Accounts of Chemical Research	232	Melanie Huttner
ACS Chemical Biology	232	Jessica Vargas
ACS Nano	233	Nancy Benner
Advanced Drug Delivery Reviews	233	Xiaoyu Zang (Janice)
Angewandte Chemie International Edition	**MOOK**	Andrew Raub
Bioconjugate Chemistry	234	Colin McKinlay
Biomacromolecules	234	Colin McKinlay
Bioorganic and Medicinal Chemistry	235	Nancy Benner
Bioorganic and Medicinal Chemistry Letters	235	Nancy Benner
Chemical Communications	236	Katie Near
Chemical & Engineering News	238	Steven Ryckbosch
Chemical Reviews	N/A	Ryan Quiroz
Chemical Science	239	Jack Sloane
Chemistry, A European Journal	239	Xiaoyu Zang (Janice)
European Journal of Organic Chemistry	241	Samanta Capolicchio
Journal of the American Chemical Society	242	Akira Shimizu (odd)
		Hsiao-Tieh Hsu (even)
Journal of Medicinal Chemistry	**MOOK**	Matthew Stevens
Journal of Organic Chemistry	**MOOK**	Matthew Jeffreys
Molecular Pharmaceutics	246	Colin McKinlay
Natural Product Reports	247	Jack Sloane
Nature	247	Ryan Quiroz
Nature Chemistry	**MOOK**	Andrew Raub
Nature Chemical Biology	249	Jessica Vargas
The New York Times	249	Melanie Huttner
The Onion	250	Melanie Huttner
Organic Letters	251	Jack Sloane
Organometallics	**MOOK**	Matthew Stevens
PNAS	253	Melanie Huttner
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Science Translational Medicine	255	Jessica Vargas
Synlett	255	Katie Near
Synthesis	256	Stephen Ho
Tetrahedron	257	Samanta Capolicchio
Tetrahedron Letters	257	Stephen Ho

Next Due Date: Tuesday, September 15, 2015

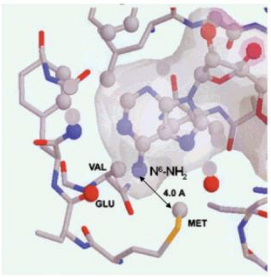
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent 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.**

<table>
<tr><td colspan="2">Citation: Abeyweera, T.P.; Rotenberg, S.A. Biochemistry 2007, 46, 2364-2370</td></tr>
<tr><td>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 (1c-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.
 
</td><td>bioorganic
asymmetric
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Drug Deliv.</td></tr>
</table>

<table>
<tr><td colspan="2">Citation: Dictionary.com (search term = "mook")</td></tr>
<tr><td>For those of you who always wanted to know what it meant....
mook Pronunciation Key (mk) n. Slang
An insignificant or contemptible person.</td><td>methods
synthesis</td></tr>
</table>

DON'T BE A MOOK!

Lit Review MOOKS include those who:

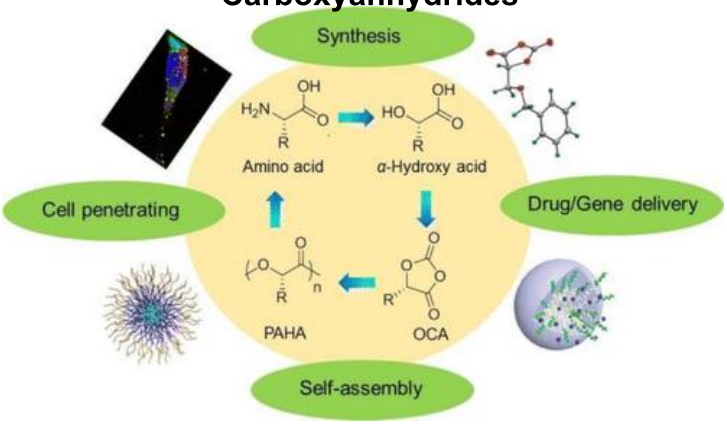
- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

Penalties for being a Lit Review MOOK:

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

Citation: Yin, Q.; *et al. Acc. Chem. Res.*, **2015,** *48,* 1777-1787.

Synthesis and Biomedical Applications of Functional Poly(alpha-hydroxy acids) via Ring-Opening Polymerization of O-Carboxyanhydrides



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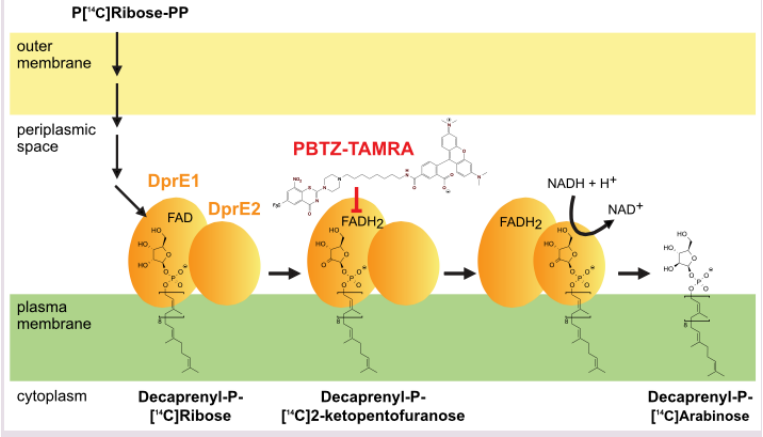
Citation: *ACS Chem Biol* **2015,** 10, 1631

DprE1 Is a Vulnerable Tuberculosis Drug Target Due to Its Cell Wall Localization



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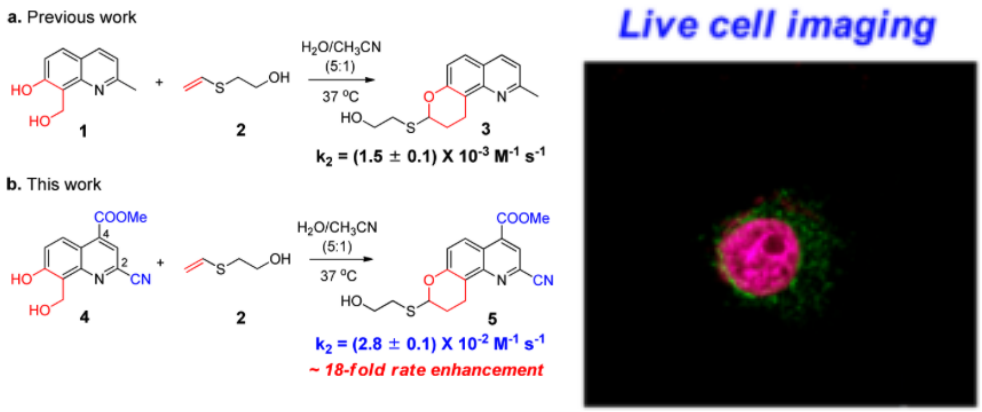
Citation: *ACS Chem Biol* **2015,** 10, 1676

Second Generation TQ-Ligation for Cell Organelle Imaging



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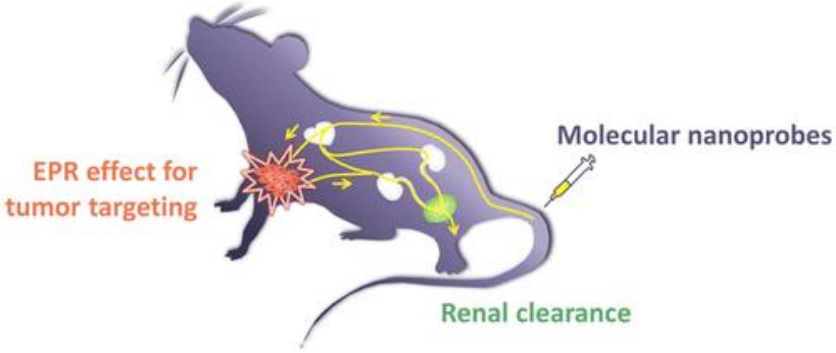
Citation: Yu, M. et. al, *ACS Nano,* **2015**, 9 (7) 6655-6674

Clearance Pathways and Tumor Targeting of Imaging Nanoparticles



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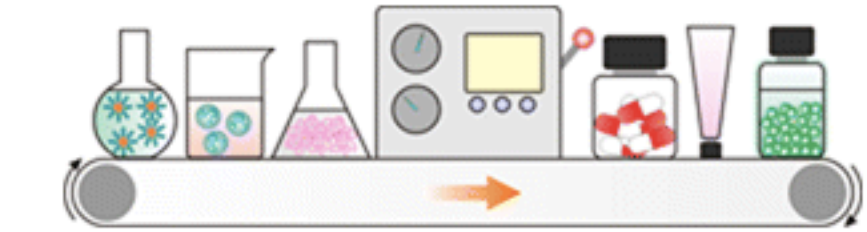
Citation: Mitragoti, S. et. al, *ACS Nano,* **2015**, 9 (7) 6655-6674

Accelerating the Translation of Nanomaterials in Biomedicine



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Citation: Paudel, *et al. Adv. Drug Deliv. Rev.* **2015,** 89, 3-20.

Raman spectroscopy in pharmaceutical product design

Raman spectroscopy and microscopy are frontier, non-invasive analytical techniques amenable for diverse biomedical areas, ranging from molecular-based drug discovery, design of innovative drug delivery systems and quality control of finished products. This review presents concise accounts of various conventional and emerging Raman instrumentations including associated hyphenated tools of pharmaceutical interest. Moreover, relevant application cases of Raman spectroscopy in early and late phase pharmaceutical development, process analysis and micro-structural analysis of drug delivery systems are introduced. Finally, potential areas of future advancement and application of Raman spectroscopic techniques are discussed.

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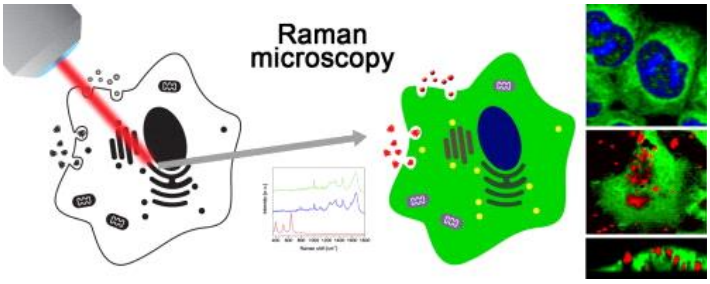
Citation: Kann, *et al. Adv. Drug Deliv. Rev.* **2015,** 89, 71-90.

Raman microscopy for cellular investigations From single cell imaging to drug carrier uptake visualization



Raman microscopy is introduced as a versatile analytical technique. An overview of various Raman spectroscopy investigations in this field is given including interactions of cells with drug molecules, carrier systems and other nanomaterials. Further, Raman instrumentations and sample preparation methods are discussed. Finally, as the analytical limit is not reached yet, a future perspective for Raman microscopy in pharmaceutical and biomedical research on the single cell level is given.

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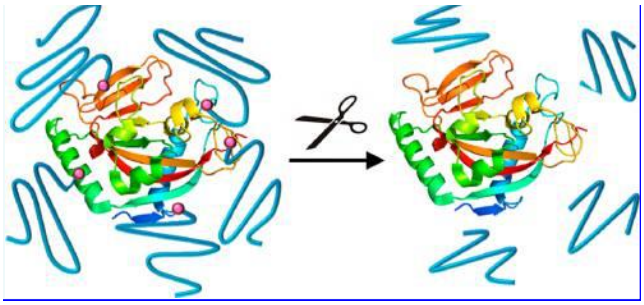
Citation: Bioconjugate Chem. 2015, 26 (7), 1172–1181.

Releasable Conjugation of Polymers to Proteins.



A great resource. A number of useful techniques for attaching polymers to proteins, but is also highly applicable for attaching drugs/probes to our delivery agents

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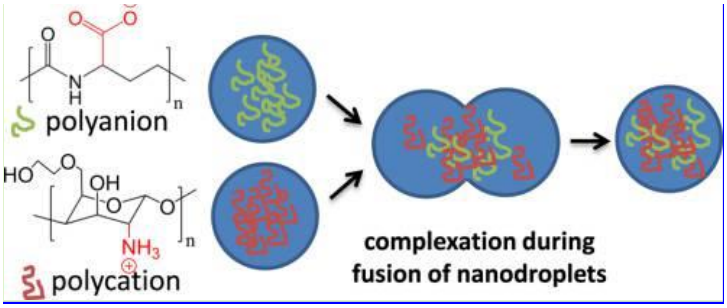
Citation: Biomacromolecules 2015, 16 (8), 2282–2287.

Nanocarrier for Oral Peptide Delivery Produced by Polyelectrolyte Complexation in Nanoconfinement.



An interesting way to think about forming electrostatic complexes. Both components were produced as nano-emulsions in cyclohexane, and then the droplets mixed together to make a micro-sized formulation

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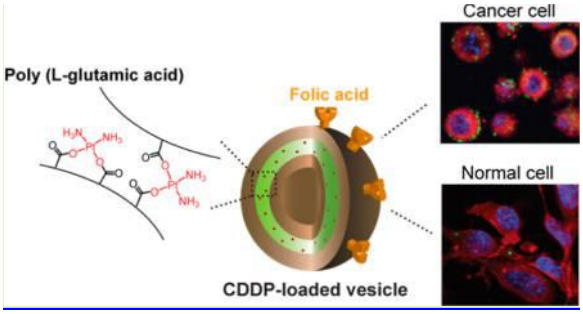
Citation: Biomacromolecules 2015, 16 (8), 2463–2474.

Cisplatin-Induced Formation of Biocompatible and Biodegradable Polypeptide-Based Vesicles for Targeted Anticancer Drug Delivery.



Another interesting formulation technique for cisplatin, this one also involving using Folic Acid as a targeting ligand

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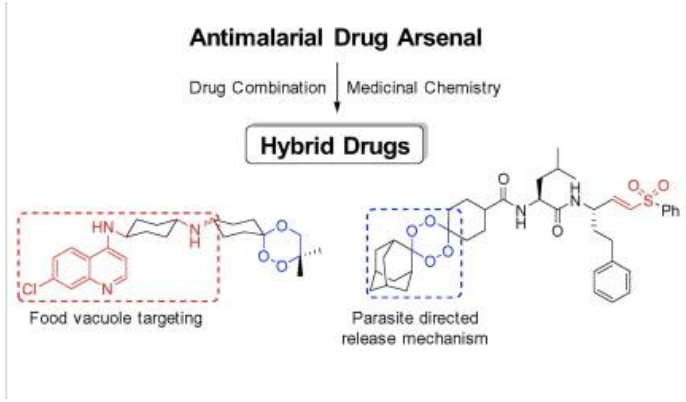
Citation: Olviera, R. et al. *Bioorg. Med. Chem*., 23, (2015) 5120-5130

From hybrid compounds to targeted drug delivery in antimalarial therapy



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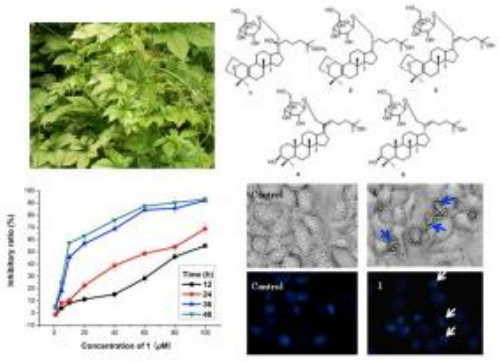
Citation: Zhang, X. et al. *Bioorg. Med. Chem. Lett*., 25, (2015) 3095-3099

Novel dammarane-type triterpenes isolated from hydrolyzate of total Gynostemma pentaphyllum saponins



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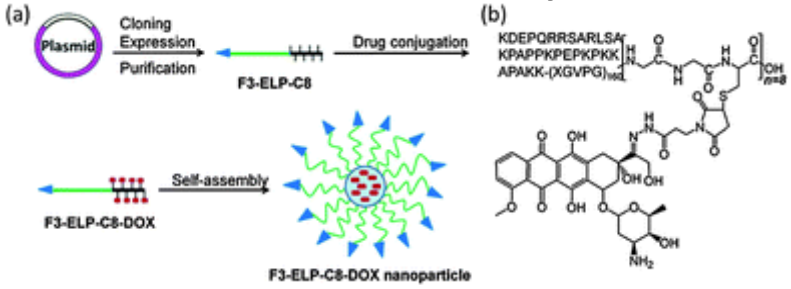
Citation: Hu, J.; *et al*. *Chem. Commun.* **2015**, *51,* 11405.

Design of tumor-homing and pH-responsive polypeptide–doxorubicin nanoparticles with enhanced anticancer efficacy and reduced side effects



These nanoparticles are precisely designed by site-specific drug conjugation to a bioactive and well-defined elastin-like polypeptide through an acid-labile linker. In a murine cancer model, they show significantly better anti-tumor efficacy and less systemic toxicity than not only free drugs, but also polypeptide–drug nanoparticles without the tumor-homing function.

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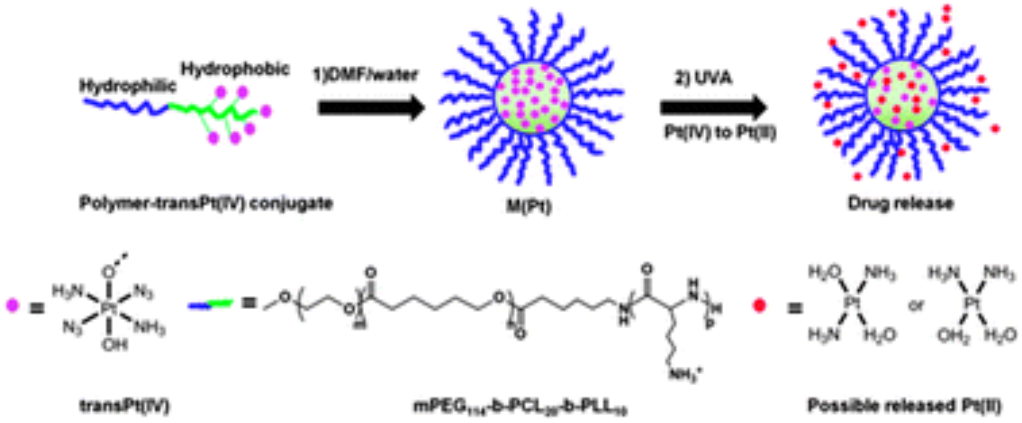
Citation: Song, H.; *et al. Chem. Commun.* **2015**, *51,* 11493.

Delivering a photosensitive transplatin prodrug to overcome cisplatin drug resistance



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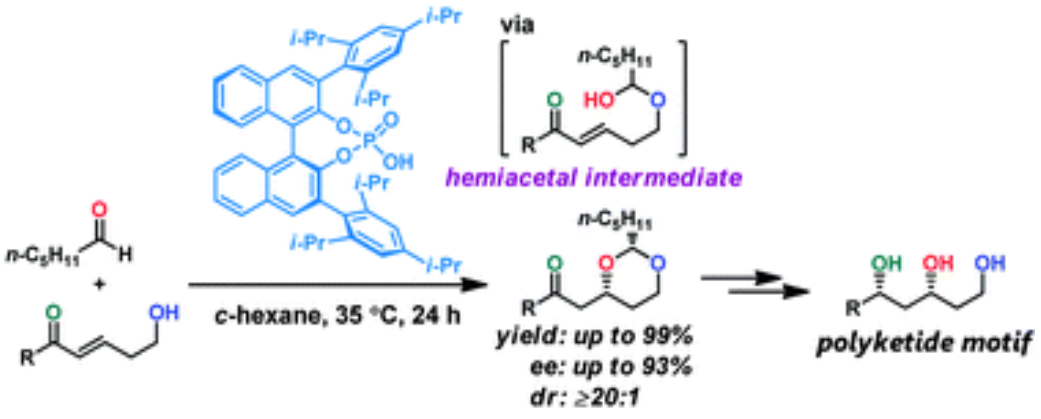
Citation: Matsumoto, A.; Asano, K,; Matsubara, S. *Chem. Commun.* **2015**, *51,* 11693.

A chiral phosphoric acid catalyst for asymmetric construction of 1,3-dioxanes



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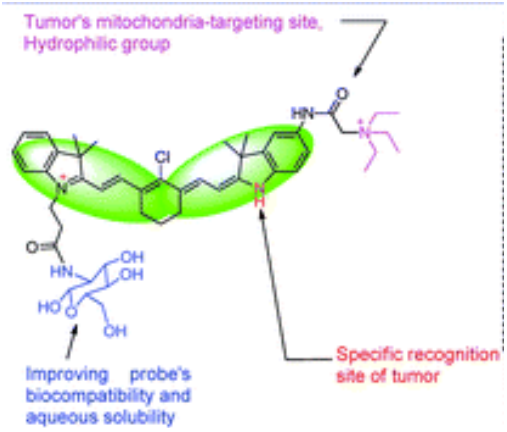
Citation: Zhao, X.; *et al. Chem. Commun.* **2015**, *51,* 11721.

A near-infrared multifunctional fluorescent probe with an inherent tumor-targeting property for bioimaging



A mitochondria-targeting probe, by conjugating a quaternary ammonium cation with glucosamine modified pH-activated cyanine, was designed and synthesized. Owing to the acidic feature of tumors and the more negative mitochondrial membrane potential of tumor cells than that of normal cells, this probe can selectively accumulate in tumor cells and fluoresce. This multifunctional switchable sensor was also employed for the fluorescent imaging of the fluctuation of intracellular pH in HeLa cells.

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Citation: Bishop, C. J.; *et al. Chem. Commun.* **2015**, *51,* 12134.

	Transfection		Uptake		Viability	
	Variable	A(cosθ)	Variable	A(cosθ)	Variable	A(cosθ)
1	B	0.33	LogP*	0.27	HtF*	0.28
2	Uptake	0.23	B+S	0.27	B:S	0.13
3	LogP*	0.22	GFE*	0.27	HtF	0.08
4	B+S	0.21	MW*	0.27	GFE	0.07
5	GFE*	0.21	BP*	0.27	PDI	-0.06
6	MW*	0.21	MP*	0.27	DP	-0.07
7	BP*	0.21	CV*	0.27	tPSA	-0.07
8	MP*	0.21	MR*	0.27	MP	-0.08
9	CV*	0.21	B	0.26	BP	-0.08
10	MR*	0.21	Transfection	0.23	Mw	-0.08
11	Mn	0.20	LogP	0.18	MR	-0.08
12	LogP	0.17	S	0.14	CV	-0.08
13	CV	0.16	Mn	0.12	Mn	-0.10
14	MR	0.16	CV	0.10	S	-0.15
15	Mw	0.16	MR	0.10	LogP	-0.18
16	BP	0.16	Mw	0.10	Transfection	-0.22
17	MP	0.16	BP	0.10	Uptake	-0.24
18	DP	0.16	MP	0.10	B	-0.25
19	tPSA	0.16	tPSA	0.09	B+S	-0.28
20	PDI	0.13	DP	0.09	GFE*	-0.28
21	S	0.05	PDI	0.08	MW*	-0.28
22	Viability	-0.04	Viability	-0.04	BP*	-0.28
23	GFE	-0.16	GFE	-0.09	MP*	-0.28
24	HtF	-0.16	HtF	-0.09	CV*	-0.28
25	HtF*	-0.21	B:S	-0.15	MR*	-0.28
26	B:S	-0.25	HtF*	-0.27	LogP*	-0.28

Gene delivery polymer structure–function relationships elucidated via principal component analysis

Principal component analysis was applied to a biomaterial library of poly(beta-amino ester)s, useful for non-viral gene delivery, to elucidate chemical parameters that drive biological function. Correlative relationships and principal components were analyzed between 24 physico-chemical polymer properties and 3 cell-based functional variables in human glioblastoma cells (transfection, uptake, and viability).

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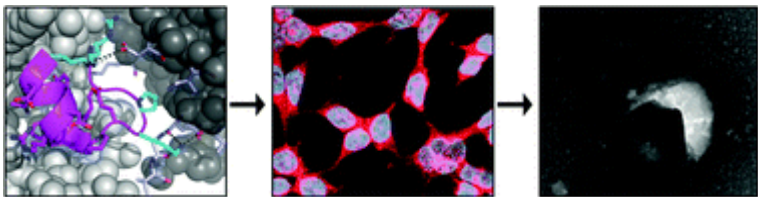
Citation: Lampel, A.; *et al. Chem. Commun.* **2015**, *51,* 12349.

a-Aminoisobutyric acid incorporation induces cell permeability and antiviral activity of HIV-1 major homology region fragments



The design of a cell penetrating antiviral peptide, which is derived from the major homology region of HIV-1 capsid protein and includes the non-coded a-aminoisobutyric acid, provides functional evidence for the role of the conserved region in the HIV assembly process and demonstrates the correlation between conformational stability and cellular permeability.

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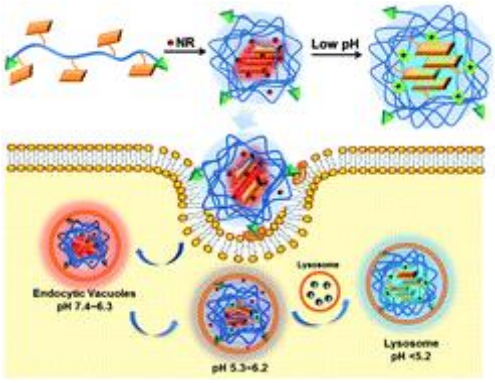
Citation: Lampel, A.; *et al. Chem. Commun.* **2015**, *51,* 12349.

Synthesis of self-reporting polymeric nanoparticles for in situ monitoring of endocytic microenvironmental pH



The bis(pyrene) conjugated pH-sensitive polymers (P-BP) were synthesized and self-assembled into nanoparticles through hydrophobic interactions. The Nile red (NR) loaded P-BP nanoparticles showed red emission due to the FRET effect. The nanoparticles entered cells via endocytosis, and the microenvironmental pH in the endocytosis process was monitored in situ by the simultaneous dual-wavelength fluorescence changes.

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Citation: *C&E News*, http://goo.gl/vQ9ikI

Murky Results for Alzheimer's Drugs

New data on two highly anticipated Alzheimer's disease treatments are not clear wins for either drug. Unveiled last week at the Alzheimer's Association International Conference, the middling results are a reminder of the daunting task of slowing down the neurodegenerative disease.

In March, Biogen released interim data from the study showing that higher doses cleared more amyloid from patients' brains and yielded better performance on cognition tests. But at the time, the company only presented data for 1-, 3-, and 10-mg doses of the antibody. The best results—at 10 mg—were accompanied by brain swelling, a common complication of antiamyloid therapy. The hope was that the 6-mg dose would strike a balance between efficacy and safety.

Unfortunately, that didn't happen. Although amyloid clearance with the 6-mg dose fell neatly between the levels seen with 3 and 10 mg, the dose didn't significantly slow cognitive decline.

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Citation: *C&E News*, http://goo.gl/2J2fJ3

Cleaning Up Drugs In Wastewater

Large volumes of pharmaceuticals and their metabolites, mostly from human waste flushed down the toilet, are exiting municipal wastewater treatment plants intact and, by some estimates, contaminating almost 25% of the world's rivers and lakes. About 10,000 drugs are on the market in Western countries. Many have been detected in the environment in concentrations ranging from nanograms to micrograms per liter. All are biologically active by design; many are bioaccumulative and persistent.

(Article talks about how to do this)

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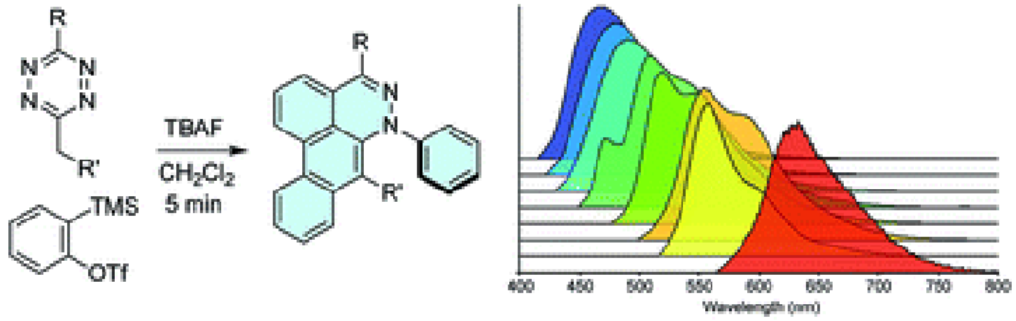
Citation: Suh, S-E., et al. *Chem. Sci.* **2015**, 6, 5128-5132

Triple aryne-tetrazine reaction enabling rapid access to a new class of polyaromatic heterocycles



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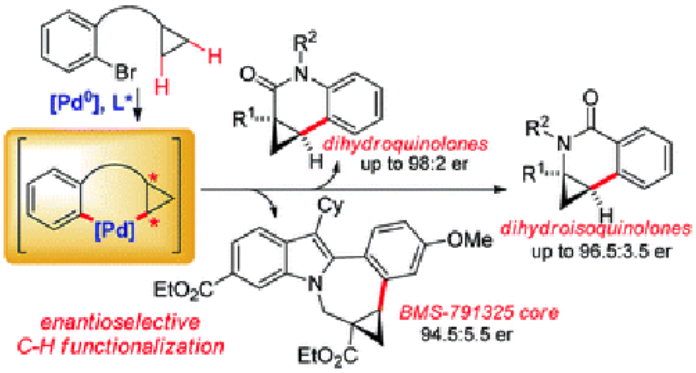
Citation: Pedroni, J., et al. *Chem. Sci.* **2015**, 6, 5164-5171

Enantioselective palladium(0)-catalyzed intramolecular cyclopropane functionalization: access to dihydroquinolones, dihydroisoquinolones and the BMS-791325 ring system



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Citation: Fernández-Tejada, *et al. Chem. Eur. J.* **2015**, 21, 10616-10628

Recent Developments in Synthetic Carbohydrate-Based Diagnostics, Vaccines, and Therapeutics

Glycans are everywhere in biological systems, being involved in many cellular events with important implications for medical purposes. Building upon a detailed understanding of the functional roles of carbohydrates in molecular recognition processes and disease states, glycans are increasingly being considered as key players in pharmacological research. This review highlights the development of carbohydrate-based diagnostics, exemplified by glycan imaging techniques and microarray platforms, synthetic oligosaccharide vaccines against infectious diseases (e.g., HIV) and cancer, and finally carbohydrate-derived therapeutics, including glycomimetic drugs and glycoproteins.

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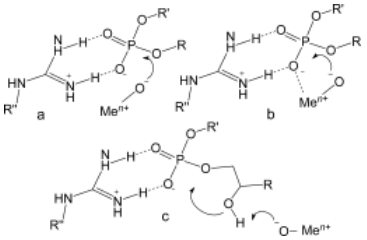
Citation: Maciá-Agulló, *et al.* *Chem. Eur. J.* **2015,** 21, 10940-10939.

The Guanidinium Unit in the Catalysis of Phosphoryl Transfer Reactions: From Molecular Spacers to Nanostructured Supports

Examples of guanidinium-based artificial phosphodiesterases are illustrated in this review article. A wide set of collected catalytic systems are presented, from the early examples to the most recent developments of the use of this unit in the design of supramolecular catalysts. Special attention is dedicated to illustrate the operating catalytic mechanism and the role of guanidine/ium units in the catalysis. One or more of these units can act by themselves or in conjunction with other active units. The analogy with the mechanism of enzymatic systems is presented and discussed. In the last part of this overview, recent examples of guanidinophosphodiesterases based on nanostructured supports are reported, namely gold-monolayer-protected clusters and polymer brushes grafted to silica nanoparticles.

Possible mechanisms for catalysis based on guanidinium-metal ion cooperation in the cleavage of phosphodiesters (a and b), and in the transesterification of RNA and its analogs (c).



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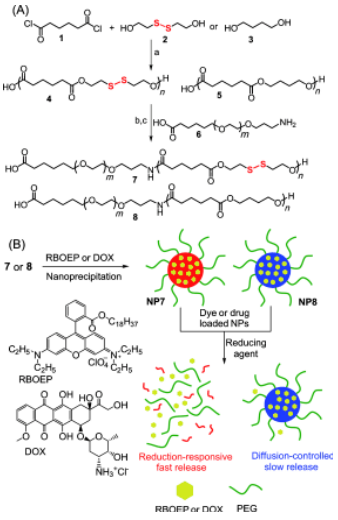
Citation: Yameen, *et al. Chem. Eur. J.* **2015,** *21*, 11325-11329.

Drug Delivery Nanocarriers from a Fully Degradable PEG-Conjugated Polyester with a Reduction-Responsive Backbone

a reduction-responsive drug delivery nanocarrier derived from a linear polyester bearing disulfide bonds is reported. The reduction-responsive polyester is synthesized via a convenient polycondensation process. After conjugation of terminal carboxylic acid groups of polyester to polyethylene glycol (PEG), the resulting polymer self-assembles into nanoparticles that are capable of encapsulating dye and anticancer drug molecules. The reduction-responsive nanoparticles display a fast payload release rate in response to the intracellular reducing environment, which translates into superior anticancer activity towards PC-3 cells.



Scheme 1. A) Synthesis of polyesters with (4) and without (5) disulfide bonds in the backbone. Their subsequent conjugation to PEG followed by B)nanoprecipitation process to fabricate RBOEP-dye or DOX encapsulated nanoparticles.

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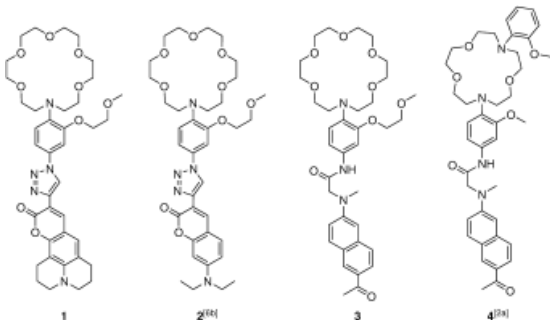
Citation: Schwarze, *et al. Chem. Eur. J.* **2015,** 21, 11306-11310.

A Highly K+-Selective Two-Photon Fluorescent Probe

A highly K+-selective two-photon fluorescent probe for the in vitro monitoring of physiological K+ levels in the range of 1-100 mM is reported. The two-photon excited fluorescence (TPEF) probe shows a fluorescence enhancement (FE) by a factor of about three in the presence of 160?mM?K+, independently of one-photon (OP, 430 nm) or two-photon (TP, 860 nm) excitation and comparable K+-induced FEs in the presence of competitive Na+ ions.



Scheme 1. Structures of K+-responsive fluorescent probes 1-3 and of the Na+-selective TPEF probe 4

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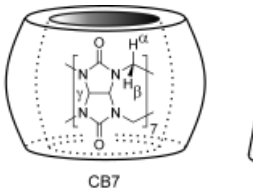
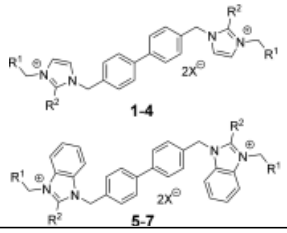
Citation: Branna, *et al. Chem. Eur. J.* **2015,** 21, 11712-11718.

Rotaxanes Capped with Host Molecules: Supramolecular Behavior of Adamantylated Bisimidazolium Salts Containing a Biphenyl Centerpiece

Bisimidazolium salts with one central biphenyl binding site and two terminal adamantyl binding sites form water-soluble binary or ternary aggregates with cucurbit[7]uril (CB7) and beta-yclodextrin (beta-CD) with rotaxane and pseudorotaxane architectures. The observed arrangements result from cooperation of the supramolecular stopper binding strength and steric barriers against free slippage of the CB7 and beta-CD host molecules over the bisimidazolium guest axle.





guest	R^1	R^2	X
1	H	H	Br
2	Ad	H	Br
3	Ad	Me	Br
4	H	Me	I
5	H	H	I
6	Ad	H	Br
7	Ad	Me	Br

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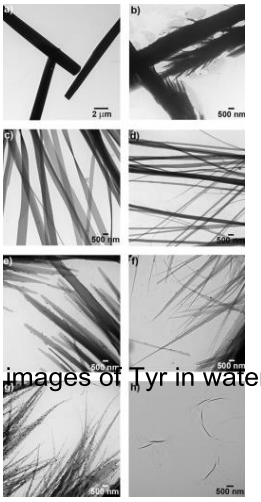
Citation: Menard-Moyon, *et al. Chem. Eur. J.* **2015,** 21, 11681-11686.

Self-Assembly of Tyrosine into Controlled Supramolecular Nanostructures

In the context of designing novel amino acid nanostructures, the capacity of tyrosine alone to form well-ordered structures under different conditions was explored. It was observed that Tyr can self-assemble into well-defined morphologies when deposited onto surfaces for transmission electron microscopy, atomic force microscopy, and scanning electron microscopy. The influence of various parameters that can modulate the self-assembly process, including concentration of the amino acid, aging time, and solvent, was studied. Different supramolecular architectures, including nanoribbons, branched structures, and fern-like arrangements were also observed.



TEM images of Tyr in water

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Citation: Venkateswarlu A. et al. *Eur. J. Org. Chem.* **2015**, *24*, 5389-5392.

Domino Prins Cyclization of Enynols: Stereoselective Synthesis of Bicyclic Vinyl Fluorides

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Citation: Mukerjee, A. et al. J. Am. Chem. Soc., 2015, 137 (28), pp 8888–8891

Selective Hydrogenation of Nitriles to Primary Amines Catalyzed by a Cobalt Pincer Complex

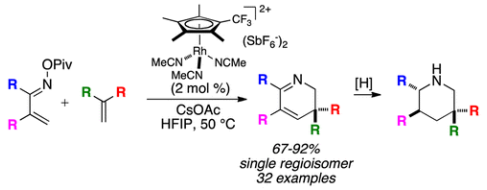
The catalytic hydrogenation of nitriles to primary amines represents an atom-efficient and environmentally benign reduction methodology in organic chemistry. This has been accomplished in recent years mainly with precious-metal-based catalysts, with a single exception. Here we report the first homogeneous Co-catalyzed hydrogenation of nitriles to primary amines. Several (hetero)aromatic, benzylic, and aliphatic nitriles undergo hydrogenation to the corresponding primary amines in good to excellent yields under the reaction conditions.

bioorganic **methods** synthesis mechanism review other

OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Romanov-Michailidis, F. et al. J. Am. Chem. Soc., 2015, 137 (28), pp 8892–8895

Expedient Access to 2,3-Dihydropyridines from Unsaturated Oximes by Rh(III)-Catalyzed C–H Activation



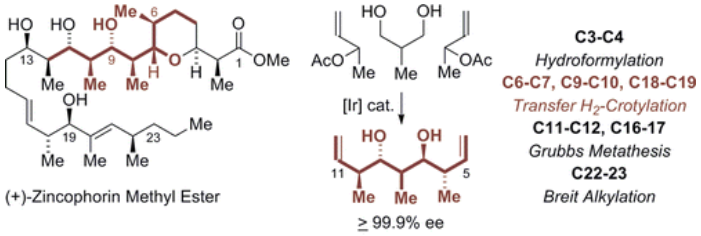
a,b-Unsaturated oxime pivalates are proposed to undergo reversible C(sp2)–H insertion with cationic Rh(III) complexes to furnish five-membered metallacycles. In the presence of 1,1-disubstituted olefins, these species participate in irreversible migratory insertion to give, after reductive elimination, 2,3-dihydropyridine products in good yields. Catalytic hydrogenation can then be used to convert these molecules into piperidines, which are important structural components of numerous pharmaceuticals.

bioorganic **methods** synthesis mechanism review other

OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Kasun, Z. A. et al. J. Am. Chem. Soc., 2015, 137 (28), pp 8900–8903

Direct Generation of Triketide Stereopolyads via Merged Redox-Construction Events: Total Synthesis of (+)-Zincophorin Methyl Ester



13 Stereocenters, 13 Steps (LLS) - Previously 21-35 Steps

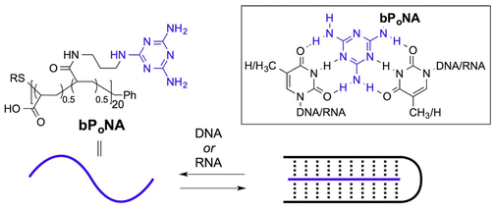
(+)-Zincophorin methyl ester is prepared in 13 steps (longest linear sequence). A bidirectional redox-triggered double anti-crotylation of 2-methyl-1,3-propane diol directly assembles the triketide stereopolyad spanning C4–C12, significantly enhancing step economy and enabling construction of (+)-zincophorin methyl ester in nearly half the steps previously required.

bioorganic methods **synthesis** mechanism review other

OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Zhou, Z. et al. J. Am. Chem. Soc., 2015, 137 (28), pp 8920–8923

Synthetic Polymer Hybridization with DNA and RNA Directs Nanoparticle Loading, Silencing Delivery, and Aptamer Function



We report herein discrete triplex hybridization of DNA and RNA with polyacrylates. Length-monodisperse triazine-derivatized polymers were prepared on gram-scale by reversible addition–fragmentation chain-transfer polymerization. Despite stereoregio backbone heterogeneity, the triazine polymers bind T/U-rich DNA or RNA with nanomolar affinity upon mixing in a 1:1 ratio, as judged by thermal melts, circular dichroism, gel-shift assays, and fluorescence quenching. We call these polyacrylates "bifacial polymer nucleic acids" (bPoNAs). Nucleic acid hybridization with bPoNA enables DNA loading onto polymer nanoparticles, siRNA silencing delivery, and can further serve as an allosteric trigger of RNA aptamer function. Thus, bPoNAs can serve as tools for both non-covalent bioconjugation and structure–function nucleation. It is anticipated that bPoNAs will have utility in both bio- and nanotechnology.

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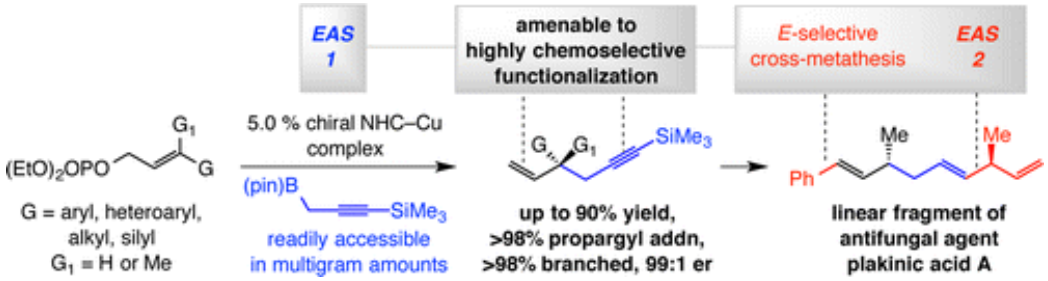
Citation: Shi, Y. et al. J. Am. Chem. Soc., 2015, 137 (28), pp 8948–8964

N-Heterocyclic Carbene–Copper-Catalyzed Group-, Site-, and Enantioselective Allylic Substitution with a Readily Accessible Propargyl(pinacolato)boron Reagent: Utility in Stereoselective Synthesis and Mechanistic Attributes



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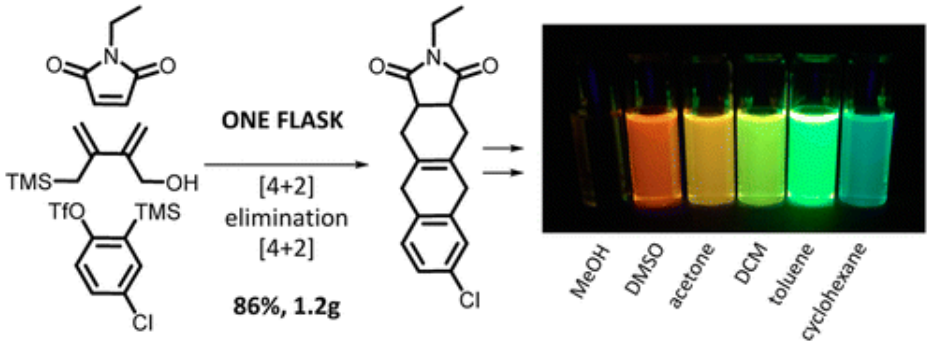
Citation: Wender, P. A. et al. J. Am. Chem. Soc., 2015, 137 (28), pp 9088–9093

Tetramethyleneethane Equivalents: Recursive Reagents for Serialized Cycloadditions



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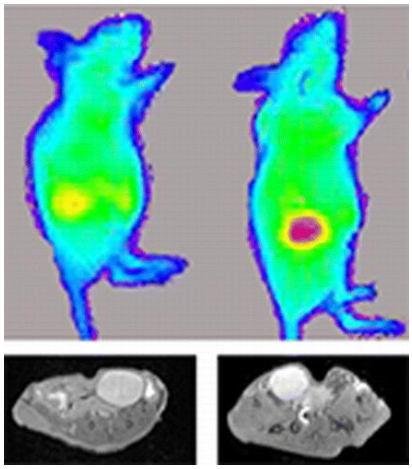
Citation: Harrison, V. S. R. et al. J. Am. Chem. Soc., 2015, 137 (28), pp 9108–9116

Multimeric Near IR–MR Contrast Agent for Multimodal In Vivo Imaging



Multiple imaging modalities are often required for in vivo imaging applications that require both high probe sensitivity and excellent spatial and temporal resolution. In particular, MR and optical imaging are an attractive combination that can be used to determine both molecular and anatomical information. Herein, we describe the synthesis and in vivo testing of two multimeric NIR–MR contrast agents that contain three Gd(III) chelates and an IR-783 dye moiety. One agent contains a PEG linker and the other a short alkyl linker. These agents label cells with extraordinary efficacy and can be detected in vivo using both imaging modalities. Biodistribution of the PEGylated agent shows observable fluorescence in xenograft MCF7 tumors and renal clearance by MR imaging.

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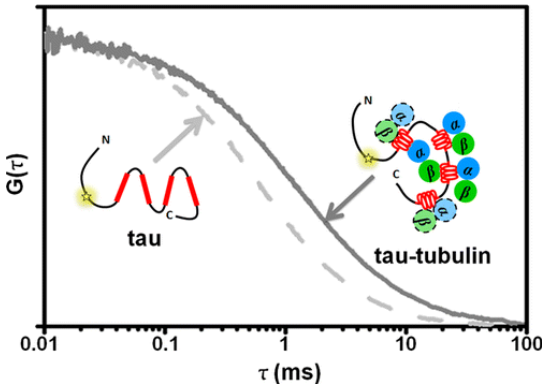
Citation: Xiao-Han Li, Jacob A. Culver, and Elizabeth Rhoades. *Journal of the American Chemical Society*, **2015**, 137 (29), 9218-9221

Tau Binds to Multiple Tubulin Dimers with Helical Structure



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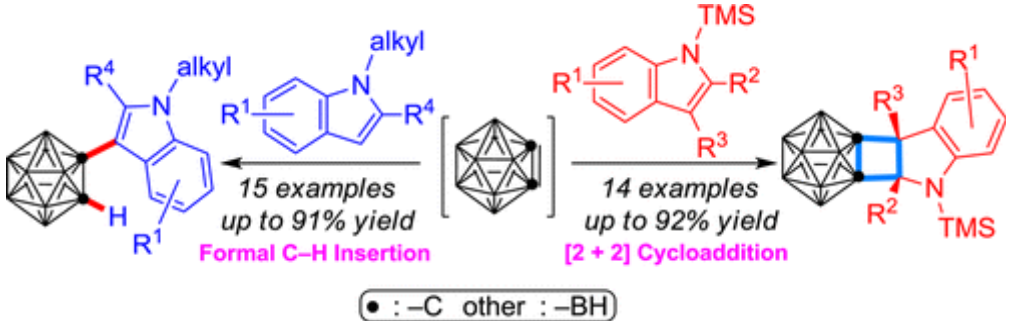
Citation: Da Zhao, Jiji Zhang, and Zuowei Xie. *Journal of the American Chemical Society*, **2015**, 137 (29), 9423-9428

Dearomative [2 + 2] Cycloaddition and Formal C–H Insertion Reaction of o-Carboryne with Indoles: Synthesis of Carborane-Functionalized Heterocycles



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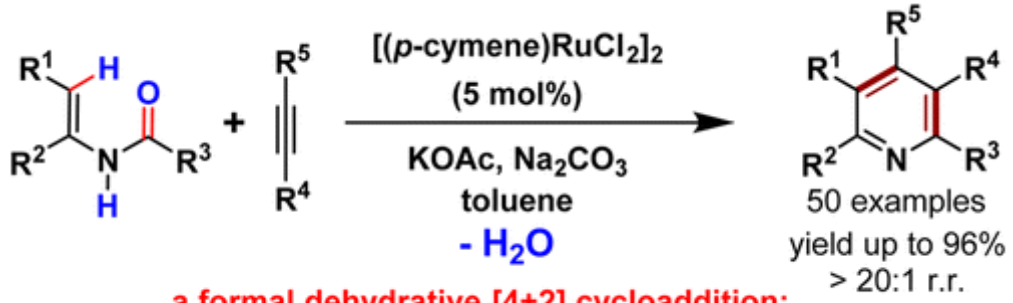
Citation: Jicheng Wu, Wenbo Xu, Zhi-Xiang Yu, and Jian Wang. *Journal of the American Chemical Society*, **2015**, 137 (29), 9489-9496

Ruthenium-Catalyzed Formal Dehydrative [4 + 2] Cycloaddition of Enamides and Alkynes for the Synthesis of Highly Substituted Pyridines: Reaction Development and Mechanistic Study



a formal dehydrative [4+2] cycloaddition: reaction development and mechanism

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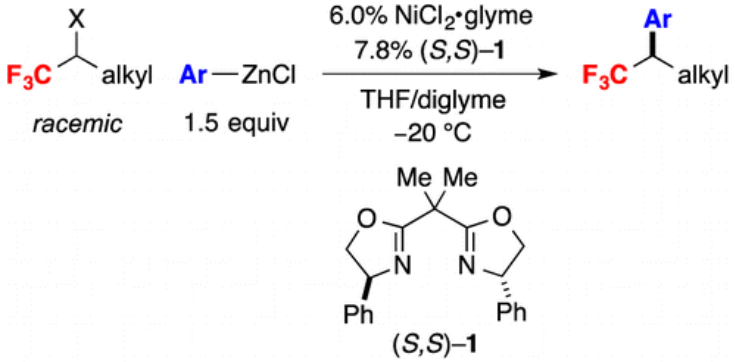
Citation: Liang, Y. et al. J. Am. Chem. Soc., 2015, 137 (30), pp 9523–9526

Stereoconvergent Negishi Arylations of Racemic Secondary Alkyl Electrophiles: Differentiating between a CF3 and an Alkyl Group



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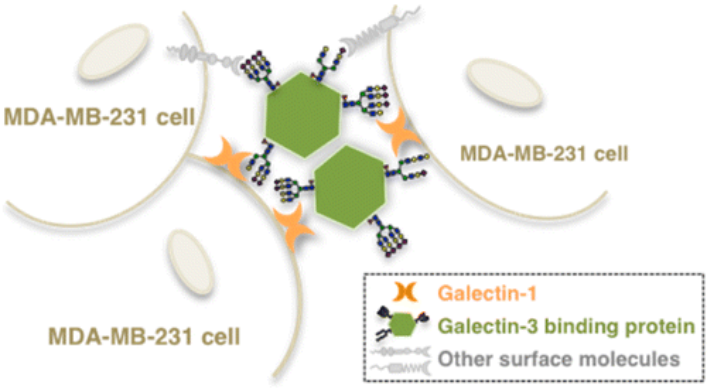
Citation: Lin, T, -Z, et al. J. Am. Chem. Soc., 2015, 137 (30), pp 9685–9693

Galectin-3 Binding Protein and Galectin-1 Interaction in Breast Cancer Cell Aggregation and Metastasis



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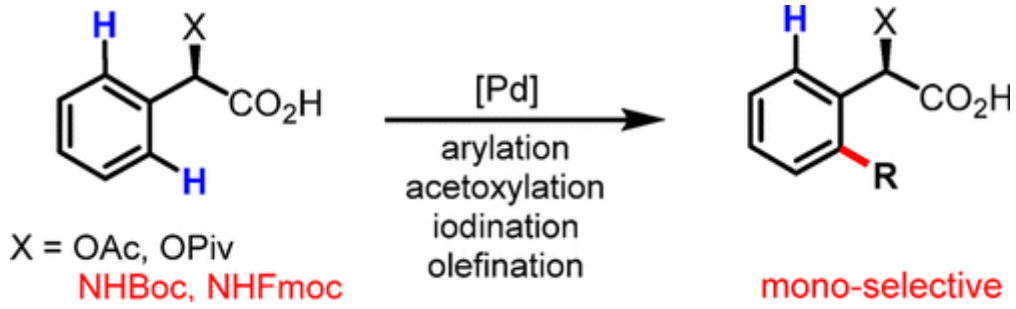
Citation: Navid Dastbaravardeh, Tetsuya Toba, Marcus E. Farmer, and Jin-Quan Yu *Journal of the American Chemical Society*, **2015**, 137 (31), 9877-9884

Monoselective o-C–H Functionalizations of Mandelic Acid and a-Phenylglycine



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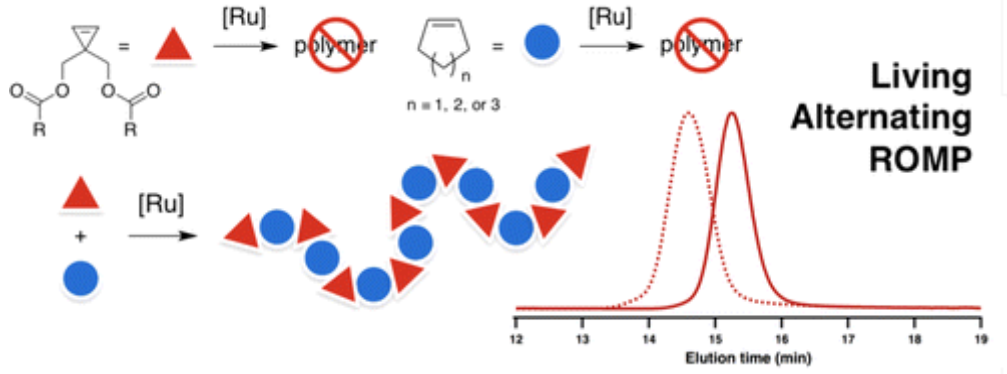
Citation: Benjamin R. Elling and Yan Xia. *Journal of the American Chemical Society,* **2015,** 137 (31), 9922-9926

Living Alternating Ring-Opening Metathesis Polymerization Based on Single Monomer Additions



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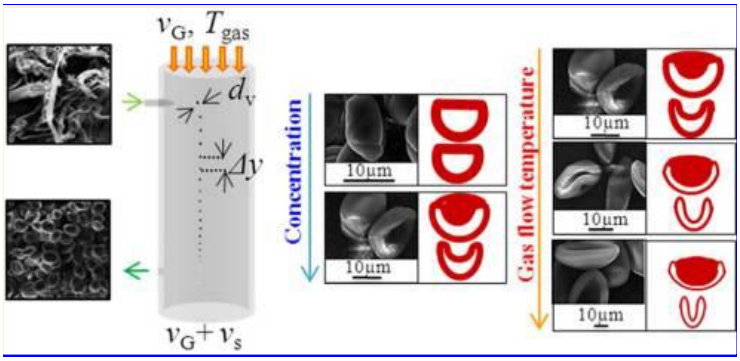
Citation: Mol. Pharmaceutics 2015, 12 (8), 2562–2573.

Analysis of the Particle Formation Process of Structured Microparticles.



The authors studied different factors affecting the formation of microdroplets specifically designed for drug delivery

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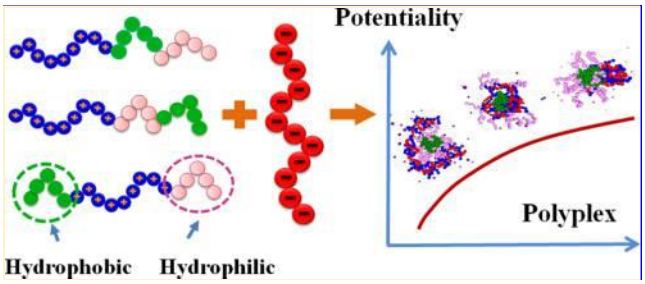
Citation: Mol. Pharmaceutics 2015, 12 (8), 2834–2844.

Coarse-Grained Simulation of Polycation/DNA-Like Complexes: Role of Neutral Block.



As advertised, gives a theoretical study of how the neutral (lipophilic) block of co-polymers affects the formation of electrostatic complexes. Worth a read for delivery folks

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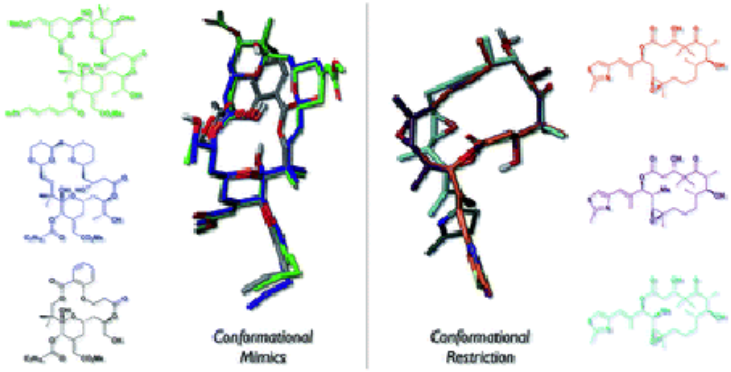
Citation: Larsen, E. M. et al. *Nat. Prod. Rep.* **2015**, 32, 1183-1206

Conformation-activity relationships of polyketide natural products



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Citation: Kondo, A. et al. *Nature*. **2015**, *523*, 431.

Antibody against early driver of neurodegeneration *cis* P-tau blocks brain injury and tauopathy

Here we find robust *cis* P-tau pathology after TBI in humans and mice. After TBI in mice and stress *in vitro*, neurons acutely produce *cis* P-tau, which disrupts axonal microtubule networks and mitochondrial transport, spreads to other neurons, and leads to apoptosis. This process, which we term 'cistauosis', appears long before other tauopathy. Treating TBI mice with *cis* antibody blocks cistauosis, prevents tauopathy development and spread, and restores many TBI-related structural and functional sequelae. Thus, *cis* P-tau is a major early driver of disease after TBI and leads to tauopathy in chronic traumatic encephalopathy and Alzheimer's disease.

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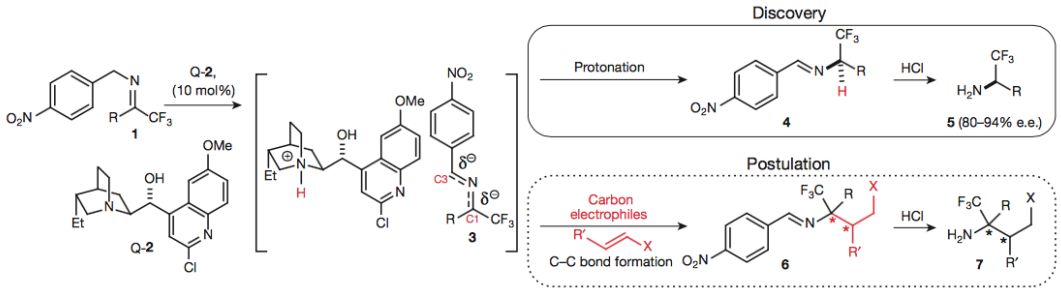
Citation: Wu, Y.; Hu, L.; Li, Z.; Deng, L. *Nature*. **2015**, *523*, 445.

Catalytic asymmetric umpolung reactions of imines



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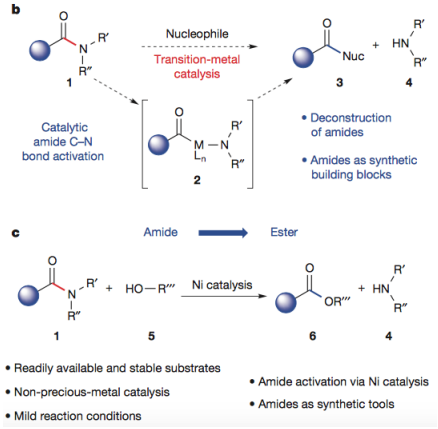
Citation: Garg, N. K. et al. *Nature*. **2015**, *224*, 79.

Conversion of amides to esters by the nickel-catalysed activation of amide C-N bonds



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Citation: Sather, A. C.; Lee, H. G.; Colombe, J. R.; Zhang, A.; Buchwald, S. L. *Nature*. **2015**, *224*, 208.

Dosage delivery of sensitive reagents enables glove-box-free synthesis

The utility of a synthetic method may be greatly reduced if it relies on a glove box to enable the use of air- and moisture-sensitive reagents or catalysts. Furthermore, many synthetic chemistry laboratories have numerous containers of partially used reagents that have been spoiled by exposure to the ambient atmosphere. This is exceptionally wasteful from both an environmental and a cost perspective. Here we report an encapsulation method for stabilizing and storing air- and moisture- sensitive compounds. We demonstrate this approach in three contexts, by describing single-use capsules that contain all of the reagents (catalysts, ligands, and bases) necessary for the glove-box-free palladium-catalysed carbon–fluorine7–9, carbon–nitrogen10,11, and carbon–carbon12 bond-forming reactions.

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Citation: nature CHeMICaL BIOLOGY | vol 11 | JUlY 2015 | p. 525

prolonged and tunable residence time using reversible covalent kinase inhibitors

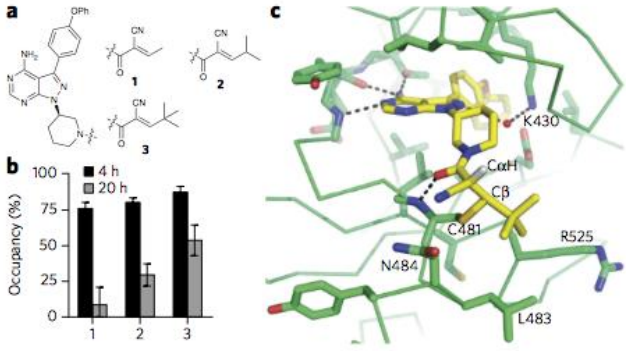

Figure 1 | Reversible covalent BTK inhibitors based on inverted cyanoacrylamides. (a) Cyanoacrylamides, attached via a piperidine

"Drugs with prolonged on-target residence times often show superior efficacy, yet general strategies for optimizing drug-target residence time are lacking. Here we made progress toward this elusive goal by targeting a noncatalytic cysteine in Bruton's tyrosine kinase (BTK) with reversible covalent inhibitors."

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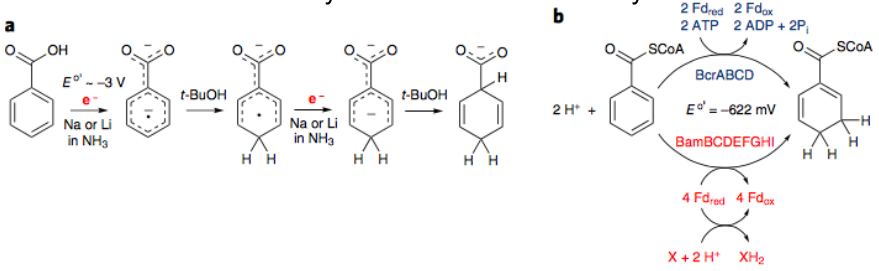
Citation: nature chemical biology 2015 | vol 11 | p. 586

structural basis of enzymatic benzene ring reduction

"the structural, spectroscopic and kinetic analyses of BamBC reveal an unprecedented principle of Mo- and W-pterin cofactor catalysis without direct binding of the substrate or the product to the metal. Our findings favor a Birch reduction–like mechanism of enzymatic benzene reduction over a hydride transfer mechanism for a reaction that, even from the chemist's point of view, requires extremely harsh reaction conditions. On the basis of the data obtained, biological and biomimetic approaches to use and design previously unknown Birch reduction catalysts with desired selectivity are now conceivable."



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Citation: http://www.nytimes.com/2015/08/04/health/ovarian-cancer-abdominal-chemotherapy-underused.html?_r=0

Effective Ovarian Cancer Treatment Is Underused, Study Finds

In 2006, the National Cancer Institute took the rare step of issuing a "clinical announcement," a special alert it holds in reserve for advances so important that they should change medical practice.In this case, the subject was ovarian cancer. A major study had just proved that pumping chemotherapy directly into the abdomen, along with the usual intravenous method, could add 16 months or more to women's lives. Cancer experts agreed that medical practice should change — immediately. Nearly a decade later, doctors report that fewer than half of ovarian cancer patients at American hospitals are receiving the abdominal treatment. Experts suggest a variety of reasons that the treatment is so underused: It is harder to administer than intravenous therapy, and some doctors may still doubt its benefits or think it is too toxic. Some may also see it as a drain on their income, because it is time-consuming and uses generic drugs on which oncologists make little money.

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Citation: http://www.nytimes.com/2015/07/19/opinion/sunday/why-science-needs-female-mice.html

Why Science Needs Female Mice

Scientific research has a gender gap, and not just among humans. In many disciplines, the animals used to study diseases and drugs are overwhelmingly male, which may significantly reduce the reliability of research and lead to drugs that won't work in half the population.Failure to consider gender in research is very much the norm. According to one analysis of scientific studies that were published in 2009, male animals outnumbered females 5.5 to 1 in neuroscience, 5 to 1 in pharmacology, and 3.7 to 1 in physiology. Only 45 percent of animal studies involving depression or anxiety and only 38 percent involving strokes used females, even though these conditions are more common in women.

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Citation: http://www.nytimes.com/2015/07/23/business/new-data-on-2-alzheimers-drugs-alters-hope-and-expectation.html

New Data on 2 Alzheimer's Drugs Alters Hope and Expectation

New data released on Wednesday raised hopes somewhat that an experimental Alzheimer's drug from Eli Lilly & Company might be effective. At the same time, other results were released on Wednesday that could reduce expectations a bit for a similar drug being developed by Biogen.The drugs aim to prevent or remove so-called amyloid plaques in the brain. The buildup of the plaques has become a leading hypothesis about the cause of Alzheimer's disease.But so far in clinical trials, drugs aimed at reducing the plaques have failed to stem the decline in cognition that is a sign of the disease, which afflicts more than five million Americans.

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Citation: http://www.theonion.com/article/fda-report-finds-food-prevents-hunger-98-time-when-50994

FDA Report Finds Food Prevents Hunger 98% of Time When Properly Used

SILVER SPRING, MD—In its largest study of safe dietary practices to date, the U.S. Food and Drug Administration announced Tuesday that when used correctly, food is successful in preventing hunger approximately 98 percent of the time. "After researching the effects of nourishment, we found that if people put food in their mouth and make sure to get it all the way down to the base of their esophagus, hunger can be averted almost every time," said FDA spokesman Ken Simmons, who during a press conference demonstrated the proper usage of food with a banana. "Granted, there's no 100-percent foolproof way to avoid getting hungry, but we can make a huge difference by ensuring people know the facts: that food can't be reused once it's been eaten, that the outer wrapping must be removed first, and that they should always check the expiration date." Simmons went on to commend the many U.S. schools that offer free meals to students, but warned that they would be ineffective without proper instruction on when and how to eat them.

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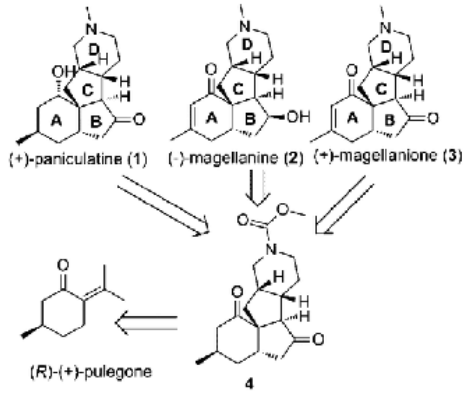
Citation: Lin, K-W., et al. *Org. Lett.* **2015**, 17, 3938-3940

Exceedingly Concise and Elegant Synthesis of (+)-Paniculatine, (-)-Megellanine, and (+)-Megellinone



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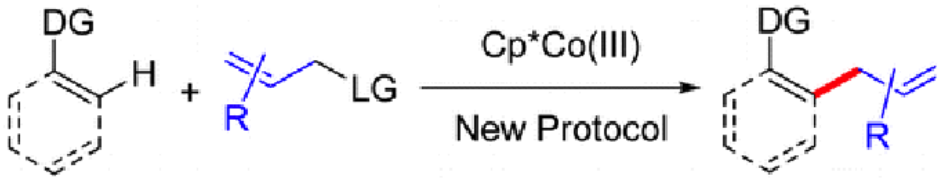
Citation: Gensch, T., et al. *Org. Lett.* **2015**, 17, 3714-3717

Cobalt(III)-Catalyzed Directed C–H Allylation

Co-catalyzed C–H Activation and Allylation



+ Selective + Efficient + Scalable + Versatile

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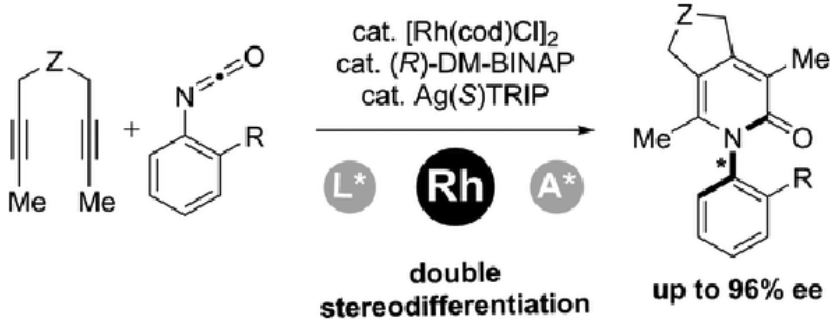
Citation: Augé, M., et al. *Org. Lett.* **2015**, 17, 3754-3757

Double-Stereodifferentiation in Rhodium-Catalyzed [2 + 2 + 2] Cycloaddition: Chiral Ligand/Chiral Counterion Matched Pair



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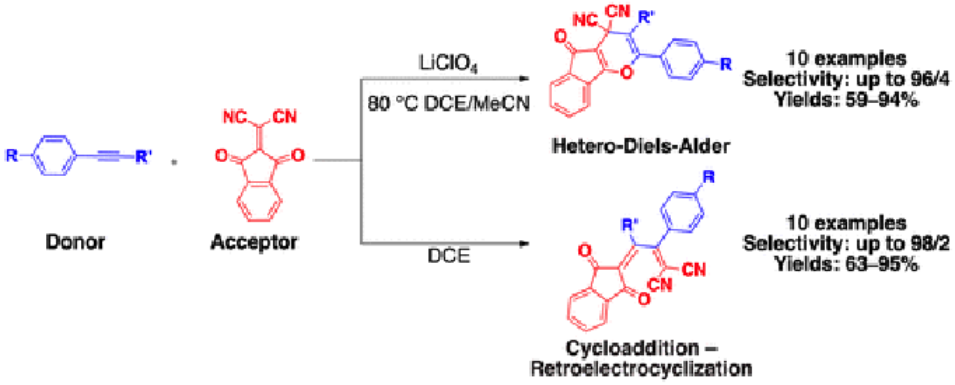
Citation: Donckele, E. J., et al. *Org. Lett.* **2015**, 17, 3506-3509

The [2 + 2] Cycloaddition–Retroelectrocyclization and [4 + 2] Hetero-Diels–Alder Reactions of 2-(Dicyanomethylene)indan-1,3-dione with Electron-Rich Alkynes: Influence of Lewis Acids on Reactivity



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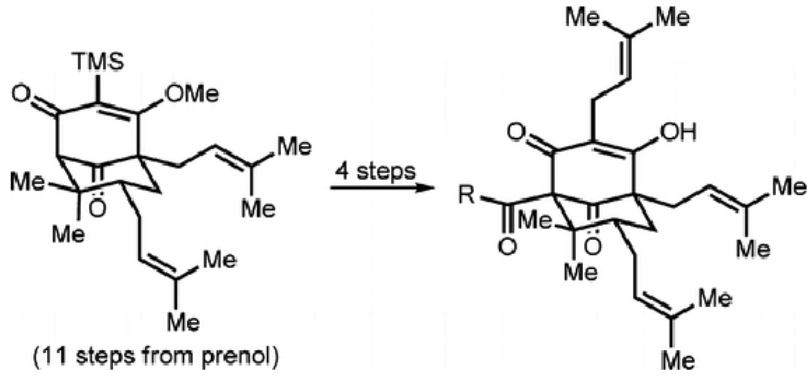
Citation: Sparling, B. A., et al. *Org. Lett.* **2015**, 17, 3398-3401

Total Synthesis of (-)-Nemorosone and (+)-Secohyperforin



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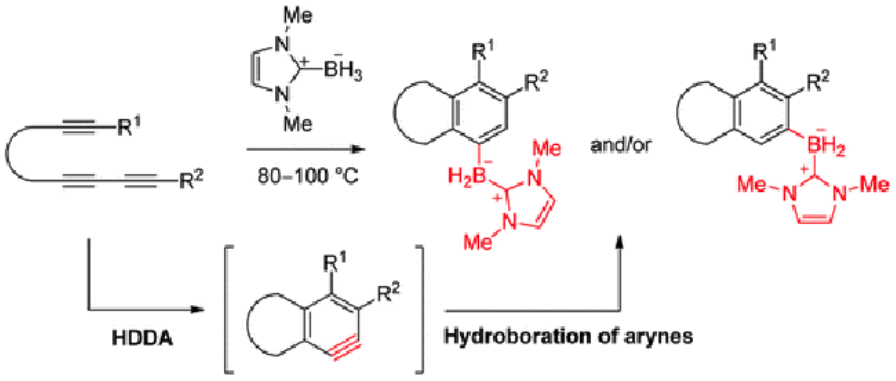
Citation: Watanabe, T., et al. *Org. Lett.* **2015**, 17, 3450-3453

Hydroboration of Arynes Formed by Hexadehydro-Diels–Alder Cyclizations with N-Heterocyclic Carbene Boranes



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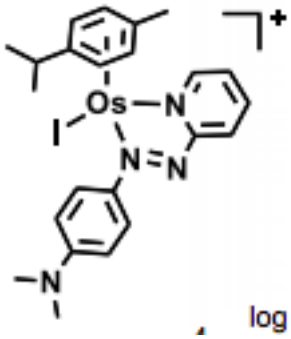
Citation: Hearn, J. M.; *et al. Proc. Natl. Acad. Sci. U.S.A.* **2015,** E3800-3805.

Potent organo-osmium compound shifts metabolism in epithelial ovarian cancer cells



Platinum-based metallodrugs have reduced efficacy after repeat dosing. In this study, a potent organo-osmium compound was found to have improved activity over cisplatin and no-cross-resistance in platinum-resistant cancers. This compound disrupts metabolism in A2780 human ovarian cancer cells, generating reactive oxygen species and damaging DNA, and shows some selectivity toward cancer cells.

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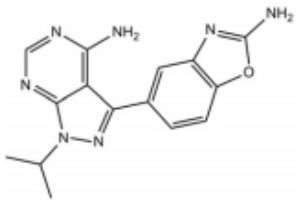
Citation: Heredia, A.; *et al. Proc. Natl. Acad. Sci. U.S.A.* **2015,** *112,* 9412-9417.

Targeting of mTOR catalytic site inhibits multiple steps of the HIV-1 lifecycle and supresses IV-1 viremia in humanized mice



HIV mutates under drug pressure, which can lead to drug resistance. Targeting cellular proteins that HIV necessitates in its lifecycle may help overcome HIV drug resistance because cellular proteins have lower mutation rates than do HIV proteins. Mammalian target of rapamycin (mTOR) is a cellular kinase that forms two complexes, regulating protein translation and transduction signaling. Here the authors demonstrate that dual targeting of mTORC-1/2 with INK128 blocks HIV by interfering with entry and with transcription. INK128 suppressed HIV in a preclinical animal model.

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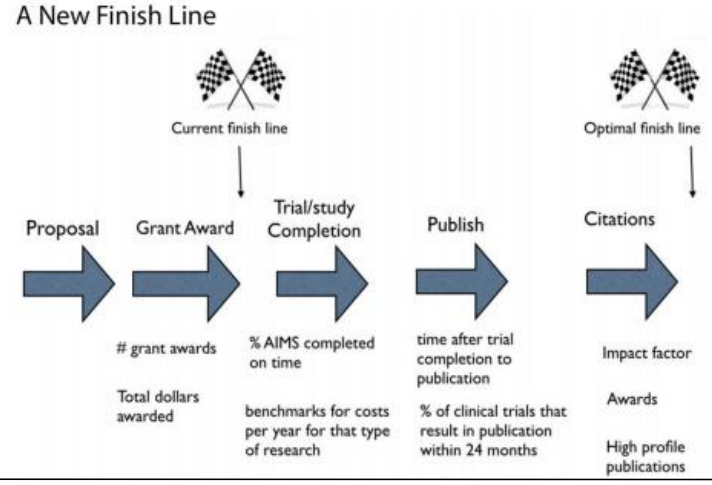
Citation: Shapiro, D.; Vrana, K. *Proc. Natl. Acad. Sci. U.S.A.* **2015,** *112,* 9496-9497.

Celebrating R and D expenditures badly misses the point



A desire to win and spend as much as possible is a guiding principle at too many institutions, where the "finish line" for researchers has become grant dollars won. Spending is not an indication of quality.

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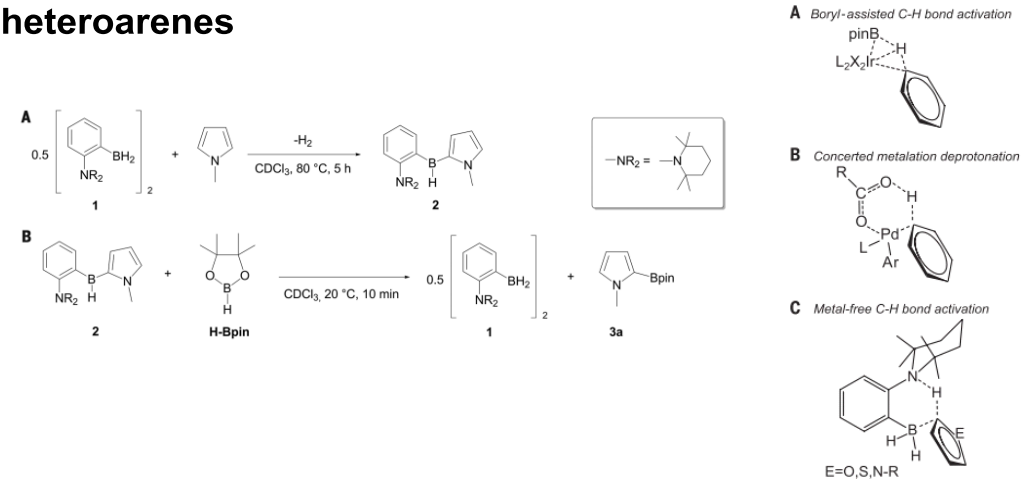
Citation: Legare, M.-A.; Courtemanche, M.-A.; Rochette, E.; Fontaine, F.-G. *Science*, **2015**, *349*, 513-516.

Metal-free catalytic C-H bond activation and borylation of heteroarenes



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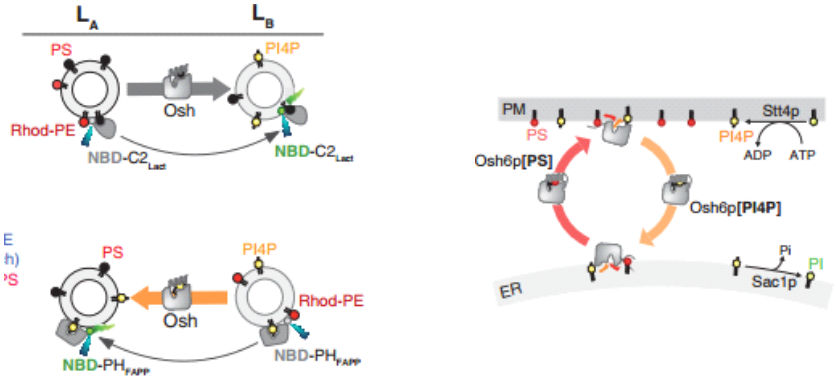
Citation: von Filseck, J.M., et al. *Science*, **2015**, *349* (6246), 432-436.

Phosphatidylserine transport by ORP/Osh proteins is driven by phosphatidylinositol 4-phosphate



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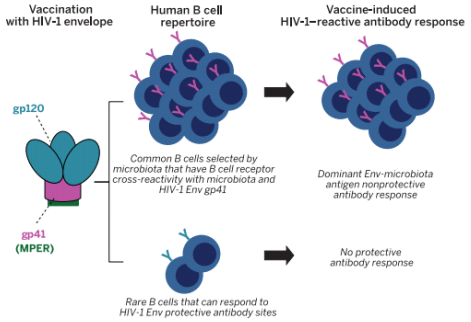
Citation: Williams, W.B., et al. *Science*, **2015**, *349* (6249), 705.

Diversion of HIV-1 Vaccine-induced immunity by gp41-microbiota cross-reactive antibodies



Diversion of HIV-1 vaccine–induced immunity by Env gp41–microbiota cross-reactive antibodies. Immunization of humans with a vaccine containing HIV-1 Env gp120 and gp41 components, including the membrane-proximal external region (MPER) of Env, induced a dominant B cell response primarily from a preexisting pool of gp41-IM cross-reactive B cells. This response diverted the vaccine-stimulated antibody response away from smaller subdominant B cell pools capable of reacting with potentially protective epitopes on HIV-1 Env.

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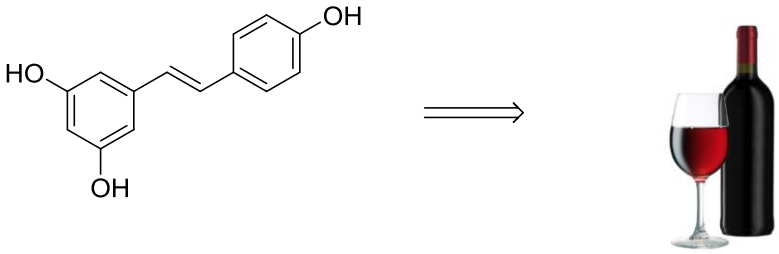
Citation: Science Translational Medicine Vol 7 Issue 298 298ra117

Cancer chemoprevention: Evidence of a nonlinear dose response for the protective effects of resveratrol in humans and mice

"Our results show that low dietary exposures not only elicit biological changes in mouse and human tissues relevant to co- lorectal cancer prevention, but they also have superior efficacy compared to high doses, at least when combined with a high-fat diet, and should therefore be included in future preclinical testing strategies."



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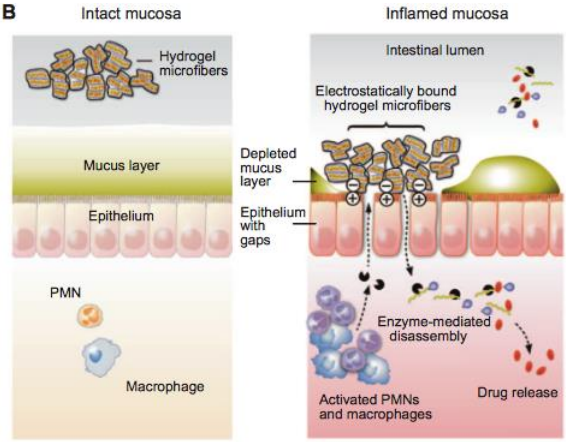
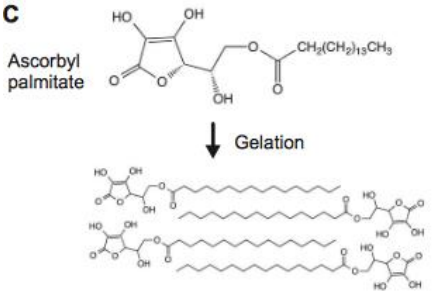
Citation: Science Translational Medicine Vol 7 Issue 300 300ra128

An inflammation-targeting hydrogel for local drug delivery in inflammatory bowel disease





only downside is the hydrogel enema...

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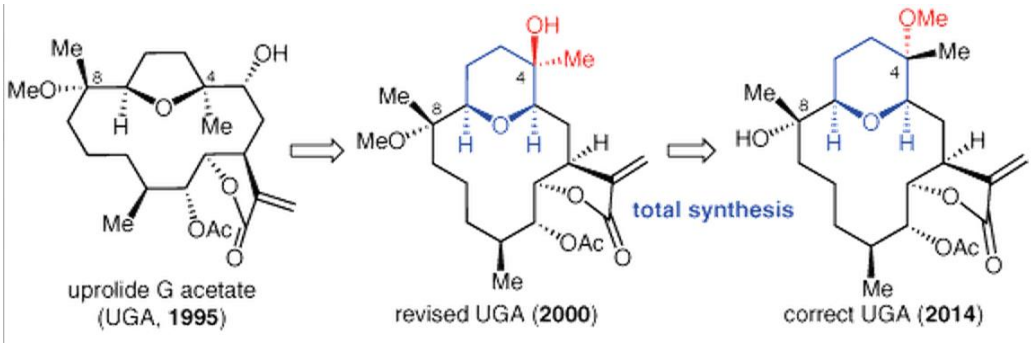
Citation: Zhu, L.; Tong, R. *Synlett* **2015**, *26*(12), 1643.

Structural Revision of Uprolide G Acetate: Effective Interplay between NMR Data Analysis and Chemical Synthesis



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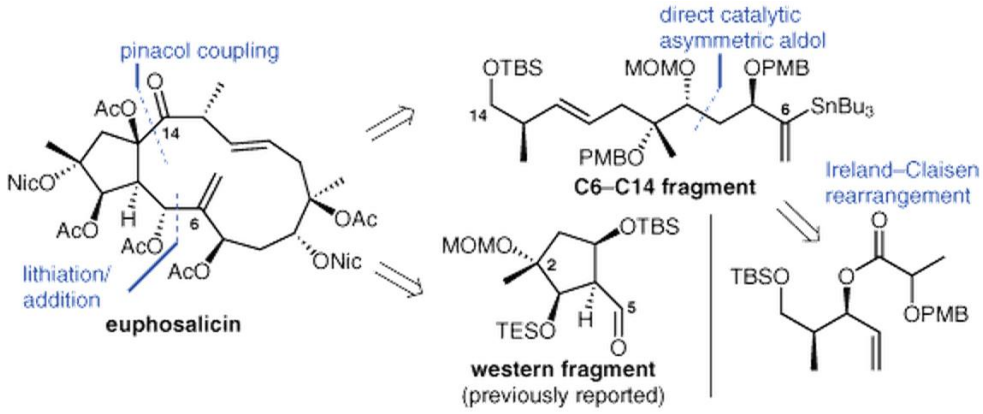
Citation: Aichinger, C.; Mulzer, J.; Rinner, U. *Synlett* **2015**, *26*(13), 1852.

Synthesis of the C6–C14 Fragment of Euphosalicin



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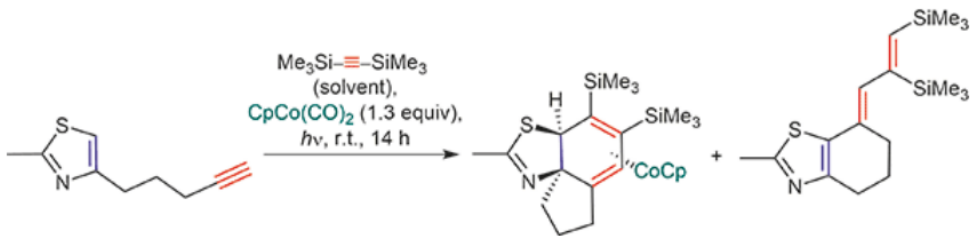
Citation: **Eichberg, M. J., Leca, D., Vollhardt, K. P. C. Synthesis 2015, 47, A–K**

Cobalt-Mediated Reactions of Oxazoles and Thiazoles with Alkynes



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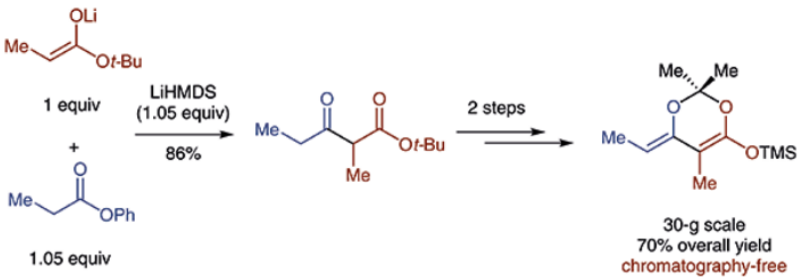
Citation: **Zhang, Ziyang; Kitamura, Yoshiaki; Myers, Andrew G. Synthesis Issue EFirst**

An Efficient Directed Claisen Reaction Allows for Rapid Construction of 5,6-Disubstituted 1,3-Dioxin-4-ones



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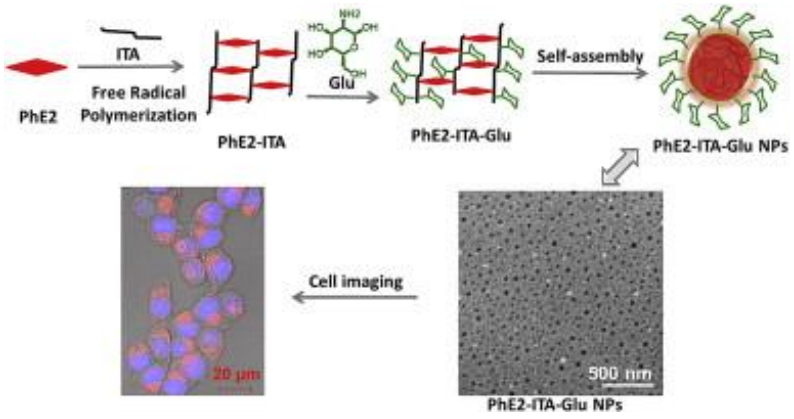
Citation: Liu, M. et al. *Tetrahedron* **2015**, *71*, 5452-5457.

Fabrication of stable and biocompatible red fluorescent glycopolymer nanoparticles for cellular imaging



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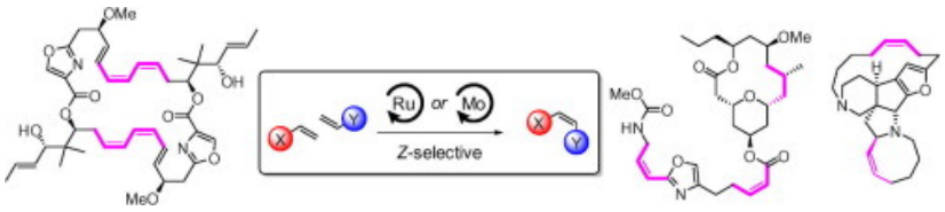
Citation: **Werrel, S., Walker, J. C. L., Donohoe, T. J. Tetrahedron Lett. 2015, 56, 5261**

Application of catalytic Z-selective olefin metathesis in natural product synthesis



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