Accounts of Chemical Research	53	Melanie Huttner
ACS Chemical Biology	N/A	Jessica Vargas
ACS Medicinal Chemistry Letters	53	Filip Hessler
ACS Nano	53	Nancy Benner
Advanced Drug Delivery Reviews	54	Xiaoyu Zang (Janice)
Angewandte Chemie International Edition	54	Daryl Staveness
Bioconjugate Chemistry	57	Colin McKinlay
Biomacromolecules	58	Colin McKinlay
Bioorganic and Medicinal Chemistry	59	Nancy Benner
Bioorganic and Medicinal Chemistry Letters	59	Nancy Benner
Chemical Communications	60	Katie Near
Chemical & Engineering News	61	Steven Ryckbosch
Chemical Reviews	62	Ryan Quiroz
Chemical Science	62	Steffen Gressies
Chemistry, A European Journal	62	Xiaoyu Zang (Janice)
European Journal of Organic Chemistry	65	Filip Hessler
Journal of the American Chemical Society	66	Akira Shimizu (odd)
		Hsiao-Tieh Hsu (even)
Journal of Medicinal Chemistry	71	Matthew Stevens
Journal of Organic Chemistry	72	Matthew Jeffreys
Molecular Pharmaceutics	76	Colin McKinlay
Natural Product Reports	N/A	Jack Sloane
Nature	77	Ryan Quiroz
Nature Chemistry	78	Andrew Raub
Nature Chemical Biology	78	Jessica Vargas
The New York Times	79	Melanie Huttner
The Onion	80	Melanie Huttner
Organic Letters	80	Jack Sloane
Organometallics	82	Matthew Stevens
PNAS	83	Melanie Huttner
Science	84	Steven Ryckbosch
Science Translational Medicine	85	Jessica Vargas
Synlett	86	Andreas Steib
Synthesis	86	Andreas Steib
Tetrahedron	87	Steffen Gressies
Tetrahedron Letters	87	Andrew Raub

Next Due Date: Monday, March 16, 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.

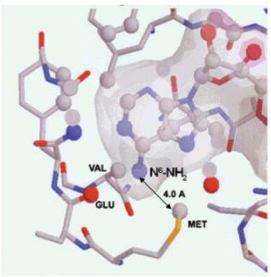
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

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.**

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 (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.



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

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

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

methods
synthesis

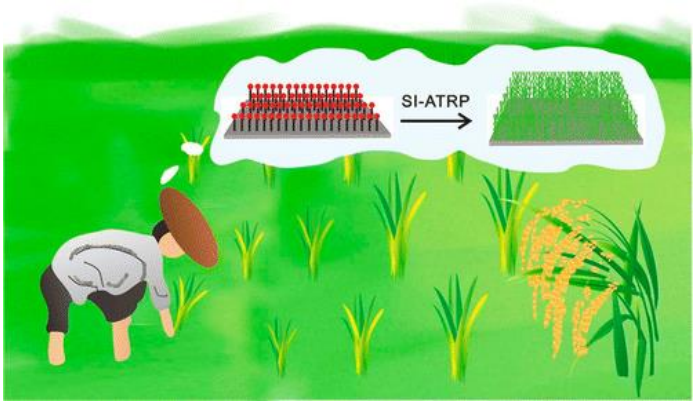
DON'T BE A MOOK!

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

Penalties for being a Lit Review MOOK:
- You will get last choice when it's time to pick new journals.

Citation: Li, B.; Yu B.; Ye, Q.; Zhou, F. *Accounts of Chem. Res.* **2015,** *48,* 229-237.

Tapping the Potential of Polymer Brushes through Synthesis



A polymer brush is made up of a layer of polymers attached to a substrate surface at one end with the other end dangling into a solvent. In a suitable solvent, the polymer chains stretch away from the surface due to both steric and osmotic repulsion between the chain segments. In an inadequate solvent, however, the polymer chains collapse due to enough interior free space after desolvation.

bioorganic
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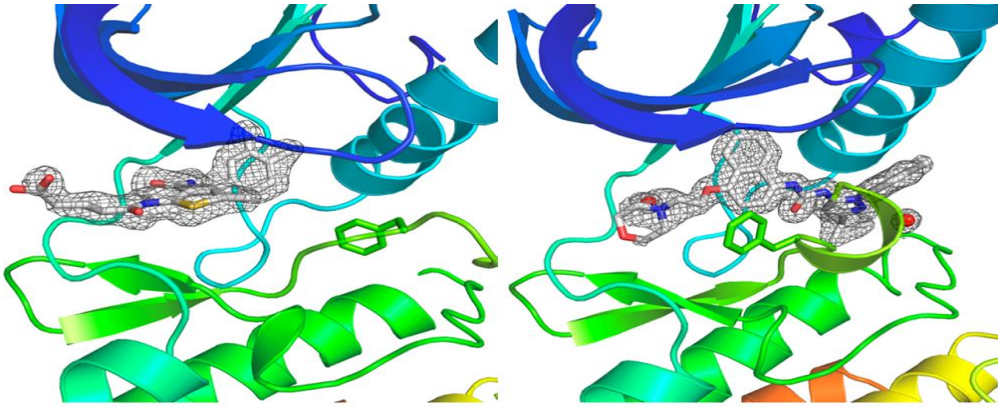
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Caflisch, A. et. al. *ACS Med. Chem. Lett.* **2015**, *6*, 79-83.

Structural Analysis of the Binding of Type I, I1/2, and II Inhibitors to Eph Tyrosine Kinases



Type I, compound 7 (PDB 4TWO) Type II, Birb796 (PDB 4TWN)

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Hybrid
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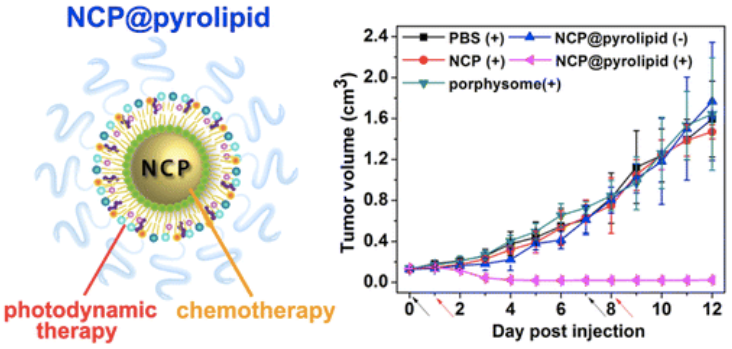
Prostratin

Citation: He, C. et. al, *ACS Nano,* **2015**, 9 (1) 991-1003

Self-Assembled Core–Shell Nanoparticles for Combined Chemotherapy and Photodynamic Therapy of Resistant Head and Neck Cancers



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Hybrid
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Citation: Chitkara, *et al. Adv Drug Deliv Rev.* **2015,** *81,* 34-52.

miRNAs in pancreatic cancer: Therapeutic potential, delivery challenges and strategies

miRNAs have emerged as a promising prognostic, diagnostic and therapeutic tool to fight against pancreatic cancer. miRNAs could modulate gene expression by imperfect base-pairing with target mRNA and hence provide means to fine-tune multiple genes simultaneously and alter various signaling pathways associated with the disease. This exceptional miRNA feature has provided a paradigm shift from the conventional one drug one target concept to one drug multiple target theory. However, in vivo miRNA delivery is not fully realized due to challenges posed by this special class of therapeutic molecules, which involves thorough understanding of the biogenesis and physicochemical properties of miRNA and delivery carriers along with the pathophysiology of the PDAC. This review highlights the delivery strategies of miRNA modulators (mimic/inhibitor) in cancer with special emphasis on PDAC since successful delivery of miRNA in vivo constitutes the major challenge in clinical translation of this promising class of therapeutics.

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Citation: Banwait, *et al. Adv Drug Deliv Rev.* **2015,** *81,* 94-103.

Contribution of bioinformatics prediction in microRNA-based cancer therapeutics

Despite enormous efforts, cancer remains one of the most lethal diseases in the world. With the advancement of high throughput technologies massive amounts of cancer data can be accessed and analyzed. Bioinformatics provides a platform to assist biologists in developing minimally invasive biomarkers to detect cancer, and in designing effective personalized therapies to treat cancer patients. Still, the early diagnosis, prognosis, and treatment of cancer are an open challenge for the research community. MicroRNAs (miRNAs) are small non-coding RNAs that serve to regulate gene expression. The discovery of deregulated miRNAs in cancer cells and tissues has led many to investigate the use of miRNAs as potential biomarkers for early detection, and as a therapeutic agent to treat cancer. Here we describe advancements in computational approaches to predict miRNAs and their targets, and discuss the role of bioinformatics in studying miRNAs in the context of human cancer.

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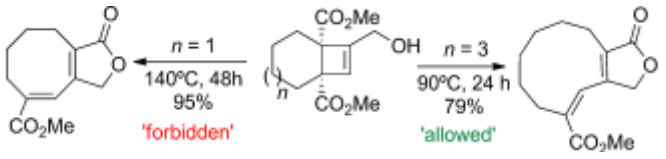
Citation: Booker-Milburn, K.; et al.; et al. *Angew. Chem. Int. Ed*. **2015**, *54 (5)*, 1527-1531.

The Profound Effect of the Ring Size in the Electrocyclic Opening of Cyclobutene-Fused Bicyclic Systems

The thermal electrocyclic ring opening of a homologous series of [n.2.0]-fused bicyclic systems proceeds via cyclic cis,trans-dienes, in accordance with the Woodward–Hoffmann rules. Highly strained smaller cyclic dienes (=9 members) undergo isomerization to the stable cis,cis system, while larger cyclic cis,trans dienes (=10 members) are isolable



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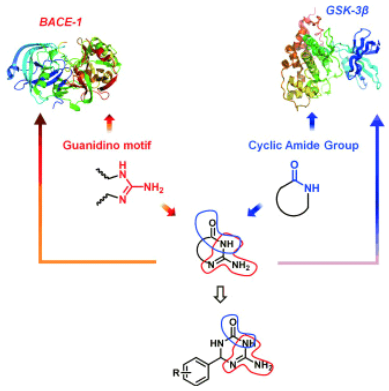
Prostratin

Citation: Cavali, A.; et al.; et al. *Angew. Chem. Int. Ed.* **2015**, *54 (5)*, 1578-1582.

Multitarget Drug Discovery for Alzheimer's Disease: Triazinones as BACE-1 and GSK-3ß Inhibitors

Alzheimer's disease is a complex multifactorial syndrome which calls for the development of multitarget drugs. Accordingly, triazinones are reported here as the first molecule class which is able to simultaneously modulate BACE-1 and GSK-3ß activity. Such dual-target inhibitors, by acting against two crucial enzymes in the neurotoxic pathways, might represent a breakthrough in the quest for disease-modifying drugs.



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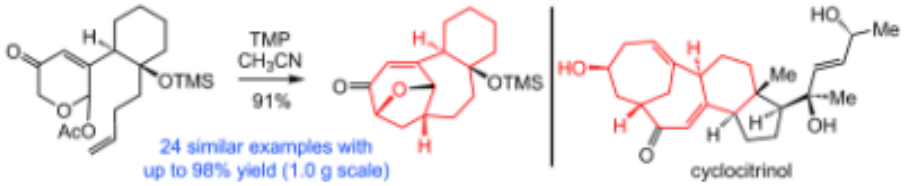
Citation: Li, C.; et al.; et al. *Angew. Chem. Int. Ed.* **2015**, *54 (6)*, 1754-1758.

Type II Intramolecular [5+2] Cycloaddition: Facile Synthesis of Highly Functionalized Bridged Ring Systems

The title reaction proceeds via an oxidopyrylium ylide and allows the efficient diastereoselective formation of various synthetically challenging bridged 7-membered ring systems. This direct transformation has a broad substrate scope with high functional-group tolerance and unique endo selectivity and gives the bridged ring systems, including the highly strained tricyclic cores of ingenol and cyclocitrinol, in high yields. TMP=2,2,6,6-tetramethylpiperidine



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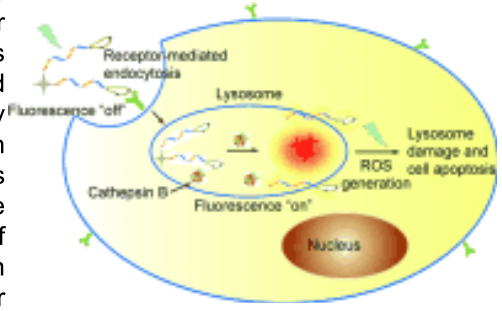
Citation: Liu, B.; et al.; et al. *Angew. Chem. Int. Ed.* **2015**, *54 (6)*, 1780-1786.

Specific Light-Up Bioprobe with Aggregation-Induced Emission and Activatable Photoactivity for the Targeted and Image-Guided Photodynamic Ablation of Cancer Cells

A dual-targeted enzyme-activatable bioprobe based on a photosensitizer was developed with the characteristics of aggregation-induced emission and aggregation-enhanced phototoxicity (see picture; ROS=reactive oxygen species). The probe enables simultaneous light-up fluorescence imaging and photodynamic ablation of specific cancer cells and shows a high signal-to-noise ratio without the need for a quencher or energy acceptor.



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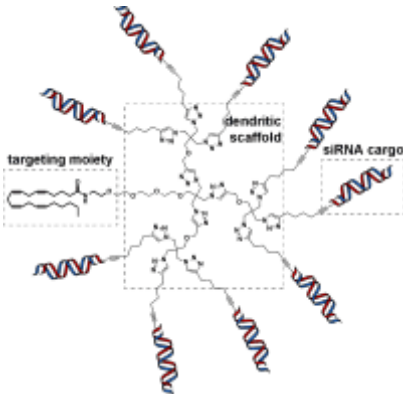
Pharma

Citation: Carell, T.; et al.; et al. *Angew. Chem. Int. Ed.* **2015**, *54 (6)*, 1946-1949.

Cell-Penetrating and Neurotargeting Dendritic siRNA Nanostructures

siRNA dendrimers with an anandamide receptor ligand are accessible through a click-chemistry approach, and are taken up even by sensitive neural cells. Silencing of two key proteins of the rabies virus was achieved, allowing the suppression of the viral titer in infected neurons below the detection limit.



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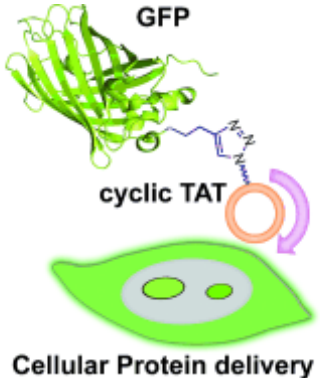
Citation: Hackenberger, C.; et al.; et al. *Angew. Chem. Int. Ed.* **2015**, *54 (6)*, 1950-1953.

Covalent Attachment of Cyclic TAT Peptides to GFP Results in Protein Delivery into Live Cells with Immediate Bioavailability

The conjugation of cyclic cell penetrating peptides (CPPs) to full-length GFP enables direct protein transport into the cell. The cyclic-CPP–GFP conjugates are internalized into live cells with immediate bioavailability, whereas linear CPP analogues are not efficient for GFP transduction. This technology expands the application of cyclic CPPs to the efficient transport of functional full-length proteins into live cells.



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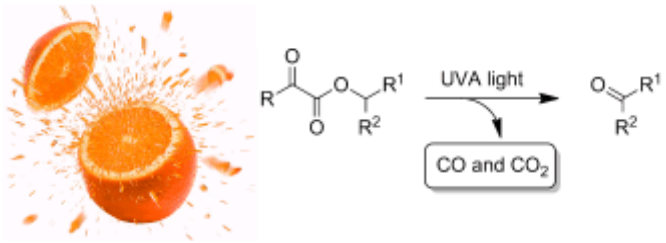
Drug Deliv.

Citation: Herrmann, A.; et al.; et al. *Angew. Chem. Int. Ed.* **2015**, *54 (7)*, 2275-2279 .

Controlled Release of Encapsulated Bioactive Volatiles by Rupture of the Capsule Wall through the Light-Induced Generation of a Gas

Bioactive compounds, such as fragrances, can be efficiently released from core–shell microcapsules by the light-induced decomposition of encapsulated 2-oxoacetates, generating an overpressure of gas that expands or even breaks the capsule wall



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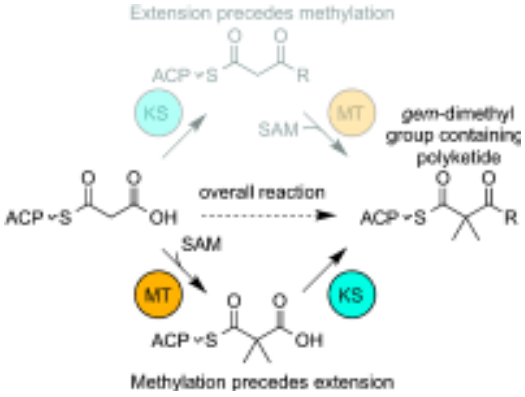
Citation: Keasling, J.; et al.; et al. *Angew. Chem. Int. Ed*. **2015**, *54 (8)*, 2370-2373.

Divergent Mechanistic Routes for the Formation of gem-Dimethyl Groups in the Biosynthesis of Complex Polyketides

In order to elucidate the mechanism of gem-dimethyl group formation in polyketides, the gem-dimethyl group producing polyketide synthase (PKS) modules of yersiniabactin and epothilone were characterized using mass spectrometry. The study demonstrated, contrary to the canonical understanding of reaction order in PKSs, that methylation can precede condensation in PKS modules that produce gem-dimethyl groups.



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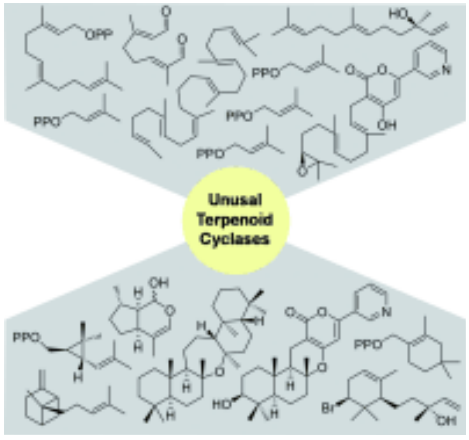
Prostratin

Citation: Hertweck, C.; et al.; et al. *Angew. Chem. Int. Ed*. **2015**, *54 (9)*, 2604-2626.

Terpenoid Biosynthesis Off the Beaten Track: Unconventional Cyclases and Their Impact on Biomimetic Synthesis

Terpene and terpenoid cyclizations are counted among the most complex chemical reactions occurring in nature and contribute crucially to the tremendous structural diversity of this largest family of natural products. This Review outlines novel terpenoid cyclases (TCs) beyond typical class I and II TCs, and showcases how their intriguing reaction mechanisms can inspire synthetic chemistry



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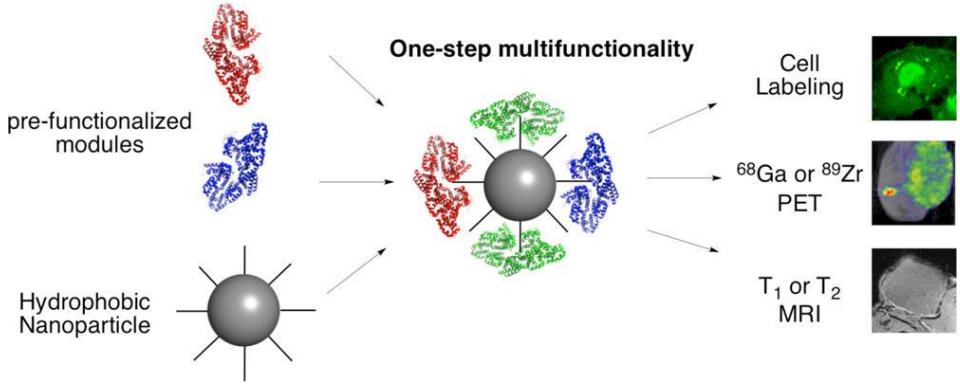
Citation: Bioconjugate Chem. 2015, 26, 153–160.

Parallel Multifunctionalization of Nanoparticles: A One-Step Modular Approach for in Vivo Imaging.



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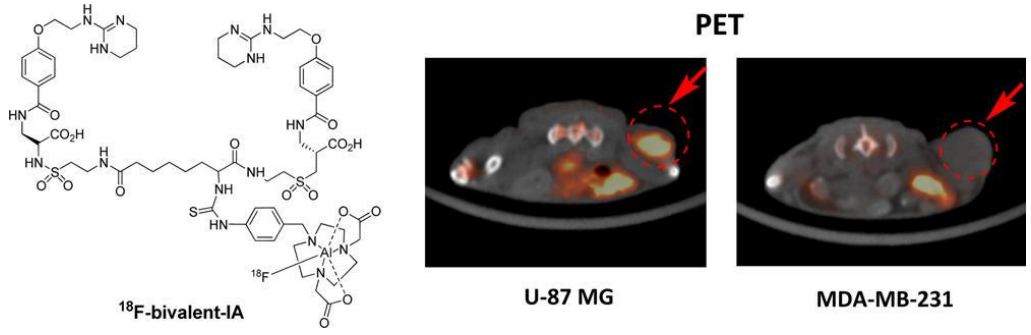
Citation: Bioconjugate Chem. 2015, 26, 24,àí28

One-Step 18F Labeling of Non-Peptidic Bivalent Integrin Antagonist for Cancer Imaging



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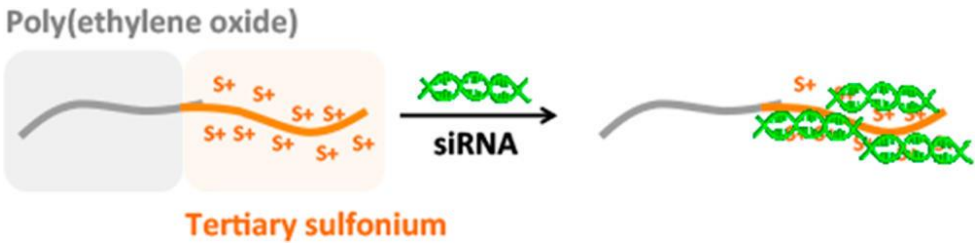
Citation: Biomacromolecules 2015, 16, 236–245.

Synthesis of Poly(meth)acrylates with Thioether and Tertiary Sulfonium Groups by ARGET ATRP and Their Use as siRNA Delivery Agents.



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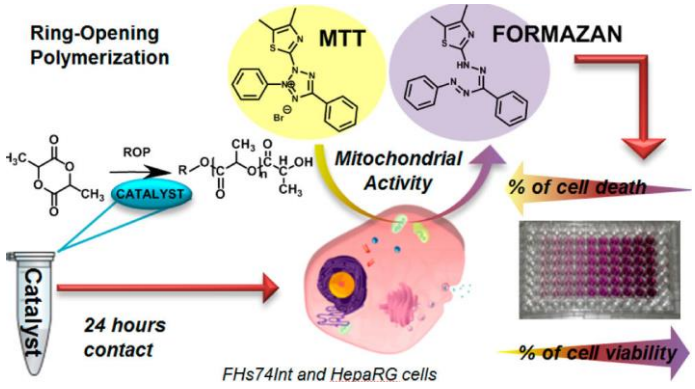
Citation: Biomacromolecules 2015, 16, 507–514.

Organocatalysis Paradigm Revisited: Are Metal-Free Catalysts Really Harmless?



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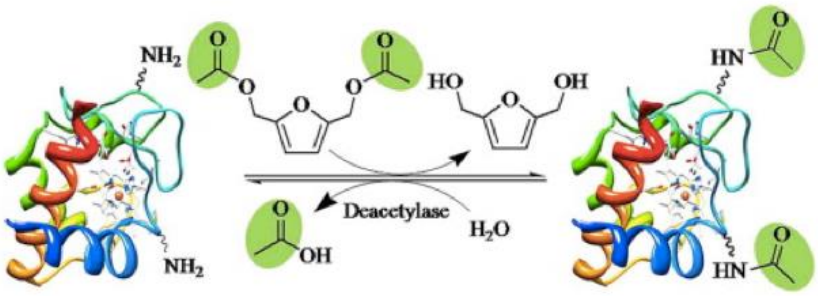
Citation: De, S. et al. *Bioorg. Med. Chem*., 23, (2015) 791-796

Furan-based acetylating agent for the chemical modification of proteins



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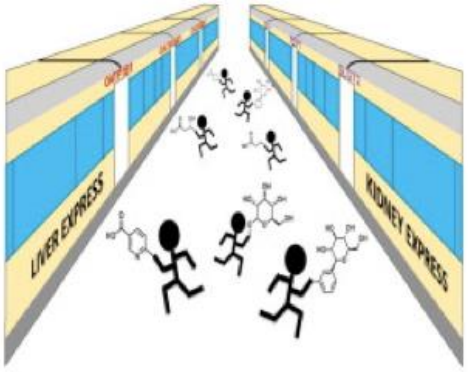
Citation: Zhou, J. et al. *Bioorg. Med. Chem. Lett*., 25, (2015) 993-997

Transporter-mediated tissue targeting of therapeutic molecules in drug discovery



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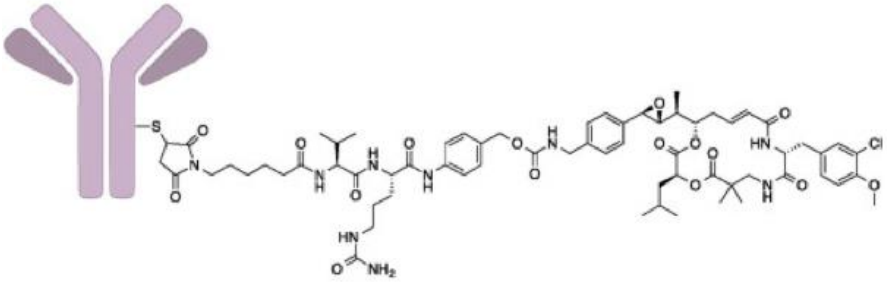
Citation: Verma, V. A. et al. *Bioorg. Med. Chem. Lett*., 25, (2015) 864-868

The cryptophycins as potent payloads for antibody drug conjugates



cryptophycin antibody drug conjugate

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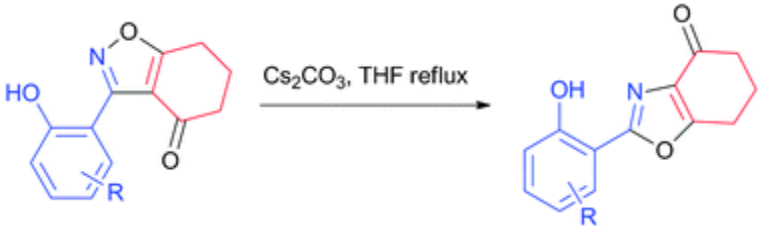
Citation: Jones, R. C. F.; *et al. Chem. Commun.* **2015**, *51,* 1112.

Isoxazole to oxazole: a mild and unexpected transformation



3-Aryltetrahydrobenzisoxazoles prepared en route to the coleophomone natural products and analogues, were found to undergo a remarkable base-mediated rearrangement to 2-aryltetrahydrobenzoxazoles. The scope of this unprecedented, facile transformation was probed: a range of analogues was produced, a mechanism proposed, and an application demonstrated by synthesis of a known herbicidal compound.

bioorganic
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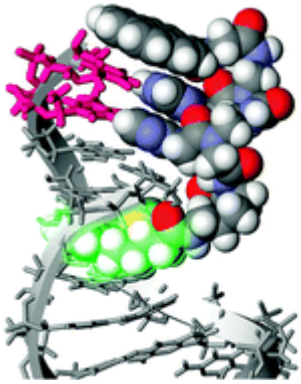
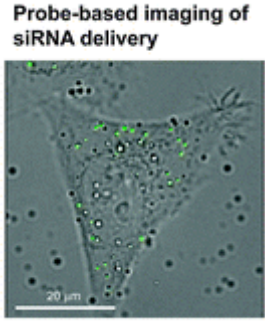
Citation: Sato, T.; *Chem. Commun.* **2015**, *51,* 1421.

Synthetic fluorescent probes capable of selective recognition of 3'-overhanging nucleotides for siRNA delivery imaging





Peptide nucleic acid (PNA)–thiazole orange (TO) conjugates are developed as fluorescent probes capable of selective recognition of 3'-overhanging nucleotides of siRNAs for an accurate analysis of the siRNA delivery process.

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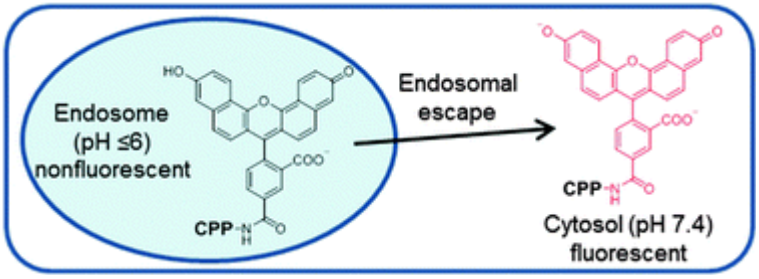
Citation: Qian, Z.; *et al. Chem. Commun.* **2015**, *51,* 2162.

Monitoring the cytosolic entry of cell-penetrating peptides using a pH-sensitive fluorophore



The authors report a simple, effective method to assess the cytosolic delivery efficiency and kinetics of cell-penetrating peptides using a pH-sensitive fluorescent probe, naphthofluorescein

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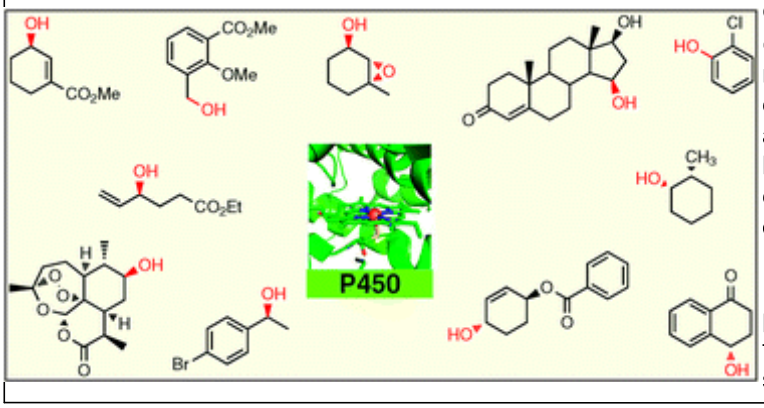
Citation: Roiban, G.-D.; Reetz, M. T. *Chem. Commun.* **2015**, *51,* 2208.

Expanding the toolbox of organic chemists: directed evolution of P450 monooxygenases as catalysts in regio- and stereoselective oxidative hydroxylation



Cytochrome P450 enzymes (CYPs) have been used for more than six decades as catalysts for the CH-activating oxidative hydroxylation of organic compounds with formation of added-value products. Directed evolution as a Darwinian approach to protein engineering has led to progress in regio- and stereo-control.

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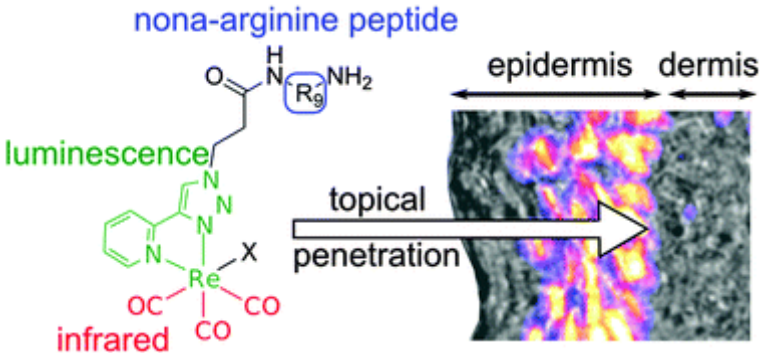
Citation: Ciede, S.; et al. *Chem. Commun.* **2015**, *51,* 2687.

An easy-to-detect nona-arginine peptide for epidermal targeting



A correlative approach combining synchrotron radiation based IR microscopy and fluorescence microscopy enabled the successful detection and quantification of a nona-arginine peptide labelled with a Single Core Multimodal Probe for Imaging (SCoMPI) in skin biopsies. The topical penetration of the conjugate appeared to be time dependent.

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Citation: *C&E News*, **2015**, http://goo.gl/25W0UC

Engineered Yeast Turn Three Carbon Compounds Into Ethanol

When biofuel producers turn agricultural waste into ethanol, they start by treating the biomass with acid to hydrolyze complex carbohydrates into simpler sugars that microbes can munch on to make the alcohol. But that hydrolysis also produces compounds, such as acetate, that can inhibit microbial growth. Now, researchers have engineered yeast that aren't fazed by acetate. In fact, they use it, and two other sugars from the hydrolyzed carbohydrates, to make ethanol (ACS Synth. Biol. 2015, DOI: 10.1021/sb500364q).

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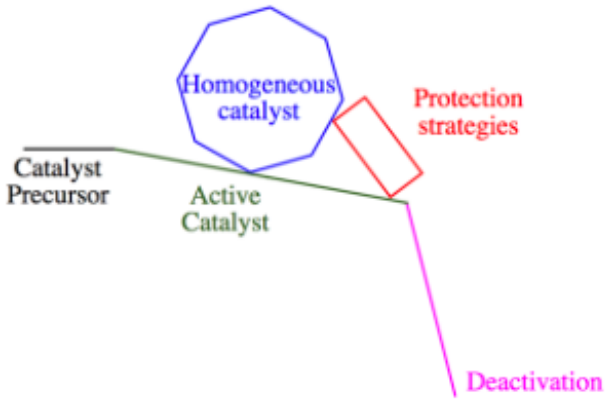
Citation: Crabtree, R. H. *Chem. Rev*. **2015**, *115*, 127.

Deactivation in Homogeneous Transition Metal Catalysis: Causes, Avoidance, and Cure



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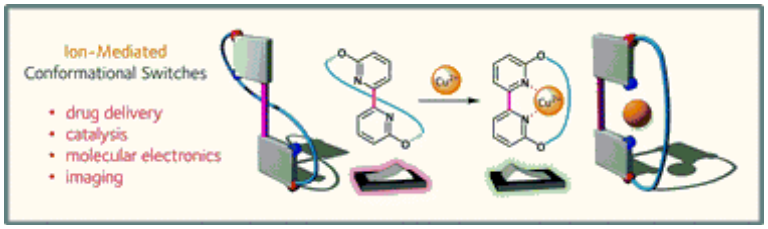
Citation: *Chemical Science,* **2015,** *6,* 1630 - 1639.

Ion-mediated conformational switches



Molecular switches are ubiquitous in Nature and provide the basis of many forms of transport and signalling. Single synthetic molecules that change conformation, and thus function, reversibly in a stimulus-dependent manner are of great interest not only to chemists but society in general; myriad applications exist in storage, display, sensing and medicine. Here we describe recent developments in the area of ion-mediated switching.

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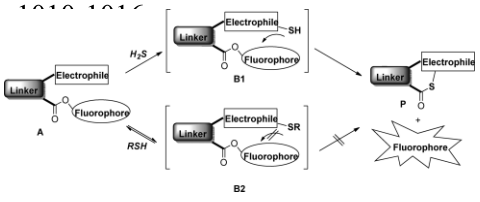
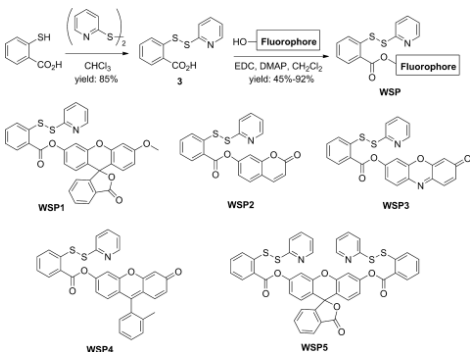
Citation: Peng, *et al.*
Chem. Eur. J. **2014,** *20*,

Fluorescent Probes Based on Nucleophilic Substitution¨CCyclization for Hydrogen Sulfide Detection and Bioimaging





The design, synthesis, properties, and cell imaging applications of a series of 2-pyridyl disulfide based fluorescent probes (WSP1, WSP2, WSP3, WSP4 and WSP5) for hydrogen sulfide detection are reported. The strategy is based on the dual-nucleophilicity of hydrogen sulfide. A hydrogen sulfide mediated tandem nucleophilic substitution-cyclization reaction is used to release the fluorophores and turn on the fluorescence. The probes showed high sensitivity and selectivity for hydrogen sulfide over other reactive sulfur species, including cysteine and glutathione.

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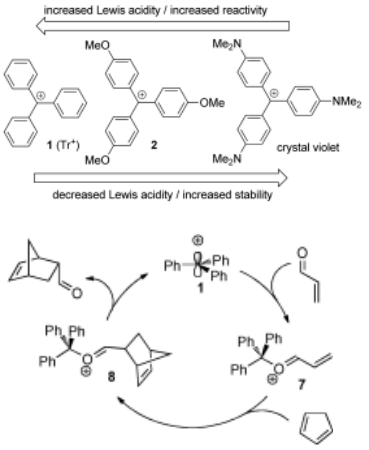
Citation: Bah, *et al.* *Chem. Eur. J.* **2014,** 20, 1966-1972.

Carbocations as Lewis Acid Catalysts in Diels-Alder and Michael Addition Reactions

Lewis acid catalysts are generally metal-based compounds that owe their reactivity to a low-lying empty orbital. This group have demonstrated the potential of the carbocation as a highly powerful Lewis acid catalyst for organic reactions. The stable and easily available triphenylmethyl (trityl) cation was found to be a highly efficient catalyst for the Diels-Alder reaction for a range of substrates. Catalyst loadings as low as 500ppm, excellent yields, and good endo/exo selectivities were achieved. Furthermore, by changing the electronic properties of the substituents on the tritylium ion, the Lewis acidity of the catalyst could be tuned to control the outcome of the reaction. The ability of this carbocation as a Lewis acid catalyst was also further extended to the Michael reaction.



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Citation: Rahanyan-Kagi, *et al. Chem. Eur. J.* **2015,** 20, 1873-1877.

Stimuli-Responsive Lipidic Cubic Phase: Triggered Release and Sequestration of Guest Molecules

New stimuli-responsive nanomaterials, made up of host¨Cguest lipidic cubic phases (LCPs) are presented. These biocompatible, stable, transparent and water-insoluble LCPs are composed of monoolein (MO) as a neutral host, and small amounts of one of three judiciously designed and synthesized designer lipids as guest that preserve the structure and stability of LCPs, but render them specific functionalities. Efficient pH- and light-induced binding, release and sequestration of hydrophilic dyes are demonstrated. Significantly, these processes can be performed sequentially, thereby achieving both temporal and dosage control, opening up the possibility of using such LCPs as effective carriers to be used in drug delivery applications. Specifically, because of the inherent optical transparency and molecular isotropy of LCPs they can be envisaged as light-induced drug carriers in ophthalmology. The results presented here demonstrate the potential of molecular design in creating new functional materials with predicted operating mode.

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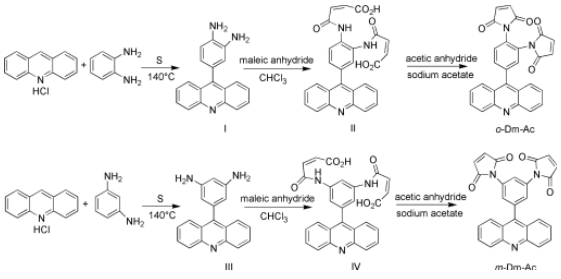
Citation: Pan, *et al. Chem. Eur. J.* **2015,** 21, 2117-2122.

Active-Site-Matched Fluorescent Probes for Rapid and Direct Detection of Vicinal-Sulfydryl-Containing Peptides/Proteins in Living Cells



Vicinal-sulfydryl-containing peptides/proteins (VSPPs) play a crucial role in human pathologies. Fluorescent probes that are capable of detecting intracellular VSPPs in vivo would be useful tools to explore the mechanisms of some diseases. In this study, by regulating the spatial separation of two maleimide groups in a fluorescent dye to match that of two active cysteine residues contained in the conserved amino acid sequence (¨C CGPC¨C) of human thioredoxin, two active-site-matched fluorescent probes, o-Dm-Ac and m-Dm-Ac, were developed for real-time imaging of VSPPs in living cells.

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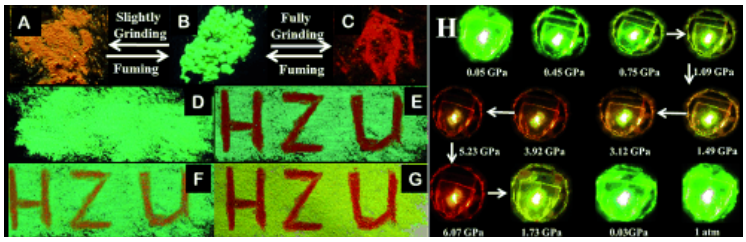
Citation: Zhang, *et al. Chem. Eur. J.* **2015,** 21, 2474-2479.

Multicolored-Fluorescence Switching of ICT-Type Organic Solids with Clear Color Difference: Mechanically Controlled Excited State



A donor-acceptor-type fluorophore containing a twisted diphenylacrylonitrile and triphenylamine has been developed by using the Suzuki reaction. The system indicates typical intramolecular charge-transfer properties. Upon mechanical grinding or hydrostatic pressure, the fluorophore reveals a multicolored fluorescence switching. Interestingly, a fluorescence color transition from green to red was clearly observed, and the change of photoluminescent (PL) wavelength gets close to 111?nm. The mechanisms of high-contrast mechanochromic behavior are fully investigated by techniques including powder XRD, PL lifetime, high-pressure PL lifetime, and Raman spectra analysis. The tremendous PL wavelength shift is attributed to gradual transition of excited states from the local excited state to the charge-transfer state.

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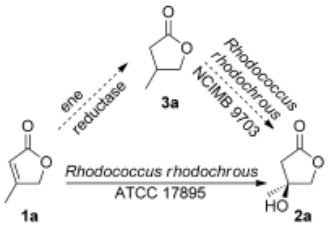
Citation: Chen, *et al. Chem. Eur. J.* **2015,** 21, 3020-3030.

Enantioselective Michael Addition of Water

The direct, enantioselective Michael addition of water in water to prepare important hydroxy carbonyl compounds using whole cells of Rhodococcus strains is described. Good yields and excellent enantioselectivities were achieved with this method. Deuterium labeling studies demonstrate that a Michael hydratase catalyzes the water addition exclusively with anti-stereochemistry.



Biotransformation of 1a to 2a by R. rhodochrous by Michael addition of water or alternatively by a reduction-oxidation stepwise approach

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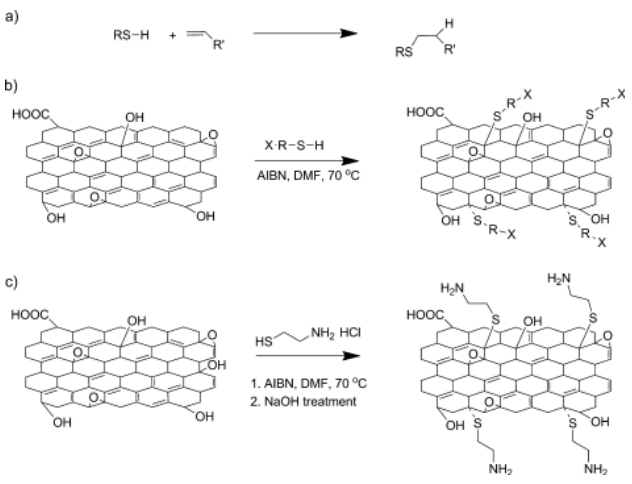
Citation: Luong, *et al. Chem. Eur. J.* **2015,** 21, 3183-3186.

Functional Graphene by Thiol-ene Click Chemistry

Preparation of functional graphene oxide by thiol-ene click chemistry: Thiol-ene reaction, which is hydrothiolation of a C=C bond with anti-Markovnikov regioselectivity orientation (a); synthetic route for graphene oxide modification via thiol-ene click reaction (b); and an example of the thiol-ene approach by using GO and cysteamine hydrochloride (c).



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Citation: Nikolaou, *et al. Chem. Eur. J.* **2015,** 21, 3156-3166.

NMR Hyperpolarization Techniques for Biomedicine

Recent developments in NMR hyperpolarization have enabled a wide array of new in vivo molecular imaging modalities, ranging from functional imaging of the lungs to metabolic imaging of cancer. This Concept article explores selected advances in methods for the preparation and use of hyperpolarized contrast agents, many of which are already at or near the phase of their clinical validation in patients.

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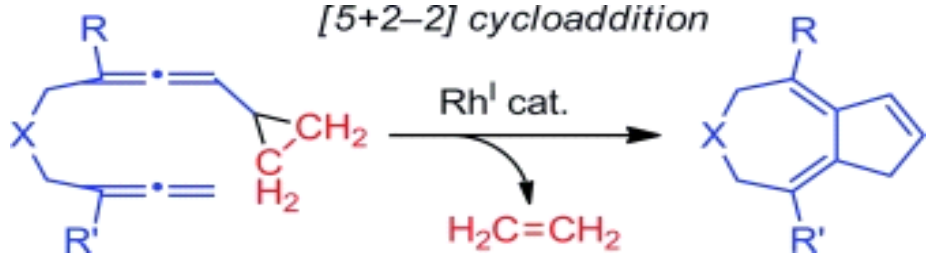
Citation: Mukai, C. et. al. *Eur. J. Org. Chem.* **2015**, 719-722.

Rhodium(I)-Catalyzed Cycloisomerization of Allene–Allenylcyclopropanes

The RhI-catalyzed intramolecular [5+2–2]-type cycloisomerization of allene–allenylcyclopropanes was developed. In this reaction, ethylene was liberated from the cyclopropane ring to afford the 1,5,6,7-tetrahydroazulene skeletons.



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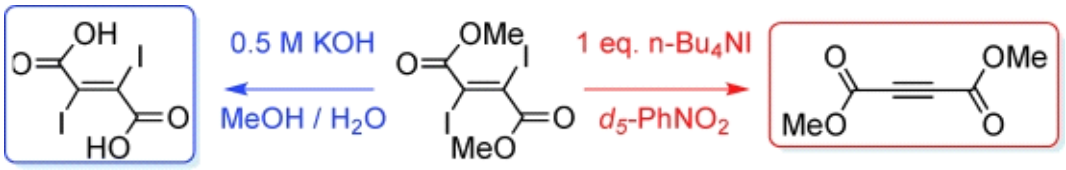
Citation: Goroff, N. S. et. al. *Eur. J. Org. Chem.* **2015**, 730–737.

Mechanism and Scope of the Base-Induced Dehalogenation of (E)-Diiodoalkenes

A diiodoalkene diester undergoes hydrolysis on treatment with KOH, but eliminates iodine on reaction with iodide salts. This orthogonal reactivity is just one example of the interesting chemistry that arises from the reactions of diiodoalkenes with Lewis bases. The mechanism for this mild reaction has been studied experimentally.



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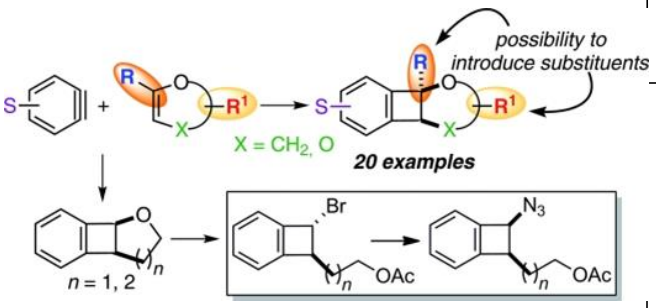
Citation: Lakshman, M. K., et. al. *Eur. J. Org. Chem.* **2015**, 750-764.

Cycloaddition of Arynes and Cyclic Enol Ethers as a Platform for Access to Stereochemically Defined 1,2-Disubstituted Benzocyclobutenes

Arynes undergo facile cycloaddition with cyclic enol ethers, through which [2+2] cycloaddition products were obtained from 2,3-dihydrofuran, 2,3-dihydro-3H-pyran, and 1,4-dioxene derivatives. Each product contains a cis ring fusion, utilizable for the synthesis of advanced benzocyclobutenes. The synthetic methodology is complemented by molecular modeling and detailed structural evaluations.



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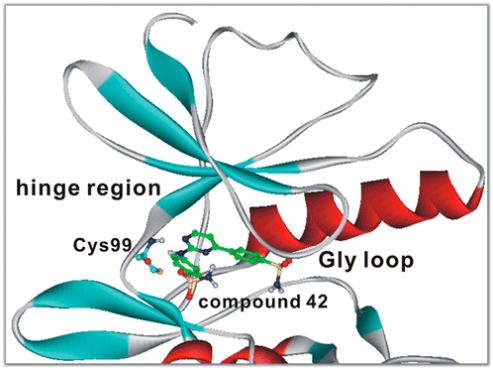
Citation: Hwangseo Park, Yongje Shin, Hyeonjeong Choe, and Sungwoo Hong *Journal of the American Chemical Society* **2015** 137 (1), 337-348

Computational Design and Discovery of Nanomolar Inhibitors of IkB Kinase ß



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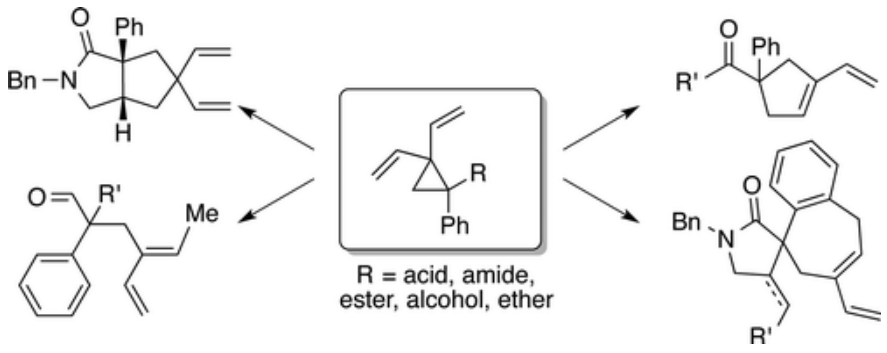
Citation: E. Ben Hay, Hanmo Zhang, and Dennis P. Curran, *Journal of the American Chemical Society* **2015** 137 (1), 322-327

Rearrangement Reactions of 1,1-Divinyl-2-phenylcyclopropanes



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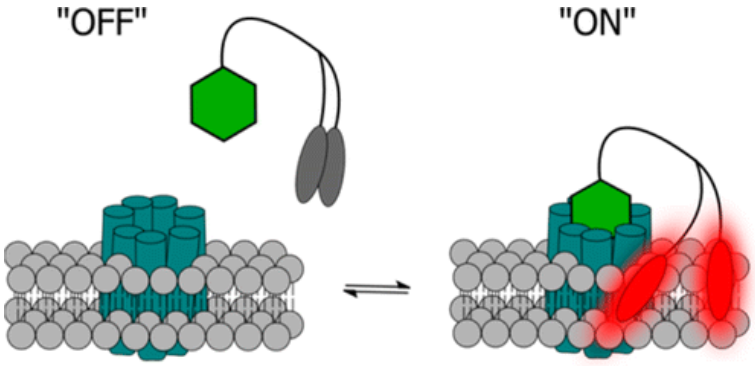
Citation: Iuliia A. Karpenko, Mayeul Collot, Ludovic Richert, Andrey S. Klymchenko et al. *Journal of the American Chemical Society* **2015** 137 (1), 405-412

Fluorogenic Squaraine Dimers with Polarity-Sensitive Folding As Bright Far-Red Probes for Background-Free Bioimaging



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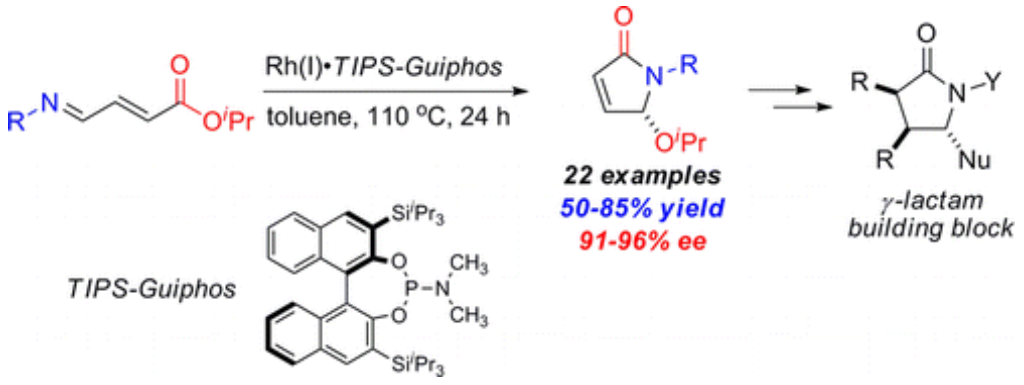
Citation: Zhang, W. -Z. et al. J. Am. Chem. Soc., 2015, 137 (2), pp 553–555

Enantioselective Rhodium-Catalyzed Isomerization of 4-Iminocrotonates: Asymmetric Synthesis of a Unique Chiral Synthon



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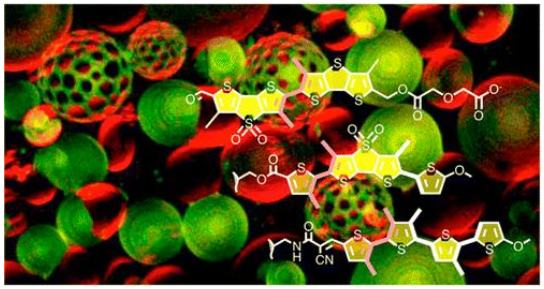
Citation: Molin, M. D. et al. J. Am. Chem. Soc., 2015, 137 (2), pp 568–571

Fluorescent Flippers for Mechanosensitive Membrane Probes



"Fluorescent flippers" are introduced to create planarizable push–pull probes with the mechanosensitivity and fluorescence lifetime needed for practical use in biology. Twisted push–pull scaffolds with large and bright dithienothiophenes and their S,S-dioxides as the first "fluorescent flippers" are shown to report on the lateral organization of lipid bilayers with quantum yields above 80% and lifetimes above 4 ns.

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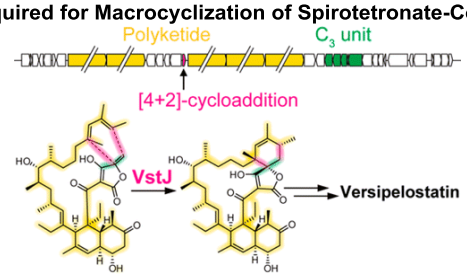
Citation: Hashimoto, T. et al. J. Am. Chem. Soc., 2015, 137 (2), pp 572–575

Biosynthesis of Versipelostatin: Identification of an Enzyme-Catalyzed [4+2]-Cycloaddition Required for Macrocyclization of Spirotetronate-Containing Polyketides



Versipelostatin (VST) is an unusual 17-membered macrocyclic polyketide product that contains a spirotetronate skeleton. In this study, the entire VST biosynthetic gene cluster (vst) spanning 108 kb from Streptomyces versipellis 4083-SVS6 was identified by heterologous expression using a bacterial artificial chromosome vector. Here, we demonstrate that an enzyme, VstJ, catalyzes the stereoselective [4+2]-cycloaddition between the conjugated diene and the exocyclic olefin of a newly identified tetronate-containing intermediate to form the spirotetronate skeleton during VST biosynthesis.

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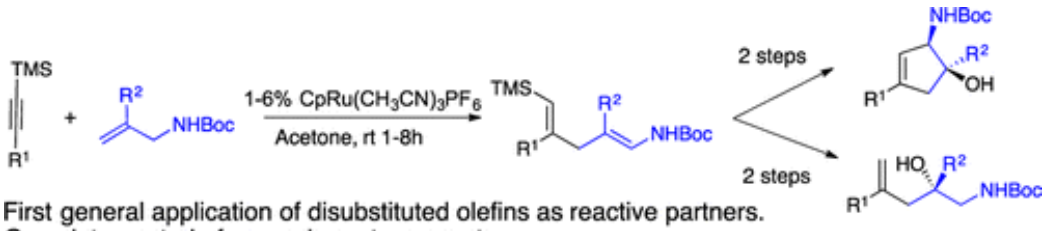
Citation: Trost, B. M.; Cregg, J. J. J. Am. Chem. Soc., 2015, 137 (2), pp 620–623

Ruthenium-Catalyzed Alkene–Alkyne Coupling of Disubstituted Olefins: Application to the Stereoselective Synthesis of Trisubstituted Enecarbamates (Congratulations to our friends in the Trost group!)



First general application of disubstituted olefins as reactive partners. Complete control of enecarbamate geometry.

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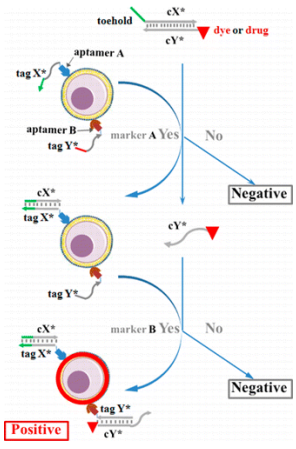
Citation: You, M. et al. J. Am. Chem. Soc., 2015, 137 (2), pp 667–674

Programmable and Multiparameter DNA-Based Logic Platform For Cancer Recognition and Targeted Therapy



The specific inventory of molecules on diseased cell surfaces provides clinicians an opportunity for accurate diagnosis and intervention. A DNA-based device that is capable of performing autonomous logic-based analysis of two or three cancer cell-surface markers was designed. Combining the specific target-recognition properties of DNA aptamers with toehold-mediated strand displacement reactions, multicellular marker-based cancer analysis can be realized based on modular AND, OR, and NOT Boolean logic gates. Specifically, this is a general approach for assembling these modular logic gates to execute programmable and higher-order profiling of multiple coexisting cell-surface markers. The success of this strategy demonstrates the potential of DNA nanotechnology in facilitating targeted disease diagnosis and therapy.

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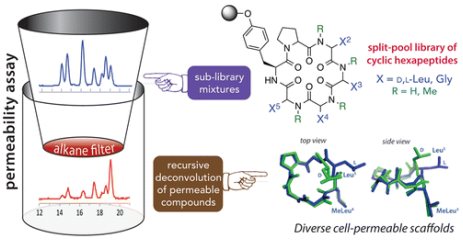
Citation: Hewitt, W. M. et al. J. Am. Chem. Soc., 2015, 137 (2), pp 715–721

Cell-Permeable Cyclic Peptides from Synthetic Libraries Inspired by Natural Products



A methodology was designed for the discovery of geometrically diverse, membrane permeable cyclic peptide scaffolds based on the synthesis and permeability screening of a combinatorial library, followed by deconvolution of membrane-permeable scaffolds to identify cyclic peptides with good to excellent passive cell permeabilities. A combination of experimental and computational approaches was used to investigate structure-permeability relationships in one of these scaffolds, and uncover structural and conformational factors that govern passive membrane diffusion in a related set of cyclic peptide diastereomers.

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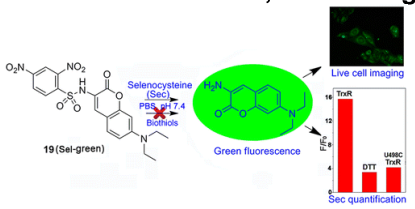
Citation: Zhang, B. et. al. J. Am. Chem. Soc., 2015, 137 (2), pp 757–769

Selective Selenol Fluorescent Probes: Design, Synthesis, Structural Determinants, and Biological Applications



The design, synthesis, and biological evaluations of a series of potential Sec probes was reported based on the mechanism of nucleophilic aromatic substitution. After the initial screening, the structural determinants for selective recognition of Sec were recapitulated. The follow-up studies identified that probe 19 (Sel-green) responds to Sec and other selenols with more than 100-fold increase of emission in neutral aqueous solution (pH 7.4), while there is no significant interference from the biological thiols, amines, or alcohols. Sel-green was successfully applied to quantify the Sec content in the selenoenzyme thioredoxin reductase and image endogenous Sec in live HepG2 cells.

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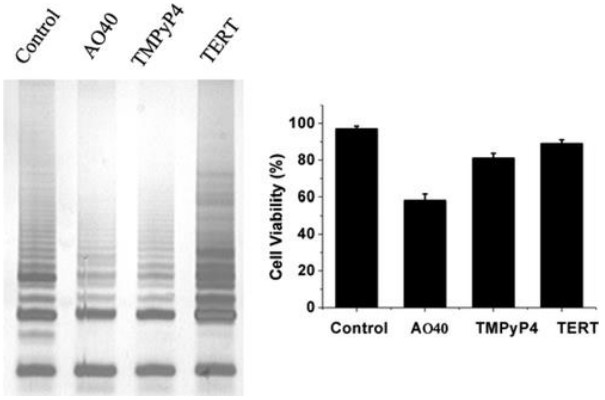
Citation: Jiasi Wang, Chuanqi Zhao, Andong Zhao, Meng Li, Jinsong Ren, and Xiaogang Qu
Journal of the American Chemical Society **2015** 137 (3), 1213-1219

New Insights in Amyloid Beta Interactions with Human Telomerase



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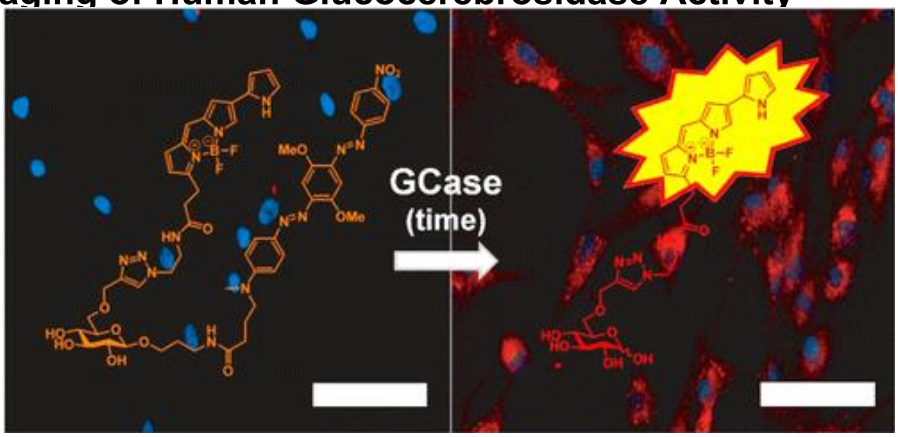
Citation: Anuj K. Yadav, David L. Shen, Xiaoyang Shan, Xu He, Allison R. Kermode, and David J. Vocadlo *Journal of the American Chemical Society* **2015** 137 (3), 1181-1189

Fluorescence-Quenched Substrates for Live Cell Imaging of Human Glucocerebrosidase Activity



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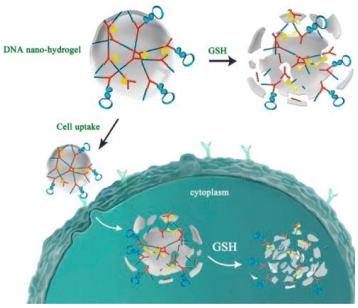
Citation: Li, J. et al. J. Am. Chem. Soc., 2015, 137 (4), pp 1412–1415

Self-assembly of DNA Nanohydrogels with Controllable Size and Stimuli-Responsive Property for Targeted Gene Regulation Therapy



The synthesis and characterization of size-controllable and stimuli-responsive DNA nanohydrogels as effective targeted gene delivery vectors was reported. DNA nanohydrogels were created through a self-assembly process using three kinds of building units, respectively termed Y-shaped monomer A with three sticky ends (YMA), Y-shaped monomer B with one sticky end (YMB), and DNA linker (LK) with two sticky ends. Hybridization at the sticky ends of monomers and LK leads to nanohydrogel formation. DNA nanohydrogels are size-controllable by varying the ratio of YMA to YMB. By incorporating different functional elements, such as aptamers, disulfide linkages, and therapeutic genes into different building units, the synthesized aptamer-based nanohydrogels (Y-gel-Apt) can be used for targeted and stimuli-responsive gene therapy.

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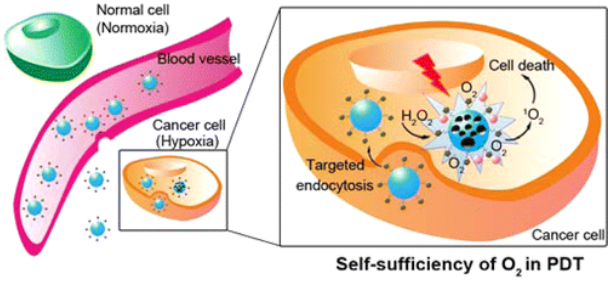
Citation: Chen, H. et al. J. Am. Chem. Soc., 2015, 137 (4), pp 1539–1547

H2O2-Activatable and O2-Evolving Nanoparticles for Highly Efficient and Selective Photodynamic Therapy against Hypoxic Tumor Cells



A cell-specific, H2O2-activatable, and O2-evolving PDT nanoparticle (HAOP NP) is developed for highly selective and efficient cancer treatment. The nanoparticle is composed of photosensitizer and catalase in the aqueous core, black hole quencher in the polymeric shell, and functionalized with a tumor targeting ligand c(RGDfK).

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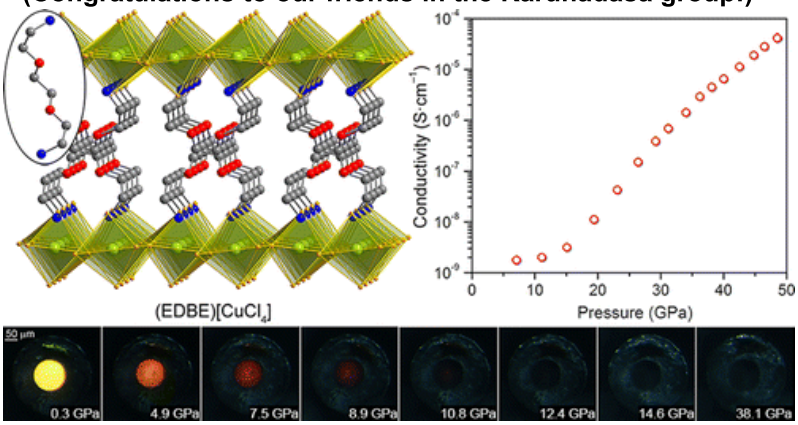
Citation: Jaffe, A. et al. J. Am. Chem. Soc., 2015, 137 (4), pp 1673–1678

Pressure-Induced Conductivity and Yellow-to-Black Piezochromism in a Layered Cu–Cl Hybrid Perovskite (Congratulations to our friends in the Karunadasa group!)



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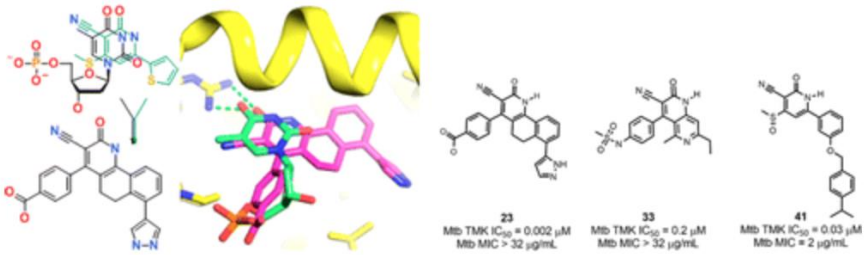
Citation: Naik, M; *et al. J. Med. Chem.* **2015**, 58 (2), 753-766

Structure Guided Lead Generation for *M. tuberculosis* Thymidylate Kinase (Mtb TMK): Discovery of 3-Cyanopyridone and 1,6-Naphthyridin-2-one as Potent Inhibitors



M. tuberculosis thymidylate kinase (Mtb TMK) has been shown in vitro to be an essential enzyme in DNA synthesis. In order to identify novel leads for Mtb TMK, we performed a high throughput biochemical screen and an NMR based fragment screen through which we discovered two novel classes of inhibitors, 3-cyanopyridones and 1,6-naphthyridin-2-ones, respectively...

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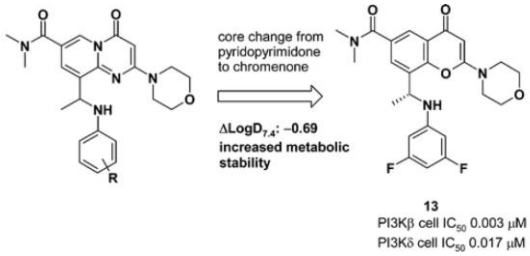
Citation: Barlaam, B.; *et al. J. Med. Chem.* **2015**, 58 (2), 943-962

Discovery of (*R*)-8-(1-(3,5-Difluorophenylamino)ethyl)-*N*,*N*-dimethyl-2-morpholino-4-oxo-4*H*-chromene-6-carboxamide (AZD8186): A Potent and Selective Inhibitor of PI3KŒ and PI3KŒ¥ for the Treatment of PTEN-Deficient Cancers



Several studies have highlighted the dependency of PTEN deficient tumors to PI3KŒ activity and specific inhibition of PI3KŒ¥ has been shown activity against human B-cell cancers. We describe the discovery and optimization of a series of 8-(1-anilino)ethyl)-2-morpholino-4-oxo-4*H*-chromene-6-carboxamides as PI3KŒ/Œ¥ inhibitors, which led to the discovery of the clinical candidate **13**, also known as AZD8186...

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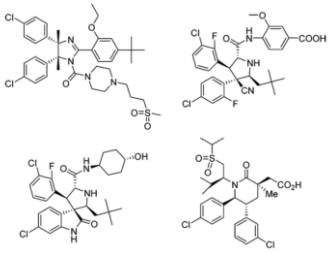
Citation: Zhao, Y.; *et al. J. Med. Chem.* **2015**, 58 (3), 1038-1052

Small-Molecule Inhibitors of the MDM2-p53 Protein-Protein Interaction (MDM2 Inhibitors) in Clinical Trials for Cancer Treatment



Design of small-molecule inhibitors (MDM2 inhibitors) to block the MDM2–p53 protein–protein interaction has been pursued as a new cancer therapeutic strategy. In recent years, potent, selective, and efficacious MDM2 inhibitors have been successfully obtained and seven such compounds have been advanced into early phase clinical trials for the treatment of human cancers. Here, we review the design, synthesis, properties, preclinical, and clinical studies of these clinical-stage MDM2 inhibitors.

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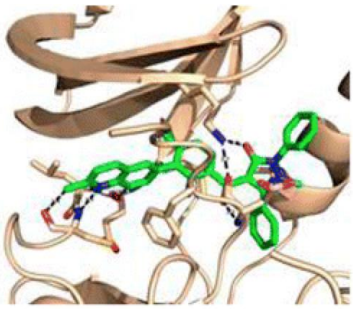
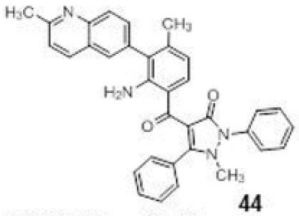
Citation: Smith, A.; *et al. J. Med. Chem.* **2015**, 58 (3), 1426-1441

Discovery of 1*H*-Pyrazol-3(2*H*)-ones as Potent and Selective Inhibitors of Protein Kinase R-like Endoplasmic Reticulum Kinase (PERK)





44

PERK IC_{50} = 6 nM
Cell pPERK IC_{50} = 84 nM
Mouse PD ED_{50} = 3 mg/kg po

The structure-based design and optimization of a novel series of selective PERK inhibitors are described resulting in the identification of **44** as a potent, highly selective, and orally active tool compound suitable for PERK pathway biology exploration both in vitro and in vivo.

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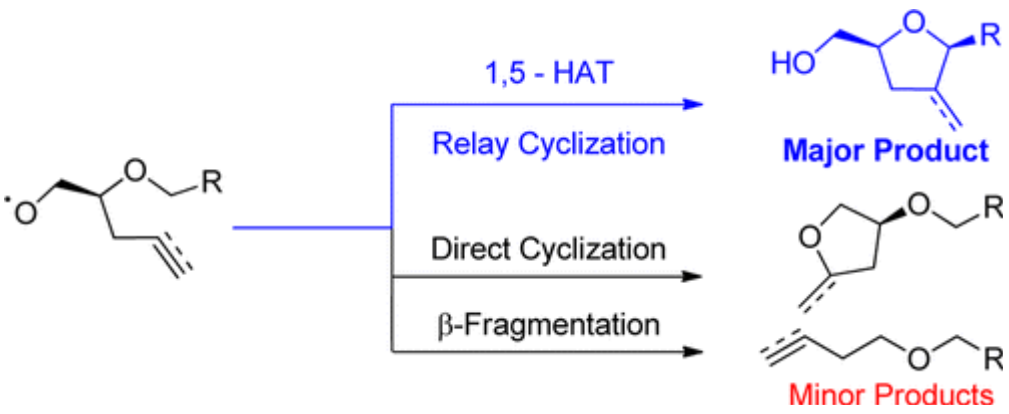
Citation: Zhu, H.; Leung, J.C.T.; Sammis, G.M. *JOC,* **2015**, *80,* 965-979.

Strategies to Control Alkoxy Radical-Initiated Relay Cyclizations for the Synthesis of Oxygenated Tetrahydrofuran Motifs



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Citation: Boyd, R.J.; Burnell, D.J. *et al*. *JOC,* **2015**, *80*, 1042-1051.

Torquoselectivity in the Nazarov Reactions of Allenyl Vinyl Ketones

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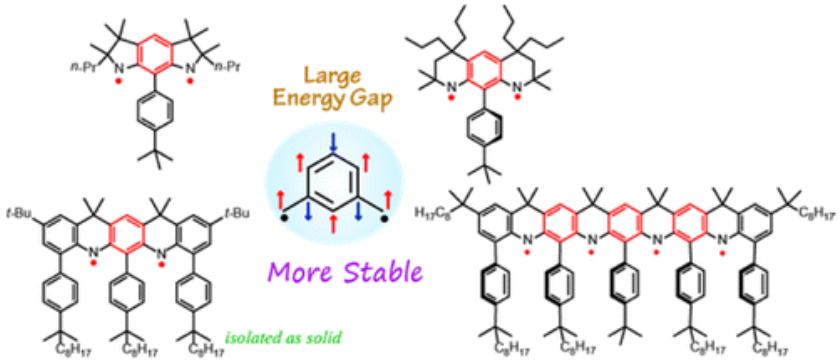
Citation: Gallagher, N.M.; Olankitwanit, A.; Rajca, A. *JOC,* **2015**, *80,* 1291-1298.

High-Spin Organic Molecules



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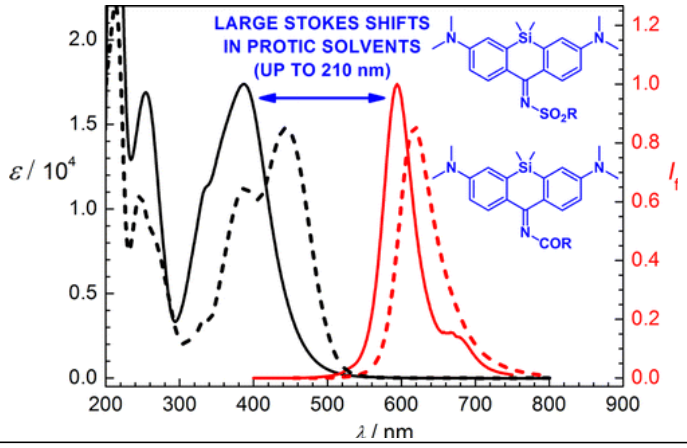
Citation: Horvath, P. Sebej, P.; Solomek, T.; Klan, P. *JOC,* **2015**, *80,* 1299-1311.

Small-Molecule Fluorophores with Large Stokes Shifts: 9-Iminopyronin Analogues as Clickable Tags



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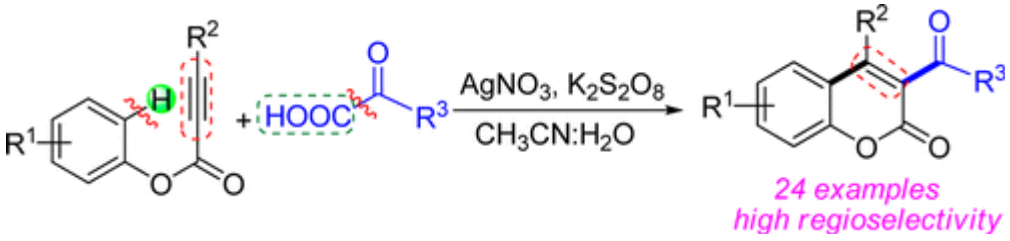
Citation: Wang, H. *et al*. *JOC,* **2015**, *80*, 1550-1556.

Silver-Mediated Radical Cyclization of Alkynoates and a-Keto Acids Leading to Coumarins via Cascade Double C–C Bond Formation



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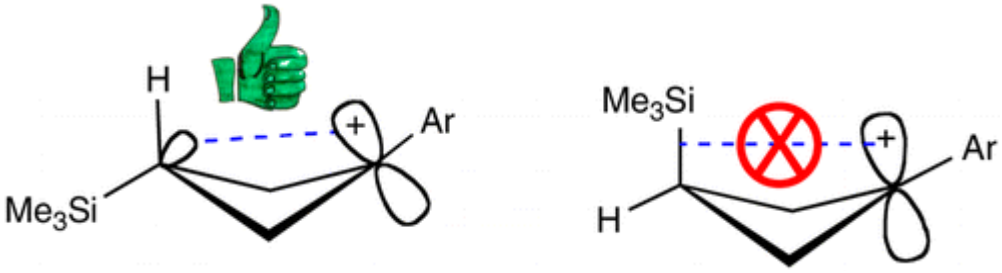
Citation: Creary, X.; *et al*. *JOC,* **2015**, *80*, 1781-1788.

gamma-Trimethylsilylcyclobutyl Carbocation Stabilization



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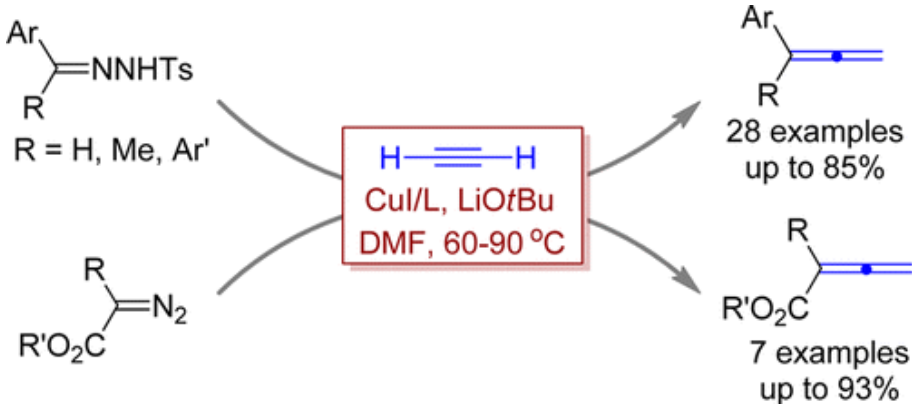
Citation: Wang, J.; *et al*. *JOC,* **2015**, *80*, 647-652.

Synthesis of Terminal Allenes through Copper-Mediated Cross-Coupling of Ethyne with N-Tosylhydrazones or a-Diazoesters



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Citation: Bunz, U.H.F.; *et al*. *JOC,* **2015**, *80*, 582-589.

Soluble Diazaiptycenes: Materials for Solution-Processed Organic Electronics

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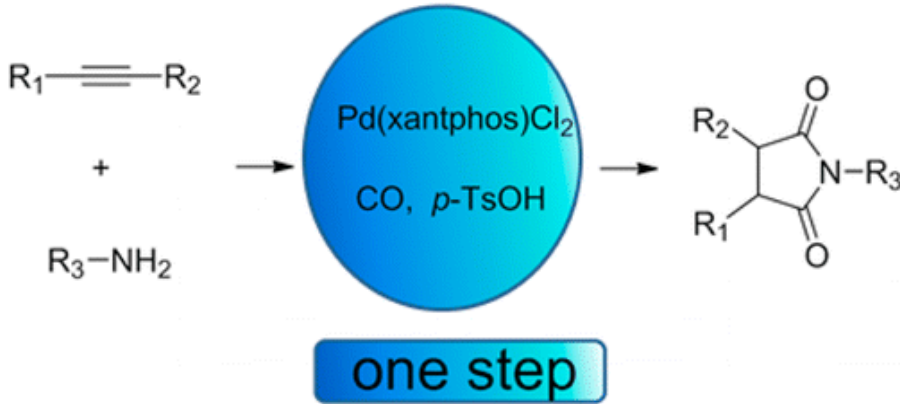
Citation: Liu, H.; Lau, G.P.S.; Dyson, P.J. *JOC,* **2015**, *80*, 386-391.

Palladium-Catalyzed Aminocarbonylation of Alkynes to Succinimides



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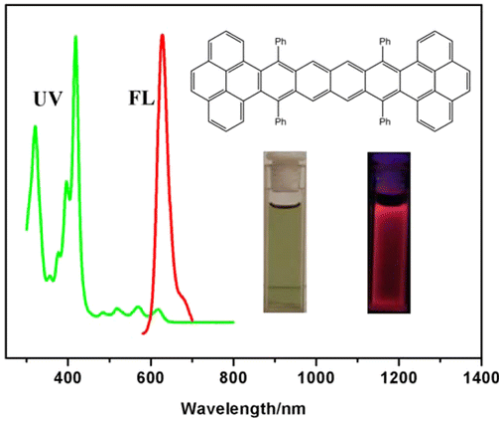
Citation: Zhang, Q.; *et al*. *JOC,* **2015**, *80*, 109-113.

Double [4 + 2] Cycloaddition Reaction To Approach a Large Acene with Even-Number Linearly Fused Benzene Rings: 6,9,16,19-Tetraphenyl-1.20,4.5,10.11,14.15-Tetrabenzooctatwistacene



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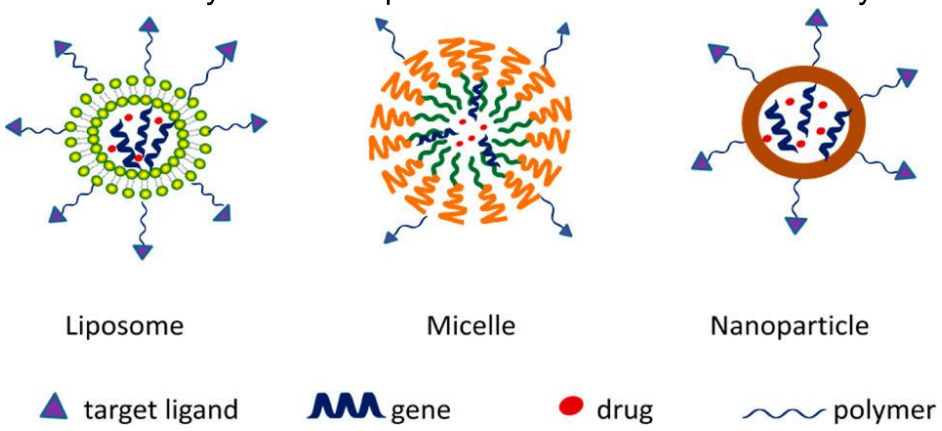
Citation: Mol. Pharmaceutics 2015, 12, 314–321.

Smart Polymeric Nanoparticles for Cancer Gene Delivery



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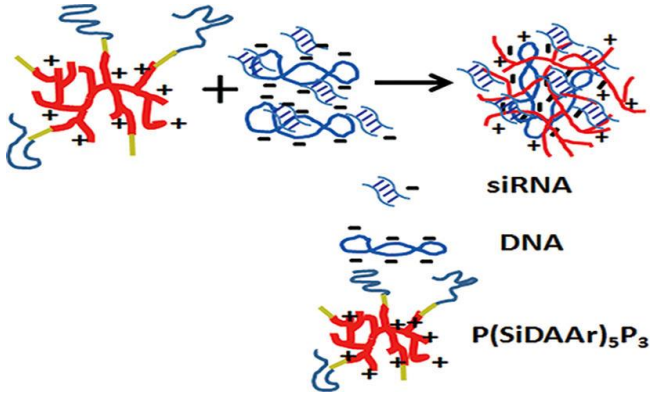
Citation: Mol. Pharmaceutics 2015, 12, 621–629.

Codelivery of DNA and siRNA via Arginine-Rich PEI-Based Polyplexes.



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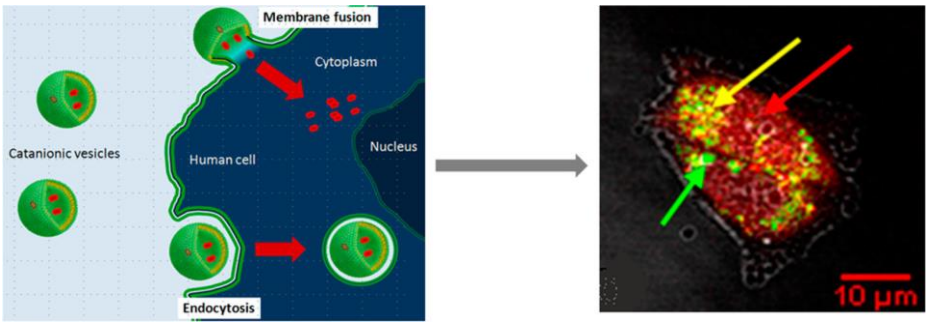
Citation: Mol. Pharmaceutics 2015, 12, 103–110.

Versatile Cellular Uptake Mediated by Catanionic Vesicles: Simultaneous Spontaneous Membrane Fusion and Endocytosis.



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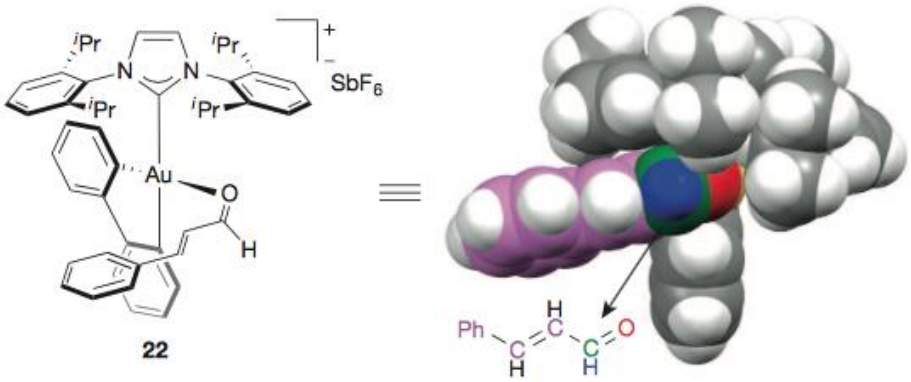
Citation: Wu, C. -Y.; Horibe, T.; Jacobsen, C. B.; Toste, F. D. *Nature*. **2015**, *517*, 449 .

Stable gold (III) catalysts by oxidative addition of a carbon-carbon bond



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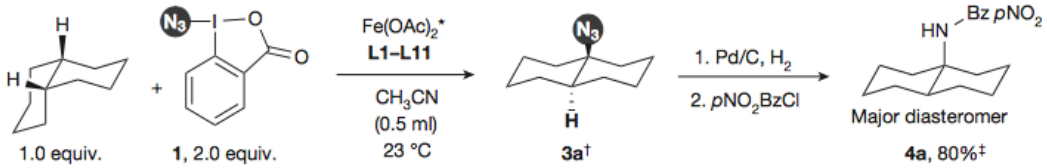
Citation: Sharma, A.; Hartwig, J. F. *Nature*. **2015**, *517*, 600.

Metal-catalysed azidation or tertiary C-H bonds suitable for late-stage functionalization



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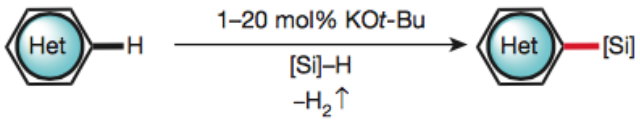
Citation: Toutov, A. A.; Liu, W. -B.; Betz, K. N.; Fedorov, A.; Stoltz, B. M.; Grubbs, R. H. *Nature*. **2015**, *518*, 80.

Silylation of C-H bonds in aromatic heterocycles by an Earth-abundant metal catalyst

b KO*t*-Bu-catalysed C–H silylation



(Aza)indoles, furans, thiophenes, pyrroles, pyrazoles, etc.

- Earth-abundant metal (K) catalyst
- No H_2 acceptors or additives
- Mild reaction conditions
- Chemo- and regioselective
- TON up to 92
- >100 g scale

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Citation: Sherburn, M. S. et al. *Nat. Chem.* **2015**, *7*, 82-86.

Pseudopterosin synthesis from a chiral cross-conjugated hydrocarbon through a series of cycloadditions

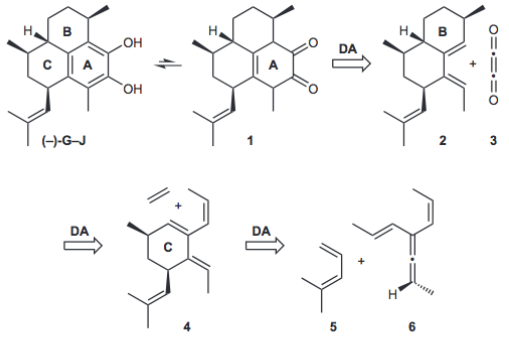

Figure 1 | Strategic bond disconnections pursued in this study. Retrosynthetic analysis of the pseudopterosin (–)-G–J aglycone reveals the triple DA disconnection to axially chiral 1,1-divinylallene 6.

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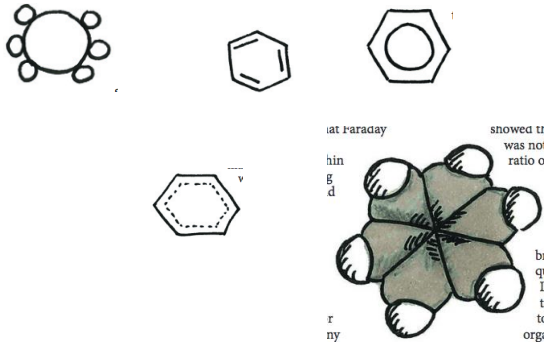
Citation: Francl, M. *Nat. Chem.* **2015**, *7*, 6-7.

A molecule with a ring to it



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Citation: *Nat. Chem Biol.* ***2015*** *, 11,* 192.

Membrane curvature enables N-Ras lipid anchor sorting to liquid-ordered membrane phases

Trafficking and sorting of membrane-anchored Ras GTPases are regulated by partitioning between distinct membrane domains. Here, in vitro experiments and microscopic molecular theory reveal membrane curvature as a new modulator of N-Ras lipid anchor and palmitoyl chain partitioning. Membrane curvature was essential for enrichment in raft- like liquid-ordered phases; enrichment was driven by relief of lateral pressure upon anchor insertion and most likely affects the localization of lipidated proteins in general.

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Citation: *Nat. Chem Biol.* ***2015*** *, 11,* 198.

A light-inducible CRISPR-Cas9 system for control of endogenous gene activation

Optogenetic systems enable precise spatial and temporal control of cell behavior. We engineered a light-activated CRISPR-Cas9 effector (LACE) system that induces transcription of endogenous genes in the presence of blue light. This was accomplished by fusing the light-inducible heterodimerizing proteins CRY2 and CIB1 to a transactivation domain and the catalytically inactive dCas9, respectively. The versatile LACE system can be easily directed to new DNA sequences for the dynamic regulation of endogenous genes.

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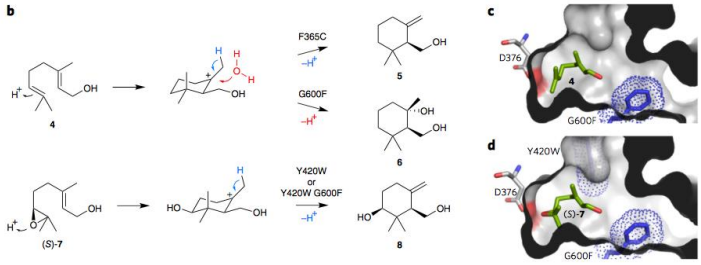
Citation: *Nat. Chem Biol.* ***2015*** *, 11,* 121.

Squalene hopene cyclases are protonases for stereoselective Brønsted acid catalysis

Here, we report on the unique protonation machinery of a squalene hopene cyclase (SHC). Active site engineering of this highly evolvable enzyme yielded a platform for enzymatic Brønsted acid catalysis in water. This is illustrated by activation of different functional groups (alkenes, epoxides and carbonyls), enabling the highly stereoselective syntheses of various cyclohexanoids while uncoupling SHC from polycyclization chemistry. This work highlights the potential of systematic investigation on nature's catalytic machineries to generate unique catalysts."



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Citation: http://www.nytimes.com/2012/02/18/health/research/microchip-implanted-to-deliver-drug-shows-promise-in-trial.html?_r=0

Microchip Implanted to Deliver Drug Shows Promise in Trial

Scientists have conducted the first human trial of an implantable microchip-based drug delivery device, an assembly that releases precise doses of a drug through a wireless communication link and receives return messages confirming proper operation.

In cooperation with two commercial companies, scientists at Harvard, the Massachusetts Institute of Technology and Case Western Reserve University created a chip that holds measured doses of teriparatide (brand name Forteo), an injectable drug used to treat osteoporosis.

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Citation: http://www.nytimes.com/2015/01/29/science/in-the-way-cancer-cells-work-together-a-possible-tool-for-their-demise.html

In the Way Cancer Cells Work Together, a Possible Tool for Their Demise

A tumor, as strange as it may sound, is a little society. The cancer cells that make it up cooperate with one another, and together they thrive.

Scientists are only starting to decipher the rules of these communities. But if they can understand how these cells work together, then they may be able to stop the tumor.

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Citation: http://www.theonion.com/articles/officials-urge-americans-to-sort-plastics-glass-in,38016/

Officials Urge Americans to Sort Plastics, Glass Into Separate Oceans

WASHINGTON—Calling it an important but often overlooked step of the process, Environmental Protection Agency officials issued a statement Friday once again advising Americans to sort their plastics and glass materials into separate oceans. “We would like to remind Americans that clear, brown, and green glass should be placed in the Atlantic Ocean, and plastics classified as 1, 2, 4, 6, and 7 belong in the Pacific,” said EPA spokesman Daniel Gray, adding that individuals should properly rinse out all containers before depositing them off the appropriate coastline.

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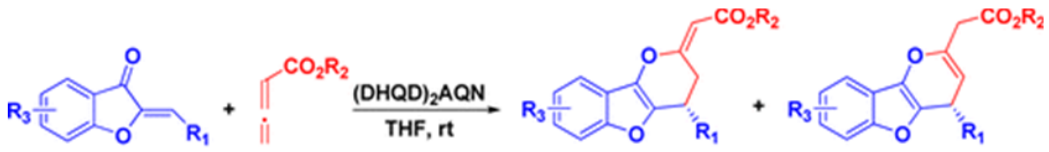
Citation: Wang, F., et al. *Organic Letters*. **2015**, 17, 338-341

Highly Enantioselective [4+2] Cycloaddition of Allenoates and 2-Olefinic Benzofuran-3-ones



20 examples
up to 96% yield
up to 6:1 regioselectivity
up to 99% *ee*

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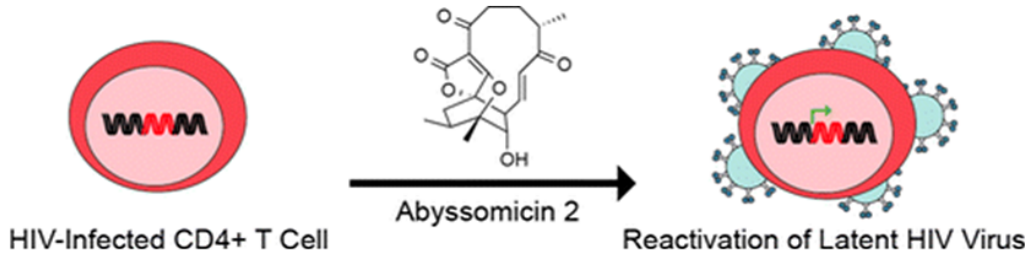
Citation: Leon, B., et al. *Organic Letters*. **2015**, 17, 262-265

Abyssomicin 2 Reactivates Latent HIV-1 by a PKC- and HDAC-Independent Mechanism



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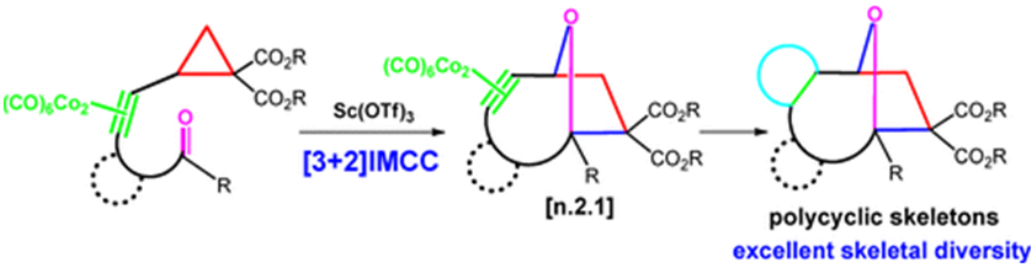
Citation: Zhang, J., et al. *Organic Letters*. **2015**, 17, 218-221

Lewis Acid Catalyzed Intramolecular [3+2] Cross Cycloadditions of Cobalt-Alkynylcyclopropane 1,1-Diesters with Carbonyls for Constructino of Medium-Sized and Polycyclic Skeletons



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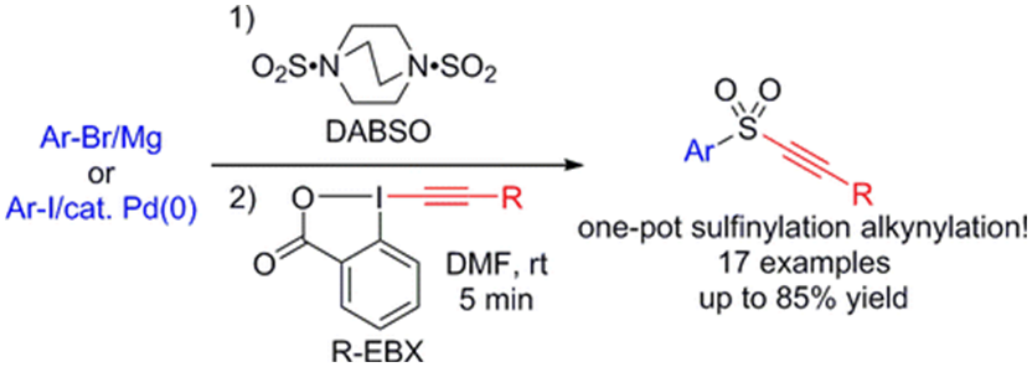
Citation: Chen, C., et al. *Organic Letters*. **2015**, 17, 736-739

One-Pot, Three-Component Arylalkynyl Sulfone Synthesis



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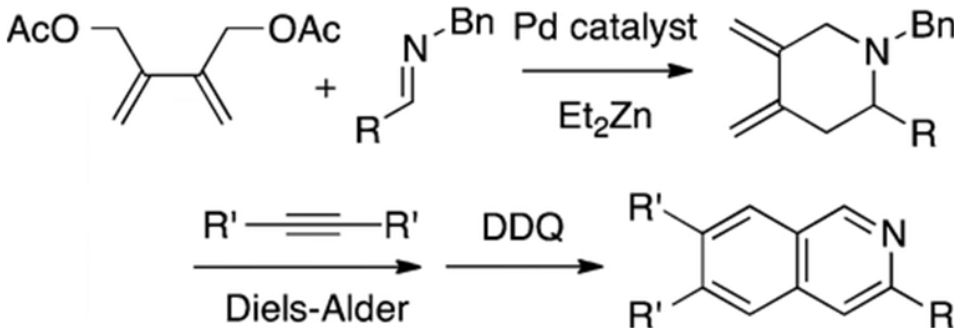
Citation: Chen, C., et al. *Organic Letters*. **2015**, 17, 736-739

Palladium-Catalyzed [4+2] Cycloaddition of Aldimines and 1,4-Dipolar Equivalents via Amphiphilic Allylation



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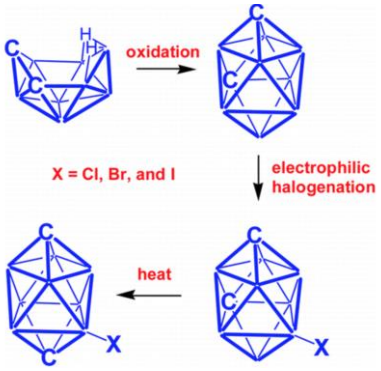
Citation: Bakardjiev, M.; *et al*. *Organometallics* **2015**, 34 (2), 450-454

Simple Synthesis, Halogenation, and Rearrangement of *closo*-1,6-$C_2B_8H_{10}$



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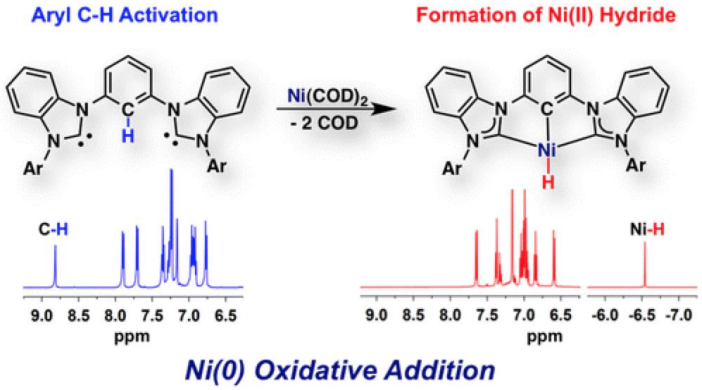
Citation: Matson, E.; *et al*. *Organometallics* **2015**, 34 (2), 399-407

Nickel(II) Pincer Carbene Complexes: Oxidative Addition of an Aryl C-H Bond to Form a Ni(II) Hydride



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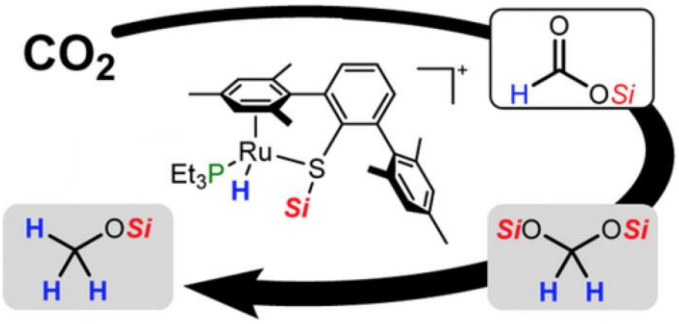
Citation: Metsaenen, T.; *et al*. *Organometallics* **2015**, 34 (3), 543-546

Temperature-Dependent Chemoselective Hydrosilylation of Carbon Dioxide to Formaldehyde or Methanol Oxidation State



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Citation: Tiwary, P.; *et al. Proc. Natl. Acad. Sci. U.S.A.* **2015,** 112, E386-E391.

Kinetics of protein-ligand unbinding: Predicting pathways, rates, and rate-limiting steps

The ability to predict the mechanisms and the associated rate constants of protein-ligand unbinding is of great practical importance in drug design. In this work the authors demonstrate how a recently introduced metadynamics-based approach allows exploration of the unbinding pathways, estimation of the rates, and determination of the rate-liming steps in the paradigmatic case of the trypsin-benxamidine system. This work is a step towards a more effective computer-based drug design.

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Citation: Warren, H. S.; *et al. Proc.Natl. Acad. Sci. U.S.A.* **2015,** *112,* E345.

Mice are not men

The question that the science community should be asking is whether the appropriate measure of an animal model should be limited to highly selected genes that in this case retrospectively reflect the most similar common responses [to humans] or whether the appropriate comparison is how all genes behave. If one limited the analysis to common entities and tried to understand a station wagon by studying a motorcycle, one would learn something about wheels and spark plugs but have no idea about steering wheels, airbags, and sunroofs, and the larger picture would be substantially missed.

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Citation: Giorgi, C.; *et al. Proc. Natl. Acad. Sci. U.S.A.* **2015,** *112,* 1779-1784.

p53 at the endoplasmic reticulum regulates apoptosis in a Ca2+ -dependent manner

Accumulating evidence has underscored the role of cytosolic p53 in promoting cell death. Different reports have revealed that p53 particpates in apoptosis induction by acting directly at mitochondria. Here it is demonstrated that p53 at the endoplasmic reticulum and at mitochondria-associated membranes, interacting with sacro/ER Ca2+ -ATPase pumps, modulates ER-mitochondria cross-talk and, in turn, Ca2+ -dependent apoptosis.

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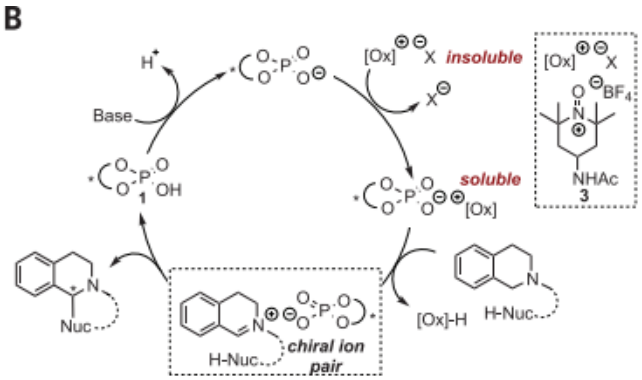
Citation: Milo, A.; Neel, A.J.; Toste, F.D.; Sigman, M.S. *Science*, **2015**, *347* (6223), 737-743.

A data-intensive approach to mechanistic elucidation applied to chiral anion catalysis



Here, we present a data-intensive method for deriving and then predictively applying a mechanistic model of an enantioselective organic reaction. As a validating case study, we selected an intramolecular dehydrogenative C-N coupling reaction, catalyzed by chiral phosphoric acid derivatives, in which catalyst-substrate association involves weak, noncovalent interactions.

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Citation: *Science*, **2015**, *347* (6222), 599-601.

Budget for 2016 accentuates the practical

White House proposal lifts (almost) all boats, but applied research floats to the top

The federal budget that President Barack Obama proposed this week for the 2016 fiscal year offers a lot of good news for scientists. But researchers conducting basic research may feel a little jealous of their colleagues in more applied fields, as the spending request released on 2 February has a distinct tilt to the practical. Overall, the $4 trillion spending plan includes a 7.2% hike, to $1.09 trillion, in socalled discretionary spending—the slice of the budget that includes annual research funding. To make that increase possible, Obama is calling on Congress to shatter the spending caps imposed by a 2011 budget deal. With the economy recovering, the administration is urging “smart investments that strengthen America.”

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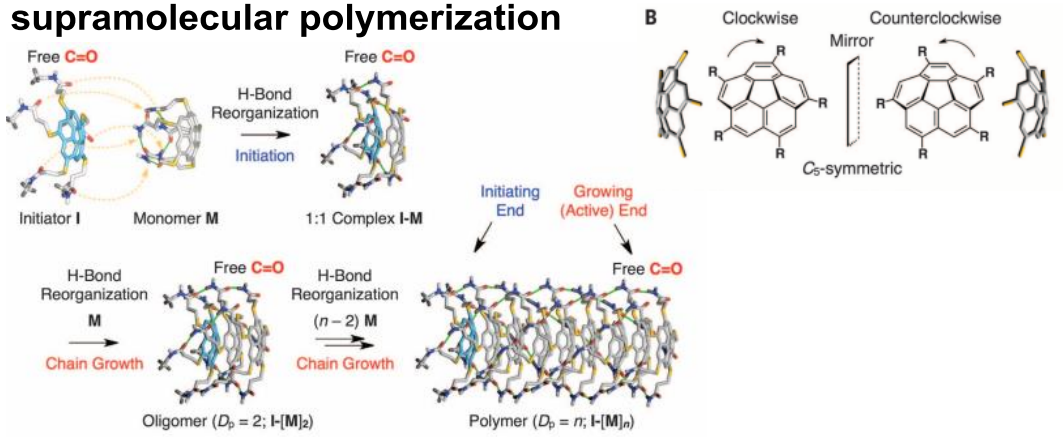
Citation: Kang, J.; Miyajima, D.; Mori, T.; Inoue, Y.; Itoh, Y.; Aida, T. *Science*, **2015**, *347* (6222), 646-651.

A rational strategy for the realization of chain-growth supramolecular polymerization



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Citation: Byrne, DeSimone. et al. Science Trns. Med. Vol 7 Issue 273 273ra14

Local iontophoretic administration of cytotoxic therapies to solid tumors

"Parenteral and oral routes have been the traditional methods of administering cytotoxic agents to cancer pa- tients. Unfortunately, the maximum potential effect of these cytotoxic agents has been limited because of sys- temic toxicity and poor tumor perfusion. In an attempt to improve the efficacy of cytotoxic agents while mitigating their side effects, we have developed modalities for the localized iontophoretic delivery of cytotoxic agents. These iontophoretic devices were designed to be implanted proximal to the tumor with external control of power and drug flow...Device delivery of gemcitabine in dogs resulted in more than 7-fold difference in local drug concentrations and 25-fold lower systemic drug levels than the IV treatment. Overall, these devices have potential paradigm shifting implications for the treatment of pancreatic, breast, and other solid tumors."

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Citation: *Sci. Trns. Med.* Vol 7 Issue 272 272ra11

Regulation of dendrimer/dextran material performance by altered tissue microenvironment in inflammation and neoplasia

"A “one material fits all” mindset ignores profound differences in target tissues that affect their responses and re- activity. Yet little attention has been paid to the role of diseased tissue on material performance, biocompatibility, and healing capacity. We assessed material-tissue interactions with a prototypical adhesive material based on dendrimer/dextran and colon as a model tissue platform. Adhesive materials have high sensitivity to changes in their environment and can be exploited to probe and quantify the influence of even subtle modifications in tissue architecture and biology...This in turn guided us to an optimal dendrimer/dextran for- mulation choice using a predictive model based on clinically relevant conditions."

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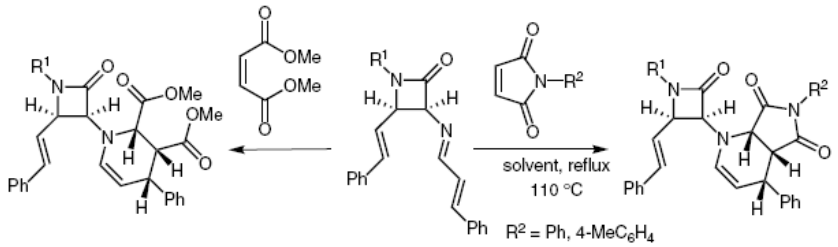
Citation: Kumar, Y.; Singh, P.; Bhargava, G.; Synlett, 26, 363–366 (2015)

Diastereo- and Facially Selective Imino-Diels–Alder Cycloaddition of 2 Azeditinone-Tethered 1-Azadiene: Synthesis of Functionalized (2-Oxo-4 styrylazetidin-3-yl)–Pyridine Hybrids

A diastereo- and π-facially selective imino Diels–Alder cycloaddition of 3-allylideneamino-2-azetidinones having stereocenters at its α- and β positions with symmetrical dienophiles leading to the formation of biologically potent (2-oxo-4-styrylazetidin-3-yl)–pyridine hybrids is described. The synthesis is important as it directly leads to the diastereoselective formation of pyrrolo[3,4-b]pyridine lactams and pyridine lactams.



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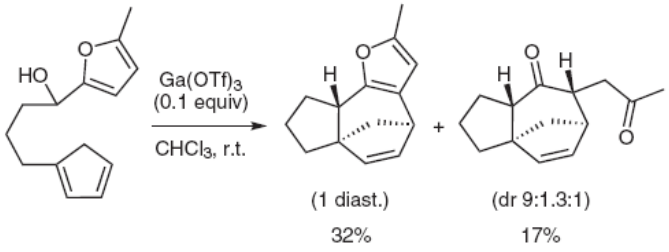
Citation: Laplace, D. R.; Winne, J. M.; Synlett, 26, 467–470 (2015)

A Rapid and Stereocontrolled Synthesis of the Zizaane Ring System by Using an Intramolecular (4+3) Cycloaddition Reaction

The authors disclosed a very short synthetic entry into the zizaane ring system in only five steps from simple commercially available compounds. The key intramolecular (4+3) cycloaddition reaction compares favorably with previous methods in terms of both yield and stereoselectivity and provides a clear illustration of the value of furfuryl alcohol derived dienophiles in this type of reaction. This work significantly enhances the synthetic accessibility of an important skeletal class of biologically interesting terpenoid natural products.



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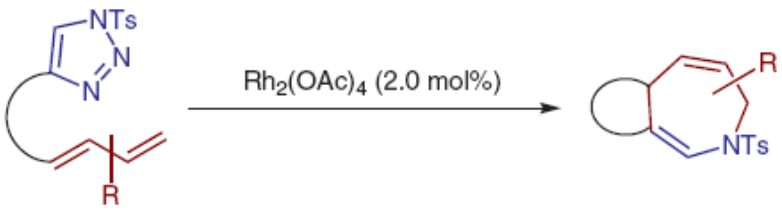
Citation: Xu, H.-D.; Xu, K.; Zhou, H.; Jia, Z.-H.; Wu, H.; Lu, X.-L.; Shen, M.-H.; Synthesis, 47, 641–646 (2015)

Ring-Strain-Driven Catalytic Carbene Formation–Cyclopropanation–Aza-Cope Rearrangement Cascade: A Facile Entry to Fused Dihydroazepines from 1,3-Dienyltriazoles

An efficient protocol has been developed for fast entry to structurally useful dihydroazepines from various dienyltriazoles. This reaction proceeds through a carbene generation–cyclopropanation–aza-Cope rearrangement cascade driven by strain release of a 3-membered ring. Substitution on 7-position confers excellent diastereoselectivity. This reaction provides an ideal platform to access complex azepine- or azepane-containing molecules.



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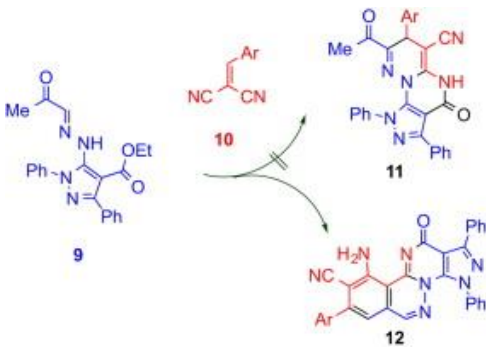
Citation: *Tetrahedron,* **2015,** *9,* 1413 - 1418

Discrepancies in the reactivity pattern of azaenamines towards cinnamonitriles: synthesis of novel aza-steroid analogues



Azaenamine incorporating pyrazole-4-carboxylate is prepared and allowed to react with a,ß-substituted nitriles. A new reactivity pattern was observed leading to the formation of substituted pyrazolo[4',3'-5,6]pyrimido[2,1-a]phthalazine-9-carbonitriles, which can be considered as aromatic aza-steroid analogues.

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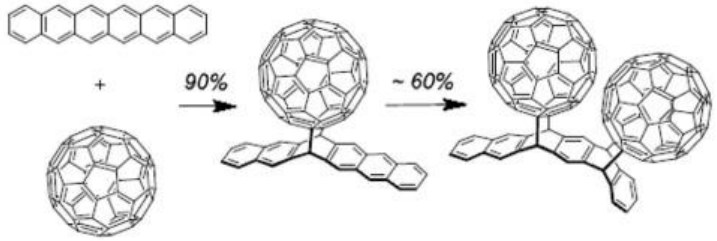
Citation: Chow, T. J. et. al. *Tet. Lett.* **2015**, *56 (9*), 1092-1095

Cycloaddition of hexacene and fullerene[60]



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