Accounts of Chemical Research	158	Jack Sloane
ACS Central Science	**MOOK**	Colin McKinlay
ACS Chemical Biology	158	Gabriella Fernandes Cunha
ACS Nano	159	Nancy Benner
Advanced Drug Delivery Reviews	N/A	Melanie Huttner
Angewandte Chemie International Edition	159	Samanta Capolicchio
Bioconjugate Chemistry	160	Nancy Benner
Biomacromolecules	**MOOK**	Colin McKinlay
Bioorganic and Medicinal Chemistry	160	Xiaoyu Zang (Janice)
Bioorganic and Medicinal Chemistry Letters	**MOOK**	Matt Stevens
Chemical Communications	161	Katie Near
Chemical & Engineering News	161	Melanie Huttner
Chemical Reviews	**MOOK**	Ryan Quiroz
Chemical Science	**MOOK**	Colin McKinlay
Chemistry, A European Journal	162	Jack Sloane
European Journal of Organic Chemistry	164	Gabriella Fernandes Cunha
Journal of the American Chemical Society	165	Steven Ryckbosch (odd)
		Akira Shimizu (even)
JAMA	168	Stephen Ho
Journal of Medicinal Chemistry	169	Clayton Hardman
Journal of Organic Chemistry	**MOOK**	Matt Jeffreys
Molecular Pharmaceutics	170	Melanie Huttner
Natural Product Reports	171	Nancy Benner
Nature	171	Jessica Vargas
Nature Chemistry	**MOOK**	Matt Jeffreys
Nature Chemical Biology	172	Xiaoyu Zang (Janice)
New England Journal of Medicine	173	Stephen Ho
The New York Times	173	Melanie Huttner
The Onion	N/A	Steven Ryckbosch
Organic Letters	174	Stephen Ho
Organometallics	**MOOK**	Ryan Quiroz
PNAS	175	Jessica Vargas
Science	**MOOK**	Matt Stevens
Science Translational Medicine	176	Xiaoyu Zang (Janice)
Synlett	177	Quang Luu-Nguyen
Synthesis	178	Quang Luu-Nguyen
Tetrahedron	178	Clayton Hardman
Tetrahedron Letters	179	Katie Near

Next Due Date: Friday, July 15, 2016

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.

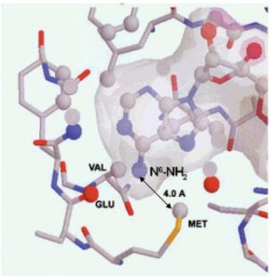
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

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

Design and Characterization of a Traceable Protein Kinase C-alpha

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



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

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

methods
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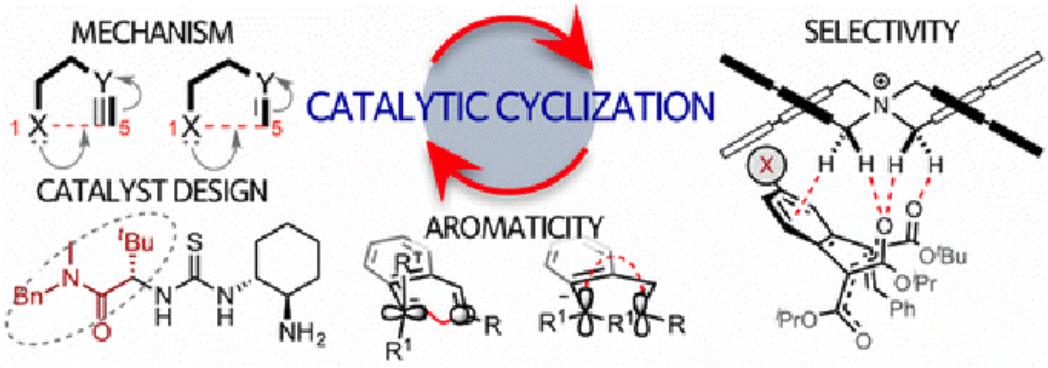
DON'T BE A MOOK!

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: Peng, Q. et al. *Acc. Chem. Res.* **2016**, 49, 1042-1051

Catalytic Control in Cyclizations: From Computational Mechanistic Understanding to Selectivity Prediction



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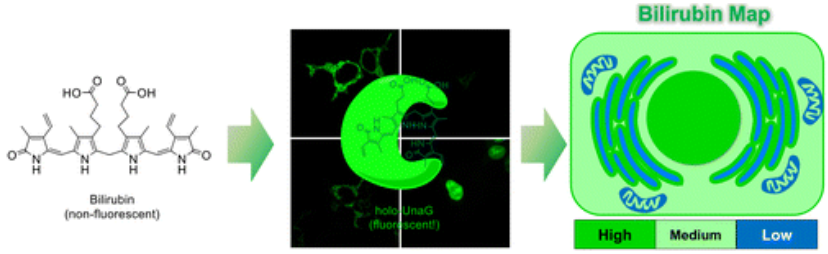
Citation: Park, S. et al. *ACS Chem. Biol*. **2016**, DOI:10.1021/acschembio.6b00017

In Cellulo Mapping of Subcellular Localized Bilirubin



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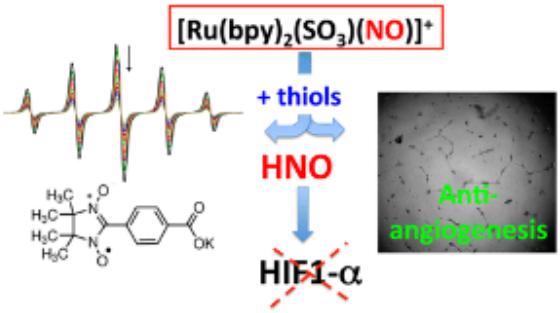
Citation: Sousa, E. et al. *ACS Chem. Biol*. **2016**, DOI:10.1021/acschembio.6b00222

Thiol-Activated HNO Release from a Ruthenium Antiangiogenesis Complex and HIF-1Œ± Inhibition for Cancer Therapy



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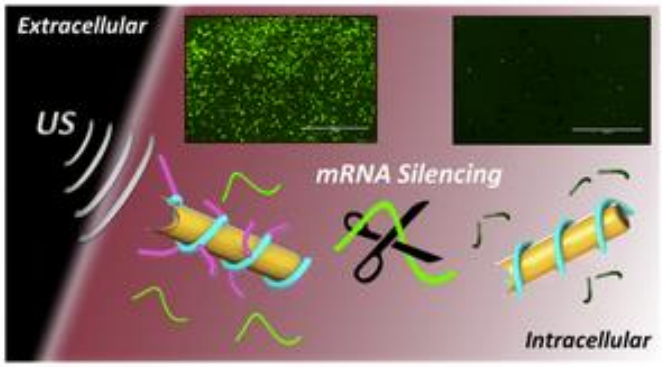
Citation: Esteban-Fernández de Ávila, B et. al, *ACS Nano,* **2016**, 10 (5) 4997-5005

Acoustically Propelled Nanomotors for Intracellular siRNA Delivery



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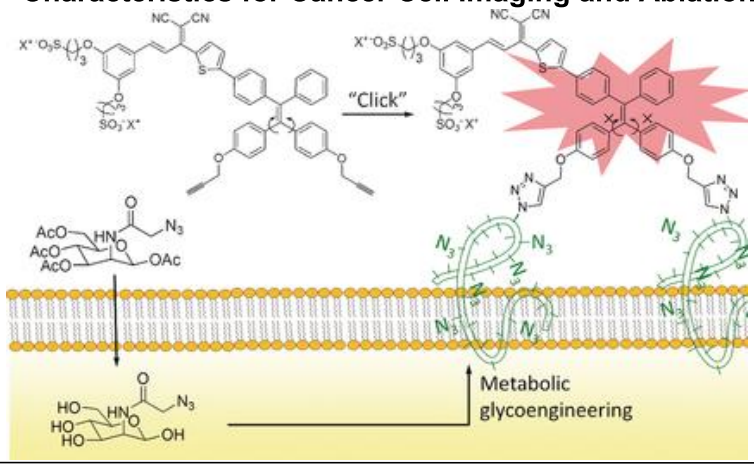
Citation: Yuan, Y. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 6457-6461.

Bioorthogonal Turn-On Probe Based on Aggregation-Induced Emission Characteristics for Cancer Cell Imaging and Ablation



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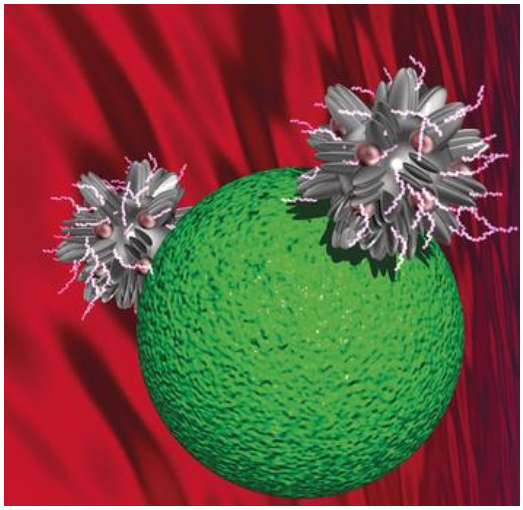
Citation: Chechetka, S. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 6476-6481.



Magnetically and Near-Infrared Light-Powered Supramolecular Nanotransporters for the Remote Control of Enzymatic Reactions

Nanorobots: Magnetic nanoparticle–carbon nanohorn–liposome supramolecular nanotransporters were developed. The remotely controlled release of functional molecules contained in the nanotransporters was achieved by applying a magnetic field and near-infrared laser light. The nanotransporters enabled enzymatic reactions at target sites in cells and mice.

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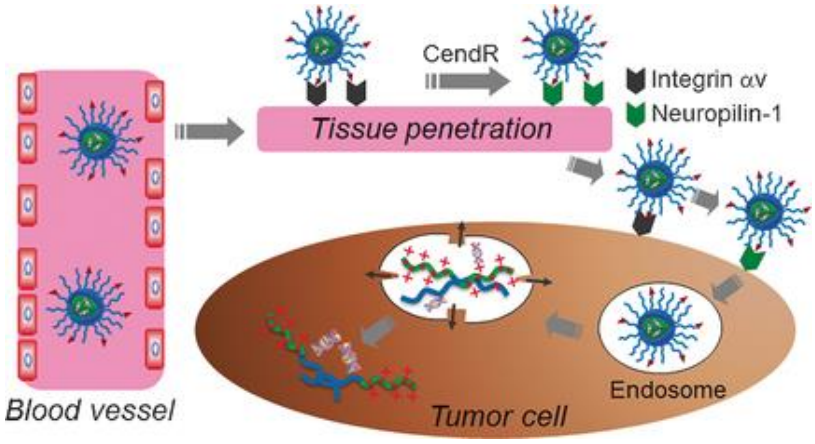
Citation: Xu, X. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 7091–7094

Ultra-pH-Responsive and Tumor-Penetrating Nanoplatform for Targeted siRNA Delivery with Robust Anti-Cancer Efficacy



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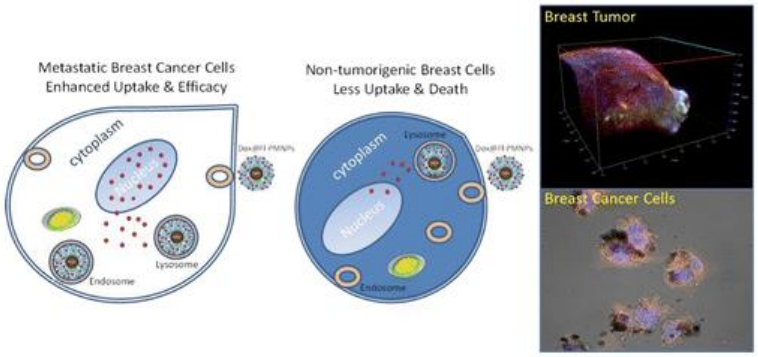
Citation: El-Boubbou, E. et al. *Bioconjugate chemistry* **2016**, 1471-1483.

Magnetic Fluorescent Nanoformulation for Intracellular Drug Delivery to Human Breast Cancer, Primary Tumors, and Tumor Biopsies: Beyond Targeting Expectations



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Citation: Geisman, *et al.* *Bioorg. Med. Chem.* 2016, *24*, 1419-1430.

1,6-Bis[(benzyloxy)methyl]uracil derivatives—Novel antivirals with activity against HIV-1 and influenza H1N1 virus

A series of 1,6-bis[(benzyloxy)methyl]uracil derivatives combining structural features of both diphenyl ether and pyridone types of NNRTIs were synthesized. Target compounds were found to inhibit HIV-1 reverse transcriptase at micro- and submicromolar levels of concentrations and exhibited anti-HIV-1 activity in MT-4 cell culture, demonstrating resistance profile similar to first generation NNRTIs. The synthesized compounds also showed profound activity against influenza virus (H1N1) in MDCK cell culture without detectable cytotoxicity. The lead compound of this assay appeared to exceed rimantadine, amantadine, ribavirin and oseltamivir carboxylate in activity. The mechanism of action of 1,6-bis[(benzyloxy)methyl]uracils against influenza virus is currently under investigation

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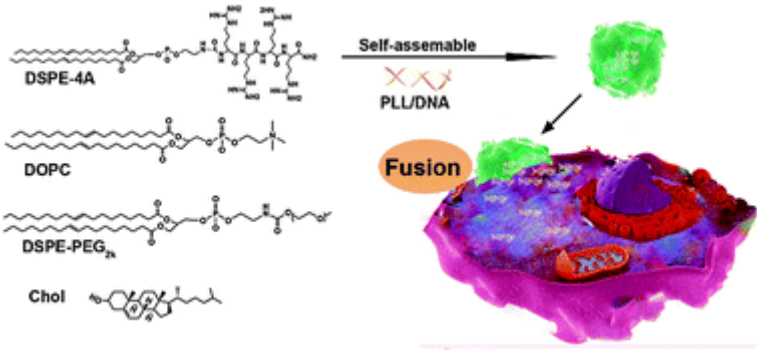
Citation: Deng, H. *et al*. *Chem. Commun.* **2016**, *52,* 7406.

One-step gene delivery into the cytoplasm in a fusion-dependent manner based on a new membrane fusogenic lipid



A new type of membrane fusogenic lipid was prepared to deliver DNA or siRNA into the cytoplasm directly in a fusion-dependent manner in order to bypass the cellular endocytosis to avoid the inefficient escape from the endosome and low transfection efficacy.

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Citation: C&EN, May 23, 2016, p. 4.

Combination inhibitor fights drug-resistant cancer

Shokay and coworkers report a drug candidate that's more potant than approved mTOR inhibitors and less likely to be defeated by drug resistance. They accomplished this by combining two types of mTOR inhibitors, which hit separate target sites on the enzyme, into a bivalent agent that hits both simultaneously. Shokat has patented the new drug design for development by Kura Oncology, a company that he helped found. The two drugs are rapamycin and a second-generation inhibitor MLN0128. They are linked with a PEG-based linker that spans the 15-angstrom gap between the two sites.

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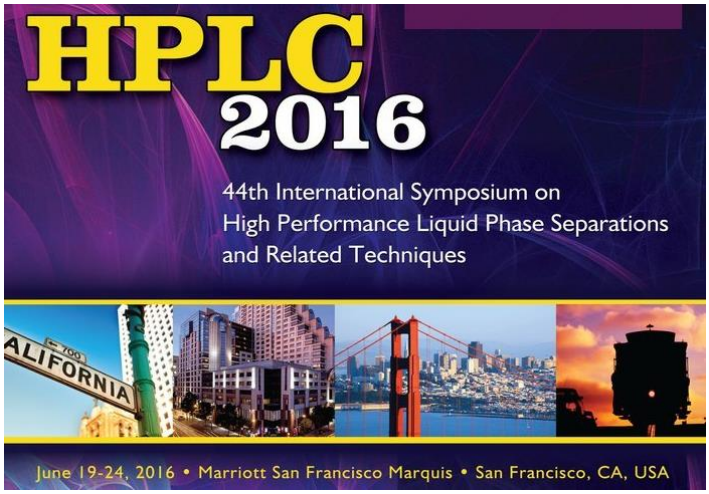
Citation: C&EN, May 30, 2016.



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Citation: C&EN, June 6, 2016, p. 7.

Trojan horse for brain tumors

5-Fluorocytosine 5-Fluorouracil

During testing, neurosurgeons injected an engineered virus in patients' brains. The virus infects tumor cells and delivers a gene that makes the cells produce an enzyme called cytosine deaminase, which converts 5-FC, a prodrug patients took as a pill, to 5-FU, an anticancer drug. Though 5-FC can effectively pass the BBB, 5-FU cannot.

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Citation: C&EN, June6, 2016, p. 28.

Scaling cancer's steepest summit

KRas, part of a family of proteins commonly mutated in cancer, is one of the most desirable drug targets. After decades of failures, drugs that can block KRas are within reach. Kevan Shokat's lab has found a new, albeit shallow, pocket on a mutant for of KRas that is known to be a driver of lung cancer. Using 3D imaging his lab has found small molecules to fit in the pocket- and ultimately to design the first covalent inhibitor of the protein that could make it into human testing by 2018.

Cancer culprit

Ras proteins play a role in about a third of all human cancers.

95% pancreatic (KRas)

45% colorectal (KRas)

35% lung (KRas)

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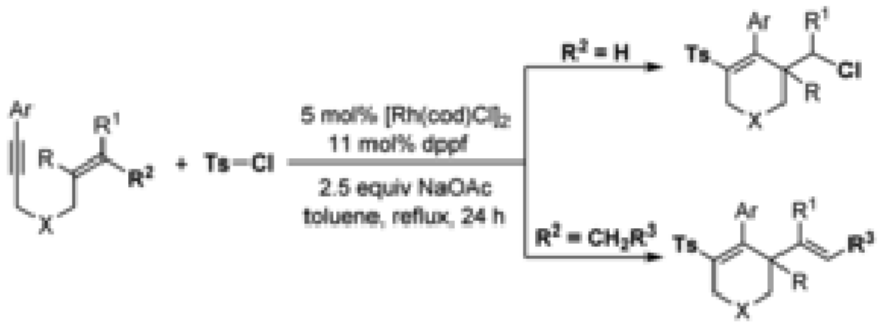
Citation: Dang, M. et al. *Chem Eur J.* **2016**, 22, 7734-7738

Rh^{I}-Catalyzed Cyclizative Addition Reaction of 1,6-Enyne and Sulfonyl Chloride by Carbophilic Activation



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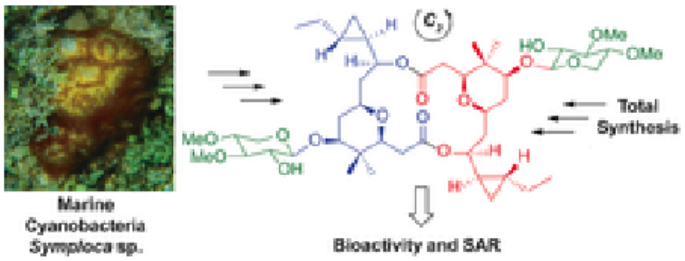
Citation: Gunasekara, S. P. et al. *Chem. Eur. J.* **2016**, 22, 8158-8166

Discovery, Total Synthesis and Key Structural Elements for the Immunosuppressive Activity of Cocosolide, a Symmetrical Glycosylated Macrolide Dimer from Marine Cyanobacteria



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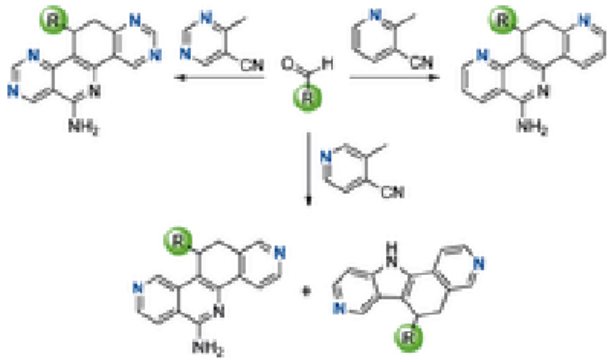
Citation: Steinhauer, T. N. et al. *Chem. Eur. J.* **2016**, 22, 8301-8308

One-Step Synthetic Access to Isosteric and Potent Anticancer Nitrogen Heterocycles with the Benzo[c]phenanthridine Scaffold



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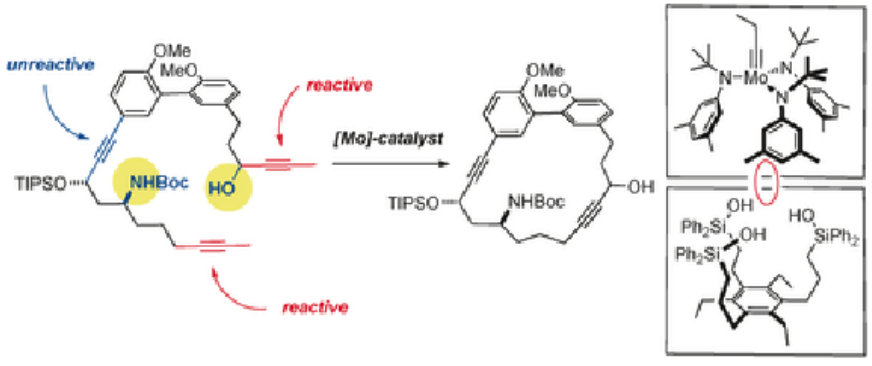
Citation: Schaubach, S. et al. *Chem. Eur. J.* **2016**, 22, 8494-8507

A Two-Component Alkyne Metathesis Catalyst System with an Improved Substrate Scope and Functional Group Tolerance: Development and Applications to Natural Product Synthesis



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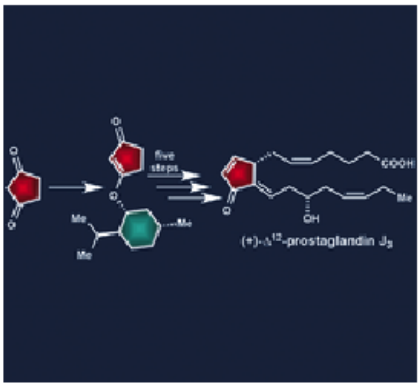
Citation: Nicolau, K. C. et al. *Chem. Eur. J.* **2016,** 22, 8559-8570

Total Synthesis of Δ^{12}-Prostaglandin J_3: Evolution of Synthetic Strategies to a Streamlined Process



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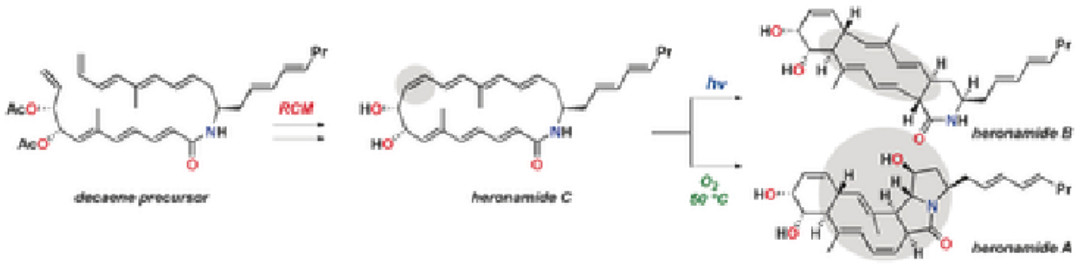
Citation: Kanoh, N. et al. *Chem. Eur. J.* **2016**, 22, 8586-8595

Asymmetric Total Synthesis of Heronamides A-C: Stereochemical Confirmation and Impact of Long-Range Stereochemical Communication on the Biological Activity



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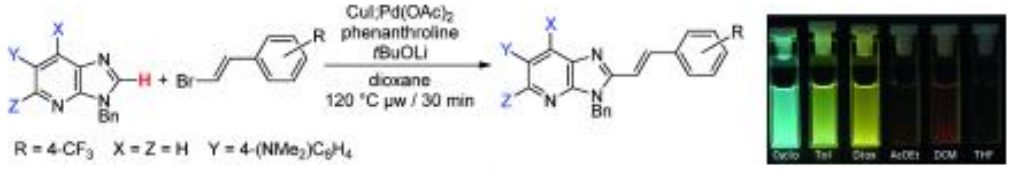
Citation: Baladi, C. et al. *European Journal of Organic Chemistry* **2016**, 14, 2421–2434

Microwave-Assisted C-2 Direct Alkenylation of Imidazo[4,5-*b*]pyridines: Access to Fluorescent Purine Isosteres with Remarkably Large Stokes Shifts



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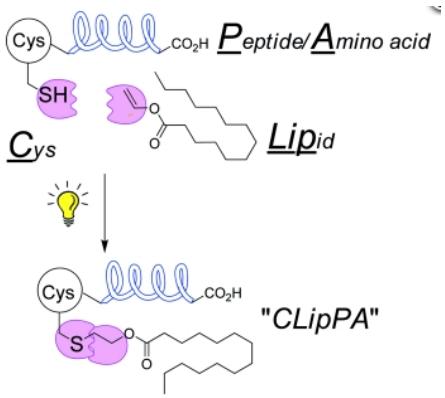
Citation: Yang, H. et al. *European Journal of Organic Chemistry* **2016**, 15, 2608–2616

Lipidation of Cysteine or Cysteine-Containing Peptides Using the Thiol-Ene Reaction (CLipPA)



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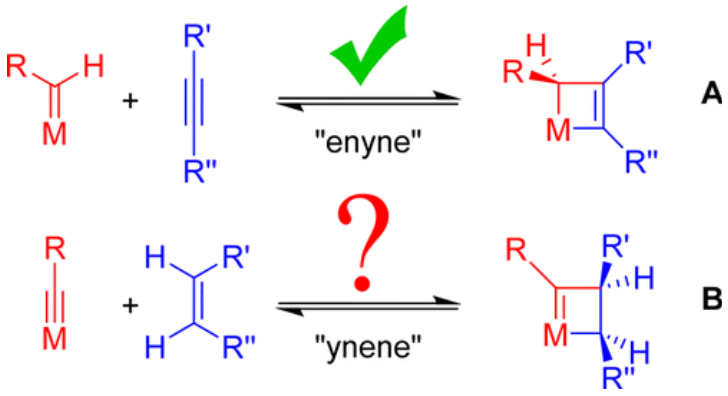
Citation: Soufiane S. Nadif, Tomohiro Kubo, Adam S. Veige, et al.
Journal of the American Chemical Society 2016 138 (20), 6408-6411

Introducing "Ynene" Metathesis: Ring-Expansion Metathesis Polymerization Leads to Highly Cis and Syndiotactic Cyclic Polymers of Norbornene



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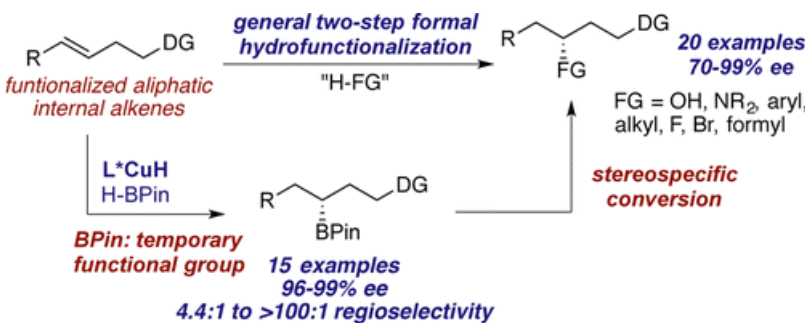
Citation: Xi, Y.; Hartwig, J.F. *J. Am. Chem. Soc.*, **2016**, *138* (21), 6703-6706.

Diverse Asymmetric Hydrofunctionalization of Aliphatic Internal Alkenes through Catalytic Regioselective Hydroboration



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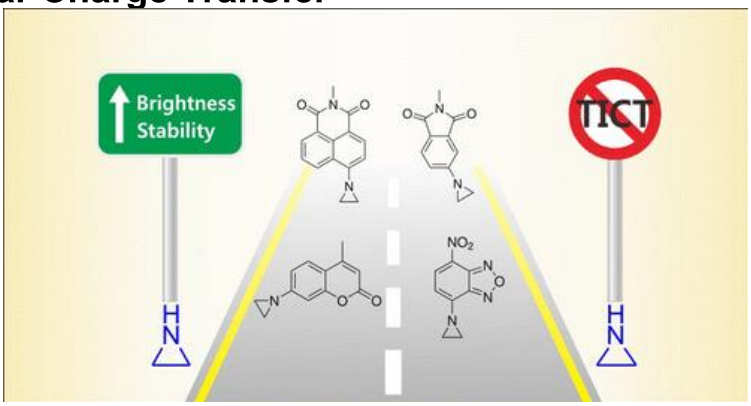
Citation: Xiaogang Liu, Qinglong Qiao, Wenming Tian, Wenjuan Liu, Jie Chen, Matthew J. Lang, and Zhaochao Xu Journal of the American Chemical Society 2016 138 (22), 6960-6963

Aziridinyl Fluorophores Demonstrate Bright Fluorescence and Superior Photostability by Effectively Inhibiting Twisted Intramolecular Charge Transfer



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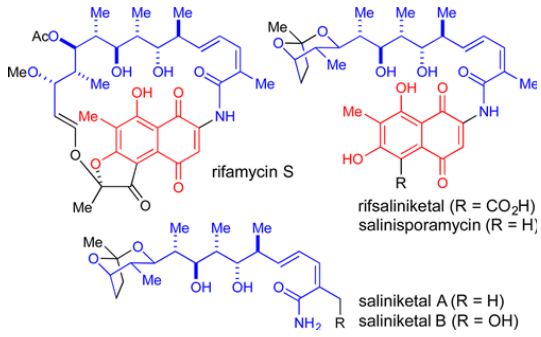
Citation: Yu Feng, Jun Liu, Yazmin P. Carrasco, John B. MacMillan, and Jef K. De Brabander Journal of the American Chemical Society 2016 138 (22), 7130-7142

Rifamycin Biosynthetic Congeners: Isolation and Total Synthesis of Rifsaliniketal and Total Synthesis of Salinisporamycin and Saliniketals A and B



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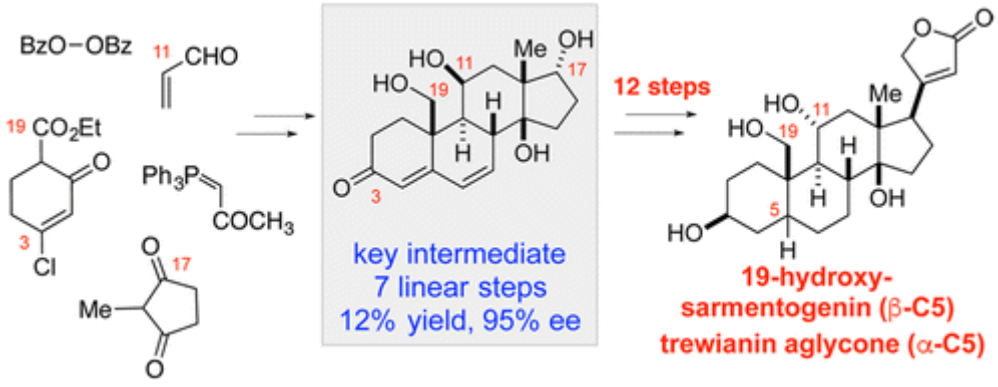
Citation: Will Kaplan, Hem Raj Khatri, and Pavel Nagorny Journal of the American Chemical Society 2016 138 (22), 7194-7198

Concise Enantioselective Total Synthesis of Cardiotonic Steroids 19-Hydroxysarmentogenin and Trewianin Aglycone



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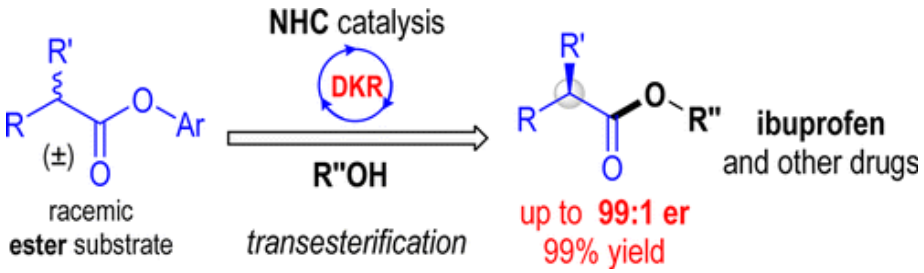
Citation: Chen, X. *et al. J. Am. Chem. Soc.*, **2016**, *138* (23), 7212-7215.

Carbene-Catalyzed Dynamic Kinetic Resolution fo Carboxylic Esters



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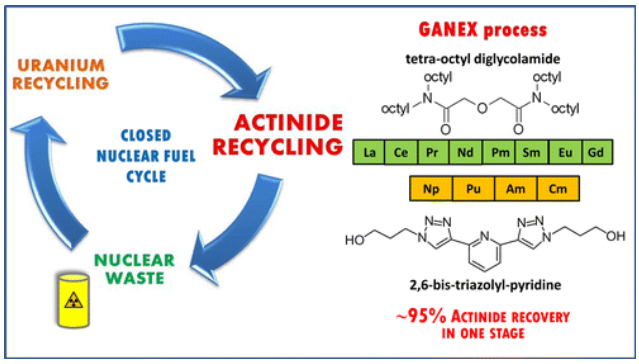
Citation: Macerata, E., *et al.*, *J. Am. Chem. Soc.*, **2016**, *138* (23), 7232-7235.

Hydrophylic Clicked 2,6-Bis-triazolyl-pyridines Endowed with High Actinide Selectivity and Radiochemical Stability: Toward a Closed Nuclear Fuel Cycle



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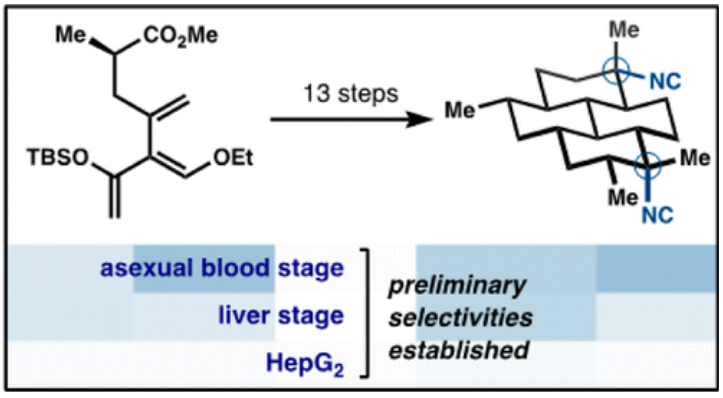
Citation: Lu, H.-H. *et al.*, *J. Am. Chem. Soc.*, **2016**, *138* (23), 7268-7271.

Synthesis of (+)-7,20-Diisocyanoadociane and Liver-Stage Antiplasmodial Activity of the Isocyanoterpene Class



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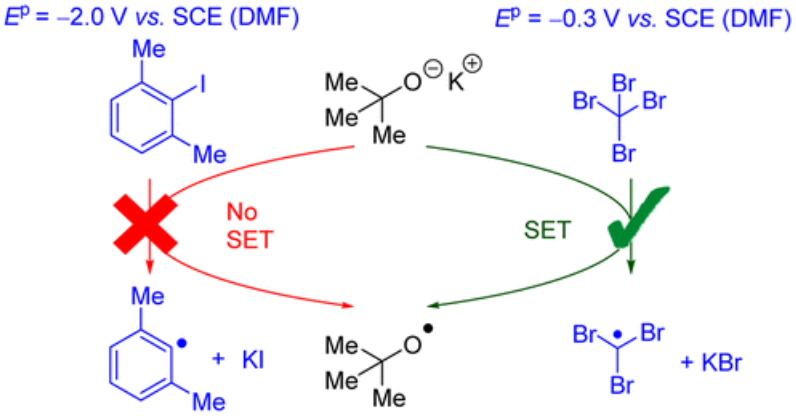
Citation: Bahram, J.P., *et al.*, *J. Am. Chem. Soc.*, **2016**, *138* (23), 7402-7410.

KO*t*Bu: A Privileged Reagent for Electron Transfer Reactions?



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Citation: ***JAMA. 2016;315(20):2159. doi:10.1001/jama.2016.5372.*** **Anita Slomski, MA**

Gene Transfer Improves Heart Function in Patients With Heart Failure

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Citation: ***JAMA. 2016;315(21):2267. doi:10.1001/jama.2016.5897.*** **Tracy Hampton, PhD**

Targeted Therapy Blocks Growth of Triple-Negative Breast Cancer in Mice

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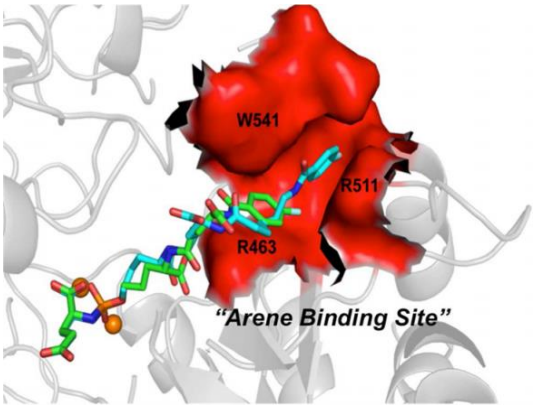
Citation: Dannoon, S.; Ganguly, T.; Cahaya, H.; Geruntho, J.; Galliher, M.; Beyer, S.; Choy, C.; Hopkins, M.; Regan, M.; Blecha, J. et al. *J. Med. Chem.* **2016**.

SAR Of ^{18}F-Labeled Phosphoramidate Peptidomimetic Prostate-Specific Membrane Antigen (PSMA)-Targeted Inhibitor Analogues For PET Imaging Of Prostate Cancer



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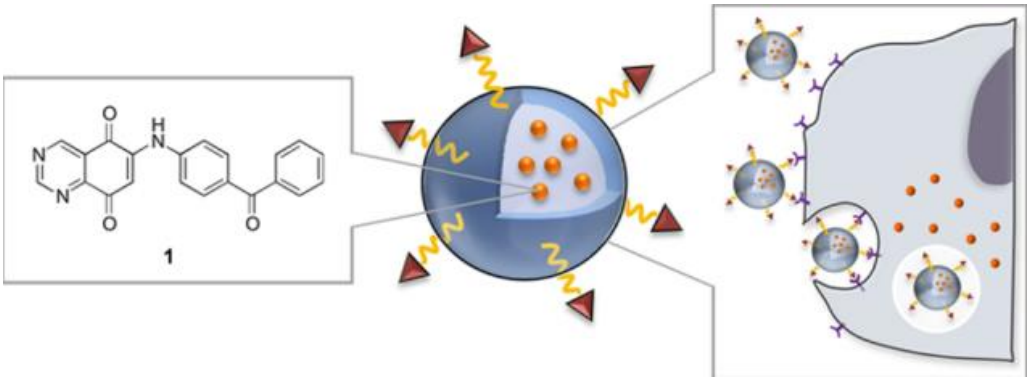
Citation: Sanna, V.; Nurra, S.; Pala, N.; Marceddu, S.; Pathania, D.; Neamati, N.; Sechi, M. *J. Med. Chem.* **2016**, *59*, 5209-5220.

Targeted Nanoparticles For The Delivery Of Novel Bioactive Molecules To Pancreatic Cancer Cells



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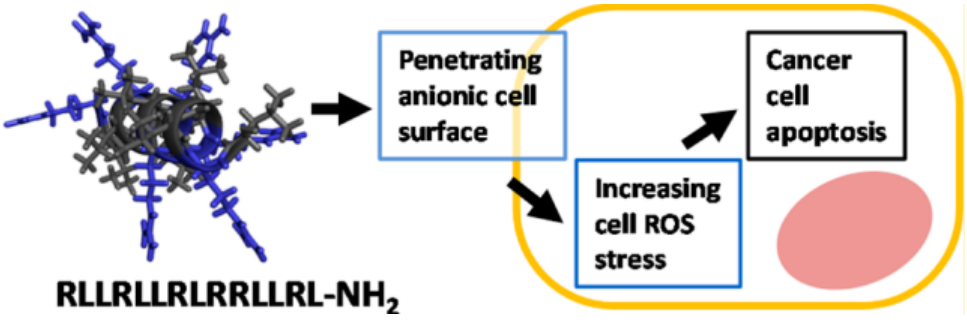
Citation: Liu, X.; Cao, R.; Wang, S.; Jia, J.; Fei, H. *J. Med. Chem.* **2016**, *59*, 5238-5247.

Amphipathicity Determines Different Cytotoxic Mechanisms Of Lysine- Or Arginine-Rich Cationic Hydrophobic Peptides In Cancer Cells



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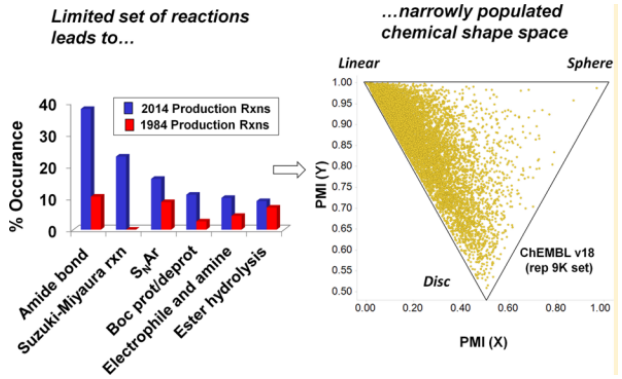
Citation: Brown, D.Boström, J. *J. Med. Chem.* **2016**, *59*, 4443-4458.

Analysis Of Past And Present Synthetic Methodologies On Medicinal Chemistry: Where Have All The New Reactions Gone?



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Citation: Zhang, Q.; et al. *Mol. Pharm.* **2016,** *13, 1*800.

Repeated Administration of Hyaluronic Acid Coated Liposomes with Improved Pharmacokinetics and Reduced Immune Response.

PEGylated liposomes (PEG-Lip) have been widely used as a drug carrier for their good stealth property in blood circulation. However, the second injection of PEG-Lip was reported to result in the accelerated blood clearance (ABC) phenomenon and trigger hypersensitivity reactions in sensitive individuals for its complement activation effect. To avoid adverse immune responses, HA was selected to modify liposomes to afford HA modified liposomes (HA-Lip). Repeated administrations of PEG-Lip and HA-Lip were performed in rats. Our results showed that PEG-Lip induced the ABC phenomenon accompanied by a greatly increased accumulation of PEG-Lip in the liver. In contrast, HA-Lip showed good stealth property without inducing either the ABC phenomenon or an increase in liver uptake. Moreover, HA-Lip did not trigger complement activation in human serum in vitro and in rat blood in vivo. Consequently, HA modification represents a viable strategy to prolong the blood circulation time of liposomes without inducing the ABC phenomenon and adverse immune responses.

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Citation: Valdyanathan, S.; *et al. Mol. Pharm.* **2016,** *13,* 1967.

Cationic Polymer Intercalation into the Lipid Membrane Enables Intact Polyplex DNA Escape from Endosomes for Gene Delivery

Developing improved cationic polymer-DNA polyplexes for gene delivery requires improved understanding of DNA transport from endosomes into the nucleus. Using a FRET-capable oligonucleotide molecular beacon (OMB), the authors monitored the transport of intact DNA to cell organelles. We observed that for effective (jetPEI) and ineffective (G5 PAMAM) vectors, the fraction of cells displaying intact OMB in the cytosol quantitatively predicted the fraction expressing transfene. Intact OMB delivered with PAMAM and confined to endosomes could be released to the cytosol by the subsequent addition of L-PEI, with a corresponding 10-fold increase in transfene expression. These results suggest that future vector development should optimize vectors for intercalation info, and destabilization of, the endosomal membrane. Finally, the study highlights a two-step strategy in which the pDNA is loaded in cells using one vector and endosomal release is mediated by a second agent.

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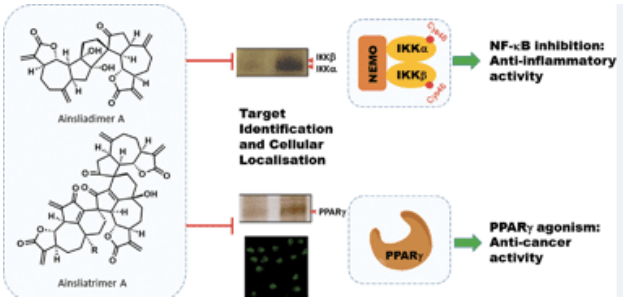
Citation: Chao, L. et al. *Nat. Prod. Rep.*, **2016**, 33, 602-611.

Synthesis and mode of action of oligomeric sesquiterpene lactones



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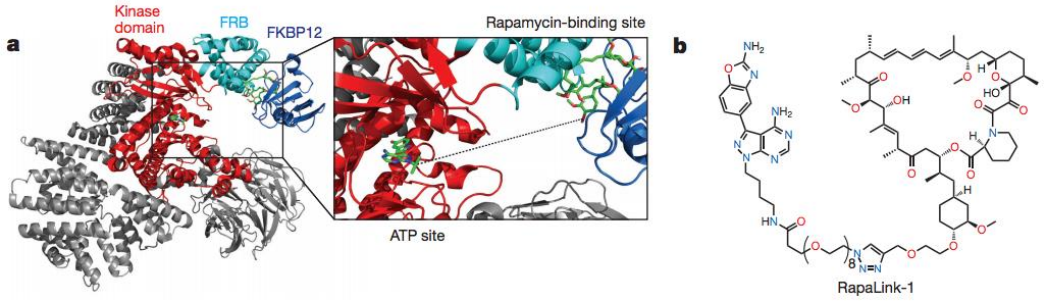
Citation: Shokat et al Nature 534, 272–276 (09 June 2016)

Overcoming mTOR resistance mutations with a new-generation mTOR inhibitor



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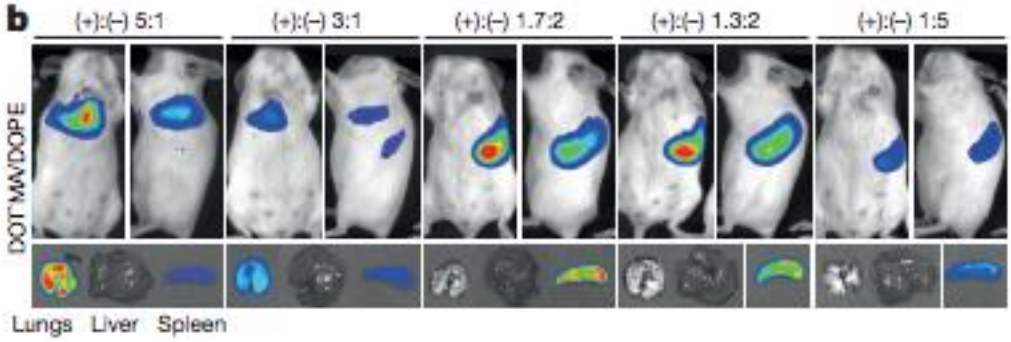
Citation: Sahin et al. Nature 534, 396–401 (16 June 2016)

Systemic RNA delivery to dendritic cells exploits antiviral defence for cancer immunotherapy

Publication from BioNTech demonstrates organ targeting based on charge ratios for mRNA vaccination



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Citation: Fox, et al Nature 534, 407–411 (16 June 2016)

Image-based detection and targeting of therapy resistance in pancreatic adenocarcinoma

"... Here we show that the stem cell determinant Musashi (Msi) is a critical element of pancreatic cancer progression both in genetic models and in patient-derived xenografts. Specifically, we developed Msi reporter mice that allowed image-based tracking of stem cell signals within cancers, revealing that Msi expression rises as pancreatic intraepithelial neoplasia progresses to adenocarcinoma, and that Msi-expressing cells are key drivers of pancreatic cancer: they preferentially harbour the capacity to propagate adenocarcinoma, are enriched in circulating tumour cells, and are markedly drug resistant. ...'

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Citation: Frezza, *et al. Nat. Chem. Bio.* 2016, *12,* 389-390.

Cancer metabolism: Addicted to serine

Serine is the metabolite consumed third most by cancer cells, after glucose and glutamine, and is used as a building block for proteins and as a carbon donor for nucleotide biosynthesis. Serine can also be synthesized de novo from glucose. Studies in the late 1980s demonstrated that de novo synthesis of serine is increased in cancer cells. It was not until the landmark discovery thatphosphoglycerate dehydrogenase (encoded by PHGDH), the first step of de novo serine synthesis, is genomically amplified in breast cancer3 and melanoma that this pathway came into the limelight. Importantly, silencing PHGDH in PHGDH-dependent cancers significantly affects their growth, making this enzyme an excellent target for cancer therapy. Recent work from Mullarky et al. reported the discovery of a novel noncompetitive inhibitor of PHGDH

In this issue of Nature Chemical Biology, Pacold et al. report the discovery of small-molecule inhibitors of PHGDH that exhibit potent antitumor activity both in vitro an in vivo6.

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Citation: Opgenorth, *et al. Nat. Chem. Bio.* 2016, *12,* 393-395.

A synthetic biochemistry module for production of bio-based chemicals from glucose

Synthetic biochemistry, the cell-free production of biologically based chemicals, is a potentially high-yield, flexible alternative to in vivo metabolic engineering. To limit costs, cell-free systems must be designed to operate continuously with minimal addition of feedstock chemicals. We describe a robust, efficient synthetic glucose breakdown pathway and implement it for the production of bioplastic. The system's performance suggests that synthetic biochemistry has the potential to become a viable industrial alternative.

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Citation: **N Engl J Med 2016; 374:2090-2092** *Jagadeesh Bayry, D.V.M., Ph.D.*

Repressing Immunity in Autoimmune Disease

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Citation: **N Engl J Med 2016; 374:2287-2289** *Joshua T. Mendell, M.D., Ph.D.*

Targeting a Long Noncoding RNA in Breast Cancer

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Citation: http://www.nytimes.com/2016/06/04/science/rna-c2c2-gene-editing-dna-crispr.html?_r=0

Scientists Find Form of Crispr Gene Editing With New Capabilities

On Thursday, in the journal Science, researchers demonstrated just how much is left to discover. They found that an ordinary mouth bacterium makes a form of Crispr that breaks apart not DNA, but RNA — the molecular messenger used by cells to turn genes into proteins.

If scientists can get this process to work in human cells, they may open up a new front in gene engineering, gaining the ability to precisely adjust the proteins in cells, for instance, or to target cancer cells.

“The groundbreaking thing about this work is that it now opens up the RNA world to Crispr,” said Oliver Rackham, a synthetic biologist at the University of Western Australia who was not involved in the study.

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Citation: http://www.nytimes.com/2016/05/26/health/alzheimers-disease-infection.html

Could Alzheimer's Stem From Infections? It Makes Sense, Experts Say

Could it be that Alzheimer's disease stems from the toxic remnants of the brain's attempt to fight off infection?

Provocative new research by a team of investigators at Harvard leads to this startling hypothesis, which could explain the origins of plaque, the mysterious hard little balls that pockmark the brains of people with Alzheimer's.

It is still early days, but Alzheimer's experts not associated with the work are captivated by the idea that infections, including ones that are too mild to elicit symptoms, may produce a fierce reaction that leaves debris in the brain, causing Alzheimer's. The idea is surprising, but it makes sense, and the Harvard group's data, published Wednesday in the journal Science Translational Medicine, supports it. If it holds up, the hypothesis has major implications for preventing and treating this degenerative brain disease.

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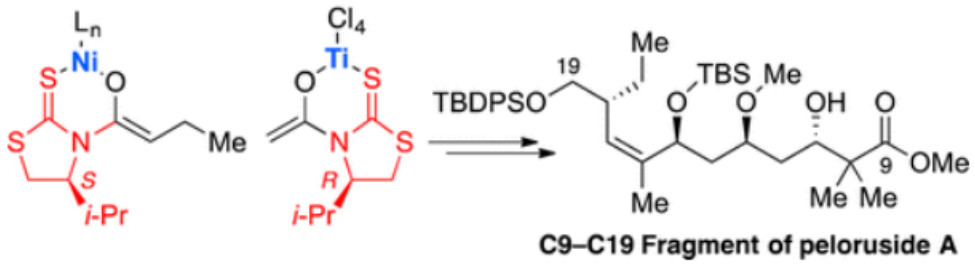
Citation: **Org. Lett., DOI: 10.1021/acs.orglett.6b01428**

Stuart C. D. Kennington, Juan M. Romo, Pedro Romea*, and Fèlix Urpi*

Stereoselective Synthesis of the C9–C19 Fragment of Peloruside A

Just four chromatographic purifications



C9–C19 Fragment of peloruside A

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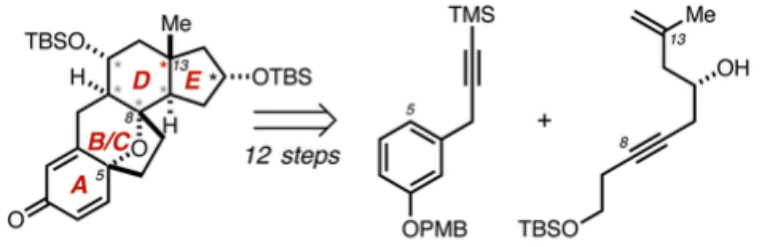
Citation: **Org. Lett., 2016, 18 (11), pp 2624–2627**

Claudio Aquino†‡, Stephen N. Greszler‡, and Glenn C. Micalizio*†‡

Synthesis of the Cortistatin Pentacyclic Core by Alkoxide-Directed Metallacycle-Mediated Annulative Cross-Coupling



* = from epichlorohydrin
* = established in a metallacycle-mediated annulation
* = from global regio- and stereoselective hydroboration

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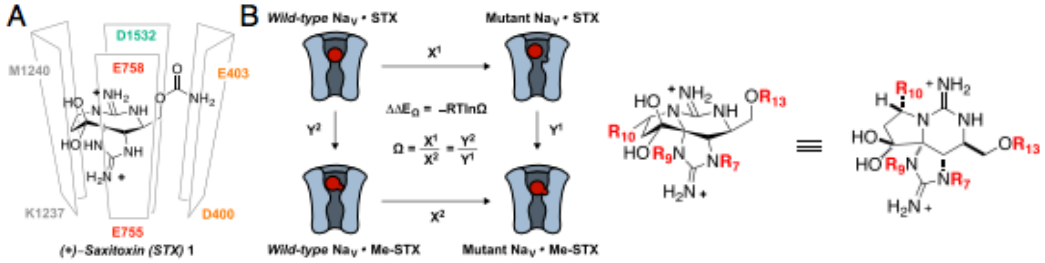
Citation: Thomas-Tran, R. & DuBois, J. 5856–5861 | PNAS | May 24, 2016 | vol. 113 | no. 21

Mutant cycle analysis with modified saxitoxins reveals specific interactions critical to attaining high-affinity inhibition of hNaV1.7



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Citation: Kaplan, et al. 5892–5897 | PNAS | May 24, 2016 | vol. 113 | no. 21

Silk-based blood stabilization for diagnostics

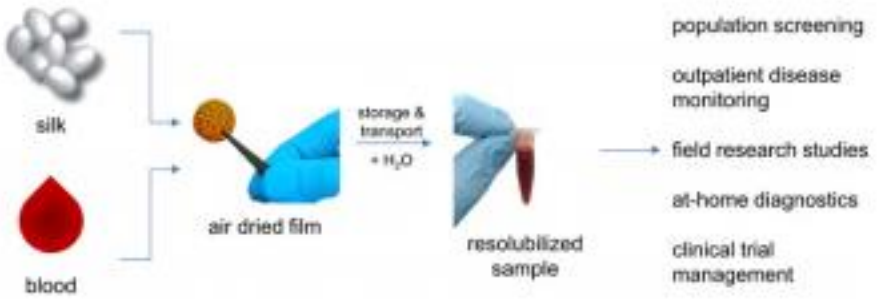

Fig. 1. Preparation schematic of air-dried silk-stabilizing matrices.

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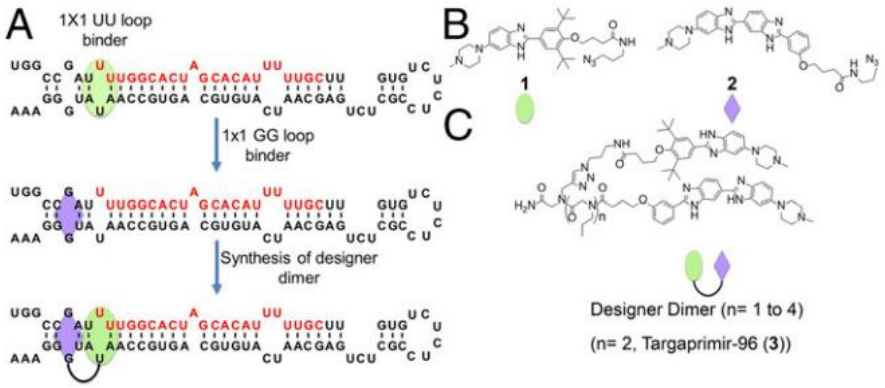
Citation: Disney et al. 5898–5903 | PNAS | May 24, 2016 | vol. 113 | no. 21

Design of a small molecule against an oncogenic noncoding RNA



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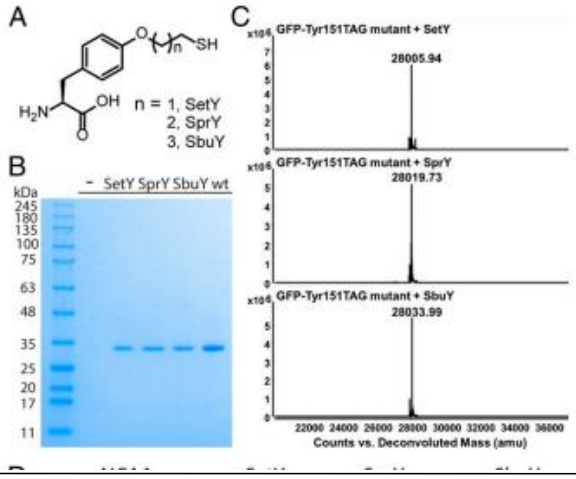
Citation: Shultz, P. et al. 5910–5915 | PNAS | May 24, 2016 | vol. 113 | no. 21

Enhancing protein stability with extended disulfide bonds



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Citation: Richards & Endres. PNAS | May 31, 2016 | vol. 113 | no. 22 | 6113–6118

Target shape dependence in a simple model of receptor-mediated endocytosis and phagocytosis

"Most previous work on endocytosis (the way cells ingest particles) has focused on engulfment of spherical targets. However, this is rarely relevant outside the laboratory, where cells must engulf a huge variety of target shapes, from capped cylinders (like many bacteria) to hourglasses (such as dividing cells). Here, we map this problem to the well-studied physics problem of the freezing of water. This allows us to study a wide range of different, biologically relevant shapes. In particular, for the first time, to our knowledge, it allows us to examine the orientation dependence of phagocytosis, to explain the shape dependence of phagocytosis and receptor-meditated endocytosis, and to explain why nonspherical targets often engulf faster when the most highly-curved tip is engulfed first."

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Citation: *Goodman, S.N. Sci. Trans. Med.* 2016, *8*, 327fs5.

What does research reproducibility mean?

The language and conceptual framework of "research reproducibility" are nonstandard and unsettled across the sciences. In this Perspective, we review an array of explicit and implicit definitions of reproducibility and related terminology, and discuss how to avoid potential misunderstandings when these terms are used as a surrogate for "truth."

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Citation: Fung. *Sci. Trans. Med.* 2016, *8*, 343ec95.

Does a mother's voice influence a child's social communication abilities?

To study the neural activity in response to a mother's voice, Abrams and his colleagues used functional magnetic resonance imaging (fMRI) to measure brain activity in 24 healthy children (mean age 10 years) while they listened to short (<1 s) nonsense words produced by their biological mother and two female control voices. Relationships between speech-evoked neural activity and social function were explored. Compared with female control voices, a mother's voice produced greater activity in the superior temporal sulcus, a key node of the speech perception network connecting the auditory cortex with regions responsible for emotion regulation and reward response. A mother's voice also produced greater activity in the primary auditory regions in the cortex and midbrain, the amygdala (which is crucial for emotion regulation), the nucleus accumbens and orbitofrontal cortex of the reward circuit, the anterior insula and cingulate of the salience network, and a specific area of the fusiform gyrus associated with face perception.

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Citation: Carpentier, *et al.* *Sci. Trans. Med.* 2016, *8*, 343re2

Clinical trial of blood-brain barrier disruption by pulsed ultrasound

The blood-brain barrier (BBB) limits the delivery of systemically administered drugs to the brain. Methods to circumvent the BBB have been developed, but none are used in standard clinical practice. The lack of adoption of existing methods is due to procedural invasiveness, serious adverse effects, and the complications associated with performing such techniques coincident with repeated drug administration, which is customary in chemotherapeutic protocols. Pulsed ultrasound, a method for disrupting the BBB, was shown to effectively increase drug concentrations and to slow tumor growth in preclinical studies. We now report the interim results of an ultrasound dose-escalating phase 1/2a clinical trial using an implantable ultrasound device system, SonoCloud, before treatment with carboplatin in patients with recurrent glioblastoma (GBM). The BBB of each patient was disrupted monthly using pulsed ultrasound in combination with systemically injected microbubbles. Contrast-enhanced magnetic resonance imaging (MRI) indicated that the BBB was disrupted at acoustic pressure levels up to 1.1 megapascals without detectable adverse effects on radiologic (MRI) or clinical examination. Our preliminary findings indicate that repeated opening of the BBB using our pulsed ultrasound system, in combination with systemic microbubble injection, is safe and well tolerated in patients with recurrent GBM and has the potential to optimize chemotherapy delivery in the brain.

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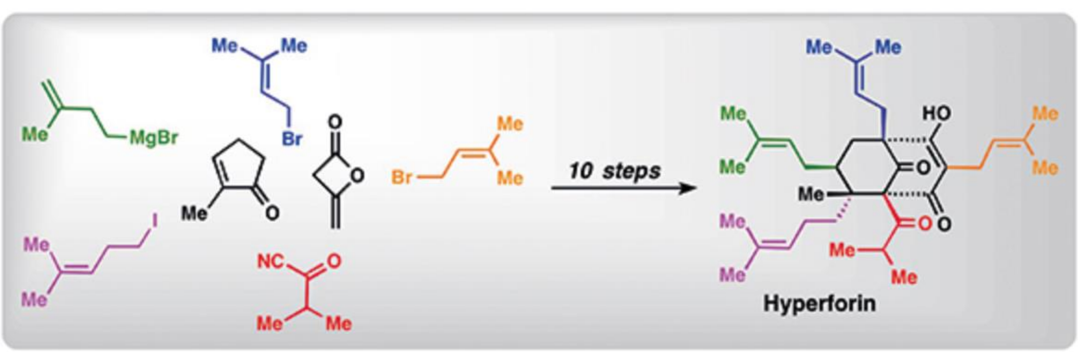
Citation: Maimone, T. J.; Ting C. P. *Synlett* **2016**, *27*, 1443–1449

The Total Synthesis of Hyperforin



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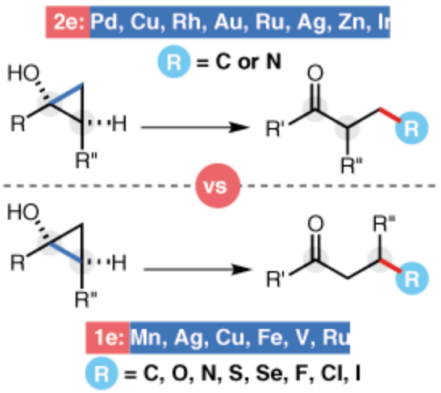
Citation: Nikolaev, A.; Orellana, A. *Synthesis* **2016**, *48*, 1741–1768

Transition-metal-catalyzed C-C and C-X Bond Forming Reactions Using Cyclopropanol



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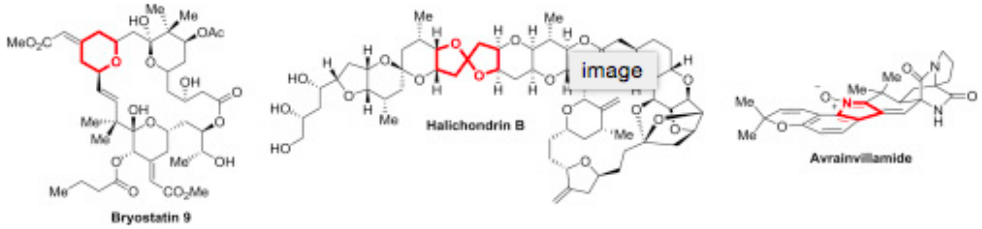
Citation: Hill, N.; Paruch, K.; Svenda, J. *Tetrahedron*. **2016**. *72*, 3345-3358

Late-Stage Annulative Convergency in Natural Product Synthesis



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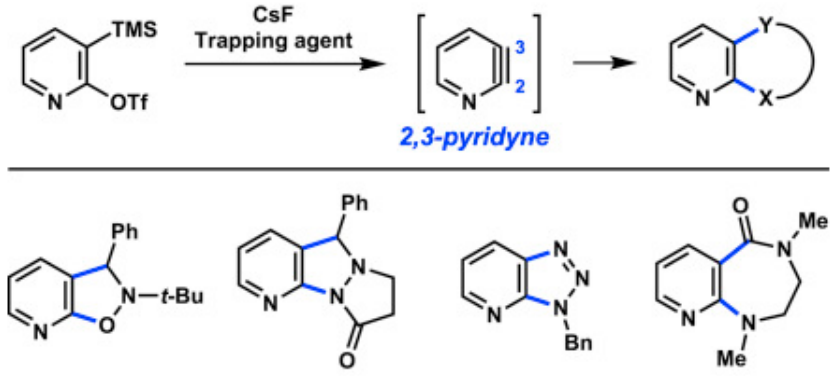
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Medina, J.; Jackl, M.; Susick, R.; Garg, N. *Tetrahedron* **2016**, *72*, 3629-3634.

Synthetic Studies Pertaining To The 2,3-Pyridyne And 4,5-Pyrimidyne



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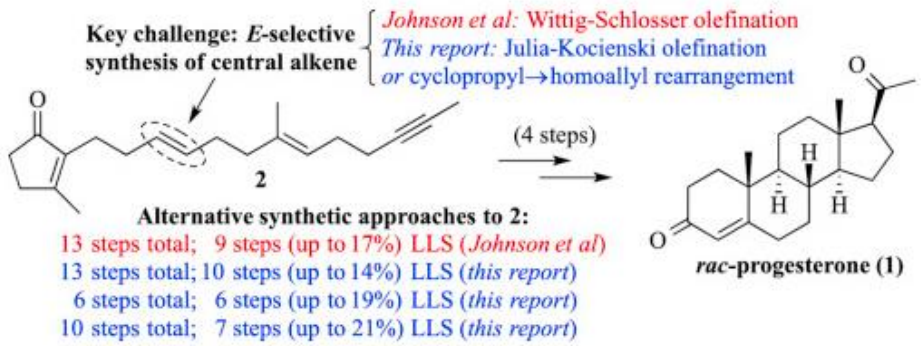
Citation: Slegeris, R.Dudley, G. *Tetrahedron* **2016**, *72*, 3666-3672.

Alternative Synthetic Approaches To Rac-Progesterone By Way Of The Classic Johnson Cationic Polycyclization Strategy.



Alternative synthetic approaches to 2:

13 steps total; 9 steps (up to 17%) LLS (*Johnson et al*)
13 steps total; 10 steps (up to 14%) LLS (*this report*)
6 steps total; 6 steps (up to 19%) LLS (*this report*)
10 steps total; 7 steps (up to 21%) LLS (*this report*)

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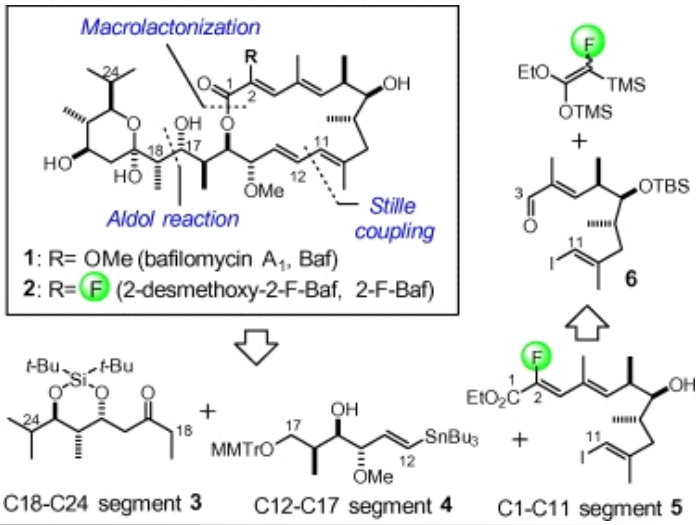
Citation: Tsuchikawa, H. *et al. Tet. Lett.* **2016**, *57,* 2426.



Bafilomycin analogue site-specifically fluorinated at the pharmacophore macrolactone ring has potent vacuolar-type ATPase inhibitory activity

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