

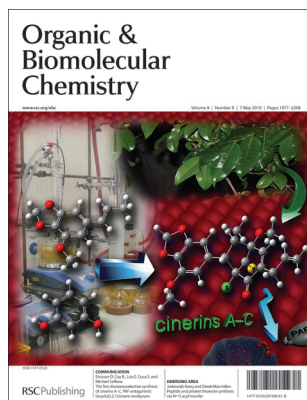
Organic & Biomolecular Chemistry

An international journal of synthetic, physical and biomolecular organic chemistry
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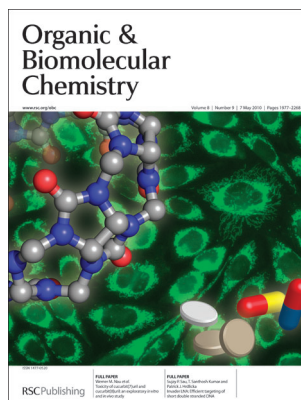
ISSN 1477-0520 CODEN OBCRAK 8(9) 1977–2268 (2010)



Cover

See Michael Sefkow *et al.*, pp. 2003–2005.
The first total synthesis of PAF-antagonistic cinerins A–C, isolated from the leaves of *Pleurothyrium cinereum*, is reported by Coy *et al.* on page 2003.

Image reproduced by permission of Michael Sefkow from *Org. Biomol. Chem.*, 2010, **8**, 2003.



Inside cover

See Werner M. Nau *et al.*, pp. 2037–2042.
Cucurbiturils are promising macrocycles for drug delivery, which have now been shown to display very low, if not negligible, toxicity in cell and animal studies.

Image reproduced by permission of Werner M. Nau from *Org. Biomol. Chem.*, 2010, **8**, 2037.

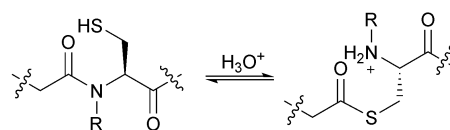
EMERGING AREA

1993

Peptide and protein thioester synthesis *via* N→S acyl transfer

Jaskiranjit Kang and Derek Macmillan*

Peptide thioesters are playing an increasingly prominent role in the chemical toolbox for protein assembly and modification through Native Chemical Ligation (NCL). In this article we highlight recent developments in thioester production through selective disruption of amide bonds.



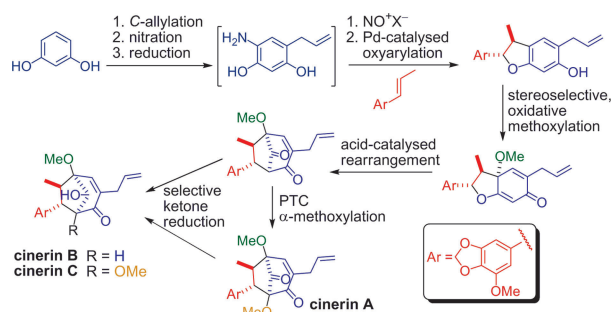
COMMUNICATIONS

2003

The first diastereoselective synthesis of cinerins A–C, PAF-antagonistic macrophyllin-type bicyclo[3.2.1]octane neolignans, using a novel Pd-catalysed oxyarylation

Ericsson D. Coy B.,* Luis E. Cuca S. and Michael Sefkow*

The first diastereoselective synthesis of PAF-antagonistic cinerins A–C, macrophyllin-type bicyclo[3.2.1]octane neolignans from *Pleurothyrium cinereum*, has been accomplished using a novel Pd-catalysed oxyarylation to afford a 2,3-dihydrobenzofuran as the key intermediate.



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Organic & Biomolecular Chemistry

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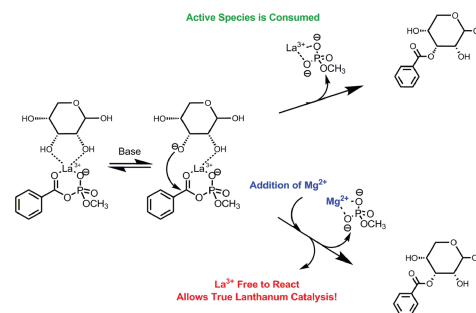
COMMUNICATIONS

2006

Magnesium ion enhances lanthanum-promoted monobenzylation of a monosaccharide in water

Raj S. Dhiman and Ronald Kluger*

Monobenzylation of sugars is promoted by lanthanum triflate. Addition of magnesium ion produces a precipitate from the phosphate monoester by-product that allows lanthanum to function at low catalyst loading.

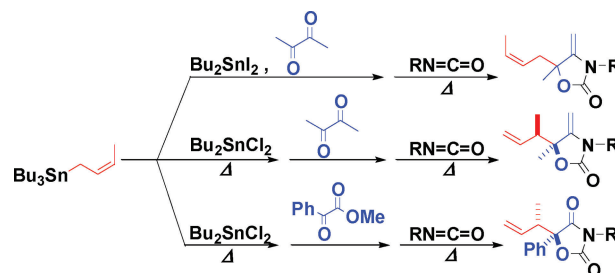


2009

Synthesis of oxazolidinones initiated by regio- and diastereo-controlled crotylation of α -dicarbonyl compounds

Ikuya Shibata,* Ryota Kojima, Shinji Tsunoi, Takashi Nozaki, Tomonari Watanabe, Atsushi Ninomiya, Makoto Yasuda and Akio Baba

One-pot synthesis of oxazolidinones was established initiated by allylation of α -dicarbonyl compounds, accompanying regio- and diastereo-controlled carbon-carbon bond formation on the side chains of the oxazolidinones.

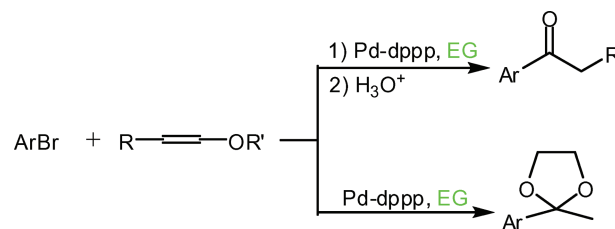


2012

Efficient synthesis of alkyl aryl ketones & ketals via palladium-catalyzed regioselective arylation of vinyl ethers

Mingcui Liu, Zeynab Hyder, Yawei Sun, Weijun Tang, Lijin Xu* and Jianliang Xiao

The combination of $Pd(OAc)_2$ with 1,3-bis(diphenylphosphino)propane in ethylene glycol constitutes a high-performance catalytic system for highly regioselective arylation of a range of electron-rich vinyl ethers by aryl bromides to provide, upon hydrolysis, alkyl aryl ketones and cyclic ketals in good yields with up to 3.75×10^5 TON and 15625 h^{-1} TOF.

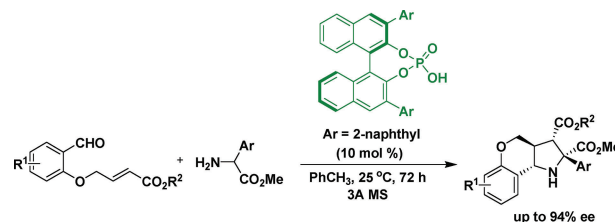


2016

Organocatalytic asymmetric intramolecular [3+2] cycloaddition: A straightforward approach to access multiply substituted hexahydrochromeno[4,3-b]pyrrolidine derivatives in high optical purity

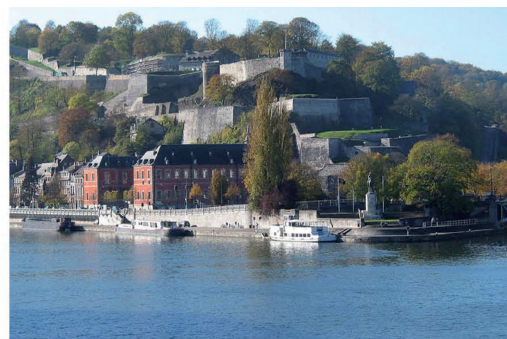
Nan Li, Jin Song, Xi-Feng Tu, Bin Liu, Xiao-Hua Chen and Liu-Zhu Gong*

Asymmetric organocatalytic intramolecular 1,3-dipolar cycloaddition of 4-(2-formylphenoxy)butenoates with amino esters provides hexahydrochromeno[4,3-b]pyrrolidine derivatives in high ee (up to 94% ee).



BOSS | XII

12th Belgian Organic Synthesis Symposium



University of Namur (FUNDP), Auditorium Pedro Arrupe

July 11 > 16, 2010, Namur, Belgium



The symposium will include:

- > a One-day course delivered by **Prof. David MacMillan (Princeton University, Princeton, New Jersey, USA)**, the recipient of the Tetrahedron Chair
- > a series of 16 plenary lectures
- > a lecture delivered by the recipient of the Janssen Pharmaceutica Prize for Creativity in Organic Synthesis, **Prof. Eric M. Jacobsen (Harvard University, Cambridge, MA, United States)**
- > poster sessions
- > an exhibition
- > social activities

Janssen Pharmaceutica Prize for Creativity in Organic Synthesis

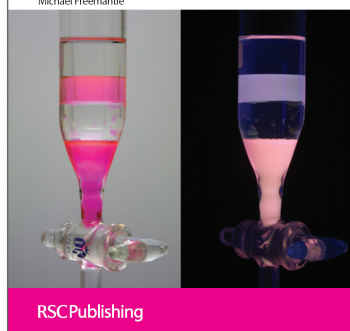
Prof. Eric M. Jacobsen (Harvard University, Cambridge, MA, USA) has been designated by the Jury as the winner of the 2010 'Janssen Pharmaceutica Prize for Creativity in Organic Chemistry', established in order to honour Dr. Paul Janssen, founder of Janssen Pharmaceutica.

Registration & Abstracts Submission

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An Introduction to Ionic Liquids

Michael Freemantle



An Introduction to Ionic Liquids

Michael Freemantle

This is the first single-author book on ionic liquids and the first introductory book on the topic. *An Introduction to Ionic Liquids* is written in a clear, concise and consistent way and provides a useful introduction to ionic liquids for those readers who are not familiar with the topic. It is also wide ranging, embracing every aspect of the chemistry and applications of ionic liquids. The book draws extensively on the primary scientific literature to provide numerous examples of research on ionic liquids. These examples will enable the reader to become familiar with the key developments in ionic liquids chemistry over recent years.

Science students, researchers, teachers in academic institutions and chemists and other scientists in industry and government laboratories will find the book an invaluable introduction to one of the most rapidly advancing and exciting fields of science and technology today.

BB Hardback | 281 pages | ISBN 9781847551610 | 2009 | £39.95

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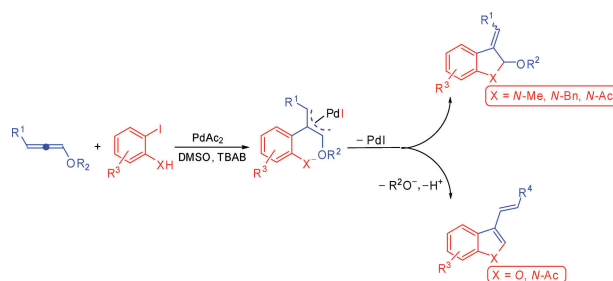
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2020

Heck reaction on protected 3-alkyl-1,2-dien-1-ols: an approach to substituted 3-alkenylindoles, 2-alkoxy-3-alkylidene-2,3-dihydrobenzofuranes and -indolidines

Tommaso Boi, Annamaria Deagostino,* Cristina Prandi, Silvia Tabasso, Antonio Toppino and Paolo Venturello

Two different heterocyclic frameworks were obtained in the benzoannulation of 3-alkyl-1,2-dienols and *o*-iodophenols or protected *o*-iodoanilines, in dependence of the nucleophilic properties of the attaching heteroatom.

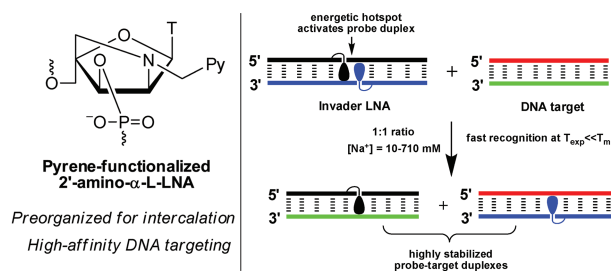


2028

Invader LNA: Efficient targeting of short double stranded DNA

Sujay P. Sau, T. Santhosh Kumar and Patrick J. Hrdlicka*

Energetically activated double stranded Invader LNA probes enable recognition of short isosequential dsDNA-targets at low experimental temperatures, at a variety of ionic strengths, and with good mismatch discrimination.

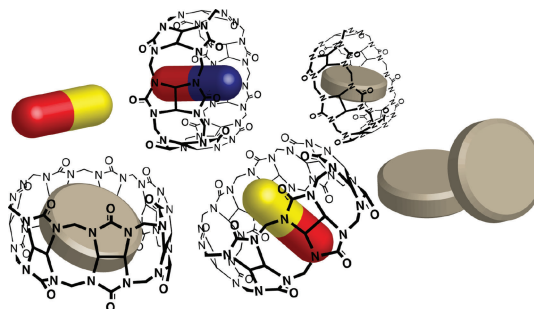


2037

Toxicity of cucurbit[7]uril and cucurbit[8]uril: an exploratory *in vitro* and *in vivo* study

Vanya D. Uzunova, Carleen Cullinane, Klaudia Brix, Werner M. Nau* and Anthony I. Day*

The molecular container cucurbit[7]uril shows a low cytotoxicity ($IC_{50} = 0.53$ mM) and high tolerated intravenous and oral dosage of at least 250 mg kg^{-1} , which makes it an ideal candidate for potential pharmaceutical applications.

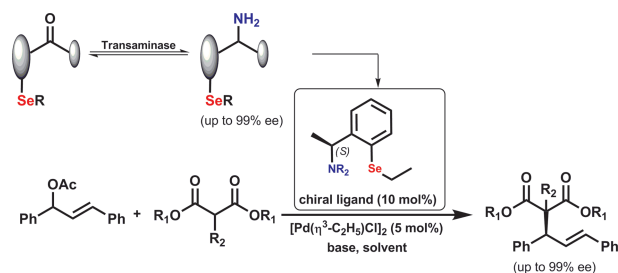


2043

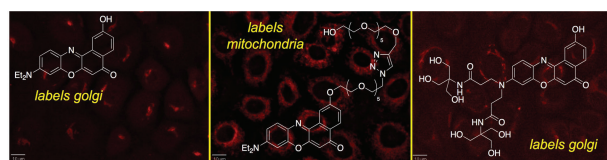
ω -Transaminases as efficient biocatalysts to obtain novel chiral selenium-amine ligands for Pd-catalysis

Leandro H. Andrade,* Alexandre V. Silva, Priscila Milani, Dominik Koszelewski and Wolfgang Kroutil

Modulated chiral selenium-amines were efficiently synthesized by using ω -transaminases as tools for asymmetric induction. New chiral selenium compounds were evaluated as ligands in the palladium-catalyzed asymmetric alkylation.



2052

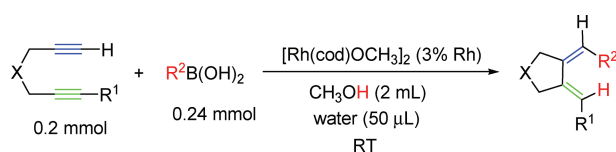


Intracellular imaging of organelles with new water-soluble benzophenoxazine dyes

Jiney Jose, Aurore Loudet, Yuichiro Ueno, Rola Barhoumi, Robert C. Burghardt and Kevin Burgess*

Five new water-soluble benzophenoxazine dyes were found to fluoresce with good quantum yields in EtOH (0.47–0.73) and physiological pH (0.17–0.33). The Stokes shifts of these dyes varies between 78–99 nm. Cellular imaging of Clone 9 cells with selected dyes showed selective staining of mitochondria and golgi.

2060

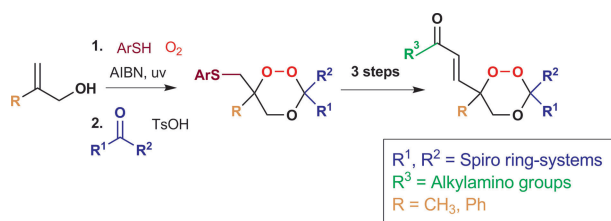


Rhodium catalysed chemo- and stereoselective arylation and alkenylation reactions of unsymmetric diynes containing a terminal alkyne moiety with organoboronic acids

Levent Artok,* Melih Kuş, Bağdagül N. Ürer, Gülşah Türkmen and Özge Aksın-Artok

Unsymmetric diynes possessing a terminal alkyne moiety reacted with organoboronic acids both chemo- and stereoselectively to afford arylated or alkenylated exocyclic dienes by catalysis from the $[\text{Rh}(\text{cod})\text{OCH}_3]_2$ complex in CH_3OH .

2068



Synthesis, *in vitro* and *in vivo* antimalarial assessment of sulfide, sulfone and vinyl amide-substituted 1,2,4-trioxanes prepared *via* thiol-olefin co-oxygenation (TOCO) of allylic alcohols

R. Amewu, P. Gibbons, A. Mukhtar, A. V. Stachulski, S. A. Ward, C. Hall, K. Rimmer, J. Davies, L. Vivas, J. Bacsa, A. E. Mercer, G. Nixon, P. A. Stocks and P. M. O'Neill*

Selected analogues of polar 1,2,4-trioxanes express potent *in vitro* nM antimalarial activity, low cytotoxicity and oral activity.

2078



R = alkyl, aralkyl, aryl, arylsulfonyl

Synthesis of antitumour (1*H*-1,2,3-triazol-4-yl)-4-hydroxycyclohexa-2,5-dien-1-ones by copper-catalysed Huisgen cycloadditions

Andrew J. McCarroll, Charles S. Matthews, Geoffrey Wells, Tracey D. Bradshaw and Malcolm F. G. Stevens*

Triazoles bearing the 4-hydroxycyclohexa-2,5-dien-1-one (quinol) pharmacophore were prepared using copper-catalysed Huisgen cycloadditions, and screened for growth-inhibitory activity.

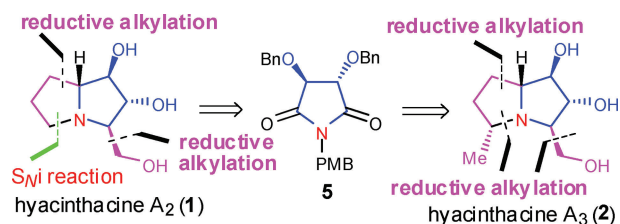
PAPERS

2085

A flexible approach for the asymmetric syntheses of hyacinthacines A_2 , A_3 and structural confirmation of hyacinthacine A_3

Wen-Jun Liu, Jian-Liang Ye* and Pei-Qiang Huang*

A concise approach for the asymmetric synthesis of polyhydroxylated pyrrolizidine alkaloids has been developed. Analysis of the ^1H and ^{13}C NMR spectra of a mixed synthetic product and natural hyacinthacine A_3 allowed the structural conformation.

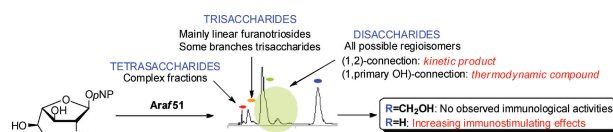


2092

Enzymatic synthesis of oligo-D-galactofuranosides and L-arabinofuranosides: from molecular dynamics to immunological assays

Ilona Chlubnová, Dominik Filipp, Vojtech Spiwok, Hana Dvořáková, Richard Daniellou,* Caroline Nugier-Chauvin,* Blanka Králová and Vincent Ferrières

Immunostimulating furanosides were synthesized according to a chemo-enzymatic approach. Elicitation of the production of TNF- α was established, even for short chains like arabinotriosides.

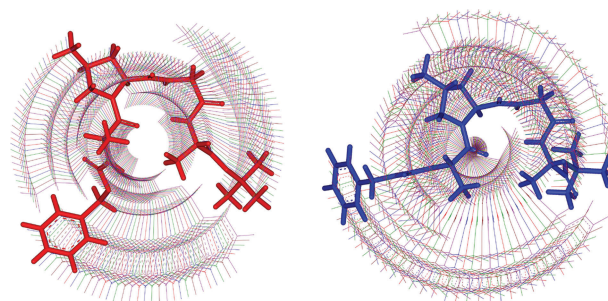


2103

Conformational ensembles of flexible β -turn mimetics in DMSO- d_6

Jari J. Koivisto, Esa T. T. Kumpulainen and Ari M. P. Koskinen*

Ensembles of conformations for three linear tetrapeptides CBz-L-Ala-L-Xaa-Gly-L-Ala-OtBu (Xaa = proline, (4*R*)-methylproline, (4*S*)-methylproline) were determined using NAMFIS methodology. NBO calculations show that different backbone-backbone interactions contribute to β -turn stability.

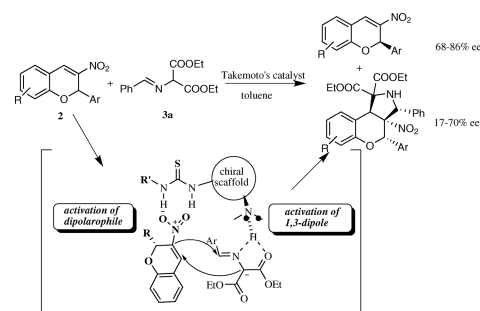


2117

Efficient kinetic resolution of racemic 3-nitro-2*H*-chromene derivatives catalyzed by Takemoto's organocatalyst

Jian-Wu Xie,* Li-Ping Fan, Hong Su, Xin-Sheng Li and Dong-Cheng Xu

Optically active 3-nitro-2*H*-chromene derivatives were obtained by kinetic resolution of racemic 3-nitro-2*H*-chromenes derivatives catalyzed by Takemoto's catalyst.



ICOS 18

18th International Conference on Organic Synthesis
Bergen, Norway, August 1st – 6th, 2010

Conference chair:

Professor Leiv K. Sydnes
leiv.sydnes@kj.uib.no

Invited speakers:

Varinder K. Aggarwal, UK
Helen E. Blackwell, USA
Christian Bochet, Switzerland
David Y.-K. Chen, Singapore
Karol Grela, Poland
Antonio Guarna, Italy
Henk Hiemstra, The Netherlands
Ari M. P. Koskinen, Finland
Mark Lautens, Canada
Anita Maguire, Ireland
Vakhid A. Mamedov, Russia
José L. Mascareñas, Spain
Frank E. McDonald, USA
Hans Reissig, Germany
Dieter Schinzer, Germany
Tony K. M. Shing, Hong Kong
Snorri Th. Sigurdsson, Iceland
Mats Tilset, Norway

Plenary speakers:

Prof. Jan E. Bäckvall, Sweden
Prof. Martin Banwell, Australia
Prof. Valery V. Fokin, USA
Prof. Karl A. Jørgensen, Denmark
Nobel Laureate Robert H. Grubbs, USA
Prof. Paul Knochel, Germany
Prof. Steven Ley, UK
Prof. Johann Mulzer, Austria
Prof. Samir Zard, France
Prof. Dieter Seebach, Switzerland
Prof. Victor Snieckus, Canada
Prof. Michinori Suginome, Japan

In addition to these speakers the winner of the 2010 Thieme–IUPAC Prize in Synthetic Organic Chemistry, Prof. Phil Baran, will receive the prize and deliver the Prize Lecture.

Register and submit abstract through www.ICOS-18.no



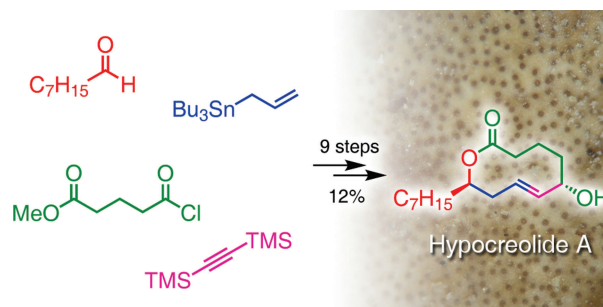
PAPERS

2123

Structure elucidation of hypocreolide A by enantioselective total synthesis

Katharina Götz, Johannes C. Liermann, Eckhard Thines, Heidrun Anke and Till Opatz*

The structure and absolute stereochemistry of the nonenolide hypocreolide A from the ascomycete *Hypocrea lactea* were elucidated by NMR spectroscopy and asymmetric total synthesis.

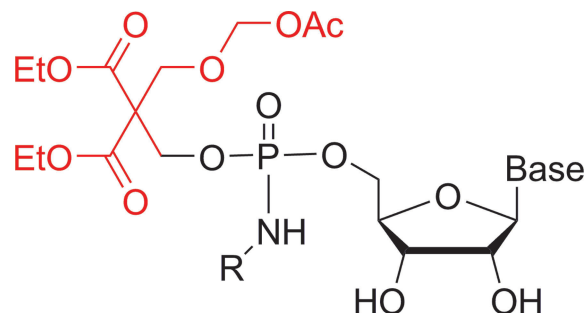


2131

Chemical and enzymatic stability of amino acid derived phosphoramidates of antiviral nucleoside 5'-monophosphates bearing a biodegradable protecting group

Anna Leisvuori, Yuichiro Aiba, Tuomas Lönnberg, Päivi Poijärvi-Virta,* Laurence Blatt, Leo Beigelman and Harri Lönnberg

The 3-acetyloxymethoxy-2,2-bis(ethoxycarbonyl)propyl group is introduced as a novel candidate for a biodegradable protecting group of nucleoside 5'-phosphoramidates.

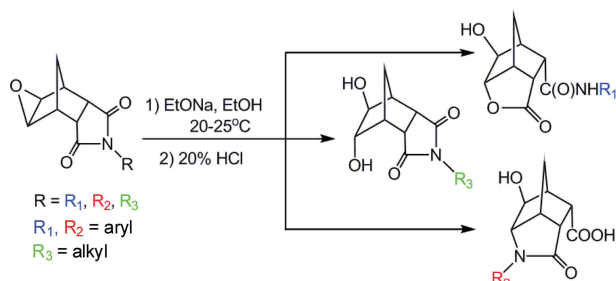


2142

Ethanolysis of N-substituted norbornane epoxyimides: Discovery of diverse pathways depending on substituent's character

T. Petrova, I. Tarabara, V. Palchikov, L. Kasyan, D. Kosenkov, S. Okovytyy, L. Gorb, S. Shishkina, O. Shishkin and J. Leszczynski*

This work represents investigation of the transformations of norbornane series epoxyimides in the course of ethanolysis reaction. Formation of different heterocyclic compounds depending on the substituent on the N atom has been shown experimentally and explained theoretically.

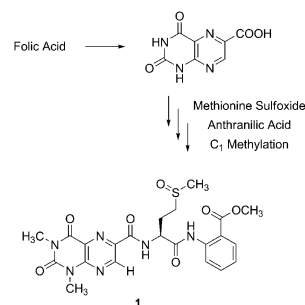


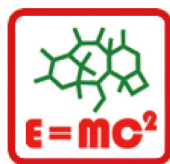
2158

Penilumamide, a novel lumazine peptide isolated from the marine-derived fungus, *Penicillium* sp. CNL-338

Sven W. Meyer, Thorsten F. Mordhorst, Choonghwan Lee, Paul R. Jensen, William Fenical and Matthias Köck*

Penilumamide was isolated from the fungal strain *Penicillium* sp. and its structure was determined by analysis of ESI-TOF MS data combined with 1D and 2D NMR experiments.





BIT's 1st Annual International Conference of

Medichem-2010

Theme: Smart Chemistry in Drug Discovery

Highlights

- Organize up to 150 + Oral Presentations on the Latest Tech Trend of Medicinal Chemistry Development
- Bring together 80 + Project Posters on New Technologies Implemented in Industrial Manufacturing
- Network with 300 + Colleagues and Friends in China and Beyond
- Meet with 100 + Leading Suppliers from all over the World

Renowned Speakers

Time: May 18-20, 2010
Place: International Convention Center
Beijing, China



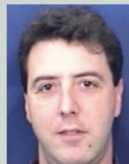
Dr. Herbert Treutlein,
Co-Founder and CEO,
Qubist Molecular Design
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Programmed Tracks at a Glance

Track 1: Target Structure and Fragment
Based Drug Design and Synthesis

Track 2: Application of New Technologies
and Tools for Medichem Studies

Track 3: Case Studies of Medichem on
Drug Candidates on Major Diseases

Track 4: Medichem R & D Outsourcing
Alliance Trend

- *Call for Co-organizers
- *Call for Media Partners
- *Call for Sponsors and Exhibitors

- *Call for Speakers and Attendees
- *Call for SAB Members
- *Call for Posters and Papers

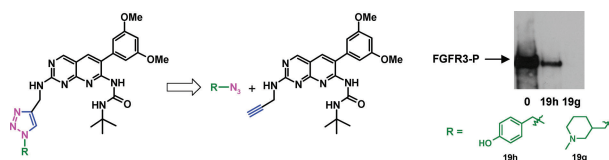
<http://www.bitlifesciences.com/icm2010/>

2164

Synthesis and biological evaluation of a triazole-based library of pyrido[2,3-*d*]pyrimidines as FGFR3 tyrosine kinase inhibitors

Laurent Le Corre, Anne-Lise Girard, Johannes Aubertin, François Radvanyi, Catherine Benoist-Lasselin, Aurélie Jonquoy, Emilie Mugniery, Laurence Legeai-Mallet, Patricia Busca* and Yves Le Merrer*

Most of the 27 analogues synthesized were active against FGFR3 *in vitro* and one (**19g**) was able to inhibit mutant FGFR3-K650M in HEK cells.

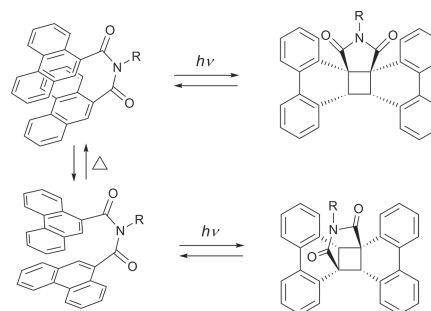


2174

Reversal of regioselectivity (straight vs. cross ring closure) in the intramolecular [2+2] photocycloaddition of phenanthrene derivatives

Shigeo Kohmoto,* Shugo Hisamatsu, Hakuei Mitsuhashi, Masahiro Takahashi, Hyuma Masu, Isao Azumaya, Kentaro Yamaguchi and Keiki Kishikawa

Depending on reaction temperature and irradiation time, a reversal of regioselectivity was attained in intramolecular [2+2] photocycloaddition of aromatic chain imides.

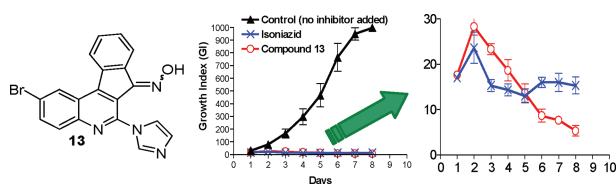


2180

Conformationally-constrained indeno[2,1-*c*]quinolines – a new class of anti-mycobacterial agents

Ram Shankar Upadhayaya, Santosh V. Lahore, Aftab Y. Sayyed, Shailesh S. Dixit, Popat D. Shinde and Jyoti Chattopadhyaya*

The design and synthesis of 23 new conformationally-constrained indeno[2,1-*c*]quinoline analogs and anti-mycobacterial activities against *Mycobacterium tuberculosis* H37Rv is reported.

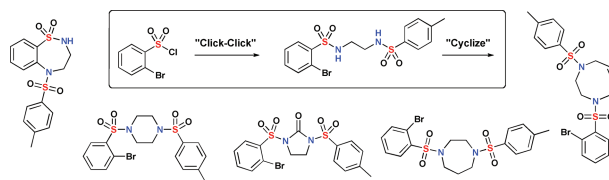


2198

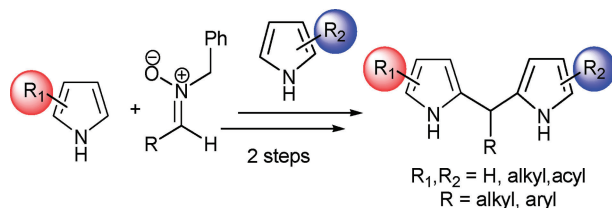
Reagent based DOS: A “Click, Click, Cyclize” strategy to probe chemical space

Alan Rolfe, Gerald. H. Lushington and Paul. R. Hanson*

We report a reagent-based, diversity-oriented synthetic strategy to probe chemical and biological space *via* a “Click, Click, Cyclize” protocol.



2204

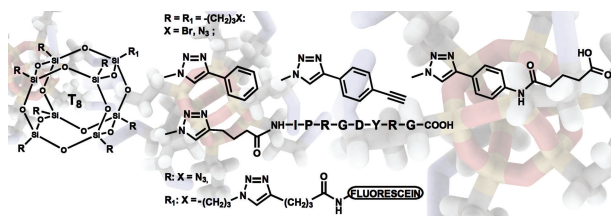


An efficient method for the synthesis of unsymmetrical 2,2'-bis(pyrrolyl)alkanes

Marie Laure Murat-Onana, Christophe Berini, Frédéric Minassian,* Nadia Pelloux-Léon* and Jean-Noël Denis

A new strategy for the preparation of unsymmetrical 2,2'-bis(pyrrolyl)alkanes has been developed, and it has also been extended to the synthesis of tripyrromethanes and *N*-confused dipyrromethanes.

2212

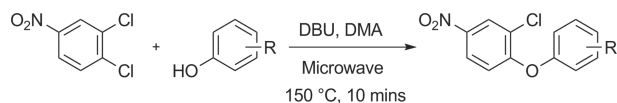


Towards click bioconjugations on cube-octameric silsesquioxane scaffolds

Sebastian Fabritz, Dirk Heyl, Viktor Bagutski, Martin Empting, Eckhard Rikowski, Holm Frauendorf, Ildiko Balog, Wolf-Dieter Fessner, Jörg. J. Schneider, Olga Avrutina and Harald Kolmar*

Synthesis and click conjugations on octaazido octasilsesquioxane scaffold without cage rearrangements are described, including effective transformation into an octaalkyne POSS framework and an octaconjugate of a fully unprotected functional peptide.

2219

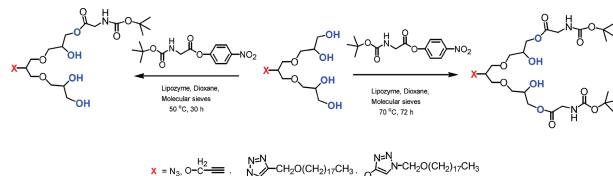


The application of stop-flow microwave technology to scaling-out S_NAr reactions using a soluble organic base

Jameel A. Marafie and Jonathan D. Moseley*

Substituted diaryl ethers have been prepared by S_NAr reaction in combination with a soluble organic base, with productivities of >0.5 kg per day using an automated stop-flow microwave reactor.

2228



Novel chemoenzymatic methodology for the regioselective glycine loading on polyhydroxy compounds

Shashwat Malhotra, Marcelo Calderón, Ashok K. Prasad, Virinder S. Parmar* and Rainer Haag*

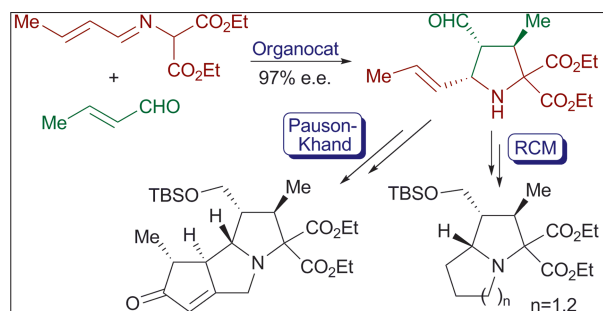
We present a temperature-dependent chemo-enzymatic methodology which offers efficient and controlled loading of amino acid (glycine) on polyhydroxy compounds and represents a platform for the selective amino acid attachment (decoration) to the dendritic polyglycerol (PG) scaffolds.

2238

The organocatalytic [3+2] cycloaddition of azomethine ylides and α,β -unsaturated aldehydes as a convenient tool for the enantioselective synthesis of pyrrolizidines and indolizidines

Ainara Iza, Luisa Carrillo, Jose L. Vicario,* Dolores Badía,* Efraim Reyes and Jose I. Martínez

The organocatalytic [3+2] cycloaddition of α,β -unsaturated aldehydes and azomethine ylides emerges as an efficient approach for the stereocontrolled preparation of pyrrolizidines, indolizidines or the more complex tricyclic hexahydrocyclopenta[*a*]pyrrolizine structure.

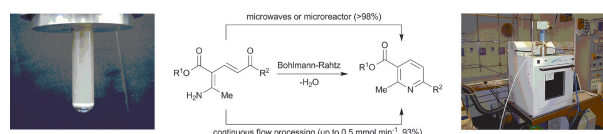


2245

Continuous flow processing from microreactors to mesoscale: the Bohlmann–Rahtz cyclodehydration reaction

Mark C. Bagley,* Vincenzo Fusillo, Robert L. Jenkins, M. Caterina Lubinu and Christopher Mason

Combining microwave dielectric heating and flow processing provides a reliable and robust means to transfer operations from discovery to mesoscale production, exemplified by the Bohlmann–Rahtz cyclodehydration reaction.

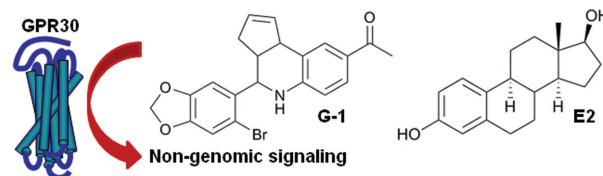


2252

Highly efficient synthesis and characterization of the GPR30-selective agonist G-1 and related tetrahydroquinoline analogs

Ritwik Burai, Chinnasamy Ramesh, Marvin Shorty, Ramona Curpan, Cristian Bologna, Larry A. Sklar, Tudor Oprea, Eric R. Prossnitz and Jeffrey B. Arterburn*

The GPR30 agonist probe **G-1** and structural analogs were synthesized using multicomponent or stepwise Sc(III)-catalyzed aza-Diels–Alder cyclization with *endo*-diastereoselectivity.

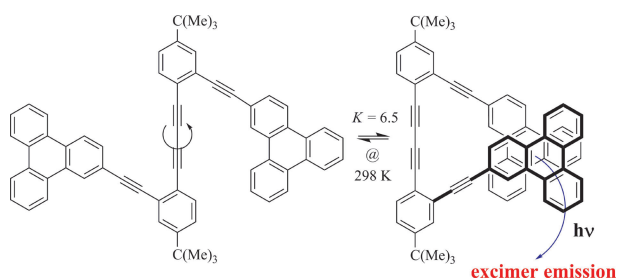


2260

Unusual fluorescence emission from ethynyltriphenylene-substituted diacetylenic molecular hinge. Formation of intramolecular excimer

Ritesh Nandy and Sethuraman Sankararaman*

A diacetylenic molecular hinge bearing two ethynyltriphenylene units has been synthesized. Evidence from ¹H NMR and VT-NMR suggests that there is an equilibrium between the open conformer and the intramolecularly π – π interacting closed conformer in solution arising from the rotation of the diacetylenic hinge.



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