

Volume 41 / Issue 03 15 March 2016



Accounts of Chemical Research	N/A	Jack Sloane
ACS Central Science	N/A	Colin McKinlay
ACS Chemical Biology	77	Gabriella Fernandes Cunha
ACS Nano	77	Nancy Benner
Advanced Drug Delivery Reviews	78	Melanie Huttner
Angewandte Chemie International Edition	78	Samanta Capolicchio
Bioconjugate Chemistry	80	Nancy Benner
Biomacromolecules	81	Colin McKinlay
Bioorganic and Medicinal Chemistry	81	Xiaoyu Zang (Janice)
Bioorganic and Medicinal Chemistry Letters	82	Matt Stevens
Chemical Communications	83	Katie Near
Chemical & Engineering News	85	Melanie Huttner
Chemical Reviews	MOOK	Ryan Quiroz
Chemical Science	86	Colin McKinlay
Chemistry, A European Journal	87	Jack Sloane
European Journal of Organic Chemistry	89	Gabriella Fernandes Cunha
Journal of the American Chemical Society	90	Steven Ryckbosch (odd)
		Akira Shimizu (even)
JAMA	92	Stephen Ho
Journal of Medicinal Chemistry	92	Clayton Hardman
Journal of Organic Chemistry	94	Matt Jeffreys
Molecular Pharmaceutics	96	Melanie Huttner
Natural Product Reports	96	Nancy Benner
Nature	MOOK	Jessica Vargas
Nature Chemistry	97	Matt Jeffreys
Nature Chemical Biology	97	Xiaoyu Zang (Janice)
New England Journal of Medicine	98	Stephen Ho
The New York Times	98	Melanie Huttner
The Onion	MOOK	Steven Ryckbosch
Organic Letters	99	Stephen Ho
Organometallics	MOOK	Ryan Quiroz
PNAS	MOOK	Jessica Vargas
Science	100	Matt Stevens
Science Translational Medicine	101	Xiaoyu Zang (Janice)
Synlett	103	Quang Luu-Nguyen
Synthesis	103	Quang Luu-Nguyen
Tetrahedron	103	Clayton Hardman
Tetrahedron Letters	104	Katie Near

Next Due Date: Friday, April 15, 2016

Instructions for Authors (Volume 1)

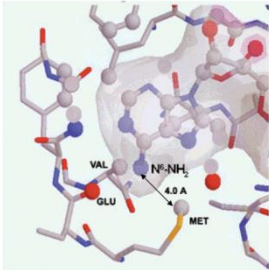
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent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

Citation: Abeyweera, T.P.; Rotenberg, S.A. <i>Biochemistry</i> 2007, 46, 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 (1-β-³²P-N6-phenyl-ATP). Because this analogue could be utilized by the mutant kinase but not by wild-type PKCR (or presumably other protein kinase) to phosphorylate peptide or protein substrates, ³²P-labeled products were the direct result of the mutant PKCR.</p>	
	<p>bioorganic asymmetric methods synthesis mechanism review other</p> <p>OM Bryo Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.</p>

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

DON'T BE A MOOK!

Lit Review MOOKS include those who:

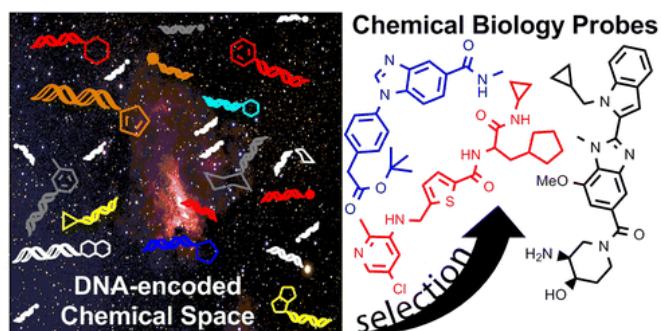
- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

Penalties for being a Lit Review MOOK:

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

Citation: Salamon, H. et al. *ACS Chem. Biol.* **2016**, DOI:10.1021/acscchembio.5b00981

Chemical Biology Probes from Advanced DNA-encoded Libraries

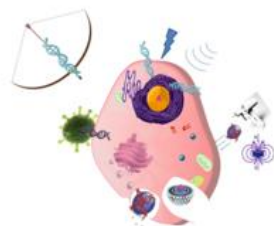


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Geinguenaud, F. et al. *ACS Chem. Biol.* **2016**, DOI:10.1021/acscchembio.5b01053

Vectorization of Nucleic Acids for Therapeutic Approach: Tutorial Review

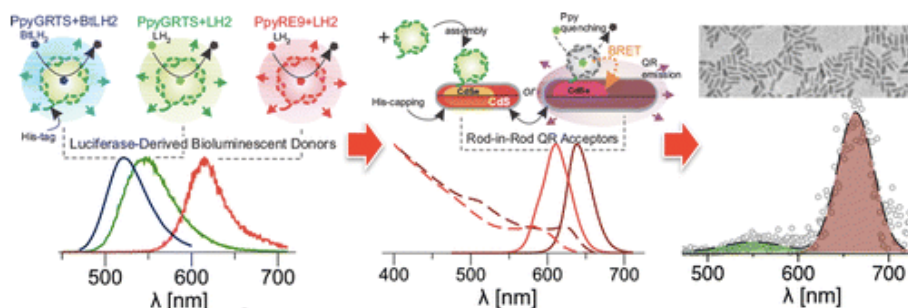


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Alam, R. et. al, *ACS Nano*, **2016**, 10 (2)1969-1997

Probing Bioluminescence Resonance Energy Transfer in Quantum Rod–Luciferase Nanoconjugates

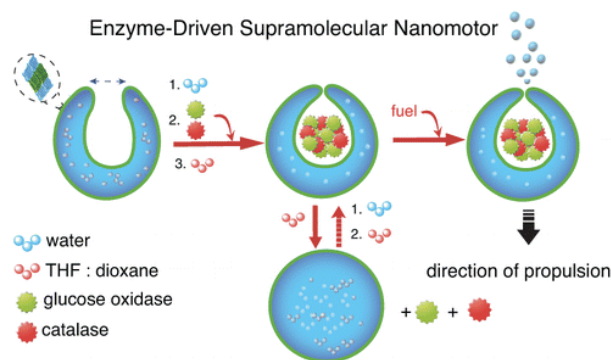


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Abdelmohsen, L. et. al, *ACS Nano*, **2015**, 10 (2) 2652-2660.

Dynamic Loading and Unloading of Proteins in Polymeric Stomatocytes: Formation of an Enzyme-Loaded Supramolecular Nanomotor



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Nastiuk, K. L.; et al. *Adv. Drug Deliv. Rev.* **2016**, 98, 35-40.

Opportunities and challenges in combination gene cancer therapy

Combination therapies are an increasingly important part of the anti-cancer drug armamentarium. In the case of cytotoxic chemotherapy, multi-drug regimens rapidly became the norm, as the earliest single agents were relatively ineffective. In contrast to chemotherapy, where combination therapies were required in order to achieve treatment efficacy, for both hormonal and targeted therapies the impetus to move toward the use of combination therapies is to prevent or reverse the development of treatment resistance. In addition, emerging evidence suggests that combination therapy may also improve cancer treatment by neutralizing an emerging treatment side effect termed therapy-induced metastasis, which accompanies some effective single agent therapies. Finally, although gene therapy is still far from use in the clinic, we propose that combination therapies may enhance its effectiveness.

bioorganic
methods
synthesis
mechanism
review
other

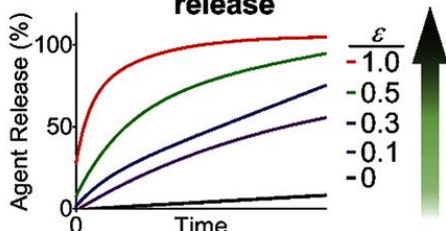
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wang, J. et al. *Angew. Chem Int. Ed.* **2016**, 55, 2796-2800.

Stretch-Induced Drug Delivery from Superhydrophobic Polymer Composites: Use of Crack Propagation Failure Modes for Controlling Release Rates



Increasing tensile strain and release



Mechanoresponsive delivery:

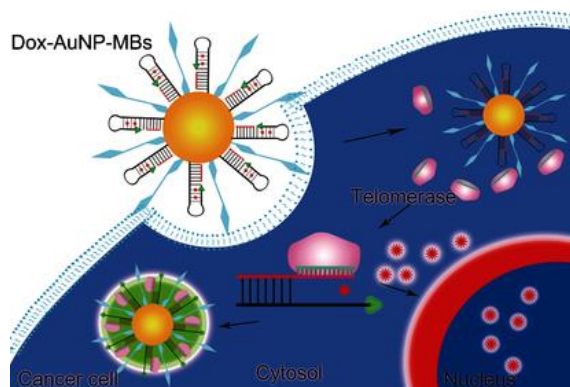
Superhydrophobic composites were developed whose drug release rates can be controlled through the applied tensile strain. Strain-dependent in vitro delivery of anticancer agents (cisplatin and 7-ethyl-10-hydroxycamptothecin) to esophageal cancer cells and ex vivo delivery of fluorescein diacetate with an esophageal stent are demonstrated.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ma, Y. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 3304-3308.

A Telomerase-Specific Doxorubicin-Releasing Molecular Beacon for Cancer Theranostics



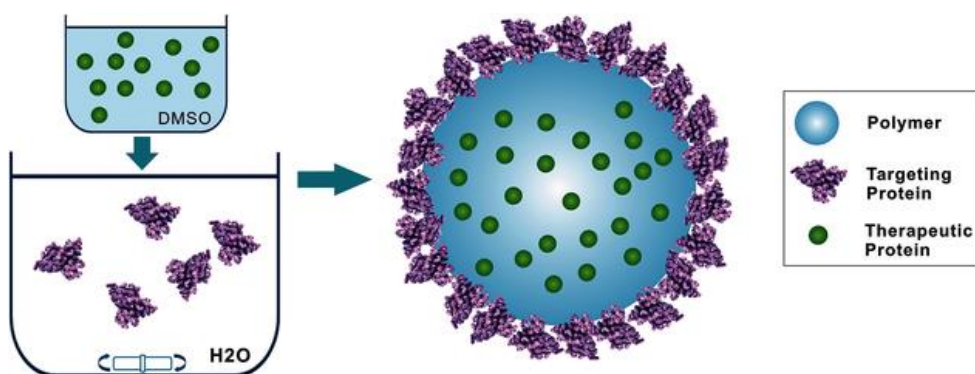
Telomerase beacons: A molecular-beacon-based drug delivery system was designed for both detection of telomerase activity in living cells and telomerase-triggered drug release. This molecular beacon (MB) could specifically distinguish tumor cells and normal cells on the basis of telomerase activity, release doxorubicin, and avoid toxicity in healthy organs.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Zhu, Y. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 3309-3312.

Polymeric Nanoparticles Amenable to Simultaneous Installation of Exterior Targeting and Interior Therapeutic Proteins

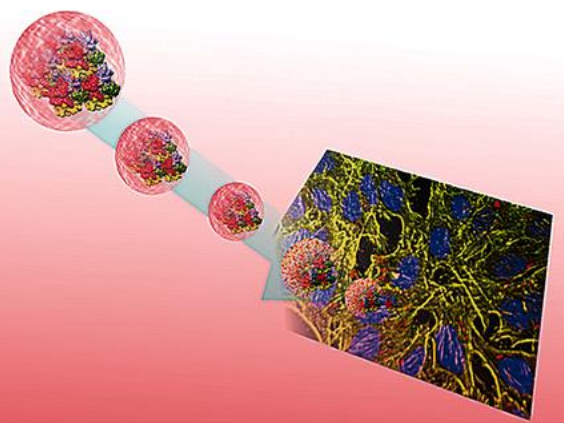


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Prasetyanto, E. A. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 3323-3327.

Breakable Hybrid Organosilica Nanocapsules for Protein Delivery



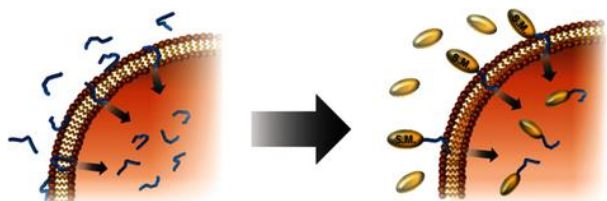
Speshell delivery: The construction of a breakable shell around proteins can be used for encapsulation and delivery of functional proteins. The shell comprises silica units that are held together with disulfide bridges. These stimulus-responsive containers break into very small pieces upon contact with the cell environment, and the proteins retain their activity during encapsulation.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Medina, S. H. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 3369-3372.

An Intrinsically Disordered Peptide Facilitates Non-Endosomal Cell Entry



Intrinsic peptide disorder mediates cytoplasmic delivery of cell-impermeable small molecules

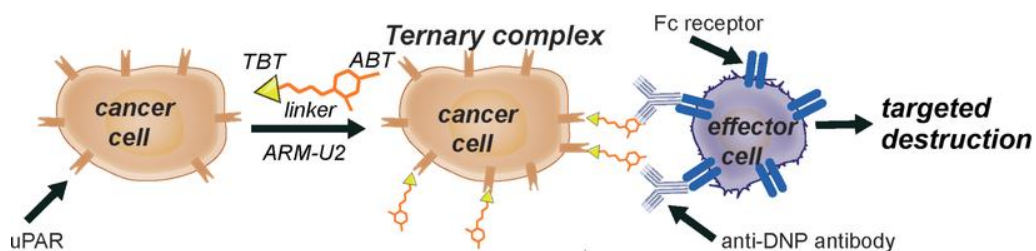
Disorder imparts order: CLIP6, an intrinsically disordered peptide, mediates cellular entry through non-endosomal physical translocation across the membrane. This activity, defined by its unstructured state, facilitates the delivery of membrane-impermeable cargo to the interior of cells.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Rullo, A. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 3642-3646

Re-engineering the Immune Response to Metastatic Cancer: Antibody-Recruiting Small Molecules Targeting the Urokinase Receptor



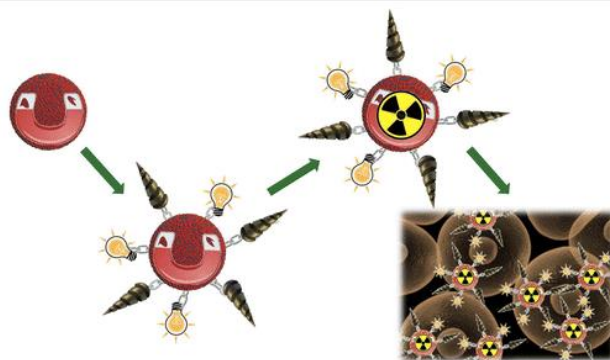
Marked for death: A small-molecule immunotherapeutic strategy involves the selective tagging of cancer cells for immune-cell recognition and targeted destruction. This approach holds tremendous promise in guiding the development of selective treatments against highly aggressive metastatic cancers with potentially few side effects.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Martinelli, J. *Bioconjugate chemistry* **2016**, *27* (2), 446-456.

Fate of Organic Functionalities Conjugated to Theranostic Nanoparticles upon Their Activation

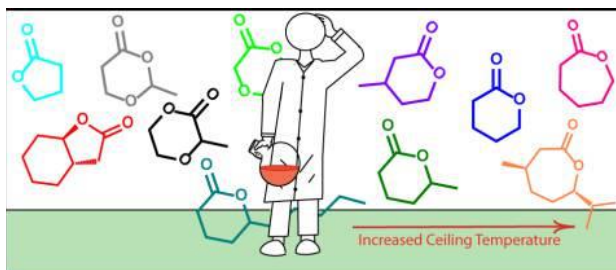


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Biomacromolecules 2016, 17 (3), 699–709.

Thermodynamic Presynthetic Considerations for Ring-Opening Polymerization.

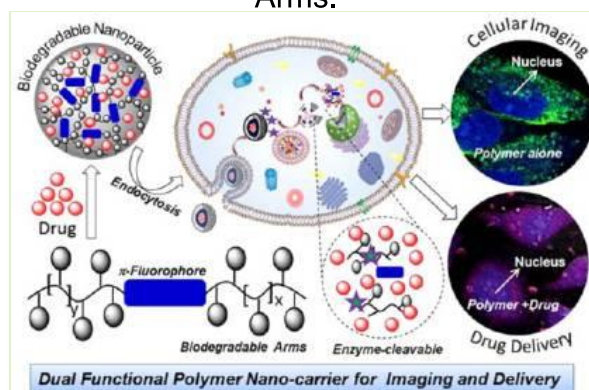


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Biomacromolecules 2016, 17 (3), 1004–1016.

Dual Functional Nanocarrier for Cellular Imaging and Drug Delivery in Cancer Cells Based on π -Conjugated Core and Biodegradable Polymer Arms.

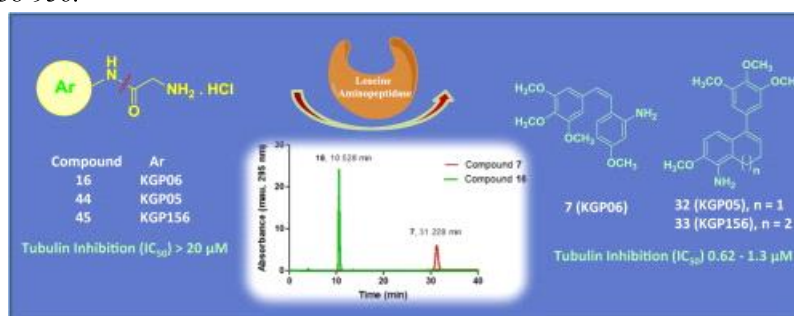


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Devkota, *et al. Bioorg. Med. Chem.* 2016, 24, 938–956.

Design, synthesis, and biological evaluation of water-soluble amino acid prodrug conjugates derived from combretastatin, dihydronaphthalene, and benzosuberene-based parent vascular disrupting agents



bioorganic
methods
synthesis
mechanism
review
other

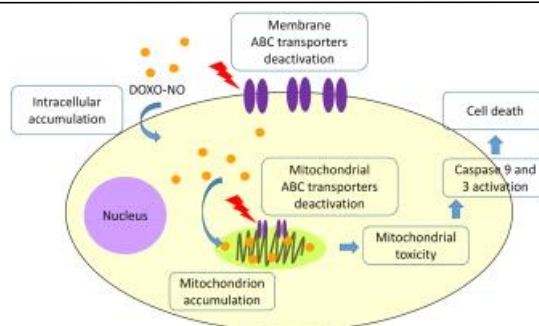
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

In an effort to discover new vascular disrupting agents with improved water solubility and potentially greater bioavailability, various amino acid prodrug conjugates (AAPCs) of potent amino combretastatin, amino dihydronaphthalene, and amino benzosuberene analogs were synthesized along with their corresponding water-soluble hydrochloride salts. These compounds were evaluated for their ability to inhibit tubulin polymerization and for their cytotoxicity against selected human cancer cell lines.

Citation: Gazzano, *et al.*

Bioorg. Med. Chem. **2016**, *24*,

Overcoming multidrug resistance by targeting mitochondria with NO-donating doxorubicins



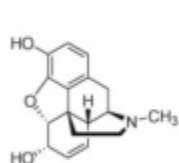
A library of nitric oxide-donor doxorubicins (NO⁺CDOXOs) was synthesized by linking appropriate NO-donor moieties at C-14 position through an ester bridge. Their hydrolytic stability was evaluated. The intracellular accumulation and cytotoxicity of these novel NO⁺CDOXOs were studied in DOXO-sensitive (HT29) and DOXO-resistant (HT29/dx) tumor-cells. Hydrolytically-stable compounds accumulated in HT29 and HT29/dx cells, thanks to the nitration of plasma-membrane efflux transporters. Surprisingly, no close correlation was found between intracellular accumulation and cytotoxicity. Only compounds with high mitochondria retention (due to nitration of mitochondrial efflux transporter) exert high cytotoxicity, through the activation of a mitochondrial-dependent apoptosis.

bioorganic
methods
synthesis
mechanism
review
other

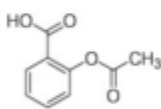
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wolkerstorfer, A.; *et al.* *Bioorg. Med. Chem. Lett.* **2016**, *26* (4), 1103-1119

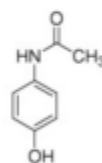
New approaches to treating pain



morphine



acetyl salicylic acid



paracetamol

bioorganic
methods
synthesis
mechanism
review
other

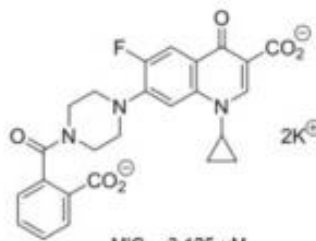
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Long, T. E.; *et al.* *Bioorg. Med. Chem. Lett.* **2016**, *26* (4), 1305-1309

Anionic fluoroquinolones as antibacterials against biofilm-producing *Pseudomonas aeruginosa*



mucoid *Pseudomonas*



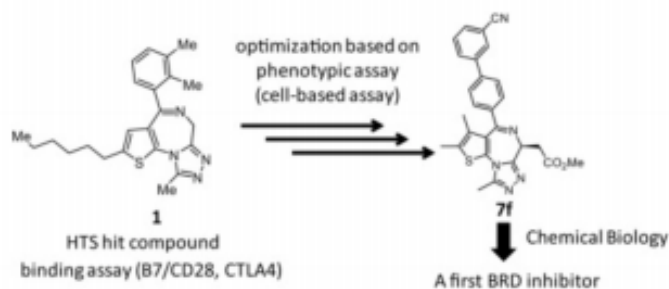
MIC 3.125 μ M
MBC 6.25 μ M
anionic fluoroquinolones

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Endo, J.; *et al. Bioorg. Med. Chem. Lett.* **2016**, 26 (5), 1365-1370

A phenotypic drug discovery study on thienodiazepine derivatives as inhibitors of T cell proliferation induced by CD28 co-stimulation leads to the discovery of a first bromodomain inhibitor

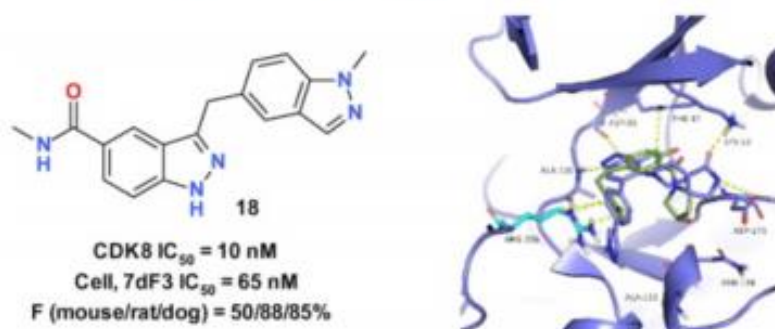


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Schiemann, K.; *et al. Bioorg. Med. Chem. Lett.* **2016**, 26 (5), 1443-1451

Discovery of potent and selective CDK8 inhibitors from an HSP90 pharmacophore

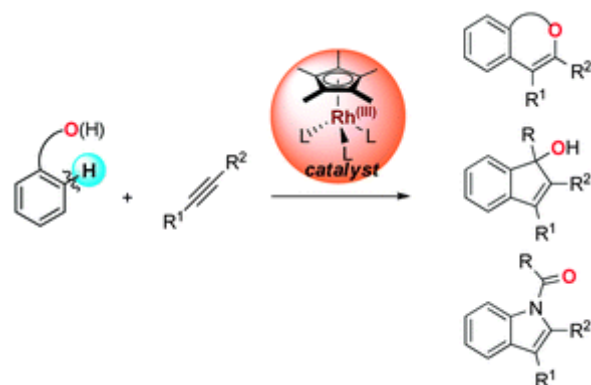


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Yang, Y.; *et al. Chem. Commun.* **2016**, 52, 2872.

Rhodium-catalyzed annulation of arenes with alkynes through weak chelation-assisted C–H activation



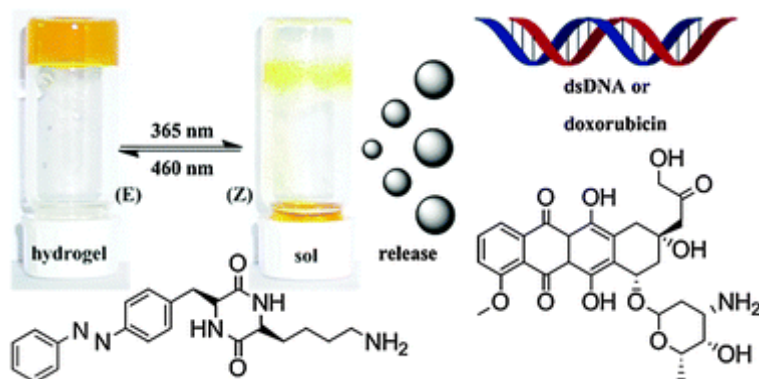
This review summarizes the recent achievements of rhodium-catalyzed annulation of arenes with alkynes through weak chelation-assisted C–H activation, which sets the stage for the synthesis of diverse appealing polycyclic compounds.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDOs
Hybrid
Drug Deliv.
Prostratin

Citation: Pianowski, Z. L.; *et al. Chem. Commun.* **2016**, 52, 3143.

Photoresponsive self-healing supramolecular hydrogels for light-induced release of DNA and doxorubicin

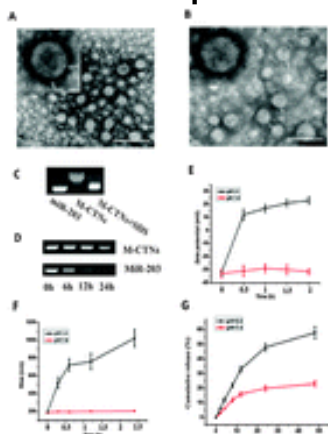


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDOs
Hybrid
Drug Deliv.
Prostratin

Citation: Deng, X.; *et al. Chem. Commun.* **2016**, 52, 3243.

Acidic pH-induced charge-reversal nanoparticles for accelerated endosomal escape and enhanced microRNA modulation in cancer cells



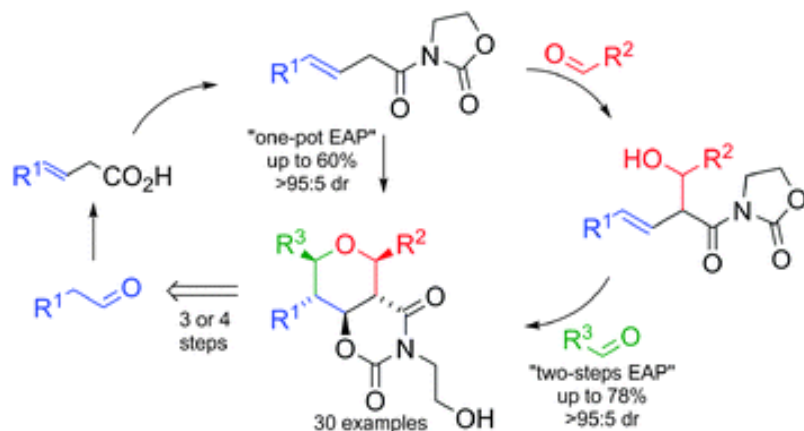
pH-Induced charge-reversal nanoparticles incorporating microRNA (miRNA) were engineered through a single-step self-assembly of polyelectrolyte complexes. The endosomal/lysosomal acidic environment triggered the charge reversal of the nanoparticles and induced the structure alteration of the nanoparticles, which in turn led to accelerated endosomal escape and enhanced miRNA modulation in esophageal cancer cells.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDOs
Hybrid
Drug Deliv.
Prostratin

Citation: Alvarez-Mendez, S. J.; *et al. Chem. Commun.* **2016**, 52, 3380.

The Evans Aldol–Prins cyclization: a general and stereoselective method for the synthesis of 2,3,4,5,6-pentasubstituted tetrahydropyrans



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDOs
Hybrid
Drug Deliv.
Prostratin

Citation: Chem. Sci. 2016, 7 (2), 866–880.

Pot Economy and One-Pot Synthesis.

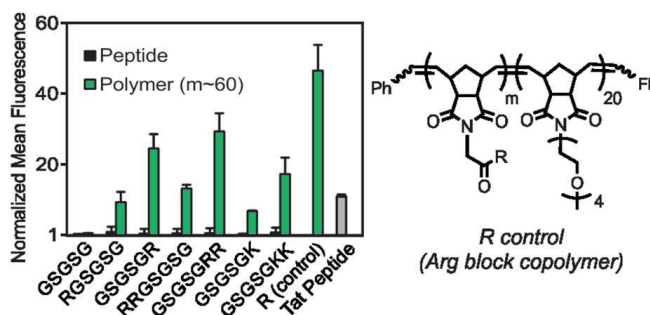


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Chem. Sci. 2016, 7 (2), 989–994.

Activating Peptides for Cellular Uptake via Polymerization into High Density Brushes.

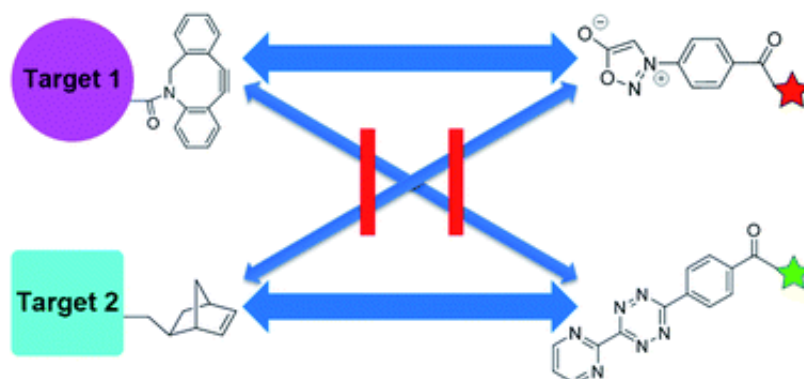


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Chem. Sci. 2016, 7 (2), 1257–1261.

Discovery of New Mutually Orthogonal Bioorthogonal Cycloaddition Pairs through Computational Screening.



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Parsons, P. J. et al. *Chem. Eur. J.* **2016**, *22*, 3981-3984

A New Approach for the Synthesis of Highly Substituted Aromatic Rings: The Alkyne-Mediated Approach



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Fra, L. et al. *Chem. Eur. J.* **2016**, *22*, 4351-4354

Indole Synthesis through Sequential Electrophilic N-H and C-H Bond Activation Using Iodine(III) Reactivity

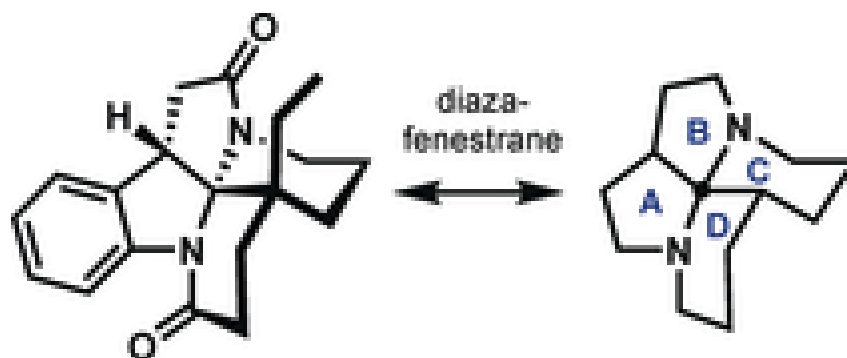


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Pfaffenbach, M. et al. *Chem. Eur. J.* **2016**, *22*, 3600-3610

The Diaza[5.5.6.6.]fenestrane Skeleton - Synthesis of Leuconoxine Alkaloids

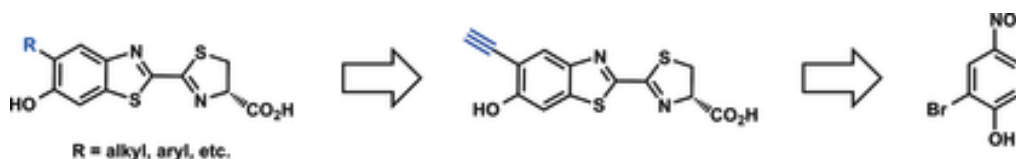


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Steinhardt, R. C. et al. *Chem. Eur. J.* **2016**, *22*, 3671-3675

Design and Synthesis of an Alkynyl Luciferin Analogue for Bioluminescence Imaging

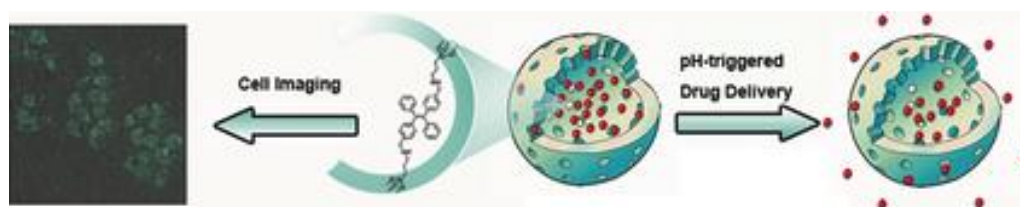


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Fan, Z. et al. *Chem. Eur. J.* **2016**, *22*, 3681-3685

AIE Luminogen-Functionalized Hollow Mesoporous Silica Nanospheres for Drug Delivery and Cell Imaging

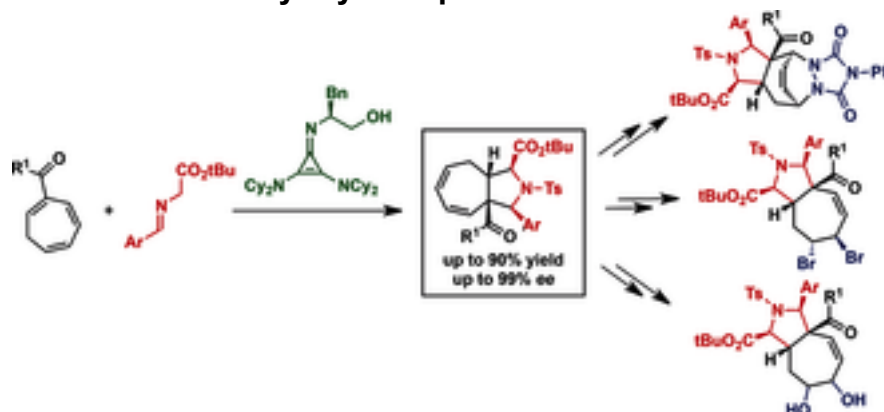


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Lauridsen, V. H. et al. *Chem. Eur. J.* **2016**, *22*, 3259-3263

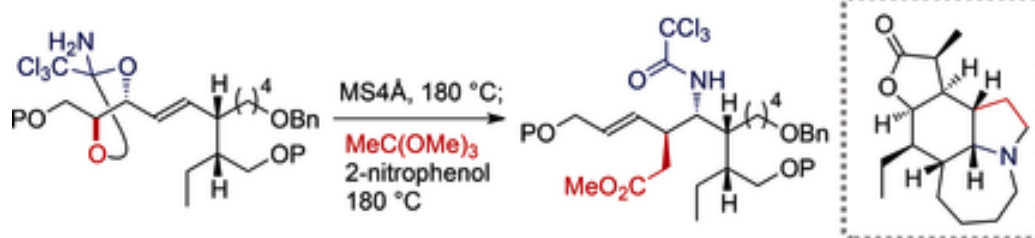
Asymmetric Brønsted Base Catalyzed and Directed [3+2] Cycloaddition of 2-Acyl Cycloheptatrienes with Azomethine Ylides



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

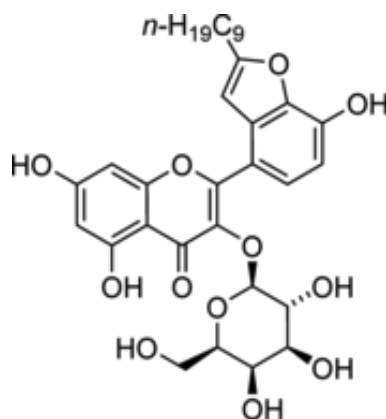
Enantioselective Total Synthesis of (+)-Neostenine



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

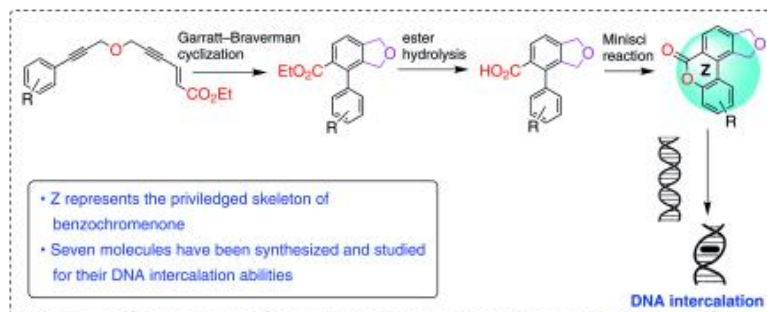
Total Synthesis of the Antiviral Natural Product Houttuynoid B



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Synthesis of DNA-Intercalating 6H-Benzo[*c*]chromen-6-one Derivatives through a Strategic Combination of Garratt–Braverman and Minisci Acyloxylation Reactions

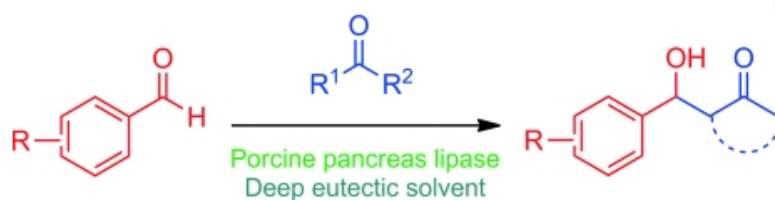


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: González-Martínez, D. et al. *European Journal of Organic Chemistry* **2016**, 8, 1513–1519

Application of Deep Eutectic Solvents in Promiscuous Lipase-Catalysed Aldol Reactions

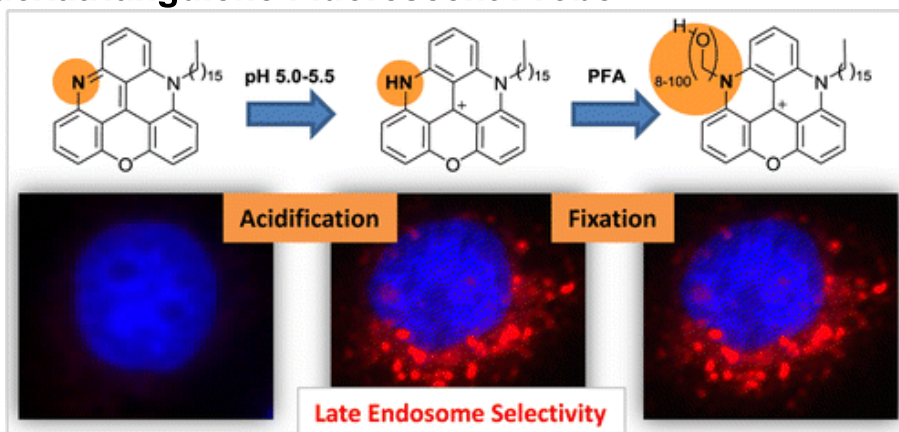


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Antoine Wallabregue, Jérôme Lacour, et al. *Journal of the American Chemical Society* **2016**, 138 (6), 1752-1755

Selective Imaging of Late Endosomes with a pH-Sensitive Diazaoxatriangulene Fluorescent Probe

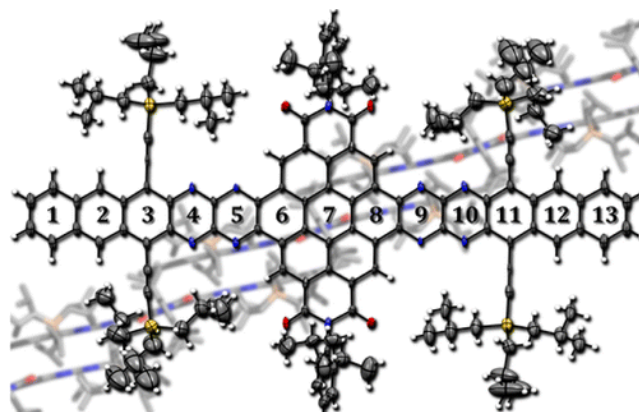


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Alexander H. Endres, Uwe H. F. Bunz, et al. *Journal of the American Chemical Society* **2016**, 138 (6), 1792-1795

Coronene-Containing N-Heteroarenes: 13 Rings in a Row

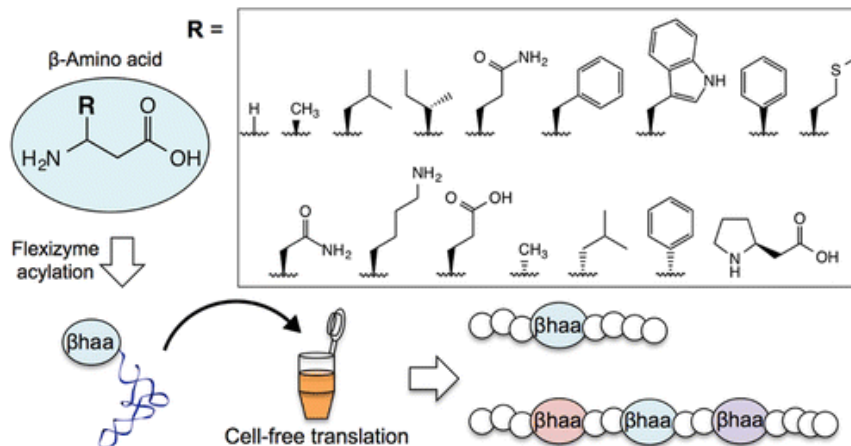


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Tomoshige Fujino, Yuki Goto, Hiroaki Suga, and Hiroshi Murakami
Journal of the American Chemical Society 2016 138 (6), 1962-1969

Ribosomal Synthesis of Peptides with Multiple β -Amino Acids

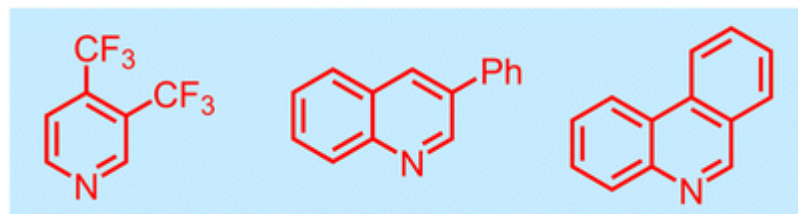
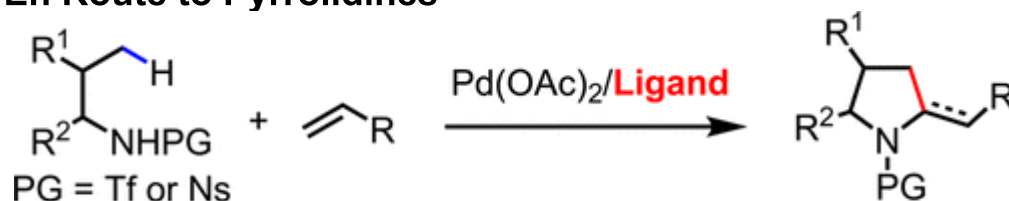


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Heng Jiang, Jian He, Tao Liu, and Jin-Quan Yu
Journal of the American Chemical Society 2016 138 (6), 2055-2059

Ligand-Enabled gamma-C(sp³)-H Olefination of Amines: En Route to Pyrrolidines

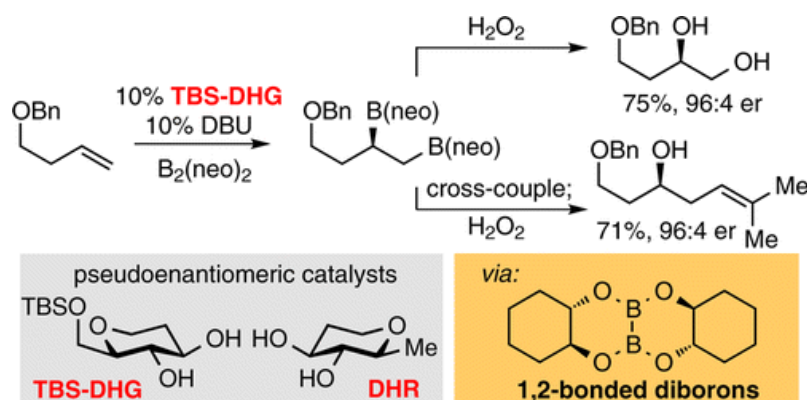


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Lichao Fang, Lu Yan, Fredrik Haefner, and James P. Morken
Journal of the American Chemical Society 2016 138 (8), 2508-2511

Carbohydrate-Catalyzed Enantioselective Alkene Diboration: Enhanced Reactivity of 1,2-Bonded Diboron Complexes



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.

Citation: JAMA. 2016;315(9):857-858. doi:10.1001/jama.2016.1149.

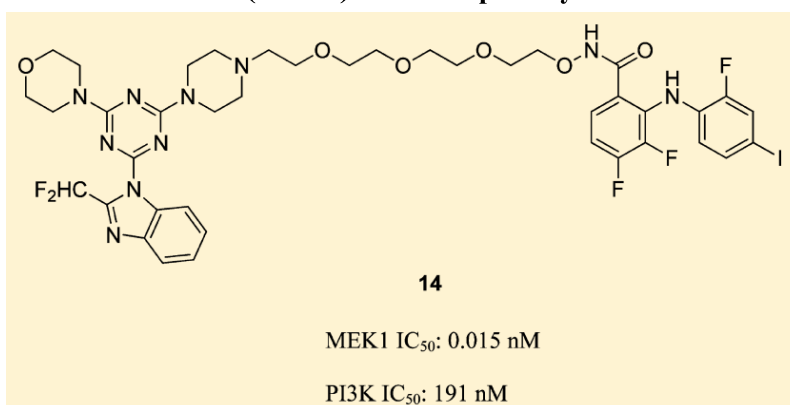
**Promoting Therapeutic Innovation:
What Do We Do About Drug-Device Combinations?**

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Van Dort, M.; Hong, H.; Wang, H.; Nino, C.; Lombardi, R.; Blanks, A.; Galbán, S.; Ross, B. J. Med. Chem. 2016.

Discovery Of Bifunctional Oncogenic Target Inhibitors Against Allosteric Mitogen-Activated Protein Kinase (MEK1) And Phosphatidylinositol 3-Kinase (PI3K)

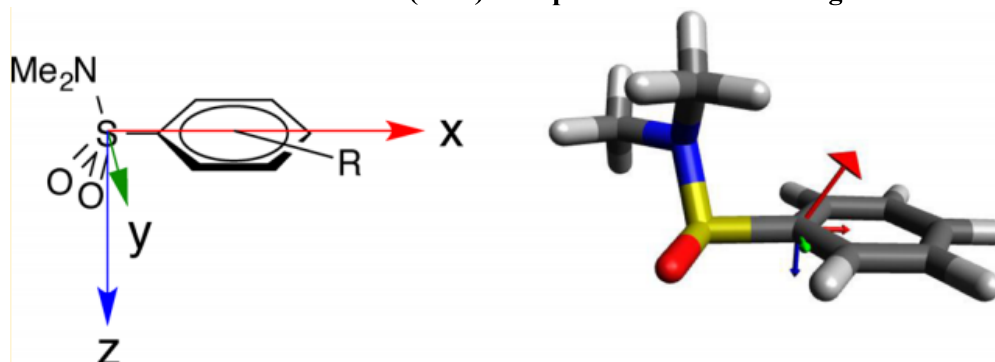


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Chawla, R.; Van Puyenbroeck, V.; Pflug, N.; Sama, A.; Ali, R.; Schols, D.; Vermeire, K.; Bell, T. J. Med. Chem. 2016.

Tuning Side Arm Electronics In Unsymmetrical Cyclotriazadisulfonamide (CADA) Endoplasmic Reticulum (ER) Translocation Inhibitors To Improve Their Human Cluster Of Differentiation 4 (CD4) Receptor Down-Modulating Potencies

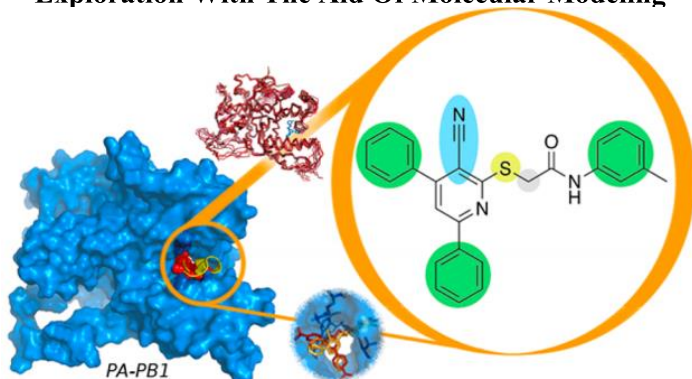


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Trist, I.; Nannetti, G.; Tintori, C.; Fallacara, A.; Deodato, D.; Mercorelli, B.; Palù, G.; Wijtmans, M.; Gospodova, T.; Edink, E. et al. J. Med. Chem. 2016.

4,6-Diphenylpyridines As Promising Novel Anti-Influenza Agents Targeting The PA–PB1 Protein–Protein Interaction: Structure–Activity Relationships Exploration With The Aid Of Molecular Modeling

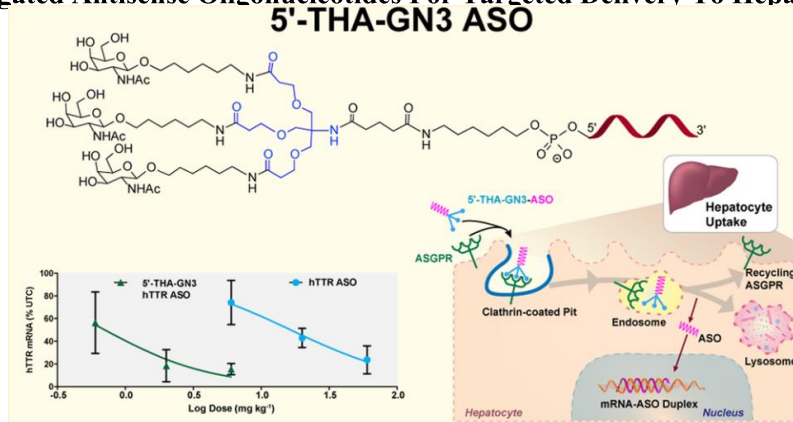


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Prakash, T.; Yu, J.; Migawa, M.; Kinberger, G.; Wan, W.; Østergaard, M.; Carty, R.; Vasquez, G.; Low, A.; Chappell, A. et al. J. Med. Chem. 2016.

Comprehensive Structure–Activity Relationship Of Triantennary-Acetylgalactosamine Conjugated Antisense Oligonucleotides For Targeted Delivery To Hepatocytes. 5'-THA-GN3 ASO

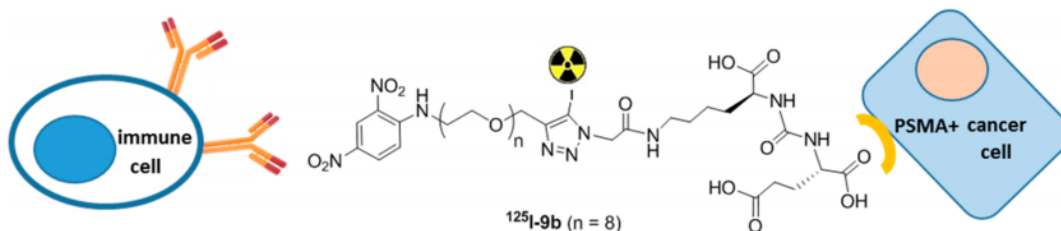


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Genady, A.; Janzen, N.; Banevicius, L.; El-Gamal, M.; El-Zaria, M.; Valliant, J. J. Med. Chem. 2016.

Preparation And Evaluation Of Radiolabeled Antibody Recruiting Small Molecules That Target Prostate-Specific Membrane Antigen For Combined Radiotherapy And Immunotherapy.

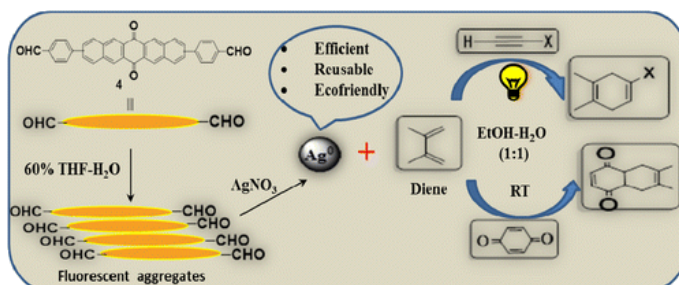


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Chopra, R.; Sharma, K.; Kumar, M.; Bhalla, V. *JOC*, **2016**, *81*, 1039-1046.

Pentacenequinone-Stabilized Silver Nanoparticles: A Reusable Catalyst for the Diels–Alder [4 + 2] Cycloaddition Reactions



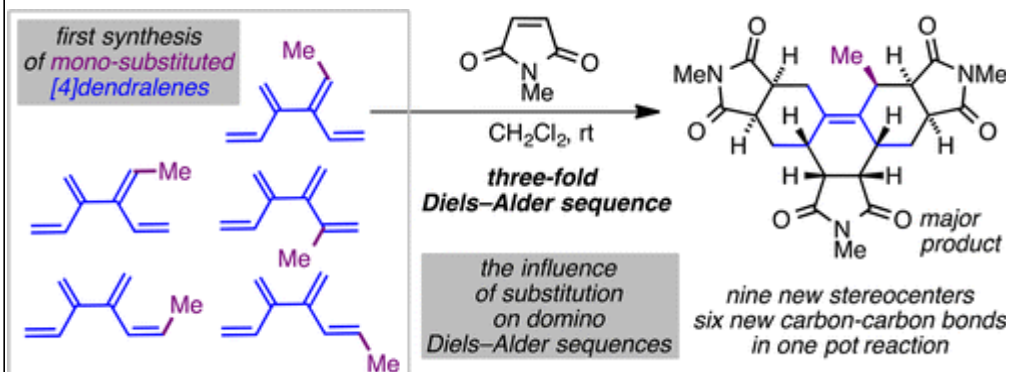
The work here with alkyne D-A reactions is interesting, but the results with the quinones are not quite as convincing. They claim the control reactions were in place, but I'm not convinced. They also note comparable reactivity with citrate stabilized silver nanoparticles but still claim superiority with no comment on batch control. The exciting part is the silver catalyzed alkyne/diene [4+2], even if they only show terminal alkynes.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Sherburn, M.S.; *et al.* *JOC*, **2016**, *81*, 1461-1475.

Synthesis and Diels–Alder Reactivity of Substituted [4]Dendralenes



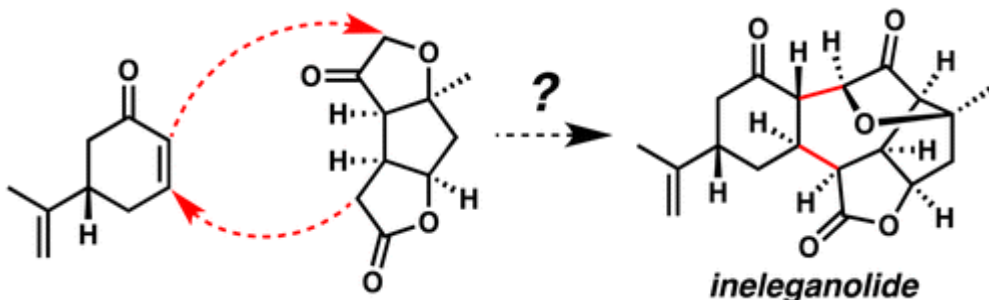
The TME paper cites Sherburn and his co-workers a number of times, and this is just one of his more recent contributions with regards to dendralenes.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Horn, E.J.; Silverston, J.S.; Vanderwal, C.D. *JOC*, **2016**, *81*, 1819-1838.

A Failed Late-Stage Epimerization Thwarts an Approach to Ineleganolide



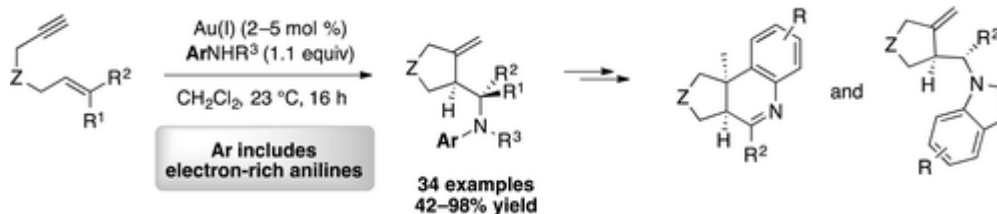
Quite interesting to see these negative results published. There is quite a bit of impressive work in this paper. I think there is probably a solution to be found here.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Echavarren, A.M. *et al. JOC*, **2016**, *81*, 1839-1849.

Broad Scope Aminocyclization of Enynes with Cationic JohnPhos–Gold(I) Complex as the Catalyst

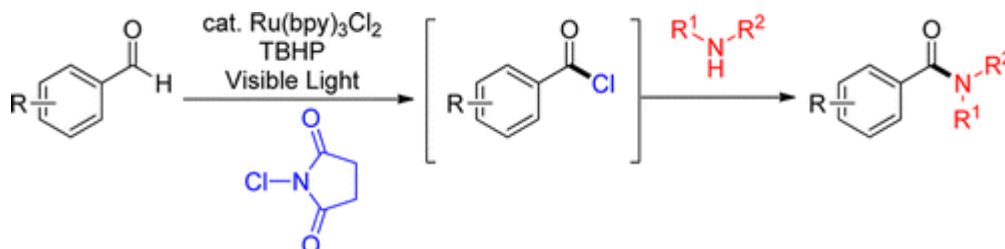


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Iqbal, N., Cho, E.J. *JOC*, **2016**, *81*, 1905-1911.

Visible-Light-Mediated Synthesis of Amides from Aldehydes and Amines via in Situ Acid Chloride Formation



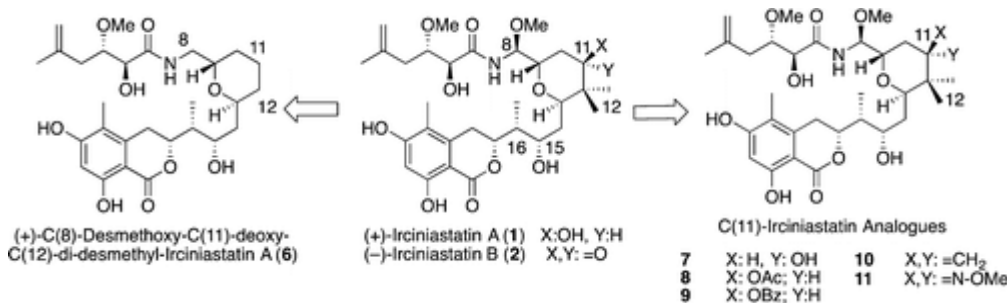
Cool photoredox oxidation.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Smith, A.B. III; *et al. JOC*, **2016**, *81*, 1930-1942.

Design, Synthesis, and Evaluation of Irciniastatin Analogues: Simplification of the Tetrahydropyran Core and the C(11) Substituents



Because simplifying tetrahydropyran rings is what we do...

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Chaudhari, D.A.; Fernandes, R.A. *JOC*, **2016**, *81*, 2113-2121.

Hypervalent Iodine as a Terminal Oxidant in Wacker-Type Oxidation of Terminal Olefins to Methyl Ketones



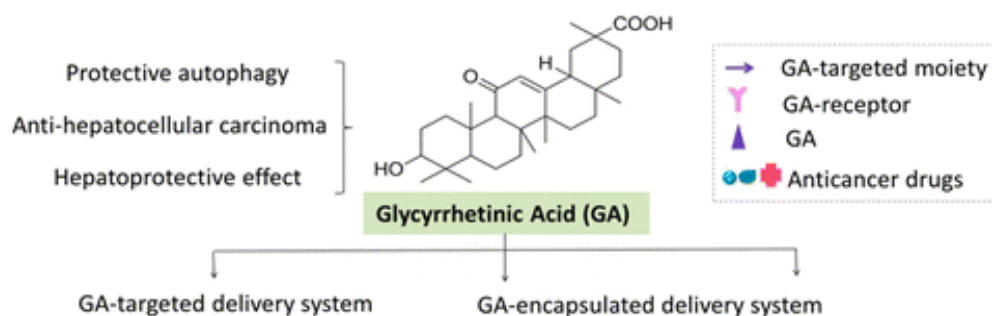
Solid substrate scope, good yields, seems scalable. Not sure why this isn't in a higher profile journal to be honest.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: *Mol. Pharm.*; **2016**, *13*, 699.

Glycyrrhetic Acid Mediated Drug Delivery Carriers for Hepatocellular Carcinoma Therapy



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Blunt, J. et al. *Nat. Prod. Rep.*, **2016**, *33*, 382-431

Marine natural products

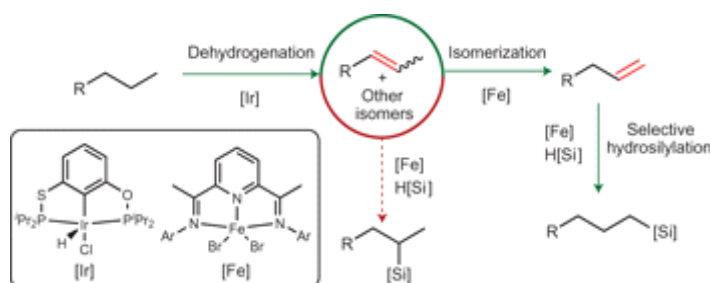


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Jia, X.; Huang, Z. *Nature Chemistry*, **2016**, *8*, 157-161.

Conversion of alkanes to linear alkylsilanes using an iridium–iron-catalysed tandem dehydrogenation–isomerization–hydrosilylation



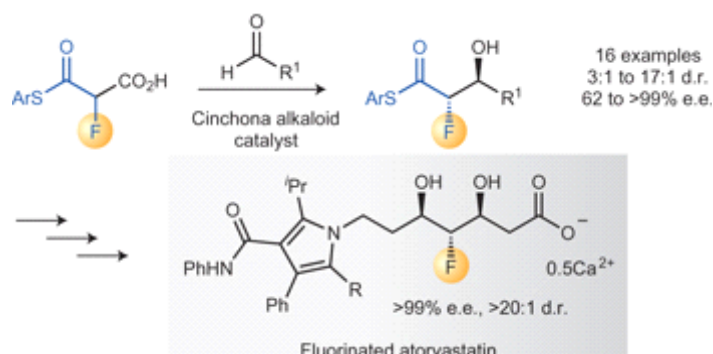
Alkane functionalization!

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Saadi, J.; Wennemers, H. *Nature Chemistry*, **2016**, *8*, 276-280.

Enantioselective aldol reactions with masked fluoroacetates



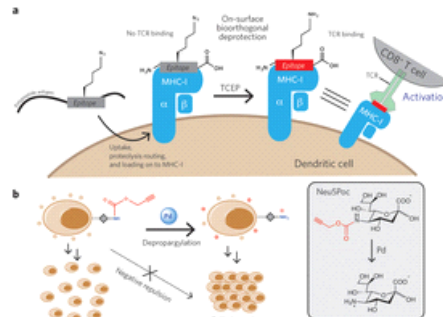
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Li, *et al. Nat. Chem. Bio.* **2016**, *12*, 129-137.

Development and application of bond cleavage reactions in bioorthogonal chemistry

current concepts of bioorthogonal chemistry have largely centered on 'bond formation' reactions between two mutually reactive bioorthogonal handles. Recently, in a reverse strategy, a collection of 'bond cleavage' reactions has emerged with excellent biocompatibility. These reactions have expanded our bioorthogonal chemistry repertoire, enabling an array of exciting new biological applications that range from the chemically controlled spatial and temporal activation of intracellular proteins and small-molecule drugs to the direct manipulation of intact cells under physiological conditions. Here the authors highlight the development and applications of these bioorthogonal cleavage reactions. Furthermore, the challenges and propose future directions along this appealing avenue of research were laid out.



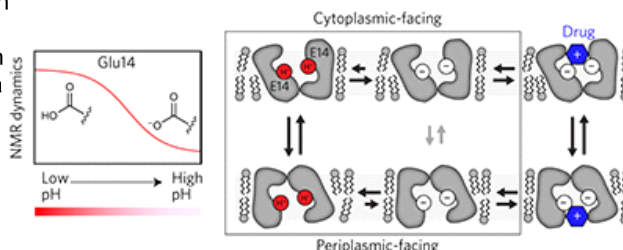
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Gayen, *et al. Nat. Chem. Bio.* **2016**, *12*, 141-145.

In this work, the researchers investigated the proton-coupled transport mechanism for the Escherichia coli drug efflux pump EmrE using NMR spectroscopy. The results show that the global conformational motions necessary for transport are modulated in an allosteric fashion by the protonation state of a membrane-embedded glutamate residue. These observations directly correlate with the resistance phenotype for wild-type EmrE and the E14D mutant as a function of pH. Furthermore, our results support a model in which the pH gradient across the inner membrane of E. coli may be used on a mechanistic level to shift the equilibrium of the transporter in favor of an inward-open resting conformation poised for drug binding.

Protonation of a glutamate residue modulates the dynamics of the drug transporter EmrE

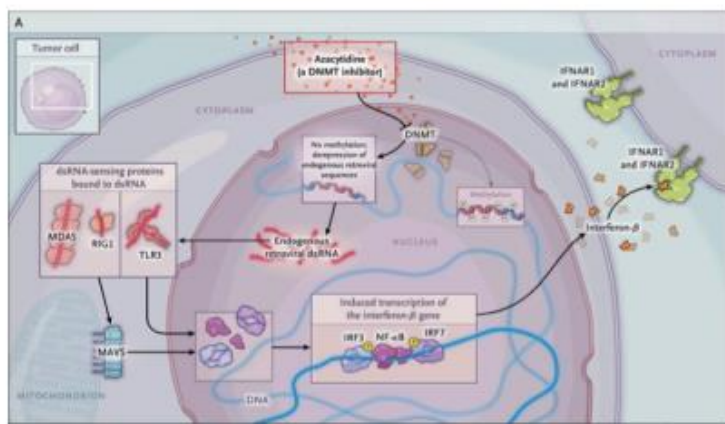


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: *N Engl J Med* **2016**; *374*:684-686

Epigenetic Modulators and the New Immunotherapies



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

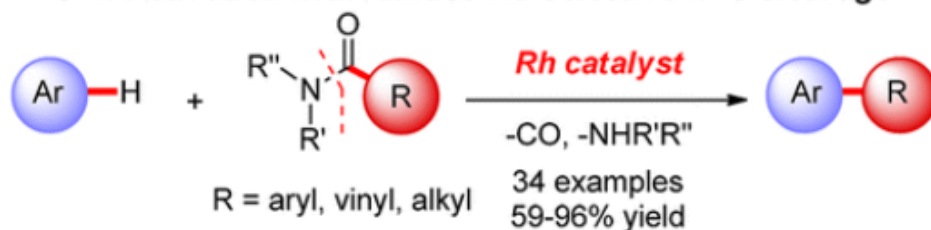
Citation: <http://www.nytimes.com/2016/02/26/opinion/helping-women-in-africa-avoid-hiv.html>

Helping Women in Africa Avoid H.I.V.

The results of two major studies in Africa that were released Monday show that a new device could help protect women against H.I.V. It is a flexible ring a woman inserts into her vagina, where it slowly releases an antiretroviral drug. In earlier studies in Africa, pills and gels that can prevent H.I.V. infection had high failure rates because women tended not to use them consistently. But the new ring is inexpensive, easy to insert, effective for one month and, once in place, undetectable by the woman or her male partner. It also has a shelf life of five years and requires no refrigeration — important advantages in the developing world.

bioorganic
methods
synthesis
mechanism
review
other

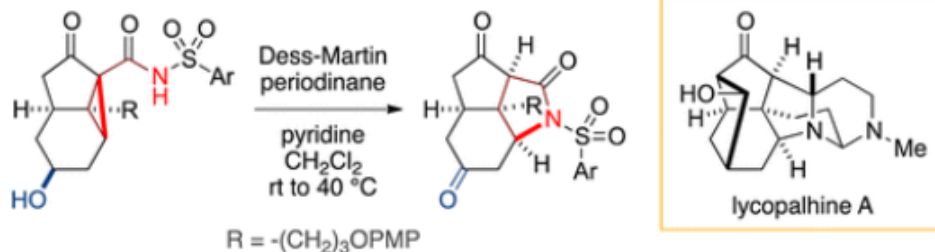
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Rhodium-Catalyzed C–H Bond Functionalization with Amides by Double C–H/C–N Bond Activation**C–H Activation with Amides via Selective N–C cleavage**

- the first catalytic N–C amide activation with Rh
- amide activation in presence of CHO, CO₂Me, COMe, Br, Cl, F

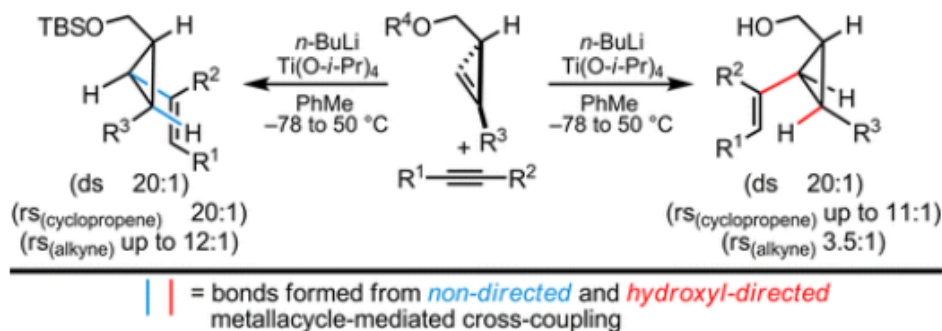
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Total Synthesis of Lycopalhine A

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Cyclopropenes in Metallacycle-Mediated Cross-Coupling with Alkynes: Convergent Synthesis of Highly Substituted Vinylicyclopropanes

bioorganic
methods
synthesis
mechanism
review
other

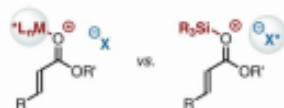
OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Gatzemeier, T. *et al. Science* **2016**, 351 (6276), 949-952

Asymmetric Lewis acid organocatalysis of the Diels-Alder reaction by a silylated C-H acid

Conventional approaches to enantioselective Lewis acid catalysis:

- chirality directly attached to Lewis acid
- complexation between chiral catalyst and substrate
- achiral counteranion present, if Lewis acid is cationic



Asymmetric counteranion-directed catalysis with catalytic silylium ion equivalents (silylium ion-ACDC):

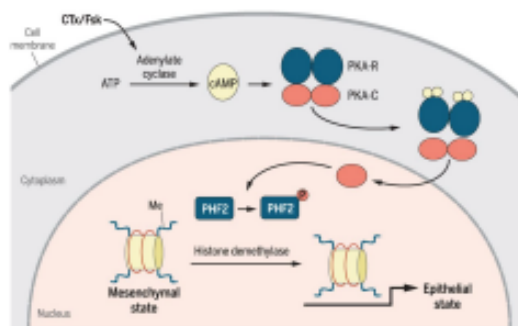
- chirality at the counteranion
- Coulomb interaction between chiral anion and activated substrate
- silylium ion equivalent = highly active Lewis acid catalyst

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Pattabiraman, D. R. *Science* **2016**, 351 (6277)

Activation of PKA leads to mesenchymal-to-epithelial transition and loss of tumor-initiating ability

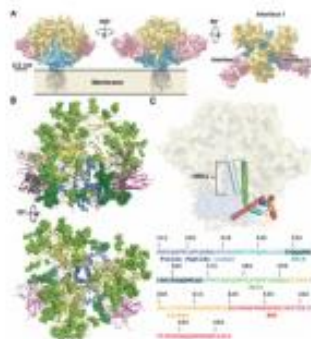


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Hybrid
Drug Deliv.
Prostratin

Citation: Lee, J. H. *Science* **2016**, 351 (6277), 1043-1048

Cryo-EM structure of a native, fully glycosylated, cleaved HIV-1 envelope trimer

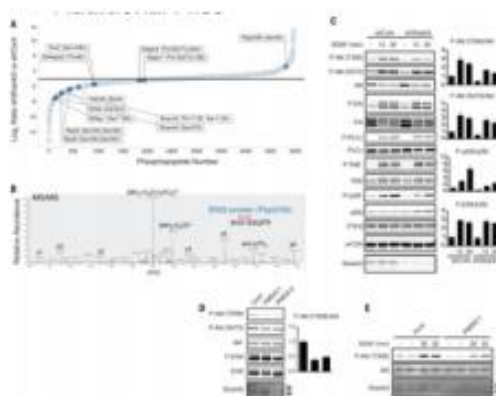


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Bidinosti, M. *Science* **2016**, 351 (6278), 1199-1203

CLK2 inhibition ameliorates autistic features associated with SHANK3 deficiency



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Traverso, Giovanni. *Sci. Trans. Med.* **2016**, 8, 326ec27.

Linked in: Cholesterol connects oligos to liver

Targeting liver cells, called hepatocytes, with antisense oligonucleotides (ASOs) could allow for the modulation of genes that control cholesterol flux. Because cholesterol naturally travels through the liver, it can be hitched to therapeutic ASOs needing a ride there. Wada and colleagues report the development and characterization of a new set of linkers that connect cholesterol to ASOs, enabling hepatocyte localization and specific knockdown of Pcsk9, a gene that, when suppressed, allows greater uptake of low-density lipoprotein (LDL) in the liver and, thus, reduces circulating levels of LDL cholesterol. The investigators evaluated a series of linkers for 3' and 5' cholesterol conjugation, including the hydrophobic molecule hexamethylene succinimide (HMS) and the hydrophilic triethyleneglycol (TEG). They also incorporated disulfide-containing moieties for easy cleavage by intracellular glutathione. In mice, 3'-cholesterol-conjugated ASOs generally demonstrated greater hepatic localization, with the TEG-conjugated version demonstrating a 60% reduction in Pcsk9 mRNA. Similar knockdown efficiencies were noted when 3'-cholesterol-TEG ASO targeted ApoB. The 3'-cholesterol-HMS-conjugated ASO also localized in hepatocytes but was less effective in knocking down target mRNA, thus supporting the role of the linkers in modulating cellular tropism and gene targeting. The authors did not yet test in disease models, but it is clear that, with the right linker to therapeutic ASOs, good cholesterol can hit the spot, safely and with good efficiency.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Klement, G. *Sci. Trans. Med.* **2016**, 8, 327fs5.

Eco-evolution of cancer resistance

For the past 60 years, the goal of conventional cancer therapies has been the eradication of every cancer cell. To this end, patients are subjected to the highest possible doses of radiation and chemotherapy as well as radical surgeries. In the rare case in which eradication was possible, clinicians achieved long-term control of the disease. For the most part, however, upfront eradication is not possible, and despite intensive and very toxic therapies, the patient dies of the disease or of complications of therapy. As our understanding about the role of tumor microenvironment in tumor progression and drug resistance improves, we are realizing that the paradigm of killing all cancer cells may be flawed.

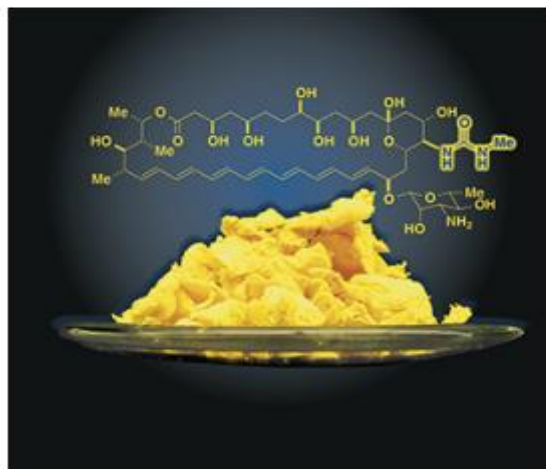
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Bhatti, P. <i>Sci. Trans. Med.</i> 2016 , <i>8</i> , 329c39.	
<p>Point-of-care breast cancer diagnosis biochip</p> <p>According to the World Health Organization, breast cancer is the most common cancer among women worldwide. The gold standard for breast cancer diagnosis is a needle biopsy where cells or tissue are removed from a suspicious area of the breast and then examined under a microscope. This test may take one to two weeks. Pandya and colleagues developed a new point-of-care diagnostic tool that assesses breast tissue quickly by measuring tissue mechanical, electrical, and thermal properties. The authors used their silicon-based μbiochip, μ which was outfitted with microelectromechanical sensors, to assess small cylindrical samples of cancerous, invasive ductal carcinoma (IDC) and normal breast tissue from patients. They found the cancerous tissue to be stiffer than other tissues during indentation tests, which supports the known density of tumors. Cancerous IDC tissue also demonstrated a higher resistivity, or resistance to carrying electrical current, when compared with normal tissue.</p>	<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>
Citation: Wang, <i>et al.</i> <i>Sci. Trans. Med.</i> 2016 , <i>8</i> , 329ra34.	
<p>Development of a prosaposin-derived therapeutic cyclic peptide that targets ovarian cancer via the tumor microenvironment</p> <p>despite our increased understanding of the physiological processes involved in tumor metastasis, there are no clinically approved drugs that have made a major impact in increasing the overall survival of patients with advanced, metastatic ovarian cancer. We identified prosaposin (psap) as a potent inhibitor of tumor metastasis, which acts via stimulation of p53 and the antitumorigenic protein thrombospondin-1 (TSP-1) in bone marrow-derived cells that are recruited to metastatic sites. We report that more than 97% of human serous ovarian tumors tested express CD36, the receptor that mediates the proapoptotic activity of TSP-1. Accordingly, we sought to determine whether a peptide derived from psap would be effective in treating this form of ovarian cancer. To that end, we developed a cyclic peptide with drug-like properties derived from the active sequence in psap. The cyclic psap peptide promoted tumor regression in a patient-derived tumor xenograft model of metastatic ovarian cancer. Thus, we hypothesize that a therapeutic agent based on this psap peptide would have efficacy in treating patients with metastatic ovarian cancer.</p>	<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>
Citation: Qiu, <i>et al.</i> <i>Sci. Trans. Med.</i> 2016 , <i>8</i> , 329ra33.	
<p>Two-mAb cocktail protects macaques against the Makona variant of Ebola virus</p> <p>The 2014-2015 Ebola virus (EBOV) outbreak in West Africa highlighted the urgent need for specific therapeutic interventions for infected patients. The human-mouse chimeric monoclonal antibody (mAb) cocktail ZMapp, previously shown to be efficacious in EBOV (variant Kikwit) lethally infected nonhuman primates (NHPs) when administration was initiated up to 5 days, was used in some patients during the outbreak. We show that a two-antibody cocktail, MIL77E, is fully protective in NHPs when administered at 50 mg/kg 3 days after challenge with a lethal dose of EBOV variant Makona, the virus responsible for the ongoing 2014-2015 outbreak, whereas a similar formulation of ZMapp protected two of three NHPs. The chimeric MIL77E mAb cocktail is produced in engineered Chinese hamster ovary cells and is based on mAbs c13C6 and c2G4 from ZMapp. The use of only two antibodies in MIL77E opens the door to a pan-ebolavirus cocktail.</p>	<p>bioorganic methods synthesis mechanism review other</p> <p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>

Citation: M. M. Endo *et al.* Synlett, 2016, 27, 337–354.

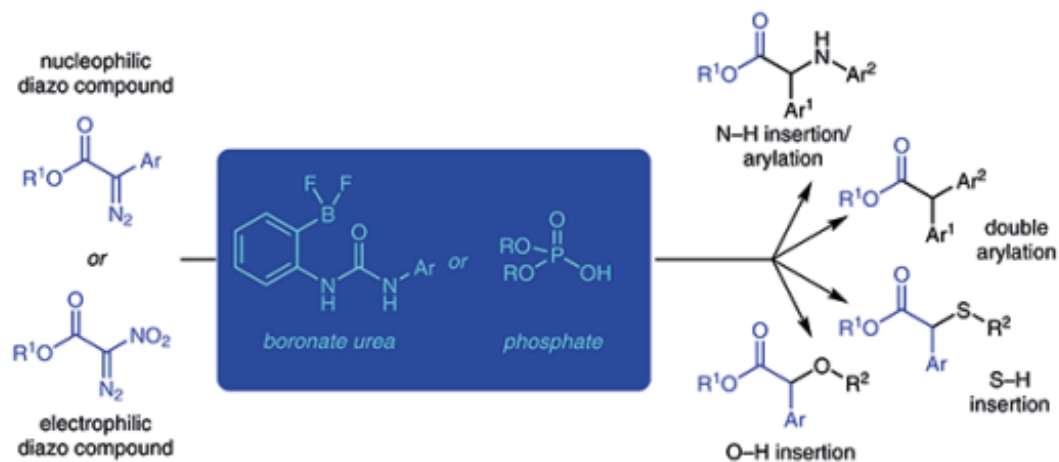
Our Path to Less Toxic Amphotericins



Bioorganic
Asymmetric
Methods
Synthesis
Mechanism
Review
other

OM
Bryo
Hybrid
Drug Deliv.
Prostratin

Citation: B. Bernardim *et al.* Synthesis, 2016, 48, 677–686.

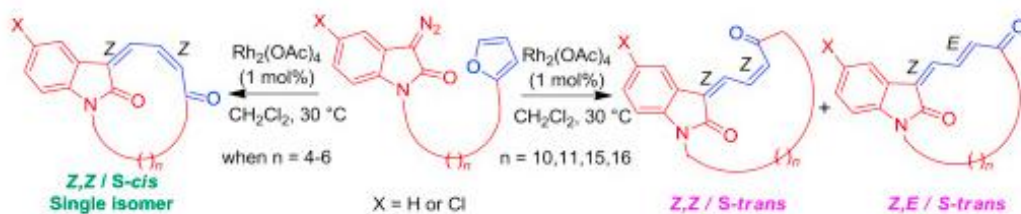


Bioorganic
Asymmetric
Methods
Synthesis
Mechanism
Review
other

OM
Bryo
Hybrid
Drug Deliv.
Prostratin

Citation: Muthusamy, S.; Balasubramani, A.; Suresh, E. Tetrahedron 2016, 72, 1749-1757.

Rhodium(II) Acetate Catalysed Intramolecular Cyclopropanation Followed By Ring Opening Of Furan Toward Oxindole Incorporated Macrocycles.

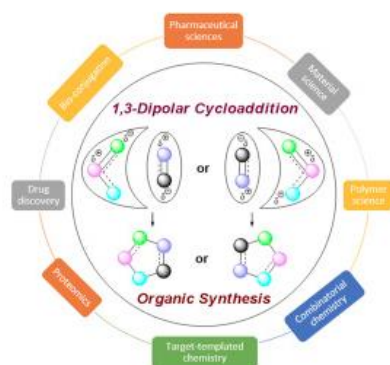


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Singh, M.; Chowdhury, S.; Koley, S. *Tetrahedron* 2016, 72, 1603-1644.

Progress In 1,3-Dipolar Cycloadditions In The Recent Decade: An Update To Strategic Development Towards The Arsenal Of Organic Synthesis.

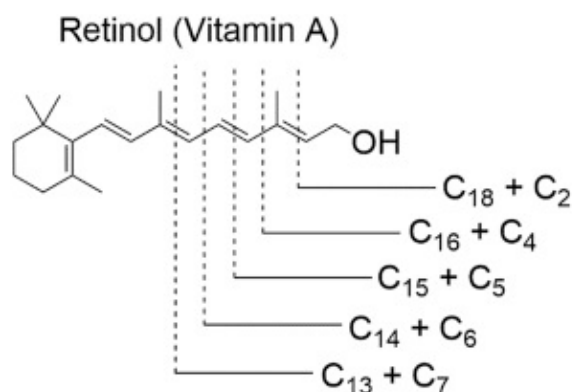


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Parker, G.; Smith, L.; Baxendale, I. *Tetrahedron* 2016, 72, 1645-1652.

Development Of The Industrial Synthesis Of Vitamin A.

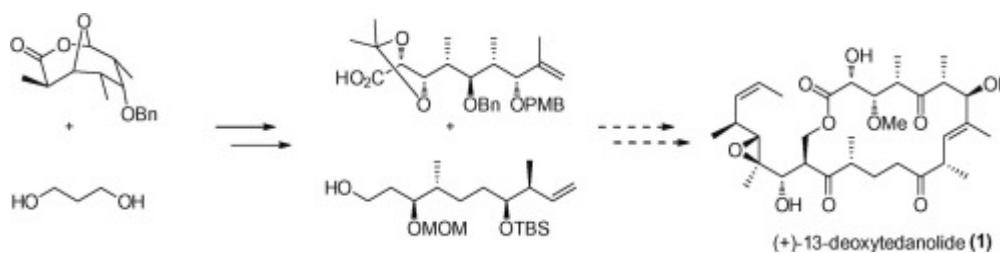


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Yadaç, J. S.; *et al. Tet. Lett.* 2016, 57, 728.

**Studies towards the total synthesis of (+)-13-deoxytedanolide:
stereoselective synthesis of C1–C9 and C9–C17 fragments**



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDOs
Hybrid
Drug Deliv.
Prostratin