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Next Due Date: Thursday, 15 September 2011

Instructions for Authors (Volume 36)

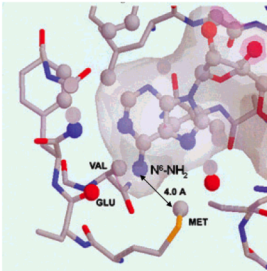
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (CNN being a recent example) don't hesitate to let the group know. If you are splitting a journal with another group member, talk with him/ her to be sure you are not reviewing redundantly. If you are not able to cover your journal for some reason, get someone to cover it for you—as if it were your group job.

Create an Abstract

Abstract submissions are usually prepared using ChemDraw. The editors of the *Lit Review* strongly encourage the copying of graphical material from PDF files and wish to point out the following. Graphics stored in PDF files are typically of postscript or >300 dpi quality. When an image is copied into a ChemDraw document, a screen snapshot is taken, and the image is captured at the present screen resolution. If the PDF file is being viewed zoomed-in, this typically results in the transfer of a high quality image. If the PDF is being viewed zoomed-out, a low quality image typically results. Text can be copied from a PDF file and pasted as text using the text select or column select tool. Once pasted, this text behaves as if it were input from the keyboard.

Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

Please email the files to Imieuli@stanford.edu. Late abstracts will be included in the *Lit Review* for the following month. **PC Users should submit their abstracts as PDFs** or purchase a Mac.

Citation: Abeyweera, T.P.; Rotenberg, S.A. <i>Biochemistry</i> 2007, 46, 2364-2370	
<p>Design and Characterization of a Traceable Protein Kinase C-alpha</p> <p>Protein kinase CR (PKCR) is a critical component of pathways that govern cancer-related phenotypes such as invasion and proliferation. Proteins that serve as immediate substrates for PKCR offer potential targets for anticancer drug design. To identify specific substrates, a mutant of PKCR (M417A) was constructed at the ATP binding site such that it could bind a sterically large ATP analogue derivatized through the N6 amino group of adenosine (1-β-³²P-<i>N</i>-6-phenyl-ATP). Because this analogue could be utilized by the mutant kinase but not by wild-type PKCR (or presumably other protein kinase) to phosphorylate peptide or protein substrates, ³²P-labeled products were the direct result of the mutant PKCR.</p>	
	<p>bioorganic asymmetric methods synthesis mechanism review other</p> <p>OM Bryo Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.</p>

Citation: Dictionary.com (search term = "mook")	
<p>For those of you who always wanted to know what it meant...</p> <p>mook Pronunciation Key (mk) <i>n. Slang</i> An insignificant or contemptible person.</p>	<p>methods synthesis</p>

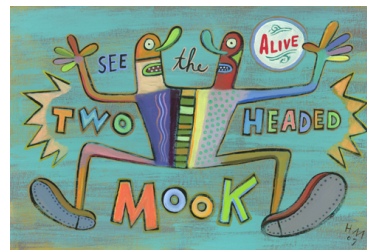
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Lit Review MOOKS include those who:

- fail to submit their abstracts in a timely fashion (or at all), or
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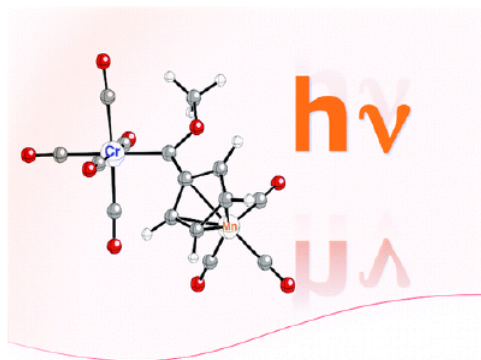
Penalties for being a Lit Review MOOK:

- You will not receive a printed copy of the *Lit Review*.
- You will get last choice when it's time to pick new journals.
- We will crack your corn (clean in half)



Citation: Israel Fernandez et al, *Acc. Chem. Res.*, **2011**, 44 (7), pp 479–490

Photochemistry of Group 6 Fischer Carbene Complexes: Beyond the Photocarbonylation Reaction



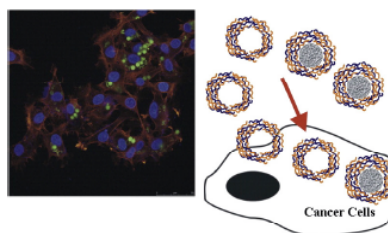
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V. Vergaro et al. *Advanced Drug Delivery Reviews* **2011**, 63, 847–864

Prodrugs for improving tumor targetability and efficiency

In this review we will overview novel nanotechnological nanocarrier systems for cancer therapy focusing on recent development in polyelectrolyte capsules for targeted delivery of antineoplastic drugs against cancer cells. Biodegradable polyelectrolyte microcapsules (PMCs) are supramolecular assemblies of particular interest for therapeutic purposes, as they can be enzymatically degraded into viable cells, under physiological conditions. Incorporation of small bioactive molecules into nano-to-microscale delivery systems may increase drug's bioavailability and therapeutic efficacy at single cell level giving desirable targeted therapy.



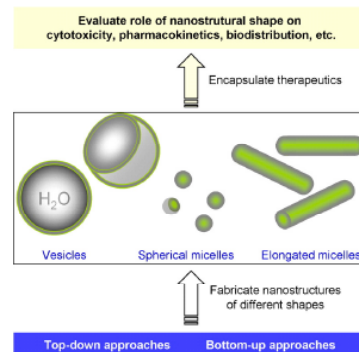
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S. Venkataraman et al. *Advanced Drug Delivery Reviews* **2011**, ASAP, doi:10.1016/j.addr.2011.06.016

The effects of polymeric nanostructure shape on drug delivery

Amphiphilic polymeric nanostructures have long been well-recognized as an excellent candidate for drug delivery applications. With the recent advances in the “top-down” and “bottom-up” approaches, development of well-defined polymeric nanostructures of different shapes has been possible. Such a possibility of tailoring the shape of the nanostructures has allowed for the fabrication of model systems with chemically equivalent but topologically different carriers. With these model nanostructures, evaluation of the importance of particle shape in the context of biodistribution, cellular uptake and toxicity has become a major thrust area.



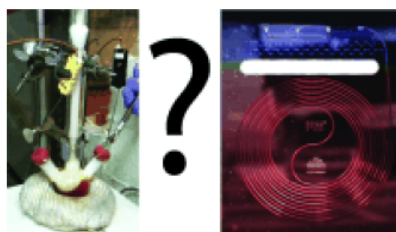
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Ryan L. Hartman, Jonathan P. McMullen and Klavs F. Jensen *Angew. Chem. Int. Ed.* **2011**, 50, 7502 – 7519

Deciding Whether To Go with the Flow: Evaluating the Merits of Flow Reactors for Synthesis

Flow or batch? The fine chemicals and pharmaceutical industries are transforming how their products are manufactured, where economically favorable, from traditional batchwise processes to continuous flow. This evolution is impacting synthetic chemistry on all scales—from the laboratory to full production. This Review discusses the relative merits of batch and microflow reactors for performing synthetic chemistry in the laboratory.



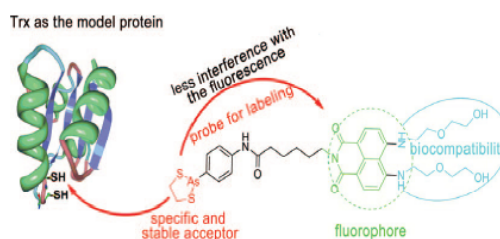
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Chusen Huang et al. *Angew. Chem. Int. Ed.* **2011**, 50, 7551 – 7556

Highly Selective Fluorescent Probe for Vicinal-Dithiol-Containing Proteins and In Situ Imaging in Living Cells

It pays to be direct: In a rapid and specific approach to the detection of vicinal-dithiol-containing proteins (VDPs), the use of a fluorescent probe (see picture) enabled the direct readout of fluorescence. This approach based on fluorescence polarization, electrophoresis, and the direct imaging of VDPs permits the noninvasive study of VDPs both in vitro and in living cells and offers insight into their potential roles in cell function.



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Michal Szostak and David J. Procter *Angew. Chem. Int. Ed.* **2011**, 50, 7737 – 7739

Concise Syntheses of Strychnine and Englerin A: the Power of Reductive Cyclizations Triggered by Samarium Iodide

Spectacular cyclization reactions mediated by the electron-transfer reagent samarium diiodide (Kagan's reagent) were instrumental in efficient syntheses of the classic alkaloid strychnine and the recently discovered guaiane sesquiterpene, englerin A



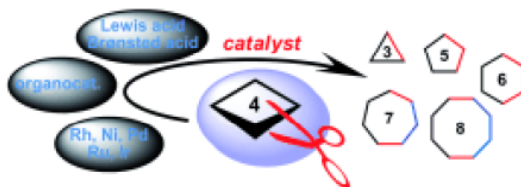
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Tobias Seiser, Tanguy Saget, Duc N. Tran and Nicolai Cramer *Angew. Chem. Int. Ed.* **2011**, 50, 7740 – 7752

Cyclobutanes in Catalysis

The ring strain of cyclobutane is an excellent motor for the discovery of novel reactivity. This Minireview highlights recent developments in catalytic processes involving four-membered rings (see picture). In particular, transition-metal-promoted C[BOND]C bond-activation and beta-carbon-elimination processes, which enable exciting downstream reactions, have made cyclobutane derivatives versatile substrates.



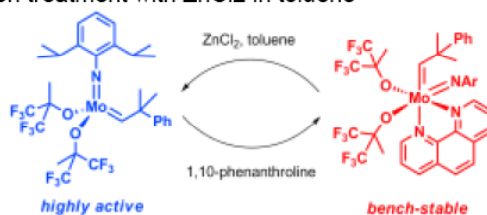
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Johannes Heppekaussen and Alois Furstner *Angew. Chem. Int. Ed.* **2011**, 50, 7829 –7832

Rendering Schrock-type Molybdenum Alkylidene Complexes Air Stable: User-Friendly Precatalysts for Alkene Metathesis

Schrock molybdenum alkylidenes are amongst the most powerful olefin metathesis catalysts known to date, but their sensitivity to air and moisture mandates their handling in a glove-box or by Schlenk techniques. This inconvenience is circumvented by using the corresponding phenanthroline- or bipyridine adducts, which are bench-stable and hence very user-friendly. The active species can be liberated from these precatalysts in uncompromised form on treatment with $ZnCl_2$ in toluene

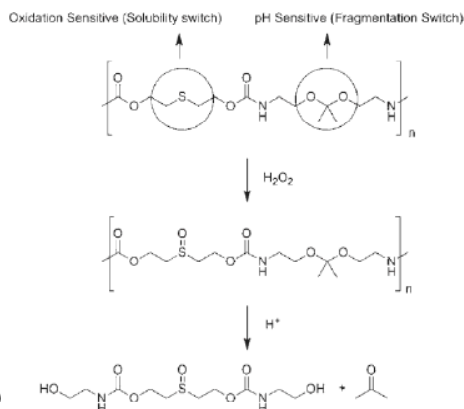


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Citation: Mahmoud, E.A. et al. *Bioconjugate Chemistry* **2011** 22 (7), 1416-1421.

A polythioether ketal-based nanoparticle that degrades upon exposure to oxidative stress and reduced pH in tandem was synthesized. Chemical transformations switch the polymeric backbone from hydrophobic to hydrophilic and thus allows, in mildly acidic environments, the rapid acid-catalyzed degradation of the ketal groups. Dynamic light scattering and payload release studies showed full particle degradation only in conditions that combined both oxidative stress and acidity. Notably, cellular studies show absence of toxicity and efficient uptake of nanoparticles by macrophages followed by cytoplasmic release of ovalbumin.

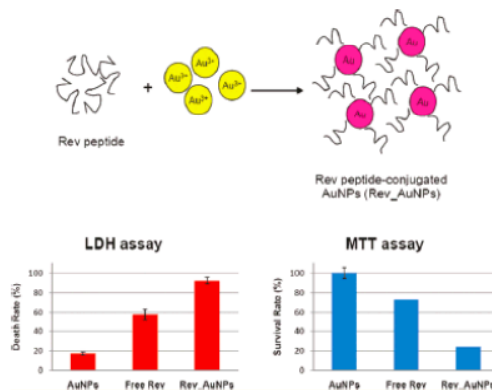


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Citation: Thanh Tran, N. T. et al. *Bioconjugate Chemistry* **2011** 22 (7), 1394-1401

A simple approach for generating peptide-conjugated gold nanoparticles (AuNPs) from the Rev peptide and gold aqueous solution was developed. AuNPs of various sizes (20-300 nm) and shapes can be obtained upon modulating the ratio of gold ions to the Rev peptide. Rev peptide-AuNP nanocomposites exhibited exceptionally high cytotoxic effects toward mouse ovarian surface epithelial cell lines, relative to the effects of equal doses of the free Rev peptide. This study suggests a new way of utilizing biomolecule-conjugated AuNPs as potentially effective anticancer drugs.



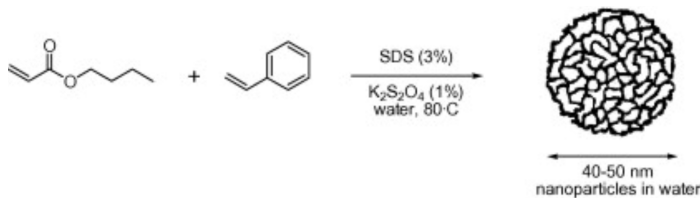
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Citation: Garay-Jimenez, J.C., Turos, E. *Bioorg. Med. Chem. Lett.* **2011**, 21, 4589-4591

A convenient method to prepare emulsified polyacrylate nanoparticles for drug delivery applications

These authors report a simple procedure for synthesis of polyacrylate nanoparticles. By using dialysis for purification, it is possible to achieve a relatively uniform size distribution. The nanoparticles are lyophilized, then can be reconstituted in aqueous media for *in vivo* applications.



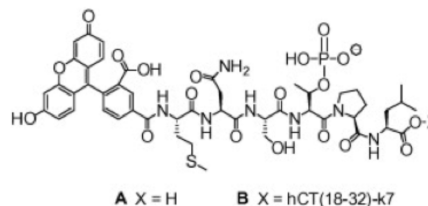
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Citation: Richter, S., Neundorf, I., Loebner, K., Graber, M., Berg, T., Bergmann, R., Steinbach, J., et al. *Bioorg. Med. Chem. Lett.* **2011**, 21, 4686-4689

Phosphopeptides with improved cellular uptake properties as ligands for the polo-box domain of polo-like kinase 1

The phosphopeptide MQSpTPL has been shown to have a high binding affinity for human polo-like kinase 1 (plk1). Because plk1 is overexpressed in cancer cells, it makes for a good therapeutic or imaging target. Unfortunately, early studies showed that it does not penetrate the cell membrane sufficiently. In this study, the phosphopeptide was linked to a cell penetrating peptide, which greatly improved uptake.

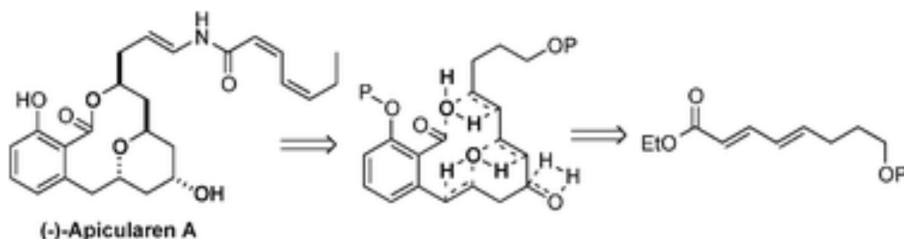


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Citation: Wang, Y.; Xing, Y.; Zhang, Q.; O'Doherty, G. A., *Chem. Comm.* **2011**, 47, 8493-8505.

De novo synthesis of natural products via the asymmetric hydration of polyenes

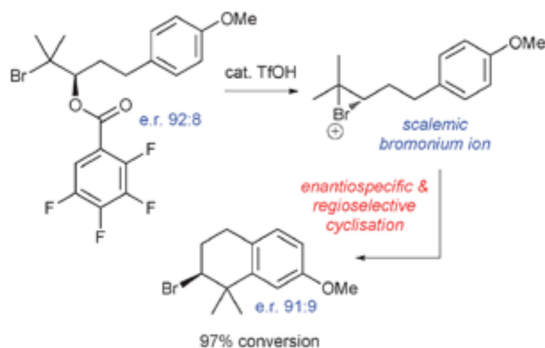


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Citation: Braddock, D. C.; Marklew, J. S.; Thomas, A. J. F., *Chem. Comm.* **2011**, 47, 9051-9053.

Enantiospecific bromonium ion generation and intramolecular capture: a model system for asymmetric bromonium ion-induced polyene cyclisations

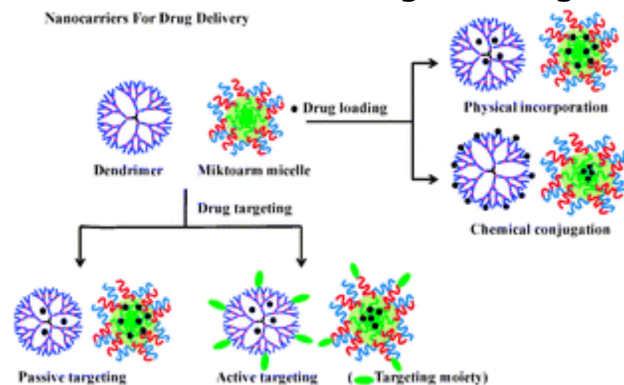


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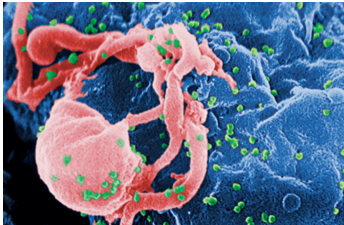

Citation: Soliman, G. M.; Sharma, A.; Maysinger, D.; Kakkar, A., *Chem. Comm.* **2011**, 47, 9572-9587.

Dendrimers and mikroarm polymers based multivalent nanocarriers for efficient and targeted drug delivery



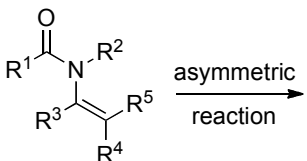
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Jarvis, L. <i>Chemical & Engineering News</i> . 2011 , 89 (29), 8.	
<p>The National Institutes of Health is providing three research teams—each consisting of an academic and an industry partner—with up to five years of funding to develop new strategies for combating HIV. The agency plans to spend as much as \$70 million to support the new anti-HIV research program.</p>	<p>A New Push Against HIV</p> 
<p>Merck & Co. will participate in two of the three projects, joining forces with the University of North Carolina, Chapel Hill, and the University of California, San Francisco, which will receive \$6.3 million and \$4.2 million, respectively, in the first year of the project. The third project pairs Sangamo Biosciences with the Fred Hutchinson Cancer Research Center, in Seattle, which will receive \$4.1 million in the first year.</p>	<p>bioorganic methods synthesis mechanism review other</p>
	<p>OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin</p>
Thayer, A. <i>Chemical & Engineering News</i> . 2011 , 89 (30), 10.	
<p>Seattle Genetics Hits a Key Target</p> 	<p>bioorganic methods synthesis mechanism review other</p>
<p>An FDA advisory panel has voted unanimously to recommend Seattle Genetics' Adcetris for accelerated approval as a treatment for two types of lymphoma in patients who haven't responded to other therapies. If the agency eventually gives the nod, Adcetris will become Seattle Genetics' first product and the only approved antibody-drug conjugate (ADC). Last summer, Pfizer withdrew Mylotarg, an ADC for cancer, because of efficacy and safety concerns.</p>	<p>OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin</p>
Mullin, R. <i>Chemical & Engineering News</i> . 2011 , 89 (32), 10.	
<p>More Merck Job Cuts</p> <p>More Merck Job Cuts Merck & Co. will cut as many as 13,000 jobs worldwide by 2015, in addition to the nearly 17,000 jobs set for elimination after its 2009 merger with Schering-Plough. Merck outlined the cuts in its second-quarter earnings announcement, which reported a 7% increase in sales to \$12.2 billion compared with the 2010 quarter. Net income nearly tripled to \$2.0 billion.</p> <p>In a conference call with investors, CEO Kenneth Frazier said revenue increases for the quarter reflect strong sales of its type 2 diabetes treatments Januvia and Janumet, its arthritis drug Remicade, and its HIV drug Isentress. Merck, however, faces loss of patent protection next year for another of its top sellers, the asthma drug Singulair.</p>	<p>bioorganic methods synthesis mechanism review other</p>
	<p>OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin</p>

Citation: Gopalaiah, K.; Kagan, H. B. *Chem. Rev.* **2011**, *111*, 4599-4657.

Use of Nonfunctionalized Enamides and Enecarbamates in Asymmetric Synthesis



Enamides and enecarbamates are important substrates in asymmetric synthesis. Asymmetric hydrogenation, nucleophilic addition, Mannich reaction, self-coupling, Michael reaction, cyclization, Povarov reaction, hetero-Diels-Alder reaction, Friedel-Crafts reaction, intramolecular Heck reaction, hydroformylation, hydroboration, hydroamination, epoxidation, Simmons-Smith cyclopropanation, and photooxygenation of enamide and/or enecarbamate have been reviewed.

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Citation: McNulty, J.; McLeod, D. *Chemistry, A European Journal* **2011**, *17* 8794-8798.

Amine and Sulfonamide-Promoted Wittig Olefination Reactions in Water

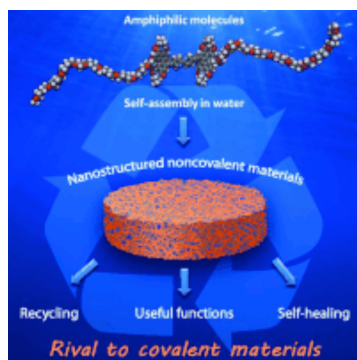


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Citation: Kreig, E.; Rybtchinski, B. *Chemistry, A European Journal* **2011**, *17*, 9016-9026.

Noncovalent Water-Based Materials: Robust yet Adaptive



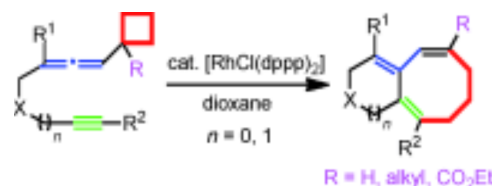
"Better than covalent? Noncovalent materials formed by reversible self-assembly are more adaptive than covalent ones. This adaptivity facilitates easy processing, recycling, self-healing, and stimuli-responsiveness. While noncovalent interactions are generally considered weak, strong hydrophobic interactions in water can be exploited to create noncovalent materials that are unusually stable, thus allowing practical use in real-life applications."

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Citation: Fuyuhiko, I.; Sugikubo, K.; Oura, Y.; Mukai, C. *Chemistry, A European Journal* **2011**, *17*, 9062.

Rh¹-Catalyzed [6+2] Cycloaddition of Alkyne-Allenylcyclobutanes: A New Entry for the Synthesis of Bicyclo[6.m.0] Skeletons



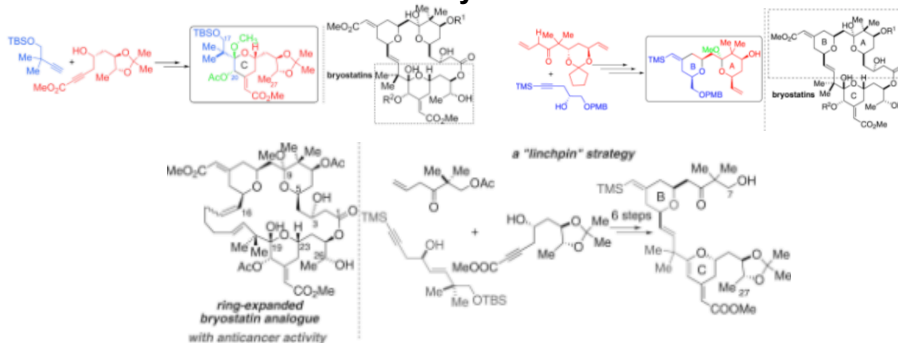
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Citation: Trost, B.M.; Frontier, A.J.; Thiel, O.R.; Yang, H.; Dong, G. *Chemistry, A European Journal* **2011**, *17* 9762. Trost, B.M.; Yang, H.; Brindle, C.S.; Dong, G. *Chemistry, A European Journal* **2011**, *17*, 9777. Trost, B.M.; Yang, H.; Dong, G. *Chemistry, A European Journal* **2011**, *17*, 9789.

See three papers in a row from the Trost lab on Bryostatins total syntheses...

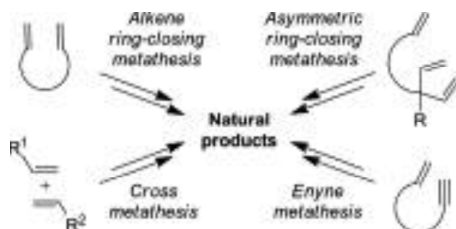


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Citation: Prunet, J. *Eur. J. Org. Chem.* **2011**, 3634-3647.

Progress in Metathesis Through Natural Product Synthesis



This article covers case studies in natural product syntheses with comments on various aspects of metathesis reactions and on how the difficulties encountered in these syntheses have led to better understanding of these reactions or to more effective reaction conditions and catalysts. Ring-closing metathesis leading to small and medium-sized rings is discussed, followed by macrocycle formation, asymmetric ring-closing metathesis, and cross metathesis.

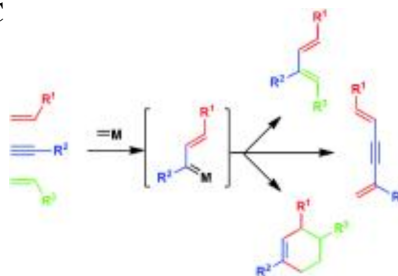
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Citation: Li, J.; Lee, D. *ibid.* 4269-4287.

Enyne-Metathesis-Based Tandem Processes

Enyne metathesis is a powerful synthetic tool for generating 1,3-dienes by redistributing unsaturated functionalities between an alkene and an alkyne via vinylalkylidene intermediates. Although less explored, enyne metathesis has a unique capacity for forming multiple C=C bonds and polycyclic systems in a tandem fashion. By exploiting these characteristics, various tandem reactions have been developed and applied to the synthesis of natural and unnatural products. This microreview recapitulates the recent advances in enyne-metathesis-based tandem processes under two main categories: Enyne metathesis/metathesis and enyne metathesis/nonmetathesis.

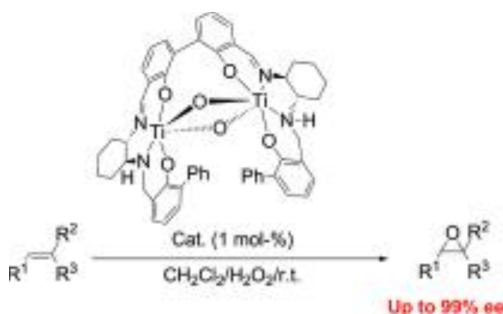


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Citation: Xiong, D.; Hu, X.; Wang, S.; Miao, C.-X.; Xia, C.; Sun, W. *ibid.* 4289-4292.

Biaryl-Bridged Salalen Ligands and Their Application in Titanium-Catalyzed Asymmetric Epoxidation of Olefins with Aqueous H₂O₂



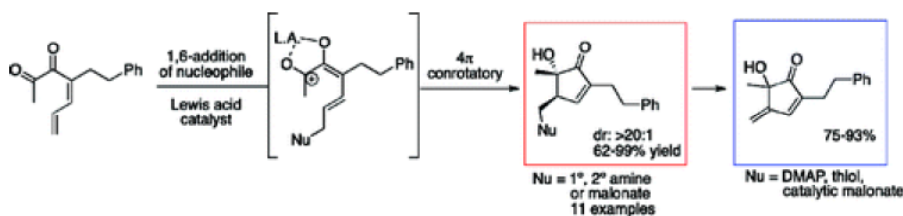
A biaryl-bridged salalen titanium complex was developed and used in the enantioselective epoxidation of a range of olefins with aqueous hydrogen peroxide as the oxidant. Notably, the intramolecular dinuclear Ti catalyst possessing a biaryl bridge is highly efficient for the reaction, especially using terminal aromatic olefins as substrates.

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Citation: Brooks, J.L.; Caruana, P.A.; Frontier, A.J. *J. Am. Chem. Soc.*, 2011, 133 (32), pp 12454–12457

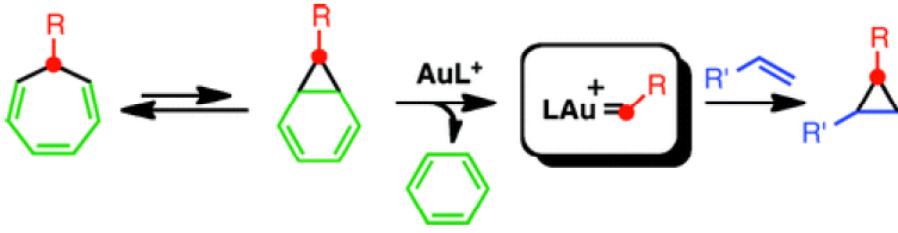
Conjugate Addition-Initiated Nazarov Cyclization



A reaction sequence involving the 1,6-conjugate addition of a nucleophile to a dienyl diketone followed by Nazarov cyclization is described. Several nucleophiles are identified as competent initiators for the sequence. A different reaction outcome is observed when catalytic amounts of nucleophile are employed, involving elimination of the nucleophile after the electrocyclicization.

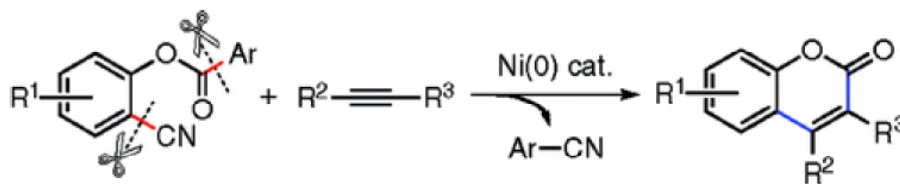
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Gnid/Kirk
Hybrid
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Prostratin

Citation: Solorio-Alvarado, C.R.; Wang, Y.; Echavarren, A.M. <i>J. Am. Chem. Soc.</i> , 2011, 133 (31), pp 11952–11955	
<p>Cyclopropanation with Gold(I) Carbenes by Retro-Buchner Reaction from Cycloheptatrienes</p> 	<p>bioorganic asymmetric methods synthesis mechanism review other</p> <p>OM Bryo Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.</p>
Citation: Hedman, H.K.; Kirpekar, F.; Elmroth, S.K.C. <i>J. Am. Chem. Soc.</i> , 2011, 133 (31), pp 11977–11984	
<p>Platinum Interference with siRNA Non-seed Regions Fine-Tunes Silencing Capacity</p> <p>A model system is presented where the influence of the two clinically used anticancer drugs, cisplatin and oxaliplatin, on siRNA's silencing capacity has been evaluated. Expression assays all confirm biological activity of antisense-platinated siRNAs, here with platination sites located outside of the seed region. A significant reduction of silencing capacity was observed as a general trend, however. Of the two complexes studied, oxaliplatin exhibits the larger influence, thus indicating subtle differences between the abilities of cis- and oxaliplatin to interfere with si- and miRNA processing.</p>	<p>bioorganic asymmetric methods synthesis mechanism review other</p> <p>OM Bryo Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.</p>
Citation: Su, J.; Chen, F.; Cryns, V.L.; Messersmith, P.B. <i>J. Am. Chem. Soc.</i> , 2011, 133 (31), pp 11850–11853	
<p>Catechol Polymers for pH-Responsive, Targeted Drug Delivery to Cancer Cells</p> <p>A novel cell-targeting, pH-sensitive polymeric carrier was employed in this study for delivery of the anticancer drug bortezomib (BTZ) to cancer cells. The catechol moiety was exploited for its ability to bind and release borate-containing therapeutics such as BTZ in a pH-dependent manner. In acidic environments, such as in cancer tissue or the subcellular endosome, BTZ dissociates from the polymer-bound catechol groups to liberate the free drug, which inhibits proteasome function. A cancer-cell-targeting ligand, biotin, was presented on the polymer carriers to facilitate targeted entry of drug-loaded polymer carriers into cancer cells. Our study demonstrated that the cancer-targeting drug-polymer conjugates dramatically enhanced cellular uptake, proteasome inhibition, and cytotoxicity toward breast carcinoma cells in comparison with nontargeting drug-polymer conjugates.</p>	<p>bioorganic asymmetric methods synthesis mechanism review other</p> <p>OM Bryo Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.</p>

Citation: Nakai, K.; Kurahashi, T.; Matsubara, S. J. Am. Chem. Soc., 2011, 133 (29), pp 11066–11068

Nickel-Catalyzed Cycloaddition of *o*-Arylcarboxybenzonitriles and Alkynes via Cleavage of Two Carbon–Carbon σ Bonds



Cleavage of two carbon–carbon σ bonds

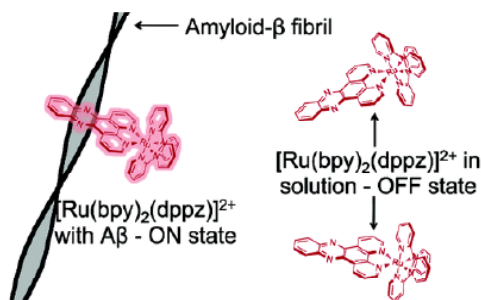
An intermolecular cycloaddition reaction has been developed, where *o*-arylcarboxybenzonitriles react with alkynes to afford coumarins in the presence of Ni(0)/P(CH₂Ph)₃/MAD as a catalyst. The reaction process displays an unusual mechanistic feature—the cleavage of two independent C–CN and C–CO bonds.

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Citation: Cook, N.P.; Torres, V.; Jain, D.; Marti, A.A. J. Am. Chem. Soc., 2011, 133 (29), pp 11121–11123

Sensing Amyloid- β Aggregation Using Luminescent Dipyridophenazine Ruthenium(II) Complexes



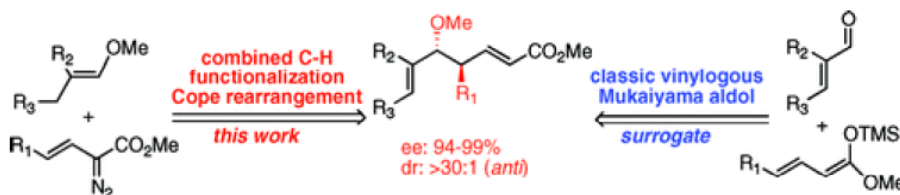
Here, we report the use of a luminescent dipyridophenazine ruthenium(II) complex to monitor A β fibrillization. This complex is not photoluminescent in aqueous solution nor in the presence of monomeric A β , but it presents a strong photoluminescence in the presence of A β fibril aggregates.

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Citation: Lian, Y.; Davies, H.M.L. J. Am. Chem. Soc., 2011, 133 (31), pp 11940–11943

Combined C–H Functionalization/Cope Rearrangement with Vinyl Ethers as a Surrogate for the Vinylogous Mukaiyama Aldol Reaction



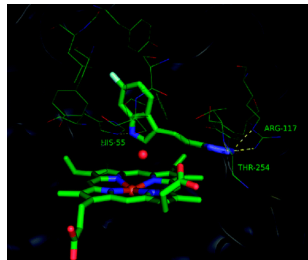
Vinyl ethers selectively undergo the combined C–H functionalization/Cope rearrangement reaction via an *s-cis*/boat transition state. With chiral dirhodium catalysts, products are generated in a highly diastereoselective and enantioselective fashion. This reaction can be considered as a surrogate to the traditional vinylogous Mukaiyama aldol reaction.

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Drug Deliv.
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Citation: Dolusic, E.; et. al. *J. Med. Chem.* **2011**, *54*, 5320-5334.

Tryptophan 2,3-Dioxygenase (TDO) Inhibitors. 3-(2-(Pyridyl)ethenyl)indoles as Potential Anticancer Immunomodulators



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Tryptophan catabolism mediated by indoleamine 2,3-dioxygenase (IDO) is an important mechanism of peripheral immune tolerance contributing to tumoral immune resistance. IDO inhibition is thus an active area of research in drug development. Recently, our group has shown that tryptophan 2,3-dioxygenase (TDO), an unrelated hepatic enzyme also catalyzing the first step of tryptophan degradation, is also expressed in many tumors and that this expression prevents tumor rejection by locally depleting tryptophan. Herein, we report a structure-activity study on a series of 3-(2-(pyridyl)ethenyl)indoles. More than 70 novel derivatives were synthesized, and their TDO inhibitory potency was evaluated. The rationalization of the structure-activity relationships (SARs) revealed essential features to attain high TDO inhibition and notably a dense H-bond network mainly involving His55 and Thr254 residues. Our study led to the identification of a very promising compound (58) displaying good TDO inhibition ($K_i = 5.5 \text{ }^\circ \text{M}$), high selectivity, and good oral bioavailability. Indeed, 58 was chosen for preclinical evaluation.

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Citation: Lozano, V.; et. al. *J. Med. Chem.* **2011**, *54*, 5335-5348.

Targeting HIV Entry through Interaction with Envelope Glycoprotein 120 (gp120): Synthesis and Antiviral Evaluation of 1,3,5-Triazines with Aromatic Amino Acids

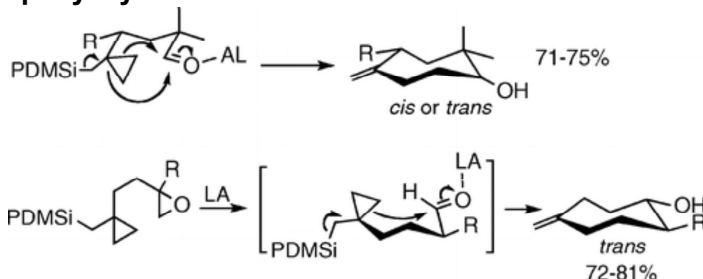
On the basis of the interesting inhibitory properties that lectins show against HIV-replication through their interaction with glycoprotein 120 (gp120), we here describe the design, synthesis, and anti-HIV evaluation of three series of 1,3,5-triazine derivatives (monomers, dimers, and trimers) functionalized with aromatic amino acids meant to mimic interactions that lectins establish with gp120. While monomers were inactive against HIV replication, dimers showed limited anti-HIV activity that is, however, considerably more significant in the trimers series, with EC_{50} values in the lower $^\circ \text{M}$ range. These findings most likely reflect the requirement of multivalency of the 1,3,5-triazine derivatives to display anti-HIV activity, as lectins do. The pronounced anti-HIV activity ($EC_{50} 20 \text{ }^\circ \text{M}$) is accompanied by the absence of toxicity in CEM T-cell line ($CC_{50} > 250 \text{ }^\circ \text{M}$). Moreover, SPR experiments revealed that the prototype trimers with a central core of 2,4,6-triethylbenzene and six l-Trp or six l-Tyr residues at the periphery were efficient binders of CXCR4- and CCR5-tropic HIV-1 gp120 (estimated KD: lower micromolar range). The collected data support the interest of this novel family of anti-HIV agents and qualify them as potential novel microbicide lead compounds.

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Citation: Pulido, F. J.; Barbero, A.; Castreno, P. *J. Org. Chem.* **2011**, *76*, 5850-5855

Seven-Membered Ring Formation from Cyclopropanated Oxo- and Epoxyallylsilanes



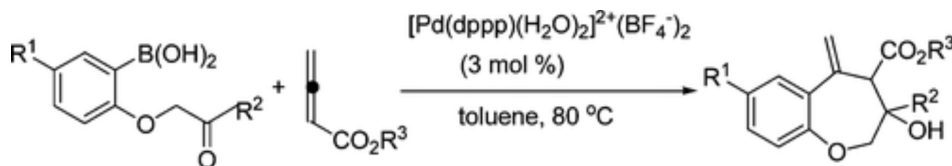
A useful strategy for cycloheptane annulations from oxo- and epoxyallylsilanes, prepared by silylcupration of allenes, has been developed, and their application to the stereoselective synthesis of 4-methylenecycloheptan-1-ols is of great potential in the construction of seven-membered ring natural products presented.

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Citation: Yu, X.; Lu, X. *J. Org. Chem.* **2011**, *76*, 6350-6355

Cationic Palladium-Catalyzed [5 + 2] Annulation of 2-Acylmethoxyarylboronic Acids and Allenates: Synthesis of 1-Benzoxepine Derivatives



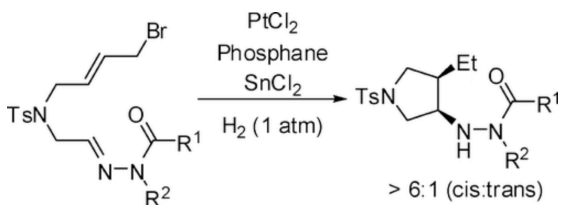
The 1-benzoxepine derivatives were synthesized conveniently by cationic palladium-catalyzed [5 + 2] annulation reaction of 2-acylmethoxyarylboronic acids with allenates in high yields. This annulation involves the intramolecular nucleophilic addition to ketones without the formation of π -allylpalladium species.

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Citation: Hong, J.-T.; Jang, H.-Y. *J. Org. Chem.* **2011**, *76*, 6877-6882

Platinum-Catalyzed Diastereoselective Intramolecular Coupling of Allyl Halides and Hydrazones



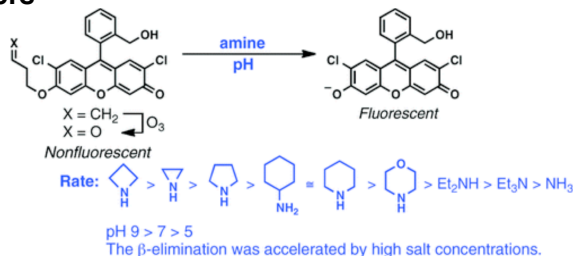
Nucleophilic allyl platinum addition to hydrazones under platinum-catalyzed conditions was studied. To generate nucleophilic allyl platinum complexes, allyl halides were employed with platinum complexes, SnCl₂, and H₂. The allyl platinum(IV) intermediates reacted with the hydrazone to give the corresponding cyclic amine derivatives in good yield and with excellent diastereoselectivity. The cis selectivity of N-tethered substrates was attributed to a tight interaction of allyl platinum species with the hydrazone, on the basis of the results of solvent screening and acid/base addition experiments.

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Citation: Leslie, A. K.; Li, D.; Koide, K. *J. Org. Chem.* **2011**, *76*, 6860-6865

Amine-Promoted β -Elimination of β -Aryloxy Aldehyde for Fluorogenic Chemodosimeters



We previously reported a fluorescent chemodosimeter for ozone. The β -elimination step after the ozonolysis of the chemodosimeter was too slow to be practical for real-time monitoring of ozone. We examined primary, secondary, and tertiary amines at various pHs. It was found that pyrrolidine in pH 9 buffer could accelerate the elimination to generate a fluorescence signal. The elimination step is now sufficiently rapid to monitor ozone exposure in real time. We also discovered that azetidine was distinctly effective for the same elimination reaction in a pH 6 buffer.

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Citation: Richter, A.; Hedberg, C.; Waldmann, H. *J. Org. Chem.* **2011**, 76, 6694-6702

Enantioselective Synthesis of the C10–C20 Fragment of Fusicoccin A



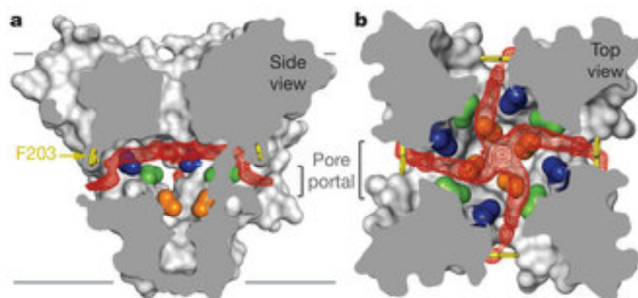
A synthesis of the fully protected C-ring fragment of the tricyclic diterpene fusicoccin A is reported. The desired cyclopentenyl halides 5a,b are obtained in a total of nine steps. Key transformations of the synthesis sequence are a nonconventional Cr-catalyzed allylic oxidation of a protected intermediate cyclopentenone, a diastereoselective addition of a propenyl Grignard/CeCl₃ reagent to the unmasked cyclopentenone, and an asymmetric hydroboration of the isopropenyl substituent. The protected and suitably functionalized C-ring fragment paves the way to explore further the total synthesis of fusicoccin A.

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Citation: Payandeh, J.; Scheuer, T.; Zheng, N.; Catterall, W.A. *Nature* **2011**, 475, 353.

The crystal structure of a voltage-gated sodium channel



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Citation: Russo, G. *Nature* **2011**, 475, 533.

Graduate students: Aspirations and anxieties

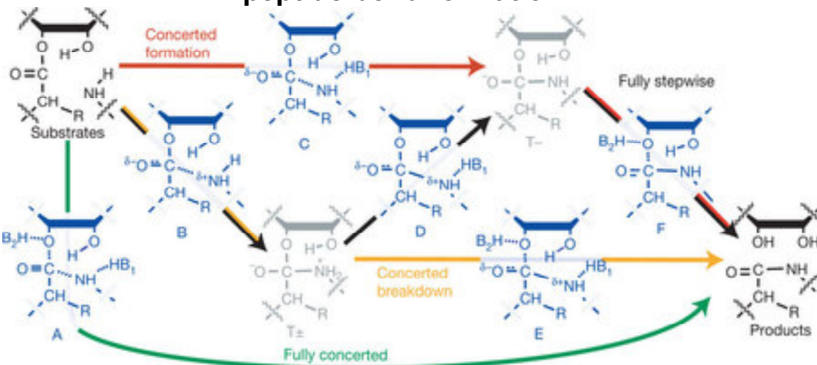
"Nature's international student survey reveals changing career preferences - and a need for inspiring mentors."

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Citation: Hiller, D.A.; Singh, V.; Zhong, M.; Strobel, S.A. *Nature* **2011**, 476, 235.

A two-step chemical mechanism for ribosome-catalysed peptide bond formation

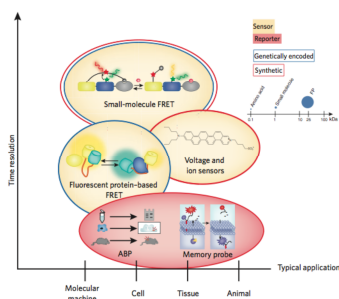


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Citation: Lemke, E.A. and Schultz, C.
Nature Chem. Biol. **2011**, 7, 480-483.

Principles for designing fluorescent sensors and reporters



Sensors and reporters are an important tool to gain insight into biological systems. The field has grown considerably, and many different strategies now exist. This review provides an excellent summary of the state of the field and what is currently possible.

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Citation: <http://www.theonion.com/articles/scientists-trace-heat-wave-to-massive-star-at-cent,21088/>

Scientists Trace Heat Wave to Massive Star at Center of Solar System

PASADENA, CA—Groundbreaking new findings announced Monday suggest the record-setting heat wave plaguing much of the United States may be due to radiation emitted from an enormous star located in the center of the solar system.

Scientists believe the star, which they have named G2V65, may in fact be the same bright yellow orb seen arcing over the sky day after day, and given its extreme heat and proximity to Earth, it is likely not only to have caused the heat wave, but to be responsible for every warm day in human history.

Citation: The Onion -<http://www.theonion.com/articles/nations-optometrists-finally-starting-to-recover-a,20968/>

Nation's Optometrists Finally Starting to Recover After Raucous Optic Expo 2011

ATLANTA—Following days of lengthy seminars, pharmaceutical presentations, and panels on topics ranging from retinal fluid to posterior microphthalmos, the lives of optometrists across America finally began returning to normal this week as yet another raucous Optic Disc Expo drew to a close.

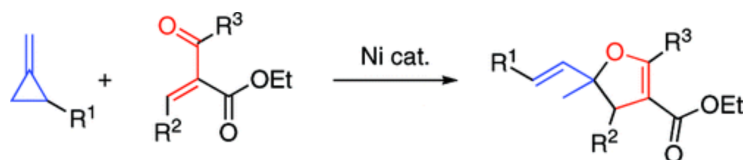
"That was crazy," a bleary-eyed Dr. Martha Benford said regarding the three-day symposium on the part of the eye where ganglion cell axons form the optic nerve. "This morning I woke up with a wristband from an optic neuritis showcase I don't even remember attending."

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Inami, T.; Sako, S.; Kurahashi, T.; Matsubara, S. *Org. Lett.* **2011**, *13*, 3837-3839.

Methylenecyclopropanes in [4+1] Cycloaddition with Enones



Intermolecular [4+1] Cycloaddition

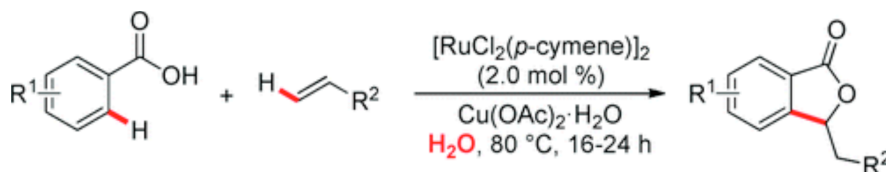
A nickel-catalyzed [4 + 1] cycloaddition of enones with methylenecyclopropanes leading to dihydrofurans was developed. The reaction outcome is attributed to the transformation of methylenecyclopropane, which is incorporated into a five-membered ring as a one-carbon fragment.

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Ackermann, L.; Pospech, J. *Org. Lett.* **2011**, *13*, 4153-4155.

Ruthenium-Catalyzed Oxidative C-H Bond Alkenylations in Water: Expedient Synthesis of Annulated Lactones



Ruthenium-catalyzed cross-dehydrogenative C-H bond alkenylations occurred efficiently in environmentally benign water, which was exploited for an oxidative phthalide synthesis with ample scope. Mechanistic studies provided strong evidence for the oxidative alkenylation to proceed by an irreversible C-H bond metalation *via* acetate assistance.

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Schmidt, A.-K. C.; Stark, C. B. W. *Org. Lett.* **2011**, *13*, 4164-4167.

TPAP-Catalyzed Direct Oxidation of Primary Alcohols to Carboxylic Acids through Stabilized Aldehyde Hydrates

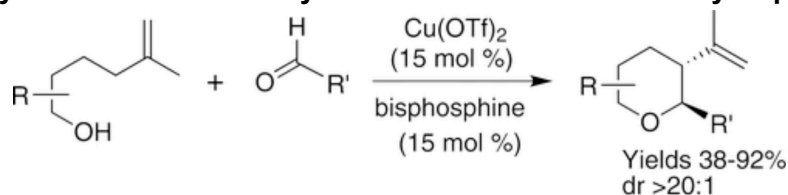


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Ghosh, A. K.; Nicponski, D. R. *Org. Lett.* **2011**, *13*, 4328-4331.

Cu(II)-Catalyzed Olefin Migration and Prins Cyclization: Highly Diastereoselective Synthesis of Substituted Tetrahydropyrans



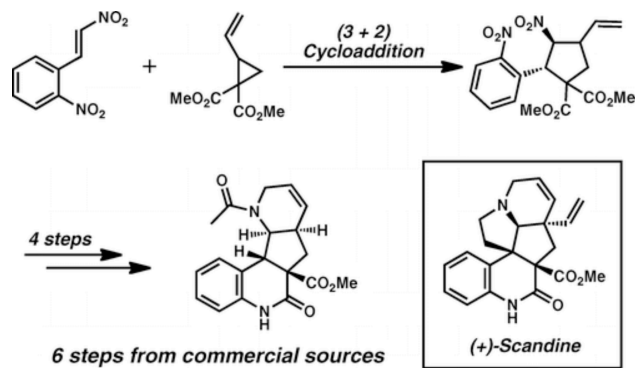
Metal–ligand complexes of Cu(OTf)_2 with an appropriate bisphosphine ligand have been shown to effectively catalyze the formation of substituted tetrahydropyrans via a sequential olefin migration and Prins-type cyclization. This methodology provides convenient access to a variety of functionalized tetrahydropyrans in excellent diastereoselectivities and good to excellent yields.

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Goldberg, A. F. G.; Stoltz, B. M. *Org. Lett.* **2011**, *13*, 4474-4476.

A Palladium-Catalyzed Vinylcyclopropane (3+2) Cycloaddition Approach to the Melodinus Alkaloids

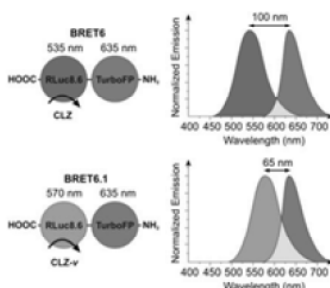


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Citation: Dragulescu-Andrasi, A.; Chan, C. T.; De, A.; Massoud, T. F.; Gambhir, S. S. *Proc. Nat. Acad. Sci.* **2011**, *108* (29), 12060.

Bioluminescence resonance energy transfer (BRET) imaging of protein-protein interactions within deep tissues of living subjects



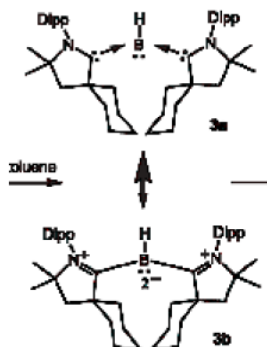
Researchers at the Stanford School of Medicine have developed BRET systems for imaging of cells in culture and in deep-tissue small animal tumor models. The systems consist of a luciferase variant (RLuc8 or RLuc8.6) as a BRET donor and a red fluorescent protein (TagRFP or TurboFP635) as a BRET acceptor.

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Citation: Kinjo, R.; Donnadieu, B.; Celik, M. A.; Frenking, G.; Bertrand, G. *Science* **2011**, *333*, 610.

Synthesis and Characterization of a Neutral Tricoordinate Organoboron Isoelectronic with Amines



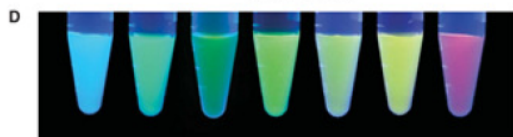
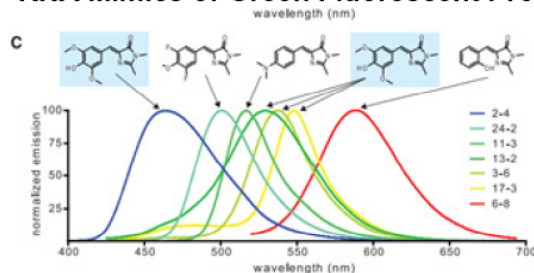
Carbene ligands were used to generate a stable boron derivative which acts as a Lewis base and undergoes one-electron oxidation to the corresponding radical cation. Cyclic (alkyl) (amino) carbenes were used over N-heterocyclic carbenes due to their greater σ -donating and π -accepting capabilities.

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Citation: Paige, J. S.; Wu, K. Y.; Jaffery, S. R. *Science* **2011**, *333*, 642.

RNA Mimics of Green Fluorescent Protein

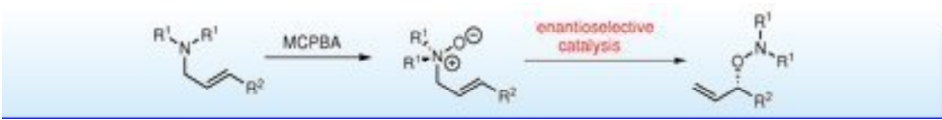
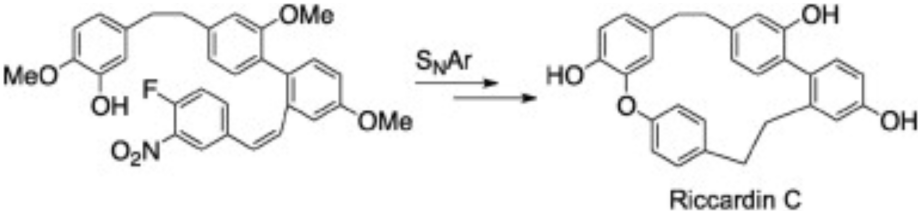
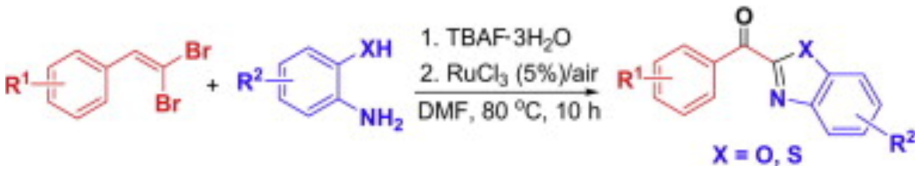


RNA mimics of GFP would enable fluorescent tagging of RNA much like GFP does for proteins. RNA aptamers that bind fluorophores were developed and the resulting RNA-fluorophore complexes resulted in colors that span the visible spectrum.

The paper is worth a look, even if only for the colors!

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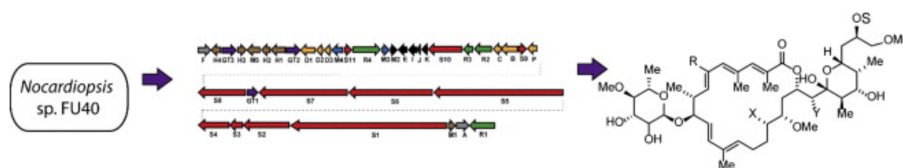
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Citation: Bao, H.; Qi, X.; Tambar, U. K., <i>Syn. Lett.</i> 2011 , 1789-1792.		
<p>Stereoselective [2,3]-Rearrangements of Amine N-Oxides</p> 	<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin</p>	
Citation: Tetrahedron Volume 67, Issue 33, 19 August 2011, Pages 6073-6082		
<p>Efficient and diversity-oriented total synthesis of Riccardin C and application to develop novel macrolactam derivatives Masazumi Iwashita, Shinya Fujii, Shigeru Ito, Tomoya Hirano and Hiroyuki Kagechika</p>  <p style="text-align: center;">Riccardin C</p> <p>Synthesis completed in 16 steps, 7.4% overall yield of this highly selective LXR ligand (it is an agonist of LXRα and an antagonist of LXRβ).</p>		<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin</p>
Citation: Tetrahedron Volume 67, Issue 34, 26 August 2011, Pages 6369-6374		
<p>Synthesis of heteroaryl ketones via tandem reaction of 1,1-dibromoethenes Xuesen Fan, Yan He, Xinying Zhang, Shenghai Guo and Yangyang Wang</p>  <p style="text-align: center;">X = O, S</p> <p>"A novel method for the synthesis of heteroaryl ketones through one-pot tandem reaction of 1,1-dibromoethenes with 2-amino(thio)phenols promoted by TBAF·3H₂O and RuCl₃(5%)/air was developed. This novel method includes several reactions in one-pot and utilizes economical yet efficient reagents to generate synthetically and biologically interesting heteroaryl ketones under mild conditions with good efficiency."</p>		<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin</p>

Citation: Tetrahedron Volume 67, Issue 35, 2 September 2011, Pages 6568-6575

Biosynthesis of the apoptolidins in *Nocardioopsis* sp. FU 40

Yu Du, Dagmara K. Derewacza, Sean M. Deguire, Jesse Teske, Jacques Ravel, Gary A. Sulikowska, and Brian O. Bachmann



This article discusses the elucidation of the biosynthetic machinery responsible for the synthesis of the apoptolidins.

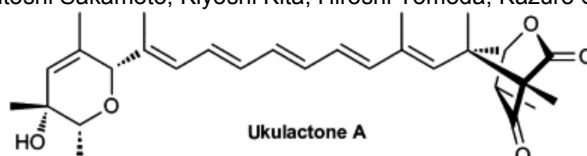
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Citation: Tetrahedron Volume 67, Issue 35, 2 September 2011, Pages 6582-6586

Ukulactones A and B, new NADH-fumarate reductase inhibitors produced by *Penicillium* sp. FKI-3389

Mihoko Mori, Hiromi Morimoto, Yong-Pil Kim, Hideaki Ui, Kenichi Nonaka, Rokuro Masuma, Kimitoshi Sakamoto, Kiyoshi Kita, Hiroshi Tomoda, Kazuro Shiomi and Satoshi Omura



"Screening for NADH-fumarate reductase inhibitors led to the isolation of the new polyketide compounds, ukulactones A and B from a culture broth of *Penicillium* sp. FKI-3389. The structure of ukulactone A was elucidated as a methylated derivative of prugosene A1, which was produced by *Penicillium rugulosum* and NOESY experiment revealed ukulactone B was a stereoisomer of ukulactone A. Ukulactone A showed potent inhibitory activity against NADH-fumarate reductase of the roundworm *Ascaris suum* in vitro."

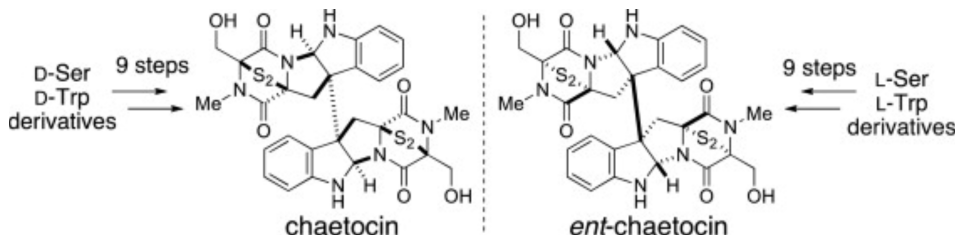
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Citation: Tetrahedron Volume 67, Issue 35, 2 September 2011, Pages 6587-6599

Total syntheses of chaetocin and ent-chaetocin

Eriko Iwasa, Yoshitaka Hamashima, Shinya Fujishiro, Daisuke Hashizume and Mikiko Sodeok

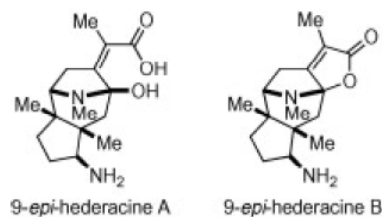


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Citation: T. Yamashita et al, *Tetrahedron Lett.* **52** (2011) 4266.

Syntheses of (±)-9-epi-hederacine A and (±)-9-epi-hederacine B



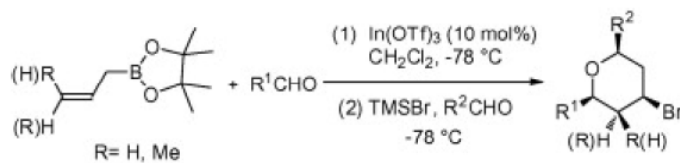
Racemic syntheses of 9-epi-hederacine A and 9-epi-hederacine B have been achieved. Key transformations include a transannular hemiaminal formation for construction of the azabicyclo[3.2.1]octane core fused to a cyclopentane ring.

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Citation: P. Veeraraghavan Ramachandran et al. *Tetrahedron Lett.* **52** (2011) 4378.

One-pot allyl-/crotylboration-Prins cyclization of aldehydes



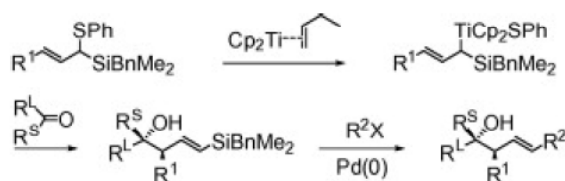
Multi-substituted tetrahydropyrans (THPs) have been prepared via a one-pot, In(OTf)₃-catalyzed, sequential allyl-/crotylboration-Prins cyclization. The homoallylic borate intermediate formed during the allyl- and crotylboration was converted in situ to an oxocarbenium ion and trapped with a nucleophile. The reaction has been extended to include a one-pot allylboration–cross Prins cyclization as well.

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Citation: Takeshi Takeda et al, *Tetrahedron Lett.* **52** (2011) 4575.

Regio- and stereoselective preparation of highly substituted tertiary homoallylic alcohols



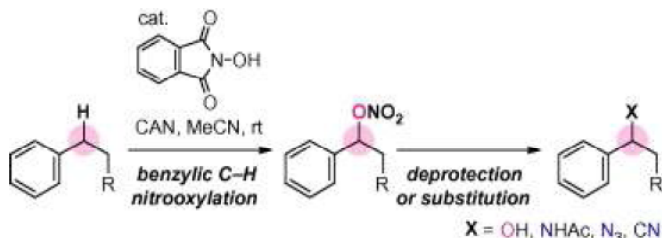
The titanocene(II)-promoted reaction of α -(benzylidimethylsilyl)allylic sulfides with ketones proceeded with high regio- and stereoselectivity to give δ -silyl homoallylic alcohols. The following palladium catalyzed cross-couplings with organic halides produced anti-(E)- β,δ -disubstituted tertiary homoallylic alcohols with complete retention of configuration.

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Citation: Shin Kamijo et al, *Tetrahedron Lett.* **52** (2011) 4654.

Direct oxidative installation of nitroxy group at benzylic positions and its transformation into various functionalities



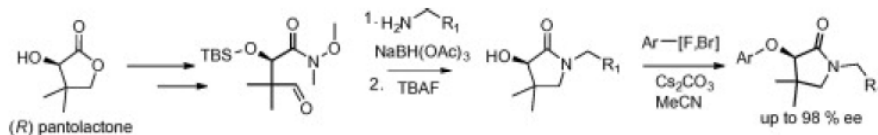
C-H Nitroxylation at benzylic positions has been achieved by employing the N-hydroxyphthalimide (NHPI) catalyst/cerium(IV) ammonium nitrate (CAN) reagent system. The nitroxy groups were demonstrated to function as tentative hydroxy protecting groups, as well as excellent leaving groups for N- and C-substitution reactions. Hence, the present method offers a unique way to synthesize diverse O-, N-, or C-functionalized benzylic compounds from simple alkyl aromatics.

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Citation: Martha A. Ornelas et al, *Tetrahedron Lett.* **52** (2011) 4760.

An efficient synthesis of highly functionalized chiral lactams



A new method was developed to synthesize highly functionalized lactams via a one pot reductive amination/lactam formation reaction. This methodology is amenable for parallel synthesis and was used to prepare a large number of lactam analogs in a library format with good ee (de) retention.

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