

























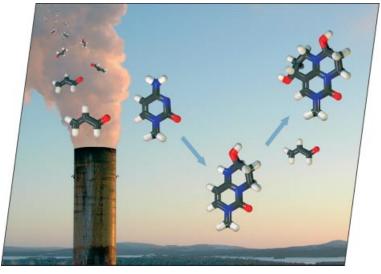




The EUChemSoc Societies have taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the European Journal of Inorganic Chemistry and the European Journal of Organic Chemistry. Three further **EUChemSoc Societies (Austria,** Czech Republic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

COVER PICTURE

The cover picture shows that acrolein, a mutagenic environmental pollutant formed during incomplete combustion, reacts with the cytosine base to yield exocyclic ring adducts. Identification and characterization of adducts are discussed in detail in the article by A. J. Pawłowicz, K. D. Klika, and L. Kronberg on p. 1429ff.



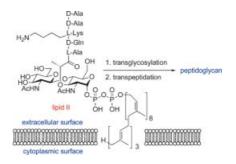
MICROREVIEW

Peptidoglycan Substrates

R. S. Narayan, M. S. VanNieuwenhze* 1399–1414

Synthesis of Substrates and Biochemical Probes for Study of the Peptidoglycan Biosynthetic Pathway

Keywords: Peptidoglycan / Bacterial cell wall / Antibiotics / Glycopeptide



The bacterial cell wall biosynthesis pathway provides a number of potential targets for the development of novel antibacterial agents. This Microreview highlights theutility of organic synthesis for the preparation of substrates and biochemical probes for use in the study of this important biochemical pathway.

SHORT COMMUNICATIONS

Functionalized Azulenes

M. Å. Petersen, K. Kilså, A. Kadziola, M. B. Nielsen* 1415–1418

A Novel Route to a Bromo-Cyano-Substituted Azulene and Its Exploitation in the Construction of an Acetylenic Scaffold

Keywords: Acetylenic scaffolding / Alkynes / Azulenes / Chromophores / Cross-coupling

Bromination of 1,1-dicyano-2-phenyl-1,8a-dihydroazulene followed by heating in the presence of bromide ions provides an efficient way to generate 3-bromo-1-cyano-2-

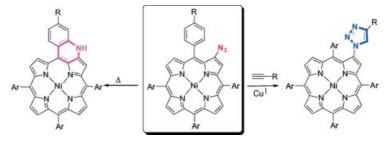
phenylazulene. Functionalization hereof with trimethylsilylacetylene provides a new azulene building block for acetylenic scaffolding.

Porphyrinoids

D.-M. Shen, C. Liu, Q.-Y. Chen* 1419–1422

Synthesis and Versatile Reactions of β -Azidotetraarylporphyrins

Keywords: Porphyrinoids / Azido / Thermal reactions / Cycloaddition



A simple and efficient synthesis of β -azidotetraarylporphyrins has been developed by diazotization of β -aminotetraarylporphyrins and their subsequent treatment with sodium azide. Their thermal reaction

resulted in an interesting fused six-membered porphyrin. Introduction of 1,2,3-triazole into the porphyrin macrocycle was achieved with alkynes by Cu^I-catalyzed 1,3-dipolar cycloaddition.



Pd-Catalyzed Amination

$$\begin{array}{c} C_{6}H_{13} \\ \\ P-C_{14}H_{29} \\ \\ \hline \\ C_{6}H_{13} \\ \\ \hline \\ [Pd]^{0}, L_{2}, base \end{array}$$

 $X^- = C\Gamma$, $B\Gamma^-$, RCO_2^- , BF_4^- , $(CN)_2N^-$, Tosyl, $(BuO)_2PO_2^-$, $(CF_3SO_2)_2N^-$, saccharide Conversion: 1 to 98% depending on X^-

The Pd-mediated Buchwald-Hartwig amination reaction of aryl halides in a phosphonium salt ionic liquid consisting of a trihexyl(tetradecyl)phosphonium cation with a range of anions has been investigated. A pronounced anionic effect was uncovered with the reaction proceeding

readily with weakly nucleophilic diarylamines only in the presence of noncoordinating anions. A mechanism is postulated to explain these results and it involves a rate-limiting ligand exchange step that proceeds through a dissociative pathway.

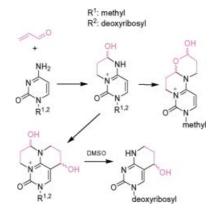
J. McNulty,* S. Cheekoori, T. P. Bender, J. A. Coggan 1423–1428

A Pronounced Anionic Effect in the Pd-Catalyzed Buchwald-Hartwig Amination Reaction Revealed in Phosphonium Salt Ionic Liquids

Keywords: Homogeneous catalysis / Amination / Phosphanes / Conducting materials

FULL PAPERS

Acrolein, a ubiquitous environmental mutagen, reacts with the cytosine bases to form one- and two-ring-fused adducts. The one-ring-fused adduct is shown to possess a higher reactivity towards acrolein than the cytosine base. The cyclic adducts of 2'-deoxycytidine are found in double- and single-stranded calf thymus DNA and may therefore contribute to the mutagenic effects of acrolein.



DNA Alkylation by Acrolein

A. J. Pawłowicz, K. D. Klika,* L. Kronberg* 1429–1437

The Structural Identification and Conformational Analysis of the Products from the Reaction of Acrolein with 2'-Deoxycytidine, 1-Methylcytosine and Calf Thymus DNA

Keywords: NMR spectroscopy / DNA alkylation / Nucleobases / Acrolein

HO OH NH₂ Na₂IrCl₆ HO OH NH₂ NH₂

Carbocyclic DNA lesion analogs provide a powerful tool for the investigation of the recognition of DNA lesions by DNA glycosylases. Here, we report the synthesis of carbocyclic analogs of the DNA lesions 7,8-dihydro-8-oxo-2'-deoxyguanosine and spiroiminodihydantoin as a nucleoside as well as in single-stranded DNA.

Carbocyclic Spiroiminodihydantoin

H. Müller, T. Carell* 1438-1445

A Carbocyclic Analog of the Oxidatively Generated DNA Lesion Spiroiminodihydantoin

Keywords: Oxidatively generated DNA lesion / Carbocyclic analog / 8-oxo-dG / Spiroiminodihydantoin

Six Fmoc-protected altritol nucleoside phosphoramidite building blocks with A, G, T, U, C and MeC as bases have been synthesized and used for the synthesis of altritol nucleic acid (ANA) and chimeric ANA-RNA oligonucleotides.

 $B = A^{Fmoc2}$; dmfG; T; U; C^{Fmoc} ; MeC^{Fmoc}

Oligonucleotide Synthesis

Fmoc-Protected Altritol Phosphoramidite Building Blocks and Their Application in the Synthesis of Altritol Nucleic Acids (ANAs)

Keywords: Altritol nucleoside / Fmoc protecting group / Altritol nucleic acids / Phosphoramidite chemistry

Suzuki-Miyaura Coupling

C.-L. Deng, S.-M. Guo, Y.-X. Xie, J.-H. Li* 1457–1462

Mild and Ligand-Free Palladium-Catalyzed Cross-Couplings between Aryl Halides and Arylboronic Acids for the Synthesis of Biaryls and Heterocycle-Containing Biaryls

Keywords: Palladium / Suzuki-Miyaura cross-coupling reaction / Aryl halide / Arylboronic acid

In the presence of Pd(OAc)₂ and MeONa, a variety of aryl halides reacted with arylboronic acids very rapidly and with good to excellent yields at room temperature in EtOH as the solvent. Moreover, the Pd(OAc)₂/MeONa catalytic system could also be applied in couplings between

heteroaryl halides and arylboronic acids to provide satisfactory results in MeOH as the solvent after prolonged reaction times. It is noteworthy that the reactions were conducted under mild, aerobic, and ligandfree conditions.

Glycals in Organic Synthesis

Glycals in Organic Synthesis: A Systematic Strategy for the Preparation of Uncommon Piperidine 1,2-Dideoxy-L-azasugars and 2-Deoxy-1,5-anhydro-L-hexitols

Keywords: Glycals / Azasugars / Fagomine / Glycosides / Glycopyranosyl derivatives / Hexitols

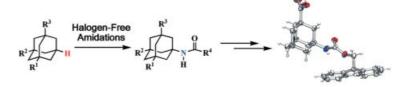
A synthetic strategy has been developed for producing uncommon piperidine 1,2-dideoxy-L-azasugars starting from D-glycals. This straightforward chemistry has been shown to be useful for preparing glycosyl derivatives of 1,2-dideoxy-L-azasugars starting from glycosyl glycals. The flexibility of our protocol has been demonstrated by the preparation of uncommon 2-deoxy-1,5-anhydro-L-hexitols.

Adamantylamino Acids (AXaa's)

L. Wanka, C. Cabrele, M. Vanejews, P. R. Schreiner* 1474–1490

γ-Aminoadamantanecarboxylic Acids
Through Direct C-H Bond Amidations

Keywords: Amino acids / C-H activation / Hydrocarbons / Peptides / Phase-transfer catalysis



13 examples, 13 - 93%

A bromine-free, direct C-H bond functionalization protocol can be utilized efectively to amidate various adamantane derivatives using technical reagents only. Acetamides as well as formamides are accessible in good to excellent yields.

Adamantylamino Acids: Novel peptide building blocks

The hydrolysis of the amides directly yields γ -aminoadamantanecarboxylic acids (AXaa's) that can be employed as building blocks for highly lipophilic, rigid peptidic scaffolds useful, e.g., for novel catalyst motifs in peptide organocatalysis.



Synthesis of Benzofurans

A reagent-dependent rearrangement—cyclization: TFAA was found to be an effective agent for preparation of dihydrobenzofurans from oxime ethers through the acylation—rearrangement—cyclization reaction. On the other hand, TFAT/DMAP

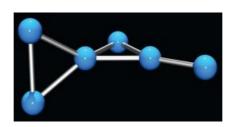
combination enabled the selective synthesis of various benzofurans. Furthermore, short and practical syntheses of Stemofuran A, Eupomatenoid 6, and Coumestan were achieved without protection of the hydroxy group in good overall yield.

N. Takeda, O. Miyata, T. Naito* 1491–1509

Efficient Synthesis of Benzofurans Utilizing [3,3]-Sigmatropic Rearrangement Triggered by *N*-Trifluoroacetylation of Oxime Ethers: Short Synthesis of Natural 2-Arylbenzofurans

Keywords: Benzofuran / Sigmatropic rearrangement / Total synthesis / Trifluoroacetic anhydride / Trifluoroacetyl triflate

Methylenespiropentane, formed by thermal isomerization of bicyclopropylidene, rearranges at higher temperature to 1,2-and 1,3-dimethylenecyclobutane. Rate constants of these processes have been measured for the first time.



Ring Strain and Consequences

H. Schill, S. I. Kozhushkov, R. Walsh,*
A. de Meijere* 1510–1516

The Thermal Transformations of Bicyclopropylidene and Methylenespiropentane Revisited

Keywords: Small ring systems / Rearrangement / High-temperature chemistry / Kinetics / Strained molecules

$Me^{CO_2Et} + H_2C = C = C H$ $H_3C = C + H_3C +$

The synthesis of hitherto unknown alkylidene isoxazolidinyl thymines 5-7 is described. The first compound can be

regarded as a N,O-analogue of Entecavir and DMDC, which contains thymine as a nucleobase.

Modified Nucleosides

A Novel Class of Modified Nucleosides: Synthesis of Alkylidene Isoxazolidinyl Nucleosides Containing Thymine

Keywords: Dipolar cycloaddition / Nucleosides / Nitrogen heterocycles / Oxygen heterocycles

A series of furo[3',4':5,6]pyrido[2,3-d]-pyrimidine derivatives were synthesized by means of multicomponent reactions in water under both microwave irradiation and traditional heating conditions, without use of any catalyst. This method has the advantages of higher yields, lower cost, reduced environmental impact, and convenience of procedure.

Aqueous Multicomponent Reactions

S.-J. Tu,* Y. Zhang, H. Jiang, B. Jiang, J.-Y. Zhang, R.-H. Jia,

F. Shi 1522-1528

A Simple Synthesis of Furo[3',4':5,6]pyrido[2,3-d]pyrimidine Derivatives through Multicomponent Reactions in Water

Keywords: Heterocycles / Multicomponent reactions / Aqueous medium / Tetronic acid

CONTENTS

Asymmetric Diels-Alder Reactions

G. Desimoni,* G. Faita, M. Mella, F. Piccinini, M. Toscanini 1529–1534

Pybox/Lanthanide-Catalysed Diels—Alder Reactions with an Unsaturated α-Oxo Ester or 3-Alkenoyl-2-oxazolidinone as Dienophile: The Sense of Stereoinduction in Five- or Six-Membered Bidentate Re-

Keywords: Asymmetric synthesis / Enantioselectivity / Lanthanides / Pybox

The reaction of cyclopentadiene with methyl (E)-2-oxo-4-phenyl-3-butenoate is catalysed by the Sc^{III} complex of pybox (1) to give the endo Diels-Alder (DA) and hetero-Diels-Alder (HDA) adducts with ee > 99 %. The absolute configuration of the HDA adduct was determined from the stereospecific [3,3] Claisen rearrangement of the enantiopure DA adduct.

CORRECTION

agent Coordination

E. Tretyakov, G. Romanenko, A. Podoplelov, V. Ovcharenko* 1535 Synthesis of Alkynyl-Substituted Nitronyl Nitroxides through an Organosilicon Derivative **Keywords:** Alkynes / Diazo compounds / Cycloaddition / Nitrogen heterocycles / Radicals

If not otherwise indicated in the article, papers in issue 8 were published online on February 16, 2007