Accounts of Chemical Research	N/A	Adele Xu
ACS Chemical Biology	100	Melanie Huttner
ACS Medicinal Chemistry Letters	100	Xiaoyu Zang (Janice)
ACS Nano	101	Daniel Buehler
Advanced Drug Delivery Reviews	102	Melanie Huttner
Angewandte Chemie International Edition	102	Daryl Staveness
Bioconjugate Chemistry	104	Daniel Buehler
Biomaterials	105	Colin McKinlay
Bioorganic and Medicinal Chemistry	107	Nancy Benner
Bioorganic and Medicinal Chemistry Letters	108	Nancy Benner
Chemical Communications	108	Katie Near
Chemical & Engineering News	109	Matthew Stevens
Chemical Reviews	110	Jennifer Mattler
Chemical Science	111	Silke Kayser
Chemistry, A European Journal	112	Xiaoyu Zang (Janice)
European Journal of Organic Chemistry	N/A	Daniel Buehler*
Journal of the American Chemical Society	113	Steven Ryckbosch (odd)
	114	Hsio-Tieh Hsu (even)
Journal of Medicinal Chemistry	118	Katie Near
Journal of Organic Chemistry	N/A	Matthew Jeffreys
Molecular Pharmaceutics	118	Colin McKinlay
Natural Product Reports	119	Nancy Benner
Nature	120	Ryan Quiroz
Nature Chemistry	121	Jennifer Mattler
Nature Chemical Biology	121	Daniel Buehler*
The New York Times	121	Melanie Huttner
The Onion	N/A	Steven Ryckbosch
Organic Letters	122	Matthew Stevens
Organometallics	123	Ryan Quiroz
PNAS	124	Jessica Vargas
Science	125	Daniel Buehler
Science Translational Medicine	125	Jessica Vargas
Synthesis	125	Andrew Raub
Synthesis Letters	126	Andrew Raub
Tetrahedron	126	Akira Shimizu
Tetrahedron Letters	127	Silke Kayser

Next Due Date: Tuesday April 15th, 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.

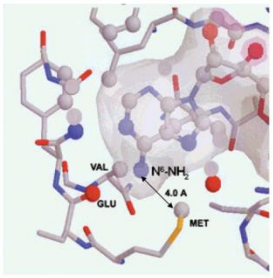
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

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.



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

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

For those of you who always wanted to know what it meant....
mook **Pronunciation Key** (mk) *n. Slang*
An insignificant or contemptible person.

methods
synthesis

DON'T BE A MOOK!

Lit Review MOOKS include those who:

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

Penalties for being a Lit Review MOOK:

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

Citation: Kelley, S.O.; *et al. ACS Chem. Biol.* **2014,** *9,* 323-333.

Molecular Vehicles for Mitochondrial Chemical Biology and Drug Delivery

Access to mitochondria-specific delivery vectors has allowed the study of biological processes within this intracellular compartment with a heightened level of specificity. In this review, the authors summarize the features of existing delivery vectors developed for targeting probes and therapeutics to this highly impermeable organelle. They also discuss the major applications of mitochondrial targeting of bioactive molecules, which include the detection and treatment of oxidative damage, combating bacterial infections, and the development of new therapeutic approaches for cancer. Future directions include the assessment of the therapeutic benefit achieved by mitochondrial targeting for treatment of disease *in vivo*.

bioorganic
methods
synthesis
mechanism
review
other

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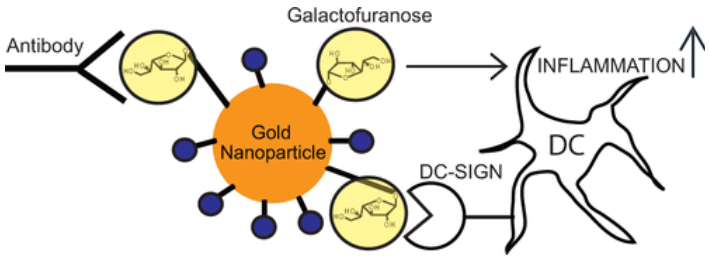
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Chiodo, F.; *et al. ACS Chem. Biol.* **2014,** *9,* 383-389.

Galactofuranose-Coated Gold Nanoparticles Elicit a Pro-inflammatory Response in Human Monocyte-Derived Dendritic Cells and Are Recognized by DC-SIGN

To determine the role of Galactofuranose (Gal*f*) in host-pathogen interactions, the authors synthesized Au-NPs carrying Gal*f* and show that they are recognized by the EB-A2 antibody, which is used to detect Gal*f*-containing galactomannan in the serum of Aspergillosis patients. Thus Gal*f* is able to modulate the innate immune response via dendritic cells.



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other

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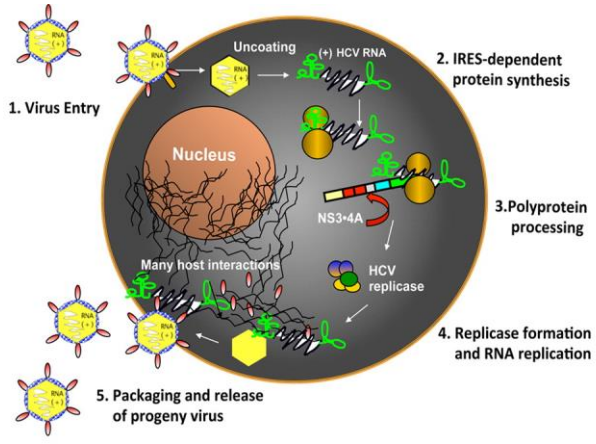
Drug Deliv.
Prostratin

Citation: Kwong, A. *ACS. Med. Chem. Lett.* 2014, *5*, 214-220.

The HCV Revolution Did Not Happen Overnight

This article gives an overview of the progress in HCV therapy in the last three years similar to the progress that took HIV therapy 14 years.



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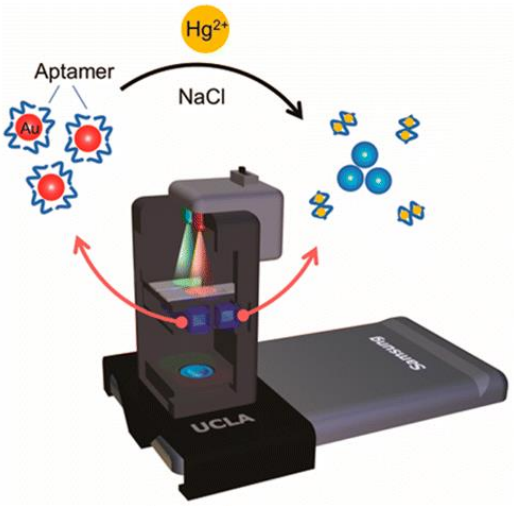
Citation: Wei, Q., et. al. *ACS NANO* **2014**, 8, 1121-1129.

Detection and Spatial Mapping of Mercury Contamination in Water Samples Using a Smart-Phone

Development of an integrated opto-mechanical attachment (<40g) for use with smart-phone cameras for digital quantification of mercury using a plasmonic gold nanoparticle and aptamer based colometric transmission assay which allows quantification of mercury(II) ion concentration to a limit of detection of ~3.5 ppb.



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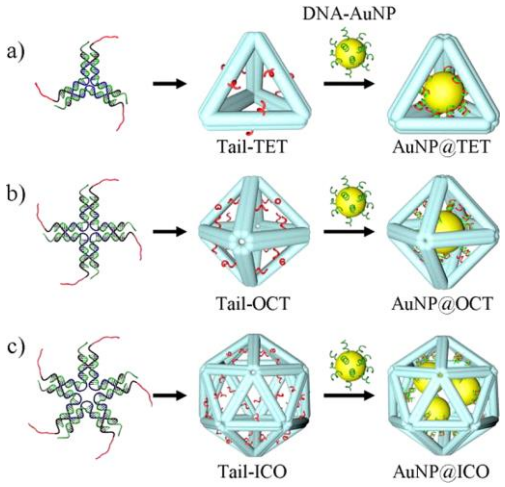
Drug Deliv.
Prostratin

Citation: Zhang, C., et. al. *ACS NANO*. **2014**, 8, 1130-1135.

DNA Nanocages Swallow Gold Nanoparticles (AuNPs) to Form AuNP@DNA Cage Core–Shell Structures

Geometrically controlled core-shell gold-DNA nanoparticle formation is detailed with implications for inorganic metal delivery.



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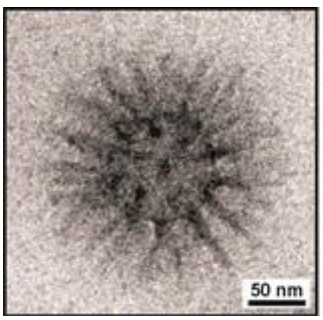
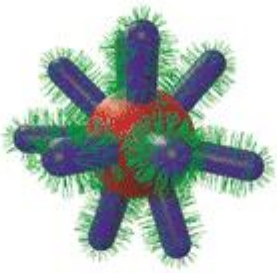
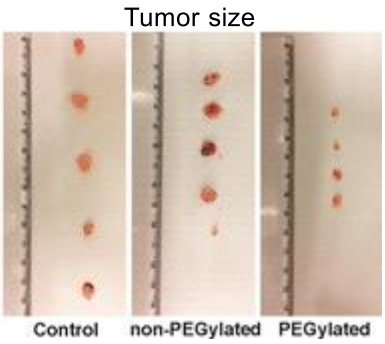
Drug Deliv.
Prostratin

Citation: Synatschke, C.V., et. al. *ACS NANO*. **2014**, 8, 1161-1172.

Multicompartment Micelles with Adjustable Poly(ethylene glycol) Shell for Efficient in Vivo Photodynamic Therapy







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Drug Deliv.
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Citation: Buckland, K.F.; Gaspar, H.B. *Adv. Drug Deliv. Rev.* **2014,** *in press.*

Gene and cell therapy for children - New medicines, new challenges?

We have seen remarkable technological advances in gene transfer and cell manipulation and culture, which enable specific engineering of both human stem cells and terminally differentiated cell populations for many applications. However, at present, both cell and gene modified cell therapies have almost exclusively been developed and used in the academic environment. Their translation is presently occurring in basic research centres, under compassionate use schemes or in approved hospital-based clinical trials. The co-localisation of clinicians, patients and scientists where partnerships exist between a university and a hospital has been fundamental especially in rare diseases to moving directly to testing in patient groups (Phase I/II clinical trials) without first testing in healthy human volunteers (Phase I clinical trials). However as we approach 20 years since the first clinical trials the question arises as to whether this seclusion in the academic environment is now restricting growth. The focus of this review is to describe the status of development of cell and gene therapies and the path to translation outside of the academic realm and into licenced, marketable medicines.

bioorganic
methods
synthesis
mechanism
review
other

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Bryo
DDO
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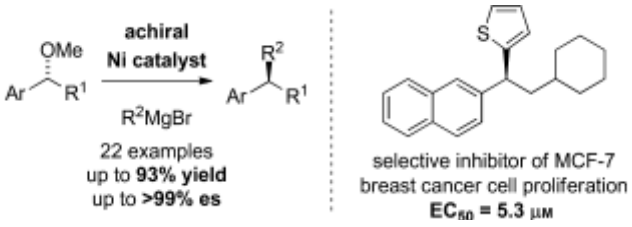
Drug Deliv.
Prostratin

Citation: Jarvo, E.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (9)*, 2422-2427.

Stereospecific Nickel-Catalyzed Cross-Coupling Reactions of Alkyl Grignard Reagents and Identification of Selective Anti-Breast-Cancer Agents

Alkyl Grignard reagents that contain ß-hydrogen atoms were used in a stereospecific nickel-catalyzed cross-coupling reaction to form C(sp3)-C(sp3) bonds (es=enantiospecificity). Aryl Grignard reagents were also utilized to synthesize 1,1-diarylalkanes. Several compounds that were synthesized by this method exhibited selective inhibition of proliferation of MCF-7 breast cancer cells.



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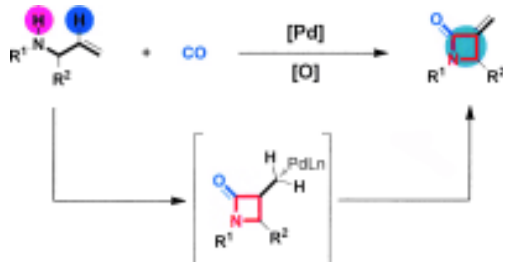
Hybrid
Drug Deliv.
Prostratin

Citation: Lei, A.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (9)*, 2443-2446.

Palladium-Catalyzed Oxidative Carbonylation of N-Allylamines for the Synthesis of ß-Lactams

The ß-lactam scaffold is considered to be an ideal building block for the synthesis of nitrogen-containing compounds. A new, simple, and convenient palladium-catalyzed oxidative carbonylation of N-allylamines for the synthesis of a-methylene-ß-lactams is reported. DFT calculations suggest that the formation of the ß-lactam via a four-membered-ring transition state is favorable.



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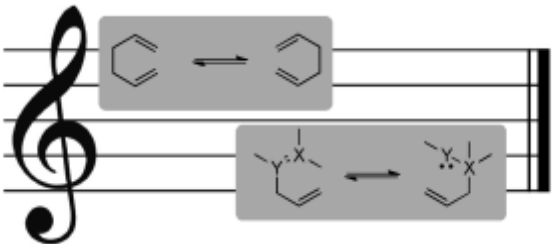
Prostratin

Citation: Stoltz, B.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (10)*, 2556-2591.

Toward a Symphony of Reactivity: Cascades Involving Catalysis and Sigmatropic Rearrangements

The development of tandem processes has had a profound impact on organic synthesis. In this Review, catalyzed cascade transformations that involve sigmatropic rearrangements are discussed. To appeal to the musical sense of orchestration in chemical synthesis, we propose the descriptors duet, trio, quartet, etc. for defining transformations that involve more than one reaction in a cascade.



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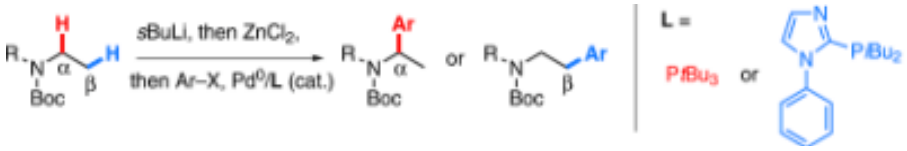
Laulimalide
Drug Deliv.

Citation: Baudoin, O.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (10)*, 2678-2682.

Ligand-Controlled a- and ß-Arylation of Acyclic N-Boc Amines

The arylation of a-zincated acyclic Boc-protected amines was selectively performed at the a- or ß-position in a ligand-controlled manner. a-Arylation occurs by direct reductive elimination of the a-palladated intermediate whereas ß-arylation involves palladium migration along the alkyl chain.



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Hybrid
Gnid/ Kirk
Laulimalide
Pharma

Citation: Heller, A. *Angew. Chem. Int. Ed*. **2014**, *53 (11)*, 2782-2783.

Not All Research Is Equal

Editorial on the author's opinion of the "hierarchy of research". Some points are worth considering.

bioorganic
therapeutics
methods
synthesis
mechanism
review
other

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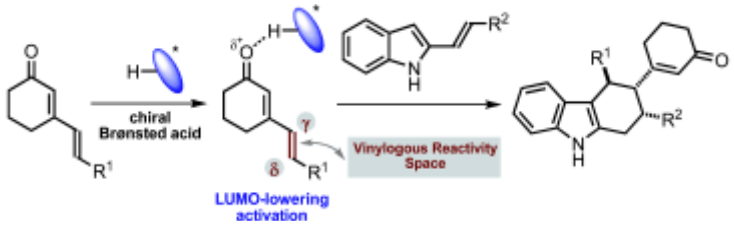
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Melchiorre, P.; et al. *Angew. Chem. Int. Ed.* **2014**, *53 (11)*, 2997-3000.

Asymmetric Vinylogous Diels–Alder Reactions Catalyzed by a Chiral Phosphoric Acid

A vinylogous Diels–Alder reaction that is catalyzed by a commercially available chiral phosphoric acid has been developed. A range of structurally diverse complex tetrahydrocarbazoles were obtained in high chemical yields and with excellent stereoselectivity. It was thus demonstrated that the synthetic utility of the Diels–Alder reaction can be extended to include a vinylogous reactivity space.



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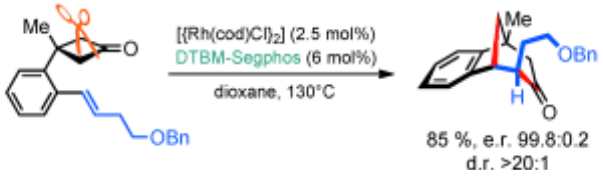
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Cramer, N.; et al. *Angew. Chem. Int. Ed.* **2014**, *53 (11)*, 3001-3005.

Highly Enantioselective Rhodium(I)-Catalyzed Activation of Enantiotopic Cyclobutanone C-C Bonds

The enantioselective direct C-C activation of cyclobutanones was achieved by using chiral rhodium(I) catalysts. The intermediate rhodacyclopentanone reacts with a wide variety of tethered olefins to deliver complex bicyclic ketones in high yield. Despite operating at 130 °C, the process is characterized by outstanding enantioselectivity with the e.r. generally greater than 99.5:0.5.



bioorganic
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REDOR
Bryo
Gnid/Kirk
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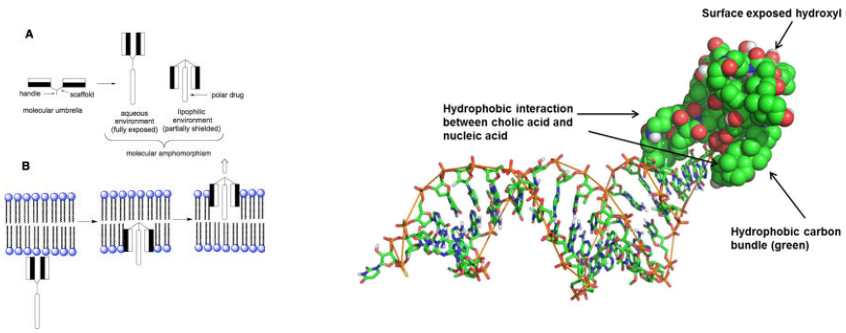
Citation: Janout, V., et. al. Bioconjugate Chemistry. 2014, 25, 197-201

Molecular Umbrella Conjugate for the Ocular Delivery of siRNA



The synthesis, computer modeling, and biological activity of an octawalled molecular umbrella short interfacing RNA (siRNA) conjugate is described. This molecular umbrella–siRNA conjugate exhibited mRNA knockdown activity in vitro in the absence of a transfection reagent. Evaluation of this molecular umbrella conjugate in vivo, using the rat eye via intravitreal injection, resulted in sequence specific mRNA knockdown in the retina with no obvious signs of toxicity, as judged by ophthalmic examination.

bioorganic
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mechanism
review
other

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Drug Deliv.
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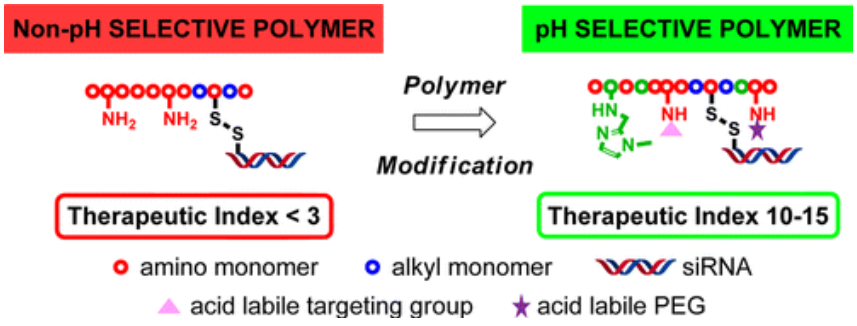
Citation: Guidry, E.N., et. al. *Bioconjugate Chemistry*. **2014**, 25, 296-307

Improving the In Vivo Therapeutic Index of siRNA Polymer Conjugates through Increasing pH Responsiveness



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methods
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review
other

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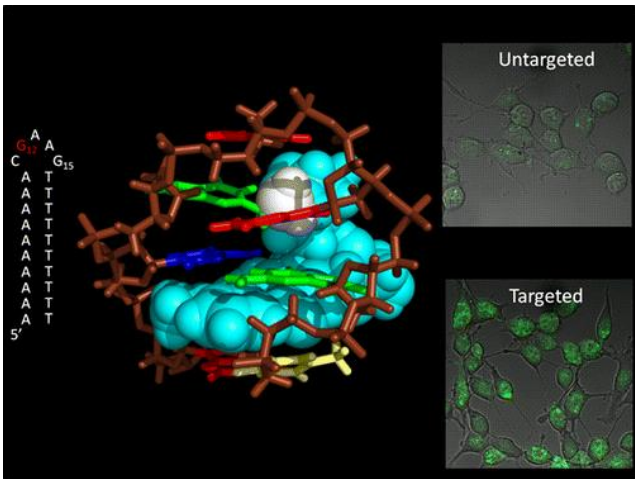
Citation: Stuart, C.H., et. al. *Bioconjugate Chemistry*. **2014**, 25, 406-413.



Site-Specific DNA–Doxorubicin Conjugates Display Enhanced Cytotoxicity to Breast Cancer Cells

Conjugation of doxorubicin to G15 of a designed DNA hairpin loop yields a highly stabilized, long lasting drug hairpin complex.

bioorganic
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synthesis
mechanism
review
other

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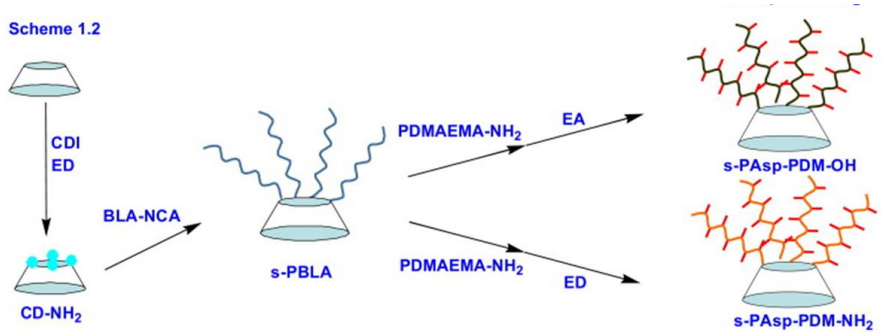
Citation: Biomaterials 35 (2014) 3015-3026

Different types of degradable vectors from low-molecular-weight polycation-functionalized poly(aspartic acid) for efficient gene delivery



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review
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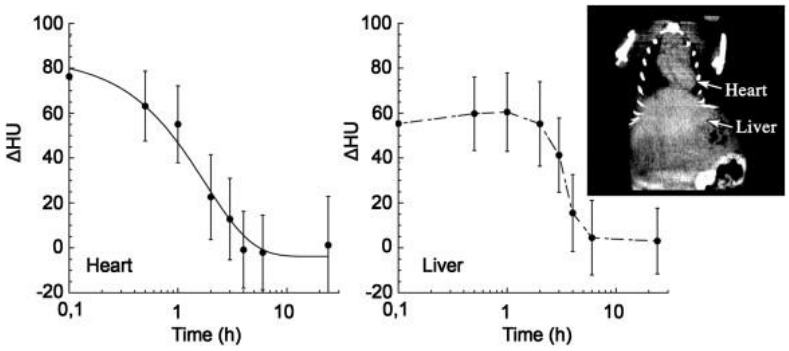
Citation: Biomaterials 35 (2014) 2981-2986

Poly-e-caprolactone tungsten oxide nanoparticles as a contrast agent for X-ray computed tomography



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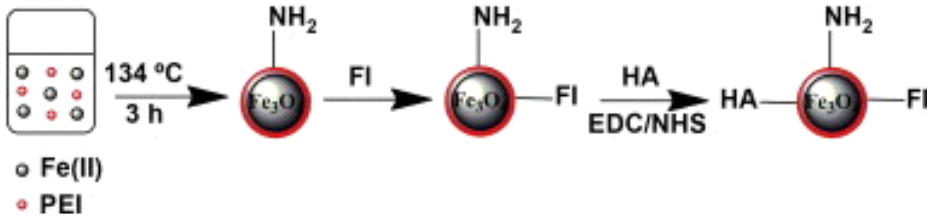
Citation: Biomaterials 35 (2014) 3666-3677

Hyaluronic acid-modified hydrothermally synthesized iron oxide nanoparticles for targeted tumor MR imaging



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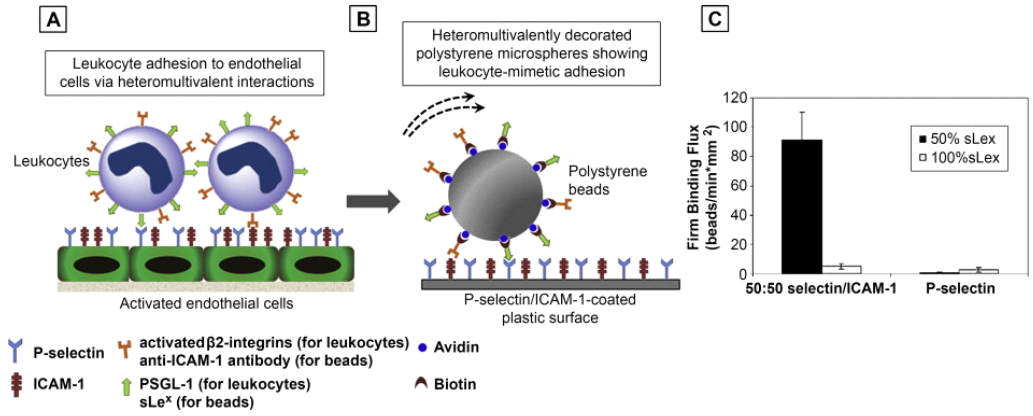
Citation: Biomaterials 35 (2014) 2568-2579

Heteromultivalent ligand-decoration for actively targeted nanomedicine



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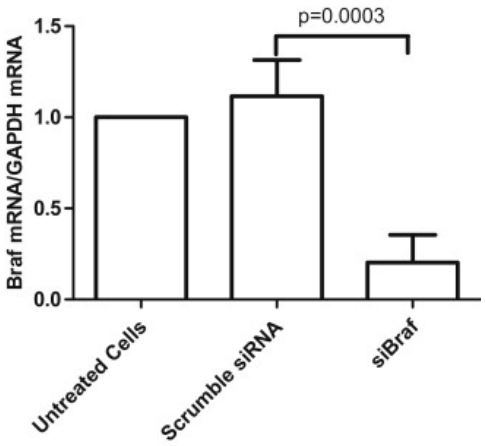
Citation: Biomaterials 35 (2014) 3435-3442

Non-covalently functionalized single-walled carbon nanotube for topical siRNA delivery into melanoma



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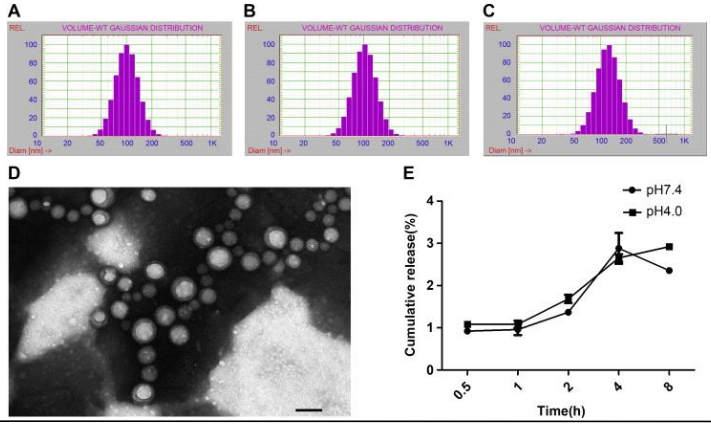
Citation: Biomaterials 35 (2014) 3384-3395

Facilitated brain delivery of poly (ethylene glycol)epoly (lactic acid) nanoparticles by microbubble-enhanced unfocused ultrasound



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other

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Drug Deliv.
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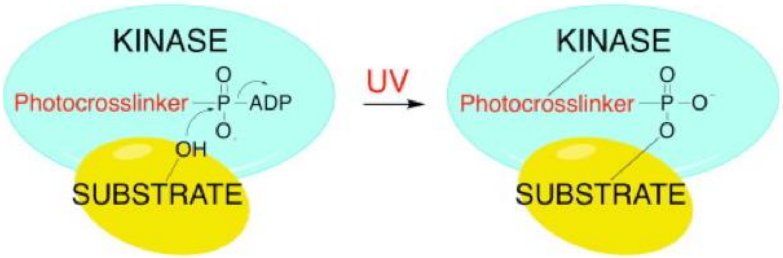
Citation: Garre, S.; et al. *Bioorg. Med. Chem*., 22, (2014) 1620-1625

A comparative study of ATP analogs for phosphorylation-dependent kinase–substrate crosslinking



Photocrosslinker = aryl azide or benzophenone

bioorganic
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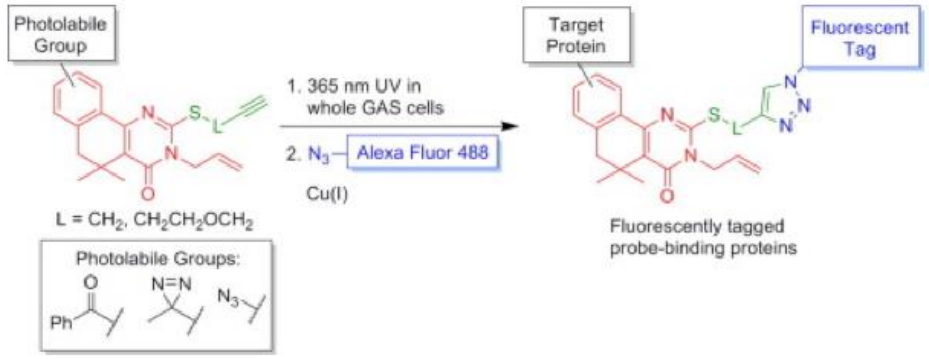
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Yestrepsky, B. D.; et al. *Bioorg. Med. Chem. Lett.*, 24, (2014) 1538-1544

Development of tag-free photoprobes for studies aimed at identifying the target of novel Group A Streptococcus antivirulence agents

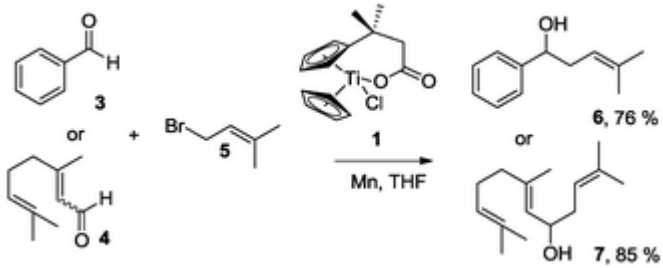


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Morcillo, S. P.; *et al. Chem. Commun.* **2014**, *50,* 2211.

Highly regioselective and chemoselective titanocene mediated Barbier-type allylation reactions



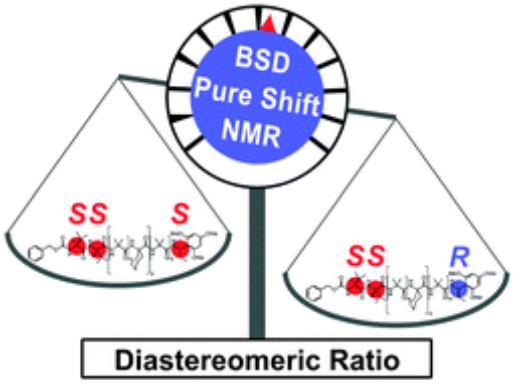
The authors have synthesized a titanocene carboxylate that is an excellent chemoselective reagent for unprecedented a-regioselective Barbier-type reactions. It constitutes the first titanocene(III) able to tolerate epoxides and readily reduced carbonyl compounds, such as aromatic and a,ß-unsaturated aldehydes

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Adams, R. W.; *et al. Chem. Commun.* **2014** 50, 2512.

Diastereomeric ratio determination by high sensitivity band-selective pure shift NMR spectroscopy



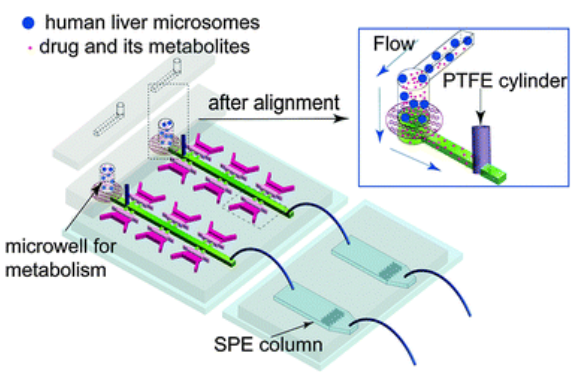
An NMR method is reported that allows diastereomeric ratios to be determined even in crowded spectra or where chemical shift differences are small compared to multiplet widths. Band-selective pure shift NMR collapses multiplets to singlets, greatly improving spectral resolution while largely retaining, or even enhancing, signal-to-noise ratio.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Wu, Q.; *et al. Chem. Commun.* **2014**, *50*, 2762.

Development of a novel multi-layer microfluidic device towards characterization of drug metabolism and cytotoxicity for drug screening



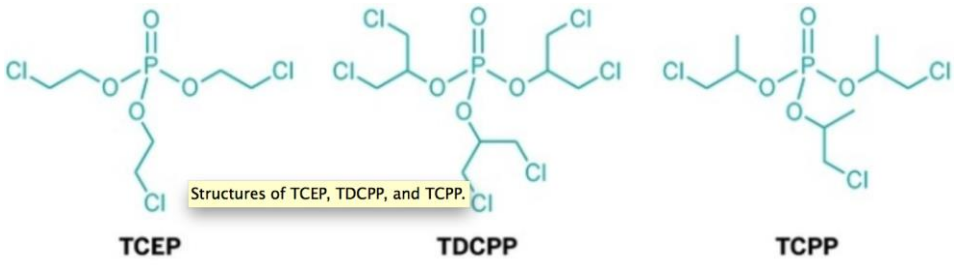
A novel multi-layer microfluidic device was developed for characterization of drug metabolism in human liver microsomes (HLMs) and their cytotoxicity on cells. The results demonstrated that this platform is robust for low levels of compounds and shows potential for high-throughput drug screening in drug development.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Hogue, C. *C&EN.* **2014**, 92(9), 35-37.

State Lawmakers Take On Chemicals



TCEP **TDCPP** **TCPP**

Pending bills target specific chemicals but also eye establishment of substances of concern lists.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Jarvis, L. M.. *C&EN.* **2014**, 92(10), 22-24.

Pharma Growth Still Stagnant

Major drug firms continued to see declines in 2013, while biotech companies shined.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hess, G.; Johnson, J. *C&EN.* **2014**, 92(10), 11-16.

Deconstructing Inherently Safer Technology

Proponents say requiring IST would prevent deadly refinery, chemical plant accidents; industry says it's already in use and working.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Verendel, J.J.; Pàmies, O.; Diéguez, M.*; Andersson, P.G.* Chem. Rev., 2014, 114 (4), pp 2130–2169

Asymmetric Hydrogenation of Olefins Using Chiral Crabtree-type Catalysts: Scope and Limitations

1. Introduction
2. Aryl- and Alkyl-Substituted Alkenes
3. Enols
4. Enamides and Enamines
5. Allylic and Homoallylic Alcohols and Ethers
6. a,ß-Unsaturated Carbonyls
7. Alkenes Bearing Other Heteroatoms
8. Prediction of the Stereochemical Outcome
9. Conclusion and Perspective

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Volla, C.M.R.; Atodiresei, I.; Rueping, M.* Chem. Rev., 2014, 114 (4), pp 2390–2431

Catalytic C–C Bond-Forming Multi-Component Cascade or Domino Reactions: Pushing the Boundaries of Complexity in Asymmetric Organocatalysis

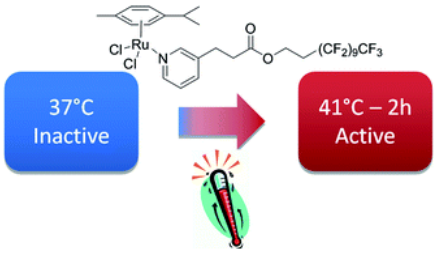
1. Introduction
2. Double Cascade Reactions
3. Triple Cascade Reactions
4. Quadruple Cascade Reactions
5. Conclusions

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Clavel, C.M.; Dyson, P. J.; *Chemical Sciecne*, **2014**, 5,1097-1101

Thermoresponsive organometallic arene ruthenium complexes for tumour targeting



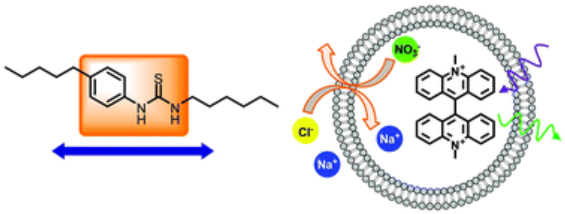
Application of mild hyperthermia can increase the cytotoxicity of anticancer drugs in tumour cells. In this report, we describe low molecular weight thermoactive ruthenium-based drugs with fluorous chains that are selectively triggered by mild hyperthermia. The organometallic complexes were prepared, characterized, and evaluated for their in vitro cytotoxicity against a panel of human cancer cell lines and non-cancerous immortalized cells. The compounds show considerable chemo-thermal selectivity towards cancer cells (ca. 5 μM versus >500 μM for healthy cells) for the compound with the longest fluorous chain.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Davis, A.P. *et al.*; *Chemical Science*, **2014**,5, 1128-1134

Lipophilic balance – a new design principle for transmembrane anion carriers



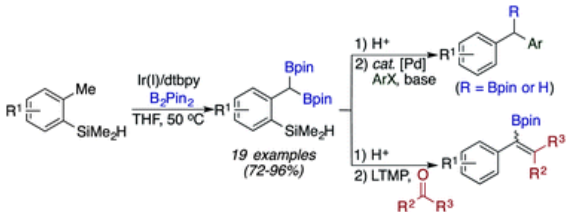
Despite extensive interest in transmembrane anion carriers (anionophores), the factors that govern activity are still only partly understood. Herein we report a study which identifies a new principle for anionophore design, that of “lipophilic balance”. A series of simple thioureas with identical molecular formulae has been prepared and assayed for chloride/nitrate transport activity in synthetic vesicles

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Cho, S.H., Hartwig, J. F., *Chemical Science*, **2014**, 5, 694-698

Iridium-catalyzed diborylation of benzylic C–H bonds directed by a hydrosilyl group: synthesis of 1,1-benzyldiboronate esters



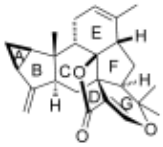
A regioselective diborylation of primary benzylic C–H bonds catalyzed by [Ir(COD)OMe]2 and 4,4?-di-tert-butyl-2,2?-bipyridine (dtbpy) is described. The hydrosilyl group acts as a traceless directing group, providing access to a range of 1,1-benzyldiboronate esters in good yields. Transformations of the 1,1-benzyldiboronate ester products include chemoselective Suzuki–Miyaura cross-couplings and synthesis of tetrasubstituted alkenyl boronate esters.

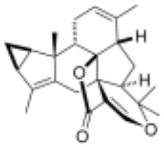
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Du, *et al.* *Chem. Eur. J.* **2014,** 20, 2613-2622

Asymmetric Total Synthesis of Onoseriolide, Bolivianine, and Isobolivianine





This article describes the authors' efforts on the total synthesis of bolivianine and isobolivianine involving the synthesis of onoseriolide. The first generation synthe-
sis of bolivianine was completed in 21 steps by following a chiral resolution strategy. Based on the potential biogenetic relationship between bolivianine (1), onoseriolide (3), and b-(E)-ocimene (8), the second generation synthesis of bolivianine was =iomimetically achieved from commercially available (+)-verbenonein14steps.The improved total synthesis features an unprecedented palladium-catalyzed intramolecular cycloaddition through an allylic metal carbene, for the construction of the ABC tricyclic system, and a Diels-Alder/intramolecular hetero-Diels Alder casacsede.

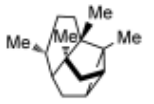
bioorganic
methods
synthesis
mechanism
review
other

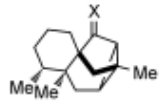
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

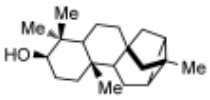
Citation: Zhu, S. *et al.* *Chem. Eur. J.* **2014,** 20, 2425-2430.

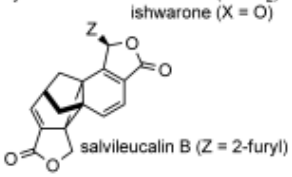
Bioinspired Intramolecular Diels–Alder Reaction: A Rapid Access to the Highly-Strained Cyclopropane-Fused Polycyclic Skeleton

A bioinsipred gold-catalyzed tandem Diels–Alder/Diels–Alder reaction of an enynal and a 1,3-diene, forming the highly-strained benzotricyclo[3.2.1.02,7]octane skeleton, was reported. In contrast, a Diels–Alder/Friedel–Crafts tandem reaction occurred instead when silver salts were used as the catalyst. Although both reactions experienced the similar Diels–Alder reaction of a pyrylium intermediate with a 1,3-diene, they have different reaction mechanisms. The former proceeded with a stepwise Diels–Alder reaction, while the latter one with a concerted one.







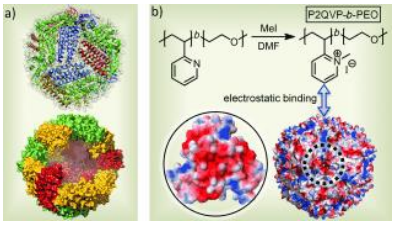


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Tähkä, S. *et al.* *Chem. Eur. J.* **2014,** 20, 2718-2722.

Diblock-Copolymer-Mediated Self-Assembly of Protein-Stabilized Iron Oxide Nanoparticle Clusters for Magnetic Resonance Imaging



Superparamagnetic iron oxide nanoparticles (SPIONs) can be used as efficient transverse relaxivity (T2) contrast agents in magnetic resonance imaging (MRI). Organizing small (D<10,ÄÖnm) SPIONs into large assemblies can considerably enhance their relaxivity. However, this assembly process is difficult to control and can easily result in unwanted aggregation and precipitation, which might further lead to lower contrast agent performance. Herein, we present highly stable protein,Äìpolymer double-stabilized SPIONs for improving contrast in MRI. We used a cationic,Äìneutral double hydrophilic poly(N-methyl-2-vinyl pyridinium iodide-block-poly(ethylene oxide) diblock copolymer (P2QVP-b-PEO) to mediate the self-assembly of protein-cage-encapsulated iron oxide (Œ-Fe2O3) nanoparticles (magnetoferritin) into stable PEO-coated clusters. This approach relies on electrostatic interactions between the cationic N-methyl-2-vinylpyridinium iodide block and magnetoferritin protein cage surface (pI,âà4.5) to form a dense core, whereas the neutral ethylene oxide block provides a stabilizing biocompatible shell.

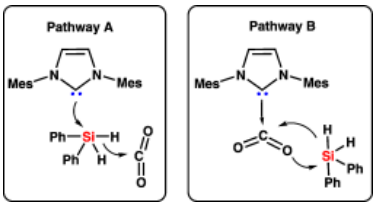
bioorganic
methods
synthesis
mechanism
review
other

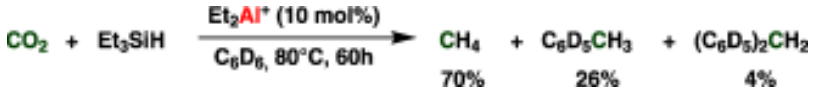
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Fontaine, F. *et al. Chem. Eur. J.* **2014,** 20, 2990-2996.

Transition-Metal-Free Catalytic Reduction of Carbon Dioxide

Metal-free systems, including frustrated Lewis pairs (FLPs) have been shown to bind CO2. By reducing the Lewis acidity and basicity of the ambiphilic system, it is possible to generate active catalysts for the deoxygenative hydroboration of carbon dioxide to methanol derivatives with conversion rates comparable to those of transition-metal-based catalysts. One of the most efficient systems to date uses highly Lewis basic N-heterocyclic carbenes (NHC) in presence of hydrosilanes.





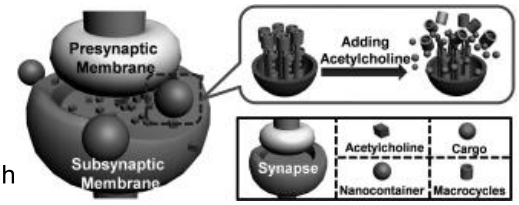
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Zhou, Y. *et al. Chem. Eur. J.* **2014,** 20, 2998-3004.

Acetylcholine-Triggered Cargo Release from Supramolecular Nanovalves Based on Different Macrocyclic Receptors

Acetylcholine (ACh), a neurotransmitter located in cholinergic synapses, can trigger cargo release from mesoporous silica nanoparticles equipped with calixarene- or pillarene-based nanovalves by removing macrocycles from the stalk components. The amount and speed of cargo release can be controlled by varying the concentration of ACh in solution or changing the type of gating macrocycle. Although this proof-of-concept study is far from a real-life application, it provides a possible route to treat diseases related to the central nervous system.

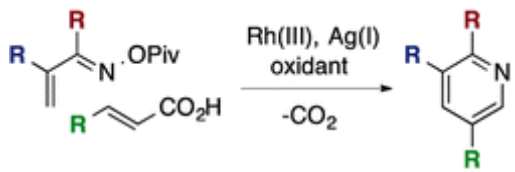


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

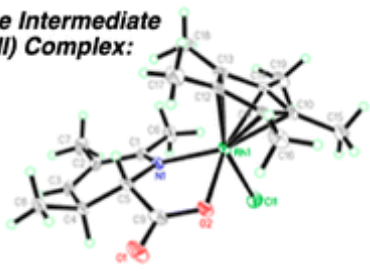
Citation: Neely, J.M.; Rovis, T. *J. Am. Chem. Soc.*, **2014**, *136* (7), 2735-2738.

Rh(III)-Catalyzed Decarboxylative Coupling of Acrylic Acids with Unsaturated Oxime Esters: Carboxylic Acids Serve as Traceless Activators



>20:1 regioselectivity
up to 82% yield
R = alkyl, aryl, heteroaryl, H

Isolable Intermediate Rh(III) Complex:

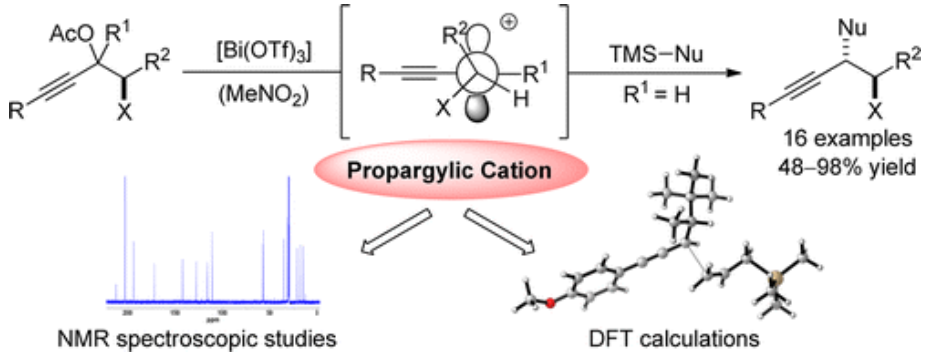


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Nitsch, D.; Huber, S.M.; Pöthig, A.; Narayanan, A.; Olah, G.A.; Prakash, G.K.S.; Bach, T. *J. Am. Chem. Soc.*, **2014**, *136* (7), 2851-2857.

Chiral Propargylic Cations as Intermediates in SN1-Type Reactions: Substitution Pattern, Nuclear Magnetic Resonance Studies, and Origin of the Diastereoselectivity

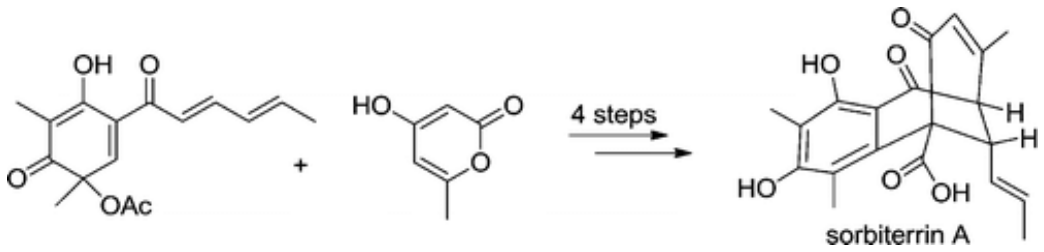


bioorganic
methods
synthesis
mechanism
review
~~other~~

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Qi, C.; Qin, T.; Suzuki, D.; Porco, Jr, J.A. *J. Am. Chem. Soc.*, **2014**, *136* (9), 3374-3377.

Total Synthesis and Stereochemical Assignment of (±)-Sorbiterrin A

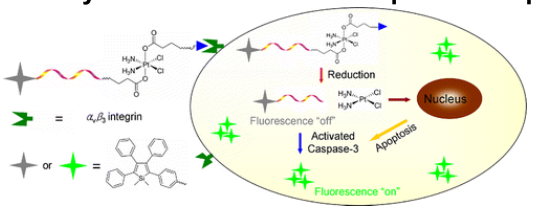


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Yuan, Y. et al. J. Am. Chem. Soc., 2014, 136 (6), pp 2546–2554

Targeted Theranostic Platinum(IV) Prodrug with a Built-In Aggregation-Induced Emission Light-Up Apoptosis Sensor for Noninvasive Early Evaluation of Its Therapeutic Responses in Situ



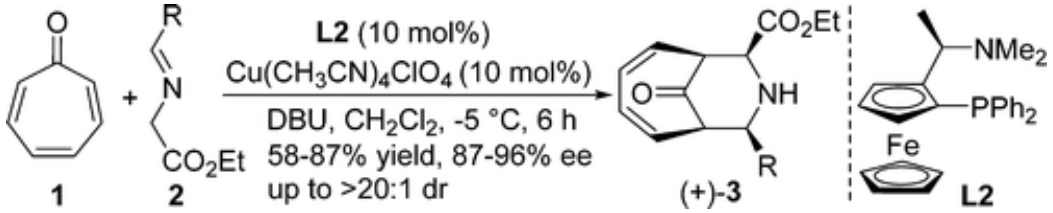
Targeted drug delivery to tumor cells with minimized side effects and real-time in situ monitoring of drug efficacy is highly desirable for personalized medicine.In this work, the targeted chemotherapeutic Pt(IV) prodrug can selectively bind to integrin overexpressed cancer cells to facilitate cellular uptake. In addition, the Pt(IV) prodrug can be reduced to active Pt(II) drug in cells and release the apoptosis sensor TPS-DEVD simultaneously.Such noninvasive and real-time imaging of drug-induced apoptosis in situ can be used as an indicator for early evaluation of the therapeutic responses of a specific anticancer drug.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Liu, H. et al. J. Am. Chem. Soc., 2014, 136 (6), pp 2625–2629

Metal-Catalyzed [6 + 3] Cycloaddition of Tropone with Azomethine Ylides: A Practical Access to Piperidine-Fused Bicyclic Heterocycles

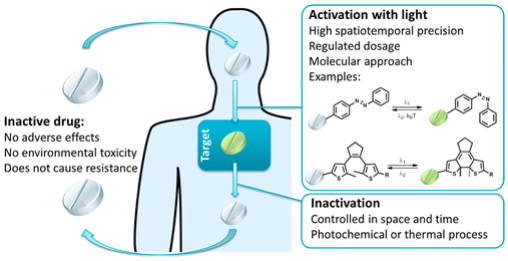


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Velema, W. A. et al. J. Am. Chem. Soc., 2014, 136 (6), pp 2178–2191

Photopharmacology: Beyond Proof of Principle



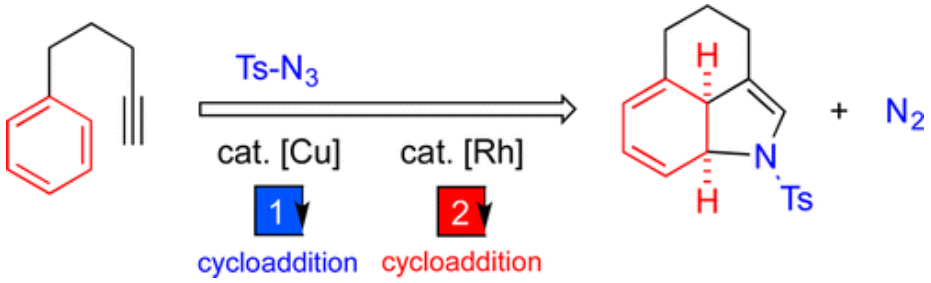
Photopharmacology aims at controlling drug activity in time and space to increase selectivity by incorporating photoswitchable groups into the molecular structure of bioactive compounds. These switching units allow for the use of light as an external control element for pharmacological activity, which can be delivered with very high spatiotemporal precision. This Perspective presents the reader with the current state and outlook on photopharmacology.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Miura, T. et al. J. Am. Chem. Soc., 2014, 136 (6), pp 2272–2275

Intramolecular Dearomatizing [3 + 2] Annulation of alpha-Imino Carbenoids with Aryl Rings Furnishing 3,4-Fused Indole Skeletons



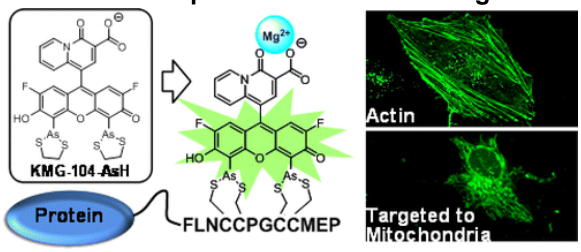
The rhodium-catalyzed dearomatizing [3 + 2] annulation reaction of 4-(3-arylpropyl)-1,2,3-triazoles is described. It provides a straightforward synthetic pathway from simple 5-aryl-1-alkynes leading to tricyclic 3,4-fused dihydroindoles via the corresponding 1,2,3-triazoles.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Fujii, T. et al. J. Am. Chem. Soc., 2014, 136 (6), pp 2374–2381

Design and Synthesis of a FlAsH-Type Mg2+ Fluorescent Probe for Specific Protein Labeling



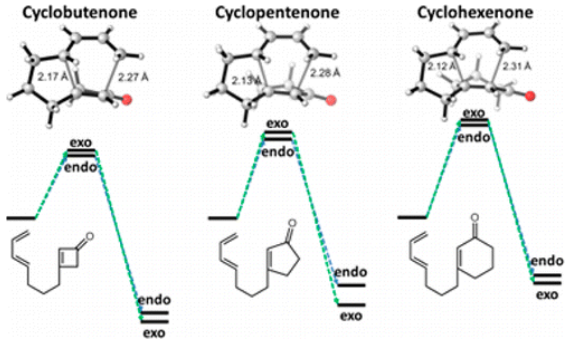
We describe a novel fluorescent Mg2+ probe, “KMG-104-AsH”, composed of a highly selective fluorescent Mg2+ probe, “KMG-104”, and a fluorescence-recoverable probe, “FlAsH”, bound specifically to a tetracysteine peptide tag (TCtag), which can be genetically incorporated into any protein. Application of the probe for imaging of Mg2+ in HeLa cells showed that this FlAsH-type Mg2+ sensing probe is membrane-permeable and binds specifically to tagged proteins, such as TCtag-actin and mKeima-TCtag targeted to the cytoplasm and the mitochondrial intermembrane space.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Pham, H. V. et al. J. Am. Chem. Soc., 2014, 136 (6), pp 2397–2403

Intramolecular Diels–Alder Reactions of Cycloalkenones: Stereoselectivity, Lewis Acid Acceleration, and Halogen Substituent Effects



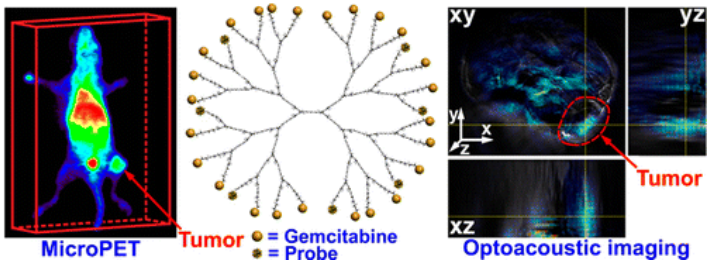
The intramolecular Diels–Alder reactions of cycloalkenones and terminal dienes occur with high endo stereoselectivity, both thermally and under Lewis-acidic conditions.Through computations, we show that steric repulsion and tether conformation govern the selectivity of the reaction, and incorporation of either BF3 or alpha-halogenation increases the rate of cycloaddition. With a longer tether, isomerization from a terminal diene to the more stable internal diene results in a more facile cycloaddition.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wu, W. et al. J. Am. Chem. Soc., 2014, 136 (8), pp 3145–3155

Oligo(ethylene glycol)-Based Thermosensitive Dendrimers and Their Tumor Accumulation and Penetration



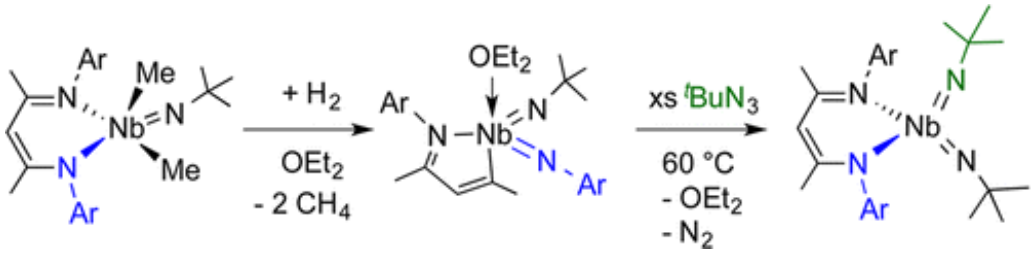
A new class of oligo(ethylene glycol) (OEG)-based thermosensitive dendrimers up to the fourth generation were synthesized. OEG/poly(ethylene glycol) (PEG) moieties with different precise lengths were introduced to the periphery of the fourth-generation dendrimer followed by an antitumor agent, gemcitabine (GEM).The GEM-conjugated dendrimer with the longest peripheral PEG segments exhibited the most desirable tumor accumulation and penetration and thus had significantly higher antitumor activity than the GEM-conjugated PAMAM.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Obenhuber, A. H. et al. J. Am. Chem. Soc., 2014, 136 (8), pp 2994–2997

Reaction of (Bisimido)niobium(V) Complexes with Organic Azides: [3 + 2] Cycloaddition and Reversible Cleavage of beta-Diketiminato Ligands Involving Nitrene Transfer

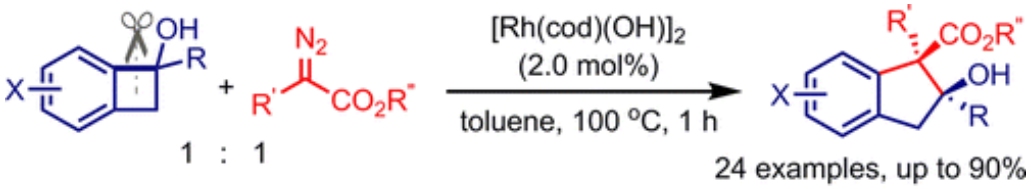


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Xia, Y. et al. J. Am. Chem. Soc., 2014, 136 (8), pp 3013–3015

Formal Carbene Insertion into C–C Bond: Rh(I)-Catalyzed Reaction of Benzocyclobutenols with Diazoesters



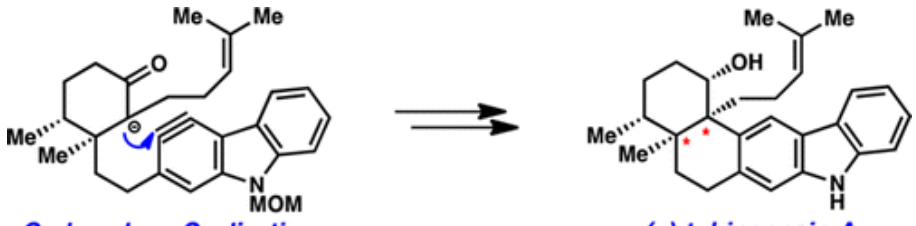
✔ *Formal C-C bond carbene insertion*
✔ *Highly diastereoselective*

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Goetz, A. E. et al. J. Am. Chem. Soc., 2014, 136 (8), pp 3036–3039

Concise Enantiospecific Total Synthesis of Tubingensin A



Carbazolyne Cyclization

(+)-tubingensin A
9 step total synthesis
(longest linear sequence)

The enantiospecific total synthesis of (+)-tubingensin features an aryne cyclization to efficiently introduce the vicinal quaternary stereocenters of the natural product and proceeds in only nine steps (longest linear sequence) from known compounds.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Falsini, S. *et al. J. Med. Chem.* **2014**, *57* (4), 1138.

Advances in Lipid-Based Platforms for RNAi Therapeutics

A mini-perspective discussing the application of lipid-based vehicles for the delivery of siRNA in molecular medicine, especially with regard to recent clinical trials where conventional therapies have failed. The role played by extended physicochemical characterization for the success of RNAi therapeutics is also evidenced.

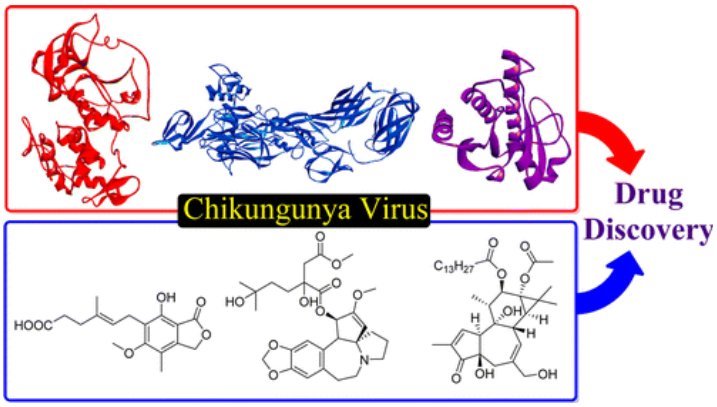
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Rashad, A. A.; Mahalingam, S.; Keller, P. A. *J. Med. Chem.* **2014**, *57* (4), 1147.

Chikungunya Virus: Emerging Targets and New Opportunities for Medicinal Chemistry

This Perspective discusses the chikungunya virus as a significant, new emerging topic for medicinal chemistry, highlighting the key viral target proteins and their molecular functions that can be used in drug design, as well as the most important ongoing developments for anti-chikungunya virus research.



bioorganic
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synthesis
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other

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Bryo
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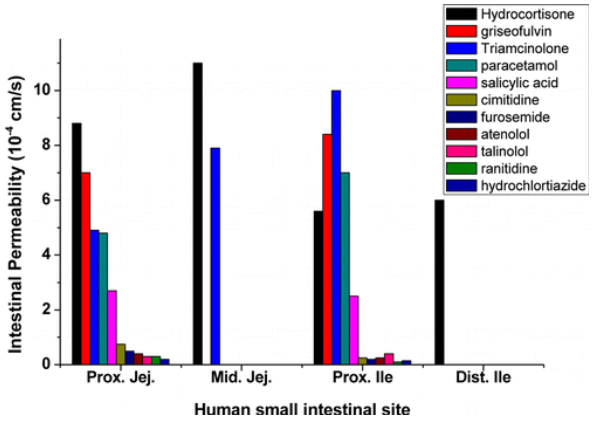
Drug Deliv.
Prostratin

Citation: Mol. Pharmaceutics 2014, 11, 12-23

Human in Vivo Regional Intestinal Permeability: Importance for Pharmaceutical Drug Development

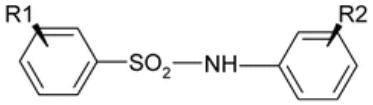


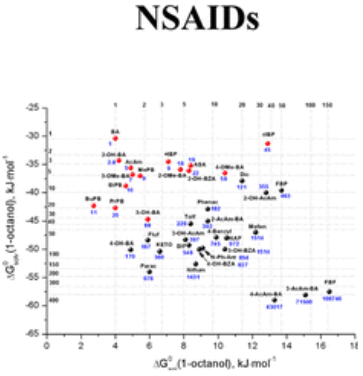
bioorganic
methods
synthesis
mechanism
review
other

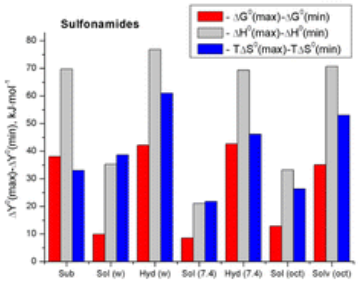
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Mol. Pharmaceutics 2014, 11, 1-11

Thermodynamic Approaches to the Challenges of Solubility in Drug Discovery and Development





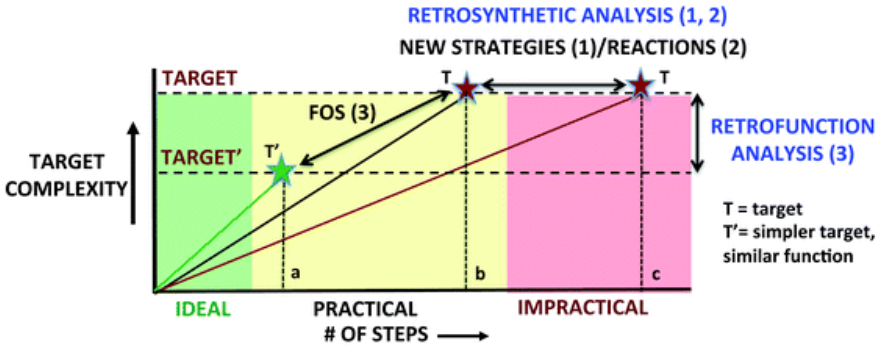


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wender, P. A.; *Nat. Prod. Rep.,* 2014, Advance Article

Toward the ideal synthesis and molecular function through synthesis-informed design



bioorganic
methods
synthesis
mechanism
review
other

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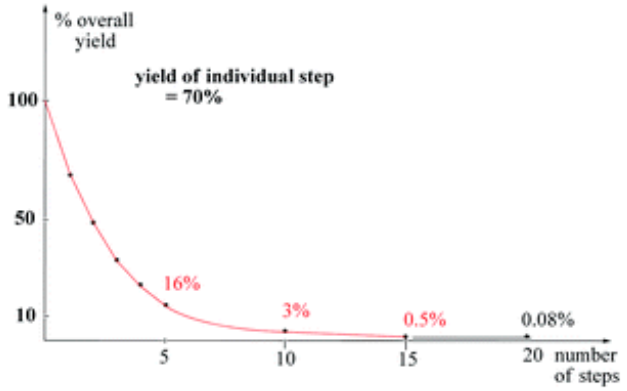
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Mulzer, J.; *Nat. Prod. Rep.,* 2014, Advance Article

Trying to rationalize total synthesis

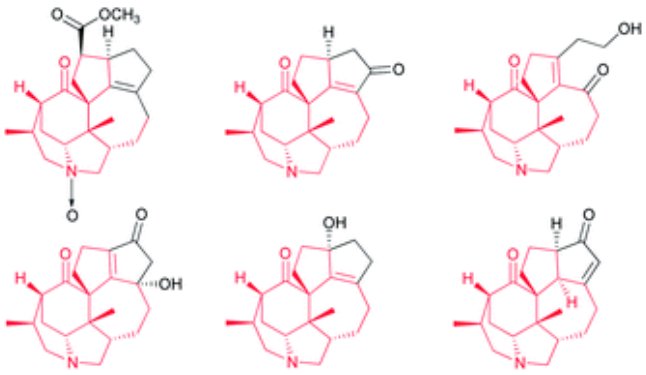


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Kang, B., Jakubec, P., Dixon, D.J.; *Nat. Prod. Rep.*, 2014, Advance Article

Strategies towards the synthesis of calyciphylline A-type Daphniphyllum alkaloids



bioorganic
methods
synthesis
mechanism
review
other

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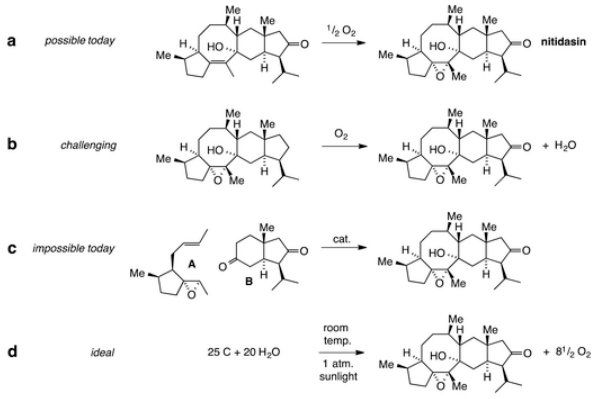
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Trauner, D.; *Nat. Prod. Rep.*, 2014, Advance Article

Finding function and form

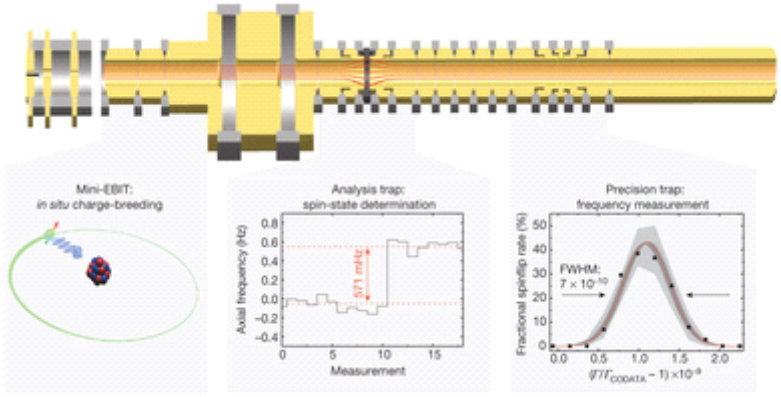


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Sturm, S. et al. *Nature*. **2014**, *506*, 467.

High-precision measurement of the atomic mass of the electron

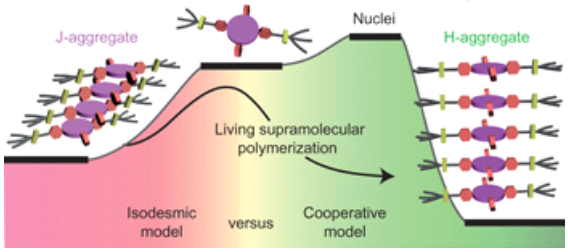


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ogi, S.; Sugiyasu, K.*; Manna,S.; Samitsu, S.; Takeuchi, M.* Nature Chemistry 6, 188–195 (2014)

Living supramolecular polymerization realized through a biomimetic approach



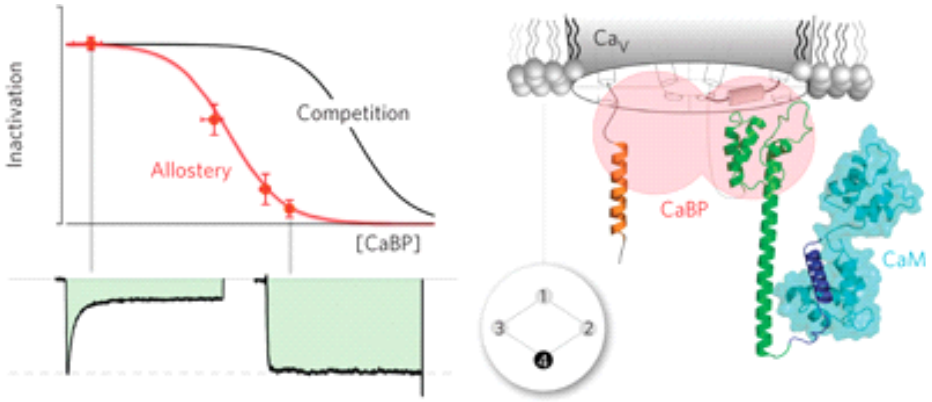
We have investigated the assembly phenomenon using isodesmic and cooperative models and found that it occurs through a delicate interplay of these two aggregation pathways. Using this understanding of the mechanism taking place, we have designed a living supramolecular polymerization of the porphyrin-based monomers. Despite the fact that the polymerization is non-covalent, the reaction kinetics are analogous to that of conventional chain growth polymerization, and the supramolecular polymers were synthesized with controlled length and narrow polydispersity.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Yang, P.S, et. al. Nature Chem Biol. 2014, 10, 231-238.

Allostery in Ca2+ channel modulation by calcium-binding proteins



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: http://www.nytimes.com/2014/03/06/health/study-gives-hope-of-altering-genes-to-repel-hiv.html

Study Gives Hope of Altering Genes to Repel H.I.V.

This research involves the first use in humans of "gene editing," a treatment that zeros in on a particular gene and disables it. In 12 people infected with H.I.V., scientists used the techinique to get rid of a protein on the patients' immune cells that the virus must latch onto to invade the cells. Cells were removed from the patients, treated and then dripped back into their bloodstreams through an intravenous line. In theory, if enough cells could be engineered to repel the virus, patients might no longer need antiviral drugs, and might in effect be cured.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: http://www.nytimes.com/2014/03/09/opinion/sunday/great-hope-for-babies-with-hiv.html

Great Hope for Babies with H.I.V.

The benefit of early aggressive treatment was startling in a baby born in Mississippi in 2013. The baby's mother was infected with H.I.V., but she got no treatment during her pregnancy. Doctors gave the baby the strong three-drug regimen some 30 hours after birth and continued the treatment for 18 months, until the mother stopped coming to the hospital and giving her baby the drugs. To the amazement of doctors, the Mississippi child, now more than 3 years old, remains essentially free of the virus, a condition some call a "cure" or a "functional cure."

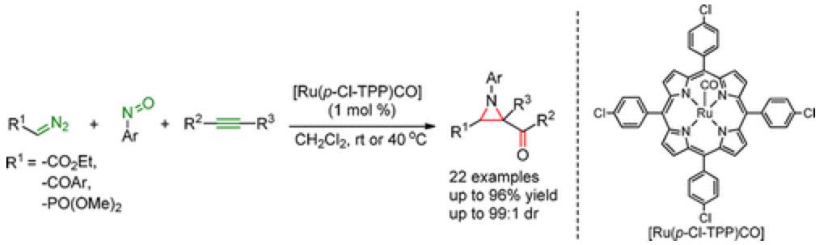
At a meeting in Boston last week, doctors reported the case of another baby, a girl born in Long Beach, Calif., who was put on a three-drug regimen starting four hours after birth. The baby, now 9 months old, has no signs of virus in her blood that can be detected by the most highly sophisticated tests.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Reddy, A. R.; et al. *Org. Lett.* **2014**, 16 (4), 1048-1051

Ruthenium Porphyrin Catalyzed Three-Component Reaction of Diazo Compounds, Nitrosoarenes, and Alkynes: An Efficient Approach to Multifunctionalized Aziridines



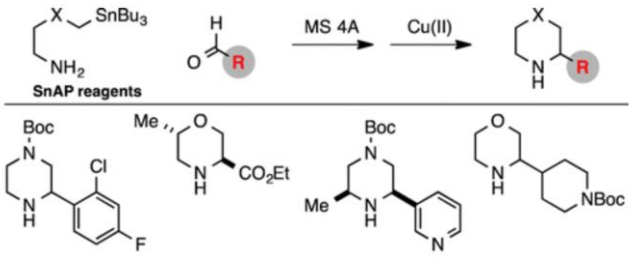
A ruthenium porphyrin catalyzed three-component reaction of diazo compounds, nitrosoarenes, and alkynes gives multifunctionalized aziridines in good to high yields and with moderate to high diastereoselectivity.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Luescher, M. U..; et al. *Org. Lett.* **2014**, 16 (4), 1236-1239.

SnAP Reagents for the Synthesis of Piperazines and Morpholines



Substituted piperazines and morpholines are valuable structural motifs in biologically active compounds, but are not easily prepared by contemporary cross-coupling approaches. In this report, we introduce SnAP reagents for the transformation of aldehydes into *N*-unprotected piperazines and morpholines. This approach offers simple, mild conditions compatible with aromatic, heteroaromatic, aliphatic, and glyoxylic aldehydes and provides mono- and disubstituted N-heterocycles in a single step.

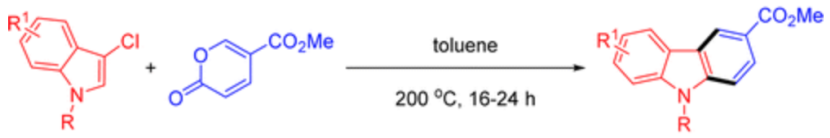
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Guney, T.; et al. *Org. Lett.* **2014**, 16 (4), 1124-1127

First Inverse Electron-Demand Diels-Alder Methodology of 3-Chloroindoles and Methyl Coumalate to Carbazoles

IEDDA / decarboxylation / aromatization



• exclusive regiocontrol • up to 90% yield • one-pot • metal-free

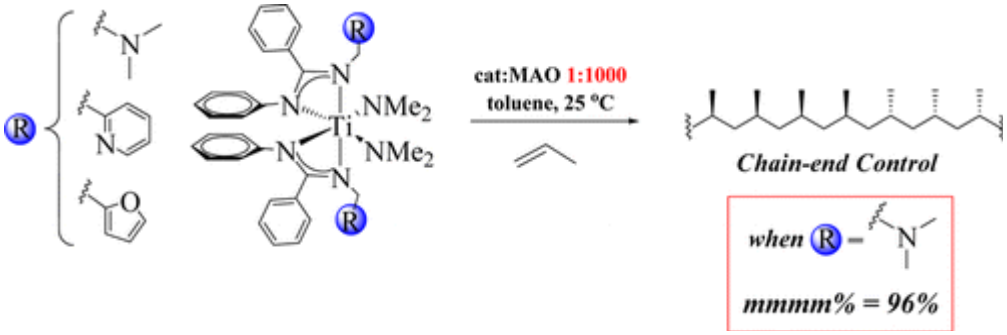
The first successful inverse electron-demand Diels-Alder has been demonstrated with the 2-pyrone methyl coumalate in conjunction with substituted indoles. Utilizing 1-alkyl-3-chloroindoles as the electron-rich dienophile efficiently generates carbazoles without the need for additional metal catalysts. Through a thermal, one-pot Diels-Alder/decarboxylation/elimination domino sequence, access to a class of 3-methylcarbazoles is rapidly generated with exclusive regiocontrol in up to 90% yield.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Elkin, T.; Botoshansky, M.; Waymouth, R.M.; Eisen, M.S. *Organometallics.* **2014**, *33*, 840.

Titanium Bis(amidinates) Bearing Electron Donating Pendant Arms as Catalysts for Stereospecific Polymerization of Propylene

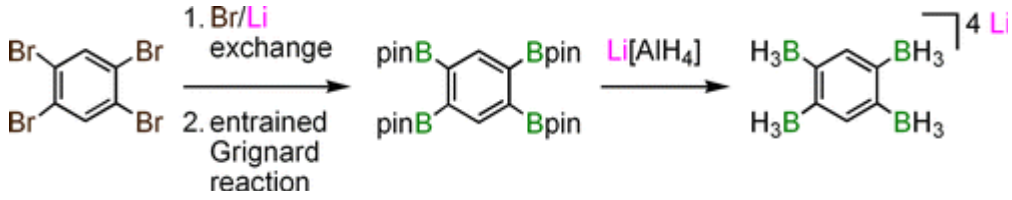


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Seven, O.; Bolte, M.; Lerner, H.-W.; Wagner, M. *Organometallics*. **2014**, *33*, 1291.

High-Yield Syntheses and Reactivity Studies of 1,2-Diborylated and 1,2,4,5-Tetraborylated Benzenes



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Zare, et al. PNAS | February 18, 2014 | vol. 111 | no. 7, 2436–2441

Molecular assessment of surgical-resection margins of gastric cancer by mass-spectrometric imaging

Complete resection of a tumor is associated with an improved prognosis for most types of solid malignancies. In gastric- cancer surgery, surgical-margin evaluation is commonly per- formed intraoperatively by histopathologic evaluation of frozen sections. However, frozen-section results are subjective and can be unreliable in up to 30% of patients undergoing resection of gastrointestinal cancers. We used desorption electrospray ionization mass spectrometric imaging (DESI-MSI) and the sta- tistical method of least absolute shrinkage and selection op- erator (Lasso) to classify tissue as cancer or normal based on molecular information obtained from tissue and also to select those mass-spectra features most indicative of disease state.

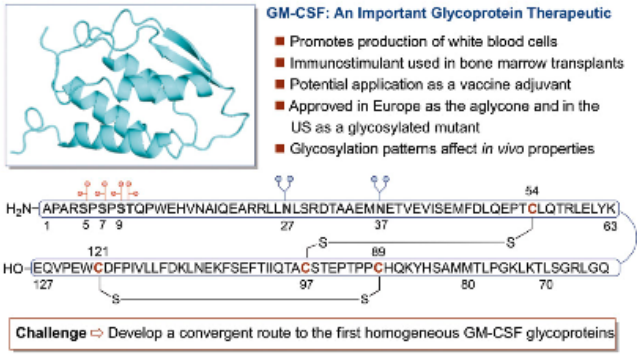
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Danishefsky, et al. PNAS | February 25, 2014 | vol. 111 | no. 8 | 2885–2890

Synthesis of granulocyte–macrophage colony-stimulating factor as homogeneous glycoforms and early comparisons with yeast cell-derived material

As biologically active glycoproteins are increasingly investigated as potential therapeutic agents, there is a growing demand for the development of strategies for the synthesis of homoge- neous, single-glycoform constructs for the purposes of rigorous evaluation. We have completed a chemical synthesis of homogeneous, single-glycoform GM-CSF. Through adaptation of this modular synthetic route, it will be possible to gain access to a menu of single-glycoform GM-CSF congeners for a wide range of biological studies.



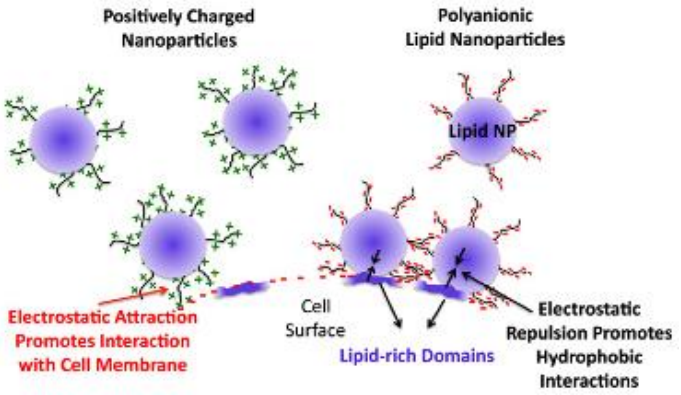
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: 2942–2947 | PNAS | February 25, 2014 | vol. 111 | no. 8

Differential uptake of nanoparticles by endothelial cells through polyelectrolytes with affinity for caveolae

We have discovered that charged polymers containing aromatic sulfonate have pro- nounced affinity for caveolae, which are highly expressed by endothelial cells. By engineering the surface of lipid NPs to bear sulfonate-containing polymers, lipid NPs that are prefer- entially taken up by endothelial cells have been demonstrated.

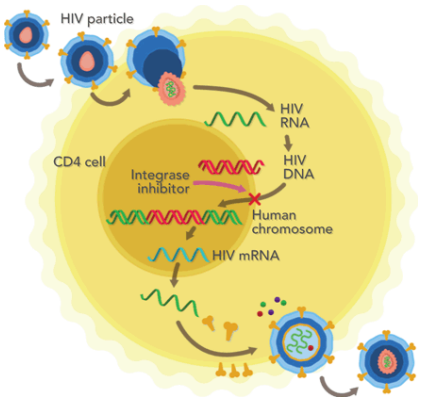


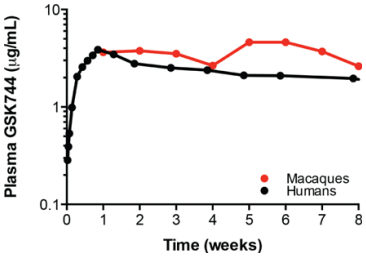
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Andrews, C.D., et.al. Science. 2014, 343, 1151-1154

Long-Acting Integrase Inhibitor Protects Macaques from Intrarectal Simian/Human Immunodeficiency Virus





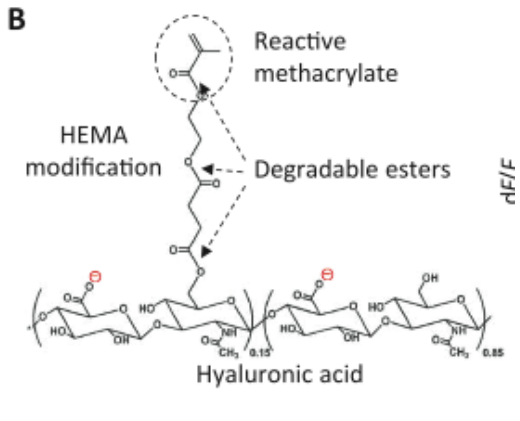
Preexposure prophylaxis involving daily doses of drugs can, with variable success rates, interrupt HIV transmission for individuals at high risk of acquiring HIV infection. A potent integrase inhibitor forulation as is detailed which protected macaques from repeated intrarectal challenges of simian HIV. Decay of plasma levels of drug were associated with increased susceptibility to infection after virus exposure. The drug levels required for a high degree of protection could potentially be achieved with quarterly injections in humans.

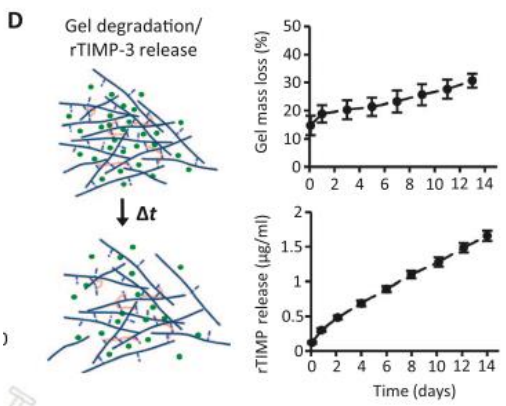
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Shaina R. Eckhouse et al. Sci Transl Med 6, 223ra21 (2014)

Local Hydrogel Release of Recombinant TIMP-3 Attenuates Adverse Left Ventricular Remodeling After Experimental Myocardial Infarction



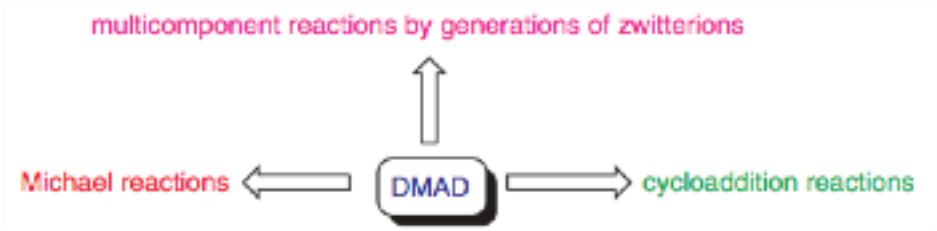


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Neochroritis, G. et. al. *Synthesis*, **2014**, 46(05), 537.

Dimethyl Acetylenedicarboxylate: A Versatile Tool in Organic Synthesis

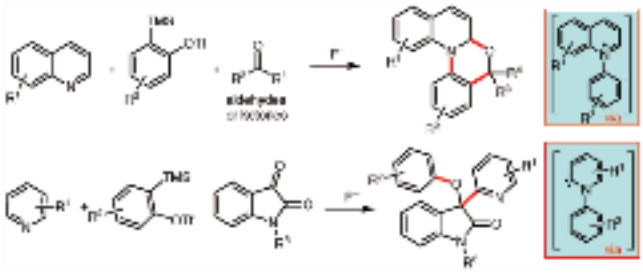


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Bhunia, Anup; Biju, Akkattu, T. et. al. *Synlett*, **2014**, 05(25), 608.

Employing Arynes in Transition-Metal-Free, N-Heterocycle- Initiated Multicomponent Reactions

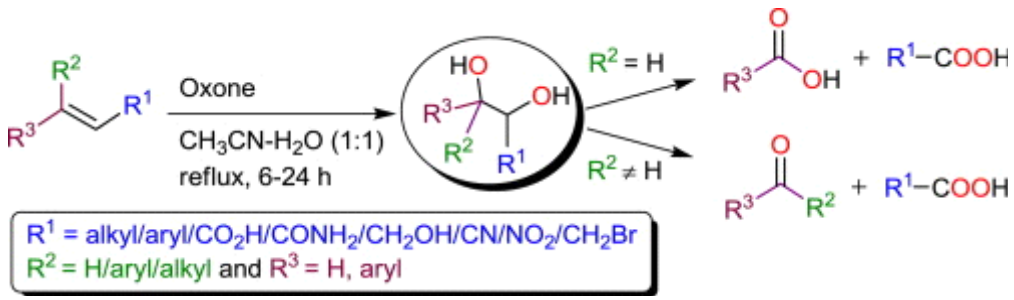


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Parida, Keshaba N.; Moorthy, Jarugu N. *Tetrahedron* 70 (**2014**) 2280-2285

Oxidation cascade with oxone: cleavage of olefins to carboxylic acids

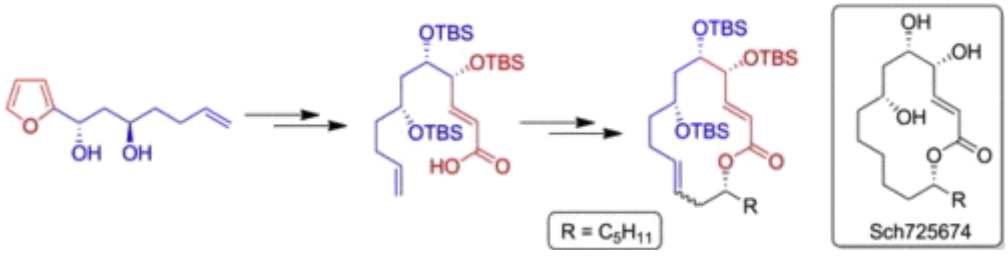


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Sunnam, Sunil Kumar; Prasad, Kavirayani R. *Tetrahedron*. 70 (**2014**) 2096-2101

Enantioselective synthesis of macrolactone core of the natural product Sch725674

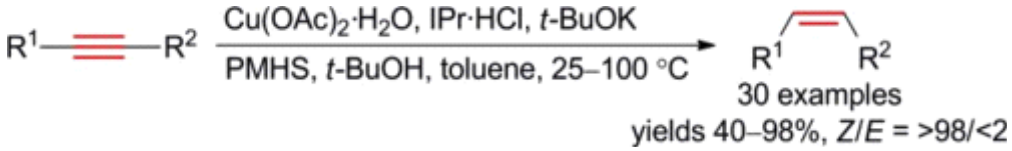


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wanga, Guang-Hui; Zhonga, Chong-Min, et al. *Tetrahedron* **2014**, 70, 2175-2179

Copper-catalyzed Z-selective semihydrogenation of alkynes with hydrosilane: a convenient approach to cis-alkenes

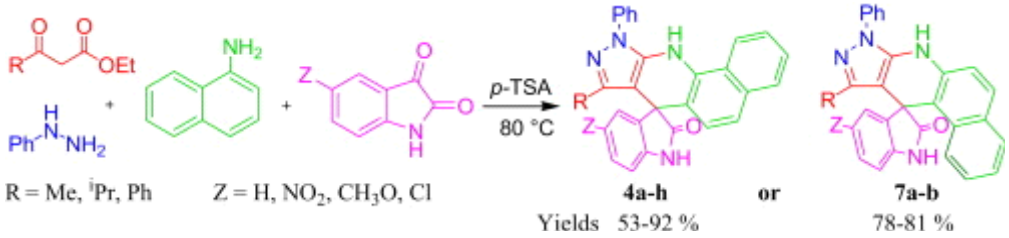


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hosseinjani-Pirdehia,Hamide; Rad-Moghadama, Kurosh; Youseftabar-Miri, Leila. *Tetrahedron* **2014** 70, 1780-1785

A four-component synthesis of novel spiro[pyrazoloquinoline-oxindoles] under solvent-free conditions

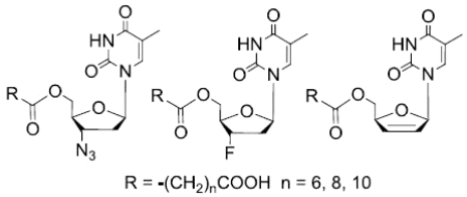


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Parang, K, *et al.Tetrahedron Letters*, **2014**, 55 (12), 1983-1986

Synthesis and biological evaluation of 5'-O-dicarboxylic fatty acyl monoester derivatives of anti-HIV nucleoside reverse transcriptase inhibitors



A number of 5?-O-dicarboxylic fatty acyl monoester derivatives of 3'-azido-3'-deoxythymidine (zidovudine, AZT), 2',3'-didehydro-2',3'-dideoxythymidine (stavudine, d4T), and 3'-fluoro-3'-deoxythymidine (alovudine, FLT) were synthesized to improve the lipophilicity and potentially the cellular delivery of parent polar 2',3'-dideoxynucleoside (ddN) analogs.Among all the tested conjugates, 5'-O-suberate derivative of AZT (EC50 = 0.10 nM) was found to be the most potent compound and showed 80-fold higher anti-HIV activity than AZT without any significant toxicity (TC50 >500 nM).

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin