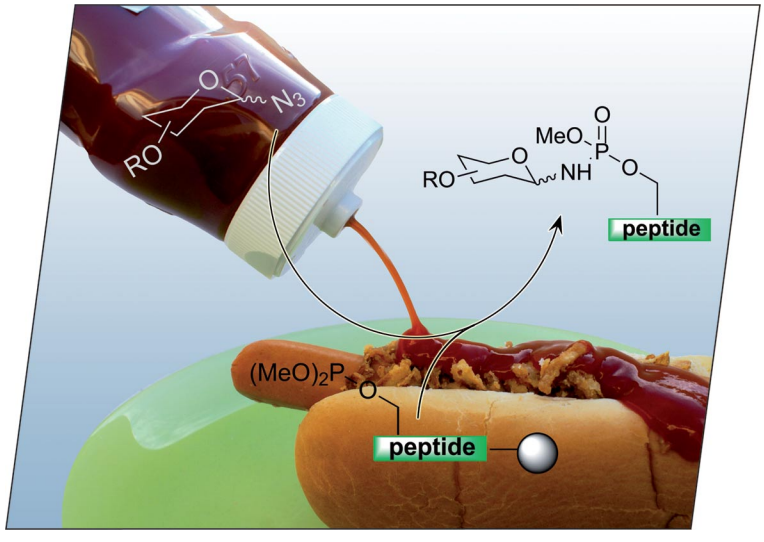
COVER PICTURE

The cover picture shows the synthesis of a novel class of carbohydrate–peptide conjugates, which proceeds by a Staudinger-phosphite reaction of glycosyl azides with phosphitylated peptides. The synthetic protocol is easy to perform, as the carbohydrate has to be added to the solid-supported peptide before the resulting glycoconjugate is cleaved from the resin by TFA treatment. The obtained phosphoramidate-linked glycopeptides derived from the dimethyl phosphitylated peptides are stable under acidic and physiological conditions. Details are discussed in the article by C. P. R. Hackenberger et al. on p. 5004ff. The authors thank Nico Zapke and the "cowema.com medienlabor" for their contribution in designing the cover picture.



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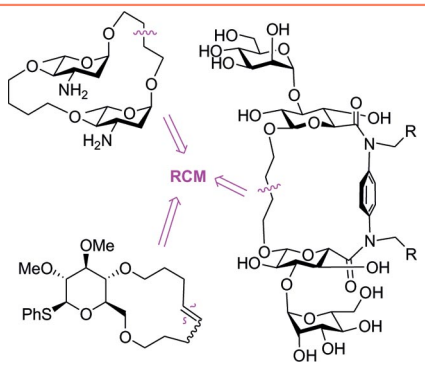
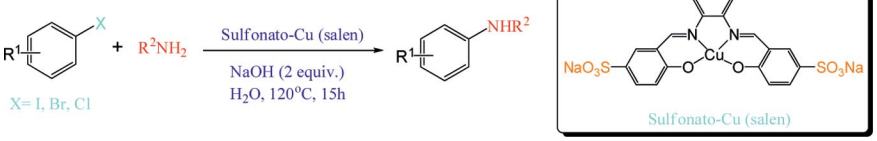
MICROREVIEW

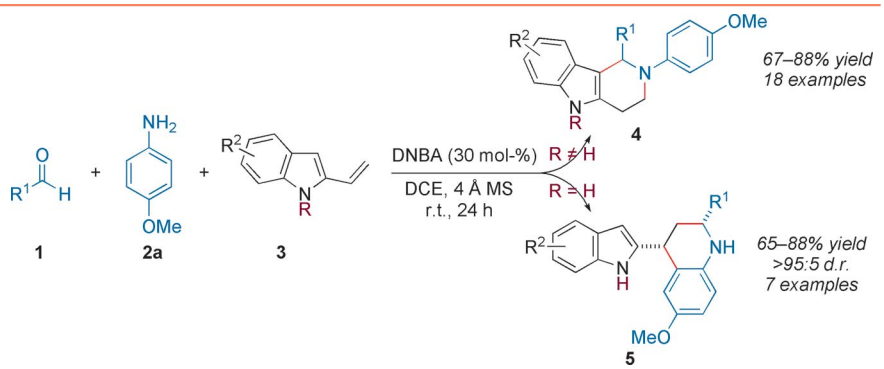


Macrocycles with embedded carbohydrates have been prepared by metathesis. This strategy has led to synthesis of ipomoeassins natural products, of new bioactive compounds that target RNA and inhibitors of carbohydrate-protein interactions at cell surfaces. Macrocycles with potential in host-guest chemistry and as antiinfective agents and as vaccines have also been prepared.

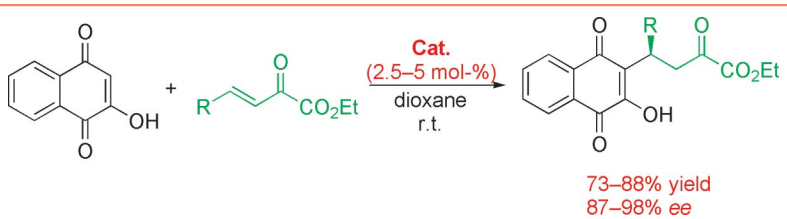
SHORT COMMUNICATIONS



A protocol of water-soluble sulfonato–Cu(salen) complex catalyzed *N*-arylation of simple aliphatic amines, amino alcohols and amino acids in water has been developed. A variety of substituted aryl iodides, bromides and electron-deficient chlorides were found to be applicable, and 1,2-disubstituted benzimidazoles could be prepared conveniently by a cascade amination/condensation process in this catalytic system.



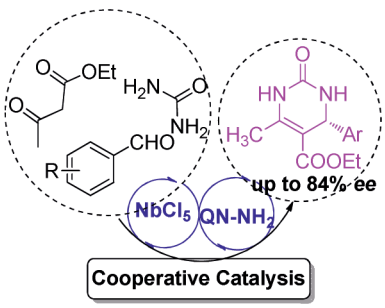
An efficient, one-pot, multicomponent reaction of aldehydes **1**, *p*-methoxyaniline (**2a**), and 2-vinylindoles **3** was developed. The chemo- and regioselectivity of the reaction can be tuned by changing the substituents on the indole component. This method offers a practical approach to obtain synthetically and biologically important tetrahydro-γ-carboline and tetrahydroquinoline derivatives.



Both bidentate bite: An organocatalytic enantioselective Michael addition of 2-hydroxy-1,4-naphthoquinone to β,γ-unsaturated α-oxo esters has been developed, which was promoted by bifunctional chiral-amine derived squaramides according to a hydrogen-bonding mediated activation mechanism and afforded the chiral adducts in high yields (up to 88%) and excellent enantioselectivity (up to 98% *ee*) under mild conditions.

Cooperative Catalysis

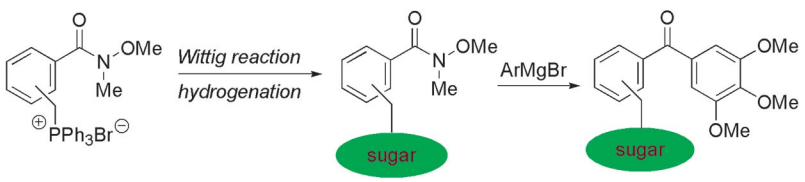
A novel cooperative Lewis acid/primary amine catalyst system, $NbCl_5$/QN-NH_2, was found to be an effective promoter in the asymmetric Biginelli reaction. Good enantioselectivities (up to 84%*ee*) were obtained in this reaction.



Keywords: Biginelli reaction / Cooperative catalysis / Lewis acids / Organocatalysis / Asymmetric synthesis

FULL PAPERS

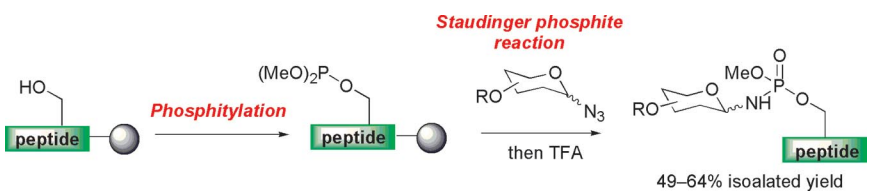
Novel Phenstatin Analogues



Three new bifunctional building blocks containing a Weinreb amide functionality and capable of performing C–C bond formation through Wittig reaction have been developed. These have enabled convenient access to novel analogues of phenstatin and a general route to the synthesis of diaryl ketones.

Keywords: Weinreb amides / Diaryl ketones / Wittig reactions / Natural products / Carbohydrates

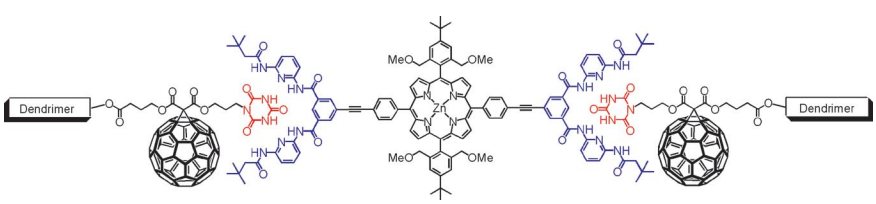
Glycoconjugate Synthesis



A Staudinger phosphite reaction is employed for the acquisition of phosphoramidate-linked glycopeptide mimetics. The key steps in this transformation, the synthesis of phosphitylated peptides as well as their reaction with glycosyl azides, are performed on solid support, which provides easy access to the carbohydrate–peptide conjugates.

Keywords: Glycopeptides / Amino acids / Carbohydrates / Solid-phase synthesis / Azides

Self-Assembling Nanohybrids



The self-assembly and the photophysical properties of several fullerene–porphyrin nanohybrids are presented in this study. These supramolecular nanomolecules are organized by the Hamilton receptor cyanuric acid binding motif and exhibit, besides strong binding constants, unique cooperativities. Furthermore, all hybrids feature interesting electron donor–acceptor interactions.

Keywords: Dendrimers / Fullerenes / Porphyrinoids / Hydrogen bonds / Self-assembly / Cooperative effects

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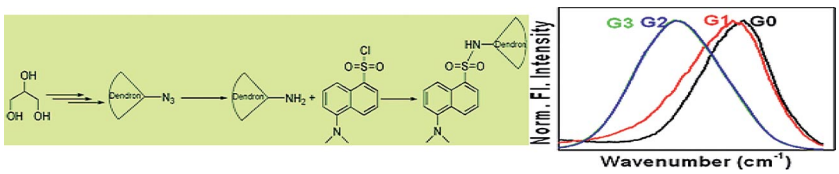
Aggregation

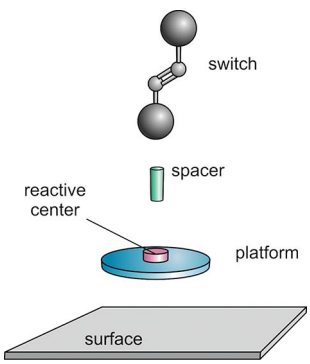
Keywords: Supramolecular chemistry / Aggregation / Dendrimers / Amphiphiles



Synthesis of glycerol-based amphiphilic polyether dendrons with a dansyl moiety at the focal point up to third generations is presented. Detailed fluorescence studies revealed the aggregation of isopropylidene protected dendrons from the second generation onwards even at a very low concentration (1×10^{-8} M).

Molecules for Switchable Monolayers

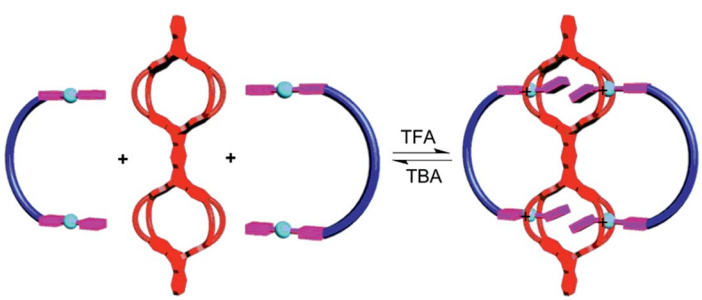
Keywords: Monolayers / Photoswitching / Self-assembly / Molecular electronics / Triazatriangulenes / Azobenzenes



The synthesis of functionalized triazatriangulenes is presented and the *trans*/*cis* isomerization of azobenzene derivatives in solution is investigated. The described attachment of various molecular functions to the TATA platform represents a modular system for the formation of switchable SAMs.

Molecular Handcuffs

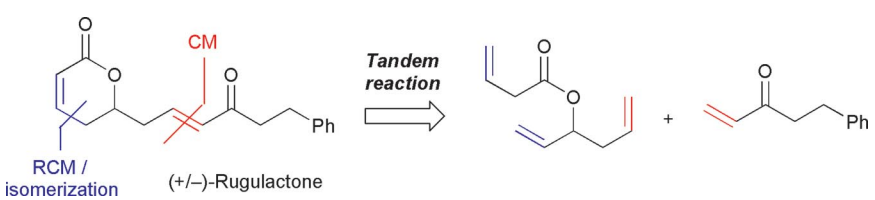
Keywords: Self-assembly / Structure elucidation / Host–guest systems / Macrocycles



A novel triptycene-derived bis-macrotricyclic host was synthesized, and it was shown to form a 1:4 stable complex with 4 equiv. of dibenzylammonium salt in solution and in the solid state. Moreover, an acid–base switchable molecular handcuff was constructed by using the host and 2 equiv. of bis-secondary ammonium salts.

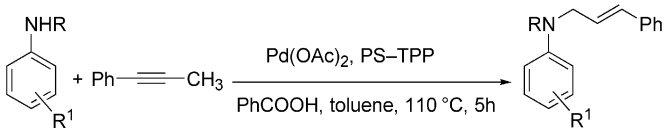
Total Synthesis

Keywords: Metathesis / Oxygen heterocycles / Isomerization / Regioselectivity / Cyclization



The regioselective formation of unsaturated pyrones was that includes tandem ring closing/cross metathesis as the key step. This procedure was applied to the four-step synthesis of *rac*-rugulactone starting from readily available starting materials.

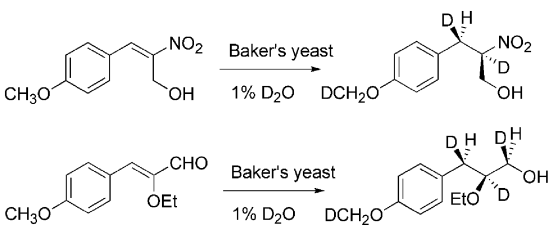
Allylic Amination Reaction



An efficient protocol was developed for the synthesis of allylic amines through allylic amination of internal alkynes by using polymer-supported triphenylphosphane–palladium complex as a heterogeneous recyclable catalyst. The catalyst is widely applicable for the allylation of aromatic and aliphatic amines

Keywords: Palladium / Alkynes / Amination / Supported catalysts / Allylic compounds

Enzymatic C=C Reduction

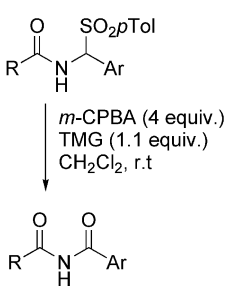


Baker's yeast transformations were performed in the presence of deuterated water, and the stereochemistry of the double bond reduction was investigated by deuterium NMR spectroscopy.

Keywords: Isotopic labelling / Enzyme catalysis / Reduction

Synthesis of Imides

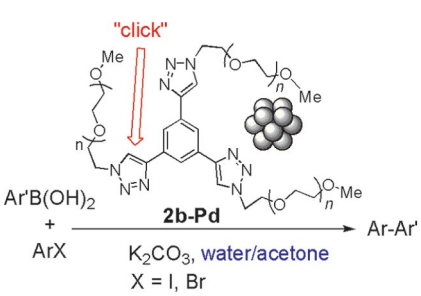
Imides can be readily obtained by direct oxidation of α-amidoaryl sulfones by using *m*-CPBA at room temperature. Alkyl, aryl, *p*-tolylsulfonyl, and alkoxycarbonyl groups can be included in the amido portion of the substrates. Electron-withdrawing groups in the arene unit usually provide better results in the oxidation. An *N*-acyliminium ion is believed to be formed as an intermediate in this process.



Keywords: Amides / Arenes / Imides / Oxidation / Sulfur

Aqueous Chemistry

Incorporation of three PEG chains into a nanoparticle stabilizer was achieved by click coupling. The Pd nanoparticles were water-soluble, underwent Suzuki cross-coupling in a water/acetone mixture, and could be recycled several times. A range of functionalized aryl bromides and boronic acids also underwent efficient coupling.



Keywords: Cross-coupling / Homogeneous catalysis / Nanoparticles / Palladium / Suzuki reaction

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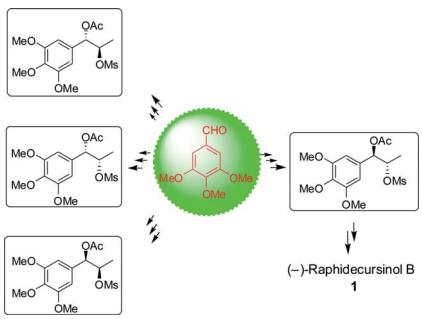
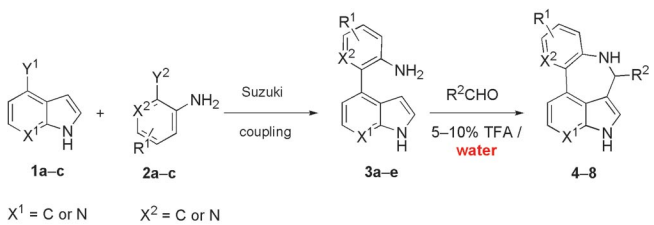
Natural Products



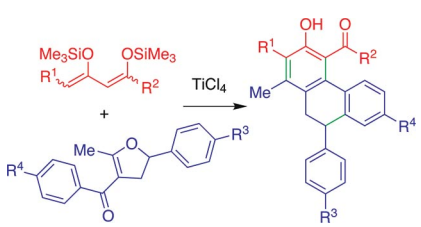
An efficient enantioselective formal total synthesis of the antimalarial natural product (−)-raphidecursinol B along with its all stereoisomers is described, starting from commercially available 3,4,5-trimethoxybenzaldehyde.

Heterocyclic Chemistry



An efficient synthesis of indolo-benzazepine and its derivatives through water-accelerated cationic π-cyclisation is described. The strategy involved condensation of arylamine moieties linked to C-4 of the indole/azaindole with arylaldehydes in water containing catalytic amounts of Brønsted acids. In water the reactions were complete in 10–30 min, whereas in organic solvents 10–12 h were required.

[3+3] Cyclocondensations



A variety of functionalized 9,10-dihydrophenanthrenes were prepared by reaction of 1,3-bis(trimethylsilyloxy)-1,3-butadienes with 3-benzoyl-5-aryl-4,5-dihydrofurans. These reactions proceed by a novel domino [3+3] cyclization/ring-opening/Friedel–Crafts alkylation process.

CORRECTION

* 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 25 were published online on August 23, 2010

