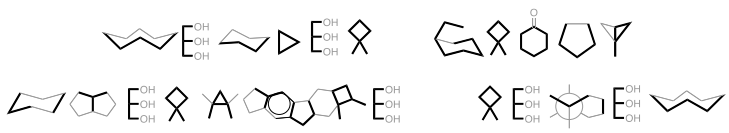

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Next Due Date: Monday, February 17th, 2014

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.

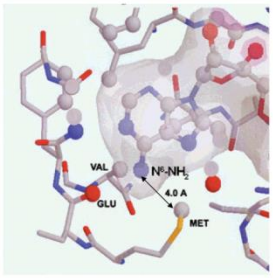
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

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. *Biochemistry* **2007**, *46*, 2364-2370

Design and Characterization of a Traceable Protein Kinase C-alpha

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



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

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

mook **Pronunciation Key** (mk) *n. Slang*

An insignificant or contemptible person.

methods
synthesis

DON'T BE A MOOK!

Lit Review MOOKS include those who:

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

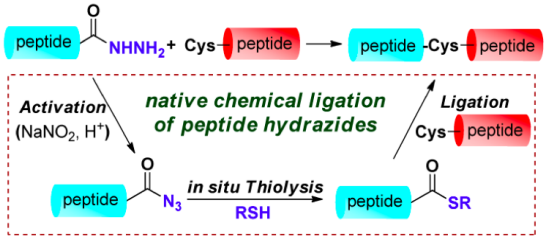
Penalties for being a Lit Review MOOK:

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

Citation: (1) Zheng, J.-S.; Tang, S.; Huang, Y.-C.; Liu, L. *Acc. Chem. Res.* 2013, 46, 2475.

Development of New Thioester Equivalents for Protein Chemical Synthesis

Solid-phase peptide synthesis remains limited by the maximum length that can be produced in a single peptide chain. This account discusses the authors' work in developing new thioester equivalents from low-energy esters or amides for the condensation of protein segments. Such condensations can be driven by coupled reactions such as enamine hydrolysi



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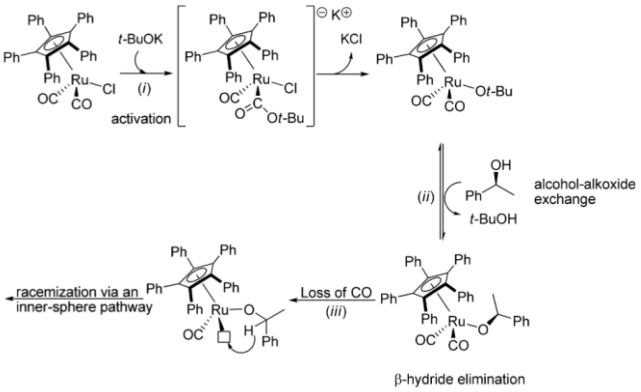
Prostratin

Citation: Warner, M. C.; Bäckvall, J.-E. *Acc. Chem. Res.* 2013, 46, 2545.

Mechanistic Aspects on Cyclopentadienylruthenium Complexes in Catalytic Racemization of Alcohols

This account reports findings over the last decade regarding the mechanisms of catatytic alcohol racemization by cyclopentadienylruthenium complexes. The essential steps of the catalytic cycle are precatalyst activation, substrate exchange, ß-hydride elimination, and readdition. The debate between two mechanisms for creating of the free coordination site for ß-hydride elimination is addressed.



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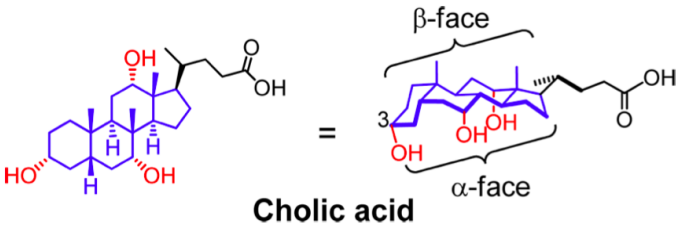
Citation: Zhao, Y.; Cho, H.; Widanapathirana, L.; Zhang, S. *Acc. Chem. Res.* 2013, 46, 2763.

Conformationally Controlled Oligocholate Membrane Transporters: Learning through Water Play

This account reviews the construction of cargo-selective membrane transporters from facially amphipathic cholic acid and discusses the rational design of such transporters based on knowledge of the environments through which the transporters must pass.



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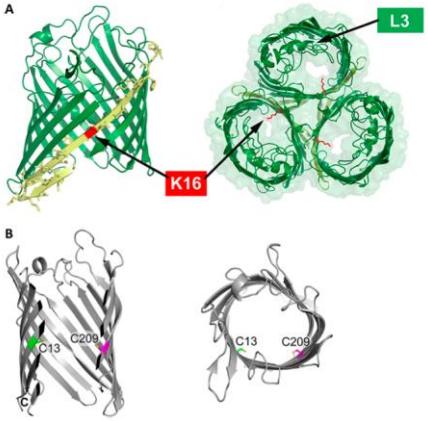
Citation: Reiß, P.; Koert, U. *Acc. Chem. Res* 2013, 46, 2773.

Ion-Channels: Goals for Function-Oriented Synthesis

This account discusses the synthesis and evaluation of modified ion channels and *de novo* synthesized ion channels, with the eventual goals of single molecule sensing tools or channelopathy therapeutics. The authors' own work began with *de novo* synthesis of oligo-THFs and and oligo-THF-amino acids and shifted to the modification of naturally occuring ion channels. Challenges of attaching synthetic modulators to ion channels is discussed.



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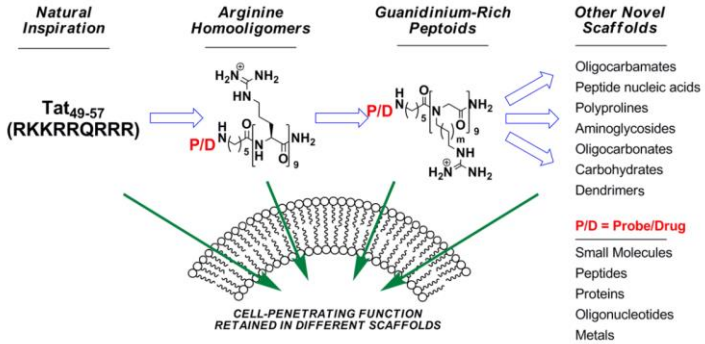
Citation: Stanzl, E. G.; Trantow, B. M.; Vargas, J. R.; Wender, P. A. *Acc. Chem. Res.* 2013, 46, 2944.

Fifteen Years of Cell-Penetrating, Guanidinium-Rich Molecular Transporters: Basic Science, Research Tools, and Clinical Applications



Our very own drug delivery subgroup reviews the current body of work on guanidinium-rich molecular transporters.

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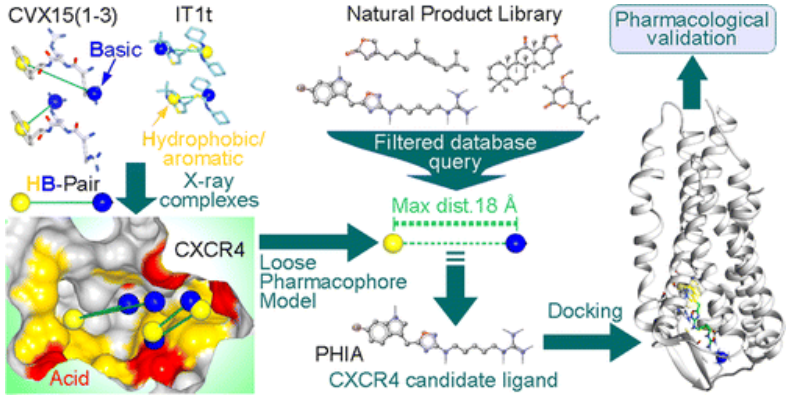
Citation: Vitale, R. M.; et al. *ACS Chem Biol*. **2013**, *8*, 2762-2770.

Minimalist Hybrid Ligand/Receptor-Based Pharmacophore Model for CXCR4 Applied to a Small-Library of Marine Natural Products Led to the Identification of Phidianidine A as a New CXCR4 Ligand Exhibiting Antagonist Activity



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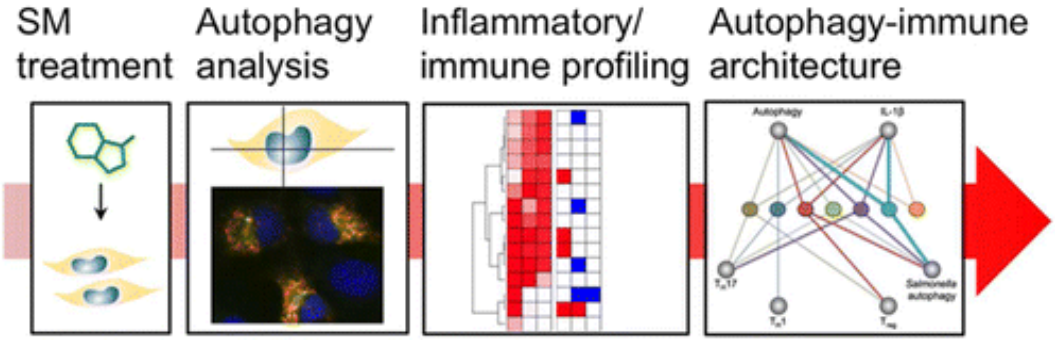
Citation: Shaw, S. Y.; et al. *ACS Chem. Biol*. **2013**, *8*, 2724-2733.

Selective Modulation of Autophagy, Innate Immunity, and Adaptive Immunity by Small Molecules



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Citation: Carlini, L.; Manley, S. *ACS Chem. Biol*. **2013**, *8*, 2643-2648.

Live Intracellular Super-Resolution Imaging Using Site-Specific Stains

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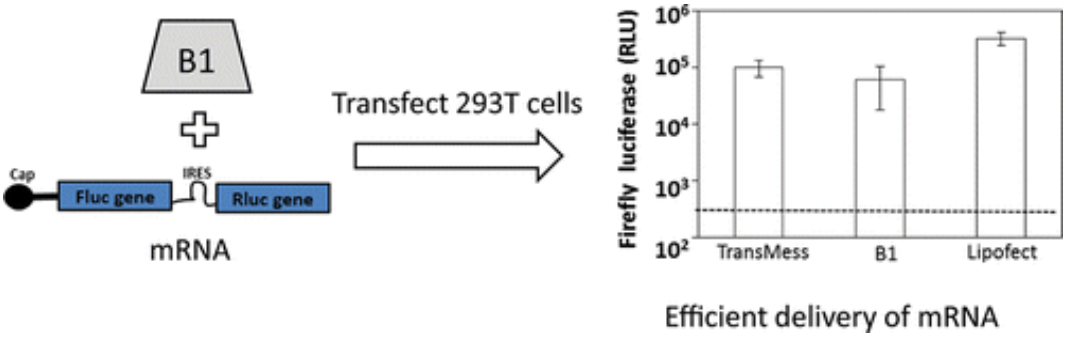
Citation: Simeon, R.; et al. *ACS Chem. Biol*. **2013**, *8*, 2678-2687.

Discovery and Characterization of a New Cell-Penetrating Protein



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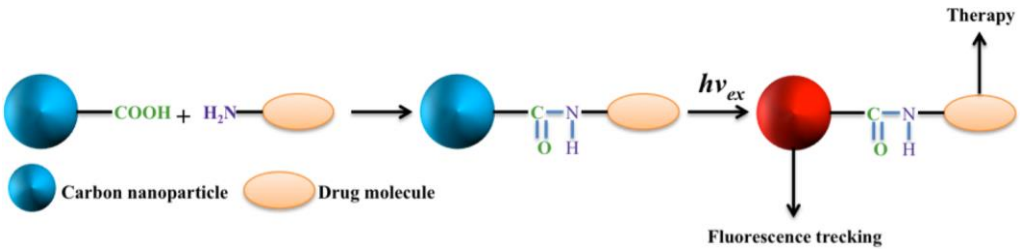
Citation: Kumar, V. *et al. ACS Med. Chem. Lett.* **2013**, *4*, 1012-1013

Fluorescent Carbon Nanoparticles in Medicine for Cancer Therapy



Fluorescent carbon nanoparticles (CNPs) due to their intrinsic fluorescence and high biocompatibility are among the best candidates for nontoxic drug delivery system and bioimaging. Figure 1. Carbon nanoparticle bearing,-COOH group at their surface and the drug molecule (or antibody) containing ,-NH2 conjugated through amide bond. This carbon nanoparticle-based drug delivery system provides a unique possibility for tracking them inside the biological system due to the intrinsic fluorescence of carbon nanoparticl

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Citation: Iyidogan, P. *et al. ACS Med. Chem. Lett.* **2013**, *4*, 1183-1188.

Design, Synthesis, and Antiviral Evaluation of Chimeric Inhibitors of HIV Reverse Transcriptase

The authors designed a novel chimeric inhibitor utilizing thymidine and a TMC derivative(a diarylpyrimidine NNRTI) linked via a polymethylene linker (ALK). The nucleoside, 5'-hydrogen-phosphate and 5'-triphosphated forsm of this chimeric inhibitor were synthesized and the antiviral activity profiles were evaluated at the enzyme and cellular level. They inhibited RT polymerization with an IC50 of 6.0 and 4.3. They found the chimieric nucleoside and H-phosphate derivatves reduced HIV replication in cell-based assays with low nanomolar antiviral potencies.

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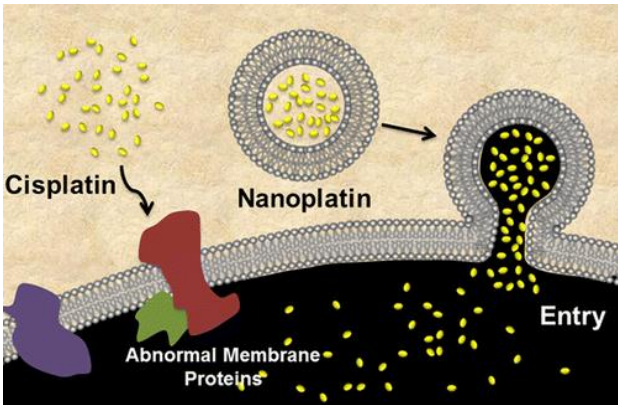
Citation: Xue, X., *et. al. ACS Nano*, **2013**, 7, 10452.

Nanoscale Drug Delivery Platforms Overcome Platinum-Based Resistance in Cancer Cells Due to Abnormal Membrane Protein Trafficking

Platinum-based chemotherapies are limited in efficacy due to cellular resistance due to abnormal protein trafficking of cell surface transporters. Nanoscale drug delivery platforms (nDDPs) loaded with platinum-based therapeutics improve delivery by by-passing this abnormal membrane protein trafficking. This review details membrane trafficking in cisplatin-resistant cells and nDDPs strategies which can circumvent these issues related to transporter uptake.



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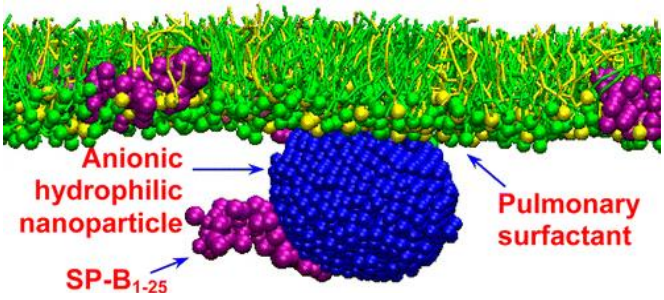
Citation: Hu, G., *et. al. ACS Nano*, **2013**, 7, 10525.

Physicochemical Properties of Nanoparticles Regulate Translocation across Pulmonary Surfactant Monolayer and Formation of Lipoprotein Corona



The authors report *in vitro* and *in silico* methods for examining nanoparticle physiochemical properties regulate translocation across a surfactant film. In the context of pulmonary adbsorption, hydrophobicity and surface charge differentially regulate the transloaction uptake process involving generation of a lipoprotein corona.

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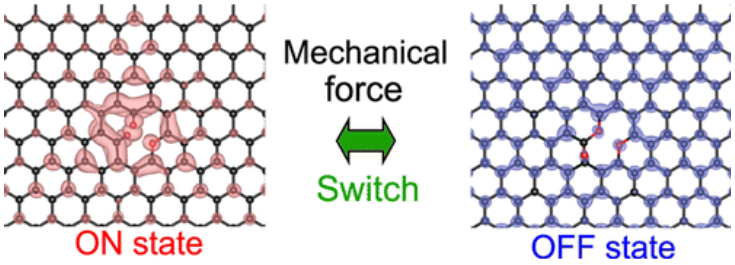
Citation: Fujii, S. *et. al. ACS Nano* **2013**, 7, 11190.

Rearrangement of p-Electron Network and Switching of Edge-Localized p State in Reduced Graphene Oxide



Introduced defects in graphene formation can modulate their associated intrinsic electronic and magnetic configurations. The authors detail a method for reliable identification of the localized p states of oxidized vacancy edges occuring in reduced graphene oxide. Dynamic changes in the oxygen-binding modes can alter the p-electron network through drastic rearrangements that cause on-off switching of the p-electron network. Manipulation of this on-off state was demonstrated by scanning-probe-induced local mechanical force to illustrate how oxidized defect structures in graphene uniquely alter the electronic state with potential future applications in electronic devices.

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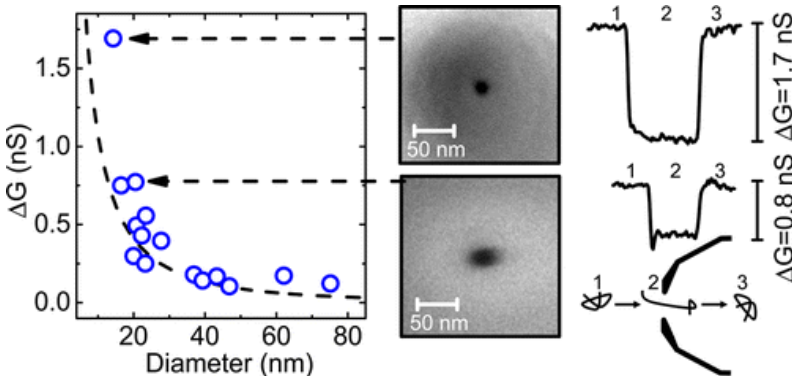
Citation: Steinbock, J., *et. al. ACS Nano*, **2013**, 7, 11255.

DNA Translocation through Low-Noise Glass Nanopores



The authors detail the use of glass nanocapillaries with irradiation-induced shrinking to monitor the translocation ability of DNA through them as a model nanopore system. This system can be used in evaluate experimental results of polymer translocation such as DNA.

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Citation: Sirsi, S.R.; *et al. Adv. Drug Deliv. Rev.* **2014**

State-of-the-art materials for ultrasound-triggered drug delivery

Discussed in this review are the mechanisms of interaction between drug carriers and ultrasound waves, including cavitation, streaming and hyperthermia, and how those interactions can promote drug release and tissue uptake.

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Citation: Ozpolat, B.; *et al. Adv. Drug Deliv. Rev.* **2014**

Liposomal siRNA nanocarriers for cancer therapy

A variety of synthetic and natural nanoparticles composed of lipids, polymers, and metals have been developed for siRNA delivery, with different efficacy and safety profiles. Liposomal nanoparticles have proven effective in delivering siRNA into tumor tissues by improving stability and bioavailability. While providing high transfection efficiency and a capacity to form complexes with negatively charged siRNA, cationic lipids/liposomes are highly toxic. Negatively charged liposomes, on the other hand, are rapidly cleared from circulation. To overcome these problems the authors have developed highly safe and effective neutral lipid-based nanoliposomes that provide robust gene silencing in tumors following systemic (intravenous) administration.

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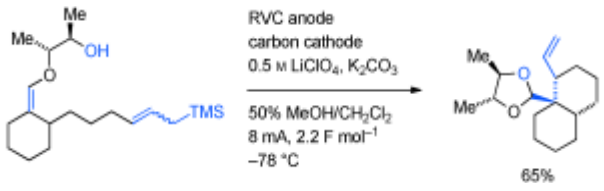
Citation: Moeller, K.; et al. *Angew. Chem. Int. Ed*. **2013**, *52 (49)*, 12865-12868.

Oxidative Cyclization Reactions: Controlling the Course of a Radical Cation-Derived Reaction with the Use of a Second Nucleophile

Oxidative cyclizations (see picture; RVC=reticulated vitreous carbon) have been conducted that use two separate intramolecular nucleophiles to trap an enol ether-derived radical cation intermediate. The reactions provide a means for rapidly trapping the radical cation intermediate in a manner that avoids competitive decomposition reactions.



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Citation: Woerperl, K.; et al. *Angew. Chem. Int. Ed*. **2013**, *52 (49)*, 13033-13036.

Diastereoselective Synthesis of Eight-Membered-Ring Allenes from Propargylic Epoxides and Aldehydes by Silylene Insertion into Carbon–Oxygen Bonds

Silver-catalyzed insertions of silylenes into propargylic C[BOND]O bonds of epoxides regioselectively form 1,2-silaoxetanes, which add to aldehydes to give the title allenes as single diastereomers (see scheme; Ts=4-toluenesulfonyl). An X-ray crystal structure confirmed the stereochemistry of the allene, which is bent significantly from linearity (164°).

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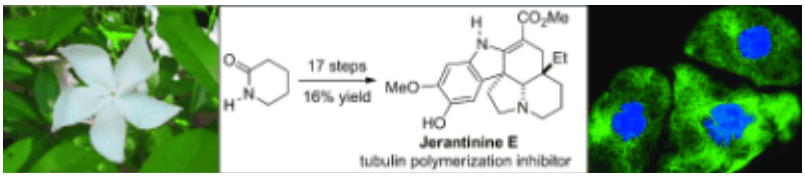
Citation: Waser, J.; et al. *Angew. Chem. Int. Ed*. **2013**, *52 (50)*, 13373-13376.

Total Synthesis and Biological Evaluation of Jerantinine E

The first total synthesis of the alkaloid natural product jerantinine E is based on a selective cyclization of an aminocyclopropane. Preliminary investigations show that it inhibits the polymerization of tubulin, displaying significant cytotoxicity and antimigratory activity against both breast and lung cancer cell lines.



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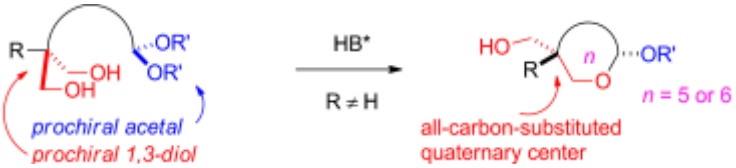
Drug Deliv.

Citation: Sun, J.; et al. *Angew. Chem. Int. Ed*. **2013**, *52 (51)*,13593-13596.

Enantio- and Diastereoselective Assembly of Tetrahydrofuran and Tetrahydropyran Skeletons with All-Carbon-Substituted Quaternary Stereocenters

Chiral phosphoric acids (HB*) catalyze the asymmetric desymmetrization of meso 1,3-diols through mono-transacetalization with a tethered acetal unit (see scheme). This new strategy leads to the efficient assembly of tetrahydrofuran and tetrahydropyran skeletons bearing remote all-carbon-substituted quaternary stereocenters that are not straightforward to access by other methods.



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Pharma

Citation: Kalesse, M.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (51)*, 13549-13552

Synthesis and Biological Evaluation of Paleo-Soraphens

Synthesis can provide molecules such as paleo-soraphens A and B (see scheme) that are genetically encoded but not obtained from the natural source. Although it is unclear whether this is part of an evolutionary process or the consequence of the chemical synthesis, the biological evaluation of these genetically encoded natural products can shed light on how natural products are structurally optimized with respect to their biological profile.

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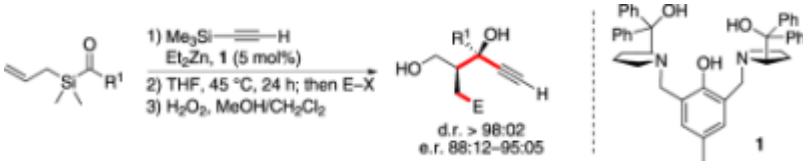
Citation: Marek, I.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (51)*, 13717-13721.

One-Pot Zinc-Promoted Asymmetric Alkynylation/Brook-Type Rearrangement/Ene–Allene Cyclization: Highly Selective Formation of Three New Bonds and Two Stereocenters in Acyclic Systems

In a one-pot sequence, two stereocenters and three new bonds were created with high selectivity through an asymmetric alkynylation of acyl silanes, a tandem Brook-type rearrangement and Zn–ene–allene cyclization, the addition of an electrophile, and finally oxidation (see scheme). The straightforward nature of the synthetic procedure contrasts strongly with the complexity of the densely functionalized products obtained.



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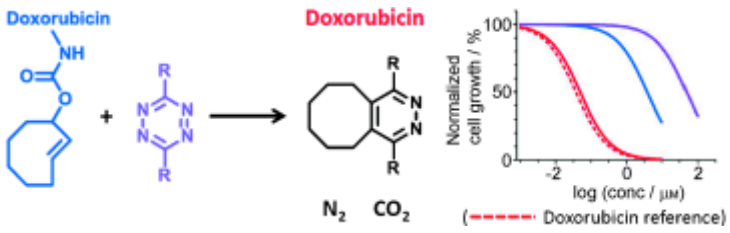
Citation: Versteegen, R.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (52)*, 14112-14116.

Click to Release: Instantaneous Doxorubicin Elimination upon Tetrazine Ligation

The fastest click reaction, the highly selective inverse-electron-demand Diels–Alder reaction, has been modified to enable selective bioorthogonal release. Thus, the click reaction of a tetrazine with a drug-bound trans-cyclooctene caused the instantaneous release of the drug and CO2 (see scheme). One possible application is the chemically triggered release, and thereby activation, of a drug from a tumor-bound antibody–drug conjugate.



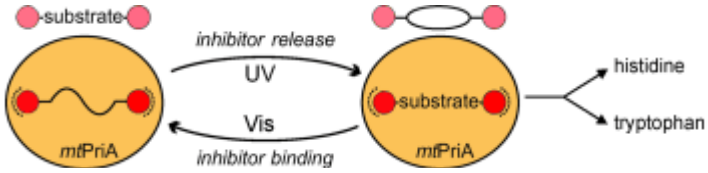
bioorganic
methods
synthesis
mechanism
review
other

REDOR
Bryo
Gnid/Kirk
Hybrid
DD
PKC

Citation: Sterner, R.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (2)*, 595-598.

Exploiting Protein Symmetry To Design Light-Controllable Enzyme Inhibitors

The activity of the metabolic branch-point enzyme PriA from Mycobacterium tuberculosis (mtPriA) can be controlled reversibly by light. Two-pronged inhibitors based on the dithienylethene scaffold were designed utilizing mtPriA's natural rotational symmetry. Switching from the flexible, ring-open to the rigid, ring-closed isomer reduces inhibition activity by one order of magnitude.



bioorganic
methods
synthesis
mechanism
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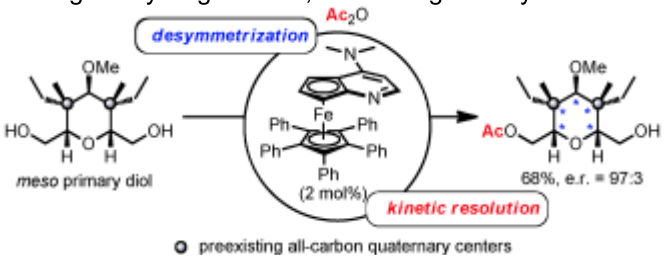
other

OM
Bryo
FOS
Hybrid
Drug Deliv.
Prostratin

Citation: Bressy, C.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (3)*, 766-770.

Stereocontrol of All-Carbon Quaternary Centers through Enantioselective Desymmetrization of Meso Primary Diols by Organocatalyzed Acyl Transfer

The symmetry breaking of meso primary diols was employed to control all-carbon quaternary stereocenters using catalytic asymmetric acyl transfer. The planar chiral Fu DMAP catalyst was used to reach a high degree of enantioselectivity (up to 97:3 e.r.) through a synergic effect, combining a desymmetrization step and a kinetic



bioorganic
asymmetric
methods
synthesis
mechanism
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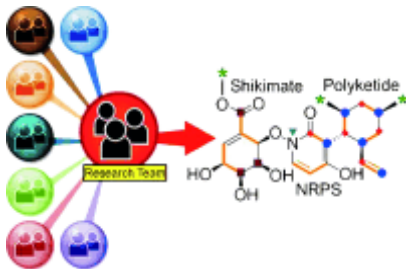
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Cichewicz, R.; et. al. *Angew. Chem. Int. Ed*. **2014**, *53 (3)*, 804-809.

Crowdsourcing Natural Products Discovery to Access Uncharted Dimensions of Fungal Metabolite Diversity

A new Tolypocladium sp. was obtained through a crowdsourcing initiative. The expression of a silent biosynthetic pathway in this fungus was triggered through chemical epigenetics, culture medium manipulation, and co-culture to yield the unique polyketide-shikimate-NRPS-hybrid compound, maximiscin, which demonstrated in vivo antitumor activity. NRPS=non-ribosomal peptide synthetase.



bioorganic
asymmetric
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synthesis
mechanism
review
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: *Angew. Chem. Int. Ed.* **2014**, *53 (3)*, 627-628.

Prelog Medal and Lectureship: P. Wender

apparently we work on "drugs delivery" now

bioorganic
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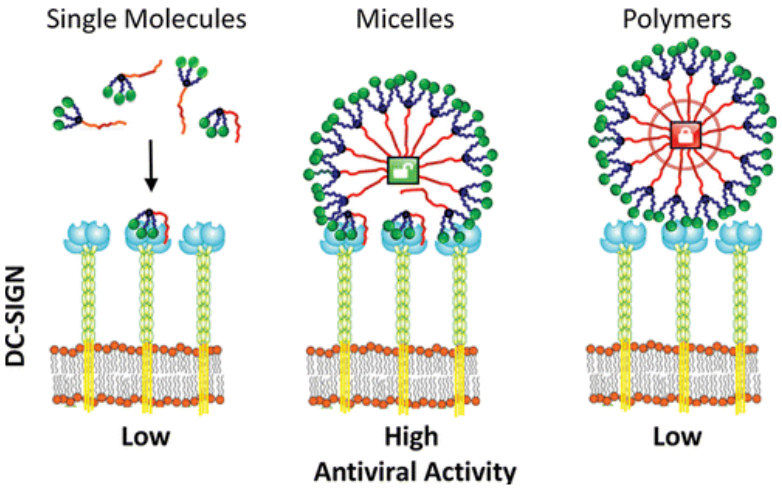
OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Schaeffer, E., *et. al., Bioconjugate Chemistry*, **2013**, 24, 1813.

Dynamic Micelles of Mannoside Glycolipids are more Efficient than Polymers for Inhibiting HIV-1 trans-Infection



bioorganic
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synthesis
mechanism
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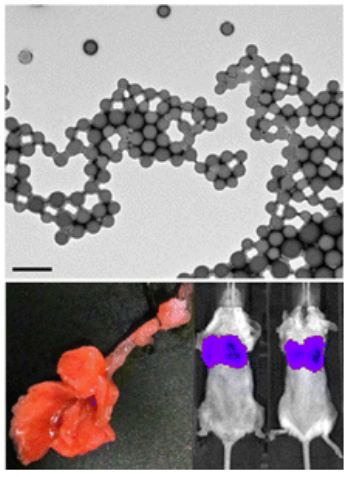
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Mura, S., et. al. Bioconjugate Chemistry, 2013, 24, 1840.

Novel Isoprenoyl Nanoassembled Prodrug for Paclitaxel Delivery

Coupling of a single terpene unit (MIP) to paclitaxel (Ptx) allowed self-complexation with squalene-polyethylene glycol (SQ-PEG) to form stable, soluble nanoparticles with enhanced therapeutic properties.

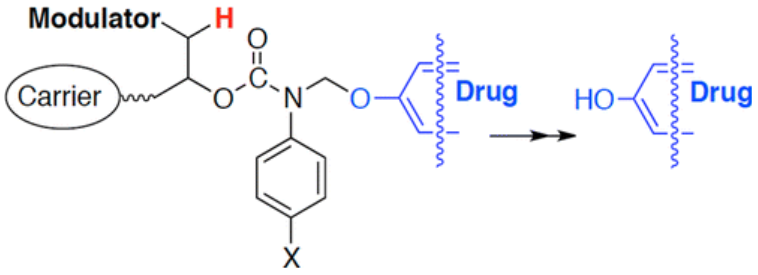


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Schneider, E.L., *et. al., Bioconjugate Chemistry*, **2013**, 24, 1990.

ß-Eliminative Releasable Linkers Adapted for Bioconjugation of Macromolecules to Phenols



The authors detail the use linkers using a cleavable carbamate group that undergoes ß-elimination allowing connection to other acidic heteroatoms, in particular, phenolic hydroxyl groups for attaching drugs to macromolecules.

bioorganic
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Hybrid
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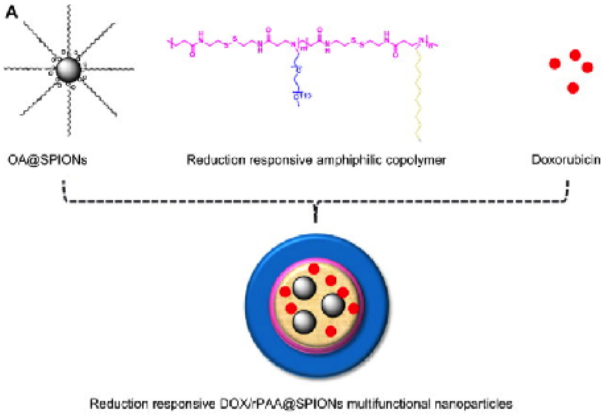
Citation: Biomaterials 35 (2014) 1240-1248

Reducible polyamidoamine-magnetic iron oxide self-assembled nanoparticles for doxorubicin delivery



bioorganic
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OM
Bryo
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Hybrid
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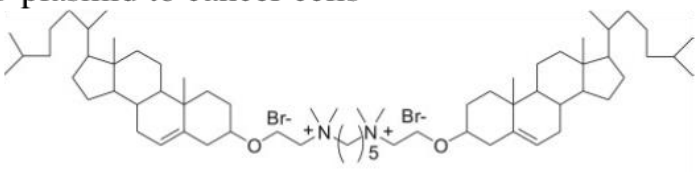
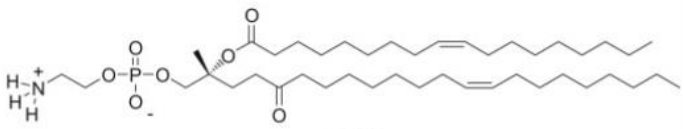
Prostratin

Citation: Biomaterials 35 (2014) 1334-1346

A cationic cholesterol based nanocarrier for the delivery of p53-EGFP-C3 plasmid to cancer cells



Chol-5L



DOPE

bioorganic
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Bryo
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Hybrid
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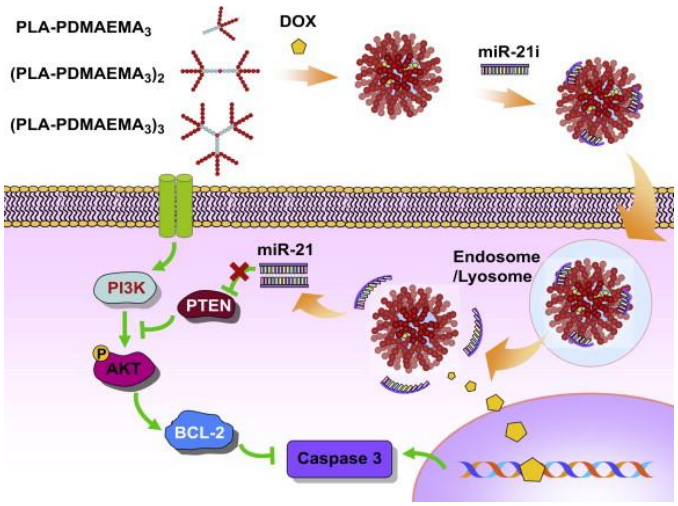
Prostratin

Citation: Biomaterials 35 (2014) 2322-2335

Star-branched amphiphilic PLA-b-PDMAEMA copolymers for co-delivery of miR-21 inhibitor and doxorubicin to treat glioma



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DDO
Hybrid
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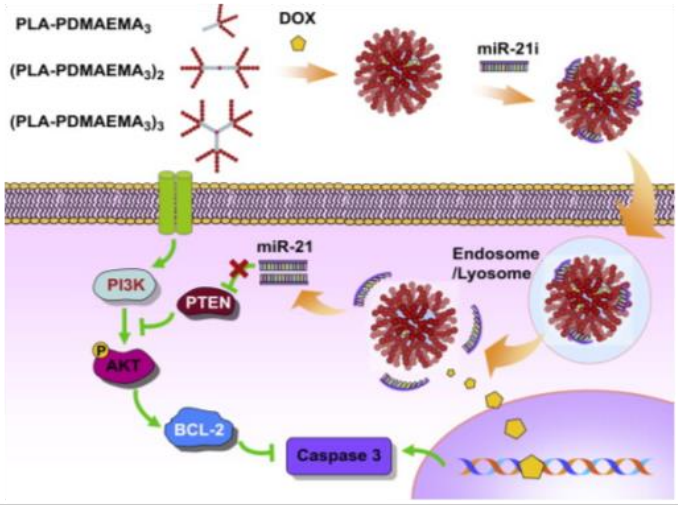
Prostratin

Citation: Biomaterials 35 (2014) 2322-2335

Star-branched amphiphilic PLA-b-PDMAEMA copolymers for co-delivery of miR-21 inhibitor and doxorubicin to treat glioma



bioorganic
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DDO
Hybrid
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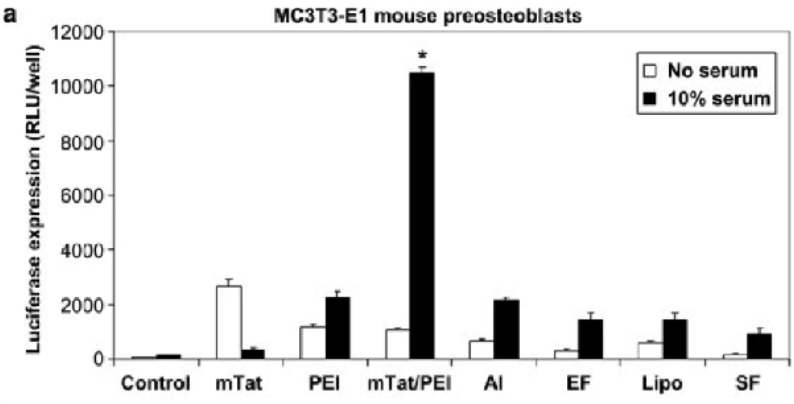
Prostratin

Citation: S. Yamano et al. / Biomaterials 35 (2014) 1705-1715

Long-term efficient gene delivery using polyethylenimine with modified Tat peptide



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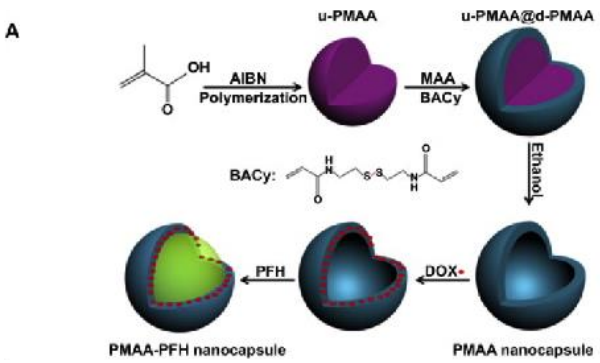
Drug Deliv.
Prostratin

Citation: P. Yang et al. / Biomaterials 35 (2014) 2079-2088

Stimuli-responsive biodegradable poly(methacrylic acid) based nanocapsules for ultrasound traced and triggered drug delivery system



bioorganic
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Bryo
DDO
Hybrid
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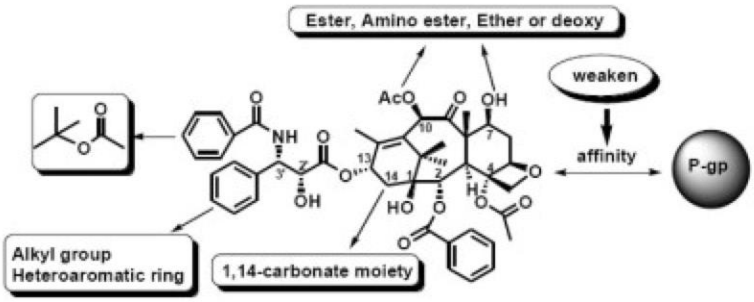
Prostratin

Citation: Jing.; et al. *Bioorg. Med. Chem*., 22, (2014) 194-203

The synthesis of novel taxoids for oral administration



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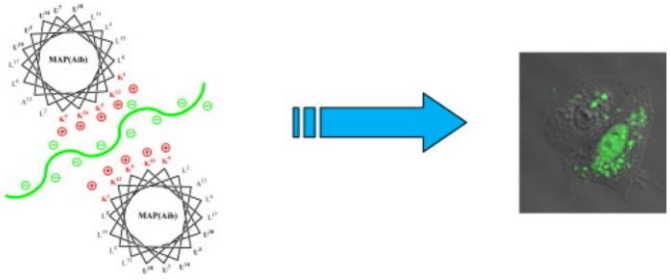
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wada.; et al. *Bioorg. Med. Chem*., 21, (2013) 7669-7673

Effect of Ala replacement with Aib in amphipathic cell-penetrating peptide on oligonucleotide delivery into cells



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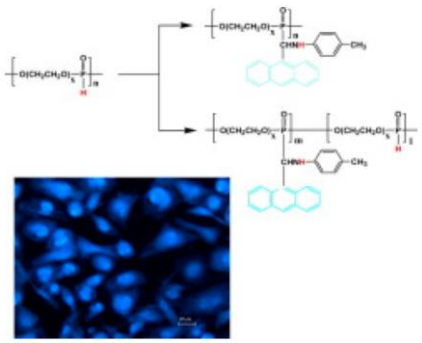
Prostratin

Citation: Kraicheva.; et al. *Bioorg. Med. Chem*., 22, (2014) 874-882

Synthesis, characterization, antitumor activity and safety testing of novel polyphosphoesters bearing anthracene-derived aminophosphonate units



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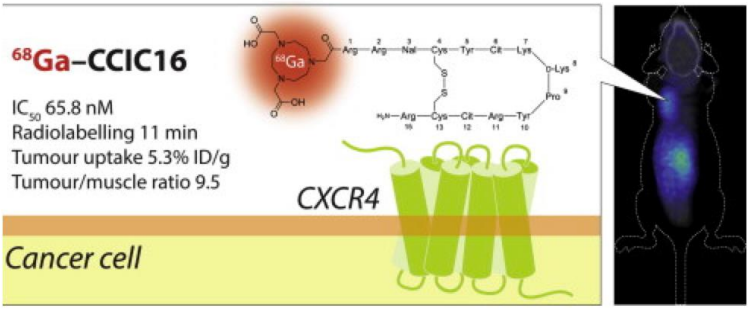
Prostratin

Citation: George, Guillaume.; et al. *Bioorg. Med. Chem*., 22, (2014) 796-803

Preclinical evaluation of a CXCR4-specific 68Ga-labelled TN14003 derivative for cancer PET imaging



bioorganic
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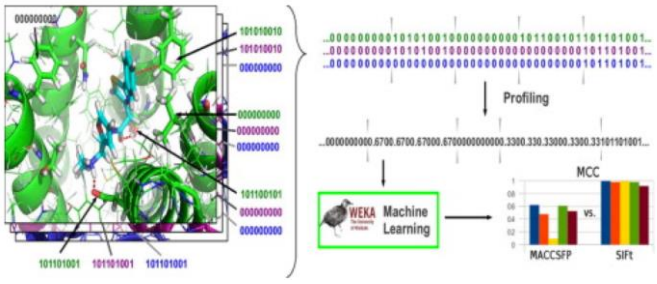
Hybrid
Drug Deliv.
Prostratin

Citation: Witek.; et al. *Bioorg. Med. Chem. Lett*., 24, (2014) 580-585

An application of machine learning methods to structural interaction fingerprints—a case study of kinase inhibitors



bioorganic
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DDO
Hybrid
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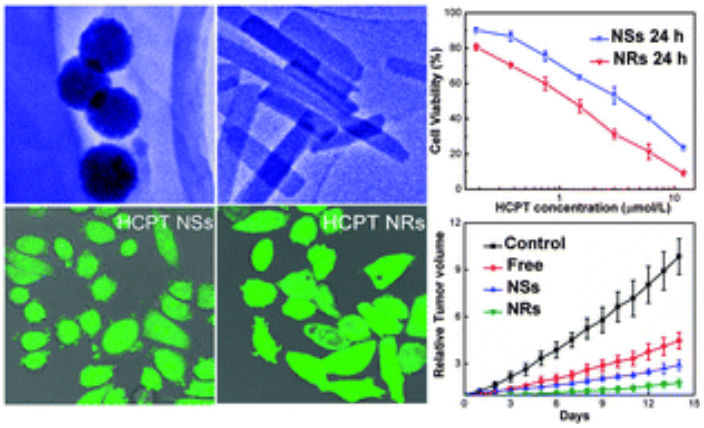
Prostratin

Citation: Li, W. *et al*. *Chem. Commun.* **2013**, *49*, 10989.

Shape design of high drug payload nanoparticles for more effective cancer therapy



The authors developed different-shaped drug nanocrystals with similar hydrodynamic sizes and surface charges, and found that nanorods exhibited much higher in vitro and in vivo anticancer efficacy than that of nanospheres.

bioorganic
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Bryo
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Hybrid
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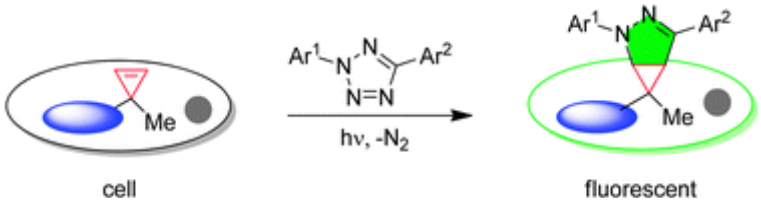
Prostratin

Citation: Ramil, C. P.; Lin, Q. *Chem. Commun.* **2013**, *49*, 11007.

Bioorthogonal chemistry: strategies and recent developments



A review of recent progress in bioorthogonal chemistry highlighting three main strategies - the use of ring strain for substrate activation in the cycloaddition reactions, the discovery of new ligands and privileged substrates for accelerated metal-catalysed reactions, and the design of substrates with pre-fluorophore structures for rapid "turn-on" fluorescence after selective bioorthogonal reactions.

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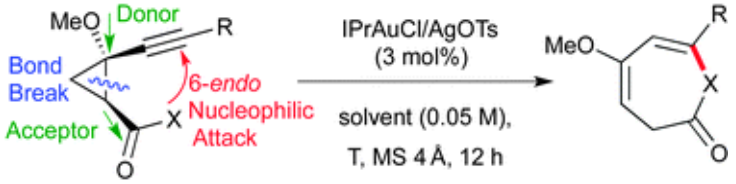
Citation: Fernandez-Garcia, J. M., *et al. Chem. Commun.* **2013**, *49*, 11185.

Regioselective synthesis of oxepinones and azepinones by gold-catalyzed cycloisomerization of functionalyzed cyclopropyl alkynes



X = O 62-92% (solvent = CH_2Cl_2; T = rt)
X = NR^1 62-85% (solvent = DCE; T = 110 °C)

A regioselective synthesis of oxepinones and azepinones in good to excellent yields from alkynylcyclopropanecarboxylic acid derivatives is described. This novel cycloisomerization cascade process consists of a nucleophilic addition followed by a cyclopropane ring-opening, where both donor and acceptor groups are required as substituents of the cyclopropane ring.

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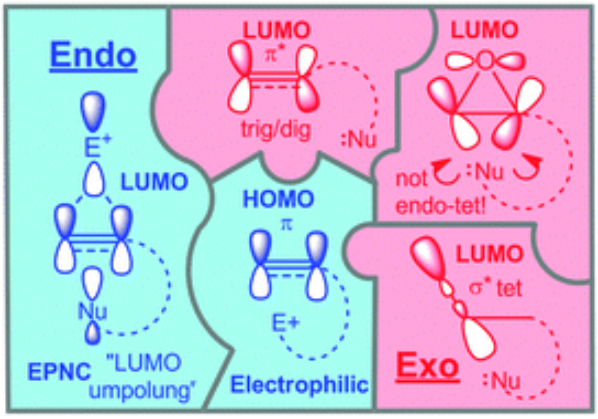
Citation: Alabugin, I. V.; Gilmore, K. *Chem. Commun.* **2013**, *49*, 11246.

Finding the right path: Baldwin "Rules for Ring Closure" and stereoelectronic control of cyclizations



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Gnid/Kirk
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Drug Deliv.
Prostratin

Citation: Halford, B. *C&EN.* **2013**, 91(49), 32-33.

Chemists Analyze Cannabis For Safety And Potency

As the legalization of marijuana spreads across the U.S., labs sprout up to offer chemical analyses of cannabis products

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Citation: Johnson, J. *C&EN.* **2013**, 91(50), 9-12.

A New Race For Solar

The fate of three new power plants may set the future for U.S. solar energy

bioorganic
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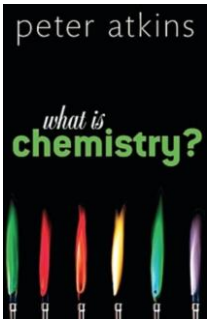
Citation: Baum, R. *C&EN.* **2013**, 91(50), 28-29.

Defining Chemistry



Fresh view of the central science provides concise and accessible introduction for general audience

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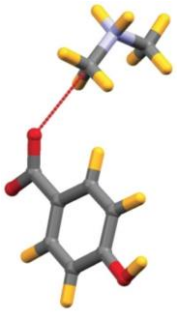
Citation: Kemsley, J. *C&EN.* **2014**, 92(1), 25-26.

Defining A New Carbon Bond



Electronic effects that produce halogen bonds yield noncovalent interactions in other elements

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Citation: Various Authors, *C&EN.* **2013**, 92(1), 9-16.

World Chemical Outlook 2014

Across the globe and throughout industry sectors, the chemistry enterprise is moving into a higher gear

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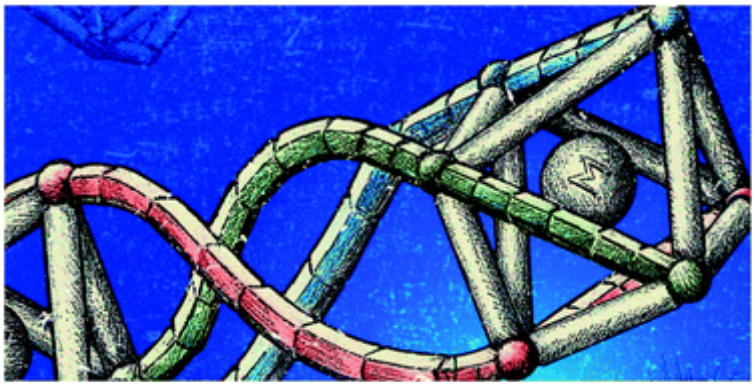
Citation: Scott, P.; et. al, *Chemical Science*, **2013**, 12, 4407-4416

Metallohelices with activity against cisplatin-resistant cancer cells; does the mechanism involve DNA binding?



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Hybrid
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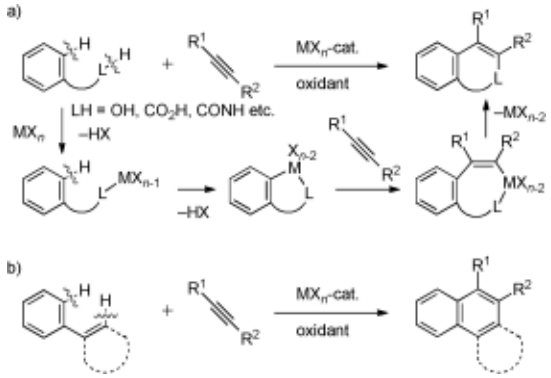
Prostratin

Citation: Iitsuka, T. *et al. Chem. Eur. J.* **2014,** 20, 385-389

Rhodium-Catalyzed Annulative Coupling of 3-Phenylthiophenes with Alkynes Involving Double C-H Bond Cleavages



Double C[BOND]H bond activation took place efficiently upon treatment of 3-phenylthiophenes with alkynes in the presence of a rhodium catalyst and a copper salt oxidant to form the corresponding naphthothiophene derivatives. Dehydrogenative coupling with alkenes was also found to occur on the phenyl moiety rather than the thiophene ring. These reactions provide straightforward synthetic methods for -conjugated molecules involving a thiophene unit from readily available, simple building blocks.

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Hybrid
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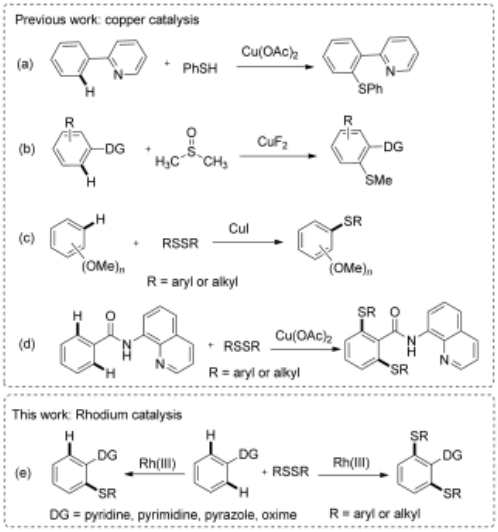
Prostratin

Citation: Yang, Y., *et al. Chem. Eur. J.* **2013**, 20, 416-420.



Rhodium-Catalyzed Directed Sulfenylation of Arene C[BOND]H Bonds

The rhodium-catalyzed intermolecular direct C[BOND]H thiolation of arenes with aryl and alkyl disulfides was developed for the first time to provide a convenient route to aryl thioethers. This strategy is compatible with many different directing groups and exhibits excellent functional group tolerance. More significantly, mono- or dithiolation can be selectively achieved, thus providing a straightforward way for selective preparation of aryl thioethers and dithioethers.

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Hybrid
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Prostratin

Citation: Jiang, Xue. *et al. Chem. Eur. J.* **2014,** 20, 58-63.

A General Method for N-Methylation of Amines and Nitro Compounds with Dimethylsulfoxide

DMSO methylates a broad range of amines in the presence of formic acid, providing a novel, green, and practical method for amine methylation. This protocal also allows a one-pot transformation of aromatic nitro compounds into dimethylated amines in the presence of a simple iron catalyst.

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Hybrid
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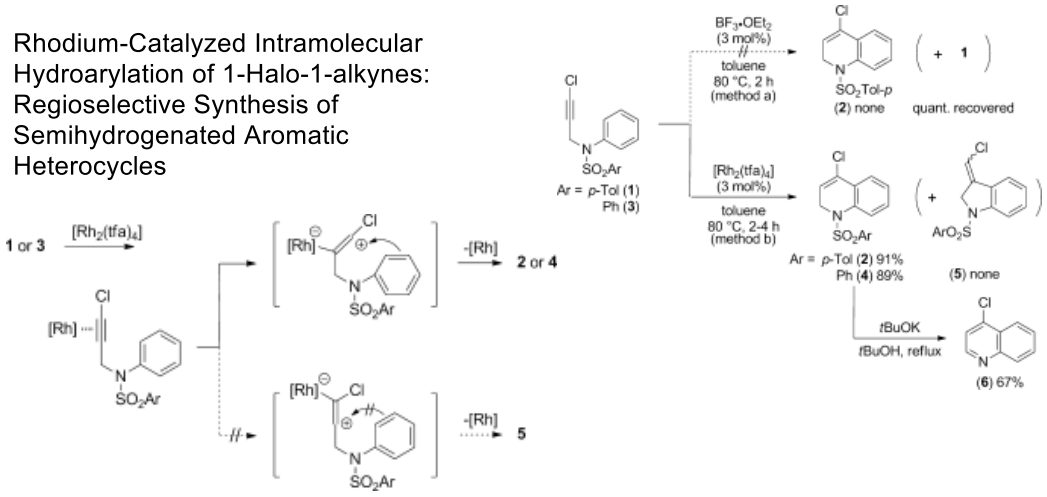
Citation: Murase, H. *et al. Chem. Eur. J.* **2013**, 20, 317-322.

Rhodium-Catalyzed Intramolecular Hydroarylation of 1-Halo-1-alkynes: Regioselective Synthesis of Semihydrogenated Aromatic Heterocycles



bioorganic
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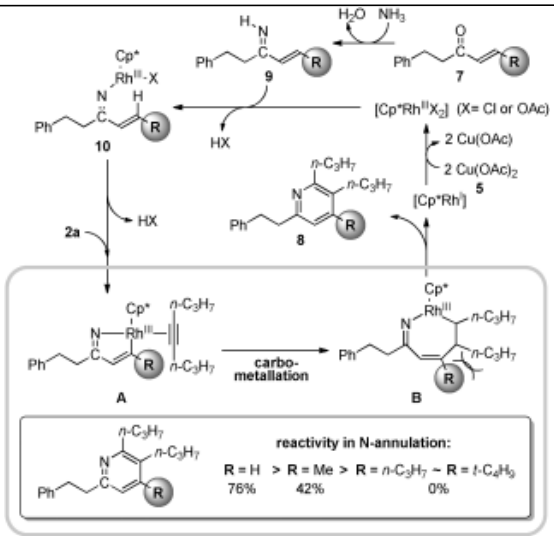
Prostratin

Citation: Lee, H. *et al. Chem. Eur. J.* **2013**, 19, 323-333.



Microwave-assited, Rhodium (III)-catalysed N-annulation reactions of Aryl and alpha, beta-unsaturated ketones with alkynes

Under microwave irradiation conditions, the processes lead to rapid formation of the respective isoquinoline and pyridine derivatives with efficiencies that are strongly dependent on the steric nature of the aryl ring and enone substituents.

bioorganic
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review
other

OM
Bryo
DDO
Hybrid
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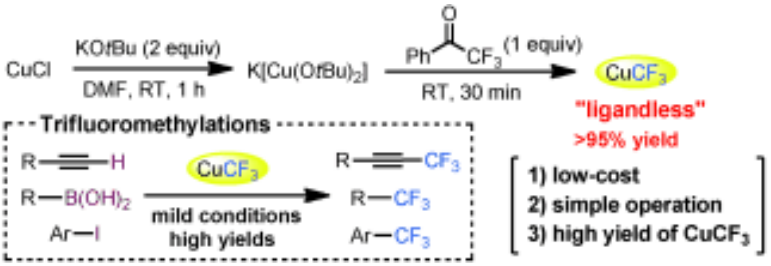
Citation: Serizawa, H. *et al. Chem. Eur. J.* **2013**, 19, 17692-17697.

Direct Synthesis of a Trifluoromethyl Copper Reagent from Trifluoromethyl Ketones: Application to Trifluoromethylation



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methods
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mechanism
review
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OM
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DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Oehninger, L. *et al. Chem. Eur. J.* **2013**, 19, 17871-17880.

A Chemical–Biological Evaluation of Rhodium(I) N-Heterocyclic Carbene Complexes as Prospective Anticancer Drugs

Rhodium(I) complexes bearing N-heterocyclic carbene (NHC) ligands have been widely used in catalytic chemistry, but there are very few reports of biological properties of these organometallics. A series of RhI-NHC derivatives with 1,5-cyclooctadiene and CO as secondary ligands were synthesized, characterized, and biologically investigated as prospective antitumor drug candidates. Pronounced antiproliferative effects were noted for all complexes, along with moderate inhibitory activity of thioredoxin reductase (TrxR) and efficient binding to biomolecules (DNA, albumin).

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Hybrid
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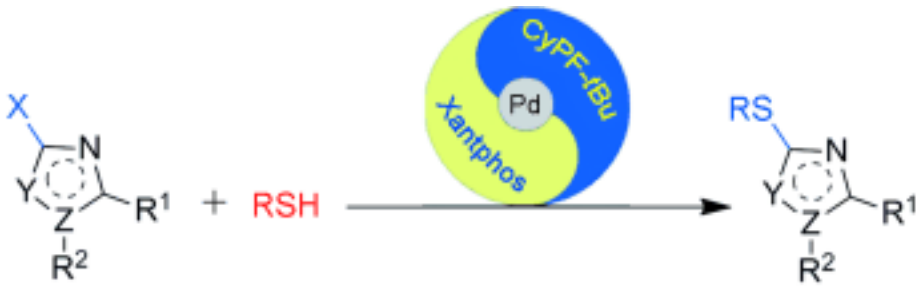
Prostratin

Citation: Cong, M. *et al. Chem. Eur. J.* **2013**, 19, 17267-17272.

C-S Coupling Using a Mixed-Ligand Pd Catalyst: A Highly Effective Strategy for Synthesizing Arylthio-Substituted Heterocycles



X: Cl, Br
Y: N, S
Z: N, (-CH-)$_n$ (n = 1, 2)

R: aryl, alkyl

23 examples
up to 94%

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
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Prostratin

Citation: Wawrzinek, R. *et al.* *Chem. Eur. J.* **2013,** 19, 17349-17357.

DBD Dyes as Fluorescence Lifetime Probes to Study Conformational Changes in Proteins

a new generation of DBD dyes. Although they are still sensitive to polarity, in contrast to the former DBD dyes, they have extraordinary spectroscopic properties even in aqueous surroundings. thio-reactive maleimido derivatives show strong intramolecular fluorescence quenching. This mechanism has been investigated and is found to undergo a photoelectron transfer (PET) process. After reaction with a thiol group, this fluorescence quenching is prevented, indicating successful bonding. Being sensitive to their environmental polarity, these compounds have been used as powerful fluorescence lifetime probes for the investigation of conformational changes in the maltose ATP-binding cassette transporter through fluorescence lifetime spectroscopy.

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Hybrid
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Citation: Amorín-Ferré, L. *et al.* *Chem. Eur. J.* **2013,** 19, 17508-17516.

Encapsulation and Release Mechanisms in Coordination Polymer Nanoparticles

The interplay of guest encapsulation and release mechanisms in nanoscale metal–organic vehicles and its effect on the drug-delivery kinetics of these materials were investigated through a new multidisciplinary approach. Two rationally-designed molecular guests were synthesized, which consist of a red-fluorescent benzophenoxazine dye covalently tethered to a coordinating catechol group and a protected, non-coordinating catechol moiety. This allowed loading of the guests into compositionally and structurally equivalent coordination polymer particles through distinct encapsulation mechanisms: coordination and mechanical entrapment. The two types of particles delivered their fluorescent cargo with remarkably different kinetic profiles, which could be satisfactorily modeled considering degradation- and diffusion-controlled release processes. This demonstrates that careful selection of the method of guest incorporation into coordination polymer nanoparticles allows selective tuning of the rate of drug delivery from these materials and, therefore, of the time window of action of the encapsulated therapeutic agents.

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Hybrid
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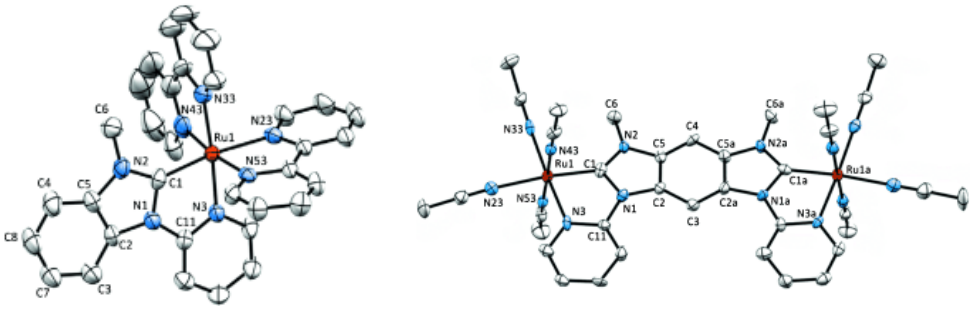
Citation: Nussbaum, M. *et al.* *Chem. Eur. J.* **2013,** 19, 17517-17527.

Efficient Electronic Communication of Two Ruthenium Centers through a Rigid Ditopic N-Heterocyclic Carbene Linker



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Hybrid
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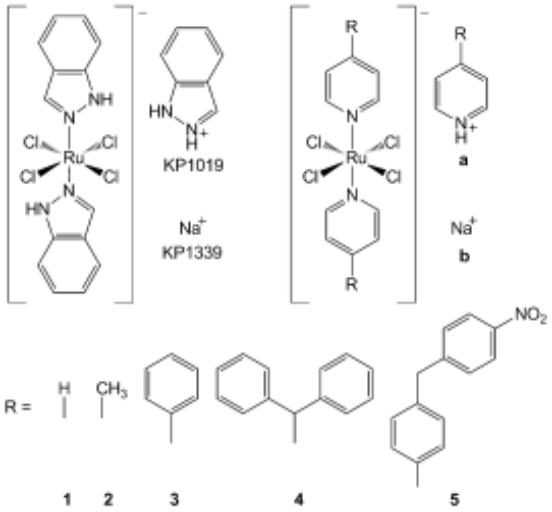
Prostratin

Citation: Webb, M. *et al. Chem. Eur. J.* **2013,** 19, 17031-17042.



Increasing the Bioavailability of Ru(III) Anticancer Complexes through Hydrophobic Albumin Interactions

The Keppler-type RuIII-based anticancer agents undergoing clinical evaluation, KP1019 and KP1339, and their pyridine-based analogues synthesized in this study.

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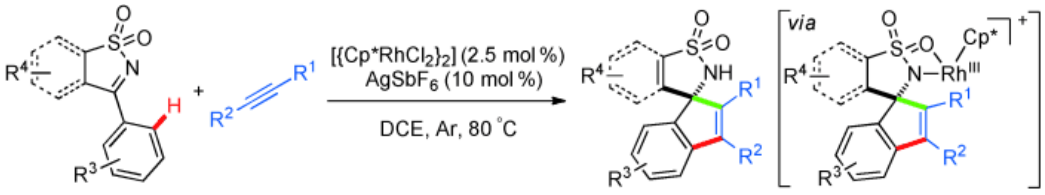
Citation: Dong, L. *et al. Chem. Eur. J.* **2013,** 19, 16537-16540.

Rhodium-Catalyzed Spirocyclic Sultam Synthesis by [3+2] Annulation with Cyclic N-Sulfonyl Ketimines and Alkynes



Rh (III) catalyzed Grignard-type cyclization between cyclic N-Sulfonyl ketimines and internal alkynes has been developed to afford multifunctional spirocyclic sultam products in high yield (~99%) under mild conditions.

bioorganic
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synthesis
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Hybrid
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Prostratin

Citation: Fischer1, I., *et al. Chem. Eur. J.* **2013**, *19*, 16646-16650.

Self-Assembled Fluorescent Organic Nanoparticles for Live-Cell Imaging

Fluorescent, cell-permeable, organic nanoparticles based on self-assembled -conjugated oligomers with high absorption cross-sections and high quantum yields have been developed. The nanoparticles are generated with a tuneable density of amino groups for charge-mediated cellular uptake by a straightforward self-assembly protocol, which allows for control over size and toxicity. The results show that a single amino group per ten oligomers is sufficient to achieve cellular uptake. The non-toxic nanoparticles are suitable for both one- and two-photon cellular imaging and flow cytometry, and undergo very efficient cellular uptake.

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Hybrid
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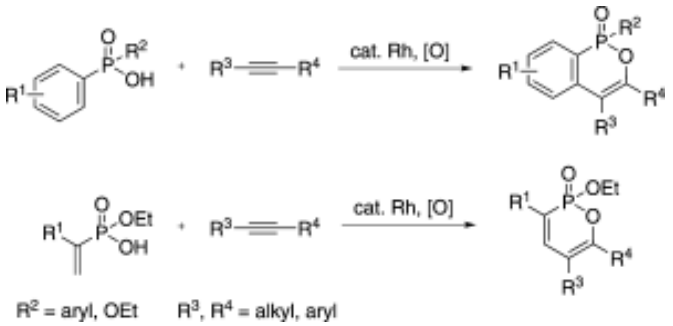
Prostratin

Citation: Park, Y. *et al. Chem. Eur. J.* **2013,** 19, 16461-16468.

Rhodium-Catalyzed Oxidative C–H Activation/Cyclization for the Synthesis of Phosphaisocoumarins and Phosphorous 2-Pyrones

Rhodium-catalyzed cyclization of phosphinic acids and phosphonic monoesters with alkynes has been developed. The oxidative annulation proceeds with complete conversion of phosphinic acid derivatives and allowed the atom-economic preparation of useful phosphaisocoumarins with high yield and selectivity.



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Hybrid
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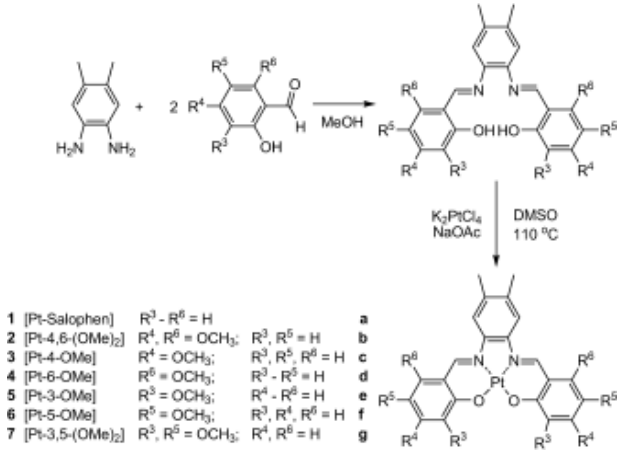
Citation: Reid, E. *et al. Chem. Eur. J.* **2013**, 19, 15907-15917.

Facile Tuning of Luminescent Platinum(II) Schiff Base Complexes from Yellow to Near-Infrared: Photophysics, Electrochemistry, Electrochemiluminescence and Theoretical Calculations



The photophysical and related properties of platinum(II) Schiff base complexes can be finely and predictably tuned over a wide range of wavelengths by small and easily implemented changes to ligand structure.

bioorganic
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Hybrid
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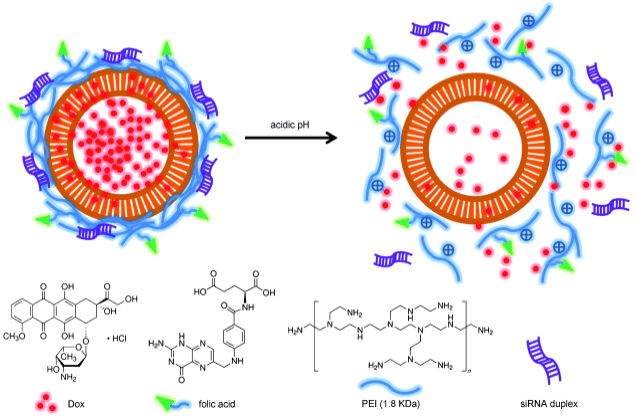
Citation: Ma, X. *et al. Chem. Eur. J.* **2013**, 19, 15593-15603.

Integrated Hollow Mesoporous Silica Nanoparticles for Target Drug/siRNA Co-Delivery

The as-prepared HMSNPs have perpendicular nanochannels connecting to the internal hollow cores, thereby facilitating drug loading and release, aiming at overcoming multidrug resistance (MDR) in cancer cells for targeted cancer therapy. the present multifunctional nanoparticles show promising potentials for controlled and targeted drug and gene co-delivery in cancer treatment.



bioorganic
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mechanism
review
other

OM
Bryo
DDO
Hybrid
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Prostratin

Citation: Piloto, A.M.; *et al. Eur. J. Org. Chem.,* **2013,** *34,* 7715-7723.

Photoinduced Release of Neurotransmitter Amino Acids from Coumarin-Fused Julolidine Ester Cages

The photoinduced release of several neurotransmitter amino acids was accomplished from ester cages based on a new photoremovable protecting group consisting of a coumarin built on the julolidine nucleus. Photolysis and steady-state sensitization studies revealed that release of the active molecule occurred in short irradiation times at long wavelengths, with a very promising performance at 419 nm.

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Hybrid
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Citation: Chevalley, A.; *et al. Eur. J. Org. Chem.,* **2013,** *36,* 8265-8278.

Model Studies for a Ring-Closing Metathesis Approach to the Bafilomycin Macrolactone Core from a 2,2-Dimethoxy Tetraenic Ester Precursor

A ring-closing metathesis strategy is reported for the construction of the 16-membered macrolactone core of the bafilomycins. One decisive key feature is the presence of a 2,2-dimethoxyketal functionality at C-2 that provides the required flexibility to the tetraenic ester precursor, allowing the ring-closing metathesis reaction to take place.

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Hybrid
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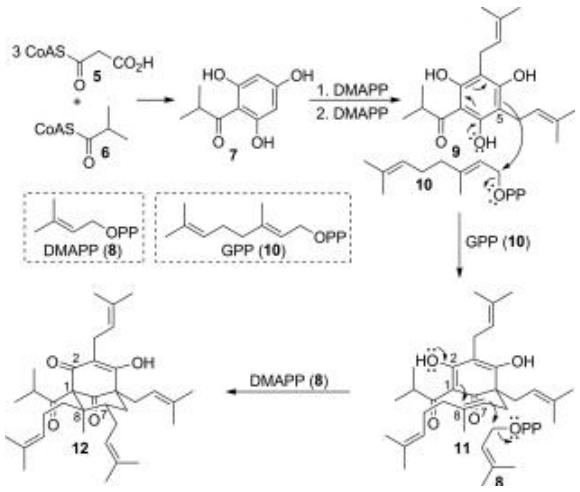
Citation: Richard, J.-A. *Eur. J. Org. Chem.,* **2014,** *2,* 273-299.

Chemistry and Biology of the Polycyclic Polyprenylated Acylphloroglucinol Hyperforin

Proposed biosynthesis of (+)-Hyperforin, a natural product with antidepressant properties



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OM
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Hybrid
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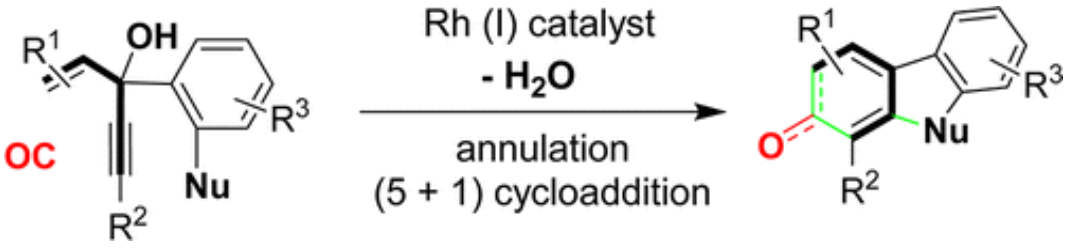
Prostratin

Citation: Li, X.; Song, W.; Tang, W. *J. Am. Chem. Soc.*, **2013**, *135* (45), 16797-16800.

Rhodium-Catalyzed Tandem Annulation and (5 + 1) Cycloaddition: 3-Hydroxy-1,4-enyne as the 5-Carbon Component



bioorganic
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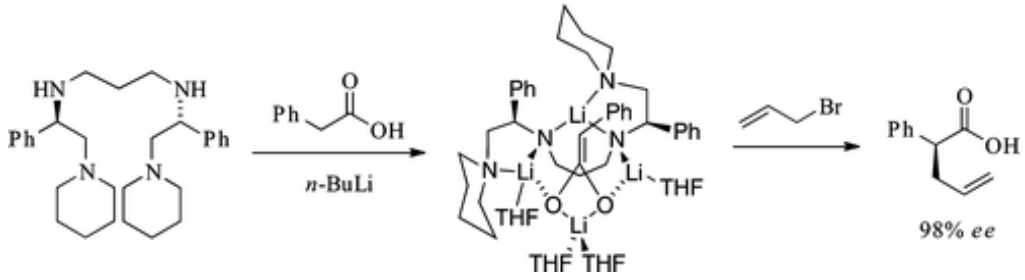
Prostratin

Citation: Ma, Y.; Stivala, C.E.; Wright, A.M.; Hayton, T.; Liang, J.; Keresztes, I.; Lobkovsky, E.; Collum, D.B.; Zakarian, A. *J. Am. Chem. Soc.*, **2013**, *135* (45), 16853-16864.

Enediolate-Dilithium Amide Mixed Aggregates in the Enantioselective Alkylation of Arylacetic Acids: Structural Studies and a Stereochemical Model



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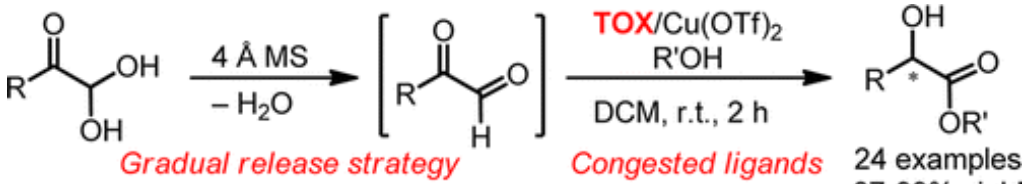
Citation: Wang, P.; Tao, W.-J.; Sun, X.-L.; Liao, S.; Tang, Y. *J. Am. Chem. Soc.*, **2013**, *135* (45), 16849-16852.

A Highly Efficient and Enantioselective Intramolecular Cannizzaro Reaction under TOX/Cu(II) Catalysis



Gradual release strategy *Congested ligands*

24 examples
87-99% yield
90-98% ee

- High efficiency and enantioselectivity
- Compatible with both aryl- and alkylglyoxals

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OM
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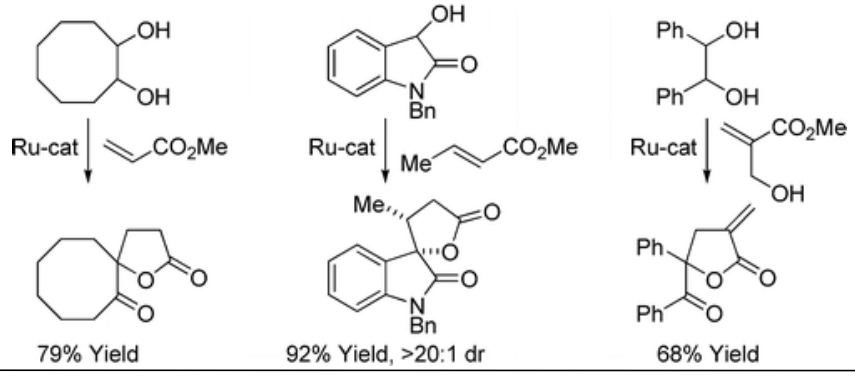
Prostratin

Citation: McInturff, E.L.; Mowat, J.; Waldeck, A.R.; Krische, M.J. *J. Am. Chem. Soc.*, **2013**, *135* (45), 17230-17235.

Ruthenium-Catalyzed Hydrohydroxyalkylation of Acrylates with Diols and α-Hydroxycarbonyl Compounds to form Spiro- and α-Methylene-γ-butyrolactones



bioorganic
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OM
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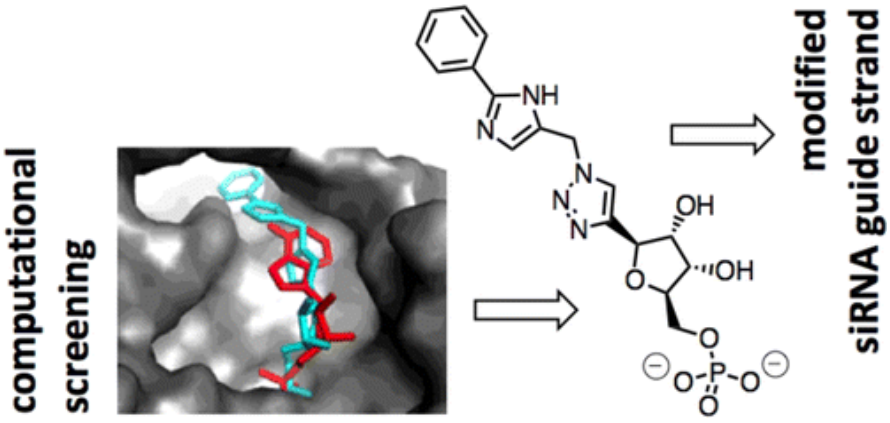
Prostratin

Citation: Onizuka, K.; Harrison, J.G.; Ball-Jones, A.A.; Ibarra-Soza, J.M.; Zheng, Y.; Ly, D.; Lam, W.; Mac, S.; Tantillo, D.J.; Beal, P.A. *J. Am. Chem. Soc.*, **2013**, *135* (45), 17069-17077.

Short Interfering RNA Guide Strand Modifiers from Computational Screening



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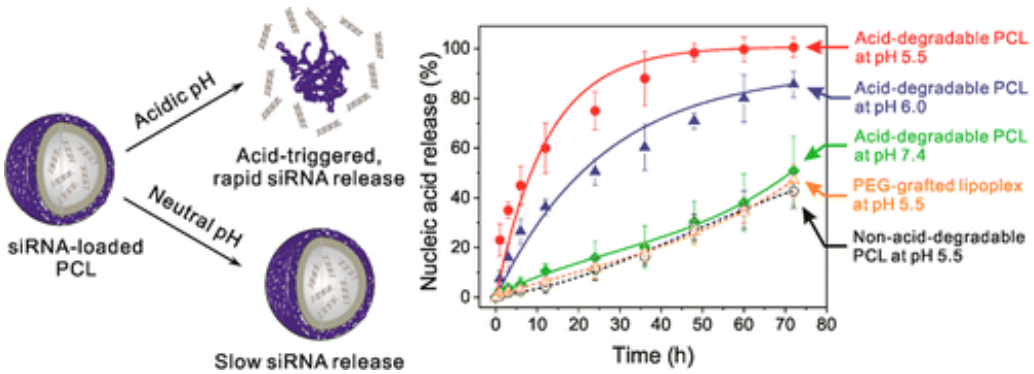
Prostratin

Citation: Hong, B.J.; Chipre, A.J.; Nguyen, S.T. *J. Am. Chem. Soc.*, **2013**, *135* (47), 17655-17658.

Acid-Degradable Polymer-Caged Lipoplex (PCL) Platform for siRNA Delivery: Facile Cellular Triggered Release of siRNA



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DDO
Hybrid
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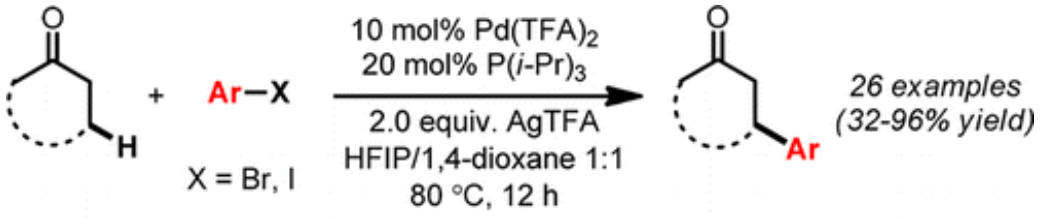
Prostratin

Citation: Huang, Z.; Dong, G. *J. Am. Chem. Soc.*, **2013**, *135* (47), 17747-7750.

Catalytic Direct β-Arylation of Simple Ketones with Aryl Iodides



* ***complete site-selectivity at the β-C–H bond***
* ***starting material: simple ketones & aryl halides***
* ***scalable and high functional group compatibility***

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Hybrid
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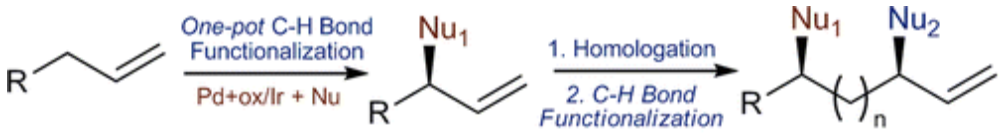
Prostratin

Citation: Sharma, A.; Hartwig, J.F. *J. Am. Chem. Soc.*, **2013**, *135* (47), 17983-17989.

Enantioselective Functionalization of Allylic C-H Bonds Following a Strategy of Functionalization and Diversification



50-81% yield
up to 97% *ee*

up to 18:1 *d.r.*
up to 99% *ee*

Nu1 and Nu2 = C, O, N, or S nucleophile

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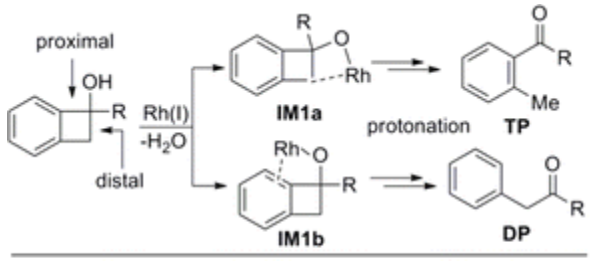
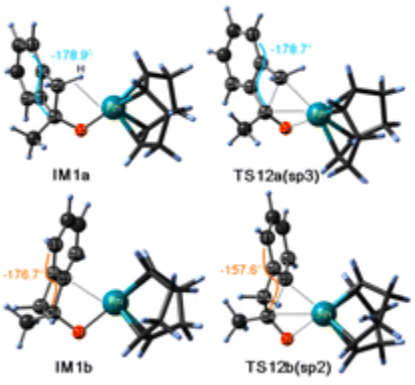
Hybrid
Drug Deliv.
Prostratin

Citation: Ding, L.; Ishida, N.; Murakami, M.; Morokuma, K. *J. Am. Chem. Soc.*, **2014**, *136* (1), 169-178.

sp^3–sp^2 vs sp^3–sp^3 C–C Site Selectivity in Rh-Catalyzed Ring Opening of Benzocyclobutenol: A DFT Study



	ΔG	ΔDEF(Cat, Sub)	ΔINT
IM1a - IM1b	4.93	-0.13(-0.05, 0.09)	5.07
TS12a(sp3) - TS12b(sp2)	2.46	-4.22(-0.17, -4.06)	6.68



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OM
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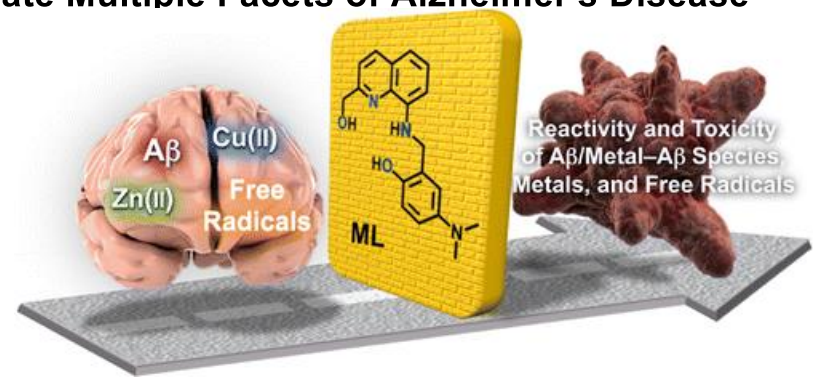
Citation: Lee, S.; Zheng, X.; Krishnamoorthy, J.; Savelieff, M.G.; Park, H.M.; Brender, J.R.; Kim, J.H.; Derrick, J.S.; Kochi, A.; Lee, H.J.; Kim, C.; Ramamoorthy, A.; Bowers, M.T.; Lim, M.H. *J. Am. Chem. Soc.*, **2014**, *136* (1), 299-310.

Rational Design of a Structural Framework with Potential Use to Develop Chemical Reagents That Target and Modulate Multiple Facets of Alzheimer's Disease



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OM
Bryo
DDO
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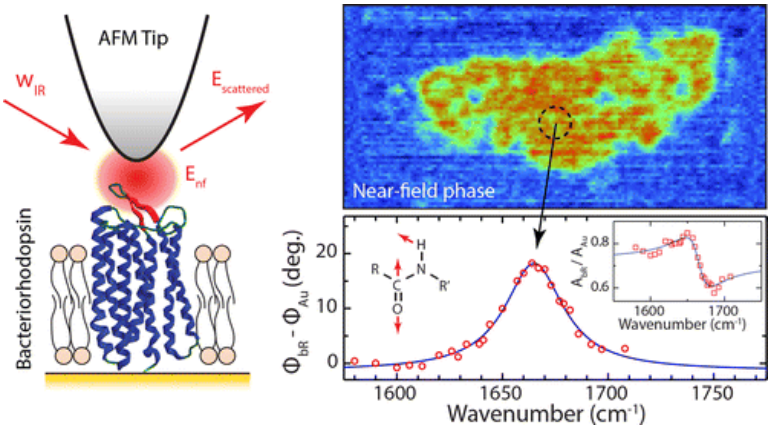
Prostratin

Citation: Berweger, S.; Nguyen, D.M.; Muller, E.A.; Bechtel, H.A.; Perkins, T.T.; Raschke, M.B. *J. Am. Chem. Soc.*, **2013**, *135* (49), 18292-18295.

Nano-Chemical Infrared Imagining of Membrane Proteins in Lipid Bilayers



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OM
Bryo
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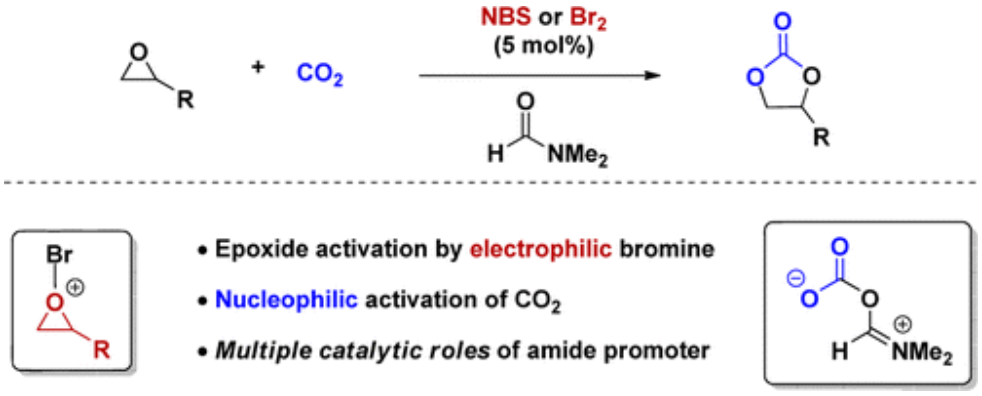
Prostratin

Citation: Kozak, J.A.; Wu, J.; Su, X.; Simeon, F.; Hatton, T.A.; Jamison, T.F. *J. Am. Chem. Soc.*, **2013**, *135* (49), 18497-18501.

Bromine-Catalyzed Conversion of CO_2 and Epoxides to Cyclic Carbonates under Continuous Flow Conditions



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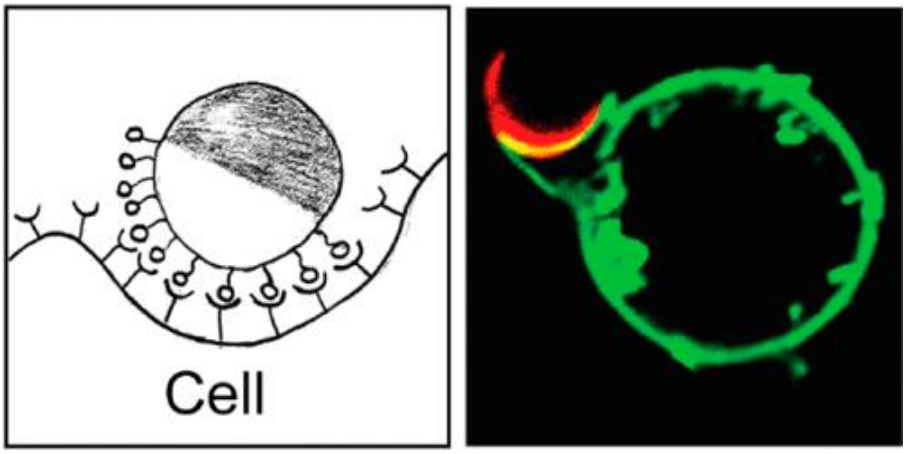
Citation: Gao, Y.; Yu, Y. *J. Am. Chem. Soc.*, **2013**, *135* (51), 19091-19094.

How Half-Coated Janus Particles Enter Cells



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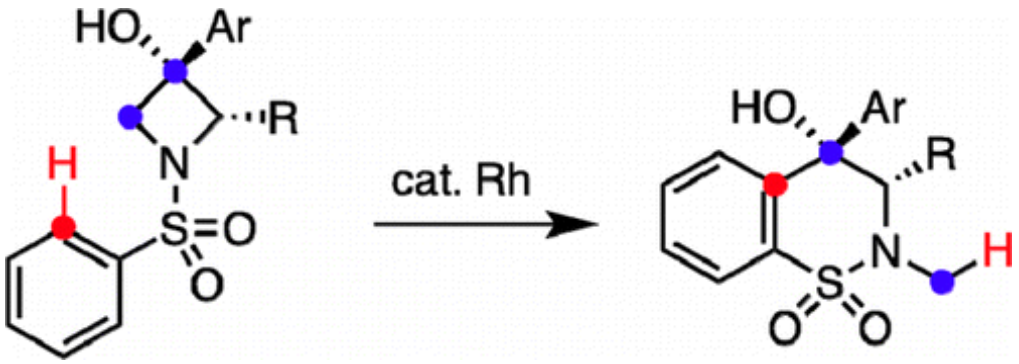
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ishida, N.; Shimamoto, Y.; Yano, T.; Murakami, M. *J. Am. Chem. Soc.*, **2013**, *135* (51), 19103-19106.

1,5-Rhodium Shift in Rearrangement of *N*-Arensulfonylazetidin-3-ols into Benzosultams



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

Citation: Qian, H. et al. J. Am. Chem. Soc., 2013, 135 (48), pp 18020–18023

Organocatalytic Enantioselective Synthesis of 2,3-Allenoates by Intermolecular Addition of Nitroalkanes to Activated Enynes

yield up to 95%
ee up to 97%

bioorganic
methods
synthesis
mechanism
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other

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Bryo
DDO
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Prostratin

Citation: Rigoli, J. W. et al. J. Am. Chem. Soc., 2013, 135 (46), pp 17238–17241

Tunable, Chemoselective Amination via Silver Catalysis

bioorganic
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Hybrid
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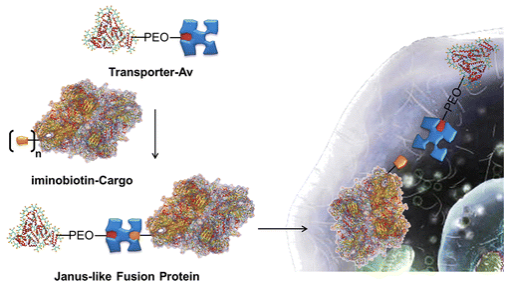
Prostratin

Citation: Kuan, A. L. et al. J. Am. Chem. Soc., 2013, 135 (46), pp 17254–17257

pH Responsive Janus-like Supramolecular Fusion Proteins for Functional Protein Delivery



A facile, noncovalent solid-phase immobilization platform is described to assemble Janus-like supramolecular fusion proteins that are responsive to external stimuli. The derived heterofusion proteins are able to cross cellular membranes, dissociate at acidic pH, and preserve the enzymetic activity of the cargo proteins and enzymetic subunits.

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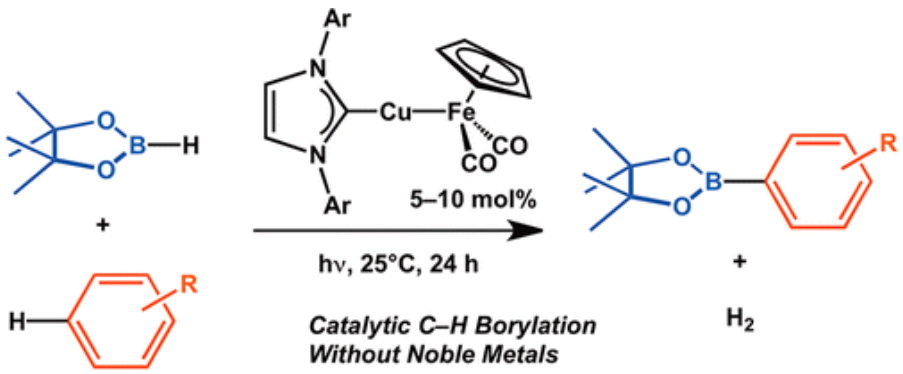
Prostratin

Citation: Mazzacano, T. J. et al. J. Am. Chem. Soc., 2013, 135 (46), pp 17258–17261

Base Metal Catalysts for Photochemical C–H Borylation That Utilize Metal–Metal Cooperativity



Catalytic C–H Borylation Without Noble Metals

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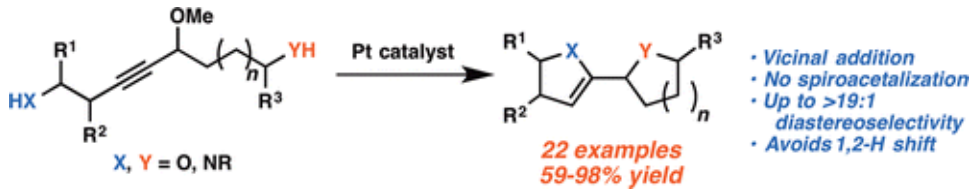
Citation: Allegretti, P. A. et al. J. Am. Chem. Soc., 2013, 135 (46), pp 17266–17269

Vicinal Bisheterocyclizations of Alkynes via Nucleophilic Interception of a Catalytic Platinum Carbene



bioorganic
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mechanism
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other

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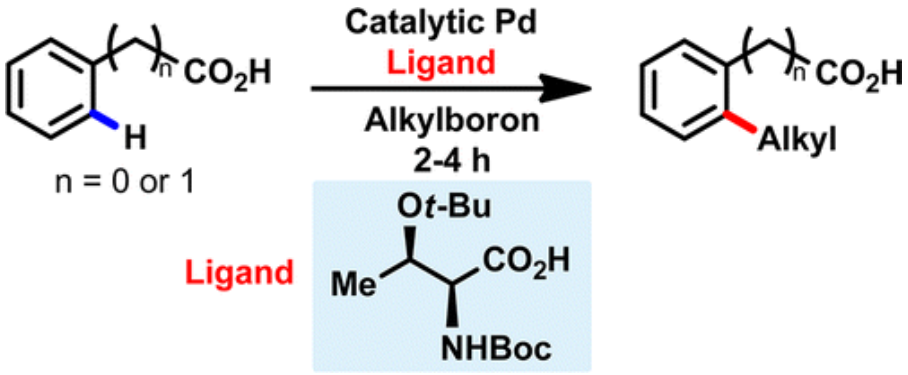
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Thuy-Boun, P. S et al. J. Am. Chem. Soc., 2013, 135 (46), pp 17508–17513

Ligand-Accelerated ortho-C–H Alkylation of Arylcarboxylic Acids using Alkyl Boron Reagents



bioorganic
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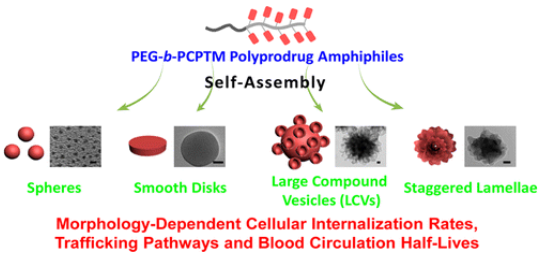
Prostratin

Citation: Hu, X. et al. J. Am. Chem. Soc., 2013, 135 (46), pp 17617–17629

Polyprodrug Amphiphiles: Hierarchical Assemblies for Shape-Regulated Cellular Internalization, Trafficking, and Drug Delivery



PEG-b-PCPTM polyprodrug amphiphiles, where PEG is poly(ethylene glycol) and PCPTM is polymerized block of reduction-cleavable camptothecin (CPT) prodrug monomer, with >50 wt % CPT loading content can self-assemble into four types of uniform nanostructures including spheres, large compound vesicles, smooth disks, and unprecedented staggered lamellae with spiked periphery. Staggered lamellae outperform the other three nanostructure types, exhibiting extended blood circulation duration, the fastest cellular uptake, and unique internalization pathways.

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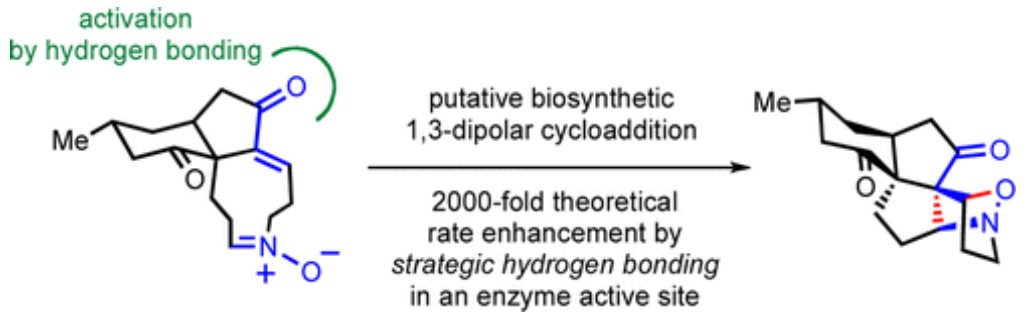
Citation: Krenske, E. H. et al. J. Am. Chem. Soc., 2013, 135 (46), pp 17638–17642

Does Nature Click? Theoretical Prediction of an Enzyme-Catalyzed Transannular 1,3-Dipolar Cycloaddition in the Biosynthesis of Lycojaponicumins A and B



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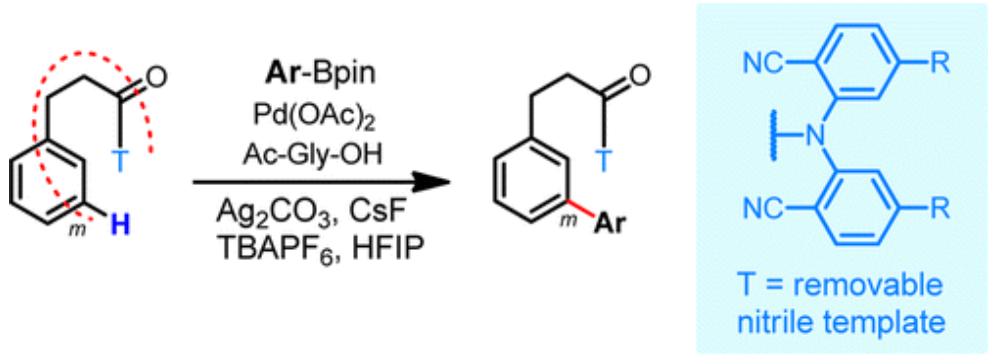
Citation: Wan, L. et al. J. Am. Chem. Soc., 2013, 135 (48), pp 18056–18059

Cross-Coupling of Remote meta-C–H Bonds Directed by a U-Shaped Template



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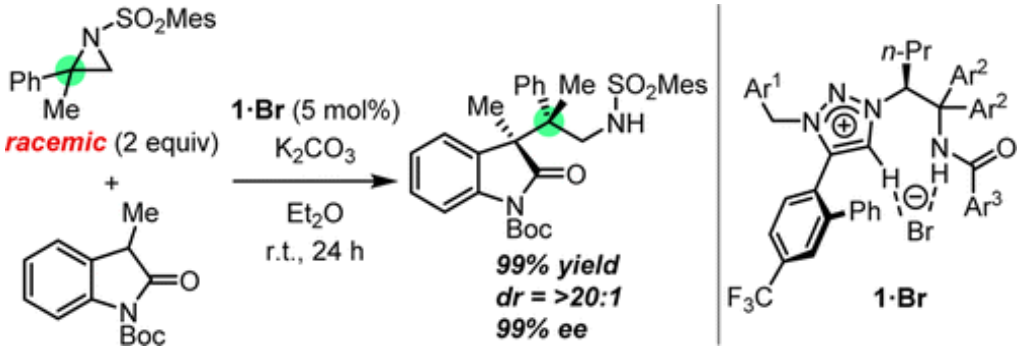
Citation: Ohmatsu, K. et al. J. Am. Chem. Soc., 2013, 135 (50), pp 18706–18709

Asymmetric Substitution at the Tetrasubstituted Chiral Carbon: Catalytic Ring-Opening Alkylation of Racemic 2,2-Disubstituted Aziridines with 3-Substituted Oxindoles



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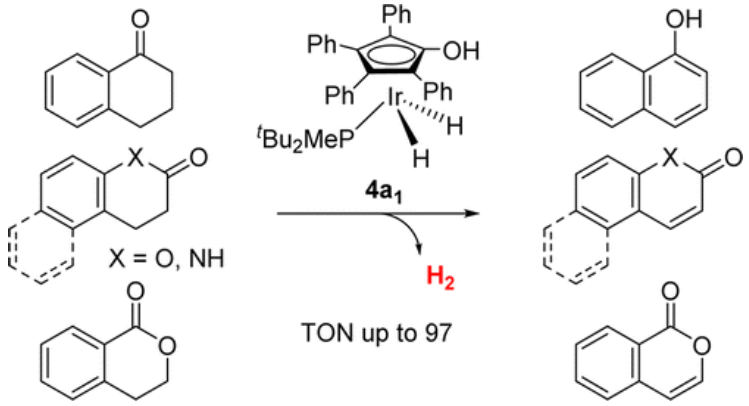
Citation: Kusumoto, S. et al. J. Am. Chem. Soc., 2013, 135 (50), pp 18726–18729

Acceptorless Dehydrogenation of C–C Single Bonds Adjacent to Functional Groups by Metal–Ligand Cooperation



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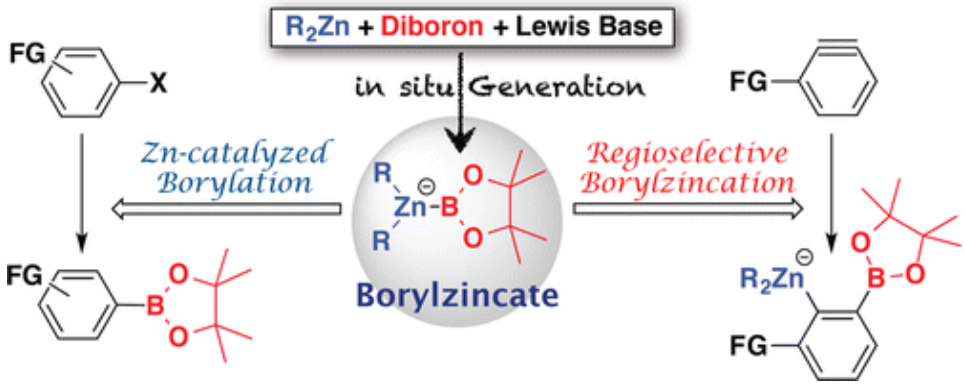
Citation: Nagashima, Y. et al. J. Am. Chem. Soc., 2013, 135 (50), pp 18730–18733

Design, Generation, and Synthetic Application of Borylzincate: Borylation of Aryl Halides and Borylzincation of Benzynes/Terminal Alkyne



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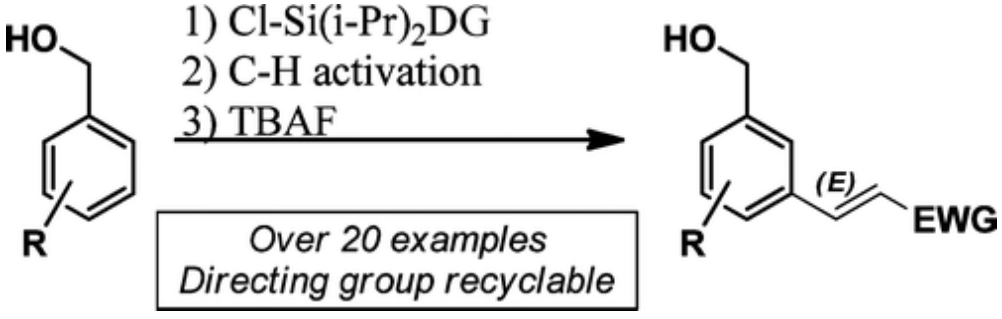
Citation: Lee, S. et al. J. Am. Chem. Soc., 2013, 135 (50), pp 18778–18781

Meta-Selective C–H Functionalization Using a Nitrile-Based Directing Group and Cleavable Si-Tether



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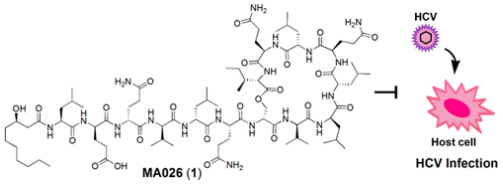
Prostratin

Citation: Shimura, S. et al. J. Am. Chem. Soc., 2013, 135 (50), pp 18949–18956

Total Synthesis and Anti-Hepatitis C Virus Activity of MA026



The first total synthesis of MA026 and the identification of its candidate target protein for anti-hepatitis C virus activity are presented. MA026, a novel lipocyclodepsipeptide isolated from the fermentation broth of Pseudomonas sp. RtIB026, consists of a cyclodepsipeptide, a chain peptide, and an N-terminal (R)-3-hydroxydecanoic acid.An infectious hepatitis C virus (HCV) cell culture assay revealed that MA026 suppresses HCV infection into host hepatocytes by inhibiting the entry process in a dose-dependent manner. Phage display screening followed by surface plasmon resonance (SPR) binding analyses identified claudin-1, an HCV entry receptor, as a candidate target protein of MA026.

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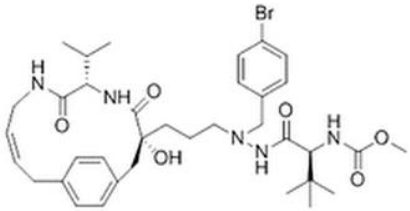
Citation: Joshi, A; *et al.*. *J. Med. Chem.* **2013**, *56* (22), 8999.

Design and Synthesis of P1–P3 Macrocyclic Tertiary-Alcohol-Comprising HIV-1 Protease Inhibitors



EC_{50} = 0.37 µM ; K_i = 3.1 nM
CC_{50} >50 µM

To study P1–P3 macrocyclizations of previously reported tertiary-alcohol-comprising HIV-1 protease inhibitors (PIs), three new 14- and 15-member macrocyclic PIs were designed and evaluated alongside with 10 novel linear PIs. Cocrystallized complexes of the macrocyclic PIs and the HIV-1 protease are presented. The macrocyclic structures exhibited higher activities than the linear precursors with Ki and EC50 values down to 3.1 nM and 0.37 µM, respectively.

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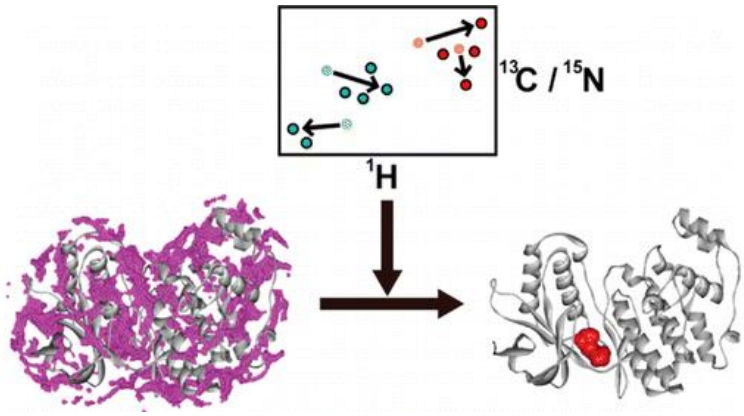
Citation: Kodama, Y.; *et al. J. Med. Chem.* **2013**, *56* (22), 9342.

Rapid Identification of Ligand-Binding Sites by Using an Assignment-Free NMR Approach



The feasibility of this new approach was demonstrated by using structurally well-characterized interactions between mitogen-activated protein (MAP) kinase p38a and its inhibitor 2-amino-3-benzyloxypyridine. Furthermore, the fatty acid-binding site in the MAP kinase insert region was confirmed.

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Citation: Stumpfe, D.; Hu, Y.; Dimova, D.; Bajorath, J. *J. Med. Chem.* **2014**, *57* (1), 18.

Recent Progress in Understanding Activity Cliffs and Their Utility in Medicinal Chemistry

Recent studies are discussed, including alternative approaches to define and classify activity cliffs in two and three dimensions, data mining investigations to systematically detect all possible activity cliffs, the introduction of computational methods to predict activity cliffs, and studies designed to explore activity cliff progression in medicinal chemistry. The discussion of these studies is complemented with new findings revealing the frequency of activity cliff formation when different molecular representations are used and the distribution of activity cliffs across different targets.

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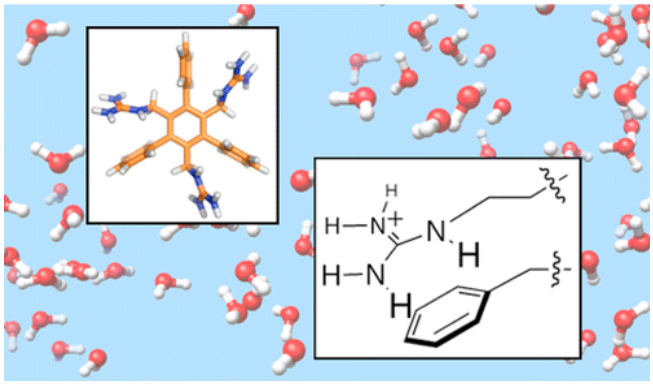
Citation: Wang, X.; Post, J.; Hore, D.K; Hof, F. *JOC,* **2014**, *79,* 34-40.

Minimalist Synthetic Host with Stacked guanidinium Ions Mimics the Weakened Hydration Shells of Protein-protein Interaction Interfaces

Not directly applicable to our research; however, there is some interesting research here with regards to the importance to guan-pi interactions.



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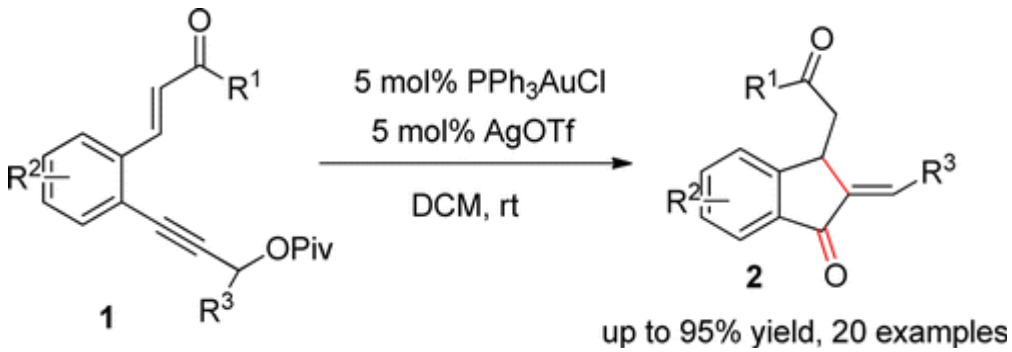
Citation: Wang, L.-J.; *et al*. *JOC,* **2014**, *79*, 204-212.

Gold-Catalyzed Tandem [3,3]-Propargyl Ester Rearrangement Leading to (E)-1H-Inden-1-ones



Fairly self-explanatory. Interesting mechanism.

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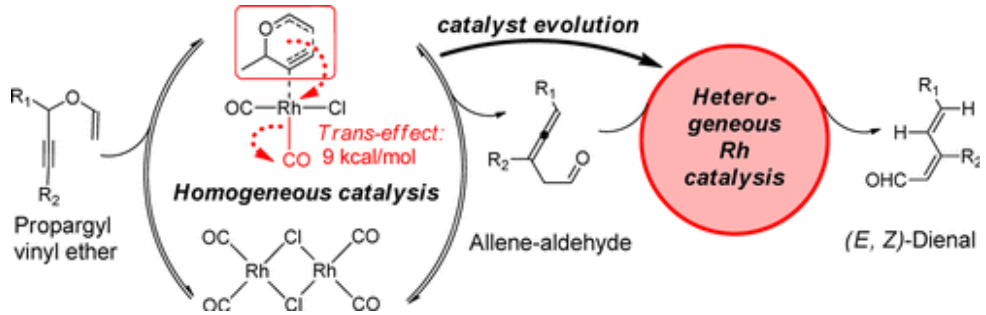
Citation: Vidhani, D.V.; Krafft, M.E.; Alabugin, I.V. *JOC,* **2014**, *79*, 352-364.

Rh(I)-Catalyzed Transformation of Propargyl Vinyl Ethers into (E,Z)-Dienals: Stereoelectronic Role of trans Effect in a Metal-Mediated Pericyclic Process and a Shift from Homogeneous to Heterogeneous Catalysis During a One-Pot Reaction



Applicable to the research in the OM subgroup. Particularly interesting for the prospect of trying to do [5+2]/Claisen reaction which may prove unsuccessful given the decomposition properties of propargyl vinyl ethers in the presence of Rh(I)

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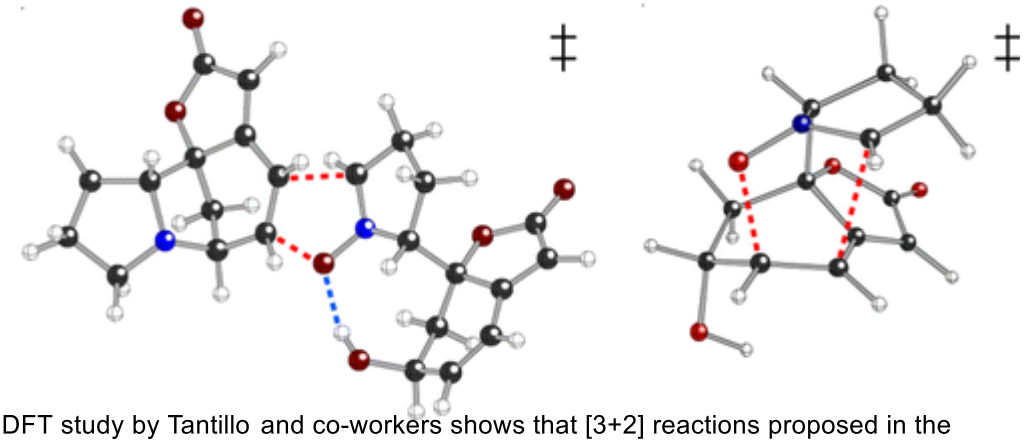
Citation: Painter, P.P.; *et al*. *JOC,* **2014**, *79,* 432-435.

The Viability of Nitrone-Alkene (3 + 2) Cycloadditions in Alkaloid Biosynthesis



DFT study by Tantillo and co-workers shows that [3+2] reactions proposed in the biosynthesis of certain alkaloids is possible without enzymatic intervention.

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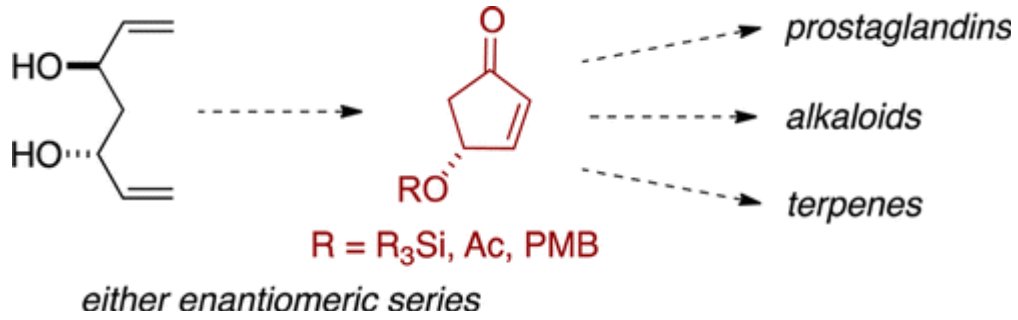
Citation: Singh, G.; Meyer, A.; Aube, J. *JOC,* **2014**, *79*, 452-458.

Stereodivergent Synthesis of Enantioenriched 4-Hydroxy-2-cyclopentenones



A rather robust approach is demonstrated. Its application to numerous natural products is noted. The paper is much more impressive with these applications mentioned.

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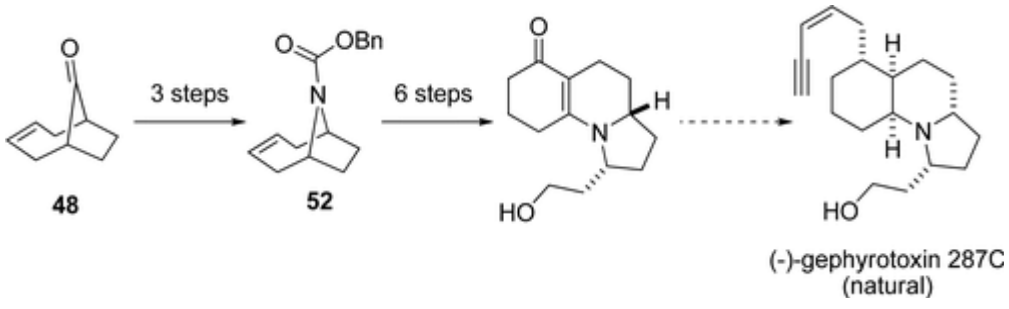
Citation: Pichette, S.; Winter, D.K.; Lessard, J.; Spino, C. *JOC,* **2013**, *78*, 12532-12544.

Converting Cycloalkanones into N-Heterocycles: Formal Synthesis of (-)-Gephyrotoxin 287C



There are a number of interesting (read: weird and low yielding) reactions in this synthetic scheme including two separate photolyses of *N*-chloro amides in the presence of benzyl protected alcohols to yield the corresponding ring-contracted carbamates.

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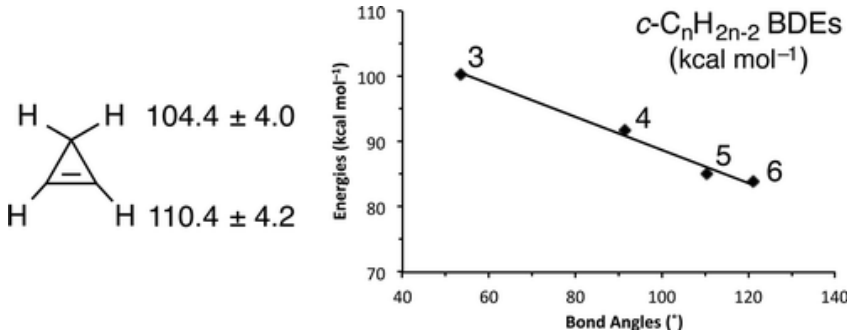
Citation: Tian, Z.; Lis, L.; Kass, S.R. *JOC,* **2013**, *78*, 12650-12653.

Carbon–Hydrogen Bond Dissociation Energies: The Curious Case of Cyclopropene



Findings show that the BDE for cyclopropene C-H bonds are all very similar which is in part due to the unusual strain associated with cyclopropene.

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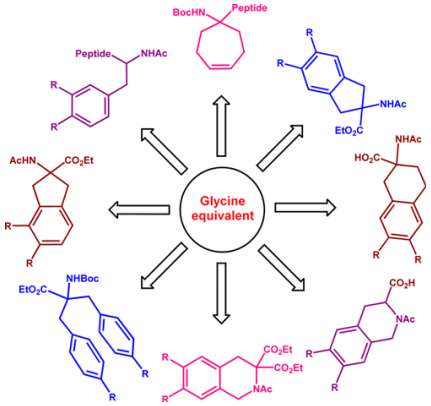
Citation: Kotha, S.; Goyal, D.; Chavan, A.S. *JOC,* **2013**, *78*, 12288-12313.

Diversity-Oriented Approaches to Unusual a-Amino Acids and Peptides: Step Economy, Atom Economy, Redox Economy, and Beyond

This paper only cites 2 Wender papers, 0 Trost papers, and 0 Baran papers (despite the buzzword ridden title). Furthermore, the intro begins as follows:

"Peptides and proteins play an important role in various biological processes. They are employed as natural messengers of living systems. Therefore, they can be useful as therapeutic agents with fewer side effects."



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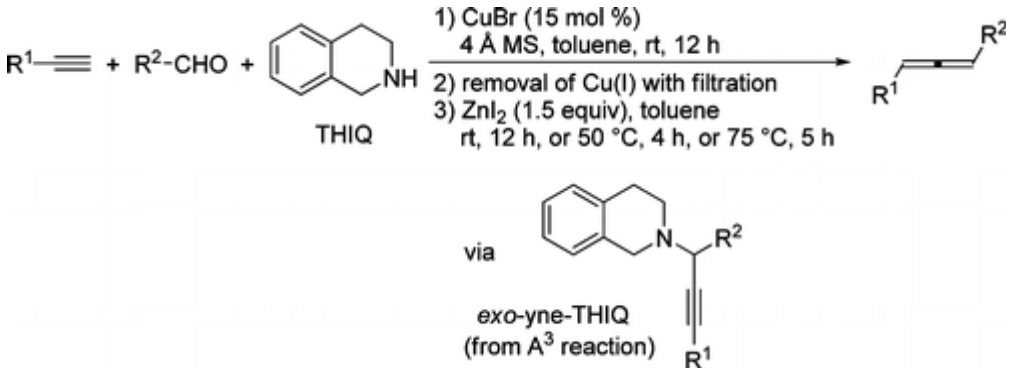
Citation: Jiang, G.-J. *et al*. *JOC,* **2013**, *78*, 11783-11793.

Mild-Condition Synthesis of Allenes from Alkynes and Aldehydes Mediated by Tetrahydroisoquinoline (THIQ)



High yields. Looks like a relatively robust system.

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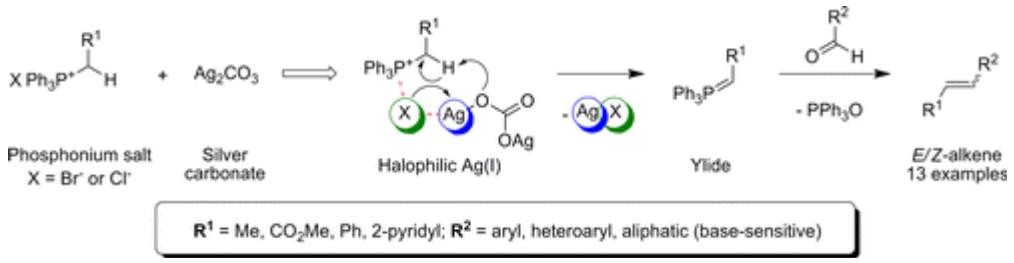
Prostratin

Citation: Jedinak, L.; *et al*. *JOC*. **2013**, *78*, 12224-12228.

Use of Silver Carbonate in the Wittig Reaction



Surprised this hasn't been tried / done before. Yields are high and this looks like a robust method. Paper comes out of Notre Dame. Insert derogatory Notre Dame Football comment here.

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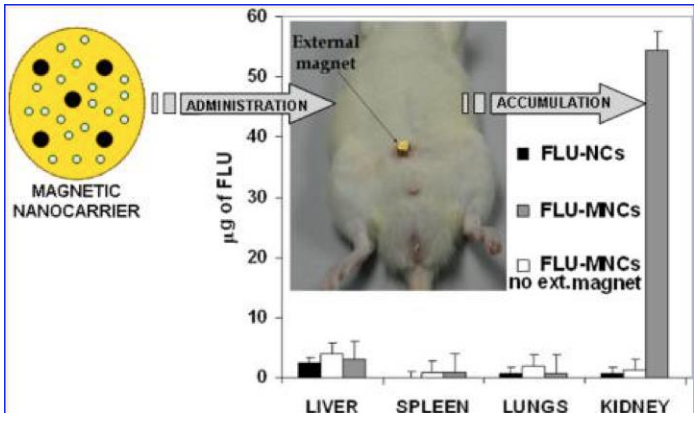
Citation: Mol. Pharmaceutics 2013, 10, 4397-4407

Polymeric Nanocarriers for Magnetic Targeted Drug Delivery: Preparation, Characterization, and in Vitro and in Vivo Evaluation



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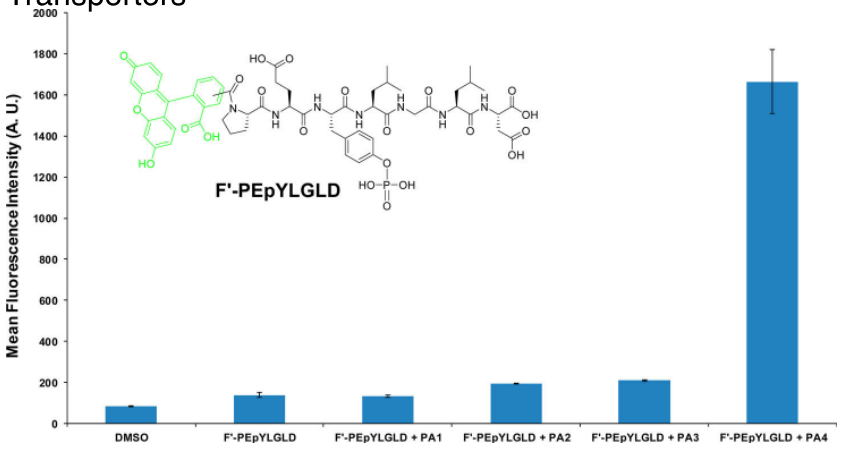
Citation: Mol. Pharmaceutics 2013, 10, 4717-4727

Peptide Amphiphile Containing Arginine and Fatty Acyl Chains as Molecular Transporters



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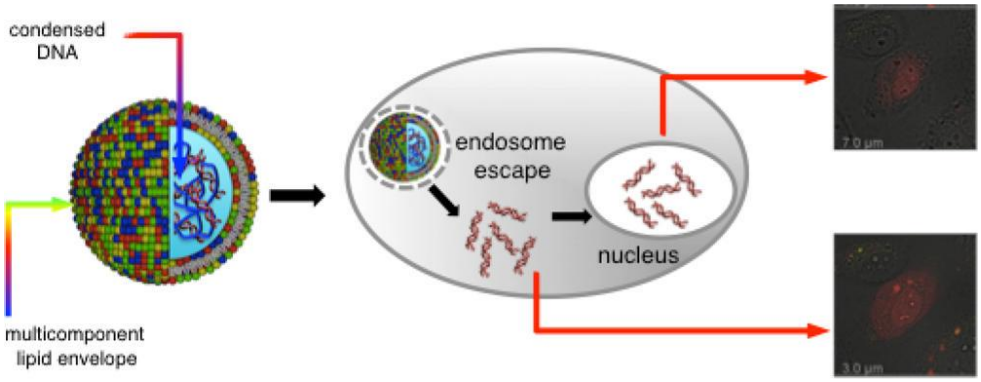
Citation: Mol. Pharmaceutics 2013, 10, 4654-4665

Mechanistic Understanding of Gene Delivery Mediated by Highly Efficient Multicomponent Envelope-Type Nanoparticle Systems



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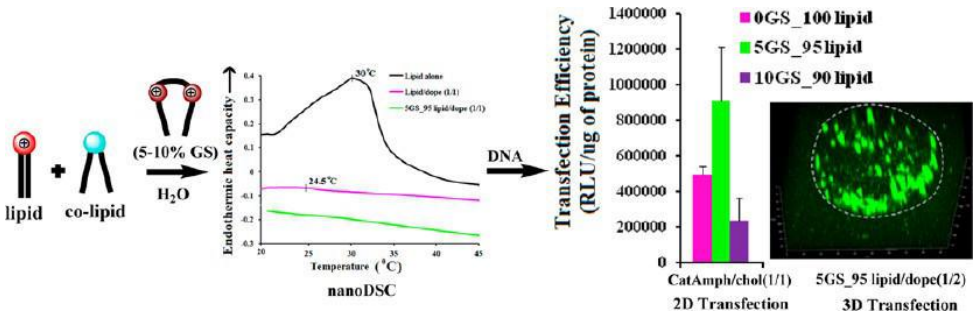
Citation: Mol. Pharmaceutics ASAP

Modulation of Pyridinium Cationic Lipid,àíDNA Complex Properties by Pyridinium Gemini Surfactants and Its Impact on Lipoplex Transfection Properties



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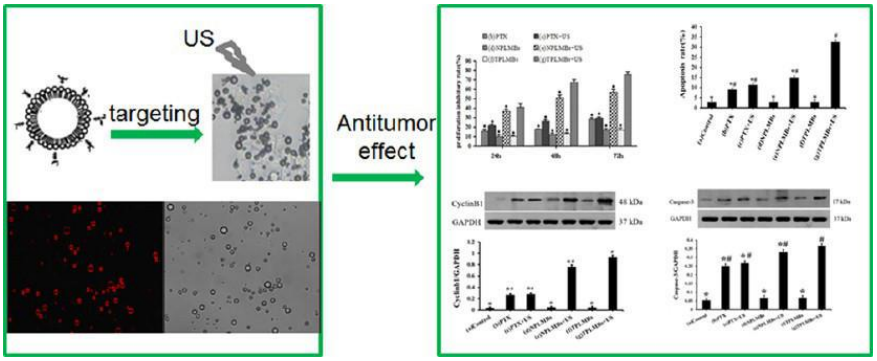
Citation: Mol. Pharmaceutics 2014, 11, 40,-48

Ultrasound-Mediated Destruction of LHRHa-Targeted and Paclitaxel-Loaded Lipid Microbubbles Induces Proliferation Inhibition and Apoptosis in Ovarian Cancer Cells



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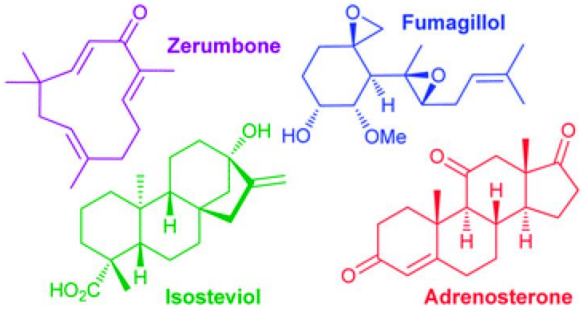
Citation: Morisson.; et al. *Nat. Prod. Rep.* 31 (2014) 6-14

Natural products as starting points for the synthesis of complex and diverse compounds



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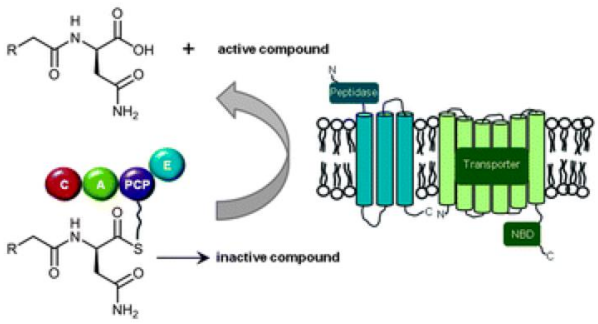
Citation: Reimer.; et al. *Nat. Prod. Rep.,* Advanced Article 2014, DOI: 10.1039/c3np70081j

A natural prodrug activation mechanism in the biosynthesis of nonribosomal peptides



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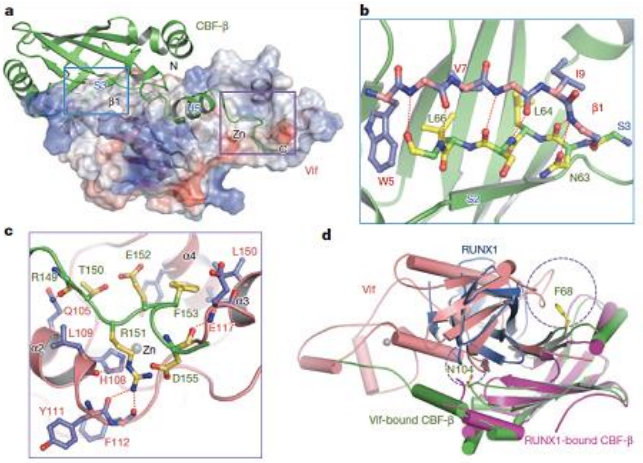
Citation: Guo, Y. et al. *Nature*. **2014**, *505*, 229.

Structural basis for hijacking CBF-β and CUL5 E3 ligase complex by HIV-1 Vif



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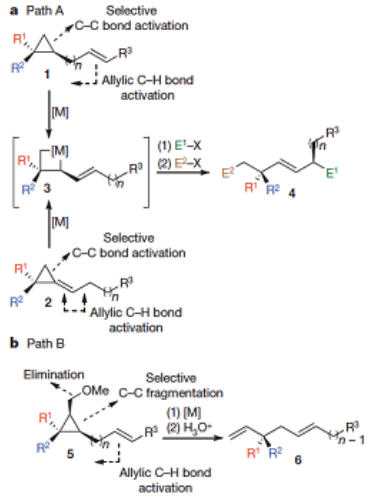
Citation: Masarwa, A. et al. *Nature*. **2014**, *505*, 199.



Merging allylic carbon–hydrogen and selective carbon–carbon bond activation

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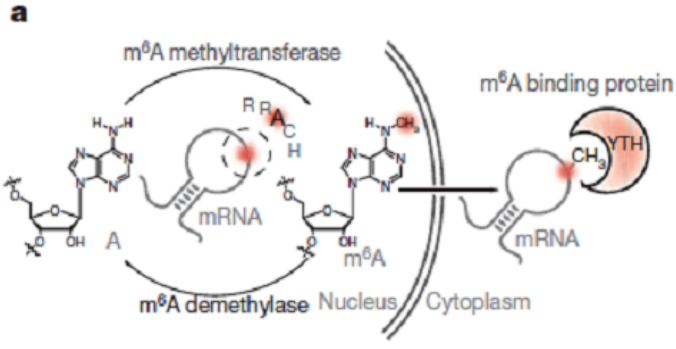
Prostratin

Citation: Wang, X. et al. *Nature*. **2014**, *505*, 117.

N⁶-methyladenosine-dependent regulation of messenger RNA stability

Here we show that m⁶A is selectively recognized by the human YTH domain family 2 (YTHDF2) 'reader' protein to regulate mRNA degradation. We identified over 3,000 cellular RNA targets of YTHDF2, most of which are mRNAs, but which also include non-coding RNAs, with a conserved core motif of G(m⁶A)C



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Citation: Williams, M.C.; et al. Nature Chemistry 6, 28–33 (2014)

Oligomerization transforms human APOBEC3G from an efficient enzyme to a slowly dissociating nucleic acid-binding protein

The human APOBEC3 proteins are a family of DNA-editing enzymes that play an important role in the innate immune response against retroviruses and retrotransposons. APOBEC3G is a member of this family that inhibits HIV-1 replication in the absence of the viral infectivity factor Vif. Inhibition of HIV replication occurs by both deamination of viral single-stranded DNA and a deamination-independent mechanism. Efficient deamination requires rapid binding to and dissociation from ssDNA. However, a relatively slow dissociation rate is required for the proposed deaminase-independent roadblock mechanism in which APOBEC3G binds the viral template strand and blocks reverse transcriptase-catalysed DNA elongation. Here, we show that APOBEC3G initially binds ssDNA with rapid on–off rates and subsequently converts to a slowly dissociating mode. In contrast, an oligomerization-deficient APOBEC3G mutant did not exhibit a slow off rate. We propose that catalytically active monomers or dimers slowly oligomerize on the viral genome and inhibit reverse transcription.

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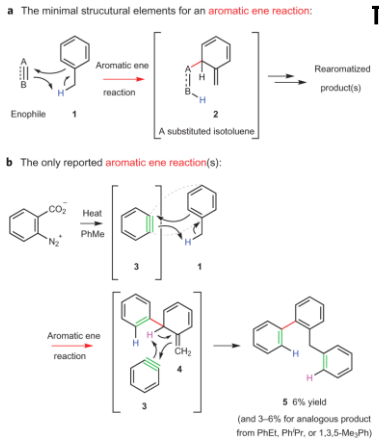
Citation: Niu, D.; Hoye, T.R. Nature Chemistry 6, 34–40 (2014)



The aromatic ene reaction

The aromatic ene reaction, in which the alkene component is embedded in an aromatic ring, has only been reported in a few (four) instances and has proceeded in low yield (=6%). Here, we show efficient aromatic ene reactions in which a thermally generated aryne intermediate engages a pendant m-alkylarene substituent to produce a dearomatized isotoluene, itself another versatile but rare reactive intermediate. Our experiments were guided by computational studies that revealed structural features conducive to the aromatic ene process. We proceeded to identify a cascade comprising three reactions: (1) hexadehydro-Diels–Alder (for aryne generation), (2) intramolecular aromatic ene and (3) bimolecular Alder ene.

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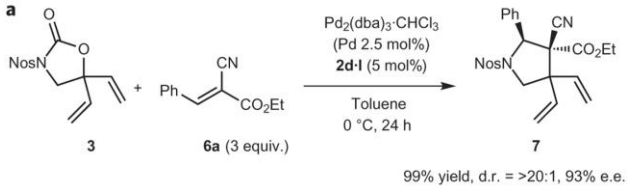
Citation: Ohmatsu, K.; Imagawa, N.; Ooi, T. Nature Chemistry 6, 47–51 (2014)

Ligand-enabled multiple absolute stereocontrol in metal-catalysed cycloaddition for construction of contiguous all-carbon quaternary stereocentres



Here, we report a highly enantio- and diastereoselective [3+2] annulation reaction of 5-vinyloxazolidinones and activated trisubstituted alkenes catalysed by a palladium complex bearing a newly devised phosphine ligand with a chiral ammonium salt component, which enables the single-step construction of three contiguous stereocentres, including vicinal all-carbon quaternary stereocentres, in a five-membered heterocyclic framework.

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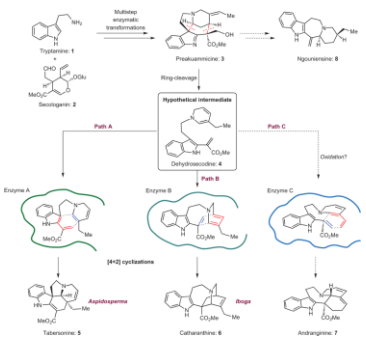
Citation: Mizoguchi, H.; Oikawa, H.; Oguri, H. Nature Chemistry 6, 57–64 (2014)

Biogenetically inspired synthesis and skeletal diversification of indole alkaloids



Biosynthetic assembly lines often employ divergent intramolecular cyclizations of a polyunsaturated common intermediate to produce diverse arrays of scaffolds. With the aim of integrating such biogenetic strategies, we show the development of an artificial divergent assembly line generating unprecedented numbers of scaffold variations of terpenoid indole alkaloids. Three distinct modes of [4+2] cyclizations and two types of redox-mediated annulations provided divergent access to five skeletally distinct scaffolds involving iboga-, aspidosperma-, andranginine- and ngouniensine-type skeletons and a non-natural variant within six to nine steps from tryptamine. The efficiency of our approach was demonstrated by successful total syntheses of (±)-vincadifformine, (±)-andranginine and (-)-catharanthine.

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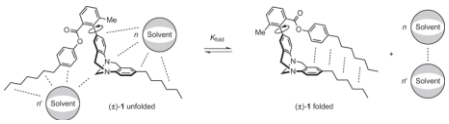
Citation: Yang, L.; Adam, C.; Nichol, G.S.; Cockroft, S.L. Nature Chemistry 5, 1006–1010 (2013)

How much do van der Waals dispersion forces contribute to molecular recognition in solution?



Here, we have used synthetic molecular balances to measure interactions between apolar alkyl chains in 31 organic, fluorous and aqueous solvent environments. The experimental interaction energies are an order of magnitude smaller than estimates of dispersion forces between alkyl chains that have been derived from vaporization enthalpies and dispersion-corrected calculations. Instead, it was found that cohesive solvent–solvent interactions are the major driving force behind apolar association in solution. The results suggest that theoretical models that implicate important roles for dispersion forces in molecular recognition events should be interpreted with caution in solvent-accessible systems.

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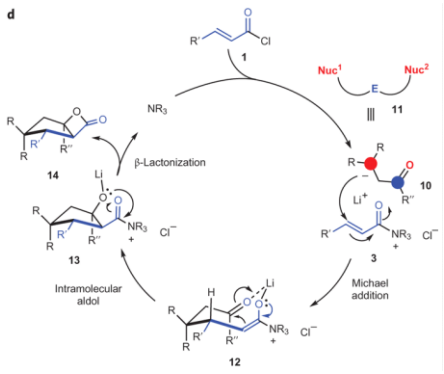
Citation:

Rapid assembly of complex cyclopentanes employing chiral, a,ß-unsaturated acylammonium intermediates



Here, we describe a Michael–aldol-ß-lactonization organocascade process for the synthesis of complex cyclopentanes utilizing chiral a,ß-unsaturated acylammonium intermediates, readily generated by activation of commodity unsaturated acid chlorides with chiral isothiourea catalysts.

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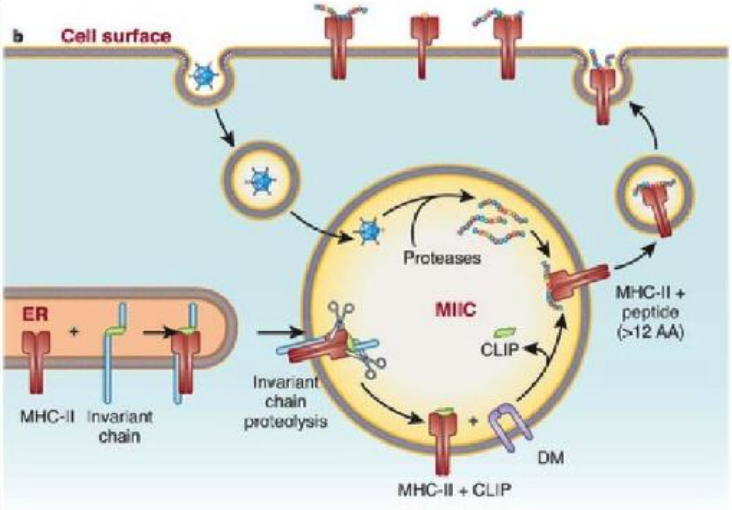
Citation: Neefjes, J.; Ovaa, H. *Nat. Chem. Bio.* **2013**, *9*, 769-775.

A peptide's perspective on antigen presentation to the immune system



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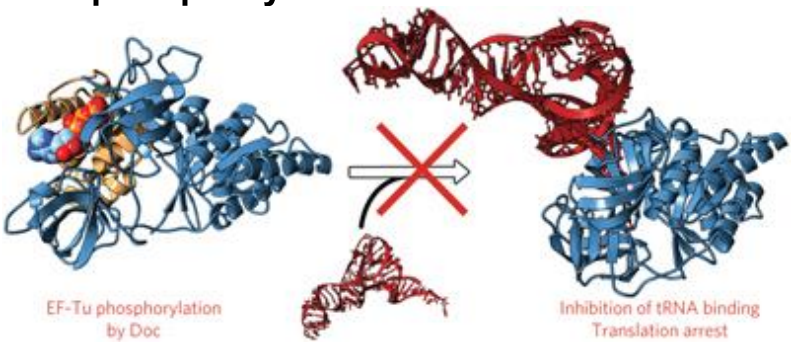
Citation: Castro-Roa; D.; et al. *Nat. Chem. Bio.* **2013**, *9*, 811-817.

The Fic protein Doc uses an inverted substrate to phosphorylate and inactivate EF-Tu



The **Doc-Phd toxin-antitoxin system** inhibits bacterial translation via an unknown mechanism. Functional and structural analyses now show that Doc, which has an active site like AMPylating Fic proteins, actually works as a kinase, phosphorylating EF-Tu to block translation.

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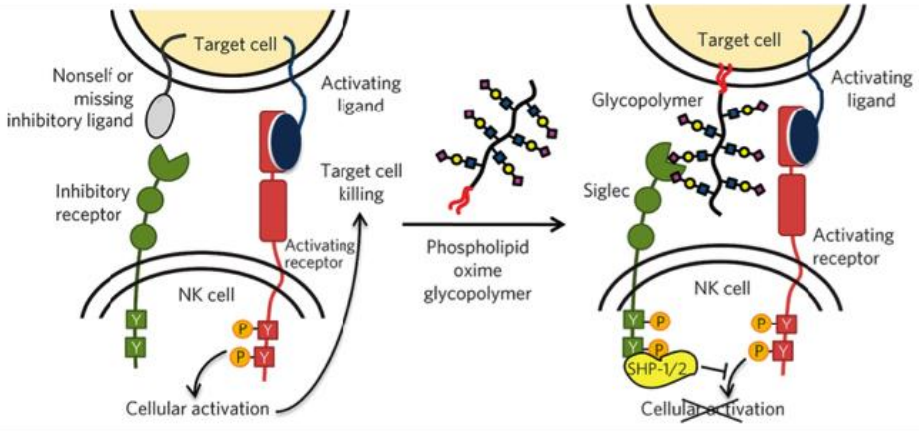
Citation: Hudak, J. E.; Canham, S. M.; Bertozzi, C. R. *Nat. Chem. Bio.* **2014**, *10*, 69-75.

Glycocalyx engineering reveals a Siglec-based mechanism for NK cell immunoevasion



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Citation: http://newoldage.blogs.nytimes.com/2013/12/31/alzheimers/?ref=research&_r=0

Vitamin E Slows Decline of Some Alzheimer's Patients in Study

A recent study suggests that vitamin E supplements may be beneficial for some Alzheimer's patients because it slowed the decline of people with mild to moderate Alzheimer's by about 6 months. While it did not delay cognitive or memory deterioration, but rather helped patients retain their ability to complete daily tasks.

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Citation: http://www.nytimes.com/2013/12/31/health/a-resisted-pill-to-prevent-hiv.html?ref=research

A Resisted Pill to Prevent H.I.V.

Truvada - a combination of two antiviral drugs that have been used to treat H.I.V. since 2004 - has been used to protect people from H.I.V. infection. In some circles, the idea that healthy gay men should take a medication to prevent infection has been met with hostility or indifference.

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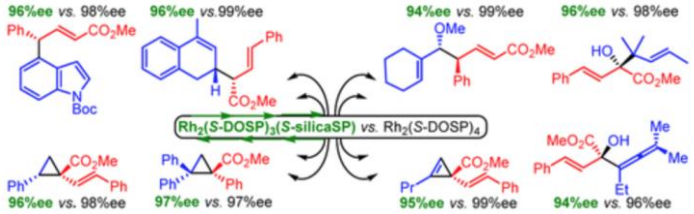
Citation: Chepiga, K; et al. *Org. Lett.* **2013**, 15 (24), 6136-6139.

Silica-Immobilized Chiral Dirhodium(II) Catalyst for Enantioselective Carbenoid Reactions



A silica-supported dirhodium(II) tetraprolinate catalyst was synthesized in four steps from l-proline and used in a range of enantioselective transformations of donor/acceptor carbenoids. These include cyclopropenation, cyclopropanation, tandem ylide formation/[2,3] sigmatropic rearrangement, and a variety of combined C–H functionalization/Cope rearrangement reactions. The products of these transformations were obtained in yields and levels of enantioselectivity comparable to those obtained with its homogeneous counterpart, Rh_2(*S*-DOSP)$_4$. The silica-supported Rh_2(*S*-DOSP)$_4$ derivative was successfully recycled over five reactions.

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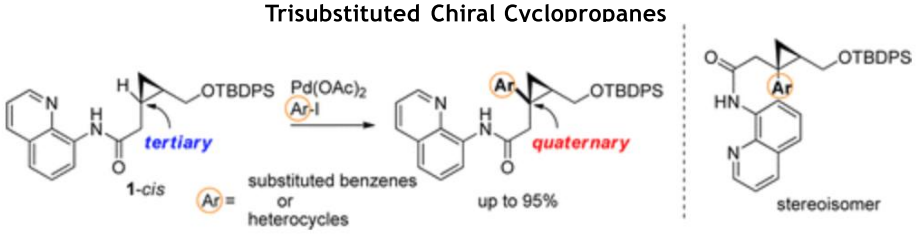
Citation: Hoshiya, N.; et al. *Org. Lett.* **2013**, 15 (24), 6202-6205.

Palladium-Catalyzed Arylation of Cyclopropanes via Directing Group-Mediated C(sp^3)-H Bond Activation To Construct Quaternary Carbon Centers: Synthesis of *cis*- and *trans*-1,1,2-Trisubstituted Chiral Cyclopropanes



Pd(II)-catalyzed tertiary C(sp^3)-H arylation of cyclopropanes via directing group-mediated C-H activation for the construction of a chiral quaternary carbon center on cyclopropanes using aryl iodides as a coupling partner is reported. The arylation had a wide substrate scope and good functional group tolerance, including heteroaryl iodides, to provide various chiral arylcyclopropanes with the *cis*- and *trans*-1,1,2-trisubstituted structures.

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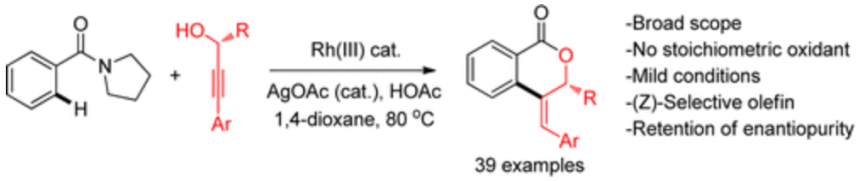
Citation: Wang, F.; et al. *Org. Lett.* **2013**, 15 (24), 6290-6293.

Rh(III)-Catalyzed Coupling of Benzamides with Propargyl Alcohols via Hydroarylation–Lactonization



Rh(III)-catalyzed C-H activation and annulation of 1-benzoylpyrrolidine with propargyl alcohols has been achieved for an efficient synthesis of (4-benzylidene)isochroman-1-one. Highly enantioenriched products were obtained starting from optically pure propargyl alcohols.

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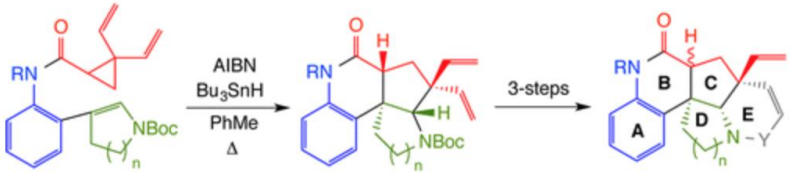
Citation: Zhang, H; et al. *Org. Lett.* **2014**, 16 (1), 94-97.

Radical [3 + 2]-Annulation of Divinylcyclopropanes: Rapid Synthesis of Complex Meloscine Analogs



meloscine analogs, n = 1, 2, 3; R = H, Boc, Bn; Y = CH_2, CO, SO_2; BC-fusion *cis* or *trans*

A radical [3 + 2]-divinylcyclopropane annulation cascade has been extended to encompass five D-ring variants of the meloscine/epimeloscine core structure. Representative ABCD tetracyclic intermediates were further elaborated with novel substituted E-rings through subsequent transformations of advanced intermediates that provided opportunities for late-stage variation of the B-ring (lactam) *N*-substituents which were also developed.

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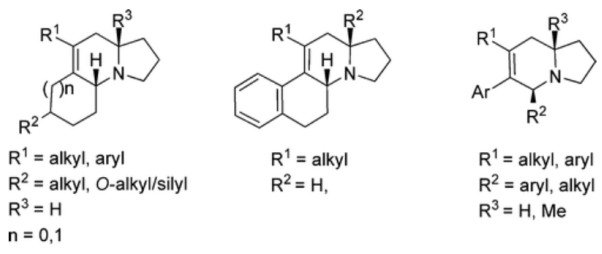
Citation: Hanessian, S.; et al. *Org. Lett.* **2013**, 16 (1), 232-235.

Iminium Ion–Enamine Cascade Cyclizations: Facile Access to Structurally Diverse Azacyclic Compounds and Natural Products



A one-pot, mild, two-component iminium ion-enamine cascade reaction to construct structurally diverse azacyclic frameworks from l-proline and l-pipecolic acid, and its application to indolizidine and quinolizidine alkaloids and azasteroids, is reported.

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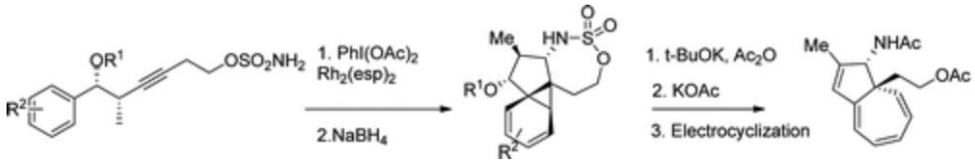
Citation: Brawn, R.; et al. *Org. Lett.* **2014**, 16 (1), 74-77.

Rhodium(II)-Catalyzed Alkyne Amination of Homopropargylic Sulfamate Esters: Stereoselective Synthesis of Functionalized Norcaradienes by Arene Cyclopropanation



A rhodium(II) catalyzed nitrene-alkyne cycloaddition of stereochemically well-defined homopropargylic ethers is followed by arene cyclopropanation to afford unique tetracyclic norcaradiene products bearing a cyclic sulfamate. Products from the arene cyclopropanation (Buchner reaction) can be converted to fused cycloheptatrienes via a ring enlarging electrocyclization after nucleophilic ring opening of the cyclic sulfamate ester.

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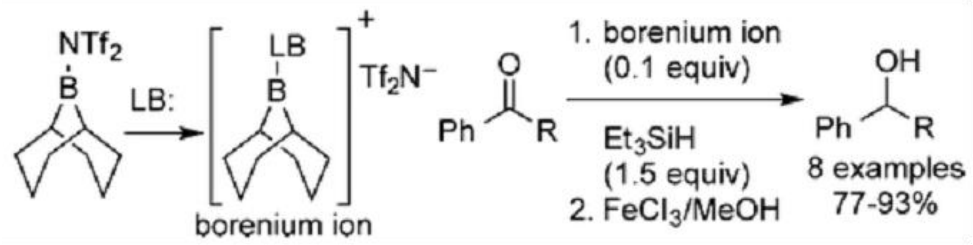
Citation: Denmark, S. E.; Ueki, Y. *Organometallics.* **2013**, *32*, 6631.

Lewis Base Activation of Lewis Acids: Group 13. In Situ Generation and Reaction of Borenium Ions



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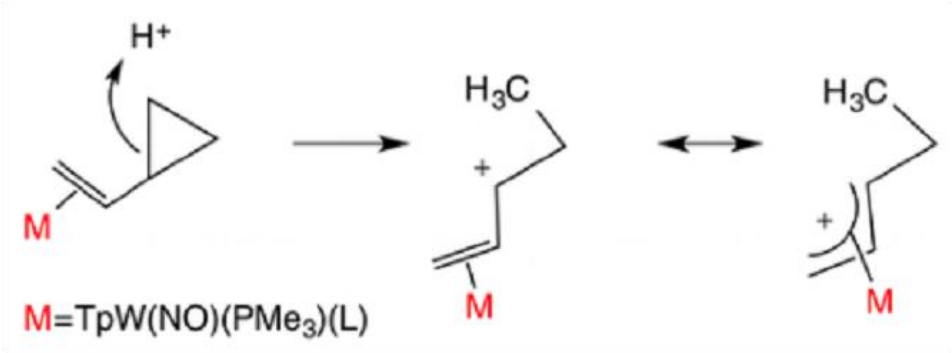
Citation: Pienkos, J. A. et al. *Organometallics.* **2014**, *33*, 267.

Tungsten-Mediated Selective Ring Opening of Vinylcyclopropanes



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Citation: Zare, et al. PNAS | January 7, 2014 | vol. 111 | no. 1 | 15–20

Is the simplest chemical reaction really so simple?

"Well, no one ever promised us that chemical reactions would be simple, even for what is called the simplest chemical reaction of them all."

$$H_a + H_bH_c \rightarrow H_aH_b + H_c.$$

Modern computation has become so powerful for predicting outcomes of simple bimolecular reactions that it might seem further experiments are not needed. However, experimental findings constantly challenge theorists to look more deeply into even the simplest of all chemical reactions.

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Citation: PNAS | January 7, 2014 | vol. 111 | no. 1 | 173–178

Covalent EGFR inhibitor analysis reveals importance of reversible interactions to potency and mechanisms of drug resistance

Covalent kinase inhibition strategies are reemerging, but crit- ical gaps in the understanding of molecular determinants of potency still persist. A kinetic approach is developed to describe the components of overall inhibitor potency (reversible binding and chemical reactivity). Detailed kinetic descriptions of EGFR covalent drugs are provided. Reversible interactions of covalent inhibitors are found to be essential to biochemical and cellular potency. A dynamic linkage between available affinity and necessary reactivity is proposed. Cysteine oxidation is an emerging type of posttranslational modification. Specific oxida- tion of the EGF receptor cysteine nucleophile causes highly var- iable effects on inhibitor potency. Two mechanisms of drug resistance are identified (reversible cysteine–inhibitor warhead interactions and specific cysteine oxidation) as well as a rational framework for understanding and designing covalent inhibitors.

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Citation: PNAS | January 7, 2014 | vol. 111 | no. 1 | 415–420

Dual-modality gene reporter for in vivo imaging

The ability to track cells and their patterns of gene expression in living organisms can increase our understanding of tissue devel- opment and disease. Gene reporters for bioluminescence, fluores- cence, radionuclide, and magnetic resonance imaging (MRI) have been described but these suffer variously from limited depth penetration, spatial resolution, and sensitivity. We describe here a gene reporter, based on the organic anion transporting protein Oatp1a1, which mediates uptake of a clinically approved, Gd3+-based, hepatotrophic contrast agent (gadolinium-ethoxybenzyl- diethylenetriamine pentaacetic acid). Cells expressing the reporter showed readily reversible, intense, and positive contrast (up to 7.8-fold signal enhancement) in T1-weighted magnetic resonance images acquired in vivo. The maximum signal enhancement obtained so far is more than double that produced by MRI gene reporters described previously. Exchanging the Gd3+ ion for the radionuclide, 111In, also allowed detection by single-photon emis- sion computed tomography, thus combining the spatial resolution of MRI with the sensitivity of radionuclide imaging.

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Citation: Weissleder, R. et al. E4978–E4986 | PNAS | Published online December 2, 2013

Ascites analysis by a microfluidic chip allows tumor-cell profiling

The authors demonstrate that ascites tumor cells (ATCs) present a valuable source of tumor cells, rendering ascites another form of “liquid biopsy.” We evaluated 85 ovarian cancer-related markers and developed a unique, low cost miniaturized microfluidic ATC chip for on-chip enrichment and molecular profiling using small amounts of ascites. This approach could expand the utility of ATCs within cytotoxic and/or molecularly targeted ovarian cancer therapeutic trials.

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Citation: PNAS | Published online November 25, 2013 | E4987–E4996

The HIV-1 reservoir in eight patients on long-term suppressive antiretroviral therapy is stable with few genetic changes over time

Through genetic characterization of HIV-1 DNA in infected cells from peripheral blood and gut-associated lymphoid tissue from patients after long-term suppressive HAART, our study reveals that the primary barrier to a cure is a remarkably stable pool of infected memory CD4+ T cells. Through in-depth phylogenetic analyses, we de-termined that the HIV-1 reservoir in these cells from eight patients is kept stable during long-term HAART and, with little evidence of viral replication, this population could be maintained by homeostatic cell proliferation or other processes.

"This study indicates that persistence of a remarkably stable population of infected memory cells will be the primary barrier to a cure..."

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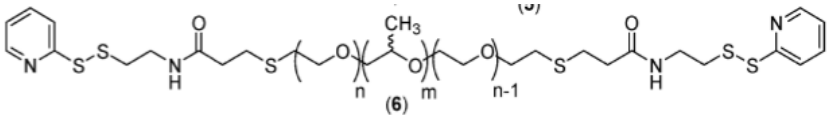
Citation: PNAS | December 3, 2013 | vol. 110 | no. 49 | 19902-19907

Nanoparticle conjugation of CpG enhances adjuvancy for cellular immunity and memory recall at low dose

High adjuvant doses are generally required to induce strong CD8+ T-cell immunity with subunit vaccines. Here we codeliver an antigen and an adjuvant coupled on separate ultrasmall polymeric nanoparticles. Because both payloads are attached to similarly sized nanoparticles (20-30nm), and as size is the principle determinant of nanoparticle drainage, this enhanced the dual uptake of antigen and adjuvant by cross-presenting dendritic cells resident in the draining lymph nodes. This cotargeting induced potent effector CD8+ T cells and a more powerful memory recall of these cytotoxic T cells compared with nano- particle-conjugated antigen with free adjuvant.



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Citation: Kaspar, Hickerson, etl al. PNAS | November 26, 2013 | vol. 110 | no. 48 | 19537–19542

Keratin 16 regulates innate immunity in response to epidermal barrier breach

Mutations in the type I keratin 16 (Krt16) and its partner type II keratin 6 (Krt6a, Krt6b) cause pachyonychia congenita (PC), a dis- order typified by dystrophic nails, painful hyperkeratotic calluses in glabrous skin, and lesions involving other epithelial appendages. We report that hyperkeratotic calluses arising in the glabrous skin of individuals with PC and Krt16 null mice share a gene expression signature enriched in genes involved in inflammation and innate immunity, in particular damage-associated molecular patterns. Our results uncover a role for Krt16 in regulating epithelial inflammation that is relevant to genodermatoses, psoriasis, and cancer and suggest a avenue for the therapeutic management of PC and related disorders.

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Citation: PNAS | Published online November 18, 2013, E4762–E4769

Metastatic castration-resistant prostate cancer reveals intrapatient similarity and interpatient heterogeneity of therapeutic kinase targets

Metastatic castration-resistant prostate cancer (CRPC) remains incurable due to the lack of effective therapies. The need to identify new actionable targets in CRPC is crucial as we begin to examine the resistance mechanisms related to androgen with- drawal. Here, we report an unbiased quantitative phosphoproteomic approach to identify druggable kinases in metastatic CRPC. These kinase activation patterns revealed intrapatient similarity and interpatient heterogeneity across a large panel of targets. Interestingly, these kinase activities are not a result of mutation but rather pathway activation within the tumors themselves. *The observation that similar kinase activities are present in most if not all anatomically disparate metastatic lesions from the same patient suggests that CRPC patients may benefit from individualized, targeted combination therapies.*

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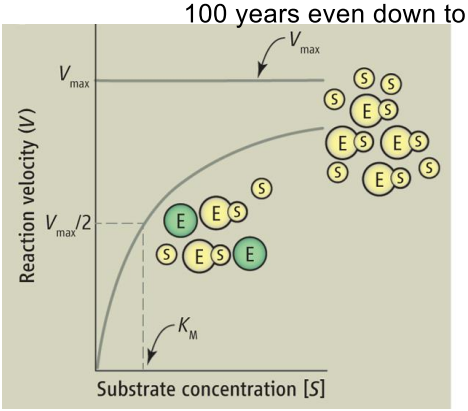
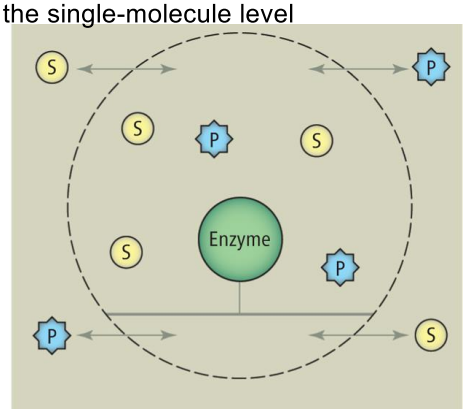
Citation: Xie, X.S., *Science*, **2013**, 342, 1457.

Enzyme Kinetics, Past and Present

The Michaelis-Menten equation holds true after 100 years even down to the single-molecule level





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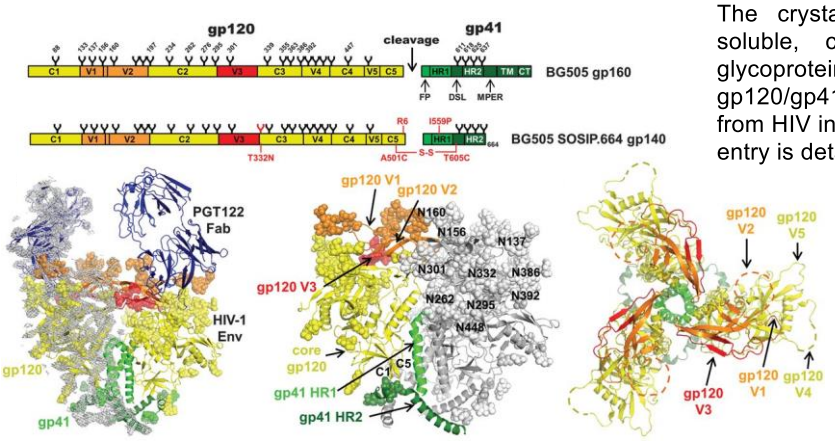
Citation: Julien, J.P., *et. al. Science*, **2013,** 342, 1477.

Crystal Structure of a Soluble Cleaved HIV-1 Envelope Trimer



The crystal structure of a soluble, cleaved envelope glycoprotein trimer of gp120/gp41 heterodimers from HIV involved in virus cell entry is detailed.

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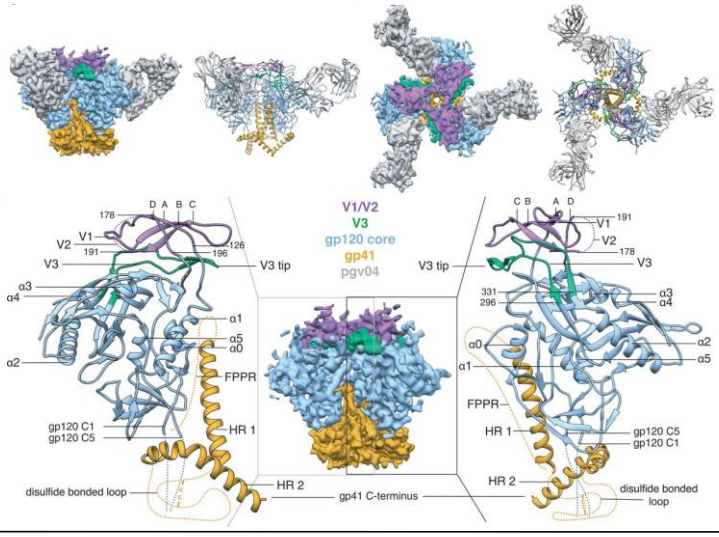
Citation: Lyumkis, *et. al. Science*, **2013**, 342, 1484.

Cryo-EM Structure of a Fully Glycosylated Soluble Cleaved HIV-1 Envelope Trimer

The cryo-EM structure of the soluble, cleaved envelope trimer from HIV is detailed.



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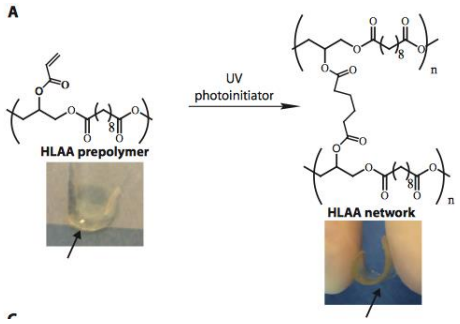
Citation: Sci Transl Med 6, 218ra6 (2014)

Blood-Resistant Surgical Glue for Minimally Invasive Repair of Vessels & Heart Defects

A surgical adhesive to reconnect tissue and interface prosthetics is hindered by currently available materials with limitations such as low strength, high toxicity, and most do not function well in wet environments. In response, Lang and colleagues developed a new biomaterial glue that is biocompatible, biodegradable, and easily manipulated. This material, called poly(glycerol sebacate acrylate) (PGSA), when combined with a photoinitiator, creates a hydrophobic light-activatec adhesive. The HLAA is a thick gel that can be slathered on a tissue and then cross-linked within seconds by ultraviolet light, which is a unique feature that avoids stitches. The resulting bond is water-tight yet flexible and stays intact in the face of high pressure and flowing blood.



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Citation: Sci Transl Med 5, 216rv4 (2013)

Review: Cancer Nanomedicine: From Drug Delivery to Imaging

Nanotechnology-based chemotherapeutics and imaging agents represent a new era of “cancer nanomedicine” working to deliver versatile payloads with favorable pharmacokinetics and capitalize on molecular and cellular targeting for enhanced specificity, efficacy, and safety. Despite the versatility of many nanomedicine-based platforms, translating new drug or imaging agents to the clinic is costly and often hampered by regulatory hurdles. Therefore, translating cancer nanomedicine may largely be application-defined, where materials are adapted only toward specific indications where their properties confer unique advantages. This strategy may also realize therapies that can optimize clinical impact through combinatorial nanomedicine. In this review, we discuss how particular materials lend themselves to specific applications, the progress to date in clinical translation of nanomedicine, and promising approaches that may catalyze clinical acceptance of nano.

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Citation: Sci Tranl Med Vol 5, 213sr6

Review: TB or Not TB: That Is No Longer the Question

Tuberculosis (TB) remains a devastating infectious disease and, with the emergence of multidrug-resistant forms, represents a major global threat. Much of our understanding of pathogenic and immunologic mechanisms in TB has derived from studies in experimental animals. However, it is becoming increasingly clear in TB as well as in other inflammatory diseases that there are substantial differences in immunological responses of humans not found or predicted by animal studies. Thus, it is critically important to understand mechanisms of pathogenesis and immunological protection in humans. In this review, we will address the key immunological question: What are the necessary and sufficient immune responses required for protection against TB infection and disease in people—specifically protection against infection, protection against the establishment of latency or persistence, and protection against transitioning from latent infection to active disease.

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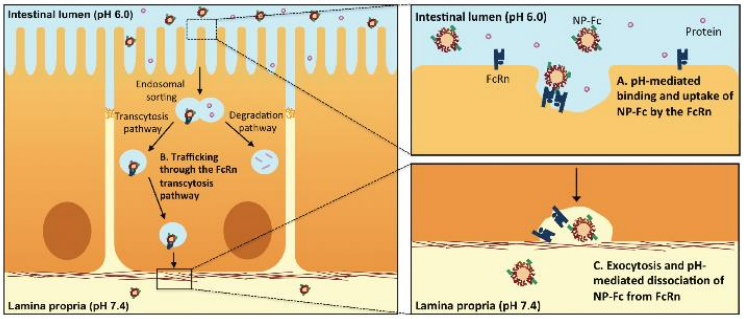
Citation: Sci Transl Med 5, 213ra167 (2013)

Transepithelial Transport of Fc-Targeted Nanoparticles by the Neonatal Fc Receptor for Oral Delivery

Oral delivery of drug-loaded nanoparticles is, to some, the Holy Grail of nanomedicine. Patients can easily pop a pill, which makes them more compliant with a therapeutic regimen. The difficulty with ingesting these tiny particles is that they are not readily absorbed in the intestine, thus eliminating most of the particles from the body and, in turn, limiting efficacy.

In response, Pridgen et al. designed polymeric nanoparticles targeting a receptor expressed on the surface of the intestine to actively transport the particle across the cell into the patient's circulation.



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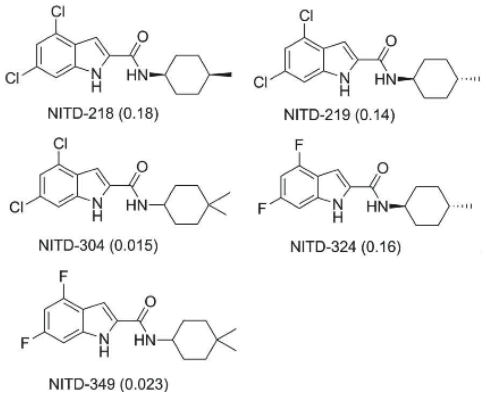
Citation: Sci Transl Med 5, 214ra168 (2013)

Indolcarboxamide Is a Preclinical Candidate for Treating Multidrug-Resistant TB

New chemotherapeutic compounds against multidrug-resistant Mycobacterium tuberculosis (Mtb) are urgently needed to combat drug resistance in tuberculosis (TB). We have identified and characterized the indolcarboxamides as a new class of antitubercular bactericidal agent. Genetic and lipid profiling studies identified the likely molecular target of indolcarboxamides as MmpL3, a transporter of trehalose monomycolate that is essential for mycobacterial cell wall biosynthesis. **MIC50 values in parentheses (uM)**



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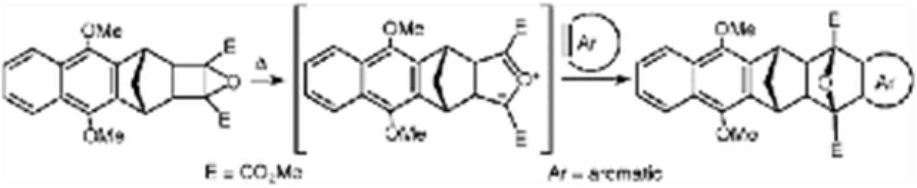
Citation: Margetic, D.; et. al. *Synthesis*, **2013**, 45(24), 3413.

The Synthesis of Rigid Chromophore–Spacer–Chromophore Dyads and Three-Armed Triads by the 1,3-Dipolar Reaction of Cyclobutene Epoxides with Aromatic Dipolarophiles



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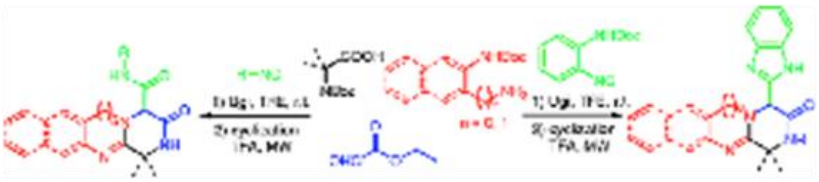
Citation: Hulme, C.; et. al. *Synlett*, **2014**, *25*(2), 225.

Expeditious Routes to Polycyclic Molecular Frameworks via One-Pot, Two-Step Ugi Ring-Closing Sequences



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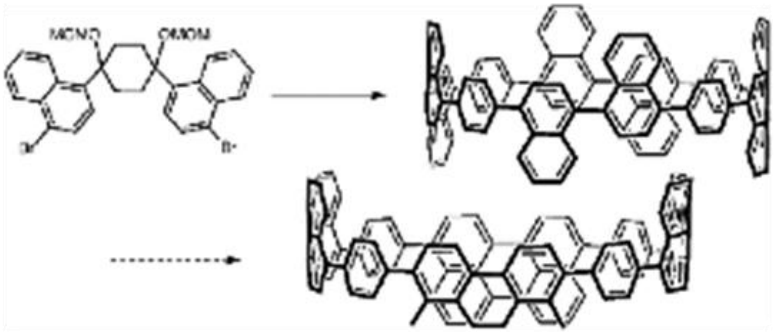
Citation: Baston, J. M.; Swager, T. M. *Synlett*, **2013**, *24*(19), 2545.

Towards a Perylene-Containing Nanohoop



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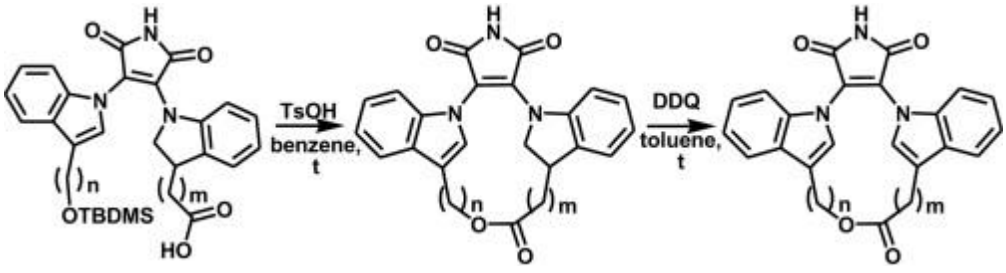
Citation: A.Y. Simonov, Preobrazhenskaya, M. N. et al. *Tetrahedron* **2014**, 70, 625-630

Macrolactones built from the bis-3,4(indol-1-yl)maleimide scaffold



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Citation: Asahara, H.; Akashi, M. et al. *Tetrahedron*. **2014**, 70, 197-203

Kinetic resolution of primary amines via enantioselective N-acylation with acyl chlorides in the presence of supramolecular cyclodextrin nanocapsules

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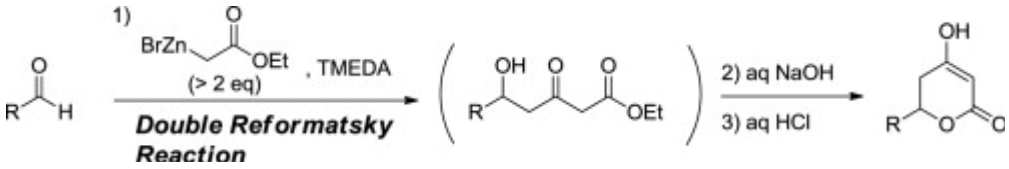
Citation: M. Mineno et al. *Tetrahedron* **2013**, 69, 10921-10926

A rapid and diverse construction of 6-substituted-5,6-dihydro-4-hydroxy-2-pyrones through double Reformatsky reaction



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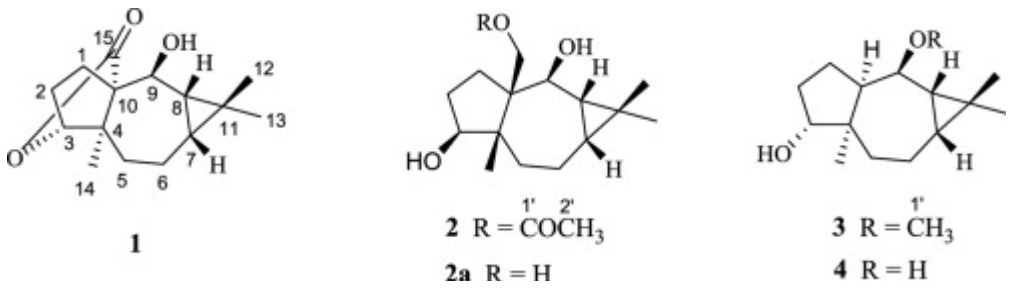
Citation: Z.-Z. Han, W-D. Zhang, et al. *Tetrahedron* **2014** 70, 962-966

Neomerane-type sesquiterpenoids from Valeriana officinalis var. latifolia



1

2 R = $COCH_3$

2a R = H

3 R = CH_3

4 R = H

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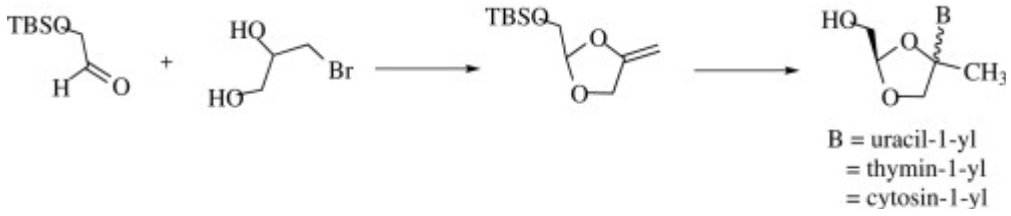
Citation: Y. Kubota et al. *Tetrahedron* **2013**, 69, 10884-10892

Synthesis of novel 4'-C-methyl-1',3'-dioxolane pyrimidine nucleosides and evaluation of its anti-HIV-1 activity



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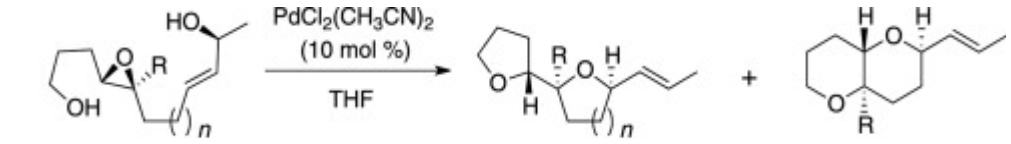
Citation: N. Kawai et al. *Tetrahedron* **2013**, 69, 11017-11024

Stereoselective synthesis of contiguous THF–THF and THF–THP units via PdII-catalyzed tandem reaction with 1,3-chirality transfer



3a: R = H, *n* = 2 (97% de) 92%
4a: R = CH_3, *n* = 1 (97% de) 86%

5a (92% de)
6a (82% de)

1.2 : 1

25a (84% de)

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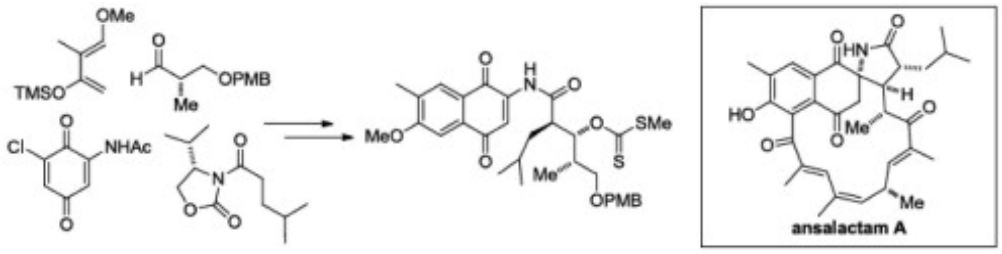
Citation: Traner, D.; et. al. *Tetrahedron Letters*, **2014**, 55, 59-62

Toward the total synthesis of ansalactam A



Total synthesis of Ansalactam A. A route has been developed for the synthesis of a model system featuring a xanthate, which constitutes a direct precursor for the projected radical cyclization step aimed at the formation of the spiro--lactam moiety of ansalactam A.

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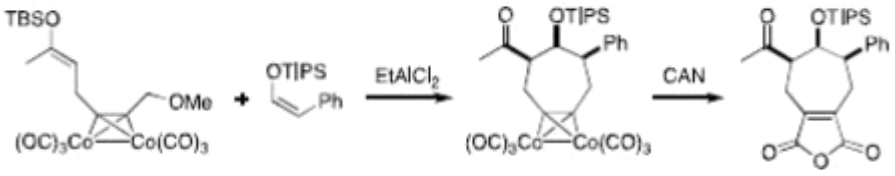
Citation: Tanino, K.; et al., *Tetrahedron Letters*, **2014**, In Press

Synthesis of 1-acetyl-2-silyoxycycloheptane derivatives via highly stereoselective formal [5+2]cycloaddition reaction



A stereoselective [5+2] cycloaddition reaction using a new five-carbon unit, that has a dicobalt acetylene complex moiety and an enol silyl ether moiety, was developed. In the presence of a Lewis acid, the five-carbon unit reacted with an enol triisopropylsilyl ether to give a 1-acetyl-2-siloxycycloheptane derivative, in which the three contiguous substituents on the seven-membered ring arrange cis to each other.

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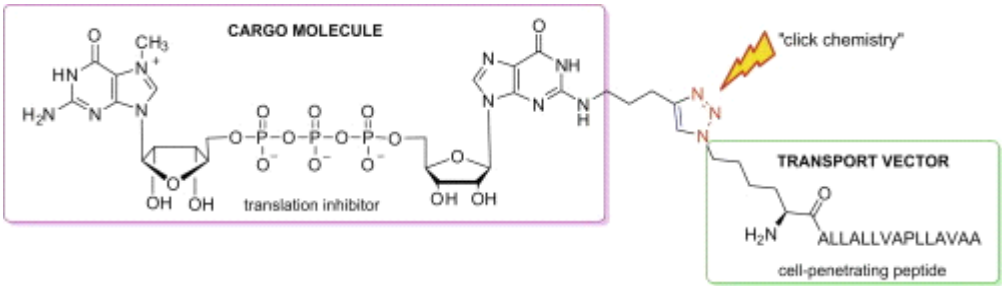
Citation: Jankowska-Anyszka, M., et al. *Tetrahedron Letters*, **2014**, 3, 606-609

Chemical conjugation of an mRNA cap analogue with a cell-penetrating peptide as a potential membrane permeable translation inhibitor



A versatile method for chemical conjugation of a dinucleotide cap analogue with a cell-penetrating peptide is presented. The final coupling reaction is between an azide-modified peptide (MPS-N3)—a fragment that is responsible for transport of the conjugate through the cell membrane, with a biologically active compound—and an alkynylated cap structure, using the Cu(I)-catalyzed click reaction.

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Citation: Talukdar, P; et. al, *Tetrhedron Letters*, **2014**, 55, 244-247

BODIPY based 'click on' fluorogenic dyes: application in live cell imaging

The design, synthesis, and photophysical properties of new BODIPY-based fluorogenic 'click on' dyes are reported. CuAAC reaction of non-fluorescent BODIPY azide with a series of non-fluorescent alkyne molecules resulted in fluorescent triazoles which displayed up to 532-fold enhancement of fluorescence in the red region. Imaging studies confirmed the general trend of cell permeability and a cholesterol linked derivative exhibited selective localization into intracellular membranes.

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