

Volume 41 / Issue 04 15 April 2016

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**Next Due Date:** Monday, May 16, 2016

## Instructions for Authors (Volume 1)

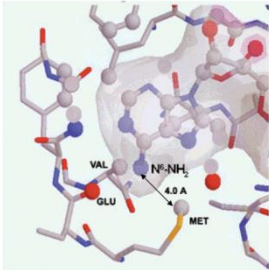
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent 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 knear@stanford.edu. Late abstracts will be included in the Lit Review for the following month. **PCs please send .cdx and macs please send .pdf files.**

Citation: Abeyweera, T.P.; Rotenberg, S.A. <i>Biochemistry</i> <b>2007</b> , <i>46</i> , 2364-2370	
<p><b>Design and Characterization of a Traceable Protein Kinase C-alpha</b></p> <p>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 (1-<math>\beta</math>-<sup>32</sup>P-<i>N</i>-6-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, <sup>32</sup>P-labeled products were the direct result of the mutant PKCR.</p>	
	<p><b>bioorganic</b> asymmetric methods synthesis mechanism review other</p> <p><b>OM</b> <b>Bryo</b> Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.</p>

Citation: Dictionary.com (search term = "mook")	
<p>For those of you who always wanted to know what it meant....</p> <p><b>mook</b> <b>Pronunciation Key</b> (mk) <i>n. Slang</i> An insignificant or contemptible person.</p>	<p><b>methods</b> synthesis</p>

### **DON'T BE A MOOK!**

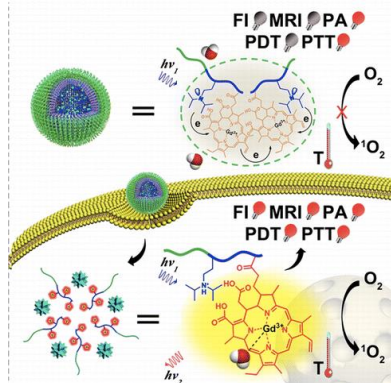
Lit Review MOOKS include those who:

- 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 get last choice when it's time to pick new journals.

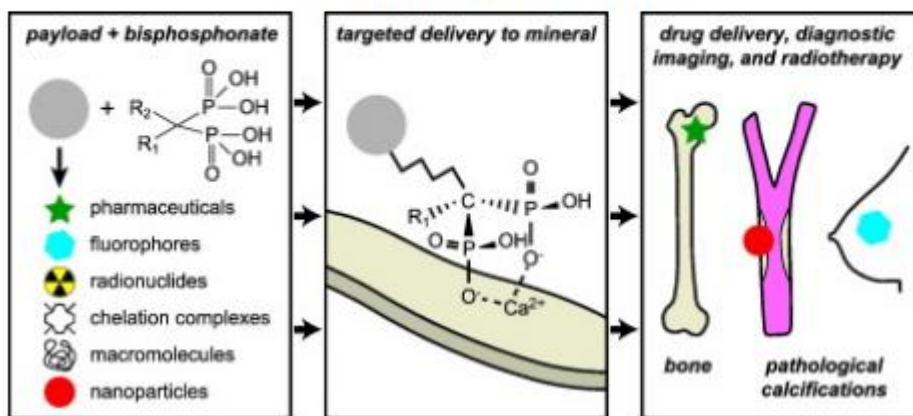
### Intracellularly Acid-Switchable Multifunctional Micelles for Combinational Photo/Chemotherapy of the Drug-Resistant Tumor



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### Targeted delivery to bone and mineral deposits using bisphosphonate ligands



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### PEGylation as a strategy for improving nanoparticle-based drug and gene delivery

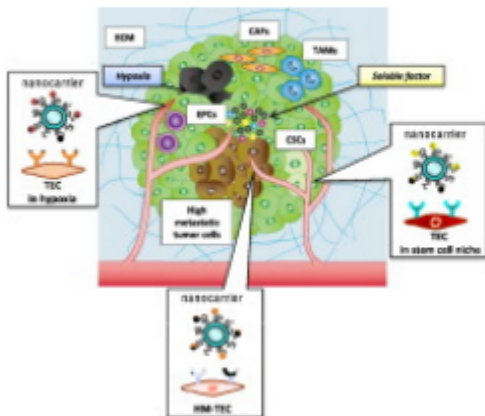
Here the authors discuss the history of the development of PEGylated nanoparticle formulations for systemic administration, including how factors such as PEG molecular weight, PEG surface density, nanoparticle core properties, and repeated administration impact circulation time. They also describe how PEG coatings on nanoparticles have been utilized for overcoming various biological barriers to efficient drug and gene delivery associated with other modes of administration and methods for PEGylating NPs and characterizing PEG surface density.

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Citation: Hida, K.; et al. *Adv. Drug Deliv. Rev.* **2016**, *99*, 140.

### Heterogeneity of tumor endothelial cells and drug delivery



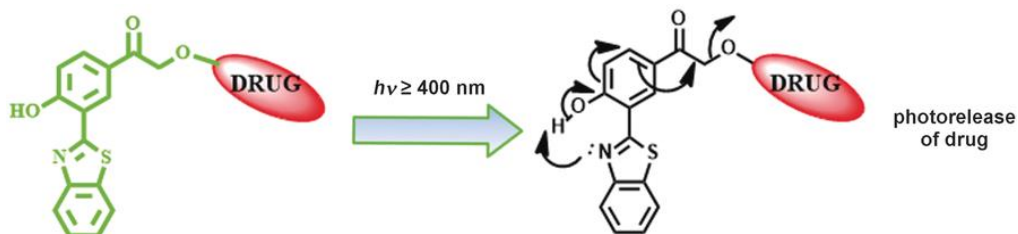
The authors have investigated differences between tumor endothelial cells (TECs) with differing malignancy. They discuss how TECs in tumor vasculature are heterogeneous and offer new perspectives on drug delivery systems that can target tumor blood vessels using personalized medicine.

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Citation: Barman, S. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 4194–4198

### A p-Hydroxyphenacyl–Benzothiazole–Chlorambucil Conjugate as a Real-Time-Monitoring Drug-Delivery System Assisted by Excited-State Intramolecular Proton Transfer



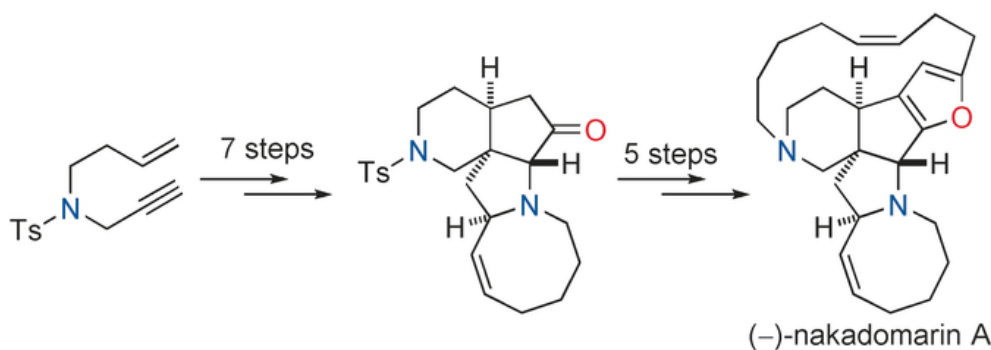
**Let it go...** The two major limitations for theranostics of the p-hydroxyphenacyl (pHP) phototrigger were overcome by incorporating a benzothiazole appendage to enable rapid excited-state intramolecular proton transfer (ESIPT; see picture). The ESIPT effect had two key advantages: It assisted the deprotonation of pHP group for faster release of the anticancer drug chlorambucil and led to a fluorescence color change upon photorelease.

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Citation: Clark, S. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 4332–4335.

### Total Synthesis of (-)-Nakadomarin A

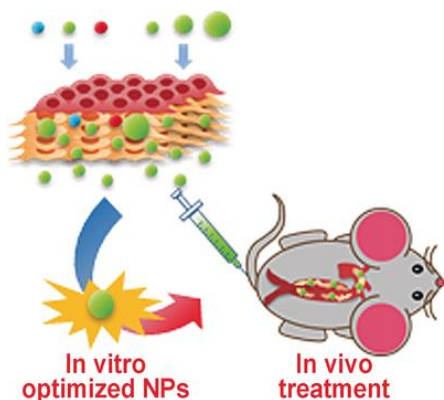


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Citation: Chetprayoon, P. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 4461-4466.

### Use of Three-Dimensional Arterial Models To Predict the In Vivo Behavior of Nanoparticles for Drug Delivery



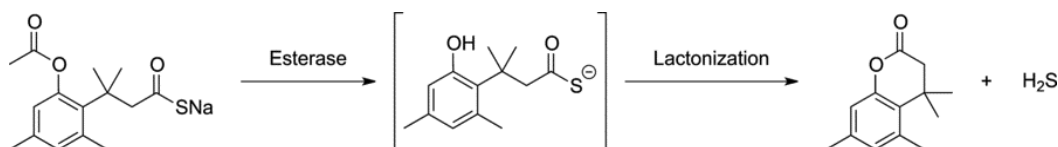
**Throw away the crystal ball:** Three-dimensional human-artery models allowed in vitro prediction of the in vivo behavior of drug-delivery nanoparticles. In vivo experiments with atherosclerotic mice suggested strong biological characteristics and potential treatment effects of nanoparticles optimized in vitro (see picture). This approach is a promising alternative to animal experiments for the optimization of nanomaterials.

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Citation: Zheng, Y. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 4514-4518.

### Esterase-Sensitive Prodrugs with Tunable Release Rates and Direct Generation of Hydrogen Sulfide



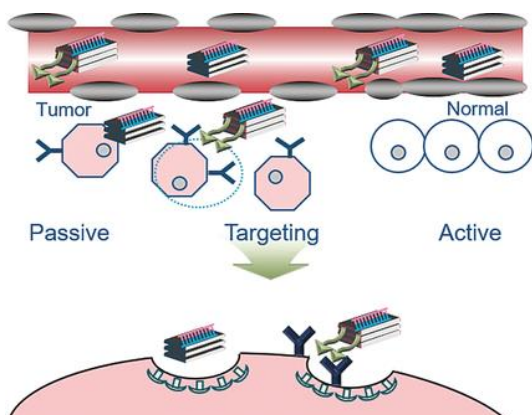
**Tunable H<sub>2</sub>S supply:** Prodrugs that release hydrogen sulfide upon esterase-mediated cleavage of an ester group followed by lactonization are described (see example). By modifying the ester group and thus its susceptibility to esterase H<sub>2</sub>S release rates can be tuned. The anti-inflammatory effects of one candidate were examined by studying its ability to inhibit TNF- $\alpha$  production in RAW 264.7 cells.

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Citation: Park, D. H. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 4582-4586.

### Biodegradable Inorganic Nanovector: Passive versus Active Tumor Targeting in siRNA Transportation



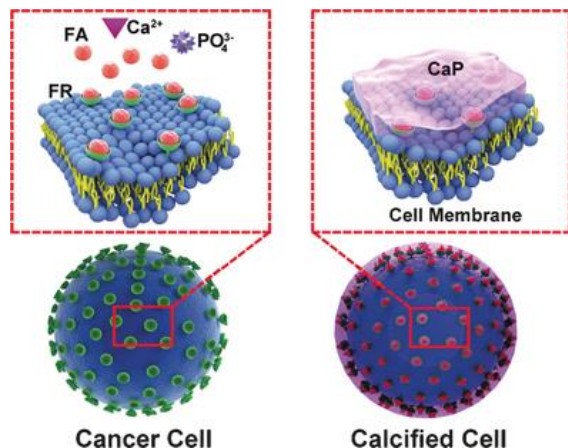
**Cancer therapy:** An inorganic layered double hydroxide (LDH) nanovector with a folic acid (FA) conjugated surface showed siRNA-based cancer therapeutic efficacy in vivo through receptor-mediated active targeting (see picture). A 1.2-fold higher accumulation of the drug was achieved in tumor tissue, resulting in 3.0-fold higher suppression of tumor volume.

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Citation: Zhao, R. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 5225-5229.

### A Drug-Free Tumor Therapy Strategy: Cancer-Cell-Targeting Calcification



#### Anticancer mineralization:

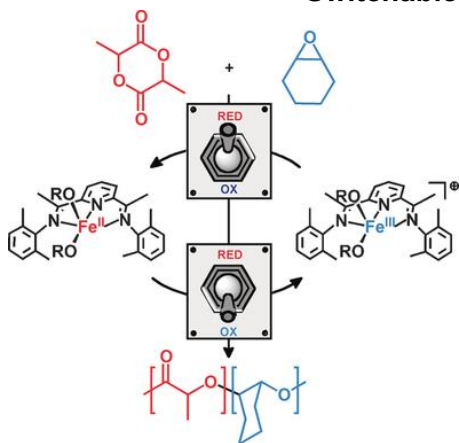
Cancer-cell-targeting calcification can convert tumors into calcified tissues by using folic acid (FA), calcium, and the folate receptor (FR) resulting in cancer cell growth and metastatic inhibition without any drugs. These results suggest cancer cells can be selectively targeted using biomineralization methods.

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Citation: Biernesser, A. B. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 5251-5254.

### Block Copolymerization of Lactide and an Epoxide Facilitated by a Redox Switchable Iron-Based Catalyst



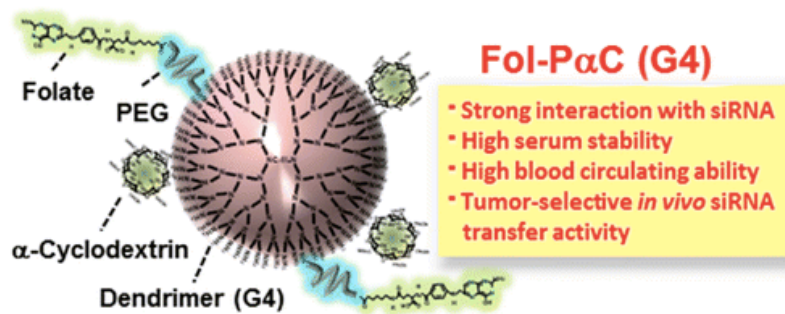
The redox-controlled block copolymerization of cyclohexene oxide and lactide capitalizes on the ability for a bis(imino)pyridine iron bisalkoxide complex to polymerize lactide in the iron(II) oxidation state and epoxide in the iron(III) state, but not vice versa. Diblock copolymers were synthesized with both monomers present starting with either the iron(II) or iron(III) catalyst and using an in situ redox switch.

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Citation: Ohyama, A. et al. *Bioconjugate chemistry* **2016**, 521-532.

### In Vitro and In Vivo Targeting siRNA Delivery using Folate-PEG appended Dendrimer



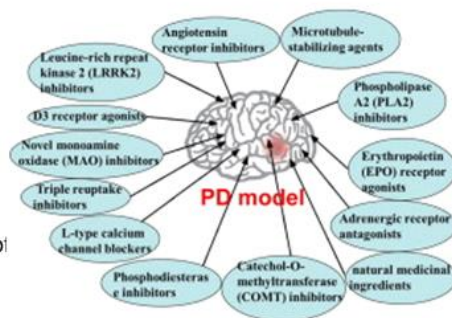
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Citation: Zhang, *et al. Bioorg. Med. Chem.* **2016**, *24*, 1419-1430.

### Emerging targets and new small molecule therapies in Parkinson's disease treatments

In recent years, research on the pathogenesis of PD and its clinical manifestations has led to the discovery of an increasing number of novel targets in PD, including several small molecule targeted compounds. In this paper, we analyze and summarize the most recently published PD literature and review several recently discovered novel targets in PD and their small molecule targeted pharmacologically active agents based on their mechanisms of action and pharmacodynamic profiles.

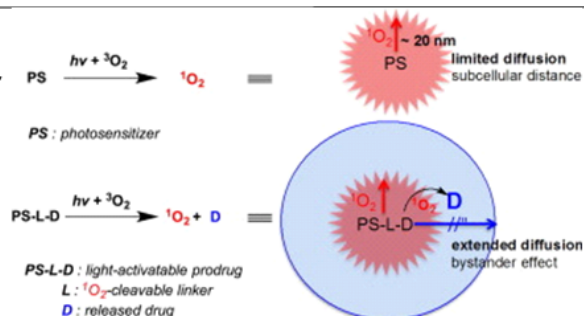


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Citation: Rajaputra, *et al. Bioorg. Med. Chem.* **2016**, *24*, 1540-1549.

### Anticancer drug released from near IR-activated prodrug overcomes spatiotemporal limits of singlet oxygen



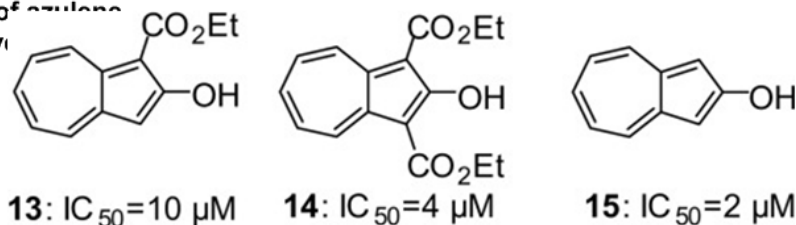
Here, we present clear evidence supporting our hypothesis that the superior activity of the prodrug Pc-(L-CA4)<sub>2</sub> over Pc-(NCL-CA4)<sub>2</sub> is due to the released anticancer drug CA4, overcoming the spatial and temporal limits of  $^1O_2$ , a major toxic species of PDT. We used HPLC to quantify the CA4 released from the prodrug in the complete medium after in vitro illumination. We then acquired images of live cells after partial illumination of the prodrug-treated wells to examine the broader cell kill achieved with Pc-(L-CA4)<sub>2</sub>. To demonstrate the sustained cytotoxic effect of the released CA4, we determined the cell survival 30 min or 3 days after treatment, with or without replacing the culture medium. After treatment, we collected fluorescence images of the cells to observe the tubulin staining patterns. Finally, we generated histological images of the tumors to observe the time-dependent pattern of damage after treatment.

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Citation: Peet, *et al. Bioorg. Med. Chem.* **2016**, *24*, 1653-1657.

### Antiretroviral (HIV-1) activity of azulene derivatives



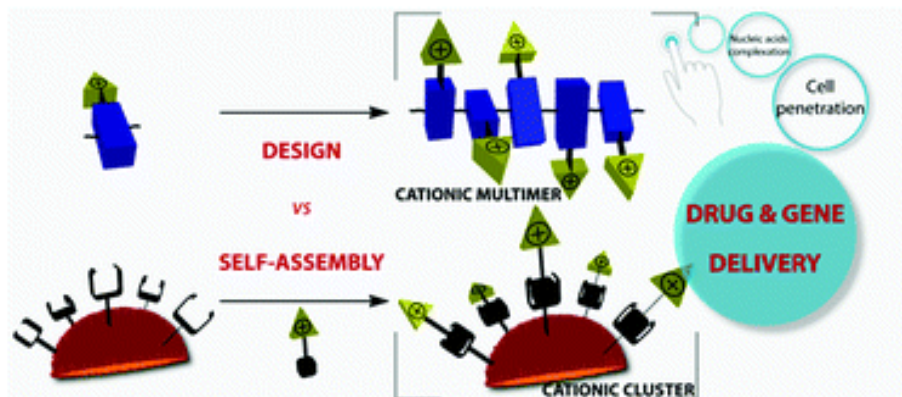
The antiretroviral activity of azulene derivatives was detected for the first time. A series of eighteen diversely substituted azulenes was synthesized and tested in vitro using HIV-1 based virus-like particles (VLPs) and infectious HIV-1 virus in U2OS and TZM-bl cell lines. Among the compounds tested, the 2-hydroxyazulenes demonstrated the most significant activity by inhibiting HIV-1 replication with IC<sub>50</sub> of 2°C10 and 8°C20 μM for the VLPs and the infectious virus, respectively. These results indicate that azulene derivatives may be potentially useful candidates for the development of antiretroviral agents.

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Citation: Bartolami, E. *et al. Chem. Commun.* **2016**, 52, 4257.

### Bioactive clusters promoting cell penetration and nucleic acid complexation for drug and gene delivery applications: from designed to self-assembled and responsive systems

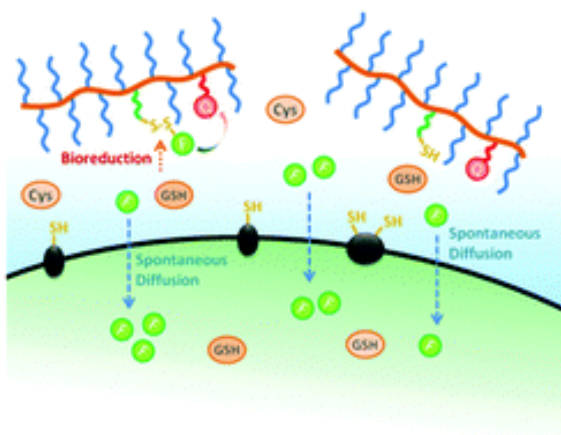


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Citation: Ling, Y.; *et al. Chem. Commun.* **2016**, 52, 4533.

### POEGMA-based disulfide-containing fluorescent probes for imitating and tracing noninternalization-based intracellular drug delivery



This study provides a proof-of-concept demonstration of exploiting bioreduction in the extracellular spaces for the intracellular delivery of hydrophobic drugs or probes.

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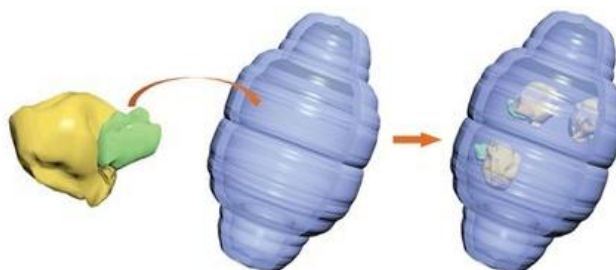
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Citation: C&EN, March 21, 2016, p. 6.

### Caged enzymes for bioremediation

... national meeting in San Diego, a team led by Shaily Mahendra, an

**Manganese peroxidase (yellow) can be packaged in vault particles (blue) with an added domain (green) that helps the enzymes bind within the vaults.**



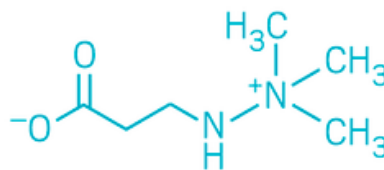
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Citation: C&EN, March 21, 2016, p. 14.

## Meldonium

Russian tennis star Maria Sharapova is one of dozens of athletes who have tested positive for meldonium, known by the brand name Mildronate, a drug banned this year by the World Anti-Doping Agency. Meldonium is made by the Latvian pharmaceutical firm Grindex and used in Baltic countries to treat cardiovascular and neurodegenerative disorders. Grindex says the drug prevents cell death by boosting oxygen uptake but does not improve athletic performance and thus should not be banned. It stressed that no adverse reactions to Mildronate use in athletes have been registered.



**Meldonium**

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Citation: C&EN, March 21, 2016, p. 24.

## Brewing up an analytical services firm

A surge in craft beers gives rise to a niche market for analytical chemistry. An explosion of diversity [in beer selection] has created a niche market for brewing analytical services: chemists and biologists who help brewers figure out exactly what's in their tanks - and how to make it taste better. Major labels such as Budweiser have always had staff scientists doing quality assurance and control (QA/QC), but in-house labs at small to medium-sized operations are becoming more and more common.

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Citation: C&EN, March 21, 2016, p. 26.

## C&EN talks with Christina Smolke, synthetic biology pioneer

Last year Christina Smolke of Stanford University developed yeast that turns glucose into the opioids thebaine and hydrocodone (*Science* 2015). The work could offer a more efficient route to the vital drugs through industrial fermentation.

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Citation: C&EN, March 28, 2016, p. 13.

### Harvard, Merck sign hefty drug pact

Representing the largest license fee ever for a technology developed at Harvard University, Merck & Co. will pay \$20 million up front for preclinical compounds for leukemia developed in the labs of chemist Matthew Shair.

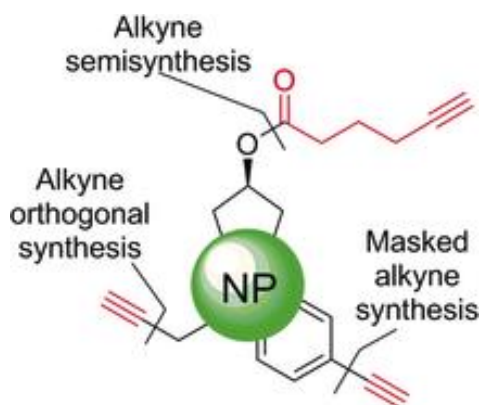
Merck gains small molecules that block enzymes controlling the transcription of gene expression that goes awry in acute myeloid leukemia (AML). Shair's team recently unraveled how cortistatin A, a natural product isolated from sea sponges, stops AML cells from growing. Shair found that the compound potently and selectively blocks protein kinases that tune the transcription of certain genes. The researchers then designed easier-to-make derivatives of cortistatin A.

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Citation: Lehmann, J. et al. *Chem. Eur. J.* **2016**, 22, 4666-4678

### Making a Long Journey Short: Alkyne Functionalization of Natural Product Scaffolds



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Citation: Du, D. et al. *Chem. Eur. J.* **2016**, 22, 4733-4737

### Construction of Spirocyclic Oxindoles through Regio- and Stereoselective [3+2] or [3+2]/[4+2] Cascade Reaction of *a,b*-Unsaturated Imines with 3-Isothiocyanato Oxindole



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Citation: Tap, A. et al. *Chem. Eur. J.* **2016**, *22*, 4938-4944

### Alkoxyallene-ynes: Selective Preparation of Bicyclo[5.3.0] Ring Systems Including a d-Alkoxy Cyclopentadienone



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Citation: Chen, J. et al. *Chem. Eur. J.* **2016**, *22*, 5363-5375

### Synthesis of Cyclic Vinylidene Complexes and Azavinylidene Complexes by Formal [4+2] Cyclization Reactions

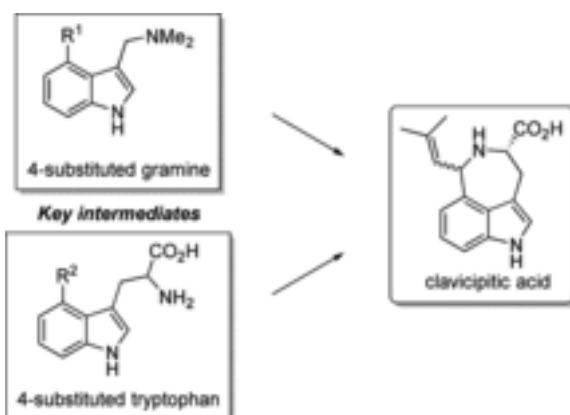


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Citation: Ito, M. et al. *Chem. Eur. J.* **2016**, *22*, 5468-5477

### Strategies for the Total Synthesis of Clavicipitic Acid

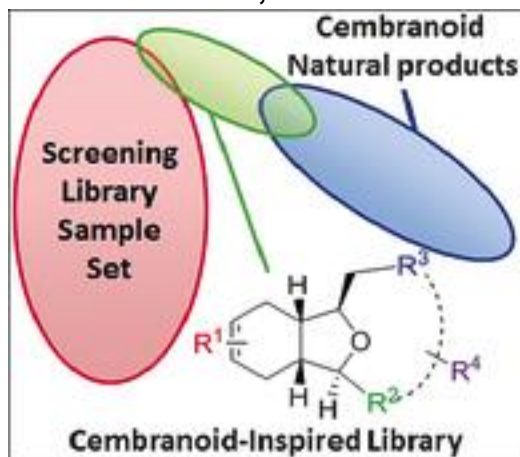


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Citation: Welford, A. J. et al. *Chem. Eur. J.* **2016**, 22, 5657-5664

### Synthesis and Evaluation of a 2,11-Cembranoid-Inspired Library



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Citation: Zhou, R. et al. *Chem. Eur. J.* **2016**, 22, 5883-5887

### Unusual Formal [1+4] Annulation through Tandem P(NMe<sub>2</sub>)<sub>3</sub>-Mediated Cyclopropanation/Base-Catalyzed Cyclopropane Rearrangement: Facile Syntheses of Cyclopentenimines and Cyclopentenones

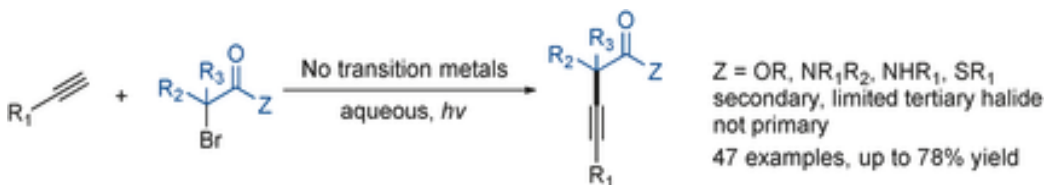


bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Liu, W. et al. *Chem. Eur. J.* **2016**, 22, 5888-5893

### Transition-Metal-Free Coupling of Alkynes with $\alpha$ -Bromo Carbonyl Compounds: An Efficient Approach towards $b,g$ -Alkynoates and Allenates



bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: **JAMA. 2016;315(12):1217-1218**

**Julie A. Jacob**

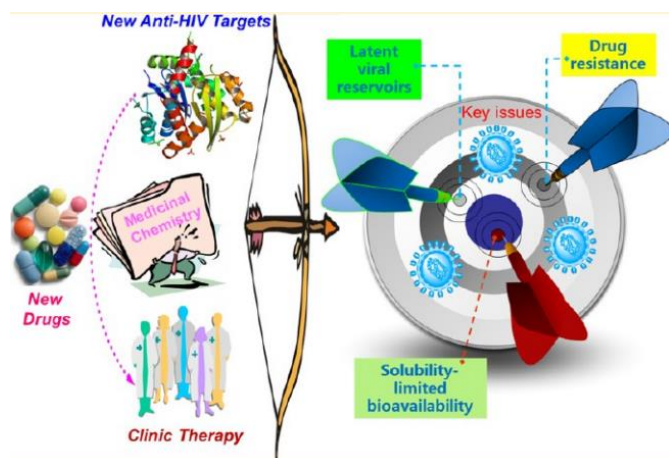
**Protease-Activated Fluorescent Probe Shows Promise as a Cancer Imaging Device**

bioorganic  
methods  
synthesis  
mechanism  
review  
**other**

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Bryo  
DDO  
Hybrid  
**Drug Deliv.**  
Prostratin

Citation: Zhan, P.; Pannecouque, C.; De Clercq, E.; Liu, X. J. Med. Chem. **2016**, *59*, 2849-2878.

**Anti-HIV Drug Discovery And Development: Current Innovations And Future Trends**

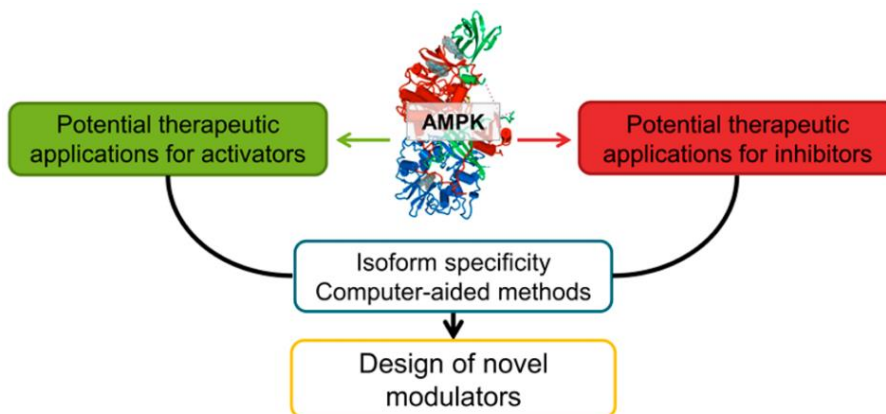


bioorganic  
methods  
synthesis  
mechanism  
review  
other

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Bryo  
DDO  
Hybrid  
**Drug Deliv.**  
Prostratin

Citation: Miglianico, M.; Nicolaes, G.; Neumann, D.. J. Med. Chem. **2016**, *59*, 2879-2893.

**Pharmacological Targeting Of AMP-Activated Protein Kinase And Opportunities For Computer-Aided Drug Design**

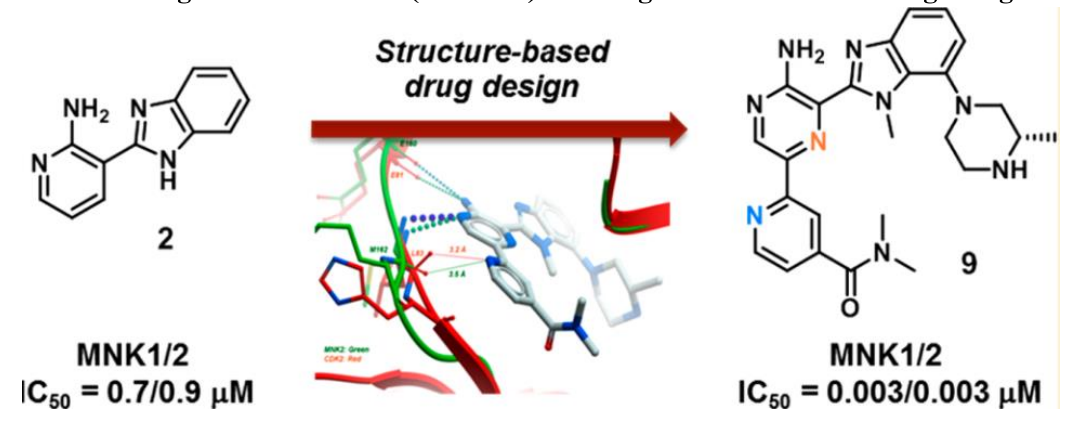


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methods  
synthesis  
mechanism  
review  
other

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DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: (4) Han, W.; Ding, Y.; Xu, Y.; Pfister, K.; Zhu, S.; Warne, B.; Doyle, M.; Aikawa, M.; Amiri, P.; Appleton, B. et al. *J. Med. Chem.* **2016**, *59*, 3034-3045.

**Discovery Of A Selective And Potent Inhibitor Of Mitogen-Activated Protein Kinase-Interacting Kinases 1 And 2 (MNK1/2) Utilizing Structure-Based Drug Design**

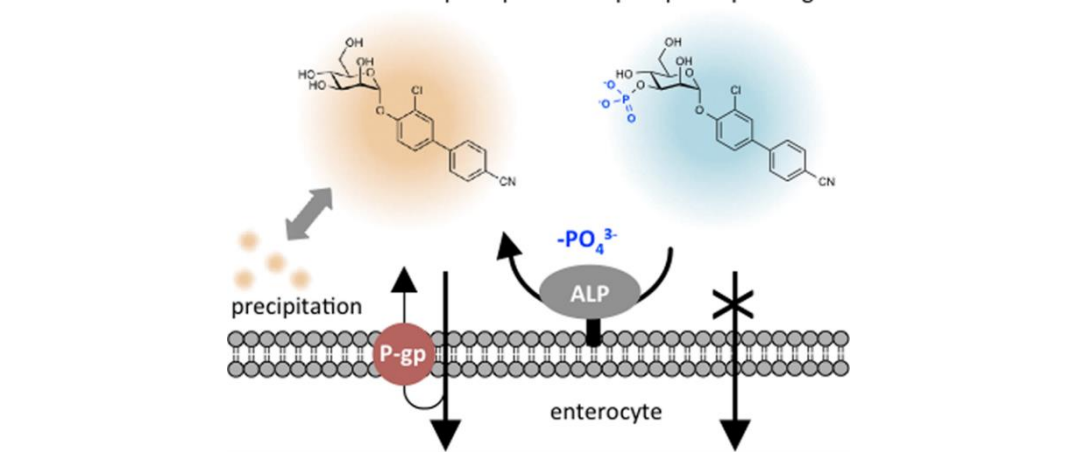


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mechanism  
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other

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DDO  
**Hybrid**  
Drug Deliv.  
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Citation: Kleeb, S.; Jiang, X.; Frei, P.; Sigl, A.; Bezençon, J.; Bamberger, K.; Schwarzt, O.; Ernst, B.. *J. Med. Chem.* **2016**, *59*, 3163-3182.

**Fimh Antagonists: Phosphate Prodrugs Improve Oral Bioavailability**  
dissolved active principle      phosphate prodrug

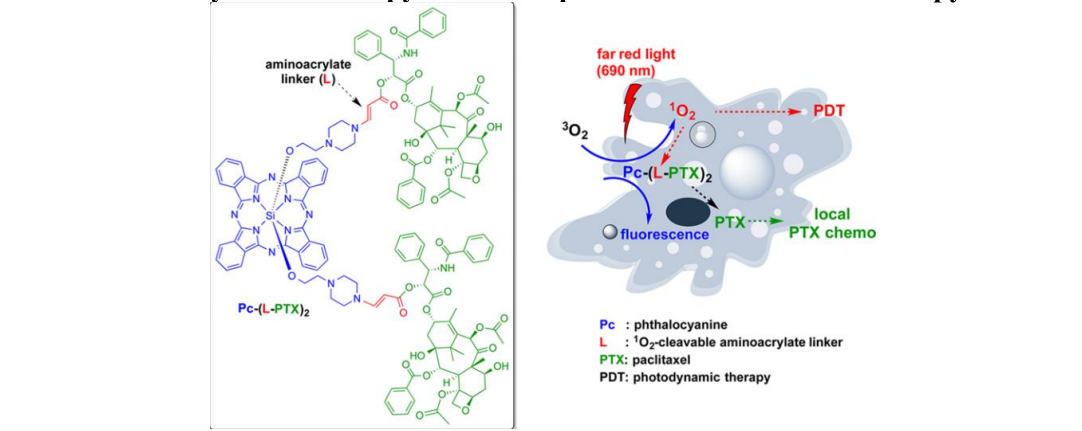


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mechanism  
review  
other

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Hybrid  
**Drug Deliv.**  
Prostratin

Citation: (6) Thapa, P.; Li, M.; Bio, M.; Rajaputra, P.; Nkepang, G.; Sun, Y.; Woo, S.; You, Y. . *J. Med. Chem.* **2016**, *59*, 3204-3214.

**Far-Red Light-Activatable Prodrug Of Paclitaxel For The Combined Effects Of Photodynamic Therapy And Site-Specific Paclitaxel Chemotherapy**

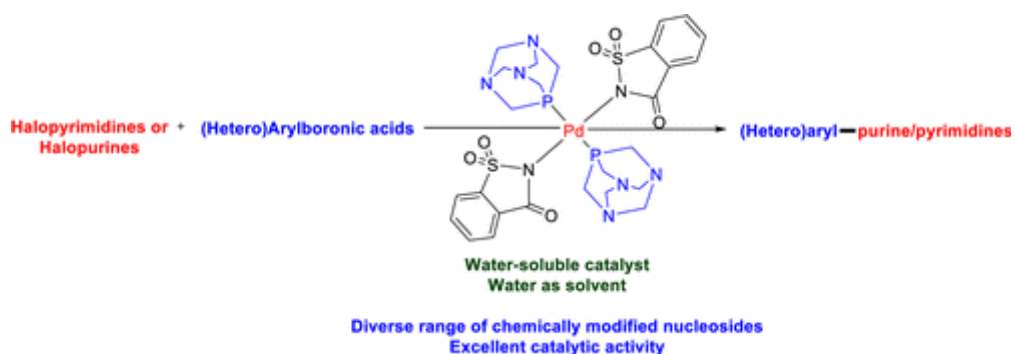


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mechanism  
review  
other

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Hybrid  
**Drug Deliv.**  
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Citation: Gayakhe, V.; *et al. JOC*, **2016**, *81*, 2713-2729.

### Water-Soluble Pd–Imidate Complexes: Broadly Applicable Catalysts for the Synthesis of Chemically Modified Nucleosides via Pd-Catalyzed Cross-Coupling

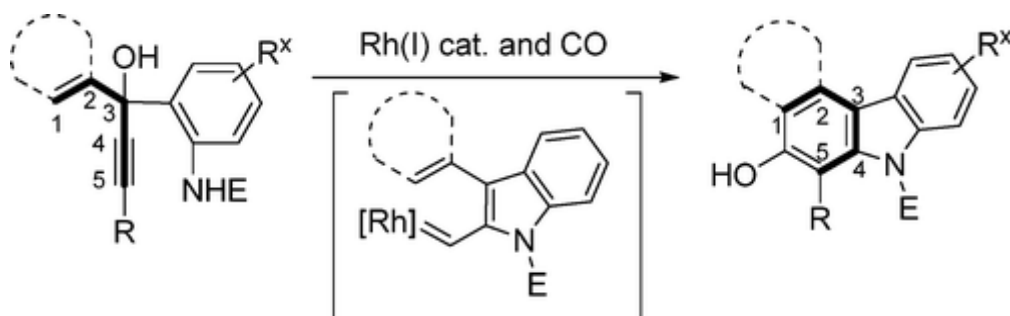


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other

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Hybrid  
Drug Deliv.  
Prostratin

Citation: Song, W.; *et al. JOC*, **2016**, *81*, 2930-2942.

### Synthesis of Carbazoles and Carbazole-Containing Heterocycles via Rhodium-Catalyzed Tandem Carbonylative Benzannulations

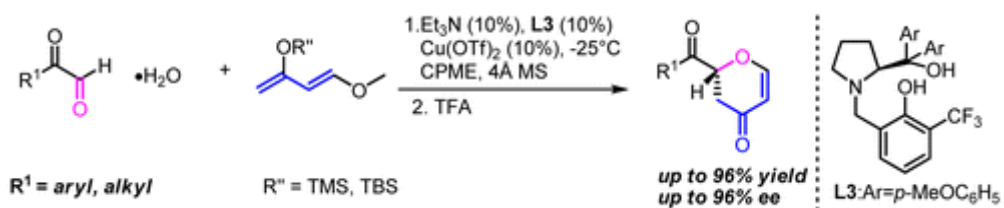


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Hybrid  
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Prostratin

Citation: Li, Y.; *et al. JOC*, **2016**, *81*, 2993-2999.

### Copper-Catalyzed Enantioselective Hetero-Diels–Alder Reaction of Danishefsky's Diene with Glyoxals

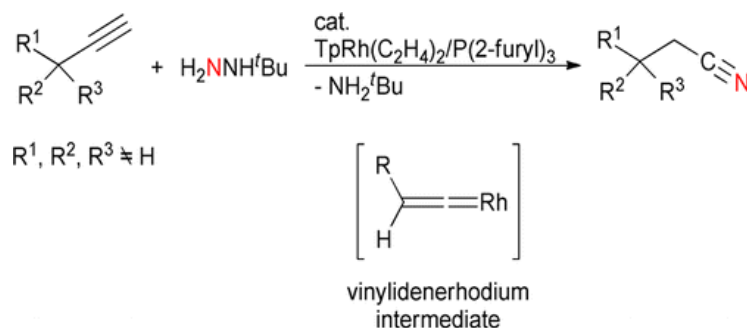


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Citation: Smith, A.B. III; *et al. JOC*, **2016**, *81*, 1930-1942.

**Conversion of 3,3,3-Trisubstituted Prop-1-yne with tert-Butylhydrazine into 3,3,3-Trisubstituted Propionitriles Catalyzed by TpRh(C<sub>2</sub>H<sub>4</sub>)<sub>2</sub>/P(2-furyl)<sub>3</sub>**

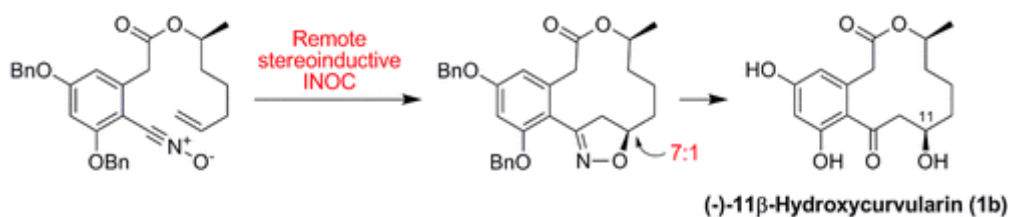


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mechanism  
review  
other

OM  
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DDO  
Hybrid  
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Prostratin

Citation: Lee, J.; *et al. JOC*, **2016**, *81*, 2612-2617.

**Remote Stereoinductive Intramolecular Nitrile Oxide Cycloaddition: Asymmetric Total Synthesis and Structure Revision of (-)-11 $\beta$ -Hydroxycurcularin**



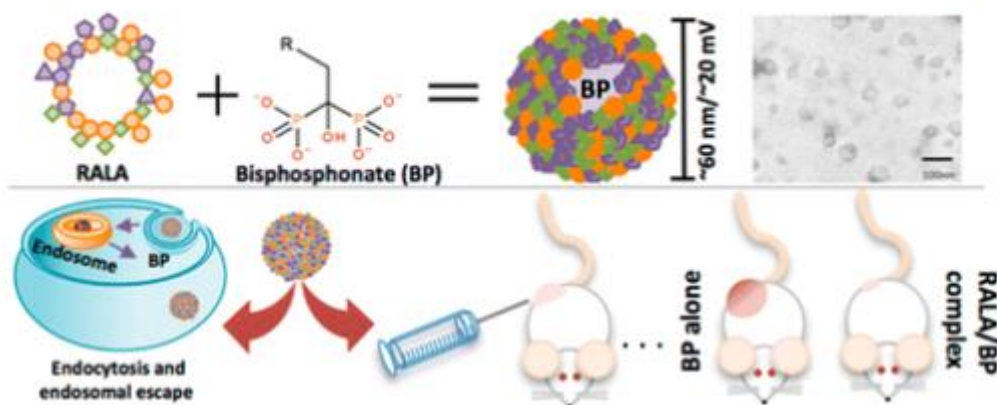
Well that's cute.

bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Massey, A.S.; *et al. Mol. Pharm.* **2016**, *13*, 1217.

**Potentiating the Anticancer Properties of Bisphosphonates by Nanocomplexation with the Cationic Amphipathic Peptide, RALA**



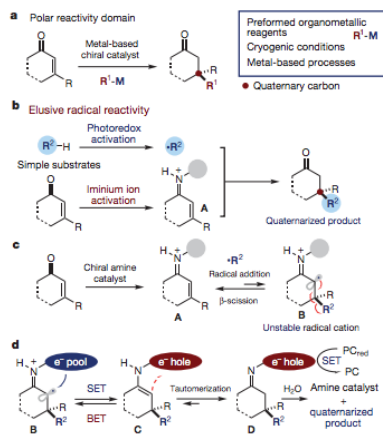
bioorganic  
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synthesis  
mechanism  
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other

OM  
Bryo  
DDO  
Hybrid  
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Citation: Nature 532, 218–222 (14 April 2016)

### Asymmetric catalytic formation of quaternary carbons by iminium ion trapping of radicals



bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
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Citation: Francl, M. *Nature Chemistry*, **2016**, 8, 289-290.

### Changing chemistry by degrees

An interesting discussion of how the invention of temperature scales brought about modern chemical knowledge.

bioorganic  
methods  
synthesis  
mechanism  
review  
**other**

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Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Kattnig, D.R.; *et al. Nature Chemistry*, **2016**, 8, 384-391.

### Chemical amplification of magnetic field effects relevant to avian magnetoreception

See the title.

bioorganic  
methods  
synthesis  
mechanism  
review  
**other**

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Bryo  
DDO  
Hybrid  
**Drug Deliv.**  
Prostratin

Citation: **NEJM April 4, 2016: DOI: 10.1056/NEJMp1600894** *Douglas R. Lowy, M.D., and Francis S. Collins, M.D., Ph.D.*

### **Aiming High — Changing the Trajectory for Cancer**

bioorganic  
methods  
synthesis  
mechanism  
review  
**other**

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Bryo  
DDO  
Hybrid  
Drug Deliv.  
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Citation: **NEJM: DOI: 10.1056/NEJMp1603747** *Tatiana M. Prowell, M.D., Marc R. Theoret, M.D., and Richard Pazdur, M.D.*

### **Seamless Oncology-Drug Development**

bioorganic  
methods  
synthesis  
mechanism  
review  
**other**

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DDO  
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Drug Deliv.  
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Citation: **N Engl J Med 2016; 374:1089-1091** *Daniel R. Salomon, M.D.*

### **A CRISPR Way to Block PERVs. Engineering Organs for Transplantation**

bioorganic  
methods  
synthesis  
mechanism  
review  
**other**

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Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: [http://www.nytimes.com/2016/04/12/health/people-who-avoided-illness-could-be-key-in-treating-those-who-didnt.html?\\_r=0](http://www.nytimes.com/2016/04/12/health/people-who-avoided-illness-could-be-key-in-treating-those-who-didnt.html?_r=0)

### People Who Avoided Illness Could Be Key in Treating Those Who Didn't

Somewhere in the world are 13 incredibly lucky people. Although they do not know it, each inherited a mutated gene that causes a fatal or terribly debilitating disease in infancy or childhood — but these people are adults, and healthy.

Their DNA may hold clues to treating others who did not escape the gene's effects.

That is the conclusion of a paper, published Monday in the journal *Nature Biotechnology*, in which researchers searched databases containing genetic sequences from nearly 600,000 healthy adults and found these remarkable 13 who had verifiable mutations that cause one of eight serious diseases before age 18 in all who inherit them - or so it had been thought.

bioorganic  
methods  
synthesis  
mechanism  
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Bryo  
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Hybrid  
Drug Deliv.  
Prostratin

Citation: <http://www.nytimes.com/2016/04/13/business/facebook-and-napster-pioneer-to-start-cancer-immunotherapyeffort.html>

### Sean Parker, a Facebook and Napster Pioneer, to Start Cancer Immunotherapy Effort

Sean Parker is donating \$250 million to start the Parker Institute for Cancer Immunotherapy, an effort that he said represented a new "blueprint for biomedical research funding." The effort will bring together six leading academic centers to develop ways to unleash patients' own immune systems to fight cancer.

The six institutions involved are Memorial Sloan Kettering Cancer Center, the University of Pennsylvania, the University of Texas M.D. Anderson Cancer Center, Stanford University, UCSF and UCLA.

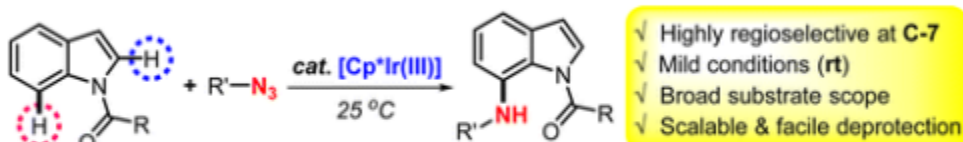
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mechanism  
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Hybrid  
Drug Deliv.  
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Citation: *Org. Lett.*, 2016, 18 (8), pp 1892–1895

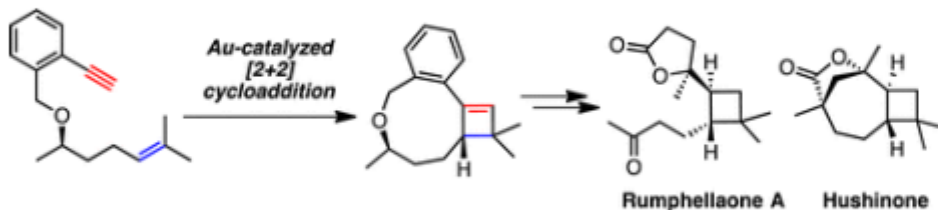
Youyoung Kim, Juhyeon Park, and Sukbok Chang

### A Direct Access to 7-Aminoindoles via Iridium-Catalyzed Mild C–H Amidation of N-Pivaloylindoles with Organic Azides



bioorganic  
**methods**  
synthesis  
mechanism  
review  
other

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DDO  
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**Synthesis of Rumphellaone A and Hushinone by a Gold-Catalyzed [2 + 2] Cycloaddition**

bioorganic  
**methods**  
 synthesis  
 mechanism  
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 other

**OM**  
 Bryo  
 DDO  
 Hybrid  
 Drug Deliv.  
 Prostratin

Citation: *Science* 08 Apr 2016: Vol. 352, Issue 6282, pp. 164-166

**Malignant messengers**

Tumor cells may send out tiny vesicles that prime organs for cancer to spread.

Exosome theory of tumor metastasis.

bioorganic  
 methods  
 synthesis  
 mechanism  
 review  
 other

**OM**  
 Bryo  
 DDO  
 Hybrid  
 Drug Deliv.  
 Prostratin

Citation: *Science* 08 Apr 2016: Vol. 352, Issue 6282, pp. 220-224

**Durably reducing transphobia: A field experiment on door-to-door canvassing**

Even though a high-profile study demonstrating increased empathy for gay persons after an in-person conversation with one was retracted due to author misconduct, the idea provided the basis of an improved study that used validated methodology and transparent data practices. This study also concluded that transphobia could be decreased with person-to-person contact.

Count it as a win for science's self-correcting, non-linear advancement of testable hypotheses.

bioorganic  
 methods  
 synthesis  
 mechanism  
 review  
 other

**OM**  
 Bryo  
 DDO  
 Hybrid  
 Drug Deliv.  
 Prostratin

Citation: Romero, *et al. Sci. Trans. Med.* **2016**, *8*, 334ps9

**The Human Vaccines  
Project: A roadmap for  
cancer vaccine  
development**

Cancer vaccine development has been vigorously pursued for 40 years. Immunity to tumor antigens can be elicited by most vaccines tested, but their clinical efficacy remains modest. We argue that a concerted international effort is necessary to understand the human antitumor immune response and achieve clinically effective cancer vaccines.

bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
**Drug Deliv.**  
Prostratin

Citation: Bhakta, N.R. *Sci. Trans. Med.* **2016**, *8*, 332ec51.

**Scientists flip-flop: Vitamin C suppresses immunity**

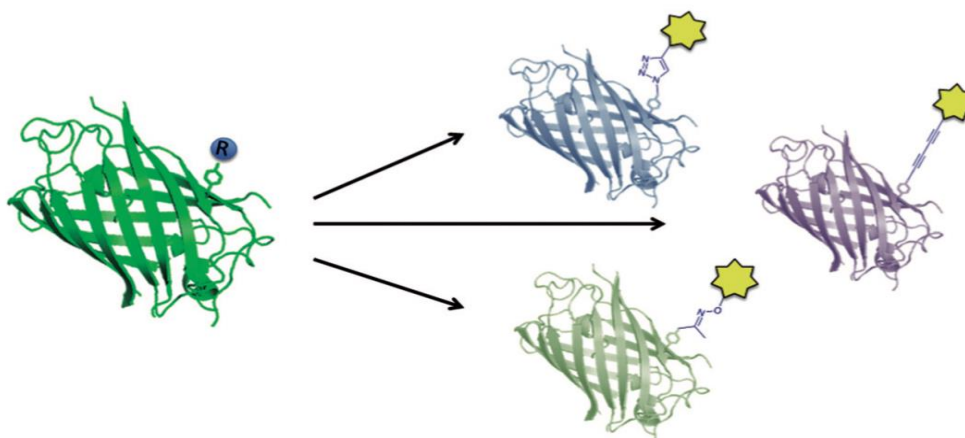
Vitamin C is necessary in the human diet, but mice synthesize this nutrient, which has been assigned many roles since the days when Linus Pauling zealously recommended boosting immunity through megadose supplementation to treat the common cold and prevent cancer. The new findings may have you believe that vitamin C does the opposite of enhancing immunity. But wait. Before you question your next bite of an orange, note that vitamin C's benefit on the maintenance of iTregs peaked at 10  $\mu\text{g/mL}$  ( $\sim 50 \mu\text{M}$ ), which is the average serum level in adults. Thus, it is unlikely that increasing vitamin C levels above normal will increase the suppressive capacity of in vivo Tregs. However, what these findings do tell us is that vitamin C or other molecules that are more selective for TETs might be tools for potentiating and stabilizing in vitro-generated Tregs

bioorganic  
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synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
**Drug Deliv.**  
Prostratin

Citation: Maza *et al. Synlett*, **2016**, *27*, 805–813

**Empo**



**Bioorganic**  
Asymmetric  
**Methods**  
**Synthesis**  
Mechanism  
Review  
Other

OM  
Bryo  
Hybrid  
**Drug Delivery**  
Prostratin  
Other

Citation: Wöste <i>et al.</i> <i>Synthesis</i> , <b>2016</b> , 48, 816–827		
<b>Enantioselective Vicinal Diacetoxylation of Alkenes under Chiral Iodine(III) Catalysis</b>		Bioorganic Asymmetric <b>Methods</b> <b>Synthesis</b> <b>Mechanism</b> Review Other
		OM <b>Bryo</b> Hybrid Drug Delivery <b>Prostratin</b> Other

Citation: Akiyama, H.; Sako, A.; Tajima, N.; Shizuma, M.; Kuroda, R.; Imai, Y. *Tetrahedron* **2016**, 72, 2109-2115.

**Solvatochromic Property Switching Of A Naphthoquinone Pigment: 2-Methyl-3-Arylthio-1,4-Naphthalenedione.**

		bioorganic methods <b>synthesis</b> mechanism review other
		OM Bryo DDO <b>Hybrid</b> Drug Deliv. Prostratin

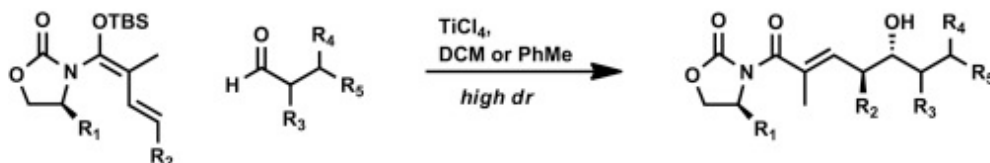
Citation: Pal, P.; Chakraborty, J.; Mali, A.; Nanda, S. *Tetrahedron* **2016**, 72, 2336-2348.

**Asymmetric Total Synthesis Of Paecilomycin F, Cochliomycin C, Zeaenol, 5-Bromo-Zeaenol And 3,5-Dibromo-Zeaenol By Heck Coupling And Late Stage Macrolactonization Approach.**

		bioorganic methods <b>synthesis</b> mechanism review other
<p>X = H = Y; Paecilomycin F X = H; Y = Cl; Cochliomycin C</p> <p>X = H = Y; Zeaenol X = H; Y = Br, 3-Bromo-zeaenol X = Br = Y; 3,5-Dibromozeaenol</p>		OM <b>Bryo</b> DDO Hybrid Drug Deliv. Prostratin

Citation: Banasik, B.; Wang, L.; Kanner, A.; Bergdahl, B. *Tetrahedron* **2016**, 72, 2481-2490.

**Further Insight Into The Asymmetric Vinylogous Mukaiyama Aldol Reaction (VMAR); Application To The Synthesis Of The C27–C45 Segment Of Lagunamide A**

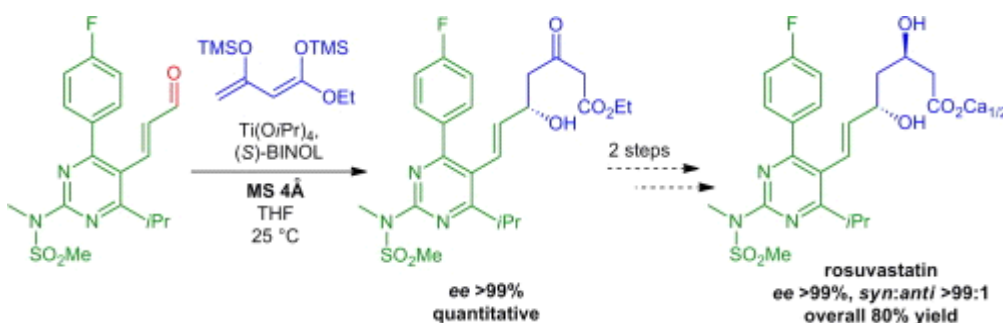


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mechanism  
review  
other

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**Bryo**  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Sterk, D.; *et al. Tet. Lett.* **2016**, 57, 1338.

**Efficient and highly stereoselective assembly of rosuvastatin**



bioorganic  
methods  
**synthesis**  
mechanism  
review  
other

OM  
**Bryo**  
DDOs  
Hybrid  
Drug Deliv.  
Prostratin