Volume 38 / Issue 02 15 February 2013

Accounts of Chemical Research	45	Adele Xu
Advanced Drug Delivery Reviews	46	Jessica Vargas
Angewandte Chemie International Edition	47	Daryl Staveness
Bioconjugate Chemistry	48	Spencer Clark
Bioorganic and Medicinal Chemistry	49	Spencer Clark
Bioorganic and Medicinal Chemistry Lett.	49	Melanie Huttner
Chemical Communications	50	Chris Lipski
Chemical & Engineering News	51	Katie Near
Chemical Reviews	51	Adele Xu
Chemical Science	52	Melanie Huttner
Chemistry, A European Journal	53	Brandon Fennell
European Journal of Organic Chemistry	MOOK	Colin McKinlay
Journal of the American Chemical Society	55	Steven Ryckbosch (odd), Jennifer Mattler (even)
Journal of Medicinal Chemistry	58	Alison Donnelly
Journal of Natural Products	59	Dennis Fournogerakis
Journal of Organic Chemistry	60	Matt Jeffreys
Nature	63	Erika Stanzl
Nature Chemistry	64	Brian Loy
Nature Chemical Biology	65	Alison Donnelly
The New York Times	66	Jennifer Mattler
The Onion	N/A	Steven Ryckbosch
Organic Letters	66	Matt Stevens
Organometallics	67	Birte Schröder
PNAS	68	Jessica Vargas
Science	68	Katie Near
SynLett	69	Dennis Fournogerakis
Synthesis	70	Birte Schröder
Tetrahedron	71	Christian Ebner
Tetrahedron Letters	73	Ryan Quiroz

Next Due Date: Friday, March 15, 2013

Instructions for Authors (*Volume 37*)

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

Create an Abstract

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

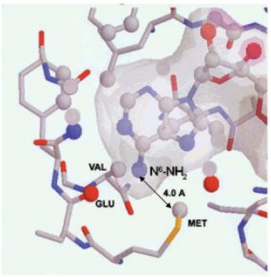
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

Please email the files to jmattler@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. *Biochemistry* **2007**, *46*, 2364-2370

Design and Characterization of a Traceable Protein Kinase C-alpha

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



bioorganic
asymmetric
methods
synthesis
mechanism
review
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

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

For those of you who always wanted to know what it meant....

mook **Pronunciation Key** (mk) *n. Slang*
An insignificant or contemptible person.

methods
synthesis

DON'T BE A MOOK!

Lit Review MOOKS include those who:

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

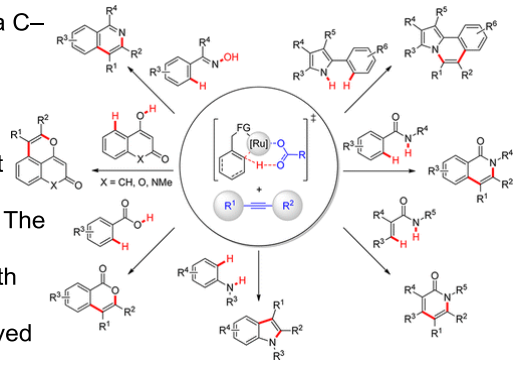
Penalties for being a Lit Review MOOK:

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

Citation: Ackerman, L. *Acc. Chem. Res*. **2013** Articles ASAP: 4 Feb.

Carboxylate-Assisted Ruthenium-Catalyzed Alkyne Annulations by C-H/Het-H Bond Functionalizations

This Account summarizes the evolution of Ru(II) complexes for alkyne annulations via C–H/N–H, C–H/O–H, or C–H/N–O bond cleavages. Recent efforts have resulted in widely applicable methods for preparing arenes and heteroarenes. Most of these reactions used Cu(OAc)2·H2O, the oxidant and the essential acetate source for the carboxylate-assisted ruthenation manifold. The Ru(II)-catalyzed oxidative annulations also occurred under ambient air atmosphere with cocatalytic amounts of Cu(OAc)2·H2O. Substrates displaying N–O bonds also served as "internal oxidants" for the syntheses of isoquinolones and isoquinolines.



bioorganic
methods
synthesis
mechanism
review
other

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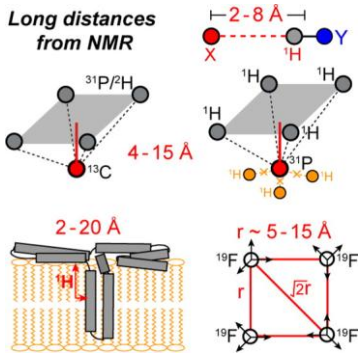
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hong, M. et al. *Acc. Chem. Res.* **2013** Articles ASAP: 7 Feb.

Magic-Angle-Spinning NMR Techniques for Measuring Long-Range Distances in Biological Macromolecules.

The authors have used magic-angle-spinning (MAS) solid-state NMR to probe oligomeric protein assemblies and have developed a new pulse sequence for determining weak long-range 1H-heteronuclear dipolar couplings in the presence of strong short-range couplings, which allows structural analysis of biological nanocomposites such as bone. REDOR has been used to probe phospholipid bilayer-bound peptides and protein ligand-binding sites. Relayed magnetization transfer, or spin diffusion, was used to analyze the depth of protein insertion into a lipid bilayer and protein-water interactions.



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review
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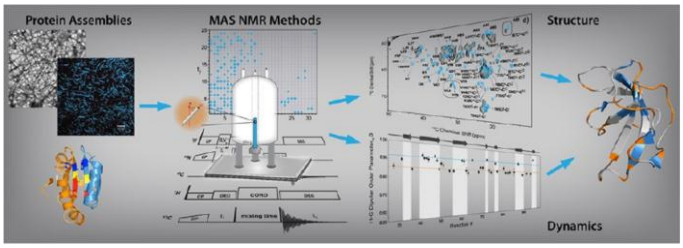
DDO
Drug Deliv.
Prostratin

Citation: Yan, S. *et al*. *Acc. Chem. Res.* **2013** Articles ASAP: 13 Feb

Probing Structure and Dynamics of Protein Assemblies by Magic Angle Spinning NMR

This Account emphasizes magic-angle-spinning (MAS) NMR techniques with enhanced sensitivity and resolution and discusses experiments for gaining distance restraints and for recoupling anisotropic tensorial interactions under fast MAS conditions. An overview of protein assembly sample preparation approaches is included. A case study on investigation of cytoskeletal microtubule motor proteins, including mammalinan dynactin and dynein light chain 8 is discussed, as well as a second case study on protein assemblies in HIV-1.



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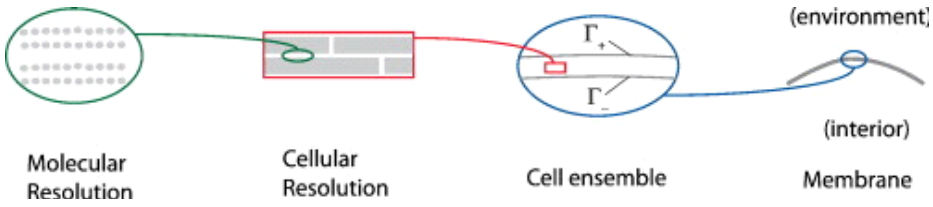
Citation: *ADDR,* **2013,** *65,* 191–207

Detailed modeling of skin penetration—An overview



This work provides an overview of one-, two- and three-dimensional diffusion models for penetration into mammalian skin. Besides diffusive transport this includes also binding of substances to skin proteins and metabolism. These models are based on partial differential equations that describe the spatial evolution of the transport process through the biological barrier skin.

bioorganic
methods
synthesis
mechanism
review
other

OM
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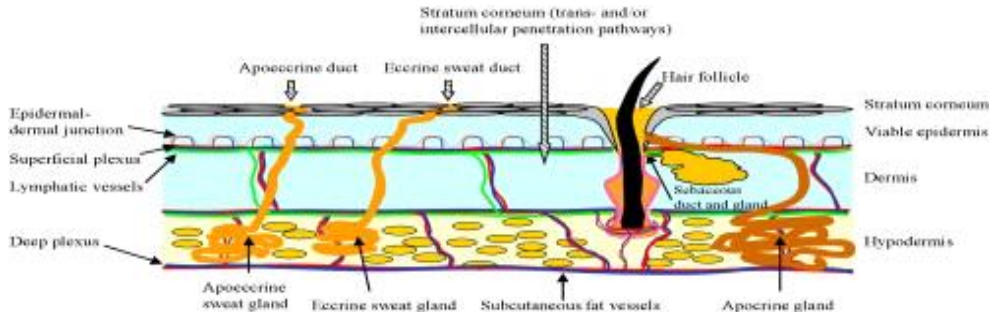
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: *ADDR,* **2013,** *65,* 152-168

Modeling the human skin barrier — Towards a better understanding of dermal absorption



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synthesis
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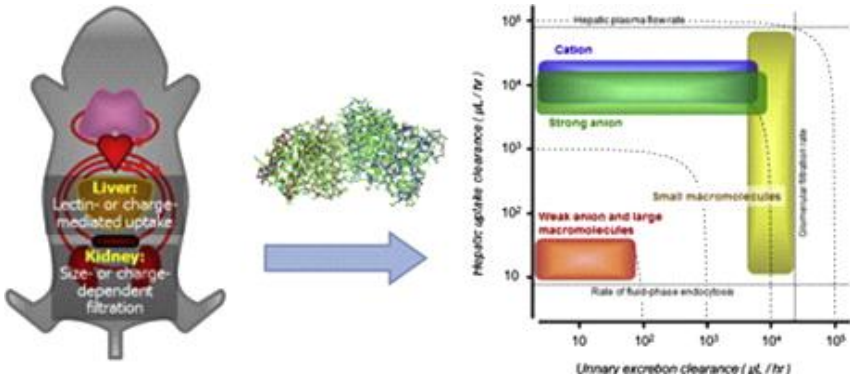
Citation: *ADDR,* **2013,** *65,* 139-147.

Pharmacokinetic considerations for targeted drug delivery



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Drug Deliv.
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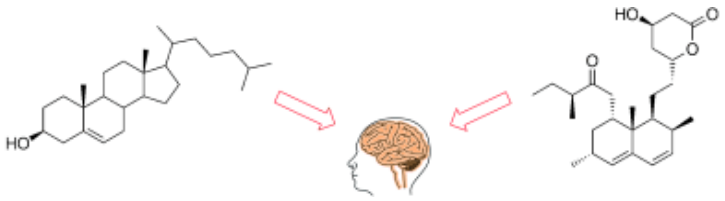
Citation: Borges, F.; et al. *Angew. Chem. Int. Ed*. **2013**, *52 (4)*, 1110-1121.

Alzheimer's Disease, Cholesterol, and Statins: The Junctions of Important Metabolic Pathways

Cholesterol (left-hand structure) is an essential component of lipid rafts, in which the biosynthesis of neurotoxic ß-amyloid occurs. By blocking the synthesis of cholesterol, statins (right-hand structure: lovastatin) are able to decrease the amyloid load in the central nervous system and lower the risk of dementia and Alzheimer's disease. This behavior is strongly supported by the pleiotropic effects of statins on isoprenylation and neuroinflammation.



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other

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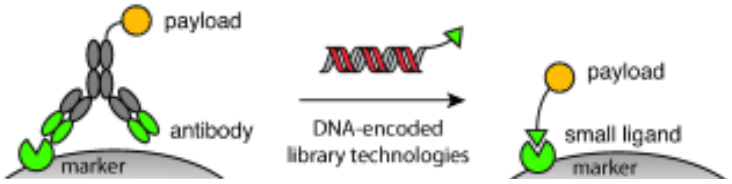
Citation: Krall, N.; Scheuermann, J.; Neria, D. *Angew. Chem. Int. Ed*. **2013**, *52 (5)*, 1384-1402.

Small Targeted Cytotoxics: Current State and Promises from DNA-Encoded Chemical Libraries

Antibodies have emerged as promising vehicles for the targeted delivery of potent cytotoxic agents to sites of disease. This Review surveys how the use of smaller organic molecules can yield targeted constructs with improved properties and how DNA-encoded library technologies will facilitate the discovery of the necessary ligands



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methods
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review
other

REDOR
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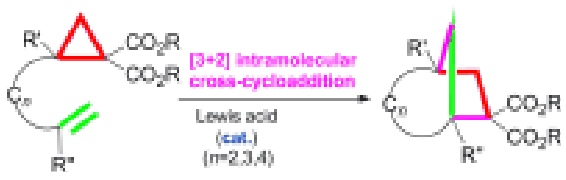
Hybrid
Drug Deliv.
Prostratin

Citation: Wang, Z.; et al. *Angew. Chem. Int. Ed*. **2013**, *52 (7)*, 2032-2037.

Lewis Acid Catalyzed Formal Intramolecular [3+2] Cross-Cycloaddition of Cyclopropane 1,1-Diesters with Alkenes: General and Efficient Strategy for Construction of Bridged [n.2.1] Carbocyclic Skeletons

The title reaction has been successfully developed, and applied to the total synthesis of the tetracyclic diterpenoids phyllocladanol and phyllocladene. The method provides an efficient, general, and conceptually new strategy for the construction of structurally complex and diverse [n.2.1] carbocyclic skeletons



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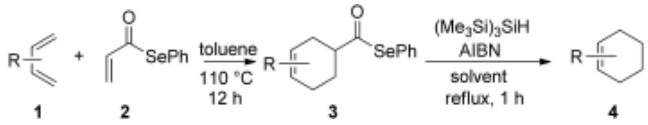
Citation: Jung, M.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (7)*, 2060-2062.

Se-Phenyl Prop-2-eneselenoate: An Ethylene Equivalent for Diels–Alder Reactions

Se-phenyl prop-2-eneselenoate (phenyl selenoacrylate) 2, readily prepared from acryloyl chloride, is a very reactive dienophile in Diels–Alder reactions, and more reactive than acrylates. Its cycloadducts 3 with many dienes 1 can be easily reduced to the hydrocarbons 4 under radical conditions. This process works even in cases where there is an adjacent group that can be easily eliminated, e.g., an allylic ether.



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methods
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review
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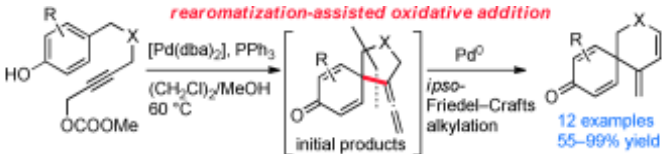
Pharma

Citation: Hamada, Y.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (8)*, 2217-2220.

Palladium-Catalyzed Intramolecular ipso-Friedel–Crafts Alkylation of Phenols and Indoles: Rearomatization-Assisted Oxidative Addition

A novel synthesis of spirocycles based on a palladium-catalyzed intramolecular ipso-Friedel–Crafts alkylation of phenols (see scheme; dba=dibenzylideneacetone) and indoles is described. Mechanistic studies show that the reaction proceeds through an unprecedented rearomatization-assisted oxidative addition.



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review
other

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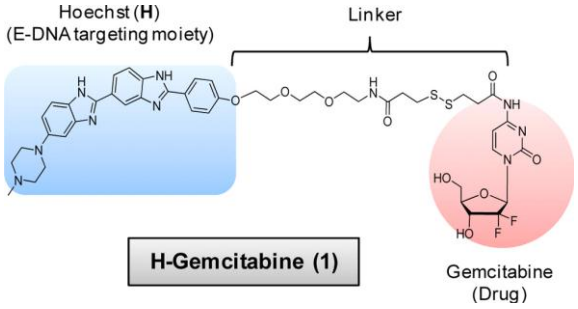
Dasari, M.; et al. Bioconjugate Chem. 2013, 24, 4–8

H-Gemcitabine: A New Gemcitabine Prodrug for Treating Cancer



Hoechst targets chemotherapeutics to tumors by targeting extracellular DNA.

bioorganic
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synthesis
mechanism
review
other

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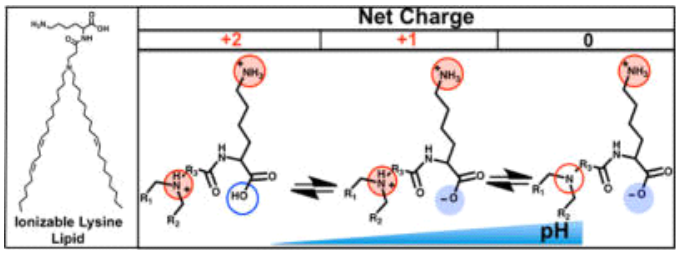
Drug Deliv.
Prostratin

Walsh, C. L.; et al. Bioconjugate Chem. 2013, 24, 36–43.

Synthesis, Characterization, and Evaluation of Ionizable Lysine-Based Lipids for siRNA Delivery

Novel ionizable lysine-based lipids were synthesized and tested for siRNA mediated knockdown in vitro. Manipulation of lipid structure impacts protonation behaviour.



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synthesis
mechanism
review
other

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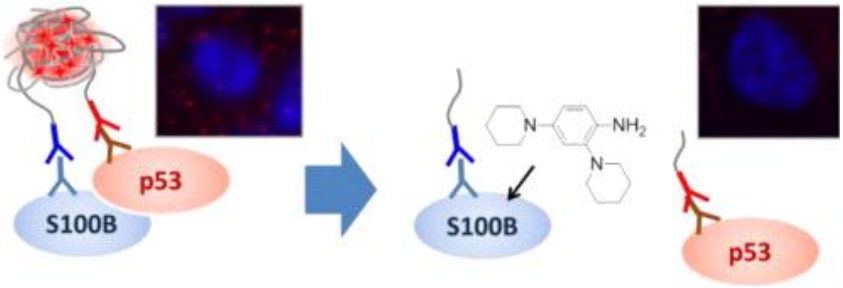
Drug Deliv.
Prostratin

Yoshimura, C.; et al. Bioorganic & Medicinal Chemistry 2013, 21, 1109–1115.

Identification of small-molecule inhibitors of the human S100B-p53 interaction and evaluation of their activity in human melanoma cells



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synthesis
mechanism
review
other

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Bryo
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Hybrid
Drug Deliv.
Prostratin

Citation: Shrivastava, A.; et al. *Bioorg. & Med. Chem. Lett.*, 2013, **23**, 687.

Heterobivalent dual-target probe for targeting GRP and Y1 receptors on tumor cells

The authors have designed a heterobivalent peptide ligand that can bind to GRPR and Y1, two hormone peptide receptors that are upregulated in most breast cancers. The ligand can be used as a probe to image cells, tissues and tumors that are GRPR and/or Y1 positive, and can be utilized for radiotherapy. The ligand was produced by coupling a GRP targeting ligand with a Y1 targeting ligand.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Luo, Yin, et al. *Bioorg. & Med. Chem. Lett.* 2013, **23**, 1091.

Synthesis, biological evaluation, 3D-QSAR studies of novel aryl-2H-pyrazole derivatives as telomerase inhibitors

The authors designed a derivative of 2H-pyrazole to inhibit telomerase, a target of anti-cancer. Docking results confirmed the anti-proliferative tests, showing that this compound acts as a telomerase inhibitor.

bioorganic
methods
synthesis
mechanism
review
other

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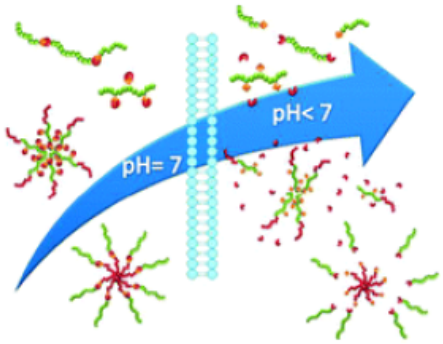
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Binauld, S. and Stenzel, M. *Chem. Comm.* **2013**, *49*, 2082.

Acid-degradable polymers for drug delivery: a decade of innovation

The advances in the design of acid-degradable polymers and drug delivery systems have been summarized and discussed in this review article. Various acid-labile groups have been employed to create polymer architectures or polymer–drug conjugates that can degrade under lysosomal and endosomal conditions, triggering the fast release of drugs or DNA.



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methods
synthesis
mechanism
review
other

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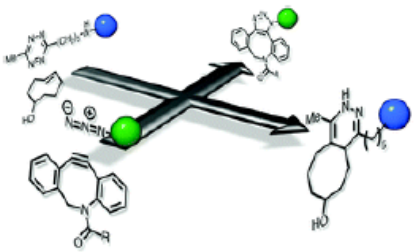
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Wong, C. and Zimmerman S. *Chem. Comm.* **2013**, *49*, 1679.

Orthogonality in organic, polymer, and supramolecular chemistry: from Merrifield to click chemistry

This Feature Article traces the history of orthogonality in synthetic chemistry from Merrifield up through supramolecular chemistry and into click and bioorthogonal click processes.



bioorganic
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synthesis
mechanism
review
other

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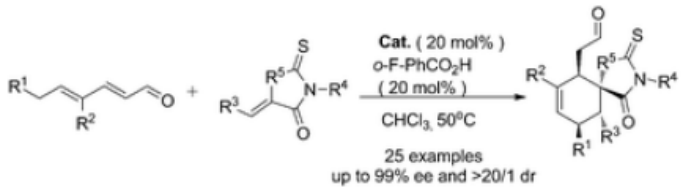
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Zhu, K. *et al.* *Chem. Comm.* **2013**, *49*, 2157.

Aminocatalyzed asymmetric Diels–Alder reaction of 2,4-dienals and rhodanine/hydantoin derivatives



Biologically active rhodanine/hydantoin derivatives were employed in the asymmetric Diels–Alder cycloaddition with 2,4-dienals.

bioorganic
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synthesis
mechanism
review
other

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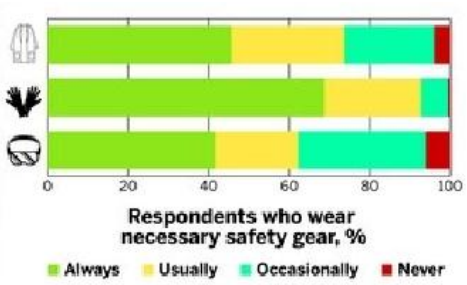
Citation: Kemsley, J. *C&EN* **2013**, *91* (3), 30.

Survey Exposes Lab Safety Gaps



Presents the results of a laboratory safety survey conducted last summer involving >2000 laboratory researchers.

bioorganic
methods
synthesis
mechanism
review
other

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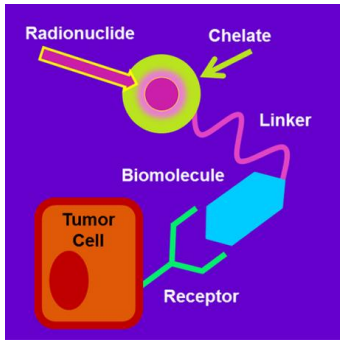
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Cutler, C.S. *et al*. *Chem. Rev.* **2013,** 113 (2), 858–883.

Radiometals for Combined Imaging and Therapy

Radiopharmaceuticals generally consist of a radionuclide conjugated to a biomolecule or organic ligand that directs delivery of the nuclide. Previously, radionuclides that emit photons have been used for imaging, while those that emit beta or alpha particles have been used for therapy. Recently, the field of theranostics has begun to use nuclides that undergo both types of emissions. This review discusses radiometals with nuclear properties necessary for therapy, imaging, or both. The chemistry and production of these radiometals is discussed, as well as examples of preclinical and clinical uses.



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synthesis
mechanism
review
other

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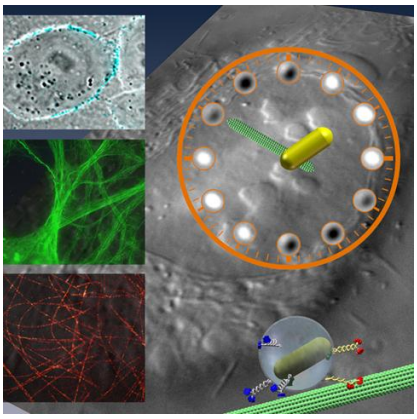
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Stender, A. S. *et al*. *Chem. Rev.* **2013** Articles ASAP: 14 Feb.

Single Cell Optical Imaging and Spectroscopy

This Review gives an overview of tools used to accomplish single-cell imaging, including fluorescence imaging, non-fluorescent nanoparticle probes, Raman imaging, and single probe tracking. A concise summary of single cell manipulation techniques is also included.



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methods
synthesis
mechanism
review
other

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DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Tian, Xizhe, et al. *Chem. Sci.* 2013, **4**, 947.

Dual-targeting delivery system for selective cancer cell death and imaging

In an effort to improve the tumor selectivity of therapeutic and imaging agents, the authors synthesized a dual-targeting delivery systems that selectively targets cancer cells. The system consists of a ligand of somatostatin receptors (cancer-selective receptors), a dipeptide substrate for cathepsin B and a fluorophore or anticancer agent. The system was found to be applicable for fluorescence imagining or death of cancer cells expressing both somatostatin receptors and cathepsin B.

bioorganic
methods
synthesis
mechanism
review
other

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Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ye, Miao, et al. *Chem Sci.* 2013, **4**, 1319.

Site-Specific inter-strand cross-links of DNA duplexes

The authors have developed a method that allows for inter-strand coupling of an amine and carboxylate group. Such cross-linked strands will prove resistant to strand separation.

bioorganic
methods
synthesis
mechanism
review
other

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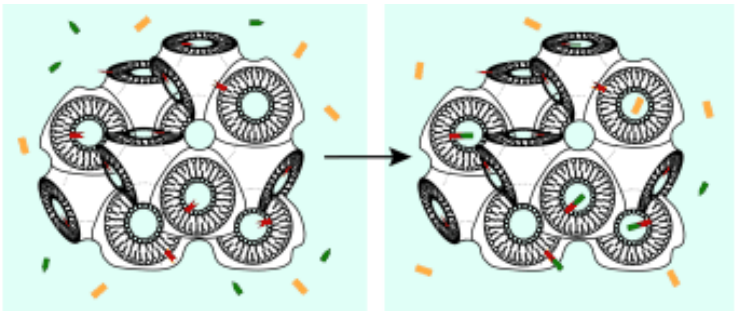
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Komisarski et al. Chemistry – A European Journal 2013, 19, 1262–1267.

Tailored Host–Guest Lipidic Cubic Phases: A Protocell Model Exhibiting Nucleic Acid Recognition



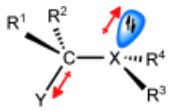
http://onlinelibrary.wiley.com/doi/10.1002/chem.201203372/abstract

bioorganic
methods
synthesis
mechanism
review
other

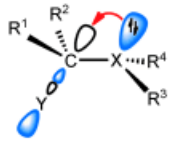
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wang, C.; Chen, Z.; Wu, W.; Mo, Y. Chemistry – A European Journal 2013, 19, 1436–1444.

How the Generalized Anomeric Effect Influences the Conformational Preference



Electrostatic model



Hyperconjugation model

http://onlinelibrary.wiley.com/doi/10.1002/chem.201203429/abstract

bioorganic
methods
synthesis
mechanism
review
other

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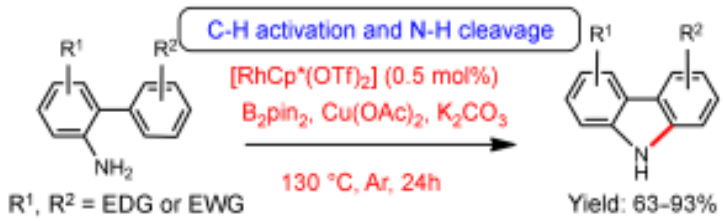
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Jiang et al. Chemistry – A European Journal 2013, 19, 1903–1907.

Amino-Directed RhIII-Catalyzed CH Activation Leading to One-Pot Synthesis of NH Carbazoles



http://onlinelibrary.wiley.com/doi/10.1002/chem.201203856/abstract

bioorganic
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synthesis
mechanism
review
other

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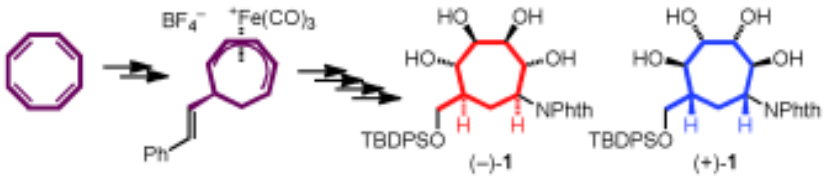
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: El-Mansy et al. Chemistry – A European Journal 2013, 19, 2330–2336.

Generation of Molecular Complexity from Cyclooctatetraene: Preparation of Optically Active Protected Aminocycloheptitols and Bicyclo[4.4.1]undecatriene



http://onlinelibrary.wiley.com/doi/10.1002/chem.201203754/abstracts

bioorganic
methods
synthesis
mechanism
review
other

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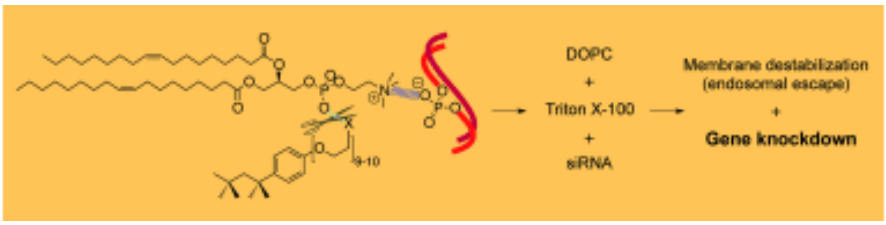
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Pierrat et al. Chemistry – A European Journal 2013, 19, 2344–2355.

Phospholipid–Detergent Conjugates as Novel Tools for siRNA Delivery



http://onlinelibrary.wiley.com/doi/10.1002/chem.201203071/abstract

bioorganic
methods
synthesis
mechanism
review
other

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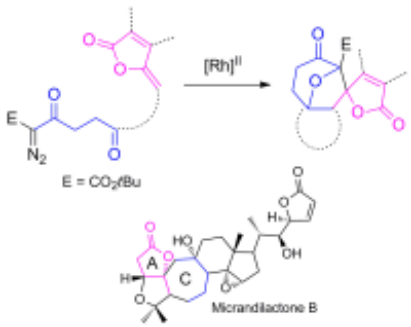
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Rodie et al. Chemistry – A European Journal 2013, 19, 2467–2477.

Diastereoselective Access to Polyoxygenated Polycyclic Spirolactones through a Rhodium-Catalyzed [3+2] Cycloaddition Reaction: Experimental and Theoretical Studies



http://onlinelibrary.wiley.com/doi/10.1002/chem.201203155/abstract

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methods
synthesis
mechanism
review
other

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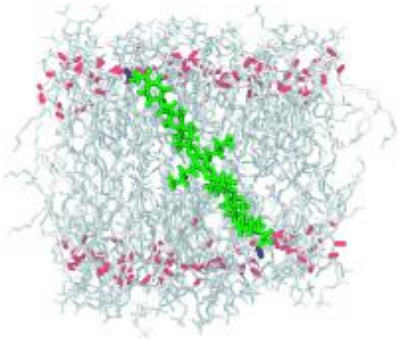
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Grimm et al. Chemistry – A European Journal 2013, 19, 2703–2710.

Structure and Dynamics of Molecular Rods in Membranes: Application of a Spin-Labeled Rod



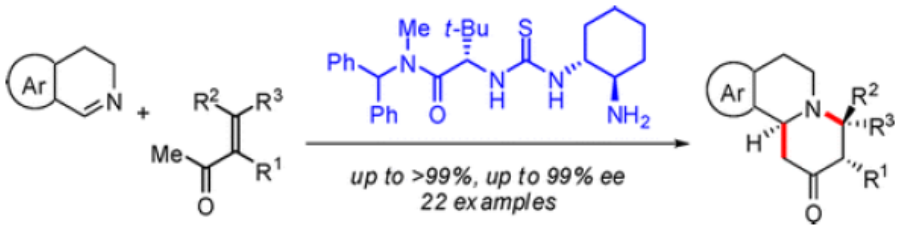
http://onlinelibrary.wiley.com/doi/10.1002/chem.201202500/abstract

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Lalonde, M.P.; McGowan, M.A.; Rajapaksa, N.S.; Jacobsen, E.N. *J. Am. Chem. Soc.*, **2013**, *135* (5), 1891-1894.

Enantioselective Formal Aza-Diels-Alder Reactions of Enones with Cyclic Imines Catalyzed by Primary Aminothioureas

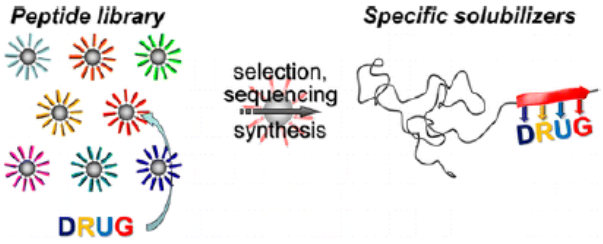


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wieczorek, S.; Krause, E.; Hackbarth, S.; Roder, B.; Hirsch, A.K.H.; Borner, H.G. *J. Am. Chem. Soc.*, **2013**, *135* (5), 1711-1714.

Exploiting Specific Interactions toward Next-Generation Polymeric Drug Transporters



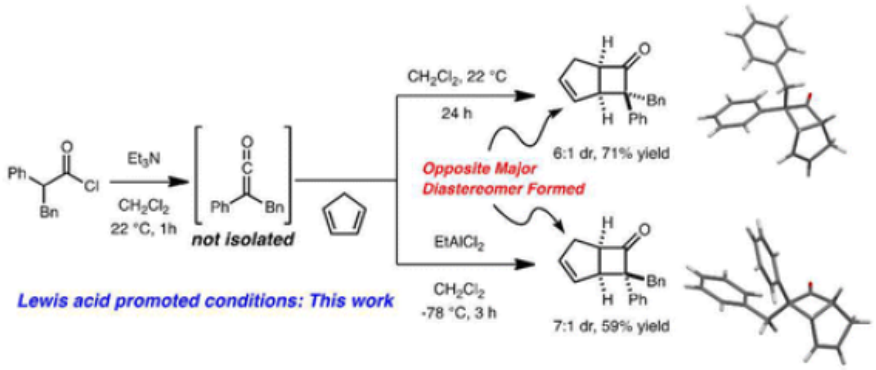
A generic method describes advanced tailoring of polymer drug carriers based on polymer-block-peptides. Combinatorial means are used to select suitable peptide segments to specifically complex small-molecule drugs.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Rasik, C.M.; Brown, M.K. *J. Am. Chem. Soc.*, **2013**, *135* (5), 1673-1676.

Lewis Acid-Promoted Ketene-Alkene [2+2] Cycloadditions

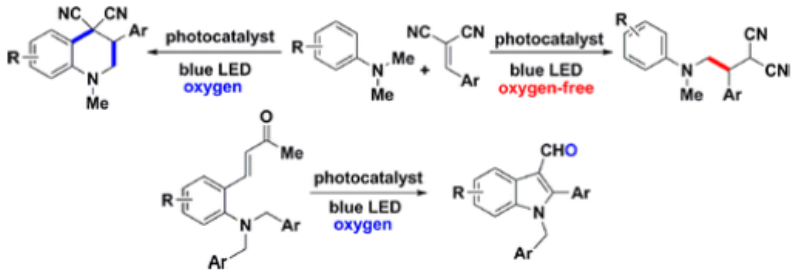


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Zhu, S.; Das, A.; Bui, L.; Zhou, H.; Curan, D.P.; Rueping, M. *J. Am. Chem. Soc.*, **2013**, *135* (5), 1823-1829.

Oxygen Switch in Visible-Light Photoredox Catalysis: Radical Additions and Cyclizations and Unexpected C-C-Bond Cleavage Reactions



bioorganic
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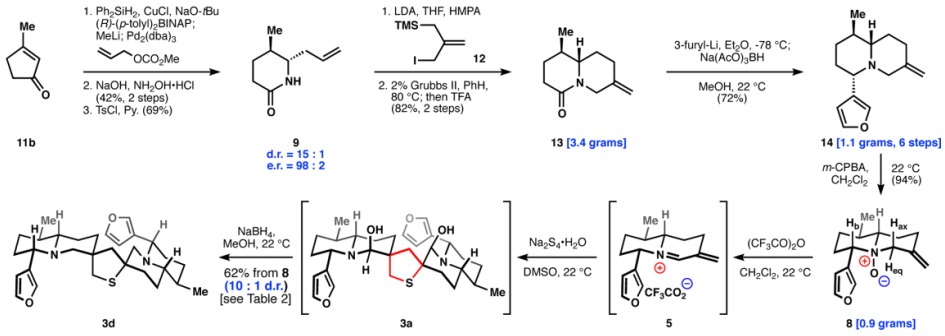
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Jansen, D.J.; Shenvi, R.A.* J. Am. Chem. Soc., 2013, 135 (4), pp 1209–1212

Synthesis of (-)-Neothiobinupharidine



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methods
synthesis
mechanism
review
other

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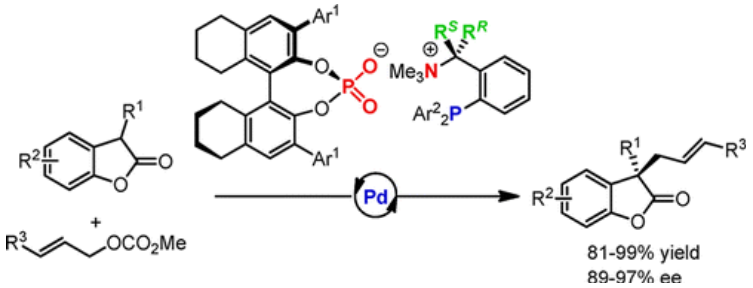
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Ohmatsu, K.; Ito, M.; Kunieda, T.; Ooi, T.* J. Am. Chem. Soc., 2013, 135 (2), pp 590–593

Exploiting the Modularity of Ion-Paired Chiral Ligands for Palladium-Catalyzed Enantioselective Allylation of Benzofuran-2(3H)-ones



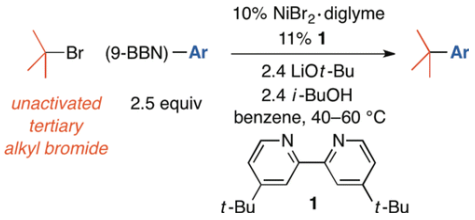
A highly enantioselective allylation of benzofuran-2(3H)-ones is achieved under Pd catalysis by taking full advantage of the structural modularity of ion-paired chiral ligands.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Zultanski, S.L.; Fu,G.C.* J. Am. Chem. Soc., 2013, 135 (2), pp 624–627

Nickel-Catalyzed Carbon–Carbon Bond-Forming Reactions of Unactivated Tertiary Alkyl Halides: Suzuki Arylations



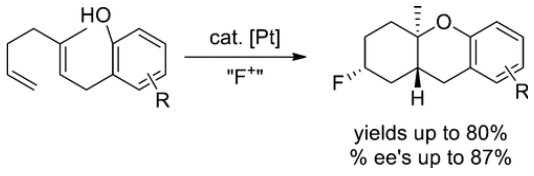
The first Suzuki cross-couplings of unactivated tertiary alkyl electrophiles are described. This approach to the synthesis of all-carbon quaternary carbon centers does not suffer from isomerization of the alkyl group, in contrast with the umpolung strategy for this bond construction (cross-coupling of a tertiary alkylmetal with an aryl electrophile). Preliminary mechanistic studies are consistent with the generation of a radical intermediate along the reaction pathway.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Cochrane, N.A.; Nguyen, H.; Gagne, M.R.* J. Am. Chem. Soc., 2013, 135 (2), pp 628–631

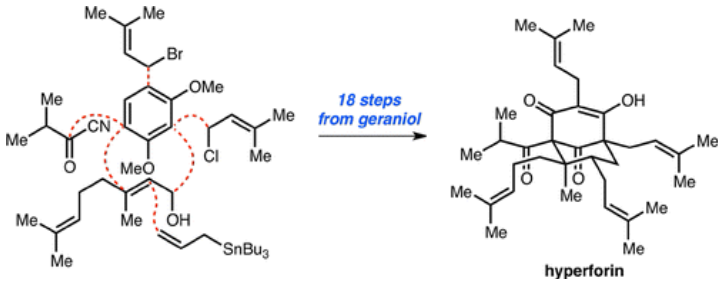
Catalytic Enantioselective Cyclization and C3-Fluorination of Polyenes



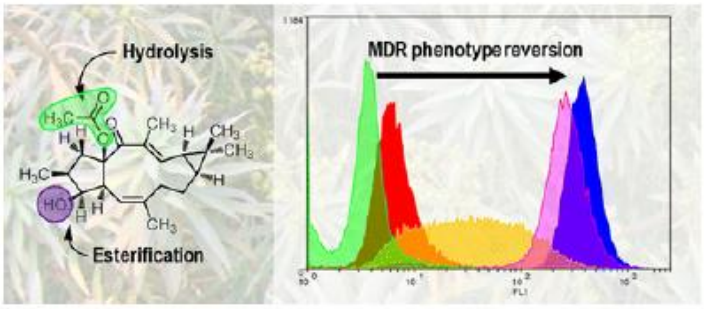
(Xylyl-phanephos)Pt_2^+ in combination with XeF_2 mediates the consecutive diastereoselective cation-olefin cyclization/fluorination of polyene substrates. Isolated yields were typically in the 60–69% range while enantioselectivities reached as high as 87%. The data are consistent with a stereoretentive fluorination of a P_2Pt-alkyl cation intermediate.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

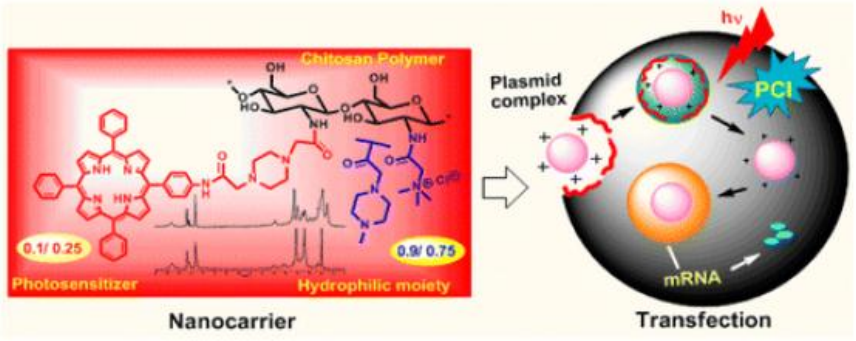
Citation:	Sparling, B.A.; Moebius, D.C.; Shair, M.D.* J. Am. Chem. Soc., 2013, 135 (2), pp 644–647	
	Enantioselective Total Synthesis of Hyperforin  A modular, 18-step total synthesis of hyperforin is described. The natural product was quickly accessed using latent symmetry elements, whereby a group-selective, Lewis acid-catalyzed epoxide-opening cascade cyclization was used to furnish the bicyclo[3.3.1]nonane core and set two key quaternary stereocenters.	bioorganic asymmetric methods synthesis mechanism review other OM Bryo Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.

Citation:	Jin, E.;, Zhang, B.; Sun, X.; Zhou, Z.; Ma, X.; Sun, Q.; Tang, J.; Shen, Y.*; Van Kirk, E.; Murdoch, W.J.; Radosz, M. J. Am. Chem. Soc., 2013, 135 (2), pp 933–940	
	Acid-Active Cell-Penetrating Peptides for in Vivo Tumor-Targeted Drug Delivery TAT peptide positive charges cause strong nonspecific interactions, making them inapplicable for many in vivo applications. In this work, we used TAT to demonstrate a molecular modification approach for inhibiting nonspecific interactions of CPPs in the bloodstream while reactivating their functions in the targeted tissues or cells. The TAT lysine residues' amines were amidized to succinyl amides (aTAT), completely inhibiting TAT's nonspecific interactions in the blood compartment; once in the acidic tumor interstitium or internalized into cell endo/lysosomes, the succinyl amides in the aTAT were quickly hydrolyzed, fully restoring TAT's functions. **Thus, aTAT-functionalized poly(ethylene glycol)-block-poly(e-caprolactone) micelles achieved long circulation in the blood compartment and efficiently accumulated and delivered doxorubicin to tumor tissues**, giving rise to high antitumor activity and low cardiotoxicity. This amidization strategy effectively and easily enables in vivo applications of CPPs.	bioorganic asymmetric methods synthesis mechanism review other OM Bryo Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.

Citation:	Reis, M.; *et al. Med. Chem.* **2013**, *56*, 748-760.	
	Enhancing Macrocyclic Diterpenes as Multidrug-Resistance Reversers: Structure–Activity Studies on Jolkinol D Derivatives  	bioorganic methods synthesis mechanism review other OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin

Citation: Gaware, V. S., *et al. J. Med. Chem.* **2013**, *56*, 807-819.

Tetraphenylporphyrin Tethered Chitosan Based Carriers for Photochemical Transfection

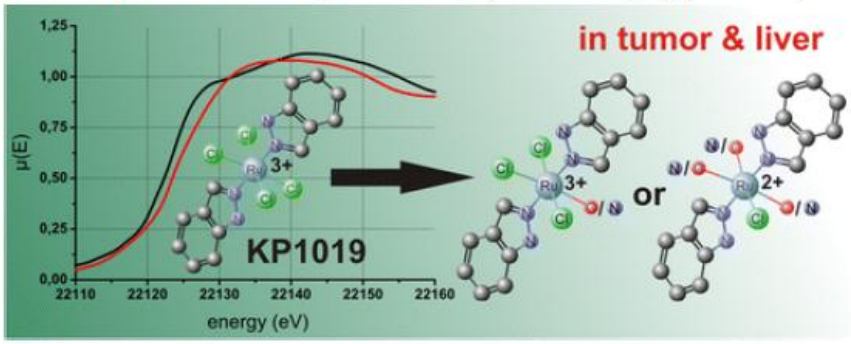


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Hummer, A. A.; *et al. J. Med. Chem.* **2013**, *56*, 1182-1196.

X-ray Absorption Near Edge Structure Spectroscopy to Resolve the in Vivo Chemistry of the Redox-Active Indazolium *trans*-[Tetrachlorobis(1H-indazole)ruthenate(III)] (KP1019)

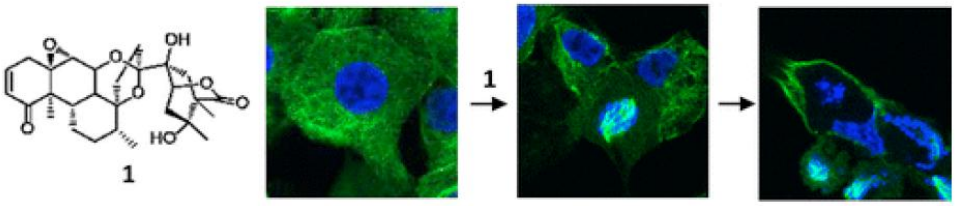


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Reyes-Reyes, E. M.; Jin, Z.; Vaisberg, A. J.; Hammond, G. B.; Bates, P. J., *J. Nat. Prod.* **2013**, 76, 2-7.

Physangulidine A, a Withanolide from *Physalis angulata*, Perturbs the Cell Cycle and Induces Cell Death by Apoptosis in Prostate Cancer Cells

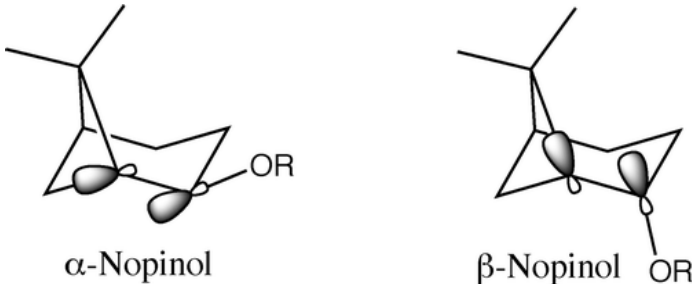


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Yeoh, S.D. *et al*. *JOC*, **2013**, *78*, 311-319.

Hyperconjugation Involving Strained Carbon-Carbon Bonds. Structural Analysis of Ester and Ether Derivatives and One-Bond ^{13}C-^{13}C Coupling Constants of alpha- and beta-Nopinol



The group uses C-C coupling constants to measure noticable differences between various substituted Nopinol derivatives. They note, however, that these differences are "not large enough to be measurable by X-ray crystallography"

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Okada, Y. *et al*. *JOC*, **2013**, *78*, 320-327.

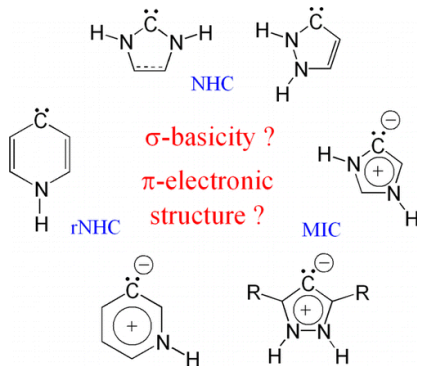
Tag-Assisted Liquid-Phase Peptide Synthesis Using Hydrophobic Benzyl Alcohols as Supports

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Huynh, H.V.; Frison, G. *JOC*, **2013**, *78*, 328-338.

Electronic Structural Trends in Divalent Carbon Compounds



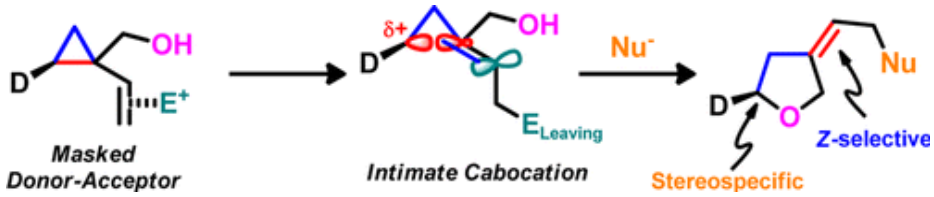
Analysis of the various differences that exist between classic NHCs and other types of stabilized singlet ground states of carbon.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ganesh, V.; Kundu, T.; Chandrasekaran, S. *JOC*, **2013**, *78*, 380-399.

Electrophile-Induced C-C Bond Activation of Vinylcylopropanes for the Synthesis of Z-Alkylidenetetrahydrofurans



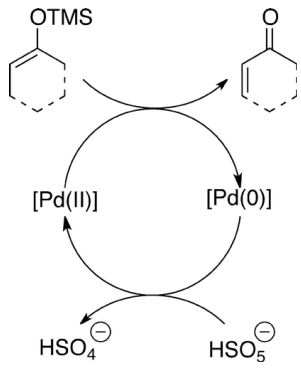
This paper is particularly interesting because the group accesses quite a large range of structures using this methodology. The diversity of the structures that they access makes this methodology particularly interesting. They also use pinene as an example of a VCB.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Lu, Y. *et al*. *JOC*, **2013**, *78*, 776-779.

Palladium-Catalyzed Saegusa-Ito Oxidation: Synthesis of α,β-Unsaturated Carbonyl Compounds from Trimethylsilyl Enol Ethers



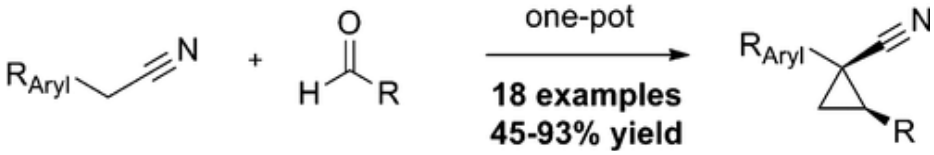
Oxone is used as the terminal oxidant and sodium hydrogen phosphate used as buffer. Cyclic, acyclic enones and a,B-unsaturated aldehydes all synthesized in high yield. Not a huge substrate table presented. I'm surprised this has not necessarily been previously reported. I feel like there are plenty of other ways to do this transformation.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Clemens, J.J. *et al*. *JOC*, **2013**, *78*, 780-785.

Diastereoselective One-Pot Knoevenagel Condensation/Corey-Chaykovsky Cyclopropanation



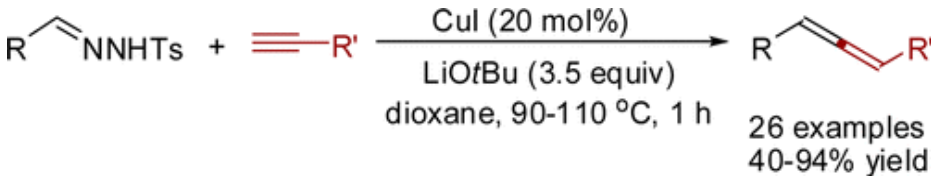
The fact that they only report results with R=Aryl is somewhat discouraging; however, we may be able to take advantage of this methodology to synthesize a number of different substituted VCPs.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hossain, M.L.; Ye, F.; Zhang, Y.; Wang, J. *JOC*, **2013**, *78*, 1236-1241.

CuI-Catalyzed Cross-Coupling of N-Tosylhydrazones with Terminal Alkynes: Synthesis of 1,3-Disubstituted Allenes

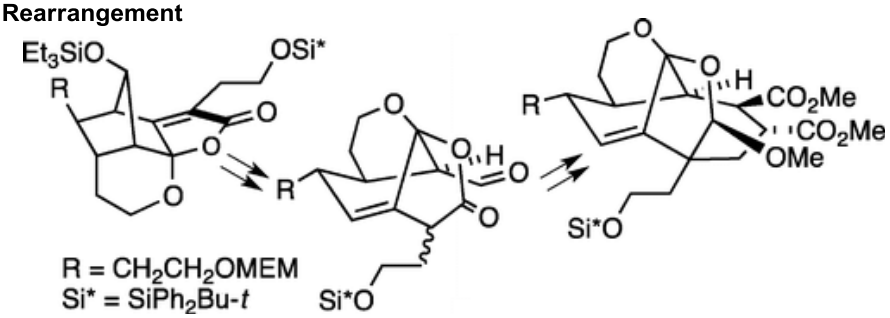


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Malihi, F.; Clive, D.L.J.; Chang, C-C. *JOC*, **2013**, *78*, 996-1013.

Synthetic Studies on CP-225,917 and CP-263,114: Access to Advanced Tetracyclic Systems by Intramolecular Conjugate Displacement and [2,3]-Witting Rearrangement



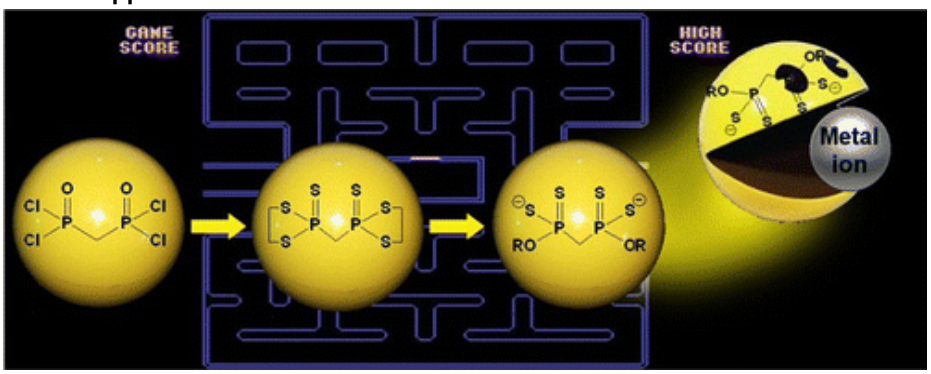
They present a lot of test systems and an overall very step heavy synthesis with often harsh conditions. The first 3 steps are a D-A reaction in refluxing o-Dichlorobenzene, LAH reduction, and a dissolving metal dechlorination requiring liquid ammonia.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Amir, A. *et al*. *JOC*, **2013**, *78*, 270-277.

***O,O'*-Diester Methylenediphophonotetrathioate: Synthesis, Characterization, and Potential Applications**



I don't believe that they actually have applications, but what an abstract. PacMan board in the background and everything...

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Yamanaka, *et al. Nature* **2013**, *493*, 557.

RNAi triggered by specialized machinery silences developmental genes and retrotansposons

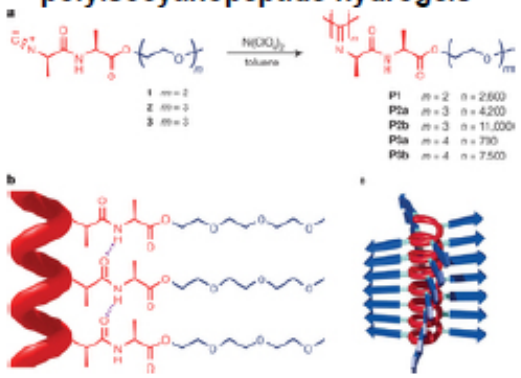
In the fission yeast Schizosaccharomyces pombe RNA interference (RNAi) machinery promotes heterochromatin assembly and silencing of centromeric repeats; here it is shown that RNAi participates in silencing other genomic regions, such as sexual differentiation genes and retrotransposons, and this process is regulated by developmental and environmental signals.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Kouwer, *et al. Nature* **2013**, *493*, 651.

Responsive biomimetic networks from polyisocyanopeptide hydrogels



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synthesis
mechanism
review
other

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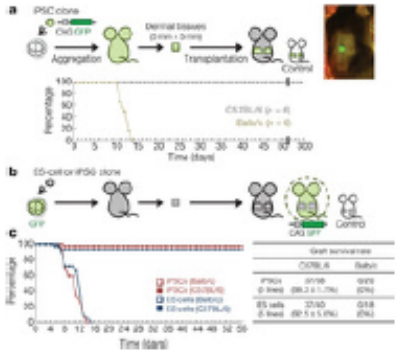
Drug Deliv.
Prostratin

Citation: Araki, *et al. Nature* **2013**, *494*, 100.

Negligible immunogenicity of terminally differentiated cells derived from induced pluripotent or embryonic stem cells



bioorganic
methods
synthesis
mechanism
review
other

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Drug Deliv.
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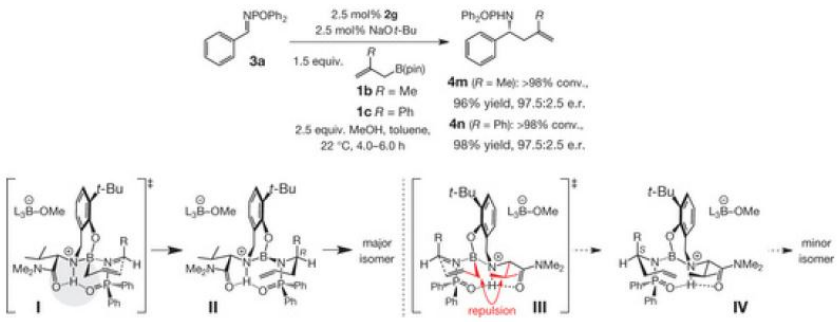
Citation: Silverio, *et al. Nature* **2013**, *494*, 216.

Simple organic molecules as catalysts for enantioselective synthesis of amines and alcohols



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synthesis
mechanism
review
other

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Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Conway, S. J. *Nature Chem.* **2013**, *5*, 86-88.

Bürgenstock 2012: Reflections on Stereochemistry

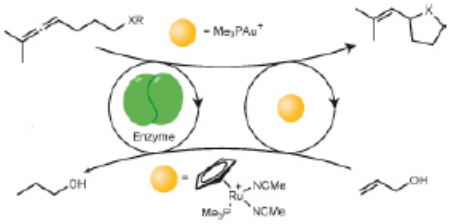
A nice summary of the history of this conference, as well as a summary of the work presented in 2012. Includes a discussion of Paul Wender's presentation!

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Wang, Z. J.; Clary, K. N.; Bergman, R. G.; Raymond, K. N.; Toste, F. D. *Nature Chem.* **2012**, *5*, 100-103.

A Supramolecular Approach to Combining Enzymatic and Transition Metal Catalysis



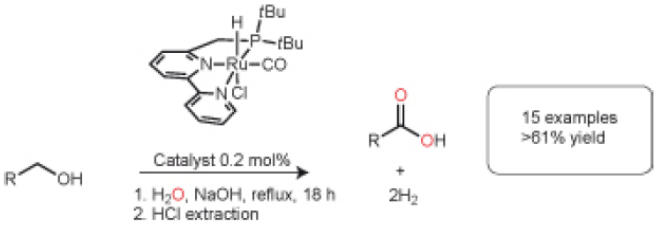
"Combinations of enzymatic and chemo-catalysis can result in powerful synthetic transformations. Here, encapsulation of Au(I) or Ru(II) within a supramolecular assembly prevents diffusion of the organometallic complexes into solution where they can compromise the activity of an enzyme. This strategy has been applied to tandem reactions employing supramolecular host–guest complexes and enzymes in the catalysis of organic transformations."

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Balaraman, E.; Khaskin, E.; Leitus, G.; Milstein, D. *Nature Chem.* **2013**, *5*, 122-125.

Catalytic Transformation of Alcohols to Carboxylic Acid Salts and H_2 using Water as the Oxygen Atom Source



"The development of a catalytic, mild and atom-economical transformation of alcohols to carboxylic acid salts and hydrogen gas is described. The reaction uses water as a source of oxygen, with a homogenous Ru catalyst at low (0.2 mol%) catalyst loadings in basic aqueous solution."

bioorganic
methods
synthesis
mechanism
review
other

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Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Degterev, A.; *et al. Nat. Chem. Bio.* **2013**, *9*, 192.

Chemical inhibitor of nonapoptotic cell death with therapeutic potential for ischemic brain injury

We described a small-molecule inhibitor of necroptosis, termed Necrostatin-1 (Nec-1). Since the original publication, additional data regarding the properties of Nec-1 have been reported, including off-target activity and metabolic stability in mice, that are important in designing in vitro and, especially, in vivo experiments with Nec-1.

Addendum to: Degterev, A.; *et al. Nat. Chem. Bio.* 2005, *1*, 112-119.

bioorganic
methods
synthesis
mechanism
review
other

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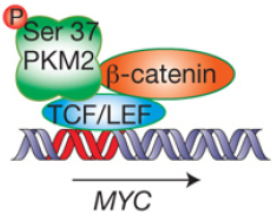
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Donner, A. *Nat. Chem. Bio.* **2013**, *9*, 67.

Translocation, translocation, translocation

The Warburg effect, or aerobic glycolysis, facilitates tumor cell growth.

Taken together, these data indicate that ERK-dependent phosphorylation, isomerization and nuclear translocation of PKM2 are necessary for the EGFR-dependent Warburg effect.



References *Nat. Chem. Bio.* 2012, *14*, 1295-1304.

bioorganic
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synthesis
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review
other

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Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: http://www.nytimes.com/2013/02/12/science/testing-of-some-deadly-diseases-on-mice-mislead-report-says.html?ref=science

Mice Fall Short as Test Subjects for Humans' Deadly Ills

Researchers report evidence that the mouse model has been totally **misleading for at least three major killers — sepsis, burns and trauma**. As a result, years and billions of dollars have been wasted following false leads, they say.

The new study, which took 10 years and involved 39 researchers from across the country, began by studying white blood cells from hundreds of patients with severe burns, trauma or sepsis to see what genes were being used by white blood cells when responding to these danger signals.

The drug failures became clear. For example, often in mice, a gene would be used, while in humans, the comparable gene would be suppressed. A drug that worked in mice by disabling that gene could make the response even more deadly in humans. Even more surprising, Dr. Warren said, was that different conditions in mice — burns, trauma, sepsis — did not fit the same pattern. Each condition used different groups of genes. In humans, though, similar genes were used in all three conditions.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: http://well.blogs.nytimes.com/2013/02/11/straining-to-hear-and-fend-off-dementia/

Straining to Hear and Fend Off Dementia

"Compared to individuals with normal hearing, those individuals with a mild, moderate, and severe hearing loss, respectively, had a 2-, 3- and 5-fold increased risk of developing dementia over the course of the study," Dr. Lin wrote in an e-mail summarizing the results. The worse the hearing loss, the greater the risk of developing dementia. The correlation remained true even when age, diabetes and hypertension — other conditions associated with dementia — were ruled out.

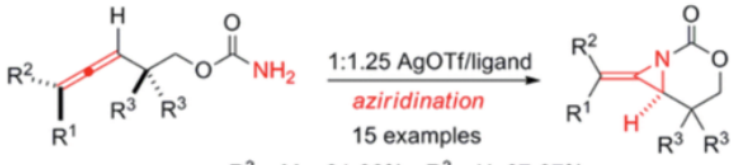
Lin discussed some possible explanations for the association. The first is social isolation, which may come with hearing loss, a known risk factor for dementia. Another possibility is cognitive load, and a third is some pathological process that causes both hearing loss and dementia.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Rigoli, J.; Weatherly, C.; Vo, B.; Neale, S.; Meis, A.; Schomaker, J. *Org. Lett.* **2013**, 15(2), 290-293.

Chemoselective Allene Aziridination via Ag(I) Catalysis



R^3 = Me, 81-98% R^3 = H, 67-87%

Allene aziridination generates useful bicyclic methylene aziridine scaffolds that can be flexibly transformed into a range of stereochemically complex and densely functionalized amine-containing stereotriads. The scope of this chemistry has been limited by the poor chemoselectivity that often results when typical dinuclear Rh(II) catalysts are employed with homoallenic carbamates. Herein, Ag(I) catalysts that significantly improve the scope and yield of bicyclic methylene aziridines that can be prepared via allene aziridination are described.

bioorganic
methods
synthesis
mechanism
review
other

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Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Anagnostaki, E.; Zografos, A. *Org. Lett.* **2013**, 15(1), 152-155.

Sequential Pd(0)-, Rh(I)-, and Ru(II)-Catalyzed Reactions in a Nine-Step Synthesis of Clinprost

A step-economical synthesis of clinprost is reported that concludes with 3 different transition metal-catalyzed reactions: Pd-catalyzed decarboxylation with allylic rearrangement, Rh-catalyzed diene-ene [2+2+1] reaction, and Ru-catalyzed cross-metathesis reaction. The complexity bestowed to the molecule from these reactions converts a readily accessible ester to clinprost without using protecting groups in only 9 total steps.

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

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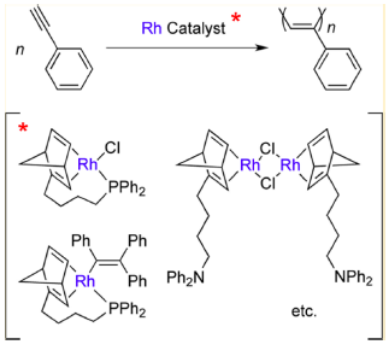
Citation: Onishi, N. ; Shiotsuki, M.; Sanda, F. *Organometallics* **2013**, *32*, 846

Polymerization of Phenylacetylenes Using Rhodium Catalysts Coordinated by Norbornadiene Linked to a Phosphino or Amino Group



bioorganic **methods** synthesis mechanism review other

OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Onishi, N. ; Shiotsuki, M.; Sanda, F. *Organometallics* **2013**, *32*, 846

Polymerization of Phenylacetylenes Using Rhodium Catalysts Coordinated by Norbornadiene Linked to a Phosphino or Amino Group

The novel rhodium (Rh) catalysts were synthesized, and their catalytic activities were examined for the polymerization of phenylacetylene (PA) and its derivatives. Rh-103 NMR spectros- copy t ogether with DFT calculations (B3LYP/6-31G*-LANL2DZ) indicated that catalyst 1 exists in a mononuclear 16-electron state, while 2 exists in dinuclear states. Catalyst 1 converted PA less than 1% in the absence of triethylamine (Et_3N). Addition of Et_3N and extension of the polymerization time enhanced the monomer conversion. On the other hand, catalysts 2 and 3 quantitatively converted PA in the absence of Et_3N to afford the polymer in good yields. Catalyst 3 achieved two-stage polymerization of PA.

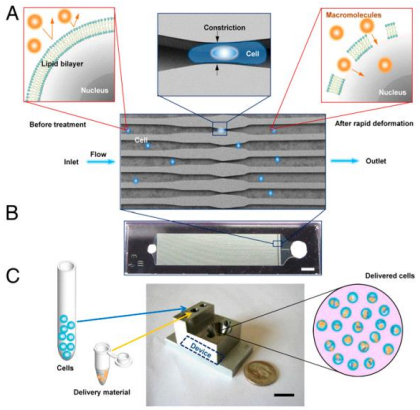
bioorganic **methods** synthesis mechanism review other

OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Langer, et. al., *PNAS,* **2013,** *110,* 2082-2087.

A vector-free microfluidic platform for intracellular delivery

A microfluidic system was shown to transfect cells with macromolecular cargo through cell surface deformation and shear force. For ex vivo transfection, this appears to have some benefits over CPP- or electroporation-based delivery, but transplantation after transfection is a clear limitation to in vivo translation.



bioorganic
methods
synthesis
mechanism
review
other

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Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: *PNAS,* **2013,** *110,* 2099.

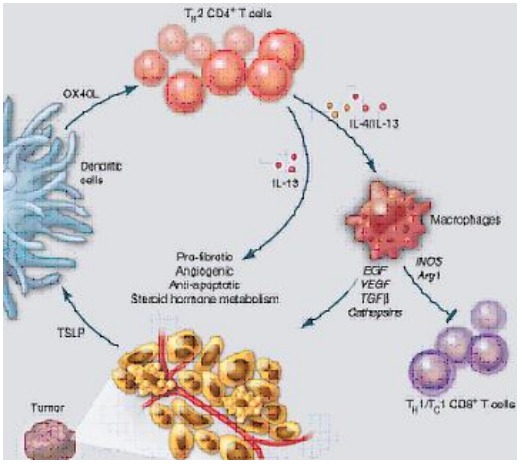
Structures of a Na+ -coupled, substrate-bound MATE multidrug transporter

Despite its clinical relevance, the transport mechanism of MATE proteins remains poorly understood, largely owing to a lack of structural information on the substrate-bound transporter. The authors report crystal structures of a Na+ -coupled MATE transporter NorM from *Neisseria gonorrheae* in complexes with three distinct translocation substrates (ethidium, rhodamine 6G, and tetraphenylphosphonium), as well as Cs+ (a Na+ congener), all captured in extracellular-facing and drug-bound states. MATE pumps are different from Pgp, but crystallography of all efflux pumps is relatively limited.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Coussens, L. M.; Zitvogel, L.; Palucka, A. K. *Science* **2013**, *339*, 286.



Neutralizing Tumor-Promoting Chronic Inflammation

Review of studies elucidating the roles of immune cell subsets that are involved in cancer-associated inflammation.

bioorganic
methods
synthesis
mechanism
review
other

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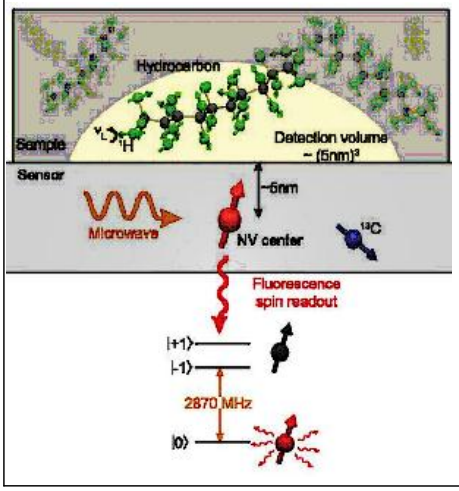
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Mamin, H. J., *et al. Science* **2013**, *339*, 557. AND Studacher, T., *et al. Science* **2013**, *339*, 561.



Nanoscale Nuclear Magnetic Resonance with a Nitrogen-Vacancy Spin Sensor
AND
Nuclear Magnetic Resonance Spectroscopy on a (5-Nanometer)3 Sample Volume

Two groups report nanoscale NMR techniques that do not require an external magnetic field. Author Rugar suggests that "the technique could determine structures of proteins that cannot be crystallized or could identify different conformations of individual proteins".

bioorganic
methods
synthesis
mechanism
review
other

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Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
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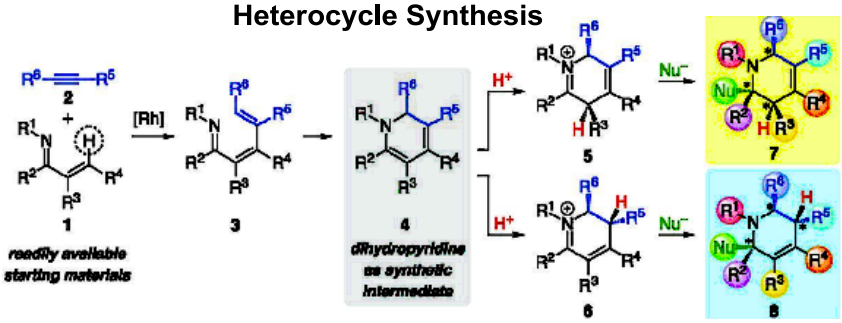
Citation: Duttwyler, S., *et al. Science* **2013**, *339*, 678.

Proton Donor Acidity Controls Selectivity in Nonaromatic Nitrogen Heterocycle Synthesis



Jonathan Ellman's group at Yale developed a modular route involving a rhodium-catalyzed cascade reaction that provides highly substituted piperidine derivatives with selectively tunable regiochemistry.

bioorganic
methods
synthesis
mechanism
review
other

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Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
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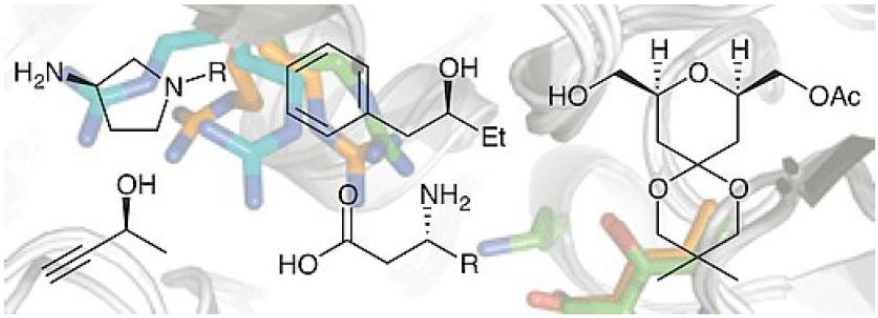
Citation: Bornscheuer, U. T., *Syn. Lett.* **2013**, 24(2), 150-156.

From Commercial Enzymes to Biocatalysts Designed by Protein Engineering



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synthesis
mechanism
review
other

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Bryo
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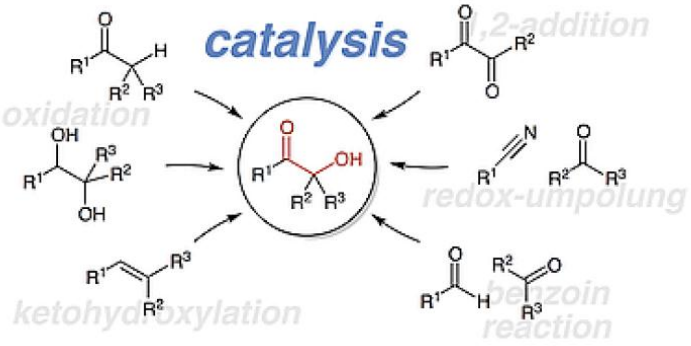
Hybrid
Drug Deliv.
Prostratin

Citation: Streuff, J., *Syn. Lett.* **2013**, 24(2), 276-280.

An Update on Catalytic Strategies for the Synthesis of alpha-Hydroxyketones

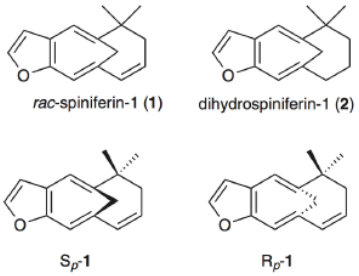


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: *Synthesis* **2013**, *45*, 438–447

Total Synthesis and Establishment of the Stereochemistry of Spiniferin-1, a Rare Planar Chiral Marine Natural Product with a 1,6-Methano[10]annulene Skeleton



bioorganic
methods
synthesis
mechanism
review
other

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Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: *Synthesis* **2013**, *45*, 438–447

Total Synthesis and Establishment of the Stereochemistry of Spiniferin-1, a Rare Planar Chiral Marine Natural Product with a 1,6-Methano[10]annulene Skeleton

Spiniferin-1, a rare planar chiral natural product with a 1,6-methano[10]annulene skeleton, has been synthesized via a nov- el polyfluoroalkanosulfonyl fluoride induced cascade carbocation rearrangement reaction. Natural spiniferin-1 was established as a ra- cemic mixture by comparing its specific rotation with those of our synthesized *Sp*-(+)-spiniferin-1 and its *Rp*-(–)-enantiomer.

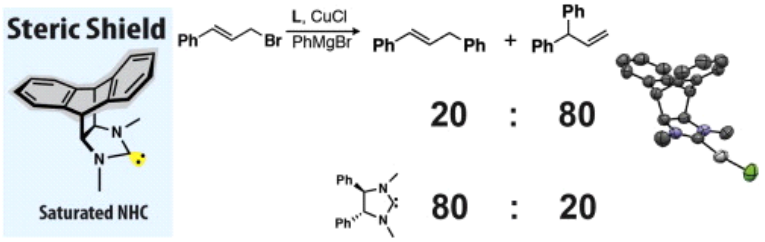
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: S. Ando, H. Matsunaga, T. Ishizuka, *Tetrahedron* **2013**, *69* (6), 1687.

Syntheses of effectively-shielded N-heterocyclic carbene ligands

A novel type of N-heterocyclic carbene ligand, with a bicyclic motif at the non-carbenic carbons of an imidazolin-2-ylidene core, has been developed. This type of ligand formed an air and moisture stable silver complex even with N,N'-dimethyl NHC. Allylic arylation with a Grignard reagent catalyzed by copper complexes of the NHC ligands proceeded preferentially at the γ-position, indicating the effective steric shielding ability of this framework.



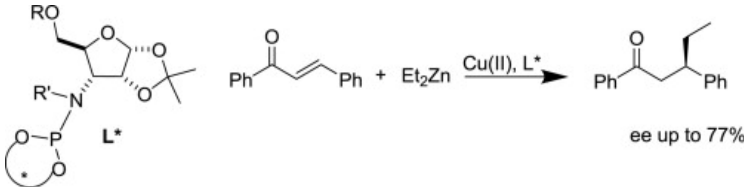
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: T. Bauera, M. Majdeckia, J. Jurczak, *Tetrahedron* **2013**, *69* (7), 1930.

Sugar-based monodentate phosphoramidite ligands for Cu-catalyzed enantioselective conjugate addition to enones

In this paper monodentate phosphoramidite ligands based on amines derived from the easy available monosaccharide d-xylose and BINOLs are presented. Ligands were used for copper-catalyzed conjugate addition to acyclic and cyclic enones. The highest enantioselectivity achieved in this study was 77% ee for the conjugate addition to trans-chalcone, which is comparable to the best results published to date for phosphoramidite ligands based on carbohydrate-derived amines.



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synthesis
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other

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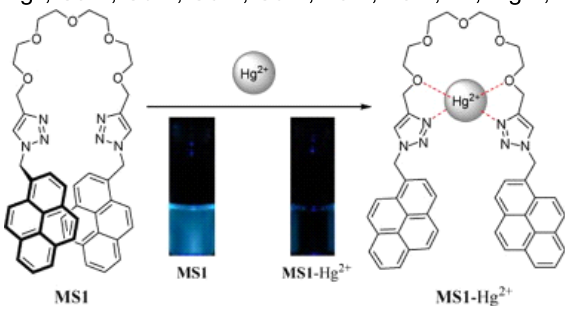
Drug Deliv.
Prostratin

Citation: H.-F. Wang, S.-P. Wu, *Tetrahedron* **2013**, *69* (8), 1965.

Highly selective fluorescent sensors for mercury(II) ions and their applications in living cell imaging

-Selective for Hg^{2+}
-only low changes in fluoresence when Ag^{+}, Ca^{2+}, Cd^{2+}, Co^{2+}, Cu^{2+}, Fe^{2+}, Fe^{3+}, K^{+}, Mg^{2+}, Mn^{2+}, Na^{+}, Ni^{2+}, Pb^{2+}, Rb^{+}, and Zn^{2+} present
-association konstants were determined application as fluorescent probe for detecting Hg^{2+} in living cells



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synthesis
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review
other

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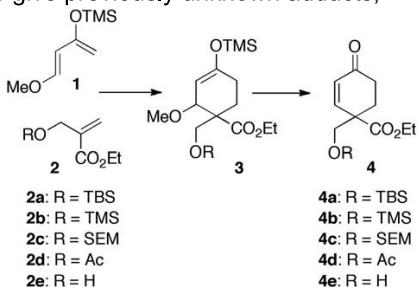
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: S. Zheng, A. Chowdhury, I. Ojima, T. Honda, *Tetrahedron* **2013**, *69* (8), 2052.

Microwave-assisted Diels–Alder reactions between Danishefsky's diene and derivatives of ethyl a-(hydroxymethyl)acrylate. Synthetic approach toward a biotinylated anti-inflammatory monocyclic cyanoenone

The microwave heating drastically accelerates Diels–Alder cycloadditions between Danishefsky's diene and derivatives of ethyl a-(hydroxymethyl)acrylate whose hydroxyl group is protected with various protective groups to give previously unknown adducts, which are necessary as intermediates for the synthesis of a biotin conjugate of a monocyclic cyanoenone with high anti-inflammatory activity. The reaction time is only 1 h and the average yield is approximately 80%. Compared to the traditional thermal conditions this method requires 1/48th to 1/14th of the time and the yields are 2–7 times more.



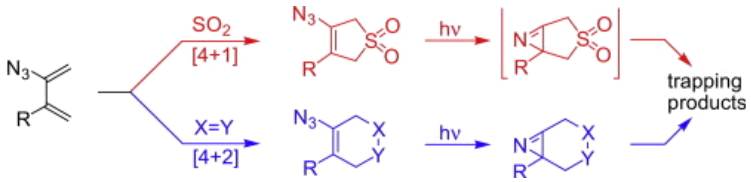
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: K. Banert, A. Ihle, A. Kuhtz, E. Penk, B. Saha, E.-U. Würthwein, *Tetrahedron* **2013**, *69* (11), 2501.

Generation of highly strained 2,3-bridged 2H-azirines via cycloaddition reactions of 2-azidobuta-1,3-dienes and photolysis of the resulting cyclic vinyl azides

Access to cyclic vinyl azides, which lead to short-lived 2,3-bridged 2H-azirines on photolysis.
Bridgehead azirines were trapped with cyclopentadiene by stereoselective [4+2]-cycloaddition or with hydrogen cyanide to give bicyclic 2-cyanoaziridines.



bioorganic
methods
synthesis
mechanism
review
other

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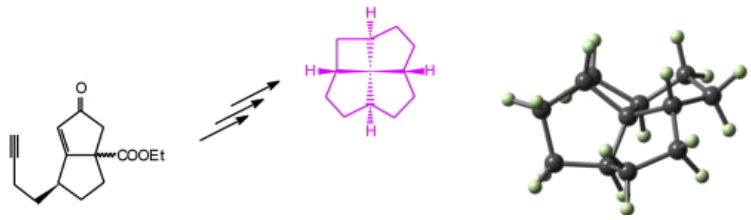
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: P. Macchi, W. Jing, R. Guidetti-Grept, R. Keese, *Tetrahedron* **2013**, *69* (11), 2479

The structure of some [4.5.5.5]fenestranes

cis,cis,cis,cis-[4.5.5.5]Fenestrane 11 was prepared by a novel route. The energy hypersurface of some stereoisomeric and substituted [4.5.5.5]fenestranes and -fenestrenes was explored by DFT calculations. The impact of some structural modifications, which enhance the planarizing deformation in the central C(C)4 substructures are discussed.



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synthesis
mechanism
review
other

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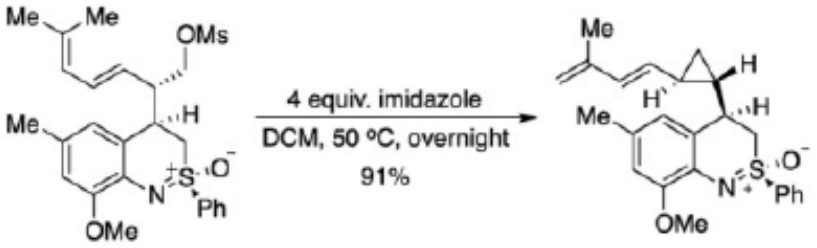
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Cai, Z.; Harmata, M. *Tetra. Lett.* **2013**, *54(8)*, 814.

Benzothiazines in organic synthesis: formation of a cyclopropane via neighboring group participation



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mechanism
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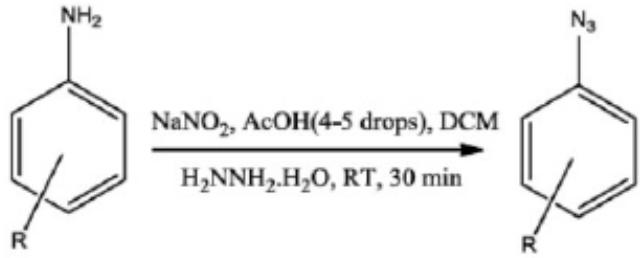
Citation: Siddiki, A.A.; Takale, B.S.; Telvekar, V.N. *Tetra. Lett.* **2013**, *54(10)*, 1294.

One pot synthesis of aromatic azide using sodium nitrite and hydrazine hydrate



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mechanism
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other

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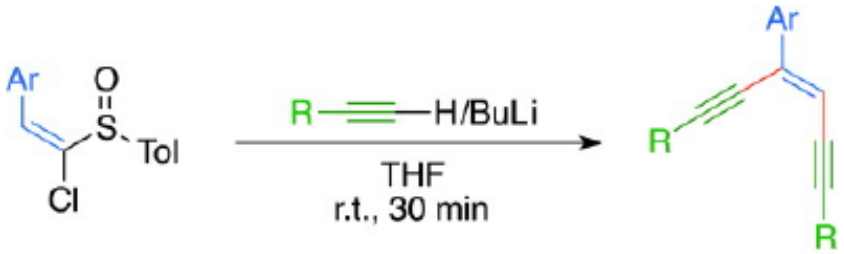
Citation: Kimura, T.; Nishimura, Y.; Ishida, N.; Momochi, H.; Yamashita, H.; Satoh, T. *Tetra. Lett.* **2013**, *54(9)*, 1049.

A novel consecutive reaction of lithium acetylides with 2-aryl-1-chlorovinyl *p*-tolyl sulfoxides leading to the formation of (*Z*)-enediynes



13 examples up to 80% yield

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