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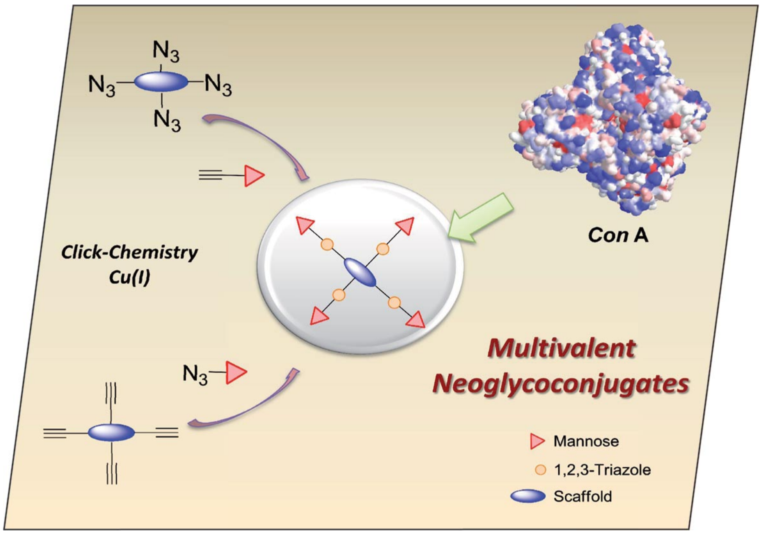
A union formed by chemical societies in Europe (ChemPubSoc Europe) has taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry*. Three further members of ChemPubSoc Europe (Austria, Czech Republic and Sweden) are Associates of the two journals.

COVER PICTURE

The cover picture shows two copper(I) click-based strategies for accessing multivalent neoglycoconjugates by the coupling of complementary functionalized scaffolds and sugar derivatives. The methodology allows the easy preparation of structurally diverse homogeneous neoglycoconjugates from which the influence of the structural parameters in the binding affinities toward *Concanavalin A* could be evaluated. Details are discussed in the article by F. Santoyo-Gonzalez et al. on page 2441ff.



CONTENTS

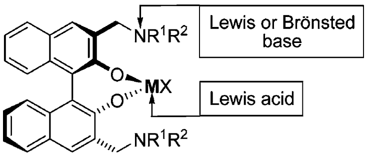
MICROREVIEWS

Binolams

C. Nájera, J. M. Sansano,*
J. M. Saá 2385–2400

Bifunctional Binols: Chiral 3,3′-Bis(aminomethyl)-1,1′-bi-2-naphthols (Binolams) in Asymmetric Catalysis

Keywords: Asymmetric catalysis / Binolams / Organocatalysis / Ligand design / Chiral complexes / Bifunctional catalysts



Binolam-MX complex

3,3′-Bis(dialkylaminomethyl)-1,1′-binaphth-2-ols act both as organocatalysts and as chiral ligands for many metal-catalyzed processes. Their most valuable feature is their capacity to activate both nucleophiles and electrophiles. Transformations carried out with these bifunctional catalysts include cyanation of aldehydes and ketones and enantioselective nucleophilic addition to C=O or C=N bonds.

Aza-Henry Reactions

E. Marqués-López, P. Merino,* T. Tejero,
R. P. Herrera* 2401–2420

Catalytic Enantioselective Aza-Henry Reactions

Keywords: Asymmetric catalysis / Nucleophilic addition / Enantioselectivity / Aza-Henry reactions / Nitro-Mannich reactions

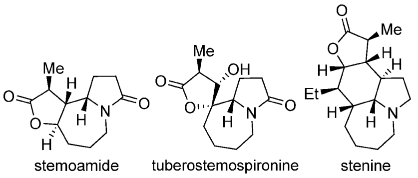
In recent years, catalytic asymmetric aza-Henry reactions have been increasingly reported, and excellent results in terms of diastereo- and enantioselectivity have been achieved. A variety of metal-based and organic catalysts have been developed, allowing the scope of substrates used to be widened. This review covers recent achievements relating to the catalytic asymmetric aza-Henry reaction.

Stemona Alkaloid Synthesis

R. Alibés, M. Figueredo* 2421–2435

Strategies for the Synthesis of *Stemona* Alkaloids

Keywords: *Stemona* alkaloids / Natural products / Synthesis design / Synthetic methods / Alkaloids



This microreview examines successful synthetic approaches to *Stemona* alkaloids belonging to the stemoamide, tuberostemospironine, and stenine groups, according to the strategies devised to assemble their intricate structures.

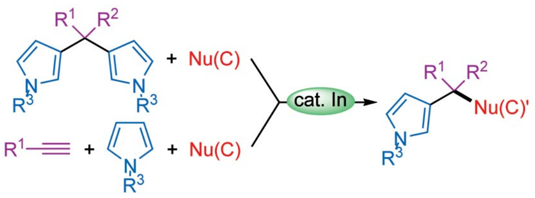
SHORT COMMUNICATION

Indium Catalysis

T. Tsuchimoto,* T. Ainoya,
K. Aoki, T. Wagatsuma,
E. Shirakawa* 2437–2440

Synthesis of Methanes Having Four Different Carbon Substituents Utilizing Indium-Catalyzed Cleavage of Carbon–Pyrrolyl Bonds

Keywords: Indium / C–C activation / Nucleophilic substitution / Alkynes / Heterocycles



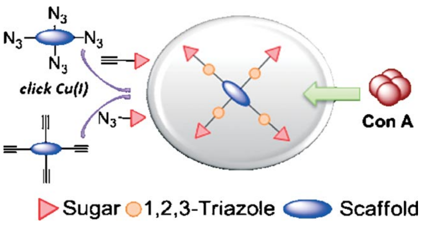
Methanes having four different carbon substituents, one of which is a β-pyrrolyl group, have been successfully prepared by the reaction of β,β′-dipyrrolylalkanes with carbon nucleophiles in the presence of an indium catalyst. The reaction can be performed also as a three-component assembly of alkynes, pyrroles, and carbon nucleophiles in an easy manner.

EurJOC
European Journal of Organic Chemistry

FULL PAPERS

Click Chemistry

Structurally diverse multivalent neoglycoconjugates containing mannose (α-Man) residues were synthesized by two different click-chemistry based strategies and their binding affinities toward Con A were evaluated.



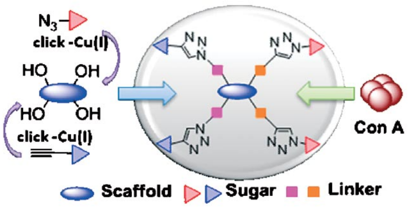
F. Perez-Balderas, J. Morales-Sanfrutos, F. Hernandez-Mateo, J. Isac-García, F. Santoyo-Gonzalez* 2441–2453

Click Multivalent Homogeneous Neoglycoconjugates – Synthesis and Evaluation of Their Binding Affinities

Keywords: Carbohydrates / Glycoconjugates / Multivalency / Cycloaddition / Click chemistry / Ligand design

Click Chemistry

Multivalent neoglycoconjugates containing two different sugars were synthesized by a modular strategy based in the Cu^I-catalyzed azide-alkyne cycloaddition reaction and their binding affinities toward Concanavalin A were evaluated.

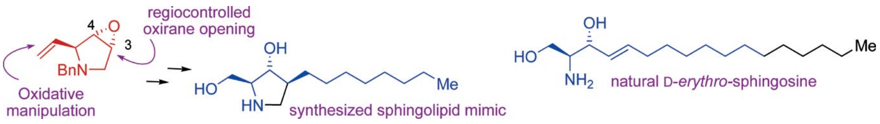


M. Ortega-Muñoz, F. Perez-Balderas, J. Morales-Sanfrutos, F. Hernandez-Mateo, J. Isac-García, F. Santoyo-Gonzalez* 2454–2473

Click Multivalent Heterogeneous Neoglycoconjugates – Modular Synthesis and Evaluation of Their Binding Affinities

Keywords: Carbohydrates / Glycoconjugates / Multivalency / Cycloaddition / Click chemistry / Ligand design

Sphingolipid Mimics



The generalization to various nucleophiles of the regioselective oxirane ring-opening reaction of a key epoxypyrrolidine has paved the way for the preparation of five-membered-ring imino-sugar-based sphingolipid mimics that display strong cytotoxicity and interfere with the sphingolipid metabolism in murine melanoma cells.

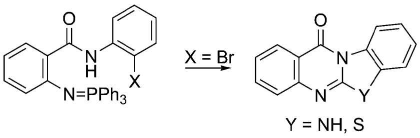
A. Rives, Y. Génisson,* V. Faugeroux, C. Zedde, C. Lepetit, R. Chauvin, N. Saffon, N. Andrieu-Abadie, S. Colié, T. Levade, M. Baltas 2474–2489

Highly Regioselective Oxirane Ring-Opening of a Versatile Epoxypyrrolidine Precursor of New Imino-Sugar-Based Sphingolipid Mimics

Keywords: Asymmetric synthesis / Regioselectivity / Density functional calculations / Inhibitors / Sphingolipids

Polycyclic Quinazolinones

Aza-Wittig reactions of iminophosphoranes obtained from *N*-aryl-substituted *o*-azidobenzamides with isocyanates or CS_2 and subsequent Cu^I-catalysed heteroarylation provided fused quinazolinones.



J. A. Bleda, P. M. Fresneda,* R. Orenes, P. Molina* 2490–2504

Preparation of Fused Tetracyclic Quinazolinones by Combinations of Aza-Wittig Methodologies and Cu^I-Catalysed Heteroarylation Processes

Keywords: Iminophosphoranes / Wittig reactions / Heteroarylation / Fused quinazolines / Nitrogen heterocycles / Sulfur heterocycles

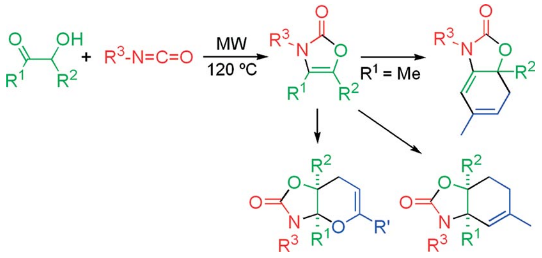
CONTENTS

Cascade Processes

B. M. Santoyo, C. González-Romero, O. Merino, R. Martínez-Palou, A. Fuentes-Benites, H. A. Jiménez-Vázquez, F. Delgado, J. Tamariz* 2505–2518

A Single-Step Synthesis of 4-Oxazolin-2-ones and Their Use in the Construction of Polycyclic Structures Bearing Quaternary Stereocenters

Keywords: Heterocycles / Microwaves reactions / Quaternary stereocenters / Michael addition / Domino reactions



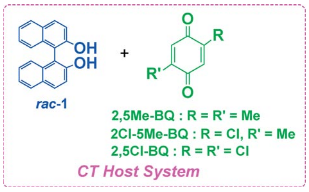
Two methods for the one-step synthesis of *N*-substituted 4-oxazolin-2-ones in high yields under thermal and MW irradiation conditions are described. These molecules are reactive and regioselective nucleophiles with Michael acceptors and prenyl bromide, providing a variety of bicyclic molecules with quaternary stereocenters.

CT Host System

Y. Imai,* K. Kamon, T. Kinuta, T. Sato, N. Tajima, R. Kuroda, Y. Matsubara* 2519–2525

Colored Supramolecular Host System Using a Charge-Transfer Complex Composed of 1,1′-Bi-2-naphthol and 2,5-Substituted 1,4-Benzoquinone

Keywords: Charge transfer / Host-guest systems / Molecular recognition / Quinones



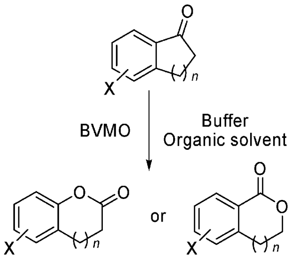
A colored charge-transfer (CT) host system composed of *rac*-1,1′-bi-2-naphthol and 2,5-substituted 1,4-benzoquinone was developed. This CT host system can selectively include aromatic molecules as guests by tuning the packing of donor and acceptor molecules. Characteristically, the color and diffuse reflectance spectra (DRS) of this inclusion CT complex can be tuned by changing the type of the component 2,5-substituted 1,4-benzoquinone.

Enzymatic Catalysis

A. Rioz-Martínez, G. de Gonzalo, D. E. Torres Pazmiño, M. W. Fraaije, V. Gotor* 2526–2532

Enzymatic Baeyer–Villiger Oxidation of Benzo-Fused Ketones: Formation of Regiocomplementary Lactones

Keywords: Bioorganic chemistry / Oxidoreductases / Oxidation / Lactones / Regioselectivity



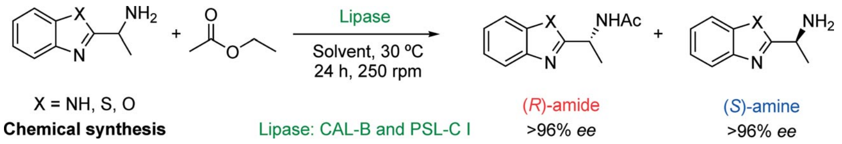
Three Baeyer–Villiger monoxygenases have been tested in the oxidation of a set of benzo-fused ketones in order to obtain the corresponding lactones. By employing non-conventional reaction media, organic solvent/aqueous buffer, higher activities can be achieved. Different regioselectivities were observed depending on the biocatalyst used.

Synthesis of Enantiopure Amines

S. Alatorre-Santamaría, V. Gotor-Fernández, V. Gotor* 2533–2538

Stereoselective Chemoenzymatic Synthesis of Enantiopure 1-(Heteroaryl)ethanamines by Lipase-Catalysed Kinetic Resolutions

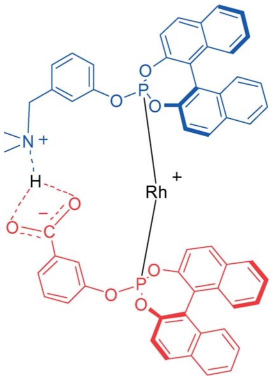
Keywords: Amines / Chirality / Enzyme catalysis / Heterocycles / Kinetic resolution



The chemical preparation and enzymatic kinetic resolution of a family of racemic 1-(heteroaryl)ethanamines is presented. Excellent reaction rates and enantioselectivities have been achieved, especially when using *Candida antarctica* lipase type B as the biocatalyst.

Asymmetric Catalysis

The combination of two chiral BINOL-derived monodentate phosphites, containing either a carboxylic acid or a tertiary amine, can be seen as a supramolecular bidentate P-ligand self-assembled through an acid−base interaction. These ligands are effective in the Rh-catalyzed enantioselective hydrogenation of methyl 2-acetamidoacrylate.

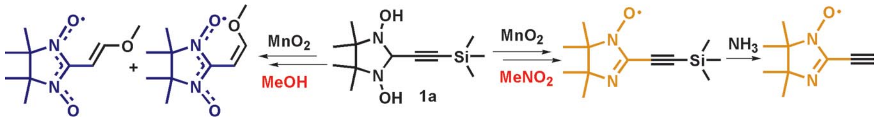


L. Pignataro, B. Lynikaite, J. Cvengroš, M. Marchini, U. Piarulli,* C. Gennari* .... **2539−2547**

Combinations of Acidic and Basic Monodentate Binaphtholic Phosphites as Supramolecular Bidentate Ligands for Enantioselective Rh-Catalyzed Hydrogenations

Keywords: Asymmetric catalysis / P ligands / Rhodium / Hydrogenation / Supramolecular chemistry

Nitronyl and Imino Nitroxides



Cascade reactions between **1a** and MnO_2 have been found: one-pot treatment of **1a** in MnO_2/MeOH, for example, results in formation of the nitronyl nitroxide (NN), Me_3Si elimination, and regiospecific MeOH addition to give (*Z*)- and (*E*)-NN−HC=CH−OMe. In $MeNO_2$, 2-substituted 4,4,5,5-tetramethylimidazolidine-1,3-diols gave imino nitroxides (INs), including IN−C≡C−$SiMe_3$, which was converted into IN−C≡CH.

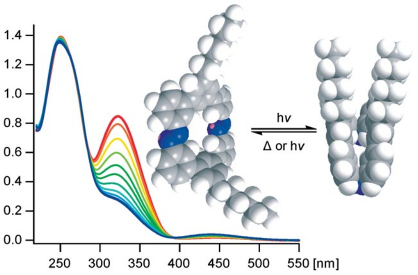
E. Tretyakov, S. Tolstikov, A. Mareev, A. Medvedeva, G. Romanenko, D. Stass, A. Bogomyakov, V. Ovcharenko* .... **2548−2561**

New Cascade Syntheses of Nitronyl Nitroxides and a New Synthetic Approach to Imino Nitroxides 💻

Keywords: Nitrogen heterocycles / Radicals / Nitronyl nitroxides / Imino nitroxides / Cascade reactions / Alkynes

Shape-Switchable Azo-Macrocycles

The synthesis of four differently substituted azo-macrocycles is reported. Their optically and/or thermally triggered isomerization reactions were investigated by UV/Vis spectroscopy and displayed very slow thermal back-reactions due to the mechanical interlinking of the two azo groups within each compound.

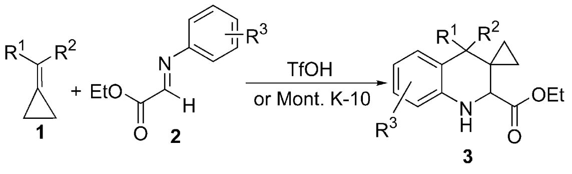


M. Müri, K. C. Schuermann, L. De Cola, M. Mayor* .... **2562−2575**

Shape-Switchable Azo-Macrocycles 💻

Keywords: Azo compounds / Macrocycles / Isomerization / Cross-coupling

Aza-Diels−Alder Reactions



Tetrahydroquinolines were obtained through the Brønsted acid or solid acid catalyzed aza-Diels−Alder reactions of methylenecyclopropanes with ethyl (arylimino)acetates.

Z.-B. Zhu, L.-X. Shao,* M. Shi* .... **2576−2580**

Brønsted Acid or Solid Acid Catalyzed Aza-Diels−Alder Reactions of Methylenecyclopropanes with Ethyl (Arylimino)acetates 💻

Keywords: Small ring systems / Nitrogen heterocycles / Cycloaddition

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* Author to whom correspondence should be addressed.

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