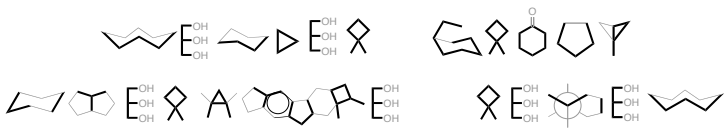


Volume 36 / Issue 10 17 October 2011

Next Due Date: Tuesday, 15 November 2011

Instructions for Authors (*Volume 36*)

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

Create an Abstract

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

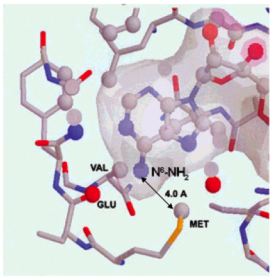
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

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

Citation: Abeyweera, T.P.; Rotenberg, S.A. *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 (1ç-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

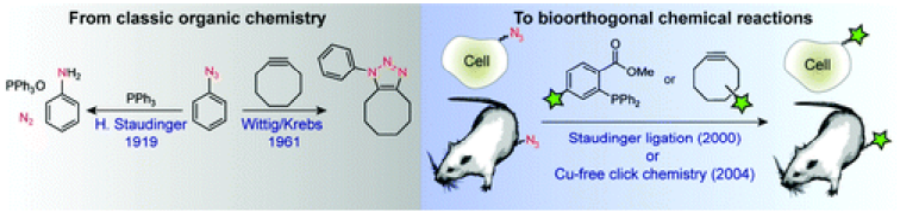
DON'T BE A MOOK!

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: Ellen M. Sletten et al, *Acc. Chem. Res.*, **2011**, 44 (9), pp 666–667

From Mechanism to Mouse: A Tale of Two Bioorthogonal Reactions



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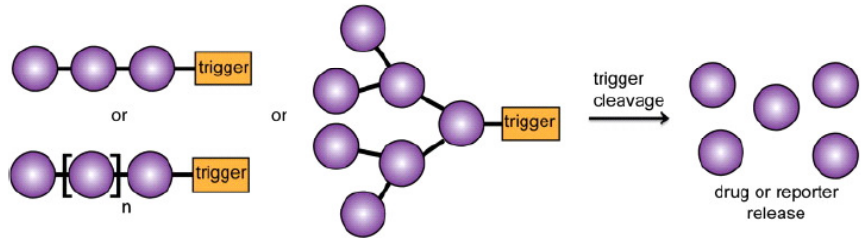
A. D. Wong, M. A. DeWit, E. R. Gillies *Adv. Drug Deliv. Rev.* **2011**, doi:10.1016/j.addr.2011.09.012

Amplified release through the stimulus triggered degradation of self-immolative oligomers, dendrimers, and linear polymers

This article describes the development of oligomers, dendrimers, and linear polymers based on self-immolative spacers. This new class of molecules is designed to undergo a cascade of intramolecular reactions response to the cleavage of a trigger moiety, resulting in molecular fragmentation and the release of multiple reporter or drug molecules.



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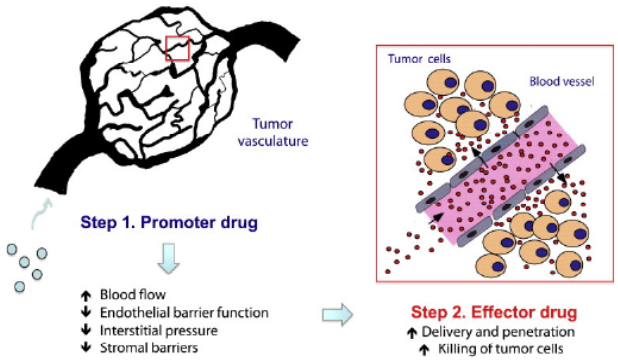
F. Marcucci, A. Corti *Adv. Drug Deliv. Rev.* **2011**, doi:10.1016/j.addr.2011.09.007

How to improve exposure of tumor cells to drugs - Promoter drugs increase tumor uptake and penetration of effector drugs

This review gives an overview of promoter drugs, by classifying them according to their mechanism of action: promoter drugs that modulate tumor blood flow, modify the barrier function of tumor vessels, induce tumor cell killing, and overcome stromal barriers.



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Y. Wang, D. W. Grainger *Adv. Drug Deliv. Rev.* **2011**, doi:10.1016/j.addr.2011.09.002

RNA therapeutics targeting osteoclast-mediated excessive bone resorption

Short interfering RNA (siRNA) is currently exploited to regulate protein expression relevant to many therapeutic applications, and commonly used as a tool for elucidating disease-associated genes. Osteoporosis and their associated osteoporotic fragility fractures in both men and women are rapidly becoming a global healthcare crisis as average life expectancy increases worldwide. New therapeutics are needed for this increasing patient population.

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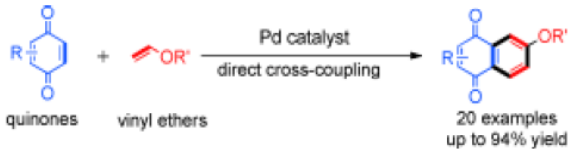
P. Hu, S. Huang, J. Xu, Z-J. Shi, W. Su *Angew. Chem. Int. Ed.* **2011**, 50, 9926 –9930

Construction of Substituted Benzene Rings by Palladium-Catalyzed Direct Cross-Coupling of Olefins: A Rapid Synthetic Route to 1,4-Naphthoquinone and Its Derivatives

The direct cross-coupling of electron-deficient 1,4-benzoquinone or its derivatives with electron-rich alkyl vinyl ethers proceeds in a tandem manner to produce substituted benzene rings with good selectivity and in good to excellent yields (see scheme). The reaction has the potential for the rapid synthesis of diverse substituted benzene rings as it is not limited by substituent effects.



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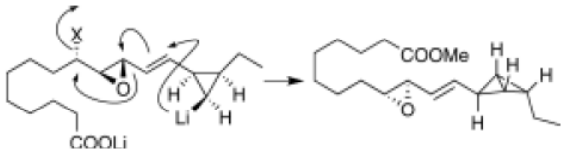
Sean M. DeGuire, Shutao Ma, and Gary A. Sulikowski *Angew. Chem. Int. Ed.* **2011**, 50, 9940 –9942

Synthesis of a Bicyclobutane Fatty Acid Identified from the Cyanobacterium Anabaena PCC 7120

A carbanion-mediated cyclization reaction cascade serves as the key final step in the total synthesis of a novel oxylipin, which features a strained bicyclo[1.1.0]butane conjugated to a labile vinyl epoxide.



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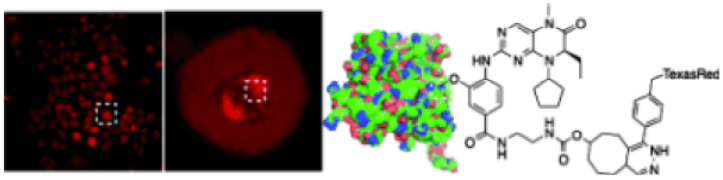
G. Budin, K. S. Yang, T. Reiner, R. Weissleder *Angew. Chem. Int. Ed.* **2011**, 50, 9378 –9381

Bioorthogonal Probes for Polo-like Kinase 1 Imaging and Quantification

A nuclear protein target, polo-like kinase 1 (PLK1) was imaged using a biocompatible bioorthogonal ligation between a specific drug and a fluorescent dye in live cells (see picture). Colocalization of the dye and the protein target was confirmed by antibody staining and by expressing a GFP construct of PLK1. The two-step PLK1 imaging procedure was used to quantify PLK1 expression levels in cancer cell lines of various tissue origins.



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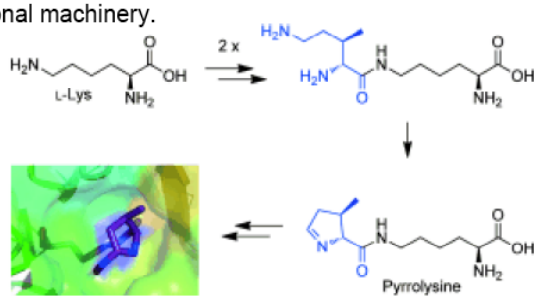
C. Hertweck *Angew. Chem. Int. Ed.* **2011**, 50, 9540 – 9541

Biosynthesis and Charging of Pyrrolysine, the 22nd Genetically Encoded Amino Acid

Pyrrolysine, a critical component of several methyltransferases in archaebacteria, is the latest addition to the inventory of genetically encoded amino acids. Studies at the genetic, biochemical, and chemical levels have now revealed that this rare amino acid is assembled from two lysine units via an unusual ε-dipeptide, and is then charged by a designated translational machinery.



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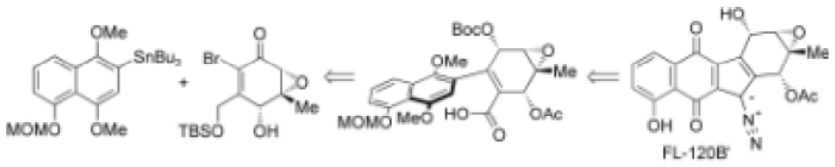
Stephen S. Scully and John A. Porco Jr. *Angew. Chem. Int. Ed.* **2011**, 50, 9722 –9726

Asymmetric Total Synthesis of the Epoxykinamycin FL-120B

The synthesis of the title compound was achieved and a route to epoxide-containing diazobenzofluorenes, which could potentially serve as monomers to the dimeric lomaiviticins, was established. Key steps to construct the FL-120B core structure include Sharpless asymmetric epoxidation, Stille coupling, and intramolecular Friedel–Crafts acylation of atropisomeric carboxylic acids at elevated temperatures.



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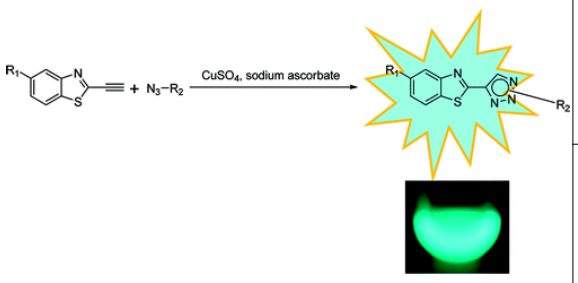
Citation: Qi, J. et al. *Bioconjugate Chemistry* **2011** 22 (9), 1758-1762

New fluorogenic dyes were designed and synthesized based on Cu(I)-catalyzed 'click' reaction. Conjugating weakly fluorescent benzothiazole derivatives with an electron-deficient alkyne group at the 2-position with azide-containing molecules in aqueous solution form 'click-on' fluorescent adducts. Model reactions and cell culture experiment indicated that the developed 'click-on' dye could be applied to labeling various biomolecules, such as nucleic acids, proteins, and other molecules, in cells.



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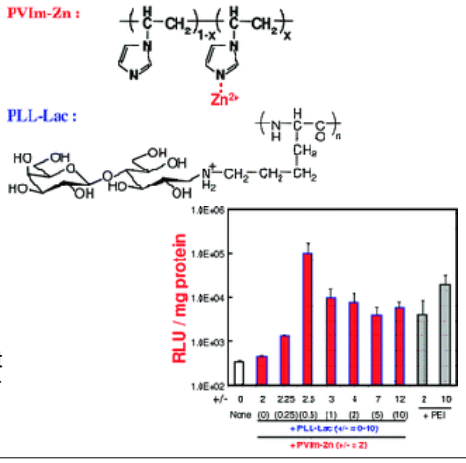
Citation: Asayama, S. et al. *Bioconjugate Chemistry* **2011** 22 (9), 1864-1868

Zinc-chelated poly(1-vinylimidazole) (PVIm-Zn) and a carbohydrate ligand polycation, a poly(L-lysine) conjugated with lactose molecules (PLL-Lac), have formed DNA ternary complexes for gene delivery. The resulting PLL- ternary complexes exhibited the pH-dependent dissociation of the PLL-Lac and mediated more gene expression than the binary complexes. The ternary complexes with the specific recognition of cell surface receptors mediated the highest gene expression without cytotoxicity at a relatively lower charge ratio (+/- = 2.5). These results suggest that the pH-dependent dissociation of the carbohydrate ligands after the recognition of cell surface receptors, played an important role in gene expression.



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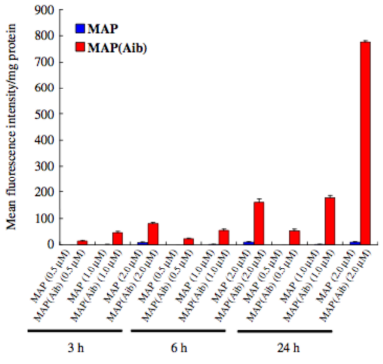
Citation: Wada, S., Tsuda, H., Okada, T., Urata, H.
Bioorg. Med. Chem. Lett. **2011**, *21*, 5688-5691

Cellular uptake of Aib-containing amphipathic helix peptide

Aib-containing MAP (remember my talk!) was much more easily taken up by the cell than the non-Aib MAP. MAP(Aib) and MAP have similar helix-forming propensity in membrane-like environments. The hydrophobicity of Aib residues in the CPP and the helix conforma- tion may play an important role in the interaction with the cell surface to permeate the cell membrane. Further investigations of the mechanism are in progress. MAP(Aib) may be a useful tool for the delivery of hydrophilic macromolecules as it shows resistance to protease, is not cytotoxic, and has high cellular uptake.



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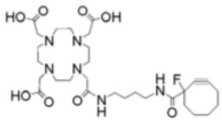
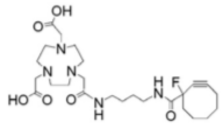
Citation: Baumhover, N., et al.
Bioorg. Med. Chem. Lett. **2011**, *21*, 5757-5761

Improved synthesis and biological evaluation of chelator-modified a-MSH analogs prepared by copper-free click chemistry

Two novel chelator moieties (DOTA and NOTA) were synthesized and used for copper-free click conjugation to an azide-modified peptide, then radiolabeled. In vitro binding assays suggest that the fused-triazole conjugation strategy provides a stable coupling. The ‘‘click’’ bioconjugates were stable in mouse serum when incubated at 37 °C for 3 h. The DOTA and NOTA entities represent a new class of bifunctional chelator that enables selective attachment to fully-deprotected azide-modified peptides under aqueous conditions at room temperature.



DOTA



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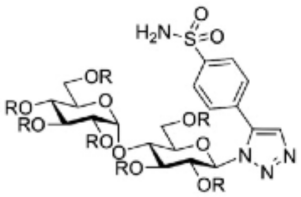
Citation: Salmon, A., et al.
Bioorg. Med. Chem. Lett. **2011**, *21*, 6058-6061

Synthesis of glycoconjugate carbonic anhydrase inhibitors by ruthenium-catalysed azide-alkyne 1,3-dipolar cycloaddition

In thuis study a sugar moiety is tethered to an aromatic sulfonamide carbonic anhydrase (CA) pharmacophore through an intervening triazole ring. The key step of the synthesis is a regioselective 1,3-dipolar cycloaddition using [Cp*RuCl(cod)] as catalyst. They identify a number of 1,5-disubstituted triazole inhibitors that block CA IX with inhibition constants less than 10 nM. Subtle structural differences in the sugar tail can discriminate the CA isozyme active site topology to influence enzyme inhibition characteristics. The enzyme inhibition attributes of these compounds are an important result for potential future biological studies or therapeutic applications of carbohydrate-based primary sulfonamide compounds.



21 R = Ac
26 R = H

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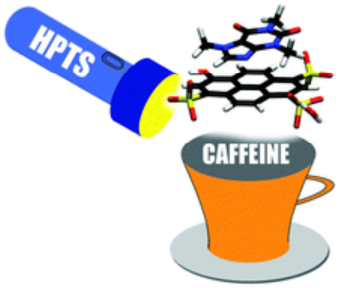
Citation: Rochat, S.; Steinmann, S. N.; Corminboeuf, C.; Severin, K., *Chem. Comm.* **2011**, *47*, 10584-10586.

Fluorescence sensing of caffeine in water with polysulfonated pyrenes



Optical detection of caffeine can be achieved with the commercially available fluorescence dye 8-hydroxypyrene-1,3,6-trisulfonate (HPTS)

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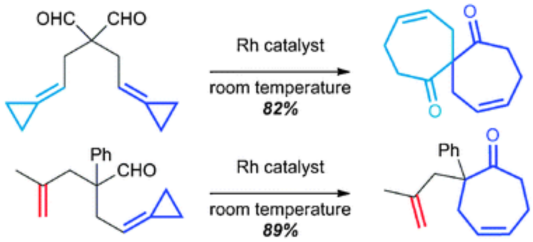
Citation: Crepin, D.; Tugny, C.; Murray, J. H.; Aissa, C., *Chem. Comm.* **2011**, *47*, 10957-10959.

Facile and chemoselective rhodium-catalysed intramolecular hydroacylation of α,α-disubstitued 4-alkylidenecyclopropanals



Intramolecular hydroacylation of α,α-disubstituted 4-alkylidenecyclopropanals is chemoselective in the presence of alkenes or alkynes and affords cycloheptenones in good yields.

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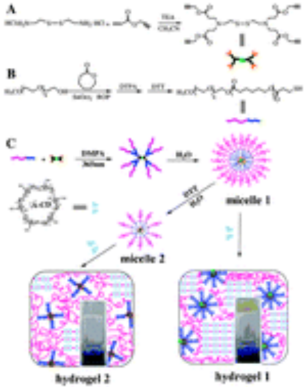
Citation: Sun, L.; Liu, W.; Dong, C-M., *Chem. Comm.* **2011**, *47*, 11282-11284.

Bioreducible micelles and hydrogels with tunable properties from multi-armed biodegradable copolymers



Multi-armed biodegradable block copolymers with a bioreducible core self-assembled into micelles and hydrogels that demonstrated tunable size, mechanical and drug-release properties

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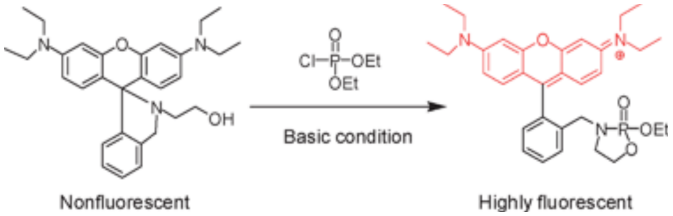
Citation: Wu, X.; Wu, Z.; Han, S., *Chem. Comm.* **2011**, *47*, 11468-11470.

Chromogenic and fluorogenic detection of a nerve simulant with a rhodamine-deoxylactam based sensor



A chromogenic and fluorogenic detection of diethyl chlorophosphate was developed *via* analyte triggered tandem phosphorylation/intramolecular cyclization of non-fluorescent *N*-(rhodamine B)-deoxylactam-2-aminoethanol.

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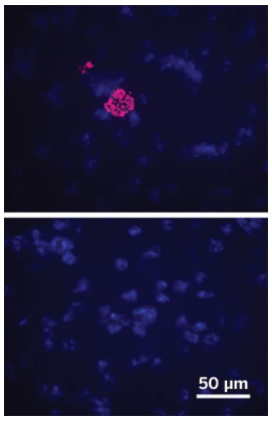
Drahl, C. *Chemical & Engineering News.* **2011**, *89* (39), 8.

Lowering the Brain's Drawbridge

By activating a biochemical signaling pathway, researchers have toppled the barrier that regulates molecules' entry into the brain (J. Neurosci., DOI: 10.1523/jneurosci.3337-11.2011). The find could lead to more effective drug delivery options for Alzheimer's disease or brain tumors.



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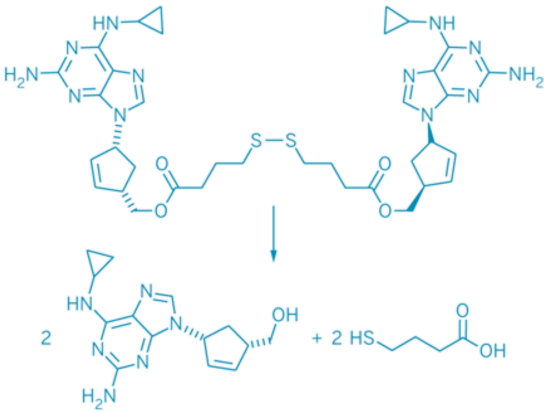
Borman, S. *Chemical & Engineering News*. **2011**, *89* (40), 38.

Anti-HIV Trojan Horse

A new approach to fighting HIV might improve current therapies by helping to eliminate reservoirs of virus in the brain, a feat that existing drugs have failed to accomplish.



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Jacoby, M. *Chemical & Engineering News*. **2011**, *89* (41), 37.

Nobel Prize in Chemistry

For the discovery of a new class of materials, known as quasicrystals, Dan Shechtman, a professor of materials science at Technion-Israel Institute of Technology, has been awarded the 2011 Nobel Prize in Chemistry. His pathbreaking work on crystallinity, greeted initially with derision and skepticism, came to upend basic notions in science about the atomic structure of matter.

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Hess, G. *Chemical & Engineering News*. **2011**, *89* (41), 36-37.

Patent Reform Crosses Finish Line

A recently enacted law that significantly overhauls the nation's patent system for the first time since the Harry S. Truman Administration will help entrepreneurs and businesses bring their inventions and new products to market sooner, spur job growth, and make the U.S. more competitive globally, according to the White House and industry leaders. But critics of the new statute claim it will not promote innovation or create jobs and is essentially a sellout to large corporations that have intellectual property departments staffed with fleets of patent lawyers.

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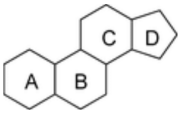
Citation: David Nes, W. *Chem. Rev.* **2010**, *111*, 6423-6451.

Biosynthesis of Cholesterol and Other Sterols



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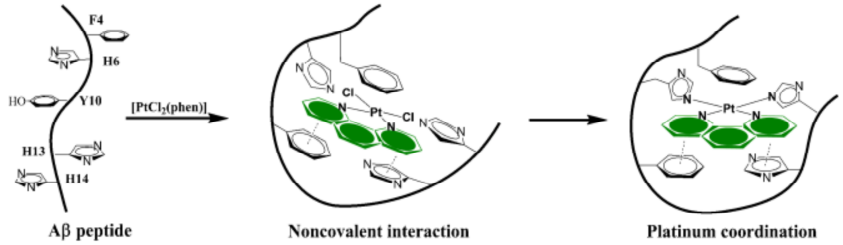
Citation: Ma, G.; Huang, F.; Pu, X.; Jia, L.; Jiang, T.; Li, L.; Liu, Y. *Chem. Eur. J.* **2011**, *17,* 11657.

Identification of [$PtCl_2$(phen)] Binding Modes in Amyloid-β Peptide and the Mechanism of Aggregation Inhibition



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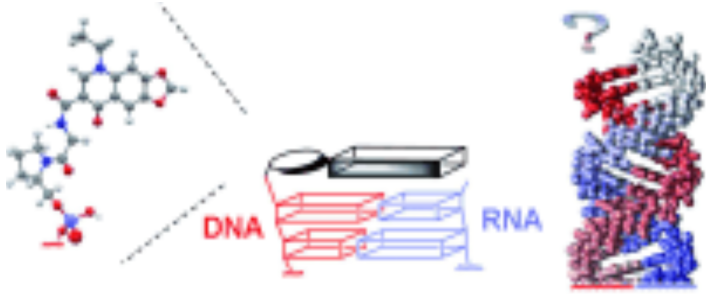
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Egetenmeyer, S.; Richert, C. *Chem. Eur. J.* **2011**, *17*, 11813.

A 5'-Cap for DNA Probes Binding RNA Target Stands



bioorganic
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mechanism
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Gnid/Kirk
Hybrid
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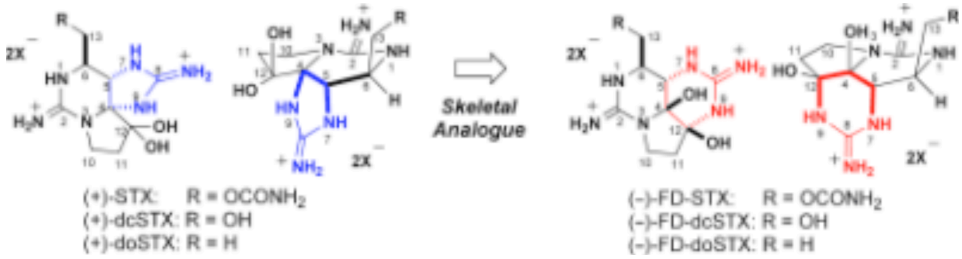
Prostratin

Citation: Shinohara, R. *et al. Chem. Eur. J.* **2011**, *17*, 12144.

Synthesis of Skeletal Analogues of Saxitoxin Derivatives and Evaluation of Their Inhibitory Activity on Sodium Ion Channels Nav1.4 and Nav1.5



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mechanism
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Gnid/Kirk
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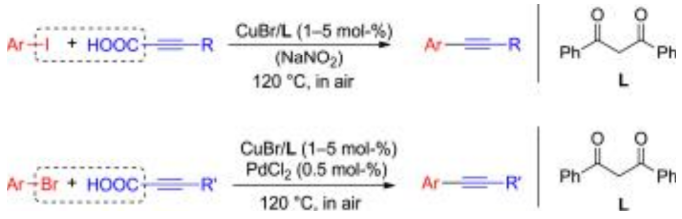
Prostratin

Citation: Pan, D.; Zhang, C.; Ding, S.; Jiao, N. *Eur. J. Org. Chem.* **2011**, 4751-4755.

Phosphane-Free Copper-Catalyzed Decarboxylative Coupling of Alkynyl Carboxylic Acids with Aryl Halides under Aerobic Conditions



This paper describes a phosphane-free copper-catalyzed decarboxylative cross-coupling reaction of propiolic acids with aryl halides. CuBr (1-5 mol%) was used as a catalyst in the presence of a Œ≤-diketone ligand, which plays a key role in this kind of copper catalysis.

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Hybrid
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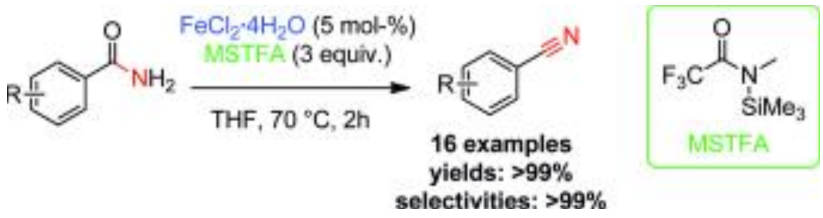
Citation: Enthaler, S. *Eur. J. Org. Chem.* **2011**, 4760-4763.

Straightforward Iron-Catalyzed Synthesis of Nitriles by Dehydration of Primary Amides



In the present study, the straightforward iron-catalyzed dehydration of a variety of aromatic and alkyl amides to the corresponding nitriles by using *N*-methyl-*N*-(trimethylsilyl)trifluoroacetamide as the dehydration reagent has been investigated. After optimization of the reaction conditions, excellent catalytic activities and selectivities were feasible.

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Hybrid
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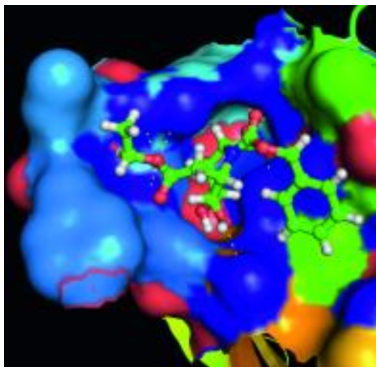
Citation: Orsato, A.; Barbagallo, E.; Costa, B.; Olivieri, S.; De Gioia, L.; Nicotra , F.; La Ferla, B. *Eur. J. Org. Chem.* **2011**, 5012-5019.

Iminosugar Analogues of Phosphatidyl Inositol as Potential Inhibitors of Protein Kinase B (Akt)



A small virtual library of iminosugar-based Akt inhibitors have been designed and evaluated by using docking calculations. Selected compounds have been conveniently synthesised, and preliminary biological evaluation identified compound **9** as a possible lead compound for further development of iminosugar-based Akt inhibitors.

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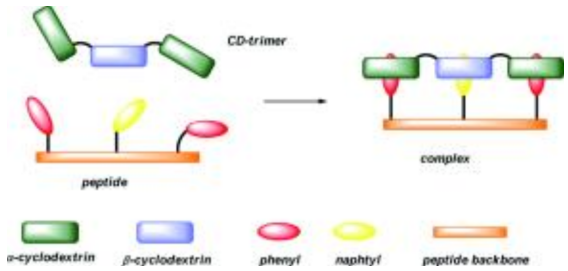
Citation: Christensen, H. S.; Sigurskjold, B. W.; Frihed, T. G.; Marinescu, L. G.; Pedersen C. M.; Bols, M. *Eur. J. Org. Chem.* **2011**, 5279-5290.

Recognition of Peptides by Cyclodextrin Trimers



A new class of cyclodextrin trimers have been prepared by an adapted click chemistry strategy. The molecular recognition properties of these trimers with hepta- and nonapeptides was also investigated. The selectivity of molecular recognition ofnonapeptides favored

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Citation: Piel, I.; Pawelczyk, M. D.; Hirano, K.; Fröhlich R.; Glorius, F. *Eur. J. Org. Chem.* **2011**, 5475-5484.

A Family of Thiazolium Salt Derived N-Heterocyclic Carbenes (NHCs) for Organocatalysis: Synthesis, Investigation and Application in Cross-Benzoin Condensation

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Bryo
Gnid/Kirk
Hybrid
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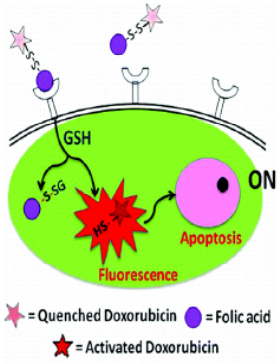
Prostratin

Citation: Santra, S.; Kaittanis, C.; Santiesteban†, O.J.; Perez, J.M. J. Am. Chem. Soc., 2011, 133 (41), pp 16680–16688

Cell-Specific, Activatable, and Theranostic Prodrug for Dual-Targeted Cancer Imaging and Therapy



Herein we describe the design and synthesis of a folate–doxorubicin conjugate with activatable fluorescence and activatable cytotoxicity. In this study we discovered that the cytotoxicity and fluorescence of doxorubicin are quenched (OFF) when covalently linked with folic acid. Most importantly, when the conjugate is designed with a disulfide bond linking the targeting folate unit and the cytotoxic doxorubicin, a targeted activatable prodrug is obtained that becomes activated (ON) within the cell by glutathione-mediated dissociation and nuclear translocation, showing enhanced fluorescence and cellular toxicity.

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Hybrid
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Citation: Pramanik,D.; Ghosh, C.; Ghosh Dey, S. J. Am. Chem. Soc., 2011, 133 (39), pp 15545–15552

Heme–Cu Bound Aβ Peptides: Spectroscopic Characterization, Reactivity, and Relevance to Alzheimer's Disease

heme binds to AB peptides. heme–AB and the heme–Cu–AB peptide complexes function as peroxidases. Interestingly, the Cu–AB complex also exhibits peroxidase activity. Both Cu^{+}–AB and heme (Fe_2^{+})–AB complexes reduce O_2 to H_2O_2 quantitatively. Only one of the two electrons that are required for the reduction of O_2 to H_2O_2 is derived from the reduced metal site, while the Tyr_{10} residue of the native AB peptide donates the second electron. This Tyr_{10} residue, the source of electron for the generation of partially reduced oxygen species (PROS, e.g., H_2O_2) is absent in rodents, which do not get affected by AD. When both heme and Cu are bound to the AB peptides, which is likely to happen physiologically, the amount of toxic PROS generated is maximum, implying that heme–Cu–AB complexes could potentially be most toxic for AD.

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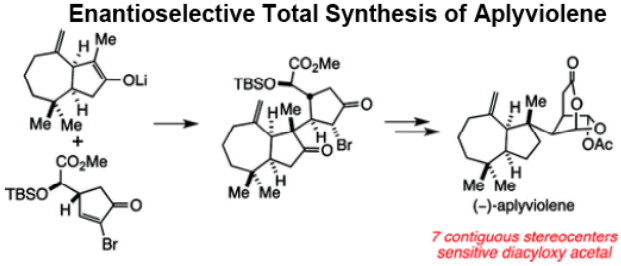
Citation: Schnermann, M.J.; Overman, L.E. J. Am. Chem. Soc., 2011, 133 (41), pp 16425–16427

Enantioselective Total Synthesis of Aplyviolene



The enantioselective total synthesis of the rearranged spongian diterpene aplyviolene has been completed in 14 steps from the known hydroazulenone 8. The key junction of the hydrocarbon and oxygenated fragments to form the critical C8 quaternary carbon stereocenter and set the stage for elaborating the delicate bicyclic lactone functionality was accomplished in high yield and exquisite stereoselectivity by Michael addition of an enantioenriched hydroazulenone enolate to an enantiopure α-bromocyclopentenone.

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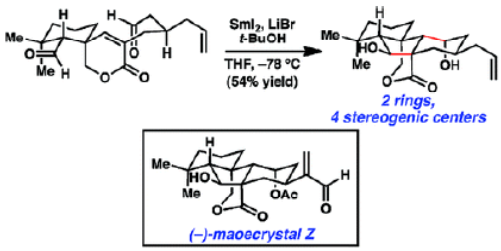
OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Cha, J.Y.; Yeoman, J.T.S.; Reisman, S.E. J. Am. Chem. Soc., 2011, 133 (38), pp 14964–14967

A Concise Total Synthesis of (-)-Maoecrystal Z



The first total synthesis of (-)-maoecrystal Z is described. The key steps of the synthesis include a diastereoselective TiIII-mediated reductive epoxide coupling reaction and a diastereoselective SmII-mediated reductive cascade cyclization reaction. These transformations enabled the preparation of (-)-maoecrystal Z in only 12 steps from (-)-γ-cyclogeraniol.

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Apop
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Gnid/ Kirk
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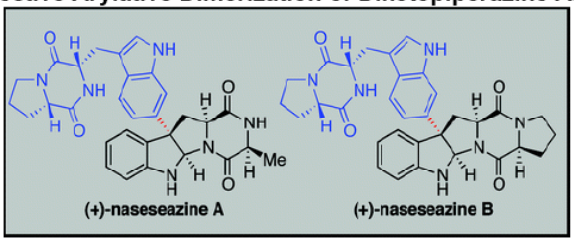
Citation: Kim, J.; Movassaghi, M. J. Am. Chem. Soc., 2011, 133 (38), pp 14940–14943

Concise Total Synthesis and Stereochemical Revision of (+)-Naseseazines A and B: Regioselective Arylative Dimerization of Diketopiperazine Alkaloids



Concise and enantioselective total syntheses of (+)-naseseazines A and B are described. Our regioselective and directed dimerization of diketopiperazines provides their critical C_3–C_{sp2} linkages, an assembly with plausible biogenetic relevance. We revise the absolute stereochemistry of (+)-naseseazines A and B.

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Gnid/ Kirk
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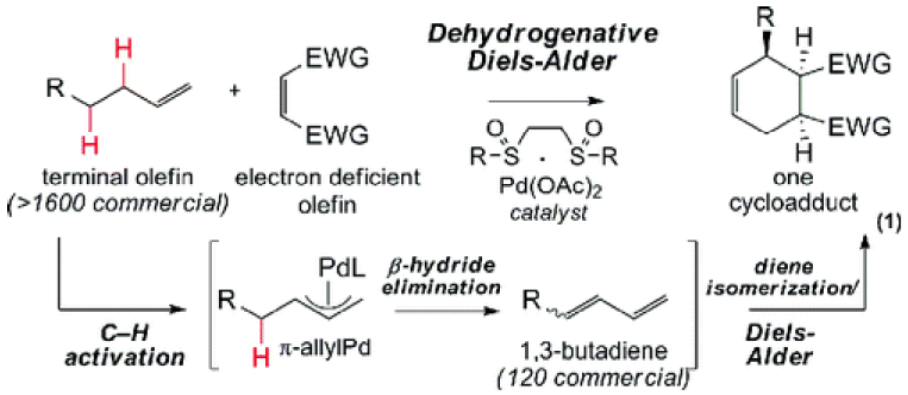
Citation: Stang, E.M.; White, M.C. J. Am. Chem. Soc., 2011, 133 (38), pp 14892–14895

Molecular Complexity via C–H Activation: A Dehydrogenative Diels–Alder Reaction



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Gnid/Kirk
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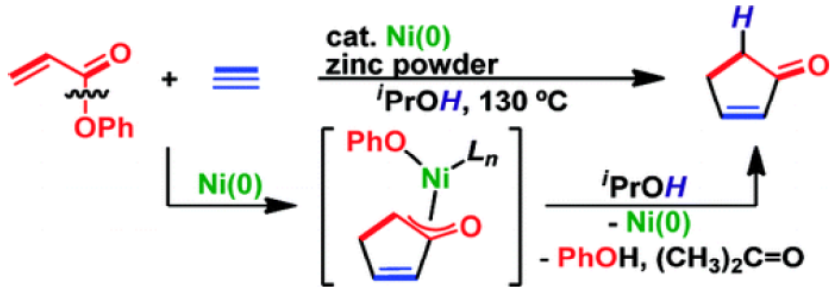
Drug Deliv.
Prostratin

Citation: Ohashi, M.; Taniguchi, T.; Ogoshi, S. J. Am. Chem. Soc., 2011, 133 (38), pp 14900–14903

Nickel-Catalyzed Formation of Cyclopentenone Derivatives via the Unique Cycloaddition of α,β-Unsaturated Phenyl Esters with Alkynes



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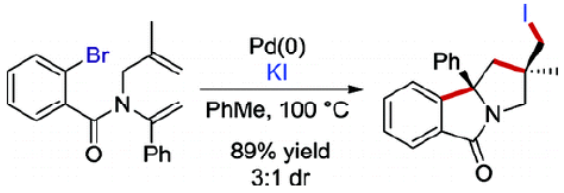
Citation: Newman, S.G.; Howell, J.K.; Nicolaus, N.; Lautens, M. J. Am. Chem. Soc., 2011, 133 (38), pp 14916–14919

Palladium-Catalyzed Carbohalogenation: Bromide to Iodide Exchange and Domino Processes



This palladium-catalyzed carbohalogenation requires potassium iodide for the reaction to proceed in high yields. Additionally, the first examples of domino carbohalogenation reactions have been demonstrated using both aryl iodide and aryl bromide starting materials. Complex products with multiple rings and stereogenic centers are generated in excellent yields with moderate to excellent diastereoselectivities.

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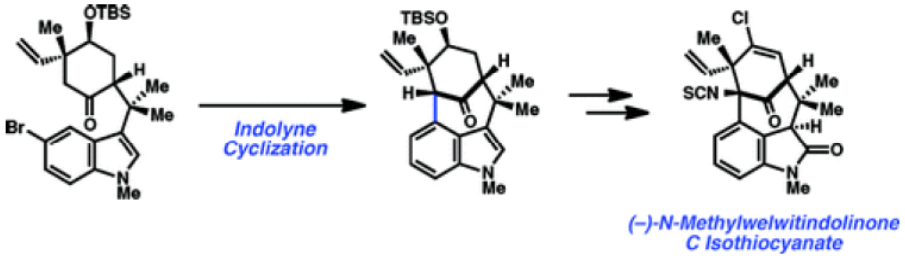
Citation: Huters, A.D.; Quasdorf, K.W.; Styduhar, E.D.; Garg, N.K. J. Am. Chem. Soc., 2011, 133 (40), pp 15797–15799

Total Synthesis of (-)-N-Methylwelwitindolinone C Isothiocyanate



We report the first total synthesis of (-)-N-methylwelwitindolinone C isothiocyanate. Our route features a number of key transformations, including an indolyne cyclization to assemble the [4.3.1]-bicyclic scaffold, as well as a late-stage intramolecular nitrene insertion to functionalize the C11 bridgehead carbon en route to the natural product.

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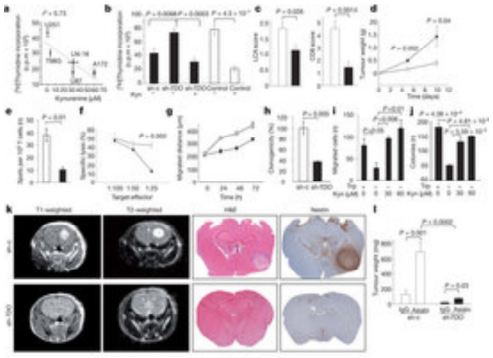
Citation: Opitz, C.A. *et al. Nature* **2011**, *478*, 197.

An endogenous tumor-promoting ligand of the human aryl hydrocarbon receptor



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Drug Deliv.
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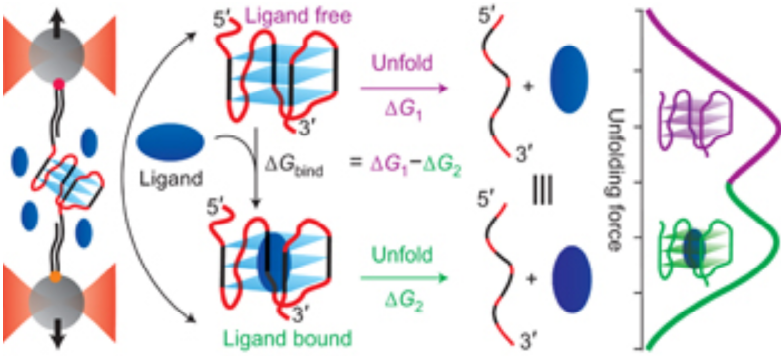
Citation: Mao, H. et Al *Nature Chemistry* 3, 782–787 (2011)

A single-molecule platform for investigation of interactions between G-quadruplexes and small-molecule ligands



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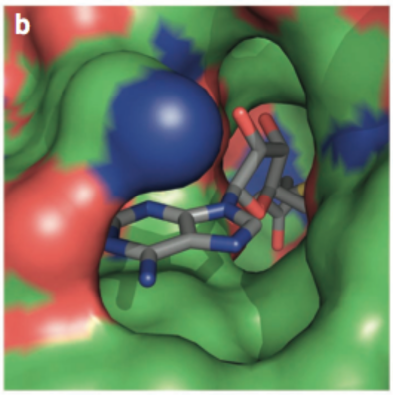
Citation: Travers, J., Blagg, J., Workman, P.
Nature Chem. Biol. **2011**, *7,* 663-665.

Targeting Leukemia on the DOT

Targeting continues to be a major problem in the treatment of cancers. Targeting chromatin modifiers, which are often implicated in cancerous diseases, can be a useful tool. In this "news and views" the authors discuss the recent successes in targeting the DOT1L enzyme, a histone methyltransferase, via a small molecule inhibitor. While still in early stages, the strategy shows promise for the treatment of aggresive leukemias.



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Citation: http://www.theonion.com/articles/historians-politely-remind-nation-to-check-whats-h,26183/

Historians Politely Remind Nation to Check What's Happened in Past Before Making Any Big Decisions

WASHINGTON—With the United States facing a daunting array of problems at home and abroad, leading historians courteously reminded the nation Thursday that when making tough choices, it never hurts to stop a moment, take a look at similar situations from the past, and then think about whether the decisions people made back then were good or bad.

According to the historians, by looking at things that have already happened, Americans can learn a lot about which actions made things better versus which actions made things worse, and can then plan their own actions accordingly

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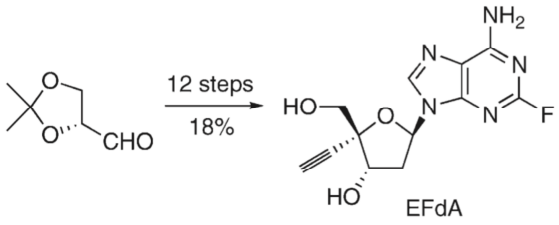
Kageyama, M.; Nagasawa, T.; Yoshida, M.; Ohrui, H.; Kuwahara, S. *Org. Lett.* **2011**, *13*, 5264-5266.

Enantioselective Total Synthesis of the Potent Anti-HIV Nucleoside EFdA



A concise enantioselective total synthesis of 4'-ethynyl-2-fluoro-2'-deoxyadenosine (EFdA), an extremely potent anti-HIV agent, has been accomplished from (*R*)-glyceraldehyde acetonide in 18% overall yield by a 12-step sequence involving a highly diastereoselective ethynylation of an α-alkoxy ketone intermediate.

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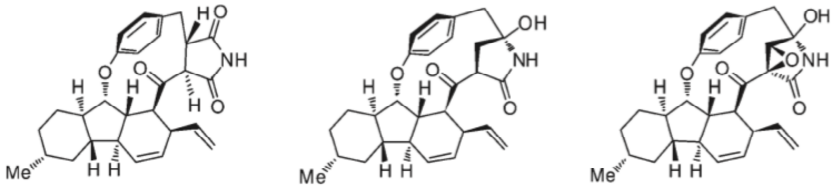
Nicolaou, K. C.; Sun, Y.-P.; Sarlah, D.; Zhan, W.; Wu, T. R. . *Org. Lett.* **2011**, *13*, 5708-5710.

Bioinspired Synthesis of Hirsutellones A, B, and C



1: hirsutellone A **2:** hirsutellone B **3:** hirsutellone C

The total synthesis of hirsutellones A (**1**), B (**2**), and C (**3**) has been achieved through a bioinspired late-stage sequence starting from advanced intermediate **6**. The sequence proceeded via labile intermediate 17,1'-dehydrohirsutellone B (**5**) and delivered, in addition to the natural products (**1**–**3**), hirsutellone analogue 1',2',17-*epi*-hirsutellone C (1',2',17-*epi*-**3**).

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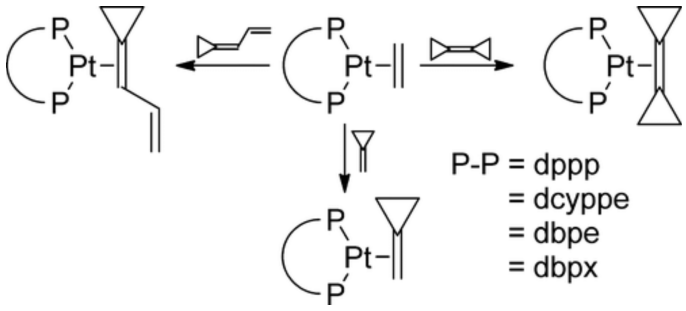
Prostratin

Citation: S. A. Hoyte and J. L. Spencer Organometallics, 2011, 30 (20), pp 5415–5423

Mono- and Diphosphine Platinum(0) Complexes of Methylenecyclopropane, Bicyclopropylidene, and Allylidenecyclopropane



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Apop
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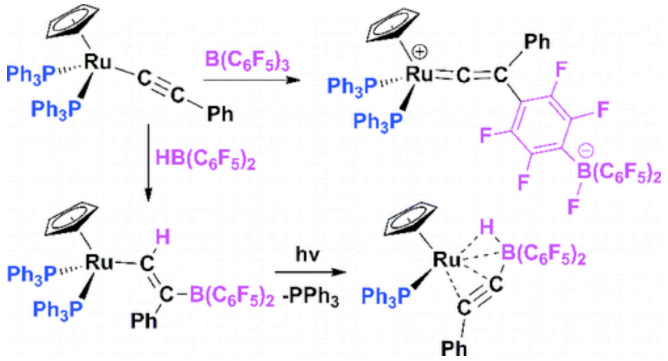
Citation: M.P. Boone and D.W. Stephan Organometallics, 2011, 30 (20), pp 5537–5542

Reactions of Ru-alkynyl Complexes with Electrophilic Boranes



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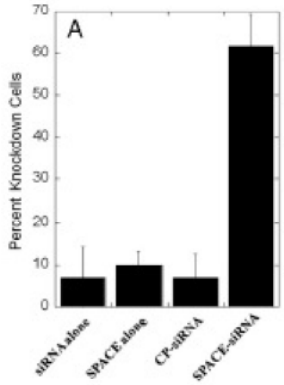
Drug Deliv.

Citation: Hsu, T.; Mitragotri, S. *Proc. Nat. Acad. Sci.* **2011**, *108* (38), 15816

Delivery of siRNA and other macromolecules into skin and cells using a peptide enhancer



The SPACE (skin penetrating and cell entering) peptide was identified by phage display. Its ability to deliver siRNA was evaluated in vivo using two targets, interleukin-10 and GAPDH. Conjugation of the peptide to siRNA increased absorption through the skin and knockdown of the corresponding protein targets.

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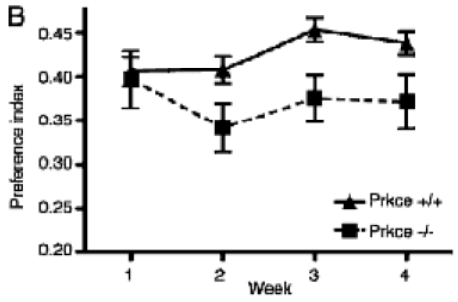
Drug Deliv.
Prostratin

Citation: Hsu, T.; Mitragotri, S. *Proc. Nat. Acad. Sci.* **2011**, *108* (38), 16080.

Protein kinase C epsilon modulates nicotine consumption and dopamine reward signals in the nucleus accumbens



Mice lacking protein kinase C epsilon self-administer less nicotine and show decreased preference for nicotine compared to wild-type mice. The results indicate that PKCε regulates reward signaling through α_6-containing nicotinic receptors, and suggest that it may be a target for the treatment of nicotine addiction.

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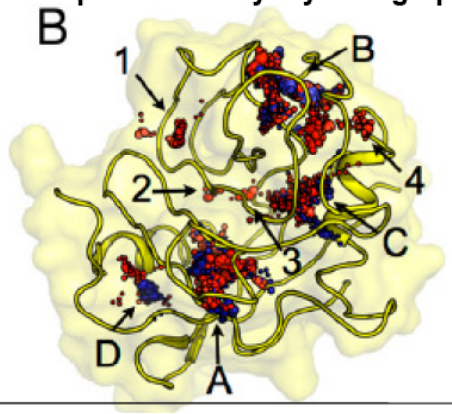
Hybrid
Drug Deliv.
Prostratin

Citation: Fraser, J. S. van den Bedem, H.; *et al*. *Proc. Nat. Acad. Sci.* **2011**, *108* (39), 16247.

Accessing protein conformational ensembles using room-temperature X-ray crystallography



The authors suggest that flash cooling may bias certain structural ensembles in protein crystals. Using computational tools they found that crystal cryocooling remodels the conformational distributions of >35% of side chains and may eliminate packing defects necessary for functional motions.

Room-temperature (red) and cryogenic (blue) packing defects for CypA

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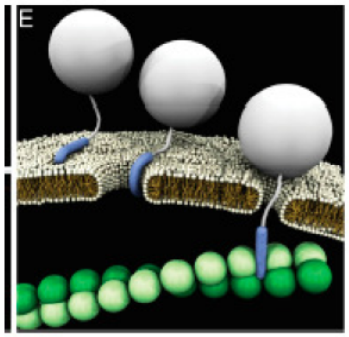
Citation: Mishra, A.; Lai, G. H.; *et al*. *Proc. Nat. Acad. Sci*. **2011**, *108* (41), 16883.

Translocation of HIV TAT peptide and analogues induced by multiplexed membrane and cytoskeletal interactions



"Nunchuck" cell penetrating peptides (CPPs) were used to demonstrate that CPPs can permeabilize membranes by generating negative Gaussian membrane curvature through multidentate hydrogen bonding of lipid head groups. The TAT peptide can induce structural changes reminiscent of macropinocytosis in actin-encapsulated giant vesicles without receptors.

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Citation: Mervis, J. *Science* **2011**, *333*, 1811.

NSF Touts Family-Friendly Policies as Boon to Women

The NSF has developed several family-friendly policies that it hopes will encourage women to remain in scientific careers. Modifications include the ability to defer an award for up to a year or receive a no-cost extension of an existing grant. There is also a new program of supplemental awards for investigators going on family leave, which would allow them to hire a technician to maintain their labs.

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Citation: Clery, D. *Science* **2011**, *334*, 165.

Once-Ridiculed Discovery Redefined the Term Crystal

Daniel Shechtman, of the Technion-Israel Institute of Technology, was awarded the 2011 Nobel Prize in Chemistry for the discovery of an aluminum-manganese alloy that appeared to have fivefold symmetry. This was the first identified example of a "quasicrystal", in which the atoms are arranged in a pattern that almost but never quite repeats.

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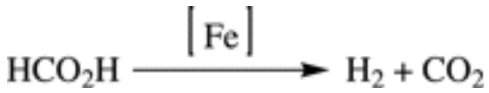
Citation: Boddien, A.; Beller, M. *et al Science* **2011**, *333*, 1733.

Efficient Dehydrogenation of Formic Acid Using an Iron Catalyst



"Hydrogen is one of the essential reactants in the chemical industry, though its generation from renewable sources and storage in a safe and reversible manner remain challenging. Formic acid (HCO2H or FA) is a promising source and storage material in this respect. Here, we present a highly active iron catalyst system for the liberation of H2 from FA."

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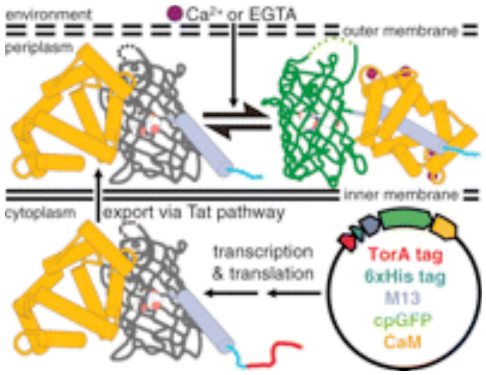
Citation: Zhao, Y. *et al Science* **2011**, *333*, 1888-1891.

An Expanded Palette of Genetically Encoded Ca^{2+} Indicators



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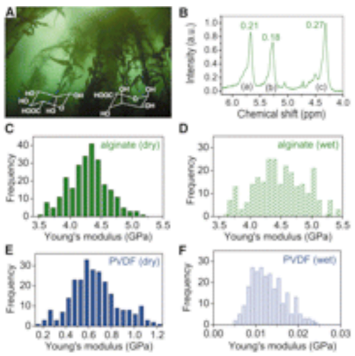
Citation: Kovalenko, I. *et al Science* **2011**, *334*, 75-79.

A Major Constituent of Brown Algae for Use in High-Capacity Li-Ion Batteries



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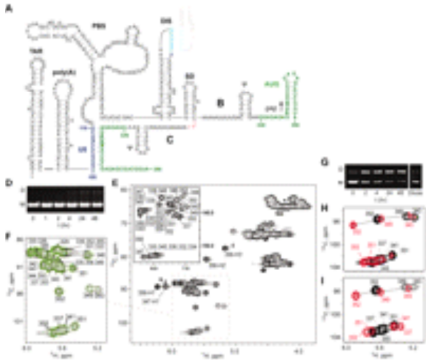
Citation: Lu, K. *et al. Science* **2011**, *334*, 242.

NMR Detection of Structures in the HIV-1 5' - Leader RNA That Regulate Genome Packaging



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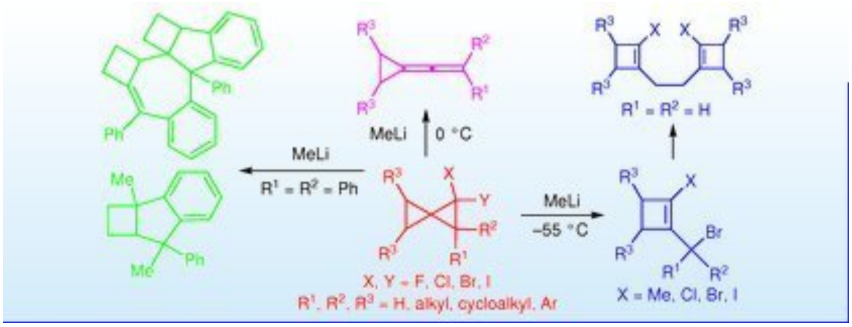
Citation: Zefirov, N.; Kuznetsova, T. S., *Syn. Lett.* **2011**, 2299.

The Carbenoid Dihalotriangulane Rearrangement: A Mechanistic Mystery



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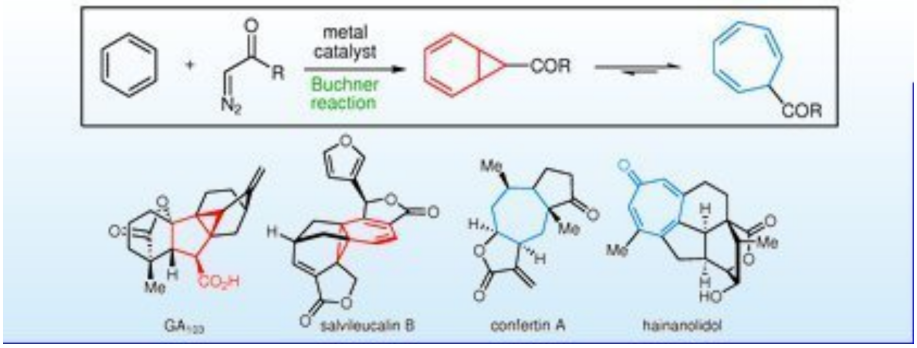
Citation: Reisman, S. E.; Nani, R. R.; Levin, S., *Syn. Lett.* **2011**, 2437.

Buchner and Beyond: Arene Cyclopropanation as Applied to Natural Product Total Synthesis



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Citation: Tetrahedron Volume 67, Issue 40, 7 October 2011, Pages 7703-7707

A straightforward conversion of aurones to 2-benzoylbenzofurans: transformation of one class of natural products into another

Samir Yahiaoui, Marine Peuchmaur, Ahcène Boumendjel

Makes flowers yellow

Isolated from medicinal plants

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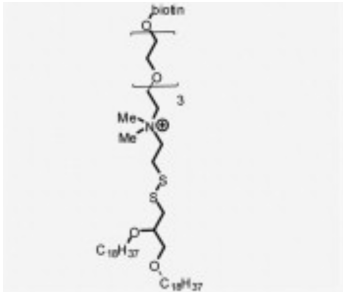
Citation: Tetrahedron Volume 67, Issue 40, 7 October 2011, Pages 7763-7774

Synthesis of novel amphiphilic conjugates with a biological recognition function for developing targeted triggered liposomal delivery systems

Dedicated to Prof. Dr. Barry M. Trost on the occasion of his 70th birthday

Nicolai Brodersen, Anna Arbuzova, Andreas Herrmann, Holger Egger, Jürgen Liebscher



"Several novel amphiphilic lipid derivatives were synthesized consisting of a lipid anchor connected to the hydrophilic moiety via a disulfide or glycoside bond and biotin linked to the hydrophilic part. Such conjugates can be incorporated into biocompatible phospholipid membranes and are promising candidates for building targeted, triggered drug delivery carriers."

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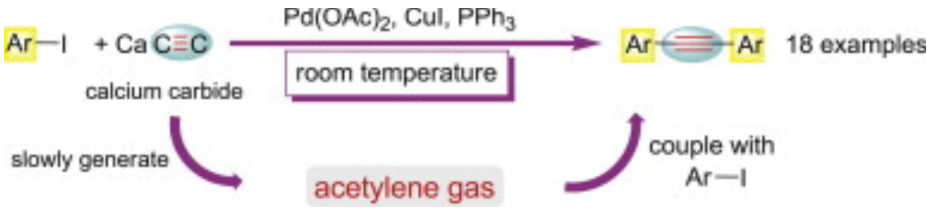
Citation: Tetrahedron Volume 67, Issue 42, 21 October 2011, Pages 8177-8182

Calcium carbide as a cost-effective starting material for symmetrical diarylethynes via Pd-catalyzed coupling reaction

Padon Chuentragool, Kunnigar Vongnam, Paitoon Rashatasakhon, Mongkol Sukwattanasinitt, Sumrit Wacharasindhu



Previous reports of this transformation required elevated temperatures and specialty catalysts

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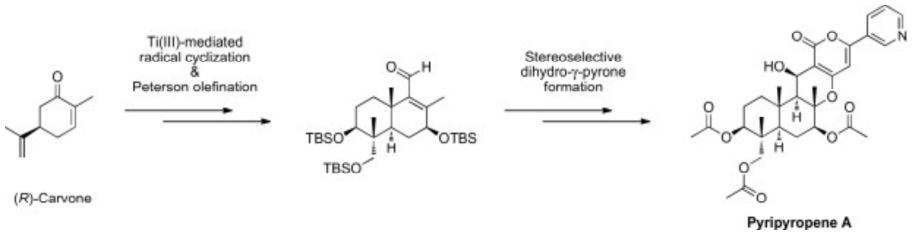
Citation: Tetrahedron Volume 67, Issue 42, 21 October 2011, Pages 8195-8203

Total synthesis of pyripyropene A

Atsuki Odani, Kaoru Ishihara, Masaki Ohtawa, Hiroshi Tomoda, Satoshi Omur, Tohru Nagamitsu



This second generation synthetic route was designed to be scaleable and amenable to analog synthesis

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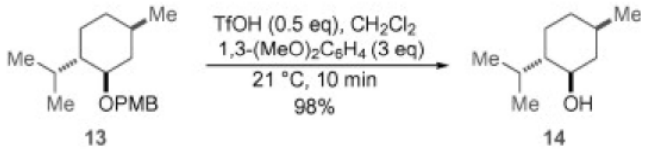
Citation: M. E. Jung et al, *Tetrahedron Lett.* **52** (2011) 6051.

Mild, selective deprotection of PMB ethers with triflic acid/1,3-dimethoxybenzene



An efficient method for the cleavage of the p-methoxybenzyl protecting group of several alcohols in the presence of 0.5 equiv of trifluoromethanesulfonic acid and 1,3-dimethoxybenzene in dichloromethane at room temperature is described.

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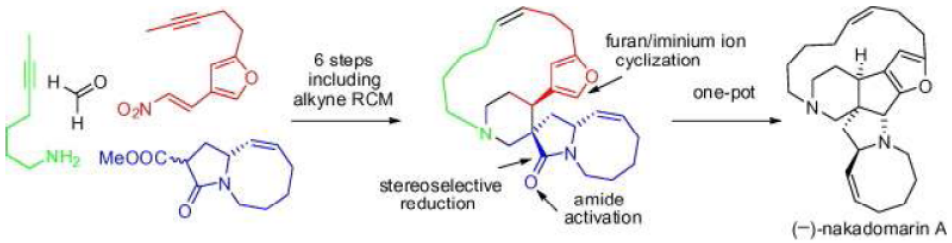
Citation: P. Jakubec et al. *Tetrahedron Lett.* **52** (2011) 6094.

Total synthesis of (-)-nakadomarin A: alkyne ring-closing metathesis



A 13-step, highly stereoselective synthesis of (-)-nakadomarin A has been achieved using the combination of a bifunctional organocatalyst controlled Michael addition, a nitro-Mannich/lactamization cascade, an alkyne ring-closing metathesis/syn-reduction, and furan/iminium ion cyclization/reduction as key steps.

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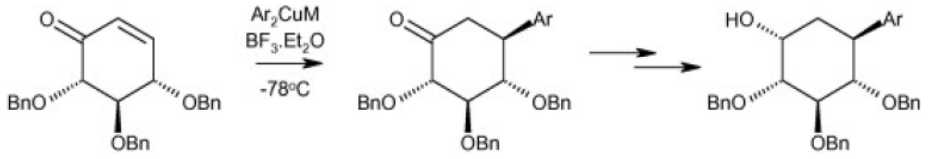
Citation: J. Bian et al, *Tetrahedron Lett.* **52** (2011) 5417.

The stereoselective syntheses of 1-aryl-1,6-dideoxyinositol derivatives



This Letter describes the first report of a highly stereoselective synthesis of triethereal cyclohexanones via copper(I) mediated 1,4-addition of organometallic reagents to glucose-derived triethereal cyclohexenone. The cyclohexanones generated can be reduced with modest stereoselectivity to afford a variety of substituted inositol derivatives as potential pyranose sugar mimetics. The protocol generated a range of substituted cyclohexanones in good yield as single stereoisomers.

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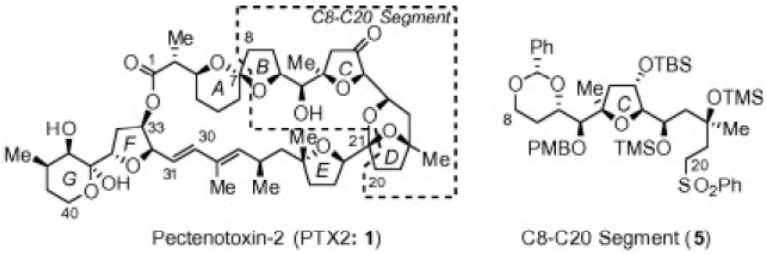
Citation: K. Fujiwara et al. *Tetrahedron Lett.* **52** (2011) 5589.

Improved synthesis of C8–C20 segment of pectenotoxin-2



The C8–C20 segment of pectenotoxin-2 was efficiently synthesized in 16% overall yield in 22 steps from l-malic acid via an improved route.

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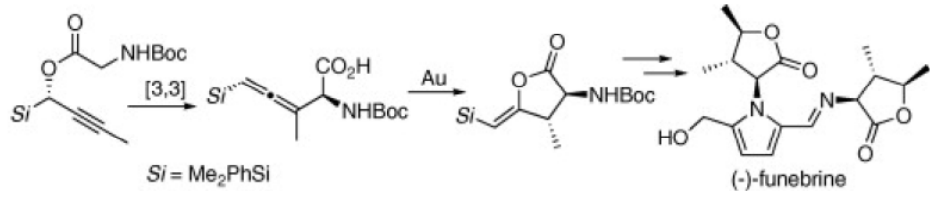
Citation: T. Okada et al, *Tetrahedron Lett.* **52** (2011) 5744.

Total synthesis of (-)-funebrine via Au-catalyzed regio- and stereoselective γ-butyrolactonization of allenylsilane



The stereoselective total synthesis of (-)-funebrine from 2-butyn-1-ol was described. The crucial steps in the synthesis involved the stereoselective enolate Claisen rearrangement of the (S)-?-acyloxy-?-alkynylsilane 8, the Au-catalyzed regio- and stereoselective lactonization of the allenylsilane 7, and the Paal–Knorr pyrrole condensation using an unsymmetrical 1,4-diketone 4b.

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