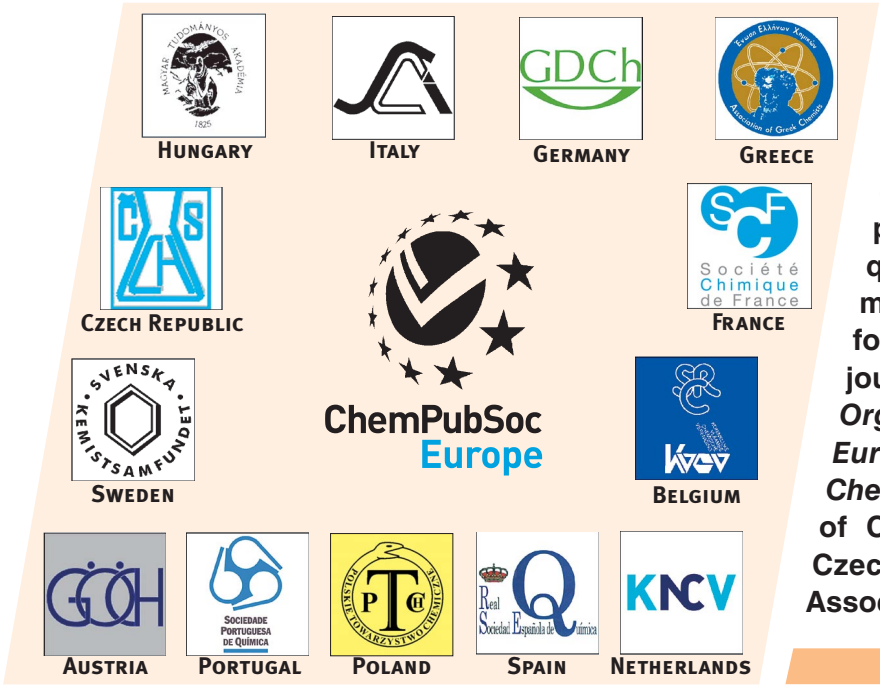
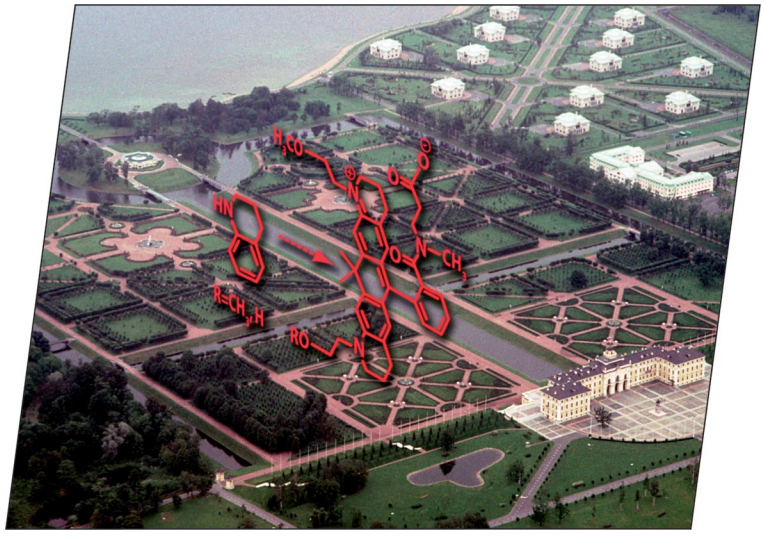


EurJOC is co-owned by 11 societies of ChemPubSoc Europe, a union of European chemical societies for the purpose of publishing high-quality science. All owners merged their national journals to form two leading chemistry journals, the *European Journal of Organic Chemistry* and the *European Journal of Inorganic Chemistry*. Three further members of ChemPubSoc Europe (Austria, Czech Republic and Sweden) are Associates of the two journals.

Other ChemPubSoc Europe journals are *Chemistry – A European Journal*, *ChemBioChem*, *ChemPhysChem*, *ChemMedChem*, *ChemSusChem* and *ChemCatChem*.

COVER PICTURE

The cover picture shows a unique bird's eye view of the regular park in Strelna – one of the most desolate, yet stunning historical suburbs of St. Petersburg (Russia). Famous European architects of the 18th century, such as C.-B. Rastrelli, A. Le Blanc, G.-B. Chiprianni, P. Michetti, and L. Ruska, participated in the construction of "the Russian Versailles" – this is what the Russian Tsar Peter the Great planned this country residence to be. The northern façade of the Konstantinovsky Palace looks at the hazy and rainy Gulf of Finland. The regular cyclic pattern of the park lanes and shipping canals is reminiscent of the polycyclic structure of carbopyronine dyes decorated with hydrophilic groups. These fluorescent markers are described in the article by V. N. Belov, S. W. Hell et al. on p. 3593ff. The authors report a detailed and strategically sound synthesis of the carbopyronine scaffold with great potential for dye design. Important photophysical properties and some nanoscopic applications of the new red emitting dyes are also described, and interesting future applications (e.g. as caged carbopyronines) are mentioned. Photo: V. N. Belov; the artwork of Mr. H. Sebesse (Max Planck Institute for Biophysical Chemistry, Göttingen, Germany) is acknowledged.



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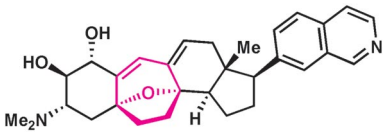
MICROREVIEW

Natural Products

Keywords: Natural products / Total synthesis / Steroidal alkaloids / Cortistatins / Ring expansion / Pericyclic reactions



cortistatin A

The cortistatin family of natural products have captured the attention both of synthetic chemists and of workers interested in understanding and exploiting their potent anti-angiogenic activity. Many synthetic strategies have been devised to build the rearranged steroidal cortistatin core, which has in turn enabled studies probing the origins and mechanism of these compounds' biological activity.

SHORT COMMUNICATIONS

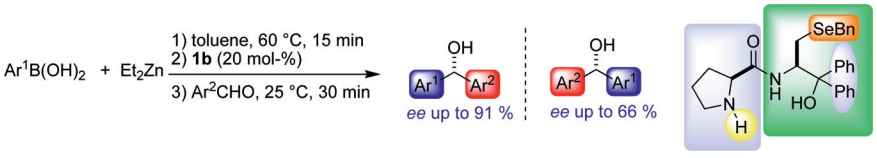
Reaction Monitoring

Keywords: Online monitoring / Microwave chemistry / UV/Vis spectroscopy / Sensors

Fast microwave-enhanced reactions were monitored online by UV/Vis spectroscopy with a sensor in solution. This method is superior to slower methods (e.g. NMR, HPLC, TLC), because it is much faster and can also be performed in concentrated solutions.

Asymmetric Catalysis

Keywords: Asymmetric synthesis / Chalcogen peptides / Arylboronic acids / Diarylmethanols / Organozinc reagents / Selenium



A new class of chiral chalcogen peptide based ligands was prepared and applied in the zinc-catalyzed addition of arylboronic acids to aldehydes. The chiral diarylmethanol products were obtained in excellent yields and with a high level of enantioselectivity up to 91% *ee*.

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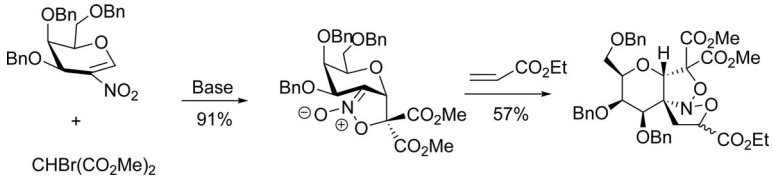
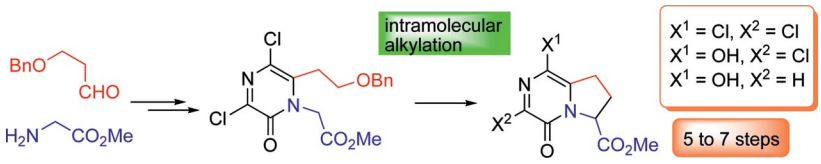
Sugar Isoxazoline *N*-Oxide



Condensation of bromomalonate esters with 2-nitroglycals afforded isoxazoline *N*-oxides in moderate to high yields and with high facial selectivity. Further treatment of the resulting isoxazoline *N*-oxides with dipolarophiles led to the corresponding nitroso acetals.

Keywords: Synthetic methods / 2-Nitroglycal / Isoxazoline *N*-oxide / 1,3-Dipolar cycloaddition

Fused Pyrazinones

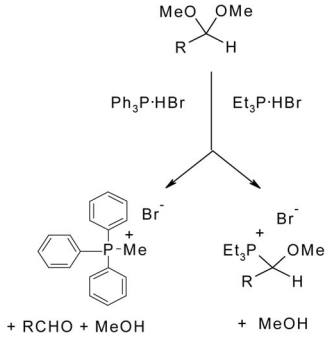


Important pharmaceutical intermediates for the synthesis of constrained fused pyrazinones were efficiently prepared by construction of a five-membered ring by intramolecular alkylation.

Keywords: Alkylation / Heterocycles / Peptidomimetics / Pyrazinones / Serine protease inhibitor

α-Functionalized P-Ylides

***P*-methylate or not *P*-methylate?** The discovery of dichotomous reactivity in the reaction of trialkyl- vs. triphenylphosphane HBr salts with acetals allows entry to functionalized α-methoxy phosphonium salts and a novel process for tertiary phosphane methylation. The new protocol opens a general entry to the synthesis of vinyl ethers and differentially substituted 1,3-dienes.

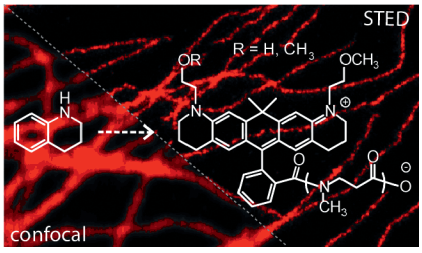


Keywords: Alkenes / Wittig reactions / Enol ethers / Acetals

FULL PAPERS

Fluorescent Dyes

A general route leading to fluorescent carbopyronines with variable functional groups is presented. The dyes absorb at 640 nm and emit at 660 nm, with a low intersystem crossing rate and possess excellent cellular imaging properties. Stimulated emission depletion provides nanoscopic images.



Keywords: Fluorescence / Chromophores / Carbocycles / Fluorescent probes / Fluorescence spectroscopy

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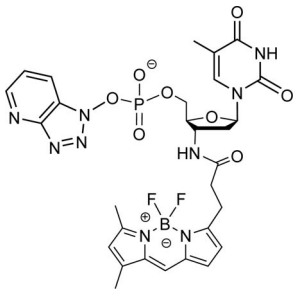
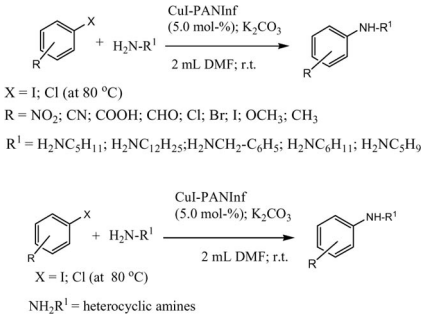
Labeled Nucleotides



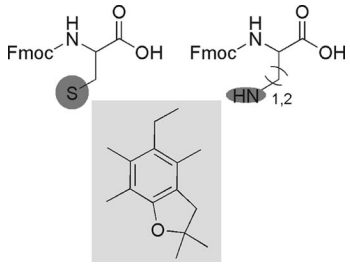
A synthesis of 3′-BODIPY-labeled active esters of nucleoside-5′-monophosphates was developed, and their template-directed incorporation was demonstrated in chemical primer extension assays performed on beads.

Supported Catalysts



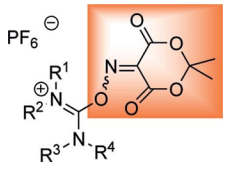
Polyaniline nanofiber as a macroligand for the supported cuprous iodide catalyst (CuI-PANInf) has been developed for the coupling of aryl halides with aliphatic, aromatic, and N(H)-heterocyclic amines under ambient conditions. This simple and efficient method is highly versatile, convenient and also the catalyst can be used for several cycles with good-to-excellent yields.

Cys/Asn/Gln Side-Chain Protection



The benzyl derivative of the Pbf group has been proposed for the protection of the side chains of Cys/Asn/Gln. In the three cases, the new protecting group (Pbfm) can easily be removed during the cleavage and global deprotection step. Furthermore, when Cys is protected with the Pbfm group, it can be removed by oxidative treatment, thereby directly rendering the disulfide bridge on the solid phase.

Peptide Coupling Reagents



A new family of uronium salts (HTMU, HMMU, and HDmPyMU) has been successfully synthesized from the sodium salt of isonitroso Meldrum's acid (HONM). The dimethylmorpholino analogue HMMU especially shows promising results in reducing racemization and enhancing coupling extension with poor nucleophiles.

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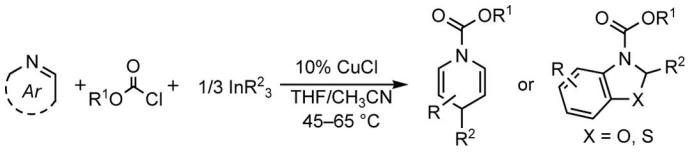
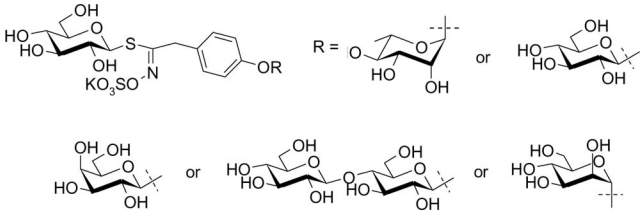
Direct Heterocycle Functionalization



A copper-catalyzed, multicomponent method to directly derivatize pyridine and other nitrogen-containing heterocycles (e.g. benzoxazoles, benzothiazoles, phthalazines) is described. This provides a mild and one-step approach to couple heterocycles with organoindium reagents without initial pre-derivatization of the ring or the use of strong nucleophiles.

Keywords: Nitrogen heterocycles / Organoindium reagents / Copper / Multicomponent reactions / Pyridinium salts

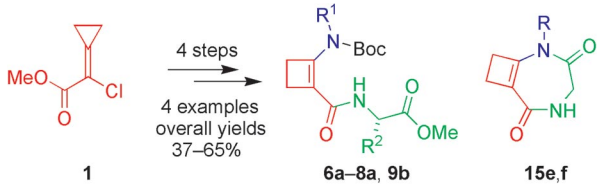
Carbohydrate Chemistry



The synthesis of the major glucosinolate of *Moringa oleifera* and of other non-natural *O*-glycosylated derivatives of glucosinalbin is reported. The synthetic sequence applied, which involves the conversion of carbohydrate-based nitrostyrenes into the key thiohydroximates, appears to be sufficiently versatile to synthesize a range of glucosinolates bearing a glycosylated phenolic function.

Keywords: Natural products / Carbohydrates / Glycosides / Hydroximates

Non-Natural β-Amino Acids

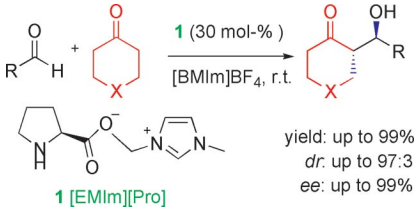


Methyl 2-chloro-2-cyclopropylideneacetate (**1**) is easily converted, in four steps each, into acyclic (**6**, **8**, **9**) as well as cyclic dipeptides **15** containing a cyclobutene-derived dehydro-β-amino acid.

Keywords: Cyclopropanes / Amino acids / Cyclobutenes / Peptidomimetics / Molecular diversity

Asymmetric Catalysis

The first chiral anion modified ionic liquid catalyzed direct asymmetric aldol reaction has been developed. Moderate to good isolated yields, high *anti*-diastereoselectivities, and excellent enantioselectivities were afforded. The reaction was also carried out in an ionic liquid, and the system could be reused four times.



Keywords: Asymmetric synthesis / Ionic liquids / Organocatalysis / Aldol reactions / Chirality

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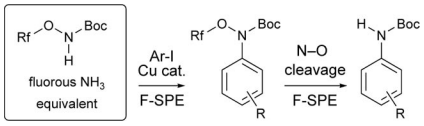
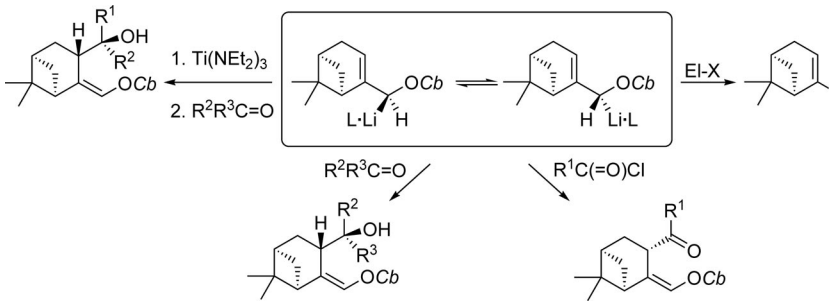
Amination of Aryl Iodides

An ammonia equivalent for the amination of aryl iodides using a Cu catalyst is described. Purification of the products is greatly simplified through the use of a fluorous tag attached to a N−O linker. The linker is subsequently cleaved off under mild conditions. Sixteen different anilines were obtained in high yields and purities.



Keywords: Amination / Ammonia equivalent / Fluorous synthesis / N−O linker

Chiral Homoenolate Reagents

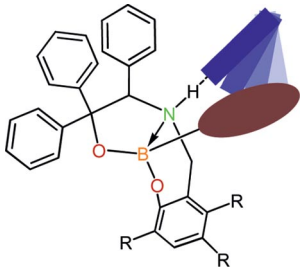


Enantiopure myrtenyl *N*,*N*-diisopropylcarbamate is lithiated in the presence of achiral or chiral diamines. Analysis of the stereochemistry of the substitution products and of the homoallylic alcohols obtained after reaction with carbonyl compounds (with or without transmetallation to titanium) allows conclusions regarding the dynamic diastereomeric resolution of the reaction to be drawn.

Keywords: Enantioselectivity / Diastereoselectivity / Lithiation / Aldol reactions / Kinetic resolution / Lithium/titanium exchange

Liquid Crystals

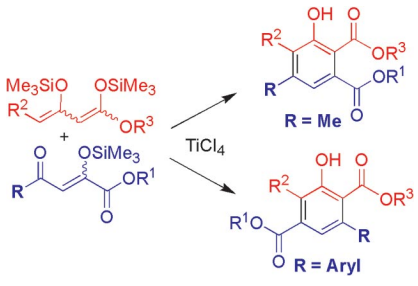
Induced helicity results upon doping nematic mesophases with novel boronate−amine complexes that feature configurationally stable stereogenic boron and nitrogen centers. π-Stacking and hydrogen bonding, as indicated by NMR spectroscopy, offer a rationale for the efficient twisting of the nematic compounds and the sign of the induced helix.



Keywords: Chirality / Crystal structures / NMR spectroscopy / Optical properties / Supramolecular chemistry

[3+3] Cyclocondensations

[3+3] Cyclocondensations of 1,3-bis(trimethylsilyloxy)-1,3-butadienes with ester-substituted 3-ethoxy- and 3-silyloxy-2-en-1-ones provide a regioselective approach to 3-hydroxyphthalates and 2-hydroxyterephthalates.



Keywords: Arenes / Cyclization / Regioselectivity / Silicon / Enols

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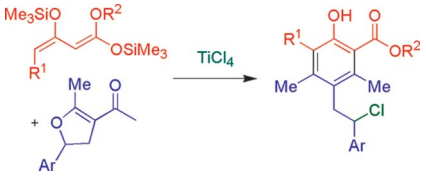
[3+3] Cyclocondensation Reactions

Domino [3+3] Annulation/Ring-Cleavage Reactions of 1,3-Bis(trimethylsilyloxy)-1,3-butadienes with 5-Aryl- and 5-Vinyl-3-acyl-4,5-dihydrofurans: Efficient Synthesis of 5-(4-Chlorobut-2-en-1-yl)- and 5-(2-Aryl-2-chloroethyl)salicylates

Keywords: Arenes / Regioselectivity / Annulation / Ring cleavage / Silyl enol ethers

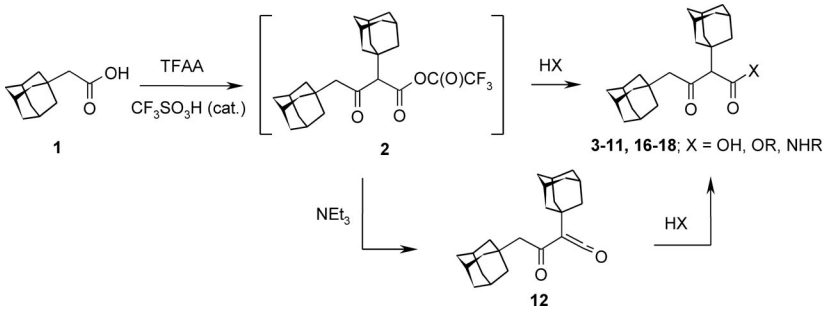


The domno "[3+3] cyclization–ring-opening" reactions of 1,3-bis(trimethylsilyloxy)-1,3-butadienes with 3-acetyl-5-vinyl- and 3-acetyl-5-aryl-4,5-dihydrofurans give 5-(4-halobut-2-en-1-yl)- and 5-(2-aryl-2-chloroethyl)salicylates, respectively.

β-Keto Acid Chemistry

Self-Acylation of 1-Adamantylacetic Acid in Trifluoroacetic Anhydride Medium: A Route to 2,4-Bis(1-adamantyl)acetoacetic Acid and Its Derivatives

Keywords: Acylation / Aldol reactions / Carboxylic acids / Perfluorinated solvents / Synthetic methods



2,4-Bis(1-adamantyl)acetoacetic acid, its ester and amide derivatives, and the stable 1-adamantyl-1-(1-adamantylacetyl)ketene were obtained through self-acylation of 1-adamantylacetic acid (**1**) in trifluoroacetic anhydride/CF_3SO_3H following treatment of mixed anhydride **2** with different nucleophilic reagents.

* 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 18 were published online on June 8, 2010

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