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Next Due Date: Monday June 16th, 2014

Instructions for Authors (Volume 39)

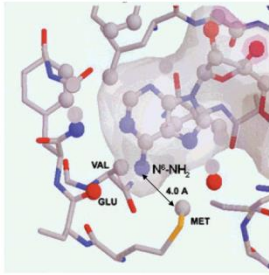
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent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

Citation: Abeyweera, T.P.; Rotenberg, S.A. <i>Biochemistry</i> 2007 , <i>46</i> , 2364-2370	
<p style="text-align: center;">Design and Characterization of a Traceable Protein Kinase C-alpha</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 (1ε-32P]-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.</p>	 <p style="text-align: right;">bioorganic asymmetric methods synthesis mechanism review other</p> <p style="text-align: right;">OM Bryo 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>mook Pronunciation Key (mk) <i>n. Slang</i> An insignificant or contemptible person.</p>	<p style="text-align: right;"><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.

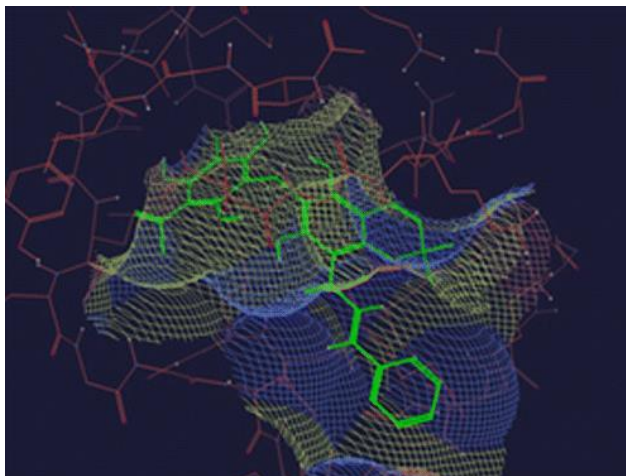
Penalties for being a Lit Review MOOK:

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

Citation: Takashima, A.; *et al. ACS Chem. Biol.* **2014**, *9*, 1003-14.

Protein Kinase C-Delta is a Therapeutic Target in Malignant Melanoma with NRAS Mutation

NRAS is the second most frequently mutated gene in melanoma. Novel small-molecule inhibitors of PKC-delta were designed as chimeric hybrids of two naturally occurring PKC-delta inhibitors, staurosporine and rottlerin, the hypothesis being that combining two domains of naturally occurring PKC-delta inhibitors into a chimeric or hybrid structure retains biochemical and biological activity and improves PKC-delta isozyme selectivity.



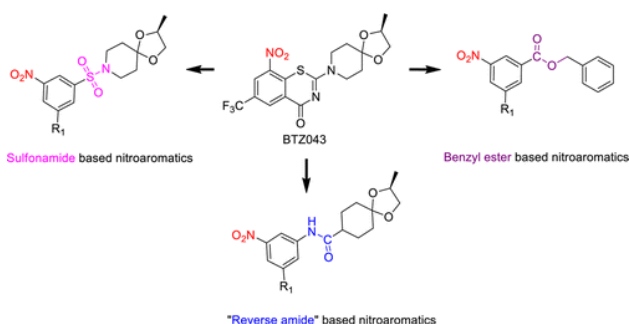
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Hybrid
Drug Deliv.
Prostratin

Citation: Tiwari, R., *et al. ACS. Med. Chem. Lett.* **2014**, *5*, 587-591.

Design and Syntheses of Anti-Tuberculosis Agents Inspired by BTZ043 Using a Scaffold Simplification Strategy

The presented work explores the effect of functional groups such as sulfonamides, reverse-amides, and esters that are attached to the nitroaromatic rings on their anti-TB activity. The in vitro activity of the compounds evaluated against the H37Rv strain of Mtbshow that nitroaromatic sulfonamides and nitrobenzoic acid esters with two nitro substituents were most active and highlights the importance of the electronic character (electron deficient aromatic ring) of the nitroaromatic ring as a central theme in these types of nitroaromatic anti-TB agents.

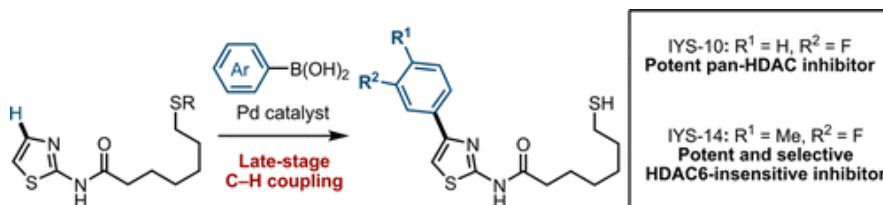


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Citation: Sekizawa, H., *et al. ACS. Med. Chem. Lett.* **2014**, *5*, 582-586.

Late-Stage C–H Coupling Enables Rapid Identification of HDAC Inhibitors: Synthesis and Evaluation of NCH-31 Analogues



By utilizing our C–H coupling reaction, we rapidly synthesized 16 analogues (IYS-1 through IYS-15 and IYS-Me) of NCH-31 (a potent histone deacetylase (HDAC) inhibitor) with different aryl groups at the C4-position of 2-aminothiazole core of NCH-31. Subsequent biological testing of these derivatives revealed that 3-fluorophenyl (IYS-10) and 4-fluorophenyl (IYS-15) derivatives act as potent pan-HDAC inhibitor. Additionally, 4-methylphenyl (IYS-1) and 3-fluoro-4-methylphenyl (IYS-14) derivatives acted as HDAC6-insensitive inhibitors. The present work clearly shows the power of the late-stage C–H coupling approach to rapidly identify novel and highly active/selective biofunctional molecules.

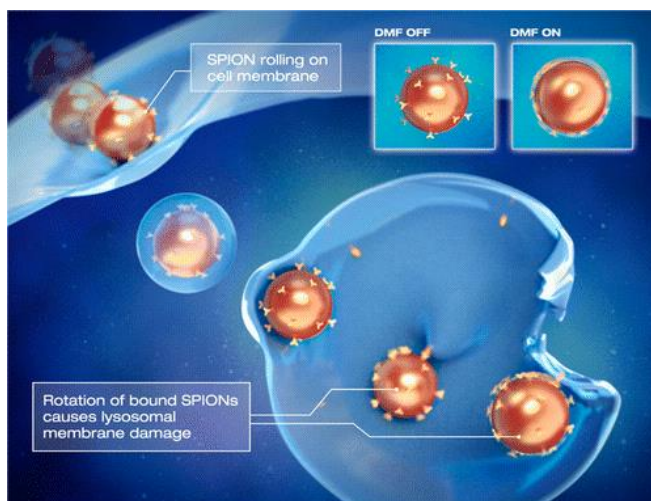
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Citation: Zhang, E., et. al. ACS Nano, 2014, 8 (4), pp 3192–3201

Dynamic Magnetic Fields Remote-Control Apoptosis via Nanoparticle Rotation

Superparamagnetic iron oxide nanoparticles (SPIONs) used to cause cell death by spin-induced rotation following cellular uptake.

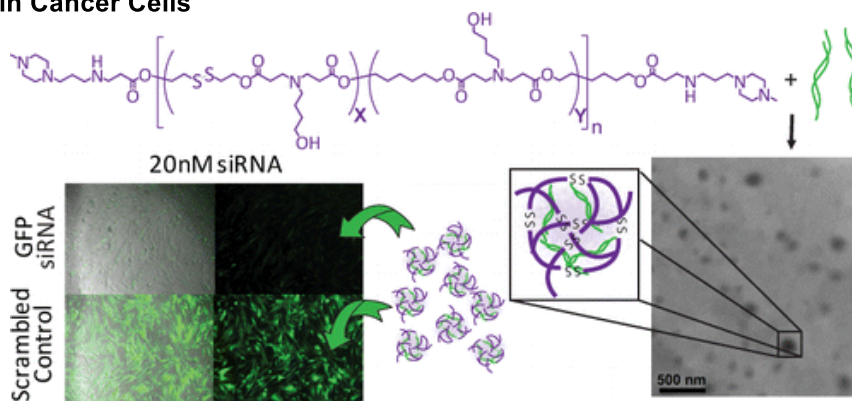


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Citation: Kozieski, K. et. al. ACS Nano, 2014, 8 (4), pp 3232–3241

Bioreducible Cationic Polymer-Based Nanoparticles for Efficient and Environmentally Triggered Cytoplasmic siRNA Delivery to Primary Human Brain Cancer Cells

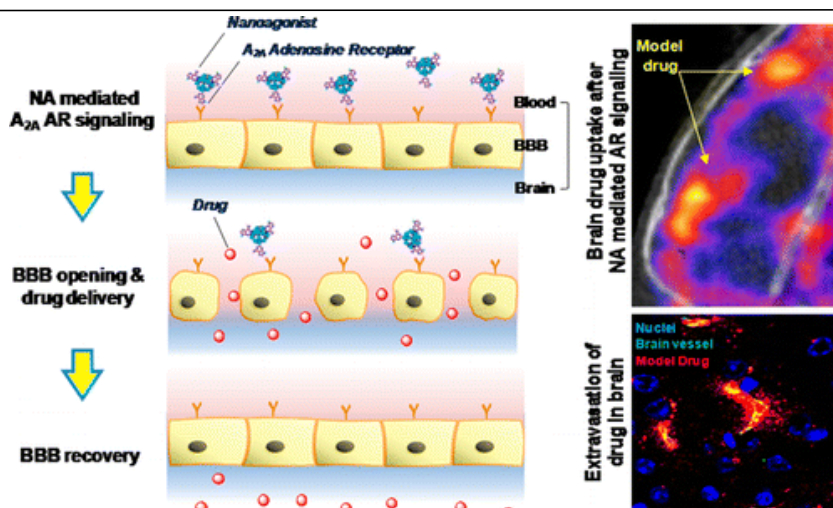


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Citation: Gao, X., et. al. ACS Nano, 2014, 8 (4), pp 3678–3689

Overcoming the Blood–Brain Barrier for Delivering Drugs into the Brain by Using Adenosine Receptor Nanoagonist



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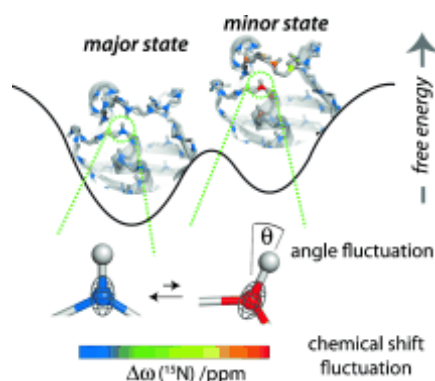
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Citation: Chabot, S.; Teissie, J.; Golzio, M. <i>Adv. Drug Del. Revs.</i> 2014 , <i>in press</i> .	
<p>Targeted electro-delivery of oligonucleotides for RNA interference: siRNA and anti-miR</p> <p>Micro-RNAs (miRNAs) are small regulatory RNAs that play an important role in disease development and progression and therefore represent a potential new class of therapeutic targets. Electroporation (EP) is recognized as a successful non-viral method to transfer nucleic acids into living cells both <i>in vitro</i> and <i>in vivo</i>. EP is the direct application of electric pulses to cells or tissues that transiently permeabilize plasma membranes, allowing the efficient delivery of exogenous molecules.</p>	<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>
Citation: Ellem, S.J.; De-Juan-Pardo, E.M.; Risbridger, G.P. <i>Adv. Drug Del. Revs.</i> 2014 , <i>in press</i> .	
<p>In vitro modeling of the prostate cancer microenvironment</p> <p>Prostate cancer is the most commonly diagnosed malignancy in men and advanced disease is incurable. Model systems are limited by virtue of their 2D growth and inability to include the influence of tumor microenvironment. These major limitations can be overcome with the development of newer systems that more faithfully recreate and mimic the complex <i>in vivo</i> multi-cellular, 3D microenvironment.</p>	<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>
Citation: Stebbins, N.D.; Ouimet, M.A.; Urich, K.E. <i>Adv. Drug Del. Revs.</i> 2014 , <i>in press</i> .	
<p>Antibiotic-containing polymers for localized, sustained drug delivery</p> <p>This review discusses the chemical conjugation of antibiotics to polymers, achieved by forming covalent bonds between antibiotics and a pre-existing polymer or by developing novel antibiotic-containing polymers. Through conjugating antibiotics to polymers, unique polymer properties can be taken advantage of. These polymeric antibiotics display controlled, sustained drug release and vary in antibiotic class type, synthetic method, polymer composition, bond lability, and antibacterial activity.</p>	<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>

Citation: Willbold, D.; et al. *Angew. Chem. Int. Ed.* **2014**, 53 (17), 4312-4317.

Probing Transient Conformational States of Proteins by Solid-State R1ρ Relaxation-Dispersion NMR Spectroscopy

Functionally relevant states of proteins are often only short-lived, and thus difficult to detect. Relaxation-dispersion experiments in magic-angle-spinning solid-state NMR spectroscopy provide access to such transient states. Information about the exchange kinetics, relative populations, and structures of the short-lived state can be obtained.



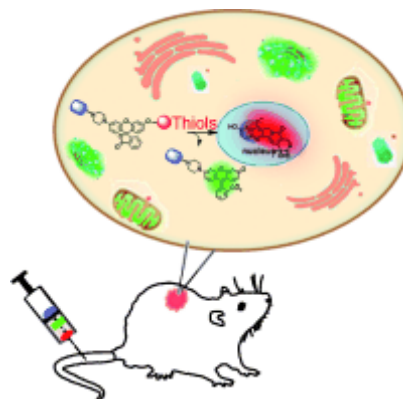
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Citation: Sessler, J.; et al. *Angew. Chem. Int. Ed.* **2014**, 53 (17), 4469-4474.

An Activatable Theranostic for Targeted Cancer Therapy and Imaging

A new theranostic prodrug was developed containing a biotinylated piperazine-rhodol conjugate linked to the drug SN-38 through a self-immolative disulfide spacer. When exposed to cellular thiols in cancer cells, it is able to release the active chemotherapeutic, SN38, along with a diagnostic fluorophore. This theranostic framework permits the targeted delivery, release of an active agent (SN-38), and its facile monitoring in vitro and in vivo.



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Citation: Sasai, H.; et al. *Angew. Chem. Int. Ed.* **2014**, 53 (18), 4675-4679.

Palladium Enolate Umpolung: Cyclative Diacetoxylation of Alkynyl Cyclohexadienones Promoted by a Pd/SPRIX Catalyst

A new palladium-catalyzed reaction involving an unusual nucleophilic attack on a palladium enolate was developed using the SPRIX ligand. Treatment of alkynyl cyclohexadienone substrates with Pd/SPRIX in acetic acid under an oxygen atmosphere furnished diacetoxylation benzofuranone derivatives in good yields and with high enantioselectivity.



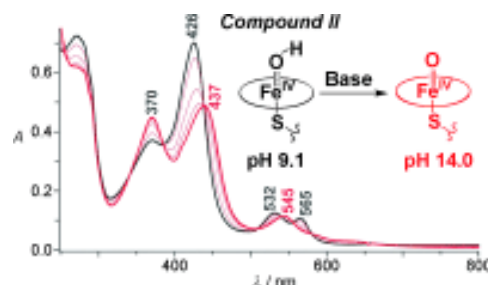
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Citation: Lehnert, N.; et al. *Angew. Chem. Int. Ed.* **2014**, *53* (19), 4750-4752.

1958–2014: After 56 Years of Research, Cytochrome P450 Reactivity Is Finally Explained

Compounds I and II in the catalytic cycle of the Cytochrome P450 enzymes have been trapped and characterized recently. This work has provided further insight into the electronic structure and reactivity of these crucial intermediates, and key questions regarding the mechanism of these enzymes have finally been answered.



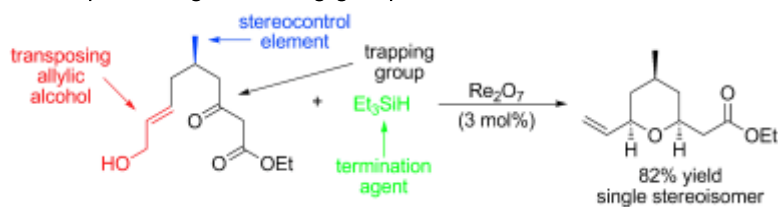
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Citation: Floreancig, P.; et al. *Angew. Chem. Int. Ed.* **2014**, *53* (20), 4926-4929.

Heterocycle Synthesis Based on Allylic Alcohol Transposition Using Traceless Trapping Groups

Allylic alcohols transpose in the presence of Re_2O_7 and are trapped by aldehydes or ketones to form hemiacetals. Additional ionization yields oxocarbenium ions, which can be quenched through bimolecular reactions with nucleophiles to provide heterocycles with no trace of the initial trapping group. This method allows stereocontrol in the absence of chiral reagents and without recourse to protecting or leaving groups.



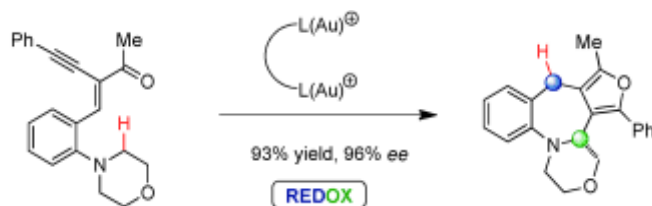
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Citation: Seidel, D.; et al. *Angew. Chem. Int. Ed.* **2014**, *53* (20), 5010-5036.

C-H Bond Functionalization through Intramolecular Hydride Transfer

Known for over a century, reactions based on intramolecular hydride transfer have experienced a recent resurgence. Hydride shifts represent an attractive avenue for C-H bond functionalization and the redox-neutral nature of these transformations makes them ideal for the development of sustainable reactions. This Review summarizes recent progress in this field and highlights key historical contributions.



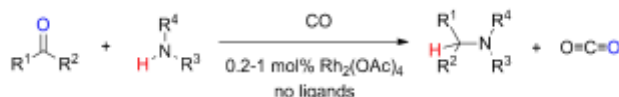
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Citation: List, B.; et al. *Angew. Chem. Int. Ed.* **2014**, *53* (20), 5199-5201.

Reductive Amination without an External Hydrogen Source

Reductive amination without an external hydrogen source has been developed using carbon monoxide as the reductant and rhodium acetate (0.2–1 mol%) as catalyst. The method tolerates a variety of functional groups and provides target amines in good to excellent yields.



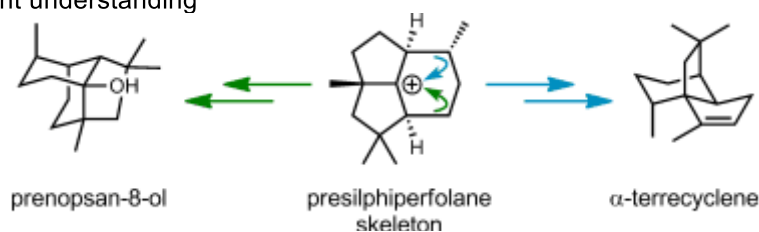
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Citation: Stoltz, B.; et al. *Angew. Chem. Int. Ed.* **2014**, *53* (21), 5248-5260.

Biosynthesis and Chemical Synthesis of Presilphiperfolanol Natural Products

The presilphiperfolane skeleton is an important intermediate in the diverging biosynthetic pathways leading to numerous sesquiterpene natural products. Research in natural products, biosynthetic, and computational chemistry has provided much insight into the major skeletal rearrangement mechanisms. Advances in synthetic organic chemistry have enabled access to several members of the presilphiperfolanol family by total synthesis and contributed to current understanding



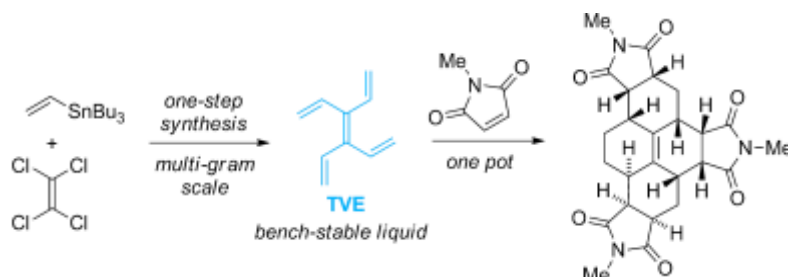
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Drug Deliv.

Citation: Sherburn, M.; et al. *Angew. Chem. Int. Ed.* **2014**, *53* (21), 5440-5443.

Tetravinylethylene

The forgotten hydrocarbon, tetravinylethylene (TVE, scheme) is a bench-stable compound that can be synthesized in one step from commercially available starting materials. TVE is a vehicle for rapid complex molecule synthesis through one-pot sequences involving the stereoselective assembly of up to seven C-C bonds.

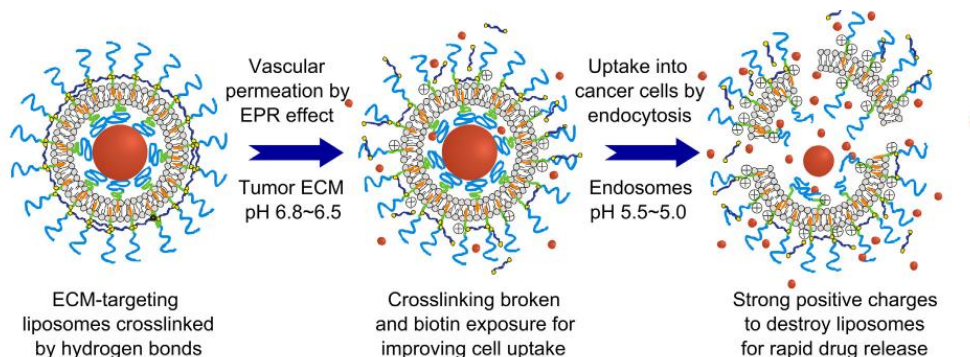


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Citation: Chiang, Y.-T.; Lo, C.-L. *Biomaterials* 2014, 35, 5414–5424.

pH-Responsive polymer-liposomes for intracellular drug delivery and tumor extracellular matrix switched-on targeted cancer therapy

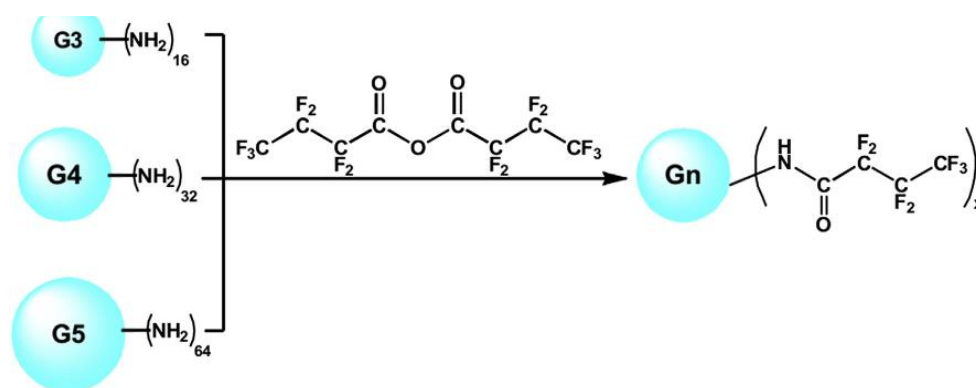


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Citation: Liu, H.; Wang, Y.; Wang, M.; Xiao, J.; Cheng, Y. *Biomaterials* 2014, 35, 5407–5413.

Fluorinated poly(propyleneimine) dendrimers as gene vectors

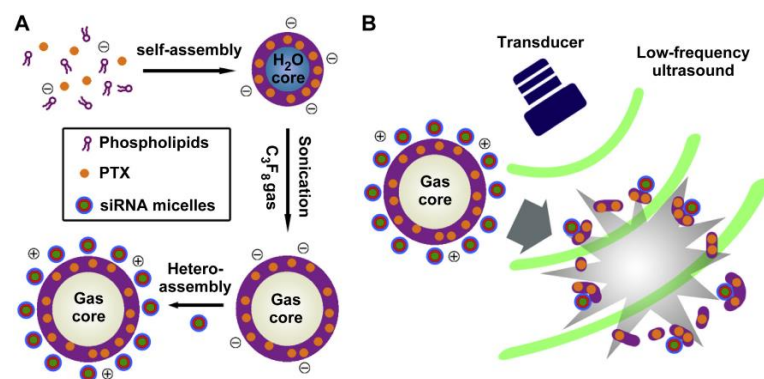


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Citation: Yin, T. et. al. *Biomaterials* 2014, 35, 5932–5943.

Tumor-penetrating codelivery of siRNA and paclitaxel with ultrasound-responsive nanobubbles hetero-assembled from polymeric micelles and liposomes

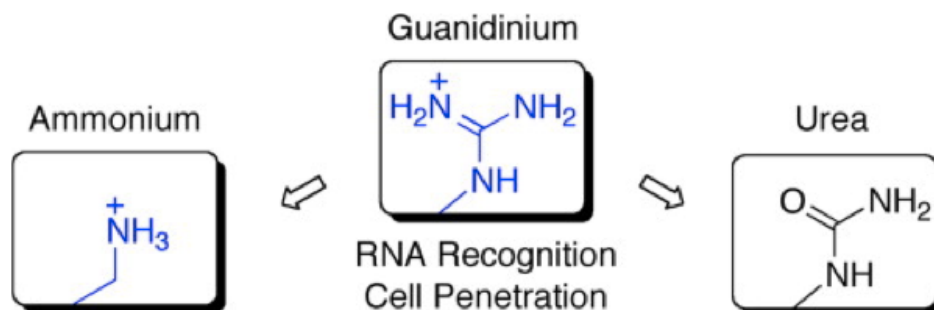


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Citation: Wu, C.; et al. *Bioorg. Med. Chem.*, 22, (2014) 3061-3020

Effect of each guanidinium group on the RNA recognition and cellular uptake of Tat-derived peptides

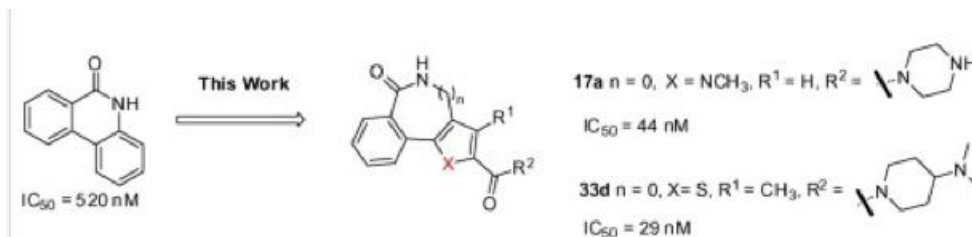


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Citation: Chen, J.; et al. *Bioorg. Med. Chem. Lett.*, 24, (2014) 2669-2673

Synthesis of isoquinolinone-based tricycles as novel poly(ADP-ribose) polymerase-1 (PARP-1) inhibitors

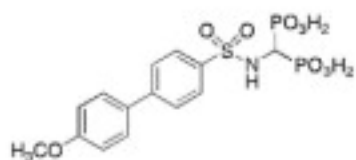


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Citation: Tauro, M.; et al. *Bioorg. Med. Chem. Lett.*, 24, (2014) 2617-2620

Dual carbonic anhydrase/matrix metalloproteinase inhibitors incorporating bisphosphonic acid moieties targeting bone tumors



IC₅₀ (MMP-2) = 110 nM; IC₅₀ (CA I) = 79 nM;
IC₅₀ (CA II) = 70 nM; IC₅₀ (CA IX) = 84 nM;
IC₅₀ (CA XII) = 76 nM; IC₅₀ (CA XIV) = 70 nM.

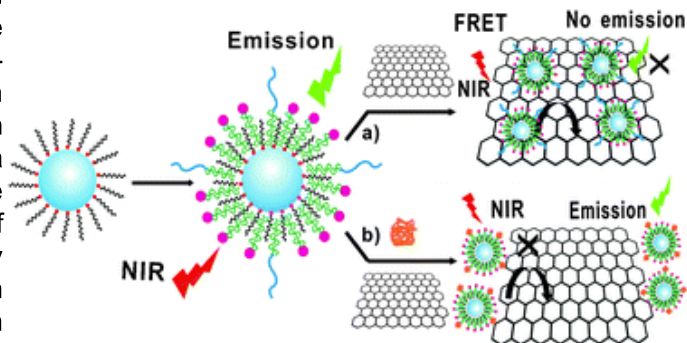
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Citation: Wu, Y.-M.; *et al. Chem. Commun.* **2014**, 50, 4759.

Upconversion fluorescence resonance energy transfer biosensor for sensitive detection of human immunodeficiency virus antibodies in human serum

A facile one-step approach was proposed to prepare hydrophilic and peptide-functionalized upconversion nanoparticles (UCNPs), which were used in the design of a biosensor for the sensitive and selective determination of human immunodeficiency virus antibodies in human serum based on FRET from the UCNPs to the graphene oxide.

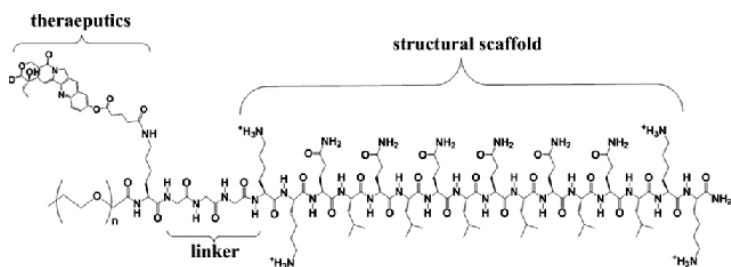


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Citation: Yang, M.; *et al. Chem. Commun.* **2014** 50, 4827.

Filamentous supramolecular peptide–drug conjugates as highly efficient drug delivery vehicles



The authors report a facile approach to prepare filamentous supramolecular peptide–drug conjugates with precise drug/carrier stoichiometry, nearly 100% loading efficiency and exceptional anti-cancer drug efficacy for chemotherapy

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Citation: Johnson, J. *C&EN.* **2014**, 92(17), 23-25.

A Carbon Commodity

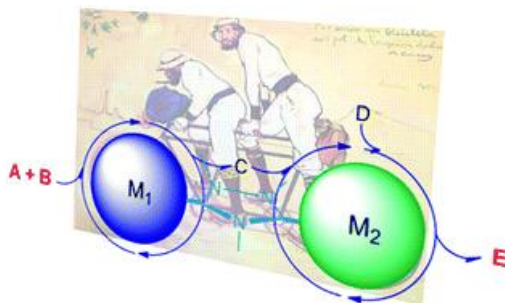


Advocates say enhanced oil recovery using CO₂ can drive carbon capture and sequestration technologies

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Heterometallic complexes, tandem catalysis and catalytic cooperativity

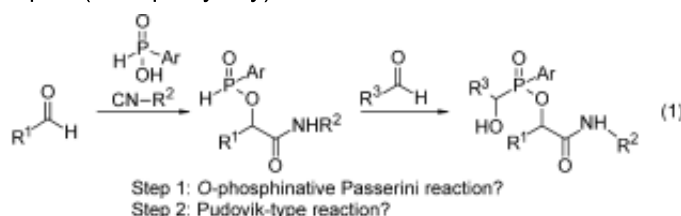


N-heterocyclic carbene-based heterometallic complexes have emerged as useful multicatalysts for tandem reactions.

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A One-Pot O-Phosphinative Passerini/Pudovik Reaction: Efficient Synthesis of Highly Functionalized alpha-(Phosphinyloxy)amide Derivatives



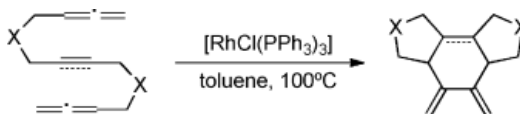
This is a first reported instance of a Passerini-type, isocyanide-based multicomponent reaction using a phosphinic acid instead of a carboxylic acid. based on reacting aldehydes, iso-cyanides, and phosphinic acids followed by the addition of second aldehydes to form the corresponding alpha-(phosphinyl-oxy)amide derivative. The nucleophilicity of the phosphinate group allows a subsequent catalytic Pudovik-type reaction, affording the highly functionalized alpha-(phosphinyloxy)amide derivative in high yield. A wide range of aldehydes and isocyanides are applicable to this reaction

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Stereoselective Rhodium-Catalysed [2+2+2] Cycloaddition of Linear Allene–Ene/Yne–Allene Substrates: Reactivity and Theoretical Mechanistic Studies

Allene–ene–allene and allene–yne–allene N-tosyl and O-linked substrates were satisfactorily synthesised for evaluating the [2+2+2] cycloaddition reaction catalysed by the Wilkinson catalyst $[\text{RhCl}(\text{PPh}_3)_3]$. Substrates bearing a double bond in the central position, gave a tricyclic structure in a reaction in which four contiguous stereogenic centres were formed as a single diastereomer. The reaction of substrates bearing a triple bond in the central position, gave a tricyclic structure with a cyclohexenic ring core, again in a diastereoselective manner. A Diels–Alder reaction on N-tosyl linked cycloadducts allowed pentacyclic scaffolds to be diastereoselectively constructed. The reactivity of the allenes on [2+2+2] cycloaddition reactions was studied for the first time by density functional theory calculations.



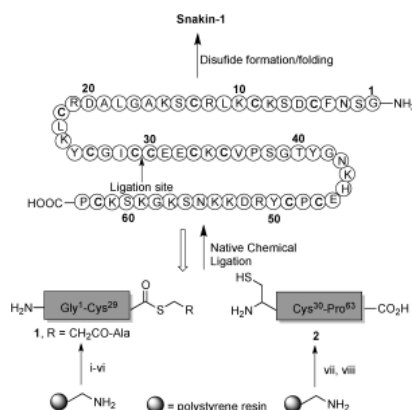
bioorganic
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Prostratin

Citation: Harris, P. *et al. Chem. Eur. J.* **2014**, *20*, 5101-5110.

Plant Antimicrobial Peptides Snakin-1 and Snakin-2: Chemical Synthesis and Insights into the Disulfide Connectivity

effective preparation of the cysteine-rich snakin-1 and -2 antimicrobial peptides by using a combination of solid-phase synthesis and native chemical ligation. A subsequent cysteine/cystine mediated oxidative folding to form the six internal disulfide bonds concurrently gave the folded proteins in 40–50% yield.



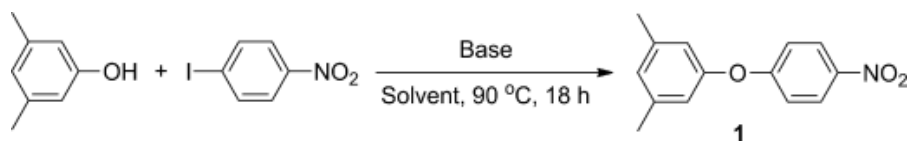
bioorganic
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Drug Deliv.
Prostratin

Citation: Drapeau, M. P. *et al. Chem. Eur. J.* **2014**, *20*, 5231-5236.

On the Frontier Between Nucleophilic Aromatic Substitution and Catalysis

A study on the arylation of heteroatom nucleophiles by using activated haloarenes, with or without metal catalysts, is reported. A discussion concerning the involvement of traces of metals is presented, supported by an unexpected "ligand" effect in the absence of added metal catalysts. We believe that the frontier between nucleophilic aromatic substitution and catalysis will likely prove to be much harder to delimit than is generally thought.



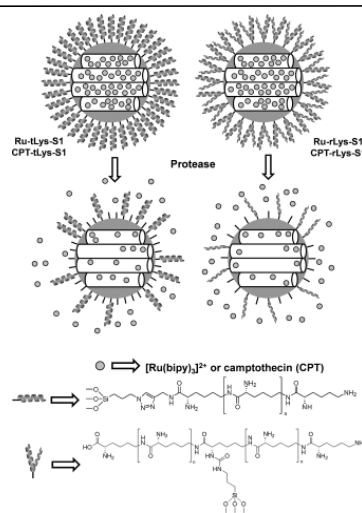
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Citation: Mondragón, *et al. Chem. Eur. J.* **2014**, *20*, 5271-5281.

Enzyme-Responsive Intracellular-Controlled Release Using Silica Mesoporous Nanoparticles Capped with ϵ -Poly-L-lysine

Capped hybrid systems consist of MCM-41 nanoparticles functionalized on the outer surface with polymer ϵ -poly-L-lysine by two different anchoring strategies. In both cases, nanoparticles were loaded with model dye molecule $[\text{Ru}(\text{bipy})_3]^{2+}$. An anchoring strategy involved the random formation of urea bonds by the treatment of propyl isocyanate-functionalized MCM-41 nanoparticles with the lysine amino groups located on the ϵ -poly-L-lysine backbone (solid Ru-rLys-S1). The second strategy involved a specific attachment through the carboxyl terminus of the polypeptide with azidopropyl-functionalized MCM-41 nanoparticles (solid Ru-tLys-S1).



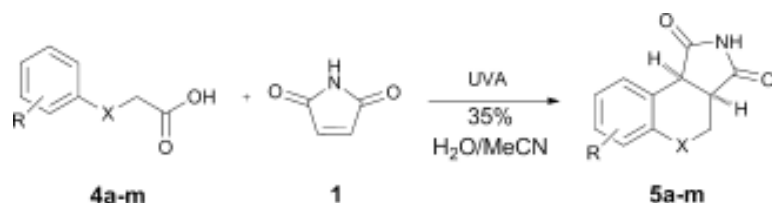
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Citation: Manley, D.W., *et al. Chem. Eur. J.* **2014**, 20, 5492-5500.

Catalyst-Free Photoredox Addition–Cyclisations: Exploitation of Natural Synergy between Aryl Acetic Acids and Maleimide

Suitably functionalised carboxylic acids undergo a previously unknown photoredox reaction when irradiated with UVA in the presence of maleimide. Maleimide was found to synergistically act as a radical generating photooxidant and as a radical acceptor, negating the need for an extrinsic photoredox catalyst. Modest to excellent yields of the product chromenopyrroledione, thiochromenopyrroledione and pyrroloquinolinedione derivatives were obtained in thirteen preparative photolyses.



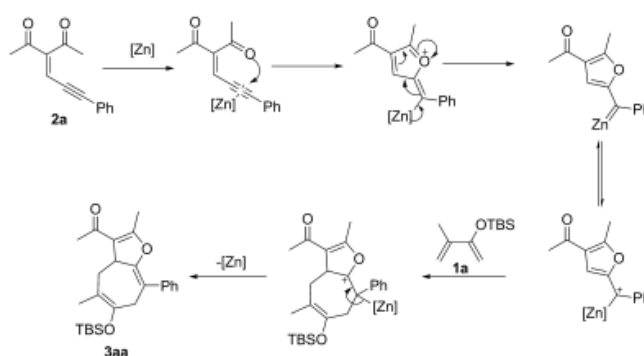
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Hybrid
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Citation: Song, B. *et al. Chem. Eur. J.* **2014**, 20, 5910-5913..

Zinc-Catalyzed [4+3] Cycloaddition with Concomitant Furan Annulation: Formation of Cyclohepta[b]Furans

A convenient zinc-promoted [4+3] cycloaddition of a carbonyl ene-yne with simple dienes provided an efficient strategy to prepare various cyclohepta furan rings by cascade cycloadditions. Additionally, a multicomponent reaction of dione, alkynal, and diene was also reported, which exhibited a novel strategy for selective creations of C-O bonds and C-C bonds.



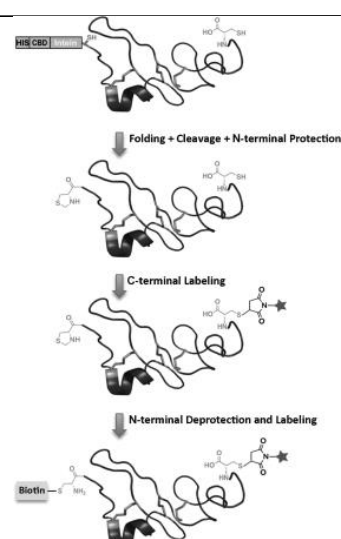
bioorganic
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Hybrid
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 Prostratin

Citation: Sonntag, M. *et al. Chem. Eur. J.* **2014**, 20,6019-6026.

Site-Specific Protection and Dual Labeling of Human Epidermal Growth Factor (hEGF) for Targeting, Imaging, and Cargo Delivery

The protein-engineering and -expression strategy utilizes N-terminal autosplicing intein technology¹⁴ in front of an additional introduced cysteine unit in the protein sequence to provide a freely accessible N-terminal cysteine residue. This strategy highly facilitates the site-specific and two-step sequential functionalization of hEGF by means of thiol-reactive molecular probes; the orthogonal labeling of the C-terminal cysteine residue is followed by site-specific deprotection and labeling of the cysteine unit introduced at the N-terminus

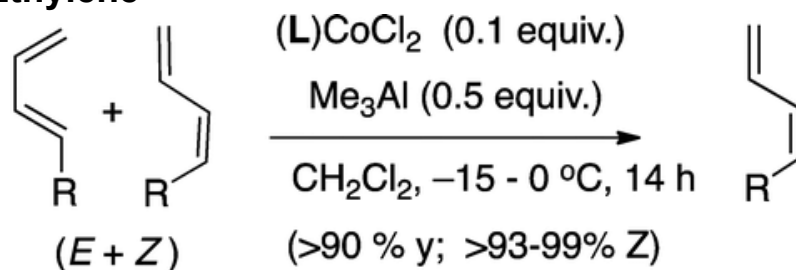


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Citation: Timsina, Y.N.; Biswas, S.; RajanBabu, T.V. *J. Am. Chem. Soc.*, **2014**, *136* (17), 6215-6218.

Chemoselective Reactions of (E)-1,3-Dienes: Cobalt-Mediated Isomerization to (Z)-1,3-Dienes and Reactions with Ethylene



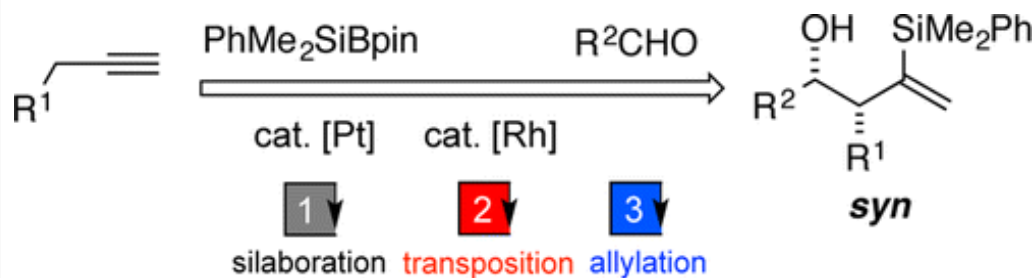
- R = alkyl, aryl [L Large-bite angle (>95 °) ligand]
- With ethylene preferential HV of the *E*-isomer

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Citation: Miura, T.; Nishida, Y.; Murakami, M. *J. Am. Chem. Soc.*, **2014**, *136* (17), 6223-6226.

Construction of Homoallylic Alcohols from Terminal Alkynes and Aldehydes with Installation of *syn*-Stereochemistry

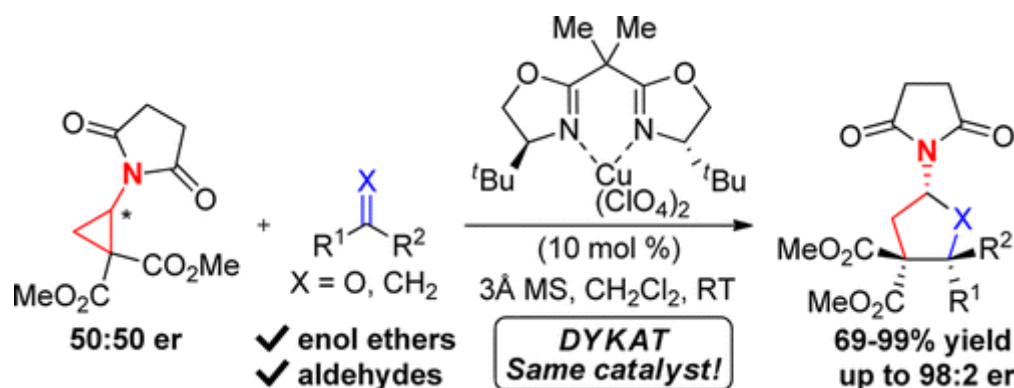


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Citation: de Nanteuil, F.; Serrano, E.; Perrotta, D.; Waser, J. *J. Am. Chem. Soc.*, **2014**, *136* (17), 6239-6242.

Dynamic Kinetic Asymmetric [3 + 2] Annulation Reactions of Aminocyclopropanes

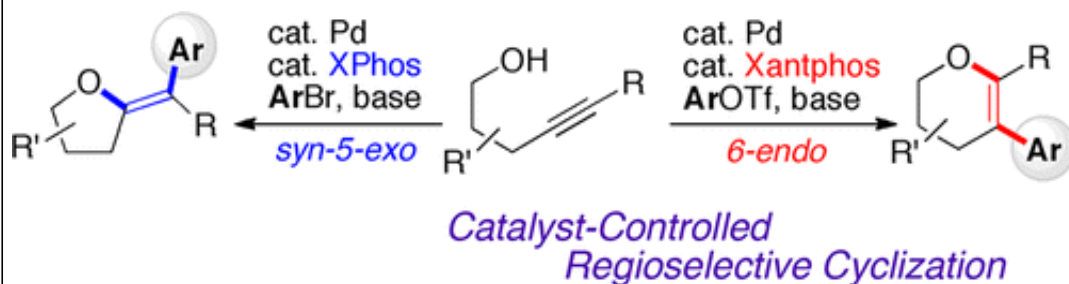


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Citation: Fujino, D.; Yorimitsu, H.; Osuka, A. *J. Am. Chem. Soc.*, **2014**, *136* (17), 6255-6258.

Regiocontrolled Palladium-Catalyzed Arylative Cyclizations of Alkynols



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Citation: Li, H.; Hughes, R.P.; Wu, J. *J. Am. Chem. Soc.*, **2014**, *136* (17), 6288-6296.

Dearomative Indole (3 + 2) Cycloaddition Reactions

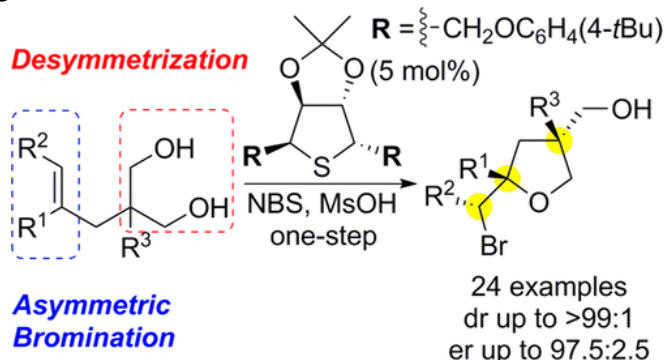


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Citation: Ke, Z.; Tan, C.K.; Chen, F.; Yeung, Y.-Y. *J. Am. Chem. Soc.*, **2014**, *136* (15), 5627-5630.

Catalytic Asymmetric Bromoetherification and Desymmetrization of Olefinic 1,3-Diols with C₂-Symmetric Sulfides



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Citation: McKerrall, S.J.; Jørgensen, L.; Kuttruff, C.A.; Ungeheuer, F.; Baran, P.S. *J. Am. Chem. Soc.*, 2014, 136 (15), 5799-5810.

Development of a Concise Synthesis of (+)-Ingenol

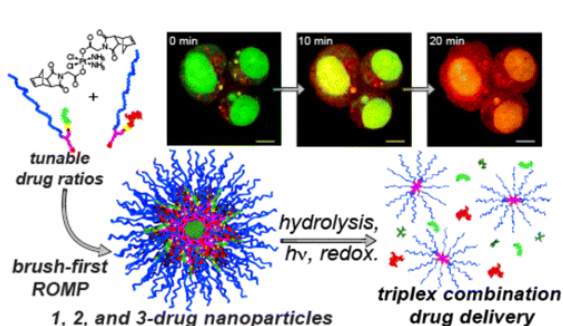


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Citation: Liao, L. et al. *J. Am. Chem. Soc.*, 2014, 136 (16), pp 5896–5899

A Convergent Synthetic Platform for Single-Nanoparticle Combination Cancer Therapy: Ratiometric Loading and Controlled Release of Cisplatin, Doxorubicin, and Camptothecin



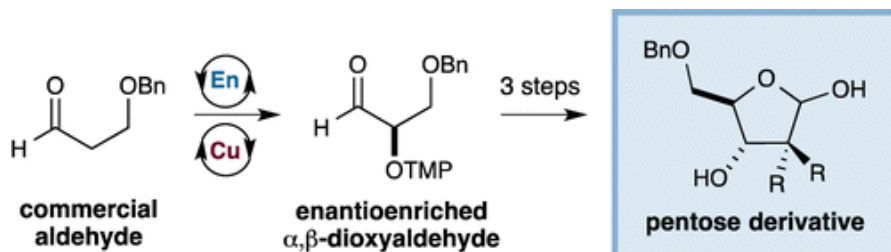
The synthesis of polymer nanoparticles (NPs) that carry precise molar ratios of doxorubicin, camptothecin, and cisplatin was reported. This work provides the first example of orthogonally triggered release of three drugs from single NPs. The highly convergent synthetic approach opens the door to new NP-based combination therapies for cancer.

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Citation: Peofer, M. et al. *J. Am. Chem. Soc.*, 2014, 136 (16), pp 5900–5903

A General and Enantioselective Approach to Pentoses: A Rapid Synthesis of PSI-6130, the Nucleoside Core of Sofosbuvir

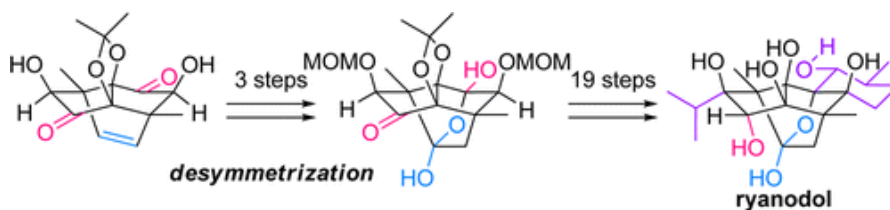


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Citation: Nagatomo, M. et al. J. Am. Chem. Soc., 2014, 136 (16), pp 5916–5919

Total Synthesis of Ryanodol



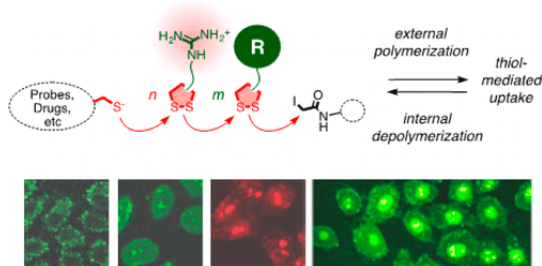
The total synthesis of ryanodol was achieved in 22 steps from a simple C₂-symmetric tricyclic. The synthetic route is based on installation of the seven stereogenic centers and formation of the four C–C bonds within the highly congested multicyclic format.

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Citation: Gasparini, G. et al. J. Am. Chem. Soc., 2014, 136 (16), pp 6069–6074

Cellular Uptake of Substrate-Initiated Cell-Penetrating Poly(disulfide)s



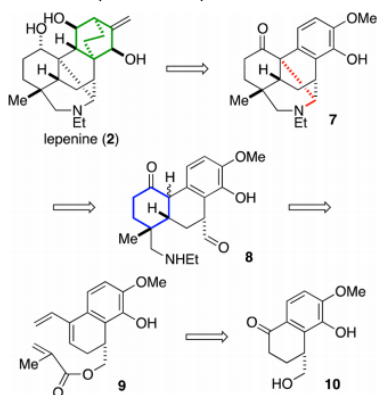
Substrate-initiated, self-inactivating, cell-penetrating poly(disulfide)s (siCPDs) are introduced as general transporters for the covalent delivery of unmodified substrates of free choice. With ring-opening disulfide-exchange polymerization, guanidinium-rich siCPDs grow on fluorescent substrates within minutes under the mildest conditions. The most active siCPD transporters reach the cytosol of HeLa cells within 5 min and depolymerize in less than 1 min to release the native substrate. Depolymerized right after use, the best siCPDs are nontoxic under conditions where cell-penetrating peptides (CPPs) are cytotoxic.

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Citation: Nishiyama, Y. et al. J. Am. Chem. Soc., 2014, 136 (18), pp 6598–6601

Total Synthesis of (-)-Lepenine



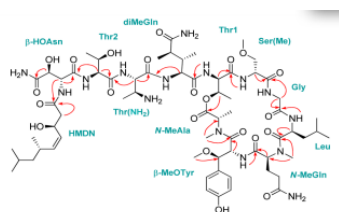
The first asymmetric total synthesis of lepenine has been accomplished. The synthesis features a tethered intramolecular Diels–Alder reaction, an intramolecular Mannich reaction, and a Diels–Alder reaction between an ortho-quinone monoketal and ethylene, resulting in stereoselective construction of the unique hexacyclic system.

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Citation: Martin, M. J. et al. *J. Am. Chem. Soc.*, 2014, 136 (18), pp 6754–6762

Stellatolides, a New Cyclodepsipeptide Family from the Sponge *Ecionemia acervus*: Isolation, Solid-Phase Total Synthesis, and Full Structural Assignment of Stellatolide A



The first isolation, solid-phase total synthesis, and full structural assignment of a new class of cyclodepsipeptides from the Madagascan sponge *Ecionemia acervus* that shows in vitro cytotoxic activities at submicromolar concentrations were reported. The complete structure of stellatolide A was confirmed by an efficient solid-phase method for the first total synthesis and the full structural assignment of this molecule, including the asymmetric synthesis of the unique beta-hydroxy acid moiety (Z)-3-hydroxy-6,8-dimethylnon-4-enoic acid.

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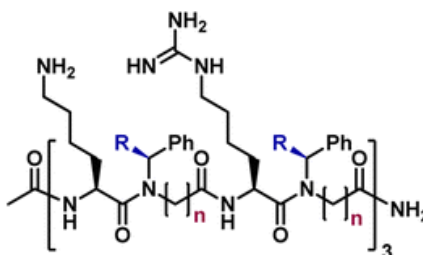
OM
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Drug Deliv.
Prostratin

Citation: Jahnsen, R. D.; *et al. J. Med. Chem.* **2014**, 57 (7), 2864.

Tailoring Cytotoxicity of Antimicrobial Peptidomimetics with High Activity against Multidrug-Resistant *Escherichia coli*

Optimized α -peptide/peptoid hybrids:

R = H or Me
n = 1 : α -peptoid
n = 2 : β -peptoid



A protocol based on dimeric building blocks allowed for efficient synthesis of an array of peptide-peptoid oligomers representing length variation as well as different backbone designs displaying chiral or achiral peptoid residues. Furthermore, optimization of the length of these peptidomimetics with an alternating cationic-hydrophobic design was a powerful tool to enhance the selectivity against Gram-negative pathogens over benign mammalian cells.

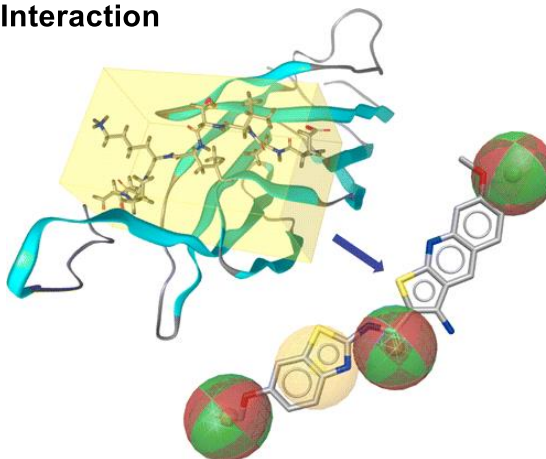
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Gnid/Kirk
Hybrid
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Prostratin

Citation: Rechfeld, F.; *et al. J. Med. Chem.* **2014**, 57 (8), 3235.

Thienoquinolines as Novel Disruptors of the PKC ϵ /RACK2 Protein-Protein Interaction

A database of 330,000 molecules was screened in silico, leading to the discovery of a series of thienoquinolines that disrupt the interaction of PKC ϵ with RACK2 in vitro. The most active molecule, N-(3-acetylphenyl)-9-amino-2,3-dihydro-1,4-dioxino[2,3-g]thieno[2,3-b]quinoline-8-carboxamide (8), inhibited this interaction with a measured IC₅₀ of 5.9 μ M and the phosphorylation of downstream target Elk-1 in HeLa cells with an IC₅₀ of 11.2 μ M.

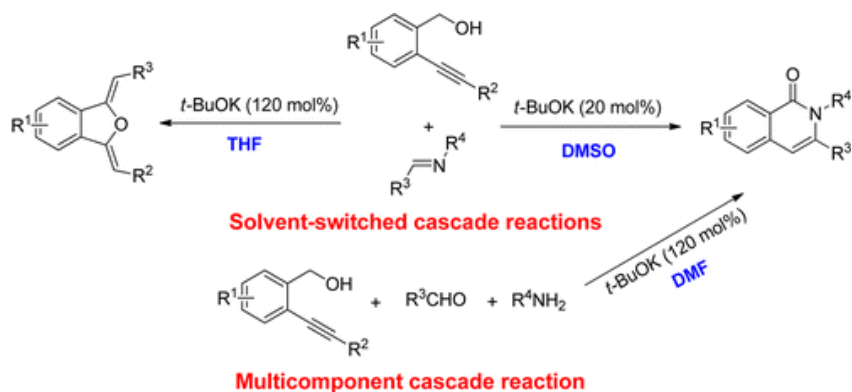


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Gnid/Kirk
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Drug Deliv.
Prostratin

Citation: Li, D.Y.; Shi, K. J.; Mao, X.F.; Chen, G.R.; Liu, P.N. *JOC*, **2014**, *79*, 4602-4614.

Transition Metal-Free Cascade Reactions of Alkynols to Afford Isoquinolin-1(2H)-one and Dihydroisobenzofuran Derivatives



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Citation: Wang, M.; Zhang, C.; Sun, L.-P.; Ding, C.; Zhang, A. *JOC*, **2014**, *79*, 4553-4560.

Naphthoquinone-Directed C–H Annulation and Csp³–H Bond Cleavage: One-Pot Synthesis of Tetracyclic Naphthoxazoles



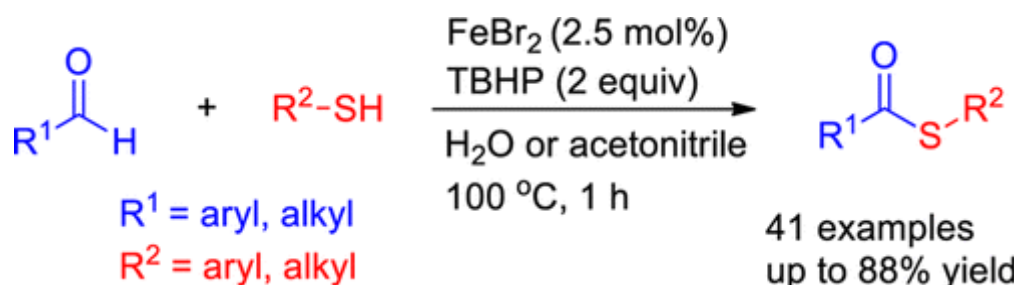
Interesting transformation. Copper(II) is present to oxidize the Rh(I) that is formed back up to Rh(III). I wonder if Rh(I) catalysis could be done by just letting the oxidant run out?

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Citation: Huang, Y.-T.; Lu, S.-Y.; Lee, C.-F. *JOC*, **2014**, *79*, 4561-4568.

Iron-Catalyzed Synthesis of Thioesters from Thiols and Aldehydes in Water

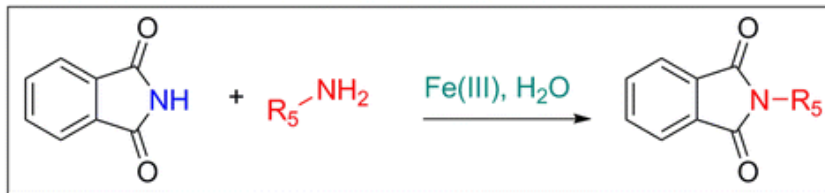
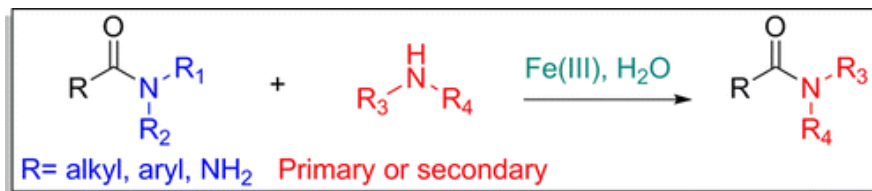


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Citation: Becerra-Figueroa, L.; Ojeda-Porras, A.; Ganba-Sanchez, D. *JOC*, **2014**, *79*, 4544-4552.

Transamidation of Carboxamides Catalyzed by Fe(III) and Water



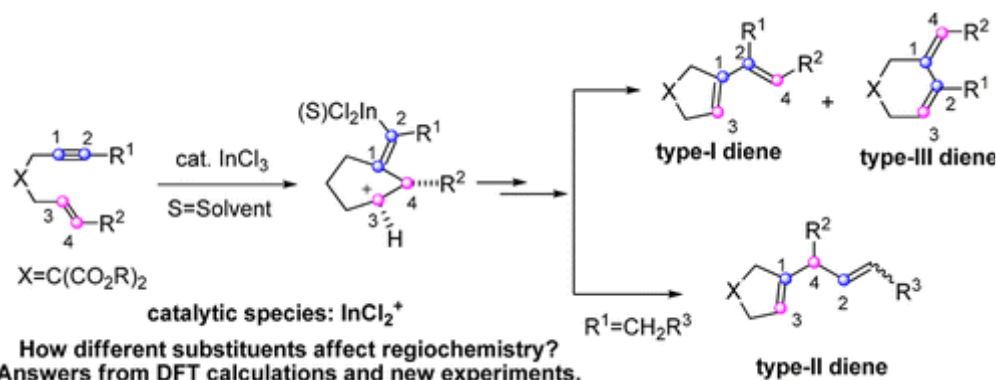
36 examples. Up to quantitative yield.

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Citation: Zhou, L.-G.; Zhang, J.-J.; Yu, Z.-X. *JOC*, **2014**, *79*, 3809-3820.

Mechanisms of the InCl₃-Catalyzed Type-I, II, and III Cycloisomerizations of 1,6-Enynes

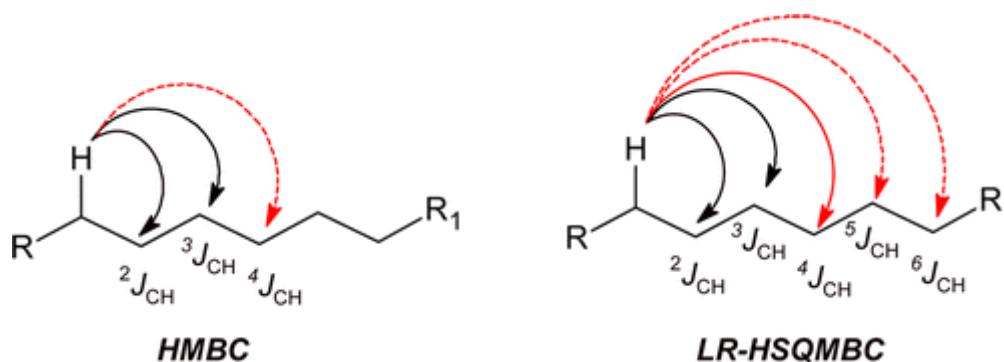


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Citation: Williamson, R.W.; Buevich, A.V.; Martin, G.E.; Parella, T. *JOC*, **2014**, *79*, 3887-3894.

LR-HSQMBC: A Sensitive NMR Technique To Probe Very Long-Range Heteronuclear Coupling Pathways

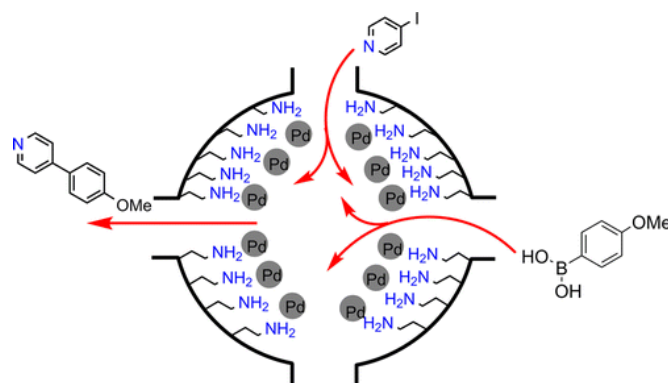


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Citation: Bratt, E.; Verho, O.; Johansson, M.J.; Backvall, J.-E. *JOC*, **2014**, *79*, 3946-3954.

A General Suzuki Cross-Coupling Reaction of Heteroaromatics Catalyzed by Nanopalladium on Amino-Functionalized Siliceous Mesocellular Foam



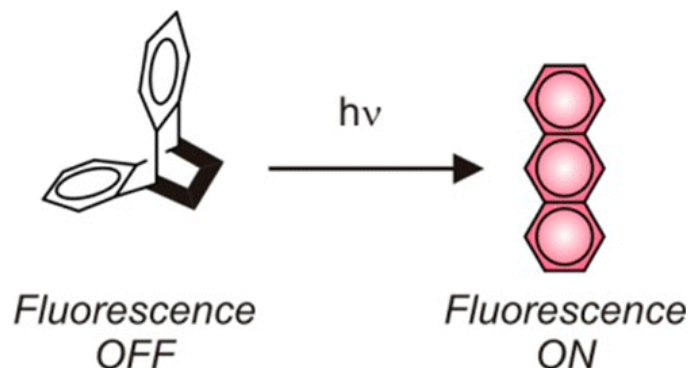
This works, but making the catalyst seems completely impractical.

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Citation: Thapaliya, E.R.; Captain, B.; Raymo, F.M. *JOC*. **2014**, *79*, 3973-3981.

Photoactivatable Anthracenes



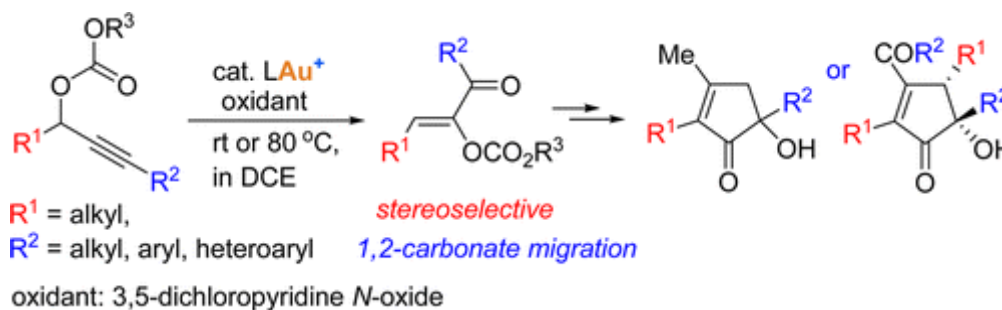
Anthracene undergoes Diels-Alder reactions... and is fluorescent. I don't know if this really needed to be published.

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Citation: Sun, N.; Chen, M.; Liu, Y. *JOC*. **2014**, *79*, 4055-4067.

Gold-Catalyzed Oxidative Reactions of Propargylic Carbonates Involving 1,2-Carbonate Migration: Stereoselective Synthesis of Functionalized Alkenes

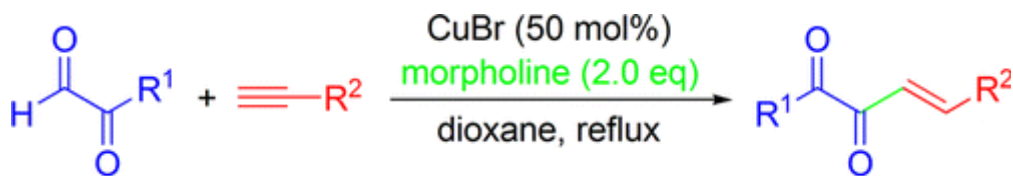


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Prostratin

Citation: Chen, S.; Li, X.; Zhao, H.; Li, B. *JOC*. **2014**, *79*, 4137-4141.

CuBr-Promoted Formal Hydroacylation of 1-Alkynes with Glyoxal Derivatives: An unexpected Synthesis of 1,2-Dicarbonyl-3-enes



- absolute *E*-selectivity
- formal hydroacylation of the triple bond

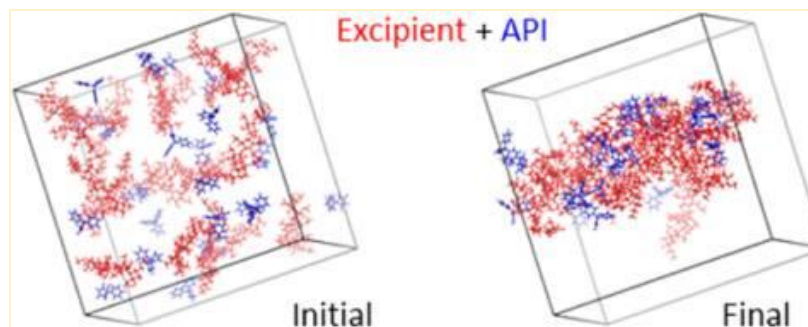
This is cool.

bioorganic
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Citation: Jha, P. K.; Larson, R. G. *Mol. Pharmaceutics* 2014, *11*, 1676–1686.

Assessing the Efficiency of Polymeric Excipients by Atomistic Molecular Dynamics Simulations

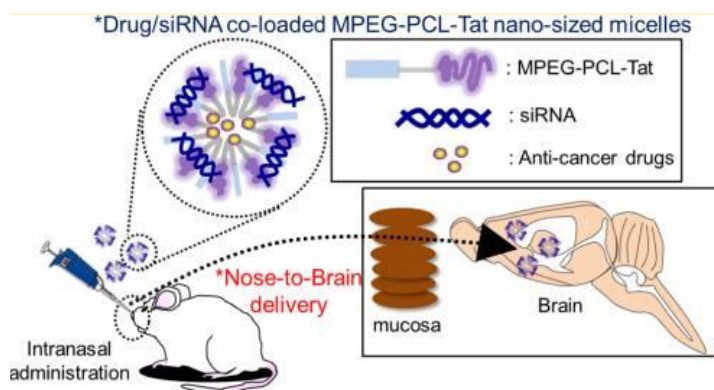


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Prostratin

Citation: Kanazawa, T.; Morisaki, K.; Suzuki, S.; Takashima, Y. *Mol. Pharmaceutics* 2014, *11*, 1471–1478.

Prolongation of Life in Rats with Malignant Glioma by Intranasal siRNA/Drug Codelivery to the Brain with Cell-Penetrating Peptide-Modified Micelles

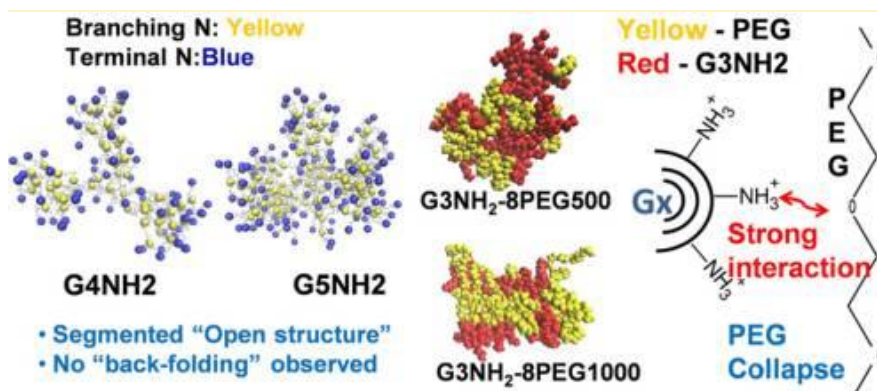


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Citation: Yang, L. *Mol. Pharmaceutics* 2014, 11, 1459–1470.

PEGylated, NH₂-Terminated PAMAM Dendrimers: A Microscopic View from Atomistic Computer Simulations

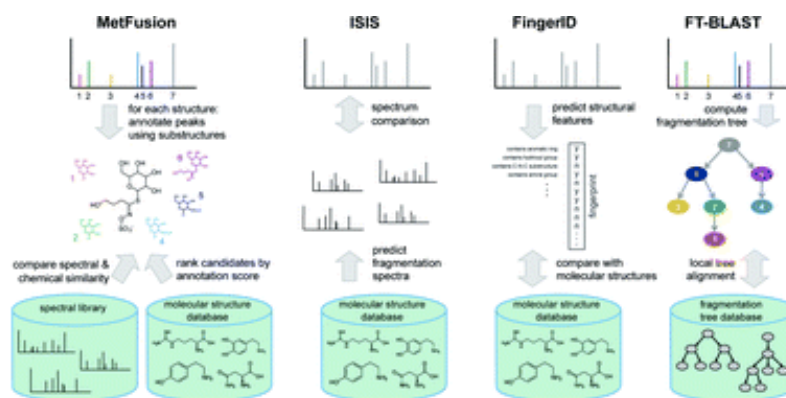


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Citation: Hufsky, F.; *Nat. Prod. Rep.*, 2014, 31, 807-817

New kids on the block: novel informatics methods for natural product discovery



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Citation: Huber, K.V.M. et al. *Nature*. 2014, 508, 222.

Stereospecific targeting of MTH1 by (S)-crizotinib as an anticancer strategy

Loss-of-function of MTH1 impaired growth of KRAS tumour cells, whereas MTH1 overexpression mitigated sensitivity towards SCH51344. Searching for more drug-like inhibitors, we identified the kinase inhibitor crizotinib as a nanomolar suppressor of MTH1 activity. Surprisingly, the clinically used (R)-enantiomer of the drug was inactive, whereas the (S)-enantiomer selectively inhibited MTH1 catalytic activity. Enzymatic assays, chemical proteomic profiling, kinome-wide activity surveys and MTH1 co-crystal structures of both enantiomers provide a rationale for this remarkable stereospecificity. Disruption of nucleotide pool homeostasis via MTH1 inhibition by (S)-crizotinib induced an increase in DNA single-strand breaks, activated DNA repair in human colon carcinoma cells, and effectively suppressed tumour growth in animal models. Our results propose (S)-crizotinib as an attractive chemical entity for further pre-clinical evaluation, and small-molecule inhibitors of MTH1 in general as a promising novel class of anticancer agents.

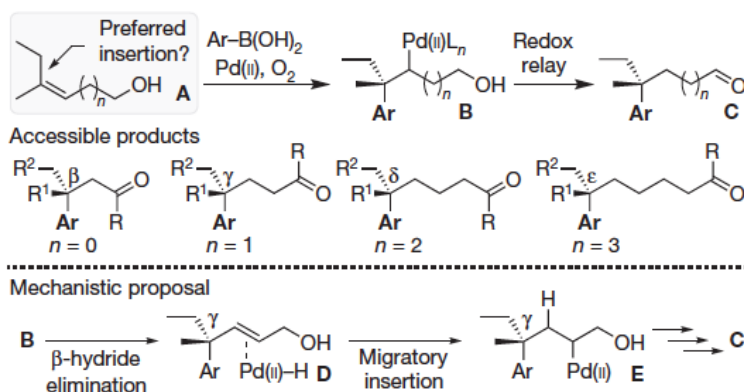
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Hybrid
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Citation: Mei, T.-S.; Patel, H.H.; Sigman, M.S. *Nature*. **2014**, *508*, 340.

Enantioselective construction of remote quaternary stereocenters

b Proposed modular strategy using trisubstituted alkenes



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Citation: Li, C.W.; Ciston, J.; Kanan, M.W. *Nature*. **2014**, *508*, 504.

Electroreduction of carbon monoxide to liquid fuel on oxide-derived nanocrystalline copper

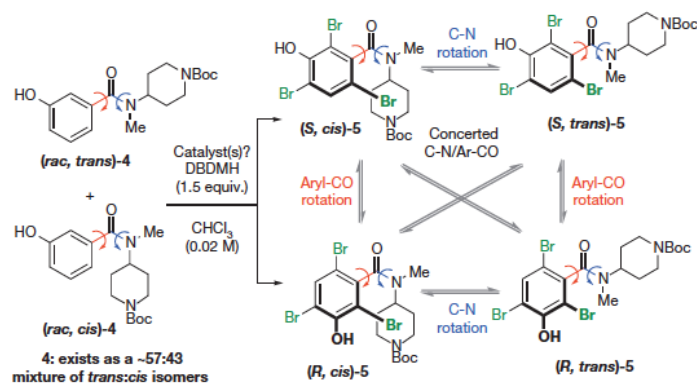
Congrats to the Kanan group for showing how they can convert CO to ethanol, acetate, and propanol

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Hybrid
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Citation: Barrett, K.T.; Metrano, A.J.; Rablen, P.R.; Miller, S.J. *Nature*. **2014**, *509*, 71.

Spontaneous transfer of chirality in an atropisomerically enriched two-axis system

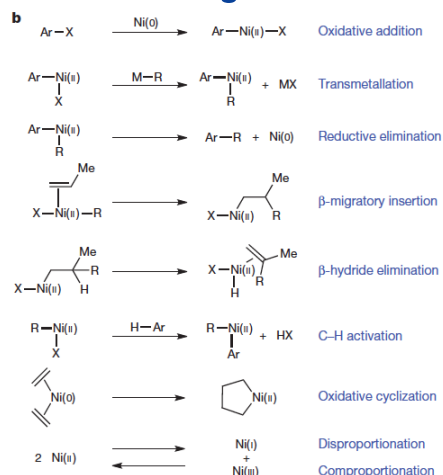


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Citation: Tasker, S.Z.; Standley, E.A.; Jamison, T.F. *Nature*. **2014**, *509*, 299.

Recent advances in homogeneous nickel catalysis

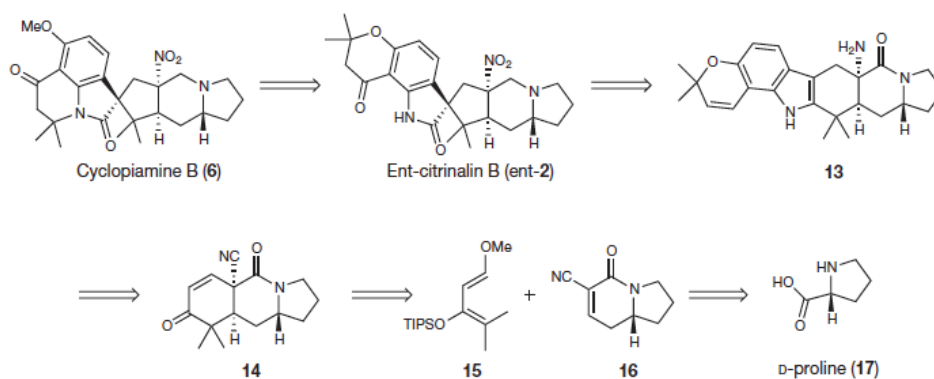


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Citation: Sarpong, R. et al. *Nature*. **2014**, *509*, 318.

Total synthesis and isolation of citrinalin and cyclopiamine congeners



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Citation: Wender, P.A.; Fournogerakis, D.N.; Jeffreys, M.S.; Quiroz, R.V.; Inagaki, F.; Pfaffenbach, M. *Nature Chemistry* **6**, 448–452 (2014)

Structural complexity through multicomponent cycloaddition cascades enabled by dual-purpose, reactivity regenerating 1,2,3-triene equivalents

Multicomponent reactions allow for more bond-forming events per synthetic operation, enabling more step- and time-economical conversion of simple starting materials to complex and thus value-added targets. Here, we report a multicomponent process in which a novel 1,2,3-butatriene equivalent engages chemospecifically as a two-carbon alkyne component in a metal-catalysed [5 + 2] cycloaddition with a vinylcyclopropane to produce an intermediate cycloadduct. Under the reaction conditions, this intermediate undergoes a remarkably rapid 1,4-Peterson elimination, producing a reactive four-carbon diene intermediate that is readily intercepted in either a metal-catalysed or thermal [4 + 2] cycloaddition. TMSBO thus serves as an yne-to-diene transmissive reagent coupling two powerful and convergent cycloadditions—the homologous Diels–Alder and Diels–Alder cycloadditions—through a vinylogous Peterson elimination, and enabling flexible access to diverse polycycles.

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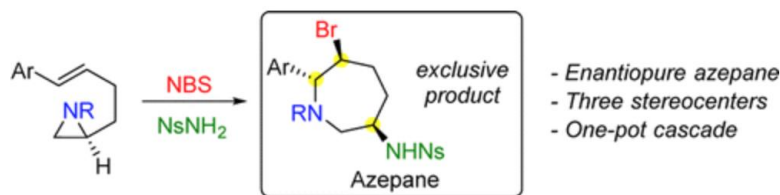
Citation: http://www.nytimes.com/2014/05/11/books/review/cured-by-nathalia-holt.html	
<p style="text-align: center;">Patients and Fortitude</p> <p style="text-align: center;">A book review on a new book coming out called 'Cured' by Nathalia Holt (an H.I.V. researcher) that discusses the past, present and future of AIDS and H.I.V. treatment.</p>	bioorganic methods synthesis mechanism review other
	OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: http://www.nytimes.com/2014/05/09/health/doctors-use-patients-immune-cells-to-shrink-cancer-tumors.html	
<p>Patient's Cells Deployed to Attack Aggressive Cancer</p> <p>Another example of doctors harnessing a person's immune system to fight cancer. An article in Science describes the treatment of a 43-year old woman with advanced cancer whose doctors identified cells from her immune system that attacked a specific mutation in malignant cells. They grew those immune cells in the laboratory and infused them back into her bloodstream. They observed shrinkage (although not disappearance) of the tumors.</p>	bioorganic methods synthesis mechanism review other
	OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: <i>The Onion</i> , http://goo.gl/46KTF5	
<p>Pharmaceutical Industry Reeling As More Moms Making Vaccines At Home</p> <p>NEW YORK—A wave of concern reportedly spread through the pharmaceutical industry this week as several major drug companies reported a dip in quarterly earnings, with experts placing the blame largely on the growing trend of mothers choosing to make vaccines for their children at home.</p> <p>When interviewed, many mothers described quality time spent gathered around the kitchen table, with the whole family helping to grind recombinant proteins with mortars and pestles while a supervising adult helps purify the mixture through chromatography and ultrafiltration. Others reportedly do prep work ahead of time on Sundays so that during the week they can simply come home from work, stir in any necessary adjuvants or stabilizers, and have an inoculation ready to go.</p>	bioorganic methods synthesis mechanism review other
	OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Zhao, Z.; Yeung, Y-Y. *Org. Lett.* **2014**, 16 (8), 2134-2137

***N*-Bromosuccinimide-Induced Aminocyclization-Aziridine Ring-Expansion Cascade: An Asymmetric and Highly Stereoselective Approach toward the Synthesis of Azepane**



A novel *N*-bromosuccinimide induced aminocyclization-aziridine ring expansion cascade is reported. Substituted azepanes were isolated exclusively in good yields. The azepane products could be transformed into a number of functional molecules including piperidines, a bicyclic amine, and a bridgehead amide.

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Citation: Li, P.; *et al.* *Org. Lett.* **2014**, 16 (8), 2288-2291.

Stereocontrolled Construction of the Tricyclic Framework of Tiglianes and Daphnanes by an Oxidative Dearomatization Approach



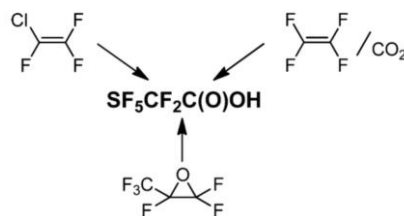
An appropriately functionalized [5-7-6] tricyclic framework of tigliane and daphnane diterpenes containing seven contiguous stereocenters has been prepared in 10 steps from very simple building blocks in a modular and stereocontrolled fashion. The key features of this approach involve an efficient visible light-induced singlet oxygen oxidative dearomatization and an array of substrate-controlled highly diastereoselective transformations. This work provides a model strategy for rapid and diverted synthesis of natural and unnatural molecules sharing the common skeleton.

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Citation: Matsnev, A.; *et al.* *Org. Lett.* **2014**, 16 (9), 2402-2405

Pentafluorosulfanyldifluoroacetic Acid: Rebirth of a Promising Building Block



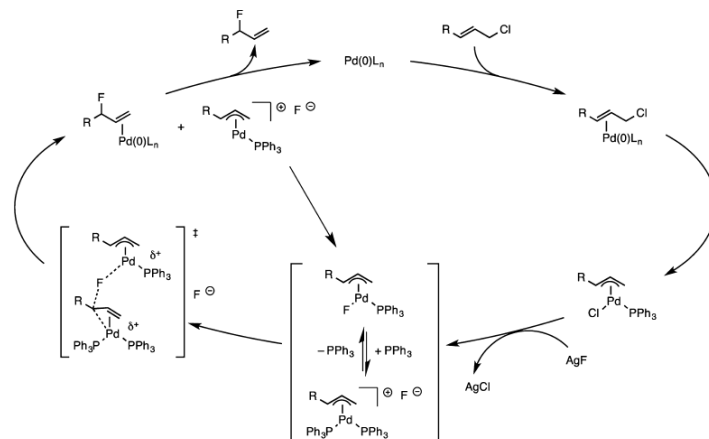
Three novel, easily scalable routes for the synthesis of pentafluorosulfanyldifluoroacetic acid, SF₅CF₂C(O)OH, are described. Reactions of its acid chloride with amines and alcohols led to a small library of 15 amides and five esters, respectively. The reaction of the acid chloride with phenylmagnesium bromide gave the corresponding acetophenone. Pentafluorosulfanyldifluoroacetonitrile was obtained from pentafluorosulfanyldifluoroacetamide by dehydration with diphosphorus pentoxide.

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Citation: Katcher, M.H.; Norrby, P.-O.; Doyle, A.G. *Organometallics*. **2014**, *33*, 2121.

Mechanistic Investigations of Palladium-Catalyzed Allylic Fluorination



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Citation: 7078–7083 | PNAS | May 13, 2014 | vol. 111 | no. 19

Quantification of HIV-1 latency reversal in resting CD4+ T cells from patients on suppressive antiretroviral therapy

Authors developed a quantitative method for assessing latent HIV activation from ex vivo patient samples. However, they conclude that current therapeutic approaches are not sufficient, and that more effective means of activating viral reservoirs will be required for depletion as a cure strategy. This method could be very useful for quantifying HIV latency activation with our designed PKC activators.

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Citation: PNAS | April 29, 2014 | vol. 111 | no. 17, p. 6254

Low glucose relates to greater aggression in married couples

Evidence that feeling "hangry" or hungry-angry has a physiological basis. The methods used involve voodoo dolls and blasting loud noises in victory. Participants who had lower glucose levels stuck more pins into the voodoo doll and blasted their spouse with louder and longer noise blasts.

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Perspective Article: Rescuing US biomedical research from its systemic flaws

The authors of this article (from UCSF) argue that the biomedical research enterprise is currently unsustainable, and they delineate both problems and recommendations. This is an interesting read.

Here is the abstract:

"The long-held but erroneous assumption of never-ending rapid growth in biomedical science has created an unsustainable hypercompetitive system that is discouraging even the most outstanding prospective students from entering our profession—and making it difficult for seasoned investigators to produce their best work. This is a recipe for long-term decline, and the problems cannot be solved with simplistic approaches. Instead, it is time to confront the dangers at hand and rethink some fundamental features of the US biomedical research ecosystem."

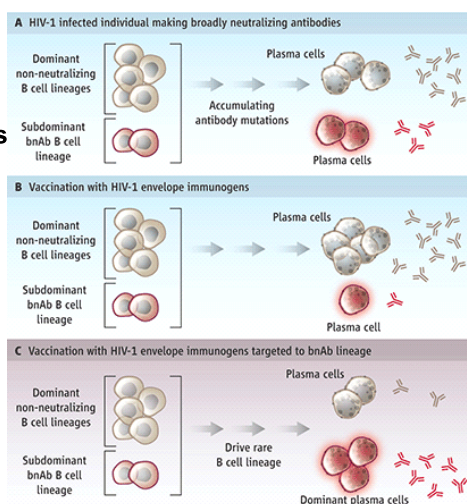
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Hybrid
Drug Deliv.
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Citation: Haynes, B. Science, 2014, 344 (6184), pp. 588-589

Host Controls of HIV Neutralizing Antibodies

Perspective covering the current state of knowledge regarding host antibody production for neutralizing HIV for vaccination strategies.



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Citation: Sci Transl Med 6, 233ra54 (2014)

Close-Field Electroporation Gene Delivery Using the Cochlear Implant Electrode Array Enhances the Bionic Ear

The cochlear implant has transformed the lives of people with profound hearing loss. However, its performance is still largely constrained by the neural interface itself. Electroporation-mediated BDNF gene delivery drove regeneration of neurites in the cochlea, leading to restoration of hearing in a deafened guinea pig model (animals with hair cells destroyed).

Despite the successful augmentation of the implant with gene delivery, the mechanical method of delivery would further enhanced by a chemical method of oligonucleotide delivery, highlighting the still unmet need of effective vectors.

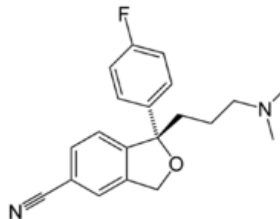
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Citation: Sci Transl Med 6, 236re4 (2014)

An Antidepressant Decreases CSF Amyloid Production in Healthy Individuals and in Transgenic AD Mice

Serotonin signaling suppresses generation of amyloid- β (Ab) in vitro and in animal models of Alzheimer's disease (AD). We show that in an aged transgenic AD mouse model (APP/PS1 plaque-bearing mice), the antidepressant citalopram, a selective serotonin reuptake inhibitor, decreased Ab in brain interstitial fluid in a dose-dependent manner.

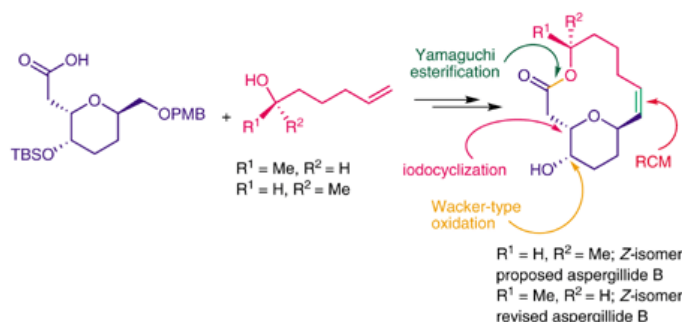


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Citation: Pradhan, Tapas R.; Das, Pragna P.; Mphapatra, Debendra K. *Synthesis*, **2014**, 46(09), 1177.

Total Synthesis of the Z-Isomers of the Proposed and Revised Structures of Aspergillide B via an Iodocyclization and Ring-Closing Metathesis Strategy

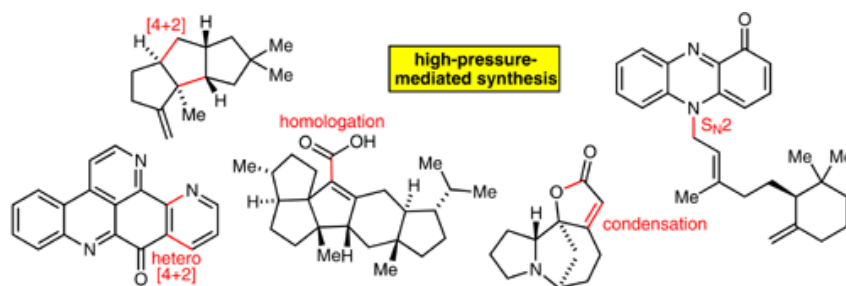


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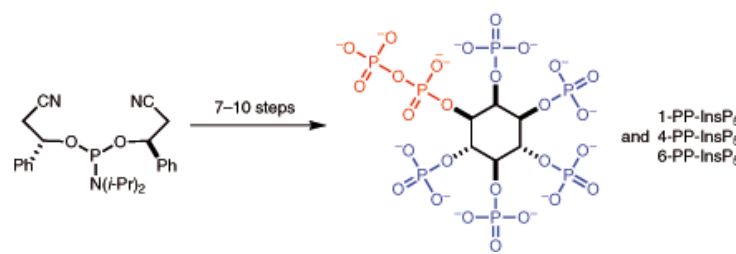
Citation: Hugelshofer, Cedric L.; Magauer, Thomas. *Synthesis*, **2014**, 46(10), 1279.

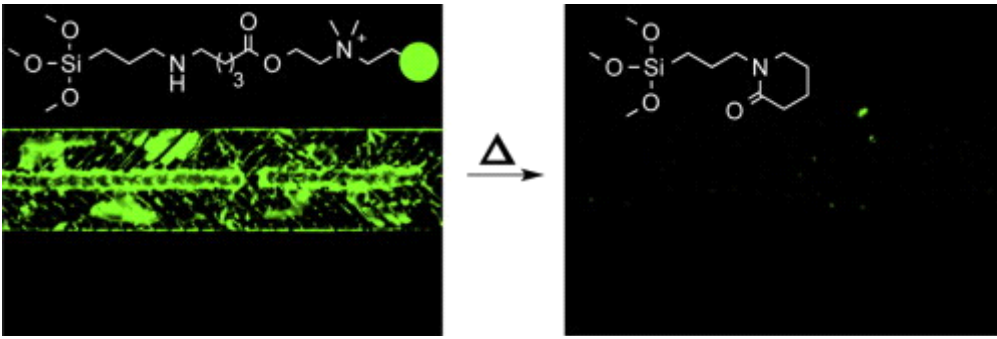
High-Pressure Transformations in Natural Product Synthesis

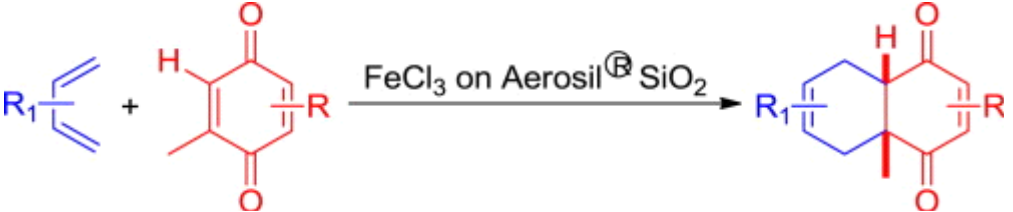


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Citation: Jessen, Henning Jacob; Capolicchio, Samanta; Pavlovic, Igor; Thakor, Divyeshsinh Takhtasinh; <i>Synlett</i> , 2014 , 08(25), A-E.	
 <p>7-10 steps</p> <p>1-PP-InsP₅ and 4-PP-InsP₅ 6-PP-InsP₅</p>	bioorganic methods synthesis mechanism review other
	OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Nantz, Michael H.; Knipp, Ralph J.; et al. <i>Tetrahedron</i> 70 (2014) 3422–3429	
Thermally induced substrate release via intramolecular cyclizations of Amino esters and Amino carbonates	
	bioorganic methods synthesis mechanism review other
	OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Brocksoma, Timothy J.; Dos Santos, Alcindo A.; et al. <i>Tetrahedron</i> . 70 (2014) 3231–3238	
Solvent-free Diels–Alder reactions catalyzed by FeCl₃ on Aerosil® silica	
	bioorganic methods synthesis mechanism review other
	OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Cunha, Silvio; Santos, Airam Oliveira; et al. *Tetrahedron* **2014**, 70, 3284–3290

Formal aza-[3+3] versus aza-[3+2] cycloadditions of heterocyclic enaminones with maleic anhydride and maleimides: skeletally diverse synthesis of pyrrolizidinones, indolizidinones, and pyrroloazepinones

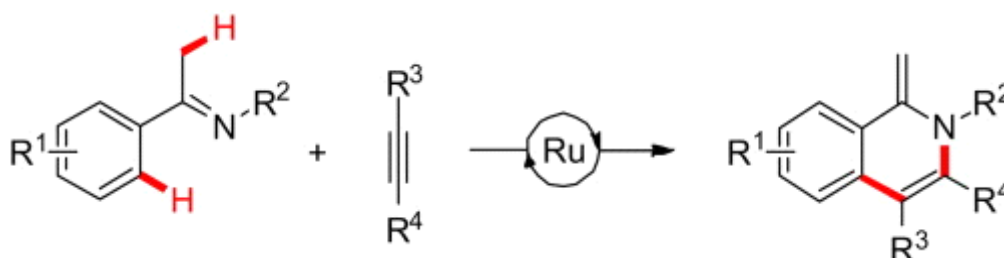


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Citation: Li, Jie; Ackermann, Lutz. *Tetrahedron* **2014** 70, 3342–3348

Ruthenium-catalyzed oxidative alkyne annulation by C–H activation on ketimines



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