

Volume 39 / Issue 2 17 February 2014

Accounts of Chemical Research	62	Adele Xu
ACS Chemical Biology	63	Alison Axtman
ACS Medicinal Chemistry Letters	64	Xiaoyu Zang (Janice)
ACS Nano	64	Daniel Buehler
Advanced Drug Delivery Reviews	65	Melanie Huttner
Angewandte Chemie International Edition	66	Daryl Staveness
Bioconjugate Chemistry	69	Daniel Buehler
Biomaterials	69	Colin McKinlay
Bioorganic and Medicinal Chemistry	71	Nancy Benner
Bioorganic and Medicinal Chemistry Letters	72	Nancy Benner
Chemical Communications	72	Katie Near
Chemical & Engineering News	74	Matthew Stevens
Chemical Reviews	75	Jennifer Mattler
Chemical Science	N/A	Silke Kayser
Chemistry, A European Journal	75	Xiaoyu Zang (Janice)
European Journal of Organic Chemistry	77	Melanie Huttner
Journal of the American Chemical Society	78	Steven Ryckbosch (odd)
	79	Hsio-Tieh Hsu (even)
Journal of Medicinal Chemistry	84	Katie Near
Journal of Organic Chemistry	84	Matthew Jeffreys
Molecular Pharmaceutics	88	Colin McKinlay
Natural Product Reports	88	Nancy Benner
Nature	89	Ryan Quiroz
Nature Chemistry	89	Jennifer Mattler
Nature Chemical Biology	90	Alison Axtman
The New York Times	91	Melanie Huttner
The Onion	N/A	Steven Ryckbosch
Organic Letters	92	Matthew Stevens
Organometallics	93	Ryan Quiroz
PNAS	93	Jessica Vargas
Science	95	Daniel Buehler
Science Translational Medicine	95	Jessica Vargas
Synthesis	96	Andrew Raub
SynLett	96	Andrew Raub
Tetrahedron	97	Akira Shimizu
Tetrahedron Letters	N/A	Silke Kayser

Next Due Date: Monday, March 17th, 2014

Instructions for Authors (Volume 39)

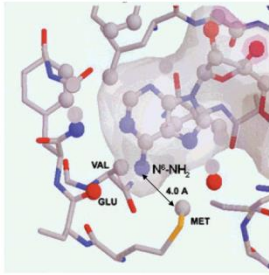
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent 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. <i>Biochemistry</i> 2007 , <i>46</i> , 2364-2370	
<p>Design and Characterization of a Traceable Protein Kinase C-alpha</p> <p>Protein kinase CR (PKCR) is a critical component of pathways that govern cancer-related phenotypes such as invasion and proliferation. Proteins that serve as immediate substrates for PKCR offer potential targets for anticancer drug design. To identify specific substrates, a mutant of PKCR (M417A) was constructed at the ATP binding site such that it could bind a sterically large ATP analogue derivatized through the N6 amino group of adenosine (1ϵ-³²P-N₆-phenyl-ATP). Because this analogue could be utilized by the mutant kinase but not by wild-type PKCR (or presumably other protein kinase) to phosphorylate peptide or protein substrates, ³²P-labeled products were the direct result of the mutant PKCR.</p>	
	bioorganic asymmetric methods synthesis mechanism review other
	OM Bryo Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.

Citation: Dictionary.com (search term = "mook")	
For those of you who always wanted to know what it meant... mook Pronunciation Key (mk) <i>n. Slang</i> 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:

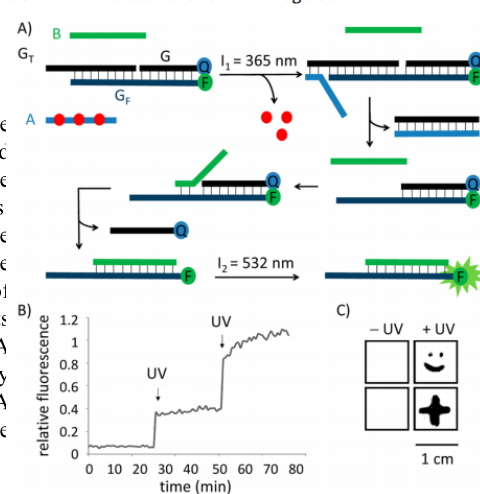
- You will get last choice when it's time to pick new journals.

Citation: Liu, Q.; Deiters, A. *Acc. Chem. Res.* 2014, 47, 44-55.

Optochemical Control of Deoxyoligonucleotide Function via a Nucleobase-Caging Approach

Synthetic oligonucleotides have been used to control a wide range of biological processes, but a lack of spatial and temporal control of oligonucleotide activity has limited the use of oligonucleotides for probing complex processes. Appending photolabile compounds to the oligonucleotide backbone or to specific nucleobases blocks oligonucleotide function until irradiation. This account reviews the use of triplex-forming oligomers, DNA decoys, and antisense agents for transcription regulation. Strategies for triggering DNA enzymatic activity, amplification, and mutagenesis by irradiation are also discussed. Lastly, light-activated DNA logic operations for the control of DNA calculations are described.

SCHEME 2. Photoactivation of a DNA Logic Gate^d



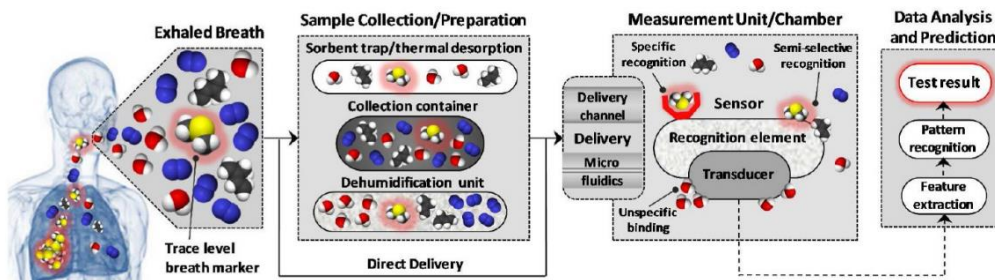
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Konvalina, G.; Haick, H. *Acc. Chem. Res.* 2014, 47, 66-76.

Sensors for Breath Testing: From Nanomaterials to Comprehensive Disease Detection

The analysis of volatile organic compounds offers new opportunities for non-invasive diagnostic techniques. Developing sensing matrices based on nanomaterials may offer small, easily operable, and less expensive analytical methods than current spectrometric or spectroscopic methods. Requirements for an ideal nanomaterial-based sensor are discussed, and directions for future development are highlighted. Strategies for tailoring characteristics of the sensors are discussed.



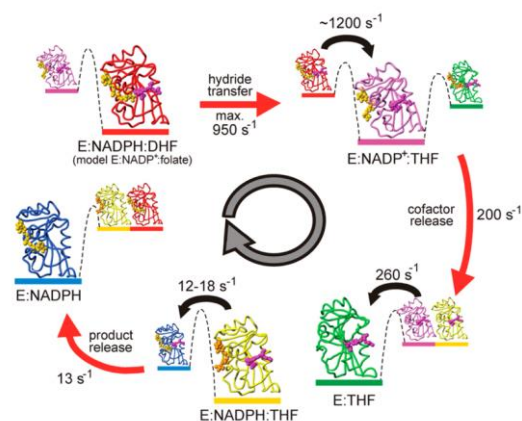
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ramanathan, A.; Savol, A.; Burger, V.; Chennubhotla, C. S.; Agarwal, P. K. *Acc. Chem. Res.* 2014, 47, 149-156.

Protein Conformational Populations and Functionally Relevant Substrates

This account reviews recent developments and challenges in the characterization of functionally relevant conformational populations and substrates of proteins, and implications for our understanding of enzyme activity and drug design. Photoactivation and allosteric modulation are discussed as methods for drug development.



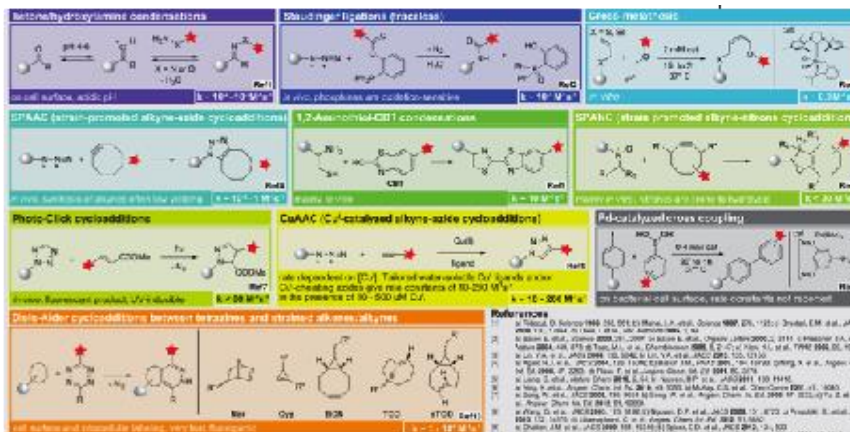
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Lang, K.; Chin, J. W. *ACS Chem Biol.* **2014**, *9*, 16-20.

Bioorthogonal Reactions for Labeling Proteins

A good review of everything bioorthogonal

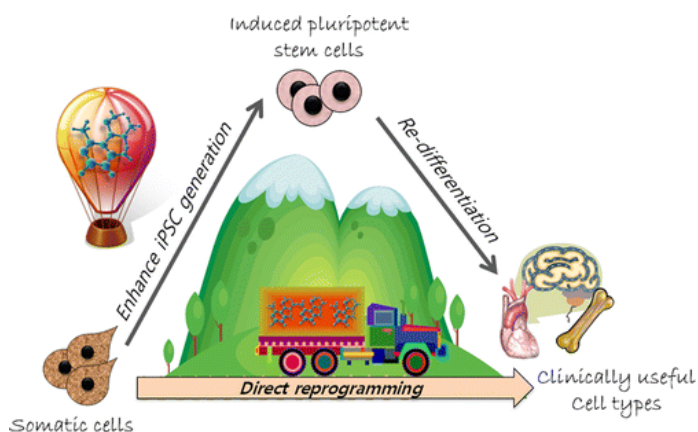


bioorganic methods synthesis mechanism review other

OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin

Citation: Jung, D.-W.; et al. *ACS Chem. Biol.* **2013**, *9*, 80-95.

Reprogram or Reboot: Small Molecule Approaches for the Production of Induced Pluripotent Stem Cells and Direct Cell Reprogramming

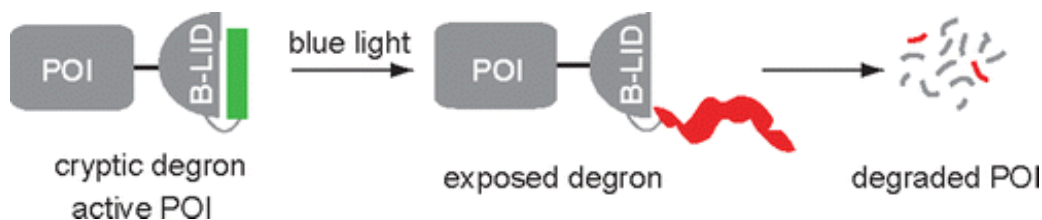


bioorganic methods synthesis mechanism review other

OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin

Citation: Bongers, K. M.; et al. *ACS Chem. Biol.* **2014**, *9*, 111-115.

General Method for Regulating Protein Stability with Light



Wandless lab publication

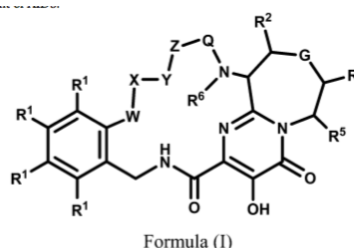
bioorganic methods synthesis mechanism review other

OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin

Citation: Abdel-Magid, A, *et al. ACS Med. Chem. Lett.* **2014**, 5, 102-103.

HIV Integrase Inhibitors for Treatment of HIV Infections and AIDS

The invention in this patent application relates to macrocyclic compounds, represented generally by formula (I) that are inhibitors of HIV integrase. These compounds may potentially be useful for treatment of HIV infections and AIDS.



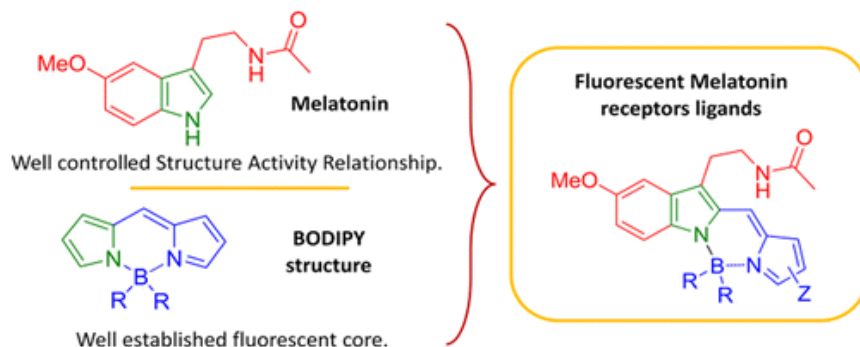
The inventors described the synthesis and structures of 28 examples of formula (I).

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Thirea, J., *et al. ACS Med. Chem. Lett.* **2014**, 5, 158-161.

Original Design of Fluorescent Ligands by Fusing BODIPY and Melatonin Neurohormone

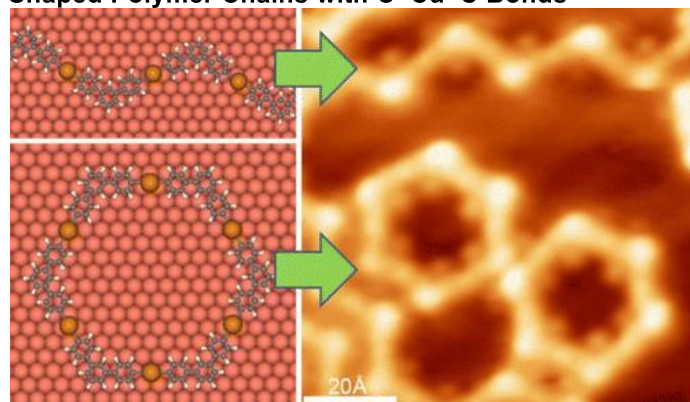


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Fan, Q. *et al. ACS Nano* **2014**, 8, 709-718.

Surface-Assisted Formation, Assembly, and Dynamics of Planar Organometallic Macrocycles and Zigzag Shaped Polymer Chains with C–Cu–C Bonds



Formation, structure, and dynamics of planar organometallic macrocycles (meta-terphenyl-Cu)_n and zigzag-shaped one-dimensional organometallic polymers on a Cu(111) surface are detailed using scanning tunneling microscopy (STM) and X-ray photoelectron spectroscopy (XPS) and may represent intermediates in the surface-confined Ullmann synthesis of hydrocarbon macrocycles such as the recently discovered hyperbenzene.

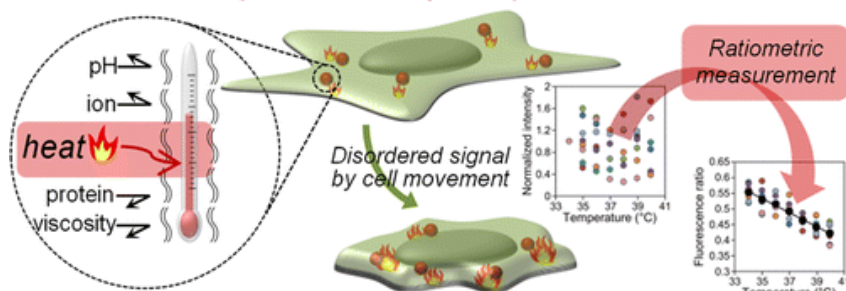
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Takei, Y., et. al. *ACS Nano*, **2014**, 8, 198–206

A Nanoparticle-Based Ratiometric and Self-Calibrated Fluorescent Thermometer for Single Living Cells

Thermometry at discrete spots by nanothermometers



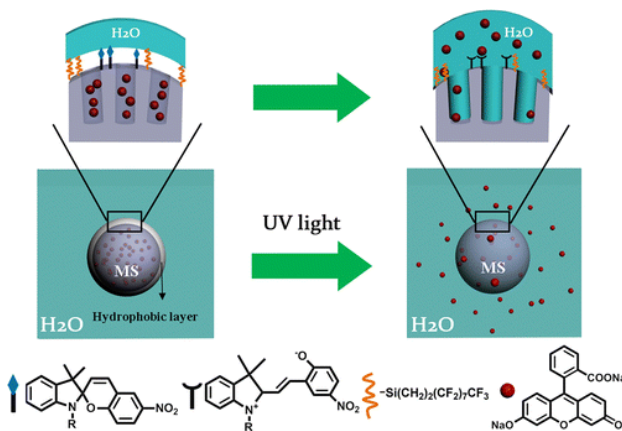
Thermosensitive fluorophore, β -diketonate chelate europium(III) thenoyltrifluoroacetate, and the thermoinsensitive fluorophore, rhodamine 101 (as a self-reference), are embedded in a polymeric nanoparticle which protects them from intracellular conditions for formation of ratio nanothermometers allowing for single cell subcellular thermometry.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Chen, L., et. al. *ACS Nano*, **2014**, 8, 744–751

A Light-Responsive Release Platform by Controlling the Wetting Behavior of Hydrophobic Surface



Controlled release of the anti-cancer compound camptothecin from mesoporous silica nanoparticles by light responsive spiropyran to fluorinated silane. Irradiation at 365nm causes spiropyran ring opening which allows nanoparticle hydration for subsequent drug release.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Rychak, J. J.; Klibanov, A. L. *Adv. Drug Del. Rev.*, **2014**

Nucleic acid delivery with microbubbles and ultrasound

Microbubbles can serve as foci for local deposition of ultrasound energy near a target cell, and greatly enhance sonoporation. This allows for minimal transfection in the non-insonated non-target tissues. Microbubbles can be co-administered with the nucleic acid carrier, can be modified to carry nucleic acid themselves, or a liposome with embedded gas can be used. Testing has been done in a variety of animal models, although it has not yet reached a clinical trial stage.

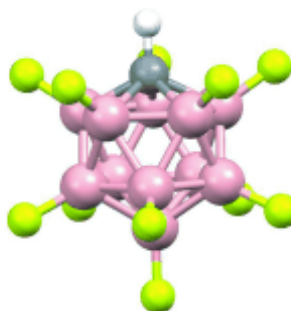
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Stoyanov, E.; Reed, C.; et al. *Angew. Chem. Int. Ed.* **2014**, *53* (4), 1131-1134.

The Strongest Brønsted Acid: Protonation of Alkanes by H(CHB11F11) at Room Temperature

The fluorinated carborane acid, H(CHB11F11), is shown to be the strongest Brønsted acid presently known. Remarkably, it protonates alkanes at room temperature. Stable carbocation salts are isolated. This novel superacid provides new opportunities to study the chemistry of hydrocarbon reforming.



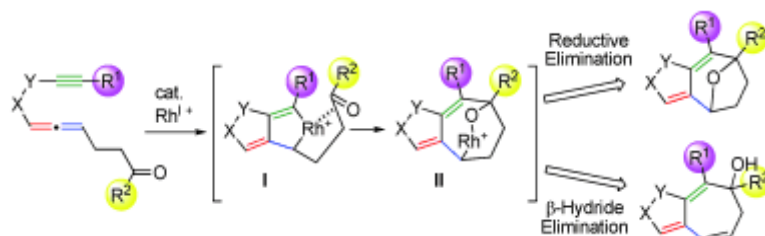
bioorganic
methods
synthesis
mechanism
review
other

REDOR
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Sato, Y.; et al. *Angew. Chem. Int. Ed.* **2014**, *53* (4), 1135-1139.

Rhodium(I)-Catalyzed Cyclization of Allenynes with a Carbonyl Group through Unusual Insertion of a C=O Bond into a Rhodacycle Intermediate

During the title reaction, a C=O bond is inserted into the C(sp²)-Rh bond of rhodacycle intermediate I. The insertion occurs via a highly strained transition state. Direct reductive elimination from II gives a tricyclic product containing an 8-oxabicyclo[3.2.1]octane skeleton, whereas β-hydride elimination from II gives products with fused five- and seven-membered rings.



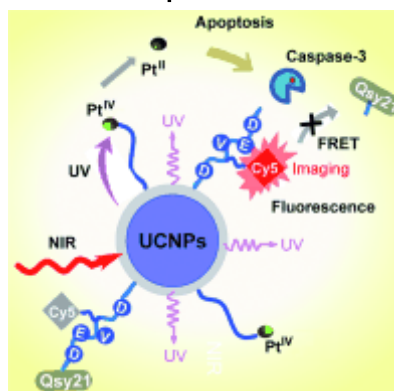
bioorganic
methods
synthesis
mechanism
review
other

OM
FOS
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Yeow, E.; Xing, B.; et al. *Angew. Chem. Int. Ed.* **2014**, *53* (4), 1012-1016.

Near-Infrared Light-Mediated Photoactivation of a Platinum Antitumor Prodrug and Simultaneous Cellular Apoptosis Imaging by Upconversion-Luminescent Nanoparticles

Near-infrared (NIR) light illumination of conjugates made of photoactive platinum(IV) prodrugs and upconversion-luminescent nanoparticles (UCNPs) is used for the remotely controlled activation of antitumor effects and for simultaneous initiation of apoptosis in the targeted tumor cells. The apoptosis-dependent caspase-3 enzyme offers the promising possibility of imaging apoptosis in real time.



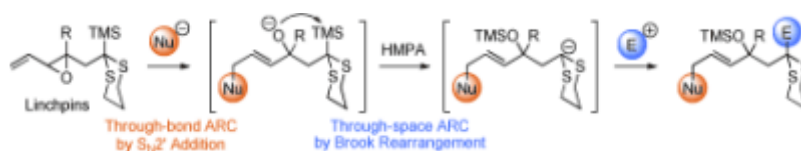
bioorganic
asymmetric
methods
synthesis
mechanism
review
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Smith, A.; et al. *Angew. Chem. Int. Ed.* **2014**, 53 (5), 1279-1282.

Through-Bond/Through-Space Anion Relay Chemistry Exploiting Vinylepoxides as Bifunctional Linchpins

The design, synthesis, and validation of three vinylepoxy linchpins for through-bond/through-space anion relay chemistry (ARC) have been achieved. For negative charge migration, this class of bifunctional linchpins employs through-bond ARC by an SN2' reaction, followed by through-space ARC exploiting a 1,4-Brook rearrangement (HMPA=hexamethylphosphoramide, TMS=trimethylsilyl).



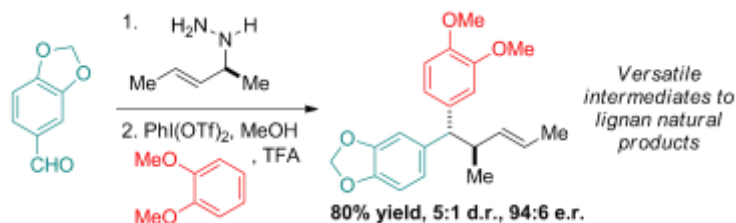
bioorganic
asymmetric
methods
synthesis
mechanism
review
other

DD
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Pharma

Citation: Thomson, R.; et al. *Angew. Chem. Int. Ed.* **2014**, 53 (5), 1395-1398.

Stereocontrolled Syntheses of Tetralone- and Naphthyl-Type Lignans by a One-Pot Oxidative [3,3] Rearrangement/Friedel–Crafts Arylation

A two-step three-component cascade process that consists of an oxidative [3,3] sigmatropic rearrangement and a Friedel–Crafts arylation has been developed. This stereoselective fragment-coupling cascade sequence provides benzhydryl-type products that are versatile intermediates for the stereocontrolled synthesis of lignan natural products. Tf=trifluoromethylsulfonyl, TFA=trifluoroacetic acid.



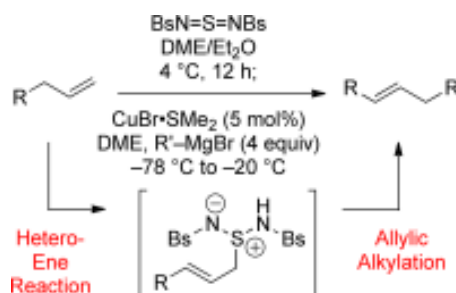
bioorganic
therapeutics
methods
synthesis
mechanism
review
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Tambar, U.; et al. *Angew. Chem. Int. Ed.* **2014**, 53 (6), 1664-1668.

Allylic Functionalization of Unactivated Olefins with Grignard Reagents

Allylic functionalization with carbon nucleophiles is a powerful strategy for converting unactivated olefins into complex products. A general method for functionalizing olefins with aromatic, aliphatic, and vinyl Grignard reagents was developed. In a one-pot process, olefins are oxidized by a commercially available reagent to allylic electrophiles, which undergo selective copper-catalyzed allylic alkylation with Grignard reagents.



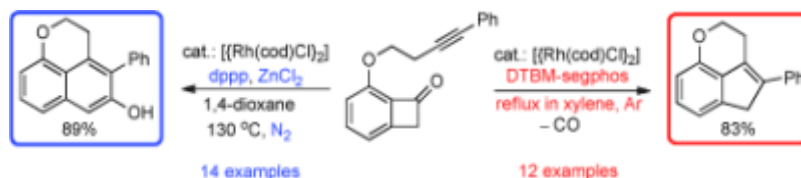
bioorganic
asymmetric
methods
synthesis
mechanism
review
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Dong, G.; et al. *Angew. Chem. Int. Ed.* **2014**, 53 (6), 1674-1678.

Divergent Syntheses of Fused β -Naphthol and Indene Scaffolds by Rhodium-Catalyzed Direct and Decarbonylative Alkyne–Benzocyclobutenone Couplings

A tunable rhodium-catalyzed intramolecular alkyne insertion reaction proceeding through C-C cleavage of benzocyclobutenones is described. Selective formation of either the direct or decarbonylative insertion product can be controlled by using different catalytic systems. A variety of fused β -naphthol and indene scaffolds were obtained in good yields with high functional-group tolerance.



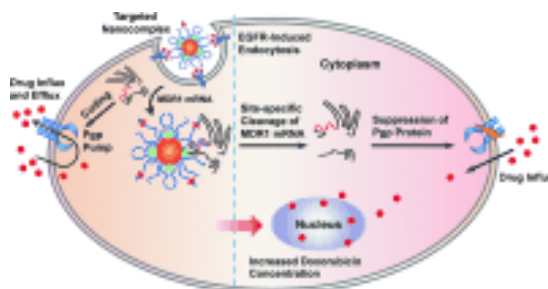
bioorganic
methods
synthesis
mechanism
review
other

REDOR
Bryo
Gnid/Kirk
Hybrid
OM
PKC

Citation: Wang, F.; Niu, G.; Yang, M.; Chen, X.; et al. *Angew. Chem. Int. Ed.* **2014**, 53 (7), 1997-2001.

Biomimetic RNA-Silencing Nanocomplexes: Overcoming Multidrug Resistance in Cancer Cells

Synthetic RISC-mimic nanocomplexes have been developed for sequence-specific gene silencing. The nanocomplexes, which were designed to target multidrug resistance, were shown to effectively suppress Pgp expression and thus restore drug sensitivity in OVCAR8/ADR cells to Pgp-transportable cytotoxic agents.



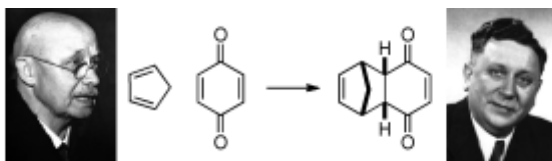
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
FOS
Hybrid
Drug Deliv.
Prostratin

Citation: Moody, C.; et al. *Angew. Chem. Int. Ed.* **2014**, 53 (8), 2056-2077.

Quinones as Dienophiles in the Diels–Alder Reaction: History and Applications in Total Synthesis

The reaction of a quinone (dienophile) with a diene was described by Diels and Alder in 1928. This Review gives an overview of the application of this reaction as a pivotal step in the total synthesis of natural products and other complex molecules.

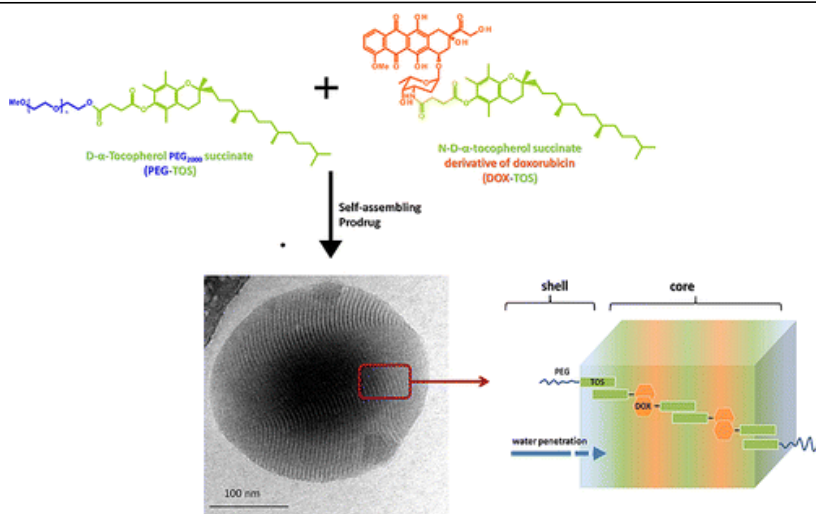


bioorganic
asymmetric
methods
synthesis
mechanism
review
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Duhem, N., et. al. *Bioconjugate Chem.*, **2014**, 25, 72–81

Self-Assembling Doxorubicin–Tocopherol Succinate Prodrug as a New Drug Delivery System: Synthesis, Characterization, and in Vitro and in Vivo Anticancer Activity

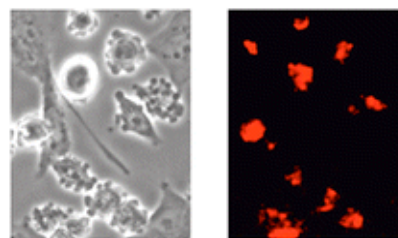
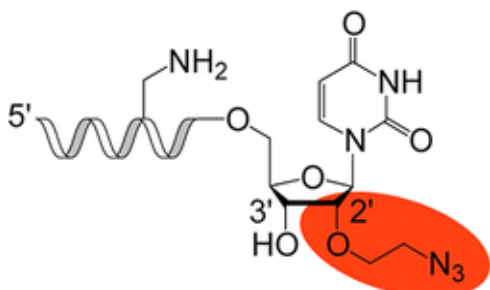


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Santner, T., et. al. *Bioconjugate Chem.*, **2014**, 25, 188–195

Efficient Access to 3'-Terminal Azide-Modified RNA for Inverse Click-Labeling Patterns



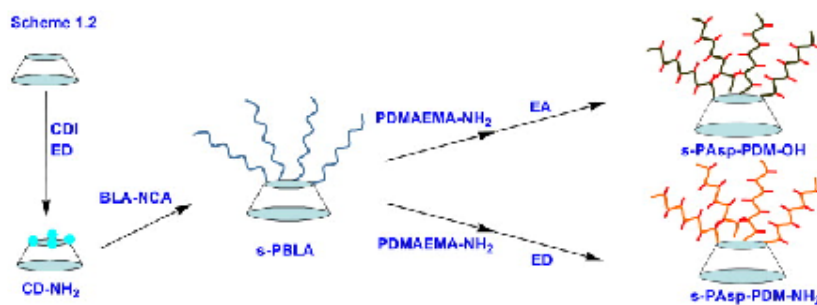
Synthesis of 3'-terminal 2'-O-(2-azidoethyl) modified oligoribonucleotides for subsequent bioconjugation (such as fluorescent labeling via Click chemistry) is detailed for molecular diagnostics and biophysical studies on RNA. The functional group pattern is inverse to commonly encountered alkyne-functionalized “click”-able RNA thereby offering increased flexibility with respect to multiple and stepwise labeling of the same RNA molecule.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: *Biomaterials* 35 (2014) 3015-3026

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

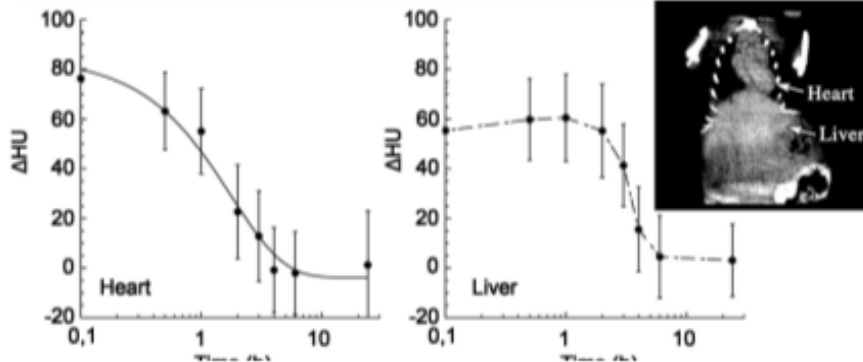


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Biomaterials 35 (2014) 2981-2986

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

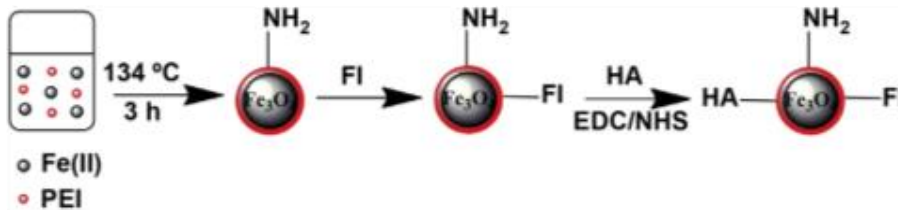


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Biomaterials 35 (2014) 3666-3677

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



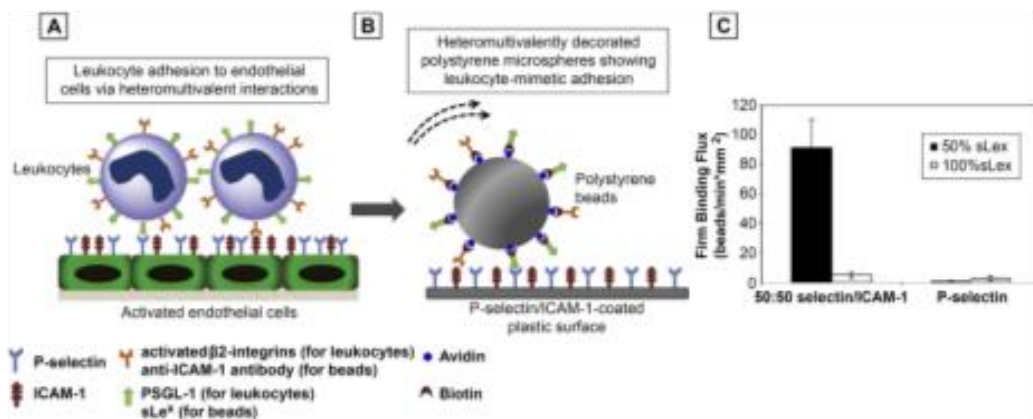
Scheme 1. Schematic representation of the synthesis of Fe₃O₄-PEI-FI-HA NPs.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Biomaterials 35 (2014) 2568-2579

Heteromultivalent ligand-decoration for actively targeted nanomedicine

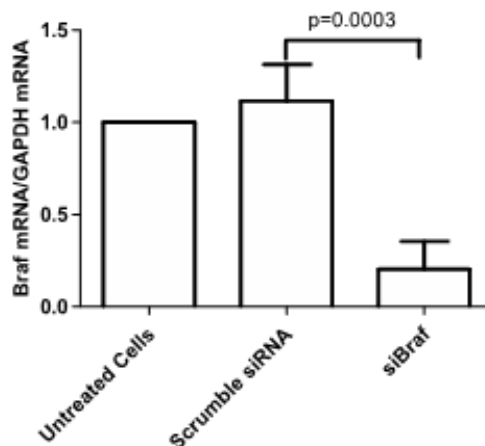


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Biomaterials 35 (2014) 3435-3442

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

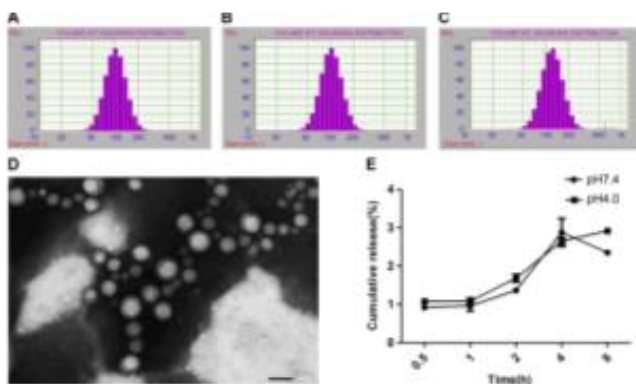


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Biomaterials 35 (2014) 3384-3395

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

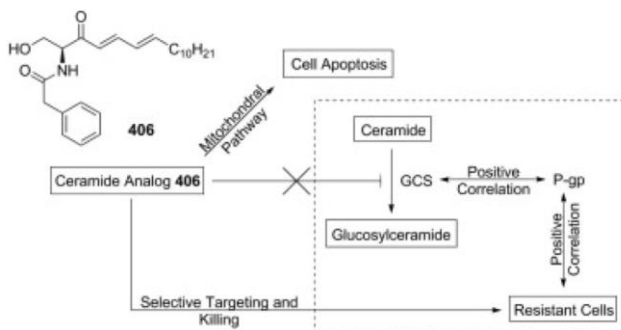


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ponnapakam.; et al. *Bioorg. Med. Chem.*, 22, (2014) 1412-1240

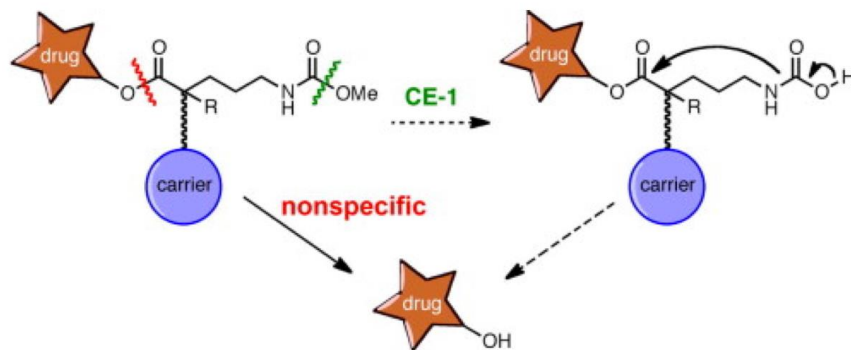
3-Ketone-4,6-diene ceramide analogs exclusively induce apoptosis in chemo-resistant cancer cells



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

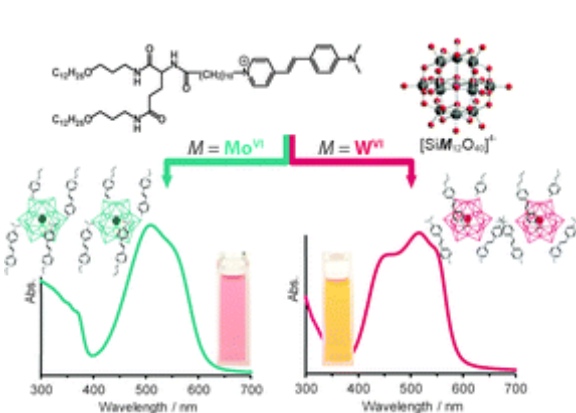
Undesired versus designed enzymatic cleavage of linkers for liver targeting



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Spectroscopic readout of polyoxometalates' molecular information via self-assembly

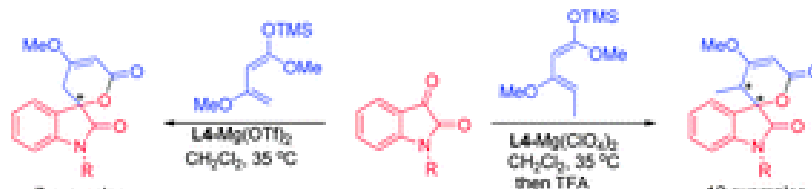


Polyoxometalates [SiM₁₂O₄₀]₄- (M = MoVI, WVI) and hemicyanine-derived chiral amphiphiles self-assemble in organic media where intermolecular interactions between POMs and hemicyanine units differ depending on the constituent metal ion species: this information is amplified to distinct spectral and self-assembling characteristics.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Magnesium(II)-catalyzed asymmetric hetero-Diels–Alder reaction of Brassard's dienes with isatins



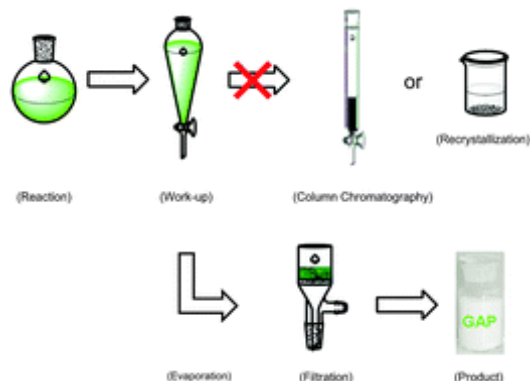
The first catalytic asymmetric hetero-Diels–Alder reaction of Brassard's dienes with isatins was realized using Mg(II)/N,N'-dioxide complexes as catalysts, affording the corresponding chiral spirolactones bearing tetrasubstituted centers in up to 99% yield with up to 99% ee and >99 : 1 dr within 3 hours.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Wu, J. *et al. Chem. Commun.* **2014**, 50, 1259.

Solution-phase-peptide synthesis via the group-assisted purification (GAP) chemistry without using chromatography and recrystallization



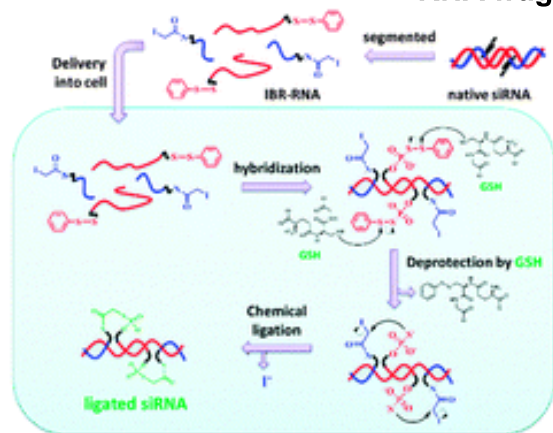
The solution phase synthesis of N-protected amino acids and peptides has been achieved through the Group-Assisted Purification (GAP) chemistry by avoiding disadvantages of other methods in regard to the difficult scale-up, expenses of solid and soluble polymers, etc. The GAP synthesis can reduce the use of solvents, silica gels, energy and manpower.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Maruyama, H., *et al. Chem. Commun.* **2014**, 50, 1284.

An intracellular buildup reaction of active siRNA species from short RNA fragments



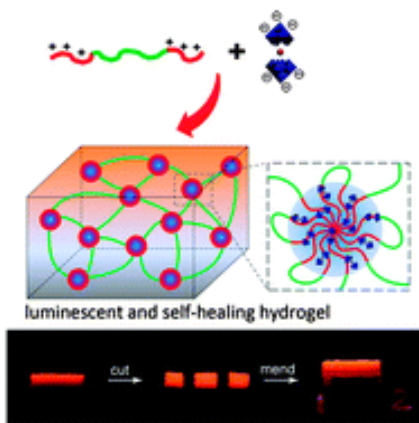
The authors report a new strategy for the buildup reaction of active siRNA species from short RNA fragments in living cells using a chemical ligation reaction. This strategy could decrease undesired immune responses and provide more latitude for RNAi technology in the design and concentration of introduced RNA compared to traditional siRNA methods.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Wei, H., *et al. Chem. Commun.* **2014**, 50, 1447.

Tunable, luminescent, and self-healing hybrid hydrogels of polyoxometalates and triblock copolymers based on electrostatic assembly



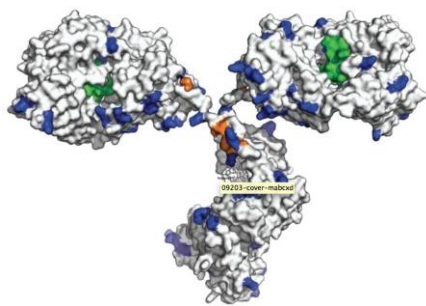
Hybrid hydrogels based on electrostatic co-assembly of polyoxometalates and ABA triblock copolymers were readily prepared and exhibit excellent luminescence and self-healing performance.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Thayer, A. M. *C&EN*. 2014, 92(3), 13-21.

Building Antibody-Drug Conjugates



They're here. Antibody-drug conjugates, target-seeking molecular missiles with lethal payloads, have arrived.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Jarvis, L. M.. *C&EN*. 2014, 92(4), 10-13.

The Year In New Drugs

NEW DRUG APPROVALS IN 2013 BY THE NUMBERS

Cost of one day of treatment with Gilead's HCV drug Sovaldi:

\$1,000

Drugs added to GlaxoSmithKline's portfolio:

5

a Designation enacted on July 9, 2012. SOURCES: FDA, companies

New molecular entities approved:

27

Approved in 2012:

39

Requests to FDA for breakthrough therapy designation as of Jan. 3, 2014^a:

121

Cancer drugs approved:

8

Break-through therapies approved:

3

Orphan drugs approved:

9

Portion of new drugs that are small molecules:

85%

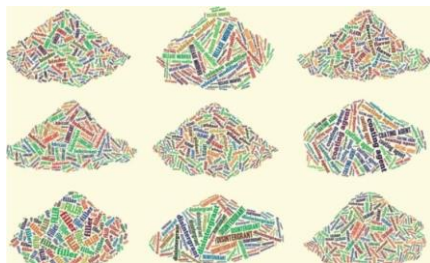
After a rocketing rise in new-drug approvals in 2012, the pharmaceutical industry fell back to Earth in 2013. Last year, only **27 new products** received **Food & Drug Administration approval**, down from 39 in the prior year, but on par with approval rates for the past decade.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Kemsley, J. *C&EN*. 2014, 92(5), 9-11.

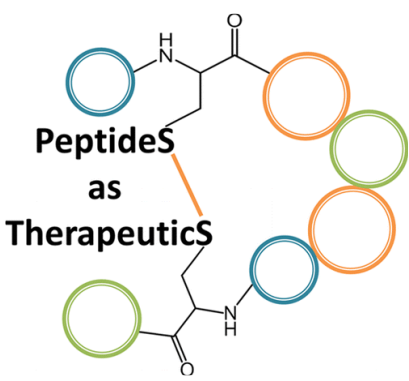
Eyes on Excipients



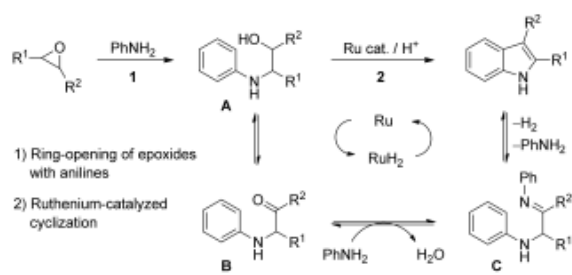
When it comes to drugs, most of the attention goes to the active pharmaceutical ingredient. But typically the vast majority of what's in a tablet, capsule, or liquid isn't the active ingredient. A drug is formulated with many other compounds, called excipients, that play an essential role in delivering the active ingredient to the patient.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Multifaceted Roles of Disulfide Bonds. Peptides as Therapeutics	
	<p>Table of Contents</p> <ol style="list-style-type: none"> 1. Introduction 2. Roles of Disulfide Bonds in the Oxidative Folding and Stability of Peptides and Proteins 3. Disulfide Bonds for Enhancing the Pharmacological Properties of Peptides 4. Small Disulfide-Rich Miniproteins: Privileged, Highly Constrained Architectures 5. Synthesis and Characterization, a Tour de Force 6. Conclusions and Prospects
	<p>bioorganic methods synthesis mechanism review other</p>
	<p>OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin</p>

Encapsulation of a Catalytic Imidazolium Salt into Avidin: Towards the Development of a Biohybrid Catalyst Active in Ionic Liquids	
<p>The authors report the development of biohybrid catalysts that are capable of catalyzing the aldol reaction. The use of biotinylated imidazolium salts in combination with racemic or enantiomerically pure catalytic anions allowed us to study the adaptive and cooperative positioning of the anionic catalyst inside the protein. Supramolecular encapsulation of the biotinylated catalyst into avidin resulted in good selectivity for the aldol reaction performed in ionic liquid/water mixtures</p>	
	<p>bioorganic methods synthesis mechanism review other</p>
	<p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>

Ruthenium-Catalyzed Synthesis of Indoles from Anilines and Epoxides	
<p>A general synthetic route to indoles from readily available anilines and epoxides by using ruthenium catalysis is described. This straightforward transformation allows a variety of indoles to be obtained in good yields by using [Ru₃(CO)₁₂]/1,1'-bis(diphenylphosphino)ferrocene as the catalytic system. Water and hydrogen are formed as the only stoichiometric by-products, making this process highly atom efficient.</p>	
 <p>1) Ring-opening of epoxides with anilines 2) Ruthenium-catalyzed cyclization</p>	
	<p>bioorganic methods synthesis mechanism review other</p>
	<p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>

Citation: Oliveira, M. *et al. Chem. Eur. J.* **2014**, 20, 1808-1812.

Perkin's and Caro's Mauveine in Queen Victoria's Lilac Postage Stamps: A Chemical Analysis

Mauveine, a chemical icon, is no longer commercially available. If nowadays one wanted to have a sample of the original Perkin, or Caro, mauveine, and see its colour, where would one find it? The answer is on UK Victorian 6d postage stamps from 1867–1880. This was found from a comparison with historical samples of mauveine, from both William Perkin and a Heinrich Caro sample (here analysed for the first time). These have distinctly different compositions and this was used to identify the origin of mauveine in the postage stamps, with evidence found for mauveine made by both Perkin's and Caro's synthesis.



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Novio, F. *et al. Chem. Eur. J.* **2014**, 20, 1287-1297.

The reactivity of two classes of ruthenium nanoparticles (Ru NPs) of small size, either sterically stabilized by a polymer (polyvinylpyrrolidone, PVP) or electronically stabilized by a ligand (bis(diphenylphosphino)butane, dppb) was tested towards standard reactions, namely CO oxidation, CO₂ reduction and styrene hydrogenation. The aim of the work was to identify the sites of reactivity on the nanoparticles and to study how the presence of ancillary ligands can influence the course of these catalytic reactions by using NMR and IR spectroscopies. It was found that CO oxidation proceeds at room temperature (RT) on Ru NPs but that the system deactivates rapidly in the absence of ligands because of the formation of RuO₂. In the presence of ligands, the reaction involves exclusively the bridging CO groups and no bulk oxidation is observed at RT under catalytic conditions.

Surface Chemistry on Small Ruthenium Nanoparticles: Evidence for Site Selective Reactions and Influence of Ligands

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Dong, L. *et al. Chem. Eur. J.* **2014**, 20, 1683-1690.

Evolution of Actinyl Peroxide Clusters U₂₈ in Dilute Electrolyte Solution: Exploring the Transition from Simple Ions to Macroionic Assemblies

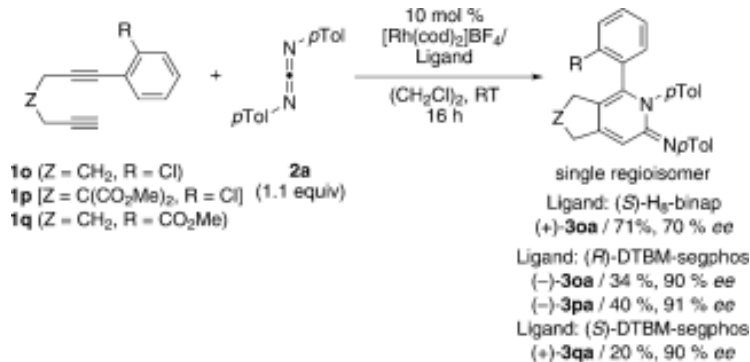
Actinyl peroxide clusters, a unique class of uranyl-containing nanoclusters discovered in recent years, are crucial intermediates between the (UO₂)₂+aquaion monomer and bulk uranyl minerals. Herein, two actinyl polyoxometalate nanoclusters of Cs₁₅[(Ta(O₂)₄)Cs₄K₁₂(UO₂(O₂)_{1.5})₂₈]·20H₂O (CsKU₂₈) and Na₆K₉[(Ta(O₂)₄)Rb₄Na₁₂(UO₂(O₂)_{1.5})₂₈]·20H₂O (RbNaU₂₈) were synthesized by incorporating a central Ta(O₂)₄³⁻ anion that templates a hollow shell of 28 uranyl peroxide polyhedra. When dissolved in aqueous solutions with additional electrolytes, those 1.8 nm-size macroanions self-assembled into spherical, hollow, blackberry-type supramolecular structures, as was characterized by laser-light scattering (LLS) and TEM techniques. These clusters are the smallest macroions reported to date that form blackberry structures in solution, therefore, can be treated as valuable models for investigating the transition from simple ions to macroions

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ishii, M. *et al. Chem. Eur. J.* **2014**, 20, 2169-2174.

Rhodium-Catalyzed [2+2+2] Cycloaddition of Diynes with Carbodiimides and Carbon Dioxide under Ambient Conditions



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hoja, J. *et al. Chem. Eur. J.* **2014**, 20, 2292-2300.

Is Electrostatics Sufficient to Describe Hydrogen-Bonding Interactions?

The stability and geometry of a hydrogen-bonded dimer is traditionally attributed mainly to the central moiety AH...B, and is often discussed only in terms of electrostatic interactions. The influence of substituents and of interactions other than electrostatic ones on the stability and geometry of hydrogen-bonded complexes has seldom been addressed. An analysis of the interaction energy in the water dimer and several alcohol dimers—performed in the present work by using symmetry-adapted perturbation theory—shows that the size and shape of substituents strongly influence the stabilization of hydrogen-bonded complexes. The larger and bulkier the substituents are, the more important the attractive dispersion interaction is, which eventually becomes of the same magnitude as the total stabilization energy. Electrostatics alone are a poor predictor of the hydrogen-bond stability trends in the sequence of dimers investigated, and in fact, dispersion interactions predict these trends better.

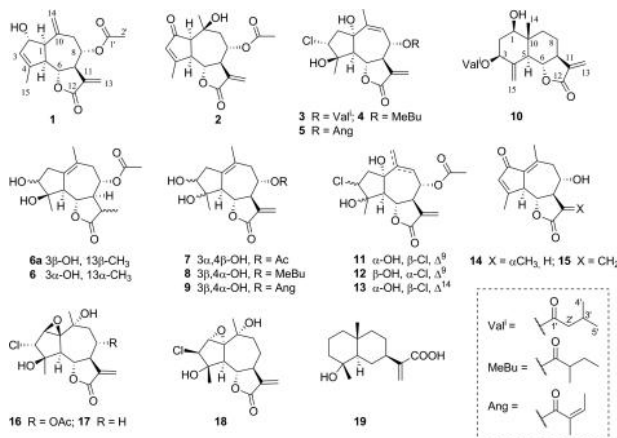
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Want, S.; *et al. Eur. J. Org. Chem.* **2014**, 973-983.

Sesquiterpenes from *Artemisia argyi*: Absolute Configurations and Biological Activities

9 sesquiterpenes were isolated from *Artemisia argyi*. Their structures and biological properties were investigated. 4 compounds demonstrated cytotoxicity against Bel-743 and A549 cells.



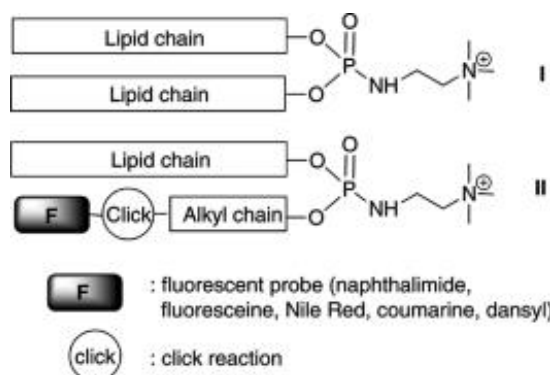
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Berchel, M.; *et al. Eur. J. Org. Chem.* **2014**, 1076-1083.

Functionalized Phospholipid Molecular Platform: Use for Production of Cationic Fluorescent Lipids

Fluorescent amphiphilic phospholipids with fluorescent probes in the lipid domain were synthesized via a Huisgen cycloaddition. 5 different probes were included with a cationic lipid to produce liposomal solutions with an average size between 70-314 nm.

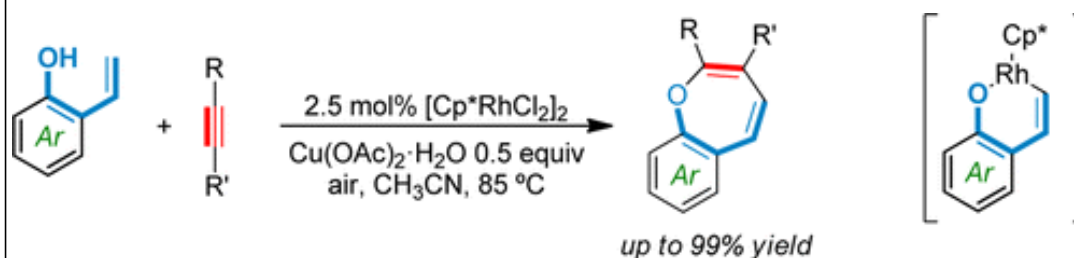


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Seoane, A.; Casanova, N.; Quiñones, N.; Mascareñas, J.L.; Gulías, M. *J. Am. Chem. Soc.*, **2014**, *136* (3), 834-837.

Straightforward Assembly of Benzoxepines by Means of a Rhodium(III)-Catalyzed C-H Functionalization of o-Vinylphenols

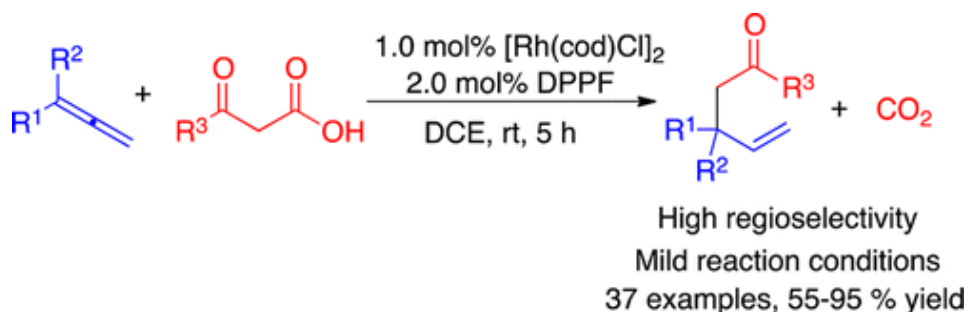


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Li, C.; Breit, B. *J. Am. Chem. Soc.*, **2014**, *136* (3), 862-865.

Rhodium-Catalyzed Chemo- and Regioselective Decarboxylative Addition of β -Ketoacids to Allenes: Efficient Construction of Tertiary and Quaternary Carbon Centers

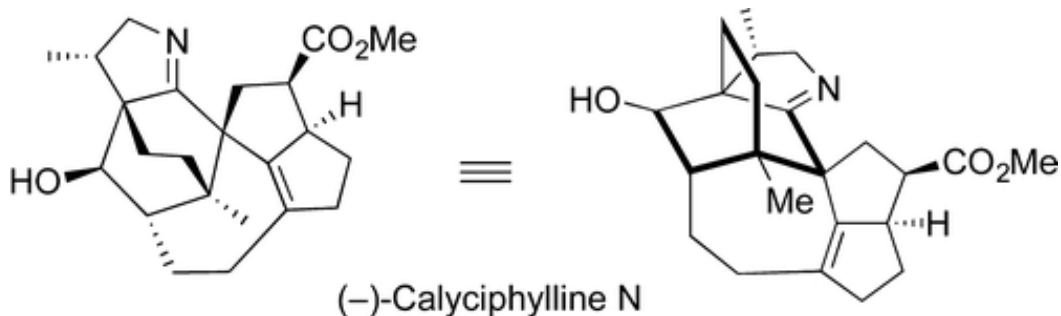


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Shvartsbart, A.; Smith, III, A.B. *J. Am. Chem. Soc.*, **2014**, *136* (3), 870-873.

Total Synthesis of (-)-Calyciphylline N

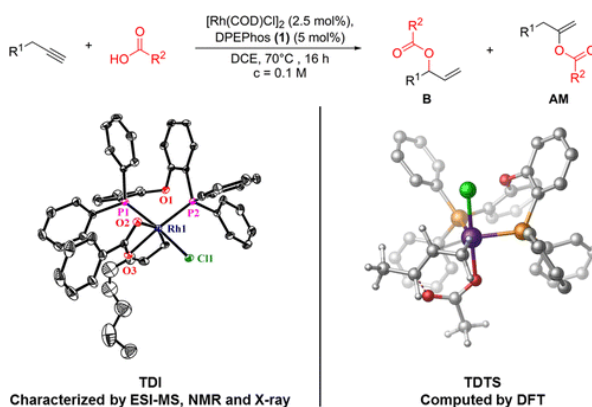


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Gellrich, U.; Meißner, A.; Steffani, A.; Kähny, M.; Drexler, H.-J.; Heller, D.; Plattner, D.A.; Breit, B. *J. Am. Chem. Soc.*, **2014**, *136*(3), 1097-1104.

Mechanistic Investigations of the Rhodium Catalyzed Propargylic CH Activation

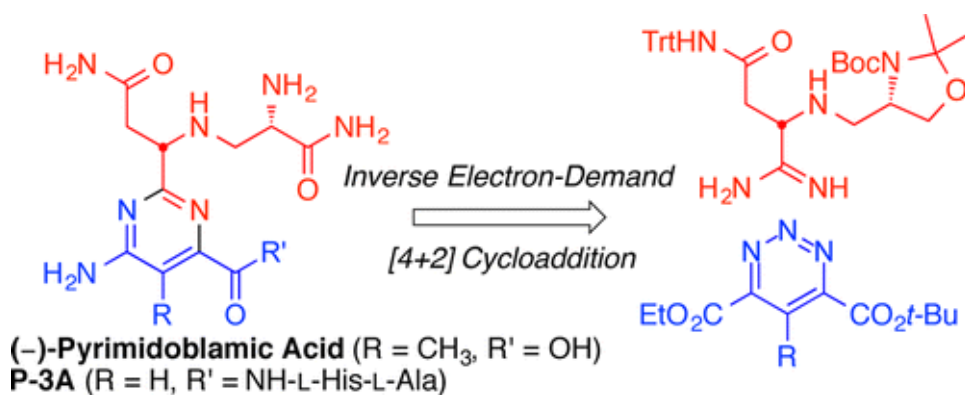


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Duerfeldt, A.S.; Boger, D.L. *J. Am. Chem. Soc.*, **2014**, *136* (5), 2219-2125.

Total Syntheses of (-)-Pyrimidoblamic Acid and P-3A

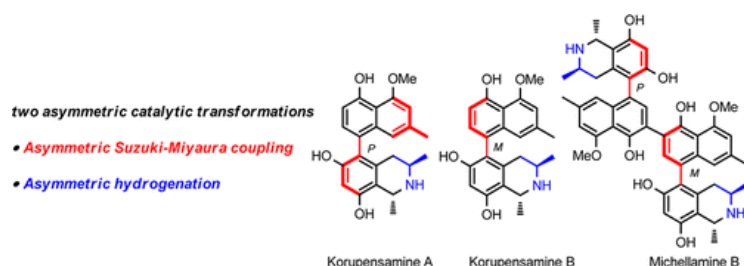


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Xu, G. et al. J. Am. Chem. Soc., 2014, 136 (2), pp 570–573

Efficient Syntheses of Korupensamines A, B and Michellamine B by Asymmetric Suzuki-Miyaura Coupling Reactions



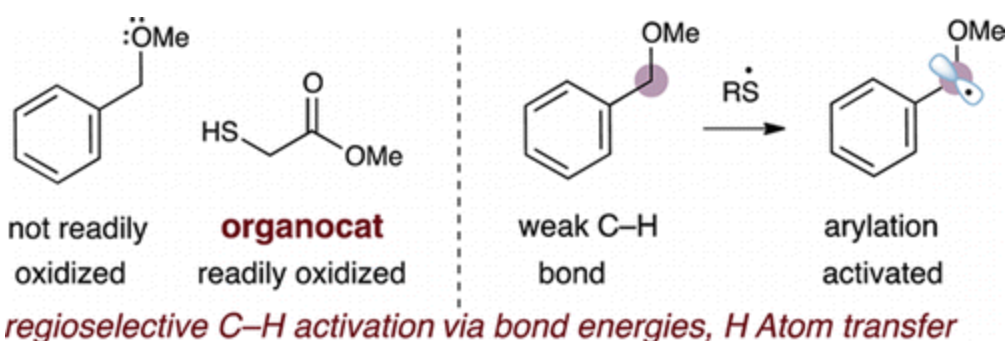
Efficient asymmetric Suzuki-Miyaura coupling reactions are employed for the first time in total syntheses of chiral biaryl natural products korupensamine A and B in combination with an effective diastereoselective hydrogenation, allowing ultimately a concise and stereoselective synthesis of michellamine B.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Qvortrup, K. et al. J. Am. Chem. Soc., 2014, 136 (2), pp 626–629

A General Strategy for Organocatalytic Activation of C–H Bonds via Photoredox Catalysis: Direct Arylation of Benzylic Ethers

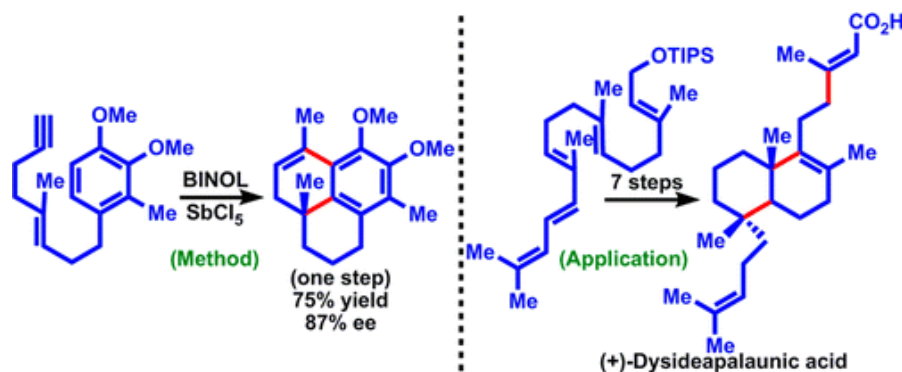


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Surendra, K. et al. J. Am. Chem. Soc., 2014, 136 (2), pp 642–645

Useful Catalytic Enantioselective Cationic Double Annulation Reactions Initiated at an Internal -Bond: Method and Applications

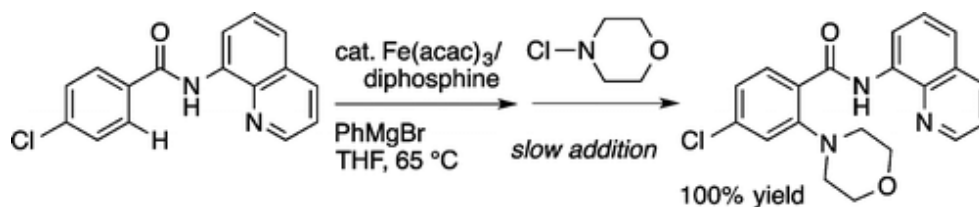


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Matsubara, T. et al. J. Am. Chem. Soc., 2014, 136 (2), pp 646–649

Synthesis of Anthranilic Acid Derivatives through Iron-Catalyzed Ortho Amination of Aromatic Carboxamides with N-Chloroamines

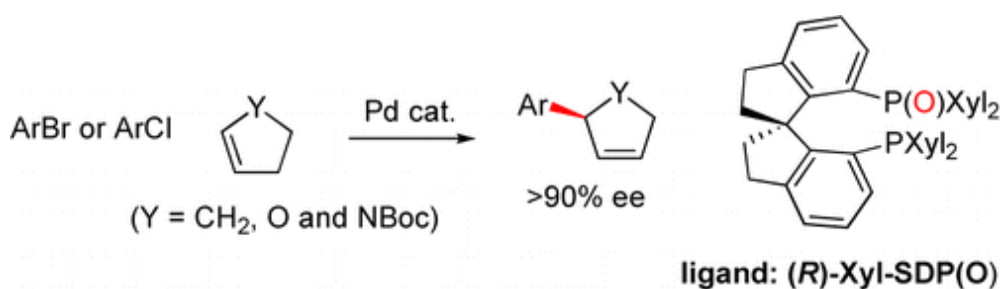


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wu, C. et al. J. Am. Chem. Soc., 2014, 136 (2), pp 650–652

Asymmetric Intermolecular Heck Reaction of Aryl Halides

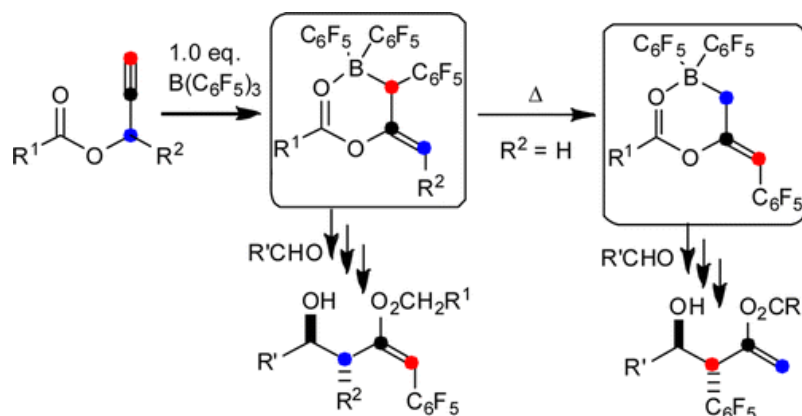


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hansmann, M. M. et al. J. Am. Chem. Soc., 2014, 136 (2), pp 777–782

Activation of Alkynes with B(C₆F₅)₃ – Boron Allylation Reagents Derived from Propargyl Esters

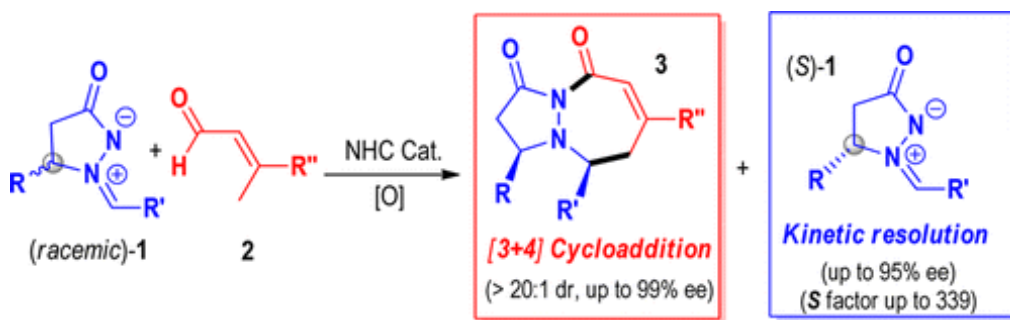


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wang, M. et al. J. Am. Chem. Soc., 2014, 136 (4), pp 1214–1217

N-Heterocyclic Carbene-Catalyzed [3+4] Cycloaddition and Kinetic Resolution of Azomethine Imines

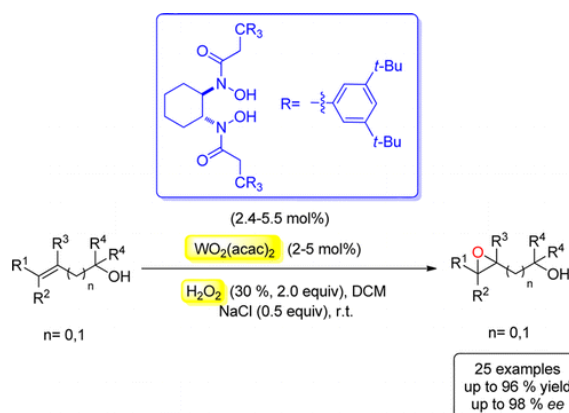


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wang, C. et al. J. Am. Chem. Soc., 2014, 136 (4), pp 1222–1225

Tungsten-Catalyzed Asymmetric Epoxidation of Allylic and Homoallylic Alcohols with Hydrogen Peroxide

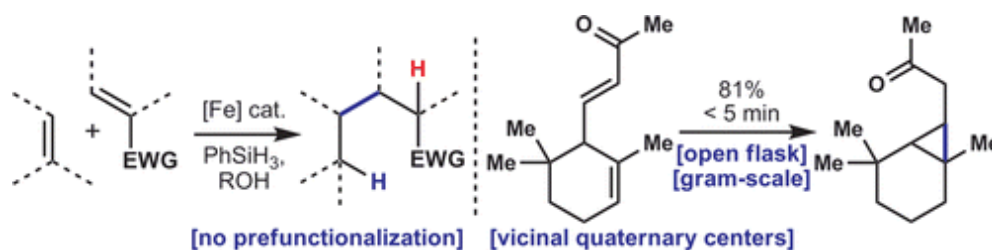


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Lo, J. C. et al. J. Am. Chem. Soc., 2014, 136 (4), pp 1304–1307

A Practical and Catalytic Reductive Olefin Coupling



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Izumiseki, A. et al. J. Am. Chem. Soc., 2014, 136 (4), pp 1308–1311

Intermolecular/Intramolecular Sequential Aldol Reaction

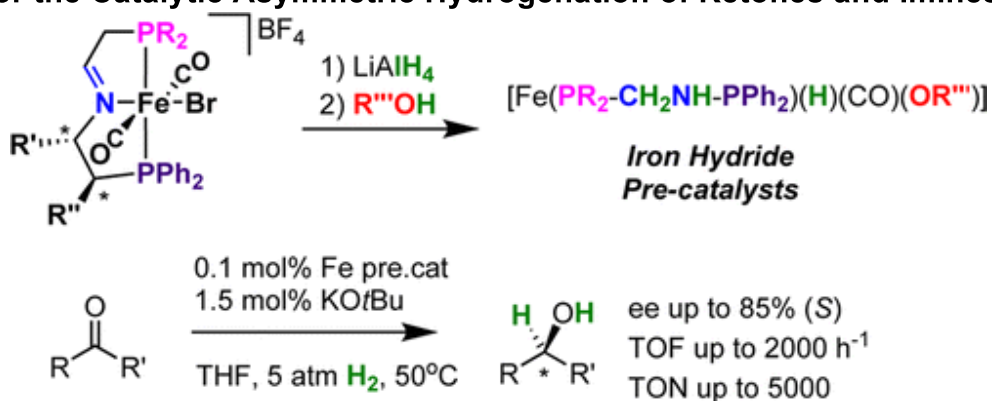


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Lagaditis, P. O. et al. J. Am. Chem. Soc., 2014, 136 (4), pp 1367–1380

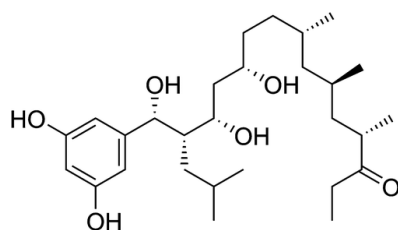
Iron(II) Complexes Containing Unsymmetrical P-N-P' Pincer Ligands for the Catalytic Asymmetric Hydrogenation of Ketones and Imines



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Tripathi, A. et al. J. Am. Chem. Soc., 2014, 136 (4), pp 1579–1586



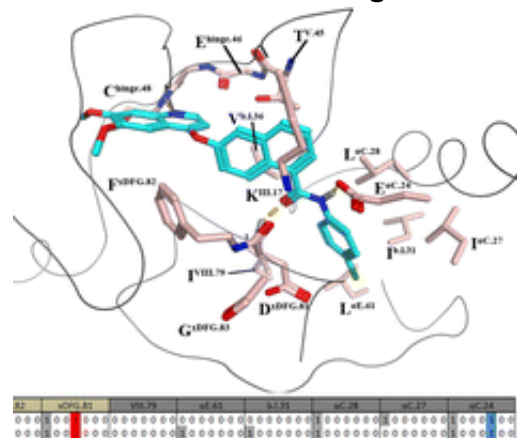
Siderophores are high-affinity iron chelators produced by microorganisms and frequently contribute to the virulence of human pathogens. Targeted inhibition of the biosynthesis of siderophores staphyloferrin B of *Staphylococcus aureus* and petrobactin of *Bacillus anthracis* hold considerable potential as a single or combined treatment for methicillin-resistant *S. aureus* (MRSA) and anthrax infection, respectively. This study provides proof-of-concept that natural product inhibitors targeting siderophore virulence factors can provide access to novel broad-spectrum antibiotics, which may serve as important leads for the development of potent anti-infective agents.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: van Linden, O. P. J., *et al. J. Med. Chem.* **2014**, *57* (2), 249.

KLIFS: A Knowledge-Based Structural Database To Navigate Kinase-Ligand Interaction Space



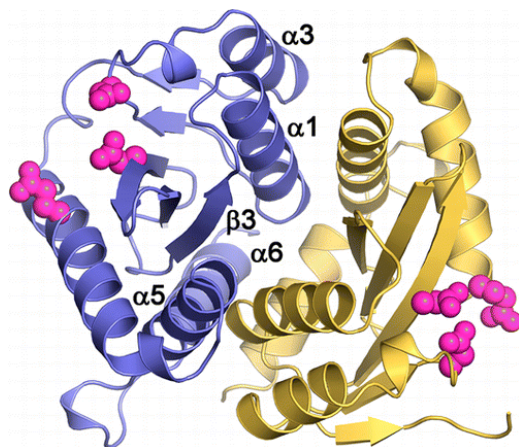
The authors present a systematic analysis of kinase-ligand interactions in all regions of the catalytic cleft of all 1252 human kinase-ligand cocrystal structures present in the Protein Data Bank (PDB). The kinase-ligand interaction fingerprints and structure database (KLIFS) contains a consistent alignment of 85 kinase ligand binding site residues that enables the identification of family specific interaction features and classification of ligands according to their binding modes.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Di Santo, R. *J. Med. Chem.* **2014**, *57* (3), 539.

Inhibiting the HIV Integration Process: Past, Present, and the Future



The mechanism of catalysis of HIV integrase (IN) is depicted, and the characteristics of the inhibitors of the catalytic site of this viral enzyme are reported. The role played by the resistance is elucidated, as well as the possibility of bypassing this problem. New approaches to block the integration process are depicted as future perspectives, such as development of allosteric IN inhibitors, dual inhibitors targeting both IN and other enzymes, inhibitors of enzymes that activate IN, activators of IN activity, as well as a gene therapy approach.

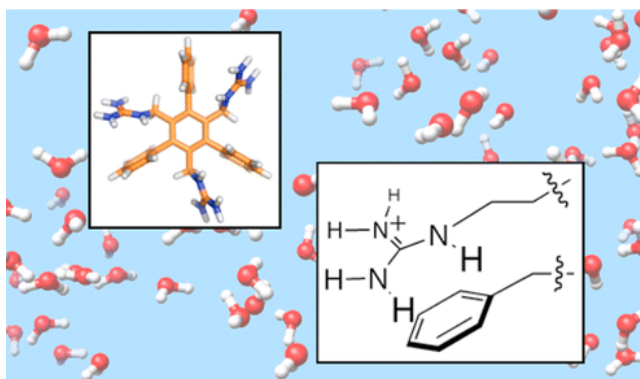
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

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.

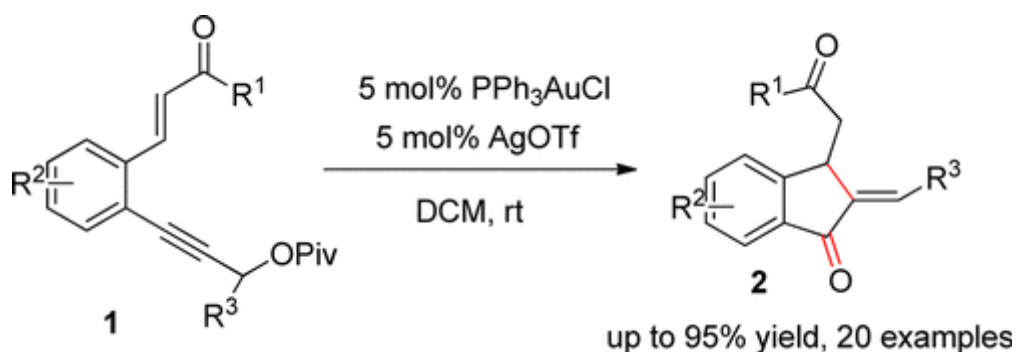


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

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



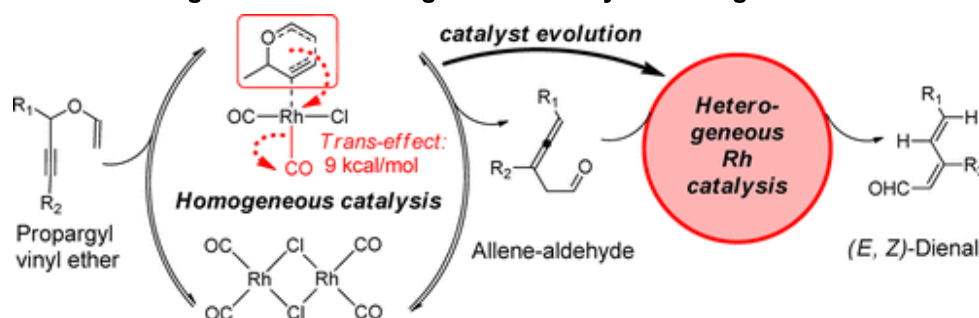
Fairly self-explanatory. Interesting mechanism.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

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



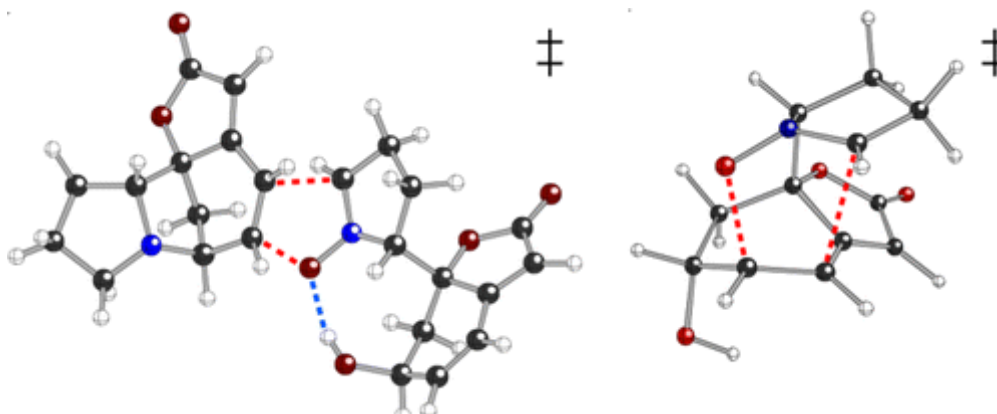
Applicable to the research in the OM subgroup. Particularly interesting for the prospect of trying to do [5+2]/Claisen reaction which may prove unsuccessful given the decomposition properties of propargyl vinyl ethers in the presence of Rh(I)

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

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.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

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

Stereodivergent Synthesis of Enantioenriched 4-Hydroxy-2-cyclopentenones



either enantiomeric series

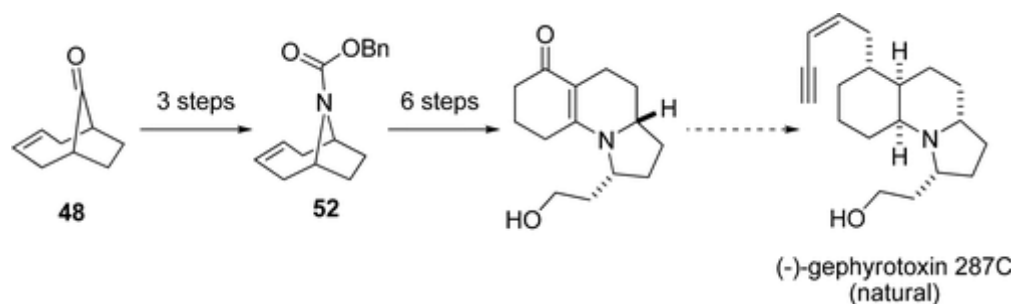
A rather robust approach is demonstrated. Its application to numerous natural products is noted. The paper is much more impressive with these applications mentioned.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

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



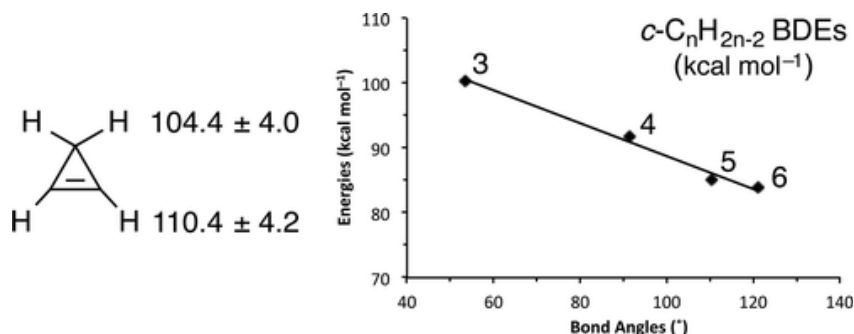
There are a number of interesting (read: weird and low yielding) reactions in this synthetic scheme including two separate photolyses of *N*-chloro amides in the presence of benzyl protected alcohols to yield the corresponding ring-contracted carbamates.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

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

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



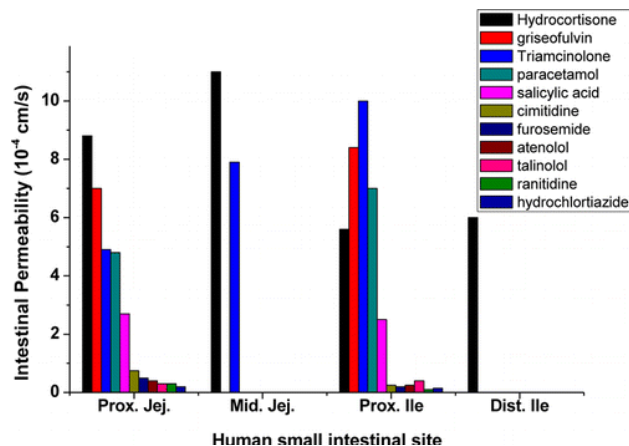
Findings show that the BDE for cyclopropene C-H bonds are all very similar which is in part due to the unusual strain associated with cyclopropene.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

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

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

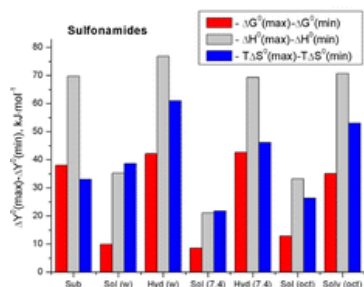
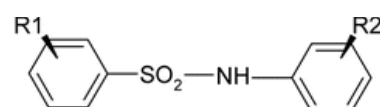


bioorganic
methods
synthesis
mechanism
review
other

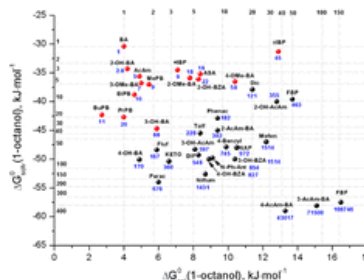
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

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

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



NSAIDs

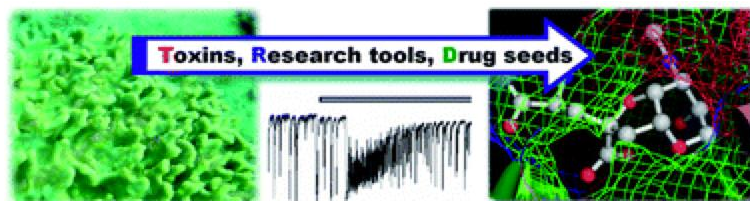


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Sakai.; et al. *Nat. Prod. Rep.* 31 (2014) 273-309

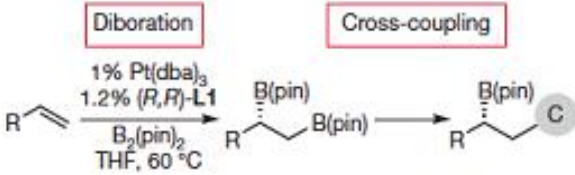
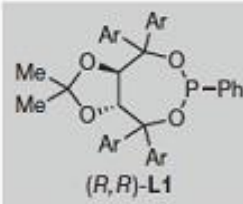
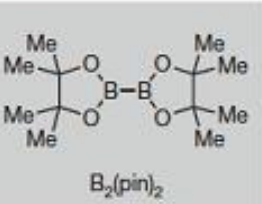
Recent progress in neuroactive marine natural products

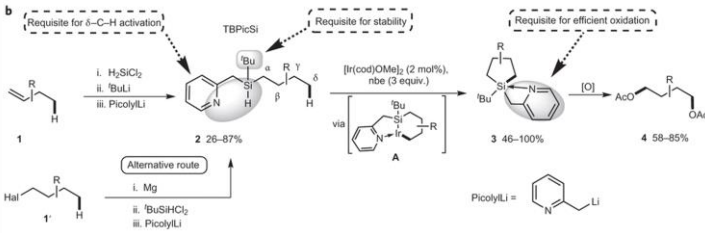


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

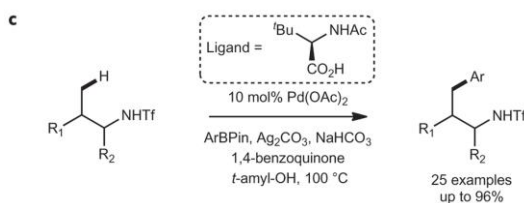
<p style="font-size: 2em; color: blue;">Marine Natural Products</p> <p>Isolation Chemists $\xrightarrow{668}$</p> <p>Synthesis Biosynthesis Stereochemistry Ecology Bioactivity $\xleftarrow{1842}$</p>	<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>
---	---

<p style="color: blue; font-weight: bold;">Asymmetric synthesis from terminal alkenes by cascades of diboration and cross-coupling</p>  <div style="display: flex; justify-content: space-around; margin-top: 10px;"> <div style="text-align: center;">  <p>(R,R)-L1</p> </div> <div style="text-align: center;">  <p>B₂(pin)₂</p> </div> </div>	<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>
---	---

<p style="color: blue; font-weight: bold;">Conversion of 1-alkenes into 1,4-diols through an auxiliary-mediated formal homoallylic C–H oxidation</p> 	<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin</p>
<p>Here we describe the conversion of 1-alkenes into 1,4-diols. The method involves the installation of a new Si,N-type chelating auxiliary group on the alkene followed by iridium-catalysed C–H silylation of an unactivated d-C(sp³)–H bond to produce a silolane intermediate. Oxidation of the C–Si bonds affords a 1,4-diol. The method is demonstrated to have broad scope and good functional group compatibility by application to the selective 1,4-oxygenation of several natural products and derivatives.</p>	

Citation: Yu, J.-Q.; et al Nature Chemistry 6, 146–150 (2014)

Ligand-enabled cross-coupling of C(sp³)–H bonds with arylboron reagents via Pd(II)/Pd(0) catalysis



The development of suitable ligands that enable catalytic C(sp³)–H bond functionalization remains a significant challenge. Herein we report the discovery of a mono-N-protected amino acid ligand that enables Pd(II)-catalysed coupling of γ -C(sp³)–H bonds in triflyl-protected amines with arylboron reagents. Remarkably, no background reaction was observed in the absence of ligand. A variety of amine substrates and arylboron reagents were cross-coupled using this method. Arylation of optically active substrates derived from amino acids also provides a potential route for preparing non-proteinogenic amino acids.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Wolf, W.J., et. al. Nature Chemistry 6, 159-164 (2014)

Exceptionally fast carbon–carbon bond reductive elimination from gold(III)

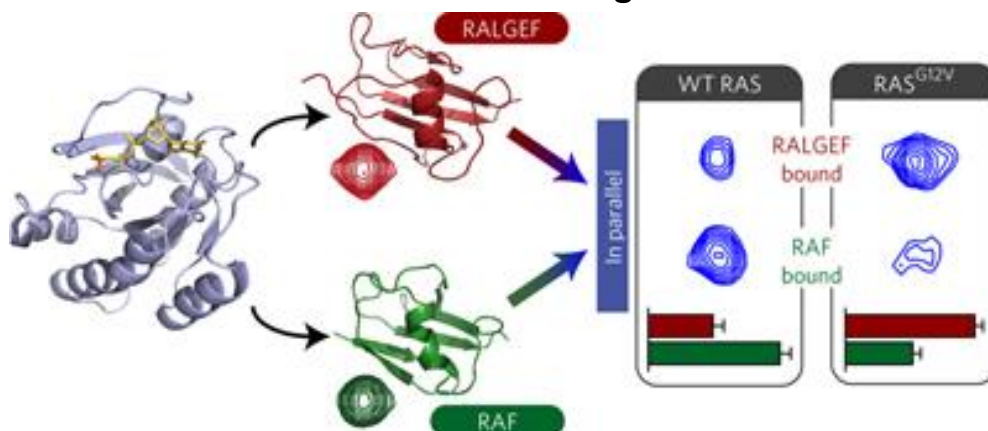
Reductive elimination of carbon–carbon bonds occurs in numerous metal-catalysed reactions. This process is well documented for a variety of transition metal complexes. However, carbon–carbon bond reductive elimination from a limited number of Au(III) complexes has been shown to be a slow and prohibitive process that generally requires elevated temperatures. Herein we show that oxidation of a series of mono- and bimetallic Au(I) aryl complexes at low temperature generates observable Au(III) and Au(II) intermediates. We also show that aryl–aryl bond reductive elimination from these oxidized species is not only among the fastest observed for any transition metal, but is also mechanistically distinct from previously studied alkyl–alkyl and aryl–alkyl reductive eliminations from Au(III).

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Smith, M. J.; Ikura, M. *Nat. Chem. Bio.* **2014**, *10*, 223–230.

Integrated RAS signaling defined by parallel NMR detection of effectors and regulators

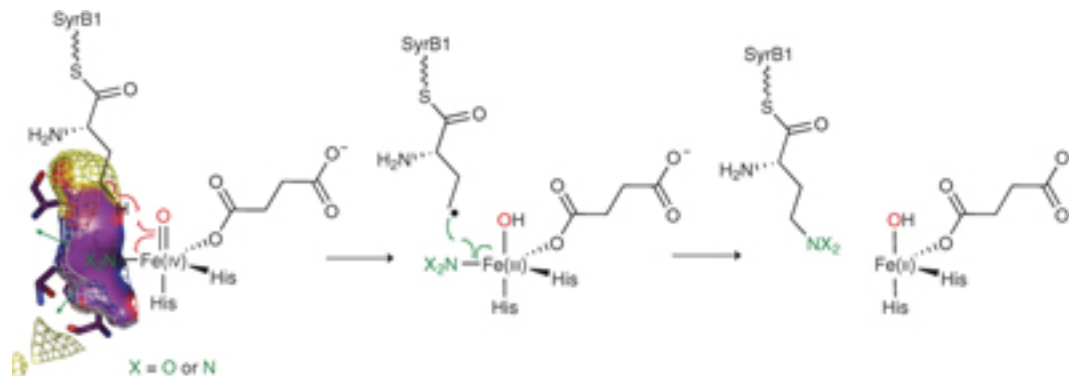


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Matthews, M. L.; et al. *Nat. Chem. Bio.* **2014**, *10*, 209-215.

Direct nitration and azidation of aliphatic carbons by an iron-dependent halogenase



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: <http://www.nytimes.com/2014/02/07/science/a-catalog-of-cancer-genes-thats-done-or-just-a-start.html?ref=science>

A Catalog of Cancer Genes That's Done, or Just a Start

NIH started a \$375 million project called Cancer Genome Atlas in 2005 in an effort to identify cancer genes. However, after 8 years, the Broad Institute has published a study estimating that scientists would need to examine 10 times the number of cancer samples that they have in order to find most genes involved in 50 cancer types.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: <http://www.nytimes.com/2014/02/07/health/uterine-surgical-technique-is-linked-to-abnormal-growths-and-cancer-spread.html?ref=science>

Uterine Surgical Technique is Linked to Abnormal Growths and Cancer Spread

Morcellation is a procedure that cuts tissue in the uterus into tiny pieces that are then removed through incisions. The procedure is used to avoid big incisions, long recovery times, and certain risks and complications. Recently, two articles have suggested that the device used to perform a morcellation can spray bits of tissue around inside the abdomen, where it can grow and cause pain or infections. Many women then require additional surgeries.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hussain, M; et al. *Org. Lett.* **2014**, 16 (2), 560-563

Tandem C-2 Functionalization-Intramolecular Azide-Alkyne 1,3-Dipolar Cycloaddition Reaction: A Convenient Route to Highly Diversified 9H-Benzo[*b*]pyrrolo[1,2-*g*][1,2,3]triazolo[1,5-*d*][1,4]diazepines



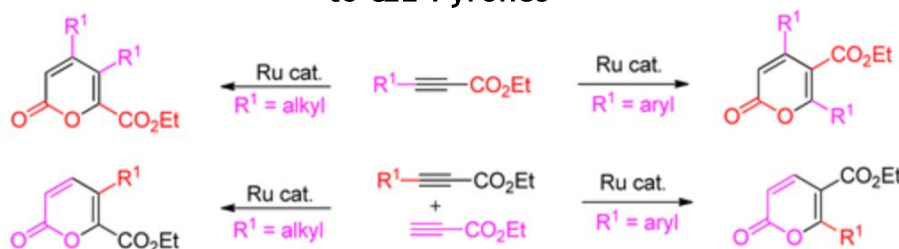
An efficient diversity-oriented synthetic approach to annulated 9H-benzo[*b*]pyrrolo[1,2-*g*][1,2,3]triazolo[1,5-*d*][1,4]diazepines has been developed using a Sc(OTf)₃-catalyzed two-component tandem C-2 functionalization-intramolecular azide-alkyne 1,3-dipolar cycloaddition reaction. The reaction shows high substrate tolerance and provides a library of fused heterocycles that may lead to novel biologically active compounds or drug lead molecules.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Manikandan, R.; et al. *Org. Lett.* **2014**, 16 (3), 652-655.

Ruthenium-Catalyzed Dimerization of Propiolates: A Simple Route to α,ϵ -Pyrone



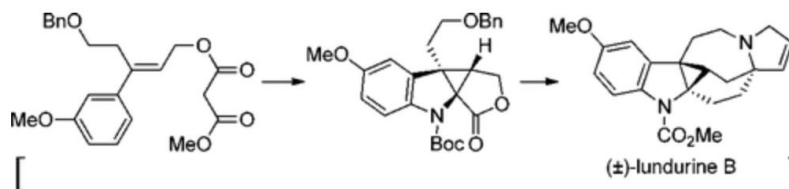
A ruthenium-catalyzed regioselective intermolecular multistep homo- and heterodimerization of substituted propiolates providing α,ϵ -pyrone-5-carboxylates and α,ϵ -pyrone-6-carboxylates is described. The synthetic utilities of α,ϵ -pyrone derivatives are shown. The proposed mechanism is strongly supported by experimental evidence.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hoshi, M.; et al. *Org. Lett.* **2014**, 16 (3), 768-771.

Total Synthesis of (\pm)-Lundurine B



A total synthesis of (\pm)-Lundurine B was accomplished. A combination of stereoselective intramolecular cyclopropane formation and aryl amination furnished cyclopropane-fused indoline stereoselectively. Ring-closing metathesis (RCM) of siloxy diene and intramolecular aminoacetal formation followed by bridgehead vinylation of an anti-Bredt iminium cation led to the construction of six- and seven-membered rings with a quaternary carbon center. After the formation of dihydropyrrole by RCM, the Boc-protecting group of indoline was converted into the corresponding methyl carbamate via silyl carbamate to complete the total synthesis of (\pm)-Lundurine B. The characteristic rearrangement of the cyclopropane-fused indoline skeleton is also described.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Parker, E.; Cramer, N. *Organometallics*. **2013**, *33*, 780.

Asymmetric Rhodium(I)-Catalyzed C–C Activations with Zwitterionic Bis-phospholane Ligands



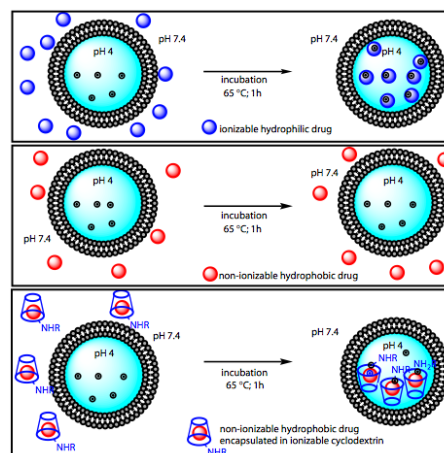
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: PNAS | February 11, 2014 | vol. 111 | no. 6 | 2283–2288

Remote loading of preencapsulated drugs into stealth liposomes

Loading drugs into carriers such as liposomes can increase the therapeutic ratio by reducing drug concentrations in normal tissues and raising their concentrations in tumors. Although this strategy has proven advantageous in certain circumstances, many drugs are highly hydrophobic and nonionizable and cannot be loaded into liposomes through conventional means. We hypothesized that such drugs could be actively loaded into liposomes by encapsulating them into specially designed cyclodextrins.



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: 1766–1771 | PNAS | February 4, 2014 | vol. 111 | no. 5

A general approach to site-specific antibody drug conjugates

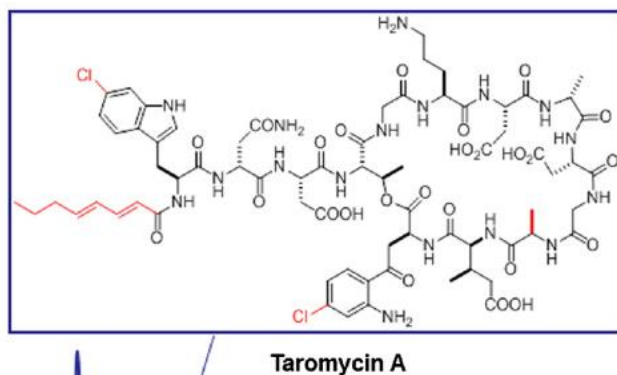
Using an expanded genetic code, antibodies with site-specifically incorporated nonnative amino acids were produced in stable cell lines derived from a CHO cell line with titers over 1 g/L. Using anti-5T4 and anti-Her2 antibodies as model systems, site-specific antibody drug conjugates (NDCs) were produced, via oxime bond formation between ketones on the side chain of the incorporated nonnative amino acid and hydroxylamine functionalized mono-methyl auristatin D with either protease-cleavable or noncleavable linkers. When noncleavable linkers were used, these conjugates were highly stable and displayed improved in vitro efficacy as well as in vivo efficacy and pharmacokinetic stability in rodent models relative to conventional antibody drug conjugates

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Direct cloning and refactoring of a silent lipopeptide biosynthetic gene cluster yields the antibiotic taromycin A

New antibiotic isolated and discovered with newly developed gene expression platform

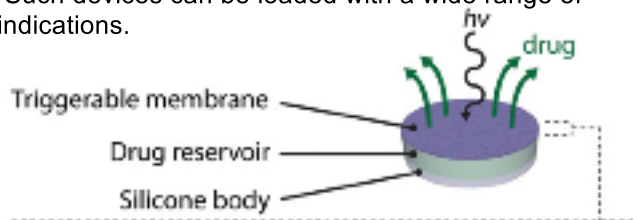


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Near-infrared-actuated devices for remotely controlled drug delivery

Devices that release a drug in response to a remote trigger would enable on-demand control of the timing and dose of drug released. They would allow the patient or physician to adjust therapy precisely to a target effect, thus improving treatment and reducing toxicity. We have developed implant- able reservoirs that release a drug when irradiated with near- infrared laser light. The release rate was correlated to laser intensity, with negligible leakage between doses. Devices con- taining aspart, a fast-acting analog of insulin, were implanted in diabetic rats and were able to achieve glycemic control upon irradiation. Such devices can be loaded with a wide range of drugs to treat a variety of clinical indications.



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Probing nanoparticle translocation across the permeable endothelium in experimental atherosclerosis

This study shows that an endothelialized microfluidic chip with controllable permeability can serve as a model for nanoparticle translocation across the permeable endothelium. Integration of this in vitro model and an in vivo rabbit model revealed that the extravasation of nanoparticles across the endothelium in atherosclerotic plaques depends on microvascular permeabil- ity. This approach represents a unique method for the assessment of nanoparticle behavior across the atherosclerotic endothelium, and may also serve as a valuable tool to study nanomedicine ac- cumulation in a variety of other diseases.

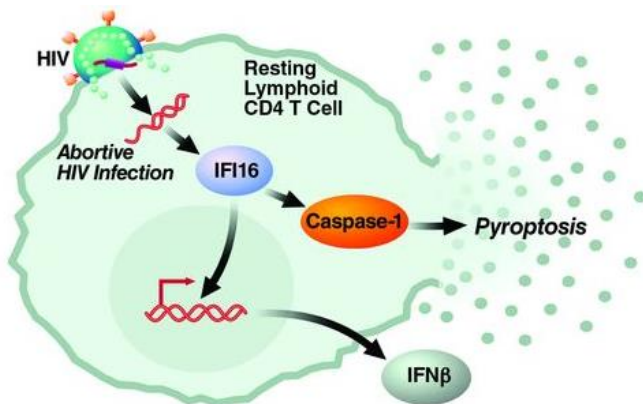
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Monroe, K.M., et. al. *Science* **2014**, 343, 428-432

IFI16 DNA Sensor Is Required for Death of Lymphoid CD4 T Cells Abortively Infected with HIV

Depletion of quiescent "bystander" CD4 T cells is a key stage in AIDS progression. These cells undergo abortive infection and cell death by stimulation of a yet unidentified host sensor in response to viral DNA that triggers a caspase-1 activated immune response leading to pyroptosis. The authors have identified interferon-gamma induced protein 16 (IFI16) as the culprit behind this CD4 T death due to abortive HIV infection.

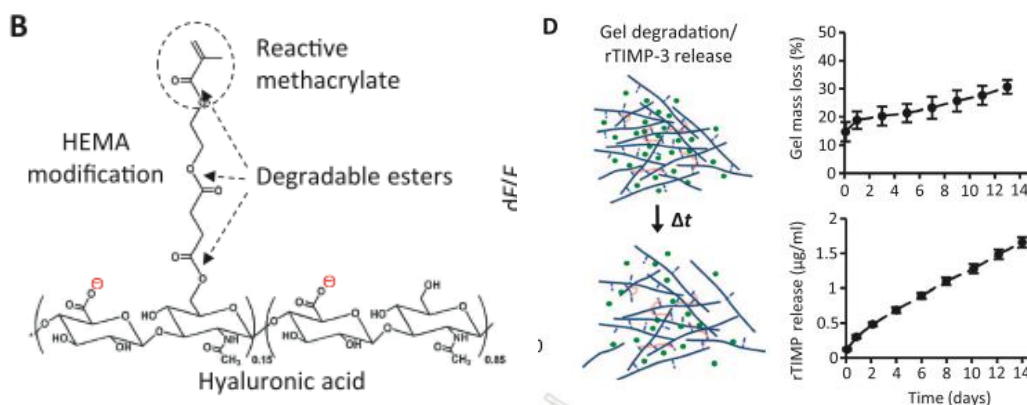


bioorganic
methods
synthesis
mechanism
review
other

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: Yan Ma et al. *Sci Transl Med* 6, 222ra18 (2014);

High-Dose Parenteral Ascorbate Enhanced Chemosensitivity of Ovarian Cancer and Reduced Toxicity of Chemotherapy

"Ascorbic acid, or vitamin C, was first proposed as a cancer treatment decades ago. Unfortunately, despite anecdotal evidence for effectiveness of intravenous ascorbate, initial clinical trials used the oral form of the drug. On the basis of the results from these trials, ascorbate was determined to be ineffective, and its use for cancer was largely abandoned outside of alternative medicine. However, accumulating anecdotal evidence once again led scientists to reconsider the therapeutic potential of this compound.

Ma and colleagues investigated the use of intravenous ascorbic acid in conjunction with chemotherapy for ovarian cancer, starting from preclinical models and culminating in a human trial. The preclinical studies provided evidence of anticancer effects of ascorbate and demonstrated synergy with chemotherapeutic agents. The early-phase human trial was too small to statistically confirm efficacy, but it demonstrated a significant reduction in chemotherapy-induced adverse effects in patients receiving ascorbate."

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: E. A. Zerhouni, Turning the Titanic. *Sci. Transl. Med.* 6, 221ed2 (2014).

Turning the Titanic

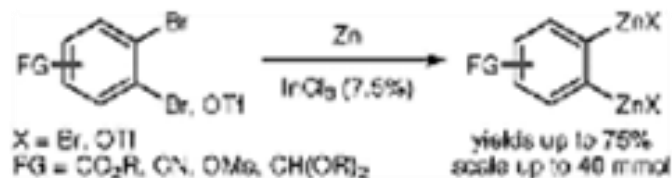
This is a perspective article from the President of Global R&D at Sanofi, who calls for a new paradigm for drug discovery and development and the determination of therapeutic targets. He argues that our current system is not sustainable.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Knochel, P.; et. al. *Synthesis*, **2014**, 03(46), 290.

Synthesis of 1,2-Dimetallic Compounds via Direct Insertion of Zinc Powder in the Presence of InCl₃: Synthesis of ortho-Bis-functionalized Aromatics

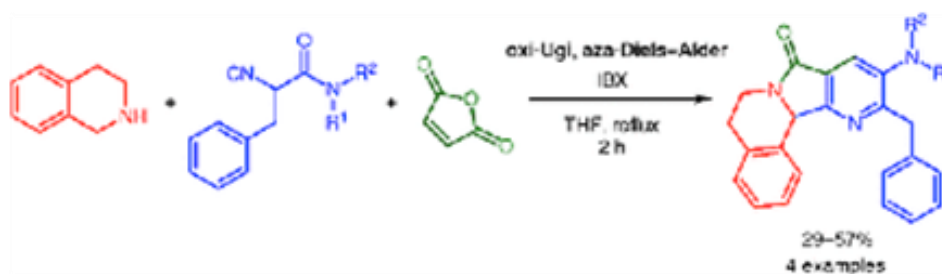


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Gutierrez-Carrillo et. al. *Synlett*, **2014**, 03(25), 403.

One-Pot Synthesis of Nuevamine Aza-Analogues by Combined Use of an Oxidative Ugi Type Reaction and Aza-Diels-Alder Cycloaddition

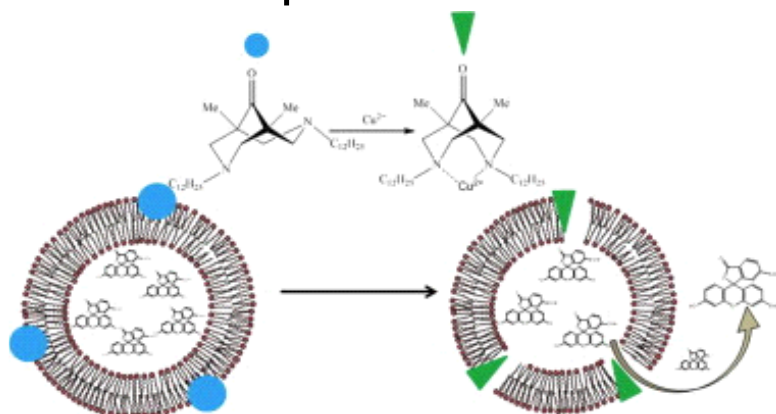


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Veremeeva, Polina N.; Palyulin, Vladimir A. et al. *Tetrahedron* 70 (2014) 1408-1411

Bispidininone-based molecular switches for construction of stimulus-sensitive liposomal containers

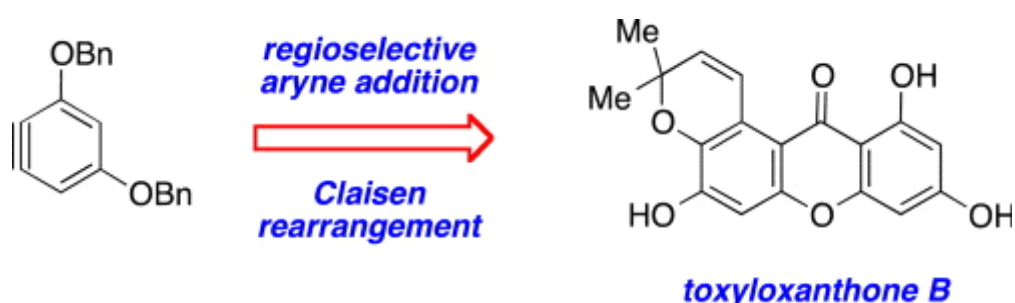


bioorganic
methods
synthesis
mechanism
review
other

Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Daniele Giallombardo, Christopher J. Moody, et al. *Tetrahedron* 2013, 70, 1283-1288

Synthesis of toxyloxanthone B

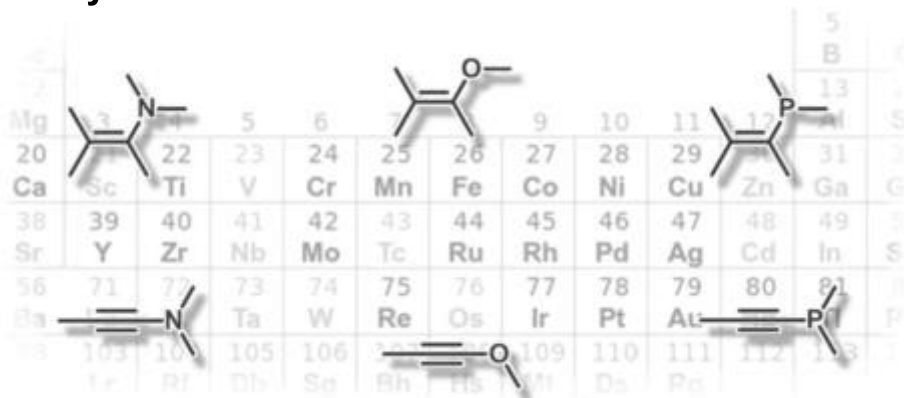


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Evano, Gwilherm; Silvanus, Andrew C.; et al. *Tetrahedron* 2014 70, 1529–1616

Metal-catalyzed synthesis of hetero-substituted alkenes and alkynes



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin