
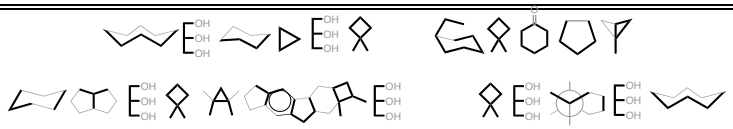

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**Next Due Date:** Wednesday, 15 June 2011

## Instructions for Authors (*Volume 36*)

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

### Create an Abstract

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

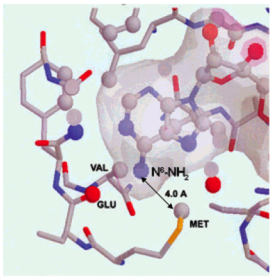
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

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

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

**Design and Characterization of a Traceable Protein Kinase C-alpha**

Protein kinase CR (PKCR) is a critical component of pathways that govern cancer-related phenotypes such as invasion and proliferation. Proteins that serve as immediate substrates for PKCR offer potential targets for anticancer drug design. To identify specific substrates, a mutant of PKCR (M417A) was constructed at the ATP binding site such that it could bind a sterically large ATP analogue derivatized through the N6 amino group of adenosine (1ç-32Pl-N6-phenyl-ATP). Because this analogue could be utilized by the mutant kinase but not by wild-type PKCR (or presumably other protein kinase) to phosphorylate peptide or protein substrates, 32P-labeled products were the direct result of the mutant PKCR.

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

For those of you who always wanted to know what it meant....
**mook** **Pronunciation Key** (mk) *n. Slang*
An insignificant or contemptible person.

***methods***
synthesis

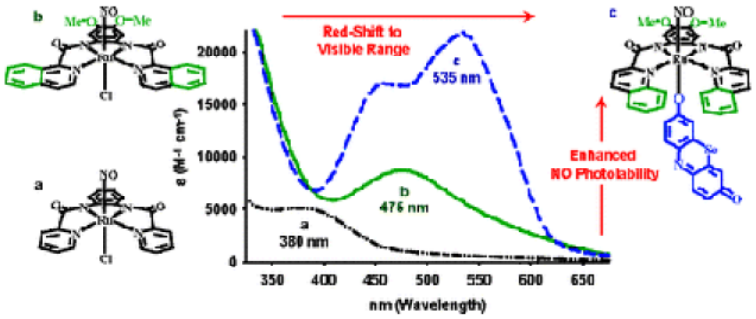
**DON'T BE A MOOK!**


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

Penalties for being a Lit Review MOOK:
- You will not receive a printed copy of the Lit Review.
- You will get last choice when it's time to pick new journals.
- We will crack your corn (clean in half)

Citation: Fry, N. L.; Mascharak, P.K. *Acc. Chem. Res.* **2011**, *44* (4), 289.

## Photoactive Ruthenium Nitrosyls as NO Donors: How To Sensitize Them toward Visible Light




Development of Ru nitrosyls that rapidly release NO when exposed to low-power visible light rather than UV light, for use in targeted delivery of NO to induce apoptosis.

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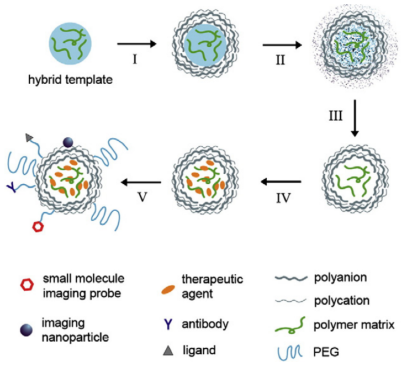
Citation: Ai, H.
*Adv. Drug Delivery Rev.*, **2011**, *ASAP* DOI:10.1016/j.addr.2011.03.013

## Layer-by-layer capsules for magnetic resonance imaging and drug delivery

Layer-by-layer (Lbl) self-assembled polyelectrolyte capsules are used for both imaging and drug delivery (and have the potential to accomplish both simulataneously). The technology to make these capsules has been around for some time (1990s) and is getting to the point where highly functionalized and size-controlled capsules are facile to synthesize. While they have shown to be useful in a variety of *in vitro* experiements, more work needs to be done *in vivo* in order to determine toxicity and satisfy preclinical requirements.

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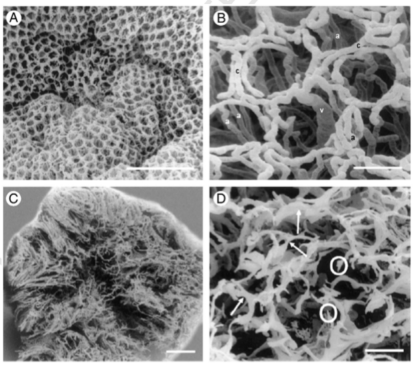
Citation: Narang, A. and Varia, S.
*Adv Drug Delivery Rev.*, **2011**, *ASAP* DOI:10.1016/j.addr.2011.04.002

## Role of tumor vascular architecture in drug delivery

The ability to specifically target tumor cells represents a major goal in therapeutics. Specifically attacking tumors requires detailed knowledge about the differences between a tumor cell and a normal cell. This excellent review provides an in-depth discussion of tumor vascular architecture, which aspects provide a challenge/opportunity for drug delivery, and a summary of current efforts in this targeted approach.




A/B=normal, C/D=tumor

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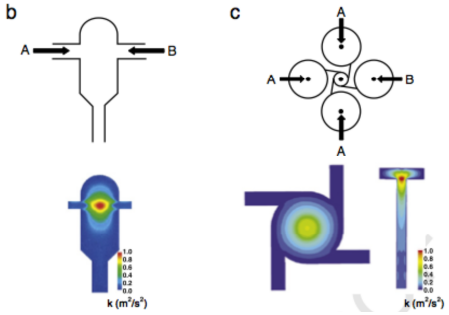
Citation: D'Addio, S. and Prud'homme, R.
*Adv. Drug Delivery Rev.*, **2011**, *ASAP* DOI:10.1016/j.addr.2011.04.005

## Controlling drug nanoparticle formation by rapid precipitation




CIJ mixers. A represents the antisolvent (typically water) and B the supersaturated organic stream.

The use of nanoparticles for drug delivery has continued to grow in popularity. One of the challenges, however, is the ability to make the nanoparticles with fine size control on large scales. This review discusses advances in the antisolvent precipiation technique, in which a supersaturated organic stream is mixed with an antisolvent. Particle size can be finely tuned by the processsing parameters and additives in the organic streams. "Confined Impinging Jet" (CIJ) mixers are the state-of-the-art technology used today.

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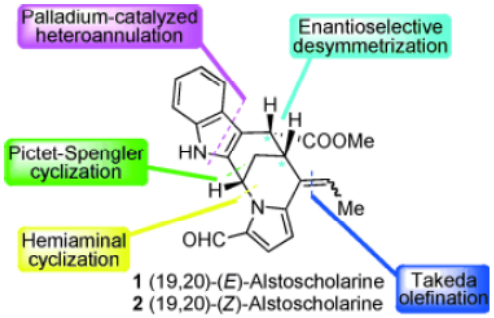
Citation: Gerfaud, T.; Xie, C.; Neuville, L.; Zhu, J. *Angew Chem (Int Ed)*. **2011**, *50 (17)*, 3954-3957.

**Protecting-Group-Free Total Synthesis of (E)- and (Z)-Alstoscholarine**

The first asymmetric total synthesis of pentacyclic compounds 1 and 2 has been accomplished starting from a cyclic meso-anhydride. The absolute configuration of the final products was set by an organocatalytic desymmetrization of the meso-anhydride. The economic synthesis is protecting-group-free and confirms the assigned absolute

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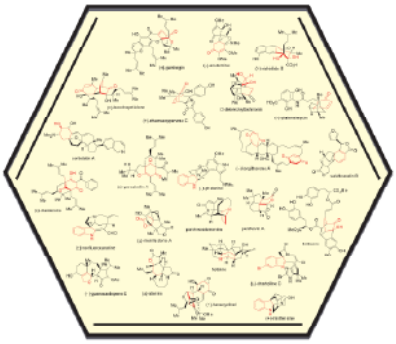
Citation: Roche, S.; Porco, J. *Angew Chem (Int Ed)*. **2011**, *50 (18)*, 4068-4093.

**Dearomatization Strategies in the Synthesis of Complex Natural Products**

The conversion of planar, aromatic scaffolds (arenes, phenols, and heteroarenes) into three-dimensional molecular architectures is a powerful strategy for the total synthesis of complex natural products. This Review highlights recent developments and outlines future perspectives and opportunities for catalytic, enantioselective dearomatization processes.
*See third page for reference to α-cedrene synthesis*



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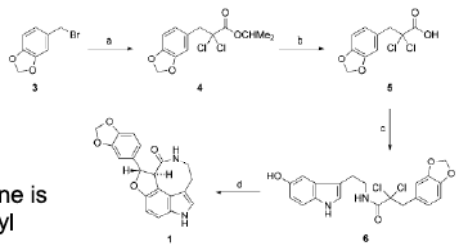
Citation: Mascal, M.; Modes, K.; Durmas, A.. *Angew Chem (Int Ed)*. **2011**, *50 (19)*, 4445-4446.

**Concise Photochemical Synthesis of the Antimalarial Indole Alkaloid Decursivine**

A four-step synthesis of the extracyclic, antimalarial indole natural product decursivine is described starting from commercial piperonyl bromide and serotonin (see scheme). A photoinitiated reaction cascade involving indole radical cation formation, rearrangement, radical recombination, rearomatization, elimination, and diastereoselective auto-acid-catalyzed closure of the dihydrofuran ring combine in a single step to conclude this remarkably efficient synthesis.



*d) Witkop cyclization (only hν needed)*

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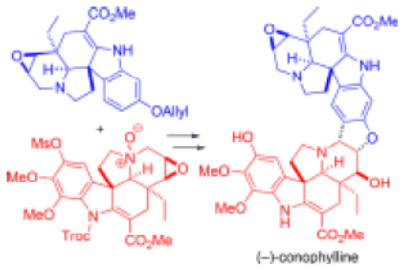
Citation: Han-ya, Y.; Tokuyama, H.; Fukuyama, T. *Angew Chem (Int Ed)*. **2011**, *50 (21)*, 4884-4887.

**Total Synthesis of (-)-Conophylline and (-)-Conophyllidine**

The total syntheses of the title compounds were accomplished in a highly convergent manner. The approach features the regio- and diastereoselective Polonovski–Potier-type reaction for the coupling of two aspidosperma skeletons and the formation of the dihydrofuran ring. Troc=2,2,2-trichloroethoxycarbonyl.

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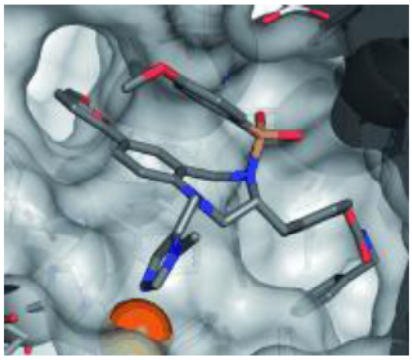
Citation: Waldmann, H.; et. al. *Angew Chem (Int Ed)*. **2011**, *50 (21)*, 4957-4961.

**Structure-Guided Development of Selective RabGGTase Inhibitors**

Designing for selectivity: A combination of protein crystal-structure analysis, virtual screening, and synthetic chemistry has been used to develop noncytotoxic inhibitors of RabGGTase (IC50: 42 nM for the example shown) that are selective over FTase and GGTase I. Furthermore, the inhibitors display cellular activity and inhibit cancer cell proliferation.



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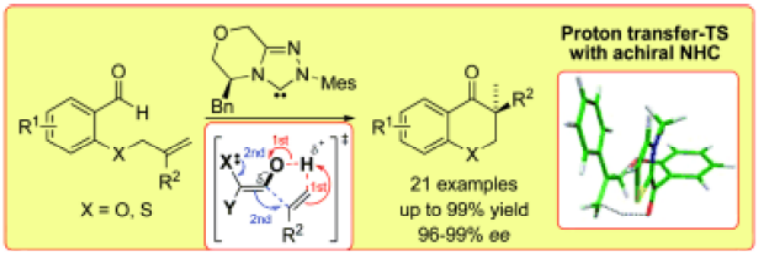
Citation: Glorius, F.; et. al. *Angew Chem (Int Ed)*. **2011**, *50 (21)*, 4983-4987.

**Highly Asymmetric NHC-Catalyzed Hydroacylation of Unactivated Alkenes**

The title reaction produces 21 different chroman-4-one-type products in good yields and excellent enantioselectivities, in each case building up a new all-carbon quaternary stereocenter (see scheme). Based on DFT calculations a mechanistic scenario involving proton transfer, possible transition states, and a mode of enantioinduction is presented.

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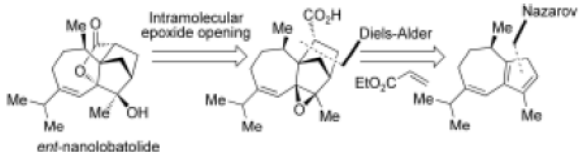
Citation: Chen, D.; et. al. *Angew Chem (Int Ed)*. **2011**, *50 (18)*, 4165-4168.

**Synthesis of ent-Nanolobatolide**

The key steps in the total synthesis of ent-nanolobatolide, the enantiomer of the novel and potent neuroprotective agent, involve an oxidative ring expansion of (-)-menthone, a Nazarov cyclization, an intermolecular Diels–Alder reaction, and an intramolecular epoxide-opening reaction (see scheme). The two latter transformations provided evidence in support of the speculated biosynthetic pathway.

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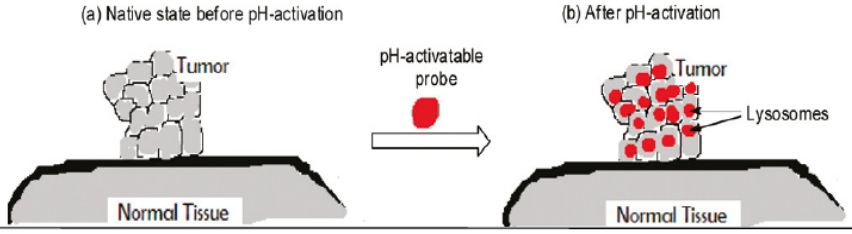
Citation: Lee, H. *et al. Bioconjugate Chem.* **2011**, 22, 777.

**Near-Infrared pH-Activatable Fluorescent Probes for Imaging Primary and Metastatic Breast Tumors**

A highly tumor selective near-infrared (NIR) pH activatable probe was developed by conjugating pH-sensitive cyanine dye to a cyclic arginine-glycine-aspartic acid (cRGD) peptide targeting Rvâ3 integrin (ABIR), a protein that is highly overexpressed in endothelial cells during tumor angiogenesis. It has negligible fluorescence above pH 6 but becomes highly fluorescent below pH 5, with a pKa of 4.7. This probe is ideal for imaging acidic cell organelles such as tumor lysosomes or late endosomes.

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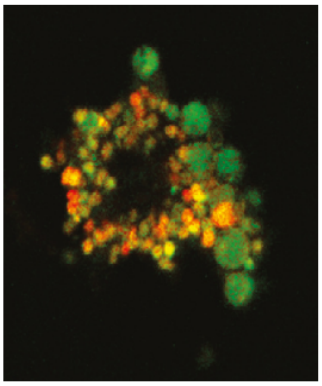
Citation: Gillmeister, M.P *et al. Bioconjugate Chem.* **2011**, 22, 556.

**Cellular Trafficking and Photochemical Internalization of Cell Penetrating Peptide Linked Cargo Proteins: A Dual Fluorescent Labeling Study**

Initial cellular uptake of cell penetrating peptide (CPP) linked macromoleculesis is usually endosomal, with passage from endosome to cytosol a major limitation to efficient delivery. To gain a better understanding of the passage of the CPP-linked proteins, the uptake and localization of CPP-linked proteins that contained two different forms of fluorescent markers, GFP protein and chemically conjugated tetramethylrhodamine, in living cells was studied. Rhodamine labeled TAT-GFP was internalized in multiple cell lines including HEK293, N18-RE-105, hippocampal slices, and human neural progenitor cells and showed predominantly endosomal localization of both fluorescent markers.



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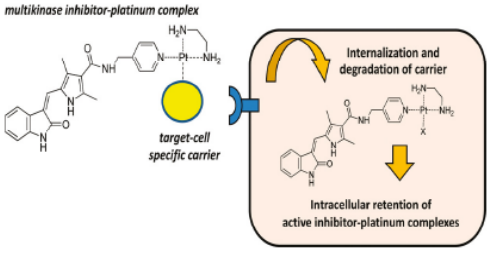
Citation: Harmsen, S. *et al. Bioconjugate Chem.* **2011**, 22, 540.

**Development of a Cell-Selective and Intrinsically Active Multikinase Inhibitor Bioconjugate**

Described is a new approach in which platinum coordination chemistry is applied for the development of a cell-selective multikinase inhibitor bioconjugate. The platinum(II) kinase inhibitor bioconjugate was designed to be active with the linker attached to the inhibitor and displayed improved activity by enhanced cell specificity as well as enhanced intracellular retention, thereby prolonging its pharmacological activity.

In addition, the utilized platinum-based linkage technology potentiated the inhibitory activity of the multikinase inhibitor. These features in combination with carrier-mediated uptake in the target cells may revolutionize dosing regimens and safety profiles of (multi)kinase inhibitors.

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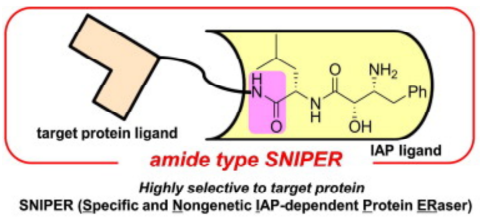
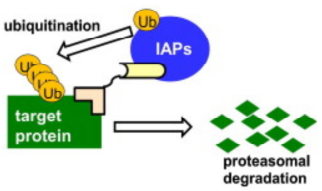
Citation: Itoh, Y., et al.
*Bioorg. Med. Chem.*, **2011**, *19*, 3229-41.

## Development of target protein-selective degradation inducer for protein knockdown

Pretranslational knockdown (such as RNA interference) is a powerful way to stop production of a protein, but it does degrade the protein already synthesized by the cell. This can be especially problematic for proteins with a long half life. This group reports a method to "erase" proteins (SNIPER, shown below). This is the second generation of this technology and shows promising results.

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Drahl, C. *Chemical & Engineering News.* **2011**, *89* (16), 37-41.

### Unveiling Drug Candidates

The Anaheim Convention Center last month hosted the latest installment of an American Chemical Society national meeting tradition—the first public disclosure of the structures of five experimental medicines. The event highlighted compounds that had reached human clinical trials, as well as the chemistry strategies that led researchers to each molecule.

Robert J. DeVita, a director of medicinal chemistry at Merck's Rahway, N.J., discovery chemistry site, presided over the session, held in the Division of Medicinal Chemistry and entitled "First Time Disclosure of Clinical Candidates." The symposium was organized by Albert J. Robichaud, vice president of chemistry at Danish drugmaker H. Lundbeck, and was sponsored by Gilead Sciences.

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Hell, G. *Chemical & Engineering News*. **2011**, *89 (*18), 6.

### Patent Office Delays Reforms

Because of a shortfall in funding, the U.S. Patent & Trademark Office (PTO) says it has been forced to put plans for an expedited patent examination process and the opening of its first regional office on an indefinite hold.

The 2011 budget resolution passed by Congress in mid-April caps PTO spending authority at $2.1 billion, well below the $2.3 billion it had sought, and about $100 million less than the agency expects to collect in application fees during the current fiscal year.

Congress reallocated the $100 million in "surplus income" to the Treasury Department's general fund to help pay for other government programs.

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Hanson, D. J. *Chemical & Engineering News.* **2011**, *89* (20), 28-29.

### Concern Grows Over Rare-Earth Supply

The increasing awareness of potential shortages of critical rare-earth materials in the U.S. is getting a government response this year. Despite the challenges of mining these materials, their importance to the manufacture of a wide array of products, from gasoline to electronics and hybrid cars, is prompting Congress to take action to ensure an adequate supply in the U.S.

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Jarvis, L. M. *Chemical & Engineering News.* **2011**, *89* (20), 22-23.

### Big Pharma's Pipeline Promise

In the midst of a protracted period of patent expiries on some of their biggest-selling drugs, pharmaceutical companies are trying to move the conversation away from generics competition and toward the new products emerging from their pipelines. In first-quarter earnings announcements, companies that have been most vulnerable to generic threats—Merck & Co., Bristol-Myers Squibb, and Pfizer—offered stable results and the promise of a steady flow of new products.

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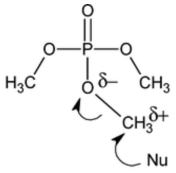
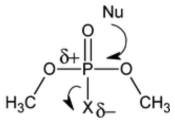
Citation: Romualdo Benigni, et al. Chem. Rev., 2011, 111 (4), pp 2507–2536

### Mechanisms of Chemical Carcinogenicity and Mutagenicity: A Review with Implications for Predictive Toxicology



Mechanism 1



Mechanism 2

A functional group by functional group overview of toxicity mechanisms, a la Adam Lesser's April 14 seminar, with even more functional groups.

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**OM**
Bryo
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Laulimalide
Drug Deliv.

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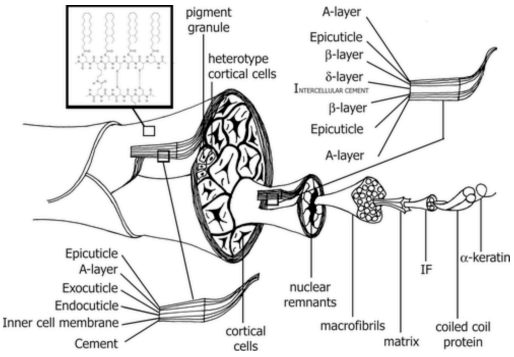
Citation: Robert M. Christie Chem. Rev., 2011, 111 (4), pp 2537–2561

### Current Trends in the Chemistry of Permanent Hair Dyeing




Likely to be the most accessed article of 2011

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Laulimalide
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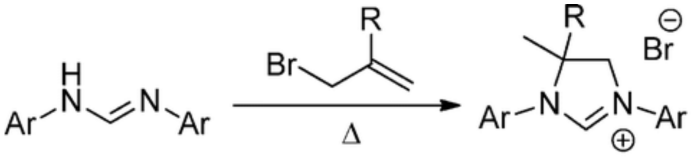
Citation: Lavigne; Bellemin-Laponnaz; Csar Chem. Rev., 2011, 111 (4), pp 2705–2733

## Synthetic Routes to N-Heterocyclic Carbene Precursors



Ar = 2,6-diisopropylphenyl

**92a**: R =H, 66%
**92b**: R = Me, 70%

There are a lot! Some interesting examples of NHC's, as well.

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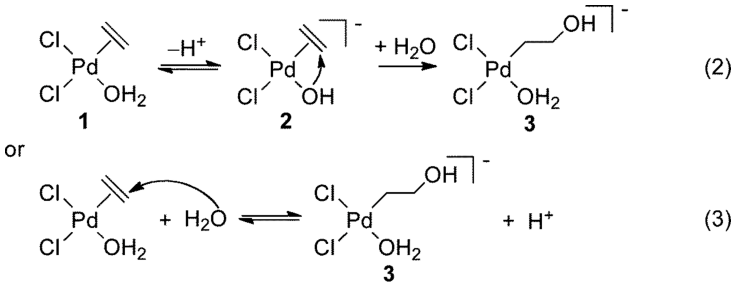
Citation: Shannon Stahl, et Al., Chem. Rev., 2011, 111 (4), pp 2981–3019

## Palladium(II)-Catalyzed Alkene Functionalization via Nucleopalladation: Stereochemical Pathways and Enantioselective Catalytic Applications



(2)

or



(3)

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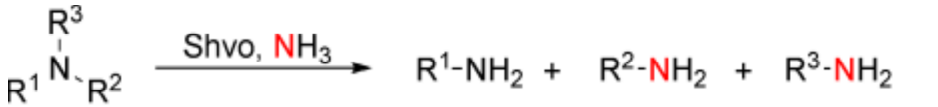
Citation: Chemistry - A European Journal Volume 17, Issue 17, pages 4705–4708, April 18, 2011

## Synthesis of Primary Amines from Secondary and Tertiary Amines: Ruthenium-Catalyzed Amination Using Ammonia

Sebastian Bähn, Sebastian Imm, Lorenz Neubert, Prof., Dr. Min Zhang, Dr. Helfried Neumann, Prof., Dr. Matthias Beller



Yield up to 84%  Selectivity up to 99%

$R^1$, $R^2$ , $R^3$ = H, CH-alkyl$_2$, $CH_2$-alkyl, $CH_2$-aryl

"The first selective catalytic synthesis of primary amines from secondary and tertiary amines with ammonia is reported. The products are obtained in yields up to 84%."

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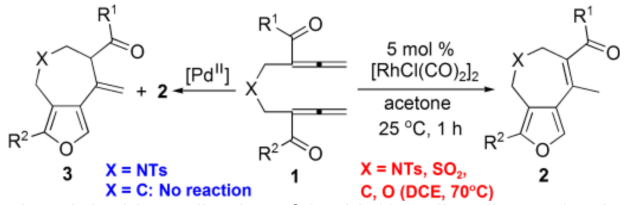
Drug Deliv.
Prostratin

Citation: Chemistry - A European Journal Volume 17, Issue 18, pages 4976–4980, April 26, 2011

## Selectivity Manipulation of Bicyclization Reactions of 1,5-Bis(1,2-allenylketone)s: Pd versus Rh and Electronic Effect

Dr. Youqian Deng, Prof., Dr. Chunling Fu, Prof., Dr. Shengming Ma




"The rhodium(I)-catalyzed double cyclization of 1,5-bis(1,2-allenylketone)s gives TNF-α inhibitor (A)-type skeletons at room temperature in acetone or 1,2-dichloroethane (DCE, see scheme; Ts=Tosyl). Compared with [PdCl$_2$(MeCN)$_2$], [RhCl(CO)$_2$]$_2$ shows excellent selectivity towards the nonaromatic C=C bond. The selectivity of unsymmetrical substrates can be controlled by the electronic effect."

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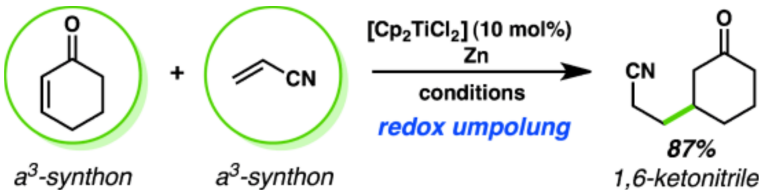
Citation: Chemistry - A European Journal Volume 17, Issue 20, pages 5507–5510, May 9, 2011

## A Titanium(III)-Catalyzed Redox Umpolung Reaction for the Reductive Cross-Coupling of Enones with Acrylonitriles

Dr. Jan Streuff




1,6-Difunctionalized alkyl units, which are traditionally hard to access, have been successfully obtained through a reductive cross-coupling of activated alkenes catalyzed by TiIII. A plausible reaction mechanism that proceeds through redox umpolung of the enone is briefly discussed (see scheme, Cp=cyclopentadiene).

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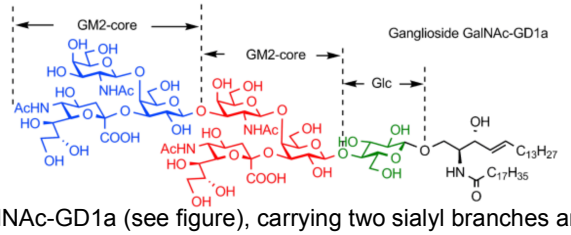
Citation: Chemistry - A European Journal Volume 17, Issue 20, pages 5641–5651, May 9, 2011

## The First Total Synthesis of Ganglioside GalNAc-GD1a, a Target Molecule for Autoantibodies in Guillain–Barré Syndrome

Dr. Kohki Fujikawa, Shinya Nakashima, Miku Konishi, Tomoaki Fuse, Naoko Komura, Dr. Takayuki Ando, Dr. Hiromune Ando, Dr. Nobuhiro Yuki, Dr. Hideharu Ishida1, Dr. Makoto Kiso




"Ganglioside GalNAc-GD1a (see figure), carrying two sialyl branches and a target for autoantibodies in Guillain–Barré syndrome (GBS), has been synthesized for the first time in an approach featuring efficient glycan assembly and a cyclic glucosyl ceramide as a versatile unit for ganglioside synthesis. The reactivity of the synthetic GalNAc-GD1a towards serum IgG from GBS patients was comparable to that of natural GalNAc-GD1a."

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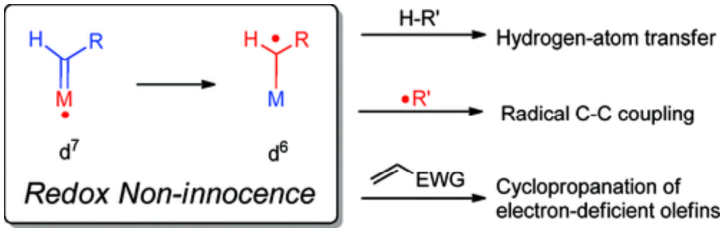
Citation: Dzik et. al. *Inorganic Chemistry* **2011**, ASAP.

**Redox Noninnocence of Carbene Ligands: Carbene Radicals in (Catalytic) C-C Bond Formation**

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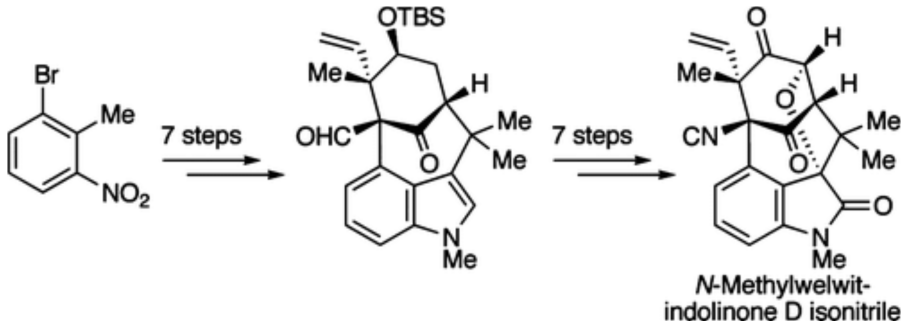
Bhat, V.; Allan, K. M.; Rawal, V. H. *J. Am. Chem. Soc.* **2011**, *133*, 5798-5801.

**Total Synthesis of N-Methylwelwitindolinone D Isonitrile**

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Prostratin

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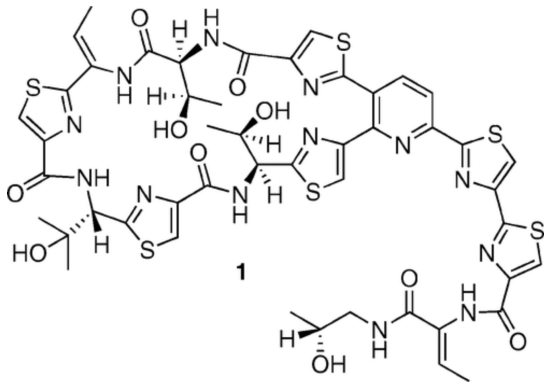
Aulakh, V. S.; Ciufolini, M. A. *J. Am. Chem. Soc.* **2011**, *133*, 5900-5904.

**Total Synthesis and Complete Structural Assignment of Thiocillin I**

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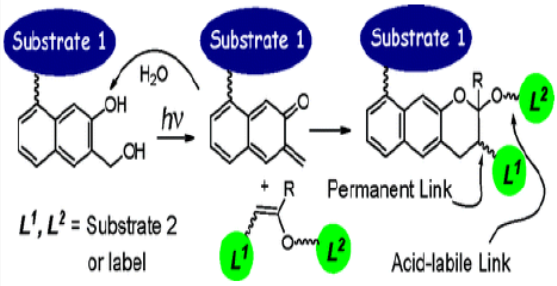
Citation: Arumugam, S.; Popik, V.V. *J. Am. Chem. Soc.*, **2011**, *133* (14), pp 5573–5579

**Light-Induced Hetero-Diels-Alder Cycloaddition: A Facile and Selective Photoclick Reaction**




Competition between hydration and cycloaddition makes oNQMs highly selective, since only vinyl ethers and enamines are reactive enough to form the Diels-Alder adduct in an aqueous solution; no cycloaddition was observed with other types of alkenes. The light-induced Diels-Alder "click" strategy permits the formation of either a permanent or hydrolytically labile linkage. Rapid kinetics of this photoclick reaction (k = 4 × 104 M-1 s-1) is useful for time-resolved applications.

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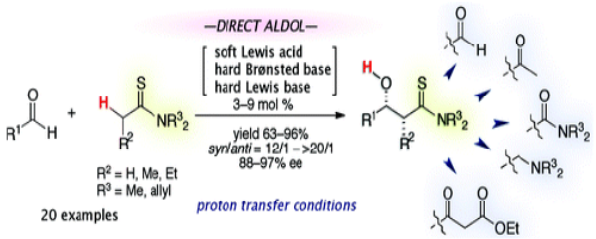
Citation: Iwata, M.; Yazaki, R.; Chen, I-H.; Sureshkumar, D.; Kumagai, N.; Shibasaki, M. *J. Am. Chem. Soc.*, **2011**, *133* (14), pp 5554–5560

**Direct Catalytic Enantio- and Diastereoselective Aldol Reaction of Thioamides**




The catalytic cycle was driven efficiently with a minimized retro-aldol pathway, affording syn-aldol products with high stereoselectivity. Divergent transformation of the thioamide functionality is an obvious merit of the present aldol methodology, allowing for a facile transformation of the aldol product into the corresponding aldehyde, ketone, amide, amine, and ketoester.

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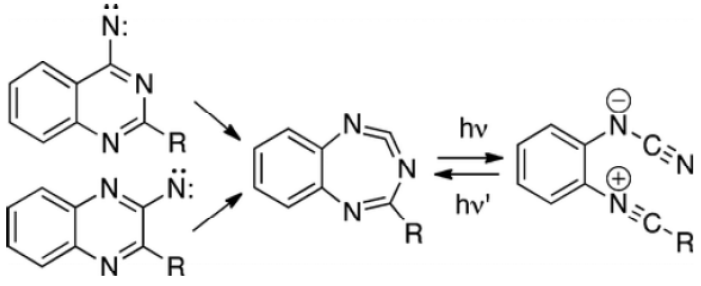
Citation: Kvaskoff, D.; Vosswinkel, M.; Wentrup, C. *J. Am. Chem. Soc.*, **2011**, *133* (14), pp 5413–5424

**2-Quinoxalinylnitrenes and 4-Quinazolinylnitrenes: Rearrangement to Cyclic and Acyclic Carbodiimides and Ring-Opening to Nitrile Ylides**

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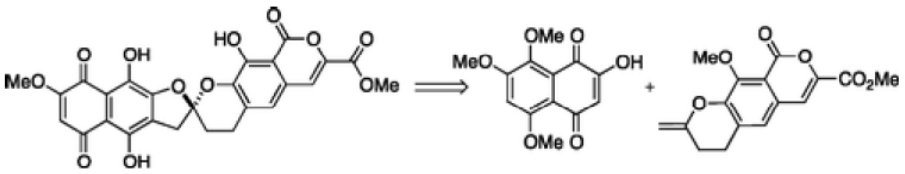
Citation: Wu, K-L.; Mercado, E.V.; Pettus, T.R.R. *J. Am. Chem. Soc.*, **2011**, *133* (16), pp 6114–6117

**A Convergent Total Synthesis of (±)-γ-Rubromycin**



An expeditious convergent total synthesis affords (±)-γ-rubromycin (1) in 4.4% overall yield. The longest linear sequence is 12 steps from commercial starting materials. The effort highlights a remarkable late-stage oxidative [3 + 2] cycloaddition for construction of the spiroketal, a regioselective carbonyl methylenation, a boron tribromide promoted deprotection, ortho- to para- naphthoquinone spiroketal rearrangement, and a tautomerization sequence.

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Laulimalide
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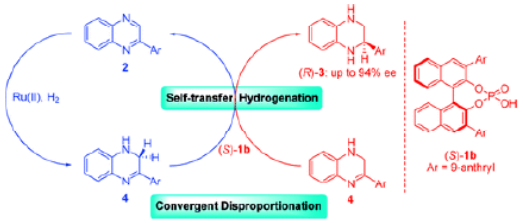
Citation: Chen, Q-A.; Wang, D.-S.; Zhou, Y.-G.; Duan, Y.; Fan, H.-J.; Yang, Y.; Zhang, Z. *J. Am. Chem. Soc.*, **2011**, *133* (16), pp 6126–6129

**Convergent Asymmetric Disproportionation Reactions: Metal/Brønsted Acid Relay Catalysis for Enantioselective Reduction of Quinoxalines**




A convergent asymmetric disproportionation of dihydroquinoxalines for the synthesis of chiral tetrahydroquinoxalines using a metal/Brønsted acid relay catalysis system has been developed. The use of hydrogen gas as the reductant makes the convergent disproportionation an ideal atom-economical process. A dramatic reversal of enantioselectivity was observed in the reduction of quinoxalines because of the different steric demands in the 1,2- and 1,4-hydride transfer pathways.

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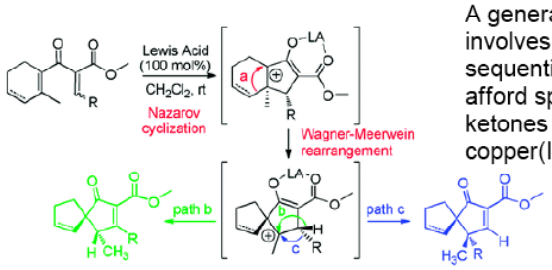
Citation: Huang, J.; Lebœuf, D.; Frontier, A.J. *J. Am. Chem. Soc.*, **2011**, *133* (16), pp 6307–6317

**Understanding the Fate of the Oxyallyl Cation following Nazarov Electrocyclization: Sequential Wagner-Meerwein Migrations and the Synthesis of Spirocyclic Cyclopentenones**




A general reaction sequence is described that involves Nazarov cyclization followed by two sequential Wagner-Meerwein migrations, to afford spirocyclic compounds from divinyl ketones in the presence of 1 equiv of copper(II) complexes.

The reaction sequence can be controlled by judicious choice of reaction conditions to allow selective generation of richly functionalized spirocycles.

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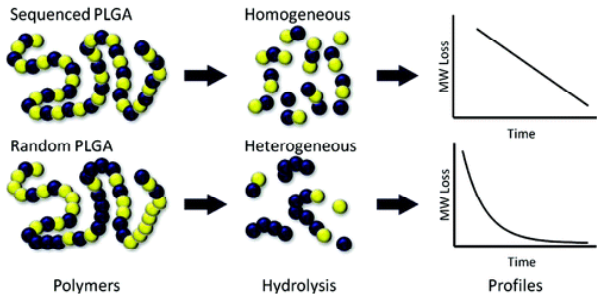
Citation: Li, J.; Stayshich, R.M.; Meyer, T.Y. *J. Am. Chem. Soc.*, **2011**, *133* (18), pp 6910–6913

**Exploiting Sequence To Control the Hydrolysis Behavior of Biodegradable PLGA Copolymers**




Sequence is demonstrated to dramatically affect the hydrolysis profile for the degradation of poly(lactic-co-glycolic acid) (PLGA). The nearly linear molecular weight loss profile and uniform thermal behavior throughout the course of the hydrolysis differ dramatically from the behavior that is exhibited by random copolymer controls with the same comonomer ratio.

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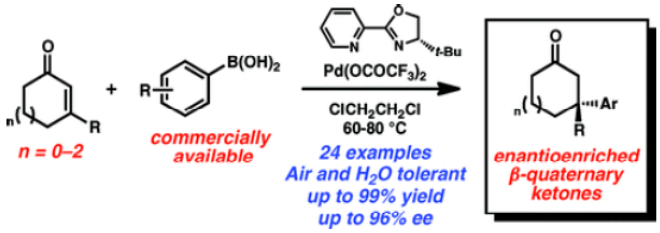
Citation: Kikushima, K.; Holder, J.C.; Gatti, M.; Stoltz, B.M. *J. Am. Chem. Soc.*, **2011**, *133* (18), pp 6902–6905

**Palladium-Catalyzed Asymmetric Conjugate Addition of Arylboronic Acids to Five-, Six-, and Seven-Membered β-Substituted Cyclic Enones: Enantioselective Construction of All-Carbon Quaternary Stereocenters**




The first enantioselective Pd-catalyzed construction of all-carbon quaternary stereocenters via 1,4-addition of arylboronic acids to ?-substituted cyclic enones is reported. Reaction of a wide range of arylboronic acids and cyclic enones using a catalyst prepared from $Pd(OCOCF_3)_2$ and a chiral pyridinooxazoline ligand yields enantioenriched products bearing benzylic stereocenters.

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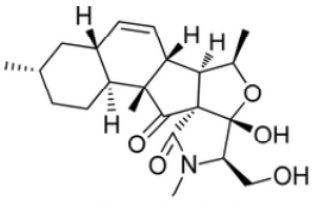
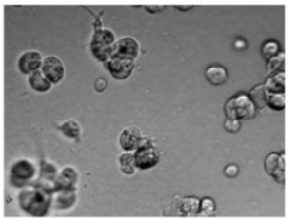
Citation: Jang, J-H.; Asami, Y.; Jang, J-P.; Kim, S-O.; Moon, D.O.; Shin, K-S.; Hashizume, D.; Muroi, M.; Saito, T.; Oh, H.; Kim, B.Y.; Osada, H.; Ahn, J.S. *J. Am. Chem. Soc.*, **2011**, *133* (18), pp 6865–6867

**Fusarisetin A, an Acinar Morphogenesis Inhibitor from a Soil Fungus, Fusarium sp. FN080326**




Fusarisetin A



An acinar morphogenesis inhibitor named fusarisetin A (1) that possesses both an unprecedented carbon skeleton and a new pentacyclic ring system has been identified. Cell migration of MDA-MB-231, human breast cell, was suppressed at 3 μg/mL with no observed cytotoxicity.

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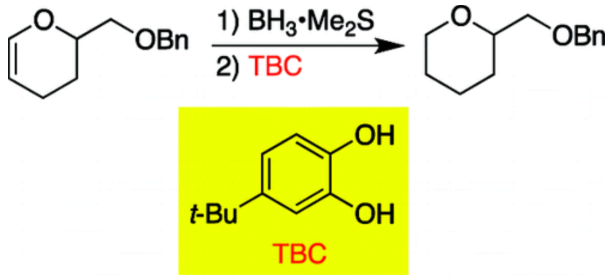
Villa, G.; Povie, G.; Renaud, P. *J. Am. Chem. Soc.* **2011**, *133*, 5913-5920.

**Radical Chain Reduction of Alkylboron Compounds with Catechols**

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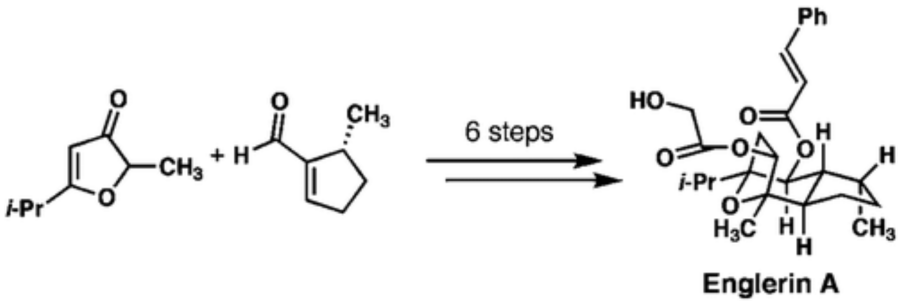
Li, Z.; Nakashige, M.; Chain, W. J. *J. Am. Chem. Soc.* **2011**, *133*, 6553-6556.

**A Brief Synthesis of (-)-Englerin A**

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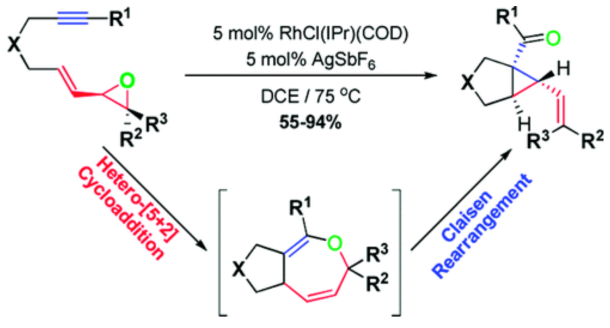
Feng, J. J.; Zhang, J. *J. Am. Chem. Soc.* **2011**, *133*, 7304-7307.

**An Atom-Economic Synthesis of Bicyclo[3.1.0]hexanes by Rhodium N-Heterocyclic Carbene-Catalyzed Diastereoselective Tandem Hetero-[5 + 2] Cycloaddition/Claisen Rearrangement Reaction of Vinylic Oxiranes with Alkynes**

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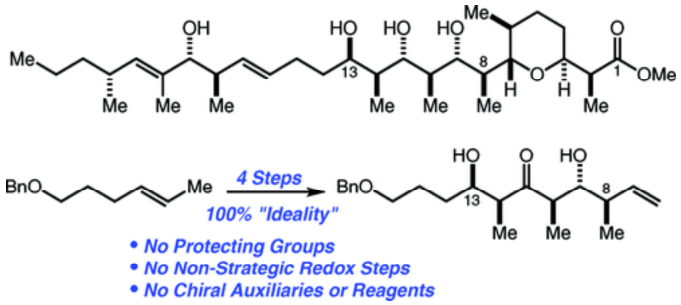
Prostratin

Harrison, T. J.; Ho, S.; Leighton, J. L. *J. Am. Chem. Soc.* **2011**, *133*, 7308-7311.

**Toward More "Ideal" Polyketide Natural Product Synthesis: A Step-Economical Synthesis of Zincophorin Methyl Ester**

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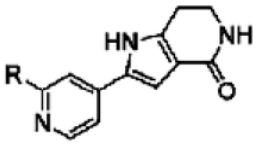
Citation: Ishikawa, M., *et al. J. Med. Chem.* **2011**, *54* (6), 1539.

**Improvement in Aqueous Solubility in Small Molecule Drug Discovery Programs by Disruption of Molecular Planarity and Symmetry**



**30**: R = 3-quinoline
MK-2 $IC_{50}$: 8.5 nM
U937 $IC_{50}$: 4,400 nM
solubility: <0.4 μM
CLogP: 2.7

**31**: R = 2-F-phenyl
MK-2 $IC_{50}$: 126 nM
U937 $IC_{50}$: 4,800 nM
solubility: 160 μM
CLogP: 2.9

The authors saw improvement in aqueous solubility by ortho-substitution of a bicyclic structure (see left), as well as by larger dihedral angles, removal of aromaticity, and twisting of fused rings.

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**Prostratin**

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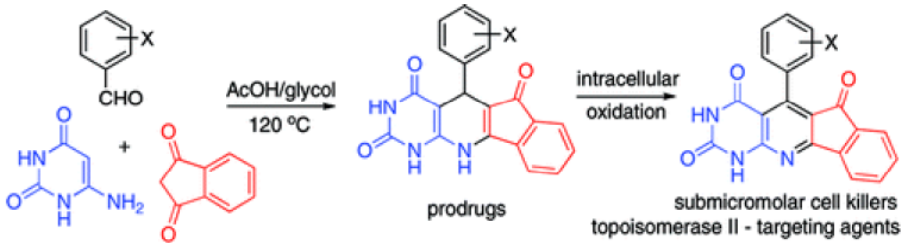
Citation: Evdokimov, N. M., *et al. J. Med. Chem.* **2011**, *54* (7), 2012.

**Structural Simplification of Bioactive Natural Products with Multicomponent Synthesis. 3. Fused Uracil-Containing Heterocycles as Novel Topoisomerase-Targeting Agents**




Heterofused indenopyridine scaffolds were constructed by condensation of aminoheterocycles, aldehydes and indanedioones.

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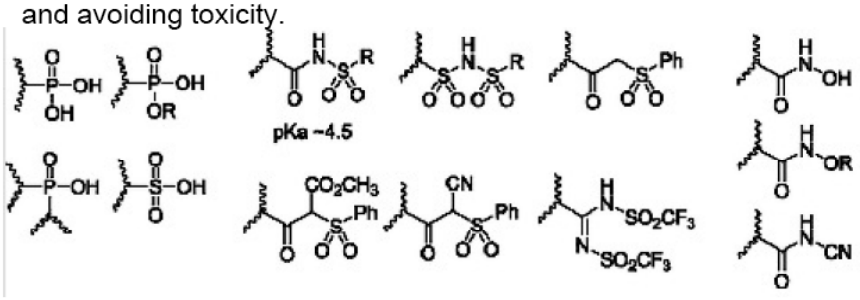
Citation: Meanwell, N. A. *J. Med. Chem.* **2011**, *54* (8), 2529.

**Synopsis of Some Recent Tactical Application of Bioisosteres in Drug Design**

A review of various isosteres that have been used in optimizing drug-target interactions and specificity, improving drug permeability, and avoiding toxicity.




Some of the more common carboxylic acid isosteres

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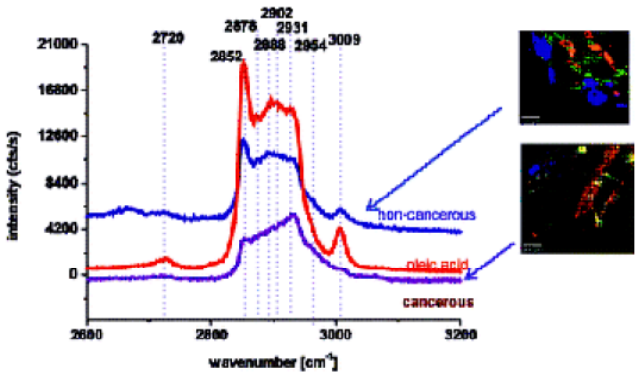
Citation: Brozek-Pluska, B. *et al. J. Med. Chem.* **2011**, *54* (9), 3386.

**Phase Transitions in Oleic Acid and in Human Breast Tissue As Studied by Raman Spectroscopy and Raman Imaging**




Water content in cancerous tissue was found to be markedly different from that in noncancerous tissue by DSC and Raman imaging. Thus, the OH stretching vibrations of water may be useful Raman biomarkers to identify cancerous human breast tissue.

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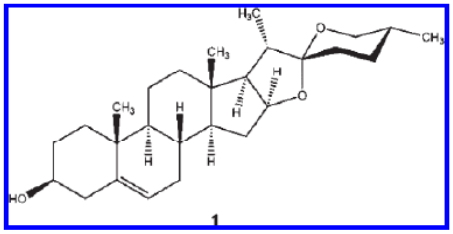
Citation: Wang, Y.-J.; Pan, K.-L.; Hsieh, T.-C.; Chang, T.-C.; Lin, W.-H; Hsu, J. T.-A.; *J. Nat. Prod.* **2011**, *74*, 580-584.

**Diosgenin, a Plant-Derived Sapogenin, Exhibits Antiviral Activity *in vitro* against Hepatitis C Virus**

Hepatitis C virus infection causes chronic hepatitis and liver cirrhosis. Worldwide are approximately 170 million people are afflicted by chronic HCV infection. Current therapy consists of interferon-α in combination with ribavirin. However, IFN-α therapy is poorly tolerated, expensive, and suitable only for certain patient populations. Therefore, it is very important to discover new therapeutics which are more effective than the current ones.

Here, they found that the plant-derived sapogenin, diosgenin (**1**) can significantly reduce levels of viral RNA and viral proteins.

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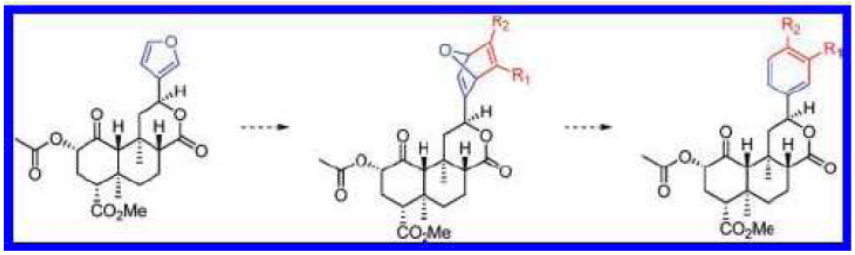
Citation: Lozama, A.; Cunningham, C. W.; Caspers, M. J.; Douglas, J. T.; Dersch, C. M.; Rothman, R. B.; Prisinzano, T. E.; *J. Nat. Prod.* **2011**, *74*, 718-726.

**Opioid Receptor Probes derived from Cycloaddition of the Hallucinogen Natural Product Salvinorin A**



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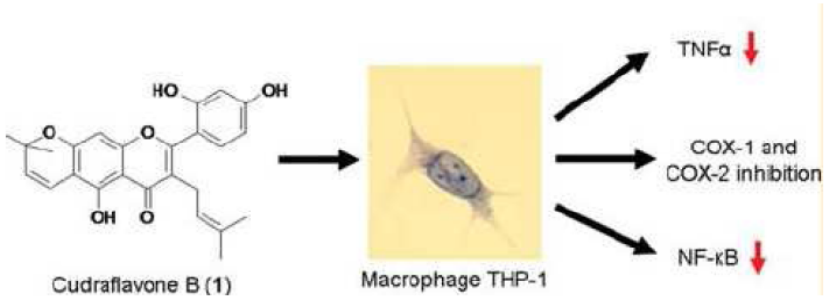
Citation: Smejkal, K.; et al.; *J. Nat. Prod.* **2011**, *74*, 614-619.

**Natural Compound Cudraflavone B shows promising Anti-inflammatory Properties *in vitro***

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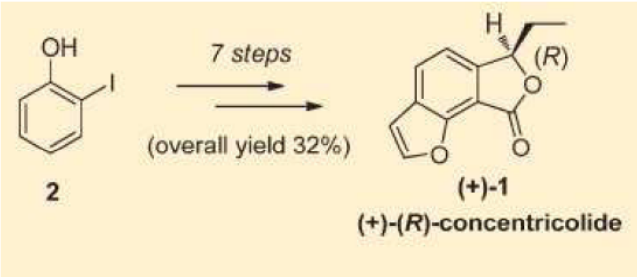
Citation: Chang, C. W.; Chein, R.-J.; *J. Org. Chem.* **2011**, *76*, ASAP.

**Absolute Configuration of Anti-HIV-1 Agent (-)-Concentricolide: Total Synthesis of (+)-(*R*)-Concentricolide**

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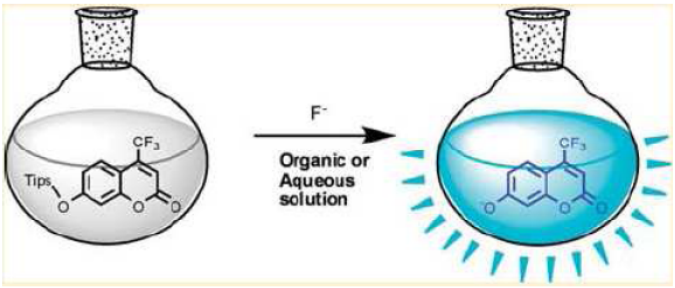
Citation: Sokkalingam, P.; Lee, C.-H.; *J. Org. Chem.* **2011**, *76*, ASAP.

**Highly Sensitive Fluorescence "Turn-On" Indicator for Fluoride Anion with Remarkable Selectivity in Organic and Aqueous Media**

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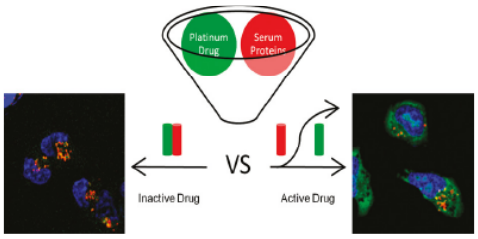
Citation: Benedetti, B. T *et al. Mol. Pharmaceutics* **2011**, ASAP, dx.doi.org/10.1021/mp2000583.

**Effects of Noncovalent Platinum Drug□Protein Interactions on Drug Efficacy: Use of Fluorescent Conjugates as Probes for Drug Metabolism**

The overall efficacy of platinum based drugs is limited by metabolic deactivation through covalent drug-protein binding. In this study the factors affecting cytotoxicity in the presence of glutathione, human serum albumin (HSA) and whole serum binding with cisplatin, BBR3464, and TriplatinNC, a "noncovalent" derivative of BBR3464, were investigated. The results suggest that TriplatinNCcan avoid high levels of metabolic deactivation currently seen with clinical platinum chemotherapeutics, and therefore retain a unique cytotoxic profile after cellular administration.

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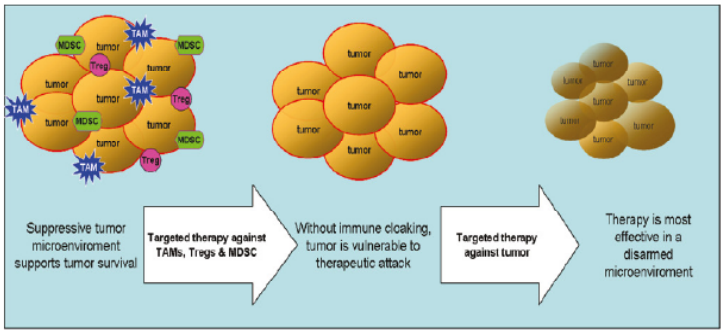
Citation: Elizabeth A. Vasievich and Leaf Huang *Mol. Pharmaceutics* **2011**, dx.doi.org/10.1021/mp100422.

**The Suppressive Tumor Microenvironment: A Challenge in Cancer Immunotherapy**

The roles that different immunosuppressive cells play in the tumor microenvironment including tumor associated macrophages (TAMs) and M1 and M2 macrophage phenotypes are discussed in depth.

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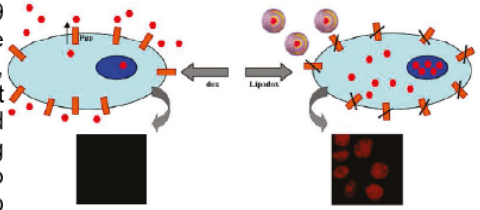
Citation: Riganti, C. et al. Mol. Pharmaceutics **2011**, ASAP, dx.doi.org/10.1021/mp2001389.

**Liposome-Encapsulated Doxorubicin Reverses Drug Resistance by Inhibiting P Glycoprotein in Human Cancer Cells**

To clarify by which mechanisms the liposome-encapsulated doxorubicin is effective in drug-resistant cancer cells, the effects of doxorubicin and doxorubicin-containing anionic liposomal nanoparticles ("Lipodox") on the drug-sensitive human colon cancer HT29 cells and on the drug-resistant HT29-dx cells were examined.

The authors did not detect any difference in drug accumulation and toxicity between free doxorubicin and Lipodox in HT29 cells, but Lipodox was significantly more effective than doxorubicin in HT29-dx cells, which are rich in Pgp. This effect was lost in HT29-dx cells silenced for Pgp and acquired by HT29 cells overexpressing Pgp. Lipodox was less extruded by Pgp than doxorubicin and inhibited the pump activity.

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Citation: *Nature* **2011**, *472*, 279.

There is an interesting series of articles on reforming the "brocken" PhD system, this is of interest to those of you interested in higher education more generally and also job outlook, etc.

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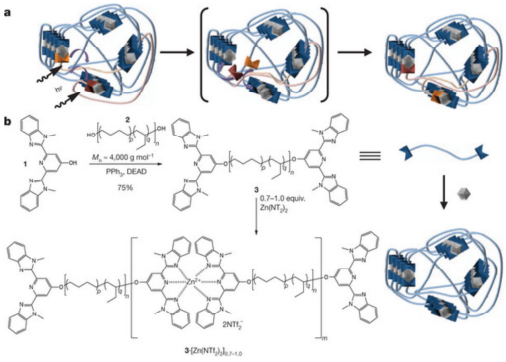
Citation: Burnworth, M.; Tang, L.; Kumpfer, J.R.; Duncan, A.J.; Beyer, F.L.; Fiore, G.L.; Rowan, S.J.; Weder, C. *Nature* **2011**, *472*, 334-337.

**Optically Healable Supramolecular Polymers**

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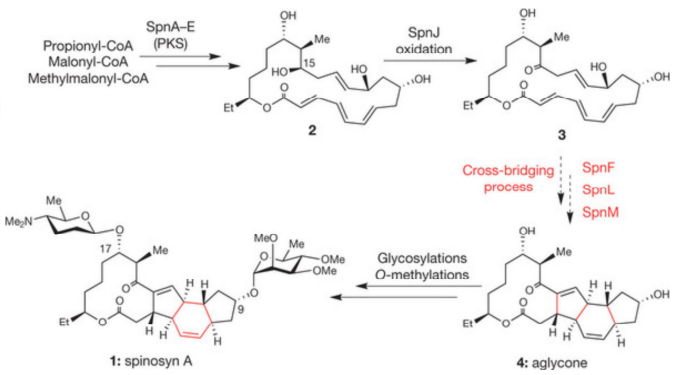
Citation: Kim, H.J.; Ruszczycky, M.W.; Choi, S.; Liu, Y.; Liu, H. *Nature* **2011**, *473*, 109-112.

**Enzyme-catalysed [4+2] cycloaddition is a key step in the biosynthesis of spinosyn A**

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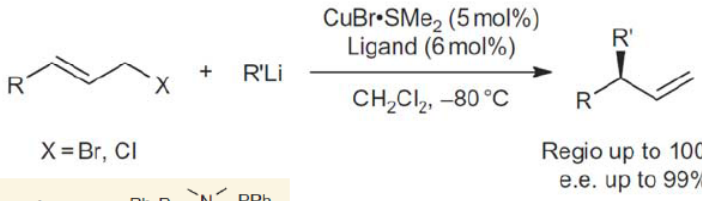
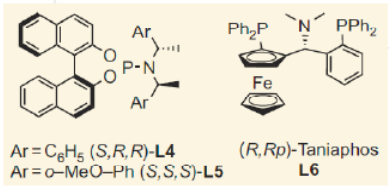
Citation: Feringa, B. L. *Nat. Chem.* **2011**, *3*, 377

Catalytic asymmetric carbon–carbon bond formation via allylic alkylations with organolithium compounds

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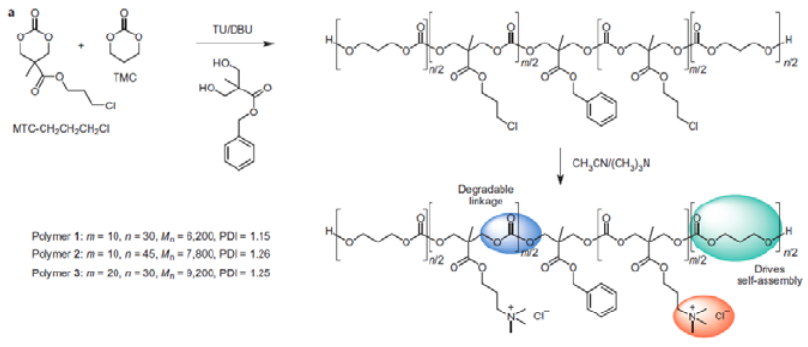
Citation: Nederberg, F. et. al. *Nat. Chem.* **2011**, *3*, 409.

Biodegradable nanostructures with selective lysis of microbial membranes

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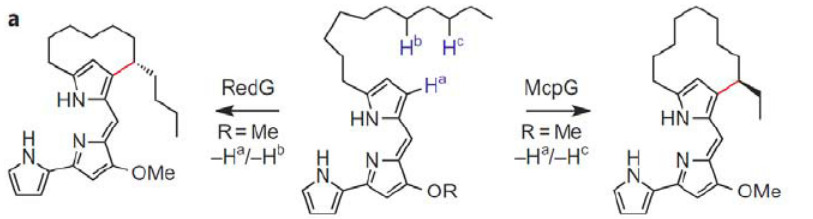
INITIALS

Citation: Challis, G. L. *Nat. Chem.* **2011**, *3*, 388

Regio- and stereodivergent antibiotic oxidative carbocyclizations catalysed by Rieske oxygenase-like enzymes

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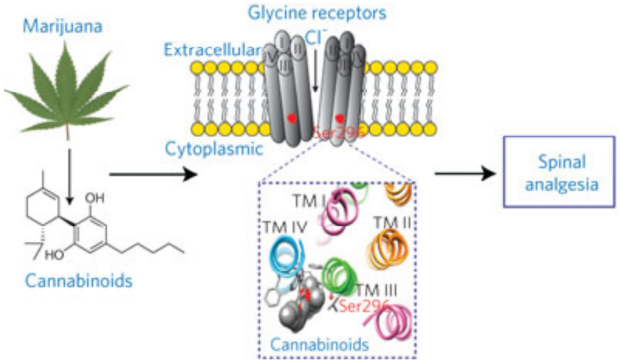
Citation: Wei Xiong, KeJun Cheng, Tanxing Cui, Grzegorz Godlewski, Kenner Rice, Yan Xu & Li Zhang *Nature Chem. Biol.* **2011**, *7*, 296.

## Cannabinoid potentiation of glycine receptors contributes to cannabis-induced analgesia

Cannabinoids enhance the function of glycine receptors (GlyRs). However, little is known about the mechanisms and behavioral implication of cannabinoid-GlyR interaction. The cannabinoid-induced analgesia is absent in mice lacking a3GlyRs but not in those lacking CB1 and CB2 receptors. These findings reveal a new mechanism underlying cannabinoid potentiation of GlyRs, which could contribute to some of the cannabis-induced analgesic and therapeutic effects.

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Citation: Andrew Pollack, *New York Times*
http://www.nytimes.com/2011/05/14/science/14stem.html?_r=1&ref=science

**Setback for New Stem Cell Treatment**

Basically, induced pluripotent stem cells (iPS cells), when implanted into a mouse, were rejected even though the iPSs came from a genetically identical animal. This was not true when embryonic stem (ES) cells were used. Again, an important difference between iPSs and ESs... underscores the need to do more research.

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Citation: Donald McNeil *New York Times*
http://www.nytimes.com/2011/05/13/health/research/13hiv.html?ref=science

**Early H.I.V. Therapy Sharply Curbs Transmission**

"People infected with the virus that causes AIDS are far less likely to infect their sexual partners if they are put on treatment immediately instead of waiting until their immune systems begin to deteriorate..."

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Citation: The Onion

**Pfizer Breaks Psychological Need To Always Seek FDA's Approval**

NEW YORK—Pfizer spokesman Vincent Martin announced that the company had achieved a major personal breakthrough Monday by finally summoning the courage and confidence to overcome its need to constantly seek the FDA's approval. "We've spent so many years fretting and obsessing over what the FDA would think of our new drugs, when all that time, the only people we really should have been worried about pleasing was ourselves," said Martin, who was emotionally supported onstage by other international drug company spokesmen who have been through this exact same thing. "So you know what? From now on, we're just going to start manufacturing drugs the way we want, because we're good at it, and, I'm sorry, life is just too short to second-guess yourself." Martin added that if the FDA knows so much maybe they should just start manufacturing their own drugs.

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Citation: The Onion

**Science Confirms Men and Women Never Meant To Be More Than Friends**

UPSALA, SWEDEN—In a shocking reversal of thousands of years of thinking on human reproduction, researchers at the Swedish Collegium for Advanced Study in the Social Sciences announced Monday that sexual contact is a genetic accident, and men and women originally evolved to just be good buds. "Using DNA evidence unavailable until the completed mapping of the human genome, we can now definitively state that the two genders were never meant to do anything more than hang out with each other platonically as pals," said noted evolutionary scientist Dr. Janet Karberg, adding that humans are genetically hardwired in such a way that getting involved romantically can only "ruin everything" between two people. "The true biological imperative of male and female humans is to enjoy long-lasting friendships that don't get bogged down in attraction or sexual tension in any way." Ideally, the report stated, men and women should just go to dinner or the movies every few weeks, hug at most, and then return home to masturbate in solitude.

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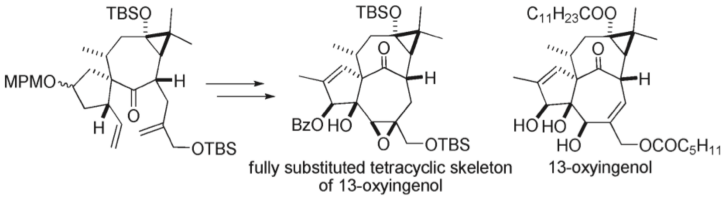
Ohyoshi, T.; Miyazawa, Y.; Aoki, K.; Ohmura, S.; Asuma, Y.; Hayakawa, I.; Kigoshi, H. *Org. Lett.* **2011**, *13*, 2160-2163.

## Synthetic Studies toward 13-Oxyingenol Construction of the Fully Substituted Tetracyclic Compound




13-Oxyingenol and its derivatives have high levels of anti-HIV activity. A fully substituted tetracyclic skeleton of 13-oxyingenol is constructed by using spiro-cyclization and ring-closing olefin metathesis as key steps.

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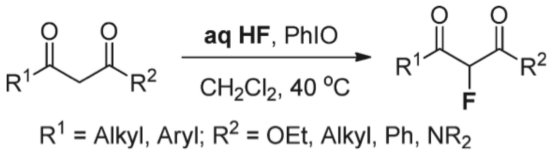
Kitamura, T.; Kuriki, S.; Morshed, M. H.; Hori, Y. *Org. Lett.* **2011**, *13*, 2392-2394.

## A Practical and Convenient Fluorination of 1,3-Dicarbonyl Compounds Using Aqueous HF in the Presence of Iodosylbenzene




$R^1$ = Alkyl, Aryl; $R^2$ = OEt, Alkyl, Ph, $NR_2$

A simple, practical, and convenient fluorination of 1,3-dicarbonyl compounds was achieved by direct use of aqueous hydrofluoric acid and iodoxylbenzene (PhIO). The reaction of ethylbenzoylacetate with the reagent system of aqueous HF and PhIO in $CH_2Cl_2$ gave ethyl 2-fluoro-2-benzoylacetate in 98% yield. Other 1,3-dicarbonyl compounds including β-keto esters and 1,3-diketones underwent the fluorination reaction to give the corresponding fluorinated products in good yields.

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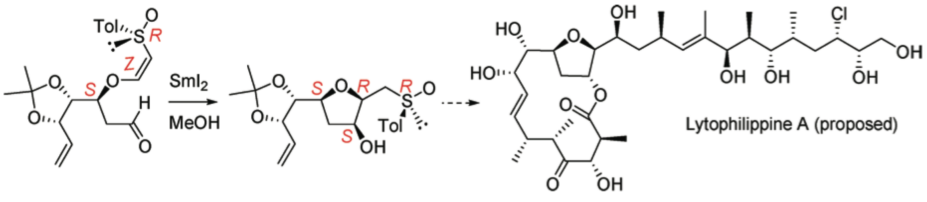
Fuwa, H.; Noto, K.; Sasaki, M. *Org. Lett.* **2011**, *13*, 1820-1823.

## Synthetic Studies on Lytophilippine A: Synthesis of the Proposed Structure




**Key Word:** Proposed

Synthesis of the proposed structure of lytophilippine A was accomplished employing $SmI_2$-mediated 5-*exo* cyclization of an aldehydo β-alkoxyvinyl sulfoxide and ring-closing metathesis reaction.

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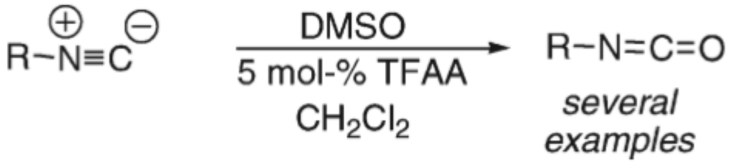
Le, H. V.; Ganem, B. *Org. Lett.* **2011**, *13*, 2584-12585.

**Trifluoroacetic Anhydride-Catalyzed Oxidation of Isonitriles by DMSO: A Rapid, Convenient Synthesis of Isocyanates**

**Reminder from Group Meeting!**

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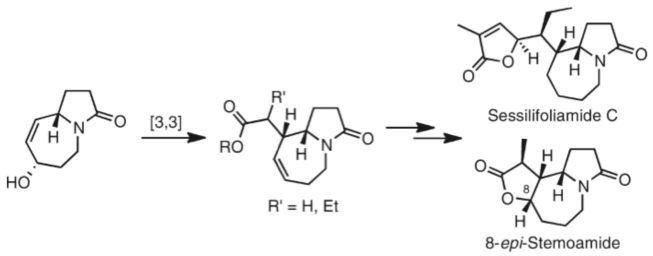
Hoye, A. T.; Wipf, P. *Org. Lett.* **2011**, *13*, 2634-2637.

**Total Synthetis of (-)-Sessilifoliamide C and (-)-8-*epi*-Stemoamide**




A convergent route featuring [3,3]-sigmatropic rearrangements of a linchpin azepinopyrrolidine served to install two of the four contiguous stereocenters present in the tricyclic *Stemona* alkaloids sessilifoliamide and stemoamide. In addition to the first total synthesis of (-)-sessilifoliamide C, a potential biosynthetic relationship between the sessilifoliamide and previously reported *Stemona* alkaloids is presented.

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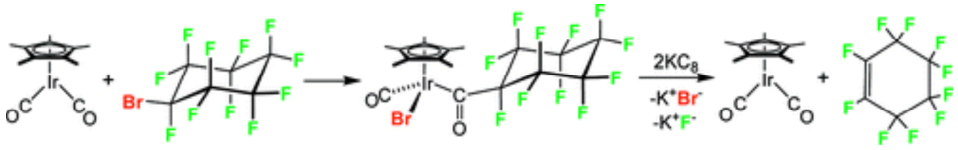
Citation: R Hughes, A Rheingold, et Al Organomet, 2011, 30 (6), pp 1744–1746

**Unexpected Synthesis of a Perfluoroacyl Complex, Cp*Ir(CO)(COC6F11)Br, by Direct Fluoroalkylation of a CO Ligand, and Elimination of Perfluorocyclohexene by Activation of a gamma-C-F Bond**




really strange reactivity

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**OM**
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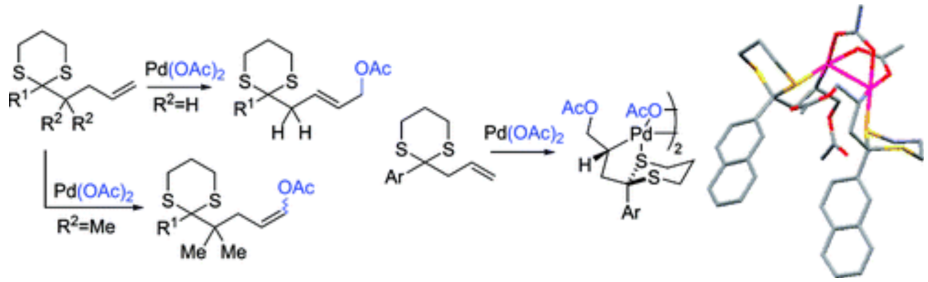
Laulimalide
Drug Deliv.

Citation: Tom D. Sheppard, et al. Organometallics, 2011, 30 (7), pp 1772–1775

**Sulfur-Directed Olefin Oxidations: Observation of Divergent Reaction Mechanisms in the Palladium-Mediated Acetoxylation of Unsaturated Thioacetals**

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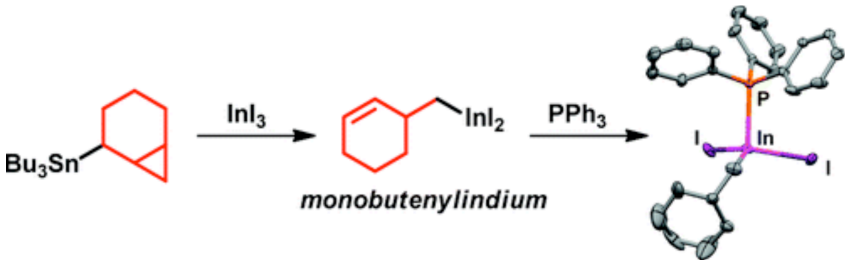
Citation: Akio Baba, et al. Organometallics, 2011, 30 (7), pp 2039–2043

**Sulfur-Directed Olefin Oxidations: Observation of Divergent Reaction Mechanisms in the Palladium-Mediated Acetoxylation of Unsaturated Thioacetals**

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Citation: Stuart Schriber and Many Other Authors
*Proceedings of the National Academy of Sciences,* **2011**, *108*, 6699.

## Organic synthesis toward small-molecule probes and drugs

"Organic synthesis" is a compound-creating activity often focused on biologically active small molecules. This special issue of PNAS explores innovations and trends in the field that are enabling the synthesis of new types of small-molecule probes and drugs. This perspective article frames the research described in the special issue but also explores how these modern capabilities can both foster a new and more extensive view of basic research in the academy and promote the linkage of life-science research to the discovery of novel types of small-molecule therapeutics [Schreiber SL (2009) Chem Bio Chem 10:26–29]. This new view of basic research aims to bridge the chasm between basic scientific discoveries in life sciences and new drugs that treat the root cause of human disease—recently referred to as the "valley of death" for drug discovery. This perspective article describes new roles that modern organic chemistry will need to play in overcoming this challenge.

Check out this entire feature for some great organic chemistry themed articles!

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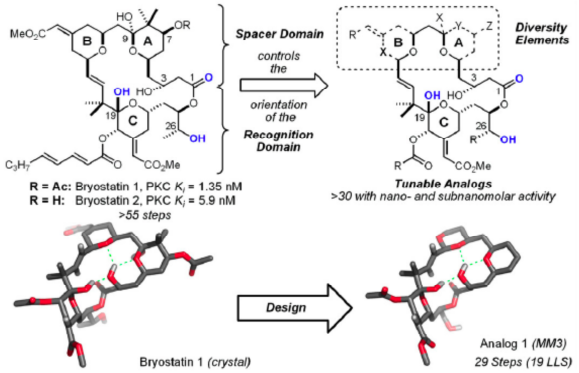
Citation: Paul Wender, Jeremy Baryza, Stacey Brenner, Brian DeChristopher, Brian Loy, Adam Schrier, & V. Verma *Proceedings of the National Academy of Sciences,* **2011**, *108*, 6721.

## Design, synthesis, and evaluation of potent bryostatin analogs that modulate PKC translocation selectivity

One of the special issue papers: Bryostatin Analogs

Check it out!

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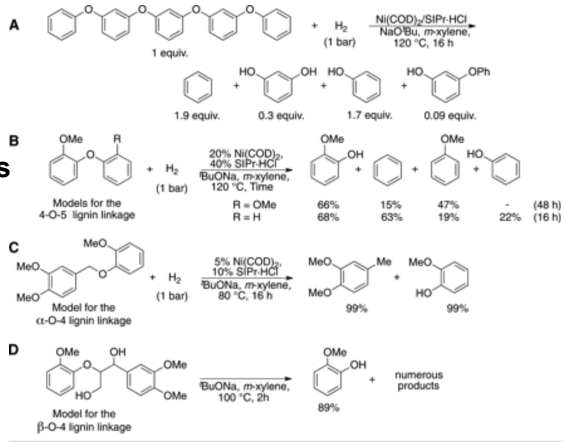
Citation: Sergeev, A.G.; Hartwig, J.F. *Science* **2011**, *332*, 439-443.

## Selective, Nickel-Catalyzed Hydrogenolysis of Aryl Ethers

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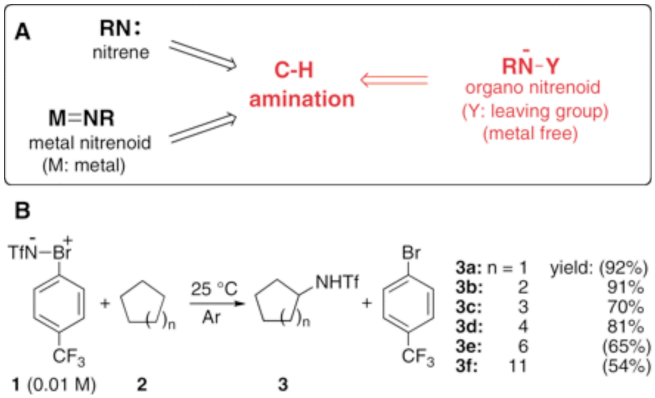
Citation: Ochiai, M.; Miyamoto, K.; Kaneaki, T.; Hayashi, S.; Nakanishi, W. *Science* **2011**, *332*, 448-451.

## Highly Regioselective Amination of Unactivated Alkanes by Hypervalent Sulfonylimino-lamda$^3$-Bromane

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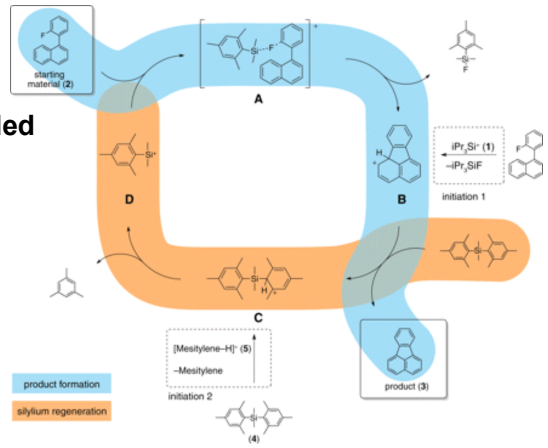
Citation: Allemann, O.; Duttwyler, S.; Romanato, P.; Baldridge, K.K.; Siegel, J.S. *Science* **2011**, *332*, 574-577.

**Proton-Catalyzed, Silane-Fueled Friedel-Crafts Coupling of Fluoroarenes**

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Citation: Bendall, S.C., *et al.* Nolan, G.P. *Science* **2011**, *332*, 687-696.

**Single-Cell Mass Cytometry of Differential Immune and Drug Responses Across a Human Hematopoietic Continuum**

A new technique for analyzing cells that's kind of like flow cytometry, only more awesome (it vaporizes cells at 5500K and then measures using mass spectrometry what's in them). More things can be measured per cell than with flow cytometry (with flow cytometry, you're limited by what doesn't overlap for each laser).

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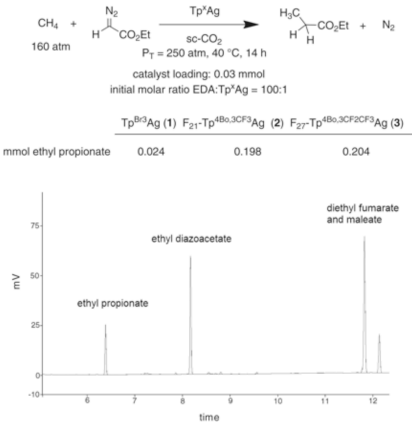
Citation: Caballero, A. *et al.* Perez, P.J. *Science* **2011**, *332*, 835-838.

**Silver-Catalyzed C-C Bond Formation Between Methane and Ethyl Diazoacetate in Supercritical $CO_2$**

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Citation: Cohen, J. *Science* **2011**, *332*, 784-789 and 786.

Two news focuses that would be of interest to Prostratin/Bryostatin in this issue:
1. "The emerging race to cure HIV infections"
2. "Understanding HIV latency to undo it"

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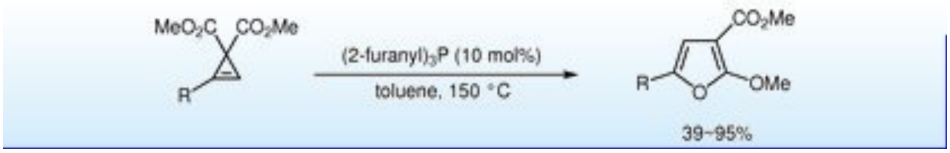
Citation: Chen, J.; Shengjun, M.; Ma, S., *Syn. Lett*. **2011**, 931-934.

**Highly Regioselective Synthesis of 2,3,5-Trisubstituted Furans via Phospphine-Catalyzed Ring-Opening Cycloisomerization Reactions of Cyclopropenyl Dicarboxylates**

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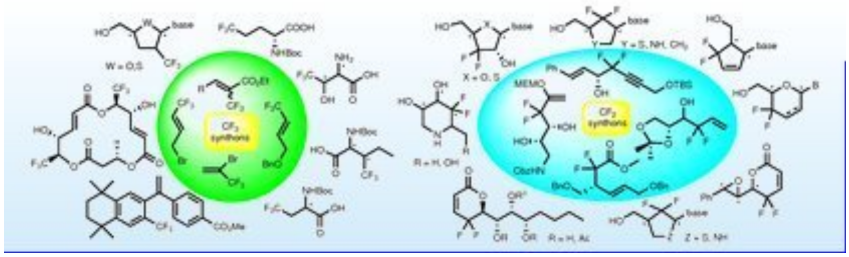
Citation: Qing, F-L.; Zheng, F., *Syn. Lett*. **2011**, 1052-1072.

**Synthesis of Trifluoromethylated and gem-Difluoromethylated Biologically Interesting Compounds from Fluorine-Containing Synthons**



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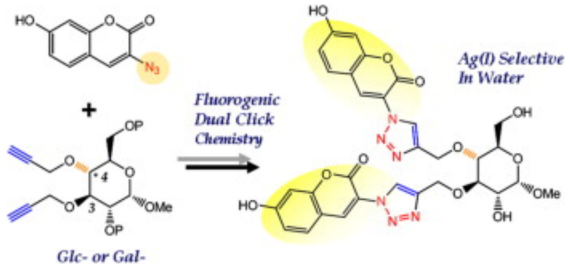
Citation: He, X-H.; Song, Z.; Wang, Z-Z.; Shi, X-X.; Chen, K.; Chen, G-R., *Tetrahedron*. **2011**, 67, 3343-3347.

**Creation of 3,4-bis-triazolocoumarin-sugar conjugates via flourogenic dual click chemistry and their quenching specificty with silver(I) in aqueous media**

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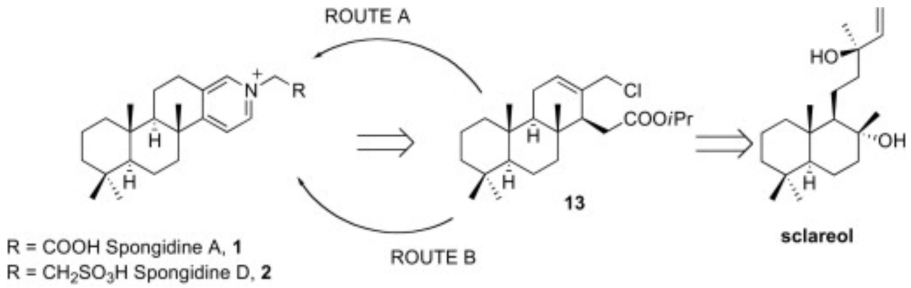
Citation: Basabe, P.; Blanco, A.; Marcos, I. S.; Diez, D.; Bodero, O.; Martin, M.; Urones, J. G., *Tetrahedron*. **2011**, 67, 3649-3658

**Synthesis of spongidines A and D: marine metabolites phospholipase $A_2$ Inhibitors**

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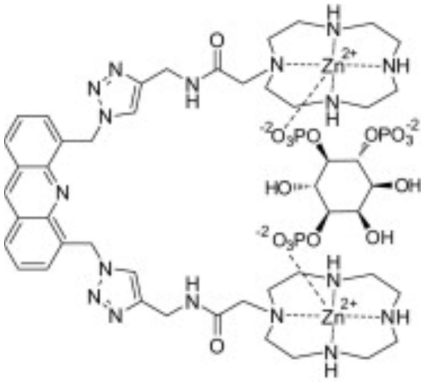
Citation: Do-Thanh, C-L.; Rowland, M. M.; Best, M. D., *Tetrahedron*. **2011**, 67, 3803-3808.

**Fluorescent bis-cyclen tweezer receptors for Inositol (1,4,5)-triphosphate**



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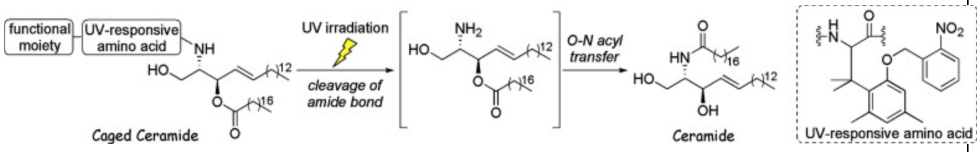
Citation: Shigenaga, A.; Hirakawa, H.; Yamamoto, J.; Ogura, K.; Denda, M.; Yamaguchi, K.; Tsuji, D.; Itoh, K.; Otaka, A., *Tetrahedron*. **2011**, 67, 3984-3990.

**Design and synthesis of caged ceramide: UV-responsive ceramide releasing system based on UV-induced amide bond cleavage followed by O-N acyl transfer**

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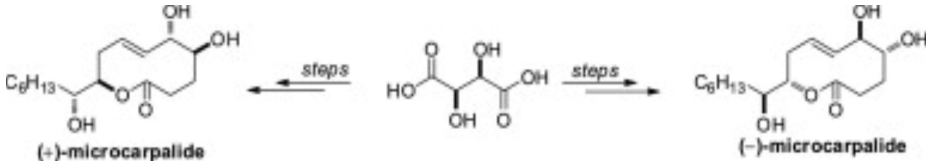
Citation: Prasad, K. R.; Penchalaiah, K., *Tetrahedron*. **2011**, 67, 4268-4276.

**Enantiodivergent total synthesis of microcarpalide from L-tartaric acid**

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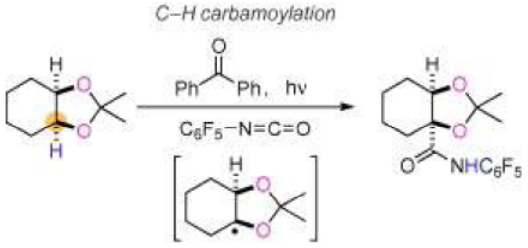
Citation: Shin Kamijo, Tamaki Hoshikawa and Masayuki Inoue, *Tetrahedron Lett.* **52** (2011) 2885.




An intermolecular carbamoylation of ethers has been achieved via photoinduced functionalization of ethereal C–H bonds in the presence of benzophenone at ambient temperature. The carbamoyl group, a one-carbon unit with a high oxidation state, derived from pentafluorophenyl isocyanate is chemoselectively introduced at the position geminal to the oxygen functionality in a single step. The present reaction system effectively activates the tertiary C–H bond at the sterically hindered site of fused bicyclic systems, and enables introduction of the carbamoyl group.

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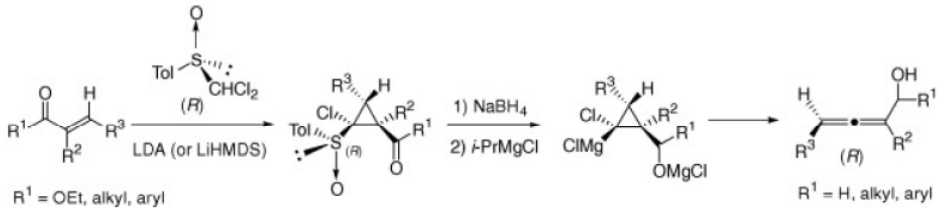
Citation: Hitoshi Momochi et al. *Tetrahedron Lett.* **52** (2011) 3016.




The reaction of lithium α-sulfinyl carbanion of enantiopure dichloromethyl p-tolyl sulfoxide with α,β-unsaturated carbonyl compounds gave optically active 1-chlorocyclopropyl p-tolyl sulfoxides having a carbonyl group with high asymmetric induction from the sulfur chiral center. Reduction of the carbonyl group followed by treatment with Grignard reagent, the 1-chlorocyclopropyl p-tolyl sulfoxides resulted in the formation of enantiopure allenic alcohols via the Doering–LaFlamme-type rearrangement of enantiopure cyclopropylmagnesium carbenoid intermediates. This is the first example for the asymmetric synthesis of allenes by the Doering–LaFlamme allene synthesis.

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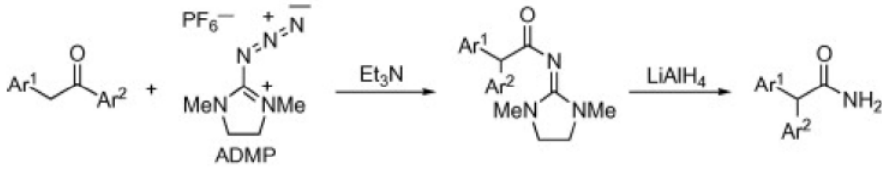
Citation: Mitsuru Kitamura, Satoshi Miyagawa and Tatsuo Okauchi, *Tetrahedron Lett.* **52** (2011) 3154.




Benzyl aryl ketones reacted with 2-azido-1,3-dimethylimidazolinium hexafluorophosphate (ADMP) to give migratory amidated compounds, which were transformed into the corresponding diarylacetamides by treating with $LiAlH_4$.

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