





















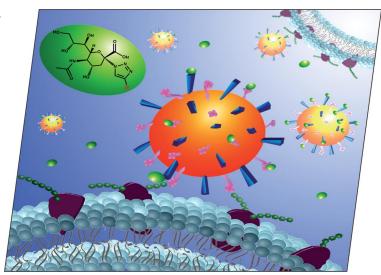






COVER PICTURE

The cover picture shows the binding of an influenza virus to the surface of a host cell. The hemaglutinin (blue spikes) binds to the sialic acid (green hexagons) residues present on the non-reducing end of the surface glycoprotein to gain entry into the cell. Once the cell is infected, the viral neuraminidases (pink pinwheels) cleave the sialic acids to escape. The 1,2,3-triazole-linked sialic acid derivatives (green spheres) were designed to act as nonhydrolyzable inhibitors to block the virus. Details are discussed in the article by R. J. Linhardt et al. on p. 2611 ff.



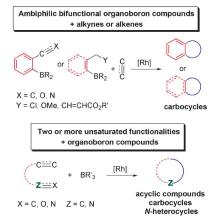
MICROREVIEW

Tandem Transformations

S. W. Youn* 2597-2605

Rhodium-Catalyzed Tandem Transformations with Organoboron Reagents: Sequential Multiple C-C Bond Formations

Keywords: Rhodium / Domino reactions / C-C coupling / Carbocycles / Heterocycles



This review provides an overview of recent developments of rhodium(I)-catalyzed tandem transformations with organoborons involving the formation of multiple carbon-carbon bonds. These processes are powerful and straightforward methods for the synthesis of complex molecules including both acyclic and cyclic compounds.

SHORT COMMUNICATION

Asymmetric Oxidation

Y. Wu, J. Liu, X. Li,* A. S. C. Chan 2607-2610

Vanadium-Catalyzed Asymmetric Oxidation of Sulfides Using Schiff Base Ligands Derived from β-Amino Alcohols with Two Stereogenic Centers

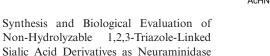
> Keywords: Asymmetric catalysis / Vanadium / Schiff bases / Oxidation / Sulfur

Vanadium-catalyzed asymmetric oxidation of sulfides by using Schiff base ligands derived from \(\beta\)-amino alcohols with two stereogenic centers were studied. A variety of sulfides were smoothly converted into the corresponding sulfoxides with good yields (>80%) and excellent enantioselectivities (>99%ee) in most cases.

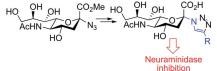
FULL PAPERS

"Clickable" Sialic Acids

M. Weïwer, C.-C. Chen, M. M. Kemp, R. J. Linhardt* 2611-2620



Keywords: Sialic acids / Cycloaddition / N-Glycosides / Inhibitors / Viruses

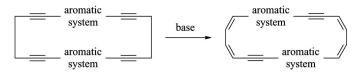


"Click chemistry" was used to synthesize non-natural N-glycosides of sialic acid by using α-sialic acid azide as a substrate to prepare a library of 1,2,3-triazole derivatives. These derivatives were screened for their neuraminidase inhibitory activity.

Inhibitors



3D Annulenes



Layered organic molecules containing a 1,5-hexadiyne unit can be isomerized to three-dimensional annulenes. According to

ACID calculations and X-ray structural analysis these are not Möbius systems.

Transferring Sondheimer's Annulene Chemistry into Three-Dimensional Space

Keywords: Annulene chemistry / Isomerization / Cyclophanes / Nonplanar aromatics / Möbius systems

Multicomponent Reactions

The multicomponent reaction of indole, ethyl glyoxylate and 3,4-dimethoxy- or 3,4-methylenedioxyanilines gives, in analogy to Friedel—Crafts alkylation of indole, expected products 4a,b. In the presence of

scandium triflate as a catalyst, the aza-Diels—Alder pathway is followed to give adducts **7a**,**b** and **8a**,**b**. The position of the methoxy groups is critical for the reaction pathway.

Multicomponent Reactions of Indole, Ethyl Glyoxylate and Anilines: From Friedel-Crafts to Aza-Diels-Alder Reactions Catalysed by Scandium Triflate

Keywords: Multicomponent reactions / Cycloaddition / Rearrangement / Fusedring systems / Scandium

Cyclopropane Chemistry

$$\begin{array}{c} Ar \\ NO_2 \\ NO_2$$

Bicyclic sultams with methyl 1-sulfonylcy-clopropane-1-carboxylate moieties can be assembled from *N*-alkenylanilines and methyl (chlorosulfonyl)acetate by way of bromine addition to the double bond and

intramolecular cyclodialkylation. The 2-nitrophenylsulfonyl group serves as a protective as well as an activating group in the preparation of the *N*-allyl- and *N*-homoallylanilines.

Facile Access to Bicyclic Sultams with Methyl 1-Sulfonylcyclopropane-1-carboxylate Moieties

Keywords: *N*-Alkenylanilines / Intramolecular cyclodialkylation / Cyclopropanes / Oxidative deprotection

Pd-Catalysed Cross-Coupling

$$R^{1}, R^{2}, R^{3}, R^{4}, R^{5}, R^{6} = H \text{ or } OH$$

A versatile synthesis of hydroxylated 2,3diarylxanthones based on Pd-catalysed cross-coupling reactions between 2-bromochromones and styrenes is described.

Efficient Syntheses of New Polyhydroxylated 2,3-Diaryl-9*H*-xanthen-9-ones

Keywords: Xanthones / Alcohols / Oxygen heterocycles / Heck reaction / NMR spectroscopy

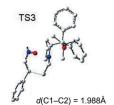
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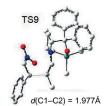
Asymmetric Michael Reaction

J.-Q. Zhao, L.-H. Gan* 2661-2665

Transition States of the Asymmetric Michael Reactions of Aldehydes Catalyzed by Trimethylsilyl-Protected Diphenylprolinol

Keywords: Density functional calculations / Organocatalysis / Transition states / Asymmetric synthesis / Enantioselectivity





DFT study on the Michael reaction catalyzed by TMS-protected diphenylprolinol demonstrates that for acetaldehyde and propanal, there are four and eight different reaction pathways and the favored products are formed via TS3 and TS9, respectively. The calculated *ee* values are in good agreement with the experimental ones. The intermediates play an important role in the reactions.

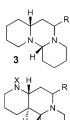
Alkaloid Synthesis

A. Rouchaud,

J.-C. Braekman* 2666-2674

Synthesis of New Analogues of the Tetraponerines

Keywords: *Tetraponera* / Tetraponerine analogues / Alkaloids / Structure—activity relationships / Nitrogen heterocycles



Effective syntheses of 6-6-6 (3) and iso-6-6-6 (4) analogues of the tetraponerines, major constituents of the contact poison produced by the ant *Tetraponera* sp., have been developed, and their cytotoxic activities against HT29 cancer cells have been evaluated.

Photosensitiser Dyes

O. M. New, D. Dolphin*...... 2675-2686



Design and Synthesis of Novel Phenothiazinium Photosensitiser Derivatives

Keywords: Azure B / Amination / Phenothiazine dye / Cell-penetrating peptide / Dye-peptide conjugate / Electronic spectra / Photodynamic therapy



Phenothiazinium compounds may exhibit absorption maxima in the 600-660 nm region of the spectrum. When covalently linked to peptides a resultant peptide-photosensitizer vector with probable application in PDT may be isolated.

Modular Domino Transformations

Z. Elkhayat, I. Safir, M. Aquino,

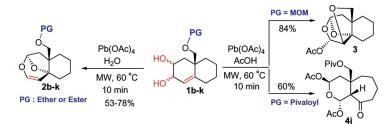
M. Perez, Z. Gandara, P. Retailleau,

S. Arseniyadis*...... 2687-2694



Microwave-Assisted Competing Domino Processes in the Octaline Diol Series

Keywords: Domino reactions / Lead / Diols / Microwave chemistry



With the appropriate choice of solvent and angular substituent, bicyclic unsaturated diols of type 1 can lead to domino products

of types 2 (the interrupted cascade), 3 (ring-retained) or 4 (ring-expanded).



Spiroacetal Enol Ethers

Unsat ethylene glycoldimethyl ether/H₂O, ZnCl₂,
$$\Delta$$

OH

OH

OH

 $X = CH_2$, O; $n = 0, 1$

Two acid-catalyzed conversions of furandiols and spiroacetal enol ethers into oxabicyclic cyclopentenones in good to excellent yields are described. Experimental results demonstrate that these conversions might undergo aldol condensation instead of electrocyclization of a 4π -electron system reported.

Novel Conversions of Furandiols and Spiroacetal Enol Ethers into Cyclopentenones: Implications of the Isomerization Mechanism of 2-Furylcarbinols into Cyclopentenones

Keywords: Spiro compounds / Enols / Carbocycles / Isomerization

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

If not otherwise indicated in the article, papers in issue 15 were published online on May 5, 2009

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