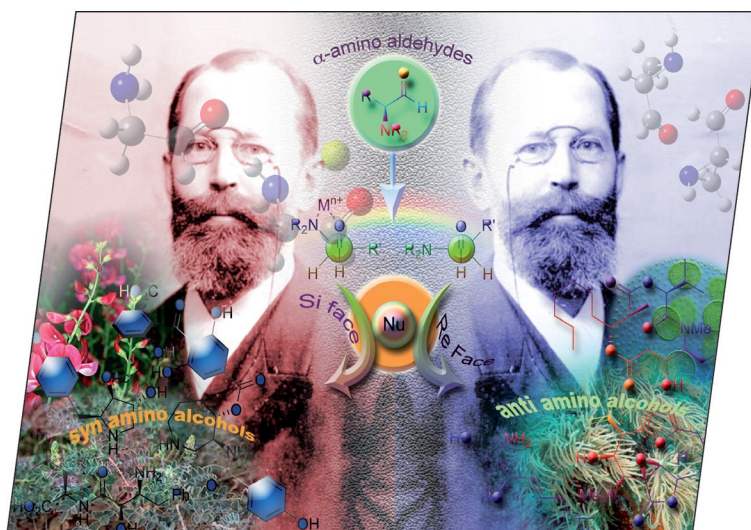


A union formed by chemical societies in Europe (ChemPubSoc Europe) has taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry*. Three further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

COVER PICTURE

The cover picture is an attempt to represent a cornucopia of molecules that are derived from α -amino aldehydes. One hundred years ago, Emil Fischer attempted to prepare glycinal, a prototypical α -amino aldehyde. Although glycinal resisted isolation and was found to be too unstable, it did provide a foundation for numerous synthetic studies of related molecules. Over the last century this field has flourished, proving the lasting value of these important synthetic intermediates. A. K. Yudin et al. summarize the latest trends in α -amino aldehyde synthesis and applications on p. 5201ff. The cover art was created by Mr. Ryan Hili. The authors gratefully acknowledge ongoing financial support from NSERC.



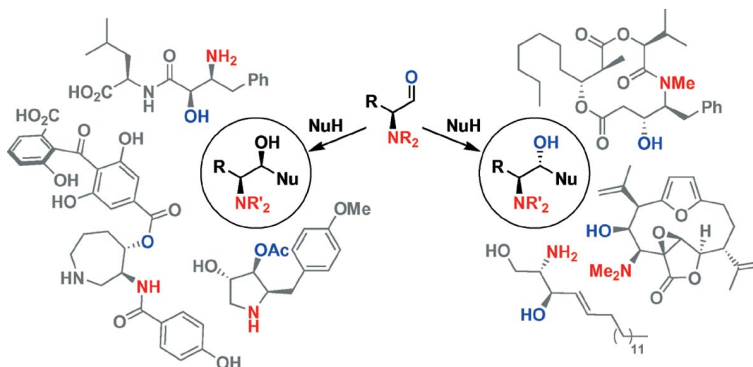
MICROREVIEW

Amino Aldehydes

R. Hili, S. Baktharaman,
A. K. Yudin* 5201–5213

Synthesis of Chiral Amines Using α -Amino Aldehydes

Keywords: Amino aldehydes / Protecting groups / Chiral amines / Amino alcohols / Stereoselectivity



If one were to rank chemical reagents on the basis of their “synthetic content”, loosely defined as the density of functional groups per arbitrary unit of molecular space, the α -amino aldehydes will find themselves close to the very top of that list. The presence of synthetically ubiquitous amine and aldehyde functionalities predis-

poses α -amino aldehydes towards highly convergent bond-forming operations. Such juxtaposition does not come without a price: incompatibility of these functional groups calls for protecting groups. We discuss challenges and recently identified opportunities in this field.

SHORT COMMUNICATIONS

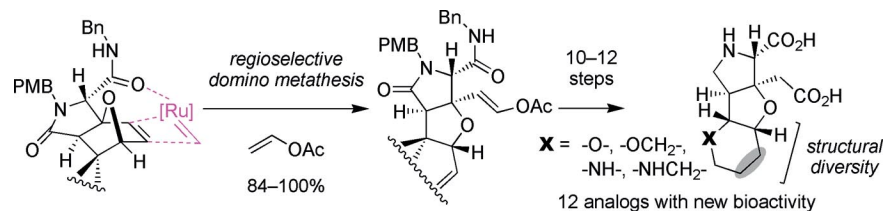
Bioactive Glutamate Analogues

M. Ikoma, M. Oikawa,* M. B. Gill,
G. T. Swanson, R. Sakai, K. Shimamoto,
M. Sasaki 5215–5220



Regioselective Domino Metathesis of 7-Oxanorbornenes and Its Application to the Synthesis of Biologically Active Glutamate Analogues

Keywords: Nitrogen heterocycles / Oxygen heterocycles / Combinatorial chemistry / Domino reactions / Metathesis / Regioselectivity



Twelve artificial glutamate analogues inspired by natural products were efficiently synthesized by employing a regioselective

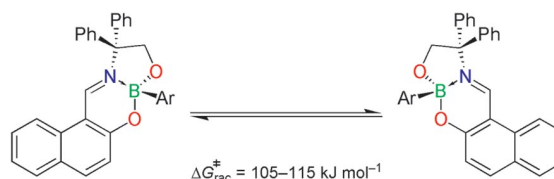
domino metathesis reaction of 7-oxanorbornenes as the key step. One analogue was found to exhibit unique hypoactivity.

Stereogenic Boron

M. Braun,* S. Schlecht, M. Engelmann,
W. Frank, S. Grimme 5221–5225

Boron-Based Diastereomerism and Enantiomerism in Imine Complexes – Determination of the Absolute Configuration at Boron by CD Spectroscopy

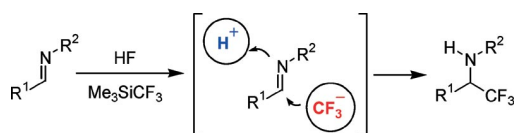
Keywords: Boron / Chirality / Circular dichroism / Stereochemistry



Boron turns out to be a stable stereogenic center in imine complexes of aryl and alkyl boronates. Calculated and measured CD

spectra permit to assign the absolute configuration to boron in the first enantiomeric boronate-imine complexes.

Nucleophilic Trifluoromethylation



The first example of nucleophilic trifluoromethylation by using Me_3SiCF_3 proceeding in the presence of strong protic acids is described. The presented methodology

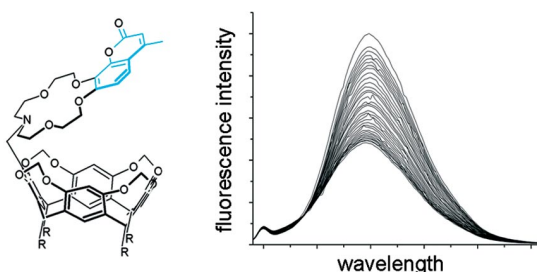
broadens significantly the scope of the trifluoromethylation of the $\text{C}=\text{N}$ bond and offers a new selectivity profile for the application of fluorinated silicon reagents.

V. V. Levin, A. D. Dilman,* P. A. Belyakov,
M. I. Struchkova,
V. A. Tartakovsky 5226–5230

Nucleophilic Trifluoromethylation of
Imines under Acidic Conditions

Keywords: Fluorine / Imines / Schiff bases /
Silicon / Trifluoromethylation

FULL PAPERS



A new resorc[4]arene-based host molecule was synthesized by attaching a 1-aza-15-crown-5 ether to a cavitand. The association constants for alkali-metal complexes in solution were determined by means of fluorescence titrations, indicating an en-

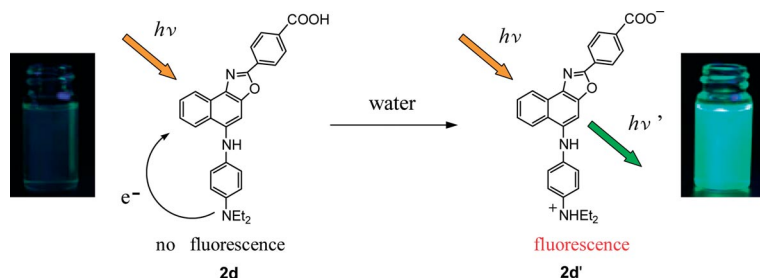
hanced selectivity for sodium cations. Selected equilibrium structures of the formed complexes were examined by Kohn–Sham DFT calculations to give a detailed understanding of the interplay between the two involved building blocks.

Fluorescent Host–Guest Systems

I. Stoll, R. Brodbeck, S. Wiegmann,
J. Eberhard, S. Kerruth, B. Neumann,
H.-G. Stammer,
J. Mattay* 5231–5238

New Fluorescent Calix Crown Ethers, Part
II: Synthesis and Complex Formation in
Solution and the Solid State

Keywords: Crown compounds / Fluorescence spectroscopy / Host-guest systems /
Cavitands / Supramolecular chemistry



A new class of fluorescent dye for sensing water in organic solvents by photo-induced electron transfer (PET), based on a (phenyl-

amino)naphtho[1,2-*d*]oxazol-2-yl-type fluorophore with both proton binding and proton donating sites, has been designed and developed.

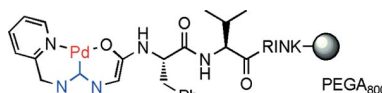
Fluorescent Sensor for Water

Y. Ooyama,* H. Egawa,
K. Yoshida* 5239–5243

A New Class of Fluorescent Dye for Sensing Water in Organic Solvents by Photo-Induced Electron Transfer – A (Phenyl-amino)naphtho[1,2-*d*]oxazol-2-yl-Type Fluorophore with both Proton-Binding and Proton-Donating Sites

Keywords: Photo-induced electron transfer / Fluorescence / Water / Sensors

Peptide-based NHC-pyridine ligands and their palladium complexes were synthesized on solid support and characterized by NMR and mass spectrometry. The supported ligands were complexed to palladium by treatment with BEMP and PdCl_2COD . Successful catalytic applications were demonstrated in Sonogashira and Suzuki cross-coupling reactions performed in organic solvent or water.



K. Worm-Leonhard,
M. Meldal* 5244–5253

Green Catalysts: Solid-Phase Peptide Carbene Ligands in Aqueous Transition-Metal Catalysis

Keywords: N-Heterocyclic carbenes / Palladium catalysts / Solid-phase synthesis /
Pyridine ligands

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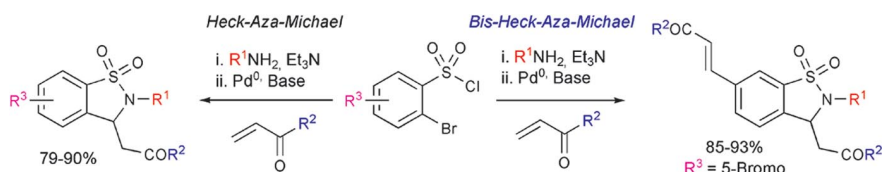
Drug Discovery

A. Rolfe, K. Young,
P. R. Hanson* 5254–5262



Domino Heck–Aza-Michael Reactions: A One-Pot, Sequential Three-Component Approach to 1,1-Dioxido-1,2-benzisothiazoline-3-acetic Acid

Keywords: Domino reactions / Sultams / Heck reaction / Aza-Michael reaction / Multicomponent reactions



The development of a new method for the synthesis of 1,1-dioxido-1,2-benzisothiazoline-3-acetic acid by a domino process is reported. Ultimately, this method has been expanded to a one-pot, sequential three-

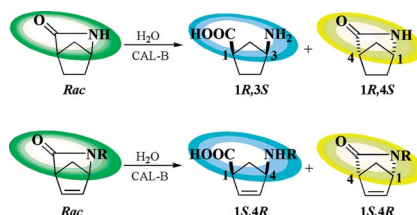
component protocol to generate diverse benzofused γ -sultams from a range of commercially available α -bromobenzenesulfonyl chlorides, amines and Michael acceptors.

γ -Amino Acids

E. Forró, F. Fülöp* 5263–5268

Enzymatic Method for the Synthesis of Blockbuster Drug Intermediates – Synthesis of Five-Membered Cyclic γ -Amino Acid and γ -Lactam Enantiomers

Keywords: Amino acids / Enzyme catalysis / Lactams



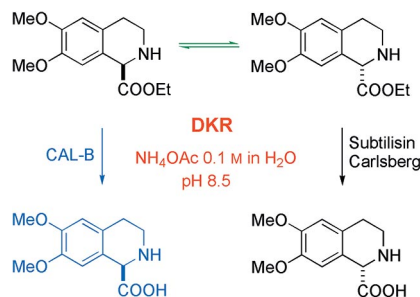
A very efficient enzymatic method is reported for the synthesis of cyclic γ -lactam and γ -amino acid enantiomers through the CAL-B-catalysed enantioselective ($E > 200$) hydrolysis of the corresponding N -Boc protected and unprotected racemic γ -lactams with H_2O in iPr_2O .

Dynamic Kinetic Resolution

T. A. Paál, A. Liljeblad, L. T. Kanerva,*
E. Forró, F. Fülöp* 5269–5276

Directed (R)- or (S)-Selective Dynamic Kinetic Enzymatic Hydrolysis of 1,2,3,4-Tetrahydroisoquinoline-1-carboxylic Ester

Keywords: Dynamic kinetic resolution / Enzyme catalysis / Nitrogen heterocycles



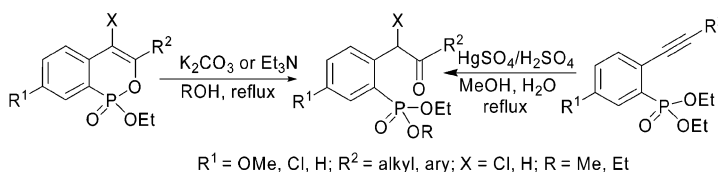
The first synthesis of both enantiomers of 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline-1-carboxylic acid was accomplished through dynamic kinetic resolution.

Keto Phosphonates

A.-Y. Peng,* Y.-J. Guo, Z.-H. Ke,
S. Zhu 5277–5282

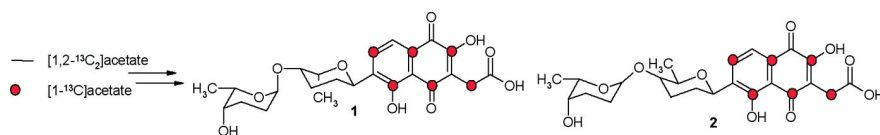
Alcoholysis of Phosphaisocoumarins and Synthesis of 2-(2-Oxoalkyl)phenylphosphonates

Keywords: Alcoholysis / Phosphonates / Phosphorus heterocycles / Hydration / Alkynes



Alcoholysis of phosphaisocoumarins to 2-(2-oxoalkyl)phenylphosphonates was extensively studied. The obtained novel keto phosphonates could also be synthesized di-

rectly by the hydration of 2-(1-alkynyl)-phenylphosphonates; these compounds showed medium inhibitory activity towards α -chymotrypsin.



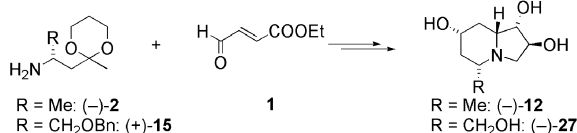
During HPLC/diode-array screening for new secondary metabolites, two new compounds were produced by *Streptomyces* sp. Acta 1362 and were named as grecocket-

ides A and B. The fermentation, isolation, structure elucidation and biosynthetic studies of these two compounds are described.

T. Paululat,* E. A. Katsifas,
A. D. Karagouni,
H.-P. Fiedler* 5283–5288

Grecoketides A and B: New Naphthoquinones from *Streptomyces* sp. Acta 1362

Keywords: Grecoketides / Polyketides / Streptomycete / Biosynthesis / Natural products



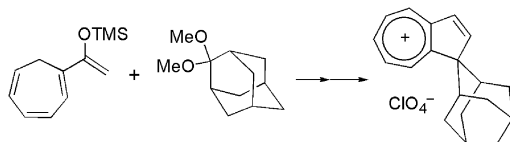
The preparation of two polyhydroxylated indolizidines, (–)-12 and (–)-27, is reported. The piperidine ring was formed by an intramolecular Mannich-type reaction. The

inhibitory properties of the two synthesized indolizidines were evaluated against a variety of commercial glycosidases.

D. Baumann, K. Bennis, I. Ripoché,*
V. Théry, Y. Troin* 5289–5300

Synthesis and Glycosidase Inhibitory Study of New Polyhydroxylated Indolizidines

Keywords: Glycosidase inhibitors / Enzymes / Polyhydroxylated indolizidines / Piperidines / Hydroxylation / Intramolecular Mannich reaction



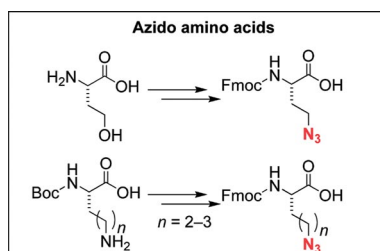
The pK_R^+ value of the title cation was found to be 9.8, which is less than that expected by inductive stabilization from the numbers of carbons at the 1-position. The

X-ray crystal structure of the title cation (ClO_4^- salt) reveals CH–O interactions and deformation of the azulenyl ion part.

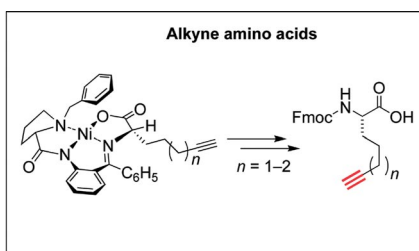
M. Oda,* N. Nakajima, N. C. Thanh,
K. Kitahara, R. Miyatake,
S. Kuroda 5301–5307

Synthesis, Stability, and Crystal Structure of an Azulenyl Cation Containing an Adamantyl Group

Keywords: Carbocations / Spiro compounds / Nazarov cyclizations



Synthesis of N^α -Fmoc- ω -azido- and N^α -Fmoc- ω -yne-L-amino acids as building



blocks for pseudopeptide precursors of inter- and intramolecular click reactions.

A. Le Chevalier Isaad, F. Barbeti,
P. Rovero, A. M. D'Ursi, M. Chelli,
M. Chorev, A. M. Papini* 5308–5314

N^α -Fmoc-Protected ω -Azido- and ω -Alkynyl-L-amino Acids as Building Blocks for the Synthesis of “Clickable” Peptides

Keywords: Amino acids / Modified amino acids / Azides / Alkynes / Solid-phase peptide synthesis / Click chemistry

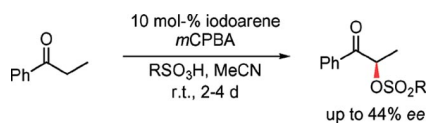
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Organocatalysis

S. M. Altermann, R. D. Richardson,
T. K. Page, R. K. Schmidt, E. Holland,
U. Mohammed, S. M. Paradine,
A. N. French, C. Richter, A. M. Bahar,
B. Witulski, T. Wirth* 5315–5328

Catalytic Enantioselective α -Oxysulfonylation of Ketones Mediated by Iodoarenes

Keywords: Hypervalent iodine reagents / Organocatalysis / Oxidation / Stereoselective synthesis



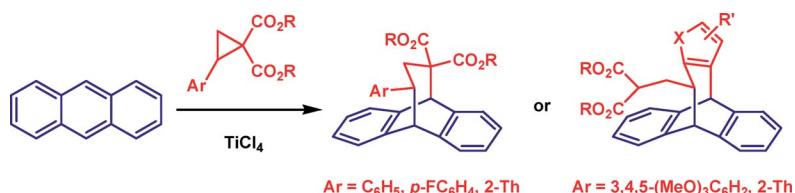
The α -oxysulfonylation of ketones catalysed by enantioenriched iodoarenes using *m*CPBA as stoichiometric oxidant is reported to give useful synthetic intermediates in good yield and modest enantioselectivity.

Donor–Acceptor Cyclopropanes

O. A. Ivanova,* E. M. Budynina,
Yu. K. Grishin, I. V. Trushkov,
P. V. Verteletskii 5329–5335

Lewis Acid Catalyzed Reactions of Donor–Acceptor Cyclopropanes with Anthracenes

Keywords: Cycloaddition / Aromatic substitution / Cyclopropanes / Dienes / Lewis acids



Reactions of 2-aryl-1,1-cyclopropane diesters with anthracenes under Lewis acid catalysis afford three kinds of products depending on the substituents in anthracene and the nature of the aryl group in the

cyclopropane. A formal [4+3] cycloaddition product, the product of cationic cyclization onto the aryl group of the starting cyclopropane, and the common Friedel–Crafts products are obtained.

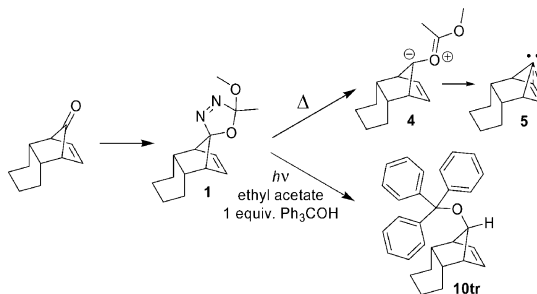
Foiled Carbenes

J.-L. Mieusset, P. Billing, M. Abraham,
V. B. Arion, L. Brecker,
U. H. Brinker* 5336–5345



2-Methoxy- Δ^3 -1,3,4-oxadiazoline, a Multipurpose Precursor for the Generation of a Carbene, an Ylide, or a Diazo Compound

Keywords: Carbenes / Ylides / Oxadiazolines / Diazo compounds / Photochemical reactions



Spirooxadiazoline **1** is shown to be a convenient precursor for the generation of foiled carbene **5**. **5** can be trapped with alcohols with formation of the product with an *anti*-configuration. By photolysis car-

bene production is preceded by the formation of a diazo compound whereas thermally, an extremely unstable carbonyl ylide is generated first.

* 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 30 were published online on October 7, 2008