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Next Due Date: September 15th 2014

Instructions for Authors (Volume 39)

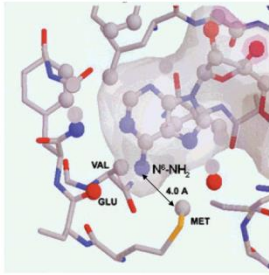
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent 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 sryckbos@stanford.edu. Late abstracts will be included in the Lit Review for the following month. **PCs please send .pdf and macs please send .cdx files.**

Citation: Abeyweera, T.P.; Rotenberg, S.A. <i>Biochemistry</i> 2007 , <i>46</i> , 2364-2370	
<p style="text-align: center;">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 (1ε-32P]-N6-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><i>methods</i> synthesis</p>

DON'T BE A MOOK!

Lit Review MOOKS include those who:

- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

Penalties for being a Lit Review MOOK:

- You will get last choice when it's time to pick new journals.

Citation: ma, X., et. al. *Acc. Chem. Res.* 2014, 47 (7), p 1971

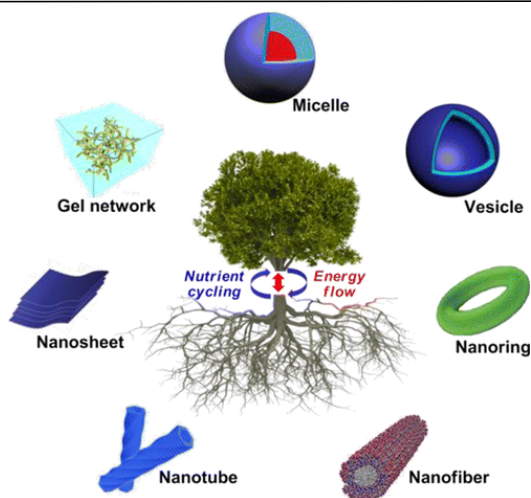
Stimuli-Responsive Supramolecular Polymers in Aqueous Solution



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Citation: Dong, R., et. al. *Acc. Chem. Res.*, 2014, 47 (7), p 2006



Supramolecular Dendritic Polymers: From Synthesis to Applications

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Citation: Wang, W.; Li, T.; Felsovalyi, K.; Chen, C.; Cardozo, T.; Krogsgaard, M. *ACS Chem. Bio.*, **2014**, ASAP.

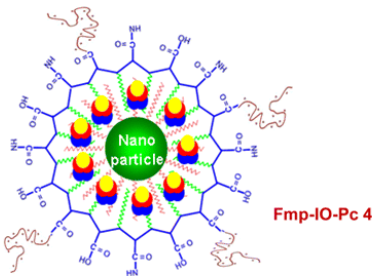
Quantitative Analysis of T Cell Receptor Complex Interaction Sites Using Genetically Encoded Photo-Cross-Linkers

The T cell receptor (TCR)-cluster of differentiation 3 (CD3) signaling complex plays an important role in initiation of adaptive immune responses, but weak interactions have obstructed delineation of the individual TCR-CD3 subunit interactions during T cell signaling. Here, we demonstrate that unnatural amino acids (UAA) can be used to photo-cross-link subunits of TCR-CD3 on the cell surface. Accordingly, the incorporated UAA can be used for site-specific photo-cross-linking experiments to pinpoint protein interaction sites, as well as to confirm interaction sites identified by X-ray crystallography.

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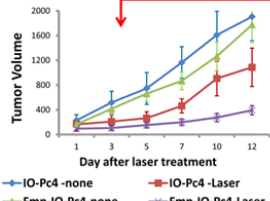
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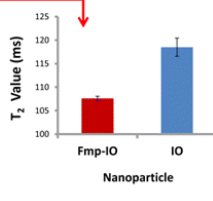
Citation: Wang, D., et.al. ACS Nano, 2014, 8 (7), p 6620



Fmp-IO-Pc 4

Targeted Iron-Oxide Nanoparticle for Photodynamic Therapy and Imaging of Head and Neck Cancer



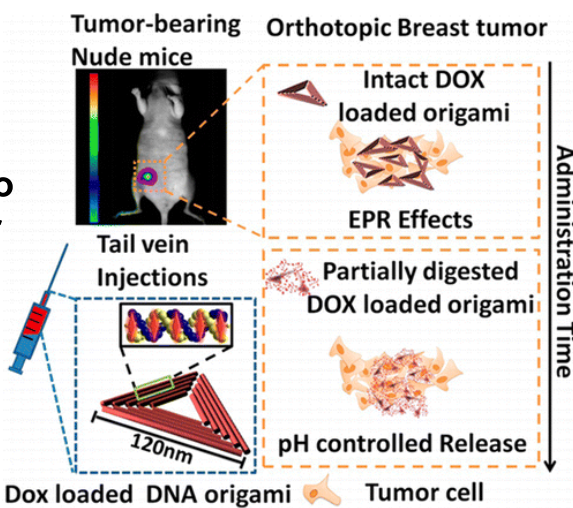


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Citation: Zhang, Q., et. al. ACS Nano, 2014, 8 (7), p 6633

DNA Origami as an In Vivo Drug Delivery Vehicle for Cancer Therapy

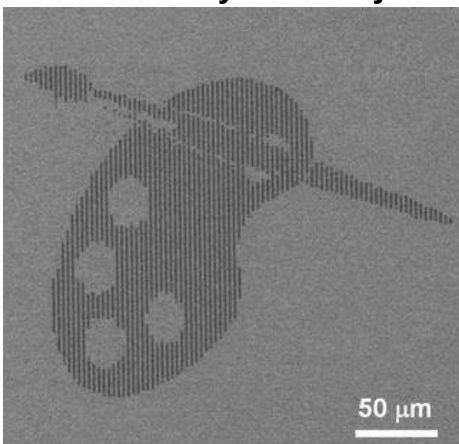
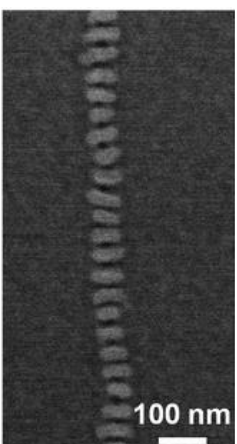


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Citation: Serdar Onses, M., et. al. ACS Nano, 2014, 8 (7), p 6606

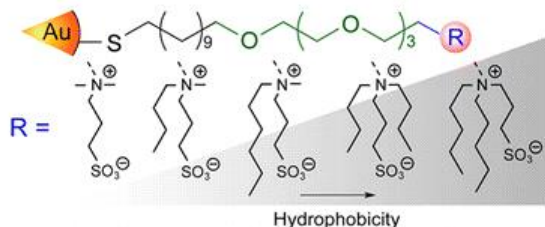
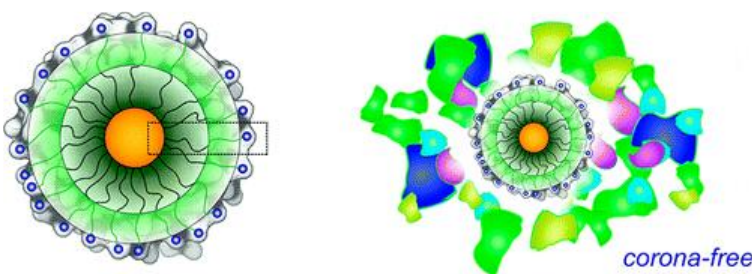
Block Copolymer Assembly on Nanoscale Patterns of Polymer Brushes Formed by Electrohydrodynamic Jet Printing

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Citation: Moyano, D.F., et. al. ACS Nano, 2014, 8 (7), p 6748



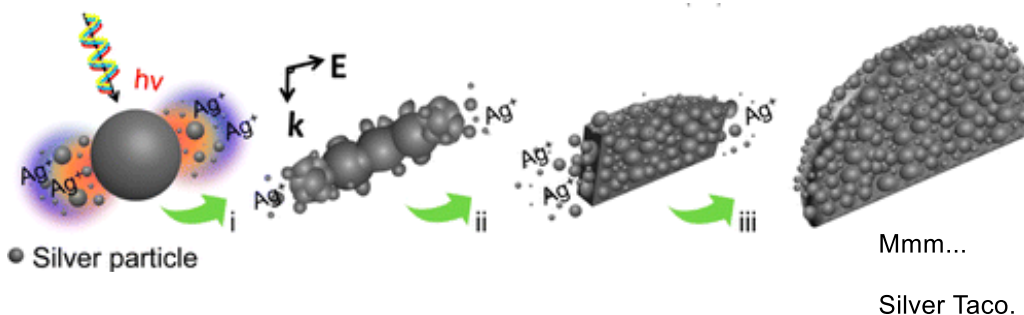
Fabrication of Corona-Free Nanoparticles with Tunable Hydrophobicity

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Citation: Xu, B.B., et. al. ACS Nano, 2014, 8 (7), p 6682

Surface-Plasmon-Mediated Programmable Optical Nanofabrication of an Oriented Silver Nanoplate



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Citation: Bu, L.; Shen, B.; Cheng, Z. *Adv. Drug Del. Rev.* **2014**, *in press*.

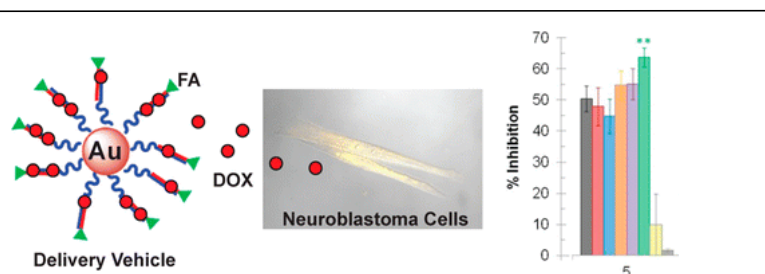
Fluorescent imaging of cancerous tissues for targeted surgery

Fluorescent imaging can help to improve intraoperative staging and enable more radical cytoreduction, detect obscure tumor lesions in special organs, highlight tumor margins, better map lymph node metastases, and identify important normal structures intraoperatively. Though limited tissue penetration of fluorescent imaging and tumor heterogeneity are two major hurdles for current targeted surgery, multimodality imaging and multiplex imaging may provide potential solutions to overcome these issues, respectively. Moreover, though many fluorescent imaging techniques and probes have been investigated, targeted surgery remains at a proof-of-principle stage. The impact of fluorescent imaging on cancer surgery will likely be realized through persistent interdisciplinary amalgamation of research in diverse fields.

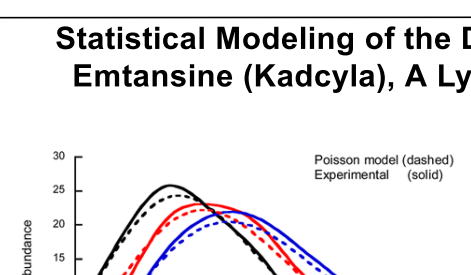
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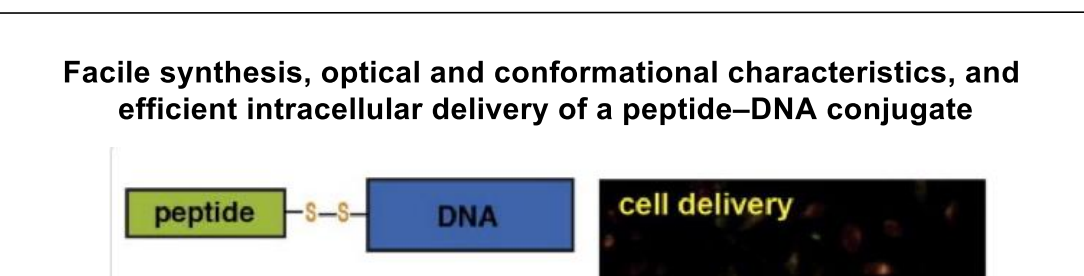
Citation: Alexander, C. M., et al. *Bioconjugate Chem.* **2014**, 25, 1261-1271

	<p>Multifunctional DNA-Gold Nanoparticles for Targeted Doxorubicin Delivery</p> <p>bioorganic methods synthesis mechanism review other</p>
<p>Gold nanoparticles have become powerful new vehicles for drug transport and imaging. Making use of this growing technology, the authors developed DNA-capped gold nanoparticles with attached folic acid, different polymers, and doxorubicin. The group found that gold particles with moderate amounts of folic acid and a thermoresponsive polymer attached alongside doxorubicin were significantly more cytotoxic than free doxorubicin. Thus not only do these particles provide another chemotherapeutic tool, but they reveal new information about cellular uptake mechanisms.</p>	<p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>

Citation: Kim, M. T., et al. *Bioconjugate Chem.* **2014**, 25, 1223-1232

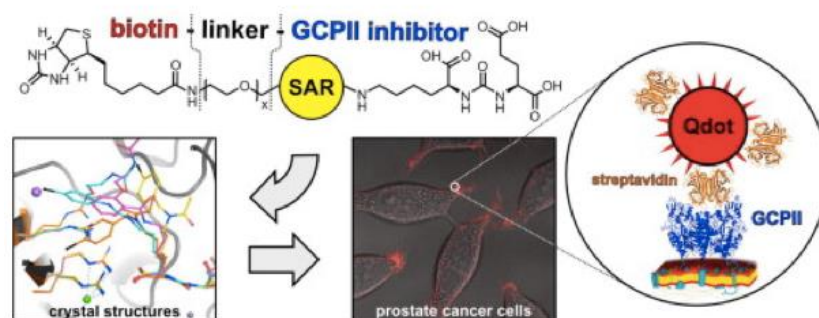
<p>Statistical Modeling of the Drug Load Distribution on Trastuzumab Emtansine (Kadcyla), A Lysine-Linked Antibody Drug Conjugate</p> 	<p>bioorganic methods synthesis mechanism review other</p>
<p>Monoclonal antibodies linked to various chemotherapeutic agents are being widely studied for their utility as novel drug delivery platforms. In an effort to understand drug load distribution on a monoclonal antibody, the authors investigated T-DM1, a recently approved antibody-drug conjugate. Using statistical modeling and Poisson distribution analysis to process simple UV spectrophotometric data, they were able to identify a mathematical relationship between the average drug to antibody ratio and the drug load distribution. It is possible that this method may be extendable to other antibody-drug conjugates.</p>	<p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>

Citation: Lee, M.; et al. *Bioorg. Med. Chem.*, 22, (2014) 4204-4209

<p>Facile synthesis, optical and conformational characteristics, and efficient intracellular delivery of a peptide–DNA conjugate</p> 	<p>bioorganic methods synthesis mechanism review other</p>
<p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>	<p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>

Citation: Tykvar, J.; et al. *Bioorg. Med. Chem.*, 22, (2014) 4099-4108

Rational design of urea-based glutamate carboxypeptidase II (GCPII) inhibitors as versatile tools for specific drug targeting and delivery

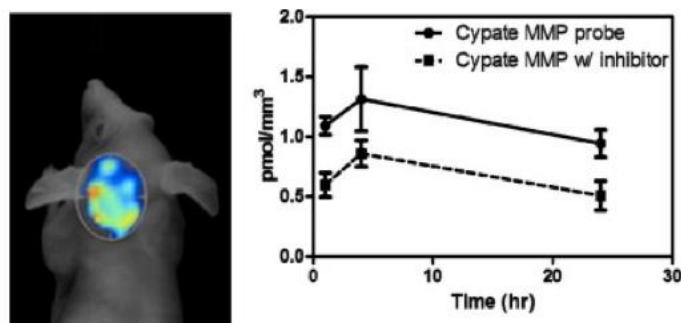


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Citation: Zhang, X.; et al. *Bioorg. Med. Chem. Lett.*, (2014) in Press

Near-infrared triple-helical peptide with quenched fluorophores for optical imaging of MMP-2 and MMP-9 proteolytic activity in vivo

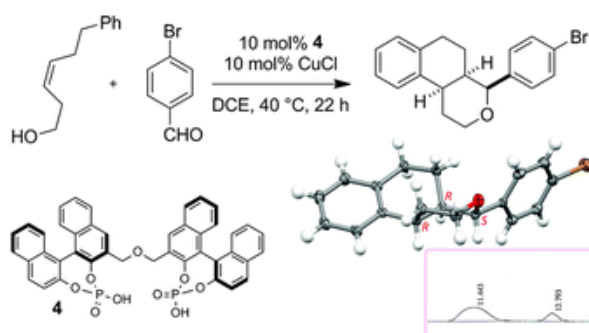


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Citation: Lalli, C.; van de Weghe, P. *Chem. Commun.* 2014, 50, 7495.

Enantioselective Prins cyclization: BINOL-derived phosphoric acid and CuCl synergistic catalysis



The first catalytic enantioselective Prins cyclization is disclosed. The reaction is catalyzed by the combination of a chiral BINOL-derived bis-phosphoric acid and CuCl. The process consists of a tandem Prins/Friedel-Crafts cyclization that affords the hexahydro-1H-benzo[f]isochromenes products with three new contiguous stereogenic centers in high yields.

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Citation: Whitesides, G. M.; *et al. Chem. Commun.* **2014**, 50, 7548.

Separation and enrichment of enantiopure from racemic compounds using magnetic levitation

Crystallization of a solution with high enantiomeric excess can generate a mixture of crystals of the desired enantiomer and the racemic compound. Using a mixture of S-/RS-ibuprofen crystals as a model, the authors demonstrated that magnetic levitation (MagLev) is a useful technique for analysis, separation and enantioenrichment of chiral/racemic products

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Citation: Drahl, C. *C&EN.* **2014**, 92(29), 31-32.

How Does Acetaminophen Work? Researchers Still Aren't Sure



A clearer picture might pave the way for new painkillers

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Citation: Ritter, S. *C&EN.* **2014**, 92(31), 11-17

The Case Against Sugar



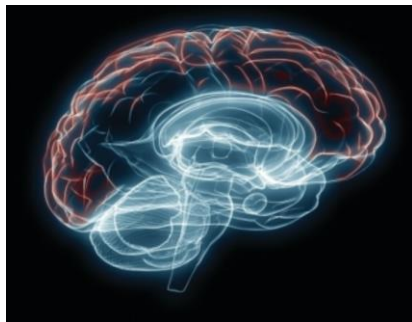
Amid calls to cut back or even ban added sugars, scientists hunt for alternative ways to satisfy our cravings

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Citation: Wolf, L. *C&EN*. **2014**, 92(29), 9-14.

Racing To Detect Brain Trauma



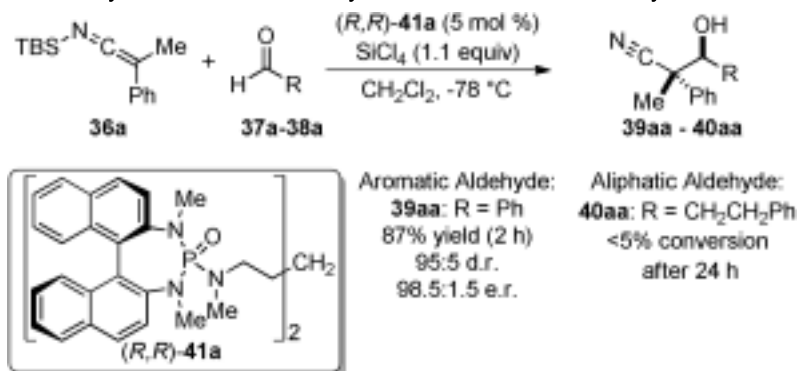
Scientists search for biomarkers and imaging tools to diagnose concussion-related brain disease while a person is still alive.

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Citation: Denmark, *et al.* *Chem. Eur. J.* **2014**, 20, 9268-9269.

Enantioselective Construction of Quaternary Stereogenic Carbon Atoms by the Lewis Base Catalyzed Additions of Silyl Ketene Imines to Aldehydes



Proof of principle experiments for the Lewis base catalyzed, SiCl₄-mediated, aldol addition of silyl ketene imines with aromatic and aliphatic aldehydes.

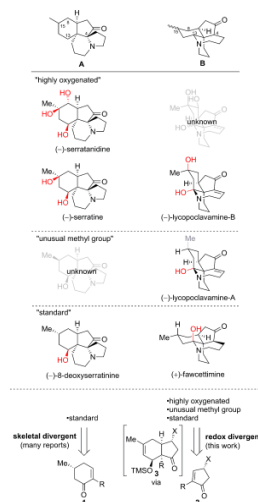
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Citation: Zaimoku, *et al.* *Chem. Eur. J.* **2014**, 20, 9613-9619.

Redox Divergent Synthesis of Fawcettimine-Type Lycopodium Alkaloids

A new approach for synthesis of fawcettimine-type Lycopodium alkaloids. A divergent strategy was achieved by applying stereoselective Diels–Alder reaction followed by redox-controlled elaboration. Targeted molecules on the right were synthesized.



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Citation: Degliangeli, *et al. Chem. Eur. J.* **2014**, *20*, 9476-9492.

Nanotechnology-Based Strategies for the Detection and Quantification of MicroRNA

MicroRNAs (miRNAs) are important regulators of gene expression, and many pathological conditions, including cancer, are characterized by altered miRNA expression levels. Therefore, accurate and sensitive quantification of miRNAs may result in correct disease diagnosis establishing these small noncoding RNA transcripts as valuable biomarkers. Aiming at overcoming some limitations of conventional quantification strategies, nanotechnology is currently providing numerous significant alternatives to miRNA sensing. In this review an up-to-date account of nanotechnology-based strategies for miRNA detection and quantification is given. The topics covered are: nanoparticle-based approaches in solution, sensing based on nanostructured surfaces, combined nanoparticle/surface sensing approaches, and single-molecule approaches.

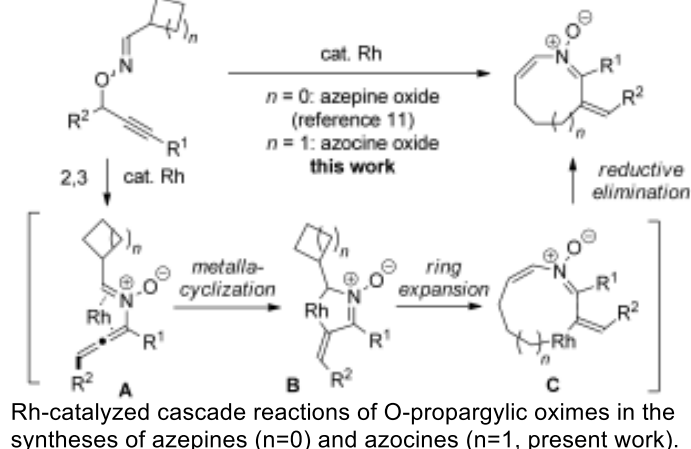
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Citation: Araya, *et al. Chem. Eur. J.* **2014**, *20*, 10255-10259.

Rhodium-Catalyzed Intramolecular [3+2+2] Cycloadditions between Alkylidencyclopropanes, Alkynes, and Alkenes

A Rh-catalyzed intramolecular [3+2+2] cycloaddition is reported. The cycloaddition affords synthetically relevant 5,7,5-fused tricyclic systems of type 2 from readily available dienyne precursors. The transformation takes place with moderate or good yields, high diastereoselectivity, and total chemoselectivity.



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Citation: Zhegalova, *et al. Chem. Eur. J.* **2014**, *20*, 10292-10297.

Design of Fluorescent Nanocapsules as Ratiometric Nanothermometers

The nanothermometers comprise two organic fluorophores encapsulated in a crosslinked polymethacrylate nanoshell. The role of the nanocapsule shell around the fluorophores is to form a well-defined and stable microenvironment to prevent other factors besides temperature from affecting the dyes' fluorescence. The two fluorophores feature different temperature-dependent emission profiles; a fluorophore with relatively insensitive fluorescence (rhodamine 640) serves as a reference whereas a sensitive fluorophore (indocyanine green) serves as a sensor. The sensitivity of the nanothermometers depends on the type of nanocapsule-forming lipid and is affected by the phase transition temperature. Both the fluorescence intensity and the fluorescence lifetime can be utilized to measure the temperature.

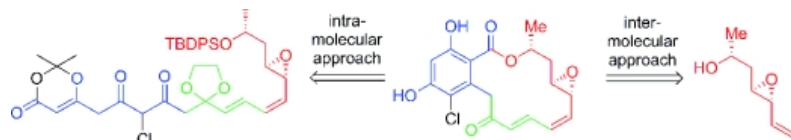
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Citation: Barrett, A. G. M. et. al. *Eur. J. Org. Chem.* **2014**, 4523–4535.

Synthetic Studies towards Radicicol through Biomimetic Macrolactonization and Transannular Aromatization Reactions

Two approaches towards the total synthesis of radicicol were investigated. A practical route to a range of structurally related resorcyates is described that employs a biomimetic, late-stage aromatization and esterification to highlight a wide range of sensitive functional groups that tolerate the conditions for the arene ring-closing step.



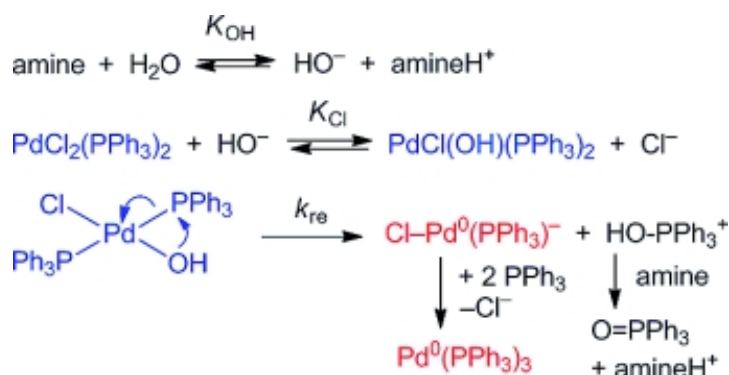
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Citation: Amatore, C. et. al. *Eur. J. Org. Chem.* **2014**, 4709–4713.

Kinetic Data on the Synergetic Role of Amines and Water in the Reduction of Phosphine-Ligated Palladium(II) to Palladium(0)

A Pd⁰ complex is formed from [PdCl₂(PPh₃)₂] through the synergetic role of water and amine (which generates hydroxide ions) in an intramolecular reduction occurring in [PdCl(OH)(PPh₃)₂]. The ligated phosphine is the reducing agent.

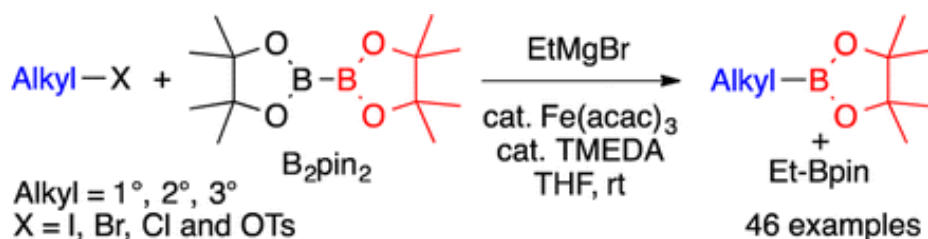


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Citation: Atack, T.C.; Lecker, R.M.; Cook, S.P. *J. Am. Chem. Soc.*, **2014**, 136 (27), 9521-9523.

Iron-Catalyzed Borylation of Alkyl Electrophiles



Benefits:

- Low-cost catalytic system
- Gram scale
- Broad substrate AND electrophile scope

Current Limitations:

- Incompatible with some functional groups
- High yields employ excess B₂pin₂
- Alkyl-Cl, -OMs, and -OTs provide lower yields

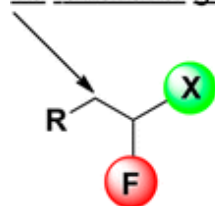
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Citation: Jiang, X.; Sakthivel, S.; Kulbitski, K.; Nisnevich, G.; Gandelman, M. *J. Am. Chem. Soc.*, **2014**, *136* (27), 9548-9551.

Efficient Synthesis of Secondary Alkyl Fluorides via Suzuki Cross-Coupling Reaction of 1-Halo-1-fluoroalkanes

no functional group



racemic

X = I, Br, Cl

R₁ = Alkyl, aryl



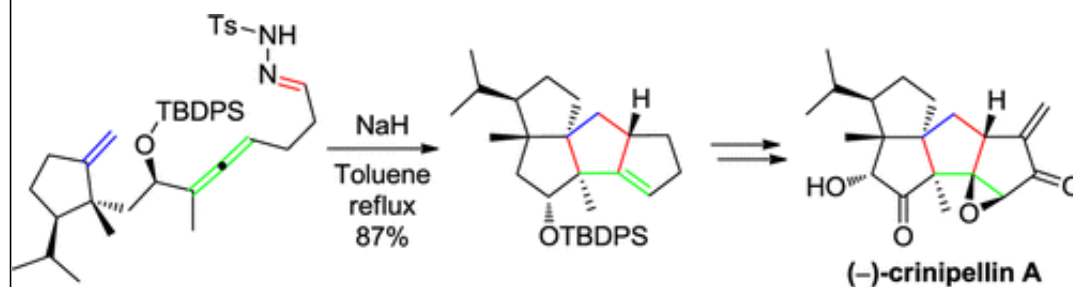
up to 90% yield (33 examples)
up to 91% ee (5 examples)

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Citation: Kang, T.; Song, S.B.; Kim, W.-Y.; Kim, B.G.; Lee, H.-Y. *J. Am. Chem. Soc.*, **2014**, *136* (29), 10274-10276.

Total Synthesis of (-)-Crinipellin A

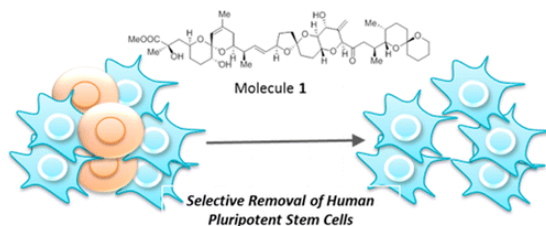


bioorganic
methods
synthesis
mechanism
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other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Kuo, T. -F. et al. *J. Am. Chem. Soc.*, 2014, *136* (28), pp 9798–9801

Selective Elimination of Human Pluripotent Stem Cells by a Marine Natural Product Derivative



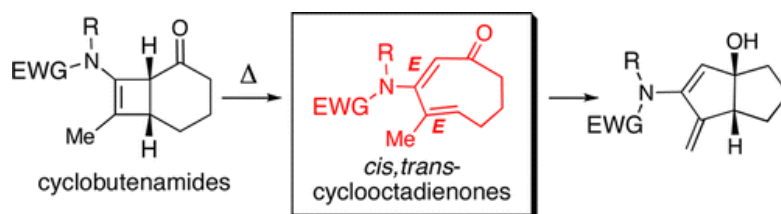
The present study reports rediscovery of a synthetic derivative of okadaic acid, a marine polyether toxin, as a reagent that selectively induces the death of human pluripotent stem cells. Cell-based screening of 333 cytotoxic compounds identified methyl 27-deoxy-27-oxookadaate (molecule 1) as a substrate of two ATP-binding cassette (ABC) transporters, ABCB1 (MDR1) and ABCG2 (BCRP), whose expression is repressed in human embryonic stem cells and induced pluripotent stem cells.

bioorganic
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Prostratin

Citation: Wang, X. -N. et al. J. Am. Chem. Soc., 2014, 136 (28), pp 9802–9805

Torquoselective Ring Opening of Fused Cyclobutenamides: Evidence for a *Cis,Trans*-Cyclooctadienone Intermediate



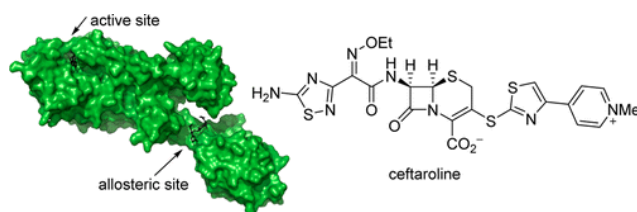
Electrocyclic ring opening of 4,6-fused cyclobutenamides 1 under thermal conditions leads to *cis,trans*-cyclooctadienones 2-E,E as transient intermediates, en route to 5,5-bicyclic products 3. Theoretical calculations predict that 4,5-fused cyclobutenamides should likewise undergo thermal ring opening, giving *cis,trans*-cycloheptadienones, but in this case conversion to 5,4-bicyclic products is thermodynamically disfavored, and these cyclobutenamides instead rearrange to vinyl cyclopentenones.

bioorganic
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Drug Deliv.
Prostratin

Citation: Fishovitz, J. et al. J. Am. Chem. Soc., 2014, 136 (28), pp 9814–9817

Disruption of Allosteric Response as an Unprecedented Mechanism of Resistance to Antibiotics



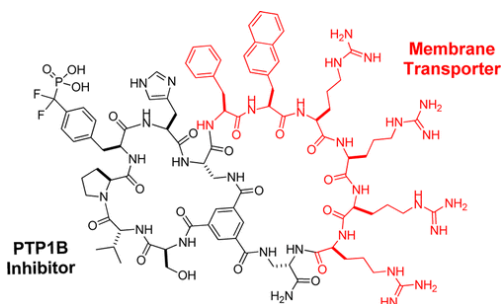
Ceftaroline, a recently approved beta-lactam antibiotic for treatment of infections by methicillin-resistant *Staphylococcus aureus* (MRSA), is able to inhibit penicillin-binding protein 2a (PBP2a) by triggering an allosteric conformational change that leads to the opening of the active site. The opened active site is now vulnerable to inhibition by a second molecule of ceftaroline, an event that impairs cell-wall biosynthesis and leads to bacterial death.

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Prostratin

Citation: Lian, W. et al. J. Am. Chem. Soc., 2014, 136 (28), pp 9830–9833

Cell-Permeable Bicyclic Peptide Inhibitors against Intracellular Proteins



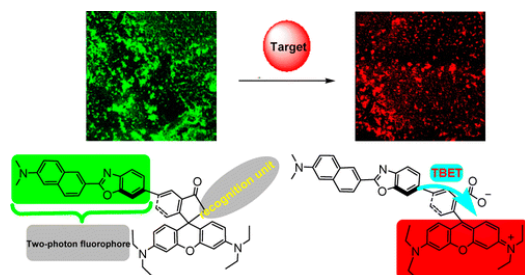
Cyclic peptides have great potential as therapeutic agents and research tools but are generally impermeable to the cell membrane. Fusion of cyclic peptides with a cyclic cell-penetrating peptide produces bicyclic peptides that are cell-permeable and retain the ability to recognize specific intracellular targets.

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Citation: Zhou, L. et al. J. Am. Chem. Soc., 2014, 136 (28), pp 9838–9841

Molecular Engineering of a TBET-Based Two-Photon Fluorescent Probe for Ratiometric Imaging of Living Cells and Tissues



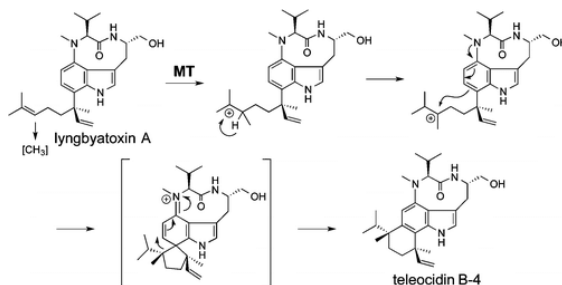
Ratiometric probes can eliminate environmental interferences by the built-in correction of the dual emission bands, resulting in a more favorable system for imaging living cells and tissues. Herein, for the first time, we adopted a through-bond energy transfer (TBET) strategy to design and synthesize a small molecular ratiometric two-photon fluorescent probe for imaging living cells and tissues in real time.

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OM
Bryo
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Hybrid
Drug Deliv.
Prostratin

Citation: Awakawa, T. et al. J. Am. Chem. Soc., 2014, 136 (28), pp 9910–9913

A Methyltransferase Initiates Terpene Cyclization in Teleocidin B Biosynthesis



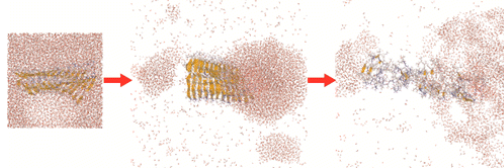
Teleocidin B is an indole terpenoid isolated from *Streptomyces*. The identification of genes encoding enzymes for teleocidin B biosynthesis, including nonribosomal peptide synthetase (tleA), P-450 monooxygenase (tleB), prenyltransferase (tleC), and methyltransferase (tleD), was reported. TleD not only installs a methyl group on the geranyl moiety of the precursor but also facilitates the nucleophilic attack from the electron-rich indole to the resultant cation, to form the indole-fused six-membered ring. This is the first demonstration of a cation, generated from methylation, triggering successive terpene ring closure.

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Hybrid
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Prostratin

Citation: Okumura, H. et al. J. Am. Chem. Soc., 2014, 136 (30), pp 10549–10552

Amyloid Fibril Disruption by Ultrasonic Cavitation: Nonequilibrium Molecular Dynamics Simulations



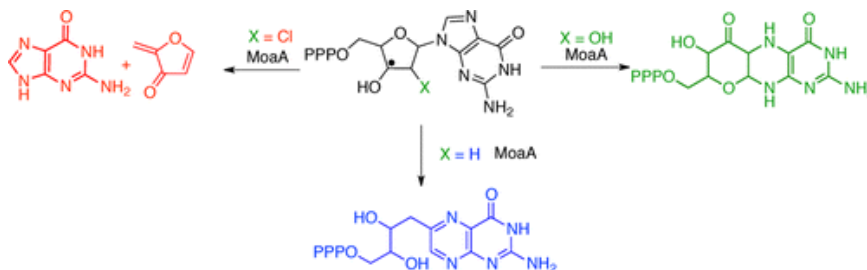
We describe the disruption of amyloid fibrils of Alzheimer's amyloid-beta peptides by ultrasonic cavitation. When the pressure is negative, a bubble is formed, usually at hydrophobic residues in the transmembrane region. Most beta-strands maintain their secondary structures in the bubble. When the pressure becomes positive, the bubble collapses, and water molecules crash against the hydrophilic residues in the nontransmembrane region to disrupt the amyloid. Shorter amyloids require longer sonication times for disruption because they do not have enough hydrophobic residues to serve as a nucleus to form a bubble. These results agree with experiments in which monodispersed amyloid fibrils were obtained by ultrasonication.

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Citation: Mehta, A. P. et al. J. Am. Chem. Soc., 2014, 136 (30), pp 10609–10614

Molybdopterin Biosynthesis: Trapping of Intermediates for the MoaA-Catalyzed Reaction Using 2'-DeoxyGTP and 2'-ChloroGTP as Substrate Analogues

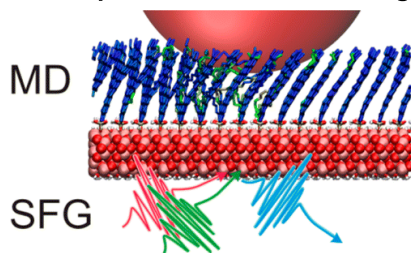


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Hybrid
Drug Deliv.
Prostratin

Citation: Meltzer, C. et al. J. Am. Chem. Soc., 2014, 136 (30), pp 10718–10727

Indentation and Self-Healing Mechanisms of a Self-Assembled Monolayer —A Combined Experimental and Modeling Study



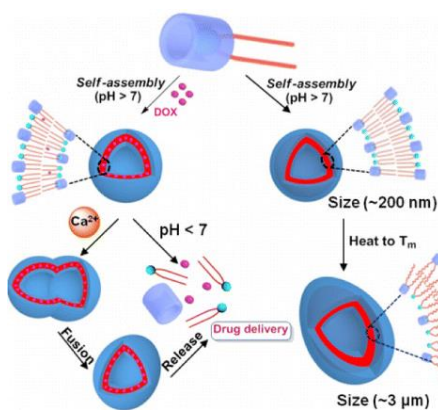
A combination of in situ vibrational sum-frequency generation (SFG) spectroscopy and molecular-dynamics (MD) simulations has allowed us to study the effects of indentation of self-assembled octadecylphosphonic acid (ODPA) monolayers on alpha-Al₂O₃(0001). Stress-induced changes in the vibrational signatures of C–H stretching vibrations in SFG spectra and the results of MD simulations provide clear evidence for an increase in gauche-defect density in the monolayer as a response to indentation.

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Hybrid
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Citation: Cao, Y. et al. J. Am. Chem. Soc., 2014, 136 (30), pp 10762–10769

Multistimuli-Responsive Supramolecular Vesicles Based on Water-Soluble Pillar[6]arene and SAINT Complexation for Controllable Drug Release



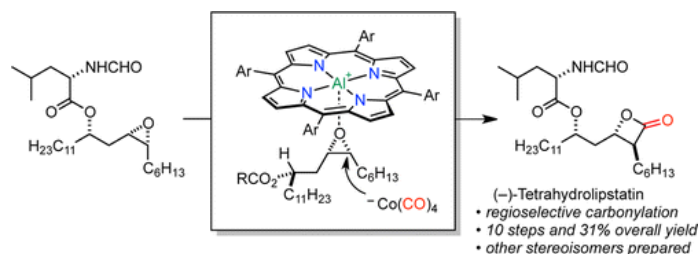
Supramolecular binary vesicles based on the host-guest complexation of water-soluble pillar[6]arene (WP6) and SAINT molecule have been successfully constructed, which showed pH-, Ca²⁺-, and thermal-responsiveness. These supramolecular vesicles can efficiently encapsulate model substrate calcein, which then can be efficiently released either by adjusting the solution pH to acidic condition due to the complete disruption of vesicular structure, or particularly, by adding a certain amount of Ca²⁺ due to the Ca²⁺-induced vesicle fusion and accompanied by the structure disruption. More importantly, drug loading and releasing experiments demonstrate that an anticancer drug, DOX, can be successfully encapsulated by the supramolecular vesicles, and the resulting DOX-loaded vesicles exhibit efficient release of the encapsulated DOX with the pH adjustment or the introduction of Ca²⁺.

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Prostratin

Citation: Mulzer, M. et al. *J. Am. Chem. Soc.*, 2014, 136 (30), pp 10814–10820

Total Synthesis of Tetrahydrolipstatin and Stereoisomers via a Highly Regio- and Diastereoselective Carbonylation of Epoxyhomoallylic Alcohols



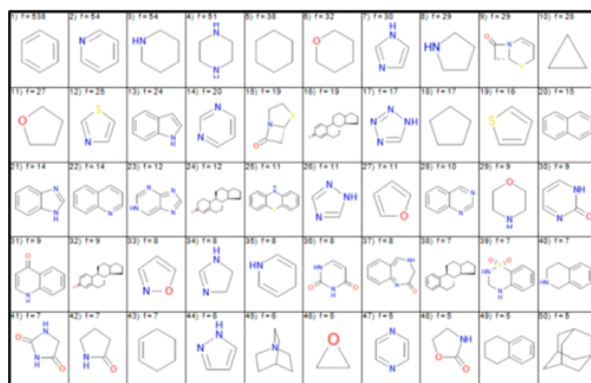
A concise enantioselective synthesis of tetrahydrolipstatin (THL) and seven stereoisomers has been achieved. The synthesis of THL was accomplished in 10 steps and 31% overall yield from an achiral ynone.

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Drug Deliv.
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Citation: Taylor, D. R.; MacCoss, M.; Lawson, A. D. G. *J. Med. Chem.* **2014**, 57 (14), 5845.

Miniperspective: Rings in Drugs



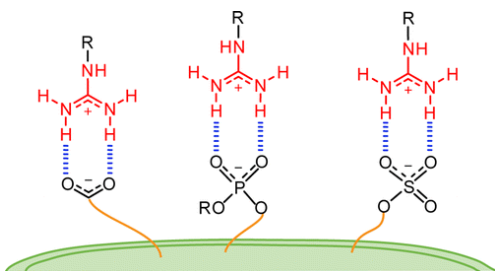
The authors analyzed the rings, ring systems, and frameworks in drugs listed in the FDA Orange Book to understand the frequency, timelines, molecular property space, and the application of these rings in different therapeutic areas and target classes. The resulting analysis and observations give insight into the chemical novelty of drugs and potentially efficient ways to assess compound libraries and develop compounds from hit identification to lead optimization and beyond.

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 Prostratin

Citation: Wexselblatt, E.; Esko, J.D.; Tor, Y. *JOC*, **2014**, 79, 6766-6774.

On Guanidinium and Cellular Uptake



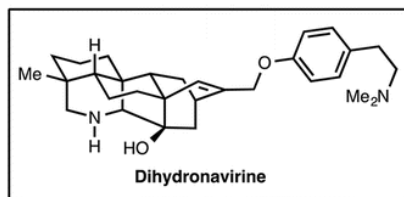
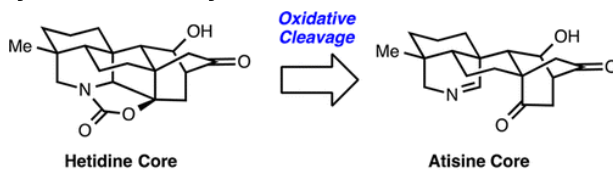
"Guanidinium-rich scaffolds facilitate cellular translocation and delivery of bioactive cargos through biological barriers. Although impressive uptake has been demonstrated for nonoligomeric and nonpept(o)idic guanidinylated scaffolds in cell cultures and animal models, the fundamental understanding of these processes is lacking. Charge pairing and hydrogen bonding with cell surface counterparts have been proposed, but their exact role remains putative. The impact of the number and spatial relationships of the guanidinium groups on delivery and organelle/organ localization is yet to be established."

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Citation: Hamlin, A.M.; Lapointe, D.; Owens, K.; Sarpong, R. *JOC*, **2014**, *79*, 6783-6800.

Studies on C20-Diterpenoid Alkaloids: Synthesis of the Hetidine Framework and Its Application to the Synthesis of Dihydronavirine and the Atisine Skeleton



One of the papers Daryl reported on in his seminar recently. This research is brand new from the Sarpong group across the bay.

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Prostratin

Citation: Alcaide, B.; *et al.* *JOC*, **2014**, *79*, 7075-7083.

Microwave-Promoted Synthesis of Bicyclic Azocine- β -Lactams from Bis(allenes)



Another type of reaction which forms an intermediate diene thermally. This looks pretty cool and I think there may be ways to exploit this reaction.

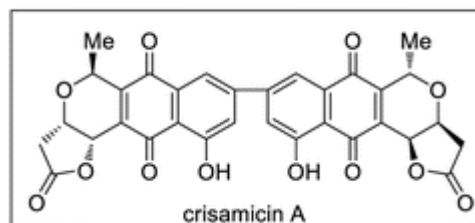
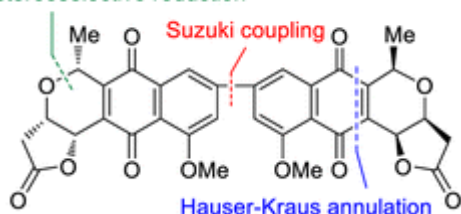
bioorganic
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DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Brimble, M.A.; Hassan, N.P.S.; Naysmith, B.J.; Sperry, J. *JOC*, **2014**, *79*, 7169-7178.

Toward an Asymmetric Synthesis of the Dimeric Pyranonaphthoquinone Antibiotic Crisamicin A

pyran ring formation/
stereoselective reduction



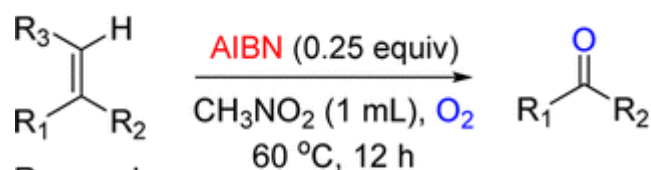
We may be able to attach targets like this with our [4+2]/[4+2] strategy. They make the molecule in a VERY step heavy manner and we may be able to achieve the same synthesis much more efficiently.

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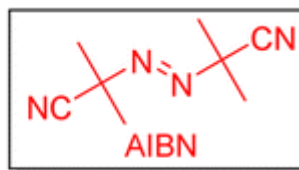
Citation: Wang, G.-Z.; Li, X.-L.; Dai, J.-J.; Xu, H.-J. *JOC*, **2014**, *79*, 7220-7225..

AIBN-Catalyzed Oxidative Cleavage of gem-Disubstituted Alkenes with O₂ as an Oxidant



R₁ = aryl
R₂ = alkyl, aryl, H
R₃ = aryl, H

"A 2,2-azobis(isobutyronitrile) (AIBN) catalyzed oxidative cleavage of gem-disubstituted alkenes with molecular oxygen as the oxidant has been described. Carbonyl compounds were obtained as the desired products in high yield under mild conditions. Based on previous documents and current experimental results, a relatively reasonable mechanism is proposed." - The mechanism is a bit of a stretch. This reaction is effectively useless.

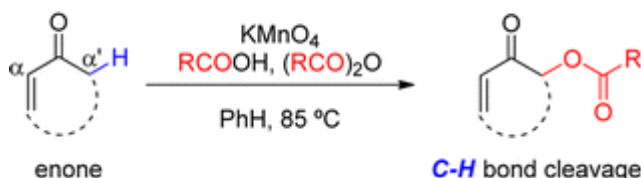


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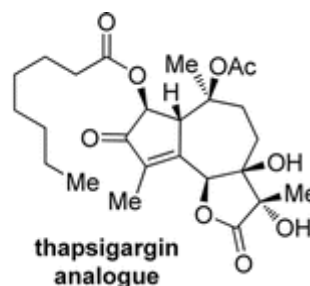
OM
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Prostratin

Citation: Marin-Barrios, R.; *et al.* *JOC*, **2014**, *79*, 6501-6509.

Acyloxylation of Cyclic Enones: Synthesis of Densely Oxygenated Guaianolides



Might be useful for some of our DDO work. Perhaps a late stage strategy for installing oxygenation at C4?

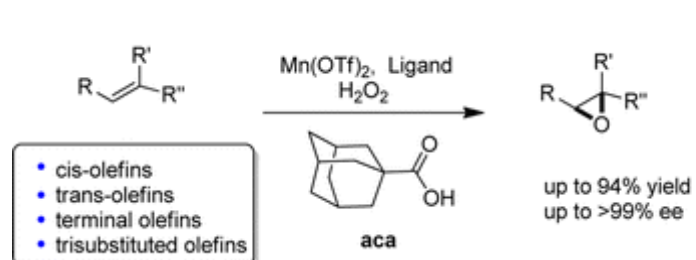


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Citation: Dai, W.; Shang, S.; Chen, B.; Li, G.; Wang, L.; Ren, L.; Gao, S. *JOC*, **2014**, *79*, 6688-6694.

Asymmetric Epoxidation of Olefins with Hydrogen Peroxide by an in Situ-Formed Manganese Complex



- cis-olefins
- trans-olefins
- terminal olefins
- trisubstituted olefins

Also potentially useful for our DDO work.

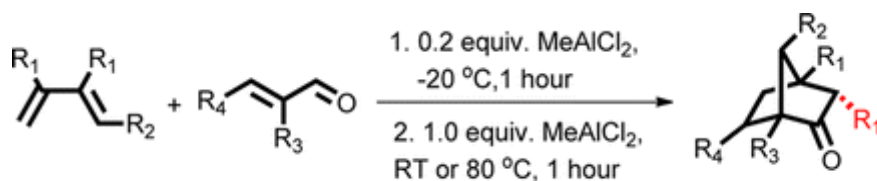


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Citation: Liang, D.; Zou, Y.; Wang, Q.; Goeke, A. *JOC*, **2014**, *79*, 6726-6731.

Sequential Diels–Alder Reaction/Rearrangement Sequence: Synthesis of Functionalized Bicyclo[2.2.1]heptane Derivatives and Revision of Their Relative Configuration



Floral and woody odors
revised *endo*-configured

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Citation: Abbasov, M. E.; Romo, D.; *Nat. Prod. Rep.* (2014) *Advance Article*

The ever-expanding role of asymmetric covalent organocatalysis in scalable, natural product synthesis

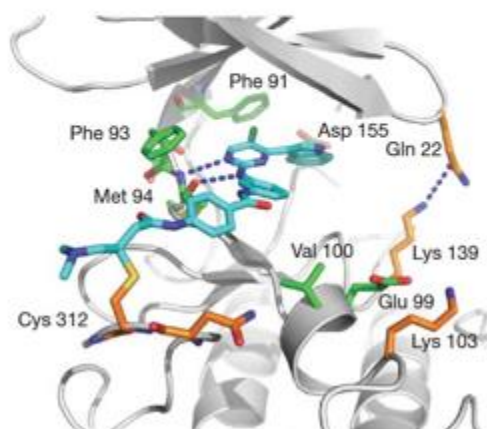


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Citation: Kwiatkowski, N. et al. *Nature*. 2014, *511*, 616.

Targeting transcription regulation in cancer with a covalent CDK7 inhibitor



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Citation: http://www.nytimes.com/2014/08/02/business/biotechnology-for-treating-dogs-and-cats.html?_r=0

Start-Ups Work on Biotech Drugs for Pets

Judging by some of the heavy action in the world of biotechnology, one could easily conclude that the industry is going to the dogs. Or cats, maybe.

There are start-ups named Nexvet and VetDC, CanFel Therapeutics (as in canine and feline), and even Fetch Pharma.

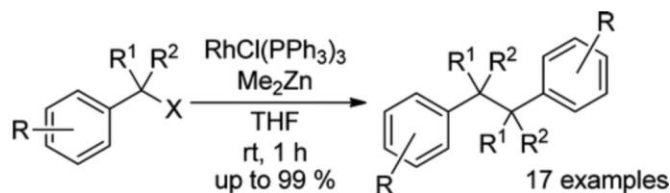
It's a new example of pack behavior: Entrepreneurs with pedigrees from companies like Genentech and Amgen are now turning their attention to pets. They hope to develop innovative drugs for dogs and cats like those that have revolutionized the treatment of diseases like cancer and arthritis in people.

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Citation: Sato, K.; *et al. Org. Lett.* **2014**, 16 (14), 7356-7359

Csp³-Csp³ Homocoupling Reaction of Benzyl Halides Catalyzed by Rhodium



tolerate: R = alkyl, ester, halogen, formyl, hydroxymethyl, etc.

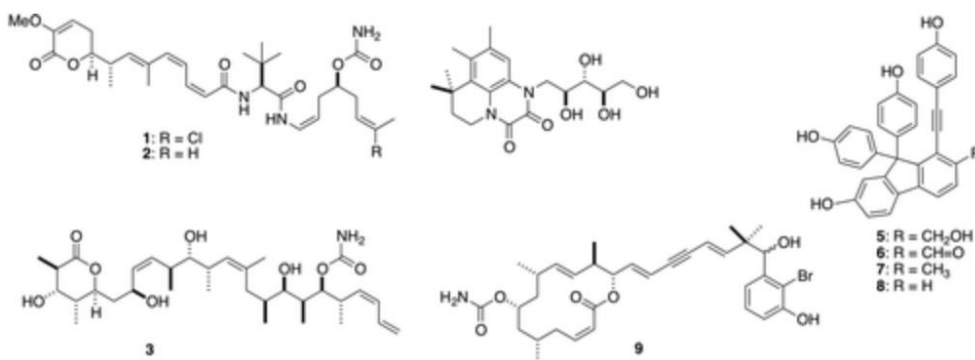
A highly reactive alkylrhodium complex was formed from Me₂Zn and RhCl(PPh₃)₃ and effectively catalyzed a Csp³-Csp³ homocoupling reaction of benzyl halides. A Csp³-Csp³ coupling reaction using Rh catalyst has not been reported up to now. The reaction proceeded under very mild conditions and gave the corresponding homocoupling products even if they had reactive substituents such as an uncovered formyl or hydroxymethyl group.

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Citation: Molinski, T.; *Org. Lett.* **2014**, 16 (15), 3849-3855

All Natural: The Renaissance of Natural Products Chemistry



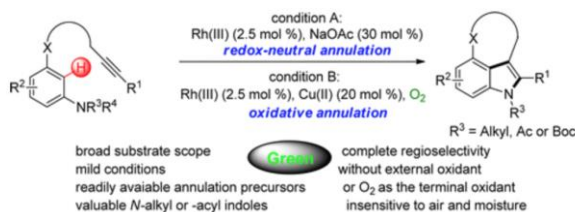
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Prostratin

Citation: Zhou, B.; *et al. Org. Lett.* **2014**, 16 (15), 3900-3903

Rh(III)-Catalyzed Intramolecular Redox-Neutral or Oxidative Cyclization of Alkynes: Short, Efficient Synthesis of 3,4-Fused Indole Skeletons



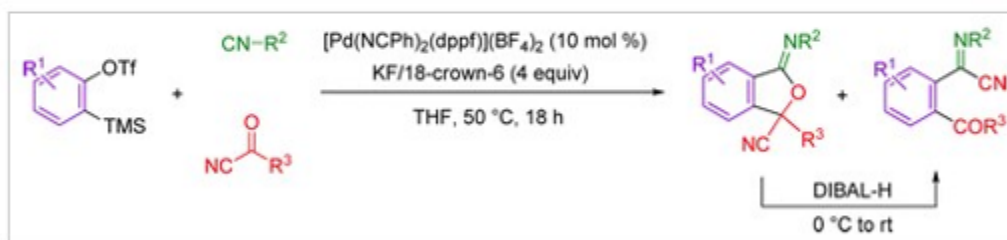
A Rh(III)-catalyzed intramolecular redox-neutral or oxidative annulation of a tethered alkyne has been developed to efficiently construct 3,4-fused indoles via a C–H activation pathway. The advantages of this process are (1) ready availability of annulation precursors; (2) broad substrate scope; (3) complete regioselectivity; (4) simple and mild reaction conditions; and (5) no need for an external oxidant or to employ molecular oxygen as the stoichiometric terminal oxidant.

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Prostratin

Citation: Li, J.; Noyori, S.; Nakajima, K.; Nishihara, Y. *Organometallics*. **2014**, 33, 3500.

New Entry to the Synthesis of α -Iminonitriles by Lewis Acid Mediated Isomerization of Cyano-Substituted Iminoisobenzofurans Prepared by Palladium-Catalyzed Three-Component Coupling of Arynes, Isocyanides, and Cyanoformates

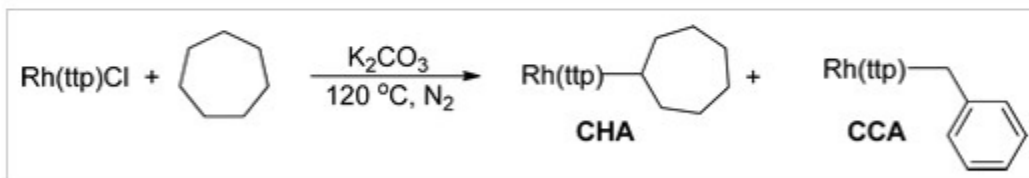


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Citation: Chan, K. S.; Chan, Y. W. *Organometallics*. **2014**, 33, 3702.

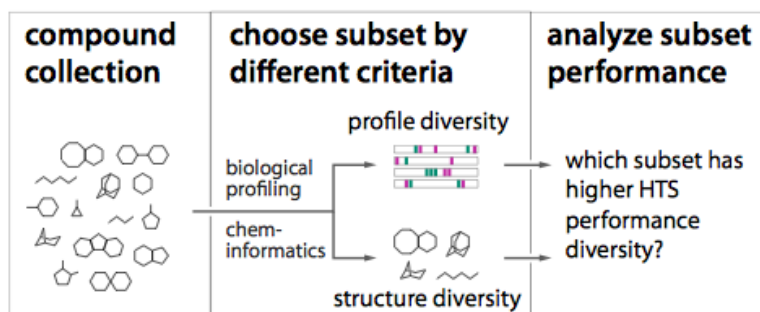
K_2CO_3 -Promoted Consecutive Carbon–Hydrogen and Carbon–Carbon Bond Activation of Cycloheptane with Rhodium(III) Porphyrin Complexes: Formation of Rhodium Porphyrin Cycloheptyl and Benzyl



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Toward performance-diverse small-molecule libraries for cell-based phenotypic screening using multiplexed high-dimensional profiling

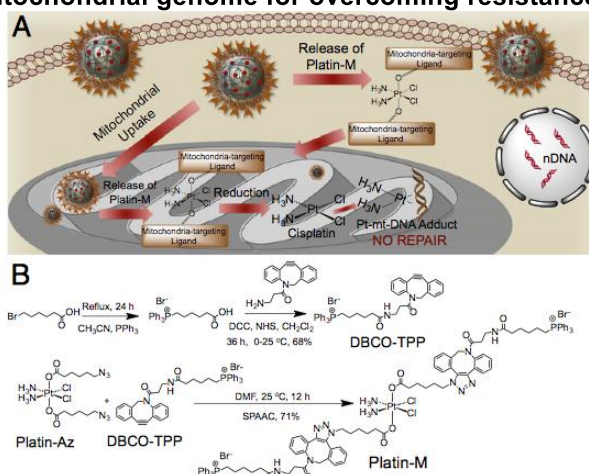


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Detouring of cisplatin to access mitochondrial genome for overcoming resistance

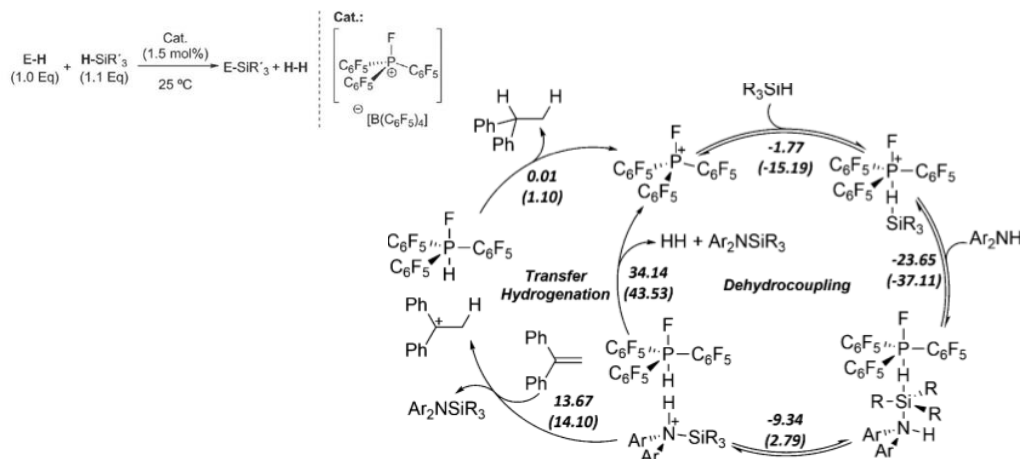
Resistance of aggressive metastatic tumors to cisplatin therapy is partly related to extensive repair of cisplatin-modified DNA in the nucleus by the nucleotide excision repair machinery. Delivering cisplatin to the mitochondria to attack the mitochondrial genome lacking such repair machinery can lead to a rationally designed therapy for metastatic, chemoresistant cancers and might overcome the problems associated with conventional cisplatin treatment.



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Metal-free transfer hydrogenation of olefins via dehydrocoupling catalysis



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Citation: Nilsson, L. Science, 2014, 345 (6197), p. 706



Ph.D.'s, come out of the closet!

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Citation: Cohen, J. Science, 2014, 345 (6196), p 495

Cure setbacks force HIV researchers to reset sights

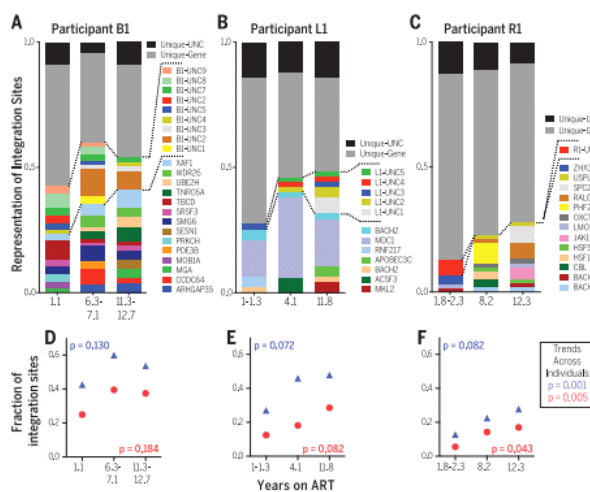
A year ago it likely would have been heralded as a stunning advance. An Australian man who became infected with HIV by a blood transfusion in 1981 may have naturally “cleared” the virus, researchers reported here last week at the 20th International AIDS Conference. If so, he would be just the second person to be cured of an HIV infection. But recent setbacks have made other researchers extra cautious. Over the past year, three HIV-infected people who received widespread attention for apparently clearing their infections had the virus come roaring back—in one case more than 2 years after it seemed to have disappeared. And so, at the end of the presentation by immunologist John Zaunders of the Sydney, Australia–based Kirby Institute for Infection and Immunity in Society, Daniel Kuritzkes of Brigham and Women’s Hospital in Boston jumped to the microphone to question why Zaunders spoke of “possible clearance” of the virus. “It’s certainly an intriguing case,” Kuritzkes said. But he cautioned Zaunders and everyone else at the session that a major shift in thinking was under way. “No question that this patient is in sustained remission, but you can’t ever discern that there’s not virus there,” Kuritzkes said.

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Citation: Wagner, T.A., et. al. Science, 2014, 345 (6196), p. 570

Proliferation of cells with HIV integrated into cancer genes contributes to persistent infection



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Citation: Sci Transl Med 6, 247ra103 (2014).

ASC-1, PAT2, and P2RX5 are cell surface markers for white, beige, and brown adipocytes

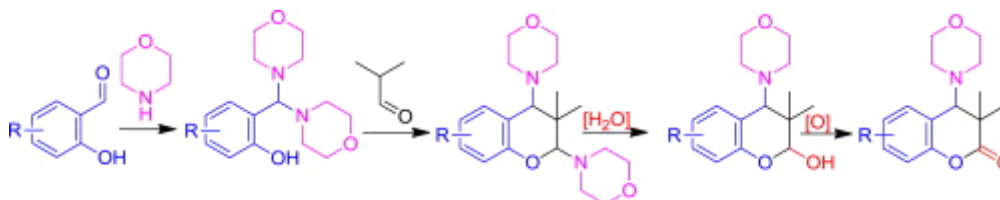
New markers for different fat cells have been described, with implications for molecular imaging and therapeutic exploitation.

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Citation: Mathur, D., Prasad, A. K., Cameron, T. S., & Jha, A. (2014) *Tetrahedron*, 70(35), 5608

Novel approach to 3,3-dimethyl-4-morpholino-3,4 dihydrocoumarins via hetero-Diels–Alder reaction

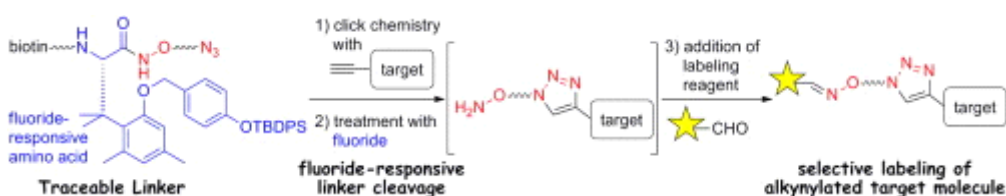


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Citation: Yamamoto, J., Maeda, N., Komiya, C., Tanaka, T., Denda, M., Ebisuno, K., ... Otake, A. (2014). *Tetrahedron*, 70(34), 5122

Development of a fluoride-responsive amide bond cleavage device that is potentially applicable to a traceable linker

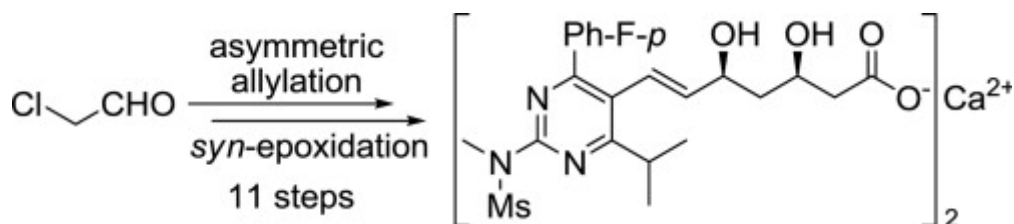


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Citation: Chen, X., Xiong, F., Zheng, C., Li, J., & Chen, F. (2014). *Tetrahedron*, 70(35), 5794

Synthetic studies on statins. Part 3: A facile synthesis of rosuvastatin calcium through catalytic enantioselective allylation strategy

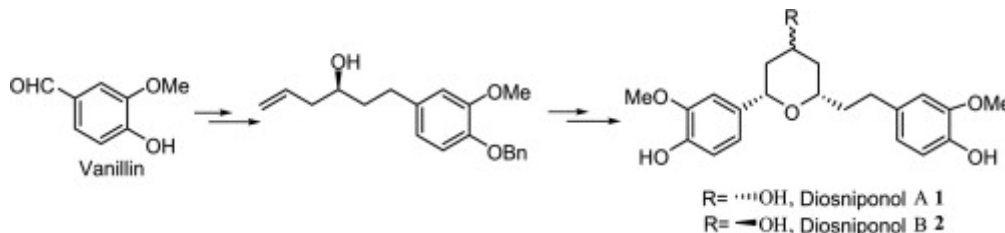


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Citation: Yadav, J. S., Singh, V. K., Thirupathaiah, B., & Reddy, A. B. (2014). *Tetrahedron Letters*, 55(32), 4427

First total synthesis and reassignment of absolute configuration of diosniponol A and B

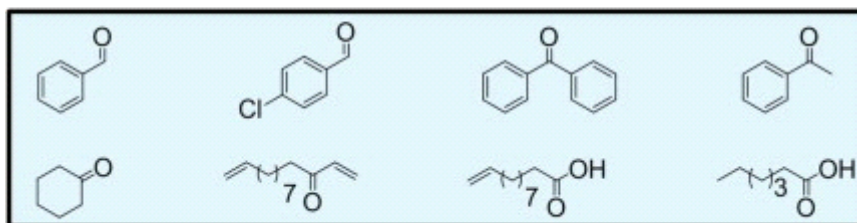
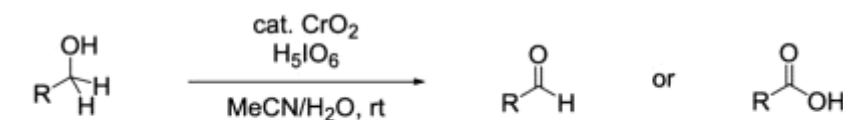


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Citation: Few, C. S., Williams, K. R., & Wagener, K. B. (2014). *Tetrahedron Letters*, 55(32), 4452

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