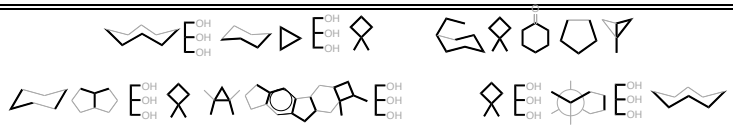


Volume 36 / Issue 07 15 July 2011

Next Due Date: Monday, 15 August 2011

Instructions for Authors (*Volume 36*)

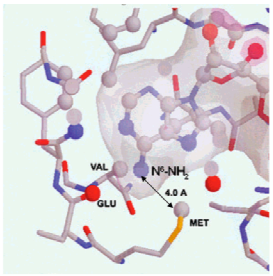
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (CNN being a recent example) 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 (Iε-32PI-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. 	**bioorganic** asymmetric methods synthesis mechanism review other OM **Bryo** Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.

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:

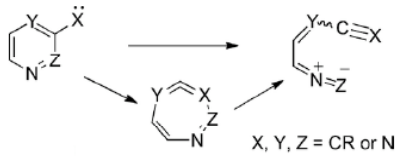
- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

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: Curt Wentrup, *Acc. Chem. Res.*, **2011**, 44 (6), pp 393–404

Nitrenes, Carbenes, Diradicals, and Ylides. Interconversions of Reactive Intermediates



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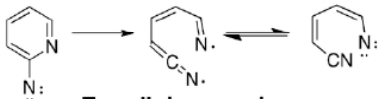

Type II ring opening diradicaloid or nitrenoid

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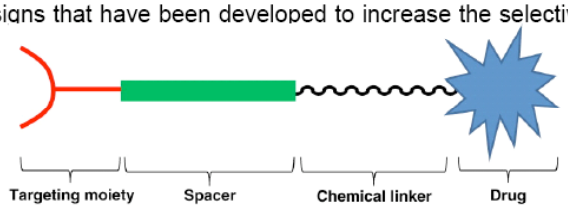
Rubi Mahato, Wanyi Tai, Kun Cheng *Advanced Drug Delivery Reviews* **2011**, 63, 659–670

Prodrugs for improving tumor targetability and efficiency

As the mainstay in the treatment of various cancers for several decades, chemotherapy is successful but still faces challenges including non-selectivity and high toxicity. Improving the selectivity is therefore a critical step to improve the therapeutic efficacy of chemotherapy. Prodrug is one of the most promising approaches to increase the selectivity and efficacy of a chemotherapy drug. The classical prodrug approach is to improve the pharmaceutical properties (solubility, stability, permeability, irritation, distribution, etc.) via a simple chemical modification. This review will focus on various targeted prodrug designs that have been developed to increase the selectivity of chemotherapy drugs.



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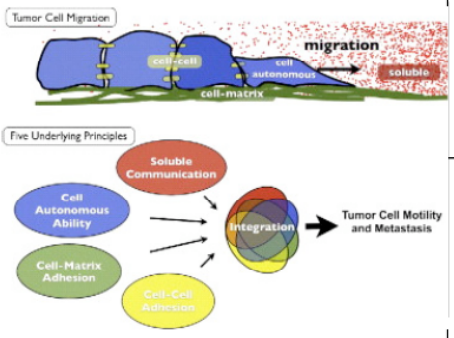
Trenis D. Palmer a, William J. Ashby a, John D. Lewis b, Andries Zijlstra, *Advanced Drug Delivery Reviews* **2011**, 63, 568–581,

Targeting tumor cell motility to prevent metastasis

In an attempt to define motility targets suitable for treating metastasis, we have parsed the molecular determinants of tumor cell motility into five underlying principles including cell autonomous ability, soluble communication, cell–cell adhesion, cell–matrix adhesion, and integrating these determinants of migration on molecular scaffolds. The current challenge is to implement meaningful and sustainable inhibition of metastasis by developing clinically viable disruption of molecular targets that control these fundamental capabilities.



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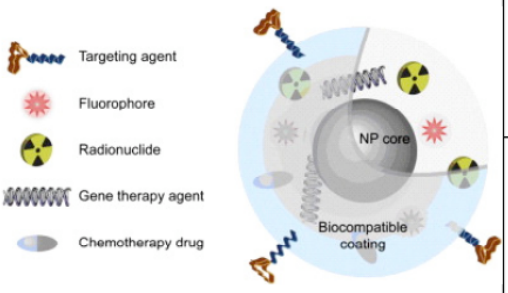
Omid Veiseh, Forrest M. Kievit, Richard G. Ellenbogen, Miqin Zhang, *Advanced Drug Delivery Reviews* **2011**, 63, 582–596.

Cancer Cell Invasion: Treatment and Monitoring Opportunities in Nanomedicine

Cell invasion is an intrinsic cellular pathway whereby cells respond to extracellular stimuli to migrate through and modulate the structure of their extracellular matrix (ECM) in order to develop, repair, and protect the body's tissues. In cancer cells this process can become aberrantly regulated and lead to cancer metastasis. This cellular pathway contributes to the vast majority of cancer related fatalities, and therefore has been identified as a critical therapeutic target.



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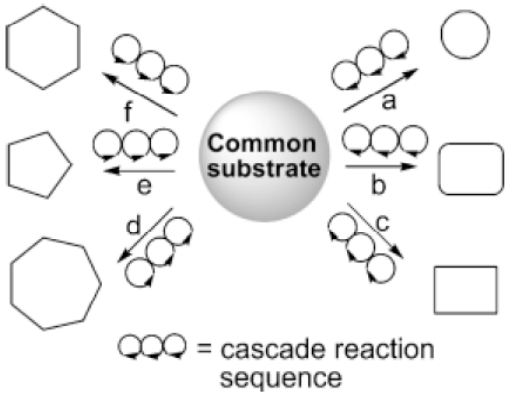
Citation: Wei Liu, Vivek Khedkar, Baburaj Baskar, Markus Schermann, and Kamal Kumar *Angew. Chem. Int. Ed.* **2011**, 50, 6900 –6905

Branching Cascades: A Concise Synthetic Strategy Targeting Diverse and Complex Molecular Frameworks

Touch 'n' transform: A synthetic strategy based on cascade or domino reaction sequences enabled the simultaneous incorporation of skeletal diversity and molecular complexity in focused compound collections. Thus, the treatment of a common multifunctionalized substrate with various cascade-triggering molecules (see picture) generated a wide range of both natural product related and unprecedented molecular frameworks.



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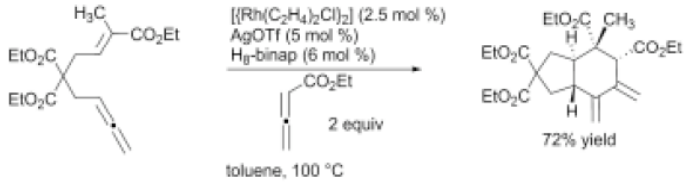
Citation: Andrew T. Brusoe and Erik J. Alexanian *Angew. Chem. Int. Ed.* **2011**, 50, 6596 –6600.

Rhodium(I)-Catalyzed Ene–Allene–Allene [2+2+2] Cycloadditions: Stereoselective Synthesis of Complex trans-Fused Carbocycles

A complex situation: The title reaction was utilized for the construction of a variety of trans-fused hydrindanes and decalins in a highly convergent manner (see scheme; binap-2,2'-bis(diphenylphosphanyl)-1,1'-binaphthyl, with three sigma bonds, two rings, and up to four contiguous stereocenters generated in a regio- and stereoselective fashion.



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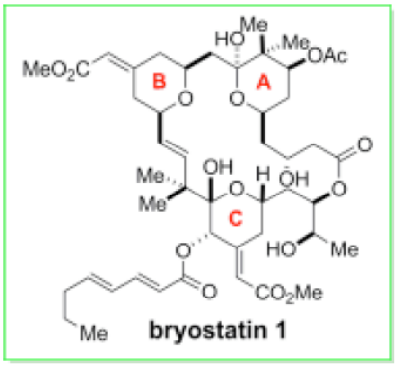
Soraya Manaviazar and Karl J. Hale *Angew. Chem. Int. Ed.* **2011,** 50, ASAP, DOI: 10.1002/anie.201101562

Total Synthesis of Bryostatin 1: A Short Route

A chemical legacy: Keck and his team have chemically pursued the bryostatin 1 structure with great vigor in recent years and, in late 2010, they finally completed their quest of developing a short and efficient total synthesis of this complex natural product (see structure). The present Highlight provides a brief but nevertheless detailed overview of the Keck synthesis and its chemical legacy in terms of new reactions.

References Schrier's recent paper which was published as this was going to press.



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C. M. Clavel, O. Zava, F. Schmitt, B. H. Kenzaoui, A. A. Nazarov, L. Juillerat-Jeanneret, and P. J. Dyson *Angew. Chem. Int. Ed.* **2011**, 50, ASAP DOI: 10.1002/anie.201101133

Thermoresponsive Chlorambucil Derivatives for Tumour Targeting

Many of the most widely applied anticancer agents result in severe side-effects, including, in extreme cases, secondary cancers that are only detected a long time after administration of the drug has ceased. A promising approach to overcome nonselectivity relies on drug enhancement by the application of external techniques, such that the toxicity of the drug is low until it is activated at the tumor site. One such combination approach is to combine chemotherapy with hyperthermia at the tumor site.

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Ran Yan, Ethaar El-Emir, Vineeth Rajkumar, Mathew Robson, Amit P. Jathoul, R. Barbara Pedley and Erik Årstad *Angew. Chem. Int. Ed.* **2011**, 50, 6793 –6795.

One-Pot Synthesis of an 125I-Labeled Trifunctional Reagent for Multiscale Imaging with Optical and Nuclear Techniques

A highly efficient and rapid CuII-mediated three-component "click reaction" allows one-pot assembly of dual optical and nuclear labeling reagents. Proof-of-concept imaging studies demonstrate that the distribution of the dual-labeled antibody A5B7 can be interrogated from the cellular to the macroscopic level using a combination of optical and nuclear imaging techniques.

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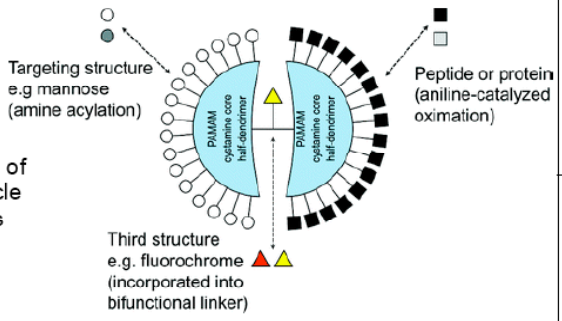
Citation: Gaertner, H.F. et al. *Bioconjugate Chemistry* **2011** 22 (6), 1103-1114.

Approaches for the production of nanoparticles based on commercially available polyamidoamine (PAMAM) dendrimers are discussed. The authors showed that incorporation of a mannose array into a nanoparticle strongly and specifically enhances uptake by sentinel cells of the immune system, an important property for vaccine delivery applications.



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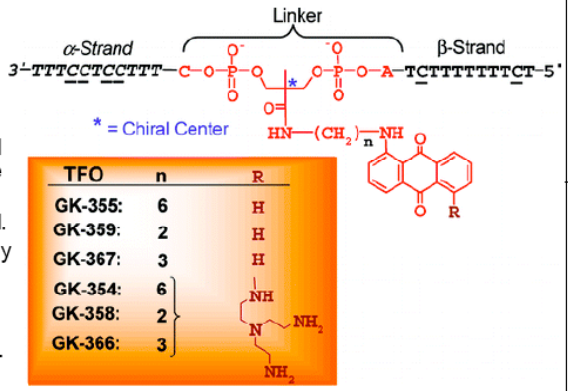
Citation: Moriguchi, T. et al. *Bioconjugate Chemistry* **2011** 22 (6), 1039-1045

Two types of anthraquinone conjugates were synthesized as non-nucleosidic oligonucleotide components. These include an anthraquinone derivative conjugated with 2,2-bis(hydroxymethyl)propionic acid and an anthraquinone-polyamine derivative conjugated with 2,2-bis(hydroxymethyl)propionic acid. The conjugates were successfully incorporated into the "linking-region" of the ?-? chimeric oligonucleotides via phosphoramidite method as non-nucleosidic backbone units.



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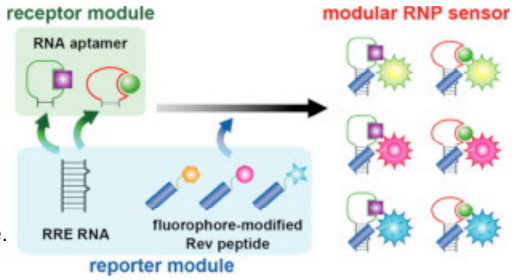
Citation: Nakano, S., Nakata, E., Morii, T.
Bioorg. Med. Chem. Lett. **2011**, *21*, 4503-4506

Facile conversion of RNA aptamers to modular fluorescent sensors with tunable detection wavelengths

By modifying a RRE (Rev responsive element) RNA to make an RNA aptamer, then also adding a fluorophore to a Rev peptide, the researchers are able to create a tunable detection system (in this case for GTP). The peptide and aptamer conjugate with eachother, then when the ligand is attached to the aptamer, there is a fluorescent intensity change. Switching out the fluorophore on the peptide allows tuning.



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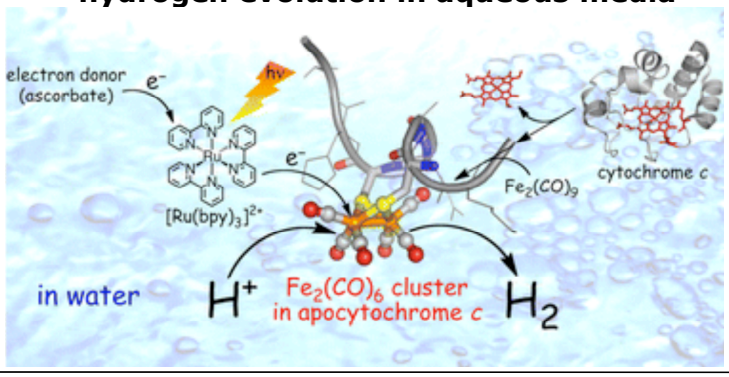
Citation: Sano, Y.; Onoda, A.; Hayashi, T., *Chem. Comm.* **2011**, *47*, 8229-8231.

A hydrogenase model system based on the sequence of cytochrome C: photochemical hydrogen evolution in aqueous media



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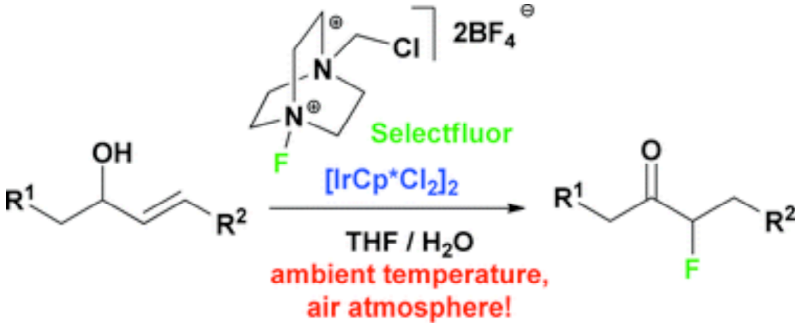
Citation: Ahlsten, N.; Martin-Matute, B., *Chem. Comm.* **2011**, *47*, 8331-8333.

Ir-catalysed formation of C-F bonds. From allylic alcohols to alpha-fluoroketones



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Hell, G. *Chemical & Engineering News.* **2011**, *89* (27), 8.

House Approves Patent System Overhaul

The House of Representatives approved the first major overhaul of U.S. patent law in nearly 60 years on June 23, voting 304-117 in favor of legislation designed to make the patent approval process swifter and give the U.S. Patent & Trademark Office (PTO) the resources to reduce a huge backlog of applications.

"The America Invents Act (H.R. 1249) is the most significant jobs creation bill passed by Congress this year," House Judiciary Committee Chairman Lamar Smith (R-Texas), the bill's chief sponsor, said after the vote.

"No longer will American inventors be forced to protect the technologies of today with the tools of the past," Smith added. The measure "brings our patent system into the 21st century, reducing frivolous litigation while creating a faster and more efficient process for the approval of patents."

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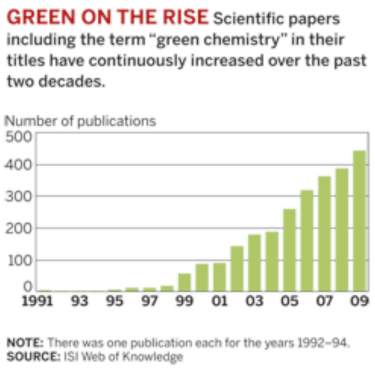
Anastas, P. *Chemical & Engineering News*. **2011**, *89* (26), 62-65.

Twenty Years of Green Chemistry

"Perhaps the greatest challenge will be to make green chemistry systematic so that every student knows its tools and principles, and every practitioner knows its power for efficiency, effectiveness, and innovation. As has been said by green chemistry leaders around the world for two decades, the field will be successful when the term fades away because it is simply what we, as chemists, do."



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Citation: Turner, N. J. *Chem. Rev*. **2011**, *111*, 4073-4087.

Enantioselective Oxidation of C-O and C-N Bonds Using Oxidases

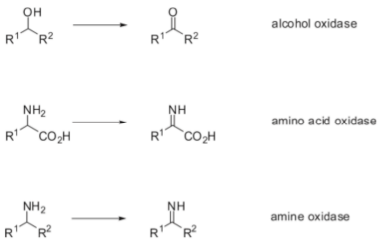
Oxidation reactions represent a cornerstone of organic chemistry, providing access to a range of functional groups (e.g., aldehydes, ketones, carboxylic acids) that allow further functionalization of building blocks used in synthesis. Enzymes offer a potential solution, not only because of the exquisite selectivity, mild reaction conditions, and high rates of turnover that can be obtained but also because there are a truly diverse range of different enzyme types that catalyze oxidation reactions This article shows two important types of oxidation reactions using oxidases, the oxidation of alcohols to carbonyl compounds (C-O to C=O) and the oxidation of amines to imines (C-N to C=N). These reaction are classified as follows.

Oxidation of C-O Bonds

1. Aliphatic Alcohol Oxidase; 2. Alditol Oxidase;
3. Lactate Oxidase; 4. Glycolate Oxidase;
5. Galactose Oxidase

Oxidation of C-N Bonds

1. L-Amino Acid Oxidase; 2. D-Amino Acid Oxidase;
3. Deracemization of Racemic Amino Acids Using Amino Acid Oxidases; 4. Monoamine Oxidase;
5. Copper Amine Oxidase; 6. Peroxidase, Laccase, and Tyrosinase



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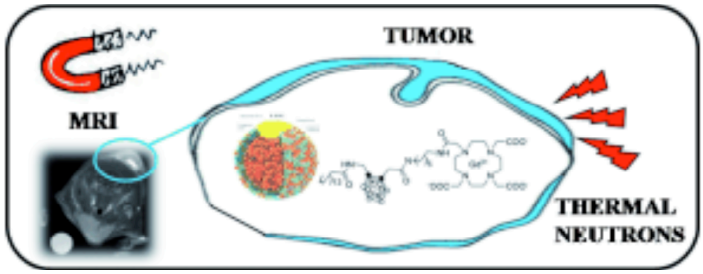
Citation: Geninatti-Crich, S.; Aime, S. *et al. Chemistry - A European Journal* **2011**, *17*, 8479-8486.

MRI-Guided Neutron Capture Therapy by Use of a Dual Gadolinium/Boron Agent Targeted at Tumour Cells through Upregulated Low-Density Lipoprotein Transporters



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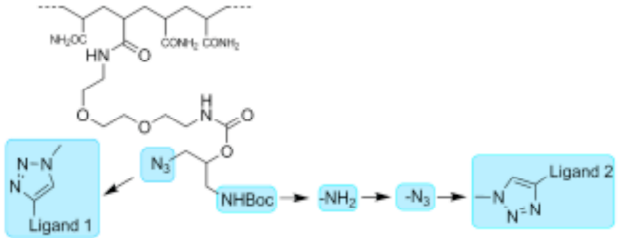
Citation: Gulard, J.; Fiege, B.; Kitov, P.I.; Peters, T.; Bundle, D.R. *Chemistry-A European Journal* **2011**, *17*, 7438-7441.

"Double-Click" Protocol for Synthesis of Heterobifunctional Multivalent Ligands: Toward a Focused Library of Specific Norovirus Inhibitors



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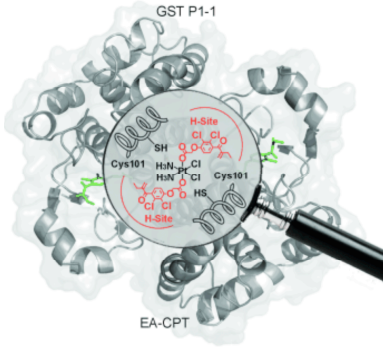
Citation: Parker, L.J.; Paker, M.W. *et. al Chemistry - A European Journal* **2011**, *17*, 7806-7816.

Studies of Glutathione Transferase P1-1 Bound to a Platinum(IV)-Based Anticancer Compound Reveal the Molecular Basis of its Activation



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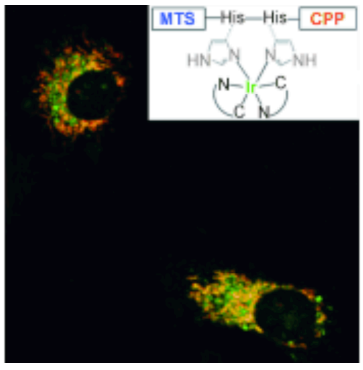
Citation: Wang, X.; Jia, J.; Huan, Z.; Zhou, M.; Fei, H. *Chemistry-A European Journal* **2011**, *17*, 8028-8032.

Luminescent Peptide Labeling Based on a Histidine-Binding Iridium(III) Complex for Cell Penetration and Intracellular Targeting Studies



They get mitochondrial targeting.

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Citation: Qiu, X.-L.; Qing, F.-L. *Eur. J. Org. Chem.* **2011**, 3261-3278.

Recent Advances in the Synthesis of Fluorinated Amino Acids

Important advances in the synthesis offluorinated α-amino acids (F-αAAs), fluorinated β-amino acids (F-βAAs) and fluorinated cyclic amino acids (F-CAAs) since 2005 are reviewed. These advances have paved the way for development of more and more potentially highly bioactive compounds.

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Hybrid
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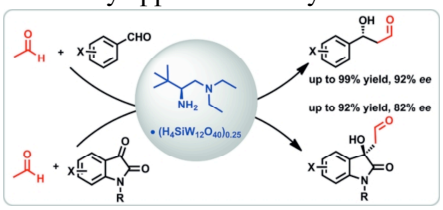
Citation: Hu, S.; Zhang, L.; Li, J.; Luo, S.; Cheng, J.-P. *ibid*. 3347-3352.

Chiral Primary Amine Catalyzed Asymmetric Direct Cross-Aldol Reaction of Acetaldehyde

The first primary aminocatalytic direct cross-aldol reaction of acetaldehyde is presented. Among the various vicinal diamines screened, the l-*tert*-leucine derivative **1c** in conjunction with $(H_4SiW_{12}O_{40})_{0.25}$ was identified as the optimal catalyst; good catalytic activity (up to 99% yield in 4 h), and high enantioselectivities (up to 92% *ee*) were achieved for a range of donors, including aromatic aldehydes and isatin derivatives. Aqueous acetaldehyde solution and paraldehyde can be conveniently applied in this system.



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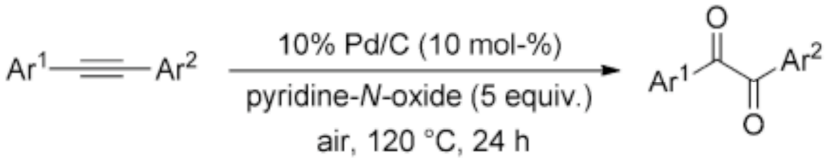
Citation: Sawama, Y.; Takubo, M.; Mori, S.; Monguchi, Y.; Sajiki, H. *ibid*. 3361-3367.

Pyridine N-Oxide Mediated Oxidation of Diarylalkynes with Palladium on Carbon



Pyridine *N*-oxide works as an effective oxidant of 1,2-diarylalkynes at 120 °C to form benzyl derivatives under Pd/C-catalyzed solvent-free conditions, and Pd/C could be reused up to five times after simple filtration.

bioorganic
(methods)
synthesis
mechanism
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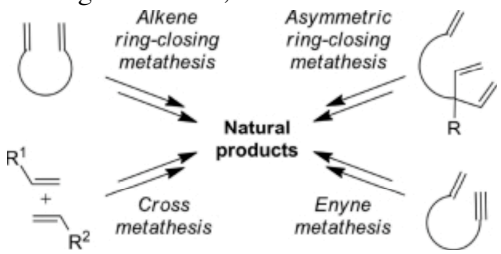
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Prunet, J. *ibid*. 3634-3647.

Progress in Metathesis Through Natural Product Synthesis

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



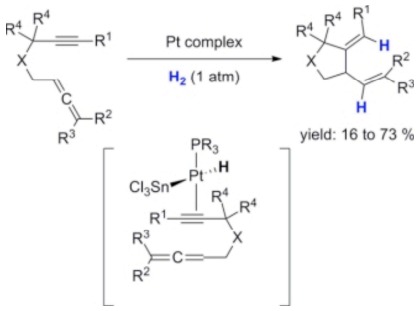
bioorganic
methods
synthesis
mechanism
(review)
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Kim, H.-T.; Yoon, H.-S.; Jang, W.-Y.; Kang, Y. K.; Jang, H.-Y. *ibid*. 3748-3754.

Experimental and Theoretical Investigation of Hydrogenative Cyclization of Allenynes

The platinum-catalyzed reductive cyclization of allenynes was conducted under hydrogenation conditions. Theoretical calculation results provide evidence that the catalytic cycle of this reaction begins with hydrometalation of the alkyne by a platinum–hydride complex.



bioorganic
methods
(synthesis)
mechanism
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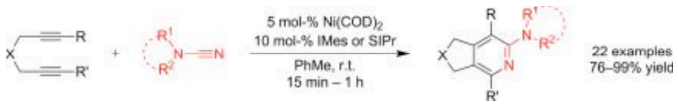
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Stolley, R. M.; Maczka, M. T.; Loule, J. *ibid*. 3815-3824.

Nickel-Catalyzed [2+2+2] Cycloaddition of Diynes and Cyanamides



A variety of bicyclic *N*,*N*-disubstituted 2-aminopyridines have been prepared from diynes and cyanamides by nickel-catalyzed [2+2+2] cycloaddition reactions. The reactions proceeded at room temperature with low catalyst loading to afford 2-aminopyridines in good to excellent yields. The method is amenable to both internal and terminal diynes and proceeds in a regioselective manner. A number of cyanamides with diverse functional group tolerance were used. The intermolecular version employing 3-hexyne and *N*-cyanopyrrolidine also afforded the desired *N*,*N*-disubstituted 2-aminopyridine in good yield.

bioorganic
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(synthesis)
mechanism
review
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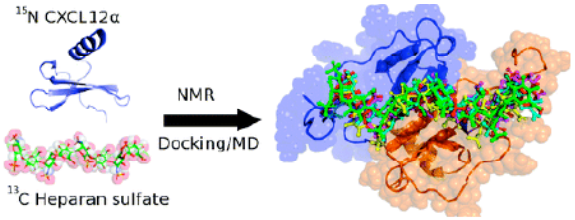
OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Laguri, C; et. al. *JACS*. **2011**, *133 (25)*, 9642-9645.

13C-Labeled Heparan Sulfate Analogue as a Tool To Study Protein/Heparan Sulfate Interactions by NMR Spectroscopy: Application to the CXCL12α Chemokine

Heparan sulfate (HS), a polysaccharide of the glycosaminoglycan family characterized by a unique level of complexity, has emerged as a key regulator of many fundamental biological processes, though the exact modes of interaction still remain largely unknown. Here we report the engineering of a 13C-labeled HS-like oligosaccharide with a defined oligosaccharidic sequence that was used to investigate the structural determinants involved in protein/HS recognition by multidimensional NMR spectroscopy.



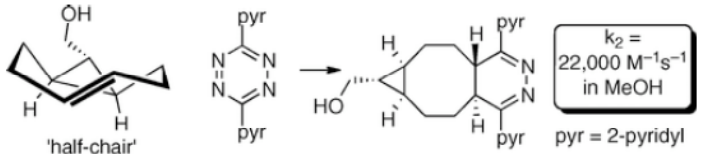
bioorganic
methods
synthesis
mechanism
review
other

REDOR
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Fox, J.; et. al. *JACS*. **2011**, *133 (25)*, 9646-9649.

Design and Synthesis of Highly Reactive Dienophiles for the Tetrazine–trans-Cyclooctene Ligation

Computation was used to design a trans-cyclooctene derivative that displays enhanced reactivity in the tetrazine–trans-cyclooctene ligation. The optimized derivative is an (E)-bicyclo[6.1.0]non-4-ene with a cis-ring fusion, in which the eight-membered ring is forced to adopt a highly strained 'half-chair' conformation. Toward 3,6-dipyridyl-s-tetrazine in MeOH at 25 °C, the strained derivative is 19 and 27 times more reactive than the parent trans-cyclooctene and 4E-cyclooct-4-enol, respectively. Toward 3,6-diphenyl-s-tetrazine in MeOH at 25 °C, the strained derivative is 160 times more reactive than the parent trans-cyclooctene.



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mechanism
review
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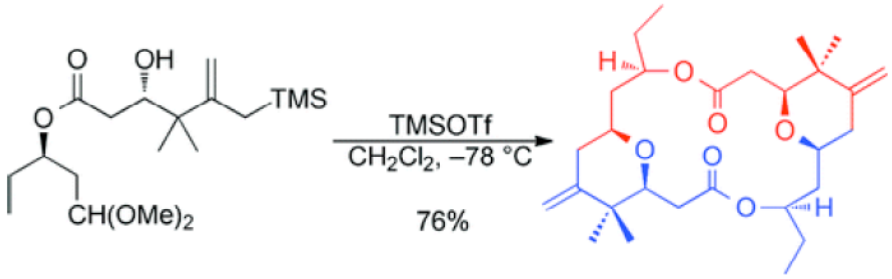
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Gesinski, M.; Rychnovsky, S. *JACS*. **2011**, *133 (25)*, 9727-9729.

Total Synthesis of the Cyanolide A Aglycon

The synthesis of the potent molluscicide cyanolide A has been achieved in 10 steps without the use of protecting groups. The synthesis features a key Sakurai macrocyclization/dimerization reaction that simultaneously forms both tetrahydropyran rings and the macrocycle of the natural product.



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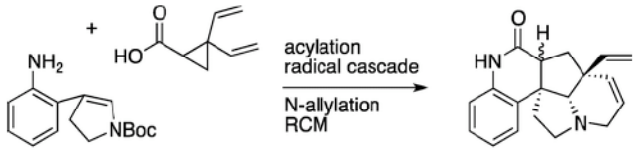
OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Zhang, H.; Curran, D. *JACS*. **2011**, *133 (27)*, 10376-10378.

A Short Total Synthesis of (±)-Epimeloscine and (±)-Meloscine Enabled by a Cascade Radical Annulation of a Divinylcyclopropane

The first stereoselective synthesis of epimeloscine has been accomplished in 13 total steps with a longest linear sequence of 10 steps. The core of the synthesis takes only five steps, the key ones being acylation, stereoselective tandem radical cyclization of a divinylcyclopropane to make two rings, and group-selective ring-closing metathesis of the resulting divinylcyclopentane to make the last ring.



epimeloscine Hβ
meloscine Hα, by
epimerization

bioorganic
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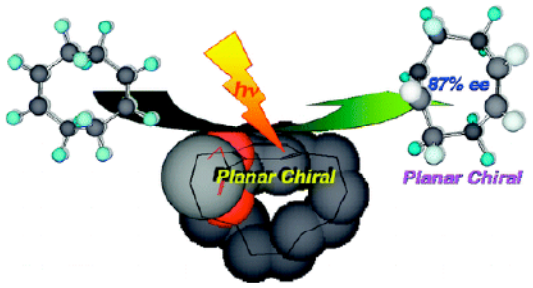
OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Inoue, Y; et. al. *JACS*. **2011**, *133 (27)*, 10379-10381.

Planar-to-Planar Chirality Transfer in the Excited State. Enantiodifferentiating Photoisomerization of Cyclooctenes Sensitized by Planar-Chiral Paracyclophane

Photochemical planar-to-planar chirality transfer was effected by using (R)-[10]paracyclophane-12-carboxylates as a planar-chiral sensitizer and (Z)-cyclooctene and (Z,Z)-1,5-cyclooctadiene as prochiral substrates to give a planar-chiral (E)- and (E,Z)-isomer in up to 44% and 87% enantiomeric excess, respectively, the latter of which being the highest ever reported for a sensitized photochirogenic reaction.



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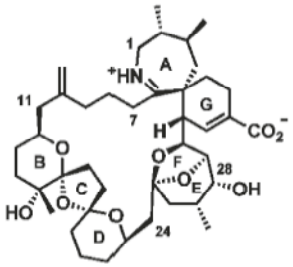
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Zakarian, A.; et. al. *JACS*. **2011**, *133 (27)*, 10499-10511.

Total Synthesis of Pinnatoxins A and G and Revision of the Mode of Action of Pinnatoxin A

Pinnatoxins belong to an emerging class of potent marine toxins of the cyclic imine group. Detailed studies of their biological effects have been impeded by unavailability of the complex natural product from natural sources. This work describes the development of a robust, scalable synthetic sequence. A central transformation in the synthesis is the highly diastereoselective Ireland–Claisen rearrangement of a complex α,α-disubstituted allylic ester based on a unique mode for stereoselective enolization through a chirality match between the substrate and the lithium amide base.



(+)-pinnatoxin A (PnTX A, 1)

bioorganic
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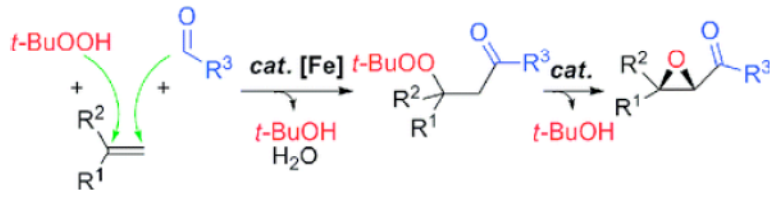
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Li, Z.; et. al. *JACS*. **2011**, *133 (28)*, 10756-10759.

Iron-Catalyzed Carbonylation-Peroxidation of Alkenes with Aldehydes and Hydroperoxides

A three-component reaction of alkenes, aldehydes, and hydroperoxides catalyzed by FeCl2 to β-peroxy ketones has been achieved. This three-component reaction can be also applied to the synthesis of α-carbonyl epoxides, through either a stepwise base-induced epoxidation of the separated β-peroxy ketone products or a one-pot process by simply adding base to the reaction mixture after the completion of the three-component reaction.



bioorganic
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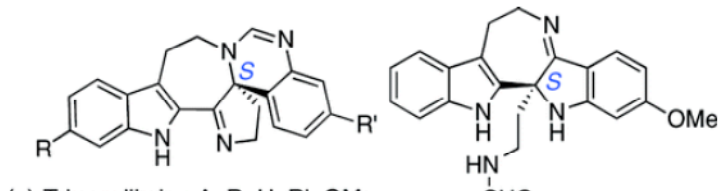
mechanism
review
other

REDOR
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Han, S., Movassaghi, M. *JACS*. **2011**, *133 (28)*, 10768-10771.

Concise Total Synthesis and Stereochemical Revision of all (-)-Trigonoliimines

The concise and enantioselective total syntheses of (-)-trigonoliimines A, B, and C are described. Our unified strategy to all three natural products is based on asymmetric oxidation and reorganization of a single bistryptamine, a sequence of transformations with possible biogenetic relevance. We revise the absolute stereochemistry of (-)-trigonoliimines A, B, and C.



(–)-Trigonoliimine A, R=H, R'=OMe
(–)-Trigonoliimine B, R=OMe, R'=H
(–)-Trigonoliimine C

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Bryo
Gnid/Kirk
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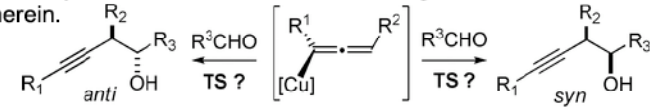
Drug Deliv.
Prostratin

Citation: Vrancken, E.; Gerard, H.; et. al. *JACS*. **2011**, *133 (28)*, 10790-10802.

Diastereodivergent Behavior of Alkyl versus Cyano Allenylcuprates toward Aldehydes: A Key Role for Lithium

The stereodivergent behavior of allenyl(cyano)- and allenyl(alkyl)cuprates toward aldehydes, providing a selective preparation of both syn- and anti-homopropargylic alcohols, is described. This study, which combines both experimental and theoretical support, shows that the copper nontransferred "dummy ligand" controls the localization of the lithium cation with respect to the allenylcuprate moiety. As a consequence, Li+ acts as a Lewis acid activator but also controls the diastereoselectivity during the addition of allenylcuprates onto aldehydes. The combined high selectivity, efficiency, and versatility of these cuprate compounds opens the way to new one-pot synthetic procedures, as illustrated by the combined Klein rearrangement/transmetalation methodology described herein.



[Cu] = CuCN·Li
contact ion pair

[Cu] = Cu(alk), [Li]
solvent separated ion pair

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Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Johnson, L.; Horne, W.S.; Gellman, S. *JACS*. **2011**, *133 (26)*, 10038-10041.

Broad Distribution of Energetically Important Contacts across an Extended Protein Interface

Infection of cells by HIV depends upon profound structural rearrangements within the trimeric viral protein gp41. Critical to this process is the formation of a six-helix bundle in which a set of three N-terminal heptad repeat (NHR) helices assemble to form a core displaying long grooves that provide docking sites for three C-terminal heptad repeat (CHR) helices. We report experiments designed to discriminate between two alternative hypotheses regarding the source of affinity between individual CHR helices and the complementary groove: (1) affinity is dominated by interactions of a small cluster of side chains at one end of the CHR helix; or (2) affinity depends upon interactions distributed across the long CHR helix. We have employed two complementary experimental designs, and results from both favor the latter hypothesis. (On Wisconsin!)

bioorganic
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Bryo
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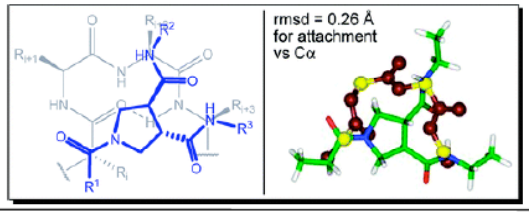
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Boger, D.; et. al. *JACS*. **2011**, *133 (26)*, 10184-10194.

Design, Synthesis, and Validation of a β-Turn Mimetic Library Targeting Protein–Protein and Peptide–Receptor Interactions

The design and synthesis of a β-turn mimetic library as a key component of a small-molecule library targeting the major recognition motifs involved in protein–protein interactions is described. Screening the library against the human opioid receptors (KOR, MOR, and DOR) identified not only the activity of library members expected to mimic the opioid receptor peptide ligands but also additional side-chain combinations that provided enhanced receptor binding selectivities and affinities.



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Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Perez, D. I.; et al. *J Med. Chem.* **2011**, *54*, 4042-4056.

Switching Reversibility to Irreversibility in Glycogen Synthase Kinase 3 Inhibitors: Clues for Specific Design of New Compounds

ABSTRACT: Development of kinase-targeted therapies for central nervous system (CNS) diseases is a great challenge. Glycogen synthase kinase 3 (GSK-3) offers a great potential for severe CNS unmet diseases, being one of the inhibitors on clinical trials for different tauopathies. Following our hypothesis based on the enhanced reactivity of residue Cys199 in the binding site of GSK-3, we examine here the suitability of phenylhalomethylketones as irreversible inhibitors. Our data confirm that the halomethylketone unit is essential for the inhibitory activity. Moreover, addition of the halomethylketone moiety to reversible inhibitors turned them into irreversible inhibitors with IC_{50} values in the nanomolar range. Overall, the results point out that these compounds might be useful pharmacological tools to explore physiological and pathological processes related to signaling pathways regulated by GSK-3 opening new avenues for the discovery of novel GSK-3 inhibitors.

bioorganic
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Bryo
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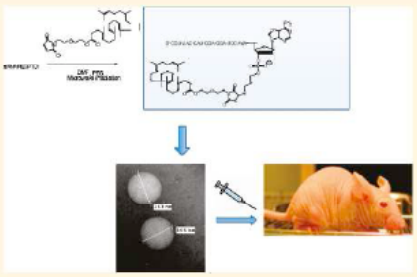
Drug Deliv.
Prostratin

Citation: Raouane, M.; et. al. *J. Med. Chem.* **2011**, *54*, 4067-4076.

Synthesis, Characterization, and in Vivo Delivery of siRNA-Squalene Nanoparticles Targeting Fusion Oncogene in Papillary Thyroid Carcinoma

ABSTRACT: We report the conjugation of the natural lipid squalene (SQ) with a small interfering RNA (siRNA), against the junction oncogene RET/PTC1, usually found in papillary thyroid carcinoma (PTC). The acyclic isoprenoid chain of squalene has been covalently coupled with siRNA RET/PTC1 at the 3′-terminus of the sense strand via maleimide−sulfhydryl chemistry. Remarkably, the linkage of siRNA RET/PTC1 to squalene led to an amphiphilic molecule that self-organized in H_2O as siRNA-SQ RET/PTC1 nanoparticles (NPs). The siRNA-SQ RET/PTC1 NPs, stable in H_2O, were used for biological studies. In vitro, they did not show any cytotoxicity. Interestingly, in vivo, on a mice xenografted RET/PTC1 experimental model, RET/PTC1-SQ NPs were found to inhibit tumor growth and RET/PTC1 oncogene and oncoprotein expression after 2.5 mg/kg cumulative dose intravenous injections. In conclusion, these results showed that the "squalenoylation" offers a new noncationic plate-form for the siRNA delivery.



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review
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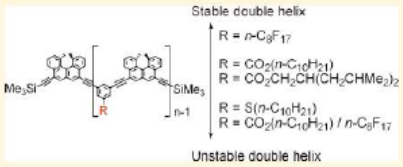
OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Saito, N.; et al. *J. Org. Chem.* **2011**, *76*, 4841-4858.

Side Chain Effect on the Double Helix Formation of Ethynylhelicene Oligomers

ABSTRACT: Three series of ethynylhelicene oligomers with different side chains were synthesized: (*P*)-bD-*n* (*n* = 2−6) with branched alkyloxycarbonyl side chains; (*P*)-S-*n* (*n* = 2−7) with decylsulfanyl side chains; and (*P*)-DF-*n* (*n* = 4, 6, 8, 10) with alternating decyloxycarbonyl and perfluorooctyl side chains. The double helix formation of these side chain derivatives was compared to that of (*P*)-D-*n* with decyloxycarbonyl side chains. CD, UV−vis, and vapor pressure osmometry (VPO) studies showed that (*P*)-bD-*n* formed double helices as well as (*P*)-D-*n*. CD studies in trifluoromethylbenzene at different temperatures and concentrations indicated that the stability of the aggregate of (*P*)-bD-6 was similar to that of (*P*)-D-6. Bulkiness of side chains had little effect on aggregation, which indicated that $\pi-\pi$ interactions of the aromatic moiety were essential for double helix formation. (*P*)-S-*n* were random coils in all solvents examined except in trifluoromethylbenzene. Whereas (*P*)-D-7 formed a double helix at 1×10^{-3} M in toluene, (*P*)-S-7 was a random coil. This result indicated that the double helix forming ability of (*P*)-S-*n* was substantially lower than that of (*P*)-D-*n*. Based on the previous observation that (*P*)-F-*n* formed a more stable double helix than (*P*)-D-*n*, the order of stability may be summarized as follows: (*P*)-F-*n* > (*P*)-D-*n* and (*P*)-bD-*n* > (*P*)-S-*n*. The lower stability of (*P*)-S-*n* compared to that of (*P*)-F-*n* was ascribed to the softness and/or the electron-rich nature at the *m*-phenylene moiety. (*P*)-DF-*n* did not form a stable double helix. It was speculated that a regular alternating arrangement of soft/hard or electron-rich/deficient moieties is important for stable double helix formation. Side chains of ethynylhelicene oligomers can play significant roles in determining the stability of double helices.



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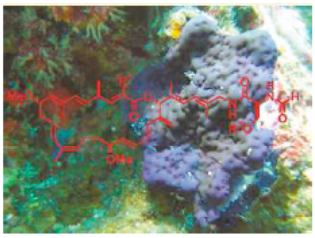
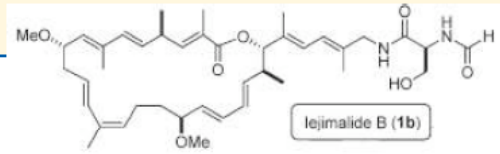
Drug Deliv.
Prostratin

Citation: Chen, Q.; et al. *J. Org. Chem.* **2011**, *76*, 5157-5169.

Total Synthesis of Iejimalide B†

ABSTRACT: Iejimalide B, a structurally unique 24-membered polyene macrolide having a previously underutilized mode of anticancer activity, was synthesized according to a strategy employing Julia−Kocienski olefinations, a palladium-catalyzed Heck reaction, a palladium-catalyzed Marshall propargylation, a Keck-type esterification, and a palladium-catalyzed macrolide-forming, intramolecular Stille coupling of a highly complex cyclization substrate. The overall synthesis is efficient (19.5% overall yield for 15 linear steps) and allows for more practical scaled-up synthesis than previously reported strategies that differed in the order of assembly of key subunits and in the method of macrocyclization. The present synthesis paves the way for efficient preparation of analogues for drug development efforts.





bioorganic
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mechanism
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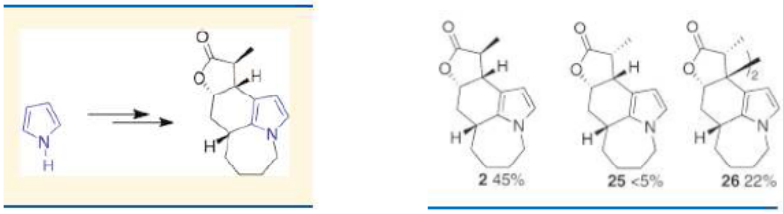
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Bates, R. W.; Sridhar, S. *J. Org. Chem.* **2011**, *76*, 5026-5035.

Synthesis of the Stenine Ring System from Pyrrole

ABSTRACT: The skeleton of the stemona alkaloid, stenine, has been synthesized starting from pyrrole, employing an asymmetric organocatalyzed cyclization, Sonogashira coupling, a diastereoselective intramolecular propargylic Barbier reaction, cyclocarbonylation, and diastereoselective alkene reduction. Modulation of the electron-rich nature of the pyrrole nucleus by employing an α-trifluoroacetyl group is essential. The α-trifluoroacetyl group may be rapidly removed under carefully defined, mild conditions.



bioorganic
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mechanism
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Bryo
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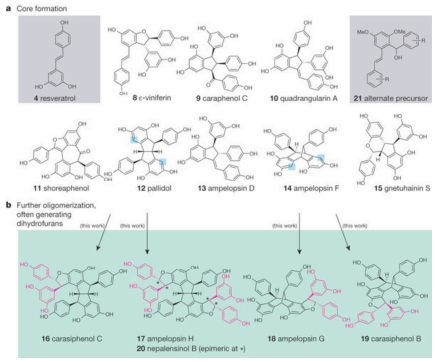
Hybrid
Drug Deliv.
Prostratin

Citation: Snyder, S.A.; Gollner, A.; Chiriac, M.I. *Nature* **2011**, *474*, 461-466.

Regioselective reactions for programmable resveratrol oligomer synthesis



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other

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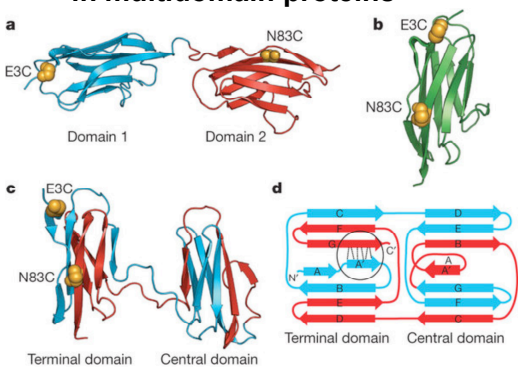
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Borgia, M.B. *et al. Nature* **2011**, *474*, 662-665.

Single-molecule fluorescence reveals sequence-specific misfolding in multidomain proteins



bioorganic
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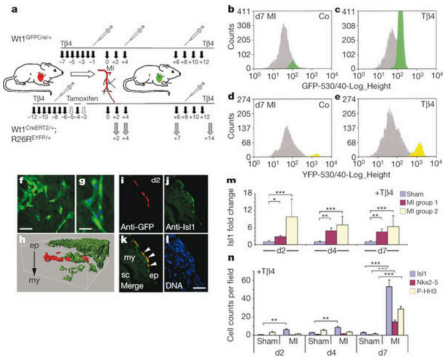
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Smart, N. *et al. Nature* **2011**, *474*, 640-644.

De novo cardiomyocytes from within the activated adult heart after injury



bioorganic
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Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Gardiner, M.; Kearns, H. *Nature* **2011**, *475*, 129-130.

Turbocharge your writing today

This has REALLY good tips for getting more writing done. I highly recommend it!

bioorganic
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Citation: *Nature* **2011** Issue number: 7355

There is a special segment entitled "Outlook: Alzheimer's disease"

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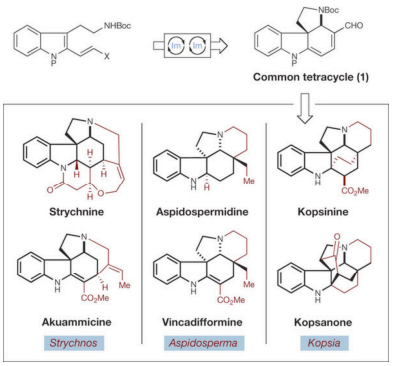
Citation: Jones, S.B.; Simmons, B.; Mastracchio, A.; MacMillan, D.W. *Nature* **2011**, *475*, 183-188.

Collective synthesis of natural products by means of organocascade catalysis



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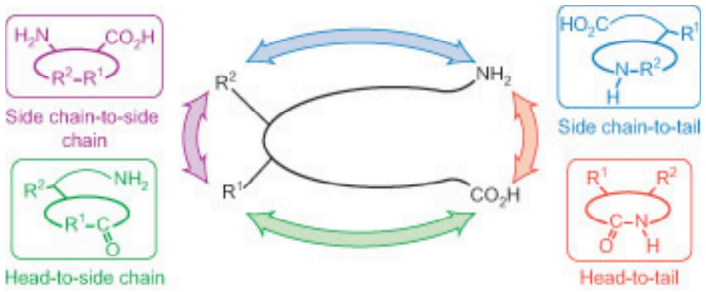
Laulimalide
Drug Deliv.

Citation: Christopher J. White & Andrei K. Yudin *Nature Chemistry* 3, 509–524

Contemporary strategies for peptide macrocyclization



Not such an easy macrocyclization and sure to be a topic of interest in the future

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Drug Deliv.
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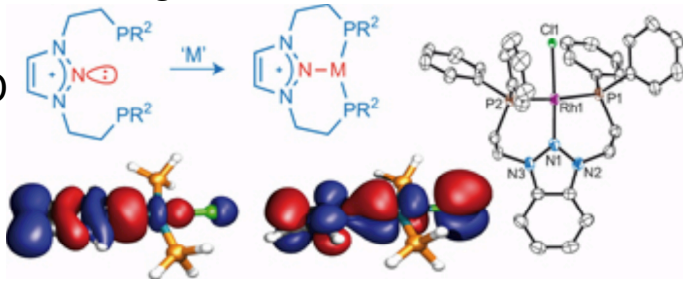
Citation: Tulchinsky, Y.; Iron, M.A.; Botoshansky, M.; Gandelman, M. *Nature Chemistry* 3, 525–531

Nitrenium ions as ligands for transition metals

NEW LIGAND CLASS!



Experimental and computational studies of the electronic properties of this novel type of ligand suggest that they are poor sigma-donors and good pi-acceptors

Also of interest: 3 of the authors are named Mark; the other is Yuri

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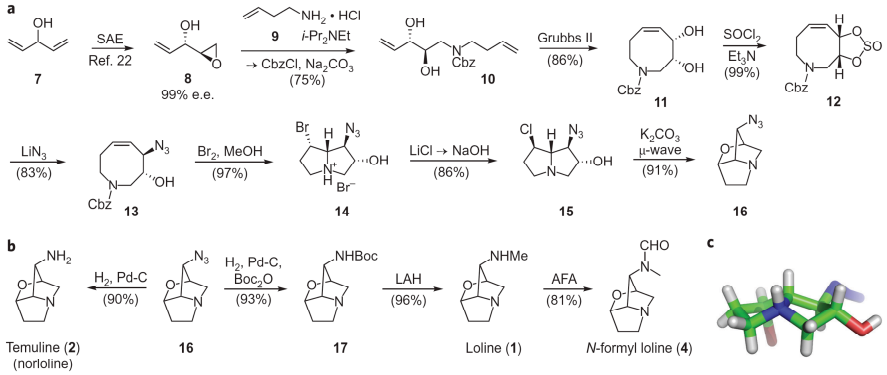
Citation: Mesut Cakmak, Peter Mayer & Dirk Trauner *Nature Chemistry* 3, 543–545

An efficient synthesis of loline alkaloids



You know it's a short synthesis when it all fits in this box! No need to read the paper!

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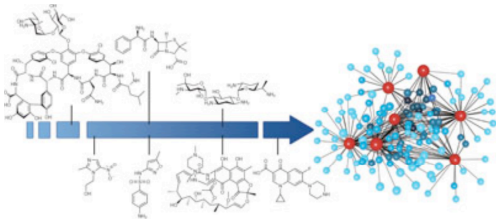
Citation: Falconer, S.B., Czarny, T.L., Brown, E.D.
Nature Chem. Biol. **2011**, *7,* 415-423.

Antibiotics as probes of biological complexity

Antibiotics get a lot of attention in the clinic (and rightfully so), but they have uses other than in medicine. In this review, the authors detail how antibiotics have been crucial to current understanding of cell wall biogenesis, DNA biosynthesis, and translation, among others. The use of antibiotics as molecular probes, while not at the forefront of the field, is an important development and will continue to inform the scientific community about many biological processes.



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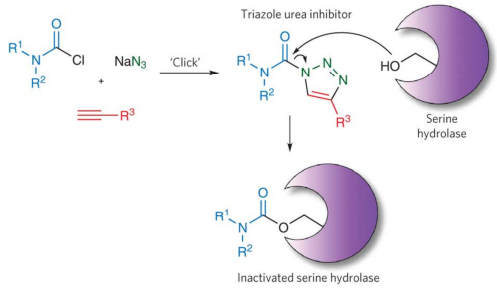
Citation: Adibekian, A., Martin, B.R., Wang, C., Hsu, K., Bachovchin, D.A., Niessen, S., Hoover, H., et al.
Nature Chem. Biol. **2011**, *7,* 469-478.

Click-generated triazole ureas as ultrapotent *in vivo*-active serine hydrolase inhibitors

Serine hydrolase represent represent approximately 1% of human proteins but their mechanism of action remains poorly understood because there is no good, selective inhibitor to help elucidate it. 1,2,3 triazoles, which can be readily accessed with click chemistry, were shown to irreversibly inactivate a "substantial number" of serine hydrolases while not affecting other protein classes.



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Citation: The Onion - http://www.theonion.com/articles/new-study-shows-people-with-panic-disorders-respon,20892/

New Study Shows People with Panic Disorders Respond Poorly to Being Locked in Underwater Elevators

NEW HAVEN, CT—A study published Monday in the *Journal Of Abnormal Psychology* found that individuals who suffer from panic disorders react negatively to being locked in underwater elevators for indefinite periods of time. According to Dr. Samuel Lepore, who led the Yale University study, test subjects suffering from the disorder experienced full-on panic attacks as soon as the elevators shuddered to a halt, and they exhibited symptoms such as chest pain, shortness of breath, and numbness in the extremities when it became apparent the car was stuck and the emergency call button didn't work. "Given the results, we can now say conclusively that people who suffer from severe anxiety dislike being trapped in small boxes hundreds of feet under water," said Lepore, who logged more than a thousand hours of clinical study on the subject. "In fact, our research suggests that it makes said individuals experience extreme discomfort with almost no degree of relief."

Citation: The Onion - http://www.theonion.com/articles/hp-unveils-noncomputer-for-those-who-dont-need-a-c,20378/

HP Unveils Non-Computer For Those Who Don't Need a Computer

PALO ALTO, CA—Hewlett-Packard announced Friday the release of the first-ever non-computer, a fully unusable device specially designed to address the demands of individuals who have absolutely no need to own a computer.

CEO Léo Apotheker told reporters the non-computer was a long-overdue innovation that would finally allow consumers with zero interest in computers to enjoy all the benefits of not having one.

"For too long, manufacturers have catered exclusively to people expressing at least minimal interest in what a computer has to offer," Apotheker said during a press conference. "Meanwhile, there's an untapped group of consumers out there who've been telling us for years, 'Hey, no thanks. Computers aren't really for me.' Well, as of today, someone's finally listening."

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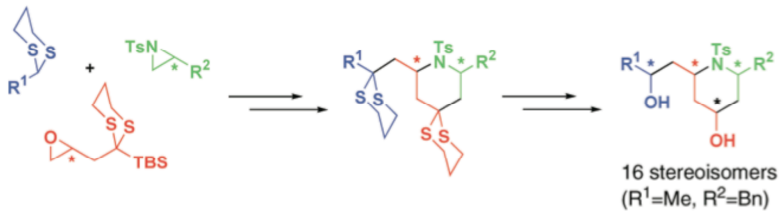
Smith, A. B.; Han, H.; Kim. W.-S. *Org. Lett.* **2011**, *13*, 3328-3331.

Diversity-Oriented Synthesis of 2,4,6-Trisubstituted Piperidines via Type II Anion Relay Chemistry



An effective, general protocol for the Diversity-Oriented Synthesis (DOS) of 2,4,6-trisubstituted piperidine congeners has been designed and validated. The successful strategy entails a modular approach to all possible stereoisomers of the selected piperidine scaffold, exploiting Type II Anion Relay Chemistry (ARC), followed in turn by intramolecular S_N2 cyclization, chemoselective removal of the dithiane moieties and carbonyl reductions.

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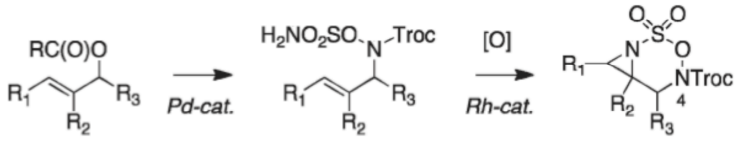
Olson, D. E.; Maruniak, A.; Malhotra, S.; Trost, B. M.; Du Bois, J. *Org. Lett.* **2011**, *13*, 3336-3339.

Synthesis and Reactivity of Unique Heterocyclic Structures en Route to Substituted Diamines



Rhodium-catalyzed oxidative cyclization of allylic hydroxylamine-derived sulfamate esters furnishes a novel family of bicyclic aziridines that serve as functional precursors to substituted diamines. Investigations with the N4-Troc form of these heterocycles have led to manifold improvements in reaction performance and scope and have revealed unique differences in the stability and reactivity of such compounds dictated by the choice of N4-protecting group.

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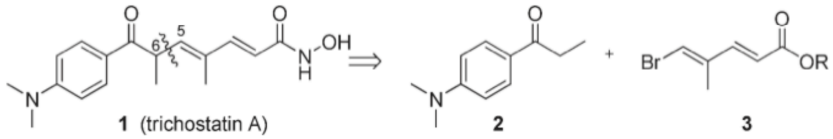
Cosner, C. C.; Helquist, P. *Org. Lett.* **2011**, *13*, 3564-3567.

Concise, Convergent Synthesis of (±)-Trichostatin A Utilizing a Pd-Catalyzed Ketone Enolate α-Alkenylation Reaction



Two concise, convergent syntheses of (±)-trichostatin A (**1**), a potent histone deacetylase inhibitor, have been accomplished. The key step in both is a Pd-catalyzed α-alkenylation reaction between ketone **2** and either dienyl bromide **3** or alkenyl bromide **9** using a modification of cross-coupling conditions described by Negishi and Hartwig. A brief investigation has shown the potential utility of a Ni-catalyzed version of this reaction. The overall synthetic routes are short and amenable to scaleup, providing access to trichostatin A via trichostatic acid as a direct precursor.

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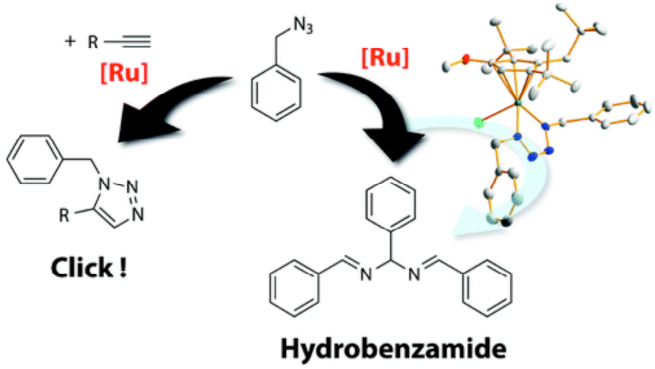
Citation: Risse, J.; Scopelliti, Severin, K. Organometallics, 2011, 30, 3412–3418

Beyond Click-Chemistry: Transformation of Azides with Cyclopentadienyl Ruthenium Complexes



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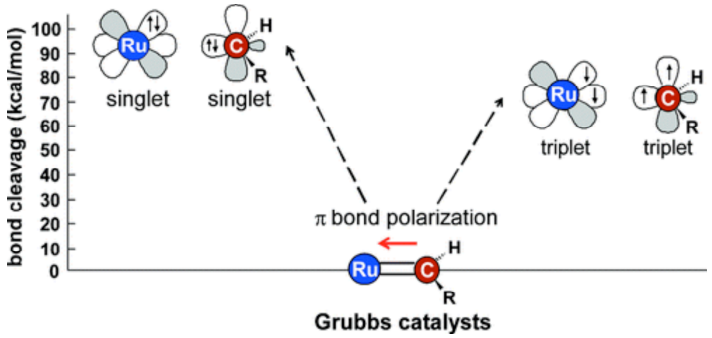
Prostratin

Citation: Giovanni Occhipinti* and Vidar R. Jensen *Organometallics*, 2011, 30, 3522–3529

Nature of the Transition Metal–Carbene Bond in Grubbs Olefin Metathesis Catalysts



Not a bad thing to know about.

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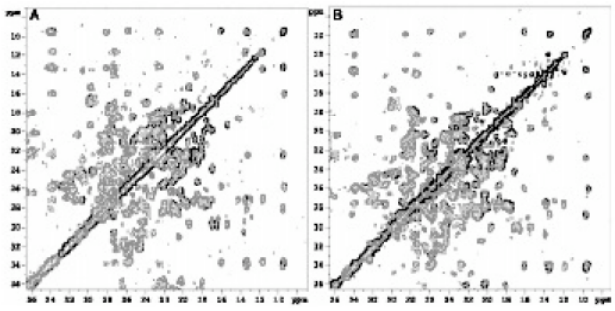
Citation: Bertini, I.; Luchinat, C.; Parigi, G.; Ravera, E.; Reif, B.; Turano, P. *Proc. Nat. Acad. Sci.* **2011**, *108* (26), 10396.

Solid-state NMR of proteins sedimented by ultracentrifugation

Through sedimentation, the authors were able to obtain high-quality solid-state-like NMR spectra of large proteins in solution. Transient sedimentation aids in overcoming protein size limitations associated with solution NMR without the need for sample crystallization usually required by solid-state NMR.



Comparison of ^{13}C-^{13}C spectra of solid (A) and transiently-sedimented (B) apoferritin

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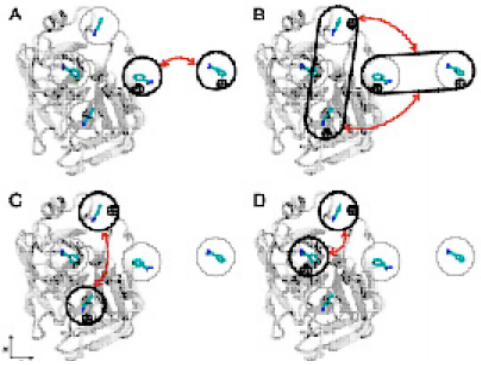
Citation: Buch, I.; Giorgino, T.; De Fabritiis, G. *Proc. Nat. Acad. Sci.* **2011**, *108* (25), 10184.

Complete reconstruction of an enzyme-inhibitor binding process by molecular dynamics simulations

Using molecular dynamics simulations of free ligand binding, the authors reconstructed the binding process of the trypsin-benzamide complex. They found that the inhibitor likely rolls on the surface of the protein first rather than directly entering the binding pocket



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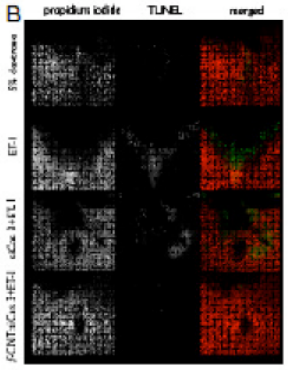
Citation: Al-Jamal, K. T.; Gherardini, L.; Bardi, G.; Nunes, A.; Guo, C.; Bussy, C.; Herrero, M. A.; Bianco, A.; Prato, M.; Kostarelos, K.; Pizzorusso, T. *Proc. Nat. Acad. Sci.* **2011**, *108* (27), 10952.

Functional motor recovery from brain ischemic insult by carbon nanotube-mediated siRNA silencing



Administration of a Caspase-3 siRNA (siCas 3) delivered by functionalized carbon nanotubes (f-CNT) reduced neurogeneration and promoted functional preservation before and after ischemic damage in mice.

f-CNT:siCas 3 group showed significantly lower numbers of apoptotic cells (in green)

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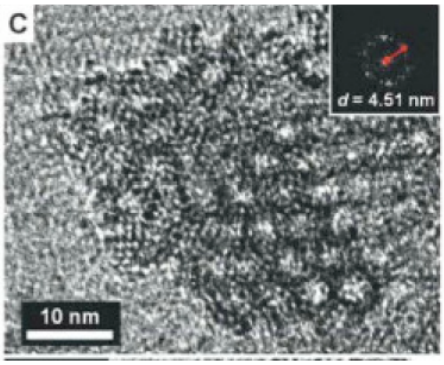
Citation: Na, K.; Jo, C.; *et al*. *Science* **2011**, *333*, 328.

Directing Zeolite Structures into Hierarchically Nanoporous Architectures



TEM image of the MS after removal of surfactant

Surfactants were used to direct the synthesis of crystalline mesoporous molecular sieves. These sieves are highly active catalysts for acid-catalyzed reactions of bulky molecular substrates.

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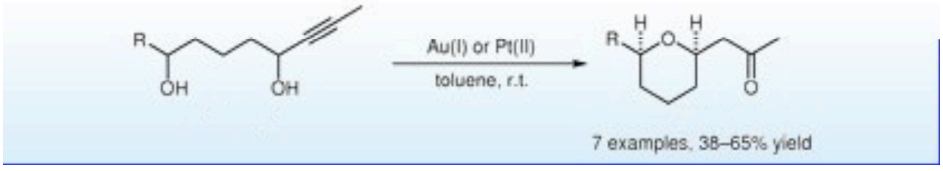
Citation: Wohland, M.; Maier, M. E., *Syn. Lett*. **2011**, 1523.

2,6-Disubstituted Tetrahydropyrans by Domino Meyer-Schuster Rearrangement-Hetero-Michael Addition of 6-alkynes-1,5-diols



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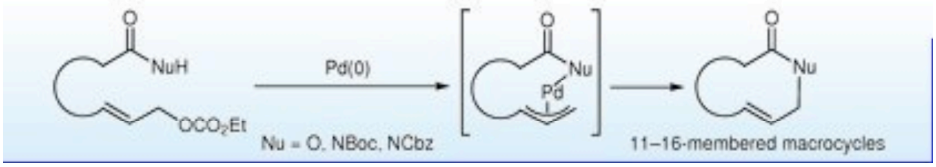
Citation: Sengoku, T.; Hamamatsu, T.; Inuzuka, T.; Takahashi, M.; Yoda, H., *Syn. Lett.* **2011**, 1766.

New Synthetic Methodology toward Macrolids/Macrolactams via Palladium-Catalyzed Carbon-Heteroatom Bond-Forming Reactions



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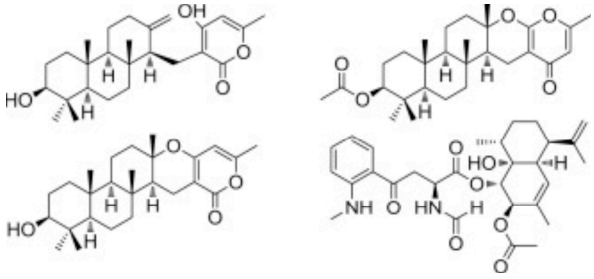
Citation: Tetrahedron Volume 67, Issue 30, 29 July 2011, Pages 5461-5468

Bioactive meroterpenoids and alkaloids from the fungus Eurotium chevalieri

Kwanjai Kanokmedhakul, Somdej Kanokmedhakul, Ruchiruttikorn Suwannatrai, Kasem Soytong, Samran Prabpai, and Palangpon Kongsaeree



Severl compounds display potent (mircogram/mL) and selective activity against malaria, TB and/or various cancer cell lines.

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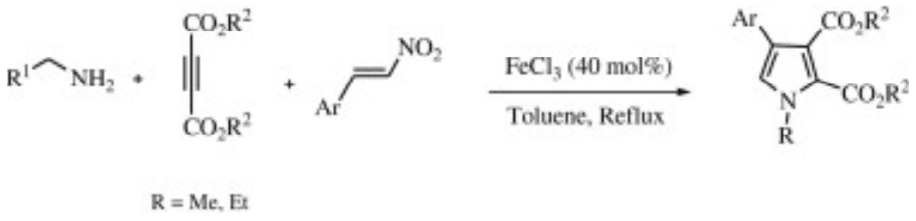
Drug Deliv.
Prostratin

Citation: Tetrahedron Volume 67, Issue 30, 29 July 2011, Pages 5415-5420

An efficient one-pot synthesis of tetra-substituted pyrroles

Elmira Ghabraie, Saeed Balalaie, Morteza Bararjanian, Hamid Reza Bijanzadeh and Frank Rominger



Yields range from 78-95%

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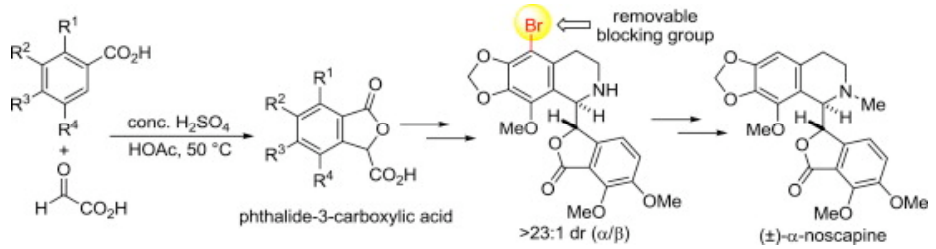
Citation: Tetrahedron Volume 67, Issue 29, 22 July 2011, Pages 5162-5167

Blocking group-directed diastereoselective total synthesis of (±)-a-noscapine

Jizhi Ni, Heping Xiao, Lipeng Weng, Xiaofeng Wei and Youjun Xu



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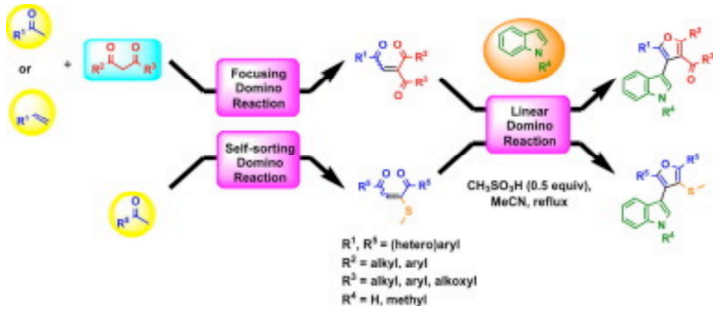
Prostratin

Citation: Tetrahedron Volume 67, Issue 29, 22 July 2011, Pages 5142-5149

A facile synthesis of indole–furan conjugates via integration of convergent and linear domino reactions

Yan Yang, Meng Gao, Liu-Ming Wu, Cong Deng, Dong-Xue Zhang, Yang Gao, Yan-Ping Zhu and An-Xin Wu



Yields up to 99%

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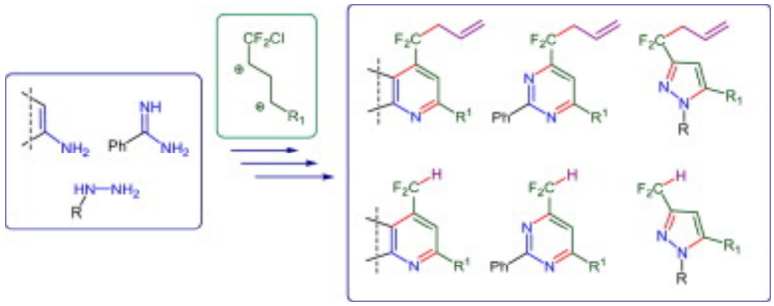
Citation: Tetrahedron Volume 67, Issue 31, 5 August 2011, Pages 5663-5677

A general strategy for the synthesis of difluoromethyl-containing pyrazoles, pyridines and pyrimidines

Viktor O. Iaroshenko, Verena Specowius, Katharina Vlach, Marcelo Vilches-Herrera, Dmytro Ostrovskyi, Satenik Mkrtchyan, Alexander Villingera and Peter Langer



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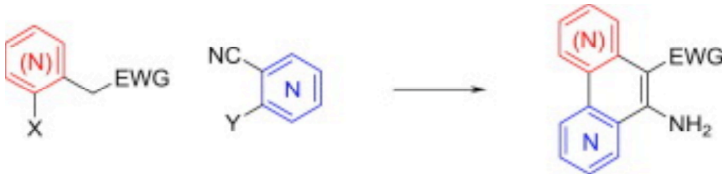
Citation: Tetrahedron Volume 67, Issue 32, 12 August 2011, Pages 5806-5810

One-pot synthesis of new aza- and diaza-aminophenanthrenes

Christophe Rochais, Rodrigue Yougnia, Thomas Cailly, Jana Sopková-de Oliveira Santos, Sylvain Rault and Patrick Dallemagne



"The synthesis of a series of benzo(iso)quinoline and phenanthroline derivatives has been achieved using an efficient one-pot procedure. It proceeds through a Suzuki–Miyaura cross-coupling followed by a Dieckmann–Thorpe ring closure under microwave irradiation and provides easy access to building blocks not readily available through other methods."

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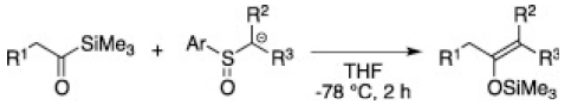
Prostratin

Citation: Mitsunori Honda, *Tetrahedron Lett.* **52** (2011) 3740.

Reaction of acylsilanes with α-sulfinyl carbanions: regioselective synthesis of silyl enol ethers



The reaction of acylsilanes with α-sulfinyl carbanions such as α-lithioalkyl sulfoxide is described. The reaction proceeds to give silyl enol ethers preferentially through the initial formation of the α-silyl alkoxide intermediates. In particular, the products derived from enolizable acylsilanes were the regio-defined silyl enol ethers that cannot be obtained by usual enolization of the corresponding unsymmetrical ketones with base.

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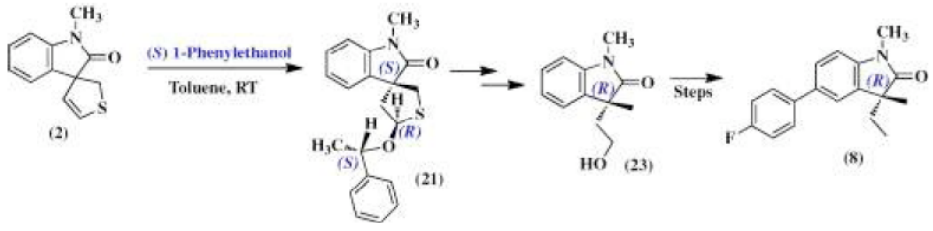
Citation: S. Alluri et al. *Tetrahedron Lett.* **52** (2011) 3945.

A convenient enantioselective synthesis of 3-asymmetrically substituted oxindoles as progesterone receptor antagonists



A convenient enantioselective synthesis of 3-asymmetrically substituted oxindoles is reported. The enantiomer (8) was similarly prepared from (2) using (R)-1-phenylethanol.

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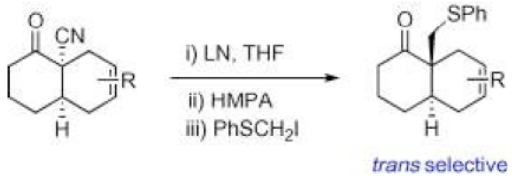
Citation: Feng Peng et al, *Tetrahedron Lett.* **52** (2011) 3957.

Further expansion of the trans-Diels–Alder paradigm: reductive alkylation of α-cyanoketones



Reductive alkylation of Diels–Alder-derived ring junction α-cyanoketones provides a route to trans-fused bicyclic systems.

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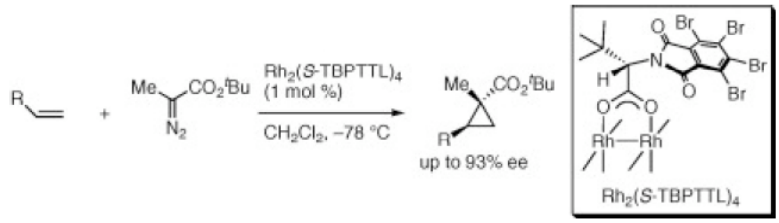
Citation: Takayuki Goto, *Tetrahedron Lett.* **52** (2011) 4200.

Enantio- and diastereoselective cyclopropanation with tert-butyl ?-diazopropionate catalyzed by dirhodium(II) tetrakis[N-tetrabromophthaloyl-(S)-tert-leucinate]



The first successful example of a catalytic asymmetric cyclopropanation with α-diazopropionates is described. The cyclopropanation reaction of 1-aryl-substituted and related conjugated alkenes with tert-butyl α-diazopropionate has been achieved by catalysis with Rh2(S-TBPTTL)4, provid-ing the corresponding cyclopropane products containing a quarternary stereogenic center in good to high yields and with high diastereo- and enantioselectivities (trans:cis = 90:10 to >99:1, 81–93% ee).

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