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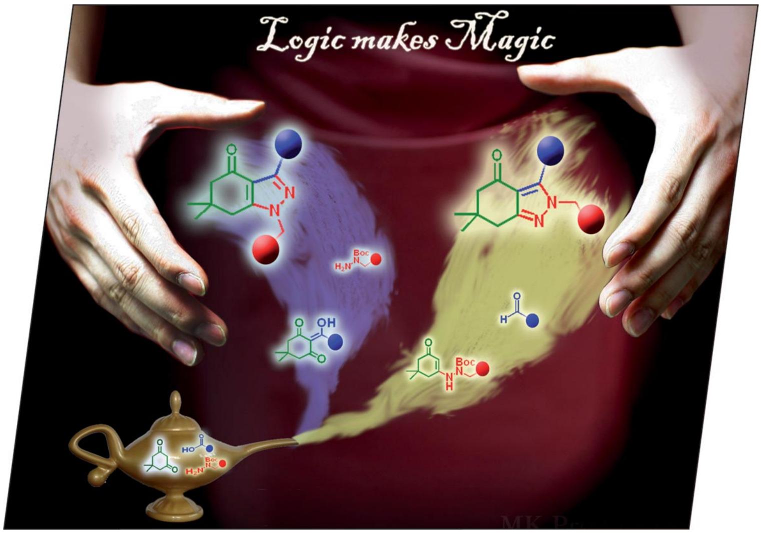
COVER PICTURE

The cover picture shows that the logical introduction of three reaction components can lead to the magical control of regiochemistry in biologically important *N*-alkyl-3-substituted tetrahydroindazolones. This divergent strategy allows the robust and orthogonal regioselective synthesis of highly functionalized tetrahydroindazolones from Boc-protected alkylhydrazines. Details are presented in the article by S. B. Park et al. on p. 3815ff. This paper is dedicated to the late Professor Chi Sun Hahn in admiration of his contributions to the field of organic chemistry in Korea.



CONTENTS

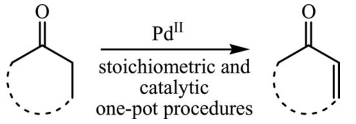
MICROREVIEW

Pd-Mediated Dehydrogenation

J. Muzart* **3779–3790**

One-Pot Syntheses of α,β-Unsaturated Carbonyl Compounds through Palladium-Mediated Dehydrogenation of Ketones, Aldehydes, Esters, Lactones and Amides

Keywords: Palladium / Dehydrogenation / Ketones / Aldehydes / Esters / Lactones / Amides



α,β-Unsaturated carbonyl compounds are highly useful synthetic blocks in organic synthesis. This review surveys the different direct palladium procedures leading to these compounds from the corresponding saturated substrates.

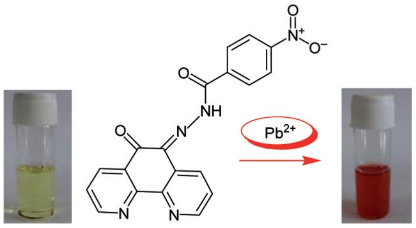
SHORT COMMUNICATIONS

Colorimetric Sensor for Lead(II)

S. Goswami,*
R. Chakrabarty **3791–3795**

Highly Selective Colorimetric Fluorescent Sensor for Pb^{2+}

Keywords: Colorimetry / Lead / Sensors / Fluorescent probes / Chelates



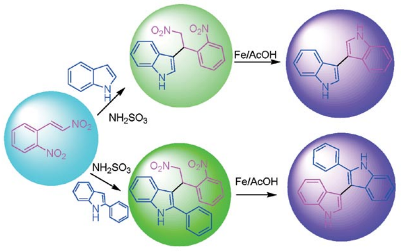
Phenanthroline-based receptor **1** shows selective color change from yellow to red towards Pb^{2+} in acetonitrile/water medium amongst other metal perchlorates studied. The selectivity has also been compared with receptor **2** (where a nitro group is absent at the *para* position) and receptor **3** based on the phenanthrene system.

Reductive Cyclization

C. Ramesh, V. Kavala, C.-W. Kuo,
B. R. Raju, C.-F. Yao* **3796–3801**

An Unprecedented Route for the Synthesis of 3,3′-Biindoles by Reductive Cyclization of 3-[2-Nitro-1-(2-nitrophenyl)ethyl]-1*H*-indoles Mediated by Iron/Acetic Acid

Keywords: Nitrogen heterocycles / Iron / Michael addition / Nitroalkenes / Cyclization



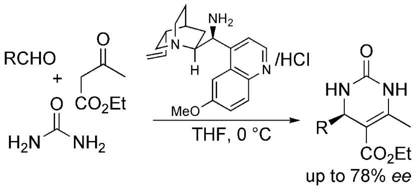
An unprecedented route for the synthesis of 3,3′-biindoles is developed. Both symmetrical and unsymmetrical 3,3′-biindoles could be generated by this method. Mild conditions, high yields of the products, and environmentally acceptable reagents are the merits of the procedure.

Organocatalysis

D. Ding, C.-G. Zhao* **3802–3805**

Primary Amine Catalyzed Biginelli Reaction for the Enantioselective Synthesis of 3,4-Dihydropyrimidin-2(1*H*)-ones

Keywords: Organocatalysis / Enantioselectivity / Nitrogen heterocycles / Multicomponent reactions

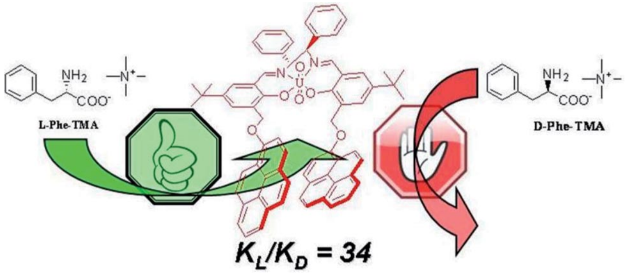


An asymmetric synthesis of 3,4-dihydropyrimidin-2(1*H*)-ones was achieved by using primary amine catalysts, such as the quinine-derived amine catalyst, and HCl as the cocatalyst in THF. Good *ee* values of the desired biologically active compounds were obtained (up to 78 % *ee*). A mechanism was proposed to account for the formation of the major enantiomer in this reaction.

Amino Acids Recognition

F. P. Ballistreri, A. Pappalardo,*
G. A. Tomaselli,* R. M. Toscano,
G. T. Sfrazzetto **3806–3810**

Heteroditopic Chiral Uranyl–Salen Receptor for Molecular Recognition of Amino Acid Ammonium Salts



A heteroditopic chiral uranyl–salen complex incorporating two pyrenyl groups was designed and synthesized for the recognition of chlorides and amino acid carboxylate salts with tetrabutyl- and tetramethylammonium (TBA and TMA) cations. A 1:1 host–guest complex with high association constants and a very high enantiomeric discrimination between the two enantiomers of phenylalanine–TMA was observed.

Keywords: Amino acids / Enantioselectivity / Host–guest systems / Molecular recognition

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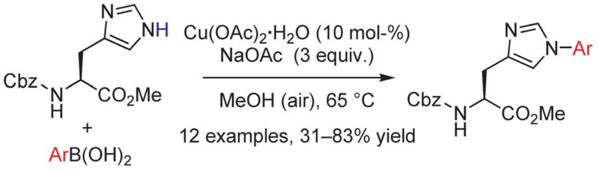
Histidine Functionalization

C. DalZotto, J. Michaux,
E. Martinand-Lurin,
J.-M. Campagne* **3811–3814**

Chan–Lam–Evans Coupling of Cbz-Protected Histidines



Direct functionalization of protected histidines with arylboronic acids is described under Chan–Lam–Evans conditions to give the corresponding *N*(τ)-arylhistidines in moderate to good yields (12 examples, up to 83% yield) under mild conditions.

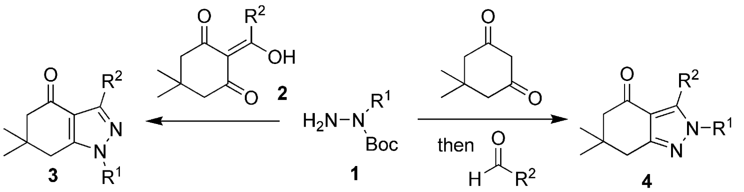
Keywords: Copper / Amino acids / Peptidomimetics / Cross-coupling

FULL PAPERS

Heterocyclic Chemistry

J. Kim, H. Song,
S. B. Park* **3815–3822**

Orthogonal Regioselective Synthesis of *N*-Alkyl-3-substituted Tetrahydroindazolones



We developed a robust and orthogonal method for the regioselective synthesis of complementary isomers of *N*-alkyl-3-substituted-4,5,6,7-tetrahydroindazolones **3** and **4** with good substrate generality. This synthetic strategy allows the systematic construction of a bioactive tetrahydroindazolone library by selective introduction of alkyl or aryl substituents at the C-3 position of **3** and **4**.

Keywords: Nitrogen heterocycles / Protecting groups / Regioselectivity / Synthetic methods

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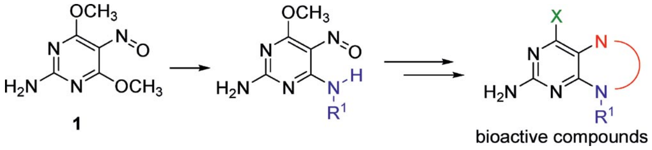
Heterocyclic Chemistry

A. Marchal, M. Nogueras, A. Sánchez,
J. N. Low, L. Naesens, E. De Clercq,
M. Melguizo* **3823–3830**

Alkoxy-5-nitrosopyrimidines: Useful Building Block for the Generation of Biologically Active Compounds



Regioselective and sequential nucleophilic aromatic substitution of methoxy groups in 2-amino-4,6-dimethoxy-5-nitrosopyrimidine was applied to the synthesis of valuable polyfunctionalised aminopyrimidines capable of mimicking fused heterobicyclic derivatives of biological interest.

Keywords: Nitrogen heterocycles / Nitrosation / Amination / Nucleophilic substitution / Antiviral agents

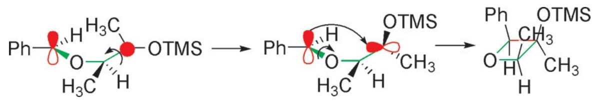
CONTENTS

Stereoselectivity with Light

M. D'Auria,* R. Racioppi 3831–3836

A DFT Study of 1,4-Biradical Intermediates Involved in Stereoselective Paternò–Büchi Reactions

Keywords: Cycloaddition / Density functional calculations / Enols / Photochemistry / Reaction mechanisms / Reactive intermediates / stereoselectivity



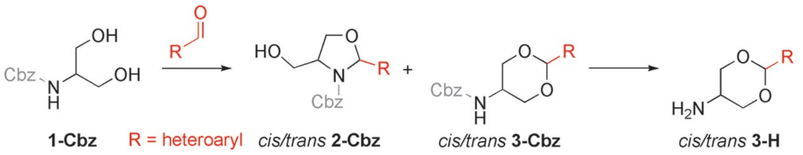
The stereoselectivity of the reaction of electron-rich olefins with aromatic compounds is explained by using DFT calculations considering the relative stability of the possible stereoisomers of the biradical intermediates and the coupling between the SOMOs of these intermediates.

Chemoprevention Agents

A. J. Rüger, M. Nieger, M. Es-Sayed, S. Bräse* 3837–3846

Novel 2,5-Disubstituted 1,3-Dioxanes and Oxazolidines as Potential Chemoprevention Agents and Building Blocks for Organic Synthesis

Keywords: Cyclization / Heterocycles / Chemoprevention / Dioxanes / Oxazolidines / Acetalization



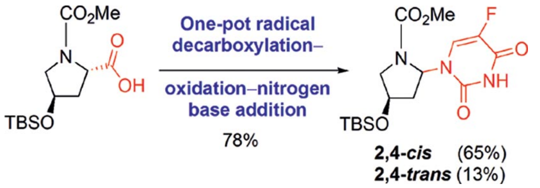
A widely applicable strategy for the cyclization of heteroaromatic aldehydes with 2-amino-propane-1,3-diol is presented. The products may act as chemoprevention agents or precursors for other bioactive molecules.

Azanucleosides

A. Boto,* D. Hernández, R. Hernández* 3847–3857

One-Pot Synthesis of Azanucleosides from Proline Derivatives – Stereoselectivity in Sequential Processes

Keywords: Radical reactions / Sequential processes / Amino acids / Nucleosides / Nitrogen heterocycles



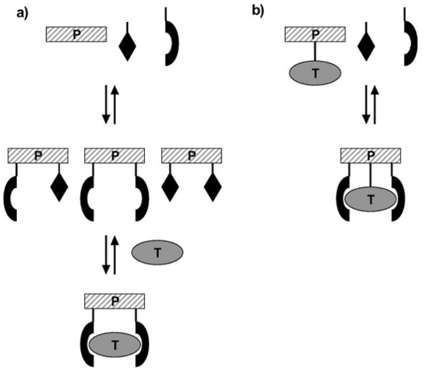
Readily available proline derivatives can be directly transformed into *N*-azanucleosides by a radical scission/oxidation/addition of nitrogen bases process. The reactions proceed in good yields and under mild conditions, and allow the introduction of a variety of pyrimidine and purine bases, as well as benzotriazole

Dynamic Selection

M. Martin, G. Gasparini, M. Graziani, L. J. Prins,* P. Scrimin* 3858–3866

The Advantage of Covalent Capture in the Combinatorial Screening of a Dynamic Library for the Detection of Weak Interactions

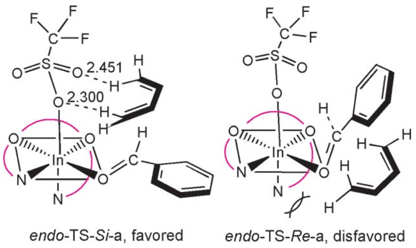
Keywords: Combinatorial chemistry / Covalent capture / Chemical library / Molecular recognition / Amines



A comparison is made between two different dynamic approaches in selecting a recognition unit for anions: A conventional approach (target not bound to the platform, a) and one based on covalent capture (target bound to the platform, b). It is demonstrated that the covalent-capture-based approach is far better than the former method.

Hetero-Diels–Alder Reactions

The indium(III)-catalyzed hetero-Diels–Alder reaction proceeded through a concerted mechanism via a highly zwitterionic transition state. The *endo* approach is advantageous over the *exo* approach, and predominantly (*S*) asymmetric induction was observed.



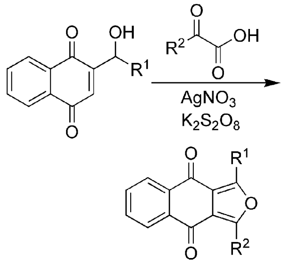
**X. Cao, S. Qin, Z. Su, H. Yang, C. Hu,*
X. Feng*** **3867–3875**

Theoretical Study on Hetero-Diels–Alder Reaction of Butadiene with Benzaldehyde Catalyzed by Chiral In^{III} Complexes

Keywords: Reaction mechanisms / Cycloaddition / Indium / Density functional calculations

Acyl Radicals

Acyl radicals generated by the oxidative decarboxylation of α-keto acids with silver(I) nitrate and persulfate or by the manganese(III) acetate oxidation of β-keto esters undergo efficient radical addition to the C=C bond of 1,4-naphthoquinone derivatives. This reaction provides an effective method for the synthesis of naphtho[2,3-*c*]furan-4,9-diones and benzo[*f*]isoindole-4,9-diones.

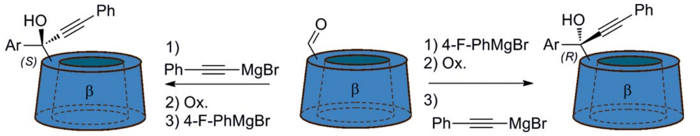


**Z.-Y. Lin, Y.-L. Chen, C.-S. Lee,
C.-P. Chuang*** **3876–3882**

Metal Salt Mediated Radical Reactions of 2-Substituted-1,4-Naphthoquinones

Keywords: Radical reactions / Silver / Manganese / Acylation / Quinones

Supramolecule Synthesis



The sequence in which two Grignard reaction with a cyclodextrin aldehyde is performed determine, which of the possible stereoisomeric tertiary alcohols is obtained.

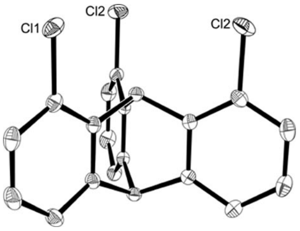
**E. Lindbäck, Y. Zhou, L. Marinescu,
C. M. Pedersen, M. Bols*** **3883–3896**

The Grignard Reaction of Cyclodextrin-6-aldehydes Revisited: A Study of the Stereoselectivity Upon Addition of Organometallic Reagents to Aldehydes and Ketones

Keywords: Supramolecules / Carbohydrates / Cyclodextrins / Nucleophilic addition

syn- and *anti*-Triptycenes

1,8,13-Trichlorotriptycene as a rigid backbone with oriented functional groups are interesting building blocks, e.g. for the construction of poly-Lewis-acids. Attempts to steer the regioselectivity of the Diels–Alder reactions of 3-chlorobenzyne with 1,8-dichloroanthracenes modified by bulky substituents in C-10 position are reported in this contribution.

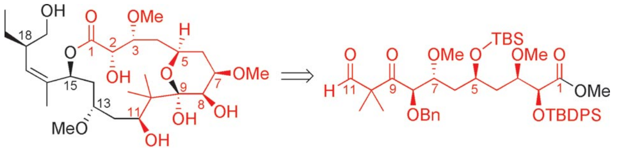


**J. Chmiel, I. Heesemann, A. Mix,
B. Neumann, H.-G. Stammler,
N. W. Mitzel*** **3897–3907**

The Effect of Bulky Substituents on the Formation of Symmetrically Trisubstituted Triptycenes

Keywords: Anthracene / Triptycene / Cycloaddition / Isomers / Solid-state structures

Natural Product Synthesis



(+)-peloruside A

A highly efficient and stereoselective synthesis of the C1–C11 fragment of (+)-peloruside A has been developed. Key features in this synthesis are a large-scale enzymatic desymmetrization of diethyl 3-hydroxyglutarate, a Sharpless dihydroxylation and a substrate-controlled Mukaiyama aldol reaction to establish five stereogenic centers of the natural product with correct absolute configuration.

**H. Schönherr, J. Mollitor,
C. Schneider*** **3908–3918**

A Chemoenzymatic Approach to the Stereocontrolled Synthesis of the C1–C11 fragment of (+)-Peloruside A

Keywords: Natural products / Total synthesis / Antitumor agents / Enzymatic desymmetrization / Aldol reactions / Diastereoselectivity

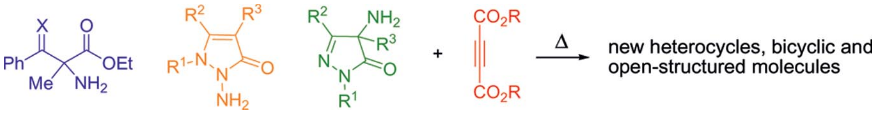
CONTENTS

Heterocyclic Chemistry

G. Guerrini, F. Ponticelli*........ 3919–3926

Addition/Cycloaddition of Acetylenedicarboxylates to Open-Chain or Cyclic Amino Carbonyl Compounds

Keywords: Cycloaddition / Michael addition / Heterocycles / Substituent effects



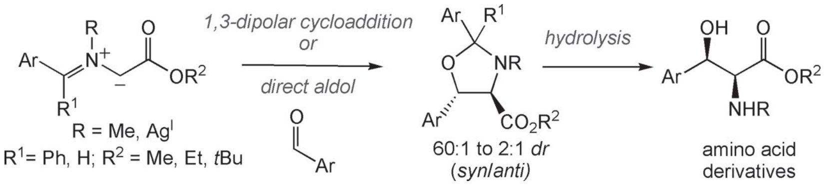
The reactivity of a library of amino carbonyl compounds towards acetylenic diesters (DAAD) was tested. A couple of new interesting molecules resulted from this study. The structure of the products varies with the starting substrate, the substituent patterns, and the presence of electron-donating or electron-withdrawing groups.

Synthetic Methods

B. Seashore-Ludlow, S. Torssell, P. Somfai* 3927–3933

Addition of Azomethine Ylides to Aldehydes: Mechanistic Dichotomy of Differentially Substituted α-Imino Esters

Keywords: Amino alcohols / Azomethine ylides / Aldol reaction / Cycloaddition



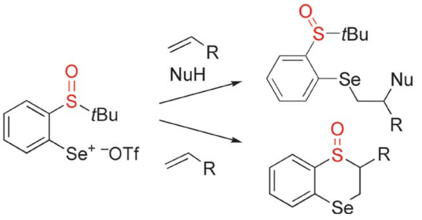
The addition of various azomethine ylides to aryl aldehydes was explored as a concise route to *syn*-β-hydroxy-α-amino esters. Depending on the substitution pattern of the initial α-imino ester two mechanistic pathways were observed for this reaction sequence: aldol versus 1,3-dipolar cycloaddition. The corresponding amino acid derivatives were obtained in good to moderate yields with good *dr* values.

Selenium Electrophiles

D. M. Freudendahl, M. Iwaoka, T. Wirth* 3934–3944

Synthesis of New Sulfoxide-Containing Diselenides and Unexpected Cyclization Reactions to 2,3-Dihydro-1,4-benzoselenothiine 1-Oxides

Keywords: Selenium / Selenium electrophiles / Alkenes / Cyclization / Heterocycles / Stereoselective synthesis



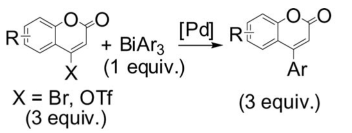
New diselenides with stereogenic sulfoxide moieties are described. They serve as precursors for efficient selenium electrophiles showing a good degree of stereoinduction in addition reactions to alkenes. Under slightly altered reaction conditions an unseen reactivity is observed and six-membered heterocycles are obtained.

Triarylbismuth Couplings

M. L. N. Rao,* V. Venkatesh, D. N. Jadhav 3945–3955

Palladium-Catalyzed Synthesis of 4-Arylcoumarins Using Triarylbismuth Compounds as Atom-Efficient Multicoupling Organometallic Nucleophiles

Keywords: Palladium / Cross-coupling / Bismuth / Oxygen heterocycles / Organometallic reactions



Conditions: $PdCl_2(PPh_3)_2$ (0.09 equiv.), K_3PO_4 (1.0 equiv.), DMA, 90 °C, 2 h

Triarylbismuth compounds have been found to couple atom-efficiently as multicoupling nucleophiles with functionalized 4-bromo- and 4-(trifluoromethylsulfonyloxy)coumarins under catalytic palladium conditions to afford 4-arylcoumarins in high yields. The reaction has been demonstrated to be facile, furnishing an array of 4-arylcoumarins in an efficient manner.

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* Author to whom correspondence should be addressed.

Supporting information on the WWW (see article for access details).