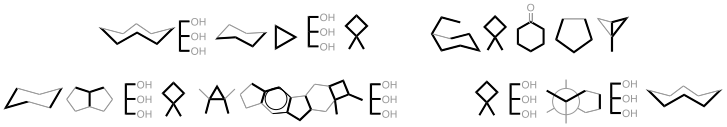

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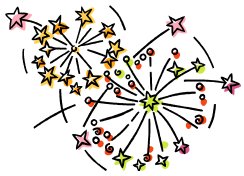


Next Due Date: Tuesday, January 15, 2013

Instructions for Authors (*Volume 37*)

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.

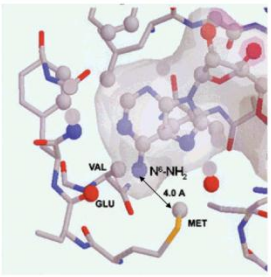
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

Please email the files to jmattler@stanford.edu. Late abstracts will be included in the Lit Review for the following month**. PCs please send .cdx and macs please send .pdf files.**

Citation: Abeyweera, T.P.; Rotenberg, S.A. *Biochemistry* **2007**, *46*, 2364-2370

Design and Characterization of a Traceable Protein Kinase C-alpha

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 (1c-32Pl-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.



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Citation: Dictionary.com (search term = "mook")

For those of you who always wanted to know what it meant....

mook **Pronunciation Key** (mk) *n. Slang*

An insignificant or contemptible person.

methods
synthesis

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 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: Hein, J. E., Blackmond, D. G. *Acc.Chem. Res.* 45 (2012) 2045-2054

On the Origin of Single Chirality of Amino Acids and Sugars in Biogenesis

The process of delineating the origins of the chemistry of life starts with the consideration of molecules that might have existed on prebiotic earth and extends to the discussion of mechanisms for assembly of these molecules into informational polymers capable of self-replicating and transmitting genetic information. Researchers are examining prebiotically plausible conditions that couple chemical and physical processes leading to single chirality of sugars and amino acids with subsequent chemical reactions that enhance molecular complexity. The authors' work incorporates chemical and physical phenomena that allow for amplification of a small initial imbalance of either sugars by amino acids or vice versa, suggesting that an enantioenriched chiral pool of one type of molecule could lead to similar enantioenrichment of the other.

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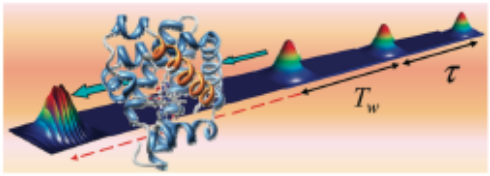
Citation: Thielges, M. C., Fayer, M. D. *Acc. Chem. Res.* 45 (2012) 1866-1874

Protein Dynamics Studied with Ultrafast Two-Dimensional Infrared Vibrational Echo Spectroscopy

While many biological processes occur on the millisecond, second, and even longer time scales, the fundamental structural dynamics that eventually give rise to such processes occur on much faster time scales. This Account discusses the use of ultrafast two-dimensional infrared (2D IR) vibrational echo spectroscopy to the study of protein dynamics. The 2D IR vibrational echo experiment is akin to 2D NMR, but it operates on time scales many orders of magnitude faster. In the experiments, a particular vibrational oscillator serves as a vibrational dynamics probe. As the protein structure evolves, the changes are manifested as time-dependent changes in probe frequency. The 2D IR vibrational echo experiments can track the frequency evolution, which is related to the time evolution of the protein structure. The authors measured substate interconversion for mutant myoglobin using 2D IR chemical exchange spectroscopy and observed well-defined substrate interconversion on a sub-100 ps time scale. The authors also investigated the influence of binding five different substrates to the cytochrome $P450_{cam}$. The observed dynamics vary by substrate and are correlated with the selectivity of substrate hydroxylation and with binding affinity.



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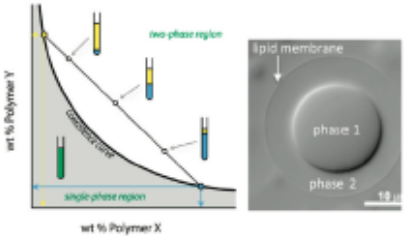
Citation: Keating, C. D. *Acc. Chem. Res.* 45 (2012) 2114-2124

Aqueous Phase Separation as a Possible Route to Compartmentalization of Biological Molecules

Given the presumably dilute concentrations of macromolecules in the prebiotic pools where the earliest cells are thought to have appeared, how could the necessary components become concentrated and encapsulated within a semipermeable membrane? What would drive the further structural complexity that is a hallmark of modern living systems? The nonideal aqueous solution chemistry of macromolecules offers an attractive possible answer. Aqueous polymer solutions form multiple coexisting thermodynamic phases under a variety of accessible conditions. This Account describes aqueous phase separation as a model system for biological compartmentalization in both early and modern cells, with an emphasis on systems that have been encapsulated within a lipid bilayer. Since we observe these seemingly complex phenomena in the absence of genetic molecules, enzymes, or cellular machinery, these processes could provide clues to possible intermediates in the early evolution of cell-like assemblies.



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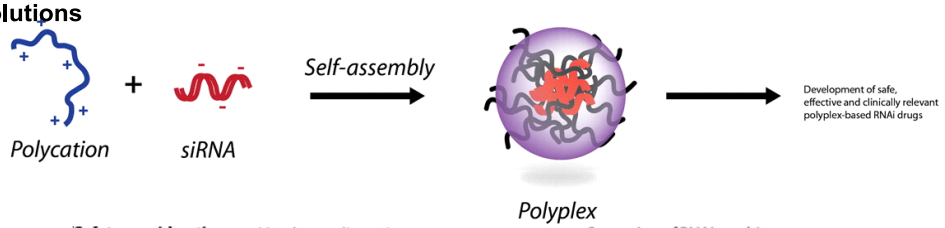
Citation: *ADDR.* **2012**. *64*, 15, 1717-1729.

Polycation-based nanoparticle delivery of RNAi therapeutics: Adverse effects and solutions



Safety considerations	Membrane disruption Serum-induced aggregation Non-specific biodistribution	Saturation of RNAi machinery Immune stimulation Silencing of "off target genes"
Solutions	Usage of low Mw polymers Low Mw polymer linked by cleavable spacers Low charge density Targeting ligands PEGylation	Chemical modifications Careful RNAi trigger design (sequence and length) Controlled siRNA expression from vectors Combine different siRNAs againts same target

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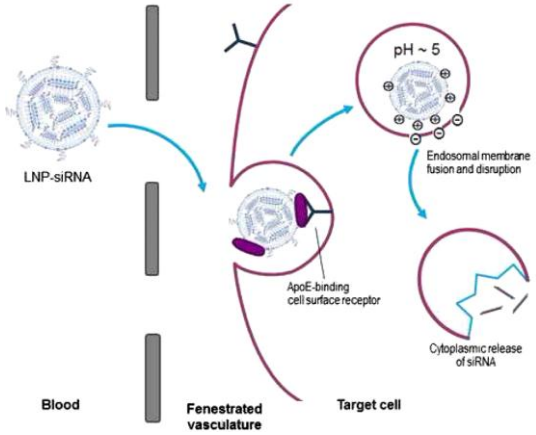
Citation: *ADDR.* **2012**. *64*, 15, 1730-1737.

Safety profile of RNAi nanomedicines

The current clinical progress of systemically administered siRNA therapeutics is reviewed, with special attention to the toxicity profiles associated with RNAi nanomedicines. As a case study, the preclinical development of ALN-VSP, the first lipid nanoparticle (LNP)-formulated siRNA therapeutic to be tested in cancer patients, is reviewed to broadly highlight some of the preclinical safety challenges and areas of investigation for "next generation" LNP systems.



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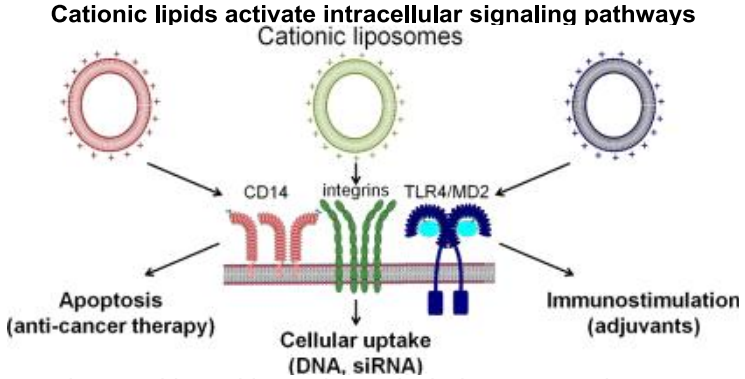
Citation: *ADDR.* **2012**. *64*, 15, 1749-1758.

Cationic lipids activate intracellular signaling pathways



The present review provides evidence that cationic liposomes activate several cellular pathways like pro-apoptotic and pro-inflammatory cascades. An improved knowledge of the relationship between the cationic lipid properties and the activation of these pathways opens the way to the use and design of cationic tailored for a specific application.

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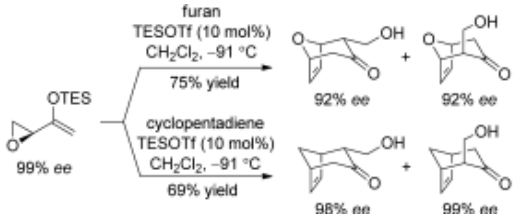
Citation: Chiu, P., et al. *Angew. Chem. Int. Ed*. **2012**, *51 (48)*, 12120-12123.

Asymmetric (4+3) Cycloadditions of Enantiomerically Enriched Epoxy Enolsilanes

The intermolecular (4+3) cycloaddition of enantiomerically enriched epoxy enolsilanes produces cycloadducts with up to 99% ee, thus implying the reaction does not proceed by the putative achiral oxyallyl cation intermediate, but through a transiently chiral electrophile which retains the stereochemical information of the epoxide



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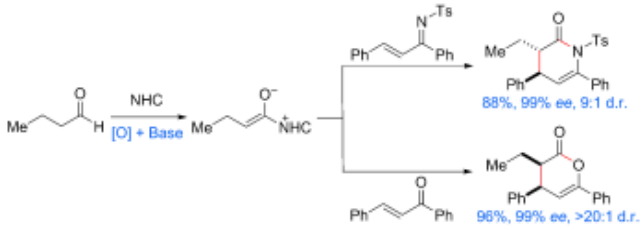
Citation: Rovis, T., et al. *Angew. Chem. Int. Ed*. **2012**, *51 (49)*, 12330-12333.

N-Heterocyclic-Carbene-Catalyzed Asymmetric Oxidative Hetero-Diels–Alder Reactions with Simple Aliphatic Aldehydes

An efficient enantioselective approach to form trans lactams and cis lactones in up to 98?% yield with greater than 99?% ee, and greater than 20:1 d.r. using simple aliphatic aldehydes has been developed. The process involves a new pathway to generate enolate intermediates from aliphatic aldehydes by oxidation and deprotonation.



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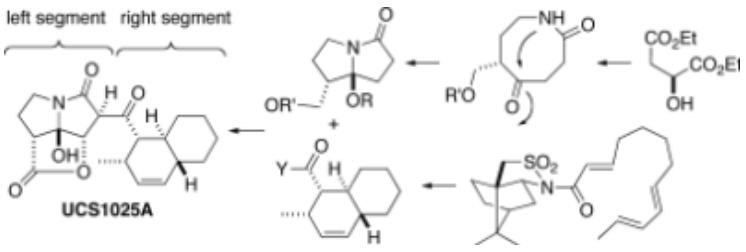
Citation: Kan, T., et al. *Angew. Chem. Int. Ed*. **2012**, *51 (51)*, 12850-12853.

Stereocontrolled Total Synthesis of (+)-UCS1025A

A stereocontrolled total synthesis of (+)-UCS1025A, a potent telomerase inhibitor, was achieved. The synthesis features an intramolecular Diels–Alder reaction, a tandem Staudinger/aza-Wittig reaction, and stereoselective construction of the hemiaminal moiety facilitated by neighboring-group participation



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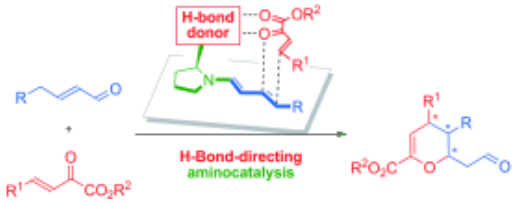
Laulimalide
Drug Deliv.

Citation: Jorgenson, K.; et al. *Angew. Chem. Int. Ed*. **2012**, *51 (52)*, 13109-13113.

Dienamine-Mediated Inverse-Electron-Demand Hetero-Diels–Alder Reaction by Using an Enantioselective H-Bond-Directing Strategy

Optically active dihydropyrans bearing three contiguous stereogenic centers can be efficiently prepared by the title reaction. High stereo- and regiocontrol can be achieved by employing a bifunctional H-bond-directing aminocatalyst.



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Citation: Zewail, A.; Zewail, M. *Angew. Chem. Int. Ed*. **2013**, *52 (1)*, 108-111.

Science for the "Haves"

For centuries, the wisdom of the West of investing in basic science and technology led to its dominance in world politics and economics. Today, this wisdom is being put aside: fundamental research is losing its appeal to funding agencies and as a professional asset for job hunters. This state of affairs could exacerbate a dearth of innovations and lead to a transition of the "haves" into the "have-nots" of the world population.

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Citation: Zare, R. *Angew. Chem. Int. Ed*. **2013**, *52 (1)*, 112-113.

American Universities at Risk

What does a university education cost? Why does it cost this much? Who should pay? And what are the consequences if costs outstrip what students can afford? Richard Zare examines the US higher education system and finds a great past is no guarantee of a rosy future.

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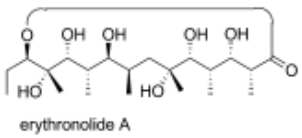
Citation: Hoffmann, R. *Angew. Chem. Int. Ed*. **2013**, *52 (1)*, 123-130.

Natural Product Synthesis: Changes over Time

For almost 200 years, the synthesis of natural products has been practiced. In this time span, not only the target structures have become increasingly more complex (see two examples from the 1970s), the objectives of natural product synthesis have also changed. Likewise, the standards and criteria for the conduction of natural product synthesis have changed. It is these changes that form the subject of this Essay.



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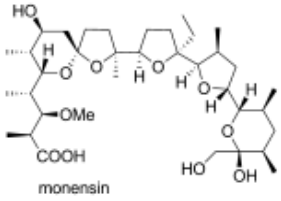

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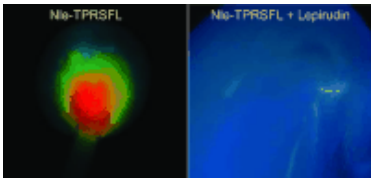
Citation: Tsien, R., et al. *Angew. Chem. Int. Ed*. **2013**, *52 (1)*, 325-330.

Ratiometric Activatable Cell-Penetrating Peptides Provide Rapid In Vivo Readout of Thrombin Activation

Thrombin activation in vivo can be imaged in real time with ratiometric activatable cell penetrating peptides (RACPPs). RACPPs are designed to combine 1) dual-emission ratioing, 2) far red to infrared wavelengths for in vivo mammalian imaging, and 3) cleavage-dependent spatial localization. The most advanced RACPP uses norleucine (Nle)-TPRSFL as a linker that increases sensitivity to thrombin by about 90-fold



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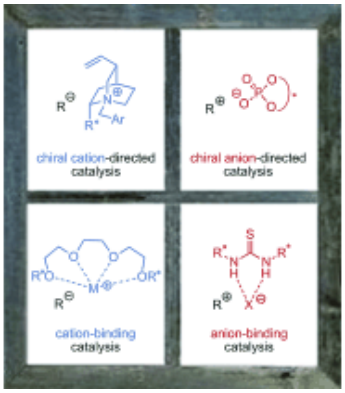
Drug Deliv.
Prostratin

Citation: Brak, K.; Jacobsen, E. *Angew. Chem. Int. Ed*. **2013**, *52 (2)*, 534-561.

Asymmetric Ion-Pairing Catalysis

Framing the field, this review provides an overview of four ion-pairing strategies that have emerged for asymmetric catalysis of transformations proceeding through charged intermediates or reagents (see picture). Particular emphasis is placed on the mechanistic features that enable high asymmetric induction in these systems.



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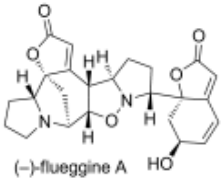
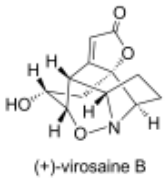
Citation: Li, C.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (2)*, 620-624.

Stereoselective Total Syntheses of (-)-Flueggine A and (+)-Virosaine B

The total syntheses of (-)-flueggine A and (+)-virosaine B (see scheme) have been accomplished in a concise and convergent manner. Key steps in these approaches were relay ring-closing metathesis reactions for rapid construction of the key intermediates, and 1,3-dipolar cycloaddition reactions for the formation of the natural products.





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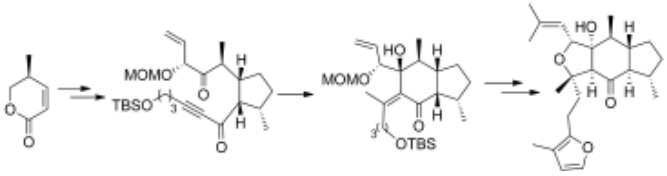
Citation: Liu, B.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (3)*, 952-955.

Asymmetric Total Synthesis of Leucosceptroid B

The asymmetric total synthesis of leucosceptroid B (see scheme) has been accomplished in 19 steps. Thermodynamically, this natural product proved to be less stable than its C11 epimer, a synthetic intermediate. This synthesis features a high degree of flexibility, facilitating its application to the preparation of a broad range of other natural derivatives.



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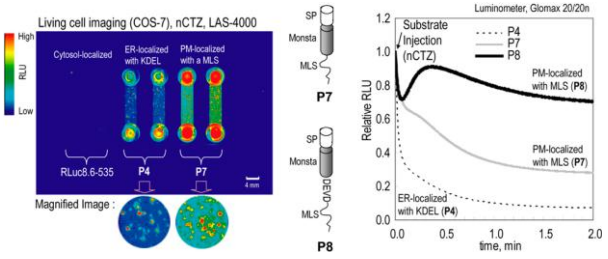
Bioconjugate Chem., 2012, 23 (11), pp 2221–2228

Bioluminescent Capsules for Live-Cell Imaging



A bioluminescent capsule was designed that releases luciferase in response to extracellular signaling molecules

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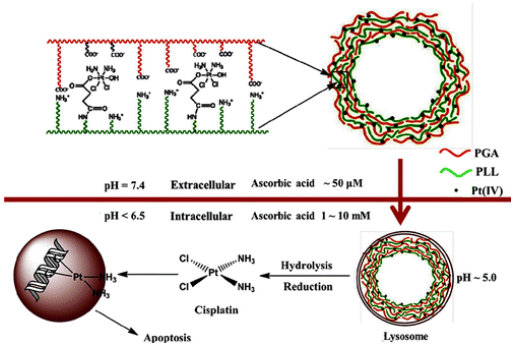
Bioconjugate Chem., 2012, 23 (12), pp 2335–2343

Layer-by-Layer Assembled Polypeptide Capsules for Platinum-Based Pro-Drug Delivery

Platinum(IV), a pro-drug of platinum(II) was conjugated to poly(L-lysine) and assembled with poly(glutamic acid). The microcapsules had a higher cytotoxicity agasint colon cancer cells than free cisplatin



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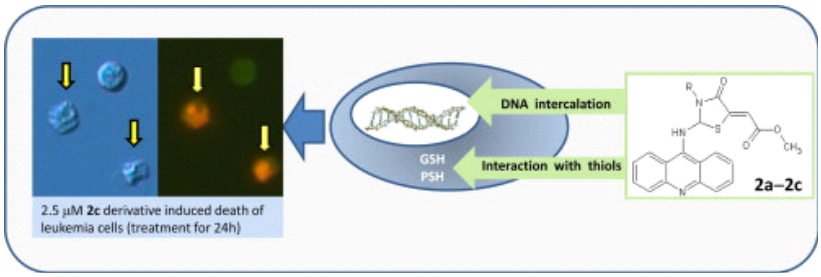
Paulíková, H. et al. J. Bioorganic & Medicinal Chemistry 2012, 20, 7139–7148.

DNA binding acridine-thiazolidinone agents affecting intracellular glutathione



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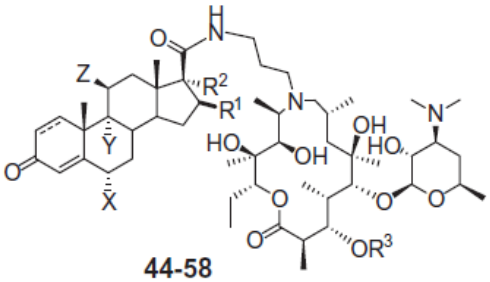
Tomaškovic, L.; et al. Bioorganic & Medicinal Chemistry 2013, 21, 321–332.

Macrolactonolides: A Novel class of anti-inflammatory compounds



Combining the property of macrolides to accumulate in immune cells with the anti-inflammatory activity of classic steroids

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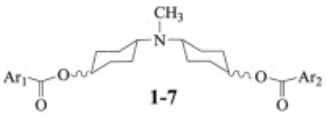
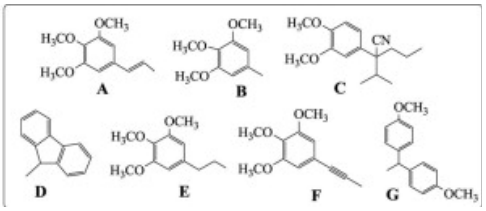
Orlandi, F.; et al. Bioorganic & Medicinal Chemistry 2013, 21, 456–465.

New structure-activity relationship studies in a series of N,N-bis(cyclohexanol)amine aryl esters as potent reversers of P-glycoprotein-mediated MDR





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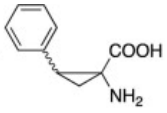
Hayashi, R.; et al. Bioorganic & Medicinal Chemistry 2013, 21, 668.

A formyl peptide substituted with a conformationally constrained phenylalanine residue evokes a selective immune response in human neutrophils

Formyl-Met-Leu-Xaa-OMe Xaa =



Peptide	Chemotaxis	O_2^- production	$[Ca^{2+}]_i$	Priming
(+)E analog	+	-	+++	-
(-)E analog	+++	-	+++	-
(+)Z analog	+	+	+++	+
(-)Z analog	+++	+++	+++	+

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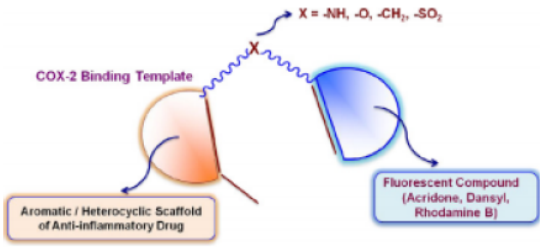
Prostratin

Citation: Bhardwaj, A.; Kaur, J.; Sharma, S.K.; Huang, Z.; Wuest, F.; Knaus, E.E. *Bioorg. Med. Chem. Lett.*, **2013**, *23*, 163-168.

Hybrid fluorescent conjugates of COX-2 inhibitors: Search for a COX-2 isozyme imaging cancer biomarker

The isozyme cyclooxygenase-2 (COX-2) is over-expressed in types of cancer tissue. Inhibitors of COX-1 and COX-2, including NSAIDs such as aspirin and ibuprofen, have been found to play a role in cancer prevention. Therefore, in order to detect tumors the authors synthesized a "hybrid COX-2 inhibitor-fluorescent conjugate" to selectively inhibit and image COX-2 expression.



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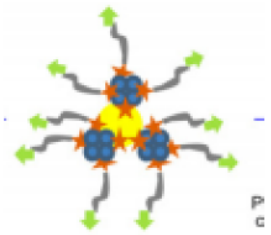
Prostratin

Citation: Kasten, B.B.; Liu, T.; Nedrow-Byers, J.R.; Benny, P.D.; Berkman, C.E. *Bioorg. Med. Chem. Lett.*, **2013,** *23,* 565-568.

Targeting prostate cancer cells with PSMA inhibitor-guided gold nanoparticles

The authors describe the a gold nanoparticle (AuNP) system with a small molecule inhibitor for targeted delivery to prostrate-specific membrane antigen (PSMA) expressing cells. This was done by ccoating AuNPs with streptavidin (to functionalize them) and then outfitting the streptavidin-coated AuNPs with a biotinylated PSMA inhibitor, which allowed for targeted delivery of the AuNPs to PSMA expressing cells. The gold surface of the nanoparticles can be tethered to therapeutic or reporting molecules for in vitro and in vivo use.



PSMA inhibitor-targeted gold nanoparticles

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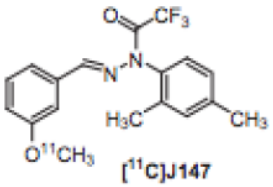
Citation: Wang, M.; Gao, M.; Zheng, Q-H. *Bioorg. Med. Chem. Lett.,* **2013,** *23*, 524-527.

The first synthesis of [^{11}C]J147, a new potential PET agent for imaging of Alzheimer's disease

A major limitation in treating Alzheimer's disease (AD) is the lack of early diagnosis tools. Currently one of the best techniques is positron emission tomography (PET), which takes images of the brain and can show changes in the brain. The authors of this paper have developed a facile synthetic route to make a radioligand ([^{11}C]J147) as an imaging probe for AD. [^{11}C]J147 is unique in that it does not just have an affinity for a single disease-specific target such as tau aggregates. Instead, it targets old age-associated pathologies such as oxidative stress, since age is the greatest risk factor for AD.



[^{11}C]J147

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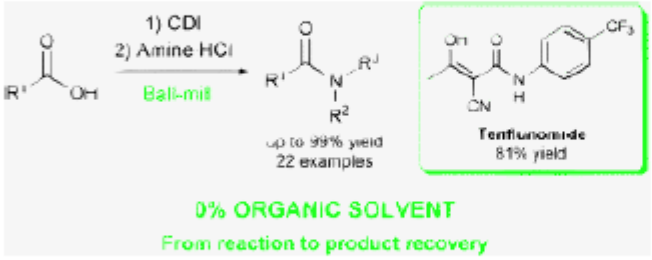
Citation: Metro, T.; Bonnamour, J.; Reidon, T.; Sarpoulet, J.; Martinez, J.; Lamaty, F. *Chem. Comm.* **2012**, *48*, 11781-3.

Mechanosynthesis of amides in the total absence of organic solvent from reaction to product recovery



The synthesis of various amides has been realised avoiding the use of any organic solvent from activation of carboxylic acids with CDI to isolation of the amides. Green Chemistry!

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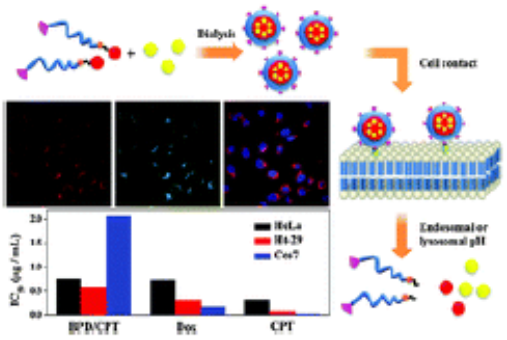
Citation: Yuan, Z.; Zhang, J.; Cheng, S.; Zhuo, R.; Li, F.
Chem. Comm. **2013**, *49*, 801-3.

A prodrug nanoassembly entrapping drugs as a tumor-targeted delivery system

A carrier based on prodrug–drug complex was prepared for targeted combined therapy without any inert materials.



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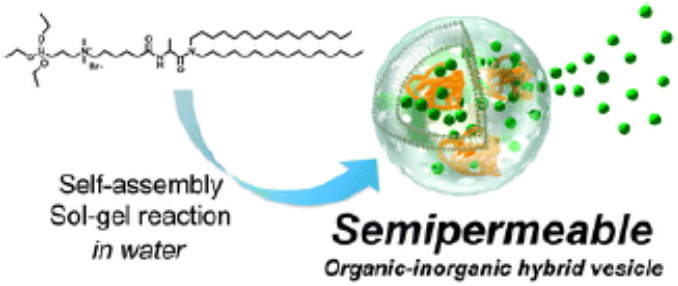
Citation: Yasuhara, K.; Kawataki, T.; Okuda, S.; Oshima, S.; Kikuchi, J.
Chem. Comm. **2013**, *49*, 665-7.

Spontaneously formed semipermeable organic–inorganic hybrid vesicles permitting molecular weight selective transmembrane passage

Organic–inorganic hybrid vesicles, which simultaneously possess both semi-permeable characteristics and robustness, are spontaneously formed by simple dispersion of an organoalkoxysilane lipid in water.



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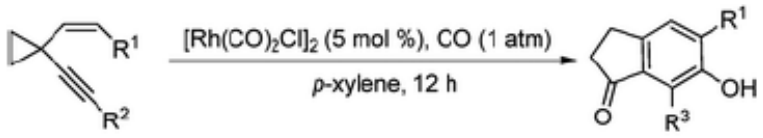
Citation: Chen, G. and Shi, M.
Chem. Comm. **2013**, *49*, 698-700.

Rhodium-catalyzed tandem Pauson–Khand type reactions of 1,4-enynes tethered by a cyclopropyl group



R^1 = H, alkyl, aryl; R^2 = alkyl, aryl.
yield: 40% to 60%

Novel 6-hydroxy-2,3-dihydro-1*H*-inden-1-one derivatives can be synthesized by the tandem Pauson–Khand type reactions of 1,4-enynes tethered by a cyclopropyl group in the presence of $[Rh(CO)_2Cl]_2$ under a CO atmosphere.

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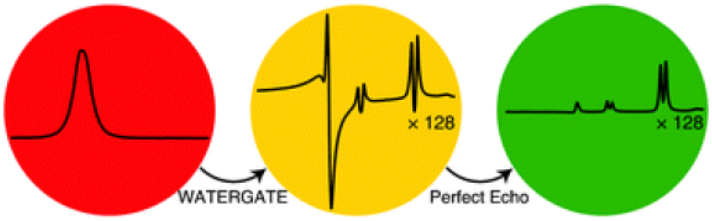
Citation: Adams, R.; Holroyd, C.; Aguilar, J.; Nilsson, M.; Morris, G. *Chem. Comm.* **2013**, *49*, 358-60.

"Perfecting" WATERGATE: clean proton NMR spectra from aqueous solution



Combining the "Perfect Echo" with WATERGATE refocuses *J* modulation, giving cleaner solvent-suppressed NMR spectra.

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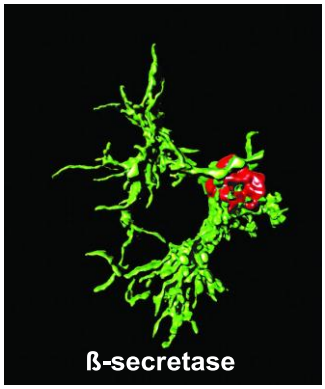
Citation: Jarvis, L. M. *C&EN* **2012**, *90* (50), 11.

Merck Launches Alzheimer's Trial



Merck has begun a Phase II/III study with 200 patients with mild to moderate Alzheimer's. After three months, the company will take a close look at whether its drug MK-8931, which inhibits ß-secretase, an enzyme involved in the release of amyloid, is safe and shows signs of efficacy. If so, the trial will evolve into a multiyear study with roughly 1,700 patients.

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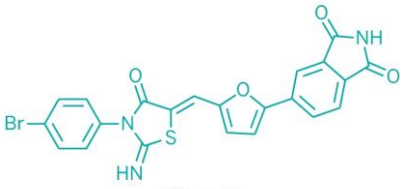
Citation: Borman, S. *C&EN* **2013**, *91* (2), 37.

Small Molecule Makes Cancer Want to Kill Itself



Bioymifi

Researchers have developed the first small molecule, bioymifi, that interacts with cancer-cell-surface death receptor 5 (DR5). (*Nat. Chem. Biol*., DOI: 10.1038/nchembio.1153). This interaction results in apoptosis of the cancer cells, and as DR5 is present on a variety of cancer cells but rarely expressed on normal cells, activation would likely have few side effects on normal cells.

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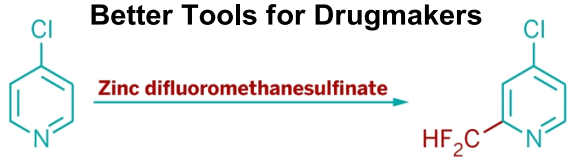
Citation: Halford, B. *C&EN* **2012**, *90* (49), 7.

Better Tools for Drugmakers



$1.10 per g **$3,500 per g**

Phil Baran's group has developed a reagent tool kit of zinc sulfinate salts that allows functionalization of heteroarenes with 10 different alkyl or fluoroalkyl groups. (*Nature*, DOI: 10.1038/nature11680) These reactions are extremely chemoselective and tolerant of impurities, and have even been carried out in cell lysate and oolong tea!

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Citation: Steiner, M.; Hartmann, I.; Perrino, E.; Casi, G.; Brighton, S.; Jelesarov, I.; Bernardes, G.J.L.; Neri, D. *Chem Sci.*, **2013**, *4(1)*, 297-302

Spacer length shapes drug release and therapeutic efficacy of traceless disulfide-linked ADCs targeting the tumor neovasculature

Antibody-drug conjugates (ADCs) are used to accumulate therapeutics at sites of disease by delivering drugs to either tumor cells or to the exracellular tumor environment. Recent work studied the impact of the spacing between the antibody and the cytotoxic drug to examine the effect on drug delivery and release. In particular, the size of the spacers between the antibody surface and the cleavable disulfide link was varied by changing the number of amino acids inbetween. Longer spacers resulted in the enhancement of disulfide reduction; however, the size of the spacer was inversely related to therapeutic efficacy.

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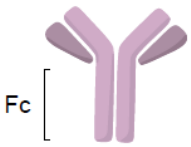
Citation: Netriojjanakul, C.; Witus, L.S.; Behrens, C.R.; Weng, C.H.; Iavarone, A.T.; Francis, M.B.; *Chem. Sci.*, **2013**, 4(1), 266-272.

Synthetically modified Fc domains as building blocks for immunotherapy applications

Antibody domains are extremely difficult to modify due to their complex structures which must stay intact in order to obtain biological function. Recent work includes a transamination reaction that site-selectively installs ketones as reactive handles at the N-terminus of the fragment crystallizable (Fc) region of antibodies, which then allow for the attachment of molecules of interest. Binding assays show that despite the motification at the Fc region, the Fc region retained its proper folding and could be recognized by secondary antibodies. The ability to modify the Fc domain may prove to be a platform for immunotherapy.



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Citation: Kwong, W.; S, R.W.; Lok, C.; Siu, F.; Wong, S.; Low, K.; Che, C. *Chem Sci.*, **2013**, *4(2)*, 747-754.

An ytterbium(III) porphyrin induces endoplasmic reticulum stress and apoptosis in cancer cells: cytotoxicity and transcriptomics studies

The authors have produced a series of ytterbium(III) porphyrin complexes showing potent anti-cancer activities such as causing apoptosis. Very few ytterbium(III) complexes with anticancer activities have been reported before without photoactivation or conjugation to cytotoxic parts. Macrocyclic porphyrin ligands can be used as a scaffold for the transport of ytterbium(III) to enhance cellular-uptake efficacy. Among the compounds synthesized, it was found that in particular $[Yb(Por)(OH)]_2$ containing octaethylporphyrinato ligand induced endoplasmic reticulum stress, mitochondrial dysfunction and apoptosis with sub-micromolar cytotoxic IC_{50} values.

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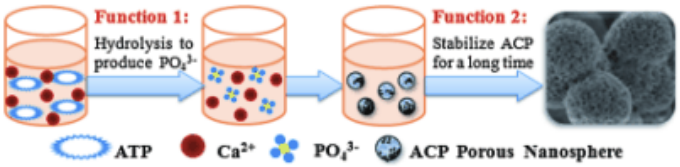
Citation: Qi, et al. Chemistry – A European Journal 2013, 19, 981–987.

Highly Stable Amorphous Calcium Phosphate Porous Nanospheres: Microwave-Assisted Rapid Synthesis Using ATP as Phosphorus Source and Stabilizer, and Their Application in Anticancer Drug Delivery



Stabilized nanospheres: Highly stable amorphous calcium phosphate (ACP) porous nanospheres with an average pore diameter of about 10 nm have been synthesized by using a microwave-assisted hydrothermal method with adenosine 5′-triphosphate disodium salt (ATP) as the phosphorus source and stabilizer (see scheme). The as-prepared ACP porous nanospheres show a high ability to damage tumor cells after loading docetaxel, thus, are promising for application in anticancer drug delivery.

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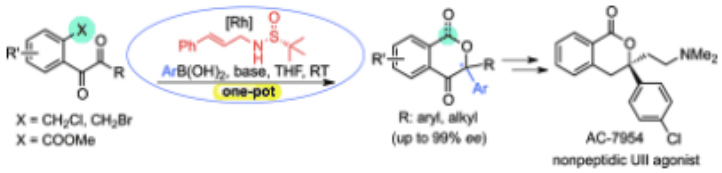
Citation: Zhu, T.-S.; Chen, J.-P.; Xu, M.-H. Chemistry – A European Journal 2013, 19, 865–869.

Rhodium-Catalyzed Enatioselective Additions to Unsymmetrical alpha-Diketones: Tandem One-Pot Synthesis of Optically Active 3-tetrasubstituted Isochroman Derivatives



The domino effect: An efficient and general catalytic one-pot synthesis of quaternary-substituted isochroman derivatives has been developed (see scheme). The cascade transformation relies on rhodium-catalyzed highly regio- and enantioselective 1,2-addition of arylboronic acids to unsymmetrical α-diketones and intramolecular etherification or esterification, and provides a variety of enantioenriched isochromanones under exceptionally mild conditions.

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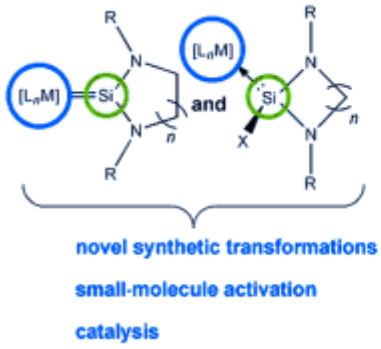
Citation: Blom, B.; Stoelzel, M.; Driess, M. Chemistry – A European Journal 2013, 19, 40–62.

New Vistas in N-Heterocyclic Silylene (NHSi) Transition-Metal Coordination Chemistry: Syntheses, Structures and Reactivity towards Activation of Small Molecules



Simply Si: A comprehensive review on the synthesis, structural elucidation, and spectroscopic properties of N-heterocyclic silylene (NHSi) transition-metal complexes (Groups 4 to 12) is presented. A particular focus on the emerging reactivity of these complexes, particularly with respect to small-molecule activation and catalysis, is a connecting theme throughout the review.

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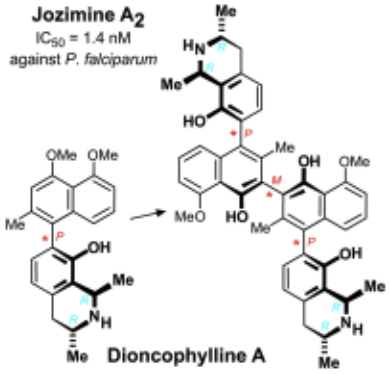
Citation: Bringmann et al. Chemistry – A European Journal 2013, 19, 916–923.

Jozimine A2: The First Dimeric Dioncophyllaceae-Type Naphthylisoquinoline Alkaloid, with Three Chiral Axes and High Antiplasmodial Activity



Jozimine A_2 is a new dimeric naphthylisoquinoline alkaloid, discovered in an *Ancistrocladus* plant (see scheme). Its stereostructure, including three consecutive chiral axes, was assigned by chemical and spectroscopic methods, and confirmed by X-ray crystallography. It exhibits a high antiplasmodial activity, better than any other naphthylisoquinoline alkaloid. Its synthesis is achieved by biomimetic phenol-oxidative coupling of its monomeric precursor.

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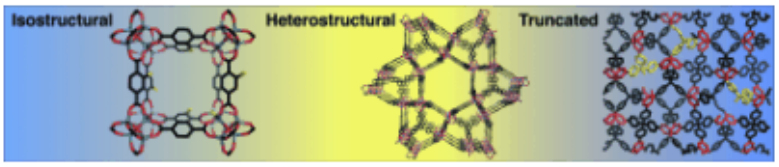
Citation: Bunck, D. N.; Dichtel, W. R. Chemistry – A European Journal 2013, 19, 818–827.

Mixed Linker Strategies for Organic Framework Functionalization



Providing a framework: Framework materials have attracted intense interest for gas storage, separations, catalysis, and other applications as a consequence of their periodicity, high specific surface area, and rational synthesis. Cocrystallizing multiple monomers with identical linking chemistry represents an emerging route to functionalized materials. This Concept Article highlights three strategies for framework functionalization that employ comonomers with identical, expanded, or reduced linking geometries.

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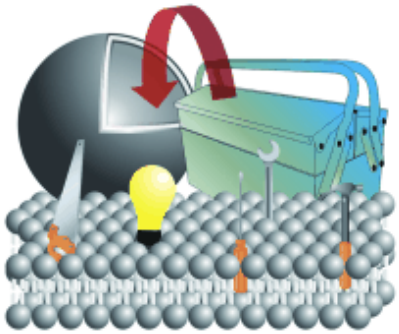
Citation: Gruber, B.; König, B. Chemistry – A European Journal 2013, 19, 438–448.

Self-Assembled Vesicles with Functionalized Membranes



Breaking the surface: The embedding of amphiphilic binding sites, dyes, or catalysts into vesicular bilayer membranes provides rapid and simple access to soft functional surfaces (see figure). The dynamic organization of these self-assembled nanosystems enables a number of interesting applications, such as biomolecule sensing and catalytic transformations at interfaces.

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Citation: Ichik et al. Chemistry – A European Journal 2013, 19, 264–269.

Synthesis of (-)-morphine: application of sequential claisen/claisen rearrangement of an allylic vicinal diol.

Pain-free synthesis! The sequential sigmatropic rearrangements of allylic vicinal diols offer a practical process for the synthesis of structurally complex chiral compounds. The synthesis of (−)-morphine was achieved by employing a sequential Claisen/Claisen rearrangement as a key step (see scheme). The reaction of an allylic vicinal diol was employed to introduce a vicinal tertiary and quaternary carbon centers, and the resulting bis-ester was differentiated in a subsequent Friedel–Crafts-type cyclization.

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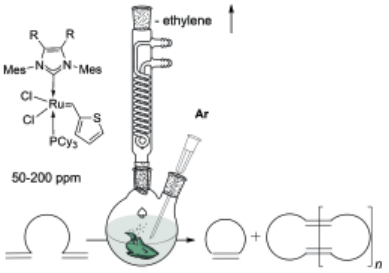
Citation: Kadyrov, R. Chemistry – A European Journal 2013, 19, 1002–1012.

Low Catalyst Loading in Ring-Closing Metathesis Reactions



Getting some closure: An efficient procedure is described for ring-closing metathesis reactions in which only 50 to 250 ppm of catalyst is required to effect almost-quantitative conversion into a broad range of 5–16-membered heterocyclic compounds. The practicality of this procedure was illustrated in the synthesis of 5–8-membered N-protected cyclic amines, 9–16-membered lactones, and 11–16-membered proline-based lactams.

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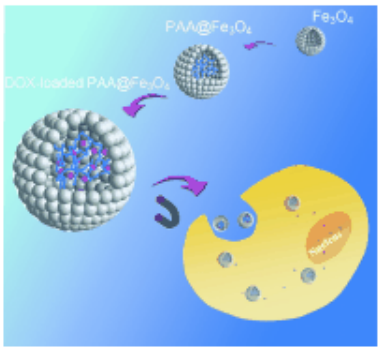
Citation: Kang et al. Chemistry – A European Journal 2012, 18, 15676–15682.

Poly(acrylic acid)-Modified Fe3O4 Microspheres for Magnetic-Targeted and pH-Triggered Anticancer Drug Delivery



Dual response: Monodisperse poly(acrylic acid)-modified Fe_3O_4 (PAA@Fe_3O_4) hybrid microspheres with dual responses (magnetic field and pH) were successfully fabricated (see figure). The drug release behavior was strongly dependent on pH value due to the unique properties of PAA. Furthermore, doxorubicin (DOX)-loaded PAA@Fe_3O_4 composites show an enhanced magnetically guided anticancer effect.

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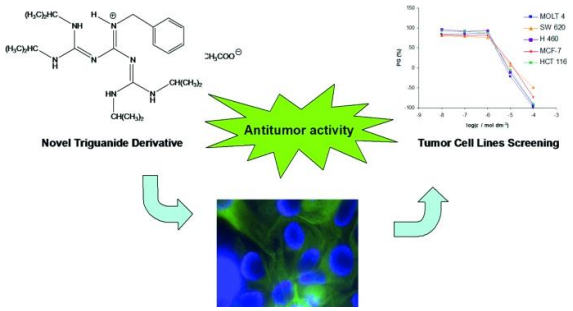
Citation: Štrukil, V., Glasovac, Z., Đilovic, I., Matkovic-Calogovic, D., Šuman, L., Kralj, M. and Eckert-Maksic, M. (2012), Eur. J. Org. Chem., 2012: 6785–6797

Triguanide Derivatives: Synthesis, Crystal Structure and Evaluation of the Proliferation Effect on Some Tumor Cell Lines

The synthesis of new pentasubstituted triguanides 1a–e and the spectroscopic characterization of their salts are described. The X-ray structure of the sulfate of compound 1e is also presented. In addition, proliferation assays using five cancer cell lines and acetate salts of 1a–e are reported.



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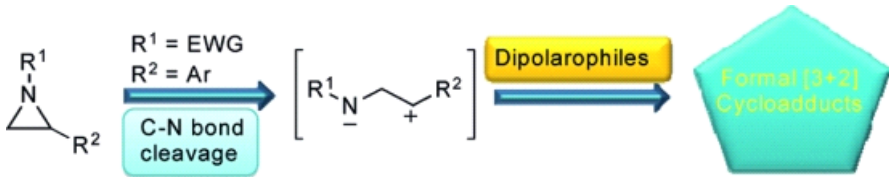
Citation: Cardoso, A. L. and Pinho e Melo, T. M. V. D. (2012), Eur. J. Org. Chem., 2012: 6479–6501.

Aziridines participate in formal [3+2] cycloadditions as masked zwitterionic 1,3-dipoles, generated through C–N bond cleavage, providing routes for the construction of a wide variety of functionalized five-membered heterocycles.



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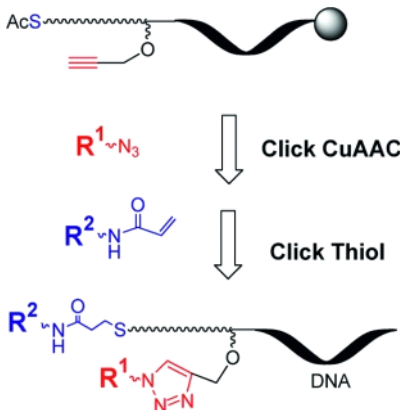
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Meyer, A., Vasseur, J.-J. and Morvan, F. (2013), Eur. J. Org. Chem., 2013: 465–473

Oligonucleotide conjugates bearing different labels were synthesized by mono- or poly-Thiol Michael-Type Additions (TMTAs) through the use of acrylamide derivatives. Bis-oligonucleotide conjugates were obtained with a combination of TMTA and CuAAC "click" chemistry.



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DDO
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Prostratin

Citation: Xu, T.; Min Ko, H.; Savage, N.A.; Dong, G. *J. Am. Chem. Soc.*, **2012**, *134* (49), 20005-20008.

Highly Enantioselective Rh-Catalyzed Carboacylation of Olefins: Efficient Syntheses of Chiral Poly-Fused Rings

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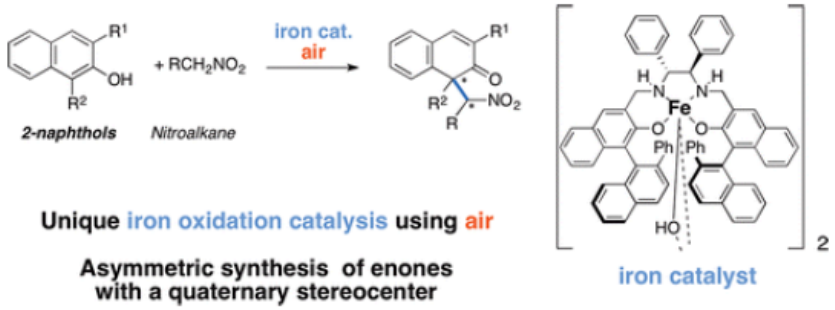
Drug Deliv.
Prostratin

Citation: Oguma, T.; Katsuki, T. *J. Am. Chem. Soc.*, **2012**, *134* (49), 20017-20020.

Iron-Catalyzed Dioxygen-Driven C-C Bond Formation: Oxidative Dearomatization of 2-Naphthols with Construction of a Chiral Quaternary Stereocenter



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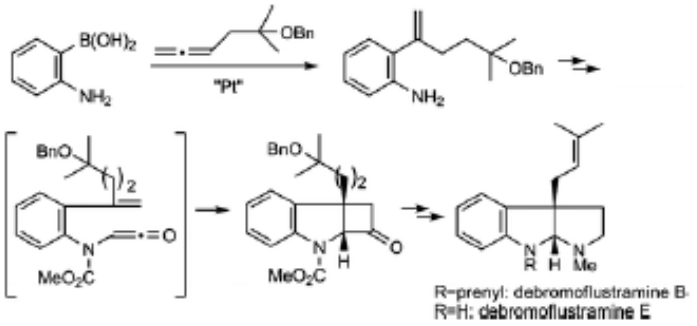
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ozawa, T.; Kanematsu, M.; Yokoe, H.; Yoshida, M.; Shishido, K. *J. Org. Chem.*, **2012**, *77* (20), 9240-9249.

Total Synthesis of Debromoflustramines B and E Based on the Intramolecular Carbamoylketene-Alkene [2 + 2] Cycloaddition



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OM
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DDO
Hybrid
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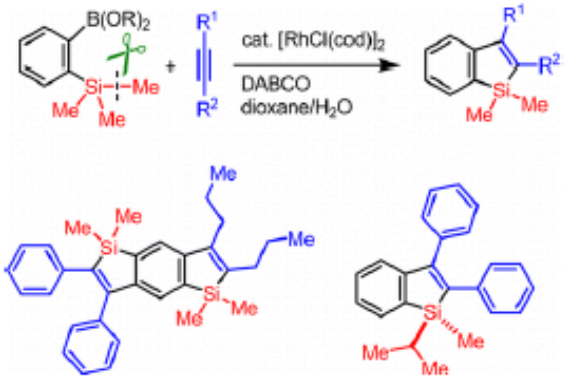
Prostratin

Citation:Onoe, M.; Baba, K.; Kim, Y.; Kita, Y.; Tobisu, M.; Chatani, N. *J. Am. Chem. Soc.*, **2012**, *134* (47), 19477-19488.

Rhodium-Catalyzed Carbon-Silicon Bond Activation for Synthesis of Benzosilole Derivatives



A rhodium-catalyzed coupling reaction of 2-trimethylsilylphenylboronic acid with internal alkynes is developed for the synthesis of 2,3-disubstituted benzosilole derivatives. A range of functional groups, encompassing ketones, esters, amines, aryl bromides, and heteroarenes, are compatible, which provides rapid access to diverse benzosiloles.

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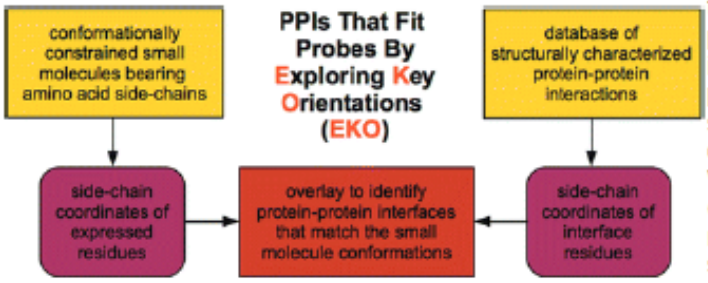
Citation: Ko, E.; Raghuraman, A.; Perez, L.M.; Ioerger, T.R.; Burgess, K. *J. Am. Chem. Soc.*, **2013**, *135* (1), 167-173.

Exploring Key Orientations at Protein-Protein Interfaces with Small Molecule Probes



Small molecule probes that selectively perturb protein–protein interactions (PPIs) are pivotal to biomedical science, but their discovery is challenging. We hypothesized that conformational resemblance of semirigid scaffolds expressing amino acid side-chains to PPI-interface regions could guide this process.

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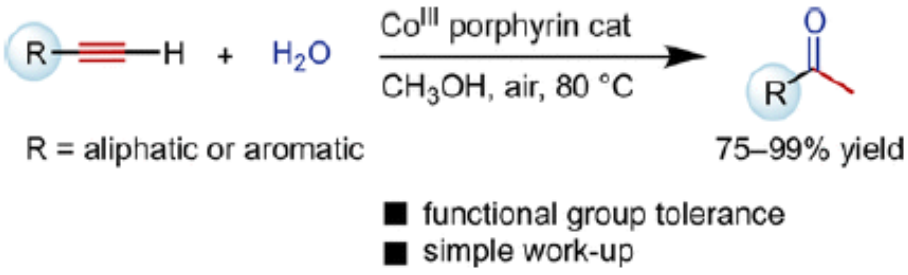
Citation: Tachinami, T.; Nishimura, T.; Ushimaru, R.; Noyori, R.; Naka, H. *J. Am. Chem. Soc.*, **2013**, *135* (1), 50-53.

Hydration of Terminal Alkynes Catalyzed by Water-Soluble Cobalt Porphoryin Complex



- functional group tolerance
- simple work-up

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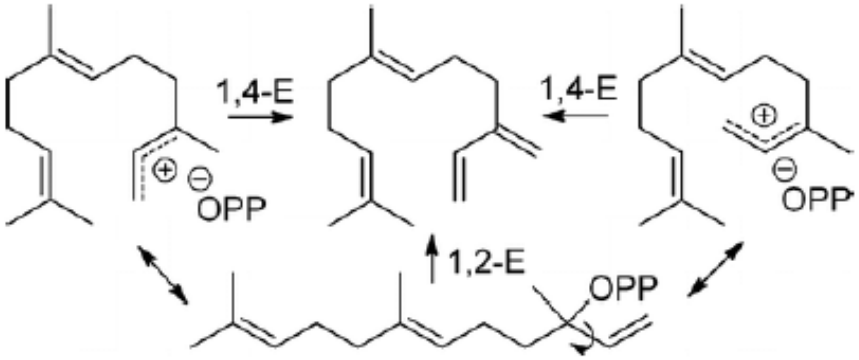
Citation: Jaraldos, J.A.; Gonzalez, V.; Li, A.; Yu, F.; Koksal, M.; Christianson, D.W.; Allemann, R.K. *J. Am. Chem. Soc.*, **2013**, *134* (51), 20844-20848,

Probing the Mechanism of 1,4-Conjugate Elimination Reactions Catalyzed by Terpene Synthases



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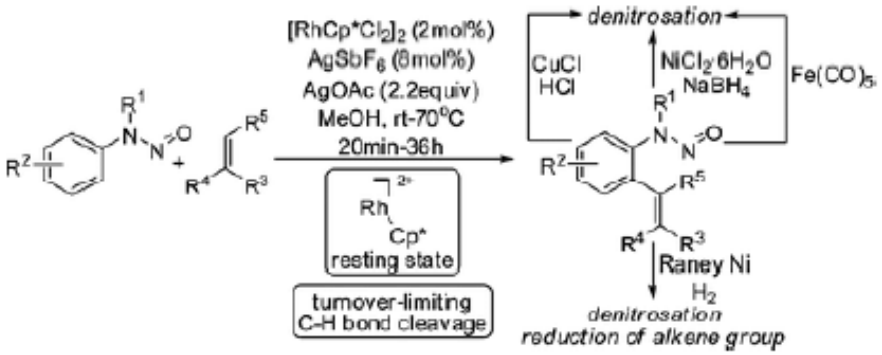
Citation: Liu, B.; Fan, Y.; Gao, Y.; Sun, C.; Xu, C.; Zhu, J. *J. Am. Chem. Soc.*, **2013**, *135* (1), 468-473.

Rhodium(III)-Catalyzed N-Nitroso-Directed C-H Olefination of Arenes. High-Yield, Versatile Coupling under Mild Conditions



bioorganic
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Hybrid
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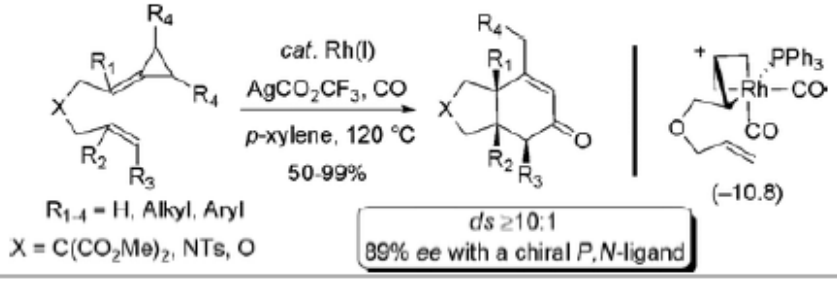
Prostratin

Citation: Mazumder, S.; Shang, D.; Negru, D.E.; Baik, M.-H.; Evans, P.A. *J. Am. Chem. Soc.*, **2012**, *134* (51), 20569-20572.

Stereoselective Rhodium-Catalyzed [3 + 2 + 1] Carbocyclization of Alkenylidenecyclopropanes with Carbon Monoxide: Theoretical Evidence for a Trimethylenemethane Metallacycle Intermediate



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mechanism
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DDO
Hybrid
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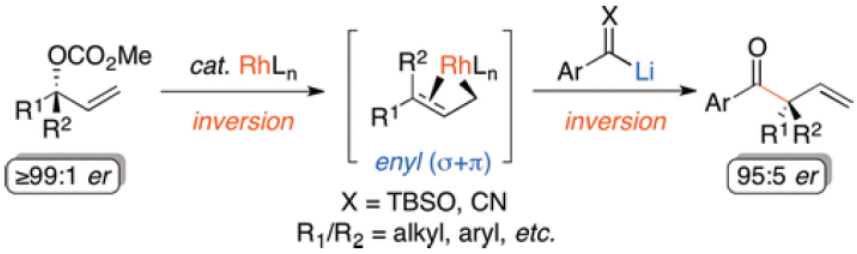
Prostratin

Citation: Evans, P.A.; Oliver, S.; Chae, J. *J. Am. Chem. Soc.*, **2012**, *134* (47), 19314-19317.

Rhodium-Catalyzed Allylic Substitution with an Acyl Anion Equivalent: Stereospecific Construction of Acyclic Quaternary Carbon Stereogenic Centers



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Hybrid
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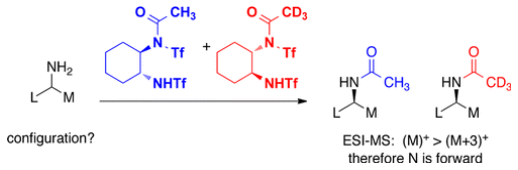
Prostratin

Citation: Miller, S.M.; Samame, R.A.; Rychnovsky*, S.A. J. Am. Chem. Soc., 2012, 134 (50), pp 20318–20321

Nanomole-Scale Assignment of Configuration for Primary Amines Using a Kinetic Resolution Strategy



The absolute configurations of primary amines were assigned using a kinetic resolution strategy with Mioskowski's enantioselective 1-(R,R) and 2-(S,S) acylating agents. A simple mnemonic was developed to determine the configuration. A pseudoenantiomeric pair of reagents, 1-(R,R) and 2-(S,S)-d3, was prepared and used to assay primary amines on a micromolar scale. The ESI-MS readout of the resulting acetamide products reproduced the selectivity factors from kinetic experiments. The method can be used on mixtures of amines and was validated with amine samples as small as 50 nmol.

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Gnid/ Kirk
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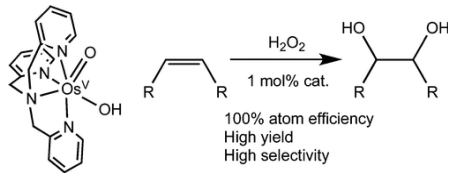
Citation: Sugimoto, H.; Kitayama, K.; Mori, S.; Itoh, S. J. Am. Chem. Soc., 2012, 134 (46), pp 19270–19280

An Osmium(III)/Osmium(V) Redox Couple Generating OsV(O)(OH) Center for cis-1,2-Dihydroxylation of Alkenes with H_2O_2: Os Complex with a Nitrogen-Based Tetradentate Ligand



OsO_4 is quite toxic due to its highly volatile and sublimable nature. Thus, the development of alternative catalysts for cis-1,2-dihydroxylation of alkenes is highly challenging. Our approach involves the use of a nitrogen-based tetradentate ligand, tris(2-pyridylmethyl)amine (tpa), for an osmium center to develop a new osmium catalyst and hydrogen peroxide (H_2O_2) as a cheap and environmentally benign oxidant.

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Citation: Frey, K.M.; Bollini, M.; Mislak, A.C.; Cisneros, J.A.; Gallardo-Macias, R.; Jorgensen, W.L.; Anderson*, K.S. J. Am. Chem. Soc., 2012, 134 (48), pp 19501–19503

Crystal Structures of HIV-1 Reverse Transcriptase with Picomolar Inhibitors Reveal Key Interactions for Drug Design

X-ray crystal structures at 2.9 Å resolution are reported for two complexes of catechol diethers with HIV-1 reverse transcriptase. The results help elucidate the structural origins of the extreme antiviral activity of the compounds. The possibility of halogen bonding between the inhibitors and Pro95 is addressed. Structural analysis reveals key interactions with conserved residues P95 and W229 of importance for design of inhibitors with high potency and favorable resistance profiles.

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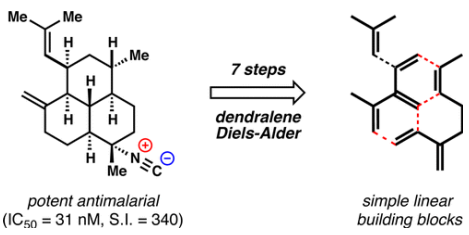
Drug Deliv.
Prostratin

Citation: Pronin, S.V.; Shenvi *, R.A. J. Am. Chem. Soc., 2012, 134 (48), pp 19604–19606

Synthesis of a Potent Antimalarial Amphilectene



7-Isocyano-11(20),14-epiamphilectadiene, the most potent of antimalarial amphilectenes, is synthesized in seven steps from readily available materials. The synthesis is enabled by a new dendrimeric triene (Danishefsky [3]-dendralene) and a new method for stereo- and chemoselective isocyanation. This chemistry provides a useful entry into an underexplored yet promising family of antimalarial terpenoids.

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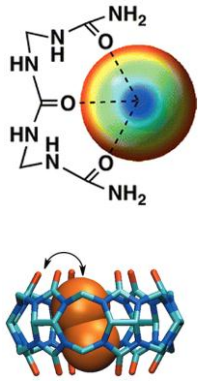
Prostratin

Citation: El-Sheshtawy, H.S.; Bassil, B.S.; Assaf, K.I.; Kortz, U.; Nau*, W.M. J. Am. Chem. Soc., 2012, 134 (48), pp 19935–19941



Halogen Bonding inside a Molecular Container

The synthetic macrocycle cucurbit[6]uril forms host–guest inclusion complexes with molecular dibromine and diiodine. The encapsulated dihalogens adapt a tilted axial geometry and are held in place by two different types of halogen-bonding interactions, one with a water molecule (bond distances 2.83 Å for O···Br and 3.10 Å for O···I) and the other one with the ureido carbonyl groups of the molecular container itself (bond distances 3.33 Å for O···Br and 3.49 Å for O···I). While the former is of the conventional type, involving the lone electron pair of an oxygen donor, the latter is perpendicular, involving the p-system of the carbonyl oxygen (N–C-O···X dihedrals ca. 90°). The calculations further demonstrate that the perpendicular interactions remain significantly attractive also for nonlinear distortions of the O···X–X angle to ca. 140°, the angle observed in the two reported crystal structures. The structural and theoretical data jointly support the assignment of the observed dihalogen–carbonyl contacts as genuine halogen bonds.

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Citation: Stephansen, A.B.; Brogaard, R.Y.; Kuhlman, T.S.; Klein, LB.; Christensen, J.B.; Sølling*,T.I. J. Am. Chem. Soc., 2012, 134 (50), pp 20279–20281

Surprising Intrinsic Photostability of the Disulfide Bridge Common in Proteins

The photolytically weak S–S bond does not immediately seem to possess that ability. We mapped the real-time motion of the two sulfur radicals that result from disulfide photolysis on the femtosecond time scale and found the reason for the existence of the S–S bridge as a natural building block in folded structures. The sulfur atoms will indeed move apart on the excited state but only to oscillate around the S–S center of mass. At long S–S distances, there is a strong coupling to the ground state, and the oscillatory motion enables the molecules to continuously revisit that particular region of the potential energy surface. *When a structural feature such as a ring prevents the sulfur radicals from flying apart and thus assures a sufficient residence time in the active region of the potential energy surface, the electronic energy is converted into less harmful vibrational energy, thereby restoring the S–S bond in the ground state.*

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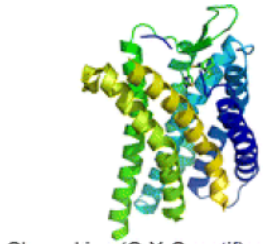
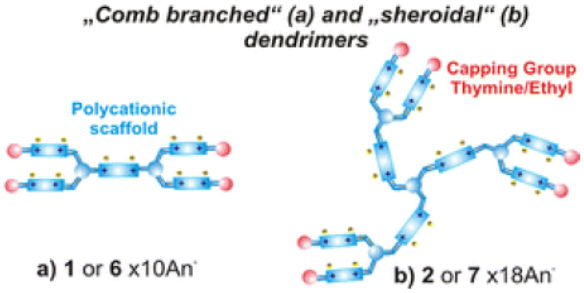
Citation: Asaftei, S.; *et al. J. Med. Chem.* **2012**, *55*, 10405-10413.

HIV-1 X4 Activities of Polycationic "Viologen" Based Dendrimers by Interaction with the Chemokine Receptor CXCR4: Study of Structure–Activity Relationship



Chemokine (C-X-C motif)receptor 4
(PDB 3OE9)



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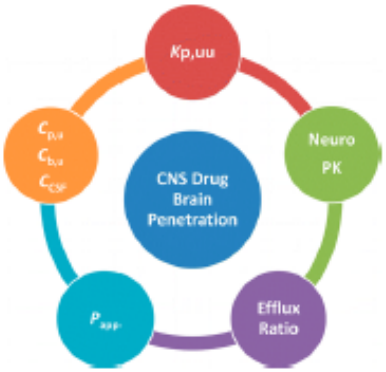
Drug Deliv.
Prostratin

Citation: Di, L.; Rong, H.; Feng, B. *Med. Chem.* **2013**, *56*, 2-12.

Demystifying Brain Penetration in Central Nervous System Drug Discovery



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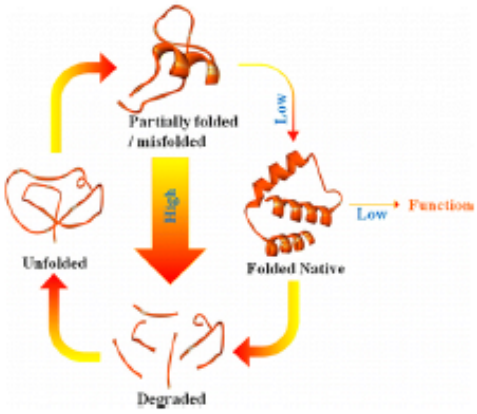
Prostratin

Citation: Gavrin, L. K.; Denny, R. A.; Saiah, E. *J. Med. Chem.* **2012**, *55*, 10823-10843.

Small Molecules That Target Protein Misfolding

"Protein misfolding is linked to a large number of diseases such as cystic fibrosis, Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, and less familiar diseases such as Gaucher's disease, nephrogenic diabetes insipidus, and Creutzfeldt-Jakob disease."



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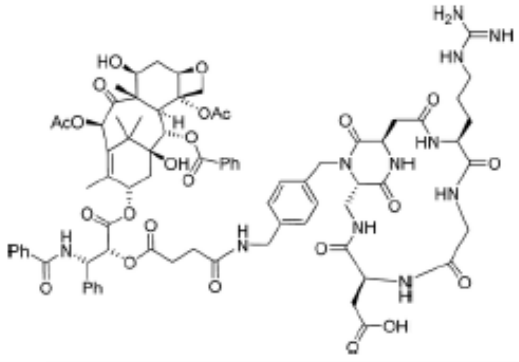
Drug Deliv.
Prostratin

Citation: Colombo, R.; *et al. J. Med. Chem.* **2012**, *55*, 10460-10474.

Synthesis and Biological Evaluation (in Vitro and in Vivo) of Cyclic Arginine-Glycine-Aspartate (RGD) Peptidomimetic-Paclitaxel Conjugates Targeting Integrin $\alpha_V\beta_3$



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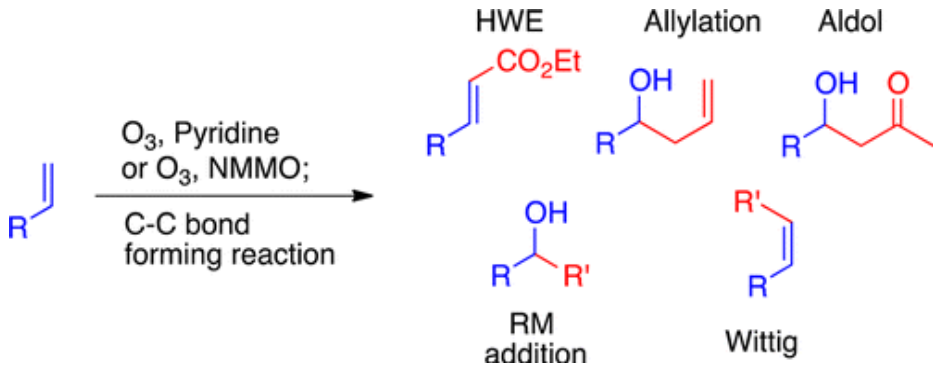
Prostratin

Citation: Willand-Charnley, R; Dussault, P.H. *JOC*. **2013**, *78*, 42-47.

Tandem Application of C-C Bond-Forming Reactions with Reductive Ozonolysis



Nearly all of their reported tandem processes have yields above 80%. This article explores some cool ideas when it comes to combining processes.

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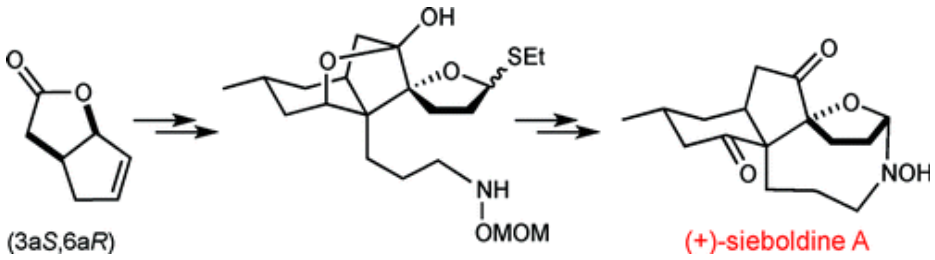
Citation: Canham, S.M.; France, D.J.; Overman, L.E. *JOC*. **2013**, *78*, 9-34.

Total Synthesis of (+)-Sieboldine A: Evolution of a Pinacol-Terminated Cyclization Strategy

An exploration of all of the various strategies that were employed to get to this natural product. They include everything that didn't work. This paper is a very good representation of what actually happens on a Total Syn project.



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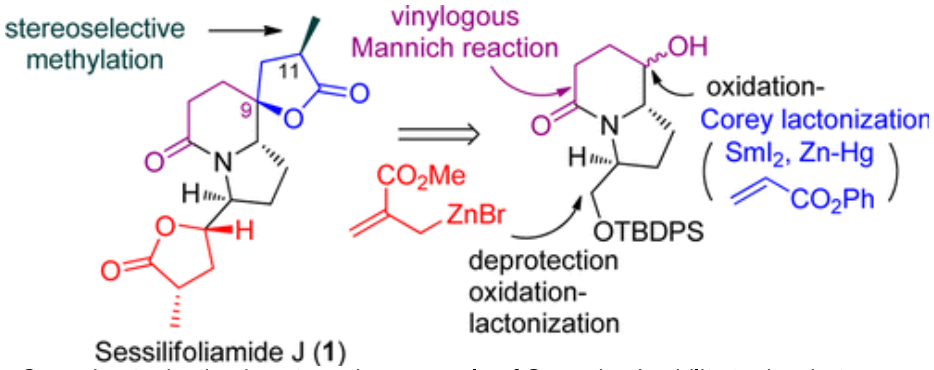
Citation: Liu, X.; *et al*. *JOC*. **2013**, *78*, 35-41.

Total Synthesis of (-)-Sessilifoliamide J



The Corey Lactonization is yet another example of Samarium's ability to do whatever you want it to. Interesting and short synthesis of a complex, stereo-dense natural product.

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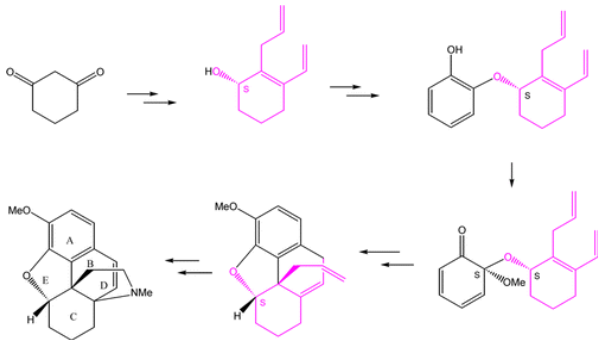
Citation: Gao, J. *et al*. *JOC,* **2013**, *78*, 48-58.

From Chiral *ortho*-Benzoquinone Monoketals to Nonracemic Indolinocodeines through Diels-Alder and Cope Reactions

Rapid construction of compounds that are very similar to morphine in their structure. This *ortho*-benzoquinone monoketal Diels-Alder chemistry seems like an interesting way of putting together complex ring systems of this type.



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Hybrid
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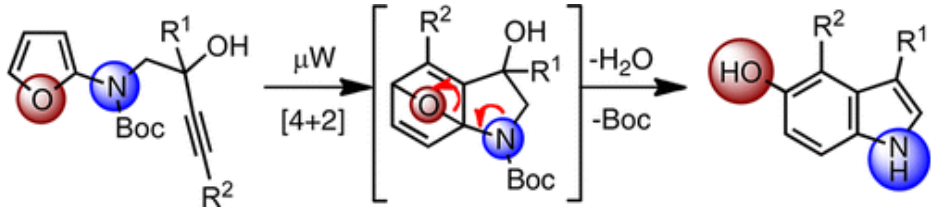
Citation: LaPorte, M. *et al*. *JOC*, **2013**, *78*, 167-174.

5-Hydroxyindoles by Intramolecular Alkynol-Furan Diels-Alder Cycloaddition



Not certain about the utility of this reaction because the yields they report range from 15-74%. Still, another interesting way of making indoles.

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Hybrid
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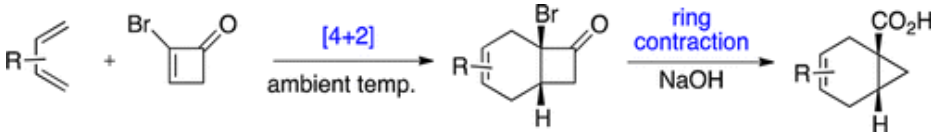
Citation: Ross, A.G.; Townsend, S.D.; Danishefsky, S.J. *JOC*, **2013**, *78*, 204-210.

Halocycloalkenones as Diels-Alder Dienophiles. Applications to Generating Useful Structural Patterns



The paper shows how bromocyclobutenone is a better dienophile than cyclobutenone on its own. All substrates are tested with both compounds. Subsequent rearrangements are also analyzed and access to an interesting cyclopropane carboxylic acid demonstrated.

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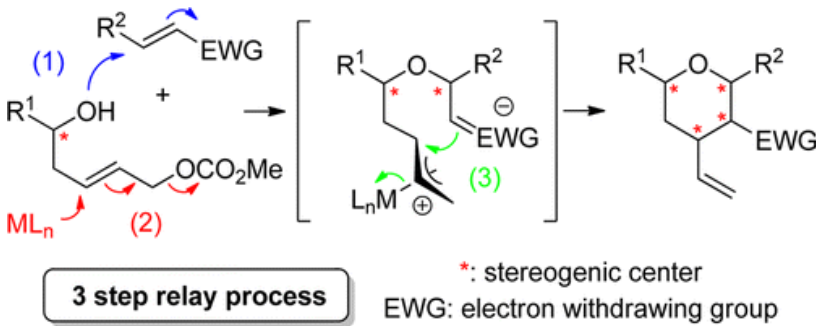
Citation: Wang, L. and Menche, D. *JOC*. **2012**, *77*, 10811-10823.

Construction of Multisubstituted Tetrahydropyrans by a Domino Oxa-Michael/Tsuji-Trost Reaction



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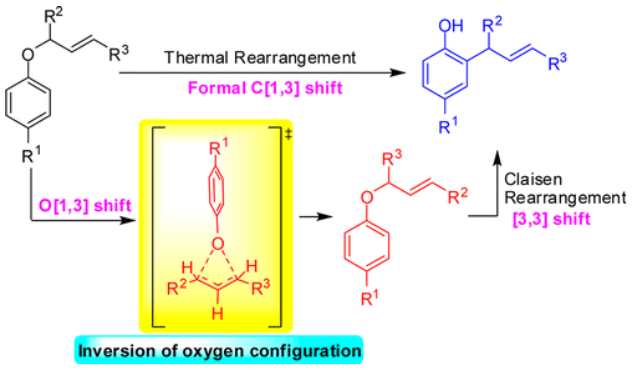
Citation: Hou, S.; Li, X.; Xu, J. *JOC*. **2012**, *77*, 10856-10869.

Mechanistic Insight into the Formal [1,3]-Migration in the Thermal Claisen Rearrangement



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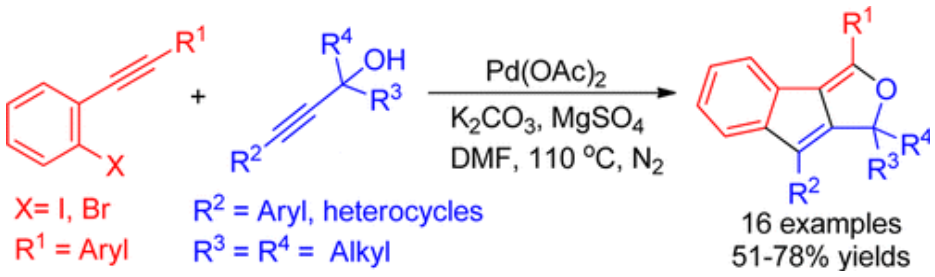
Citation: Jin, J.; *et al*. *JOC*. **2012**, *77*, 11368-11371.

Synthesis of Indeno[1,2-*c*]furans via a Pd-Catalyzed Bicyclization of 2-Alkynyliodobenzene and Propargylic Alcohol



Might spur some thought as to what we could do with the o-Bromo alkoxy alkyne.

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Citation: De Greve, *et al. Nature* **2012,** *491*, 421 & Gao *et al. Nature* **2012**, *491*, 426.

Quantum-dot spin--photon entanglement via frequency downconversion to telecom wavelength

&

Observation of entanglement between a quantum dot spin and single photon

Getting ever closer to a quantum computer!

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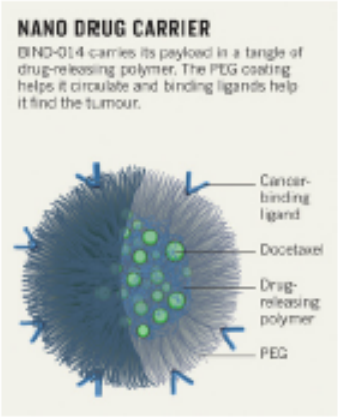
Citation: Bourzac, K. *Nature* **2012**, *491*, S58.

Nanotechnology: Carrying Drugs



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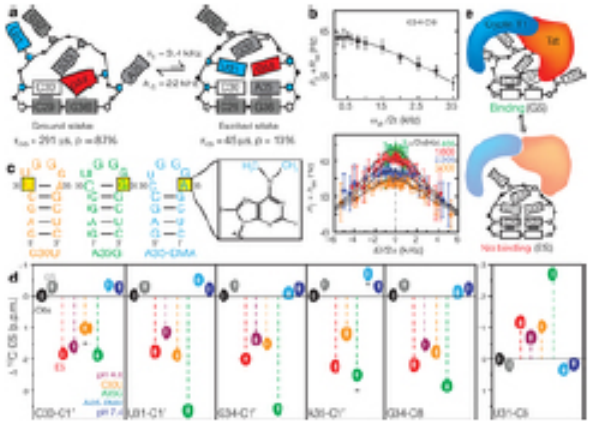
Prostratin

Citation: Dethoff, E.A. *et al. Nature* **2012**, *491*, 724.

Visualizing transient low-populated structures of RNA



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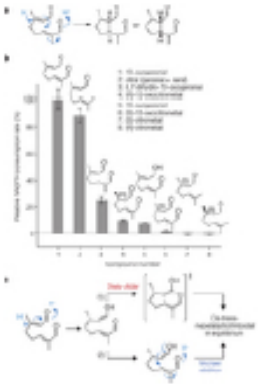
Citation: Geu-Flores, F. *Nature* **2012**, *492*, 138.

An alternative route to cyclic terpenes by reductive cyclization in iridoid biosynthesis



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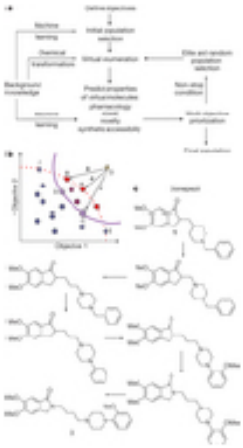
Citation: Besnard, J. *Nature* **2012**, *492*, 215.

Automated design of ligands to polypharmacological profiles



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Citation: Van Noorden, R. *Nature* **2013**, Nature News 02 Jan 2013

Safety survey reveals lab risks

"Questionnaire suggests researchers not as safe as they feel."

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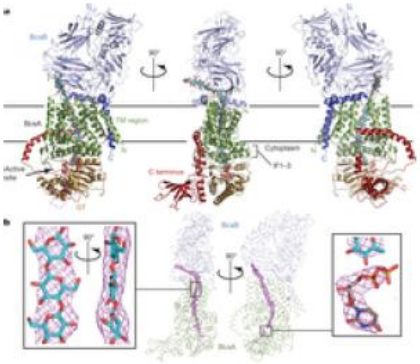
Citation: Morgan, J.L.W. *et al. Nature* **2013**, *493*, 181.

Crystallographic snapshot of cellulose synthesis and membrane translocation



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Curran, D. P. *Nature Chem.* **2012**, *4*, 958.

Free at last!

"Organic synthesis has always suffered from many constraints, and it is often stated that we are still a long way from routine 'ideal reactions'. But have you noticed yet the liberating groundswell that is now occurring? Researchers have recently discovered acid-free reactions and base-free reactions. And there are reactions that are metal-free, catalyst-free, protecting-group-free, solvent-free, and so on."

Everyone needs a little satire...

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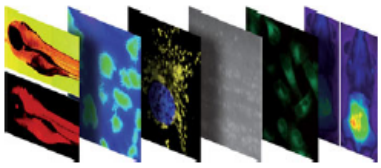
Chan, J.; Dodani, S.; Chang, C. J. *Nature Chem.* **2012**, *4*, 973-984.

Reaction-based small-molecule fluorescent probes for chemoselective bioimaging



"Small-molecule fluorescent probes can make use of selective, bioorthogonal chemistries to report on specific analytes in cells and in more complex biological specimens. These probes offer powerful reagents to interrogate the physiology and pathology of reactive chemical species in their native environments with minimal perturbation to living systems. This Review presents a survey of tools and tactics for using such probes to detect biologically important chemical analytes. We highlight design criteria for effective chemical tools for use in biological applications as well as gaps for future exploration."

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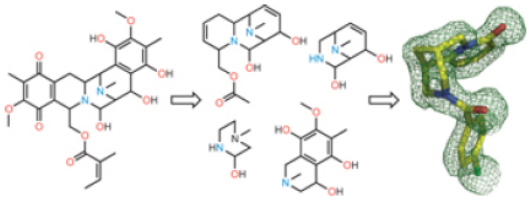
Over, B.; Wetzel, S.; Grütter, C.; Nakai, Y.; Renner, S.; Rauh, D.; Waldmann, W. *Nature Chem.* **2013**, *5*, 21-28.

Natural-product derived fragments for fragment-based ligand discovery



"Here, we have analysed more than 180,000 natural product structures to arrive at 2,000 clusters of natural-product-derived fragments with high structural diversity, which resemble natural scaffolds and are rich in sp^3-configured centres. The structures of the cluster centres differ from previously explored fragment libraries, but for nearly half of the clusters representative members are commercially available. We validate their usefulness for the discovery of novel ligand and inhibitor types by means of protein X-ray crystallography and the identification of novel stabilizers of inactive conformations of p38alpha MAP kinase and of inhibitors of several phosphatases."

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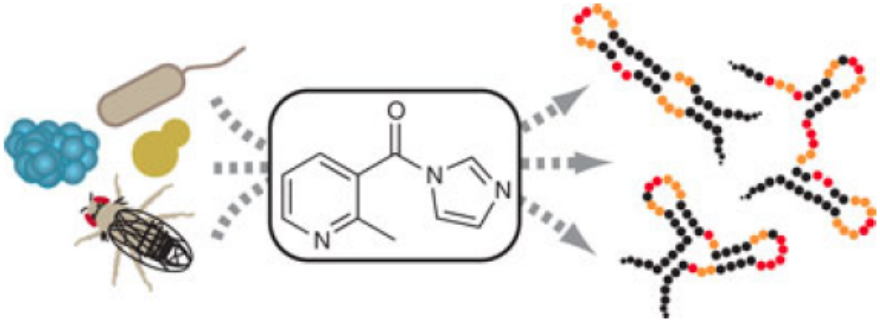
Citation: Spitale, R. C.; *et al. Nat. Chem. Bio.* **2013**, *9*, 18-20.

RNA SHAPE analysis in living cells

Selective 2'-hydroxyl acylation analyzed by primer extension (SHAPE) is a proven methodology for *in vitro* RNA secondary structure analysis. The identification of a new acylating agent permits the use of SHAPE to probe folded RNAs within living cells.



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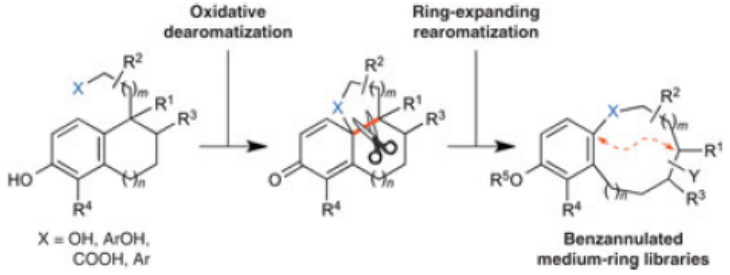
Citation: Bauer, R. A.; *et al. Nat. Chem. Bio.* **2013**, *9*, 21-29.

Biomimetic diversity-oriented synthesis of benzannulated medium rings via ring expansion

Medium-sized ring structures can provide unique entry points into natural product-like chemical space but are synthetically challenging to access. A biologically inspired method eases these challenges, employing a dearomatization-rearomatization sequence to form a diverse library of rings from tailored bicyclic compounds.



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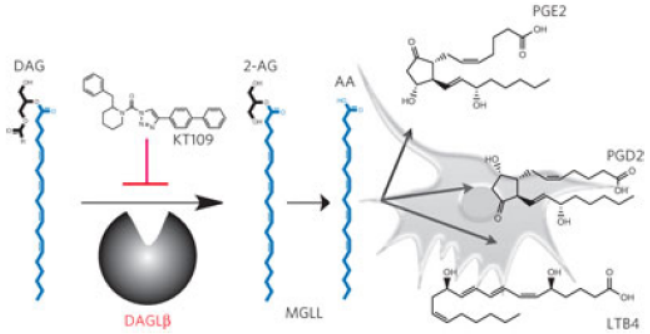
Citation: Hsu, K.-L.; *et al. Nat. Chem. Bio.* **2012**, *8*, 999-1007.

DAGLβ inhibition perturbs a lipid network involved in macrophage inflammatory responses

Potent, selective inhibitors reveal that DAGLβ is a principal 2-AG biosynthetic enzyme and regulates inflammatory processes in mouse peritoneal macrophages.



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Citation: http://www.nytimes.com/2013/01/10/health/pap-test-may-prove-useful-at-detecting-more-types-of-cancer-study-suggests.html

Pap Test Could Help Find Cancers of Uterus and Ovaries

For the first time, researchers have found genetic material from uterine or ovarian cancers in Pap smears, meaning that it may become possible to detect three diseases with just one routine test.

The women studied were already known to have cancer, and while the Pap test **found 100 percent of the uterine cancers, it detected only 41 percent of the ovarian cancers.**

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Citation: http://www.nytimes.com/2013/01/12/health/us-flu-deaths-reach-epidemic-levels-but-may-be-peaking.html

Flu Season Deaths Reach Epidemic Level but May Be at Peak, C.D.C. Says

GET A FLU SHOT!!!

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Citation: *The Onion*, http://goo.gl/wIsDF

Man Has Alarming Level Of Pride In Institution That Left Him $50,000 In Debt, Inadequately Prepared for Job Market

JACKSONVILLE, FL—Calling his college experience "the greatest four years of [his] life," 27-year-old University of Miami alumnus Mark Felder maintains a startling level of pride in his alma mater, a private academic institution that left him $50,000 in debt and completely unprepared for the current job market, sources confirmed Tuesday.

According to sources, the man who is no better off today than when he first graduated owns a wide variety of University of Miami apparel, including hats, sweatshirts, sports jerseys, and running shorts, as well as a number of posters and school pennants, which line the walls of his studio apartment. Additionally, Felder enthusiastically showed reporters the Miami Hurricanes decal on the back window of his dented 2001 Honda Civic, which he drives to the entry-level administrative assistant job he was forced to take after failing to find any significant work related to his degree.

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Citation: *The Onion*, http://goo.gl/wJkmt

Scientists Develop Highly Volatile Relationship

PALO ALTO, CA—Marking a major breakthrough in the study of highly charged atmospheres and intense fields of emotional instability, scientists at Stanford University announced Thursday they had synthesized an entirely new and extremely volatile form of romantic relationship.

According to project head Dr. Stuart Barnard, this highly combustible pairing was created by taking two wildly incompatible people—24-year-old test subjects Colin Buckner and Lisa Mullins—and then rapidly colliding their personalities together until they briefly formed an unstable bond.

"Our experiment succeeded beyond our wildest expectations," said Barnard, noting that all prior evidence suggested Buckner and Mullins were completely wrong for each other and should never be together. "By combining a high-intensity, type-A male with an overly reactive, anxiety-ridden female, we managed to create an attraction between two opposing forces that released unprecedented levels of exploitation and aggression."

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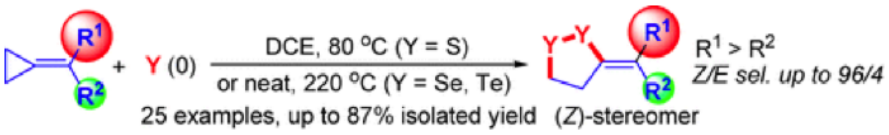
Citation: Yu, L.; Wu, Y.; Chen, T.; Pan, Yi.; Xu, Q. *Org. Lett.* **2013**, 15(1), 144-147.

Direct Synthesis of Methylene-1,2-dichalcogenolanes via Radical [3 + 2] Cycloaddition of Methylenecyclopropanes with Elemental Chalcogens



Direct [3 + 2] radical cycloaddition of methylenecyclopropanes and elemental chalcogens (S, Se, Te) can readily occur under simple thermal conditions, providing an efficient, practical method for preparation of useful but not easily accessed methylene-1,2-dichalcogenolanes.

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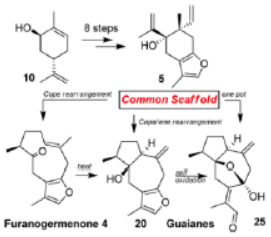
Citation: Anagnostaki, E.; Zografos, A. *Org. Lett.* **2013**, 15(1), 152-155.

Non-natural Elemane as the "Stepping Stone" for the Synthesis of Germacrane and Guaiane Sesquiterpenes



The synthesis of hydroxyelemane **5** from (*R*)-carvone and its utilization as a common synthetic scaffold to produce structurally diverse germacrane and guaiane sesquiterpenes are described. A highly enantio- and stereoselective biomimetic tandem oxy-Cope/ene rearrangement was used as the key reaction to access the 10-membered macrocyclic core of germacranes and the condensed 5-7 carbocycles of guaiane sesquiterpenes. Additionally, reactions of furanoguaianes under acidic or oxidizing reagents have been investigated, and preliminary results of these conversions are presented.

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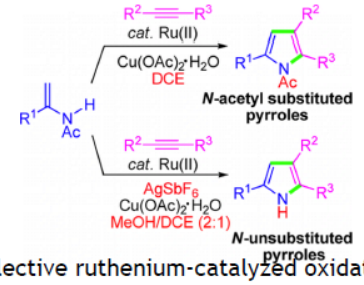
Citation: Li, B; Wang, N; Liang, Y. *Org. Lett.* **2013**, 15(1), 136-139

Ruthenium-Catalyzed Pyrrole Synthesis via Oxidative Annulation of Enamides and Alkynes



An efficient and regioselective ruthenium-catalyzed oxidative annulation of enamides with alkynes via the cleavage of C(sp^2)-H/N-H bonds is reported. The reactions can afford *N*-acetyl substituted or *N*-unsubstituted pyrroles by altering the reaction conditions slightly.

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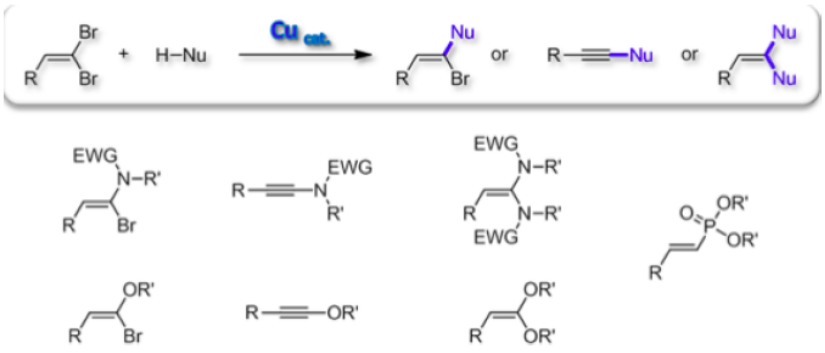
Citation: *Organometallics* **2012**, *31*, 7933

Copper-Mediated Selective Cross-Coupling of 1,1-Dibromo-1-alkenes and Heteronucleophiles: Development of General Routes to Heterosubstituted Alkynes and Alkenes



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Citation: *Organometallics* **2012**, *31*, 7933

Copper-Mediated Selective Cross-Coupling of 1,1-Dibromo-1-alkenes and Heteronucleophiles: Development of General Routes to Heterosubstituted Alkynes and Alkenes

Efficient and general procedures for the cross-coupling of 1,1-dibromoalkenes and N-, O-, and P-nucleophiles are reported. Fine-tuning of the reaction conditions allows for either site-selective, double, or alkynylative cross-coupling, therefore providing divergent and straightforward entries to numerous building blocks such as bromoenamides, ynamides, ketene N,N- acetals, bromoenol ethers, ynol ethers, ketene O,O-acetals, or vinylphosphonates and further expanding the copper catalysis toolbox with useful and versatile processes.

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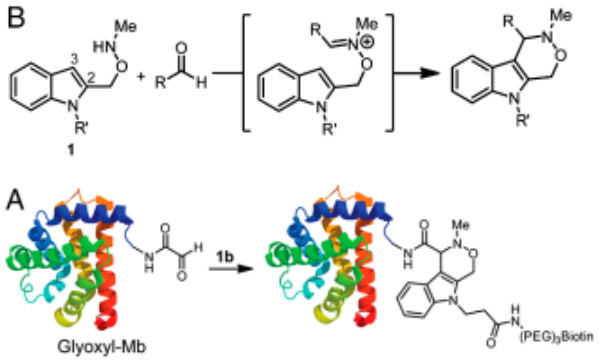
Citation: PNAS January 2, 2013 vol. 110 no. 1 46-51

A Pictet-Spengler ligation for protein chemical modifcation

Carolyn Bertozzi has invented a new bioorthogonal reaction based on the Pictet-Spengler reaction of aldehydes and alkoxyamines. What up.



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Citation: PNAS January 8, 2013 vol. 110 no. 2 465-470

pHLIP peptide targets nanogold particles to tumors

New targeting method:
We have been developing a unique approach for targeting acidic tissue, based on the family of pH (Low) Insertion Peptides (pHLIPs). pHLIPs are water-soluble, moderately hydrophobic polypeptides originally derived from the bacteriorhodopsin C helix. A pHLIP is triggered by acidity to fold and insert across a membrane to form a stable transmembrane alpha helix. **In the present work, they report that pHLIP can enhance i.v. delivery of gold nanoparticles to tumors by sixfold.**

The pHLIP (ACEQNPIYWARYADWLFTTPLLLLDLALLVDADET) and K-pHLIP (ACEQNPIYWARYAKWLFTTPLLLLKLALLVDADET) peptide sequences.

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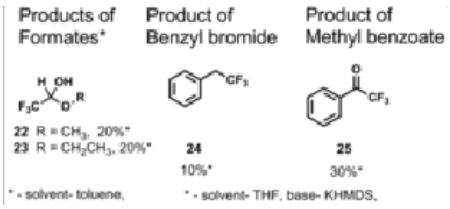
Citation: Prakash, G. K. S.; Jog, P. V.; Batamack, P. T.; Olah, G. A. *Science* **2012**, *338*, 1324.

Taming of Fluoroform: Direct Nucleophilic Trifluoromethylation of Si, B, S, and C Centers

Some examples with carbon-based electrophiles:



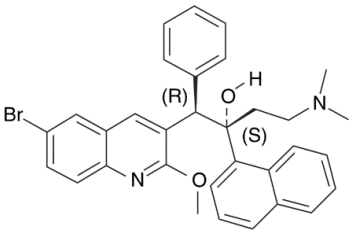
The authors reported a direct trifluoromethylation protocol using close to stoichiometric amounts of CF3H in common organic solvents such as THF, diethyl ether, and toluene. The methodology is widely applicable to a variety of silicon, boron, and sulfur-based electrophiles, as well as carbon-based electrophiles.

bioorganic **methods** synthesis mechanism review other

OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin

Citation: Cohen, J. *Science* **2013**, *339*, 130.

Approval of Novel TB Drug Celebrated - With Restraint



bedaquiline

On New Year's Eve, the U.S. Food and Drug Administration (FDA) approved bedaquiline, the first new tuberculosis drug in more than 40 years. Recognizing the urgent need for better MDR TB drugs, the FDA put bedaquiline, made by Janssen Therapeutics, through an accelerated approval process, which relaxes efficacy data requirements, and approved it in 6 months.

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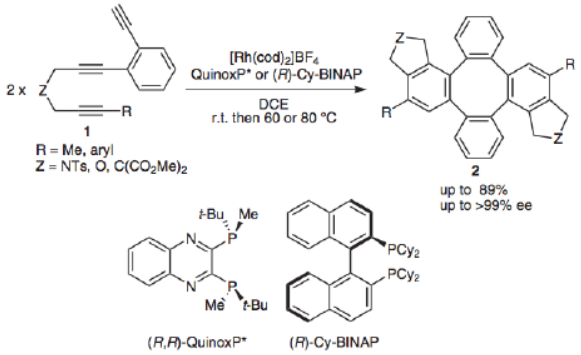
OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin

Citation: *Synthesis* **2012**, *44*, 3269–3284

Facile Synthesis of Cyclic Polyphenylenes by Consecutive Inter- and Intramolecular Cycloadditions of *ortho*-, *meta*-, and *para*-Phenylene-Tethered Triynes



bioorganic **methods** synthesis mechanism review other

OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: *Synthesis* **2012**, *44*, 3269–3284

Facile Synthesis of Cyclic Polyphenylenes by Consecutive Inter- and Intramolecular Cycloadditions of *ortho*-, *meta*-, and *para*-Phenylene-Tethered Triynes

Consecutive inter- and intramolecular [2+2+2] cycload- ditions of various phenylene-tethered triynes were comprehensively studied by using chiral rhodium catalysts. *ortho*-Phenylene-tethered triynes gave chiral *o*,*o*,*o*,*o*-tetraphenylenes in high-to-excellent en- antiomeric excess as dimers. *meta*-Phenylene-tethered triynes gave chiral *o*,*m*,*o*,*m*-tetraphenylenes in moderate enantiomeric excess as dimers along with hexaphenylenes as trimers. This is the first syn- thesis of *cis*-*o*,*m*,*o*,*m*-tetraphenylenes; one structure was ascertained by X-ray crystal structure analysis. *para*-Phenylene-tethered triynes gave *o*,*p*,*o*,*p*,*o*,*p*-hexaphenylenes as trimers along with the forma- tion of *o*,*p*,*o*,*p*,*o*,*p*,*o*,*p*-octaphenylenes as tetramers.

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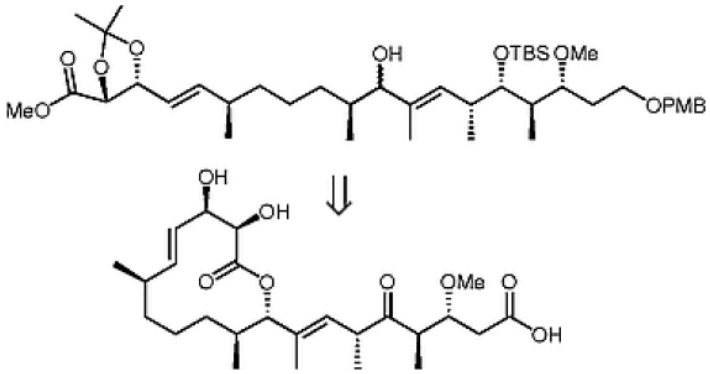
Citation: *Synthesis* **2012**, *44*, 3269–3284

Studies towards the total synthesis of Carolacton



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Citation: *Synthesis* **2012**, *44*, 3269–3284

Studies towards the total synthesis of Carolacton

An efficient synthesis of the C1–C19 segment of carolac- ton is described, starting from D-ribose, citronellene and a homopropargylic alcohol, and which employs a Nozaki, Hiyama, Kishi (NHK) coupling as the key step. Other important steps are cross-metathesis and Evans aldol reactions.

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Citation: *Tetrahedron* **2012**, *68* (51)

Special Issue:
β-Lactam Chemistry
Tetrahedron **2012**, *68* (52)

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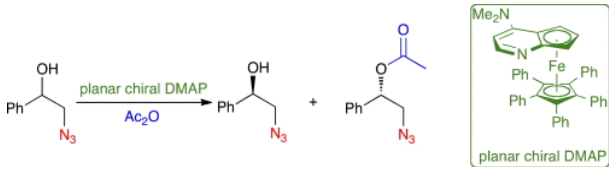
Citation: L. Mesas-Sánchez, A. E. Díaz-Álvarez, P. Dinér, *Tetrahedron* **2012**, *68* (51), 753.

Non-enzymatic kinetic resolution of 1,2-azidoalcohols using a planar-chiral DMAP derivative catalyst

Optically pure 1,2-azidoalcohols are widely used as precursors for other high value organic products. A non-enzymatic kinetic resolution procedure for the stereoselective synthesis of chiral 1,2-azidoalcohols from the readily available racemic counterparts has been developed, employing a planar-chiral DMAP derivative catalyst. Following this procedure, a range of aromatic 1,2-azidoalcohols was obtained in good selectivities (up to S=45) and high enantiomeric excess (up to 99% ee).



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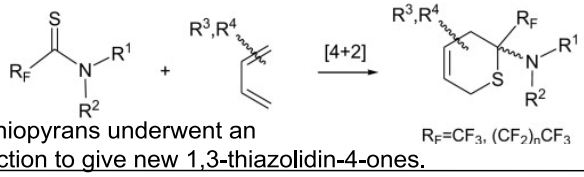
Citation: O. S. Kanishchev, M. Sanselme, J.-P. Bouillon, *Tetrahedron* **2012**, *69* (4), 1322.

Hetero-Diels–Alder reactions of perfluoroalkyl thioamides with electron-rich 1,3-dienes: synthesis of new 2-aminosubstituted-3,6-dihydro-2H-thiopyrans and related compounds

Hetero-Diels–Alder reactions of perfluoroalkyl thioamides with electron-rich 1,3-dienes such as 2,3-dimethylbutadiene, isoprene or penta-1,3-diene gave a simple and efficient access to new 2-aminosubstituted-3,6-dihydro-2H-thiopyrans. Three different procedures were used depending on the nature of the polyfluoroalkyl chains and on the nitrogen substituents of the thioamides. Moreover, cycloadditions of silyloxydienes with N-acyl,N-tolyl trifluoromethylthioamides afforded in almost all cases the corresponding 3,6-dihydro-2H-thiopyrans or 3-oxo-tetrahydrothiopyrans. For non-symmetrical 1,3-dienes, the regio- and stereochemistry of the reactions were studied indicating a strong similarity with those reported for fluorinated thiocarboxyl derivatives. Finally, two silylated 3,6-dihydro-2H-thiopyrans underwent an unexpected base-induced ring contraction to give new 1,3-thiazolidin-4-ones.



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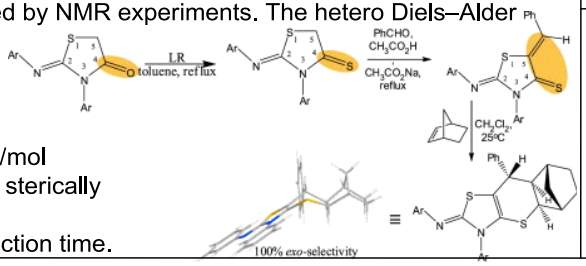
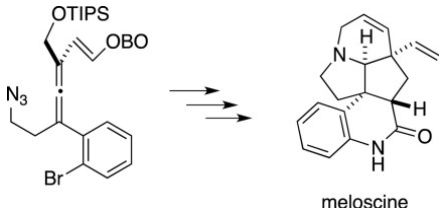
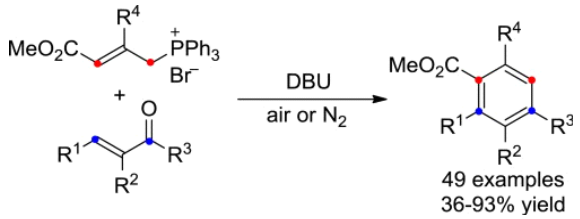
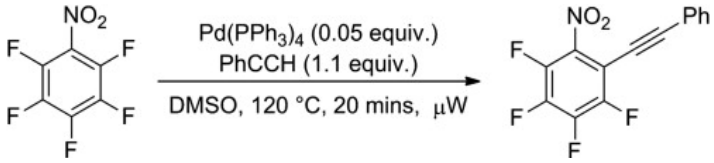
Citation: S. Erol, I. Dogan, *Tetrahedron* **2012**, *69* (4), 1337.	
exo-Selective inverse-electron-demand hetero Diels–Alder reactions of norbornene with 5-benzylidine-2-arylimino-3-aryl-thiazolidine-4-thiones at room temperature 2-Arylimino-3-aryl-thiazolidine-4-thiones were synthesized from the corresponding thiazolidine-4-ones using Lawesson's reagent (LR) and converted into 5-benzylidine-2-arylimino-3-aryl-thiazolidine-4-thiones by reaction with benzaldehyde, which were then used as heterodienes in the inverse-electron-demand hetero Diels–Alder cycloadditions with norbornene as a dienophile at 25 °C. The reactions with norbornene were found to proceed with 100% exo-selectivity as determined by NMR experiments. The hetero Diels–Alder reactions with axially chiral hetero-dienes with dG>116 kJ/mol showed kinetic atroposelectivities up to 11:1. However, the products were found to equilibrate, as revealed by the 97.1 kJ/mol barrier to hindered rotation of the most sterically hindered product, to produce 2:1 diastereoselectivities after the 24 h reaction time.  	bioorganic methods synthesis mechanism review other OM Bryo DDO Hybrid Drug Deliv. Prostratin
Citation: K. S. Feldman, J. F. Antoline, *Tetrahedron* **2012**, *69* (5), 1434.	
Synthesis studies on the Melodinus alkaloid meloscine The pentacyclic Melodinus alkaloid (±)-meloscine was synthesized in 19 chemical steps from 2-bromobenzaldehyde through a route featuring an allenyl azide cyclization cascade to deliver the core azabicyclo[3.3.0]octane substructure. Peripheral functionalization of this core included a Tollens-type aldol condensation to set the quaternary center at C(20) and a diastereoselective ring-closing metathesis to forge the tetrahydropyridine ring.  meloscine	bioorganic methods synthesis mechanism review other OM Bryo DDO Hybrid Drug Deliv. Prostratin
Citation: Z.-C. Shu, J.-B. Zhu, S. Liao, X.-L. Sun, Y. Tang, *Tetrahedron* **2012**, *69* (1), 284	
Facile and controllable synthesis of multiply substituted benzenes via a formal [3+3] cycloaddition approach A facile direct [3+3] approach for the conversion of a,ß-unsaturated carbonyls to multi-substituted benzenes using allylic phosphonium ylide reagents has been developed. The substituents and their positions on the benzene ring are controllable and predictable by the choice of an appropriate combination of a,ß-unsaturated carbonyl compounds and ylides.  	bioorganic methods synthesis mechanism review other OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: M. R. Cargill, G. Sandford, P. Kilickiran, G. Nelles, *Tetrahedron* **2012**, *69* (2), 512.

Pd-catalyzed sp2–sp cross-coupling reactions involving C–F bond activation in highly fluorinated nitrobenzene systems

Direct and regioselective alkynylation of highly fluorinated nitrobenzene derivatives by palladium-catalyzed Sonogashira type processes is described, representing the first examples of metal-catalyzed sp2–sp cross-coupling reactions involving C–F bond activation.



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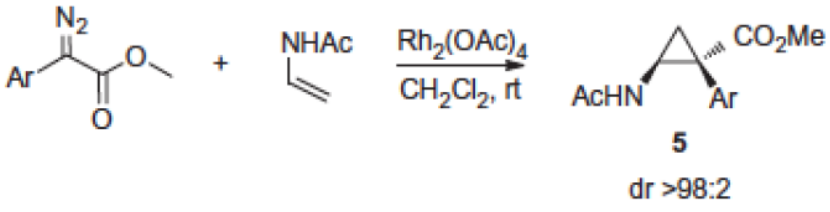
Citation: Hughes, R.A.; Tollofsrud, M.; Bryant, N.; Kaboli, M.; Hennum, M.; Bonge-Hansen, T. *Tetra. Lett.* **2013**, *54(4)*, 318.

Diastereoselective synthesis of cyclopropyl melatonin analogues



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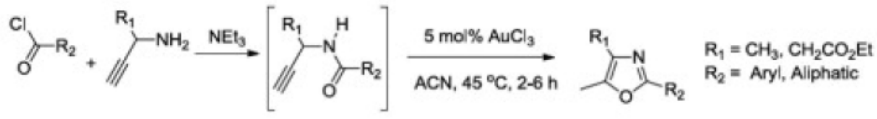
Citation: Tran-Dube, M.; Johnson, S.; McAlpine, I. *Tetra. Lett.* **2013**, *54(3)*, 259.

A two-step, one-pot procedure using acid chlorides and propargyl amines to form tri-substituted oxazoles via gold-catalyzed cyclization



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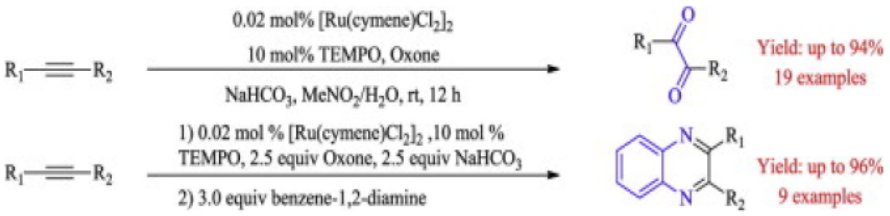
Citation: Xu, Y.; Wan, X. *Tetra. Lett.* **2013**, *54(7)*, 642.

Ruthenium-catalyzed oxidation of alkynes to 1,2-diketones under room temperature and one-pot synthesis of quinoxalines



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