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**Next Due Date:** Monday, June 15, 2015

## Instructions for Authors (Volume 1)

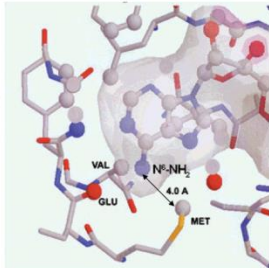
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent 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](mailto: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 style="text-align: center;"><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>-<math>^{32}</math>P]-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, <math>^{32}</math>P-labeled products were the direct result of the mutant PKCR.</p>	
	<p><b>bioorganic</b> asymmetric methods synthesis mechanism review other</p> <p>OM <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><i>methods</i> synthesis</p>

### DON'T BE A MOOK!

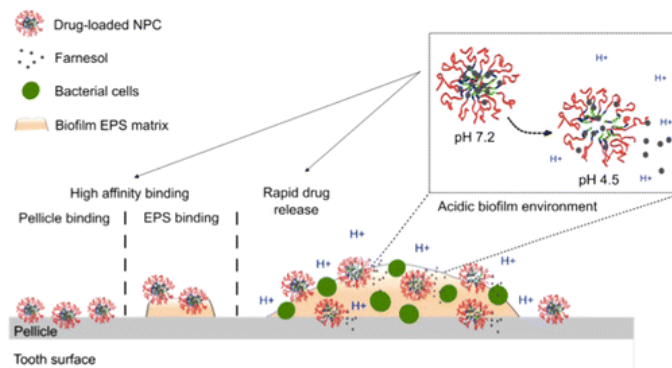
Lit Review MOOKS include those who:

- 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.

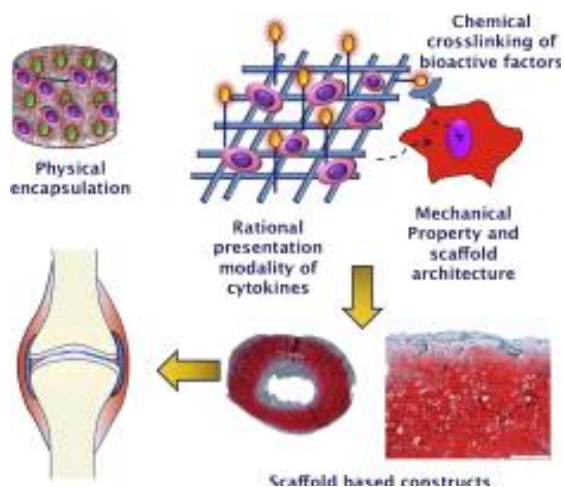
### pH-Activated Nanoparticles for Controlled Topical Delivery of Farnesol To Disrupt Oral Biofilm Virulence



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### Tissue engineering strategies to study cartilage development, degeneration and regeneration



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### Biologics for tendon repair

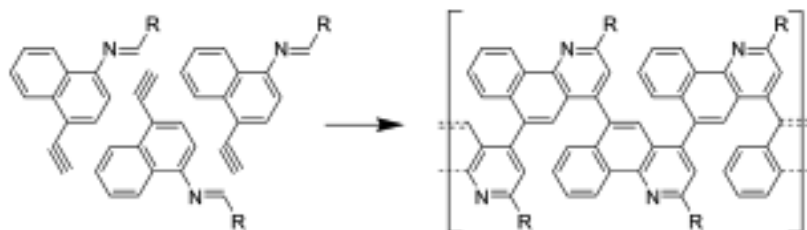
	Gene therapy	Growth Factors	Stem Cells	Natural Biomaterials
Applications	bFGF, BMP12-14 (GDF5-7), PDGF, IGF, TGFβ, CTGF, VEGF	BMP2/Smad8, BMP12/TGFβ1 other cDNAs in vivo/ex vivo	bone marrow MSC, adipose MSC, ESC-derived MSC, tendon-derived cells	collagen-based scaffolds, aligned collagen threads, SIS, decellularized tendon grafts, dermis grafts
Outcome results	↑ proliferation scar mass collagen I and III healing process	tenocytogenesis authentic matrix production histological integrity	improved histology and biomechanics augmented rate of tendon healing increased maturation reduced ectopic bone formation tendon strength 20-60%	
Remaining questions	time point, dose, alone or in combination long-term effects biomechanics functional quality	selection of gene(s) delivery method regulatory elements time of administration	cell amount, time point, stem cell fate and quality combination with growth factors and/or biomaterials, material properties, biomechanics, long-term functional quality and performance	

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Citation: Gorodetsky, A. A. et al. *Angew. Chem. Int. Ed.* **2015**, *54*, 5883

### Synthesis of Polybenzoquinolines as Precursors for Nitrogen-Doped Graphene Nanoribbons\*\*

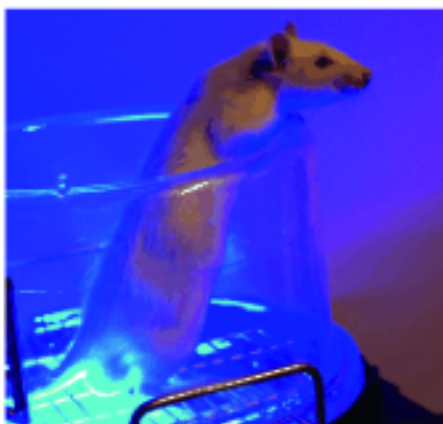


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Citation: Fussenegger, M. *Angew. Chem. Int. Ed.* **2015**, *54*, 5933

### A Synthetic Erectile Optogenetic Stimulator Enabling Blue-Light-Inducible Penile Erection

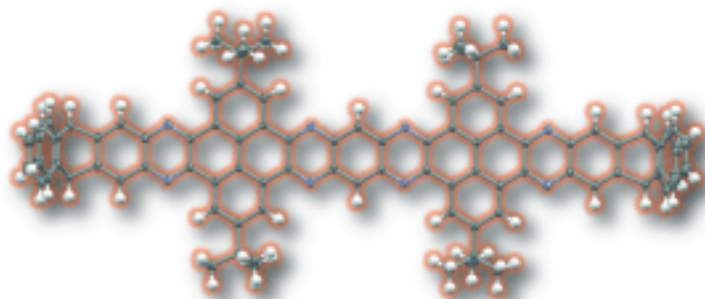


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Citation: Mastalerz, M. *Angew. Chem. Int. Ed.* **2015**, *54*, 6051

### Fused N-Heteroacene with Eleven Rectilinearly Annulated Aromatic Rings



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Citation: Wurm, F. R.; Steinbach, T. *Angew. Chem. Int. Ed.* **2015**, *54*, 6098

**Poly(phosphoester)s: A New Platform for Degradable Polymers**



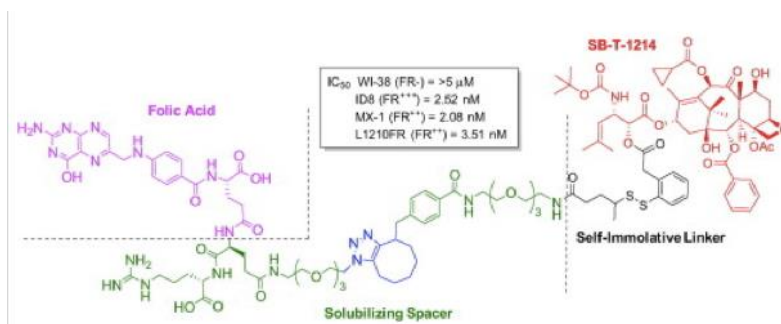
Polymers with potential: Poly(phosphoester)s play an important role in nature (DNA, RNA, and pyrophosphates), but in contrast to classical polyesters they are rarely used for everyday applications. Recent developments are highlighted that may make phosphorus-based polymers attractive materials for future applications.

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Citation: Sietz, J. D. et al. *Bioorg. Med. Chem.*, **23**, (2015) 2187-2194

**Design, synthesis and biological evaluation of a highly-potent and cancer cell selective folate-taxoid conjugate**

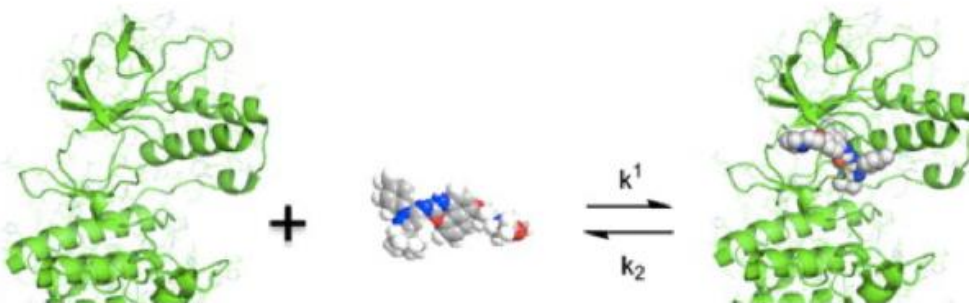


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Citation: Cusack, K. P. et al. *Bioorg. Med. Chem. Lett.*, **25**, (2015) 2019-2027

**Design strategies to address kinetics of drug binding and residence time**

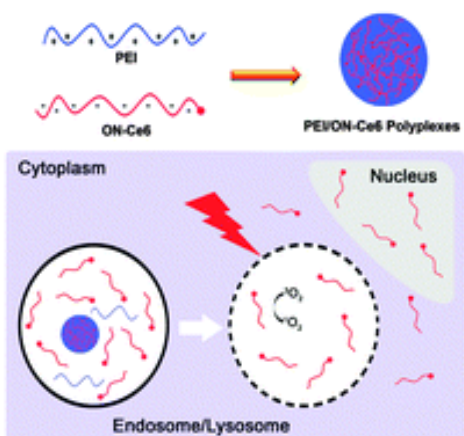


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Citation: Yuan, A.; *et al. Chem. Commun.* **2015**, 51, 6678.

### Direct oligonucleotide–photosensitizer conjugates for photochemical delivery of antisense oligonucleotides



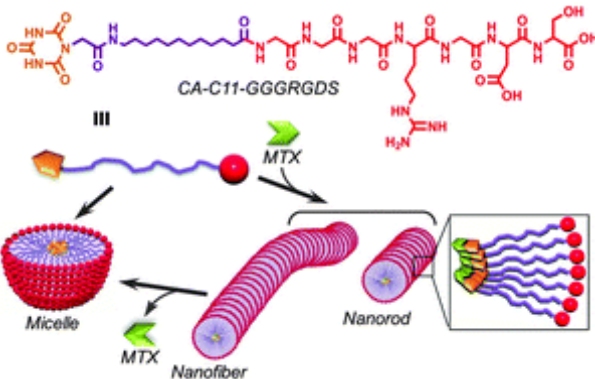
Activation of photosensitizers in endosomes enables release of therapeutic macromolecules into the cytosol of the target cells for pharmacological actions. The authors demonstrate that direct conjugation of photosensitizers to oligonucleotides (ONs) allows spatial and temporal co-localization of the two modalities in the target cells, and thus leads to superior functional delivery of ONs. Further, light-activated delivery of an anticancer ON caused cancer cell killing via modulation of an oncogene and photodynamic therapy.

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Citation: Cheng, H.; *et al. Chem. Commun.* **2015**, 51, 6936.

### Complementary hydrogen bonding interaction triggered co-assembly of an amphiphilic peptide and an anti-tumor drug



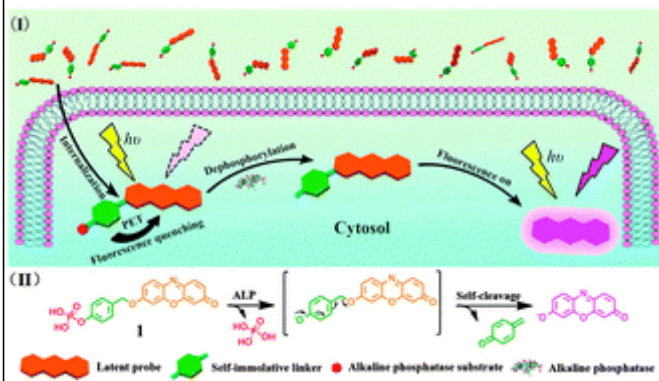
The authors report a new tumor-targeting amphiphilic peptide that can form complementary hydrogen bonds with anti-tumor drug methotrexate (MTX), leading to reversible self-assembled morphology transition from loose micelles to densely packed nanorods or nanofibers. The MTX loaded nanorods can target tumor cells and show more than 2-fold higher cytotoxicity ( $IC_{50} = 0.38$  mg L<sup>-1</sup>) than that towards normal cells ( $IC_{50} = 0.89$  mg L<sup>-1</sup>).

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Citation: Zhang, H.; *et al. Chem. Commun.* **2015**, 51, 7031.

### An enzyme-activatable probe with a self-immolative linker for rapid and sensitive alkaline phosphatase detection and cell imaging through a cascade reaction



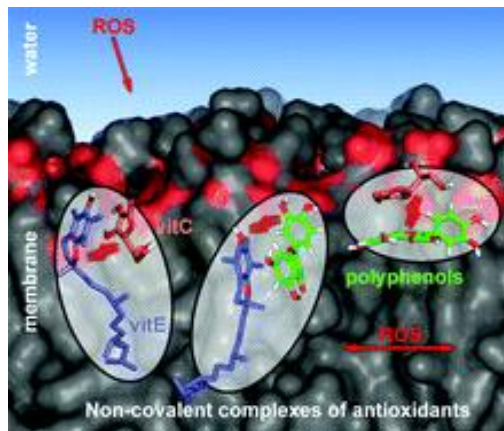
The authors report the design and synthesis of a novel probe (1) for ALP assay by incorporating a self-immolative linker between a phosphate moiety and resorufin. Because of its good biocompatibility and rapid cell internalization, this probe also exhibited great potential for real-time monitoring of endogenous phosphatase activity in living cells.

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Citation: Fabre, G.; *et al. Chem. Commun.* **2015**, 51, 7713.

### Synergism of antioxidant action of vitamins E, C and quercetin is related to formation of molecular associations in biomembranes



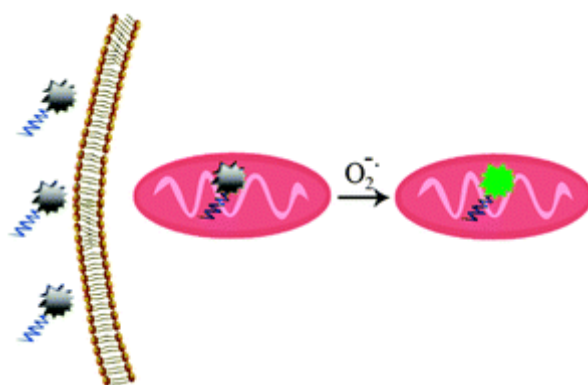
Vitamins E, C and polyphenols (flavonoids and non-flavonoids) are major natural antioxidants capable of preventing damage generated by oxidative stress. Here we show the capacity of these antioxidants to form non-covalent association within lipid bilayers close to the membrane/cytosol interface. Antioxidant regeneration is significantly enhanced in these complexes

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Citation: Si, F.; *et al. Chem. Commun.* **2015**, 51, 7713.

### A mitochondrion targeting fluorescent probe for imaging of intracellular superoxide radicals



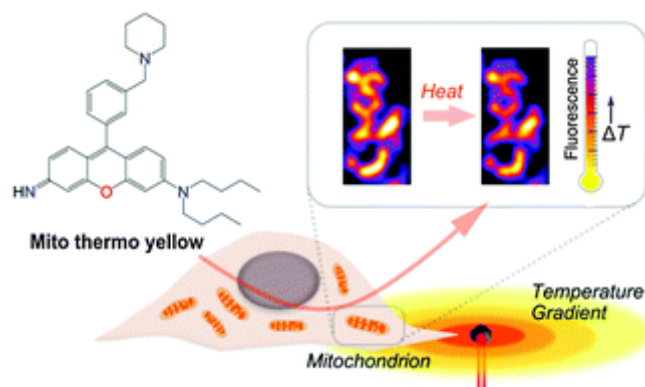
An amine-reactive fluorogenic molecule specifically turned on by superoxide radicals ( $O_2^{\cdot-}$ ) was synthesized and coupled to a mitochondrial (MT) targeting peptide. The obtained probe showed superior uptake and MT targeting capabilities; and successfully detected the change in  $O_2^{\cdot-}$  levels in cells treated with chemical stimuli or single-walled carbon nanotubes.

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Citation: Arai, S.; *et al. Chem. Commun.* **2015**, 51, 8044.

### Mitochondria-targeted fluorescent thermometer monitors intracellular temperature gradient



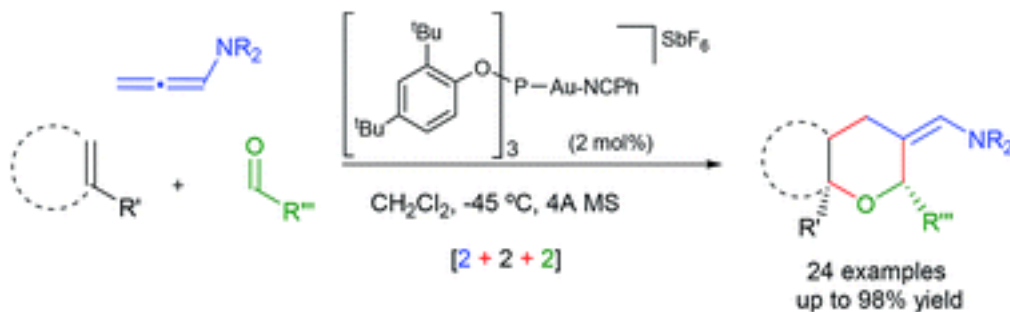
Intracellular thermometry at the microscopic level is currently a hot topic. Herein we describe a small molecule fluorescent thermometer targeting mitochondria (Mito thermo yellow). Mito thermo yellow successfully demonstrates the ability to monitor the intracellular temperature gradient, generated by exogenous heating, in various cells.

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Citation: Faustino, H. et al. *Chem. Sci.* **2015**, 6, 2903-2908

### Gold(I)-catalyzed [2+2+2] cycloaddition of allenamides, alkenes and aldehydes: a straightforward approach to tetrahydropyrans

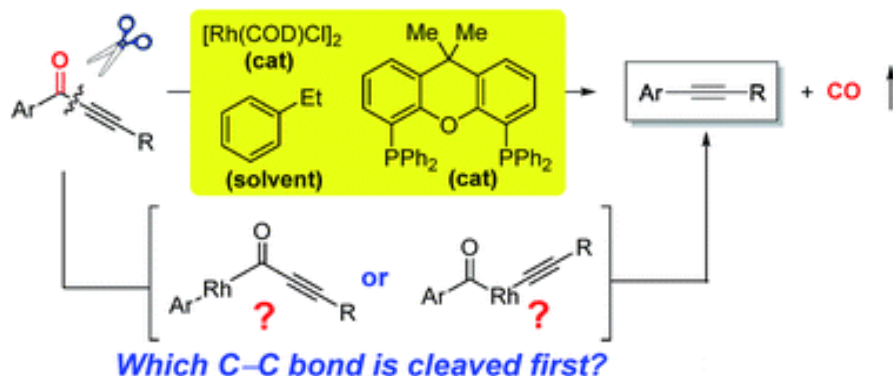


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Citation: Dermenci, A., et al. *Chem. Sci.* **2015**, 6, 3201-3210

### Rh-catalyzed decarbonylation of conjugated ynones via carbon-alkyne bond activation: reaction scope and mechanistic exploration via DFT calculations



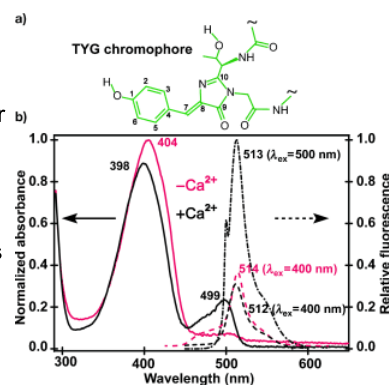
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Citation: Tang, et al. *Chem. Eur. J.* **2015**, 21, 6481-6490.

### Unraveling Ultrafast Photoinduced Proton Transfer Dynamics in a Fluorescent Protein Biosensor for Ca<sup>2+</sup> Imaging

G-GECO1.1 is an intensimetric fluorescent protein Ca<sup>2+</sup> biosensor with a Thr-Tyr-Gly chromophore. The protonated chromophore emits green upon photoexcitation via excited-state proton transfer (ESPT). Upon Ca<sup>2+</sup> binding, a significant population of the chromophores becomes deprotonated. It remains elusive how the chromophore structurally evolves prior to and during ESPT, and how it is affected by Ca<sup>2+</sup>. We use femtosecond stimulated Raman spectroscopy to dissect ESPT in both the Ca<sup>2+</sup>-free and bound states. The protein chromophores exhibit a sub-200fs vibrational frequency shift due to coherent small-scale proton motions. After wavepackets move out of the Franck-Condon region, ESPT gets faster in the Ca<sup>2+</sup>-bound protein, indicative of the formation of a more hydrophilic environment. These results reveal the governing structure-function relationship of Ca<sup>2+</sup>-sensing protein biosensors.



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Citation: Chavagnan, *et al.*

*Chem. Eur. J.* **2015**, *21*, 6678

### Cracking Cavittands: Metal-Directed Scission of Phosphinyl-Substituted Resorcinarenes

Resorcinarene-derived tetramethylene cavittands bearing a diphenylphosphino group grafted to their wider rim undergo facile, directed C[BOND]O bond breaking upon reaction with transition-metal ions in the presence of nucleophiles. One possible reaction mechanism involves formation of a P,O-chelate complex, which weakens the adjacent O[BOND]CH<sub>2</sub> bond, leading to the formation of an oxacarbenium intermediate.

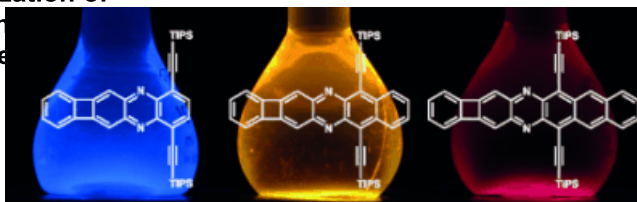
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Citation: Biegger, *et al.*

*Chem. Eur. J.* **2015**, *21*, 7048

### Synthesis and Characterization of Biphénylene-Diazaacene



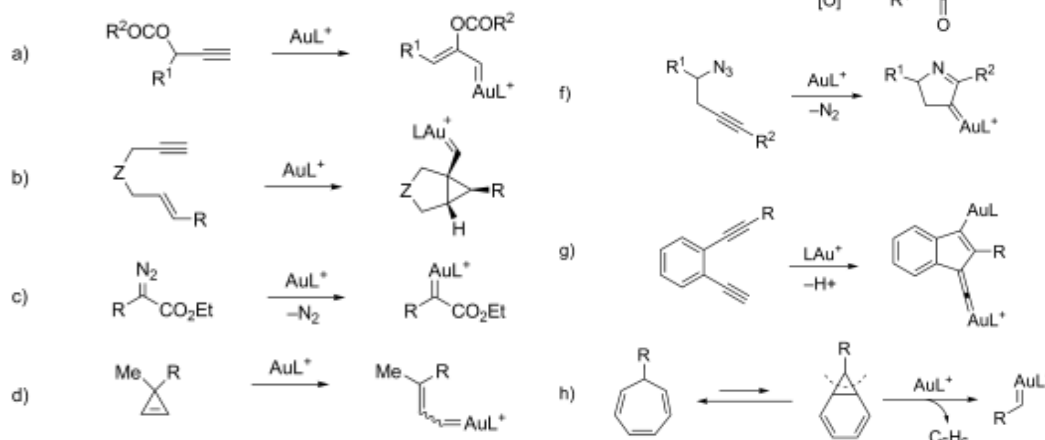
This paper describes the efficient synthesis of substituted benzo[3,4]cyclobuta[1,2-b]phenazine, benzo[3,4]cyclobuta[1,2-b]benzo[1,2-i]phenazine, and benzo[3,4]cyclobuta[1,2-b]naphtho[2,3-i]phenazine by a condensation reaction of aromatic diamines with the stable biphénylene-2,3-dione.

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Citation: Wang, *et al.* *Chem. Eur. J.* **2015**, *21*, 7332-7339.

### Gold Carbene or Carbenoid: Is There a Difference?



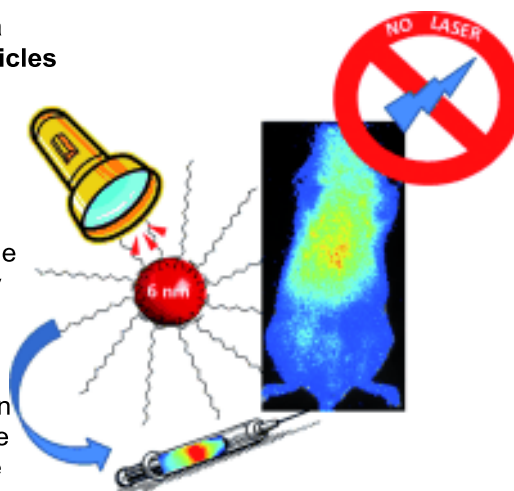
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Citation: Teston, *et al. Chem. Eur. J.* **2015**, 21, 7350-7354.

### Non-Aqueous Sol-Gel Synthesis of Ultra Small Persistent Luminescence Nanoparticles for Near-Infrared In Vivo Imaging

Ultra-small ZnGa<sub>2</sub>O<sub>4</sub>:Cr<sup>3+</sup> nanoparticles (6 nm) that exhibit near-infrared (NIR) persistent luminescence properties are synthesized by using a non-aqueous sol-gel method assisted by microwave irradiation. The nanoparticles are pegylated, leading to highly stable dispersions under physiological conditions. Preliminary in vivo studies show the high potential for these ultra-small ZnGa<sub>2</sub>O<sub>4</sub>:Cr<sup>3+</sup> nanoparticles to be used as in vivo optical nanotools as they emit without the need for in situ excitation and, thus, avoid the autofluorescence of tissues.

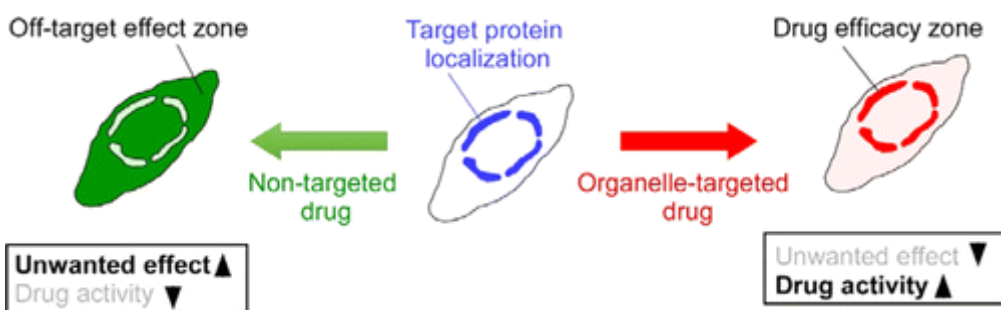


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Citation: Changwook Lee, Hye-Kyung Park, Hanbin Jeong, Jaehwa Lim, An-Jung Lee, Byoung Heon Kang, *et al. Journal of the American Chemical Society* **2015** 137 (13), 4358-4367

### Development of a Mitochondria-Targeted Hsp90 Inhibitor Based on the Crystal Structures of Human TRAP1

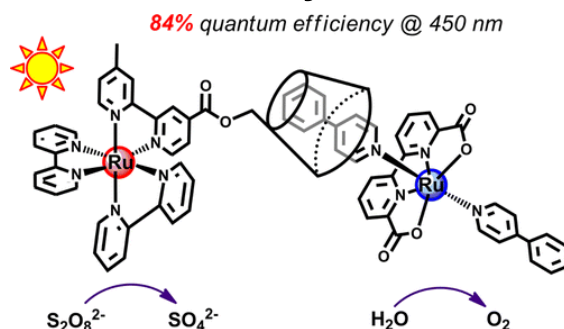


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Citation: Hua Li, Fei Li, Biaobiao Zhang, Xu Zhou, Fengshou Yu, and Licheng Sun  
*Journal of the American Chemical Society* **2015** 137 (13), 4332-4335

### Visible Light-Driven Water Oxidation Promoted by Host-Guest Interaction between Photosensitizer and Catalyst with A High Quantum Efficiency

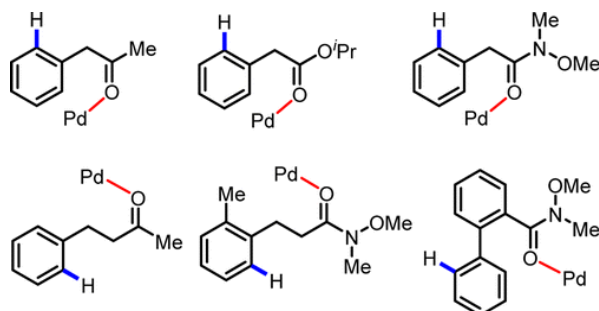


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Citation: Gang Li, Li Wan, Guofu Zhang, Dasheng Leow, Jillian Spangler, and Jin-Quan Yu.  
*Journal of the American Chemical Society* **2015** 137 (13), 4391-4397

### Pd(II)-Catalyzed C–H Functionalizations Directed by Distal Weakly Coordinating Functional Groups (aka Jin-Quans monthly JACS)

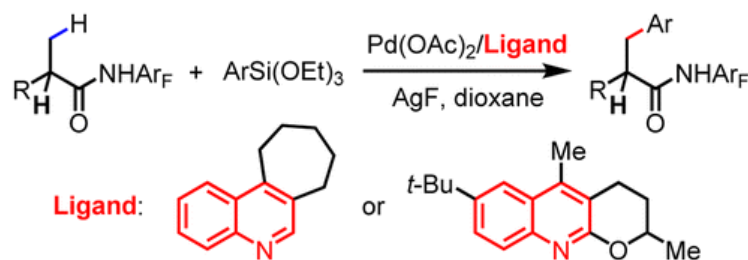


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Citation: He, J. et al. *J. Am. Chem. Soc.*, 2015, 137 (14), pp 4618–4621

### Ligand-Enabled Cross-Coupling of C(sp<sup>3</sup>)–H Bonds with Arylsilanes



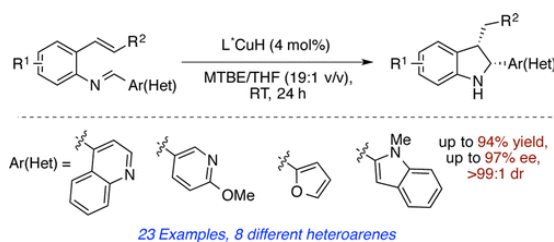
Pd(II)-catalyzed cross-coupling of C(sp<sup>3</sup>)–H bonds with organosilicon coupling partners has been achieved for the first time. The use of a newly developed quinoline-based ligand is essential for the cross-coupling reactions to proceed.

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Citation: Ascic, E. et al. *J. Am. Chem. Soc.*, 2015, 137 (14), pp 4666–4669

### Highly Diastereo- and Enantioselective CuH-Catalyzed Synthesis of 2,3-Disubstituted Indolines



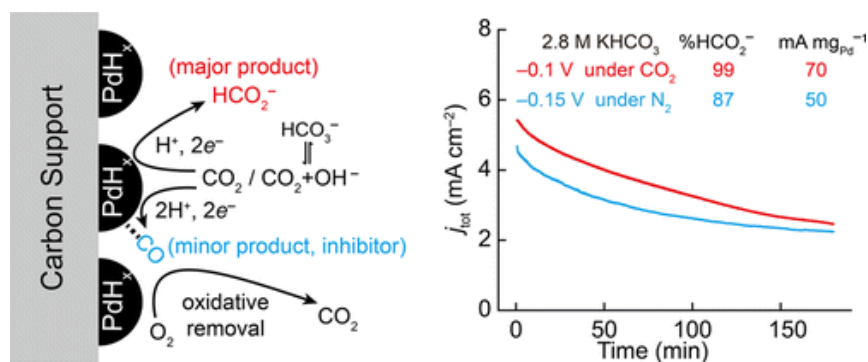
A diastereo- and enantioselective CuH-catalyzed method for the preparation of highly functionalized indolines is reported. The mild reaction conditions and high degree of functional group compatibility as demonstrated with substrates bearing heterocycles, olefins, and substituted aromatic groups, renders this technique highly valuable for the synthesis of a variety of cis-2,3-disubstituted indolines in high yield and enantioselectivity.

bioorganic  
**methods**  
 synthesis  
 mechanism  
 review  
 other

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

Citation: Min, X.; Kanan, M. W. J. Am. Chem. Soc., 2015, 137 (14), pp 4701–4708

**Pd-Catalyzed Electrohydrogenation of Carbon Dioxide to Formate:  
High Mass Activity at Low Overpotential and Identification of the Deactivation Pathway  
(Congratulations to our friends in the Kanan Group!)**

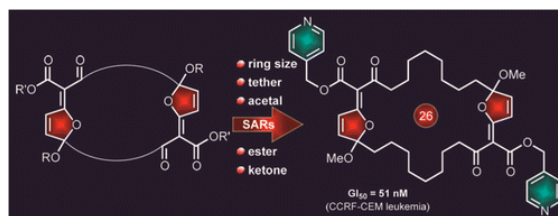


bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Nicolaou, K. C. et al. J. Am. Chem. Soc., 2015, 137 (14), pp 4766–4770

**Synthesis and Biological Evaluation of Dimeric Furanoid Macrocyclics:  
Discovery of New Anticancer Agents**



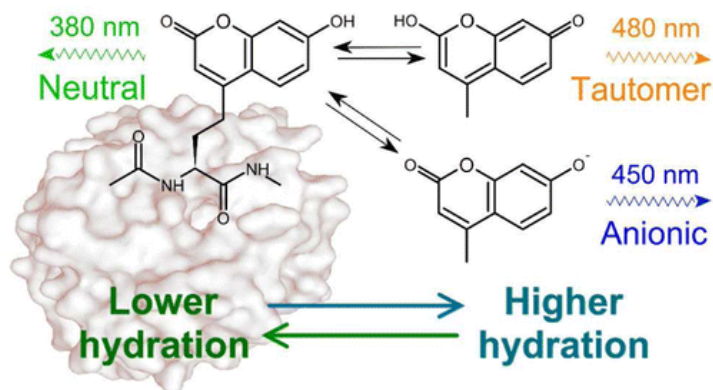
A recently developed dimerization/macrocyclization was employed to synthesize a series of macroheterocycles which were biologically evaluated, leading to the discovery of a number of potent cytotoxic agents (e.g., 27: GI50 = 51 nM against leukemia CCRF-CEM cell line; 29: GI50 = 99 nM against melanoma MDA-MB-435 cell line). Further biological studies support an apoptosis mechanism of action for these compounds involving deregulation of the tricarboxylic acid cycle activity and suppression of mitochondrial function in cancer cells.

bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
b  
Prostratin

Citation: Mariana Amaro, Jan Brezovský, Silvia Kováčová, Jirí Damborský, et al. *Journal of the American Chemical Society* 2015 137 (15), 4988-4992

**Site-Specific Analysis of Protein Hydration Based on  
Unnatural Amino Acid Fluorescence**

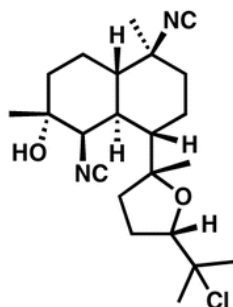


bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Mary Elisabeth Daub, Jacques Prudhomme, Karine Le Roch, and Christopher D. Vanderwal. *Journal of the American Chemical Society* **2015** 137 (15), 4912-4915

## Synthesis and Potent Antimalarial Activity of Kalihinol B



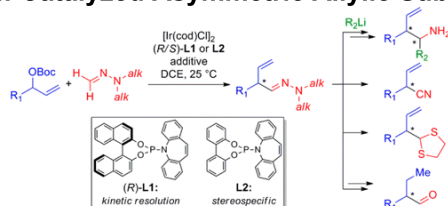
**kalihinol B**  
12 steps from geraniol  
**IC<sub>50</sub> = 4.6 nM**  
**(drug-resistant *P. falciparum*)**

bioorganic  
methods  
**synthesis**  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Breitler, S.; Carreira, E. M. J. Am. Chem. Soc., 2015, 137 (16), pp 5296–5299

## Formaldehyde N,N-Dialkylhydrazones as Neutral Formyl Anion Equivalents in Iridium-Catalyzed Asymmetric Allylic Substitution



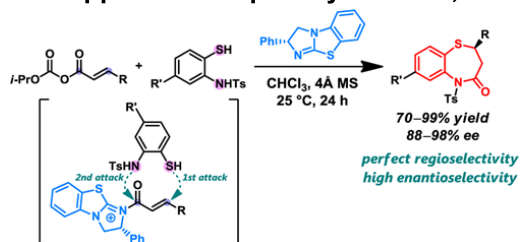
The use of formaldehyde N,N-dialkylhydrazones as neutral C1-nucleophiles in the iridium-catalyzed substitution of allylic carbonates is described for two processes. Kinetic resolution or, alternatively, stereospecific substitution affords configurationally stable  $\alpha,\alpha$ -disubstituted aldehyde hydrazones in high enantiomeric excess and yield. This unpoling approach allows for the construction of optically active allylic nitriles and dithiolanes as well as branched  $\alpha$ -aryl aldehydes. A catalyst-controlled reaction with Enders' chiral hydrazone derivatives followed by diastereoselective nucleophilic addition to the hydrazone products constitutes a two-step stereodivergent synthesis of chiral amines.

bioorganic  
methods  
**synthesis**  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Fukata, Y. et al. J. Am. Chem. Soc., 2015, 137 (16), pp 5320–5323

## Facile Net Cycloaddition Approach to Optically Active 1,5-Benzothiazepines



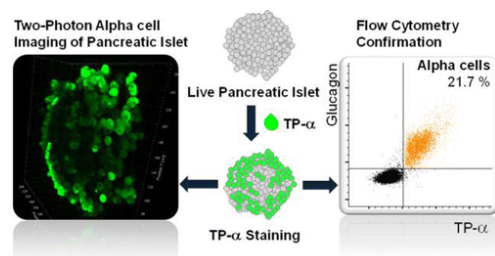
The first example of a highly enantioselective net [4 + 3] cycloaddition was reported to afford 1,5-benzothiazepines by utilizing  $\alpha,\beta$ -unsaturated acylammonium intermediates generated by chiral isothioureia catalysts, which undergo two sequential chemoselective nucleophilic attacks by 2-aminothiophenols. This protocol provided cycloadducts in extremely high regioselectivity, with a good-to-excellent stereoselectivity being achieved regardless of the steric and electronic properties of the substrates. This method therefore offers promising synthetic routes for the construction of a library of optically active 1,5-benzothiazepines for assay evaluation.

bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Agarwalla, B. K. et al. J. Am. Chem. Soc., 2015, 137 (16), pp 5355–5362

### Glucagon-Secreting Alpha Cell Selective Two-Photon Fluorescent Probe TP- $\alpha$ : For Live Pancreatic Islet Imaging



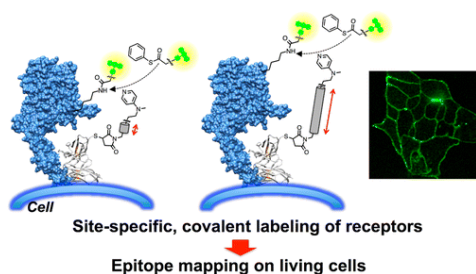
The discovery of first TP live pancreatic islet imaging probe: TP- $\alpha$  (Two Photon-alpha) which can selectively stain glucagon secreting alpha cells, was reported. Through fluorescent image based screening using three pancreatic cell lines, TP- $\alpha$  from a TP fluorescent dye library TPG (TP-Green). In vitro fluorescence test showed that TP- $\alpha$  have direct interaction and appear glucagon with a significant fluorescence increase, but not with insulin or other hormones/analytes. Finally, TP- $\alpha$  was successfully applied for 3D imaging of live islets by staining alpha cell directly.

bioorganic  
methods  
synthesis  
mechanism  
review  
other

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

Citation: Hayashi, T. et al. J. Am. Chem. Soc., 2015, 137 (16), pp 5372–5380

### Analysis of Cell-Surface Receptor Dynamics through Covalent Labeling by Catalyst-Tethered Antibody



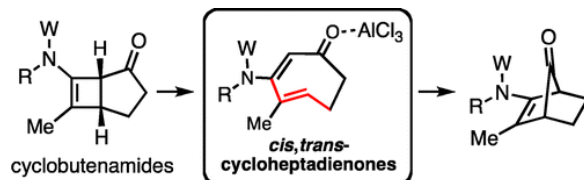
A novel catalyst-antibody conjugates capable of introducing small chemical probes into receptor proteins such as epidermal growth factor receptor (EGFR) and human epidermal growth factor receptor 2 (HER2) in a selective manner on the surface of living cells was designed. Because of the selectivity and efficiency of this labeling technique, the cellular dynamics and lifetime of HER2 endogenously expressed on cancer cells can be monitored. In addition, this covalent labeling method provided experimental evidence that HER2 exhibits a more dynamic structure than expected on the basis of crystallographic analysis alone.

bioorganic  
methods  
synthesis  
mechanism  
review  
**other**

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

Citation: Wang, X. -N. et al. J. Am. Chem. Soc., 2015, 137 (16), pp 5596–5601

### AlCl<sub>3</sub>-Catalyzed Ring Expansion Cascades of Bicyclic Cyclobutenamides Involving Highly Strained Cis,Trans-Cycloheptadienone Intermediates



The first experimental evidence was reported for the generation of highly strained cis,trans-cycloheptadienones by electrocyclic ring opening of 4,5-fused cyclobutenamides. In the presence of AlCl<sub>3</sub>, the cyclobutenamides rearrange to [2.2.1]-bicyclic ketones; DFT calculations provide evidence for a mechanism involving torquoselective 4-electrocyclic ring opening to a cis,trans-cycloheptadienone followed by a Nazarov-like recyclization and a 1,2-alkyl shift. Similarly, 4,6-fused cyclobutenamides undergo AlCl<sub>3</sub>-catalyzed rearrangements to [3.2.1]-bicyclic ketones through cis,trans-cyclooctadienone intermediates. The products can be further elaborated via facile cascade reactions to give complex tri- and tetracyclic molecules.

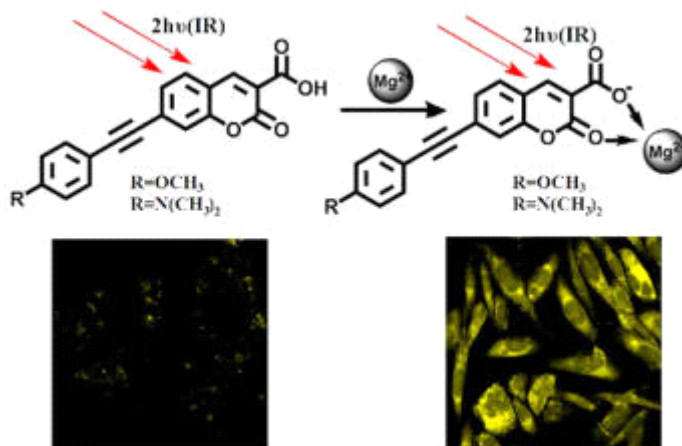
bioorganic  
**methods**  
synthesis  
**mechanism**  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Meng, X.; *et al. JOC*, **2015**, *80*, 3195-3202.

### Two-Photon Fluorescent Probes for Biological Mg<sup>2+</sup> Detection Based on 7-Substituted Coumarin

These dyes are fairly synthetically involved; however, some are both coumarins as well as push-pull solvatochromic systems. Might be of interest to DD but might also be a type of dye we go after in the OM subgroup.

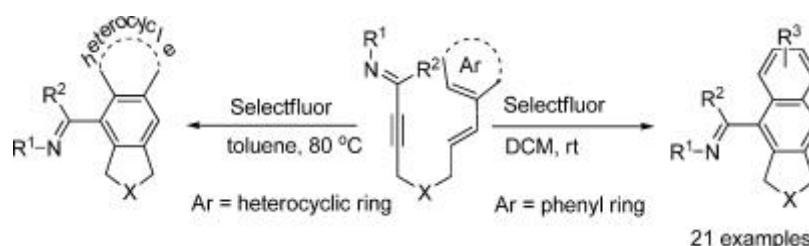


bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Liu, L.; Wang, J.; Zhou, H. *JOC*, **2015**, *80*, 3258-3263.

### Selectfluor-Promoted Sequential Reactions via Allene Intermediates: Metal-Free Construction of Fused Polycyclic Skeletons



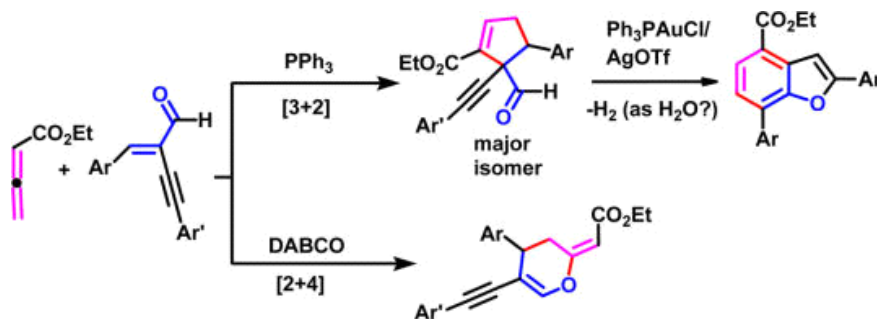
Maybe a new strategy to certain kinase inhibitors?

bioorganic  
methods  
synthesis  
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review  
other

OM  
Bryo  
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Hybrid  
Drug Deliv.  
Prostratin

Citation: Kumari, A. L. S.; Swamy, K. C. K. *JOC*, **2015**, *80*, 4084-4096.

### Divergence in the Reactivity between Amine- and Phosphine-Catalyzed Cycloaddition Reactions of Allenates with Enynals: One-Pot Gold-Catalyzed Synthesis of Trisubstituted Benzofurans from the [3 + 2] Cycloadduct via 1,2-Alkyl Migration and Dehydrogenation



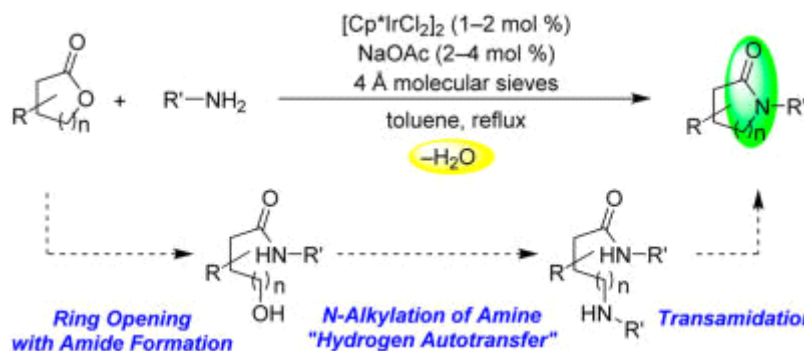
Don't know how we might make use of this, but the strategy is interesting.

bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Kim, K.; Hong, S. H. *JOC*, **2015**, *80*, 4152-4156.

### Iridium-Catalyzed Single-Step N-Substituted Lactam Synthesis from Lactones and Amines



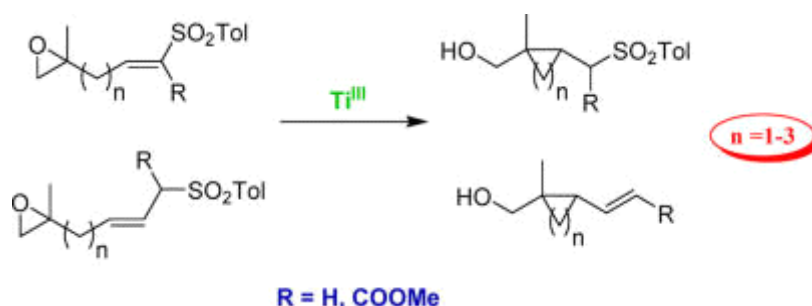
Yields are only okay at best; however, this seems like it may be a useful strategy if you really get stuck with other more well established conditions.

bioorganic  
**methods**  
**synthesis**  
**mechanism**  
 review  
 other

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

Citation: Fernandez-Mateos, A.; Madrazo, S. E.; Teijon, P. H.; Gonzales, R. R. *JOC*, **2015**, *80*, 4378-4391.

### Radical Cyclization of Epoxy Vinyl- and Allylsulfones Promoted by Titanocene Chloride

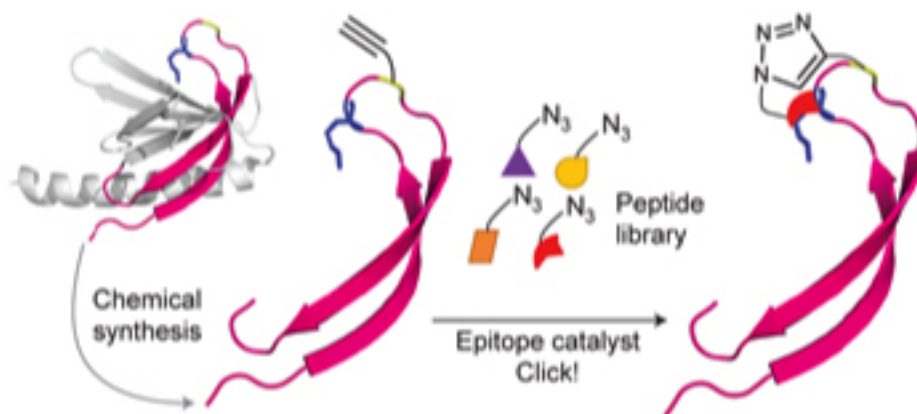


bioorganic  
**methods**  
**synthesis**  
**mechanism**  
 review  
 other

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

Citation: Heath, J. R. et al. *Nat. Chem.* **2015**, *7*, 455.

### A protein-targeting strategy used to develop a selective inhibitor of the E17K point mutation in the PH domain of Akt1



bioorganic  
 methods  
 synthesis  
 mechanism  
 review  
**other**

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 Bryo  
 DDO  
 Hybrid  
 Drug Deliv.  
 Prostratin

Citation: <http://www.nytimes.com/2015/05/02/upshot/speedy-drug-approvals-have-become-the-rule-not-the-exception.html?abt=0002&abg=1>

### Speedy Drug Approvals Have Become the Rule, Not the Exception

Congress has over the past few decades passed a series of special approval pathways for important drugs that treat life-threatening or rare diseases. This week, a new bill introduced in the House could add two more.

You might expect these existing special programs to represent a small fraction of new and unusual drugs. But data from the Food and Drug Administration show that a majority of recent drug development has been in therapies that qualify for at least one of these programs. About a third of recently approved drugs qualify for two or more of five special approval programs.

bioorganic  
methods  
synthesis  
mechanism  
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Hybrid  
Drug Deliv.  
Prostratin

Citation: <http://www.theonion.com/article/pharmaceutical-rep-assures-doctor-he-personally-tr-38475>

### Pharmaceutical Rep Assures Doctor He Personally Tries Every Drug He Promotes

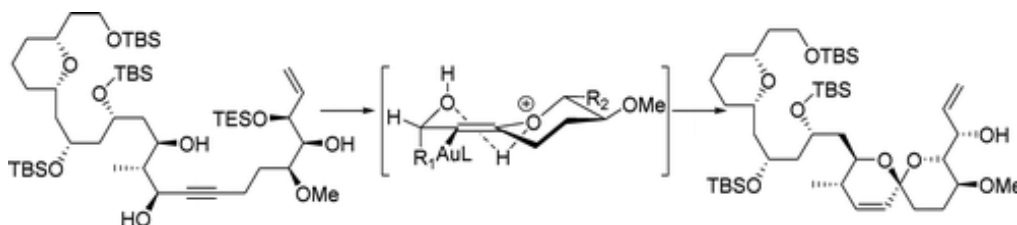
NEW YORK—Saying he could vouch for the quality of every one of his company's products, Eli Lilly pharmaceutical sales representative Geoffrey Klein reportedly assured a local primary care physician Thursday that he personally tries every single drug he promotes. "I've sampled each one of these, from the statins to the protease inhibitors, and I can honestly tell you that they're all top-notch," said Klein, adding that he only had positive things to say after trying out the osteoporosis medication Evista and the diabetes treatment Humulin. "Look, I popped a 100-milligram Seconal tablet a few hours ago before I came here, and I have to say, so far so good. And that one for pediatric growth disorders does a bang-up job. Trust me, I know what I'm talking about." At press time, Klein reportedly admitted that he had tried Bristol-Myers Squibb's cancer drug Sprycel and was underwhelmed.

bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Sokolsky, A., et al. *Organic Letters*. 2015, 17, 1898-1901

### Synthesis of a C(1)-C(23) Fragment for Spirastrellolide E: Development of a Mechanistic Rationale for Spiroketalization

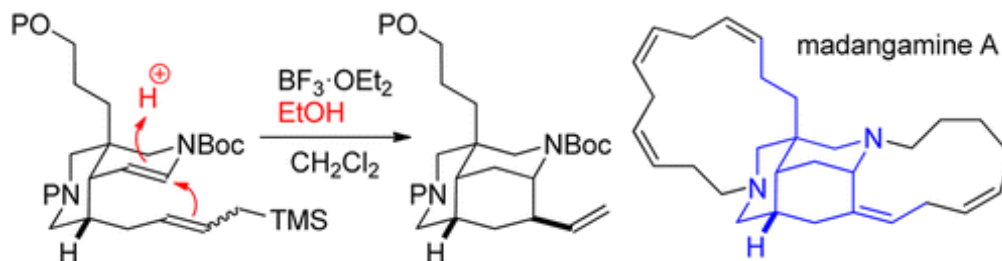


bioorganic  
methods  
**synthesis**  
**mechanism**  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Yanagita, Y., et al. *Organic Letters*. **2015**, 17, 1946-1949

### Synthesis of Diazatricyclic Common Structure of Madangamine Alkaloids



bioorganic  
methods  
**synthesis**  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Boiaryna, L., et al. *Organic Letters* **2015**, 17, 2130-2133

### Sequential Friedel-Crafts-Type $\alpha$ -Amidoalkylation/Intramolecular Hydroarylation: Distinct Advantage of Combined $\text{Tf}_2\text{NH}$ /Cationic $\text{LAu(I)}$ as a Consecutive or Binary Bicatalytic System



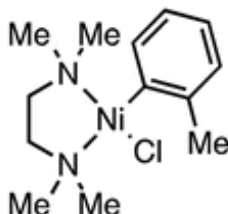
bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Shields, J. D., et al. *Organic Letters* **2015**, 17, 2166-2169

### A Modular, Air-Stable Nickel Precatalyst

- phosphines
- diimines
- NHC's



- Suzuki-Miyaura
- Buchwald-Hartwig
- cyclization

highly modular

air-stable precatalyst

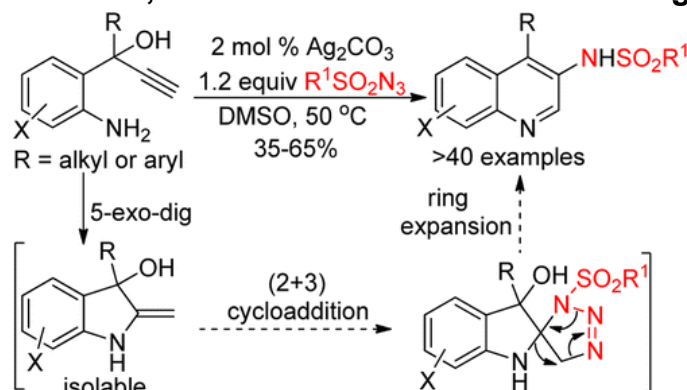
highly general

bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Kumar, Y. K., et al. *Organic Letters* **2015**, 17, 2226-2229

### Synthesis of 3-Sulfonylamino Quinolines from 1-(2-Aminophenyl) Propargyl Alcohols through a Ag(I)-Catalyzed Hydroamination, (2+3) Cycloaddition, and an Unusual Strain-Driven Ring Expansion



bioorganic  
 methods  
 synthesis  
 mechanism  
 review  
 other

OM  
 Bryo  
 DDO  
 Hybrid  
 Drug Deliv.  
 Prostratin

Citation: Huang, H.; et al. *Proc. Natl. Acad. Sci. U.S.A.* **2015**, 112, E1974-E1983.

### Panoramic view of a superfamily of phosphatases through substrate profiling

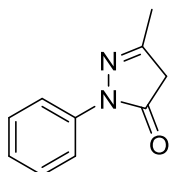
Herein, the functional space of the ubiquitous haloalkanoate dehalogenase superfamily (HADSP) was revealed by screening a customized substrate library against >200 enzymes from representative prokaryotic species, enabling inferred annotation of ~35% of the HADSF. Substrate profiling allowed assignment of function to previously unannotated enzymes with known structure, uncovered potential new pathways, and identified isofunctional orthologs from evolutionarily distant taxonomic groups.

bioorganic  
 methods  
 synthesis  
 mechanism  
 review  
 other

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

Citation: Jiao, S.-S.; et al. *Proc. Natl. Acad. Sci. U.S.A.* **2015**, 112, 5225-5230.

### Edavarone alleviates Alzheimer's disease-type pathologies and cognitive deficits



The authors found that Edavarone, a drug that has been used for ischemic stroke, is able to prevent and treat AD by targeting multiple pathways of AD pathogenesis and rescuing the cognitive deficits of a mouse model of AD.

bioorganic  
 methods  
 synthesis  
 mechanism  
 review  
 other

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

Citation: Klein, S. L.; *et al. Proc. Natl. Acad. Sci. U.S.A.* **2015**, *112*, 5257-5258.

**Opinion: Sex inclusion in basic research drives discovery**

Much of our understanding of disease processes and treatments begins with preclinical studies that use nonhuman animals and cell cultures. Such studies are integral to biomedical research and the development pipeline for drugs, devices, and biologics. Most preclinical biomedical research, however, has been conducted with inadequate consideration of sex (1–3). Why is sex so important? Sex represents one of the most evolutionarily well-conserved differences in biology; yet, it is one of the most underappreciated differences in biomedical research. During this era of “personalized medicine,” sex is a fundamental variable that can be used to disaggregate data and explain heterogeneous disease outcomes. Although many factors can influence an outcome, sex is evolutionarily fundamental and affects the whole of the population.

bioorganic  
methods  
synthesis  
mechanism  
review  
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OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Conway, J. M.; Perelson, A. S. *Proc. Natl. Acad. Sci. U.S.A.* **2015**, *112*, 5467-5472.

**Post-treatment control of HIV infection**

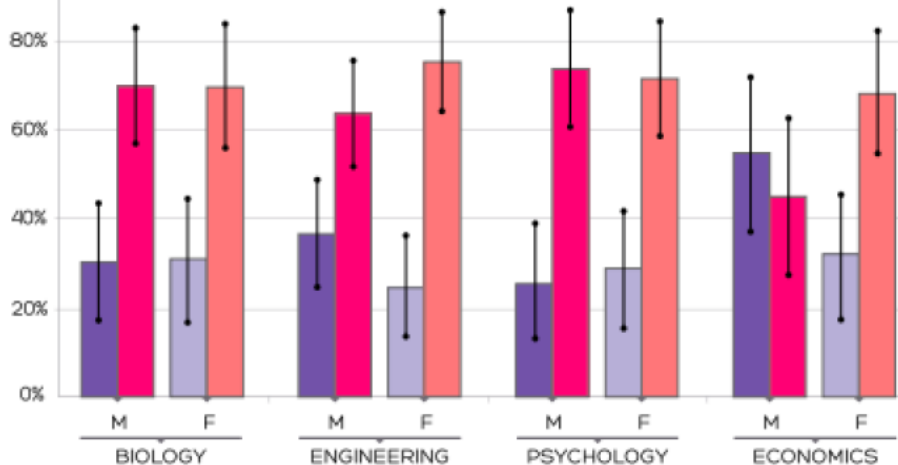
Recent reports suggest that antiretroviral therapy (ART) initiated early after HIV infection increases the likelihood of post-treatment control (PTC) in which plasma virus remains undetectable after treatment cessation. However, only a small fraction of patients treated early attain PTC. We develop a mathematical model of HIV infection that provides insight into these phenomena, suggesting that treatments restricting or reducing the latent reservoir size may allow immune responses to control infection posttreatment. Our model makes predictions about immune response strengths and latent reservoir sizes needed for a patient taken off treatment to exhibit PTC that may help guide future studies.

bioorganic  
methods  
synthesis  
mechanism  
review  
other

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

Citation: Williams, W. M.; Ceci, S. J. *Proc. Natl. Acad. Sci. U.S.A.* **2015**, *112*, 5360-5365.

**National hiring experiments reveal 2:1 faculty preference for women on STEM tenure track**



bioorganic  
methods  
synthesis  
mechanism  
review  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Xiao, Y.; Liddle, J. C.; Pardi, A. Ahn, N. G. *Proc. Natl. Acad. Sci. U.S.A.* **2015**, *28*, 1106-1114.

### Dynamics of Protein Kinases: Insights from Nuclear Magnetic Resonance

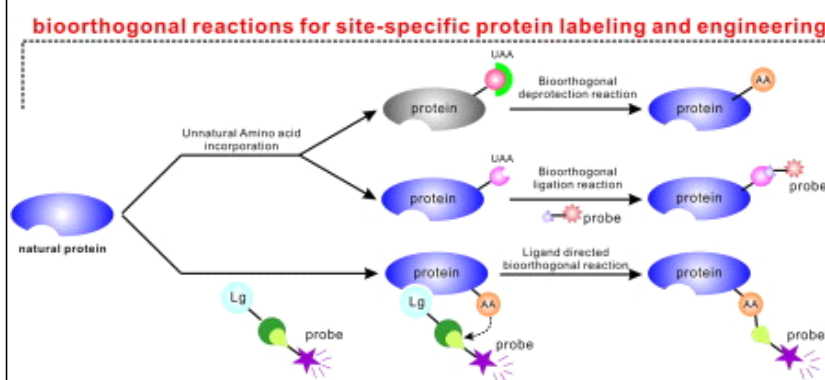
In this Account, we describe recent insights into the role of dynamics in protein kinase regulation and catalysis that have been gained from NMR measurements of chemical shift changes and line broadening, residual dipolar couplings, and relaxation. These findings show strong associations between protein motion and events that control kinase activity. Dynamic and conformational changes occurring at ligand binding sites and other regulatory domains of these proteins propagate to conserved kinase core regions that mediate catalytic function. NMR measurements of slow time scale (microsecond to millisecond) motions also reveal that kinases carry out global exchange processes that synchronize multiple residues and allosteric interconversion between conformational states. Activating covalent modifications or ligand binding to form the Michaelis complex can induce these global processes. Inhibitors can also exploit the exchange properties of kinases by using conformational selection to form dynamically quenched states.

bioorganic  
methods  
synthesis  
mechanism  
**review**  
other

OM  
Bryo  
DDO  
Hybrid  
Drug Deliv.  
Prostratin

Citation: Gong, Y.; Pan, L. *Tet. Lett.* **2015**, *56*, 2123.

### Recent advances in bioorthogonal reactions for site-specific protein labeling and engineering



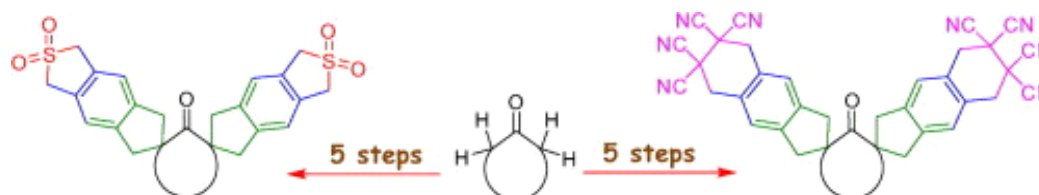
This review summarizes some recent progresses of bioorthogonal reactions for site-specific protein labeling and engineering, and highlights the powers of using these methods to study the biological functions of some proteins.

bioorganic  
methods  
synthesis  
mechanism  
**review**  
other

OM  
Bryo  
Gnid/Kirk  
Hybrid  
**Drug Deliv.**  
Prostratin

Citation: Kotha, S. *Tet. Lett.* **2015**, *56*, 2172.

### Diversity-oriented approach to intricate bis-armed spirocycles involving a two directional [2+2+2] co-trimerization and the [4+2] cycloaddition reaction as key steps



bioorganic  
methods  
**synthesis**  
mechanism  
review  
other

**OM**  
Bryo  
Gnid/Kirk  
Hybrid  
Drug Deliv.  
Prostratin