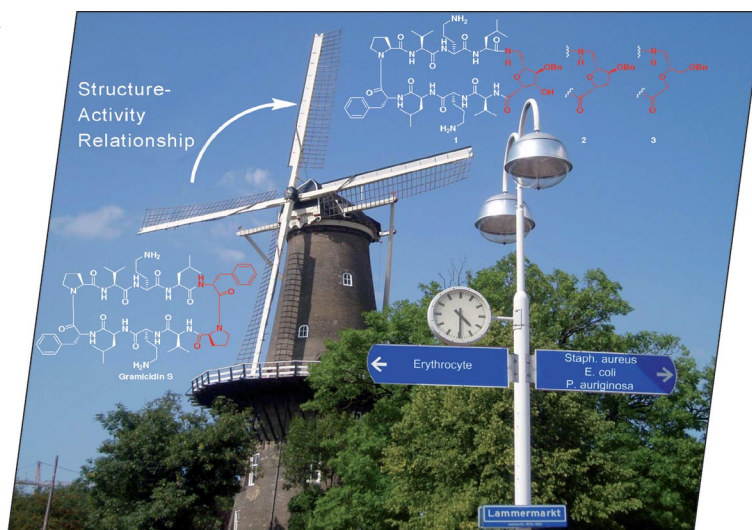


A union formed by chemical societies in Europe (ChemPubSoc Europe) has taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry*. Three further members of ChemPubSoc Europe (Austria, Czech Republic and Sweden) are Associates of the two journals.

## COVER PICTURE

The cover picture shows the cationic antimicrobial peptide Gramicidin S (GS, left structure), which disrupts the bacterial membrane, however with little selectivity over the erythrocytic membrane. This mode of action is explained by the amphiphilic  $\beta$ -sheet structure of GS. Three new analogues of GS were designed in which one  $^D$ Phe-Pro  $\beta$ -turn motif has been replaced by different sugar amino acids (**1,2** and **3** in the right structures). The solution structures of these new analogues were assessed by 1D and 2D NMR spectroscopy, which shows a slightly altered backbone conformation. The antibacterial and hemolytic activities of all analogues were also determined in this study. Details are discussed in the article by M. Overhand et al. on p. 4231ff.



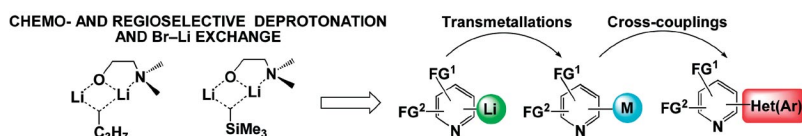
## MICROREVIEW

### Selective Lithiating Agents

P. C. Gros,\* Y. Fort\* ..... 4199–4209

Combinations of Alkylolithiums and Lithium Aminoalkoxides for Generation of Functional Pyridine Organometallics and Derivatives

**Keywords:** Metalation / Lithium / Lithiation / N heterocycles / Pyridines / Electrophilic substitution / Regioselectivity / Ligands



The current status of selective lithiation of pyridine derivatives by use of RLi/lithium aminoalkoxide combinations is covered.

This review updates the previous one on the *n*BuLi/LiDMAE reagent with focus on synthetic applications.

## SHORT COMMUNICATIONS

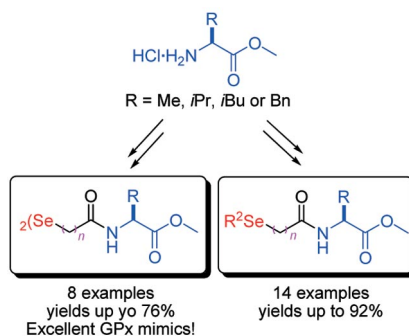
### Selenium Amino Acids

E. E. Alberto, L. C. Soares, J. H. Sudati,  
A. C. A. Borges, J. B. T. Rocha,\*  
A. L. Braga\* ..... 4211–4214



Efficient Synthesis of Modular Amino Acid Derivatives Containing Selenium with Pronounced GPx-Like Activity

**Keywords:** Selenium / Amino acids / Biological activity / Chalcogens



In a concise and flexible synthetic route, a series of new amino acid derivatives containing selenium was prepared. These compounds showed high efficiency as GPx mimics, destroying H<sub>2</sub>O<sub>2</sub> at the expense of PhSH.

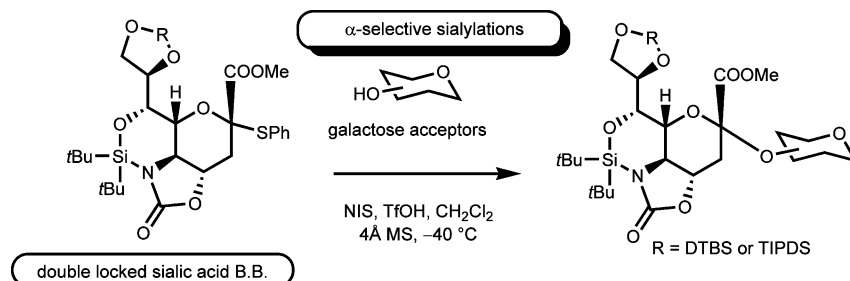
### Sialylation Reactions

S. Hanashima,\* K.-i. Sato, Y. Ito,  
Y. Yamaguchi ..... 4215–4220



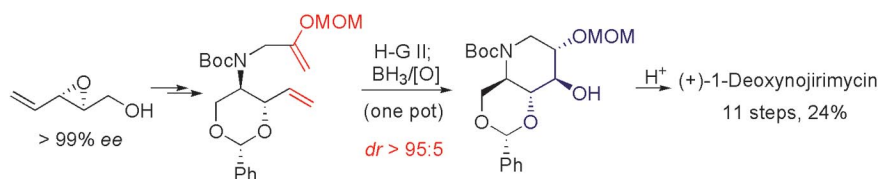
Silylene/Oxazolidinone Double-Locked Sialic Acid Building Blocks for Efficient Sialylation Reactions in Dichloromethane

**Keywords:** Glycosylation / Silicon / Sialic acids / Oxygen heterocycles



Efficient stereoselective  $\alpha$ -sialylation reactions with the use of ring-fixed sialic acid building blocks in CH<sub>2</sub>Cl<sub>2</sub> are demonstrated. The building blocks are locked by

5,7-silylene and 4,5-oxazolidinone protection with 8,9-di-*tert*-butylsiloxyanylidene or -tetraisopropylidisiloxyanylidene protection.



The asymmetric synthesis of (+)-1-deoxynojirimycin has been accomplished by using a one-pot enol ether metathesis/hydro-

boration/oxidation sequence – an efficient approach for the selective formation of the all-*trans* cyclic triol.

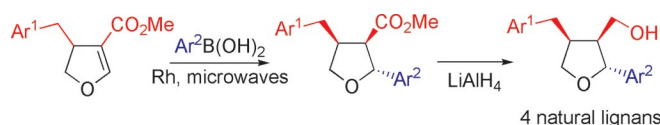
G. Danoun, J. Ceccon, A. E. Greene,  
J.-F. Poisson\* ..... 4221–4224

Stereocontrolled Total Synthesis of (+)-1-Deoxynojirimycin



**Keywords:** Total synthesis / Synthetic methods / Metathesis / Natural products / Azasugars

## Synthesis of Natural Lignans



An efficient and stereoselective synthesis of natural lignans with three contiguous stereocenters, using a rhodium-catalyzed,

microwave-assisted conjugate addition was developed.

A. Mondière, G. Pousse, D. Bouyssi,\*  
G. Balme\* ..... 4225–4229

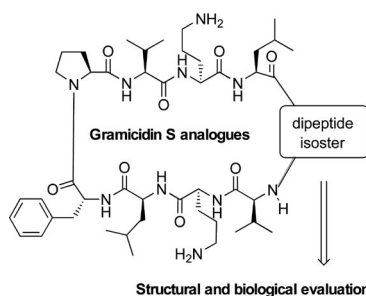
Efficient Rhodium-Catalyzed Conjugate Addition of Arylboronic Acids to Unsaturated Furano Esters for the Highly Stereoselective Synthesis of Four Natural Trisubstituted Furanolignans



**Keywords:** Lignans / Rhodium / Conjugate addition / Boronic acids / Microwave chemistry

## FULL PAPERS

Sugar amino acids are used as dipeptide isosters in cationic antimicrobial peptides. The solution structure of these derivatives is assessed by NMR analysis and the activity against several bacterial strains as well as human erythrocytes is described.



A. W. Tuin, D. K. Palachanis, A. Buizert,  
G. M. Grotenbreg, E. Spalburg,  
A. J. de Neeling, R. H. Mars-Groenendijk,  
D. Noort, G. A. van der Marel,  
H. S. Overkleeft,  
M. Overhand\* ..... 4231–4241

Synthesis and Biological Evaluation of Novel Gramicidin S Analogues

**Keywords:** Antibiotics / Peptidomimetics / Sugar amino acid / Conformation analysis

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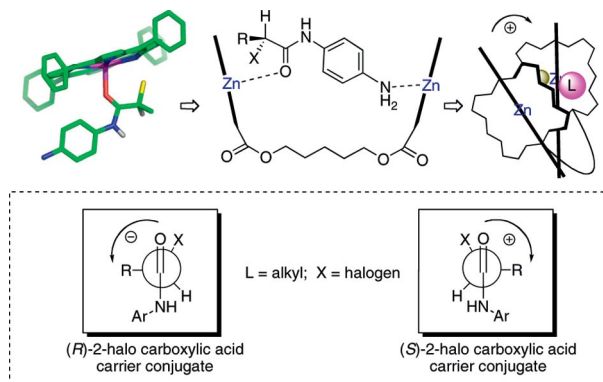
## Absolute Stereochemical Determination

M. Tanasova, Q. Yang, C. C. Olmsted,  
C. Vasileiou, X. Li, M. Anyika,  
B. Borhan\* ..... 4242–4253



An Unusual Conformation of  $\alpha$ -Haloamides Due to Cooperative Binding with Zincated Porphyrins

**Keywords:** Circular dichroism / Chirality / Amides / Lactams / Porphyrin tweezers / Conformation analysis



A new working mnemonic has been developed for the determination of the absolute stereochemistry of 1,4-phenylenediamine-derivatized  $\alpha$ -halocarboxylic acids by using the Zn-porphyrin tweezer-ECCD method.

All spectroscopic evidence suggests the presence of a halogen–porphyrin interaction that favors the final, ECCD-active, conformation.

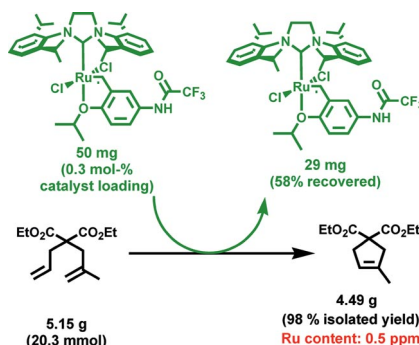
## Metathesis

H. Clavier, F. Caijo, E. Borré, D. Rix,  
F. Boeda, S. P. Nolan,\*  
M. Mauduit\* ..... 4254–4265



Towards Long-Living Metathesis Catalysts by Tuning the N-Heterocyclic Carbene (NHC) Ligand on Trifluoroacetamide-Activated Boomerang Ru Complexes

**Keywords:** Boomerang catalysts / Metathesis / Carbene ligands / Heterocycles / Recycling / Ruthenium



The synthesis, characterization, and catalytic performance of novel trifluoromethyl-amido-containing “boomerang” precatalysts bearing various NHCs are presented. The reaction profiles show a matched effect between the activating function and the NHC ligand SIPr that also results in enhanced complex stability.

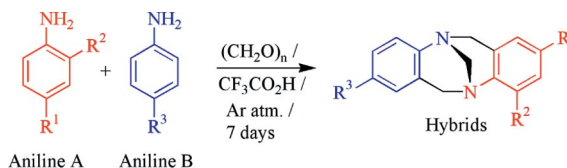
## Hybrid Tröger's Bases

M. Faroughi, K.-X. Zhu, P. Jensen,  
D. C. Craig, A. C. Try\* ..... 4266–4272



One-Step Synthesis of Tröger's Base Hybrids Containing at Least One Halogen Atom

**Keywords:** Tröger's base / Chirality / Aromatic substitution / Haloanilines / X-ray diffraction



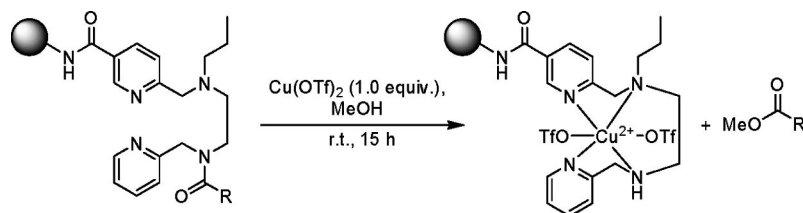
A range of non-symmetric halo-substituted Tröger's base analogues were prepared in a one-step reaction involving two anilines.

## Amide Bond Cleavage

R. A. Kramer, M. C. Bröhmer,  
N. V. Forkel, W. Bannwarth\* ... 4273–4283

A New Robust and Versatile Tetradentate Linker for Amides To be Cleaved under Mild Conditions by Unusual Complexation of the Amide Nitrogen to  $\text{Cu}^{++}$

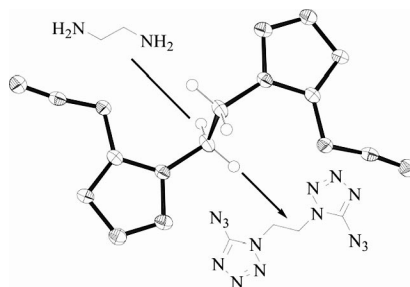
**Keywords:** Solid-phase synthesis / Tetradentate linkers / Amides / Cleavage reactions / Copper



The concept of weakening amide bonds by the complexation of the nitrogen to  $\text{Cu}^{++}$  is not limited to tridentate ligands and was extended in this work to tetradentate ligands as well. The use of an

open-chain tetradentate ligand allowed a mild and more efficient methanolysis reaction. The new linker was applied to a whole plethora of different types of reactions.

The general, high-yielding synthesis of highly dangerous alkylated bis-5-azido-tetrazoles is presented. The synthesis is suitable for a safe, large-scale preparation of bis-5-azidotetrazoles. The crystal structure of 1,2-bis(5-azido-1*H*-tetrazol-1-yl)-ethane was determined by single-crystal X-ray diffraction.

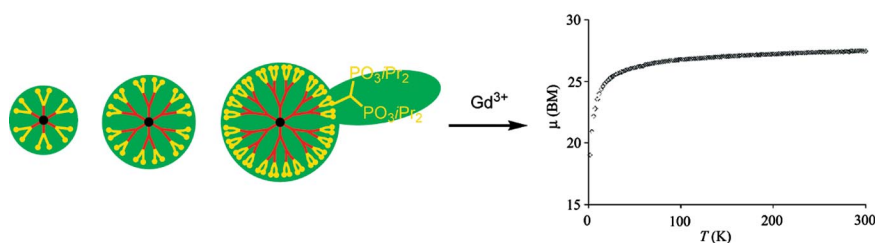


**T. M. Klapötke,\***  
**S. M. Sproll** ..... 4284–4289

Alkyl-Bridged Bis-5-azidotetrazoles:  
A Safe Way of Preparation

**Keywords:** Azides / Nitrogen heterocycles / Synthetic methods

## gem-Bisphosphonate Dendrimers



An efficient multistep synthesis of phosphorus dendrimers of different generations capped with *gem*-bisphosphonate groups is

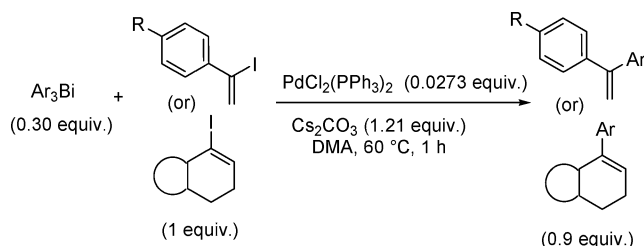
reported. Their ability to complex Gd ions is demonstrated.

**G. Franc, C.-O. Turrin, E. Cavero,**  
**J.-P. Costes,\* C. Duhayon,**  
**A.-M. Caminade,\***  
**J.-P. Majoral\*** ..... 4290–4299

*gem*-Bisphosphonate-Ended Group Dendrimers: Design and Gadolinium Complexing Properties

**Keywords:** Dendrimers / Gadolinium / Magnetic properties / Michael addition / Bisphosphonate

## Vinyl Arylations



The arylation of vinylic iodides with triaryl bismuths was reported under palladium catalysis. Couplings of  $\alpha$ -iodoalkenes, 4-iodo-1,2-dihydronaphthalene, and cycloalkenyl iodides with various elec-

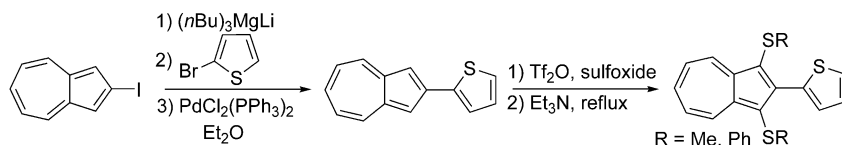
tronically divergent triaryl bismuths were found to be efficient. In these reactions, substoichiometric amounts of triaryl bismuths were utilized as multicoupling reagents.

**M. L. N. Rao,\* D. N. Jadhav,**  
**V. Venkatesh** ..... 4300–4306

Atom-Efficient Vinyl Arylations with Triaryl bismuths as Substoichiometric Multicoupling Reagents under Palladium Catalysis

**Keywords:** Arylation / Bismuth / Palladium / Atom efficiency / Cross-coupling

## Azulene Chemistry



Thienylazulenes **3–6** were prepared from the corresponding haloazulenes and thienylmagnesium ate complexes, which were prepared from the corresponding bromothiophenes in the presence of palladium.

The reaction of **3–6** with sulfoxides in the presence of  $\text{TiF}_4$ , followed by treatment with  $\text{Et}_3\text{N}$  afforded the corresponding 1,3-bis(methylthio)- and 1,3-bis(phenylthio)-thienylazulenes in good yields.

**T. Shoji,\* S. Ito,\* K. Toyota, T. Iwamoto,**  
**M. Yasunami, N. Morita\*** ..... 4307–4315

Synthesis and Redox Behavior of 1,3-Bis(methylthio-) and 1,3-Bis(phenylthio)azulenes Bearing 2- and 3-Thienyl Substituents by Palladium-Catalyzed Cross-Coupling Reaction of 2- and 6-Haloazulenes with Thienylmagnesium Ate Complexes

**Keywords:** Arenes / Cross-coupling / Electrophilic substitution / Cyclic voltammetry



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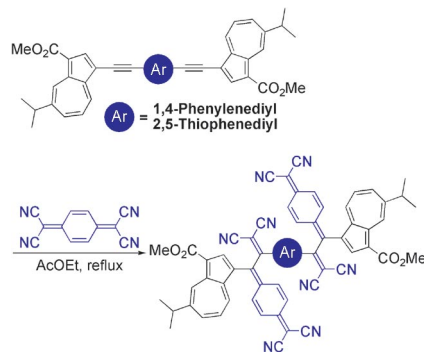
## Azulene Chemistry

T. Shoji,\* S. Ito,\* K. Toyota, T. Iwamoto,  
M. Yasunami, N. Morita ..... 4316–4324



Reactions between 1-Ethynylazulenes and 7,7,8,8-Tetracyanoquinodimethane (TCNQ): Preparation, Properties, and Redox Behavior of Novel Azulene-Substituted Redox-Active Chromophores

**Keywords:** Azulenes / Cycloaddition / Donor–acceptor systems / Electrochromism / Redox chemistry



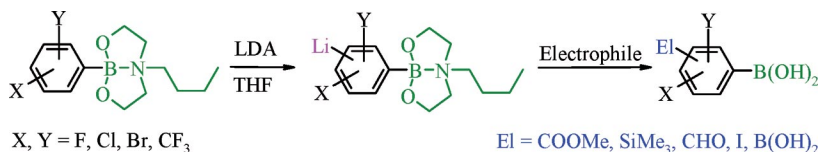
[2+2] cycloaddition/cycloreversion reactions between TCNQ and mono- or bis[2-(azulen-1-yl)ethynyl]benzene or -thiophene derivatives were examined: the corresponding TCNQ adducts, novel azulene-substituted redox-active chromophores, were produced in excellent yields. TCNE/TCNQ double adducts were also prepared both by stepwise and by one-pot cascade reactions.

## Lithiation of Arylboronic Esters

K. Durka, P. Kurach, S. Luliński,\*  
J. Serwatowski ..... 4325–4332

Functionalization of Dihalophenylboronic Acids by Deprotonation of Their *N*-Butyl-diethanolamine Esters

**Keywords:** Lithiation / Boron / Substituent effects



Protected halogenated arylboronic acids were subjected to deprotonation with lithium amide bases to form bimetallic boron-

lithium intermediates, which were subsequently treated with electrophiles to give functionalized arylboronic acids.

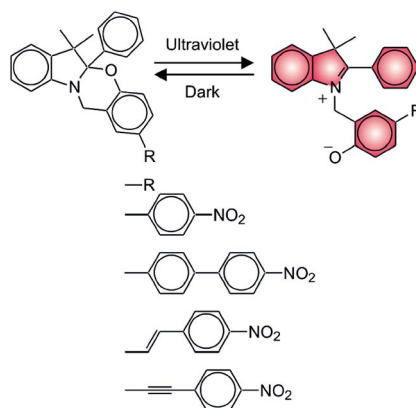
## Fast Photochromic Switches

M. Å. Petersen, E. Deniz, M. B. Nielsen,\*  
S. Sortino,\* F. M. Raymo\* ..... 4333–4339



Photochromic Oxazines with Extended Conjugation

**Keywords:** Heterocycles / Photolysis / Molecular devices / Oxazines / Photochromism / Laser chemistry



The ultraviolet irradiation of photochromic 1,3-oxazines results in the formation of zwitterionic isomers after the cleavage of a C–O bond. The photogenerated species incorporate a phenolate chromophore able to absorb in the visible region of the electromagnetic spectrum and revert spontaneously to the original species on a nanosecond timescale.

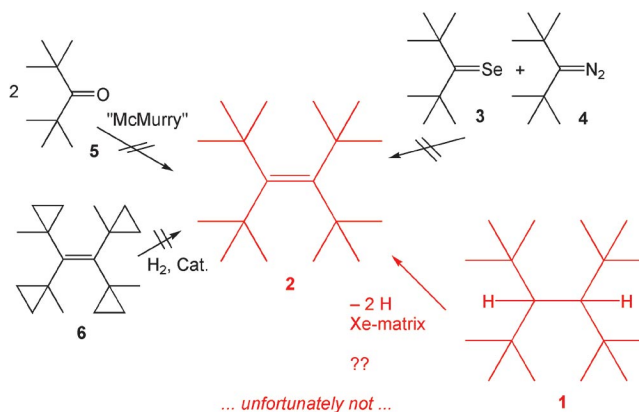
## Strained Molecules

G. Bucher\* ..... 4340–4345



Computational and Matrix Isolation Studies of Tetra-*tert*-butylethane

**Keywords:** Matrix isolation / Xenon / Alkanes / Thermochemistry / Strained molecules / Computer chemistry



Tetra-*tert*-butylethane (**1**) can be pyrolysed in a xenon matrix at  $T = 10$  K if the matrix is excited by a 248 nm excimer laser. This reaction failed to yield the long-sought-after alkene **2**. Whereas the recent M05-2X

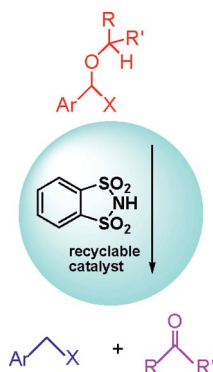
method describes **1** well, the popular B3LYP and MP2 methods do not reproduce the experimental thermochemical data available for **1**.

## Disproportionation of Protonated Ethers

M. Barbero, S. Bazzi, S. Cadamuro,  
S. Dughera,\* G. Ghigo\* ..... 4346–4351

Synthetic and Mechanistic Aspects of  
Acid-Catalyzed Disproportionation of Di-  
alkyl Diarylmethyl Ethers: A Combined  
Experimental and Theoretical Study

**Keywords:** Homogeneous catalysis /  
Ethers / Density functional calculations /  
Disproportionation / Reaction mechanisms



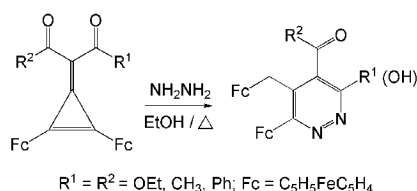
The disproportionation reactions of various dialkyl diarylmethyl ethers, catalyzed by *o*-benzenedisulfonimide, are described. The theoretical study confirmed that the reaction proceeds in two steps: The formation of a carbocation from the protonated ether followed by hydride transfer.

## Functional Ferrocenylpyridazines

E. I. Klimova,\* E. A. V. López,  
M. F. Alamo, T. Klimova,  
M. M. García ..... 4352–4356

A Novel Synthesis of Ferrocenylpyridazines

**Keywords:** Metallocenes / Cations / Nitro-  
gen heterocycles / Structure elucidation



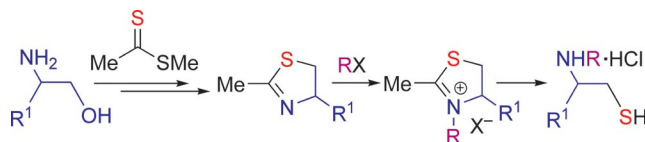
3-[Acyl(ethoxycarbonyl)]methylidene-, 3-diethoxycarbonylmethylidene-, and 3-diacylmethylidene-1,2-diferrocenylcyclopropenes demonstrated high activity towards reaction with hydrazine at 80–85 °C, giving 3,4,5,6-tetrasubstituted 5-acyl- or (5-ethoxycarbonyl)ferrocenylpyridazines in 65–70% yield.

## Amino Thiols and Their Disulfides

G. Mercey, J.-F. Lohier, A.-C. Gaumont,  
J. Levillain,\* M. Gulea\* ..... 4357–4364

Versatile Synthesis of Secondary 2-Amino  
Thiols and/or Their Disulfides via Thiazolinium  
Salts

**Keywords:** Amino Thiols / Heterocycles /  
Sulfur / Alkylation / Amino alcohols



Various secondary  $\beta$ -amino thiols and/or their disulfides have been prepared starting from commercially available primary or

secondary  $\beta$ -amino alcohols and methyl dithioacetate via thiazolinium salts and thiazolidine intermediates.

\* Author to whom correspondence should be addressed.

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

If not otherwise indicated in the article, papers in issue 24 were published online on August 4, 2009