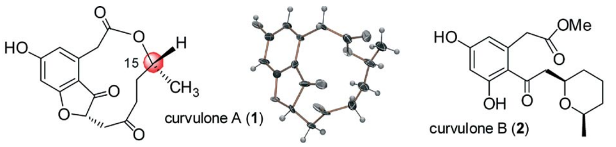


M. Link, X. Li, J. Kleim, O. S. Wolfbeis* **6922–6927**

Click Chemistry Based Method for the Preparation of Maleinimide-Type Thiol-Reactive Labels

Keywords: Click chemistry / Fluorescent probes / Imaging agents / Proteins / Thiols

Natural Products



The 12-membered macrolide curvulone A (**1**) with a unique benzo[*b*]furanone moiety and the open-chain precursor curvulone B (**2**) have been isolated from the fungus *Curvularia* sp. Their absolute configurations are of the rare 15*R* type and were determined by a combination of single-crystal X-ray analysis and CD calculations (by the solid-state ECD TD-DFT method).

J. Dai, K. Krohn,* U. Flörke, G. Pescitelli, G. Kerti, T. Papp, K. E. Kövér, A. C. Bényei, S. Draeger, B. Schulz, T. Kurtán* **6928–6937**

Curvularin-Type Metabolites from the Fungus *Curvularia* sp. Isolated from a Marine Alga

Keywords: Natural products / Configuration determination / Fungal metabolites / Density functional calculations / Electronic circular dichroism

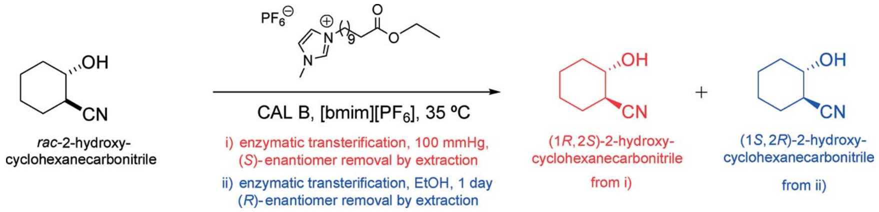
CONTENTS

Enzymatic Resolution in IL

N. M. T. Lourenço,* C. M. Monteiro, C. A. M. Afonso* **6938–6943**

Ionic Acylating Agents for the Enzymatic Resolution of *sec*-Alcohols in Ionic Liquids

Keywords: Kinetic resolution / Ionic liquids / Enzymes / Acylation



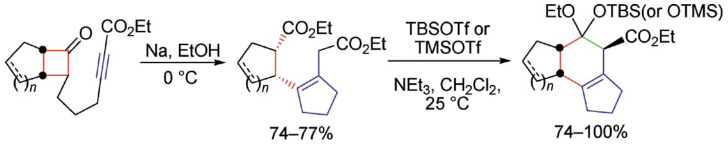
Potential acylating agents containing pendant ionic groups have been screened for the enzymatic kinetic resolution of *rac*-secondary alcohols in ionic liquids with CAL-B as biocatalyst. This study has allowed the identification of the 1-methyl-3-alkylimidazolium cation attached to a carboxylate group through a C_{10}-alkyl chain as an efficient acylating agent for this transformation.

Tricyclic Fused Ring Systems

V. Rietsch, L. Miesch, D. Yamashita, M. Miesch* **6944–6948**

Anionic Cascade Reaction Followed by Silylative Dieckmann Cyclization: A Straightforward Route to Tricyclic Fused Ring Systems Starting from Alkynyl Esters Tethered to Bicyclo[*n*.2.0]alkanones

Keywords: Alkynyl esters / Fused ring systems / Domino reactions / Cyclization / Diastereoselectivity



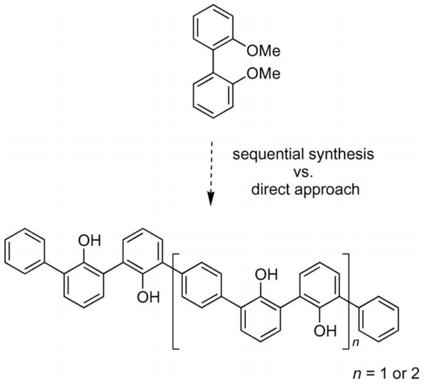
Starting from alkynyl esters tethered to bicyclo[*n*.2.0]alkanones (*n* = 3–5), a new methodology was developed to prepare 5-6-5, 6–6-5, and 7-6-5 tricyclic fused ring systems that are important substructures of numerous bioactive compounds. Key steps include a base-promoted domino reaction followed by a Lewis acid induced Dieckmann ring closing reaction.

Oligophenylene Structures

C. Diebold, D. M. Weekes, M. Torres Navarrete, P. Mobian,* N. Kyritsakas, M. Henry **6949–6956**

Synthetic Approaches to Zigzag-Shaped Oligophenylene Strands Laterally Decorated with Hydroxy Functions

Keywords: Polyphenylene structure / Suzuki–Miyaura reaction / 2,2′-Biphenol / Cross-coupling / Multicomponent reactions / Oligomerization



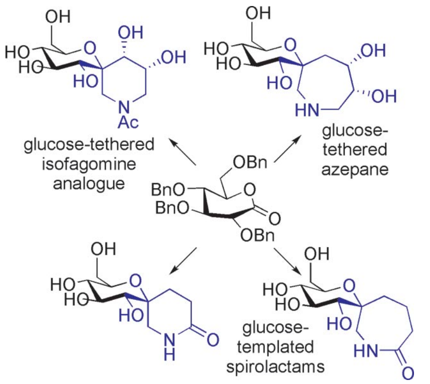
The synthesis of two zigzag-shaped oligophenylene strands functionalized with hydroxy groups is described. Two synthetic approaches were evaluated and compared.

Glucose-Templated Azasugars

A. P. John Pal, P. Gupta, Y. Suman Reddy, Y. D. Vankar* **6957–6966**

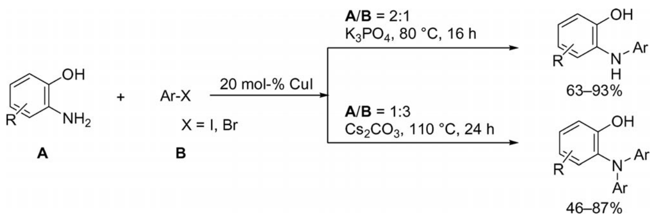
Synthesis of Fused Oxa-Aza Spiro Sugars from D-Glucose-Derived δ-Lactone as Glycosidase Inhibitors

Keywords: Glycosylation / Metathesis / Dihydroxylation / Spiro compounds / Azasugars / Enzyme inhibitors



Anomeric center as spiro carbon, we have synthesized a novel class of oxa-aza spiro sugars from D-glucose-derived δ-lactone. These sugar-templated azasugars are conformationally rigid, and two of them are highly selective and moderate inhibitors of α-mannosidase.

Coupling Reactions



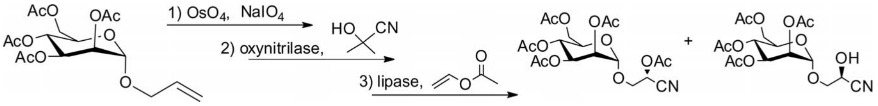
A copper-catalyzed system for the selective synthesis of di- and triphenylamines has been developed. This new protocol provides a direct and efficient way to synthesize *o*-hydroxy-substituted di- and triphenylamines.

Y. Li,* H. Wang, L. Jiang, F. Sun, X. Fu, C. Duan **6967–6973**

Copper-Catalyzed Direct Synthesis of Di- and Triphenylamines: A Dramatic Accelerating Effect of 2-Aminophenols

Keywords: Copper / Amines / Amino alcohols / N,O ligands / Reaction mechanisms

Chemoenzymatic Synthesis



Fully acetylated allyl α-D- and α-L-mannosides have been converted into the corresponding aldehydes in two steps with high yields. A pathway involving oxynitrilase-catalysed nitrile addition followed by the lipase-catalysed kinetic resolution of diastereomers was explored that allows all four possible stereoisomers of the mannose derivatives to be isolated with good yields and diastereopurity.

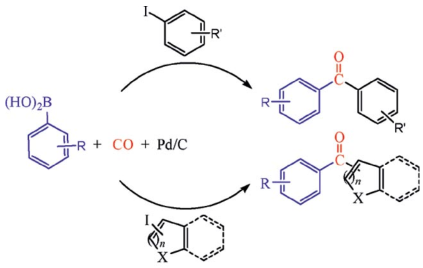
A. Hietanen, F. S. Ekholm, R. Leino,* L. T. Kanerva* **6974–6980**

Applying Biocatalysis to the Synthesis of Diastereomerically Enriched Cyanohydrin Mannosides

Keywords: Enzyme catalysis / Kinetic resolution / Diastereoselectivity / Carbohydrates

Carbonylative Suzuki Reactions

A facile protocol has been developed for the carbonylative Suzuki coupling reaction of aryl and heteroaryl iodides with Pd/C as effective, heterogeneous, reusable catalyst. The system is applicable for a wide variety of aryl and heteroaryl iodides.



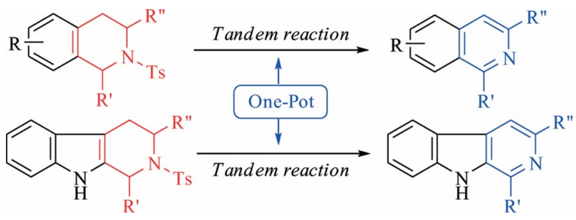
R = CH_3, OCH_3, Br
R' = CH_3, OCH_3, NO_2, NH_2, Br
X = N, S
n = 1 or 2

M. V. Khedkar, P. J. Tambade, Z. S. Qureshi, B. M. Bhanage* **6981–6986**

Pd/C: An Efficient, Heterogeneous and Reusable Catalyst for Phosphane-Free Carbonylative Suzuki Coupling Reactions of Aryl and Heteroaryl Iodides

Keywords: Cross-coupling / Heterogeneous catalysis / Palladium / Phosphane ligands / Suzuki carbonylation

Heterocyclic Chemistry



An efficient method for conversions of *N*-tosyltetrahydroisoquinolines (*N*-tosyl-THIQs) and *N*-tosyltetrahydro-β-carbolines (*N*-tosyl-THBCs) into isoquinolines and β-carbolines is described. Treatment of *N*-tosyl-THIQs or *N*-tosyl-THBCs with base affords dihydroisoquinolines or dihydro-β-carbolines. These can be oxidized in situ by molecular oxygen to furnish isoquinolines or β-carbolines.

J. Dong, X.-X. Shi,* J.-J. Yan, J. Xing, Q. Zhang, S. Xiao **6987–6992**

Efficient and Practical One-Pot Conversions of *N*-Tosyltetrahydroisoquinolines into Isoquinolines and of *N*-Tosyltetrahydro-β-carbolines into β-Carbolines through Tandem β-Elimination and Aromatization

Keywords: Synthetic methods / Heterocycles / Nitrogen heterocycles / Dehydrogenation

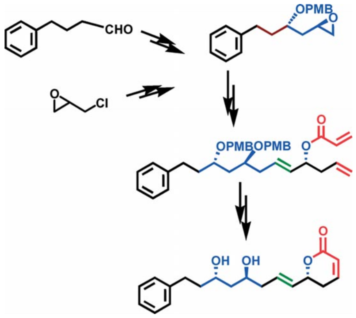
CONTENTS

Asymmetric Synthesis

P. Kumar,* M. Pandey, P. Gupta, S. V. Naidu, D. D. Dhavale 6993–7004

Enantio- and Diastereocontrolled Total Synthesis of (+)-Strictifolione

Keywords: Natural products / Total synthesis / Asymmetric synthesis / Organocatalysis / Ring-closing metathesis / Hydrolytic kinetic resolution / Lactones



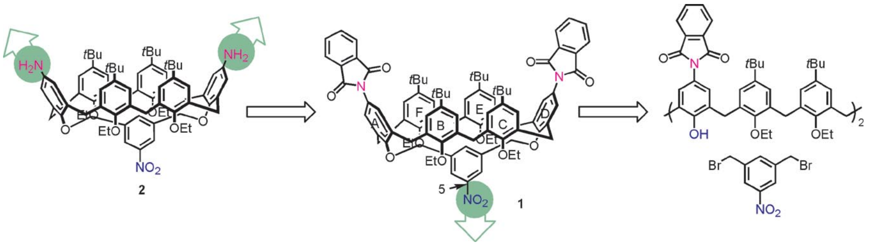
The total synthesis of (+)-strictifolione has been achieved by using a practical and efficient strategy amenable to both *syn*- and *anti*-1,3-diols with high degrees of enantio- and diastereoselectivities.

Calixarene Building Blocks

H. Galán, J. de Mendoza, P. Prados* 7005–7011

Microwave-Assisted Synthesis of a Nitro-*m*-xylylenedioxycalix[6]arene Building Block Functionalized at the Upper Rim

Keywords: Calixarenes / Microwave chemistry / Supramolecular chemistry / Macrocycles



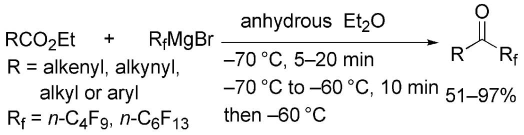
New methodology involving the use of microwave irradiation has allowed calix[6]arene building blocks to be obtained. The synthesis of compounds **1** and **2** is described. These compounds are A,D-*m*-xylylenedioxy-bridged calix[6]arenes in *cone* conformation, functionalized with potential amino groups in the lower rim spacer (5-position) and amino or their precursors in A,D-*para* positions at the upper rim.

Perfluoroalkyl Ketones

C. Xue, G. He, C. Fu, L. Xue, Z. Lin,* S. Ma* 7012–7019

The Reaction of Carboxylic Acid Esters with R_fMgBr: A Convenient Synthesis of Perfluoroalkyl Ketones

Keywords: Esters / Grignard reaction / Fluorine / Perfluoroalkanes / Ketones



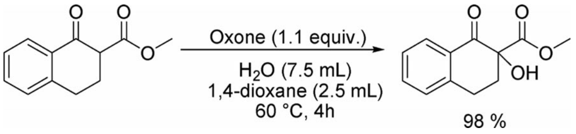
Perfluoroalkyl ketones can be prepared from the reaction of alkenoates, alkynoates, or regular carboxylic acid esters with perfluoroalkyl Grignard reagents at −70 to −60 °C in Et_2O with moderate to good yields. DFT calculation results are consistent with the experimental observation of a less electrophilic carbonyl moiety in the perfluoroalkyl ketones when compared with ordinary ketones.

Hydroxylation

J. Yu, J. Cui, C. Zhang* 7020–7026

A Simple and Effective Method for α-Hydroxylation of β-Dicarbonyl Compounds Using Oxone as an Oxidant without a Catalyst

Keywords: Synthetic methods / Oxidation / Hydroxylation / Enols



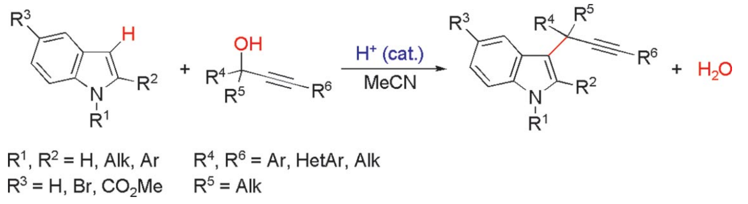
We have developed a simple and effective method for the α-hydroxylation of β-dicarbonyl compounds using Oxone as an oxidant in the homogeneous solvent mixture of water and 1,4-dioxane at 60 °C without a catalyst.

Indole Alkylation

R. Sanz,* D. Miguel, A. Martínez, M. Gohain, P. García-García, M. A. Fernández-Rodríguez, E. Álvarez, F. Rodríguez **7027–7039**

Brønsted Acid Catalyzed Alkylation of Indoles with Tertiary Propargylic Alcohols: Scope and Limitations 💻

Keywords: Indoles / Alkylation / Brønsted acids / Alcohols / Nucleophilic substitution



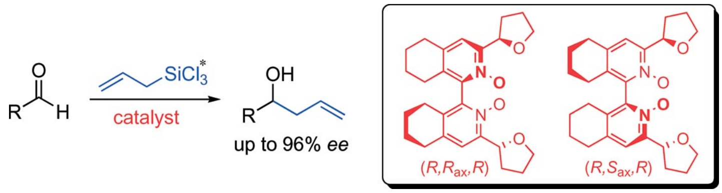
Treatment of indoles with tertiary propargylic alcohols in the presence of Brønsted acid catalysts provided 3-propargylindoles with quaternary centers at their propargylic positions. In addition, 3-dienyl- and 3-allenylindoles could also be obtained with convenient substitution in the indole and alkynol components. The reactions needed no special precautions, and water was the only side product.

Enantioselective Organocatalysis

K. Vlašaná, R. Hrdina, I. Valterová, M. Kotora* **7040–7044**

Enantioselective Allylation of Aldehydes Catalyzed by Diastereoisomeric Bis(tetrahydroisoquinoline) *N*,*N*′-Dioxides 💻

Keywords: Organocatalysis / Allylation / Asymmetric catalysis / Lewis bases



Compounds (R,R_{ax},R)-**1** and (R,S_{ax},R)-**1** efficiently catalyzed the enantioselective allylation of aromatic and α,β-unsaturated aldehydes with allyltrichlorosilane. Reactions catalyzed by the (R,S_{ax},R) isomer were carried out in THF with high enantioselectivity (up to 96%), whereas those catalyzed by the (R,R_{ax},R) isomer proceeded only in MeCN with considerably lower enantioselectivity (up to 68%).

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* Author to whom correspondence should be addressed.

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Happy New Year.