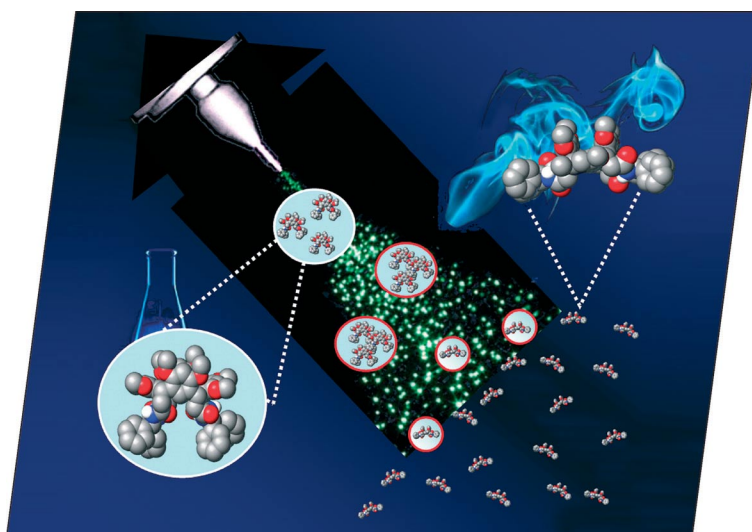


The EUChemSoc Societies have taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry*. Three further EUChemSoc Societies (Austria, Czech Republic and Sweden) are Associates of the two journals.

COVER PICTURE

The cover picture shows two low-energy geometries of a new bis(diamido)-bridged basket resorcin[4]-arene, which features two (1*R*,2*R*)-(+)-1,2-diphenylethylenediamine bridges in its structure. The first “drops” schematically show the geometry assumed by the molecule in chloroform solution, tentatively designated as the “folded wings” structure (bottom left); the top right structure represents the geometry adopted when the solvent is removed and is named the “open wings” structure. Stochastic dynamics simulations run at 300 K, which demonstrate the irreversible conversion of the latter structure into the former one, support the “folded wings” geometry as the most likely 3D structure of the basket resorcin[4]arene in chloroform. It can be shown by FT-ICR mass spectrometry that such a receptor can selectively accommodate the enantiomers of amino acid derivatives and amphetamine in its chiral cavity. Details are discussed in the article by B. Botta, M. Speranza et al. on p. 5955 ff. The authors thank Dr. Giovanna Cancelliere and Dr. Deborah Subissati for their contribution in designing the cover page.



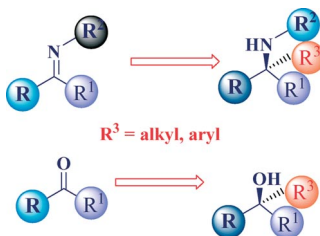
MICROREVIEW

Quaternary Stereogenic Centers

P. G. Cozzi,* R. Hilgraf,
N. Zimmermann 5969–5994

Enantioselective Catalytic Formation of
Quaternary Stereogenic Centers

Keywords: Quaternary stereocenters /
Catalysis / Synthetic methods / Ketones /
Tertiary alcohols



Enantioselective catalytic formation of tertiary stereogenic centers has nowadays reached an impressive level of maturity, which is reflected in the large variety of available methods that afford high yields and high stereoselectivities. In this review we discuss recent highlights of a new direction in catalysis research: the formation of quaternary stereogenic centers by enantioselective catalytic methodologies.

FULL PAPERS

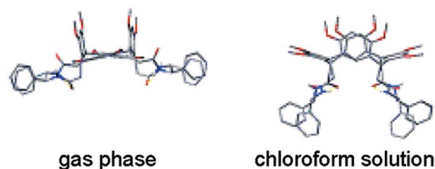
Wing-Footed Receptors

B. Botta,* I. D'Acquarica, L. Nevola,
F. Sacco, Z. V. Lopez, G. Zappia,
C. Fraschetti, M. Speranza,* A. Tafi,
F. Caporuscio, M. C. Letzel,
J. Mattay 5995–6002



Bis(diamido)-Bridged Basket Resorcin[4]-
arenes as Enantioselective Receptors for
Amino Acids and Amines

Keywords: Macrocycles / Chirality / Resor-
cinarenes / Host–guest systems



Bis(diamido)-bridged basket resorcin[4]ar-
enes (M) in both the gas phase and chloro-
form solution were investigated by ^1H and
 ^{13}C NMR spectroscopy and molecular
modeling calculations. Their aptitude to
selectively accommodate the enantiomers
of Trp, Tyr methyl ester, and amphetamine
(A) in their chiral cavities was evaluated.

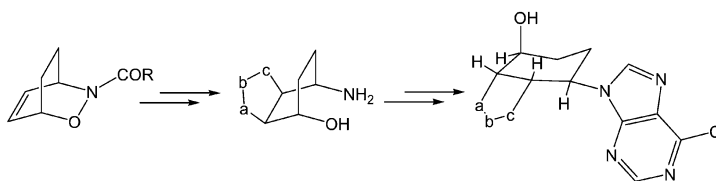
Carbocyclic Nucleosides

P. Quadrelli,* M. Mella, S. Carosso,
B. Bovio, P. Caramella 6003–6015



A Straightforward Synthesis of Isoxaz-
oline-Based Carbocyclic Nucleosides from
1,3-Cyclohexadiene through Nitrosocar-
bonyl Chemistry

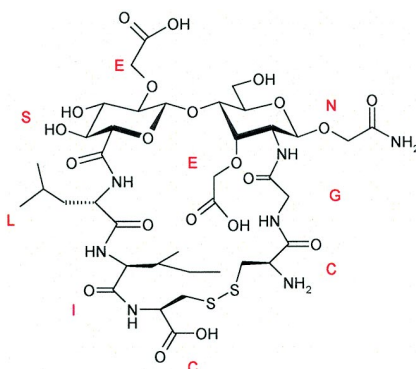
Keywords: Carbocyclic nucleosides / Ni-
troso compounds / Nitrile oxides / 1,3-Di-
polar cycloadditions / Cieplak effect



3-Benzoyl-2-oxa-3-azabicyclo[2.2.2]oct-5-
ene undergoes cycloaddition with benzo-
nitrile oxide to afford a mixture of *syn* and
anti regioisomeric cycloadducts. The *anti*

cycloadducts were easily elaborated to
stereodefined isoxazoline-based carbocyclic
aminols that serve as synthons for the lin-
ear construction of purine nucleosides.

Carbohydrate scaffolds are used to generate mimetics of VEGF-D cyclic loop 2 mimetic CNEESLIC that is a good inhibitor of VEGF-D binding to its receptor VEGFR-2. The structure design is based on molecular modeling studies.

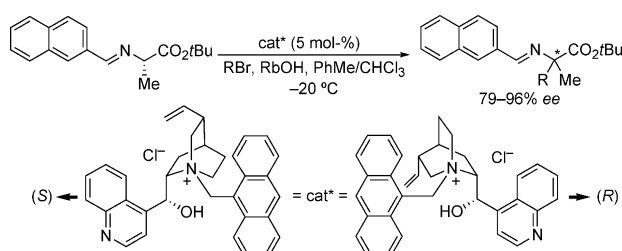


**T. Haag, R. A. Hughes, G. Ritter,
R. R. Schmidt*** 6016–6033

Carbohydrate-Based VEGF Inhibitors

Keywords: Carbohydrates / Amino acids / Mimetics / Peptides, cyclic / Angiogenesis, inhibition

Asymmetric Catalysis



An efficient experimental procedure involving the use of simple cinchonidine and cinchonine-derived ammonium salts as highly

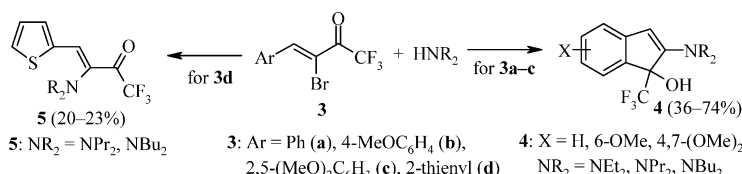
enantioselective phase-transfer organocatalysts for the asymmetric α -alkylation of aldimine alanine esters was described.

**R. Chinchilla,* C. Nájera,*
F. J. Ortega** 6034–6038

Convenient Conditions for the Enantioselective Synthesis of α -Methyl- α -amino Acids with the Use of *Cinchona* Ammonium Salts as Phase-Transfer Organocatalysts

Keywords: Enantioselective synthesis / Phase-transfer catalysis / Amino acids / Ammonium salts / Organocatalysis

Domino Reactions



2-Bromo-3-arylpropenyl trifluoromethyl ketones **3a–c** underwent domino reactions triggered by secondary amines to give unexpectedly 2-amino-1-trifluoromethylinden-

ols **4** in good yields, whereas treatment of 2-bromo-3-thienyl derivatives **3d** with the same nucleophiles afforded the captodative trifluoroacetyl(amino)alkenes.

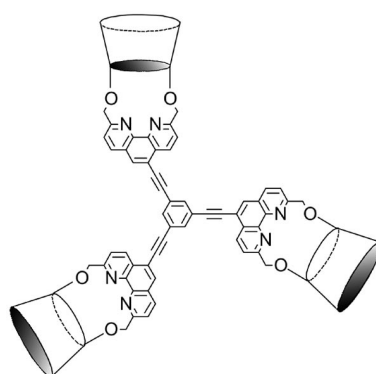
**A. Yu. Rulev,* I. A. Uchakov,
V. G. Nenajdenko, E. S. Balenkova,
M. G. Voronkov** 6039–6045

Domino Transformations of *gem*-Trifluoroacetyl(bromo)alkenes under the Action of Secondary Amines

Keywords: Enones / Nucleophilic substitution / Domino reactions

Concave Reagent Trimer

1,10-Phenanthroline-bridged calix[6]arenes have been coupled to form a trimeric concave reagent.



**J. P. W. Eggert,
U. Lüning*** 6046–6052

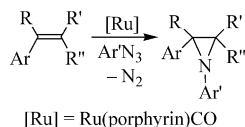
Towards A,D-(1,10-Phenanthroline)-Bridged Calix[6]arene Dendrimers

Keywords: Calixarenes / Dendrimers / Heterocycles / Macrocycles / 1,10-Phenanthrolines / Supramolecular chemistry

CONTENTS

Aziridination of Olefins

S. Fantauzzi, E. Gallo,* A. Caselli,
C. Piangiolino, F. Ragaini,
S. Cenini 6053–6059



The use of aryl azides as atom-efficient nitrene transfer reagents in the (porphyrin)ruthenium-catalyzed aziridination of olefins has been investigated. Very small amounts of catalyst can be used.

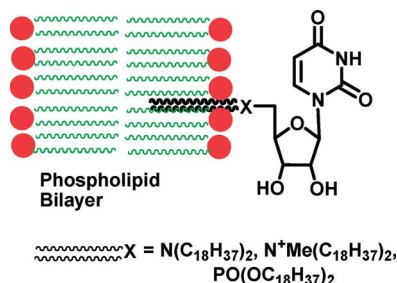


The (Porphyrin)ruthenium-Catalyzed Aziridination of Olefins Using Aryl Azides as Nitrogen Sources

Keywords: Azides / Aziridines / Ruthenium / Porphyrins / Catalysis

Membrane Anchoring of Nucleosides

N. Brodersen, J. Li, O. Kaczmarek, A. Bunge,
L. Löser, D. Huster, A. Herrmann,
J. Liebscher* 6060–6069



Amphiphilic nucleosides with one or two long alkyl chains attached to the 5'-position by an amino or a phosphate group were synthesized. As shown by solid-state NMR spectroscopic methods, these compounds anchor in phospholipid bilayers through the alkyl chains without disturbing the organization and dynamics of the membrane.

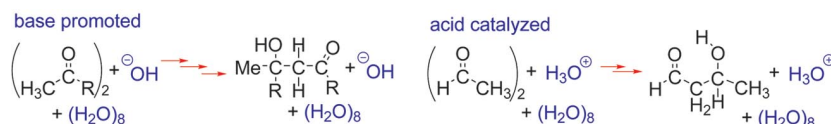


Nucleosides with 5'-Fixed Lipid Groups – Synthesis and Anchoring in Lipid Membranes

Keywords: Nucleosides / Amphiphiles / Lipophilicity / Membrane anchoring / Synthesis

Aldol Reactions

S. Yamabe,* K. Hirahara,
S. Yamazaki 6070–6077



How Many Elementary Processes Are Involved in Base- and Acid-Promoted Aldol Condensations?

Keywords: Aldol reactions / Reaction mechanisms / Density functional calculations / Hydrogen bonds / Aldehydes

Base- and acid-promoted aldol reactions were investigated by density functional theory calculations. The OH^- -containing reactions have three elementary processes. Whereas the rate-determining step of the

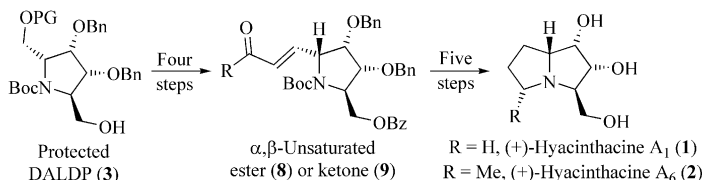
reaction of acetaldehyde is C–H bond scission, that of acetone is C–C bond formation. The H_3O^+ -containing reactions have two elementary processes.

Natural Products

I. Izquierdo,* M. T. Plaza, J. A. Tamayo,
F. Sánchez-Cantalejo 6078–6083



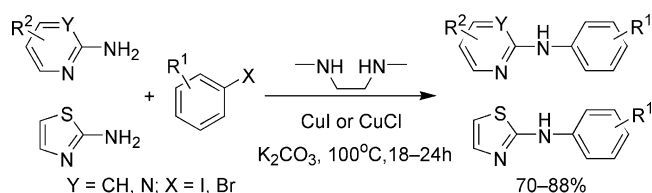
A New Synthetic Approach to (+)-Hyacinthacine A_1 and the First Total Synthesis and Absolute Configuration Assignment of Naturally Occurring (+)-Hyacinthacine A_6



Keywords: Alkaloids / Azasugars / Hyacinthacines / Enantioselectivity / Synthetic methods / Enzyme inhibitors

The scarcity of bioactive natural products for pharmaceutical and medical studies as well as drug development is a problem that can be overcome, in principle, by total synthesis. The naturally occurring glycosidase inhibitors (+)-hyacinthacine A_1 (1) and

(+)-hyacinthacine A_6 (2) have now been synthesized from a readily accessible homochiral and triorthogonally protected polyhydroxylated pyrrolidine (DALDP, 3) in a highly stereoselective fashion.



Simple and inexpensive copper-mediated *N*-arylation of heteroarylamines was achieved by using DMEDA as a ligand and K_2CO_3 as a base in dioxane heated at 100 °C. The influence of the copper species,

ligand, base and solvent was investigated in detail. *N*-Arylated derivatives of several heteroarylamines were synthesized in good yields under optimized reaction conditions.

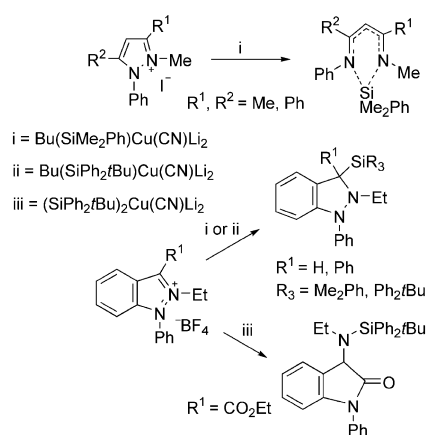
Y. F. Liu,* Y. J. Bai, J. Zhang, Y. Y. Li,
J. P. Jiao, X. L. Qi 6084–6088

Optimization of the Conditions for Copper-Mediated *N*-Arylation of Heteroarylamines

Keywords: Amines / Aryl halides / Arylation / Copper

Silylcuprate Chemistry

N-Silyl- β -enaminoimines and silylated indazoles and *N*-silyloxindoles were synthesised by treatment of pyrazolium and indazolium salts with silylcuprates. This is a reaction without precedent in carbon chemistry.

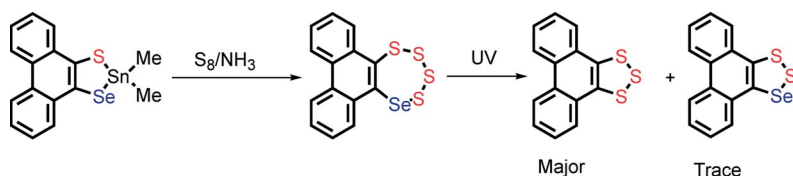


A. M. González-Nogal,* M. Calle,
P. Cuadrado 6089–6096

Reactions of Lithium Silylcuprates with Pyrazolium and Indazolium Salts

Keywords: Silicon / Heterocycles / Enaminoimines / Silylindazoles

Selenium Polychalcogenides



Five-, six-, and seven-membered cyclic polychalcogenides fused to an aromatic system were synthesized. The chalcogenide

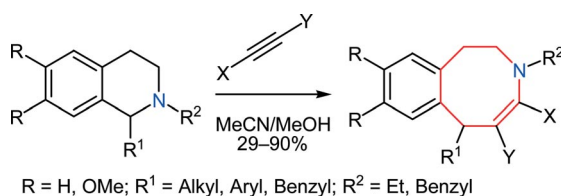
ring contains selenium, and the lability of the ring systems and the extrusion of selenium were also examined.

A. Alam, S. Ogawa, H. Muraoka,
M. Kon-no, S. Nakajo,
R. Sato* 6097–6105

Selenium-Containing Tetrachalcogenides and Pentachalcogenepins Fused to Aromatic Systems

Keywords: Benzopentathiepin / Chalcogens / Polyaromatics / Selenium / Sulfur heterocycles

Azocines



Tetrahydroisoquinolines underwent tandem piperidine ring enlargement in the presence of activated alkynes in acetonitrile

and methanol, producing tetrahydrobenzo-*[d]*azocines in high yields.

L. G. Voskressensky,* A. V. Listratova,
T. N. Borisova, G. G. Alexandrov,
A. V. Varlamov 6106–6117

Synthesis of Benzoazocines from Substituted Tetrahydroisoquinolines and Activated Alkynes in a Tetrahydropyridine Ring Expansion

Keywords: Azocine / Tetrahydroisoquinolines / Activated alkynes / Tandem transformation / Ring expansion

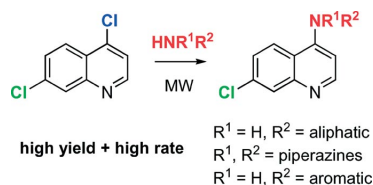
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Antimalarials

S. Melato, P. Coghi, N. Basilico,
D. Prosperi, D. Monti* 6118–6123

Novel 4-Aminoquinolines through Micro-
wave-Assisted S_NAr Reactions: a Practical
Route to Antimalarial Agents

Keywords: Heterocycles / Aromatic substi-
tution / Antimalarial agents / Microwave
irradiation / Aminoquinolines



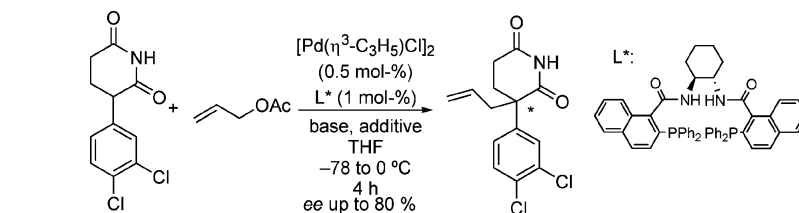
Microwave irradiation was exploited to
synthesize a family of 4-aminoquinoline
derivatives possessing antimalarial activity
in high yield and purity, without further
purification steps and requiring very short
reaction times.

Chiral Piperidinediones

A. Nowicki, J. Keldenich,
F. Agbossou-Niedercorn* 6124–6127

Highly Selective Preparation of a Chiral
Quaternary Allyl Aryl Piperidinedione
by Palladium-Catalyzed Asymmetric Al-
lylation Under Solid–Liquid Phase-Trans-
fer Catalysis

Keywords: Palladium / Alkylation / Syn-
thetic methods / Allylic compounds /
Heterocycles



The combination of a chiral palladium
catalyst and a solid–liquid phase-transfer
catalyst provides an effective method for

the chemo- and enantioselective prep-
aration of the chiral quaternary center of
an allyl aryl piperidinedione.

CORRECTION

G. Dräger, F. Jeske, E. Kunst,
E. G. Lopez, H. V. Sanchez,
F. Tschritzis, A. Kirschning,*
J. Jakupovic* 6128

Tonantzitlolone and other Diterpenes from
Stillingia sanguinolenta

Keywords: Diterpenes / Natural products

INDEX 2007 6131–6141



*The editorial staff and the publishers thank all readers, authors,
referees, and advertisers for their interest and support over the
past year and wish them all a happy new year.*

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