Accounts of Chemical Research	120	Melanie Huttner
ACS Chemical Biology	121	Jessica Vargas
ACS Nano	122	Nancy Benner
Advanced Drug Delivery Reviews	123	Xiaoyu Zang (Janice)
Angewandte Chemie International Edition	123	Andrew Raub
Bioconjugate Chemistry	124	Colin McKinlay
Biomacromolecules	125	Colin McKinlay
Bioorganic and Medicinal Chemistry	126	Nancy Benner
Bioorganic and Medicinal Chemistry Letters	126	Nancy Benner
Chemical Communications	126	Katie Near
Chemical & Engineering News	128	Steven Ryckbosch
Chemical Reviews	N/A	Ryan Quiroz
Chemical Science	128	Jack Sloane
Chemistry, A European Journal	129	Xiaoyu Zang (Janice)
European Journal of Organic Chemistry	130	Filip Hessler
Journal of the American Chemical Society	131	Akira Shimizu (odd)
		Hsiao-Tieh Hsu (even)
Journal of Medicinal Chemistry	136	Matthew Stevens
Journal of Organic Chemistry	137	Matthew Jeffreys
Molecular Pharmaceutics	141	Colin McKinlay
Natural Product Reports	142	Jack Sloane
Nature	142	Ryan Quiroz
Nature Chemistry	142	Andrew Raub
Nature Chemical Biology	143	Jessica Vargas
The New York Times	N/A	Melanie Huttner
The Onion	N/A	Melanie Huttner
Organic Letters	144	Jack Sloane
Organometallics	146	Matthew Stevens
PNAS	147	Melanie Huttner
Science	148	Steven Ryckbosch
Science Translational Medicine	149	Jessica Vargas
Synlett	149	Andreas Steib
Synthesis	149	Andreas Steib
Tetrahedron	150	Filip Hessler
Tetrahedron Letters	151	Katie Near

Next Due Date: Monday, May 18, 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.

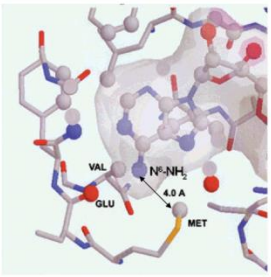
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

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.



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

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

methods
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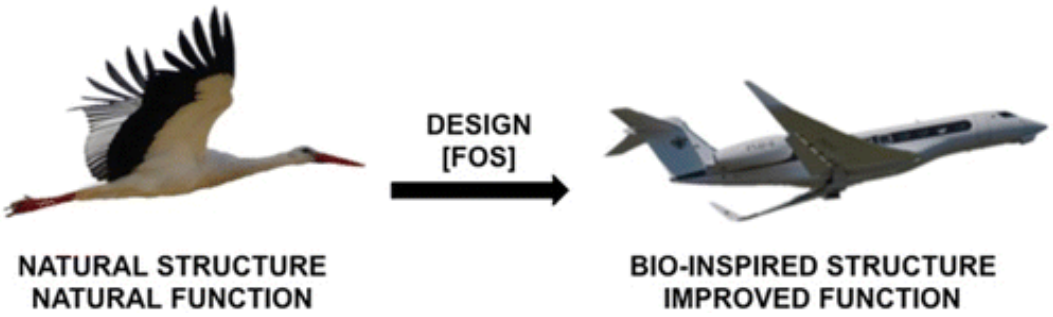
DON'T BE A MOOK!

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

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

Citation: Wender, P. A.; Quiroz, R. V.; Stevens, M. C.. *Accounts of Chem. Res.* **2015,** *48,* 752.

Function through Synthesis-Informed Design



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Citation: Liu, Y.; Han, S.-J.; Liu, W.-B.; Stoltz, B. M. *Accounts of Chem. Res.* **2015,** *48,* 740.

Catalytic Enantioselective Construction of Quaternary Stereocenters: Assembly of Key Building Blocks for the Synthesis of Biologically Active Molecules

The ever-present demand for drugs with better efficacy and fewer side effects continually motivates scientists to explore the vast chemical space. Traditionally, medicinal chemists have focused much attention on achiral or so-called “flat” molecules. More recently, attention has shifted toward molecules with stereogenic centers since their three-dimensional structures represent a much larger fraction of the chemical space and have a number of superior properties compared with flat aromatic compounds. Quaternary stereocenters, in particular, add greatly to the three-dimensionality and novelty of the molecule. Nevertheless, synthetic challenges in building quaternary stereocenters have largely prevented their implementation in drug discovery. The lack of effective and broadly general methods for enantioselective formation of quaternary stereocenters in simple molecular scaffolds has prompted the authors to investigate new chemistry and develop innovative tools and solutions.

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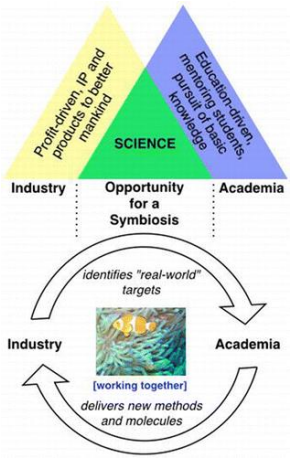
Citation: Michaudel, Q.; Ishihara, Y.; Baran, P.S. *Accounts of Chem. Res.* **2015,** *48,* 712.

Academia-Industry Symbiosis in Organic Chemistry



Although not every problem in industry can be solved by research developments in academia, the authors argue that there is significant scientific overlap between these two seemingly disparate groups, thereby presenting an opportunity for a symbiosis. This type of partnership is challenging but can be a win–win situation if both parties agree on some general guidelines, including clearly defined goals and deliverables, biweekly meetings to track research progress, and quarterly or annual meetings to recognize overarching, common objectives.

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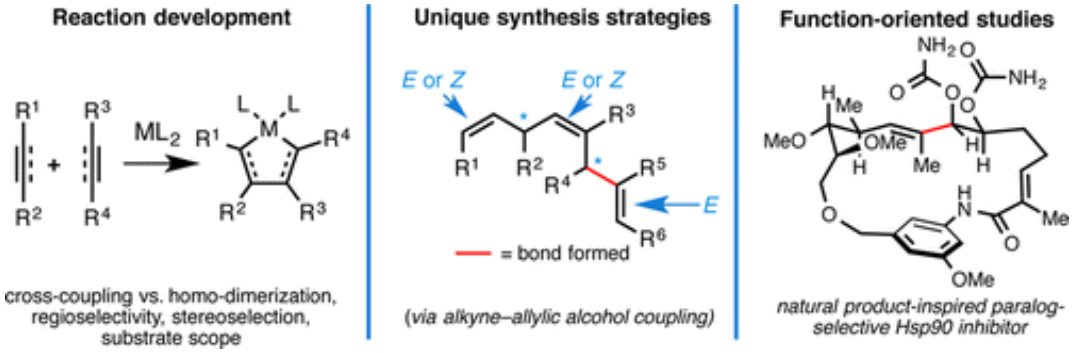
Citation: Micalizio, G. C.; Hale, S. B. *Accounts of Chem. Res.* **2015,** *48,* 663.

Reaction Design, Discovery, and Development as a Foundation to Function-Oriented Synthesis



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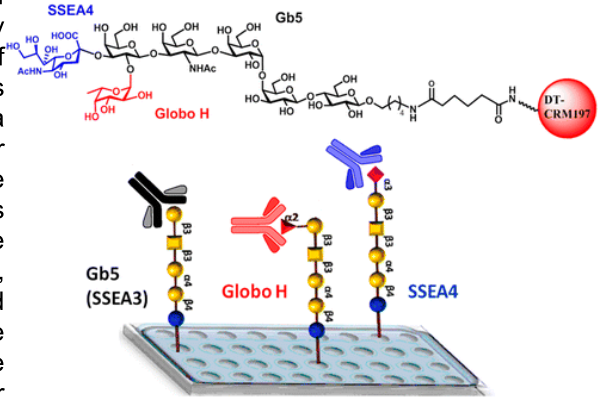
Citation: Danishefsky, S. J.; *et al. Accounts of Chem. Res.* **2015,** *48,* 643.

Development of Globo-H Cancer Vaccine

The development of anticancer vaccines requires the identification of unique epitope markers, preferably expressed exclusively on the surface of cancer cells. This Account describes the path of development of a carbohydrate-based vaccine for metastatic breast cancer, including the selection and synthesis of Globo-H as the target, the development of the vaccine conjugate and adjuvant design, the study of the immune response and consideration of class switch, and the analysis of Globo-H distribution on the surface of various cancer cells, cancer stem cells, and normal cells.



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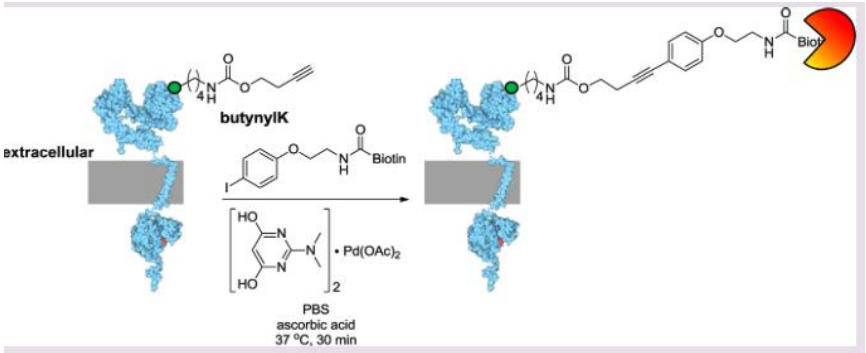
Citation: Li, et al. ACS Chem Biol. 2015, 10, 379-84.

A Genetically Encoded Alkyne Directs Palladium-Mediated Protein Labeling on Live Mammalian Cell Surface



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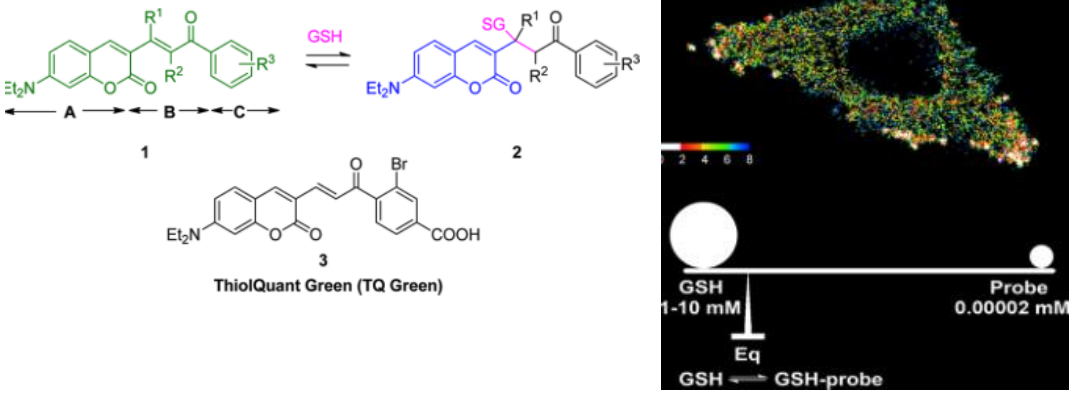
Citation: Wang et al. ACS Chem. Biol. 2015, 10, 864-874.

Quantitative Imaging of Glutathione in Live Cells Using a Reversible Reaction-Based Ratiometric Fluorescent Probe



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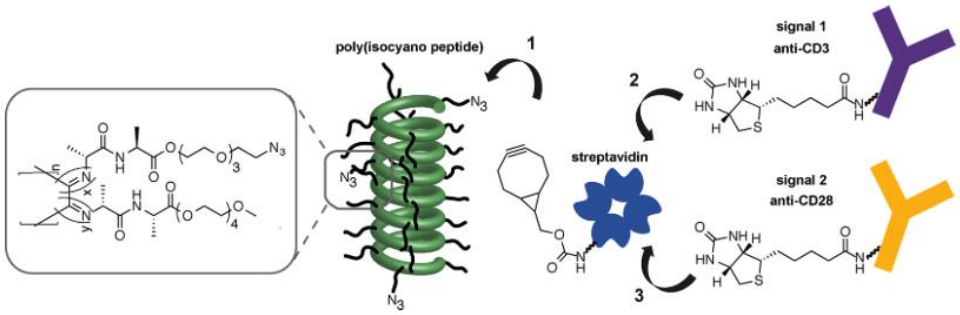
Citation: Figdor, et al. ACS Chem. Biol. 2015, 10, 485-92.

Polymer-Based Synthetic Dendritic Cells for Tailoring Robust and Multifunctional T Cell Responses



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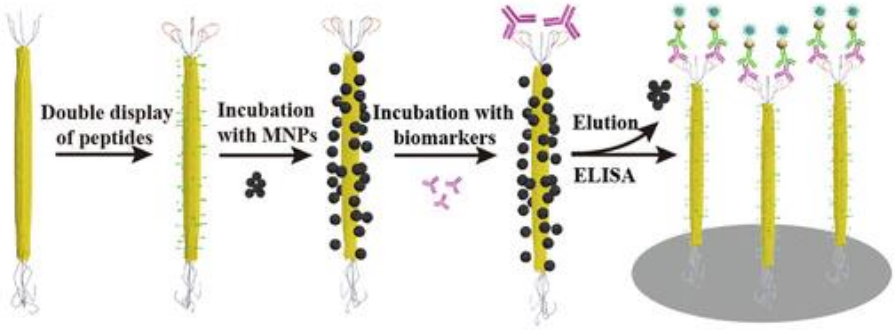
Citation: Wang, Y. et. al, *ACS Nano,* **2015**, Article *ASAP*

Ultrasensitive Rapid Detection of Human Serum Antibody Biomarkers by Biomarker-Capturing Viral Nanofibers



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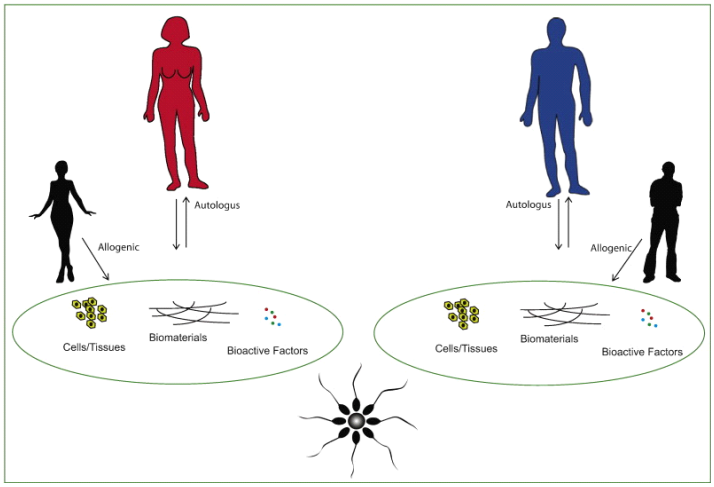
Citation: Sadri-Ardekani, *et al. Adv. Drug Deliv. Rev.* **2015,** 82, 145-152.



Regenerative medicine for the treatment of reproductive system disorders: Current and potential options

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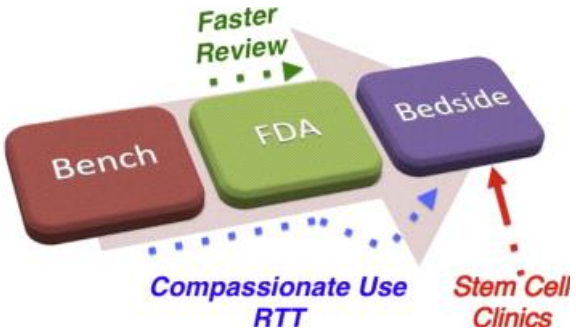
Citation: Knoepfler, *et al. Adv. Drug Deliv. Rev.* **2015,** 83, 192-196.

From bench to FDA to bedside: US regulatory trends for new stem cell therapies



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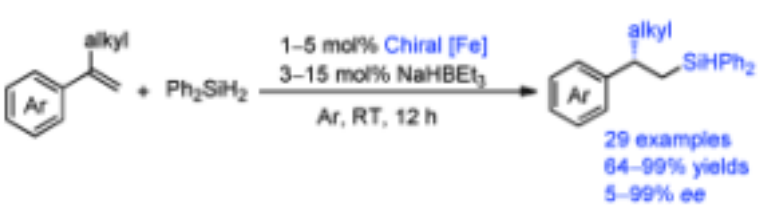
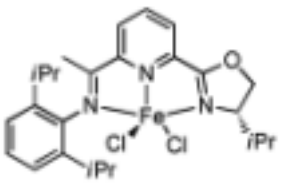
Citation: Lu, Z. et al. *Angew. Chem. Int. Ed.* **2015**, *54*, 4661 –4664

Iron-Catalyzed Asymmetric Hydrosilylation of 1,1-Disubstituted Alkenes





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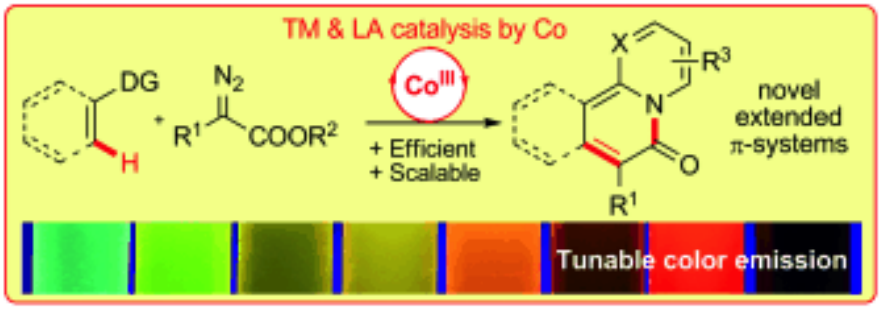
Citation: Glorius, F. et al. *Angew. Chem. Int. Ed.* **2015**, *54*,4508 –4511

You have full text access to this content Cobalt(III)-Catalyzed Directed C[BOND]H Coupling with Diazo Compounds: Straightforward Access towards Extended π-Systems



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Citation: Siegel, J. S. *Angew. Chem. Int. Ed.* **2015**, *54*, 4974 –4975

Half a Century of the Bürgenstock Conference: A Pilgrim's Tale

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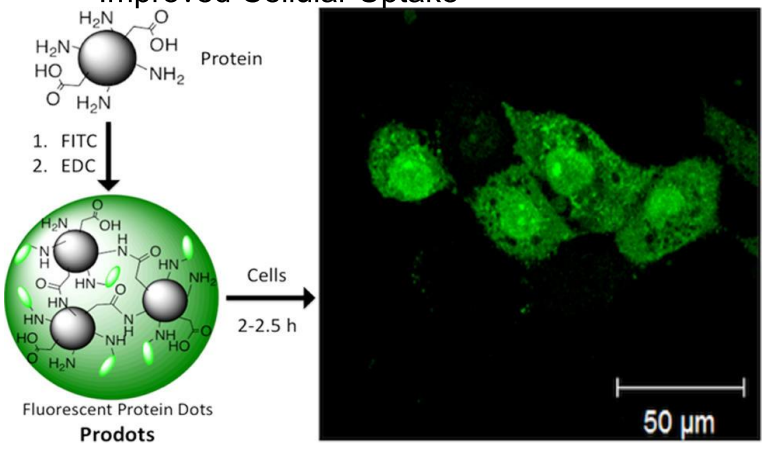
Citation: Bioconjugate Chem. 2015, 26 (3), 396–404.

Fluorescent, Bioactive Protein Nanoparticles (Prodots) for Rapid, Improved Cellular Uptake

An interesting strategy to use a mash of proteins together as a delivery strategy. This could potentially be combined with other cargos such as siRNA or Taxol to deliver and release cargo



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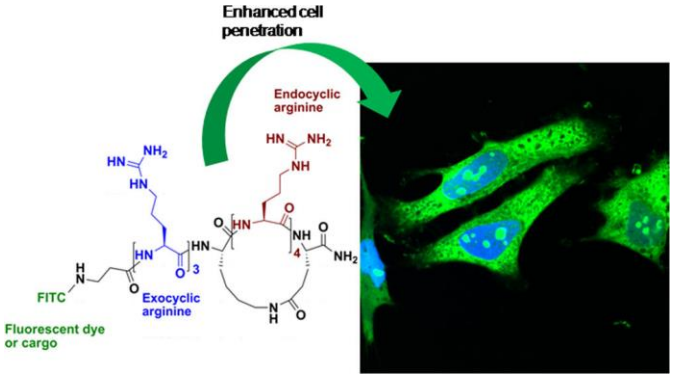
Citation: Bioconjugate Chem. 2015, 26 (3), 405–411.

Macrocyclic Cell Penetrating Peptides: A Study of Structure-Penetration Properties

Most of the materials that our group has used have been either linear or branched in structure. This group makes cyclic arginine oligomers and demonstrates that they are better able to enter cells compared to their linear counterparts



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Citation: Biomacromolecules 2015, 16 (4), 1169–1178.

Broad-Spectrum Antimicrobial Polycarbonate Hydrogels with Fast Degradability.

An interesting study out of the Hedrick lab (our collaborators) at IBM looking at antimicrobial properties of hydrogels that have been quaternized with MeI. Their gels exhibit nice swelling behavior and degrade in aqueous environments

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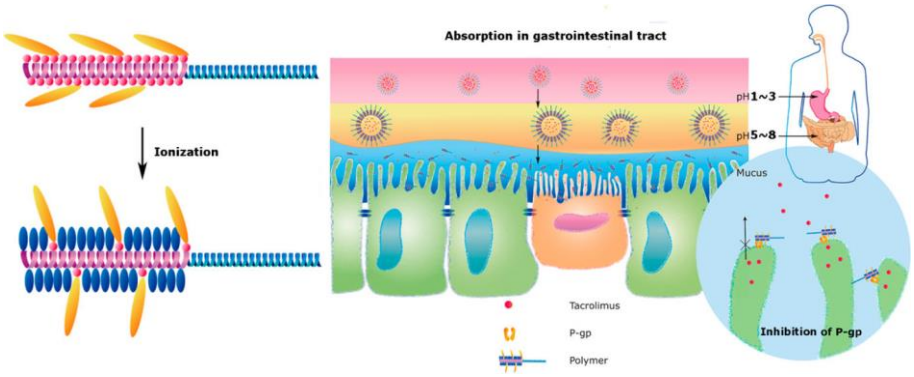
Citation: Biomacromolecules 2015, 16 (4), 1179–1190.

Enteric Polymer Based on pH-Responsive Aliphatic Polycarbonate Functionalized with Vitamin E To Facilitate Oral Delivery of Tacrolimus.



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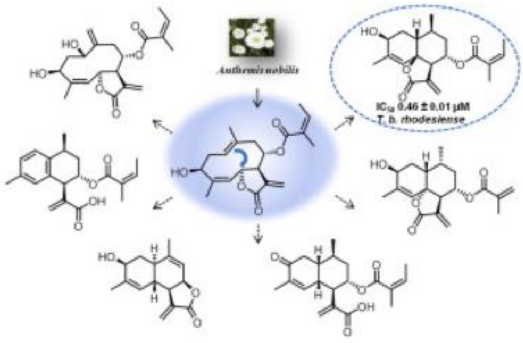
Citation: .De Mieri, M. et al. *Bioorg. Med. Chem*., 23, (2015) 1521-1529

Anti-trypanosomal cadinanes synthesized by transannular cyclization of the natural sesquiterpene lactone nobilin



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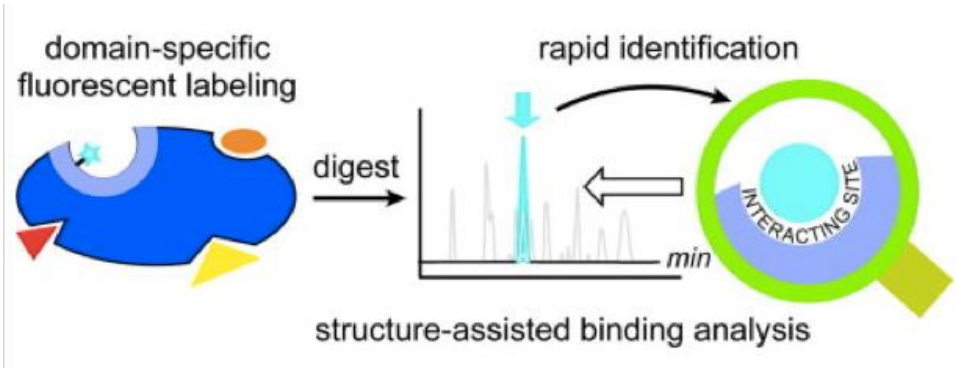
Citation: Masuda, S. et al. *Bioorg. Med. Chem. Lett*., 25, (2015) 1675-1678

Structure-assisted ligand-binding analysis using fluorogenic photoaffinity labeling



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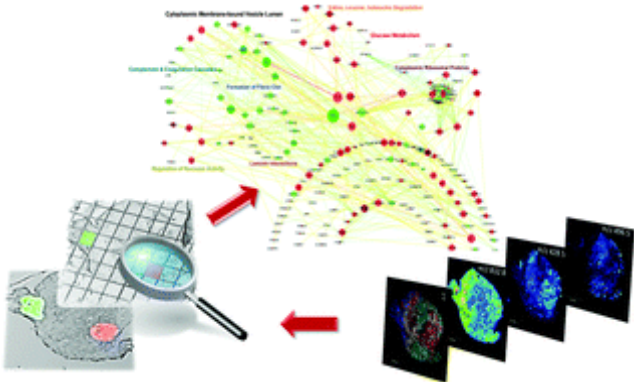
Citation: Quanico, J. *et al. Chem. Commun.* **2015**, *51,* 4564.

Parafilm-assisted microdissection: a sampling method for mass spectrometry-based identification of differentially expressed prostate cancer protein biomarkers



Mass spectrometry-based methods for prostate cancer biomarker discovery are hampered by their low-throughput capabilities because of extensive sample preparation. We present the parafilm-assisted microdissection technique coupled with label-free quantification and bioinformatics analysis as a means to evaluate directly protein expression changes on benign and tumor regions.

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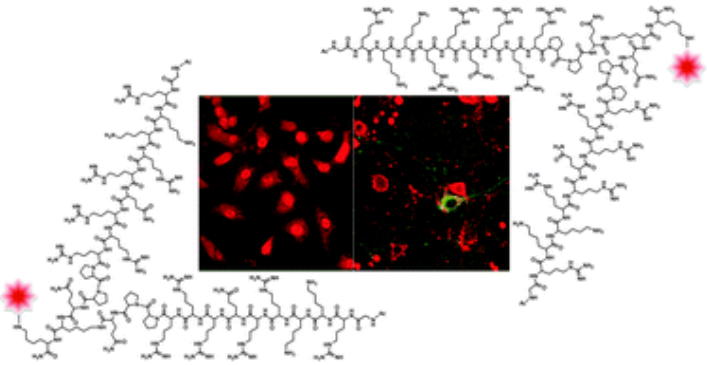
Citation: Monreal, I. A; *et al. Chem. Commun.* **2015**, *51,* 5463.

Branched dimerization of Tat peptide improves permeability to HeLa and hippocampal neuronal cells



A dimeric branched peptide TATp-D designed as an analogue of the HIV-Tat protein transduction domain (TATp) demonstrates significantly enhanced cell uptake at 0.25 to 2.5 μM. The observed enhanced ability of TATp-D to translocate through the membrane is highlighted by a non-linear dependence on concentration, exhibiting the greatest uptake at sub-micromolar concentrations.

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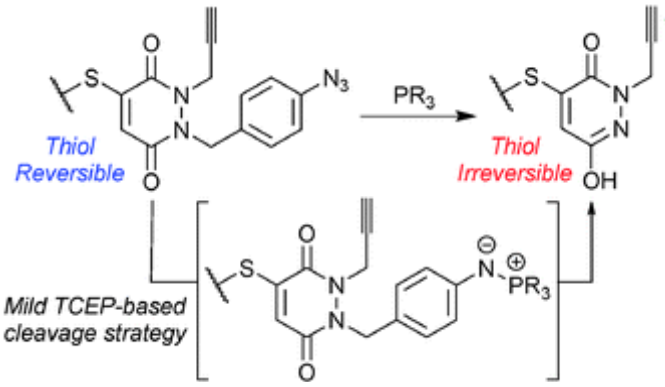
Citation: Maruani, A.; *et al. Chem. Commun.* **2015**, *51,* 5279.

A mild TCEP-based para-azidobenzyl cleavage strategy to transform reversible cysteine thiol labelling reagents into irreversible conjugates



It has recently emerged that the succinimide linkage of a maleimide thiol addition product is fragile, which is a major issue in fields where thiol functionalisation needs to be robust. Herein we deliver a strategy that generates selective cysteine thiol labelling reagents, which are stable to hydrolysis and thiol exchange.

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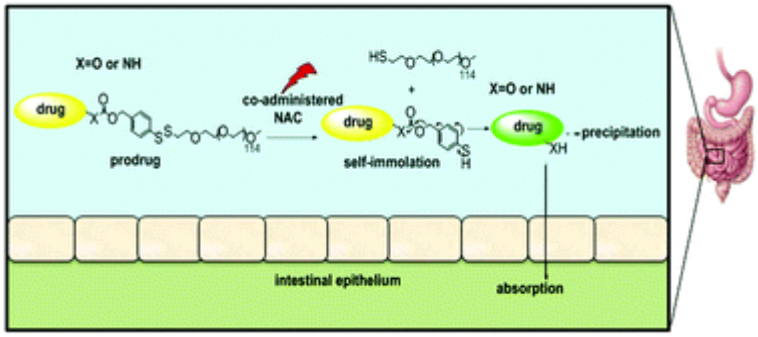
Citation: Sun, T.; *et al*. *Chem. Commun.* **2015**, *51,* 5721.

An oral redox-sensitive self-immolating prodrug strategy



We report a novel oral prodrug approach where a solubilizing polymer conjugated to the drug is designed to be released by the action of an exogenously administered agent in the intestine. A redox-sensitive self-immolating design was implemented, and the reconversion kinetics were studied for three reducible prodrugs.

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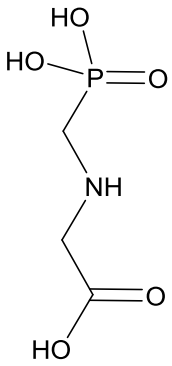
Citation: *C&E News*, http://goo.gl/lB2N47

Common Herbicide Used In Monsanto's Roundup Deemed 'Probably Carcinogenic'



The widely used herbicide glyphosate, sold by Monsanto as Roundup, is "probably carcinogenic to humans," concludes an evaluation by the World Health Organization's cancer arm—the International Agency for Research on Cancer (IARC).

Monsanto is strongly disputing the assessment, which was published online on March 20 (Lancet Oncol. 2015, DOI: 10.1016/s1470-2045(15)70134-8). The company claims that the determination is not supported by scientific data.
"We don't know how IARC could reach a conclusion that is such a dramatic departure from the conclusion reached by all regulatory agencies around the globe," says Philip Miller, vice president of global regulatory affairs at Monsanto.

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

Fracking Activities Pollute Nearby Air With Carcinogenic Hydrocarbons

Hydraulic fracturing activities to extract natural gas can release carcinogenic polycyclic aromatic hydrocarbons (PAHs) into the air, a new study shows (Environ. Sci. Technol. 2015, DOI: 10.1021/es506095e). In some cases, the estimated exposure of nearby residents to these compounds exceeded the Environmental Protection Agency's maximum acceptable risk level for cancer.

Many researchers and community leaders are concerned about the human health impacts of air and water pollution from hydraulic fracturing, often called fracking, and the limited environmental regulation of the industry in the U.S. Fracking can release carcinogens such as benzene into the air along with other volatile organic compounds that are precursors of smog, which can contribute to asthma and other respiratory illnesses (Sci. Total Environ. 2012, DOI: 10.1016/j.scitotenv.2012.02.018).

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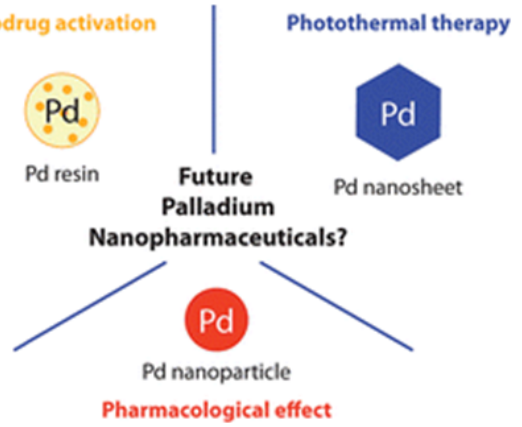
Prostratin

Citation: Dumas, A., et al. *Chem. Sci.* **2015**, 6, 2153-2157

Palladium: a future key player in the nanomedical field?



bioorganic
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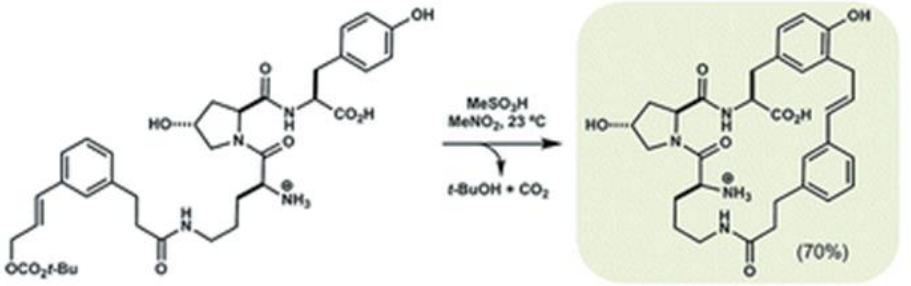
Prostratin

Citation: Rose, T. E., et al. *Chem. Sci.* **2015**, 6, 2219-2223

Lare ring-forming alkylations provide facile access to composite macrocycles



High functional group tolerance
46 macrocycles prepared
18- to 36-membered rings

No metals,
protecting groups
or air-free techniques

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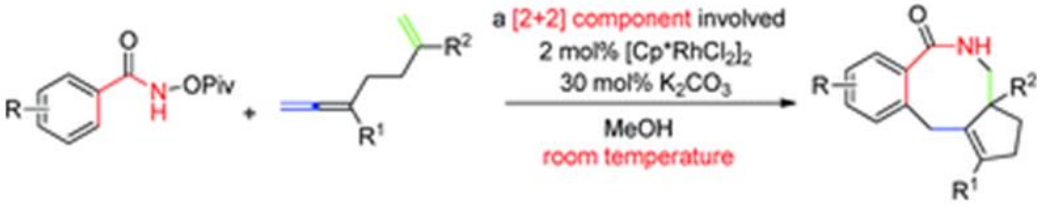
Citation: Wu, S., et al. *Chem. Sci.* **2015**, 6, 2275-2285

Rhodium-catalyzed C-H functionalization-based approach to eight-membered lactams



bioorganic
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Citation: Eskandari, *et al. Chem. Eur. J.* **2015,** 21, 4739-4746

Does Fluorine Participate in Halogen Bonding?

When R is sufficiently electron withdrawing, the fluorine in the R[BOND]F molecules could interact with electron donors (e.g., ammonia) and form a noncovalent bond (F---N). Although these interactions are usually categorized as halogen bonding, our studies show that there are fundamental differences between these interactions and halogen bonds. it seems that the F---N interactions should be referred to as ¡°fluorine bond¡± instead of halogen bond.

Although the anisotropic distribution of electronic charge around a halogen is responsible for halogen bond formations, the electronic charge around the fluorine in these molecules is spherical. According to source function analysis, F is the sink of electron density at the F-N BCP, whereas other halogens are the source. In contrast to halogen bonds, the F-N interactions cannot be regarded as lump¨Chole interactions; there is no hole in the valence shell charge concentration (VSCC) of fluorine. Although the quadruple moment of Cl and Br is mainly responsible for the existence of ¦Ò-holes, it is negligibly small in the fluorine. Here, the atomic dipole moment of F plays a stabilizing role in the formation of F-N bonds. Interacting quantum atoms (IQA) analysis indicates that the interaction between halogen and nitrogen in the halogen bonds is attractive, whereas it is repulsive in the F-N interactions. Virial-based atomic energies show that the fluorine, in contrast to Cl and Br, stabilize upon complex formation.

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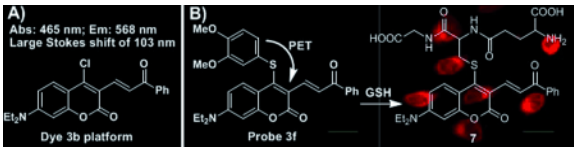
Citation: Liu, *et al. Chem. Eur. J.* **2015,** 21, 4747-4754

Construction of a Selective Fluorescent Probe for GSH Based on a Chloro-Functionalized Coumarin-enone Dye Platform



A fluorescent probe that can selectively sense intracellular GSH would be very valuable for understanding of its biological functions and mechanisms of diseases. In this work, a 3,4-dimethoxythiophenol-substituted coumarin-enone was exploited as a reaction-type fluorescent probe for GSH based on a chloro-functionalized coumarin-enone platform. In the probe, the 3,4-dimethoxythiophenol group functions not only as a fluorescence quencher through photoinduced electron transfer (PET) to ensure a low background fluorescence, but also as a reactive site for biothiols. The probe displays a dramatic fluorescence turn-on response toward GSH with the long-wavelength emission (600nm) and significant Stokes shift (100nm). The selectivity of the probe toward GSH over cysteine (Cys), homocysteine (Hcy), and other amino acids was demonstrated. Assisted by laser-scanning confocal microscopy, the probe was shown to specifically sense GSH over Cys/Hcy in human renal cell carcinoma SiHa cells.

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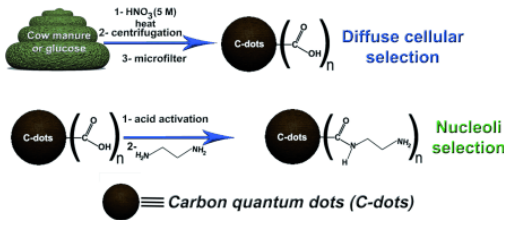
Citation: D'Angelis *et al. Chem. Eur. J.* **2015,** *21*, 5055-5060.

Carbon Dots (C-dots) from Cow Manure with Impressive Subcellular Selectivity Tuned by Simple Chemical Modification

Carbon quantum dots, also known as C-dots, are small carbon nanoparticles with a quantum-confinement effect. C-dots generally consist of C, H, and O atoms found in a quasi-spherical structure with crystalline graphite character, as such, they represent a class of new materials, which was discovered only a few years ago. C-dots have been already applied as probes in bioimaging experiments with partial success, but such that they remain very promising materials. Cellular selection is one of the most attractive attributes of new fluorescent probes. The authors report C-dots synthesized from cow manure (or from glucose) by chemical oxidation are capable of selectively staining the cell nuclei of the breast cancer cell lineage MCF-7 after a simple chemical modification with ethylenediamine. Four other cellular models were also tested and showed excellent results with the modified C-dots.



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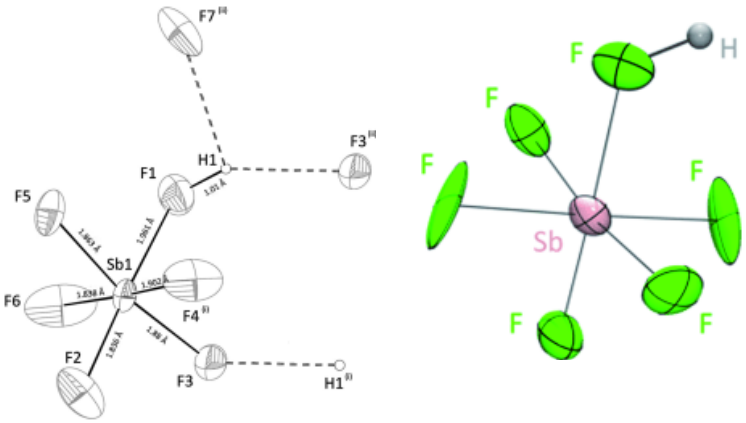
Citation: Bour, *et al. Chem. Eur. J.* **2015,** 21, 6066-6069.

First Evidence for the Existence of Hexafluoroantimonic(V) Acid



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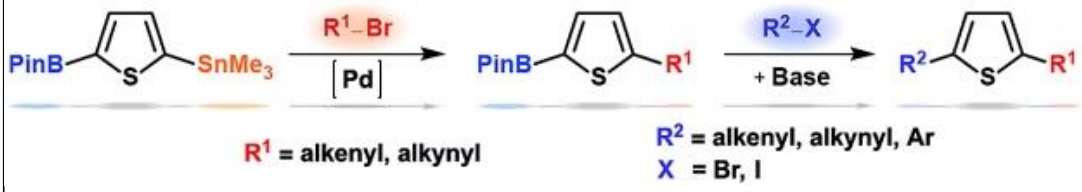
Citation: Staubitz, A. et. al. *Eur. J. Org. Chem.* **2015**, 2498–2502.

Nucleophile-Selective Cross-Coupling Reactions with Vinyl and Alkynyl Bromides on a Dinucleophilic Aromatic Substrate

A nucleophile-selective cross-coupling reaction on an aromatic compound bearing two metal groups, Bpin and SnMe3, has been developed.The first nucleophilic site reacts with the stannyl group, and subsequently, a Suzuki–Miyaura cross-coupling reaction can take place on the same molecule.



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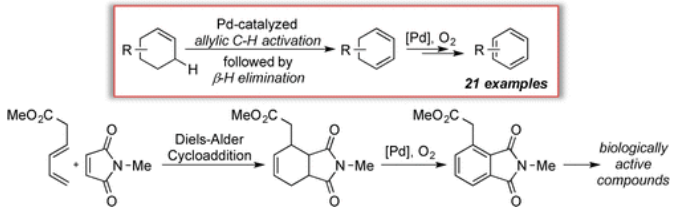
Citation: Iosub, A. V. et al. J. Am. Chem. Soc., 2015, 137 (10), pp 3454–3457

Palladium-Catalyzed Aerobic Oxidative Dehydrogenation of Cyclohexenes to Substituted Arene Derivatives



A palladium(II) catalyst system has been identified for aerobic dehydrogenation of substituted cyclohexenes to the corresponding arene derivatives. Use of sodium anthraquinone-2-sulfonate (AMS) as a cocatalyst enhances the product yields. A wide range of functional groups are tolerated in the reactions, and the scope and limitations of the method are described. The catalytic dehydrogenation of cyclohexenes is showcased in an efficient route to a phthalimide-based TRPA1 activity modulator.

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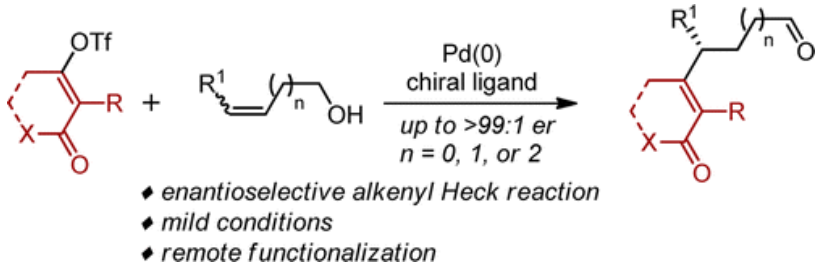
Citation: Patel, H. H. et al. J. Am. Chem. Soc., 2015, 137 (10), pp 3462–3465

Palladium-Catalyzed Enantioselective Heck Alkenylation of Acyclic Alkenols Using a Redox-Relay Strategy



A highly enantioselective intermolecular Heck reaction of alkenyl triflates and acyclic primary or racemic secondary alkenols was reported.The synthetic utility of the process is demonstrated by a two-step modification of a reaction product to yield a tricyclic core structure, present in various natural products.

bioorganic
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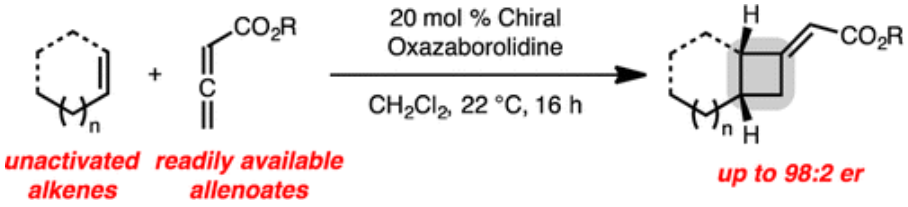
Prostratin

Citation: Connor, M. L. et al. J. Am. Chem. Soc., 2015, 137 (10), pp 3482–3485

Catalytic Enantioselective Allenoate–Alkene [2 + 2] Cycloadditions



Catalytic enantioselective [2 + 2] cycloadditions between allenoates and alkenes is disclosed. The method functions well for a variety of alkenes, and the products are generated with excellent levels of enantioselectivity. One of the most significant aspects of the present method is that unactivated alkenes are suitable substrates for this method, which is distinctly different from nearly all other catalytic enantioselective [2 + 2] cycloaddition methods.

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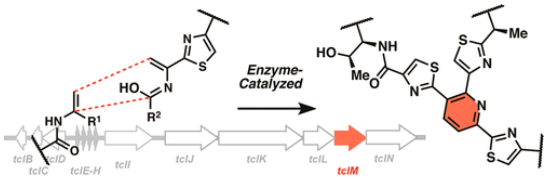
Citation: Wever, W. J. et al. J. Am. Chem. Soc., 2015, 137 (10), pp 3494–3497

Chemoenzymatic Synthesis of Thiazolyl Peptide Natural Products Featuring an Enzyme-Catalyzed Formal [4 + 2] Cycloaddition



Thiocillins from Bacillus cereus ATCC 14579 have been hypothesized that the final step of thiazolyl peptide biosynthesis involves a formal [4 + 2] cycloaddition between two dehydroalanines. TclM, a single enzyme from the thiocillin biosynthetic pathway, catalyzes this transformation. To facilitate characterization of this new class of enzyme, a combined chemical and biological route to the complex peptide substrate, relying on chemical synthesis of a modified C-terminal fragment and coupling to a 38-residue leader peptide by means of native chemical ligation (NCL) was developed. This strategy, combined with active enzyme, provides a new chemoenzymatic route to this promising class of antibiotics.

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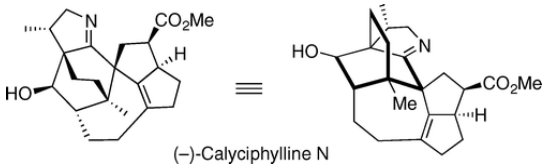
Citation: Shvartsbart, A. et al. J. Am. Chem. Soc., 2015, 137 (10), pp 3510–3519

The Daphiphyllum Alkaloids: Total Synthesis of (-)-Calyciphylline N



(–)-Calyciphylline N

Presented here is a full account on the development of a strategy culminating in the first total synthesis of the architecturally complex daphniphyllum alkaloid, (-)-Calyciphylline N. Highlights of the approach include a highly diastereoselective, intramolecular Diels–Alder reaction of a silicon-tethered acrylate; an efficient Stille carbonylation of a sterically encumbered vinyl triflate; a one-pot Nazarov cyclization/proto-desilylation sequence; and the chemoselective hydrogenation of a fully substituted diene ester.

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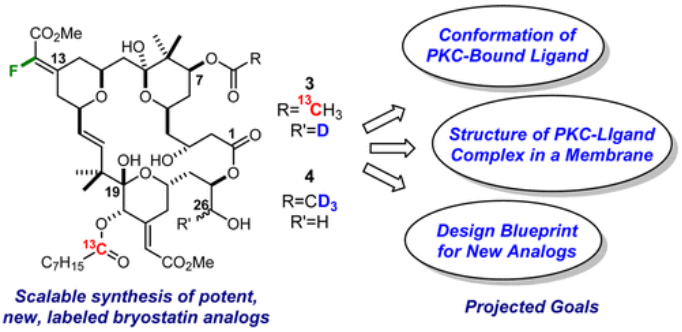
Citation: Loy, B. A. et al. J. Am. Chem. Soc., 2015, 137 (10), pp 3678–3685

Toward a Biorelevant Structure of Protein Kinase C Bound Modulators: Design, Synthesis, and Evaluation of Labeled Bryostatin Analogues for Analysis with Rotational Echo Double Resonance NMR Spectroscopy

Congratulations Sushi!!!



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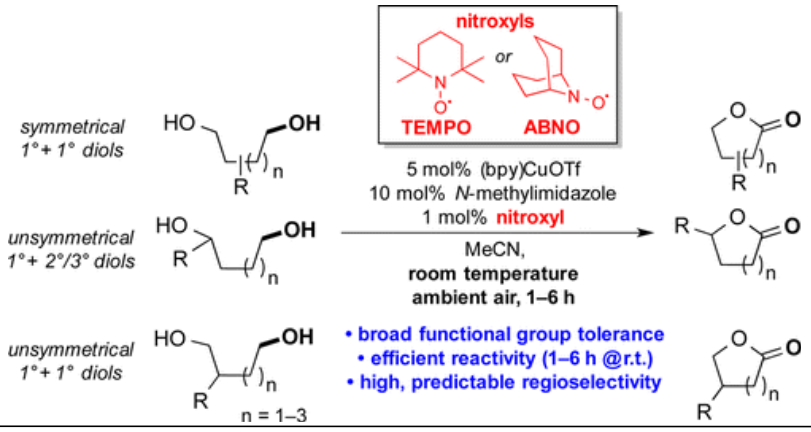
Citation: Xiaomin Xie and Shannon S. Stahl
Journal of the American Chemical Society 2015 137 (11), 3767-3770

Efficient and Selective Cu/Nitroxyl-Catalyzed Methods for Aerobic Oxidative Lactonization of Diols



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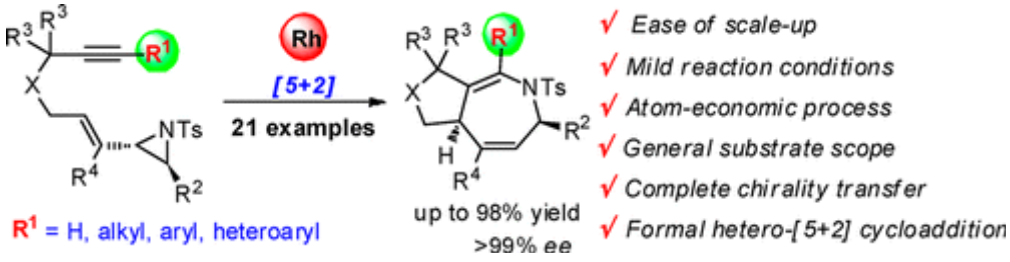
Citation: Jian-Jun Feng, Tao-Yan Lin, Hai-Hong Wu, and Junliang Zhang
Journal of the American Chemical Society **2015** 137 (11), 3787-3790

Transfer of Chirality in the Rhodium-Catalyzed Intramolecular Formal Hetero-[5 + 2] Cycloaddition of Vinyl Aziridines and Alkynes: Stereoselective Synthesis of Fused Azepine Derivatives



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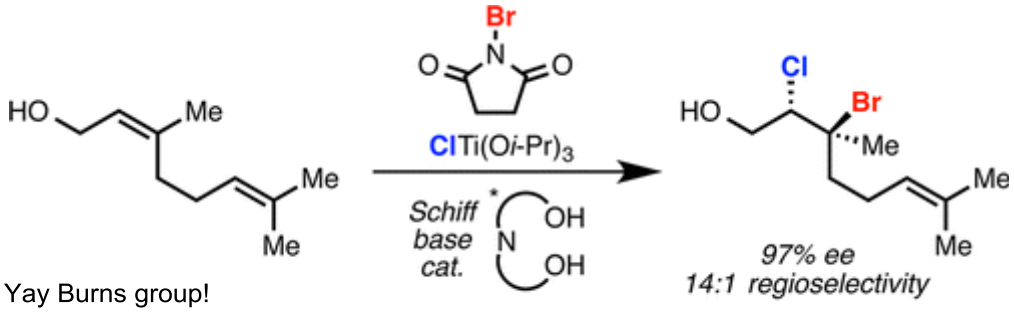
Citation: Dennis X. Hu, Frederick J. Seidl, Cyril Bucher, and Noah Z. Burns
Journal of the American Chemical Society **2015** 137 (11), 3795-3798

Catalytic Chemo-, Regio-, and Enantioselective Bromochlorination of Allylic Alcohols



Yay Burns group!

catalyst-controlled selective ***interhalogenation***

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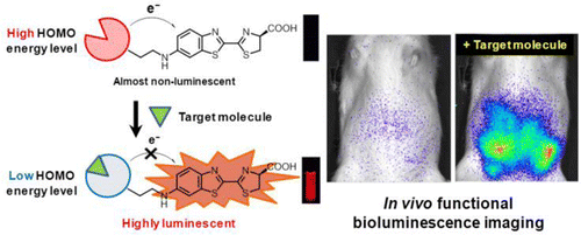
Citation: Takakura, H. et al. J. Am. Chem. Soc., 2015, 137 (12), pp 4010–4013

New Class of Bioluminogenic Probe Based on Bioluminescent Enzvme-Induced Electron Transfer: BioLeT



A new design strategy (designated as bioluminescent enzyme-induced electron transfer: BioLeT) for luciferin-based bioluminescence probes was reported. Luminescence measurements of a series of aminoluciferin derivatives confirmed that bioluminescence can be controlled by means of BioLeT. Based on this concept, bioluminescence probes for nitric oxide that enabled quantitative and sensitive detection even in vivo was developed. This strategy should be applicable to develop a wide range of practically useful bioluminogenic probes.

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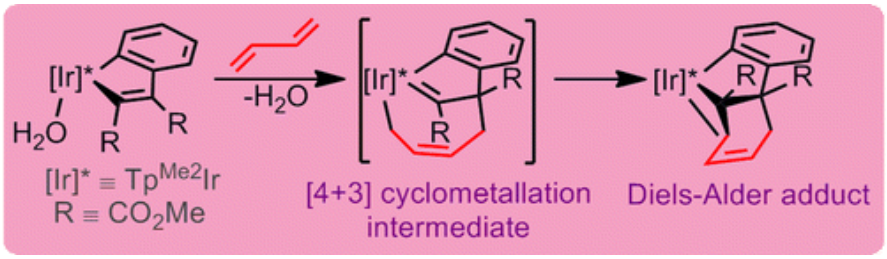
Citation: Vivancos, A. et al. J. Am. Chem. Soc., 2015, 137 (12), pp 4074–4077

A Diels–Alder Reaction Triggered by a [4 + 3] Metallacycloaddition



Experimental and DFT studies are in accordance with an initial [4 + 3] cyclometalation reaction between the diene and the five-coordinated 16-electron organometallic fragment 1 (generated from 1-OH2 by facile water dissociation). The reaction can be extended to a related TpIr(III) complex (Tp = hydrotris(pyrazolyl)borate) that also features a labile ligand (i.e., 2-THF).

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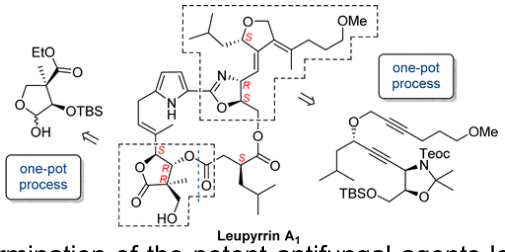
Citation: Herkommer, D. et al. J. Am. Chem. Soc., 2015, 137 (12), pp 4086–4089

Stereochemical Determination of the Leupyrrins and Total Synthesis of Leupyrrin A1



The stereochemical determination of the potent antifungal agents leupyrrin A1 and B1 and the total synthesis of leupyrrin A1 are reported. The relative and absolute configuration was determined by a combination of high field NMR studies, molecular modeling, and chemical derivatization. The expedient total synthesis involves a one-pot sequential Zr-mediated oxidative diyne-cyclization/regioselective opening sequence for preparation of the unique dihydrofuran ring, a highly stereoselective one-pot approach to the butyrolactone, a challenging sp2–sp3 Suzuki coupling and a high-yielding Shiina macrolactonization.

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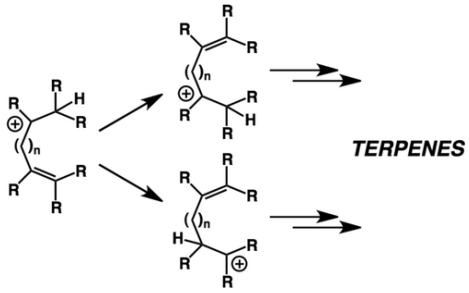
Citation: Hong, Y. J. et al. J. Am. Chem. Soc., 2015, 137 (12), pp 4134–4140

Feasibility of Intramolecular Proton Transfers in Terpene Biosynthesis – Guiding Principles



On the basis of results from quantum chemical calculations, the feasibility of an extensive series of intramolecular proton-transfer reactions postulated to occur during terpene biosynthesis is assessed and guiding principles are proposed.

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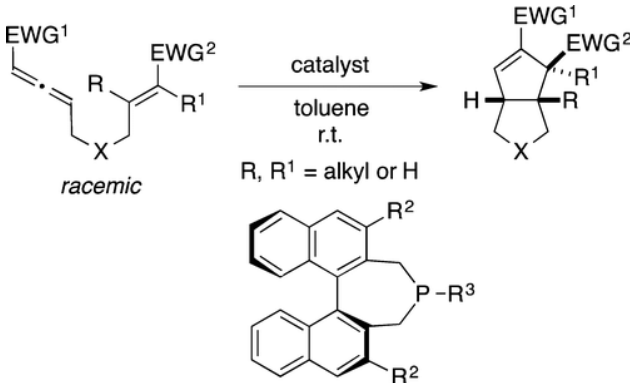
Citation: Sarah Yunmi Lee, Yuji Fujiwara, Atsuko Nishiguchi, Marcin Kalek, and Gregory C. Fu *Journal of the American Chemical Society* **2015** 137 (13), 4587-4591

Phosphine-Catalyzed Enantioselective Intramolecular [3+2] Annulations To Generate Fused Ring Systems



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Citation: N/A

Retraction of “Mechanically Facilitated Retro [4 + 2] Cycloadditions”

Along with 2 other JACS articles and articles from other journals.

Falsifying data is bad

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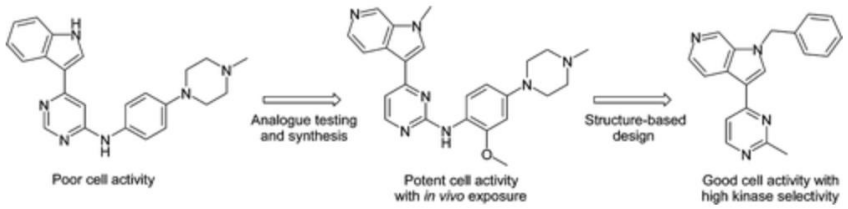
Citation: Kettle, J. G.; *et al. J. Med. Chem.* **2015**, 58 (6), 2834-2844.

Discovery and Optimization of a Novel Series of Dyrk1B Kinase Inhibitors To Explore a MEK Resistance Hypothesis



Potent and selective inhibitors of Dyrk1B kinase were developed to explore the hypothesis, based on siRNA studies, that Dyrk1B may be a resistance mechanism in cells undergoing a stress response.

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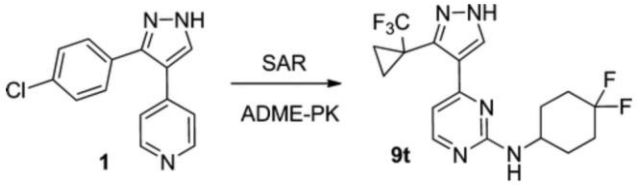
Citation: Wityak, J.; *et al. J. Med. Chem.* **2015**, 58 (7), 2967-2987

Lead Optimization toward Proof-of-Concept Tools for Huntington’s Disease within a 4-(1*H*-Pyrazol-4-yl)pyrimidine Class of Pan-JNK Inhibitors



Through medicinal chemistry lead optimization studies focused on calculated properties and guided by X-ray crystallography and computational modeling, potent pan-JNK inhibitors were identified that showed submicromolar activity in a cellular assay. Using in vitro ADME profiling data, **9t** was identified as possessing favorable permeability and a low potential for efflux, but it was rapidly cleared in liver microsomal incubations. In a mouse pharmacokinetics study, compound **9t** was brain-penetrant after oral dosing, but exposure was limited by high plasma clearance. Brain exposure at a level expected to support modulation of a pharmacodynamic marker in mouse was achieved when the compound was coadministered with the pan-cytochrome P450 inhibitor 1-aminobenzotriazole.

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DDO
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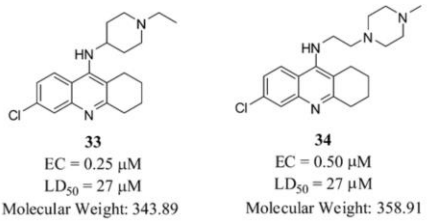
Prostratin

Citation: Wang, T.; *et al. J. Med. Chem.* **2015**, 58 (7), 3025-3035

Synthesis of Improved Lysomotropic Autophagy Inhibitors



33
EC = 0.25 μM
LD_{50} = 27 μM
Molecular Weight: 343.89

34
EC = 0.50 μM
LD_{50} = 27 μM
Molecular Weight: 358.91

Autophagy is a conserved cellular pathway used to recycle nutrients through lysosomal breakdown basally and under times of stress (e.g., nutrient deprivation, chemotherapeutic treatment). Oncogenes are known to induce autophagy, which may be exploited by cancers for cell survival. To identify autophagy inhibitors with potential therapeutic value for cancer, we screened a panel of antimalarial agents and found that quinacrine (QN) had 60-fold higher potency of autophagy inhibition than chloroquine (CQ), a well-known autophagy inhibitor that functions by disrupting lysosomal activity...

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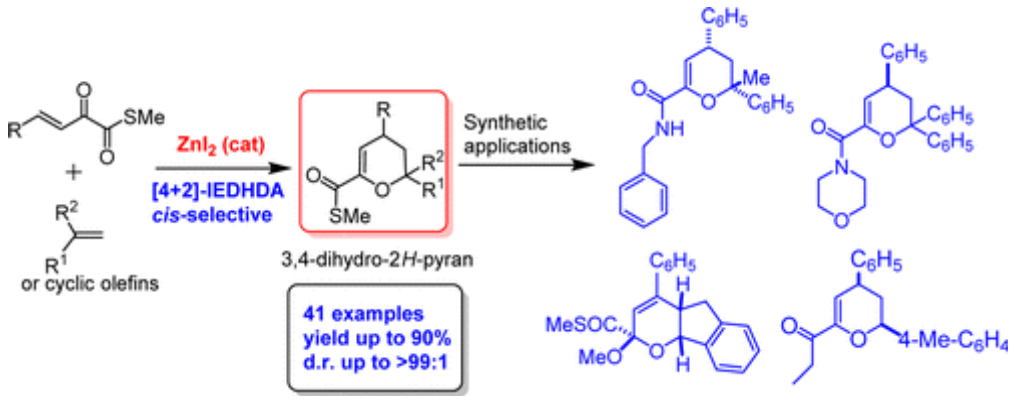
Citation: Mal, K.; Das, S.; Maiti, N.C.; Natarajan, R.; Das, I. *JOC,* **2015**, *80,* 2972-2988.

ZnI2-Catalyzed Diastereoselective [4 + 2] Cycloadditions of β,γ-Unsaturated α-Ketothioesters with Olefins



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Hybrid
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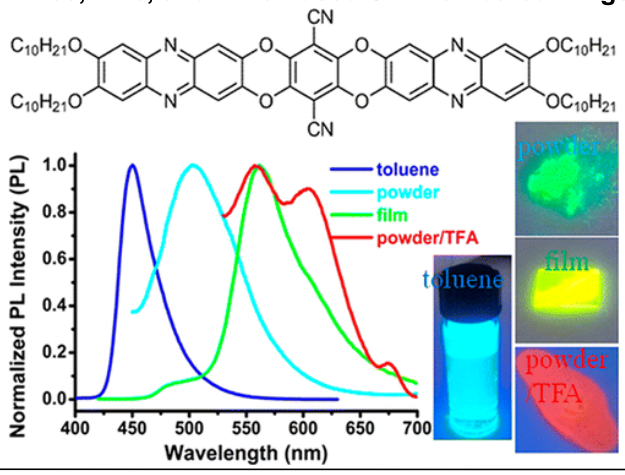
Citation: Zhang, Q.; *et al*. *JOC,* **2015**, *80*, 3030-3035.

Synthesis, Physical Properties, and Light-Emitting Diode Performance of Phenazine-Based Derivatives with Three, Five, and Nine Fused Six-Membered Rings

Article describes some of the concerns that are important for OLED use. This might be applicable to our studies of acenes.



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Hybrid
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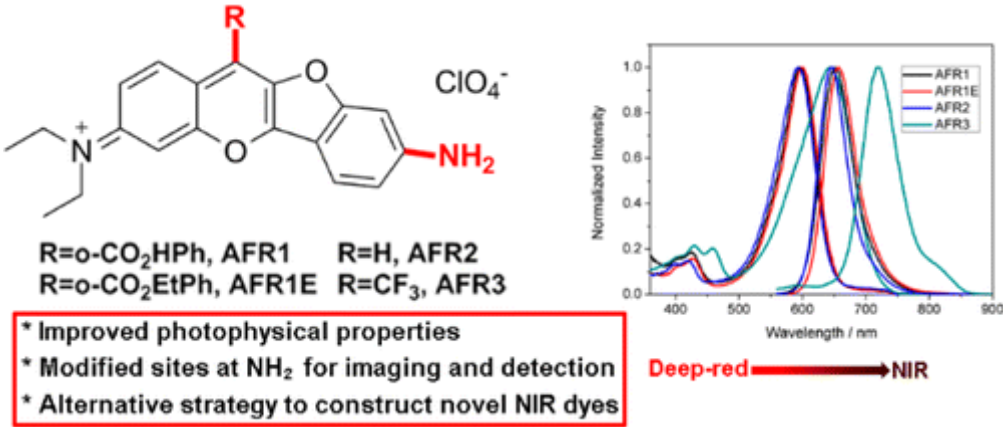
Prostratin

Citation: Liu, W.; *et al*. *JOC,* **2015**, *80,* 3170-3175.

Aminobenzofuran-Fused Rhodamine Dyes with Deep-Red to Near-Infrared Emission for Biological Applications



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DDO
Hybrid
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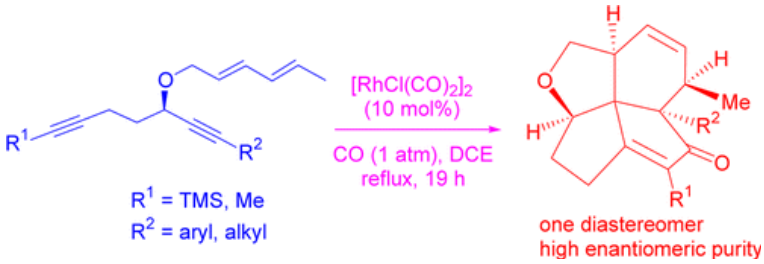
Prostratin

Citation: Ying, J.; Brown, K.B.; Sandridge, M.J.; Hering, B.A.; Sabat, M.; Pu, L. *JOC,* **2015**, *80,* 3195-3202.

Rh(I)-Catalyzed Chemo- and Stereoselective Domino Cycloaddition of Optically Active Propargyl 2,4-Hexadienyl Ethers



The facility with which these highly complex structures can be accessed is quite impressive. Particularly of note is the impressive stereochemistry that is generated.

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Hybrid
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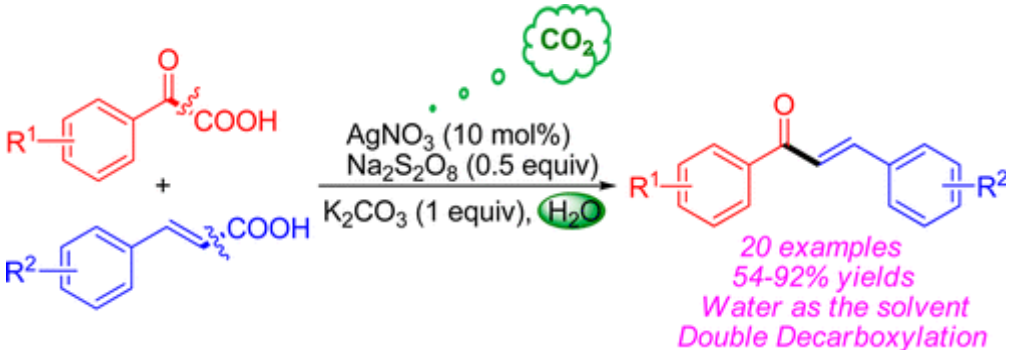
Citation: Zhang, N.; Yang, D.; Wei, W.; Yuan, L.; Nie, F.; Tian, L.; Wang, H. *JOC,* **2015**, *80*, 3258-3263.

Silver-Catalyzed Double-Decarboxylative Cross-Coupling of a-Keto Acids with Cinnamic Acids in Water: A Strategy for the Preparation of Chalcones



Using decarboxylative strategies seems like a highly effective way of generating mild "coupling partners"

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Hybrid
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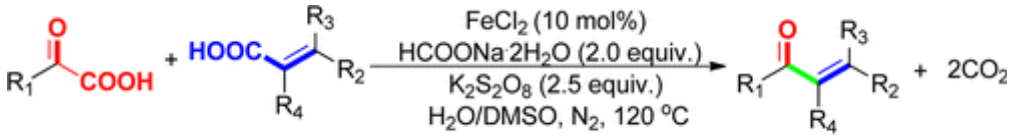
Citation: Jiang, Q.; Jia, J.; Xu, B.; Zhao, A.; Guo, C.-C. *JOC,* **2015**, *80*, 3586-3596.

Iron-Facilitated Oxidative Radical Decarboxylative Cross-Coupling between a-Oxocarboxylic Acids and Acrylic Acids: An Approach to a,ß-Unsaturated Carbonyls



R_1: aryl, heteroaryl, naphthyl, alkyl, amino, alkoxyl
R_2, R_3: aryl, heteroaryl, alkyl, alkenyl
R_4: H

- *>40 examples (up to 92%)*
- *broad substrate scope*
- *aqueous conditions*
- *good FG compatibility*

Clearly working on the same thing as the group above with nearly identical conditions but a greater substrate scope.

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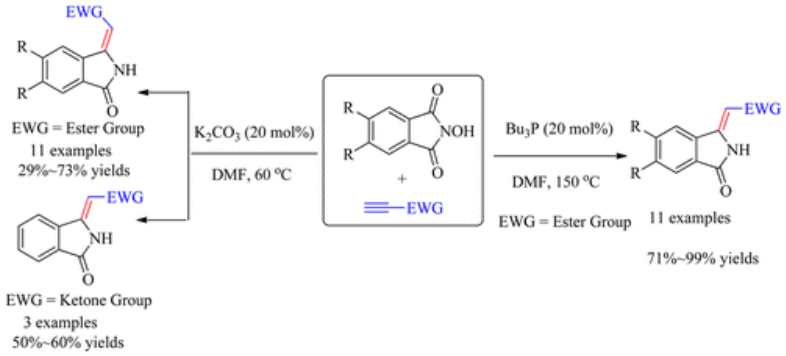
Citation: Chen, X.; Ge, F.-F.; Lu, T.; Zhou, Q.-F. *JOC,* **2015**, *80*, 3295-3301.

Stereoselective Synthesis of 3-Methyleneisoindolin-1-ones via Base-Catalyzed Intermolecular Reactions of Electron-Deficient Alkynes with N-Hydroxyphthalimides



Of interest with regards to the kinase project.

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Citation: Lee, J.H.; Mho, S. *JOC,* **2015**, *80*, 3309-3314.

A Tin-Free Route to *trans*-Diels-Alder Motifs by Visible Ligh Photoredox Catalysis

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Citation: Caron, S.; Thomson, N.M. *JOC,* **2015**, *80*, 2943-2958.

Pharmaceutical Process Chemistry: Evolution of a Contemporary Data-Rich Laboratory Environment

"Over the past 20 years, the industrial laboratory environment has gone through a major transformation in the industrial process chemistry setting. In order to discover and develop robust and efficient syntheses and processes for a pharmaceutical portfolio with growing synthetic complexity and increased regulatory expectations, the round-bottom flask and other conventional equipment familiar to a traditional organic chemistry laboratory are being replaced. The new process chemistry laboratory fosters multidisciplinary collaborations by providing a suite of tools capable of delivering deeper process understanding through mechanistic insights and detailed kinetics translating to greater predictability at scale. This transformation is essential to the field of organic synthesis in order to promote excellence in quality, safety, speed, and cost efficiency in synthesis."

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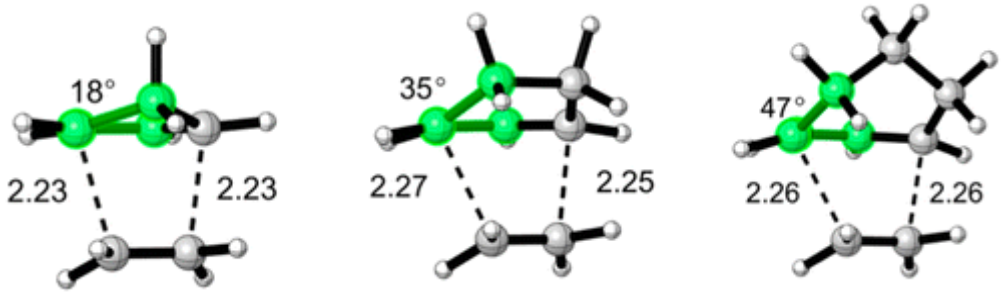
Citation: Levandowski, B.J.; Houk, K.N. *JOC,* **2015**, *80*, 3530-3537.

Theoretical Analysis of Reactivity Patterns in Diels–Alder Reactions of Cyclopentadiene, Cyclohexadiene, and Cycloheptadiene with Symmetrical and Unsymmetrical Dienophiles



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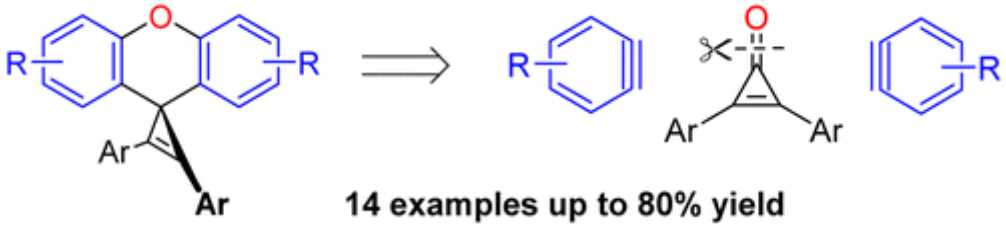
Citation: Wallbaum, J.; Jones, P.G.; Werz, D.B. *JOC,* **2015**, *80*, 3730-3734.

Reacting Cyclopropenones with Arynes: Access to Spirocyclic Xanthene–Cyclopropene Motifs



14 examples up to 80% yield

The mechanism they propose seems like it could easily be exploited for other types of transformations. Definitely an interesting paper.

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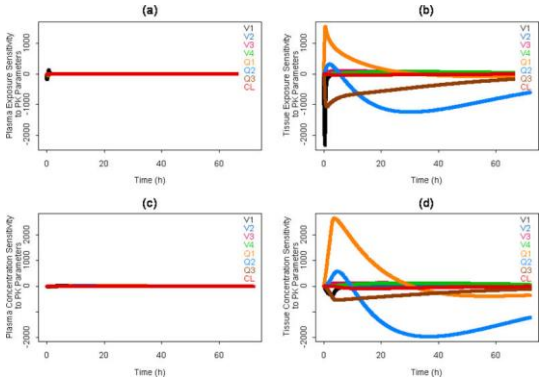
Citation: Mol Pharmaceutics 2015, 12 (4), 1308–1317.

Pharmacologic Sensitivity of Paclitaxel to Its Delivery Vehicles Drives Distinct Clinical Outcomes of Paclitaxel Formulations.

The authors used a complicated pharmocological model to demonstrate how the delivery vehicle used for taxol-based drugs contributes to changes in its clinical efficacy



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Citation: Mol. Pharmaceutics 2015, 12 (4), 1171–1179.

Importance of Critical Micellar Concentration for the Prediction of Solubility Enhancement in Biorelevant Media.

Another somewhat theoretical study that explored how the CMC (a value we use to express how stable a nanoparticle/micelle is) affects the ability of a nanostructure to solubilize a hydrophobic drug

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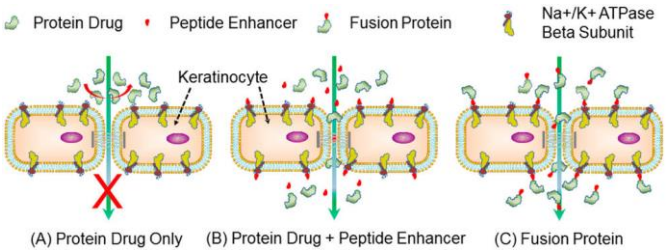
Citation: Mol. Pharmaceutics 2015, 12 (4), 1259–1267.

Role of the Na+/K+-ATPase Beta-Subunit in Peptide-Mediated Transdermal Drug Delivery.

A mechanistic look at how uptake of drugs by attachement to cell-penetrating peptides is achieved transdermally



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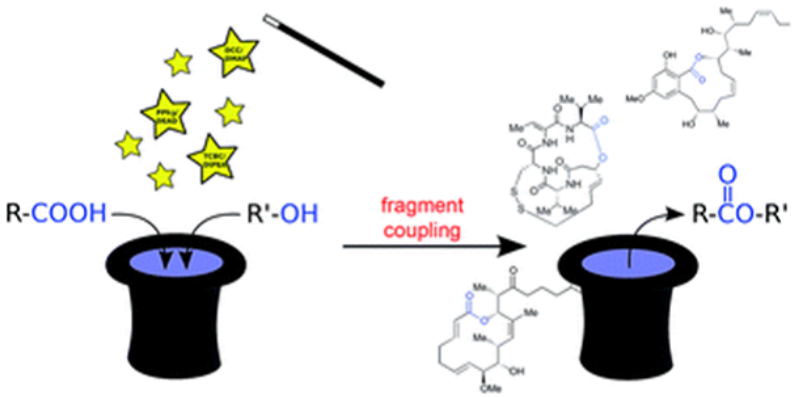
Citation: Tsakos, M. et al. *Nat. Prod. Rep.* **2015**, 32, 605-632

Ester coupling reactions - an enduring challenge in the chemical synthesis of bioactive natural products



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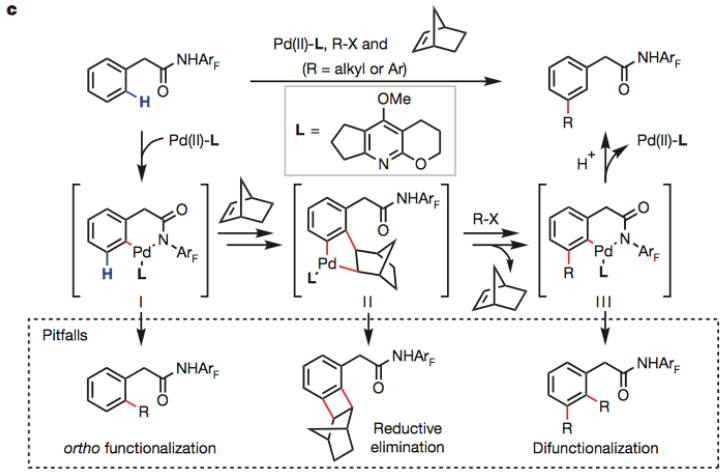
Citation: Yu, J. -Q. et al. *Nature*. **2015**, *519*, 334.

Ligand-enabled meta-C-H activation using a transient mediator



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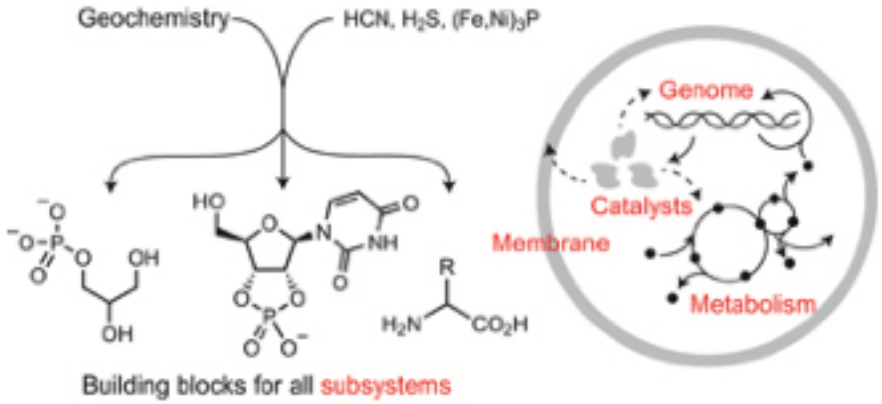
Citation: Southerland, J . D. et al. *Nat. Chem.* **2015**, 7, 301-307.

Common origins of RNA, protein and lipid precursors in a cyanosulfidic protometabolism



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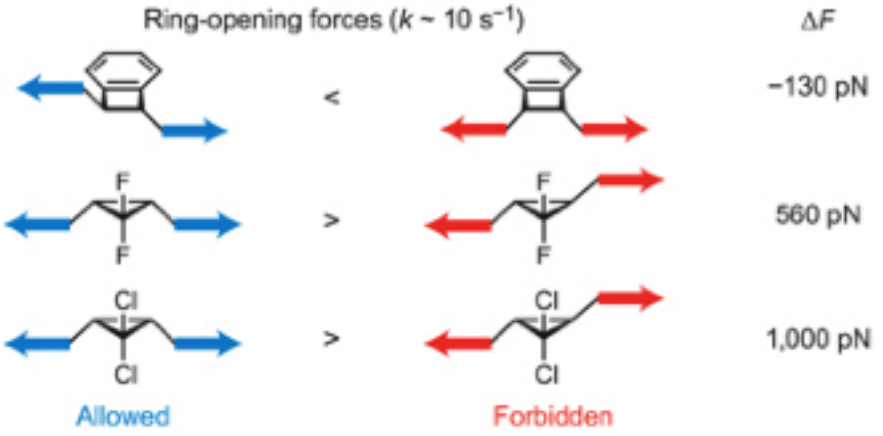
Citation: Martinez, T. *Nat. Chem.* **2015**, *7*, 6-7.

Inducing and quantifying forbidden reactivity with single-molecule polymer mechanochemistry



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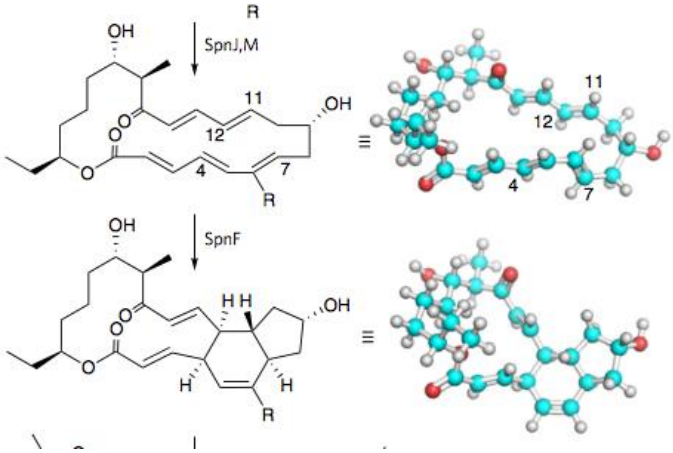
Citation: Keatinge-clay et al. Nat Chem Biol. 2015, 11, 256.

the structure of spnF, a standalone enzyme that catalyzes [4 + 2] cycloaddition



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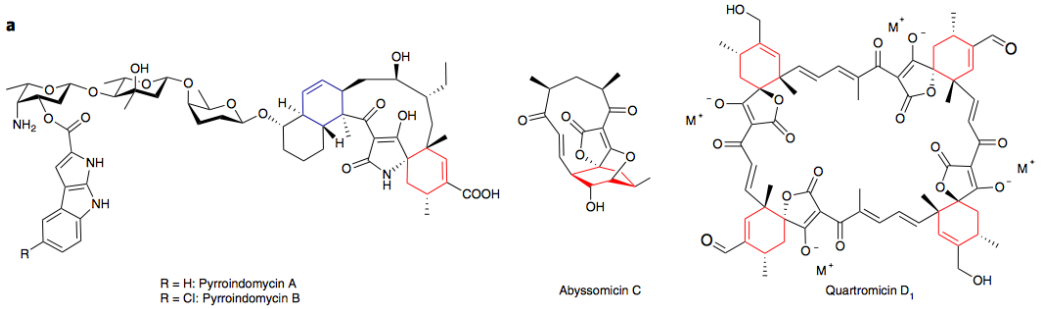
Citation: Liu et al. Nat. Chem. Biol. 2015, 11, 259.

an enzymatic [4+2] cyclization cascade creates the pentacyclic core of pyrroindomycins



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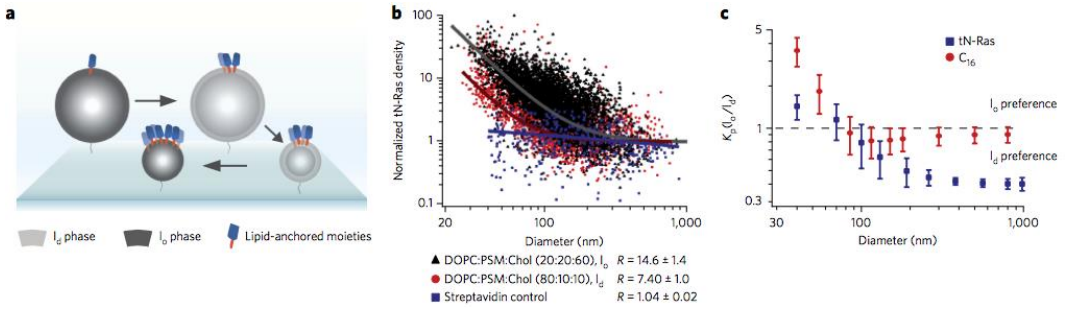
Citation: stamou et al. Nat. Chem. Biol. 2015, 11, 192.

membrane curvature enables n-ras lipid anchor sorting to liquid-ordered membrane phases



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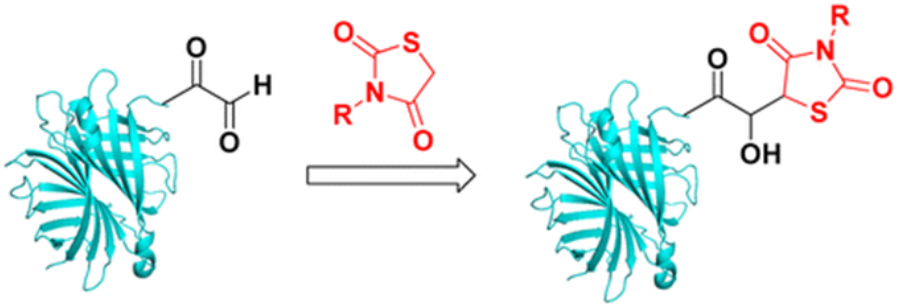
Citation: Wang, P., et al. *Organic Letters*. **2015**, 17, 1361-1364

Site-Specific Chemical Modification of Peptide and Protein by Thiazolidinediones



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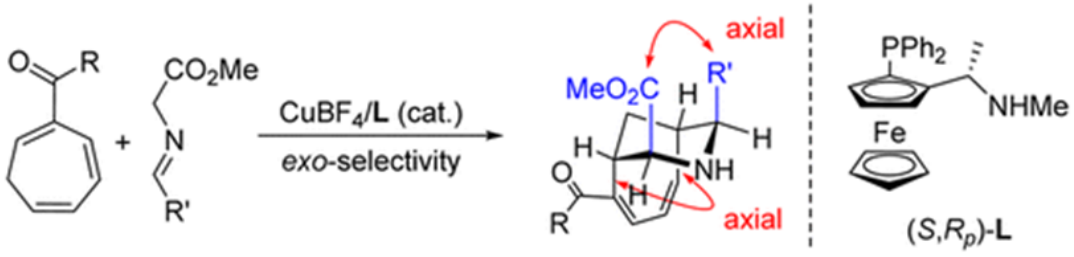
Citation: He, Z-H., et al. *Organic Letters*. **2015**, 17, 1365-1368

Exoselective 1,3-Dipolar [3+6] Cycloaddition of Azomethine Ylides with 2-Acylcyclopetatrienes: Stereoselectivity and Mechanistic Insight



Remarkable features:
- exo-selective [3 + 6] annulation
- all larger substituents in axial positions

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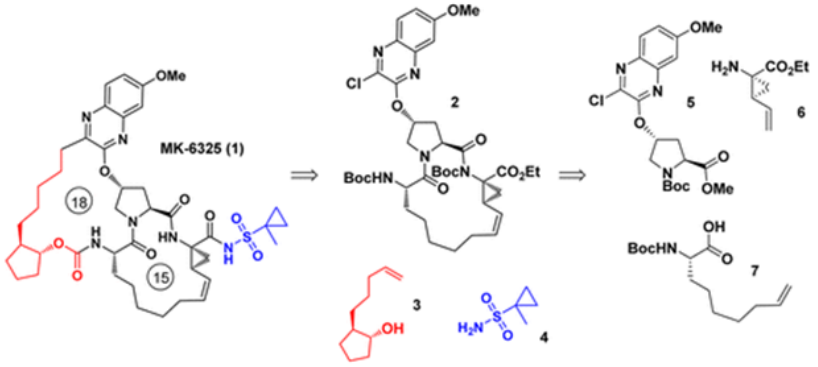
Citation: Li, H., et al. *Organic Letters*. **2015**, 17, 1533-1536

Synthesis of Bis-Macrocyclic HCV Protease Inhibitor MK-6325 via Intramolecular sp^2-sp^3 Suzuki-Miayura Coupling and Ring Closing Metathesis



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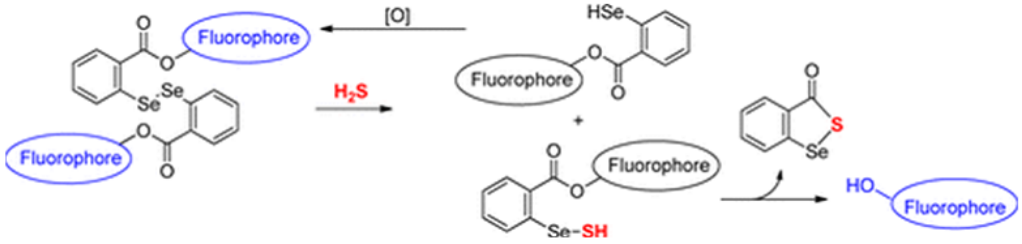
Citation: Peng, B., et al. *Organic Letters*. **2015**, 17, 1541-1544

Trapping Hydrogen Sulfide (H_2S) with Diselenides: The Application in the Design of Fluorescent Probes



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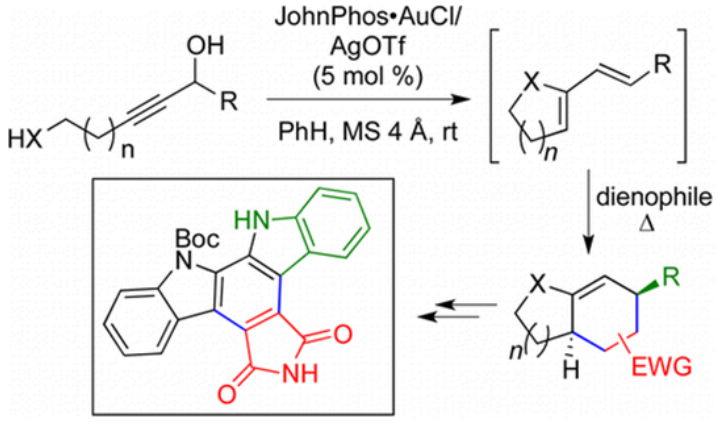
Citation: Borrero, N. V., et al. *Organic Letters*. **2015**, 17, 1754-1757

Tandem Gold-Catalyzed Dehydrative Cyclization/Diels-Alder Reactions: Facile Access to Indolocarbazole Alkaloids



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Hybrid
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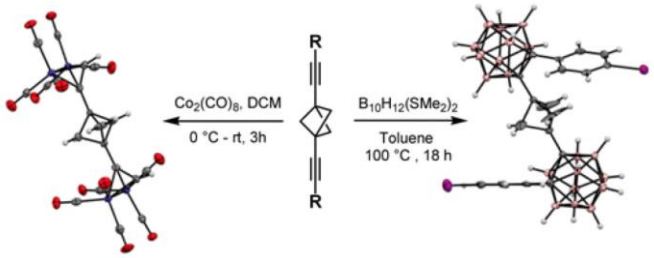
Citation: Kaleta, J.; *et al*. *Organometallics* **2015**, 34 (5), 967-972

Molecular Rods Combining *o*-Carborane and Bicyclo[1.1.1]pentane Cages: An Insertion of the Triple Bond Located Next to a Highly Strained Cage



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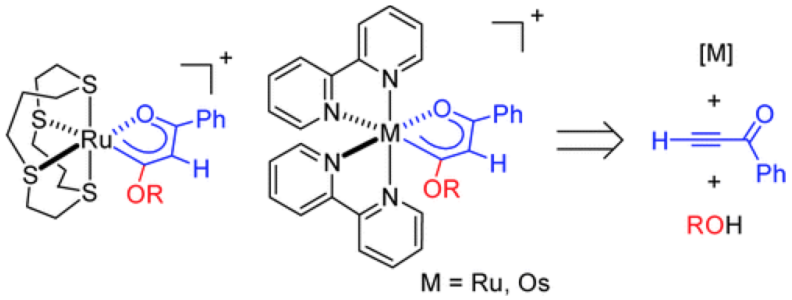
Citation: Tsui, W-K.; *et al*. *Organometallics* **2015**, 34 (6), 1005-1012

Synthesis, Spectroscopic and Theoretical Studies of Ruthenafuran and Osmafuran Prepared by Activation of Ynone in Alcohol



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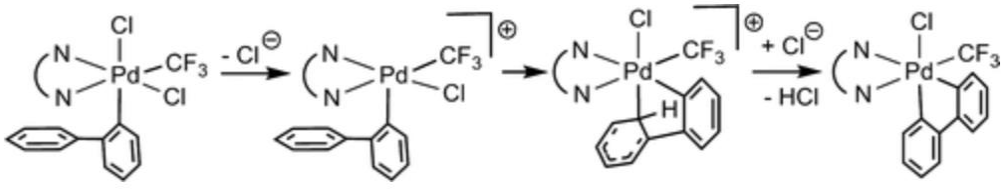
Citation: Canty, A. J.; *et al*. *Organometallics* **2015**, 34 (6), 1085-1090

Computational Study of Intramolecular Arene Palladation at a Palladium(IV) Center



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Citation: Hong, Y.; *et al. Proc. Natl. Acad. Sci. U.S.A.* **2015,** *112,* 3211.

Glutathione and multidrug resistance protein transporter mediate a self-propelled isposal of bismuth in human cells

Bismuth compounds have long been used in clinic for the treatment of various diseases, in particular, for Helicobacter pylori infection. The authors report the mechanism of uptake of bismuth compounds by mammalian cells and bacteria, and demonstrated a passive transport of the metallodrug. They showed that glutathione and multidrug resistance protein transporter mediate a self-propelled disposal of bismuth antiulcer drug. A model was derived to elucidate the uptake of the metallodrug, and which may readily be extended to other drugs or drug candidates.

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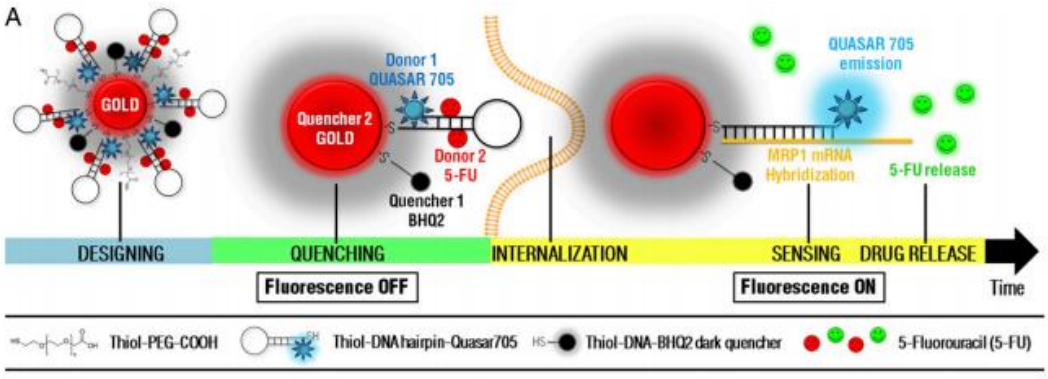
Citation: Conde, J.; *et al. Proc. Natl. Acad. Sci. U.S.A.* **2015,** *112,* E1278.

Implantable hydrogel embedded dark-gold nanoswitch as a theranostic probe to sense and overcome cancer multidrug resistance



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Prostratin

Citation: Brummelman, E.; *et al. Proc. Natl. Acad. Sci. U.S.A.* **2015,** *112,* 3659.

Origins of narcisssism in children

Narcissistic individuals feel superior to others, fantasize about personal successes, and believe they deserve special treatment. When they feel humiliated, they often lash out aggressively or even violently. Unfortunately, little is known about the origins of narcissism. Such knowledge is important for designing interventions to curtail narcissistic development. We demonstrate that narcissism in children is cultivated by parental overvaluation: parents believing their child to be more special and more entitled than others. In contrast, high self-esteem in children is cultivated by parental warmth: parents expressing affection and appreciation toward their child. These findings show that narcissism is partly rooted in early socialization experiences, and suggest that parent-training interventions can help curtail narcissistic development and reduce its costs for society.

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Citation: Kanada, M.; Kaspar, R. L.; Contag, C. H.; *et al. Proc. Natl. Acad. Sci. U.S.A.* **2015,** *112,* E1433.

Differential fates of biomolecules delivered to target cells via extracellular vesicles

Extracellular vesicle (EV)-mediated transfer of macromolecules may play a key role in cellular communication and may have utility in directed molecular therapies. In addition, the EV packaged biomolecules in serum may have potential for diagnosing cancer and determining its likelihood of metastasis. EVs are heterogeneous and there are many outstanding questions associated with biogenesis, uptake, and the fate of transferred molecules in recipient cells. In fact, the function, characterization, and even the nomenclature of EVs are being refined. Here we aimed to improve the functional characterization of EVs, and observed that only microvesicles (MVs), but not exosomes, can functionally transfer loaded reporter molecules to recipient cells, largely by delivering plasmid DNA. Our data show that exosomes and MVs are structurally and functionally distinct.

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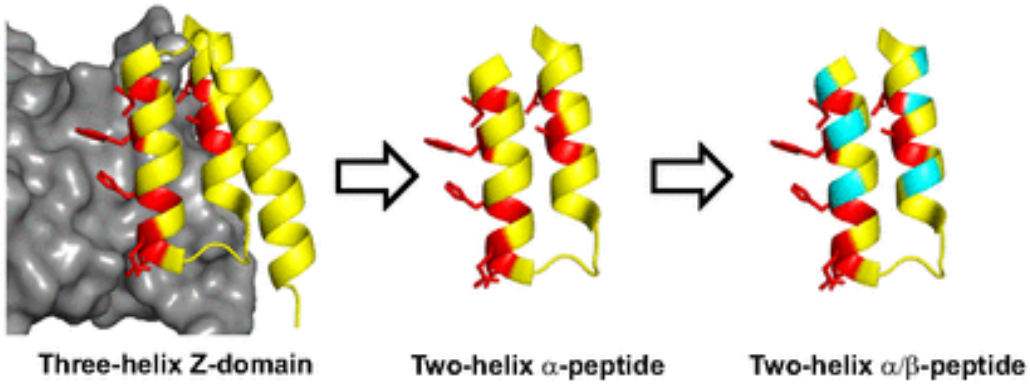
Citation: Checco, J. W.; Gellman, S. H.; *et al. Proc. Natl Acad. Sci. U.S.A.* **2015,** *112,* 4552.

Targeting diverse protein-protein interaction interfaces with alpha/beta-peptides derived from the Z-domain scaffold



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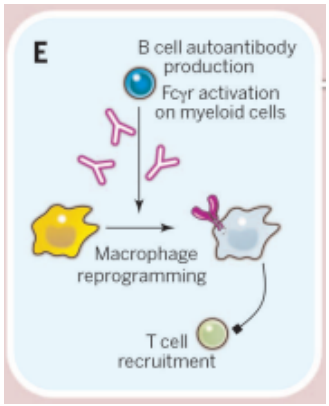
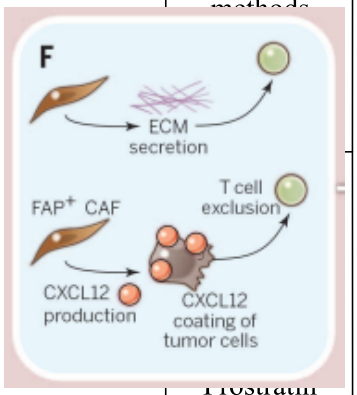
Citation: Joyce, J.A.; Fearon, D.T. *Science*, **2015**, *348* (6230), 74-80.

T cell exclusion, immune privilege, and the tumor microenvironment

Effective immunotherapy promotes the killing of cancer cells by cytotoxic T cells. This requires not only that cancer-specific T cells be generated, but also that these T cells physically contact cancer cells. The coexistence in some patients of cancer cells and T cells that recognize them indicates that tumors may exhibit the phenomenon of immune privilege, in which immunogenic tissue is protected from immune attack. Here, we review the evidence that stromal cells of the tumor microenvironment mediate this restriction by excluding T cells from the vicinity of cancer cells. Overcoming this T cell checkpoint may thus enable optimal immunotherapy





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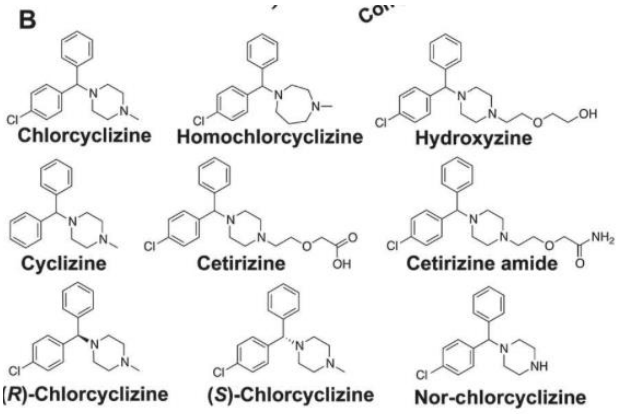
Citation: *Science Trns. Med.* Vol 7 Issue 282 282ra49

Repurposing of the antihistamine chlorcyclizine and related compounds for treatment of hepatitis C virus infection



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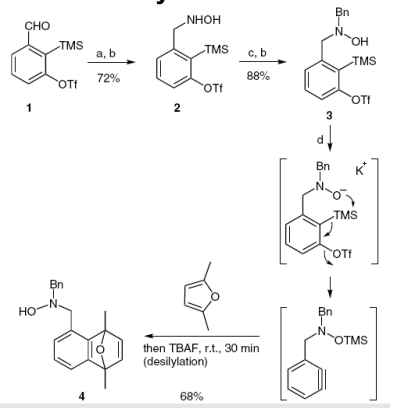
Citation: Huang, Z.-A.; Tang, F.; Xu, Y.-J.; Lu, C.-D.; Synlett, 26, 891–896 (2015)

[1,4]-Aza-Brook Rearrangement for Efficient Formation of Benzynes and Their Cycloaddition

An efficient benzyne cycloaddition triggered by an aza-Brook rearrangement is reported. In this reaction, 2-(trimethylsilyl)aryltriflates bearing a benzylic secondary amine group at the 3-position undergo base-promoted [1,4]-carbon-to-nitrogen silyl migration (aza-Brook rearrangement) to generate benzyne intermediates, which are then trapped by intermolecular or intramolecular cycloaddition involving 1,3-dienes or 1,3-dipoles. This procedure furnishes various cycloadducts in yields of up to 99%.



Scheme 2 [1,5]-Brook rearrangement (C→O) as an alternative to the analogous [1,4]-aza-rearrangement (C→N). *Reagents and conditions*: (a) NH_2OH-HCl, K_2CO_3, EtOH; (b) $NaBH_3CN$, HCl, MeOH; (c) PhCHO, EtOH; (d) KHMDS (1.1 equiv), THF, 2,5-dimethylfuran (5.0 equiv), –78 °C, 30 min.

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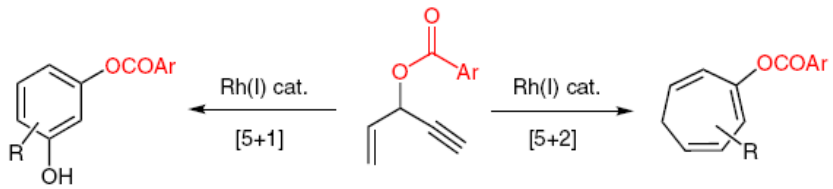
Citation: Schienebeck, C. M.; Song, W.; Smits, A. M.; Tang, W.; Synthesis, 47, 1076–1084 (2015)

Rhodium-Catalyzed Intermolecular [5+1] and [5+2] Cycloadditions Using 1,4-Enynes with an Electron-Donating Ester on the 3-Position

The authors report that various 3-acyloxy-1,4-enynes could be employed in rhodium-catalyzed intermolecular [5+1] and [5+2] cycloadditions with CO or alkynes, respectively. The rate of these cycloadditions could be accelerated significantly by using 1,4-enynes with an electron-donating ester on the 3-position. The scope of rhodium-catalyzed [5+1] and [5+2] cycloadditions were examined by using 1,4-enynes bearing an electrondonating ester.



Ar = 4-dimethylaminobenzene

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Citation: Kotha, S. et. al. *Tetrahedron* **2015**, 71, 1597–1603.

Diversity-oriented approach to linearly fused spirocycles via strategic utilization of a [2+2+2] cycloaddition and the Diels–Alder reaction

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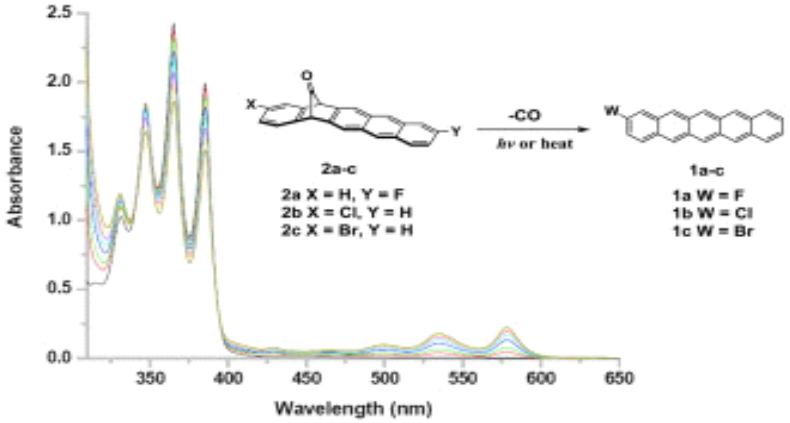
Citation: Watanabe, M. et. al. *Tetrahedron* **2015**, 71, 1668–1673.

The synthesis of 2-halopentacenes and their charge transport properties



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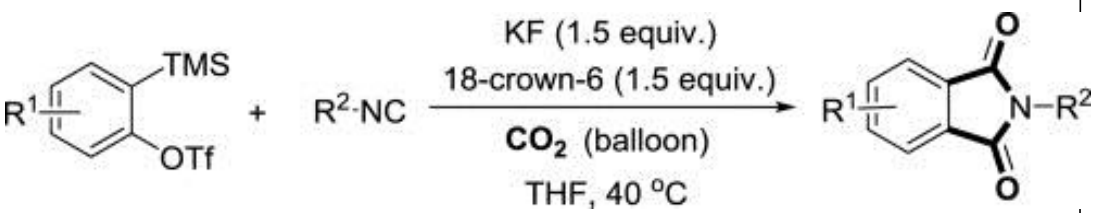
Citation: Wang, S-.Y. et. al. *Tetrahedron* **2015**, 71, 2768–2771.

Synthesis of phthalimides through 1,3-dipolar cycloaddition of CO2 with isocyanides and arynes

A new practical synthesis of phthalimides through 1,3-dipolar cycloaddition of CO2 with isocyanides and in situ generated aryne is reported here. A series of phthalimide derivatives are observed in moderate to good yields under mild conditions.



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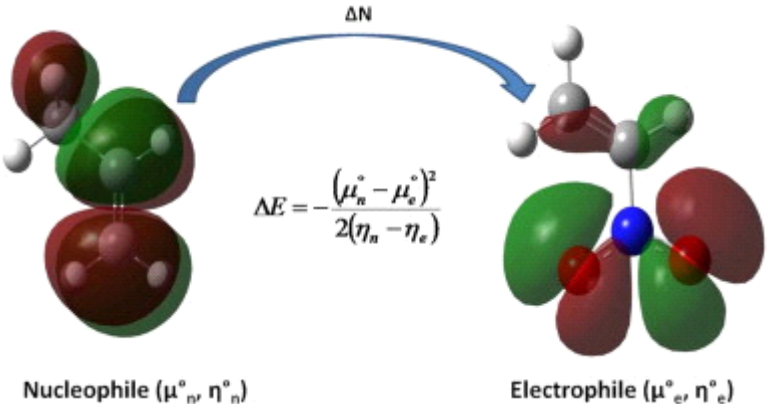
Citation: Perez-Mendez, C.; Contreras, R. *Tet. Lett.* **2015**, *56,* 1767.

Quantitative characterization of the global philicity patterns of common diene/dienophile pairs in cycloaddition reactions II: the interacting pair model



The authors present a unified model of electrophilicity and nucleophilicity that considers the electrophile/nucleophile pair in an interacting regime, thereby avoiding the arbitrariness of defining them as the opposite ends of a unique reactivity scale.

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