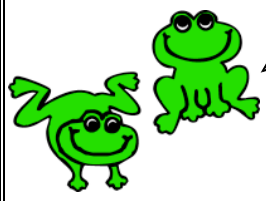

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Next Due Date: Thursday, 15 March 2012

Instructions for Authors (*Volume 37*)

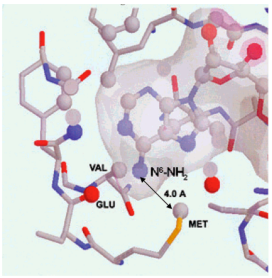
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent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

Create an Abstract

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

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

Please email the files to 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 (1c-32Pl-N6-phenyl-ATP). Because this analogue could be utilized by the mutant kinase but not by wild-type PKCR (or presumably other protein kinase) to phosphorylate peptide or protein substrates, 32P-labeled products were the direct result of the mutant PKCR. 	**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:

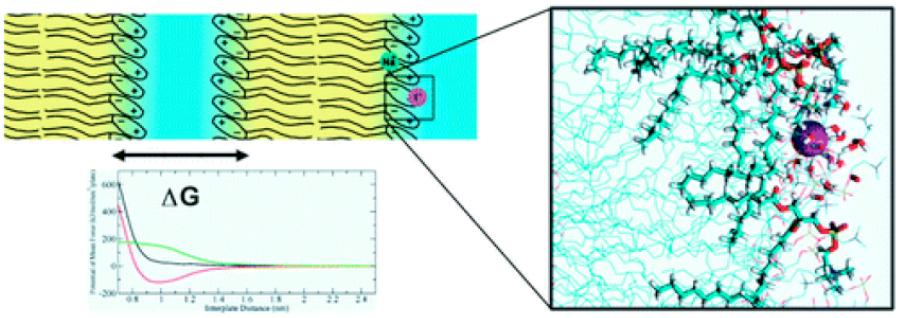
- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

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: Berkowtiz and Vacha. *Acc. Chem. Res.* **2012**, *45*(1), 74-82.

Aquesous Solutions at the Interface with Phospholipid Bilayers



http://pubs.acs.org/doi/abs/10.1021/ar200079x

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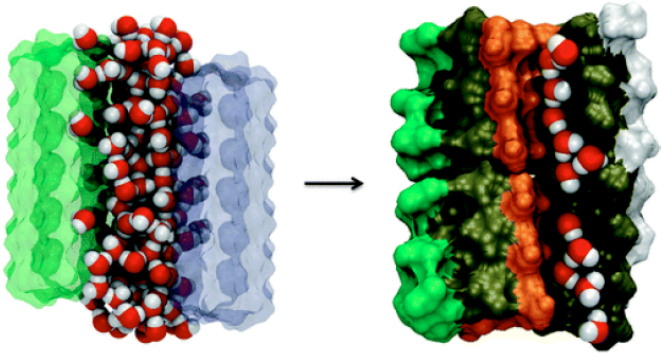
Citation: Thirumalai, Reddy and Straub. *Acc. Chem. Res.* **2012**, *45*(1), 83-92.

Role of Water in Protein Aggregation and Amyloid Polymorphism



http://pubs.acs.org/doi/abs/10.1021/ar2000869

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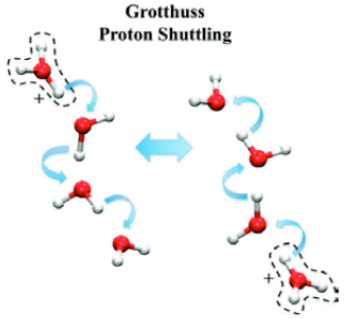
Citation: Knight and Voth. *Acc. Chem. Res.* **2012**, *45*(1), 101-109.

The Curious Case of the Hydrated Proton



http://pubs.acs.org/doi/abs/10.1021/ar200140h

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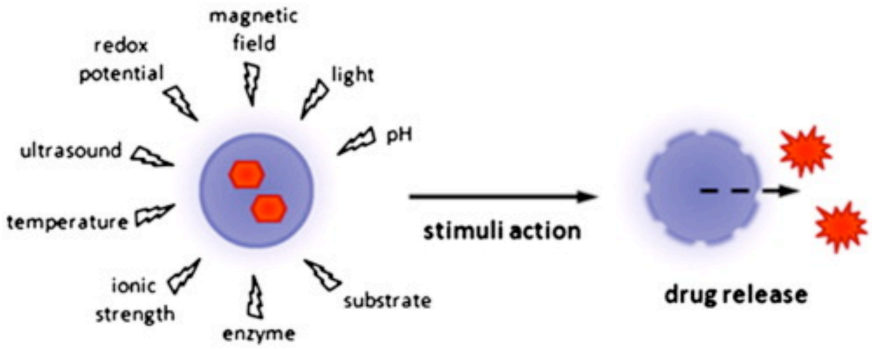
Citation: Fleige, E.; Quadir, M.A.; Haag, R. *Adv. Drug Deliv. Rev.* **2012,** ASAP (Feb 11th)

Stimuli-Responsive Polymeric Nanocarriers for the Controlled Transport of Active Compounds: Concepts and Applications



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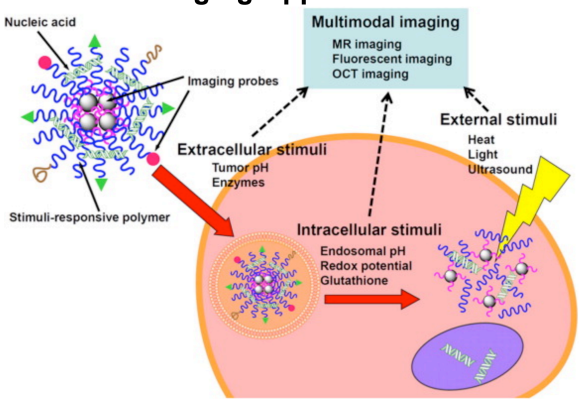
Citation: Shim, M.S.; Kwon, Y.J. *Adv. Drug Deliv. Rev.* **2012**, ASAP (Feb 4th)

Stimuli-Responsive Polymers and Nanomaterials for Gene Delivery and Imaging Applications



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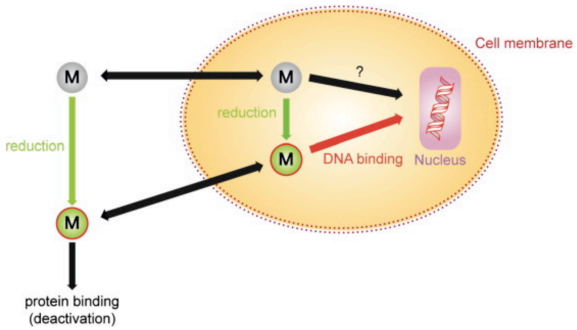
Citation: Graf, N.; Lippard, S.J. *Adv. Drug Deliv. Rev.* **2012**, ASAP (Jan 24th)

Redox Activation of Metal-Based Prodrugs as a Strategy for Drug Delivery



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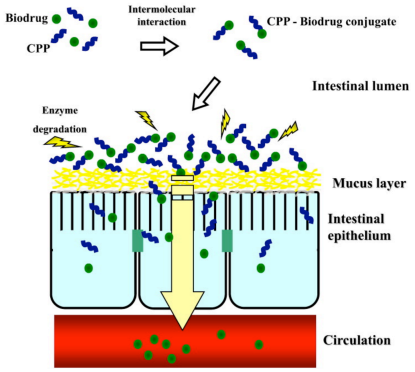
Citation: Khafagy, E.-S.; Morishita, M. *Adv. Drug Deliv. Rev.* **2012**, ASAP (Jan 4th)

Oral Biodrug Delivery Using Cell-Penetrating Peptide



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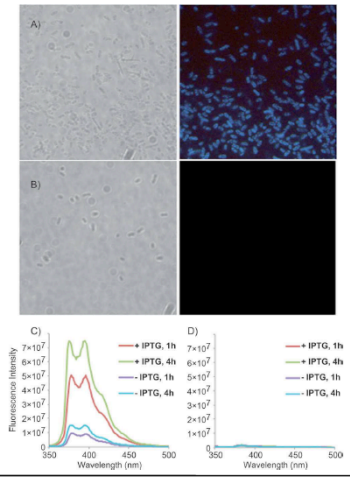
Citation: *Angew. Chem. Int. Ed.* **2012**, 51, 1689 –1692

Direct Fluorescence Monitoring of DNA Base Excision Repair

Very small modified DNA oligomers containing the nonnatural fluorescent base
pyrene can act as highly efficient reporters of UDG activity both in vitro with purified enzymes and in the context of bacterial cells as well. The favorable fluorescence properties of pyrene make it possible for the small chemosensors to be imaged by microscopy, thus allowing for direct observation of a cellular repair activity.



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Citation: *Angew. Chem. Int. Ed.* **2012**, 51, 642 –646

Conformational Selection versus Induced Fit in Kinases: The Case of PI3K-g

In summary, an analysis of very long trajectories of the apo form and ligand-bound form of PI3K-g and free energy calculations show that the mechanism by which a ligand binds to this pharmaceutically important target can be best described as a combination of a long-range conformational selection that is complemented by a more localized ligandinduced conformational shift. Thus, modeling protein plasticity over different lengths and time scales during the ligand binding process is critical to understanding the mechanism of the process, and to rationalize the design of bioactive compounds.

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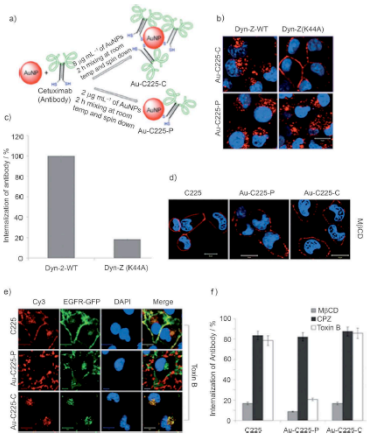
Citation: *Angew. Chem. Int. Ed.* **2012**, 51, 1563 –1567



On the right path: The mechanisms of endocytosis of cetuximab (C225) and its nanoconjugates have been elucidated in a pancreatic cancer cell line. By using gold nanoparticles as a scaffold, it is possible to switch the pathway for endocytosis from a Dyn-2-dependent caveolar mechanism to Cdc42-dependent pinocytosis/phagocytosis. Tailoring endocytotic mechanisms may enable specific intracellular pathways to be targeted.

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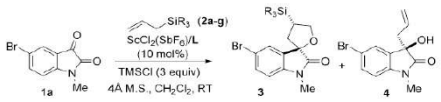
Citation: *Angew. Chem. Int. Ed.* **2012**, 51, 989 –992

Catalytic Asymmetric [3+2] Annulation of Allylsilanes with Isatins: Synthesis of Spirooxindoles



Entry	SiR_3	t [h]	3/4	Yield of 3 [%][b]	ee of 3 [%][c]
1	$Si(iPr)_3$	24	82:18	71	99
2	$Si(iPr)_2(4\text{-}MeOC_6H_4)$	72	52:48	39	99
3	$SiMe_2(CHPh_2)$	72	66:34	51[d]	99
4	$SiMe_2(2\text{-}MeOC_6H_4)$	48	38:62	35	99
5	$SiMe_2(2,6\text{-}(MeO)_2C_6H_3)$	2	30:70	20[e]	99
6	$SiMe_2(1\text{-}Np)$	48	17:83	10[f]	99
7	$SiPh_3$	192	–	–	–

The first example of an asymmetric catalytic [3+2] annulation reaction of allylsilanes and an efficient enantioselective synthesis for 3'-silyl- and 3'-hydroxy-spirooxindoles. This reaction utilizes a chiral cationic $ScCl_2(SbF_6)$/L complex with TMSCl as an essential promoter, thus allowing the reaction to proceed with high enantioselectivity at room temperature.

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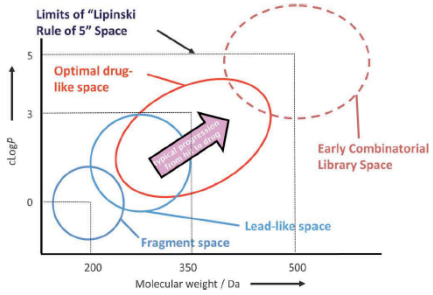
Citation: *Angew. Chem. Int. Ed.* **2012**, 51, 1114 – 1122

Lead-Oriented Synthesis: A New Opportunity for Synthetic Chemistry



Lead-likeness guide	Preferred Values
Lipophilicity guide	$-1 \leq cLogP \leq 3$
Molecular size guide	14 ≤ heavy atoms ≤ 26 (mw=200-350 Da)
Undesired sub-structure filters	• Remove molecules containing chemically reactive, electrophilic or redox active groups. • Favor molecules with lower degree of aromatic character and/or more 3D shape.

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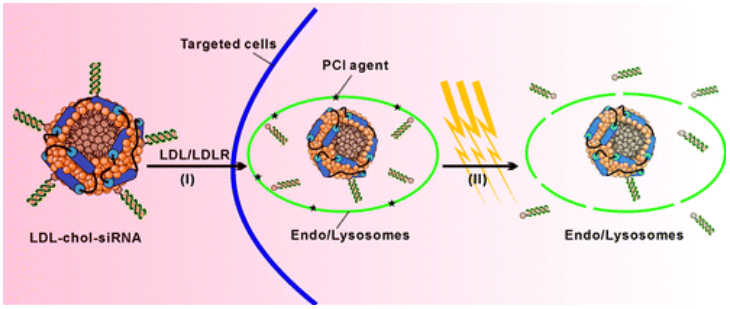
Citation: Jin, H. et al. *Bioconjugate Chemistry* **2012** 23 (1), 33-41.

Mechanistic Insights into LDL Nanoparticle-Mediated siRNA Delivery



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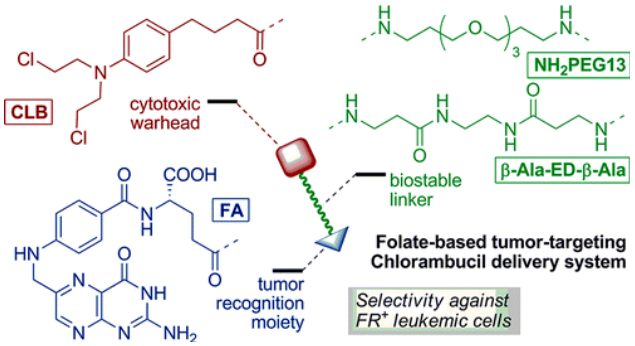
Citation: Guaragna, A. et al. *Bioconjugate Chemistry* **2012** 23 (1), 84-96.

Synthesis and Evaluation of Folate-Based Chlorambucil Delivery Systems for Tumor-Targeted Chemotherapy



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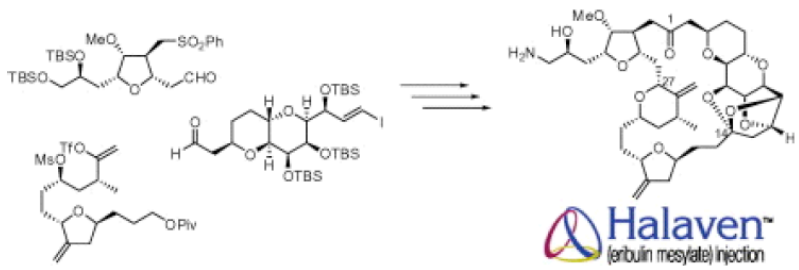
Citation: Liu, K. K.-C. *et al. Bioorg. Med. Chem.* **2011**, *20* (3), 1155.

Synthetic approaches to the 2010 new drugs



This review covers the synthesis of 15 new chemical entities (NCEs) that were launched anywhere in the world in 2010. They can provide insights into molecular recognition and serve as leads for designing future drugs.

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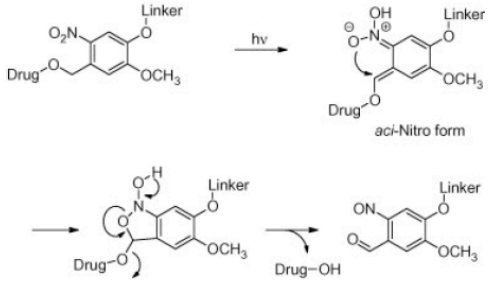
Citation: Choi, S. K. *et al*. *Bioorg. Med. Chem.* **2011**, *20* (3), 1281.

A photochemical approach for controlled drug release in targeted drug delivery



The authors used a photocleavable *o*-nitrobenzyl linker to facilitate the light-mediated release of methotrexate, an anti-cancer drug, from a poly(amidoamine) dendrimer carrier.

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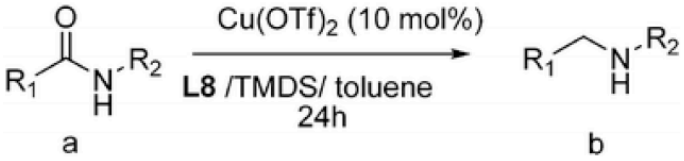
Citation: Das, S.; Join, B.; Junge, K.; Beller, M. *Chem. Commun.* **2012**, *48* (21), 2683.

A general and selective copper-catalyzed reduction of secondary amides



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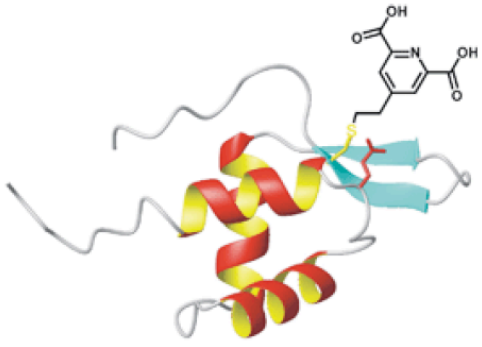
Citation: Li, Q.-F. *et al*. *Chem. Commun.* **2012**, *48* (21), 2704.

Thiol-ene reaction: a versatile tool in site-specific labelling of proteins with chemically inert tags for paramagnetic NMR



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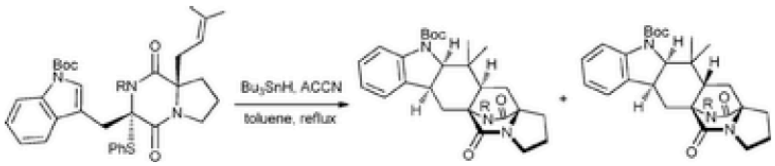
Citation: Simpkins, N.; Pavlakos, I.; Male, L. *Chem. Commun.* **2012**, *48* (14), 1958.

Rapid access to polycyclic indolines related to the stephacidin alkaloids using a radical cascade



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Erickson, B. *Chemical & Engineering News.* **2012**, *90* (4), 7.

U.S. Competitive Edge Narrows

The U.S. remains the world leader in terms of high-tech manufacturing and R&D investments. Its lead is shrinking, however, according to the latest edition of "Science & Engineering Indicators" (SEI), released last week by the National Science Board (NSB), the policy-making arm of the National Science Foundation.

The data-heavy biennial report shows that, over the past decade, the U.S. lost more than a quarter of its high-tech manufacturing jobs. At the same time, U.S. companies rapidly boosted their investments in R&D overseas. China, the NSB study shows, is now the world leader in exports of high-tech products.

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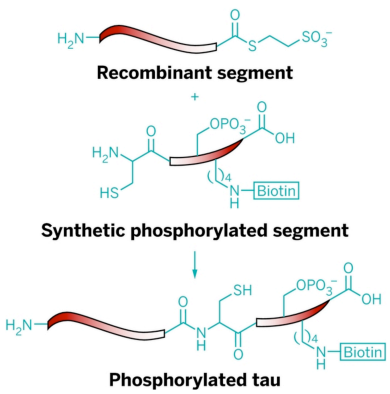
Wolf, L. *Chemical & Engineering News*. **2012**, *90* (4), 6.



Tau Protein, Synthetically

Researchers in Germany have developed a method for producing a synthetic version of tau protein labeled with phosphate at a specific site. Being able to make a full version of tau—one of the main actors in Alzheimer's disease—and to chemically modify it at a specific amino acid site should help scientists uncover more about the mechanism by which tau's malfunction leads to disease.

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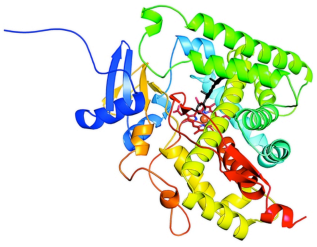
Borman, S. *Chemical & Engineering News*. **2012**, *90* (5), 8.

Prostate Cancer Target Analyzed



To understand better how two new anticancer agents work, researchers have obtained the first X-ray structures of a key cytochrome P450 enzyme to which they bind. Understanding how the drugs inhibit the enzyme could aid the design of more effective medications for prostate and breast cancer with fewer side effects.

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Jarvis, L. M. *Chemical & Engineering News*. **2012**, *90* (6), 7.

More Cuts At AstraZeneca

Acknowledging significant challenges to its drug portfolio, AstraZeneca says it will shed 7,300 jobs, over 10% of its workforce, including some 2,200 R&D positions. The layoffs are expected to generate $1.6 billion in savings by 2014.

The British-Swedish drug firm is cutting to the core to try to offset the impact of generics competition for several key products. The psychosis drug Seroquel IR, which had $4.3 billion in sales in 2011, is slated to lose patent protection in the U.S. in March. The blood pressure pill Atacand is expected to face generics competition in several markets, and the cholesterol medicine Crestor will lose patent protection in Canada.

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Schulz, W. *Chemical & Engineering News*. **2012**, *90* (6), 6.

Censoring Research Results

The methods and results should be redacted from two research papers describing controversial experiments on the H5N1 avian flu virus, concludes a federal biosecurity advisory board (Science, DOI: 10.1126/science.1217994)...

The two papers describe pathbreaking work on H5N1 by two independent groups, one in Wisconsin and the other in the Netherlands, and they have been accepted for publication in Science and Nature. Both journals, however, agreed to withhold publication because of widespread biosecurity concerns over the experiments to direct mutations of the H5N1 virus. These experiments resulted in airborne transmission between mammals and, in one case, a more virulent strain.

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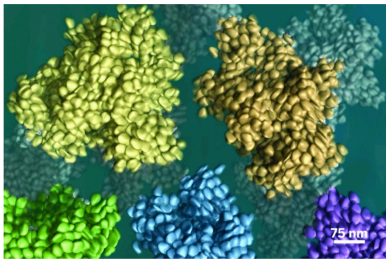
Bourzac, K. *Chemical & Engineering News*. **2012**, *90* (6), 9.

Easy-To-Use Protein Drugs



To improve delivery of protein drugs, researchers have developed a way to make highly concentrated, injectable suspensions of proteins (ACS Nano, DOI: 10.1021/nn204166z....

First they adjusted the pH of the proteins' solution so that the molecules had almost no net surface charge. Then they added trehalose, a nontoxic sugar, in sufficient quantities to push the proteins together. With no surface charge, the proteins don't repel one another, and they form nanoclusters measuring a few hundred nanometers across.

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Erickson, B. *Chemical & Engineering News*. **2012**, *90* (7), 11.

Obama Hosts Science Fair

The White House held its second-ever science fair on Feb. 7, honoring more than 100 students from 45 states. President Barack Obama used the event to promote steps the Administration and the private sector are taking to boost investments in science, technology, engineering, and math (STEM) education.

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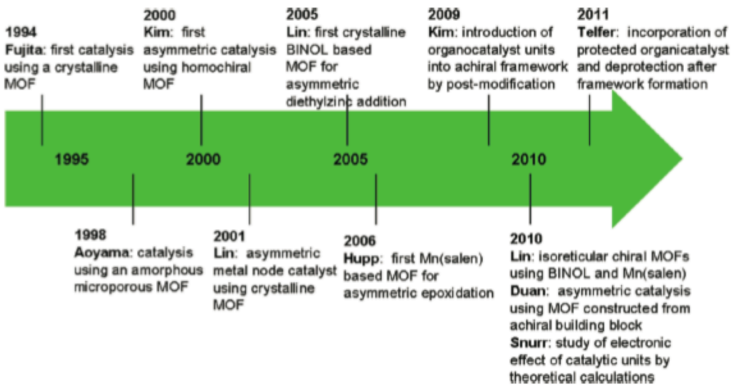
Prostratin

Citation: Yoon, M.; Srirambalaji, R.; Kim, K., *Chem. Rev.* **2012**, 112, 1196-1231.

Homochiral Metal-Organic Frameworks for Asymmetric Heterogeneous Catalysis



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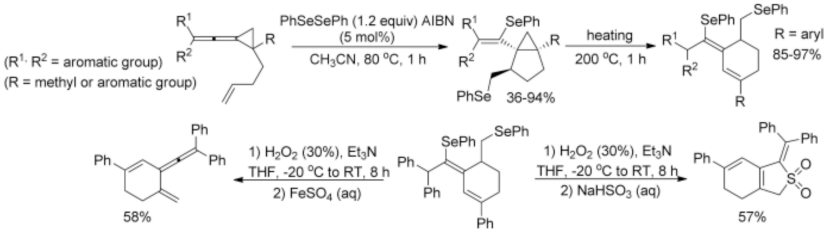
Prostratin

Citation: Chemistry - A European Journal Volume 18, Issue 5, pages 1280–1285

Reactions of Vinylidenecyclopropanes with Diphenyl Diselenide in the Presence of AIBN and Thermally-Induced Further Transformations

Wei Yuan, Dr. Yin Wei, Prof. Min Shi, Prof. Yuxue Li



"The chemical transformation of vinylidenecyclopropanes with diphenyl diselenide in the presence of AIBN and upon heating gives the corresponding bicyclo[3.1.0]hexane derivatives in good yields. These compounds undergo thermal-induced radical 1,4-hydrogen shifts through a ring-opening pathway of allylic cyclopropane to give the corresponding cyclohexene derivatives stereoselectively in good yields at 200 °C (see scheme)."

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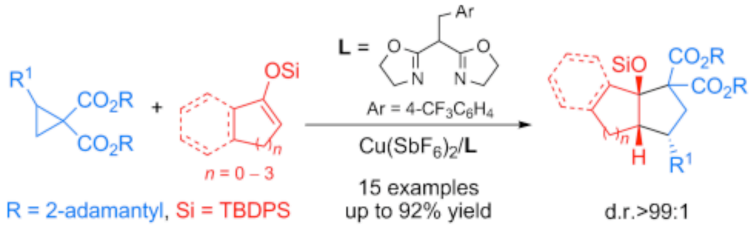
Citation: Chemistry - A European Journal Volume 18, Issue 8, pages 2196–2201

Highly Diastereoselective Construction of Fused Carbocycles from Cyclopropane-1,1-dicarboxylates and Cyclic Enol Silyl Ethers: Scope, Mechanism, and Origin of Diastereoselectivity

Dr. Jian-Ping Qu, Dr. Yong Liang, Hao Xu, Dr. Xiu-Li Sun, Prof., Dr. Zhi-Xiang Yu, Prof., Dr. Yong Tang



"By selecting the appropriate substituents on the ester and silyl groups, fused cyclopentane derivatives with multiple contiguous stereocenters can be synthesized with excellent diastereoselectivity through CuII/bisoxazoline-catalyzed intermolecular [3+2] cycloaddition reactions of cyclopropane-1,1-dicarboxylates and cyclic enol silyl ethers (see scheme)."

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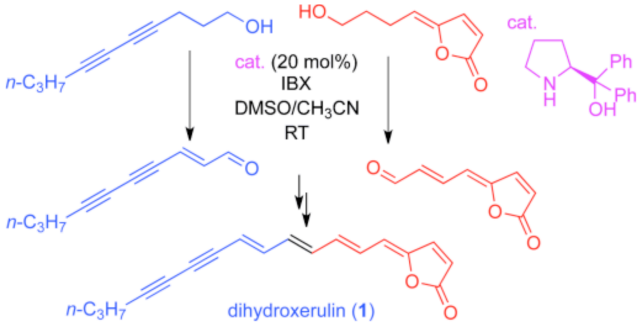
Citation: Chemistry - A European Journal Volume 18, Issue 8, pages 2230–2234

Total Synthesis of Polyene Natural Product Dihydroxerulin by Mild Organocatalyzed Dehydrogenation of Alcohols

Dr. Hexin Xie, Dr. Shilei Zhang, Prof., Dr. Hao Li, Xinshuai Zhang, Sihan Zhao,Zian Xu, Xixi Song, Prof., Dr. Xinhong Yu, Prof., Dr. Wei Wang

An efficient approach to the total synthesis of polyene natural product dihydroxrulin (1) is described. A novel, mild, direct organocatalytic IBX-mediated dehydrogenation process of simple alcohols to enals has been developed, which serves as a key step in the synthesis (see scheme).



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Drug Deliv.
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Citation: Ting, A.; et. al. *JACS*. **2012**, *134 (2)*, 792–795.

Diels–Alder Cycloaddition for Fluorophore Targeting to Specific Proteins inside Living Cells

Escherichia coli lipoic acid ligase site-specifically ligates a trans-cyclooctene derivative onto a protein of interest in the first step, followed by chemoselective derivatization with a tetrazine–fluorophore conjugate in the second step. On the cell surface, this labeling was fluorogenic and highly sensitive. Inside the cell, we achieved specific labeling of cytoskeletal proteins with green and red fluorophores.

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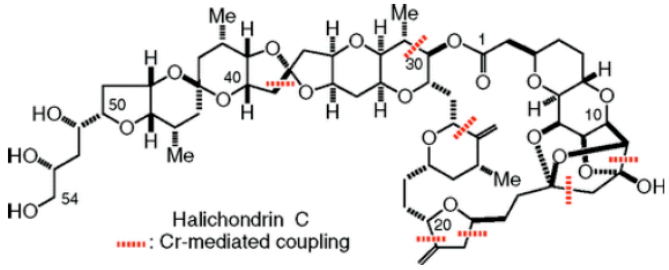
Hybrid
Drug Deliv.
Prostratin

Citation: Kishi, Y.; et. al. *JACS*. **2012**, *134 (2)*, 893–896.

Total Synthesis of Halichondrin C

The first total synthesis of halichondrin C has been completed, highlighted by development of the synthetic method to construct the C8–C14 polycycle. Cr-mediated coupling reactions are used seven times to form a new C–C bond. The acid stability of halichondrin C is studied, demonstrating that the macrolactone stabilizes the C8–C14 polycycle, relative to the one present in the C1–C16 model.



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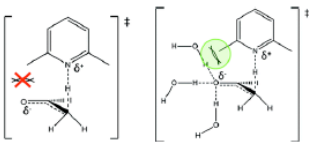
Drug Deliv.
Prostratin

Citation: Kluger, R.; et al. *JACS*. **2012**, *134 (2)*, 1066–1070.

Origins of Steric Effects in General-Base-Catalyzed Enolization: Solvation and Electrostatic Attraction

Brønsted plots for general-base-catalyzed enolization of aldehydes and ketones show significant negative deviations for the rates of proton removal by sterically hindered amine bases. The origins of the deviations are not apparent from considerations of interactions at the site of the proton transfer. Contrasting behavior is observed in general-base-catalyzed proton removal from an iminium derivative, N1?-methyl-2-(1-hydroxybenzyl)thiamin (NMHBnT), which shows no deviations from the Brønsted correlation for sterically hindered amine bases. The difference in behavior for these two systems suggests that the steric effects arise from disruption of solvation of the enolate enforced by the electrostatic requirements of the overall process. This interpretation also can account for reduced steric effects for enolization in the presence of metal ions.



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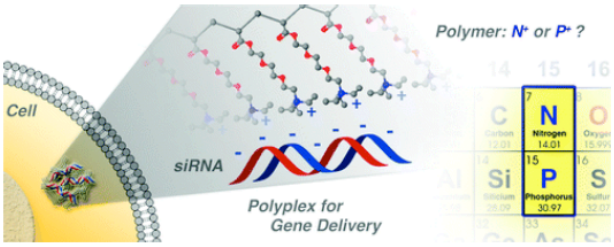
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Frechet, J.; et al. *JACS*. **2012**, *134 (4)*, 1902–1905.

Polyphosphonium Polymers for siRNA Delivery: An Efficient and Nontoxic Alternative to Polyammonium Carriers

A water-soluble polyphosphonium polymer was synthesized and directly compared with its ammonium analog in terms of siRNA delivery. The nature of the alkyl substituents on the phosphonium cations is shown to have an important influence on the transfection efficiency and toxicity of the polyplexes.



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Laulimalide
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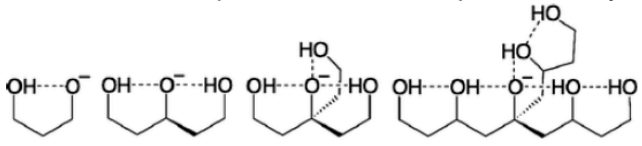
Citation: Kass, S.; et. al. *JACS*. **2012**, *134 (4)*, 2094–2099.

Hydrogen Bonded Arrays: The Power of Multiple Hydrogen Bonds

Hydrogen bond interactions in small covalent model compounds were measured by negative ion photoelectron spectroscopy. The experimentally determined vertical and adiabatic electron detachment energies for (HOCH2CH2)2CHO–, (HOCH2CH2)3CO–, and (HOCH2CH2CH(OH)CH2)3CO– reveal that hydrogen-bonded networks can provide enormous stabilizations and that a single charge center not only can be stabilized by up to three hydrogen bonds but also can increase the interaction energy between noncharged OH groups by 5.8 kcal mol–1 or more per hydrogen bond. This can lead to pKa values that are very different from those in water and can provide some of the impetus for catalytic processes.



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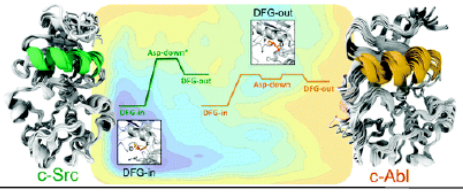
Citation: Dolker, N.; et. al. *JACS*. **2012**, *134 (5)*, 2496–2499.

The Different Flexibility of c-Src and c-Abl Kinases Regulates the Accessibility of a Druggable Inactive Conformation

c-Src and c-Abl are two closely related protein kinases that constitute important anticancer targets. They show different sensitivities to the anticancer drug imatinib, which binds specifically to a particular inactive conformation in which the Asp of the conserved DFG motif points outward (DFG-out). We have analyzed the DFG conformational transition of the two kinases. On the basis of the reconstruction of the free energy surfaces for the DFG-in to DFG-out conformational changes of c-Src and c-Abl, we propose that the different flexibility of the two kinases results in a different stability of the DFG-out conformation and might be the main determinant of imatinib selectivity.



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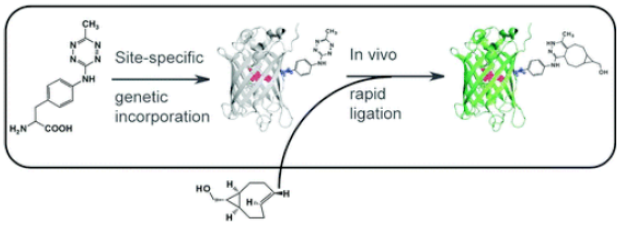
Citation: Mehl, R.; et. al. *JACS*. **2012**, *134 (6)*, 2898–2901.

Genetically Encoded Tetrazine Amino Acid Directs Rapid Site-Specific in Vivo Bioorthogonal Ligation with trans-Cyclooctenes

We have developed a tetrazine-containing amino acid, 1, that is stable inside living cells. We have site-specifically genetically encoded this unique amino acid in response to an amber codon allowing a single 1 to be placed at any location in a protein. We have demonstrated that protein containing 1 can be ligated to a conformationally strained trans-cyclooctene in vitro and in vivo with reaction rates significantly faster than most commonly used labeling methods.



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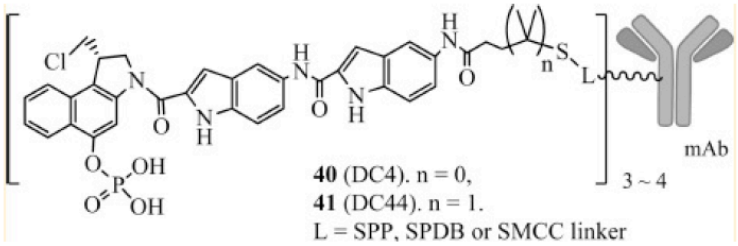
Citation: Zhao, R. Y.; Erickson, H. K. et al. *J. Med. Chem.* **2012**, 55, 766-782

Synthesis and Biological Evaluation of Antibody Conjugates of Phosphate Prodrugs of Cytotoxic DNA Alkylators for the Targeted Treatment of Cancer



40 (DC4). n = 0,
41 (DC44). n = 1.
L = SPP, SPDB or SMCC linker

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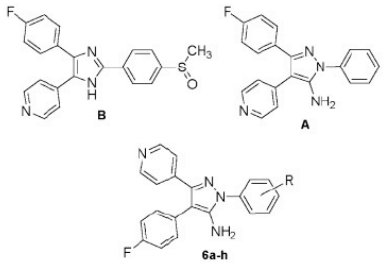
Citation: Thaher, C. A.; Arnsmann, M. et al. *J. Med. Chem.* **2012**, 55, 961-965

Tri- and Tetrasubstituted Pyrazole Derivates: Regioisomerism Switches Activity from p38MAP Kinsae to Important Cancer Kinases



Structurally novel leads in cancer research were discovered when a regioisomeric switch was made to pyrazole derivatives.

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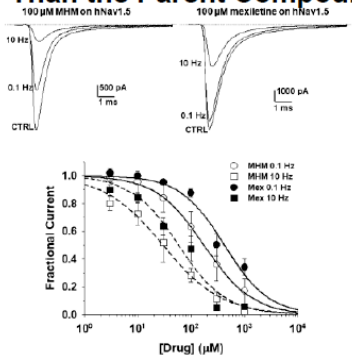
Citation: Catalano, A.; Desaphy, J-F. et al. *J. Med. Chem.* **2012**, 55, 1418-1422

Synthesis and Toxicopharmacological Evaluation of m-Hydroxymexiletine, the First Metabolite of Mexiletine More Potent Than the Parent Compound on Voltage-Gated Sodium Channels



The first synthesis of m-hydroxymexiletine. It possesses twice the blocking activity of mexiletine on cardiac sodium channels, showing no cytotoxicity.

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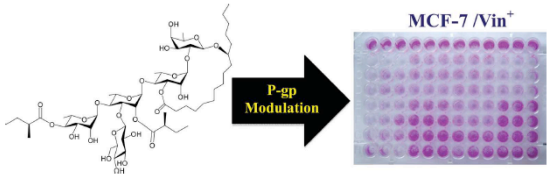
Citation: *J. Nat. Prod.* **2012**, 75, 93-97

Reversal of Multidrug Resistance by Morning Glory Resin Glycosides in Human Breast Cancer Cells



Incubation of MCF-7/Vin cells with resin glycosides caused an increase in uptake and notably lowered the efflux rate of rhodamine 123. Decreased expression of P-glycoprotein by compound 1 was detected by immunofluorescence flow cytometry after incubation with an anti-P-gp monoclonal antibody. These results suggest that resin glycosides represent potential efflux pump inhibitors for overcoming MDR in cancer therapy.

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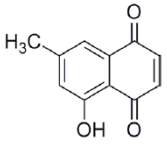
Citation: *J. Nat. Prod.* **2012**, 75, 9-14

Induction of Apoptosis in HL-60 Cells through the ROS-Mediated Mitochondrial Pathway by Ramentaceone from Drosera aliciae

The results suggest that ramentaceone induces apoptosis in HL-60 cells through ROS signaling by targeting the mitochondrial pathway. Due to the involvement of ROS generation in the mechanism of 1-induced cell death, future studies will help elucidate whether the observed effects are cell line-dependent and whether other cellular targets are involved in apoptosis induced by ramentaceone.



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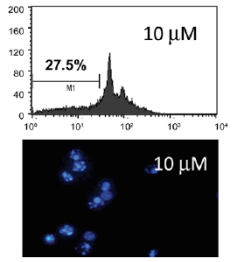

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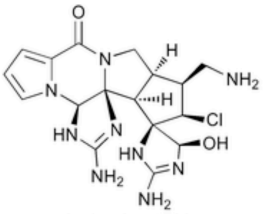
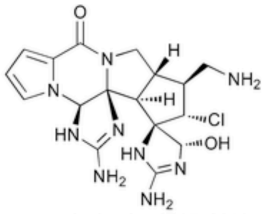
Citation: Blunt, J. W.; Copp, B. R.; Keyzers, R. A.; Munro, M. H. G.; Prinsep, M. R. *Nat. Prod. Rep.* **2012**, *29*, 144-222.

Marine natural products

This 2010 review of marine natural products describes 1003 new compounds and reports structural revisions and assignments of absolute configurations for previously described compounds. Included is the final resolution of the palau'amine saga with assignment of absolute configuration and total synthesis.



palau'amine 1993



palau'amine 2007, 2010

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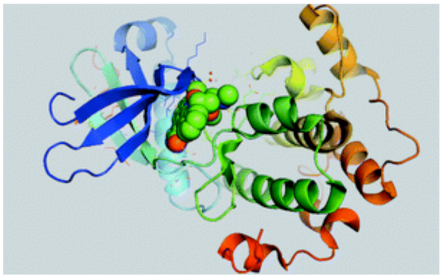
Citation: Liu, J.; Hu, Y.; Waller, D. L.; Wang, J.; Liu, Q. *Nat. Prod. Rep.* **2012**, *29*, 392-403.

Natural products as kinase inhibitors

This review discusses the history of several classes of natural products as kinase inhibitors, analyzes their structural binding information and highlights their therapeutic development potential.



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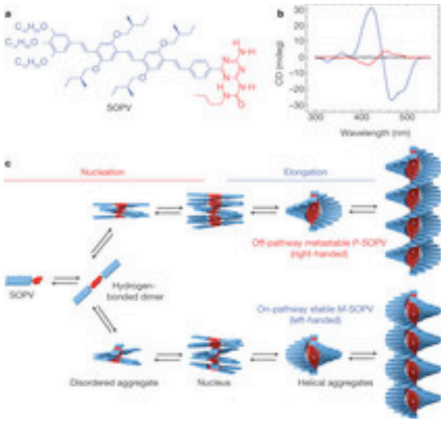
Citation: Korevaar, P.A. *et al. Nature* **2012**, *481*, 492-496.

Pathway Complexity in Supramolecular Polymerizaion



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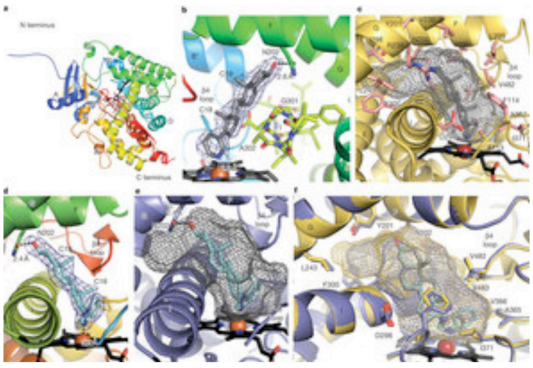
Prostratin

Citation: DeVore, N.M.; Scott, E.E. *Nature* **2012**, *482*, 116-119.

Structures of Cytochrome P450 17A1 with Prostate Cancer Drugs Abiraterone and TOK-001



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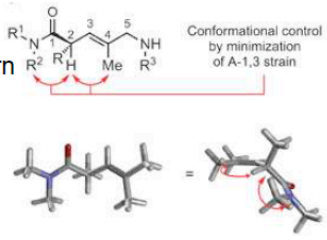
Drug Deliv.
Prostratin

Citation: Aquino, C.; Sarkar, M.; Chalmers, M.J.; Mendes, K.; Kodadek, T.; Micalizio, G.G. *Nature Chem.*, **2012**, *4*, 99-104.

A biomimetic polyketide-inspired approach to small-molecule ligand discovery

Much effort has been focused on 'natural product-like' libraries, yet the synthesis and screening of such libraries is often limited by one or more of the following: modest library sizes and structural diversity, conformational heterogeneity and the costs associated with the substantial infrastructure of modern high-throughput screening centres. Here, we describe the design and execution of an approach to this broad problem by merging principles associated with biologically inspired oligomerization and the structure of polyketide-derived natural products.



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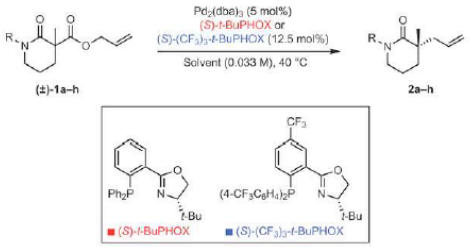
Prostratin

Citation: Behenna, D.C.; Liu, Y.; Yurino, T.; Kim, J.; White, D.E.; Virgil, S.C.; Stolts, B.M. *Nature Chem.*, **2012**, *4*, 130-133.

Enantioselective construction of quaternary N-heterocycles by palladium-catalysed decarboxylative allylic alkylation of lactams

In this Article, we describe the highly enantioselective palladium-catalysed decarboxylative allylic alkylation of readily available lactams to form 3,3-disubstituted pyrrolidinones, piperidinones, caprolactams and structurally related lactams.



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Hybrid
Drug Deliv.
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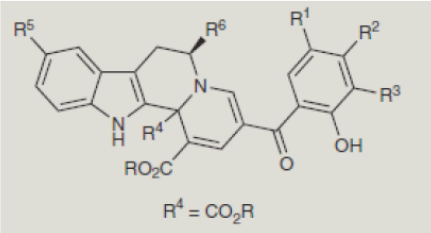
Citation: Duckert, H.; Pries, V. et al. *Nature Chemical Biology* **2012**, 8, 179-184

Natural Product-Inspired Cascade Synthesis Yields Modulators of Centrosome Integrity



A long and efficient reaction cascade was developed to access struturally complex natural product-inspired compounds. Twelve steps, one pot, 10-30 min.

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Citation: http://www.nytimes.com/2012/02/14/science/researchers-boycott-elsevier-journal-publisher.html?_r=1&ref=science

Mathematicians Organize Boycott of a Publisher

More than 5,700 researchers have joined a boycott of Elsevier, a leading publisher of science journals, in a growing furor over open access to the fruits of scientific research.The protest grew out of a provocative blog post by the mathematician Timothy Gowers of Cambridge University, who announced on Jan. 21 that he would no longer publish papers in any of Elsevier's journals or serve as a referee or editor for them.

Last week 34 mathematicians issued a statement denouncing "a system in which commercial publishers make profits based on the free labor of mathematicians and subscription fees from their institutions' libraries, for a service that has become largely unnecessary."

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Citation: http://www.nytimes.com/2012/02/14/health/research/b-vitamins-and-omega-3-fatty-acids-dont-help-prevent-cancer.html?ref=science

Regimens: No Cancer Benefits Seen in Supplements

A new study testing B vitamins and omega-3 fatty acids for cancer prevention has found no beneficial effect and — at least for women — some possibility of harm

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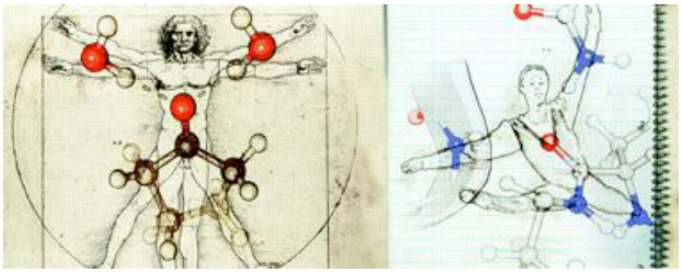
Citation: Simon, L., Goodman, J. Org. Biomol. Chem. 10, 1905-1913.

Hydrogen-bond stabilization in oxyanion holes: grand jeté to three dimensions

Vitruvian H-bonds. Done.



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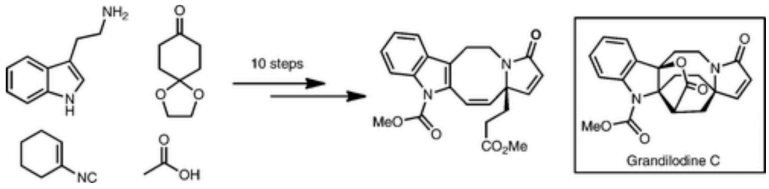
Schultz, E. E.; Pujanauski, B. G.; Sarpong, R. *Org. Lett.* **2012**, *14*, 648-651.

Synthetic Studies toward Lapidilectine-Type *Kopsia* Alkaloids



A rapid synthesis of the tetracyclic core of Kopsia indole alkaloids related to lapidilectine B, grandilodine C, and tenuisine A is reported. Key to the success of this route was an efficient and scalable Ugi four-component coupling to install all the necessary carbons found in the natural products.

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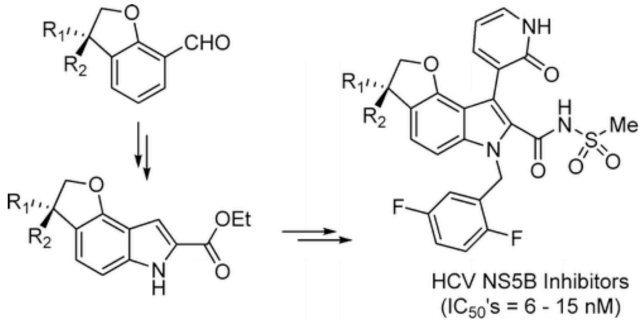
Velázquez, F.; Venkatraman, S.; Lesburg, C. A.; Duca, J.; Rosenblum, S. B.; Kozlowski, J. A.; Njoroge, F. G. *Org. Lett.* **2012**, *14*, 556-559.

Synthesis of New 4,5-Dihydrofuranoindoles and Their Evaluation as HCV NS5B Polymerase Inhibitors



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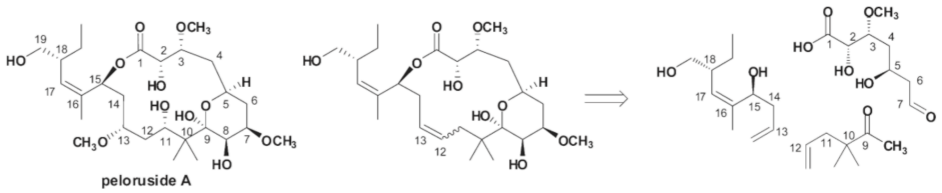
Zhao, Z.; Taylor, R. E. *Org. Lett.* **2012**, *14*, 669-671.

Rapid Access to Conformational Analogues of (+)-Peloruside A



An efficient synthetic strategy for rapid access to analogues of peloruside A has been demonstrated. The synthetic route was highlighted by a simple esterification-based fragment coupling and a late stage ring-closing metathesis reaction. This convergent route has provided access to rationally designed analogues inspired by the solution conformational preferences of peloruside A.

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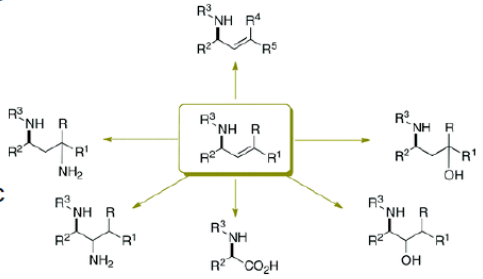
Citation: Skoda, E.M.; Davis, G.C.; Wipf, P. *Org. Process Res. Dev.*, **2012**, *16(1)*, 26-34.

Allylic Amines as Key Building Blocks in the Synthesis of (E)-Alkene Peptide Isosteres

Nucleophilic imine additions with vinyl organometallics have developed into efficient, high-yielding, and robust methodologies to generate structurally diverse allylic amines. We have used the hydrozirconation/transmetalation/imine addition protocol in the synthesis of allylic amine intermediates for peptide bond isosteres, phosphatase inhibitors, and mitochondria-targeted peptide mimetics.



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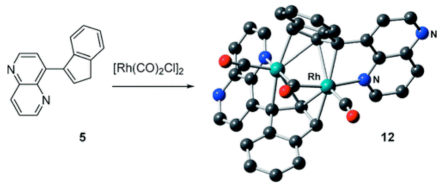
Citation: Sieb, D.; Schuhen, K.; Morgen, M.; Herrmann, H.; Wadepohl, H.; Lucas, N. T.; Baker, R. W.; Enders, M. *Organometallics,* **2012**, *31*, 356-364.

Synthesis and Complexation Behavior of Indenyl and Cyclopentadienyl Ligands Functionalized with a Naphthyridine Unit

Lithium indenide (Li-Ind) or cyclopentadienide (Li-Cp) derivatives react as nucleophiles with 8-(methylsulfinyl)-1,5-naphthyridine (Naph), leading to donor-functionalized ligands Ind^{Naph} or Cp^{Naph}, respectively. The new ligands comprise two N-donor atoms, which, for geometric reasons, cannot bind to the same metal atom. In complexes, where the metal atom is bound by the Cp or Ind moiety, the N5-donor atom is located in a distal position. The coordination behavior to Rh or Zr metal centers has been investigated. The Cp-based ligands show the expected chelating coordination mode with η^5-Cp and N coordination, whereas the indenyl units act as dihapto, trihapto, or pentahapto ligands. The dinuclear Rh(I) complex **12** shows a rare coordination geometry with two η^3 ligands bridging a $Rh_2(CO)_3$ fragment.



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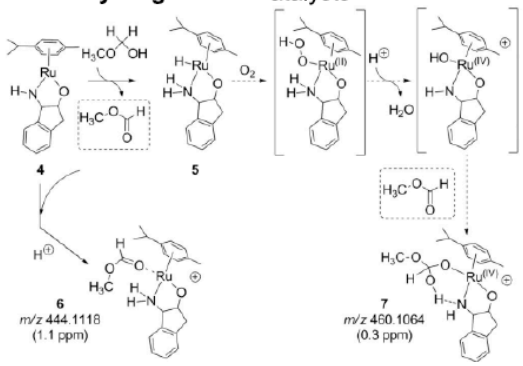
Citation: Richard H. Perry, **Kristen R. Brownell**, Konstantin Chingin, Thomas J. Cahill III, Robert M. Way Richard N. Zare. PNAS. 109(7) 2246-2250.

Transient Ru-methyl formate intermediates generated with bifunctional transfer hydrogenation catalysts



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Citation: Pablo A. Manavella, et. al. PNAS. 109(7). 2461-2466.

Plant secondary siRNA production determined by microRNA-duplex structure

Processing of microRNA (miRNA) precursors results in the release of a double-stranded miRNA/miRNA* duplex. The miRNA or guide strand, is loaded onto the Argonaute (AGO) effector, and the miRNA* or passenger strand is typically degraded. The loaded AGO-containing RNA-induced silencing complex speci?cally recognizes a target mRNA, leading to its degradation or translational inhibition. In plants, miRNA-mediated cleavage of a target triggers in some cases the production of secondary small interfering RNAs (siRNAs), which in turn can silence other genes in trans. This alternative pathway depends on the length of the miRNA and the speci?c AGO in the effector complex. However, 22-nt miRNAs are suf?cient, but not essential for this pathway. They show that transitivity can be triggered when the small RNA that is not retained in AGO is 22-nt long. Moreover, we demonstrate that asymmetrically positioned bulged bases in the miRNA:miRNA* duplex, regardless of miRNA or miRNA* length, are suffcient for the initiation of transitivity. We propose that the RNA-induced silencing complex reprogramming occurs during the early steps of miRNA loading, before the miRNA duplex is disassembled and the guide strand is selected.

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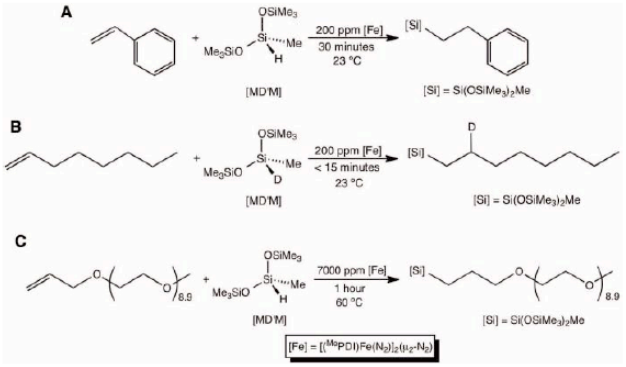
Citation: Tondreau, AM; *et al*. *Science*, **2012**, *335*, 567-570.

Iron Catalysts for Selective Anti-Markovnikov Alkene Hydrosilation Using Tertiary Silanes



New iron catalyst is capable of doing comparable silation reactions when compared to the commercially used platinum catalyst.

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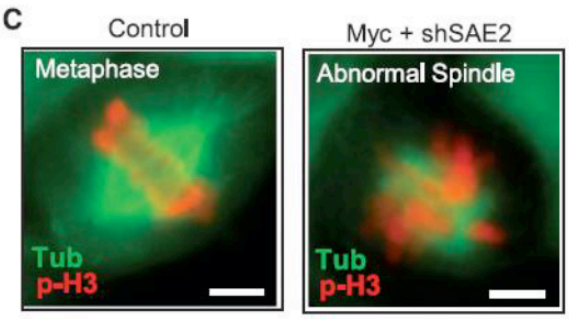
Citation: Kessler, JD; *et al*. *Science*. **2012**, *335*, 348-353.

A SUMOylation-Dependent Transcriptional Subprogram is Requred for Myc-Driven Tumorigenesis



Effects upstream of the Myc pathway seem to control the tumorigenic properties of the Myc oncogene and alteration of these pathways can alter tumorigenic behavior. This research was done with an shRNA screen in order to affect upstream pathways for Myc activity.

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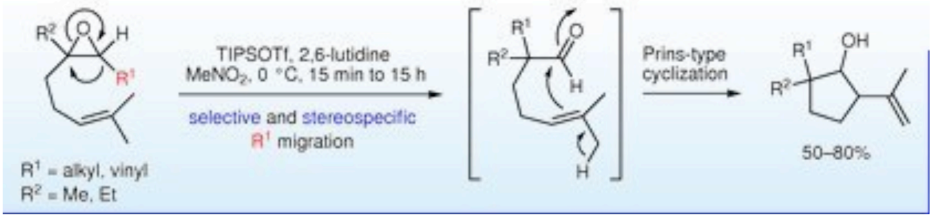
Citation: Kodama, T.; Harada, S.; Tanaka, T.; Tachi, Y.; Morimoto, T. *Syn*. *Lett*. **2012**, 458-462..

TIPSOTf-Promoted Tandem Reaction through Rearrangement of Epoxides into Aldehydes with Selective Alkyl Migration Followed by Prins-Type Cyclization to Cyclopentanes



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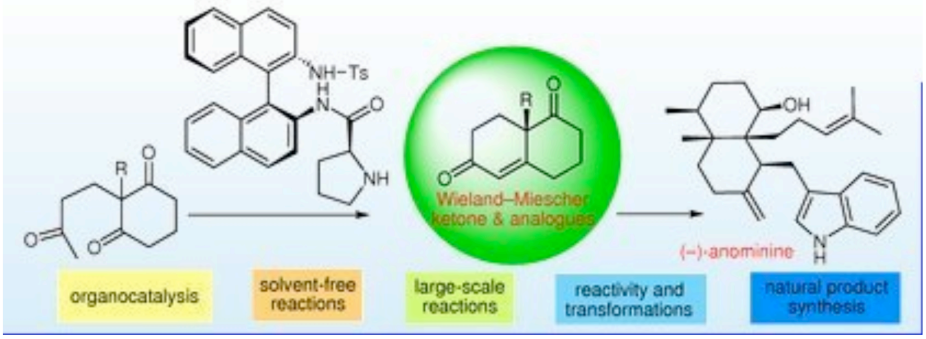
Citation: Bradshaw, B.; Bonjoch, J.; *Syn*. *Lett*. **2012**, 337-356.

The Wieland-Miescher Ketone: A Journey from Organocatalysis to Natural Product Synthesis



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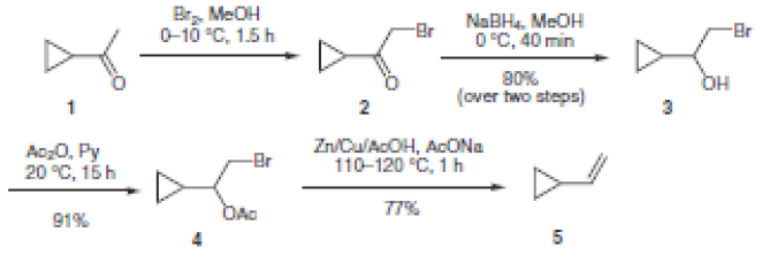
Citation: Rassadin, VA; *et al*. *Synthesis,* **2012**, *44*, 372-376.

Convenient synthesis of Ethenylcyclopropane and Some 2-Cyclopropylcyclopropane Derivatives



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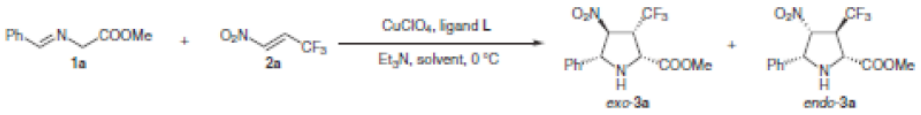
Citation: Li, Q; *et al*. *Synthesis*, **2012**, *44*, 265-271.

Diastereo- and Enantioselective Synthesis of Fluorinated Proline Derivatives via Copper(I)-Catalyzed Asymmetric 1,3-Dipolar Cycloaddition



Abstract: A catalytic asymmetric cycloaddition reaction of fluoromethyl-substituted nitroalkenes with azomethine ylides was developed using a catalyst derived from copper(I) perchlorate and a commercially available, chiral Walphos ligand. This method provides a simple approach to optically active exo-3-(fluoromethyl) proline derivatives in high yields, as well as in high diastereoand enantioselectivities.

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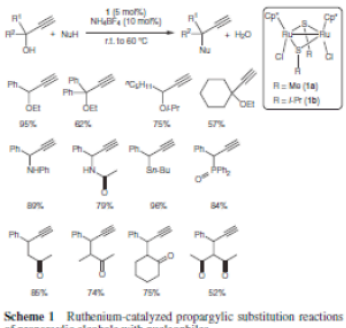
Citation: Nishibayashi, Y. *Synthesis*, **2012**, *44*, 489-503.

Transition-Metal-Catalyzed Enantioselective Propargylic Substitution Reactions of Propargylic Alcohol Derivatives with Nucleophiles



Scheme 1 Ruthenium-catalyzed propargylic substitution reactions of propargylic alcohols with nucleophiles

A review article of interesting methods of synthesizing tertiary and SOME quaternary centers via substitution of propargylic alcohols.

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Citation: Kodama, T.; Harada, S.; Tanaka, T.; Tachi, Y.; Morimoto, T. *Syn. Lett.* **2012**, 458-462..

TIPSOTf-Promoted Tandem Reaction through Rearrangement of Epoxides into Aldehydes with Selective Alkyl Migration Followed by Prins-Type Cyclization to Cyclopentanes

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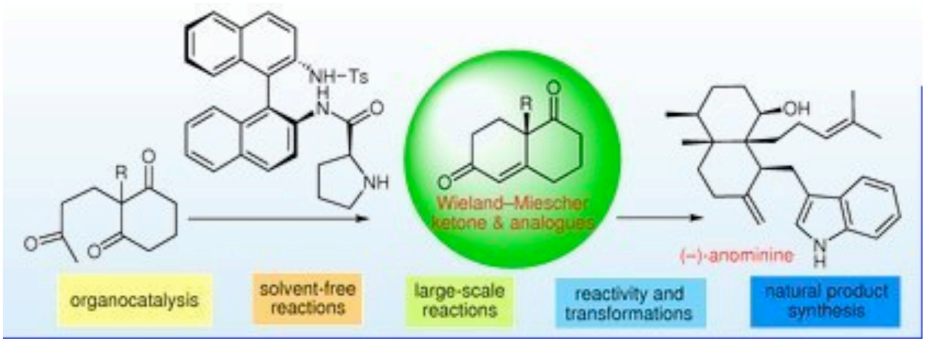
Citation: Bradshaw, B.; Bonjoch, J.; *Syn. Lett.* **2012**, 337-356.

The Wieland-Miescher Ketone: A Journey from Organocatalysis to Natural Product Synthesis



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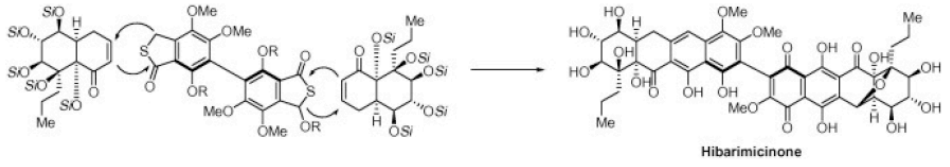
Citation: Tatsuta *et al. Tetrahedron Lett.* **2012**, *53*(4), 422-425.

The first total synthesis of hibarimicinone, a potent v-Src tyrosine kinase inhibitor



http://www.sciencedirect.com/science/article/pii/S004040391102003X

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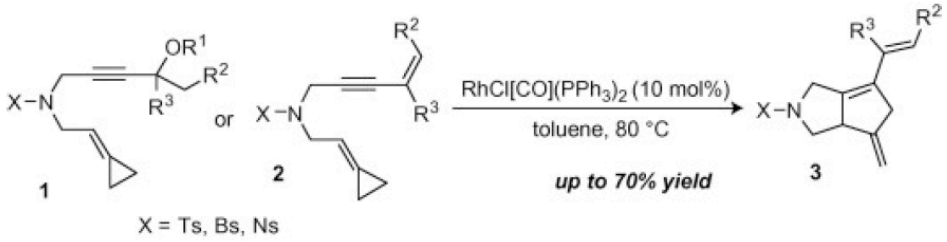
Citation: Zhang and Shi. *Tetrahedron Lett.* **2012,** *53*(5), 487-490.

Rhodium(I)-catalyzed [3+2] intramolecular cycloaddition of alkylidenecyclopropane–propargylic esters



1 2 3

X = Ts, Bs, Ns

http://www.sciencedirect.com/science/article/pii/S0040403911020375

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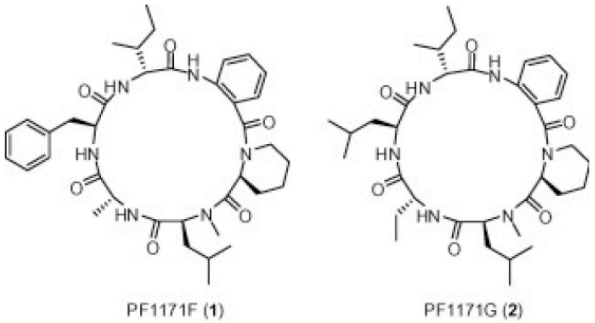
Citation: Kuo, Kai, Akiyama and Hayashi. *Tetrahedron Lett.* **2012**, *53*(4), 429-431.

Novel bioactive peptides, PF1171F and PF1171G, from unidentified ascomycete OK-128



PF1171F (**1**) PF1171G (**2**)

http://www.sciencedirect.com/science/article/pii/S0040403911020090

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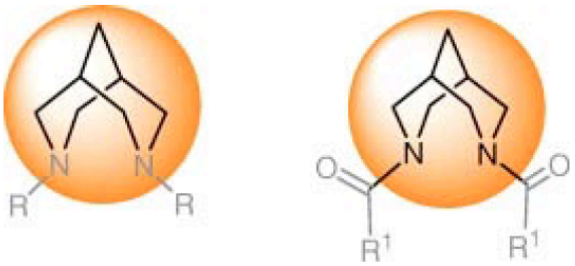
Citation: Haridas, *et al*. *Tetrahedron Lett*. **2012**, *53*(6), 623-626.

Bispidine as a secondary structure nucleator in peptides



http://www.sciencedirect.com/science/article/pii/S0040403911020764

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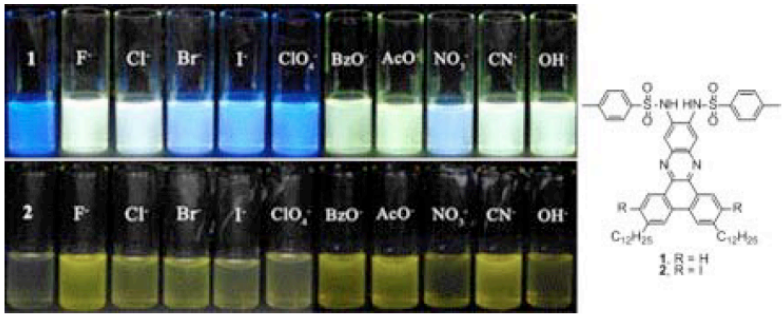
Citation: El-Ballouli *et al*. *Tetrahedron Lett*. **2012**, *53*(6), 661-665.

Fluorescent detection of anions by dibenzophenazine-based sensors



http://www.sciencedirect.com/science/article/pii/S0040403911020855

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