The Group Meeting

Volume 41 / Issue 01 15 January 2016

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Next Due Date: Monday, February 15, 2016

Instructions for Authors (*Volume 1)*

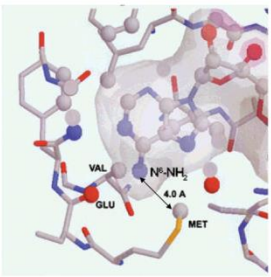
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent example) don't hesitate to let the group know. If you are splitting a journal with another group member, talk with him/her to be sure you are not reviewing redundantly. If you are not able to cover your journal for some reason, get someone to cover it for you—as if it were your group job.

Create an Abstract

Abstract submissions are usually prepared using ChemDraw. The editors of the *Lit Review* strongly encourage the copying of graphical material from PDF files and wish to point out the following. Graphics stored in PDF files are typically of postscript or >300 dpi quality. When an image is copied into a ChemDraw document, a screen snapshot is taken, and the image is captured at the present screen resolution. If the PDF file is being viewed zoomed-in, this typically results in the transfer of a high quality image. If the PDF is being viewed zoomed-out, a low quality image typically results. Text can be copied from a PDF file and pasted as text using the text select or column select tool. Once pasted, this text behaves as if it were input from the keyboard.

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

Please email the files to knear@stanford.edu. Late abstracts will be included in the Lit Review for the following month**. PCs please send .cdx and macs please send .pdf files.**

Citation: Abeyweera, T.P.; Rotenberg, S.A. *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 (1ç-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** asymmetric methods synthesis mechanism review other 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:

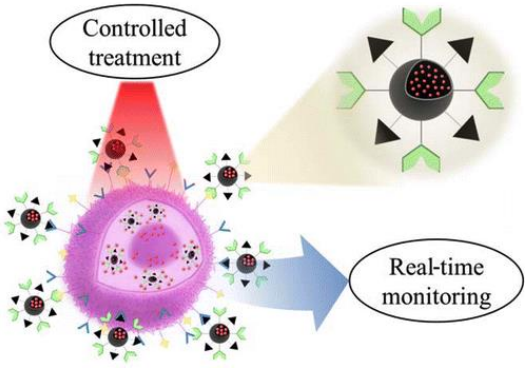
- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

Penalties for being a Lit Review MOOK:

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

Citation: Nguyen, K. T. et al. *Acc. Chem. Res.* **2015**, 48, 3016-3025

Engineered Hybrid Nanoparticles for On-Demand Diagnostics and Therapeutics



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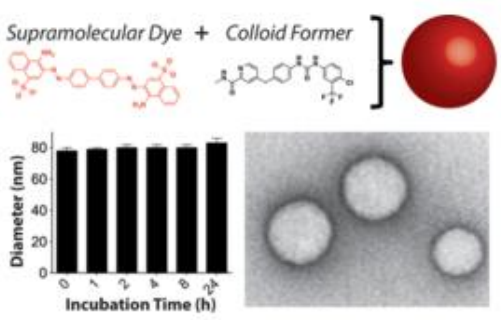
Citation: McLaughlin, C.K. *et al. ACS Chem. Biol.* **2016**, doi/10.1021/acschembio.5b00806

Stable Colloidal Drug Aggregates Catch and Release Active Enzymes



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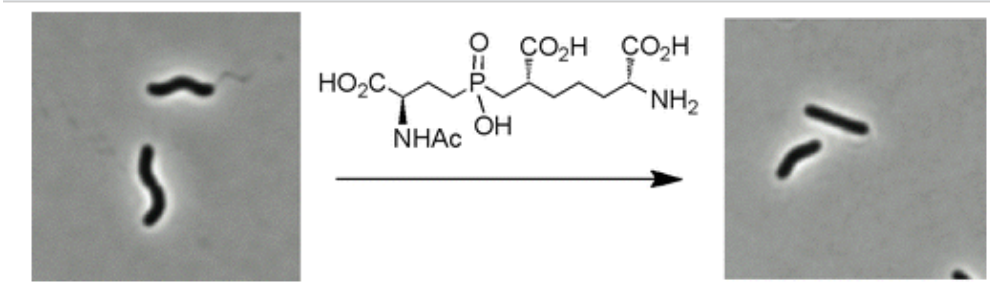
Citation: Liu, Y. et al. *ACS Chem. Biol*. **2016**, DOI: 10.1021/acschembio.5b01039

Multifunctional quantum dots-based cancer diagnostics and stem cell therapeutics for regenerative medicine



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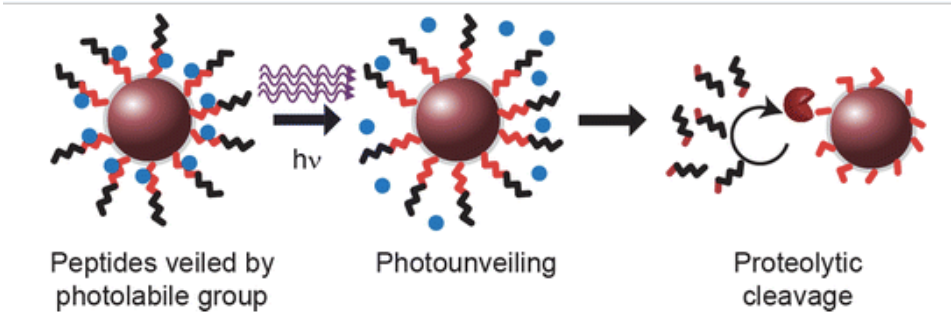
Citation: Dudani, J. S. et. al, *ACS Nano,* **2016**, 9 (12) 11708-11717

Photoactivated Spatiotemporally-Responsive Nanosensors of in Vivo Protease Activity



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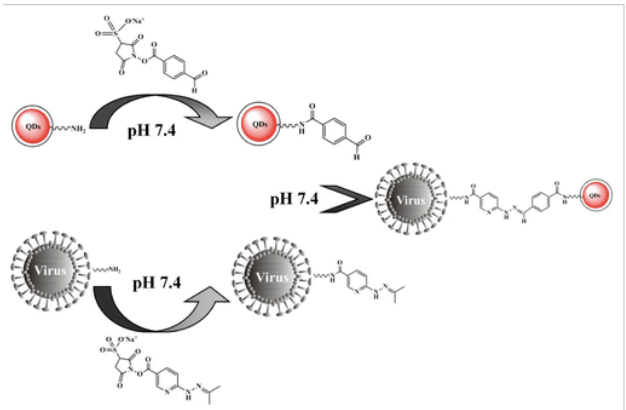
Citation: Hong, Z. et. al, *ACS Nano,* **2015**, 9 (12) 11750-11760

Clicking Hydrazine and Aldehyde: The Way to Labeling of Viruses with Quantum Dots



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Citation: *Adv. Drug Deliv. Rev.* **2015,** *95,* 50-55.

A novel platform for cancer therapy using extracellular vesicles

Extracellular vesicles (EVs) are nanometer-sized membranous vesicles and are involved in cell-to-cell communication. EVs contain several types of functional molecules, such as proteins, mRNAs, and microRNAs (miRNAs). Over the past several years, EVs have emerged as potential tools for a drug delivery system (DDS) that can target organs or cells. EVs have a function of organ tropism and are naturally occurring from cells. However, despite observed the organ tropism, the mechanisms of organ tropism of EVs are still unclear. Moreover, preservation and efficient collection of EVs are desired to be investigated. Here, the authors provide an overview of the methods for using EVs as DDSs.

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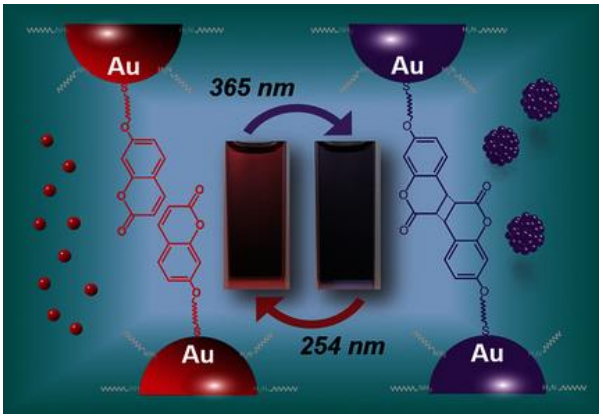
Citation: He, H. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 936-940.

Light-Induced Reversible Self-Assembly of Gold Nanoparticles Surface-Immobilized with Coumarin Ligands



Colloidal coumarin-functionalized Au nanoparticles were reversibly self-assembled based on coumarin photolysis in response to light irradiation. Facilitated by coumarin groups, 365 nm light irradiation triggers the stable assembly of monodisperse Au nanoparticles. The resulting self-assembly system can then be disassembled through a relatively short exposure to benign UV light; the reversible self-assembly cycles can be repeated 4 times.

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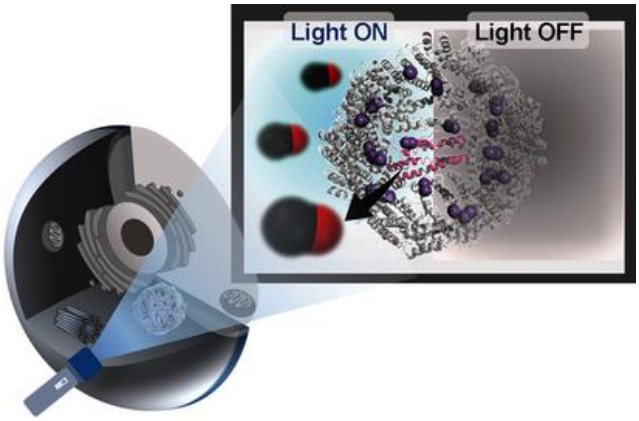
Citation: Fujita, K. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 1056-1060

A Photoactive Carbon-Monoxide-Releasing Protein Cage for Dose-Regulated Delivery in Living Cells



Photoactivable protein cage: A ferritin protein cage retaining manganese,Äìcarbonyl complexes released carbon monoxide (CO; see picture) under visible-light irradiation. The amount of released CO is modulated by the irradiation period. The system showed an optimized CO dose for activating a cellular transcriptional factor.

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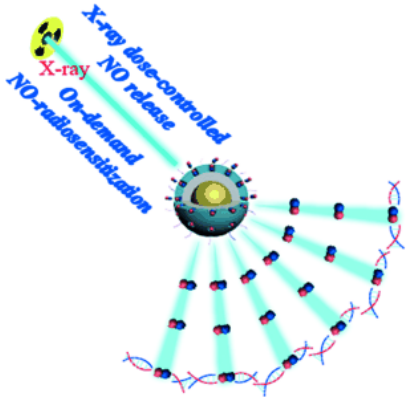
Citation: Fan, W. et al. *Angew. Chem Int. Ed.* **2015**, *54*, 14026-14030.

X-ray Radiation-Controlled NO-Release for On-Demand Depth-Independent Hypoxic Radiosensitization



A novel nanotheranostic system based on X-ray radiation-controlled NO-release enables simultaneous luminescent imaging and controllable NO-sensitized radiation enhancement effects without depth dependence. The results will lead to the on-demand therapy of deep-seated solid tumors with very few adverse effects by simply manipulating the appropriate X-ray dose.

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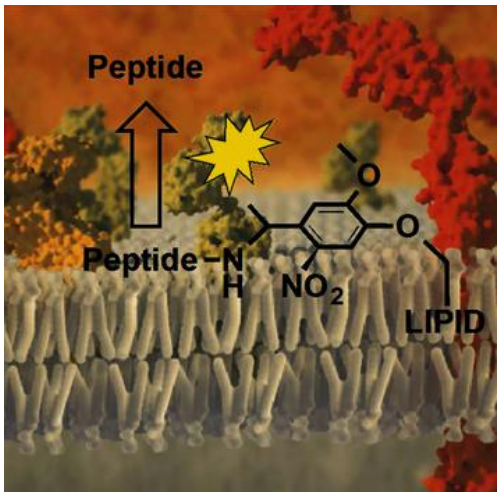
Citation: O'Banion, C. P. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 950-954.

The Plasma Membrane as a Reservoir, Protective Shield, and Light-Triggered Launch Pad for Peptide Therapeutics

Gimme shelter: Attachment to the plasma membrane of erythrocytes can be used to protect therapeutic peptides from serum proteases. A photocleavable moiety is inserted between the lipid anchor and the peptide backbone, thereby enabling light-triggered release.



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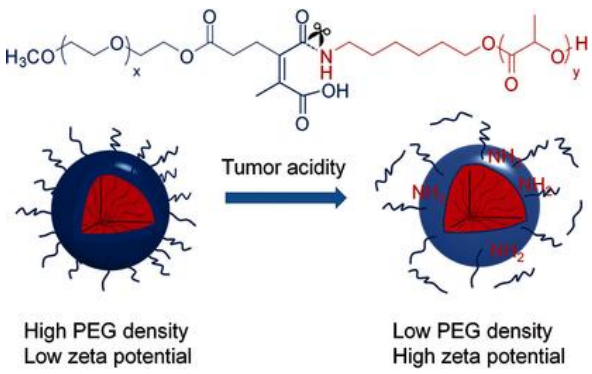
Citation: Sun, C.-Y. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 1010-1014.

Facile Generation of Tumor-pH-Labile Linkage-Bridged Block Copolymers for Chemotherapeutic Delivery



PEG-detachable delivery micelles: A chemotherapeutic vector with superior therapeutic efficacy and high biocompatibility is obtained by designing bridged PEGylated polylactide-containing tumor-acidity-responsive linkages. The decreased PEGylation and increased zeta potential in the tumor matrix enhanced cellular uptake of the vector, enabling safe and effective antitumor drug delivery.

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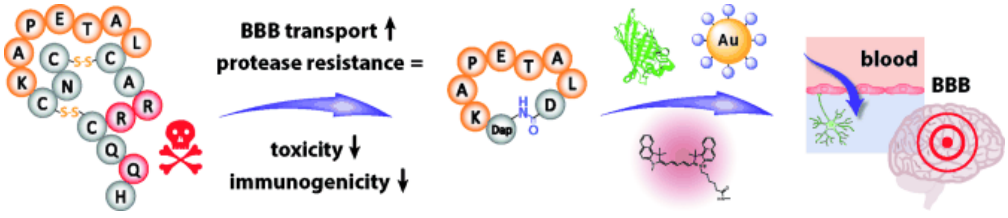
Citation: Oller-Salvia, B. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 572-575.

MiniAp-4: A Venom-Inspired Peptidomimetic for Brain Delivery



A protease-resistant cyclic peptidomimetic for brain delivery was developed by minimizing the neurotoxin apamin. Toxicity, immunogenicity, and synthetic complexity were decreased while preserving metabolic stability and enhancing transport across the blood‚Äìbrain barrier (BBB). The new vector is capable of delivering cargoes into the brain parenchyma of mice and across a tight monolayer of human endothelial cells mimicking the BBB.

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Citation: Xu, Y. P. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 593-597.

Visible-Light-Triggered Drug Release from TiO2 Nanotube Arrays: A Controllable Antibacterial Platform

A visible-light-triggered drug delivery system is constructed based on a double-layered stack of TiO_2 nanotubes. The key for visible light drug release is a hydrophobic cap on the nanotubes containing Au nanoparticles, where SPR with the TiO_2 conduction band provides the active species for chain scission. The system was tested in antibacterial experiments against *E. coli*.

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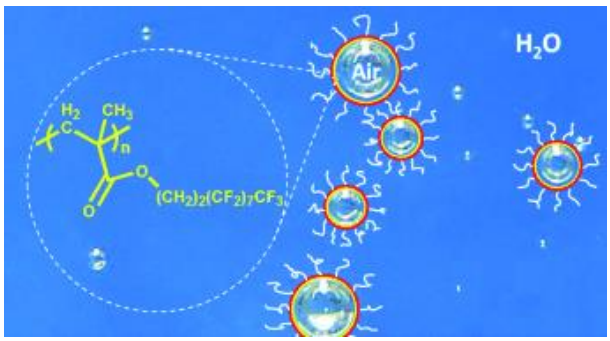
Citation: Wang, Y. et al. *Angew. Chem Int. Ed.* **2015**, *54*, 14291-14294.

Stable Encapsulated Air Nanobubbles in Water



Fluorinated polymers were used to encapsulate air nanobubbles. The encapsulated air bubbles are echogenic and display significantly greater stability to sonication compared to commercially available microcapsules. Such features may open the door to applications in diagnostics and drug delivery.

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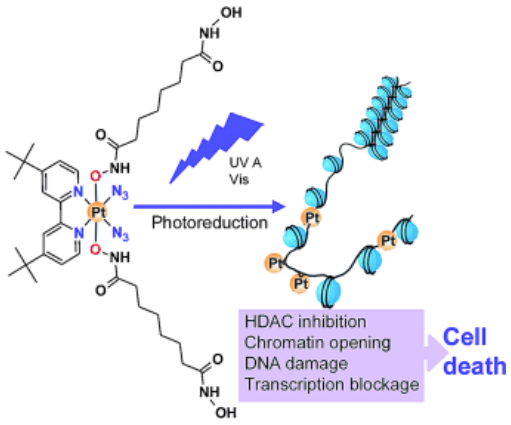
Citation: Kasparkova, J. et al. *Angew. Chem Int. Ed.* **2015**, *54*, 14478-14482.

A Photoactivatable Platinum(IV) Complex Targeting Genomic DNA and Histone Deacetylases



Two-in-one platinum anticancer drugs: The strategies based on simultaneous inhibition of histone deacetylases and DNA damage by platinum drugs induced by light may result in efficient antitumor activity taking advantages of selective and targeted activation in tumor cells.

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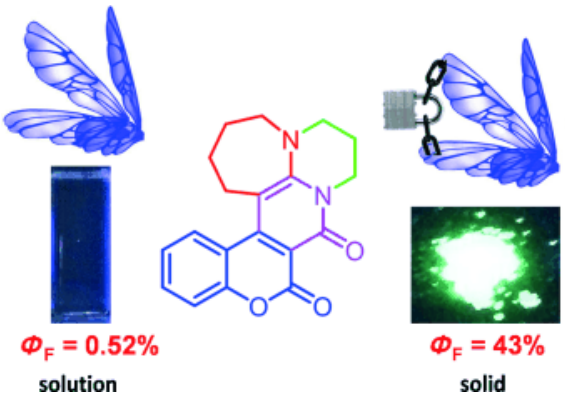
Citation: Bu, F. et al. *Angew. Chem Int. Ed.* **2015**, *54*, 14492-14497.

Unusual Aggregation-Induced Emission of a Coumarin Derivative as a Result of the Restriction of an Intramolecular Twisting Motion



Let's twist again (in solution): The restriction of intramolecular twisting was shown experimentally and computationally to be the underlying mechanism for the aggregation-induced emission of a coumarin derivative. A large aliphatic ring promoted out-of-plane twisting of the molecular backbone in solution and thus nonradiative excited-state decay, whereas the restriction of this motion in aggregates led to strong fluorescence.

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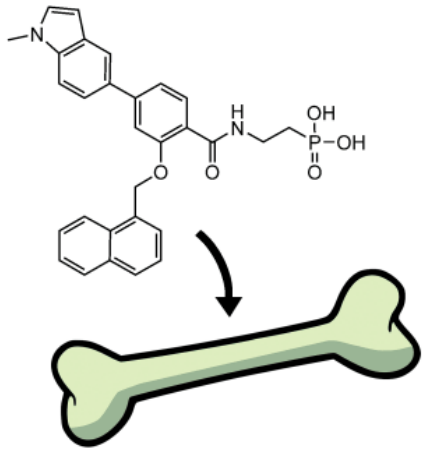
Citation: Jahnke, W. et al. *Angew. Chem Int. Ed.* **2015**, *54*, 14575-14579.

A General Strategy for Targeting Drugs to Bone



To the bone: Drugs for bone diseases benefit from targeting to bone. Bone-affinity tags are presented that can be attached to bone-acting drug molecules to make them safer and more efficacious, while retaining desired properties such as cellular permeability and oral bioavailability. This was demonstrated for allosteric inhibitors of farnesyl pyrophosphate synthase.

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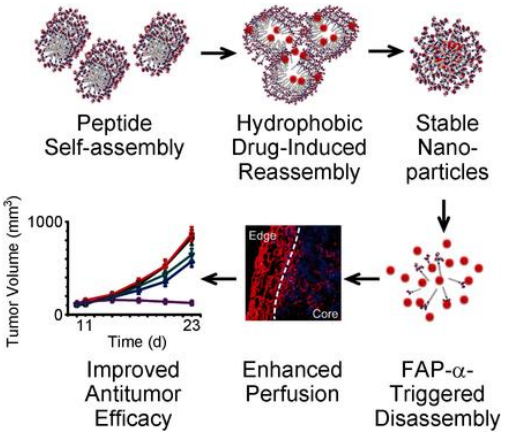
Citation: Ji, T. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 1050-1055.

Transformable Peptide Nanocarriers for Expeditious Drug Release and Effective Cancer Therapy via Cancer-Associated Fibroblast Activation



A cleavable amphiphilic peptide (CAP) nanocarrier transforms from self-assembled nanofibers to spherical nanoparticles (NPs) by loading hydrophobic drugs, and cleavage by the tumor-specific protease, FAP-Œ±, resulted in specific and efficient release of the encapsulated drugs at tumor sites. This Transformers-like drug nanocarrier could disrupt the stromal barrier, and enhance local drug accumulation.

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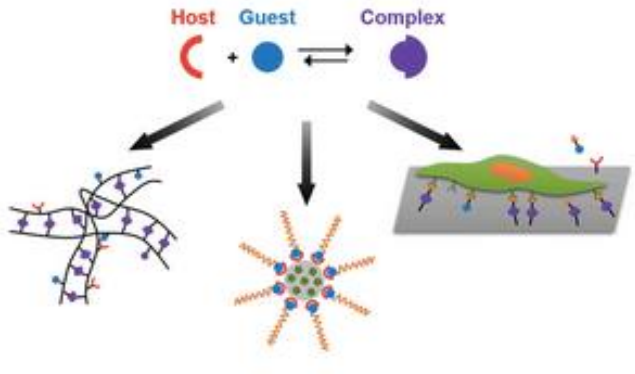
Citation: Rodell, C. B. *Bioconjugate chemistry* **2015**, 2279-2289.

Supramolecular Guest–Host Interactions for the Preparation of Biomedical Materials



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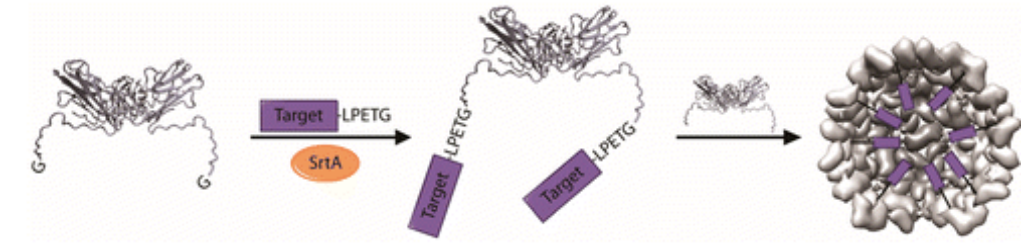
Citation: Schoonen, L. et al.. *Bioconjugate chemistry* **2015**, 2429-2434.

Sortase A-Mediated N-Terminal Modification of Cowpea Chlorotic Mottle Virus for Highly Efficient Cargo Loading



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Citation: Biomacromolecules 2016, 17 (1), 1–3.

Click Chemistry in Biomaterials, Nanomedicine, and Drug Delivery.

Interesting perspective on how click chemistry has adapted especially in the "nano" world

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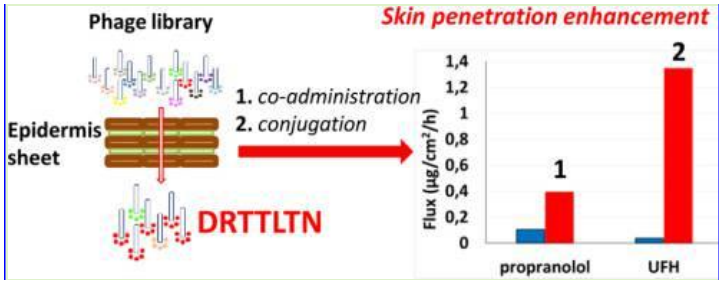
Citation: Biomacromolecules 2016, 17 (1), 46–55.

Genn Skin Penetrating Peptide as a Tool to Enhance the Permeation of Heparin through Human Epidermis.

A new peptide sequence that is useful specifically for skin uptake



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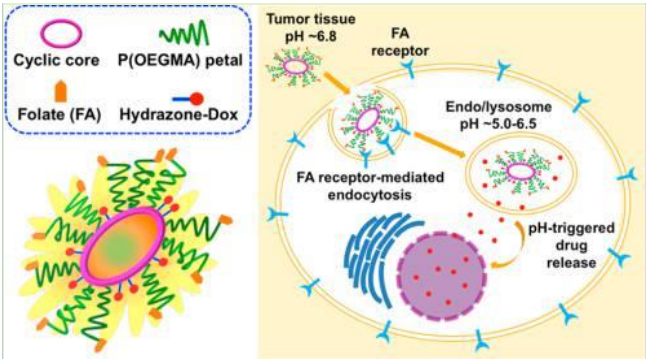
Citation: Biomacromolecules 2016, 17 (1), 69–75.

Sunflower Polymers for Folate-Mediated Drug Delivery.

Interesting study looking at the effects of Folate as a targetting ligand. Might be useful for people who want to explore this for drug delivery



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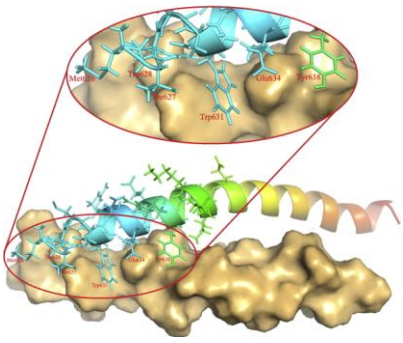
Citation: Tan, *et al. Bioorg. Med. Chem* **2016**, 24, 201-206.

Design, synthesis and activity evaluation of novel peptide fusion inhibitors targeting HIV-1 gp41

Fusion inhibitors targeted the envelope protein (gp41) represent a novel and alternative approach for anti-AIDS therapy, which terminates the HIV-1 life cycle at an early stage. Using CP621-652 as a template, a series of peptides were designed, synthesized and evaluated in vitro assays. An interesting phenomenon was found that the substitution of hydrophobic residues at solvent accessible sites could increase the anti-HIV activity when the C-terminal sequence was extended with an enough numbers of amino acids. The study indicated that peptide 8 bound with the gp41 NHR helix, and then blocked the conformation of 6-helix, thus inhibited virus¨Ccell membrane fusion. The results would be helpful for the design of peptide fusion inhibitors against HIV-1 infection.



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Citation: Gilad, *et al. Bioorg. Med. Chem* **2016**, 24, 294-303.

Synthesis, biological studies and molecular dynamics of new anticancer RGD-based peptide conjugates for targeted drug delivery

New cyclic RGD peptide-anticancer agent conjugates, with different chemical functionalities attached to the parent peptide were synthesized in order to evaluate their biological activities and to provide a comparative study of their drug release profiles. The Integrin binding c(RGDfK) penta-peptide was used for the synthesis of Camptothecin (CPT) carbamate and Chlorambucil (CLB) amide conjugates.In the present report we describe the synthesis of three novel peptide-drug conjugates based on the cyclic (RGDf(NMe)V) penta-peptide **I** in which the methylated valine was mutated to either Lys or Ser. This second mutation represents a new peptidic scaffold with a hydroxyl linkage site. The (RGDf(NMe)V) peptide was selected as a starting point due to its known selective and high affinity binding to the alpha-v beta-3 Integrin.The synthesized conjugates exhibited high variability in the bio-stability of the drugs linked through various moieties, and therefore strongly support our hypothesis that exposure to cleavage enzymes will lead to differing kinetics of drug release from the conjugate platform. The ability of the cyclic RGD¨CCLB conjugates to overcome drug resistance was demonstrated. Another important point of this study is that potent anti-cancer drugs, such as CPT, which are poorly bioavailable due to off-target toxicity, might have a ¡®second chance¡¯ by conjugation to a targeting peptide.

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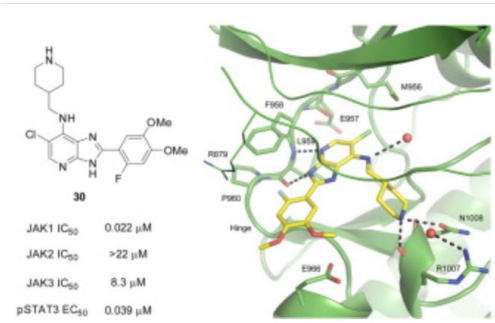
Citation: Vasbinder, M. M.; *et al. Bioorg. Med. Chem. Lett.* **2016**, 26 (1), 60-67

Identification of azabenzimidazoles as potent JAK1 selective inhibitors



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DDO
Hybrid
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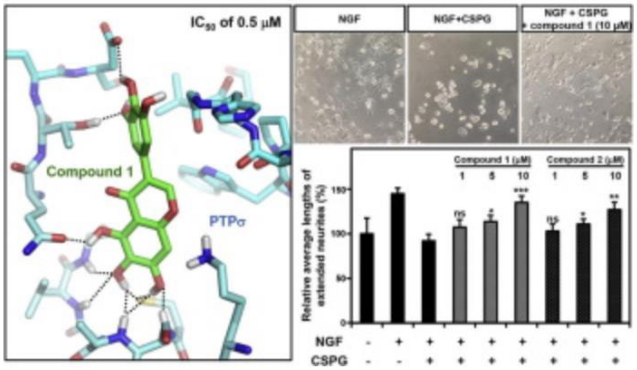
Prostratin

Citation: Lee, H. S.; *et al. Bioorg. Med. Chem. Lett.* **2016**, 26 (1), 87-93

Identification of novel protein tyrosine phosphatase sigma inhibitors promoting neurite extension



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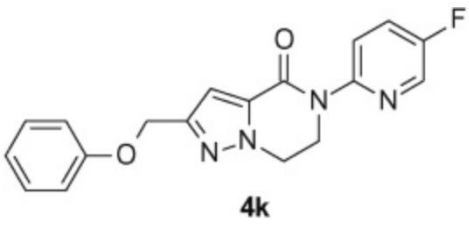
Citation: Conde-Ceide, S.; *et al. Bioorg. Med. Chem. Lett.* **2016**, 26 (2), 429-434

Preliminary investigation of 6,7-dihydropyrazolo[1,5-a]pyrazin-4-one derivatives as a novel series of $mGlu_5$ receptor positive allosteric modulators with efficacy in preclinical models of schizophrenia



4k

$hmGlu_5$ PAM EC_{50} = 130 nM
hm image nt. EC_{50} = 6760 nM
$hmGlu_5$ PAM FS = 7.7
AHL MED = 3 mg/kg p.o.
FC MED = 0.3 mg/kg p.o.

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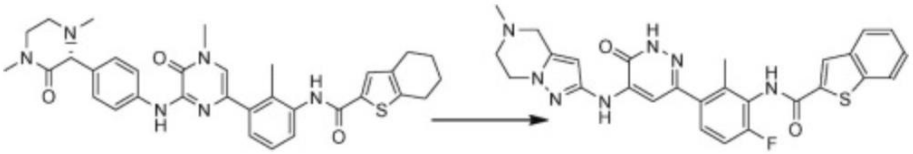
Citation: Young, W. B.; *et al. Bioorg. Med. Chem. Lett.* **2016**, 26 (2), 575-579

Discovery of highly potent and selective Bruton,Äôs tyrosine kinase inhibitors: Pyridazinone analogs with improved metabolic stability



GDC-0834 (**1**) **23**

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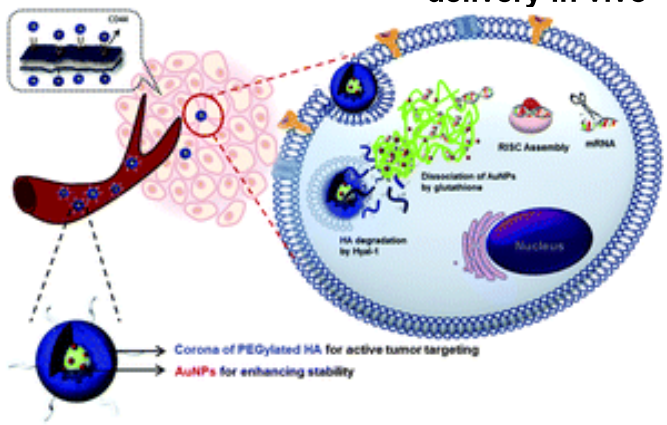
Citation: Heo, R.; *et al. Chem. Commun.* **2015**, *51,* 16656.

Gold-installed biostable nanocomplexes for tumor-targeted siRNA delivery in vivo



The key issues associated with nanocarriers for small interfering RNAs (siRNAs) are their poor stability and lack of tumor targetability in vivo. To address these issues, the authors developed gold-installed polyethyleneimine/siRNA complexes with a corona of PEGylated hyaluronic acid.

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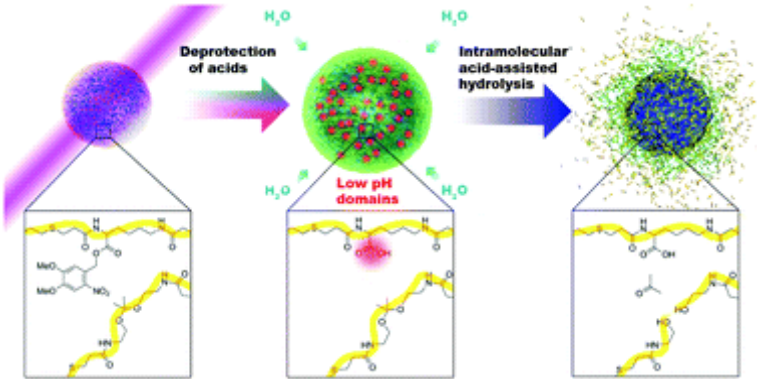
Citation: Olejniczak, J.; *et al. Chem. Commun.* **2015**, *51,* 16980.

Light-triggered chemical amplification to accelerate degradation and release from polymeric particles



The authors designed a light-degradable copolymer containing carboxylic acids masked by photolabile groups and ketals. Photolysis allows the unmasked acidic groups in the polymer backbone to accelerate ketal hydrolysis even at neutral pH.

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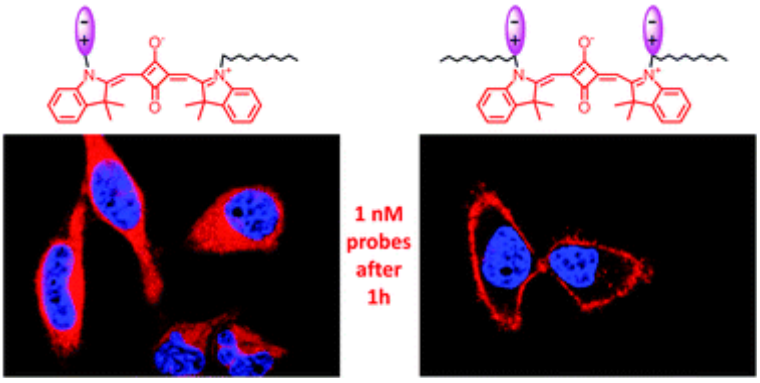
Citation: Collot, M.; *et al. Chem. Commun.* **2015**, *51,* 17136.

Bright fluorogenic squaraines with tuned cell entry for selective imaging of plasma membrane vs. endoplasmic reticulum



A rational design of squaraine dyes with lipophilic and zwitterionic groups tunes cell entry, allowing for selective far-red/near-infrared imaging of plasma membrane vs. endoplasmic reticulum. With cellular imaging at 1 nM concentration, they are the brightest membrane probes to date.

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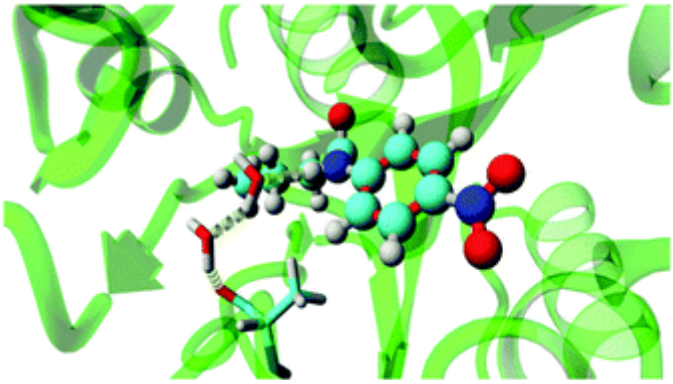
Citation: Hendil-Forssell, P.; *et al. Chem. Commun.* **2015**, *51,* 17221.

Exploring water as building bricks in enzyme engineering



A novel enzyme engineering strategy for accelerated catalysis based on redesigning a water network through protein backbone deshielding is presented. Using water as biobricks provides unique opportunities when transition state stabilisation is not easily attained by traditional enzyme engineering.

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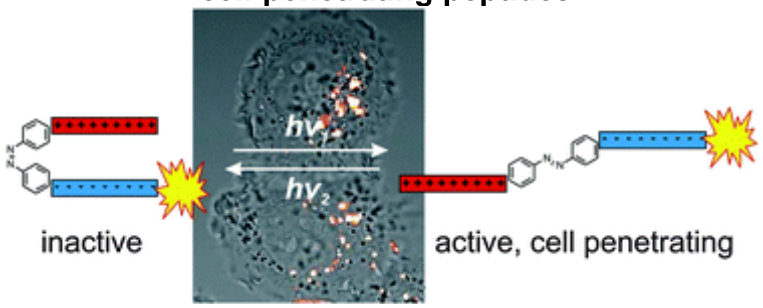
Citation: Prestel, A.; Moller, H. M.. *Chem. Commun.* **2015**, *52,* 701.

Spatio-temporal control of cellular uptake achieved by photoswitchable cell-penetrating peptides



The selective uptake of compounds into specific cells of interest is a major objective in cell biology and drug delivery. By incorporation of a novel, thermostable azobenzene moiety the authors generated peptides that can be switched optically between an inactive state and an active, cell-penetrating state with excellent spatio-temporal control.

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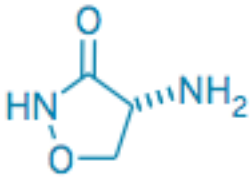
Citation: C&EN 12/7/2015 p. 12

Small Molecule Improves Learning



D-Cycloserine

Researchers report that boosting signaling of a certain receptor in the brain with a small molecule can enhance these cellular changes and improve learning in people. The findings could lead to new treatments for patients with disorders associated with deficits in learning, such as Alzheimer's disease and schizophrenia.

Scientists have found that the N-methyl-D-aspartate receptor (NMDAR) plays a critical role in strengthening synapses during learning. Compounds that increase NMDAR signaling can drive such changes and, as a result, help animals learn new tasks.

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Citation: C&EN 12/7/2015 p. 32

Benefits Lag for Postdocs

The vast majority of NIH-funded postdocs get healthcare and dental insurance, but far fewer receive retirement or leave benefits, according to a survey conducted by NIH. The status of postdoctoral students, as well as the frequency and length of their positions, has become of increasing concern in recent years. Research centers with fewer postdocs were more likely to provide equal benefits than institutions with more postdocs.

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Citation: C&EN 12/21/2015, p. 8

Synthesis Strategy Goes Online

When constructing complex polycyclic natural products from simple molecules, chemists must first develop a bond-building strategy. There are many possible ways to attach that problem: One approach is to mimic nature's biosynthetic pathway; another is to tackle the most complex region of the molecule's ring system-a method known as network analysis. Chemists led by Berkeley chemistry professor Richmond Sarpong used the latter in their total syntheses of weisaconitine D and liljestrandinine. Created in partnership with Cadre Research Labs, the website-cadrerl.com/maxbridge-will perform network analysis on certain types of structure files, free of charge, to help chemists plan their synthetic strategies.

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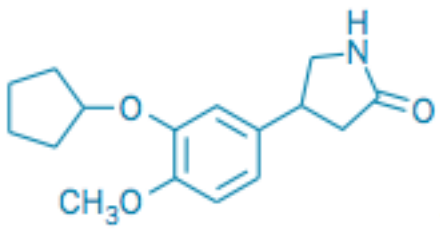
Citation: C&EN 1/4/2016, p.21

Clearing Cell Junk in Alzheimer's



Aggregated tau can impair the function of cells' proteasomes. Ramping up the activity of proteasomes with a small molecule can overcome this impairment, leading to fewer tau aggregates and improved cognitive function. (Work done at Columbia University by Natura Myeku)

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Citation: C&EN 1/4/2016, p.27

How to Design a Possible Alzheimer's Drug

Merck's verbecestat is currently in PIII clinical trials. Verubecestat targets beta-site amyloid-cleaving enzyme 1 (BACE1 or beta-secretase). The enzyme is involved in generating amyloid-beta, the peptide responsible for the plaques that accumulate in the brains of Alzheimer's patients.

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Citation: Toti, K. et al. *Chem. Rev*. **2015**, *115*, 13484.

Nucleosides with Transposed Base or 4'-Hydroxymethyl Moieties and Their Corresponding Oligonucleotides

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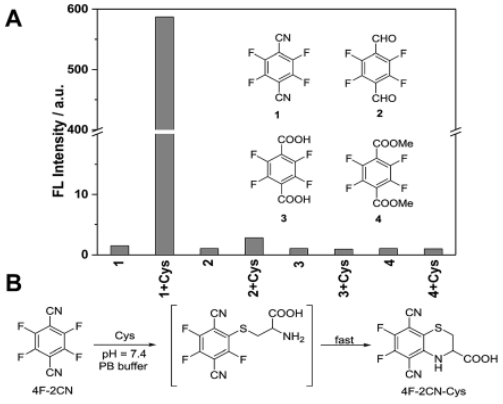
Citation: Chem. Sci. 2015, 7 (1), 256–260.

A Minimalist Fluorescent Probe for Differentiating Cys, Hcy and GSH in Live Cells.

Interesting bio-"click" fluorophore based on a really simple core. They use it for imaging cysteine but could also be used for self-assembly of these materials inside cells for turn-on fluorescence



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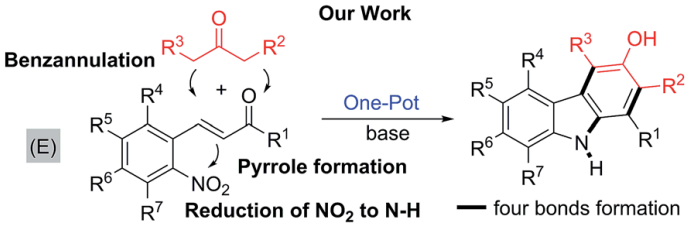
Citation: Chem. Sci. 2015, 6 (12), 7028–7033.

Construction of Highly Functionalized Carbazoles via Condensation of an Enolate to a Nitro Group.

What's the mechanism??



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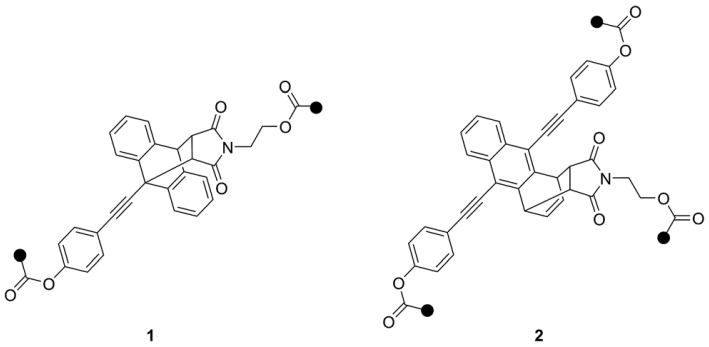
Citation: Chem. Sci. 2015, 7 (1), 370–375.

π-Extended Anthracenes as Sensitive Probes for Mechanical Stress.

Cool mechano-sensitive turn-on fluorophore. Not sure who would use this but is an interesting concept to think about



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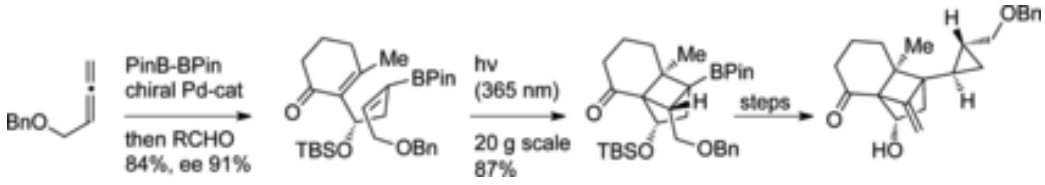
Citation: Kleinnijenhuis, R. A.., et al. *Chem. Eur. J.* **2016**, 22, 1266-1269

Formal Synthesis of Solanoeclepin A: Enantioselective Allene Diboration and Intramolecular [2+2] Photocycloaddition for the Construction of the Tricyclic Core



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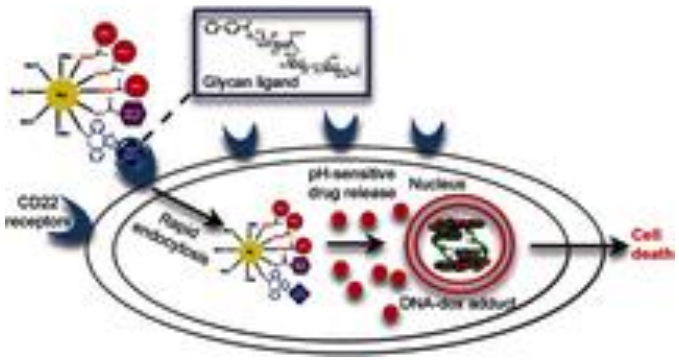
Citation: Hudlikar, M. S., et al. *Chem. Eur. J.* **2016**, 22, 1415-1423

Controlled Multi-functionalization Facilitates Targeted Delivery of Nanoparticles to Cancer Cells



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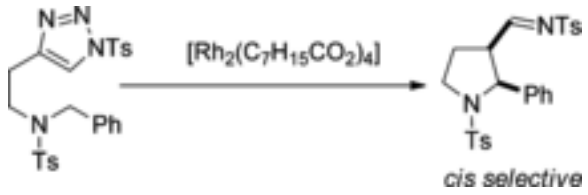
Citation: Senoo, M., et al. *Chem. Eur. J.* **2016**, 22, 890-895

Rhodium-Catalyzed Intramolecular C-H Bond Activation with Triazoles: Preparation of Stereodefined Pyrrolidines and Other Related Cyclic Compounds



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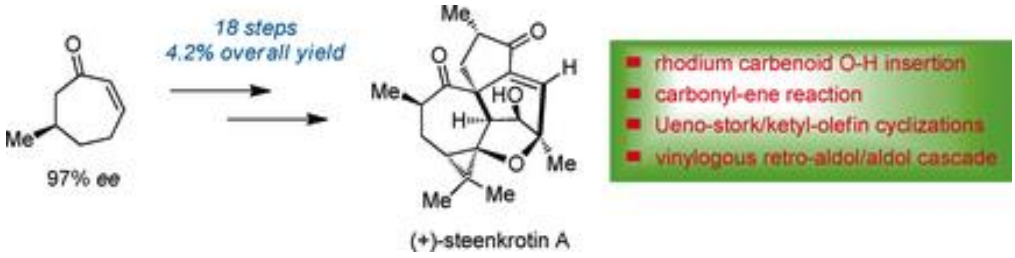
Citation: Pan, S., et al. *Chem. Eur. J.* **2016**, 22, 959-970

Enantioselective Total Synthesis of (+)-Steenkrotin A and Determination of Its Absolute Configuration



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Citation: Larson, B. J., et al. *Chem. Eur. J.* **2015**, 21, 19159-19167

Synthesis and Biological Evaluation of Lactimidomycin and Its Analogues

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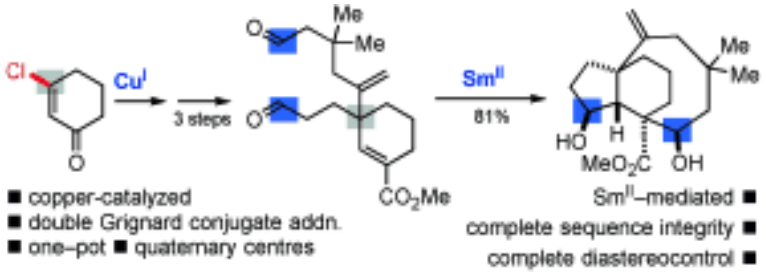
Citation: Ruscoe, R. E., et al. *Chem. Eur. J.* **2016**, 22, 116-119

Copper-Catalyzed Double Additions and Radical Cyclization Cascades in the Re-Engineering of the Antibacterial Pleuromutilin



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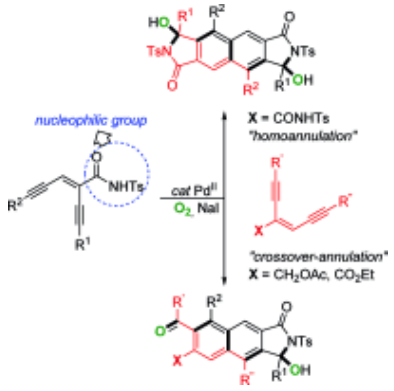
Citation: Wang, D., et al. *Chem. Eur. J.* **2016**, 22, 124-128

Aerobic Dimerization of Enediyne Compounds: Construction of Naphthalene Frameworks



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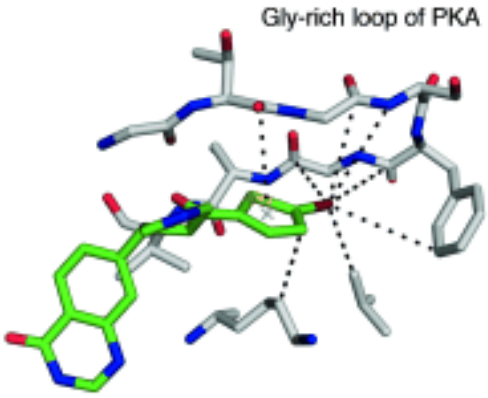
Citation: Lauber, B. S., et al. *Chem. Eur. J.* **2016**, 22, 211-221

Addressing the Glycine-Rich Loop of Protein Kinases by a Multi-Facetted Interaction Network: Inhibition of PKA and a PKB Mimic



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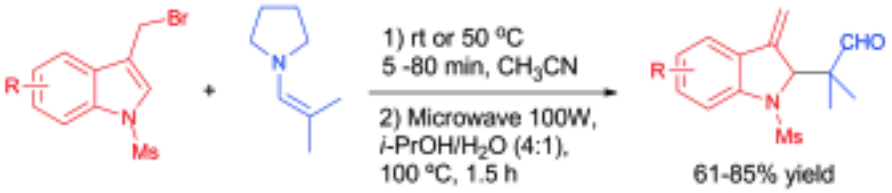
Citation: Chen, X., et al. *Chem. Eur. J.* **2016**, 22, 716-723

Facile Installation of 2-Reverse Prenyl Functionality into Indoles by a Tandem N-Alkylation-Aza-Cope Rearrangement Reaction and Its Application in Synthesis



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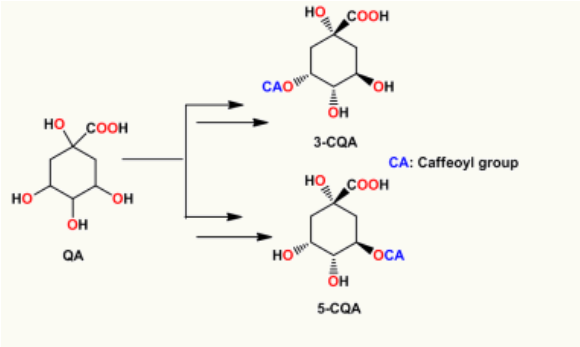
Citation: Kadida, L. O. et al. *European Journal of Chemistry*, (**2015**),6 (4), 367-373

New route for synthesis of 3- and 5-caffeoylquinic acids via protected quinic acids



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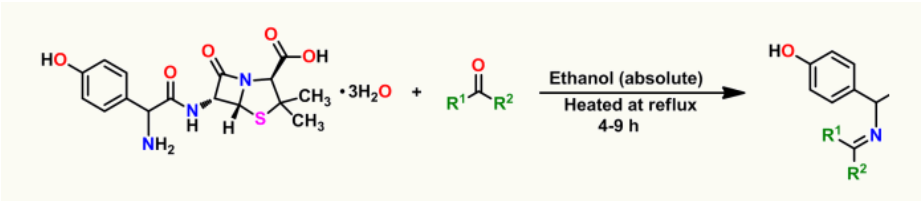
Citation: Islam, M. et al. *European Journal of Chemistry*, (**2015**),6 (4), 417-421

Synthesis, characterization and antimicrobial studies of imine derivatives of amoxicillin



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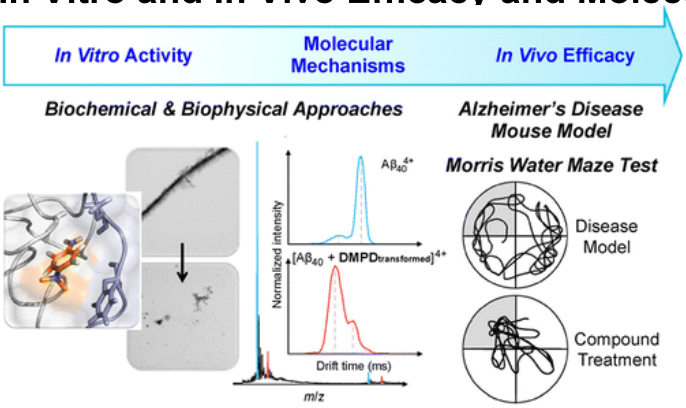
Citation: Jeffrey S. Derrick, Richard A. Kerr, Brandon T. Ruotolo, Mi Hee Lim, et al. *Journal of the American Chemical Society*, **2015**, 137 (46), 14785-14797

A Redox-Active, Compact Molecule for Cross-Linking Amyloidogenic Peptides into Nontoxic, Off-Pathway Aggregates: In Vitro and In Vivo Efficacy and Molecular Mechanisms



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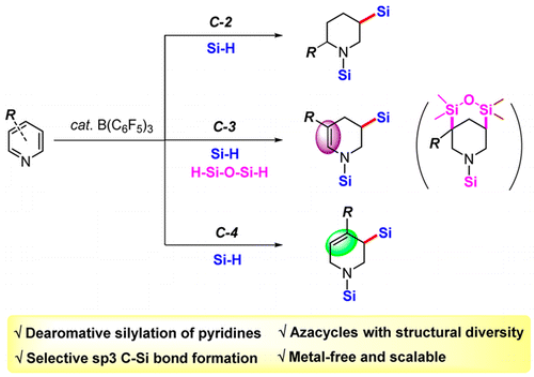
Citation: Narasimhulu Gandhamsetty, Sehoon Park, and Sukbok Chang *Journal of the American Chemical Society*, **2015**, 137 (48), 15176-15184

Selective Silylative Reduction of Pyridines Leading to Structurally Diverse Azacyclic Compounds with the Formation of sp3 C–Si Bonds



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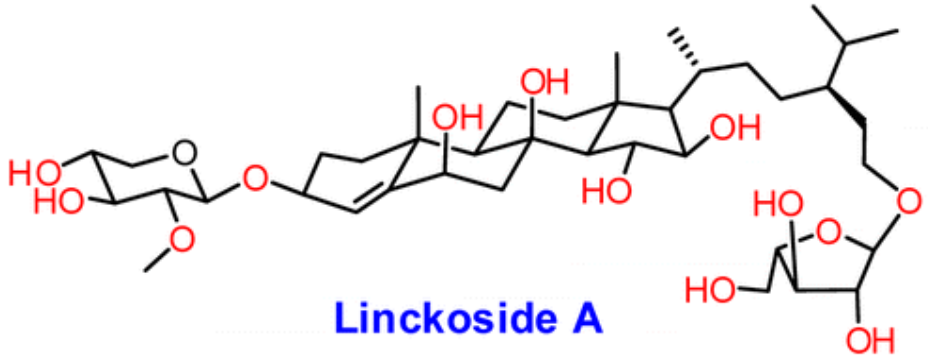
Citation: Dapeng Zhu and Biao Yu. *Journal of the American Chemical Society,* **2015**, 137 (48), 15098-15101

Total Synthesis of Linckosides A and B, the Representative Starfish Polyhydroxysteroid Glycosides with Neuritogenic Activities



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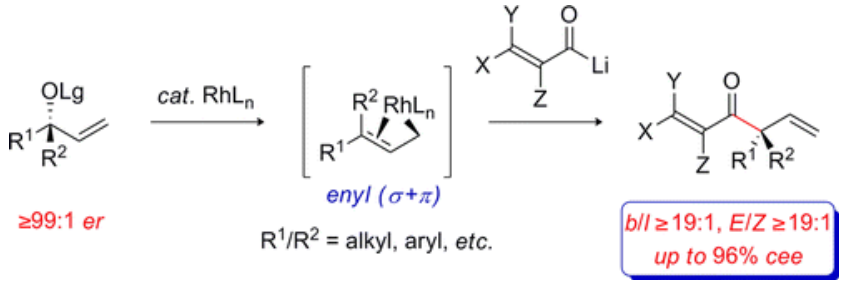
Citation: Turnbull, B.W.H.; Oliver, S.; Evans, P.A. *J. Am. Chem. Soc.*, **2015**, *137* (49), 15374-15377.

Stereospecific Rhodium-Catalyzed Allylic Substitution with Alkenyl Cyanohydrin Pronucleophiles: Construction of Acyclic Quaternary Substituted α,β-Unsaturated Ketones



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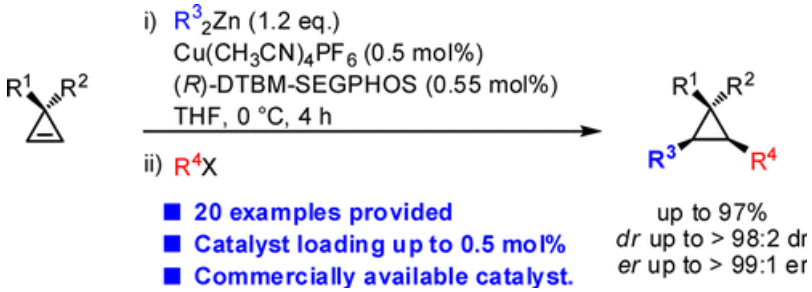
Citation: Muller, D.S.; Marek, I. *J. Am. Chem. Soc.*, **2015**, *137* (49), 15414-15417.

Asymmetric Copper-Catalyzed Carbozincation of Cyclopropenes en Route to the Formation of Diastereo- and Enantiomerically Enriched Polysubstituted Cyclopropanes



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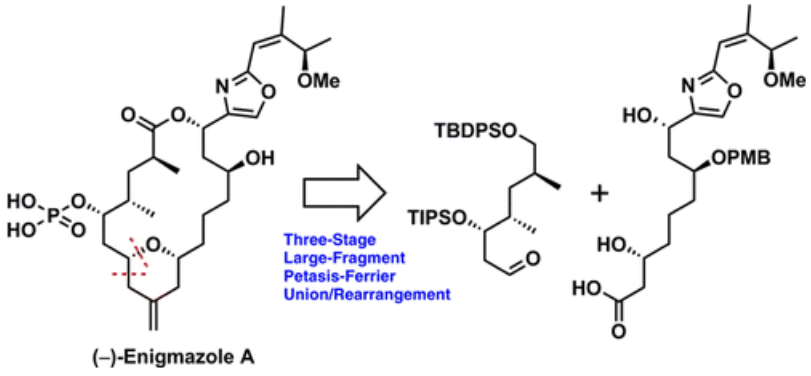
Citation: Ai, Y.; Kozytska, M.V.; Zou, Y.; Khartulyari, A.S.; Smith III, A.B. *J. Am. Chem. Soc.*, **2015**, *137* (49), 15426-15429.

Total Synthesis of (-)-Enigmazole A



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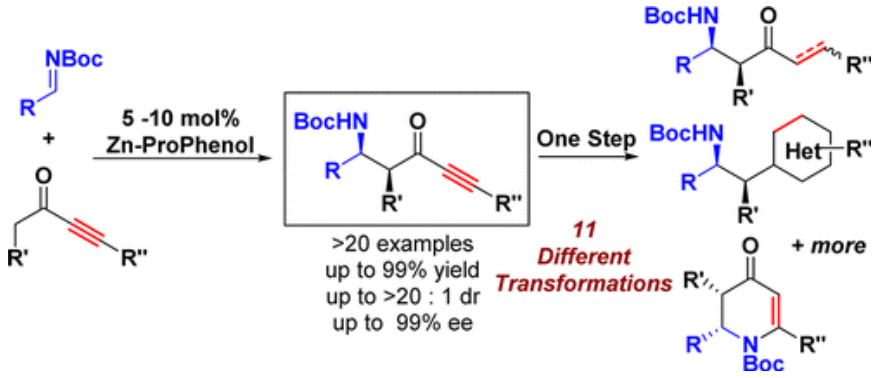
Citation: Barry M. Trost and Chao-I (Joey) Hung. *Journal of the American Chemical Society,* **2015**. 137 (50), 15940-15946

Broad Spectrum Enolate Equivalent for Catalytic Chemo-, Diastereo-, and Enantioselective Addition to N-Boc Imines



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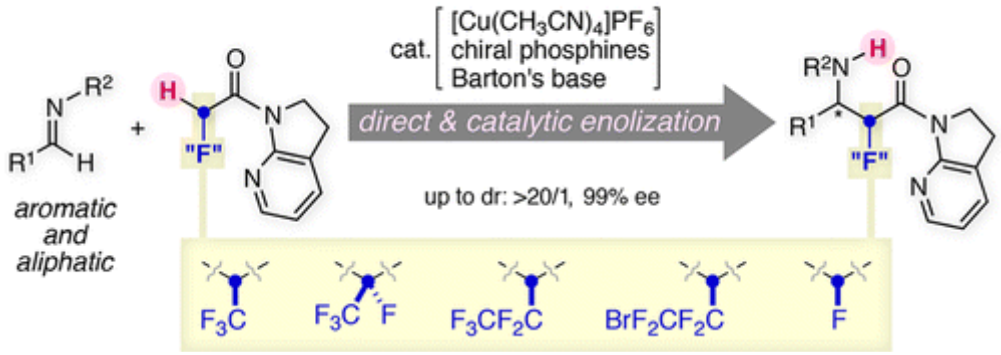
Citation: Lennart Brewitz, Fernando Arteaga Arteaga, Liang Yin, Kaliyamoorthy Alagiri, Naoya Kumagai, and Masakatsu Shibasaki. *Journal of the American Chemical Society.* **2015**, 137 (50), 15929

Direct Catalytic Asymmetric Mannich-Type Reaction of a- and ß-Fluorinated Amides

Stereoselective access to FLUORINATED β-amino acid derivatives



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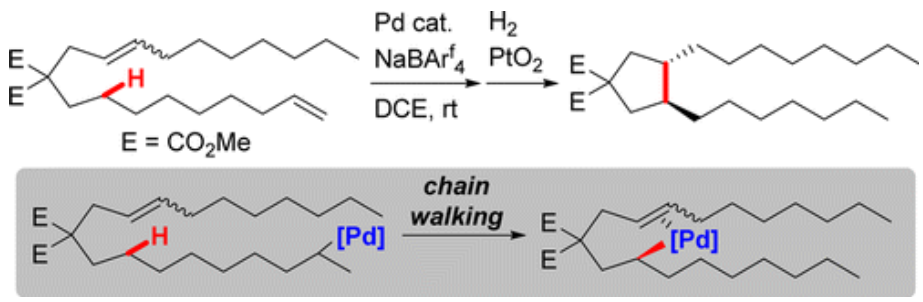
Citation: Hamasaki, T.; Aoyama, Y.; Kawasaki, J.; Kakiuchi, F.; Kochi, T. *J. Am. Chem. Soc.*, **2015**, *137* (51), 16163-16171.

Chain Walking as a Strategy for Carbon-Carbon Bond Formation at Unreactive Site in Organic Synthesis: Catalytic Cycloisomerization of Various 1,n-Dienes



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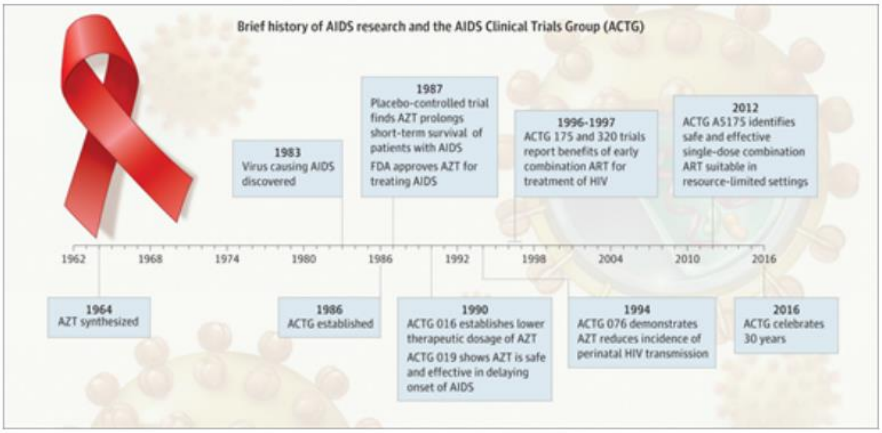
Citation: ***JAMA.* 2015;314(24):2604-2607**

Collaboration and Conflict: Looking Back at the 30-Year History of the AIDS Clinical Trials Group



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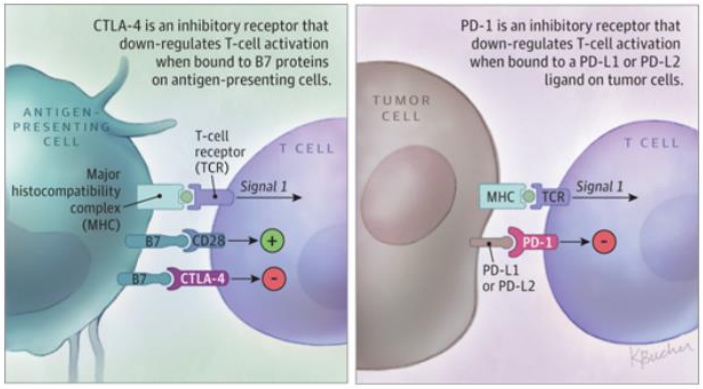
Citation: ***JAMA.* 2015;314(20):2117-2119**

Cancer Immunotherapy Researchers Focus on Refining Checkpoint Blockade Therapies



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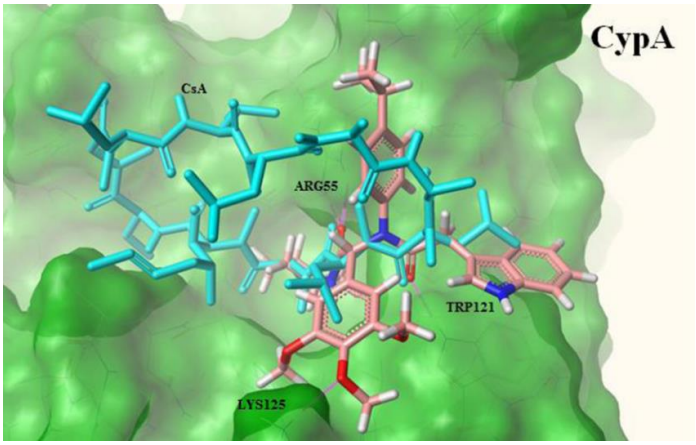
Citation: Yang, S. *et al.* Structure-Based Discovery of Novel Cyclophilin A Inhibitors for the Treatment of Hepatitis C Virus Infections. *J. Med. Chem.* **2015,** *58*, 9546-9561.

Design, synthesis, and characterization of a novel class of CypA inhibitors for the treatment of HCV.

Highlights:

1) *In silico* stucture-based design
2) 4-component Ugi condensation for one-flask analog synthesis
3) Intensive *in vitro* and cellular characterization of compounds



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Citation: Oehninger, L. *et al.* Rhodium(I) N-Heterocyclic Carbene Bioorganometallics as in Vitro Antiproliferative Agents with Distinct Effects on Cellular Signaling. *J. Med. Chem.* **2015,** *58*, 9591-9600.

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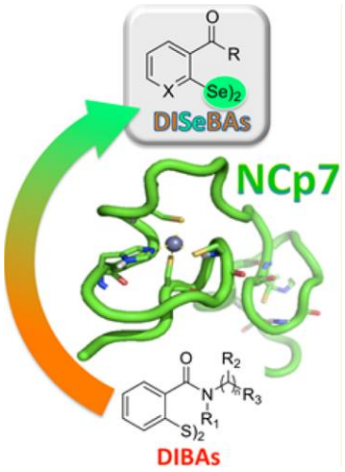
Citation: Sancineto, L. *et al.* Design and Synthesis of DiselenoBisBenzamides (DISeBAs) as Nucleocapsid Protein 7 (NCp7) Inhibitors with anti-HIV Activity. *J. Med. Chem.* **2015,** *58*, 9601-9614.

Synthesis of a series of Se-containing NCp7 inhibitors based on a scaffold identified in a previous screen by the NCI.

Hightlights:

1) DISeBA's target an essential protein in the HIV viral life cycel that is not hit by any currently availible ART's and is mutation-intolterant

2) "DISeBAs demonstrated broad antiretroviral activity, encompassing HIV-1 drug-resistant strains including clinical isolates..."



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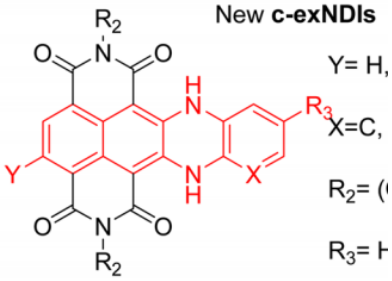
Citation: Perrone, R. *et al.* Synthesis, Binding and Antiviral Properties of Potent Core-Extended Naphthalene Diimides Targeting the HIV-1 Long Terminal Repeat Promoter G-Quadruplexes. *J. Med. Chem.* **2015,** *58*, 9639-9652.

A new class of ART's that selectively target unique features of viral DNA and inhibit viral replication

Highlights:

1) Discussion of FRET, CD, ESI/MS, and SPR assays used to determine binding properties of DNA ligands.

2) "The Extended Core NDIs display anti-HIV-1 activity at nanomolar concentrations." (IC_{50} values in low nM range in cellular assays)



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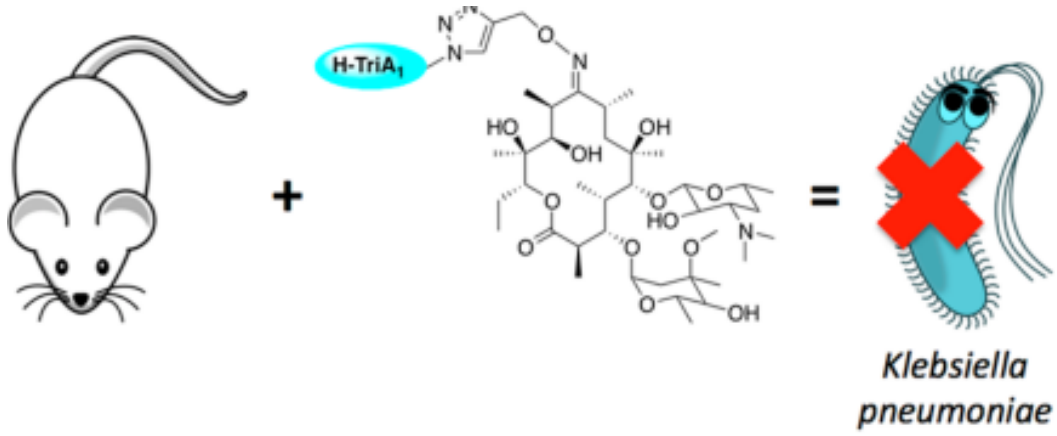
Citation: Cochrane, S. A. *et al.* Synthesis of Tridecaptin-A Antibiotic Conjugates with in Vivo Activity against Gram-Negative Bacteria. *J. Med. Chem.* **2015,** *58*, 9779-9785.



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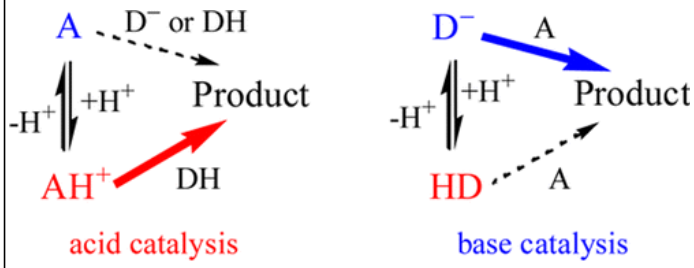
Citation: Dai, C-.G.; Du, X-.J.; Song, Q-.H. *JOC,* **2015**, *80,* 12088-12099.

Acid-Activatable Michael-Type Fluorescent Probes for Thiols and Labeling Lysosomes in Living Cells



HA^+/A: Michael acceptor; HD/D^-: Michael donor

Comprises synthesis, pKa measurements, photophysical properties, calculations, and initial labelling studies.

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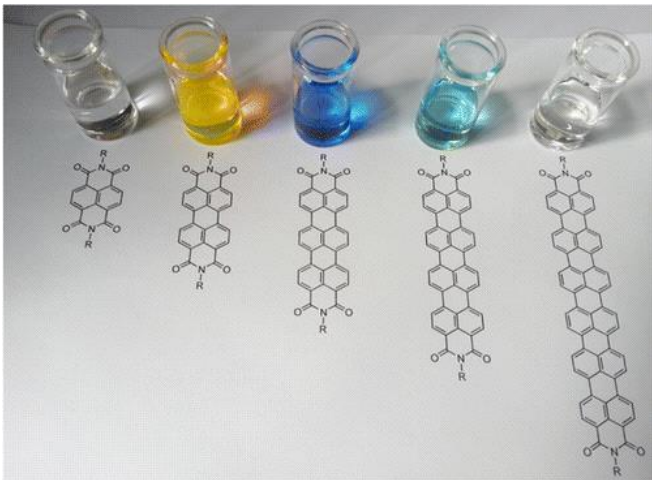
Citation: Langhals, H.; Zgela, D.; Luling, R. *JOC,* **2015**, *80*, 12146-12150.

Sexterrylenetetracarboxylic Bisimides: NIR Dyes



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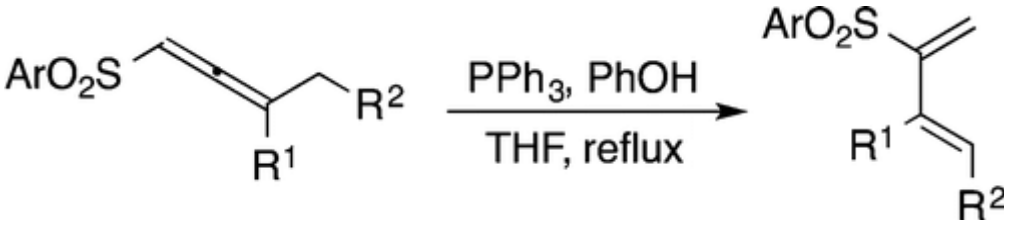
Citation: Hampton, C.S.; Harmata, M. *JOC,* **2015**, *80,* 12151-12158.

Mechanistic Aspects of the Phosphine-Catalyzed Isomerization of Allenic Sulfones to 2-Arylsulfonyl 1,3-Dienes



Very similar to our alkynoate rearrangement. Harmata and coworkers draw heavily on what is already known about that particular rearrangement.

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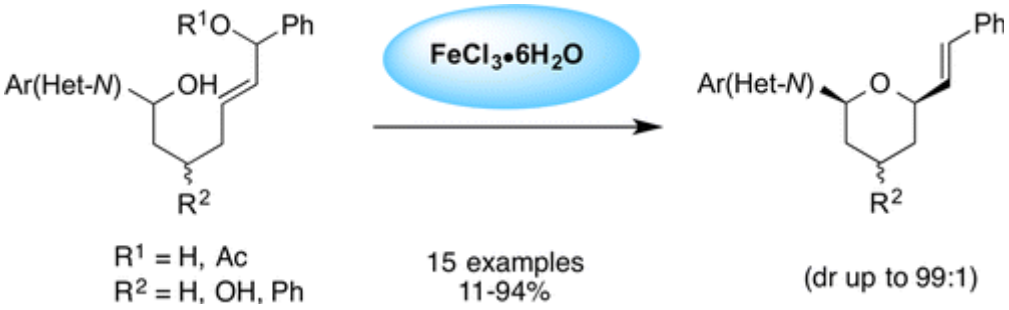
Citation: Bosset, C.; *et al*. *JOC,* **2015**, *80,* 12509-12525.

Iron-Catalyzed Synthesis of C2 Aryl- and N-Heteroaryl-Substituted Tetrahydropyrans



A very limited paper. Draws on a lot of previous work done with iron catalyzed tetrahydropyran syntheses of this type. References may be useful.

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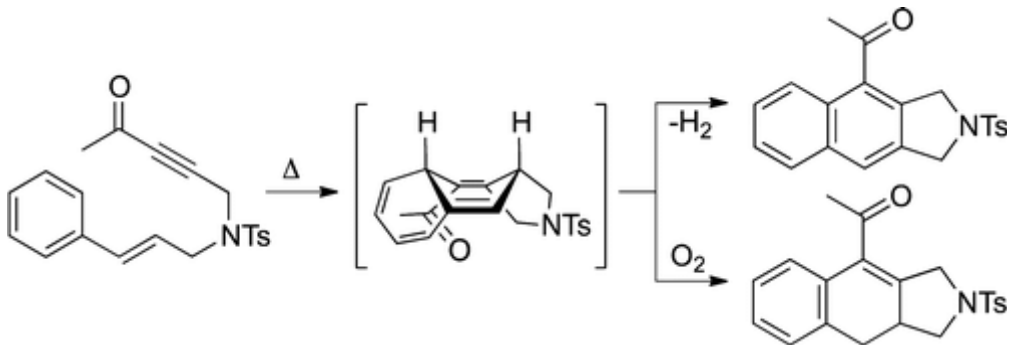
Citation: Tantillo, D.J.; Brummond, K.M.; *et al*. *JOC,* **2015**, *80,* 11686-11698.

Mechanistic Insight into the Dehydro-Diels–Alder Reaction of Styrene–Ynes



Studies gives a lot of interesting results, including an explanation for loss of H2 to give fully aromatized products.

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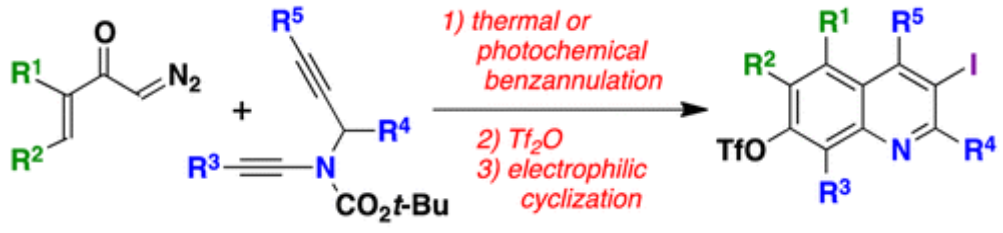
Citation: Willumstad, T.P.; Boudreau, P.D.; Danheiser, R.L. *JOC,* **2015**, *80,* 11794-11805.

Synthesis of Highly Substituted Quinolines via a Tandem Ynamide Benzannulation/Iodocyclization Strategy



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Citation: *Mol. Pharm.* **2015,** *12,* 4237-4246.

Protonation and Trapping of a Small pH-Sensitive Near-Infrared Fluorescent Molecule in the Acidic Tumor Environment Delineate Diverse Tumors in Vivo

LS662, a pH sensitive NIR dye, has the capacity to illuminate tumors within 1 h and exhibits prolonged retention in tumors. It was tested in 4T1/luc murine breast tumors in mice and administered intravenously.

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Citation: *Mol. Pharm.*, **2015,** *12,* 4290-4300.

Octreotide-Mediated Tumor-Targeted Drug Delivery via a Cleavable Doxorubicin-Peptide Conjugate

Targets overexpressed somatostatin receptors on tumor cells. The peptide as well as the drug-octreotide complex reduced the hormone secretion in AtT-20 pituitary tumor cells to a similar extent.

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Citation: *Mol. Pharm.* **2016,** *13,* 1-7.

Limited Efficiency of Drug Delivery to Specific Intracellular Organelles Using Subcellularly "Targeted" Drug Delivery Systems

On the basis of the available experimental data that originates mostly from in vitro studies in cultured cells, it appears that drug delivery system (DDS) decoration with specific targeting residues can affect their intracellular fate and result in preferential drug accumulation within an organelle of interest. However, it is not clear whether these approaches will be efficient in in vivo settings and or whether they can be translated into preclinical and clinical applications. There is a need to identify more selective and more efficient intracellular targeting residues and to clarify which formulaiton properties of DDSs will lead to their efficient targeting to specific intracellular organelles.

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Citation: *Mol. Pharm.* **2016,** *13,* 262-271.

Selective Intracellular Delivery of Recombinant Arginine Deiminase (ADI) Using pH-Sensitive Cell Penetrating Peptides to Overcome ADI Resistance in Hypoxic Breast Cancer Cells

The goal is to carry arginine deiminase (ADI) inside cells to restore ADI sensitivity (a promising anticancer treatment to overcome hypoxia-induced resistance in breast and prostate cancer cells). The pH sensitivity of the CPP HBHAc was controlled by recombinant fusion to a histidine-glutamine oligopeptide.

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Citation: *Mol. Pharm.,* **2016,** *13,* 172-179.

Characteristics of Cell-Penetrating Peptide/Nucleic Acid Nanoparticles

The authors performed a comprehensive analysis of the size and morphology of nucleic acid nanoparticles with novel transfection peptides using negative staining TEM. Transportan-10-based new generation CPPs condense nucleic acids to NPs of homogeneous size and shape.

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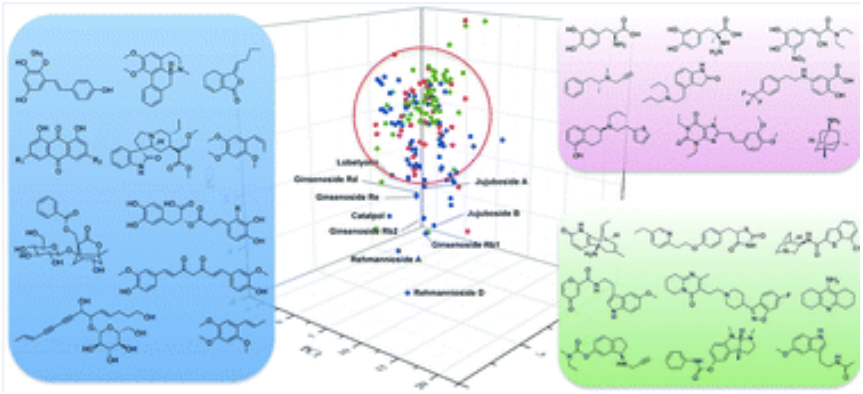
Citation: Tang, C. et al. *Nat. Prod. Rep.*, **2016**, 33, 6-25.

TCM, brain function and drug space



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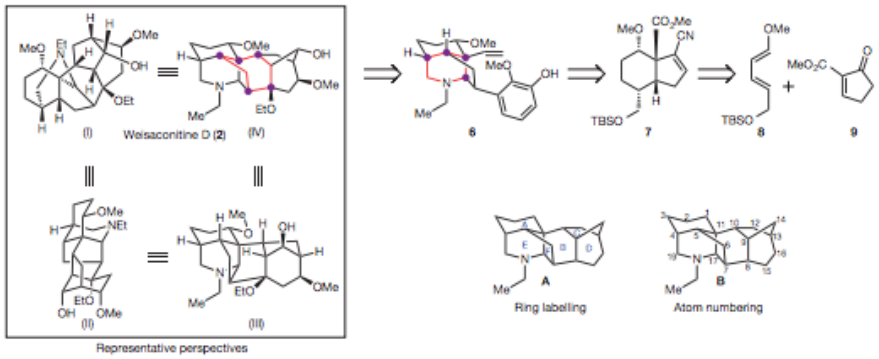
Citation: Sarpong et al. *Nature* 528, 493–498 **2015**

Network-analysis-guided synthesis of weisaconitine D and liljestrandinine

CONAN 2.0



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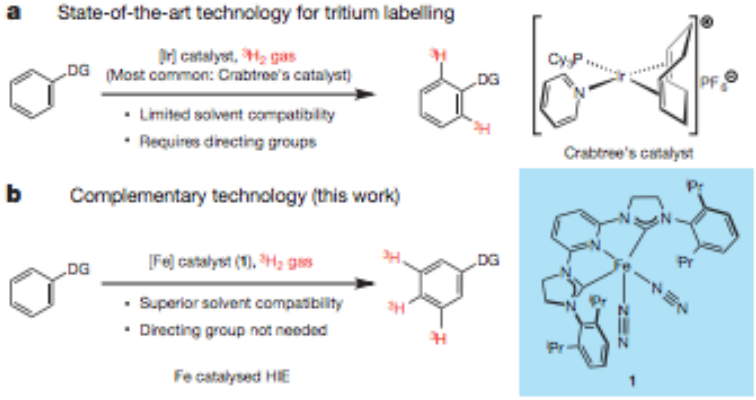
Citation: Chirik et al. *Nature* 529, 195–199 **2016**

Iron-catalysed tritiation of pharmaceuticals



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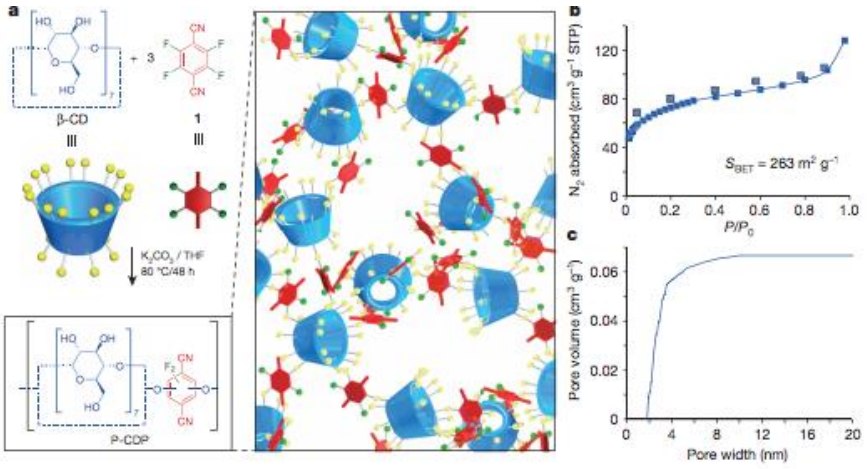
Citation: Dichtel et al *Nature* 529, 190–194 **2016**

Rapid removal of organic micropollutants from water by a porous beat-cyclodextrin polymer



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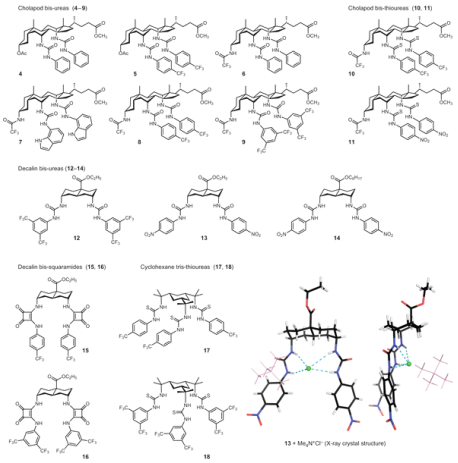
Citation: Li, H. *et al*. *Nature Chemistry,* **2016**, *8,* 24-32.

Efficient, non-toxic anion transport by synthetic carriers in cells and epithelia



They used yellow fluorescent protein (YFP) to monitor halide uptake into cells.

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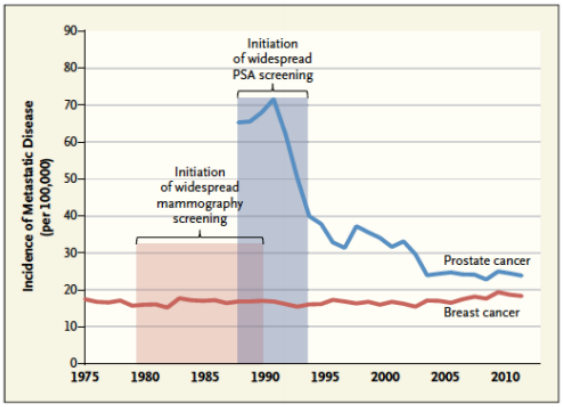
Citation: **N Engl J Med 2015; 373:1685-1687**

Trends in Metastatic Breast and Prostate Cancer - Lessons in Cancer Dynamics



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Citation:	http://www.nytimes.com/2016/01/11/business/illumina-creating-company-to-develop-early-stage-cancer-detection-test.html?_r=0	
Illumina Creating Company to Develop Early-Stage Cancer Detection Test Some people see it as the holy grail in oncology — a simple blood test that can detect any kind of cancer at an early stage, when it is easiest to treat and possibly cure. Illumina, the world's largest maker of DNA sequencing machines, said on Sunday that it was forming a company to attempt to develop such a test. The company, called Grail, has raised over $100 million, mostly from Illumina and the venture capital firm Arch Venture Partners, but also from Microsoft's co-founder, Bill Gates, and Jeffrey P. Bezos, the founder and chief executive of Amazon. Grail, which is majority-owned by Illumina, has also assembled a prominent roster of advisers. There goal is to produce the pan-cancer screening test by 2019.	bioorganic methods synthesis mechanism review other	OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation:	http://www.nytimes.com/politics/first-draft/2015/12/22/hillary-clinton-proposes-doubling-spending-on-alzheimers-research/	
Hillary Clinton Proposes Doubling Spending on Alzheimer's Research Mrs. Clinton proposed a $2 billion-a-year investment in Alzheimer's research, more than double the amount in the recently passed appropriations bill, to combat the sixth-leading cause of death in the United States. The plan, which would be paid for by changes in the tax code, emerged out of conversations with voters who regularly ask Mrs. Clinton about Alzheimer's at town-hall-style events in Iowa and New Hampshire. "We owe it to the millions of families who stay up at night worrying about their loved ones afflicted by this terrible disease and facing the hard reality of the long goodbye to make research investments that will prevent, effectively treat and make a cure possible by 2025," Mrs. Clinton said in a statement.	bioorganic methods synthesis mechanism review other	OM **Bryo** DDO Hybrid Drug Deliv. Prostratin

Citation:	http://www.nytimes.com/2016/01/12/business/drug-companies-to-try-a-unified-front-against-cancer.html	
Drug Companies to Try a Unified Front Against Cancer Some leading pharmaceutical companies are joining forces in an effort to speed the testing of new types of cancer drugs that harness the body's immune system to battle tumors. The cooperative effort, announced on Monday, will include Amgen, Celgene and some smaller companies. The effort, known as the National Immunotherapy Coalition, will try to rapidly test various combinations of such drugs. Perhaps the most exciting development in oncology now is the sudden success, after decades of failure, of efforts to unleash the immune system to control cancer. Three drugs that have been approved in the last few years — Keytruda from Merck and Opdivo and Yervoy from Bristol-Myers Squibb — have produced significant and long-lasting improvements in some patients. But many other patients do not benefit at all from the drugs. Researchers believe that combinations of two or more drugs that engage different parts of the immune system might be effective for more patients than a single drug.	bioorganic methods synthesis mechanism review other	OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: http://www.nytimes.com/2015/12/29/upshot/why-preventing-cancer-is-not-the-priority-in-drug-development.html

Why Preventing Cancer is Not the Priority in Drug Development

Most people would agree that it would be better to prevent cancer, if we could, than to treat it once it developed. Yet economic incentives encourage researchers to focus on treatment rather than prevention. The way the patent system interacts with the Food and Drug Administration's drug approval process skews what kinds of cancer clinical trials are run. There's more money to be made investing in drugs that will extend cancer patients' lives by a few months than in drugs that would prevent cancer in the first place. That's one of the findings from the work of Heidi Williams, an M.I.T. economics professor and recent MacArthur Foundation "genius" grant winner, who studied the problem along with Eric Budish, a University of Chicago economics professor, and Ben Roin, assistant professor of technological innovation, entrepreneurship and strategic management at M.I.T.

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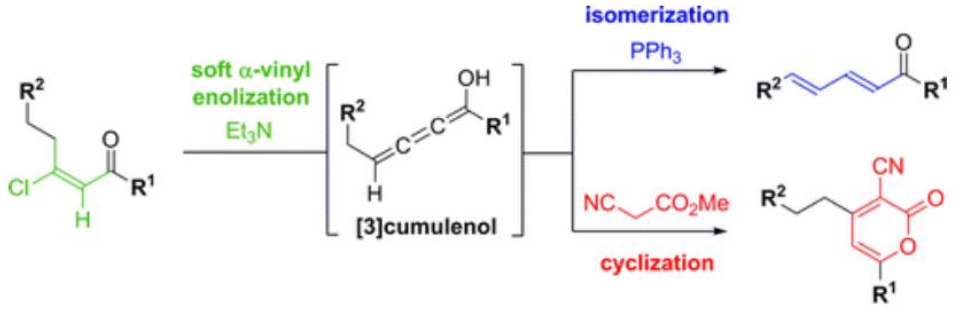
Citation: **Org. Lett., 2015, 17 (24), pp 6254–6257**

1,3-dienones and 2H-pyran-2-ones from soft alpha-vinyl enolization of beta-chlorovinyl ketones



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Citation: Gildner, P. G.; Colacot, T. J. *Organomet*. **2015**, *34*, 5497.

Reactions of the 21st Centruy: Two Decades of Innovative Catalyst Design for Palladium-Catalyzed Cross-Couplings

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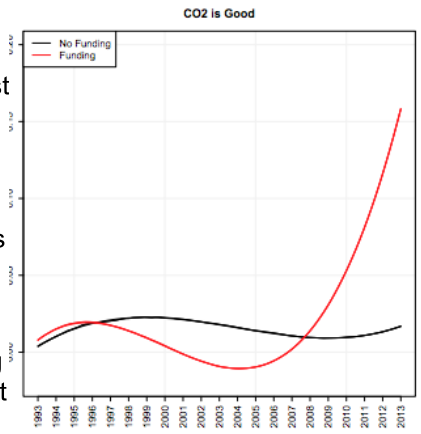
Citation: Farrell J. PNAS | January 5, 2016 | vol. 113 | no. , p. 92-97.

Corporate funding and ideological polarization about climate change



Ideological polarization around environmental issues especially climate change—have increased in the last 20 years. This polarization has led to public uncertainty, and in some cases, policy stalemate. Much attention has been given to understanding individual attitudes, but much less to the larger organizational and financial roots of polarization. This gap is due to prior difficulties in gathering and analyzing quantitative data about these complex and furtive processes. This paper uses comprehensive text and network data to show how corporate funding influences the production and actual thematic content of polarization efforts.

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Citation: PNAS | January 12, 2016 | vol. 113 | no. 2, p. 316-319

Thinking from God's perspective decreases biased valuation of the life of a nonbeliever

"Religious belief is often thought to motivate violence because it is said to promote norms that encourage tribalism and the devaluing of the lives of nonbelievers. If true, this should be visible in the multigenerational violent conflict between Palestinians and Israelis which is marked by a religious divide. We conducted experiments with a representative sample of Muslim Palestinian youth (n =555), examining whether thinking from the perspective of Allah (God), who is the ultimate arbitrator of religious belief, changes the relative value of Jewish Israelis' lives (compared with Palestinian lives). Participants were presented with variants of the classic "trolley dilemma," in the form of stories where a man can be killed to save the lives of five children who were either Jewish Israeli or Palestinian. They responded from their own perspective and from the perspective of Allah. We find that whereas a large proportion of participants were more likely to endorse saving Palestinian children than saving Jewish Israeli children, this proportion decreased when thinking from the perspective of Allah. This finding raises the possibility that beliefs about God can mitigate bias against other groups and reduce barriers to peace."

Citation: Witte et al. PNAS

Functional screen identifies kinases driving prostate cancer visceral and bone metastasis

New kinase targets: "Therapies are urgently needed to treat metastatic prostate cancer. Mutationally activated and wild-type kinases such as BCR-ABL and BTK are effective therapeutic targets in multiple cancers. Genetically altered kinases are rare in prostate cancer. Wild-type kinases may be implicated in prostate cancer progression, but their therapeutic potential in metastatic prostate cancer remains unknown. Using phosphoproteomics and gene expression datasets, we selected 125 wild-type kinases implicated in human prostate cancer metastasis to screen for metastatic ability in vivo. The RAF family, MERTK, and NTRK2 drove prostate cancer bone and visceral metastasis and were highly expressed in human metastatic prostate cancer tissues. These studies reveal that wild-type kinases can drive metastasis and that the RAF family, MERTK, and NTRK2 may represent important therapeutic targets."

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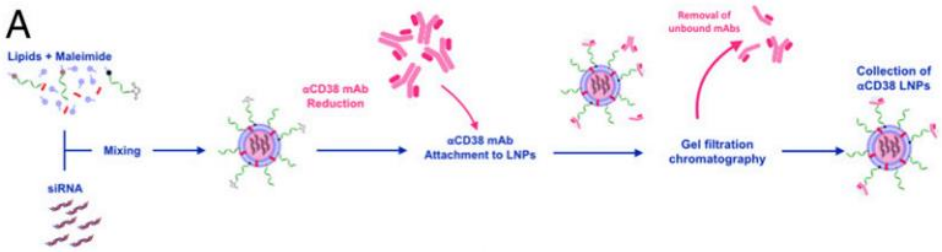
Citation: Lieberman, j et al. E16–E22 | PNAS | Published online December 23, 2015

Harnessing RNAi-based nanomedicines for therapeutic gene silencing in B-cell malignancies

" Here, we show that lipid-based nanoparticles coated with anti-CD38 monoclonal antibodies specifically target mantle cell lymphoma (MCL) cells and induce cell-specific therapeutic gene silencing in vivo. CD38- targeted nanoparticles that contain cyclin D1 siRNAs prolong survival of mice bearing MCL lymphomas in the bone marrow. This strategy opens a new avenue for treating MCL that might be applied to other hematological malignancies."



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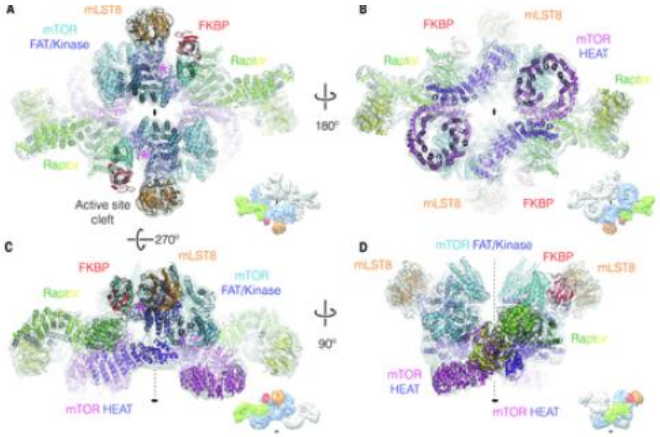
Citation: Aylett, C. H. S. *Science* **2016**, 351 (6268), 48-52

Architecture of human mTOR complex 1



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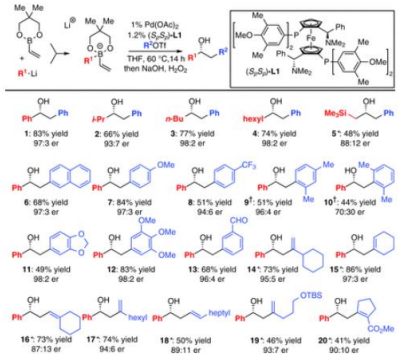
Citation: Zhang, L. *Science* **2016**, 351 (6268), 70-74

Catalytic conjunctive cross-coupling enabled by metal-induced metallate rearrangement



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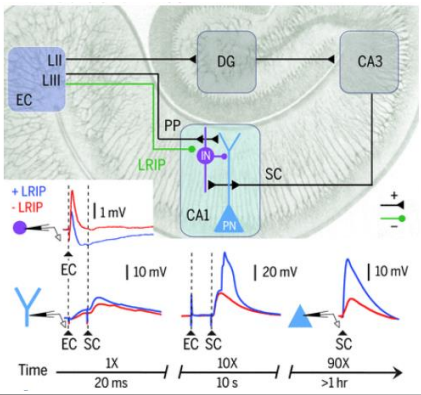
Citation: Basu, J. *Science* **2016**, 351 (6268),

Gating of hippocampal activity, plasticity, and memory by entorhinal cortex long-range inhibition



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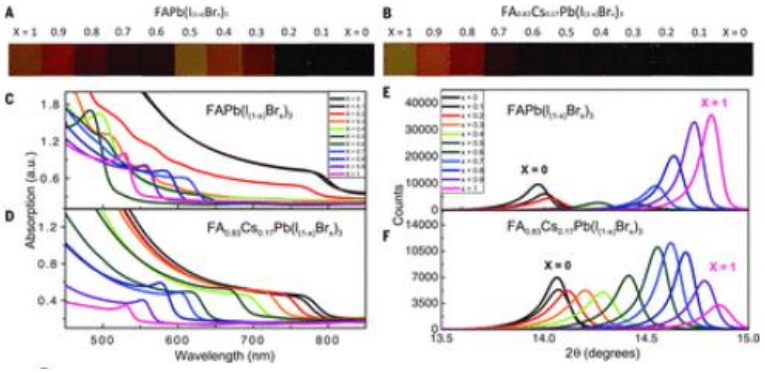
Citation: McMeekin, D. *Science* **2016**, 351 (6268), 151-155

A mixed-cation lead mixed-halide perovskite absorber for tandem solar cells



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Citation: Ratmann, *et al. Sci. Trans. Med.* **2016,** *8*, 320ra2.

Sources of HIV infection among men having sex with men and implications for prevention

Despite the relative success of antiretroviral therapy (ART) for individuals infected with HIV, the rate of new diagnoses has remained fairly constant in vulnerable population groups, particularly men having sex with men (MSM). Now, ART is also available in the United States to uninfected individuals to directly prevent infection with the virus. Ratmann et al. were able to reconstruct ~600 past transmission events among men having sex with men in the Netherlands, and examined probable sources of transmission. They found that the large majority of new infections is neither attributable to ineffective ART nor inadequate retention in care. Rather, many of these cases could have been averted with more comprehensive HIV testing and a broader use of ART that includes provision to uninfected men as well as starting ART as soon as possible among newly diagnosed men. These findings support making ART for pre-exposure prophylaxis available worldwide, and especially in countries with high retention in care and high ART coverage among infected MSM.

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Citation: Whiteley, *et al.* *Sci. Trans. Med.* **2016,** *8*, 320ra4.

A mouse-human phase 1 co-clinical trial of a protease-activated fluorescent probe for imaging cancer

Cancer cells secrete more of the protease cathepsin than healthy cells, partly as a way to enzymatically remodel their surroundings for tumor growth and metastasis. Whitley et al. developed an imaging probe that could be activated in the presence of these cathepsins, thus allowing surgeons to distinguish tumor margins intraoperatively. Their probe, called LUM015, was able to signal the presence of cancer in vivo in a mouse sarcoma model, and in a so-called "co-clinical tria" in 15 patients, it was safe and cleaved as expected in different types of tumor tissues. In 15 patients with STS or breast cancer, intravenous injection of LUM015 before surgery was well tolerated. With favorable biodistribution and pharmacokinetics also demonstrated, protease-activated probes are now poised for further adaptation to tumor resections, signaling the presence of residual cancer. LUM015 consists of a commercially available fluorescence quencher molecule (QSY21) attached through a GGRK peptide to a 20-kD polyethylene glycol (PEG) and a Cy5 fluorophore. LUM015 is optically inactive, but upon proteolytic cleavage by cathepsins K, L, and S (and, to some extent, B), the quencher is released (fragment 1) to create the optically active fragment 2 (Fig. 1B). Additional cleavage of LUM015 or fragment 2 yields the optically active fragment 3 (Fig. 1A).

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Citation: Brennand, *et al.* *Sci. Trans. Med.* **2016,** *8*, 320ec1.

Is Huntington's disease a neurodevelopmental disorder?

Huntington¡¯s disease (HD) is an inherited neurodegenerative disease that manifests in adulthood, typically between 30 and 50 years of age. By the time patients present with symptoms, the death of striatal neurons in the brain is well under way, and the damage may not be reversible. If researchers can identify when the first indications of abnormal striatal neuronal function occur, this might permit therapeutic interventions prior to cell loss and the onset of disease symptoms. This is the approach taken by Ring et al. in their new study. These investigators reprogrammed fibroblasts from patients with Huntington's disease into induced pluripotent stem cells, which were subsequently differentiated into neural stem cells (NSCs) with gene expression patterns thought to resemble those found in the developing human brain. Surprisingly, this study, which implicates dysregulation of genes involved in neuronal development and formation of the dorsal striatum, suggests that the first disease processes in HD manifest during early embryonic development, prior to full neuronal maturation. If true, this greatly expands both the time window for clinical intervention as well as the range of putative therapeutic targets that might prevent, delay or cure HD in affected individuals before the onset of disease symptoms in adulthood.

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Citation: Traverso, *et al.* *Sci. Trans. Med.* **2016,** *8*, 320ec3.

Eating at the right time

Loh and colleagues gave one group of mice access to food only during mouse scheduled sleep times and another group access during mouse wakeful hours; the investigators then evaluated and compared the learning and memory abilities of the groups. To evaluate learning and memory in the feeding-aligned and -misaligned mice, the authors then trained the animals to associate a novel context with a fearful stimulus. Although both groups showed freezing behavior (fear) during the training trial, 24 hours later the misaligned mice were no longer as fearful, supporting the conclusion that misalignment has adverse effects on long-term memory.

Misaligned feeding impairs memories
DOI: http://dx.doi.org/10.7554/eLife.09460

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Citation: Jeste, *et al.* *Sci. Trans. Med.* **2016,** *8*, 321fs1.

Clinical trials for neurodevelopmental disorders: At a therapeutic frontier

Clinical trial design and interpretation are inherently challenging. Nonetheless, the search for targeted, effective treatments for neurodevelopmental disorders "fragile X syndrome, Rett syndrome, Down syndrome, and others" has been plagued by their heterogeneity and complexity. The authors report results from two multisite, phase 2b clinical trials of the metabotropic glutamate receptor (mGluR) antagonist mavoglurant for adolescents and adults with fragile X syndrome. Noteworthy was the collaboration among investigators in 16 countries, an impressive effort that resulted in a sample size powered to test the selected primary outcome measures. Based on the prior study (6), outcome measures were derived from caregiver questionnaires of overall patient functioning, as well as from cognitive testing in the few individuals who could complete the protocol. None of the groups exhibited significant improvement in these outcomes over placebo, which led the authors to conclude that ¡°under the conditions of our study, we could not confirm the mGluR theory of fragile X syndrome, nor the predictive value of the methylation state of FMR1 promoter for mavoglurant efficacy." The more parallel the findings in model systems and humans, and the more that preclinical work is conducted with the rigor of clinical trials, the easier this road to translating genetic findings into treatment will be. This article analyzed the question whom and how should we be treating in clinical trials.

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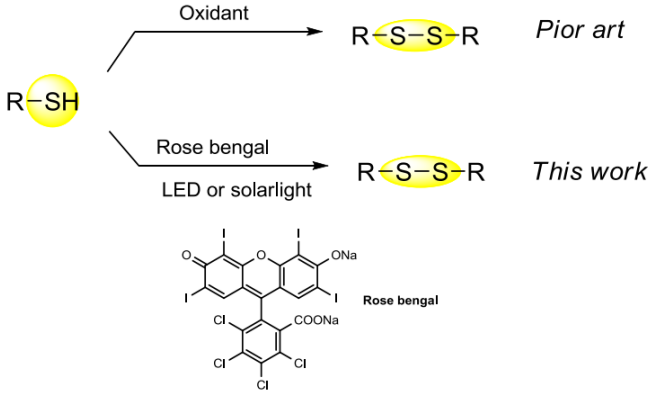
Citation: Tankam, T., Poochampa, K., Vilaivan, T., Sukwattanasinitt, M. & Wacharasindhu, S. Organocatalytic visible light induced S-S bond formation for oxidative coupling of thiols to disulfides. *Tetrahedron* **2016,** *72*, 788-793.

The use of Rose Bengal as an organocatalyst for the photo-induced oxidative coupling of thiols to disulfides



Scheme 1. Oxidation of thiols into disulfides.

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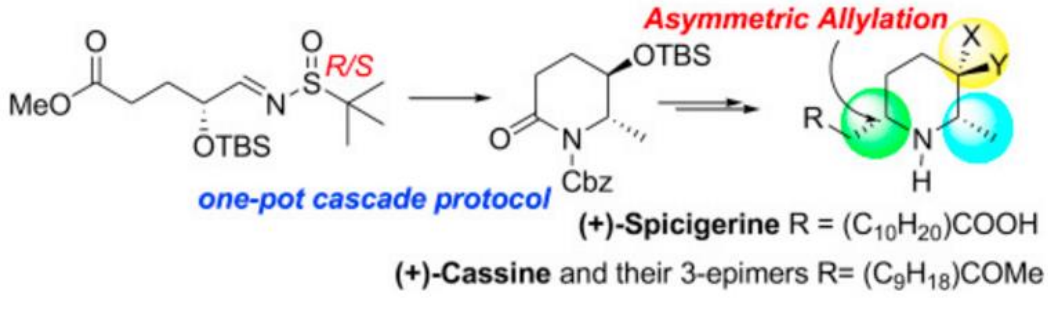
Citation: Han, P. *et al.* A divergent approach for asymmetric syntheses of (+)-spicigerine, (+)-cassine and their 3-epimers. *Tetrahedron* **2016,** *72*, 862-867.



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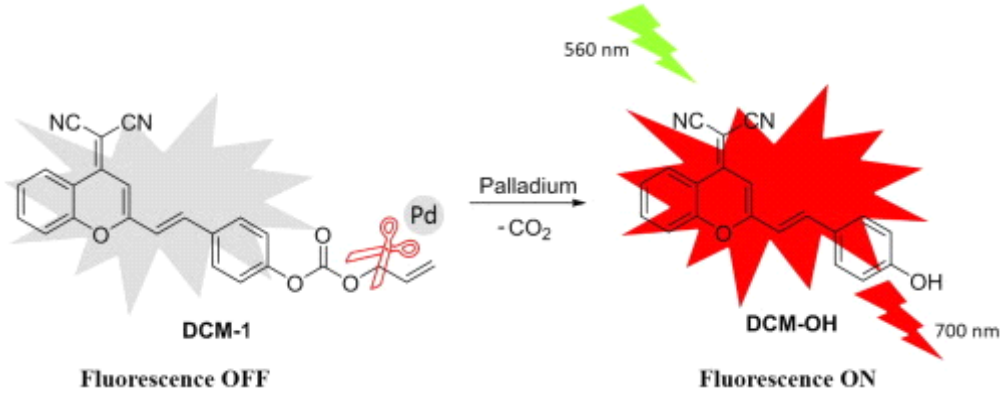
Citation: Wang, P.; *et al. Tet. Lett.* **2015**, *56,* 6491.

A novel NIR fluorescent probe for palladium detection based on Pd(0) mediated reaction



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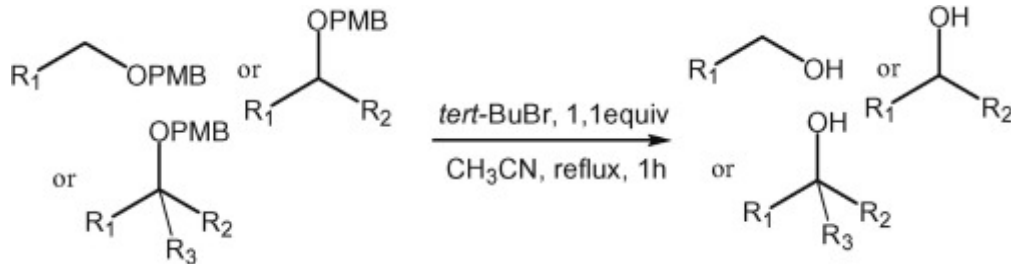
Citation: Rival, N.; *et al. Tet. Lett.* **2015**, *56,* 6823.

Mild deprotection of PMB ethers using tert-butyl bromide



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