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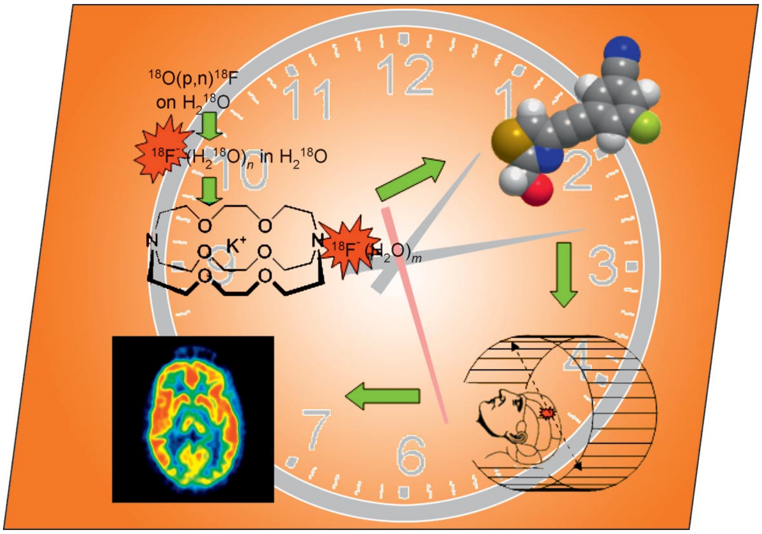
The EUChemSoc Societies have taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry*. Three further EUChemSoc Societies (Austria, Czech Republic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

COVER PICTURE

The cover picture shows the "Chemistry with [^{18}F]Fluoride Ion" in PET radiotracer production. The procedure includes: (i) production of aqueous [^{18}F]fluoride ion from the cyclotron-promoted ^{18}O(p,n)^{18}F nuclear reaction on ^{18}O-enriched water, (ii) conversion of the aqueous [^{18}F]fluoride ion into a more nucleophilic species by complexation with kryptand-K$^+$, (iii) incorporation of fluorine-18 into a radiotracer, namely [^{18}F]SP203, for brain mGluR5 receptors, (iv) positron emission tomography (PET) of the radiotracer in a human subject, and (v) generation of the corresponding PET image of the brain mGluR5 receptor distribution. These steps are presented over a clock background to stress the necessity to work quickly and efficiently with short-lived fluorine-18 ($t_{1/2}$ = 109.7 min) and according to a tight time schedule from radionuclide production through to PET imaging. Details are presented in the Microreview by L. S. Cai, S. Y. Lu and V. W. Pike on p. 2853ff. The authors thank Dr. A. K. Brown and other staff of the Molecular Imaging Branch at the National Institute of Mental Health (Bethesda, Maryland, USA) for the generation of the PET image.



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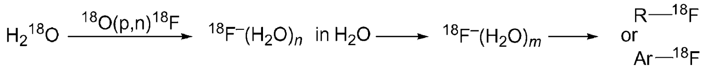
MICROREVIEW

PET Radiochemistry

L. Cai, S. Lu, V. W. Pike* 2853–2873

Chemistry with [^{18}F]Fluoride Ion

Keywords: Fluorine-18 / [^{18}F]Fluoride ion / PET / Radiotracer / Labeling



The chemistry of cyclotron-produced [^{18}F]-fluoride ion for producing [^{18}F]fluoroalkyl or [^{18}F]fluoroaryl compounds as radiotracers for molecular imaging with positron-emission tomography is reviewed.

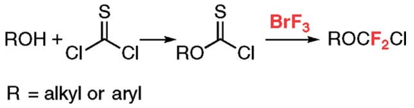
FULL PAPERS

Fluorinating Agent

Y. Hagooly, R. Sasson, M. J. Welch, S. Rozen* 2875–2880

Preparation of Alkyl and Aryl Chlorodifluoromethyl Ethers Using BrF_3

Keywords: Bromine trifluoride / Fluorination / Chlorodifluoromethyl ethers / Chlorothioformates



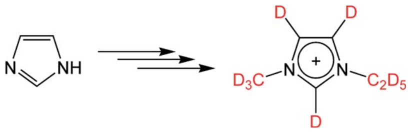
The chlorothioformate derivatives were prepared from the corresponding alcohols and thiophosgene. Their reaction with BrF_3 led to the formation of chlorodifluoromethyl ethers in good yields.

Synthesis of Ionic Liquids

R. Giernoth,* D. Bankmann ... 2881–2886

Transition-Metal-Free Synthesis of Perdeuterated Imidazolium Ionic Liquids by Alkylation and H/D Exchange

Keywords: Ionic liquids / Imidazole / Deuterium exchange



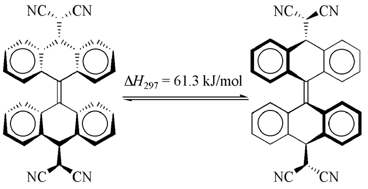
A new economic and transition-metal-free synthetic route to fully or partially deuterated imidazolium ionic liquids (ILs) is presented. Since this method is based on deuterium exchange, the effects of typical IL impurities on H/D exchange processes on the imidazolium ring are also discussed.

Avoiding Thermochromism in BAEs

S. Pogodin, M. R. Suissa, A. Levy, S. Cohen, I. Agranat* 2887–2894

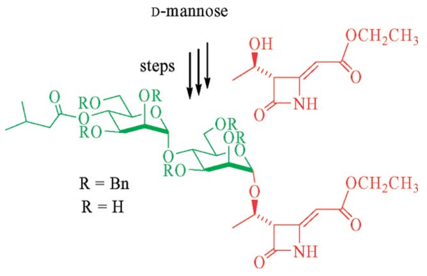
The Tetracyanoquinodimethane Motif in Overcrowded Bistricyclic Aromatic Enes: Avoiding Thermochromism

Keywords: Thermochromism / Strained molecules / Conformation analysis / Density functional calculations / X-ray crystal structure



The overcrowded bistricyclic aromatic enes (BAEs) can exhibit thermochromic behavior due to the equilibrium between *anti*-folded and twisted conformations. Introducing dicyanomethylene bridges into BAEs destabilizes the twisted conformations, thus preventing these BAEs from exhibiting thermochromic behaviour.

Glycoconjugation of β-Lactams



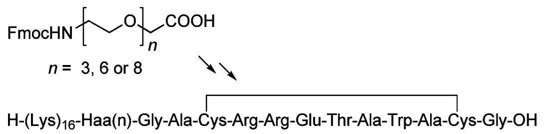
The disaccharide moiety of the antibiotic mannopeptimycin ε has been synthesized as a (*N*-phenyl)trifluoroacetimidate donor, and its reactivity was tested in the glycoconjugation of a 4-alkylidene-β-lactam acceptor.

M. Adinolfi, D. Giacomini, A. Iadonisi,* A. Quintavalla, S. Valerio 2895–2899

Synthesis of the Mannopeptimycin Disaccharide and Its Conjugation with 4-Alkylidene-β-lactams

Keywords: Glycosylation / Antibiotics / Carbohydrates / β-Lactams / Bismuth(III) triflate

PEG-Amino Acids



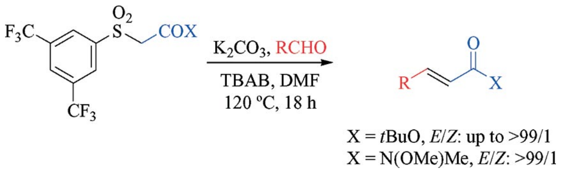
PEG-amino acids of defined lengths have been synthesised and incorporated as spacer units into bifunctional peptides, which were then used as components of lipopolyplex gene delivery vectors.

M. A. Pilkington-Miksa, S. Sarkar, M. J. Writer, S. E. Barker, P. A. Shamlou, S. L. Hart, H. C. Hailes, A. B. Tabor* 2900–2914

Synthesis of Bifunctional Integrin-Binding Peptides Containing PEG Spacers of Defined Length for Non-Viral Gene Delivery

Keywords: Amino acids / Gene delivery / Peptides / Poly(ethylene glycol)

Julia–Kocienski Olefination



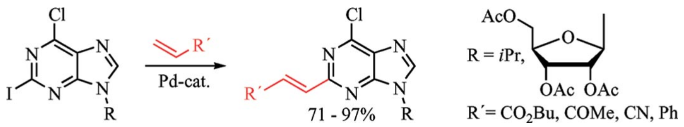
The synthesis of α,β-unsaturated esters and Weinreb amides is accomplished in good yields and excellent diastereoselectivities through the Julia–Kocienski olefination of aldehydes with 3,5-bis(trifluoromethyl)phenyl (BTFP) sulfones under solid/liquid phase-transfer catalysis conditions.

D. A. Alonso,* M. Fuensanta, E. Gómez-Bengoa, C. Nájera* 2915–2922

3,5-Bis(trifluoromethyl)phenyl Sulfones for the Highly Stereoselective Julia–Kocienski Synthesis of α,β-Unsaturated Esters and Weinreb Amides

Keywords: Olefination / Esters / Amides / Sulfones

Alkenylpurines



Heck reactions between 9-substituted 6-chloro-2-iodopurines and alkenes bearing electron-withdrawing substituents (CO_2Bu, $COCH_3$, CN) and Ph smoothly afford 2-alkenyl-6-chloropurines in 71–97% isolated yields. In contrast, 9-substituted 6-iodopurines give mainly the corresponding 6,6′-dimers under the same reaction conditions.

T. Tobrman, D. Dvořák* 2923–2928

Heck Reactions of 6- and 2-Halopurines

Keywords: Nucleobases / Homogeneous catalysis / Nitrogen heterocycles / Heck reaction

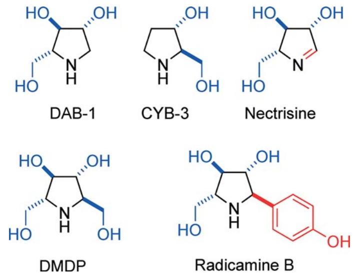
CONTENTS

Nitrones and Iminocyclitols

P. Merino,* I. Delso, T. Tejero, F. Cardona, M. Marradi, E. Faggi, C. Parmeggiani, A. Goti* 2929–2947

Nucleophilic Additions to Cyclic Nitrones en Route to Iminocyclitols – Total Syntheses of DMDP, 6-deoxy-DMDP, DAB-1, CYB-3, Nectrisine, and Radicamin B

Keywords: Iminocyclitols / Pyrrolidines / Nitrones / Nucleophilic addition / Nitrogen heterocycles



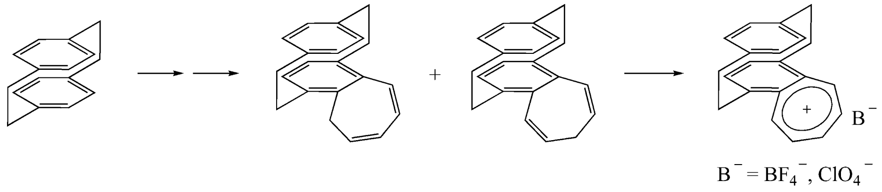
A variety of iminocyclitols have been prepared in enantiomerically pure form, starting from easily available cyclic nitrones. By use of the appropriate substrates DMDP, 6-deoxy-DMDP, DAB-1, CYB-3, nectrisine, and radicamine B have been synthesized.

Cyclophane Chemistry

S. M. Ramm, H. Hopf,* P. G. Jones, P. Bubenitschek, B. Ahrens, L. Ernst 2948–2959

Annulation of Seven-Membered Rings to [2.2]Paracyclophane

Keywords: Cyclophanes / Annulation / Cycloaddition / Tropylium cation / Homo-Diels–Alder addition



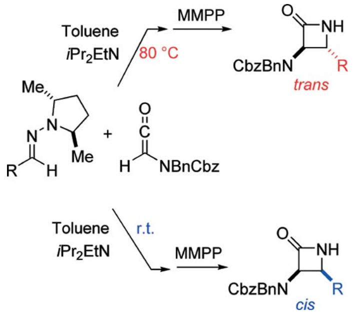
From [2.2]paracyclophane, benzannulated tropylidenes are easily prepared by conventional chain elongation/cyclization reactions. These cyclophanes can serve as precursors for tropylium systems but also as ligands for metal complexes.

[2+2] Cycloaddition

E. Marqués-López, E. Martín-Zamora, E. Díez, R. Fernández,* J. M. Lassaletta* 2960–2972

Stereoselective, Temperature-Dependent [2+2] Cycloaddition of *N*,*N*-Dialkylhydrazones to *N*-Benzyl-*N*-(benzyloxycarbonyl)aminoketene

Keywords: Asymmetric synthesis / Cycloaddition / Hydrazones / Lactams / Antibiotics



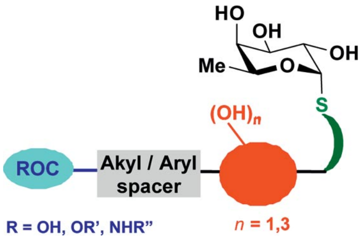
The stereochemical course of the Staudinger-like [2+2] cycloaddition of *N*,*N*-dialkylhydrazones to *N*-benzyl-*N*-(benzyloxycarbonyl)aminoketene can be efficiently controlled by the reaction temperature to afford *trans* or *cis* cycloadducts as the major products.

S-Neofucopeptides

A. J. Moreno-Vargas,* L. Molina, A. T. Carmona, A. Ferrali, M. Lambelet, O. Spertini, I. Robina* 2973–2982

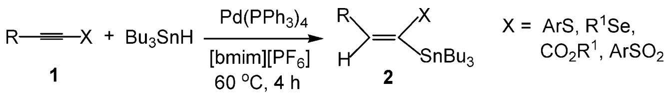
Synthesis and Biological Evaluation of *S*-Neofucopeptides as E- and P-Selectin Inhibitors

Keywords: Carbohydrates / Peptides / Inhibitors / Glycoconjugates



The synthesis and biological evaluation of a series of low-molecular-weight sialyl Lewis X mimetics based on *S*-neofucopeptides is presented. Biological tests show that all new mimetics are recognized by E- and P-selectins at low mM concentration.

Hydrostannylation



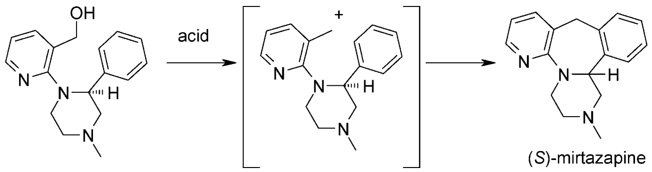
Palladium-catalyzed hydrostannylation reactions of α-heteroalkynes and alkynyl esters were conducted in the ionic liquid [bmim][PF_6]. Advantages of the ionic liquid over typical organic solvents include increased yields, higher regioselectivities, easy product isolation, and facile catalyst recycling.

M. Cai,* Y. Wang,
W. Hao **2983–2988**

Palladium-Catalyzed Hydrostannylation of α-Heteroalkynes and Alkynyl Esters in Ionic Liquids

Keywords: Hydrostannylation / Palladium / α-Heteroalkynes / Alkynyl esters / Ionic liquids / Regioselectivity

Asymmetric Synthesis of (*S*)-Mirtazapine



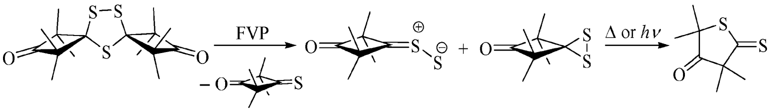
In the asymmetric synthesis of (*S*)-mirtazapine significant racemization was encountered in the final ring closure of the alcohol giving (*S*)-mirtazapine. This could be avoided by using polyphosphoric acid (PPA) instead of sulfuric acid. A remarkable correlation between the amount of PPA used and the *ee* of the product was revealed.

M. van der Linden, J. Borsboom,
F. Kaspersen, G. Kemperman* ....
.... **2989–2997**

Asymmetric Synthesis of (*S*)-Mirtazapine: Unexpected Racemization through an Aromatic *ipso*-Attack Mechanism

Keywords: Asymmetric synthesis / Reaction mechanisms / (*S*)-Mirtazapine / Isotopic labeling

Spiro Sulfur Heterocycles



The reactions of selected dispirodicycloaliphatic 1,2,4-trithiolanes were studied under flash-vacuum pyrolysis, and the products were trapped at low temperatures by using matrix isolation techniques. Spectroscopic data were recorded and compared with computed spectra.

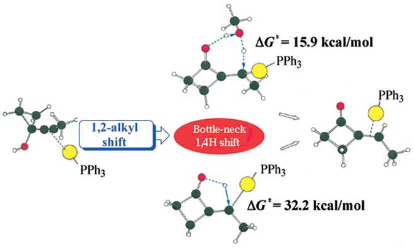
J. Romański, H. P. Reisenauer, H. Petzold,
W. Weigand, P. R. Schreiner,
G. Mloston* **2998–3003**

Generation and Rearrangement of Some Spirocycloaliphatic Thiosulfines and Dithiiranes

Keywords: Density functional calculations / Flash pyrolysis / Matrix isolation / Sulfur heterocycles

Ring-Expansion Reactions

The mechanism of the one-carbon ring-expansion reactions of cyclopropanols catalyzed by $[AuP(Ph)_3]^+$ to yield the corresponding 2-alkylidenecyclobutanones was theoretically investigated. The rate-determining step involves a 1,4-H shift, and this step is assisted by H_2O and a high permittivity solvent.



T. L. Sordo, D. Ardura* **3004–3013**

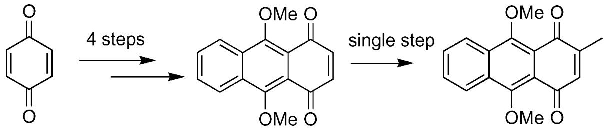
On the Mechanism of Gold(I)-Catalyzed Ring Expansion of Cyclopropanols: Theoretical Calculations Uncover a Bottle-Neck 1,4-H Shift and Suggest Adequate Reaction Conditions

Keywords: Density functional calculations / Ring expansion / Gold / Alcohols

CONTENTS

1,4-Anthraquinones

Keywords: Anthraquinones / Natural products / Radical reactions / Total synthesis



The synthesis of 9,10-dimethoxy-2-methyl-1,4-anthraquinone, an unusual quinone, was achieved in five steps from *p*-benzoquinone. A Kochi−Anderson radical methylation features as the key step in the synthesis. The chemistry of a cyclopropa-1,4-anthracenedione is also described.