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ACS Central Science	43	Colin McKinlay
ACS Chemical Biology	43	Gabriella Fernandes Cunha
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Angewandte Chemie International Edition	46	Samanta Capolicchio
Bioconjugate Chemistry	49	Nancy Benner
Biomacromolecules	50	Colin McKinlay
Bioorganic and Medicinal Chemistry	50	Xiaoyu Zang (Janice)
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Chemical Science	56	Colin McKinlay
Chemistry, A European Journal	57	Jack Sloane
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Journal of the American Chemical Society	60	Steven Ryckbosch (odd)
		Akira Shimizu (even)
JAMA	61	Stephen Ho
Journal of Medicinal Chemistry	62	Clayton Hardman
Journal of Organic Chemistry	**MOOK**	Matt Jeffreys
Molecular Pharmaceutics	63	Melanie Huttner
Natural Product Reports	64	Nancy Benner
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Nature Chemical Biology	65	Xiaoyu Zang (Janice)
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The New York Times	66	Melanie Huttner
The Onion	N/A	Steven Ryckbosch
Organic Letters	67	Stephen Ho
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PNAS	68	Jessica Vargas
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Synlett	71	Quang Luu-Nguyen
Synthesis	72	Quang Luu-Nguyen
Tetrahedron	72	Clayton Hardman
Tetrahedron Letters	73	Katie Near

Next Due Date: Tuesday, March 15, 2016

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

Create an Abstract

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

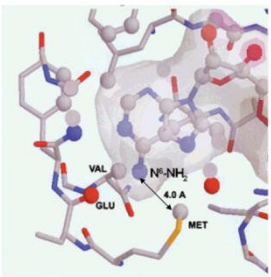
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

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

Citation: Abeyweera, T.P.; Rotenberg, S.A. *Biochemistry* **2007**, *46*, 2364-2370

Design and Characterization of a Traceable Protein Kinase C-alpha

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



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

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

methods
synthesis

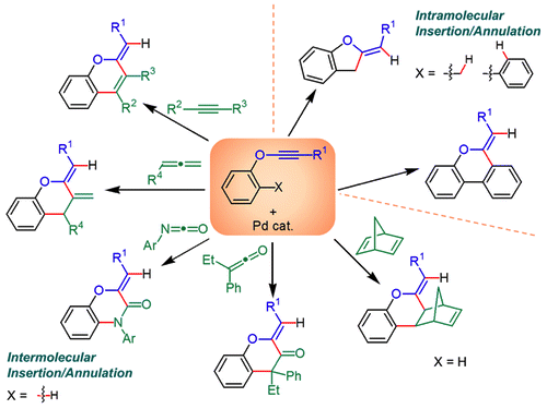
DON'T BE A MOOK!

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: Minami, Y. et al. *Acc. Chem. Res.* **2016**, 49, 67-77

Synthetic Transformations through Alkynoxy-Palladium Interactions and C-H Activation



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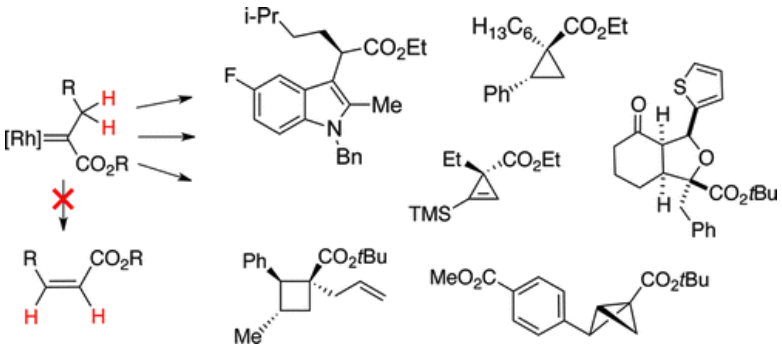
Citation: DeAngelis, A. et al. *Acc. Chem. Res.* **2016**, 49, 115-127

Rh-Catalyzed Intermolecular Reactions of a-Alkyl-a-Diazo Carbonyl Compounds with Selectivity over b-Hydride Migration



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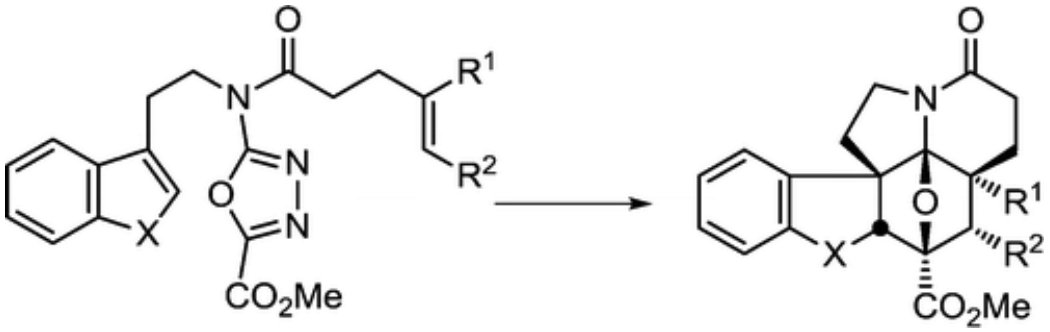
Citation: Sears, J. E. et al. *Acc. Chem. Res.* **2016**, 49, 241-251

Tandem Intramolecular Diels-Alder/1,3-Dipolar Cycloaddition Cascade of 1,3,4-Oxadiazoles: Initial Scope and Applications



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Citation: ACS Cent. Sci. 2016, 2 (1), 1–3.

Grand Challenges in Chemistry for 2016 and Beyond.

Bertozzi at her best, discussing what to expect for chemistry in 2016. A worthwile read!

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Citation: ACS Cent. Sci. 2016, 2 (1), 6–8.

Chasing Hot Molecules.

Interesting perspective on recently "popular" molecules for treating chronic disease. ACS Central has great pieces like this

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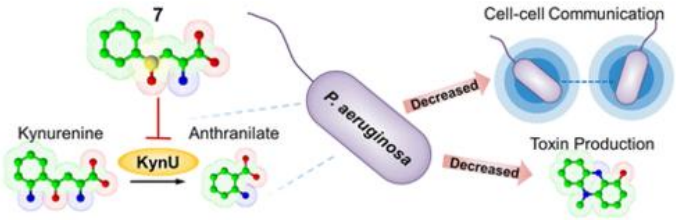
Citation: Kasper, S. et al. *ACS Chem. Biol*. **2016**, DOI: 10.1021/acschembio.5b01082

Chemical Inhibition of Kynureninase Reduces Pseudomonas aeruginosa Quorum Sensing and Virulence Factor Expression



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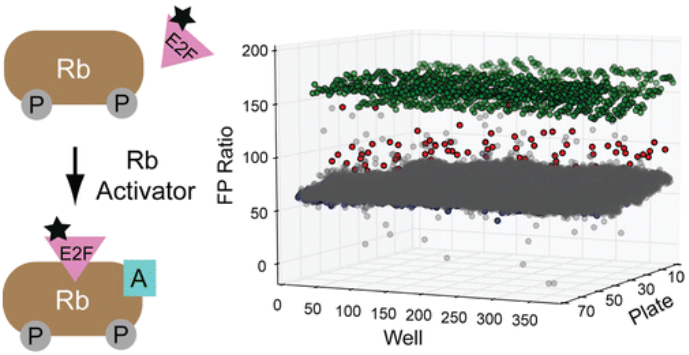
Citation: Pye, C. et al. *ACS Chem. Biol*. **2016**, DOI: 10.1021/acschembio.6b00011

A Strategy for Direct Chemical Activation of the Retinoblastoma Protein



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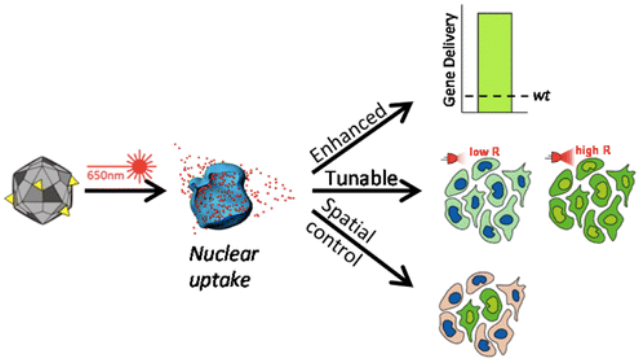
Citation: Gomez, E. J. et. al, *ACS Nano,* **2016**, 10 (1) 225-237.

Light-Activated Nuclear Translocation of Adeno-Associated Virus Nanoparticles Using Phytochrome B for Enhanced, Tunable, and Spatially Programmable Gene Delivery



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Citation: Vandooren, J.; *et al. Adv. Drug Deliv. Rev.* **2016,** *97,* 144-155.

Proteases in cancer drug delivery

Whereas protease inhibitors have been developed successfully against hypertension and viral infections, they have failed thus far as cancer drugs. With advances in cancer profiling we now better understand that the tumor “degradome” (i.e. the repertoire of proteases and their natural inhibitors and interaction partners) forms a complex network in which specific nodes determine the global outcome of manipulation of the protease web. However, knowing which proteases are active in the tumor micro-environment, scientists may tackle cancers with the use of Protease-Activated Prodrugs (PAPs). Here the authors exemplify this concept for metallo-, cysteine and serine proteases. PAPs not only exist as small molecular adducts, containing a cleavable substrate sequence and a latent prodrug, they are presently also manufactured as various types of nanoparticles. Although the emphasis of this review is on PAPs for treatment, it is clear that protease activatable probes and nanoparticles are also powerful tools for imaging purposes, including tumor diagnosis and staging, as well as visualization of tumor imaging during microsurgical resections.

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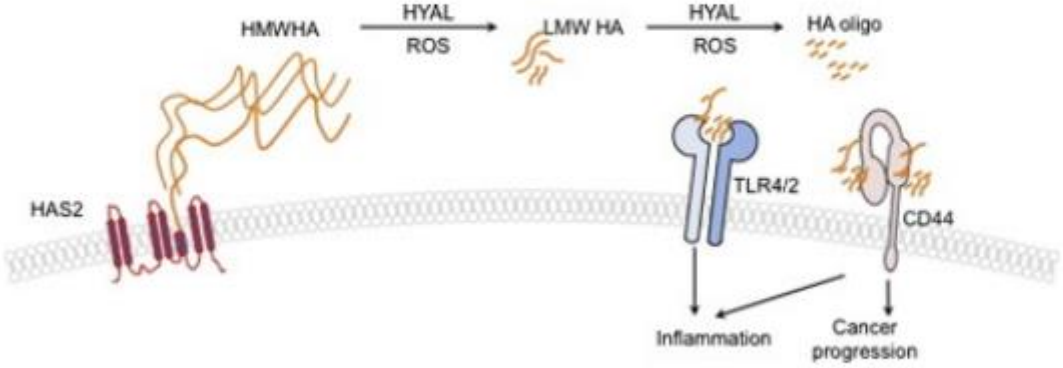
Citation: Liang, J.; *et al. Adv. Drug Deliv. Rev.* **2016,** *97,* 186-203.

Hyaluronan as a therapeutic target in human diseases



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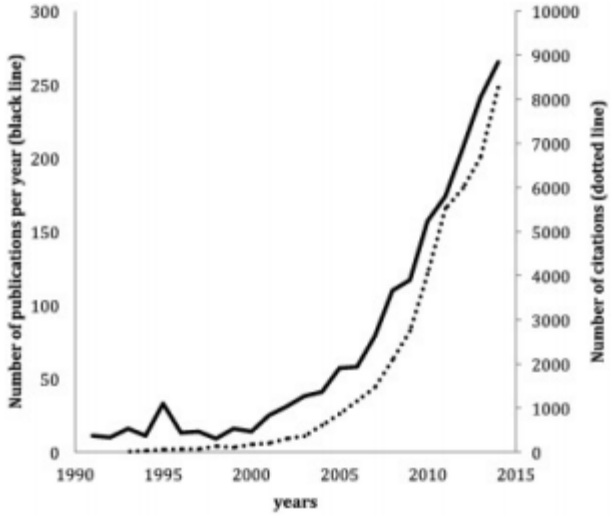
Citation: Dosio, F.; *et al. Adv. Drug Deliv. Rev.* **2016,** *97,* 204-236.



Hyaluronic acid for anticancer drug and nucleic acid delivery

Comprehensive descriptions are given of HA-based drug conjugates, particulate carriers (micelles, liposomes, nanoparticles, microparticles), inorganic nanostructures, and hydrogels, with particular emphasis on reports of preclinical/clinical results.

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Citation: Au, J. L.-S.; *et al. Adv. Drug Deliv. Rev.* **2016,** *97,* 280-301.

Delivery of cancer therapeutics to extracellular and intracellular targets: Determinants, barriers, challenges and opportunities

Parts I and II of this report give an overview on the kinetic processes in delivering therapeutics to their intended targets, the transport barriers in tumor microenvironment and extracellular matrix (TME/ECM), and the experimental approaches to overcome such barriers. Part III discusses new concepts and findings concerning nanoparticle–biocorona complex, including the effects of TME/ECM. Part IV outlines the challenges in animal-to-human translation of cancer nanotherapeutics. Part V provides an overview of the background, current status, and the roles of TME/ECM in immune checkpoint inhibition therapy, the newest cancer treatment modality. Part VI outlines the development and use of multiscale computational modeling to capture the unavoidable tumor heterogeneities, the multiple nonlinear kinetic processes including interstitial and transvascular transport and interactions between cancer therapeutics and TME/ECM, in order to predict the in vivo tumor spatiokinetics of a therapeutic based on experimental in vitro biointerfacial interaction data. Part VII provides perspectives on translational research using quantitative systems pharmacology approaches.

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Citation: Fang, T. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 2416-2420

Structurally Defined αMHC-II Nanobody-Drug Conjugates: A Therapeutic and Imaging System for B-Cell Lymphoma

Small yet mighty: The development of antibody-drug conjugates has been advanced by the combination of nanobodies and sortase-mediated protein modification. The small format of nanobodies allows quick in vivo target validation and leads to low systemic toxicity. The flexibility of sortase-mediated reactions enables switching between imaging and therapy activities in a quantitative and defined manner.

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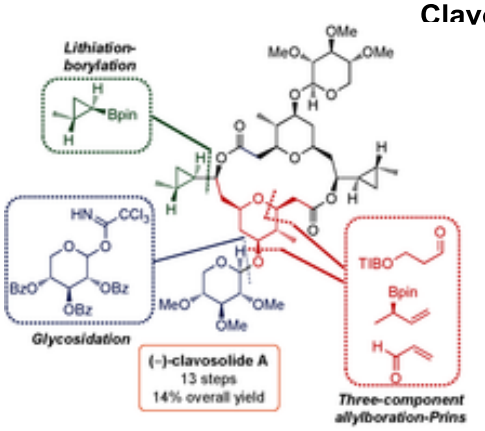
Citation: Millan, A. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 2498-2502.

Tandem Allylboration-Prins reaction for the Rapid Construction of Substituted Tetrahydropyrans: Application to the Total Synthesis of (-)-Clavosolide A



Growing complexity: A highly efficient and diasteroselective three-component allylboration-Prins reaction serves to construct the highly functionalised THP-ring of (-)-clavosolide A from simple starting materials. An early stage diasteroselective glycosidation and a late stage lithiation,Äìborylation are used to complete the synthesis of this complex natural product in just 13 steps from ethanol in 14% overall yield.

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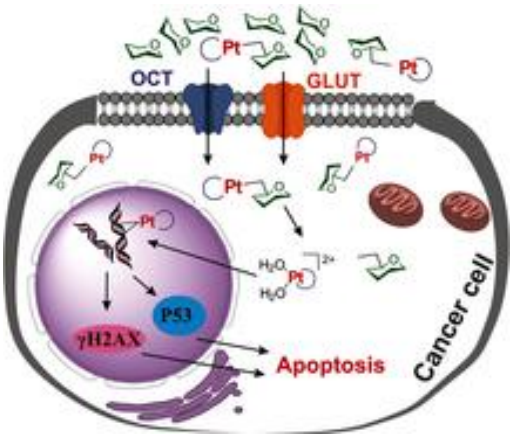
Citation: Patra, M. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 2550-2554.

A Potent Glucose–Platinum Conjugate Exploits Glucose Transporters and Preferentially Accumulates in Cancer Cells



Glucose–platinum conjugates for targeted delivery: A rationally designed potent glucose–platinum conjugate exploits glucose transporters, which are widely overexpressed in cancers, for internalization and selectively accumulates in and annihilates cancer cells.

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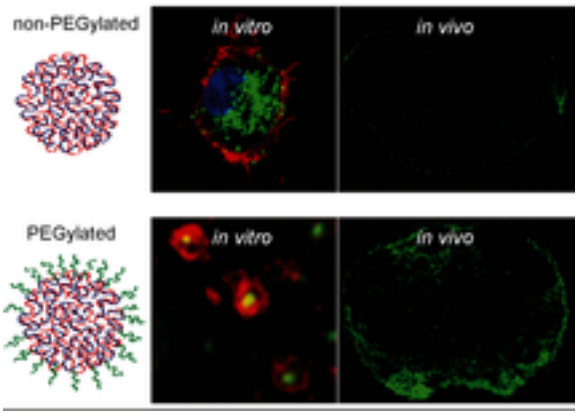
Citation: De Koker, S. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 1334-1339.

Engineering Polymer Hydrogel Nanoparticles for Lymph Node-Targeted Delivery



Lymphatic transportation: PEGylation is shown to be a key parameter for lymphatic transportation of hydrogel nanoparticles. The PEGylated nanoparticles result in a high association with dendritic cells and B cells as well as increased T cell responses in vivo, which can be potentially used to improve the delivery of vaccines and drugs to the lymph nodes.

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Citation: Miyaji, H. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 1372-1376.

Bio-Based Polyketones by Selective Ring-Opening Radical Polymerization of α-Pinene-Derived Pinocarvone

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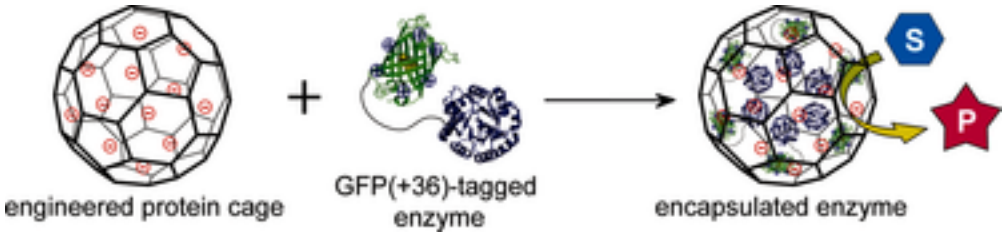
Citation: Azuma, Y. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 1531-1534.

Quantitative Packaging of Active Enzymes into a Protein Cage



Caught in a trap: Genetic fusion of cargo proteins to a positively supercharged variant of green fluorescent protein enables their quantitative encapsulation by engineered lumazine synthase capsids possessing a negatively charged lumenal surface.

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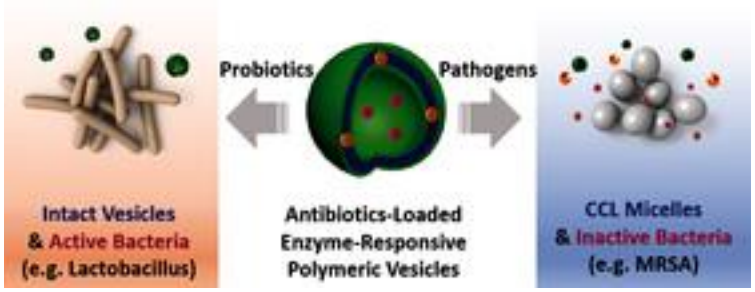
Citation: Li, Y. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 1760-1764.

Enzyme-Responsive Polymeric Vesicles for Bacterial-Strain-Selective Delivery of Antimicrobial Agents



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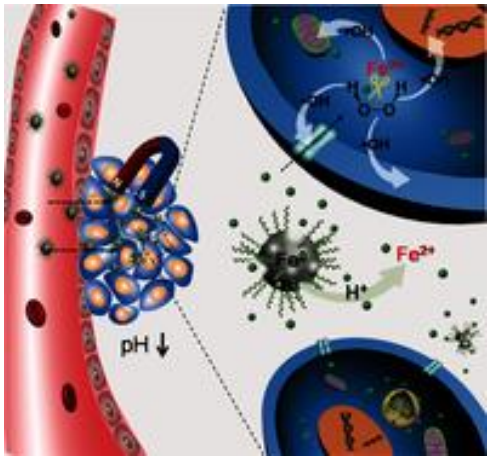
Citation: Zhang, C. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 2101-2106.



Synthesis of Iron Nanometallic Glasses and Their Application in Cancer Therapy by a Localized Fenton Reaction

Amorphous iron nanoparticles (AFeNPs) can be used for cancer theranostics. Ionization of the AFeNPs in the mildly acidic tumor microenvironment promotes the release of ferrous ions in the tumor; these induce H2O2 disproportionation, which in turn leads to efficient .OH generation and significant tumor growth inhibition.

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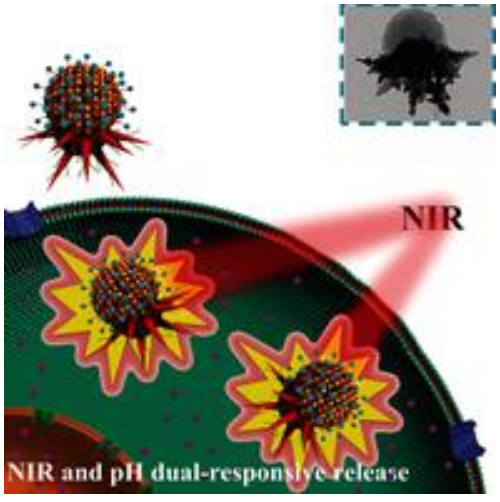
Citation: Zhang, L. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 2118-2121.



Tailored Synthesis of Octopus-type Janus Nanoparticles for Synergistic Actively-Targeted and Chemo-Photothermal Therapy

Two-faced therapeutics: Octopus-type PEG-Au-PAA/mSiO_2-LA Janus NPs are fabricated with pH and NIR light dual-stimuli responsive properties and targeting specificity for synergistic chemo- photothermal cancer therapy in vitro and in vivo.

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Citation: Thomas, M. P. et al. *Angew. Chem Int. Ed.* **2016**, *55*, 1614-1650.

The "Other" Inositols and Their Phosphates: Synthesis, Biology, and Medicine (with Recent Advances in *myo*-Inositol Chemistry)

Turtle reconfiguration: "Agranoff's Turtle", used to visualize myo-inositol, with head, tail, and limbs rearranged yields another eight stereoisomers. These have different properties in biological systems, and some may have medical applications. All can be synthesized by a variety of routes, but are much less studied than myo-inositol. The synthesis and roles of these isomers and their phosphates are discussed, with recent advances in myo-inositol chemistry.

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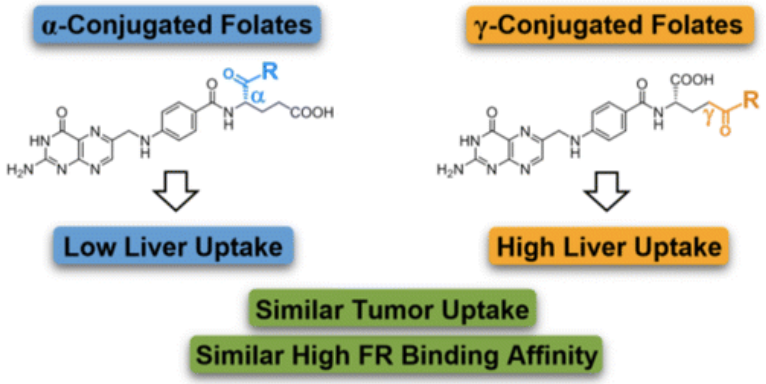
Citation: Boss, S. D. *Bioconjugate chemistry* **2015**, 27(1 74-86.)

Comparative Studies of Three pairs of Conjugated Folic Acid Derivative



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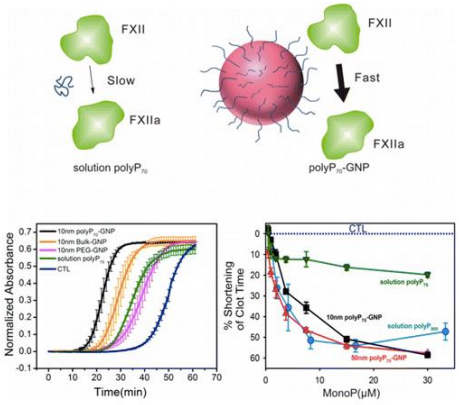
Citation:Szymusiak, M. et al.. *Bioconjugate chemistry* **2015**, 27 (1), 102-109.

Colloidal Confinement of Polyphosphate on Gold Nanoparticles Robustly Activates the Contact Pathway of Blood Coagulation



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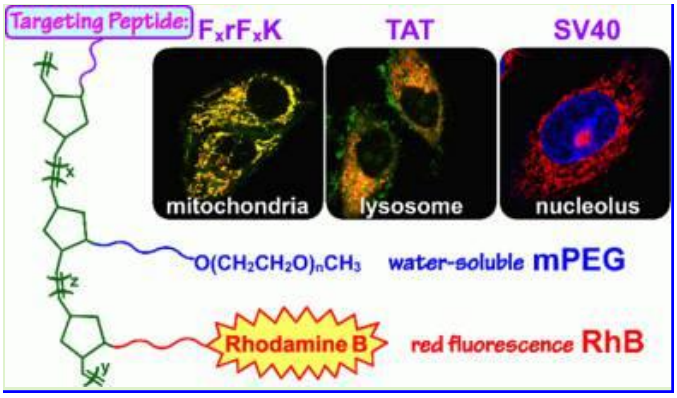
Citation: Biomacromolecules 2016, 17 (2), 538–545.

Modular Design of Poly(norbornenes) for Organelle-Specific Imaging in Tumor Cells.



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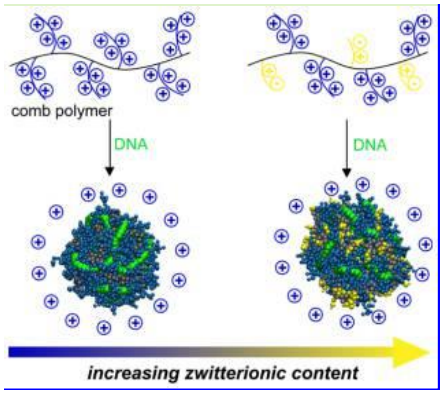
Citation: Biomacromolecules 2016, 17 (2), 546–557.

Dispersing Zwitterions into Comb Polymers for Nonviral Transfection: Experiments and Molecular Simulation



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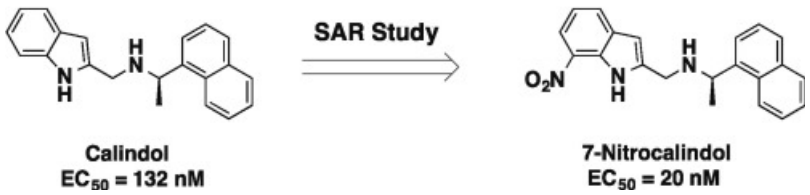
Citation: Kiefer, *et al. Bioorg. Med. Chem.* **2016,** 24, 554-569.

Design and synthesis of calindol derivatives as potent and selective calcium sensing receptor agonist

New calcium sensing receptor agonists (calcimimetics)



first comprehensive structure¨Cactivity study of calindol (4, (R)-N-[(1H-indol-2-yl)methyl]-1-(1-naphthyl)ethanamine), a positive allosteric modulator, or calcimimetic, of the calcium sensing receptor (CaSR). While replacement of the naphthyl moiety of calindol by other aromatic groups (phenyl, biphenyl) was largely detrimental to calcimimetic activity, incorporation of substituents on the 4, 5 or 7 position of the indole portion of calindol was found to provide either equipotent derivatives compared to calindol (e.g., 4-phenyl, 4-hydroxy, 5-hydroxycalindol) or, in the case of 7-nitrocalindol, a 6-fold more active calcimimetic displaying an EC50 of 20 nM

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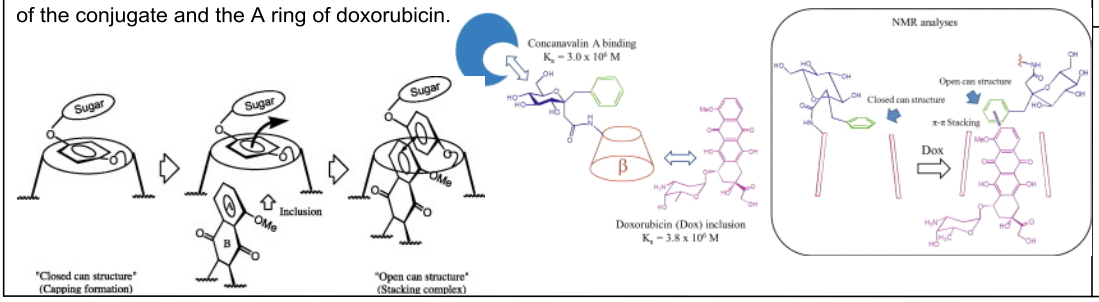
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Yamanoi, *et al.* *Bioorg. Med. Chem* **2016**, 24, 6251-6255.

Synthesis, structure, and evaluation of a beta-cyclodextrin-artificial carbohydrate conjugate for use as a doxorubicin-carrying molecule

This paper describes the synthesis of a beta-cyclodextrin (b-CyD) derivative conjugated with a C,C-glucopyranoside containing a benzene unit. Its doxorubicin-inclusion ability and structure are also discussed. SPR analysis revealed that the b-CyD conjugate had a high inclusion association value of 3.8x10^6 M^{-1} for immobilized doxorubicin. NMR structural analysis suggested that its high doxorubicin-inclusion ability was due to the formation of the inclusion complex as a result of the pi-pi stacking interaction between the benzene ring of the conjugate and the A ring of doxorubicin.



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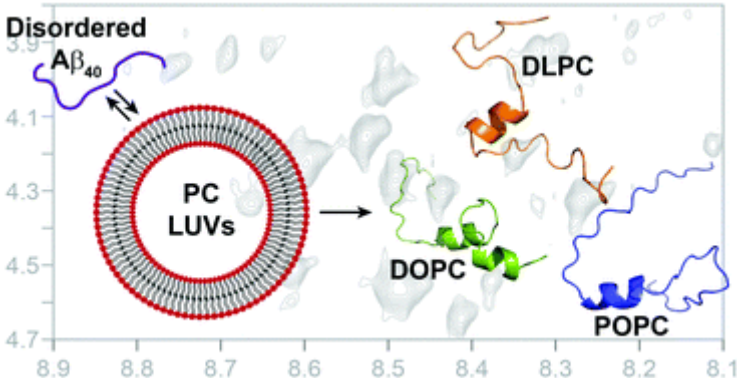
Citation: Korshavn, K. J.; *et al. Chem. Commun.* **2015**, *52,* 882.

Amyloid-ß adopts a conserved, partially folded structure upon binding to zwitterionic lipid bilayers prior to amyloid formation



Aggregation at the neuronal cell membrane's lipid bilayer surface is implicated in amyloid-ß (Aß) toxicity associated with Alzheimer's disease; however, structural and mechanistic insights into the process remain scarce.

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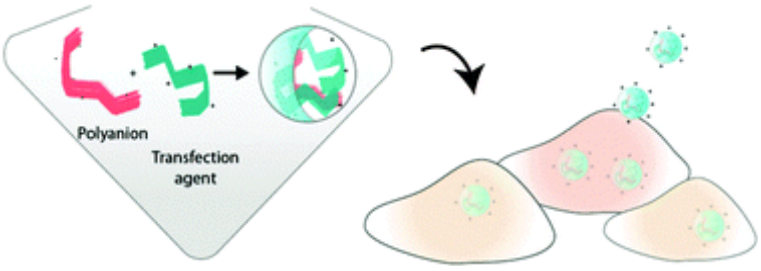
Citation: Kryger, M. B. L.; *et al. Chem. Commun.* **2015**, *52,* 889.

Tools of gene transfer applied to the intracellular delivery of non-nucleic acid polyanionic drugs



Commercial agents originally developed for intracellular delivery of DNA (polyethylene imine, lipofectamine) facilitate internalization of polyanionic drugs and drug conjugates

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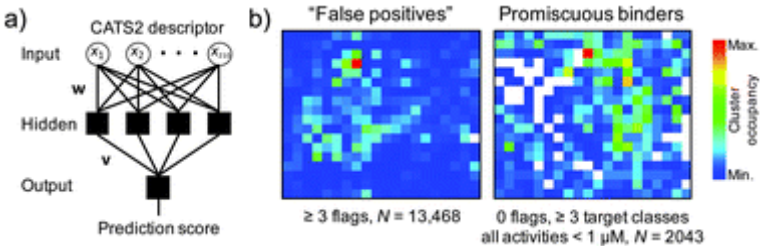
Citation: Schneider, P.; *et al. Chem. Commun.* **2015**, *52,* 1135.

Spotting and designing promiscuous ligands for drug discovery



The promiscuous binding behavior of bioactive compounds forms a mechanistic basis for understanding polypharmacological drug action. The authors present the development and prospective application of a computational tool for identifying potential promiscuous drug-like ligands. In combination with computational target prediction methods, the approach provides a working concept for rationally designing such molecular structures.

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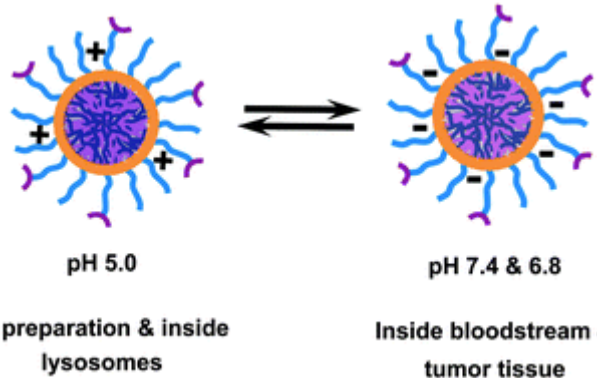
Citation: Wang, Y.; *et al. Chem. Commun.* **2015**, *52,* 1194.

Construction of negatively charged and environment-sensitive nanomedicine for tumor-targeted efficient siRNA delivery



A siRNA delivery system with pH and reduction dual-sensitivity was developed for efficient target gene silencing in cancer therapy.

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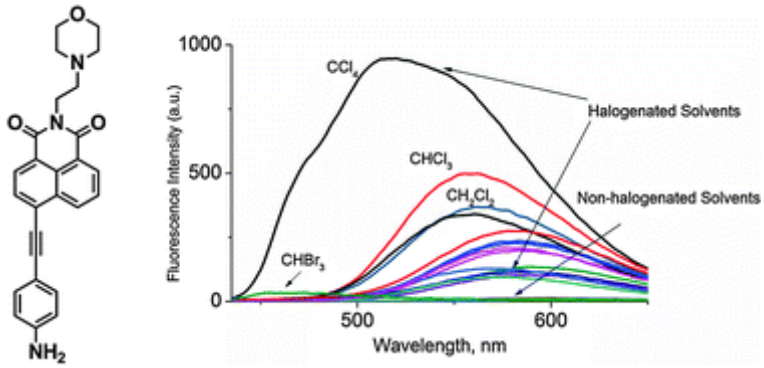
Citation: Dai, L.; *et al. Chem. Commun.* **2015**, *52,* 2095.

A naphthalimide-based fluorescent sensor for halogenated solvents



AMN is the first sensor to have the ability to differentiate CCl4, CHCl3, CH2Cl2 and CHBr3 from halogenated solvents.

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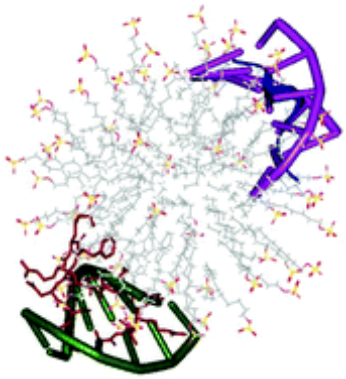
Citation: Horn, M.; *et al. Chem. Commun.* **2015**, *52,* 2261.

Tuning the properties of a novel short cell-penetrating peptide by intramolecular cyclization with a triazole bridge



Cyclic versus linear: cyclic triazole-bridged cell-penetrating peptides are optimally arranged within the membrane, thus at the same time inducing suitable DNA complexation and successful peptide membrane insertion.

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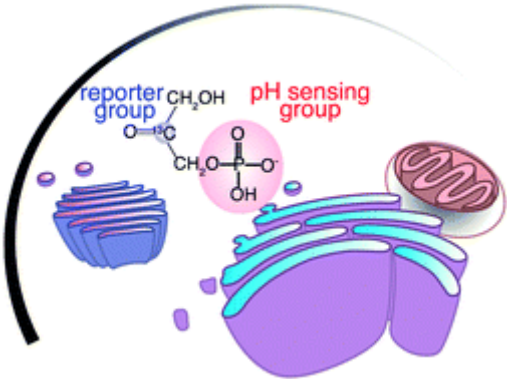
Citation: Jensen, P. R.; Meier, S. *Chem. Commun.* **2015**, *52,* 2288.

Hyperpolarised organic phosphates as NMR reporters of compartmental pH



Organic phosphate metabolites contain functional groups with pKa values near the physiologic pH range, yielding pH-dependent 13C chemical shift changes of adjacent quaternary carbon sites. When formed in defined cellular compartments from exogenous hyperpolarised 13C substrates, metabolites can thus yield localised pH values and correlations of organelle pH and catalytic activity.

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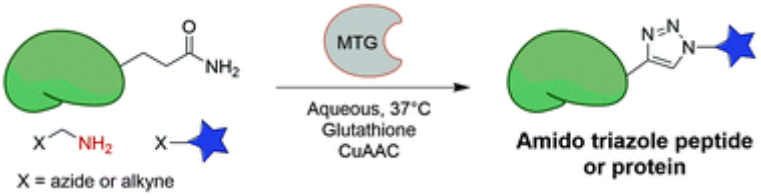
Citation: Rachel, N. M.; Pelletier, J. N. *Chem. Commun.* **2015**, *52,* 2541.

One-pot peptide and protein conjugation: a combination of enzymatic transamidation and click chemistry



Enzymatic transamidation and copper-catalyzed azide–alkyne cycloaddition (CuAAC) were combined to yield covalently conjugated peptides and proteins. The addition of glutathione preserved enzymatic activity in the presence of copper. Tuning the reaction kinetics was key to success, providing up to 95% conversion. This one-pot reaction allowed for targeted fluorescent protein labeling.

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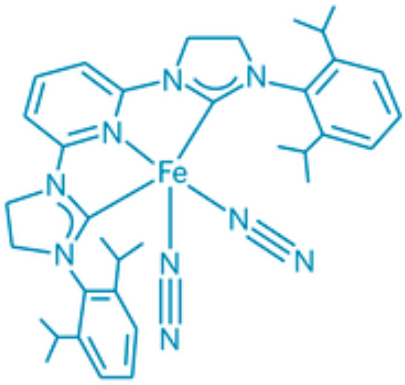
Citation: C&EN, January 18, 2016 p. 5

Two New Tools For Drugmakers

Collaborations between chemists in academia and in the pharmaceutical industry have yielded two new tools that scientists can use to make important modifications to drug candidates. One of the tools will help scientists studying a compound's breakdown in the body and its biological target. The other will help medicinal chemists looking to make novel analogs of certain molecules.



Tritiation catalyst

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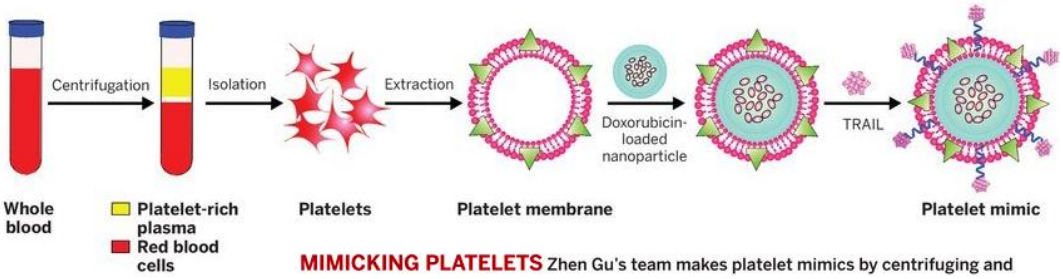
Citation: C&EN, January 18, 2016 p. 30

Platelet Disguises Could Aid Drug Delivery



MIMICKING PLATELETS Zhen Gu's team makes platelet mimics by centrifuging and

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C&EN, January 25, 2016 p.8

Two Steps to Combat Cancer

Researchers report that a two-step procedure - delivering a tymor-localizing, drug-absorbing nanoparticle followed by the actual therapeutic - increases the amount of drug that reaches tumor cells and the time the drug acts on cells. The scientists first administered a polymer-based nanoparticle to mice with tumors. This nanoparticle swells and becomes a gel in mildly acidic surroundings. Because cancer cells are more acidic than normal cells, the tiny particles expanded to 10 times their original size inside tumors and became trapped. Two-days later, the researchers gave the mice Taxol, which concentrated inside the trapped nanoparticles because of its hydrophobiicity. The two-step strategy could minimize drug approval complications and expenses.

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Citation: C&EN, January 25, 2016 p. 27

Boosting Immunity to Treat Alzheimer's

A study in mice suggests that loosenin gthe reins on the body's immune system could help repair damage in the brain caused by Alzheimer's disease. Inhibiting a protein that restrains immune responses cleared out characteristic protein plaques in the animals' brains and improved their memory.

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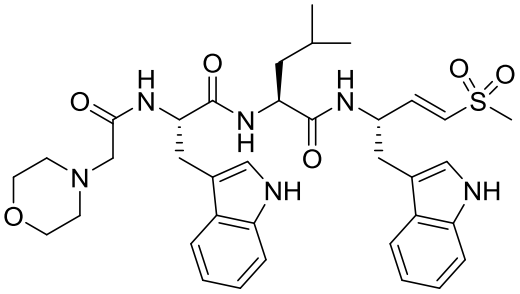
Citation: C&EN, February 15, 2016, p. 8.

Hitting Malaria in the Proteasome



WLL-vs

Researchers at Stanford School of Medicine screened a library of peptides to determine sequences favored for degradation by parasite proteasomes but not human ones. They used that information to design selective inhibitors.

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Citation: C&EN, February 15, 2016, p. 17.

Securing the Future of NMR

Without helium, NMRs are unable to perform their analyses of small molecules, natural products, proteins, and advanced materials. No other substitute will do to keep the machines' magnets at their optimum operating temperature of -269 C.
The current sources of helium should keep supply and demand in balance through 2018. However, beginning with 2019, all bets are off. Helium is mainly recovered from natural gas and carbon dioxide wells, Garvey notes. Should a warm winter or a cool economy cause gas demand to fall, helium supply will fall as well. The logistics of transporting helium from distant and sometimes volatile regions of the world could also impact availability.

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Citation: Aznar, E. et al. *Chem. Rev.* **2016**, *116*, 561.

Gated Materials for On-Command Release of Guest Molecules

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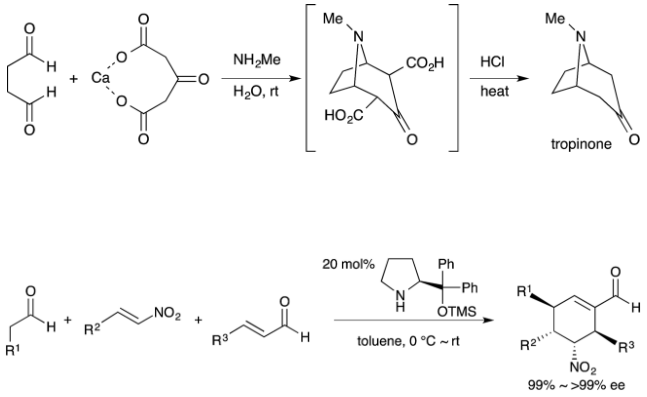
Citation: Chem. Sci. 2016, 7 (2), 866–880.

Pot Economy and One-Pot Synthesis.



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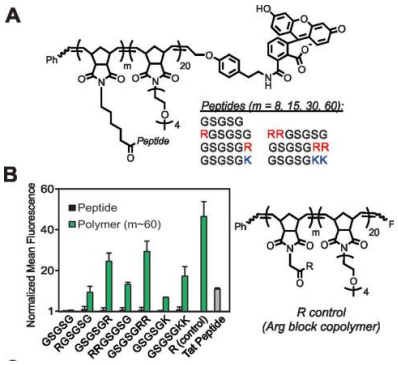
Citation: Chem. Sci. 2016, 7 (2), 989–994.

Activating Peptides for Cellular Uptake via Polymerization into High Density Brushes



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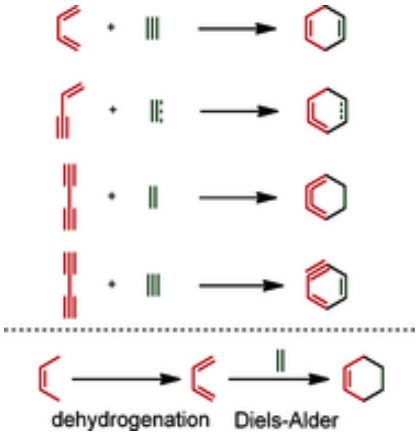
Citation: Li, W. et al. *Chem. Eur. J.* **2016**, 22, 1558-1571

Recent Progress in Dehydro(genative) Diels-Alder Reaction



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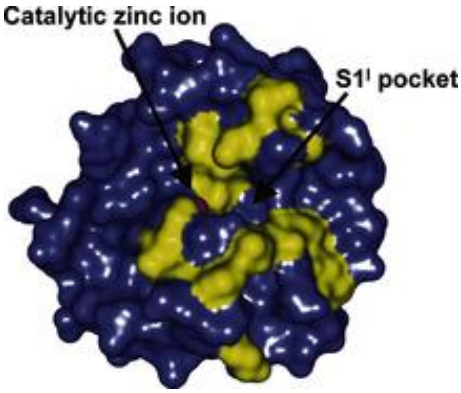
Citation: Richichi, B. et al. *Chem. Eur. J.* **2016**, 22, 1714-1721

A Divalent PAMAM-Based Matrix Metalloproteinase/Carbonic Anhydrase Inhibitor for the Treatment of Dry Eye Syndrome



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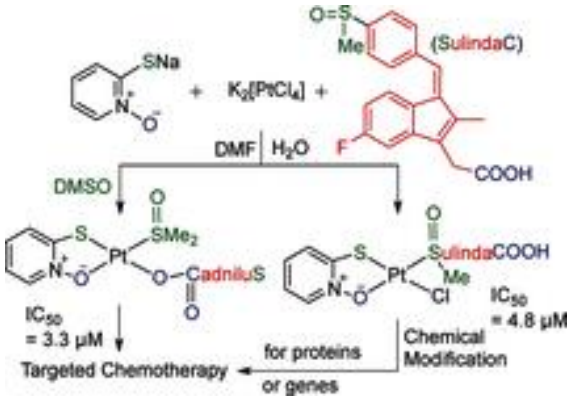
Citation: Hwu, J. R. et al. *Chem. Eur. J.* **2016,** 22, 1926-1930

Syntheses of Platinum-Sulindac Complexes and Their Nanoparticles as Targeted Anticancer Drugs



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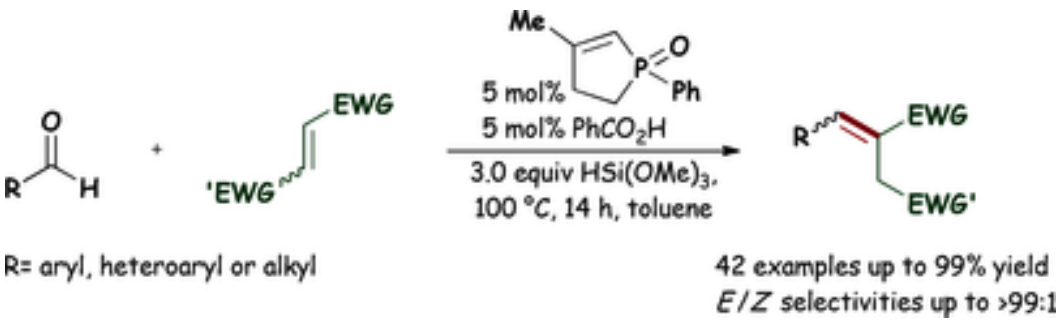
Citation: Schirmer, M. et al. *Chem. Eur. J.* **2016**, 22, 2458-2465

Novel Base-Free Catalytic Wittig Reaction for the Synthesis of Highly Functionalized Alkenes



R= aryl, heteroaryl or alkyl

42 examples up to 99% yield
E/Z selectivities up to >99:1

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Citation: Reddy, C. R. et al. *Chem. Eur. J.* **2016**, 22, 2501-2506

One-Pot Sequential Propargylation/Cycloisomerization: A Facile [4+2]-Benzannulation Approach to Carbazoles

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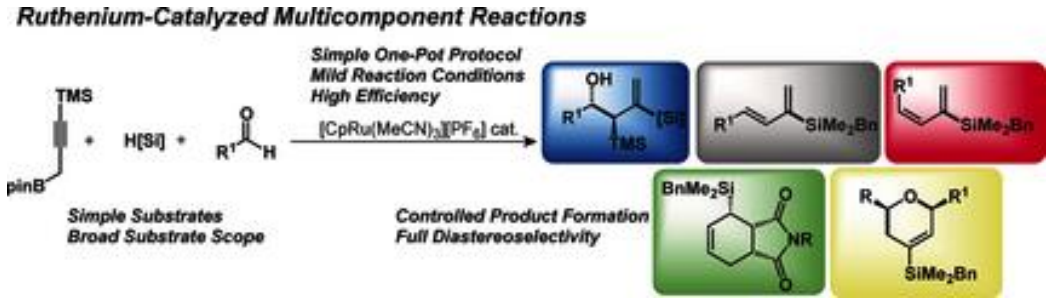
Citation: Trost, B. M., et al. *Chem. Eur. J.* **2016**, 22, 2634-2638

Ruthenium-Catalyzed Multicomponent Reactions: Access to a-Silyl-b-Hydroxy Vinylsilanes, Stereodefined 1,3-Dienes, and Cyclohexenes



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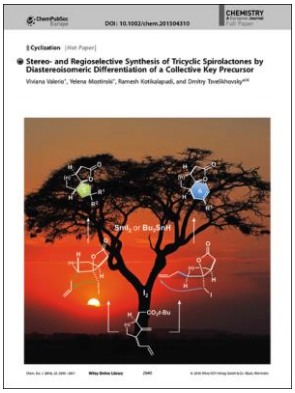
Citation: Valerio, V. et al. *Chem. Eur. J.* **2016**, 22, 2640-2647

Stereo- and Regioselective Synthesis of Tricyclic Spirolactones by Diastereoisomeric Differentiation of a Collective Key Precursor



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Citation: Schramm, S. et al. *European Journal of Organic Chemistry* **2016**, 4, 678–681

The Light Emitter of the 2-Coumaranone Chemiluminescence: Theoretical and Experimental Elucidation of a Possible Model for Bioluminescent Systems

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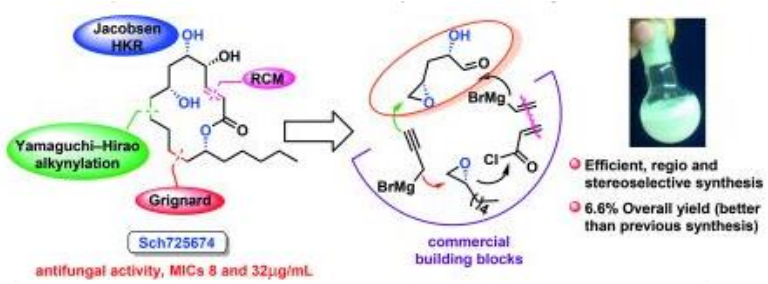
Citation: Talhi, O. et al. *European Journal of Organic Chemistry* **2016**, 5, 965–975

One-Pot Synthesis of Benzopyran-4-ones with Cancer Preventive and Therapeutic Potential



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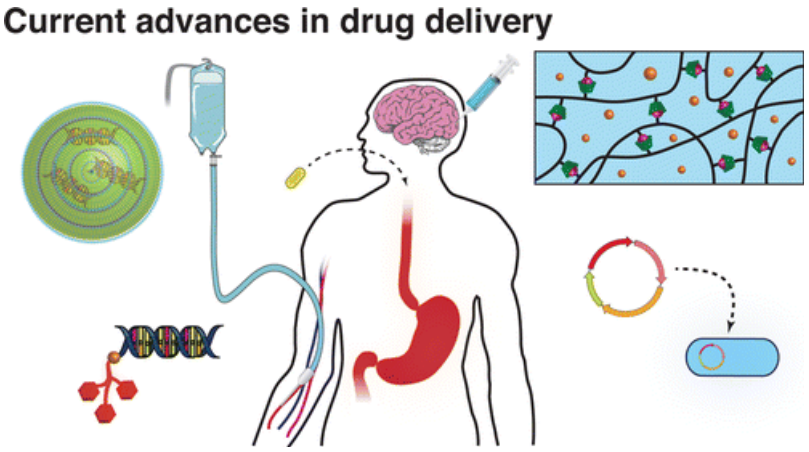
Citation: Tibbitt, M.W.; Dahlman, J.E.; Langer, R. *J. Am. Chem. Soc.*, **2016**, *138* (3), 704-717.

Emerging Frontiers in Drug Delivery



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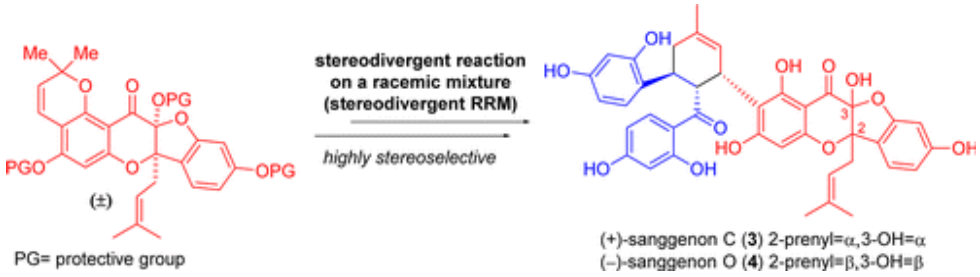
Citation: Qi, C.; Xiong, Y.; Eschenbrenner-Lux, V.; Cong, H.; Porco Jr., J.A. *J. Am. Chem. Soc.*, **2016**, *138* (3), 798-801.

Asymmetric Synthesis of the Flavenoid Diels-Alder Natural Products Sanggenons C and O



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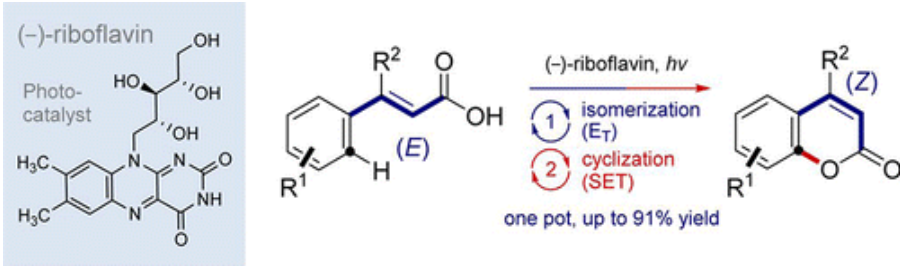
Citation: Metternich, J.B.; Gilmour, R. *J. Am. Chem. Soc.*, **2016**, *138* (3), 1040-1045.

One Photocatalyst, *n* Activation Modes Strategy for Cascade Catalysis: Emulating Coumarin Biosynthesis with (-)-Riboflavin



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Citation: Chen, D.; Zhu, D.-X.; Xu, M.-H. *J. Am. Chem. Soc.*, **2016**, *138* (5), 1498-1501.

Rhodium(I)-Catalyzed Highly Enantioselective Insertion of Carbenoid into Si-H: Efficient Access to Functional Chiral Silanes

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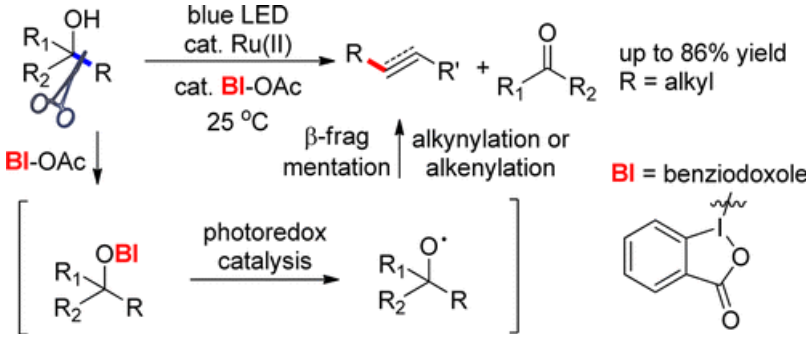
Citation: Jia, K.; Zhang, F.; Huang, H.; Chen, Y. *J. Am. Chem. Soc.*, **2016**, *138* (5), 1514-1517.

Visible-Light-Induced Alkoxyl Radical Generation Enables Selective C(sp3)-C(sp3) Bond Cleavage and Functionalities



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Citation: **JAMA. 2016;315(1):20. doi:10.1001/jama.2015.17339.**

New on the Menu: Genetically Modified Salmon

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Citation: **JAMA. 2016;315(3):241. doi:10.1001/jama.2015.17599.**

When and Why People Die in the United States, 1990-2013

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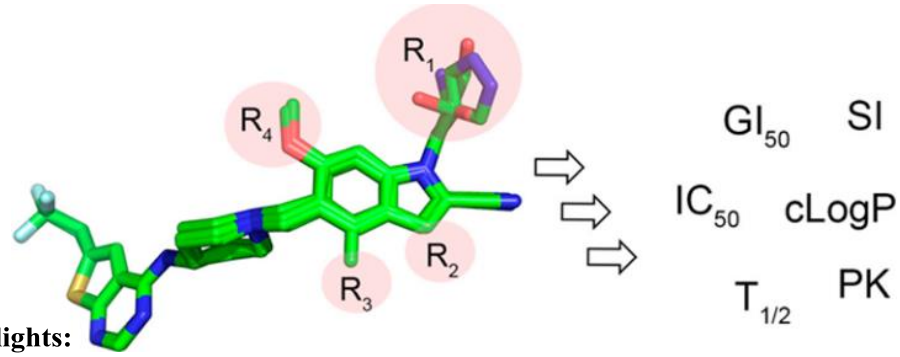
Citation: Borkin, D.; Pollock, et al. Property Focused Structure-Based Optimization Of Small Molecule Inhibitors Of The Protein–Protein Interaction Between Menin And Mixed Lineage Leukemia (MLL). *J. Med. Chem.* **2016**, 59, 892-913.



Highlights:
Parallel exploration of structure-activity and structure-property relationships in the development of a previously identified pharmacophore scaffold
Liver microsome assays used to predict metabolic stability (of possible value to prodrug subgroup)

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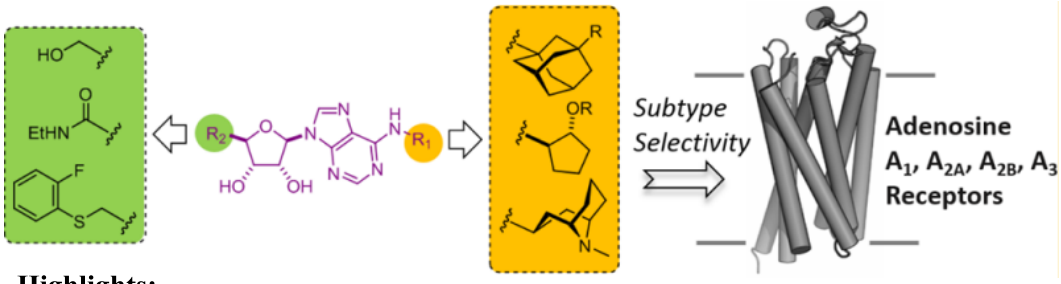
Prostratin

Citation: Knight, A.; Hemmings, J.; Winfield, I.; Leuenberger, M.; Frattini, E.; Frenguelli, B.; Dowell, S.; Lochner, M.; Ladds, G. Discovery Of Novel Adenosine Receptor Agonists That Exhibit Subtype Selectivity. J. Med. Chem. 2016, 59, 947-964.



Highlights:
Development of an isoform specific adenosine receptor agonist
In silico validation of isoform selectivity observed in chimeric yeast model

bioorganic
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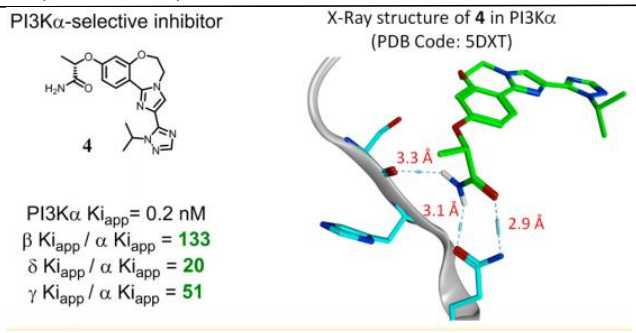
Citation: Heffron, T., et al. The Rational Design Of Selective Benzoxazepin Inhibitors Of The alpha-Isoform Of Phosphoinositide 3-Kinase Culminating In The Identification Of (S)-2-((2-(1-Isopropyl-1 H -1,2,4-Triazol-5-Yl)-5,6-Dihydrobenzo[f]Imidazo[1,2- D][1,4]Oxazepin-9-Yl)Oxy)Propanamide (GDC-0326). *J. Med. Chem.* **2016**, *59*, 985-1002.



Highlights:
Structure based design and development of an isoform selective PI3Kalpha inhibitor with the goal of improving the TI for clinial applications in oncology.

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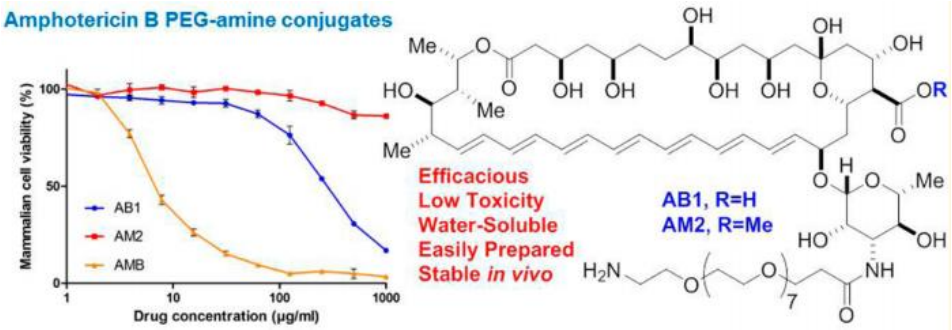
Citation: Halperin, A.; Shadkchan, Y.; Pisarevsky, E.; Szpilman, A.; Sandovsky, H.; Osherov, N.; Benhar, I. Novel Water-Soluble Amphotericin B-PEG Conjugates With Low Toxicity And Potent In Vivo Efficacy. *J. Med. Chem.* **2016,** *59*, 1197-1206.



Highlights:
PEGylated Amphotericin B exhibits reduced toxicity and 5000-fold improved solubility
Amino PEGylation

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Citation: Onuki, Y.; *et al. Mol. Pharm.* **2016,** *13*, 369-378.

Membrane Microdomain Structures of Liposomes and Their Contribution to the Cellular Uptake Efficiency into HeLa Cells

The purpose of this study is to obtain a comprehensive relationship between membrane microdomain structures of liposomes and their cellular uptake efficiency. Model liposomes consisting of 1,2-dipalmitoyl-sn-glycero-3-phosphocholine (DPPC)/1,2-dioleoyl-sn-glycero-3-phosphocholine (DOPC)/cholesterol (Ch) were prepared with various lipid compositions. To detect distinct membrane microdomains in the liposomes, fluorescence-quenching assays were performed at temperatures ranging from 25 to 60 °C using 1,6-diphenyl-1,3,5-hexatriene-labeled liposomes and (2,2,6,6-tetramethylpiperidin-1-yl)oxyl. From the data analysis using the response surface method, we gained a better understanding of the conditions for forming distinct domains (Lo, Ld, and gel phase membranes) as a function of lipid composition. We further performed self-organizing maps (SOM) clustering to simplify the complicated behavior of the domain formation to obtain its essence. As a result, DPPC/DOPC/Ch liposomes in any lipid composition were integrated into five distinct clusters in terms of similarity of the domain structure.

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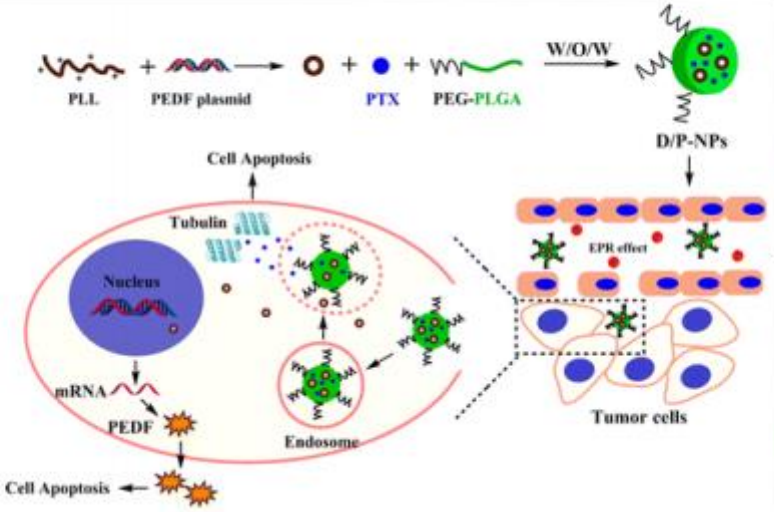
Citation: Xu, B.; *et al. Mol. Pharm.* **2016,** *13*, 663-676.

Polymeric Nanomedicine for Combined Gene/Chemotherapy Elicits Enhanced Tumor Suppression



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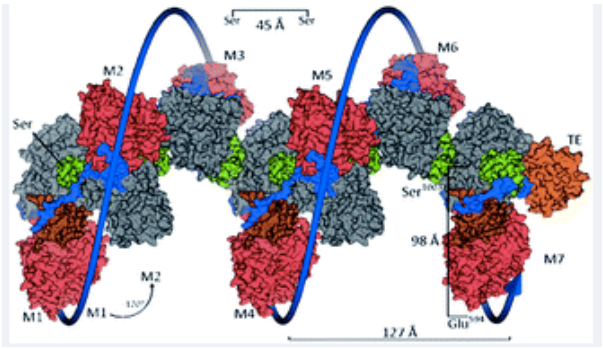
Citation: Marahiel, M. A. et al. *Nat. Prod. Rep.*, **2016**, 33, 136-140.

Stereocontrol within polyketide assembly lines



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Citation: Lorenzo-Redondo et al. Nature **2016,** 530, 31.

Persistent HIV-1 replication maintains the tissue reservoir during therapy

"Lymphoid tissue is a key reservoir established by HIV-1 during acute infection. It is a site associated with viral production, storage of viral particles in immune complexes, and viral persistence. Although combinations of antiretroviral drugs usually suppress viral replication and reduce viral RNA to undetectable levels in blood, it is unclear whether treatment fully suppresses viral replication in lymphoid tissue reservoirs. Here we show that virus evolution and trafficking between tissue compartments continues in patients with undetectable levels of virus in their bloodstream. We present a spatial and dynamic model of persistent viral replication and spread that indicates why the development of drug resistance is not a foregone conclusion under conditions in which drug concentrations are insufficient to completely block virus replication. These data provide new insights into the evolutionary and infection dynamics of the virus population within the host, revealing that HIV-1 can continue to replicate and replenish the viral reservoir despite potent antiretroviral therapy."

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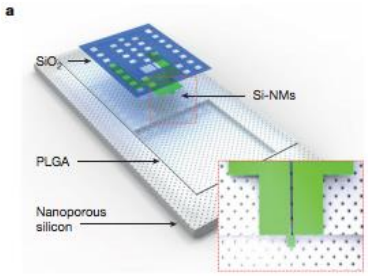
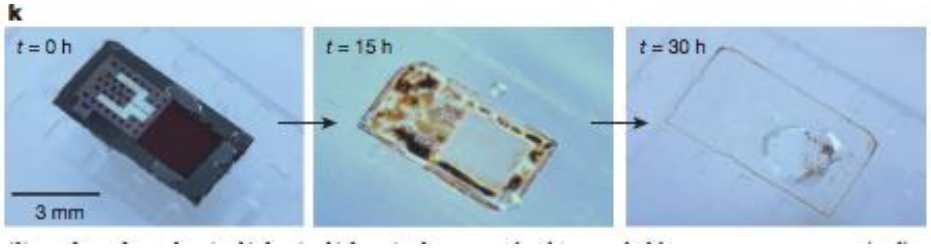
Citation: Kang et al. Nature **2016,** 530, 71.

Bioresorbable silicon electronic sensors for the brain





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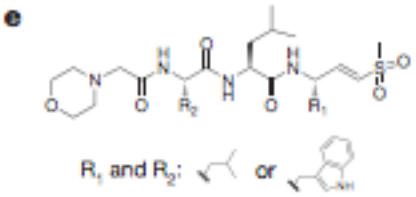
Citation: Li, Bogyo et al. Nature **2016**, 530, 233

Structure- and function-based design of Plasmodium-selective proteasome inhibitors

"...we demonstrate that a parasite-selective
inhibitor could be used to attenuate parasite growth in vivo without appreciable toxicity to the host. Thus, the Plasmodium proteasome is a chemically tractable target that could be exploited by nextgeneration anti-malarial agents."



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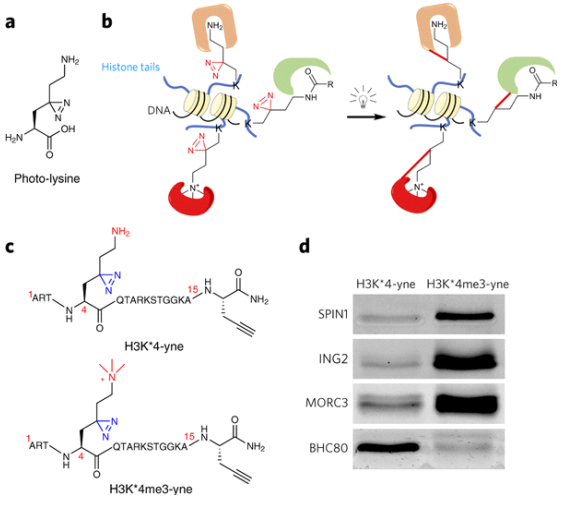
Citation: Schymkowitz, *et al. Nat. Chem. Bio.* **2016,** *12,* 58-59.

Photo-lysine captures proteins that bind lysine post-translational modifications

Post-translational modifications (PTMs) have key roles in regulating protein-protein interactions in living cells. However, it remains a challenge to identify these PTM-mediated interactions. This paper's authors develop a new lysine-based photo-reactive amino acid, termed photo-lysine. They demonstrate that photo-lysine, which is readily incorporated into proteins by native mammalian translation machinery, can be used to capture and identify proteins that recognize lysine PTMs, including 'readers' and 'erasers' of histone modifications.



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Citation: **N Engl J Med 2016; 374:523-532; N Engl J Med 2016; 374:507-509**

Incidence of Dementia over Three Decades in the Framingham Heart Study
Is Dementia in Decline? Historical Trends and Future Trajectories

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Citation: http://www.nytimes.com/2016/02/06/us/marc-tessier-lavigne-new-stanford-president.html?_r=0

New Stanford President Has Biotech Connection

Over the years, Stanford University's incoming president, Marc Tessier-Lavigne, has developed a career that successfully melds science, business and academia.

At Rockefeller University in New York, where he is currently president, he is known not only for his fund-raising prowess, but also for directing a laboratory that specializes in studying brain development and what goes wrong in neurodegenerative brain disease.

He may be best known, though, for his work at Genentech. As the No. 2 executive in research, he oversaw 1,400 scientists in one of the most innovative and successful companies in the biotech industry, known for the groundbreaking cancer drugs Avastin, Rituxan and Herceptin.

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Citation: http://www.nytimes.com/2016/02/11/health/johns-hopkins-wins-approval-to-perform-hiv-positive-organ-transplants.html

Johns Hopkins to Perform First H.I.V.-Positive Organ Transplants in U.S.

Johns Hopkins said it was set to perform the first kidney and liver transplants between H.I.V.-positive donors and H.I.V.-positive patients in the United States, a development that advocates said could create a lifesaving pipeline for H.I.V. patients while shortening organ donor waiting lists for all.

Dr. Dorry Segev, an associate professor of surgery at the Johns Hopkins University School of Medicine, estimated that organs from 500 to 600 H.I.V.-positive potential donors have gone to waste each year and that allowing those donations could save more than 1,000 people.

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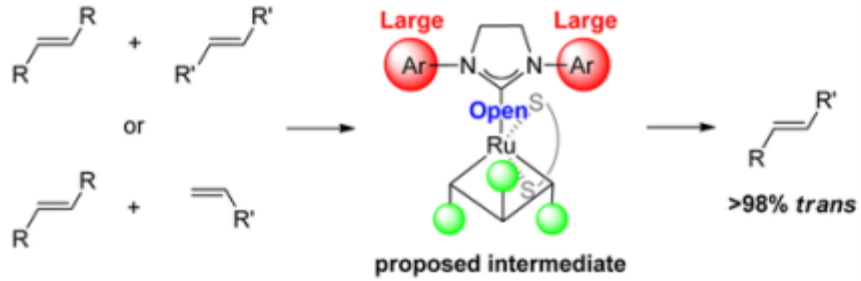
Citation: **Org. Lett. DOI: 10.1021/acs.orglett.6b00031**

Adam M. Johns,† Tonia S. Ahmed,‡ Bradford W. Jackson,† Robert H. Grubbs,,‡ and Richard L. Pederson*,†*

High Trans Kinetic Selectivity in Ruthenium-Based Olefin Cross-Metathesis through Stereoretention



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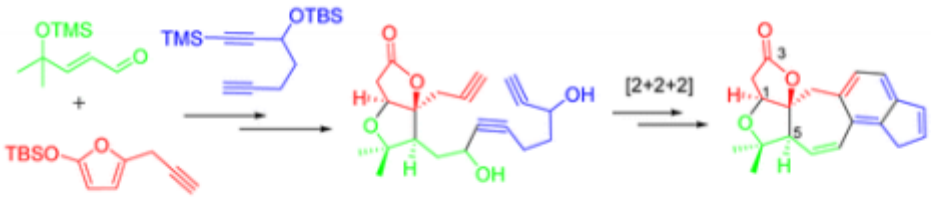
Citation: **Org. Lett. DOI: 10.1021/acs.orglett.6b00057**

Synthetic Study of Rubriflordilactone B: Highly Stereoselective Construction of the C-5-epi ABCDE Ring System



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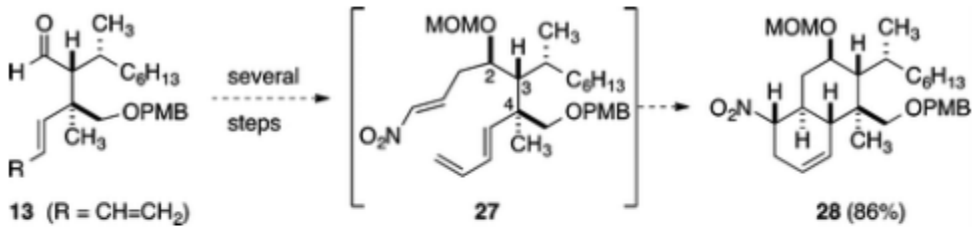
Citation: **Org. Lett., 2016, 18 (3), pp 420–423**

Intramolecular Diels–Alder (IMDA) Studies toward the Synthesis of Australifungin. Stereocontrol in the Acetate Aldol Reaction of β-β'-Branched Aldehydes



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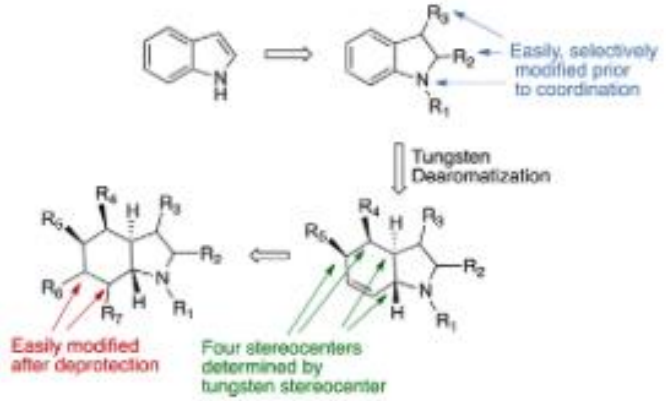
Citation: MacLeod, B. L. et al. *Organomet*. **2016**, *35*, 370.

Synthesis of Novel Hexahydroindoles from the Dearomatization of indoline



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Citation: PNAS | Published online January 20, 2016 | E839–E846

Fluoroquinolone interactions with Mycobacterium tuberculosis gyrase: Enhancing drug activity against wild-type and resistant gyrase

SAR of fluoroquinolone antibiotics against TB and its resistant mutants

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Citation: Sidman et al. PNAS | February 16, 2016 | vol. 113 | no. 7 | 1877–1882

Integrated nanotechnology platform for tumor-targeted multimodal imaging and therapeutic cargo release

Hydrogels comprised of AuNPs and phage particles and heat sensitive liposomes

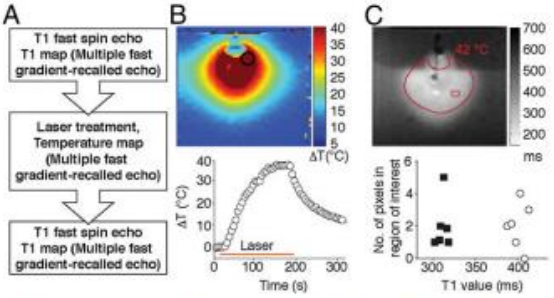

Fig. 3. Triggered agent release by NIR. (*A*) Experimental design of MRI and MRTI. (*B*) Temperature change of hydrogel-containing gel (phantom) measured by MRTI on NIR laser treatment is shown in color scale. The time course of ROI (indicated by a circle) is shown below. (*C*) T1-weighted image shows a region with high signal intensity, which suggests that Gd-DTPA-HSL released the contents on laser treatment. This area overlapped the region that reached >42 °C. Prelaser T1 value (○); Postlaser T1 value (■).

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Citation: PNAS | February 16, 2016 | vol. 113 | no. 7 | 1883–1888

Clonally expanded CD4+ T cells can produce infectious HIV-1 in vivo

"Reservoirs of HIV-infected cells persist during antiretroviral therapy, and understanding persistence is essential to develop HIV curative strategies. During replication, HIV integrates into the host genome; most proviruses are not infectious, but some with replication-competent HIV persist. Cells with integrated HIV can proliferate, potentially expanding the reservoir, but whether cells with replication-competent HIV actually undergo expansion is unknown. HIV reactivation is often lethal to infected cells, and others have reported finding no replicationcompetent HIV in expanded populations. We describe a highly expanded clone containing infectious HIV that was the source of viremia for years in a patient. Clonally expanded populations can represent a long-lived reservoir of HIV. Curative strategies will require targeting this persistence mechanism."

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Citation: Lebo, *et al. Sci. Trans. Med.* **2016,** *8*, 322fs3

"Big data" gets personal

A physician explains the concept of penetrance to a patient and informs her that she has a pathogenic mutation that will result in a rare, incurable and fatal genetic disorder. The patient ponders her fate and, and in a rare form of patient activism, becomes a medical genomics researcher dedicated to seeking new treatments for her disease.

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Citation: Perakslis, *et al. Sci. Trans. Med.* **2016,** *8*, 322ps2

A cybersecurity primer for translational research

Modern technologies enable medical research with data storage and computation on scales not previously envisioned by research institutions. Computing and data science are ubiquitous, and collaboration is global and takes place in real time. The scientific need and appetite for these advances are ravenous, yet there are daily reminders that substantial risk accompanies the benefits. At the heart of these risks is the rapidly growing prevalence of criminal cyber attacks on health care systems used to store and manage patient data, which have risen 100% since 2010. In fact, the cyber threat has become so clear as to warrant multiple new federal initiatives, including a Comprehensive National Cyber Security Initiative as well as several more targeted executive orders to combat what is now widely considered a true threat to our national security.

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Citation: Thomas, *et al.* *Sci. Trans. Med.* **2016,** *8*, 323ec16

No lung cancer left behind

Non¨Csmall cell lung cancer (NSCLC) is a leading cause of cancer deaths worldwide. In the last decade, patients with advanced NSCLC driven by druggable molecular drivers such as epidermal growth factor receptor (EGFR)¨Cactivating mutations and anaplastic lymphoma kinase (ALK) gene rearrangements have seen dramatic improvements in outcomes. Although the benefits of targeted therapies in molecularly selected populations are well established, routine testing in the general NSCLC patient population has proven challenging due to issues of cost, tissue acquisition, and turnaround time, among others. A study funded by the French National Cancer Institute now shows the feasibility of nationwide molecular profiling of patients with advanced NSCLC. The primary objective of the study was to describe the frequency of molecular alterations in six genes (EGFR, HER2, KRAS, BRAF, and PIK3CA mutations and ALK rearrangements) in consecutive patients with nonsquamous NSCLC evaluated by a nationwide approach over one year. The mandatory molecular screening was initiated by over 3500 clinicians, and testing was conducted at 28 certified molecular genetics centers covering the whole of France. 18,679 molecular analyses were conducted among 17,664 patients. The turnaround time for results was reasonable; the median interval between specimen collection and reporting was approximately 3 weeks. A molecular alteration was identified in approximately 50% of cases and influenced treatment in nearly half of those cases.

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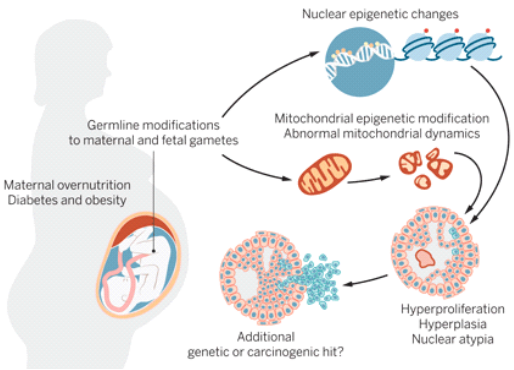
Citation: Holey, *et al.* *Sci. Trans. Med.* **2016,** *8*, 323ps3.

Effects of obesity on hormonally driven cancer in women

Obesity increases the risk of numerous poor health outcomes, including cancer. Obesity is especially problematic in women because both they and their offspring may be at increased risk of cancer. Studying transmission of obesity-induced cancer risk is challenging in humans, but animal studies are beginning to reveal the underlying mechanisms

In a maternal obesogenic environment, offspring cells could inherit malfunctioning mitochondria and/or deregulated epigenetic signatures. These alterations contribute to a tissue environment characterized by hyperplasia and nuclear atypia. When combined with additional genetic or carcinogenic hits, this predisposed phenotype may result in cancer in exposed offspring



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Citation: Lanza, *et al.* *Sci. Trans. Med.* **2016,** *8*, 324ec19.

Aging metabolism: Evitable or inevitable?

Decades of research have begun to unravel the mysteries of how and why we age. For example, as we grow older we become less responsive to insulin, a hormone that regulates blood sugar. This condition, called ¡°insulin resistance,¡± is important because it is a step in the progression to type 2 diabetes. With aging, we also begin to store fat in strange places, such as within our muscle or liver cells rather than within fat cells where it is intended to be stored.Chee and colleagues carefully evaluated insulin sensitivity and lipid metabolism in young and older adults. What makes this study unique is that the young and older subjects were matched for habitual physical activity levels and body composition. A separate group of overweight older adults were compared with lean older adults with similar lean mass.They found that only overweight older adults exhibited insulin resistance and lipid accumulation in their muscles. There were no differences between young and older adults who were matched for body composition and physical activity. These data are encouraging because they suggest that some age-related metabolic changes may not be inevitable. The news is not all good, however. This study also shows that even lean older adults exhibit changes in adipose tissue lipolysis such that they have greater release of lipids from fat cells into circulation. This increased lipid availability may predispose older adults to accumulate fat in skeletal muscle and liver when they become physically inactive. The study reinforces the importance of physical activity across the life span and also highlights the need for therapeutic strategies to target lipid metabolism in older adults.

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Citation: Wang, *et al.* *Sci. Trans. Med.* **2016,** *8*, 324ec21

The mRNA "game changer" in gene therapy

Gene therapy technology that involves nanoparticle delivery of nucleic acids, such as mRNA and siRNA, which alter gene expression is also rapidly maturing and may rival the impact of CRISPR/Cas9. The clinical translation of mRNA and siRNA has been limited by the lack of effective delivery vehicles. To address this, Dong et al. engineered a new type of nanoparticle using a polymer-brush material poly(glycoamidoamine). The positively charged amino groups bound to the negatively charged nucleic acids, and alkyl tail brushes were added onto the polymer backbone to allow incorporation of the polymer into a lipid-based nanoparticle formulation. The authors demonstrated that nanoparticles formulated using this material could efficiently deliver siRNA and mRNA systemically and were nontoxic. Mice receiving human erythropoietin (EPO) mRNA in nanoparticles expressed 1000-fold more EPO mRNA than when given free EPO mRNA. In addition, nanoparticle delivery of siRNA against the gene encoding Factor VII, a key protein involved in the clotting cascade, demonstrated significant reduction in FVII levels in the mice. The next step will be treating disease with these nanoparticle-formulated nucleic acids, which will make such technology attractive to several pharmaceutical companies already looking to bring RNA therapeutics to clinical practice.

Y. Dong et al., Poly(glycoamidoamine) brushes formulated nanomaterials for systemic siRNA and mRNA delivery in vivo. Nano Lett. 10.1021/acs.nanolett.5b02428 (2016)

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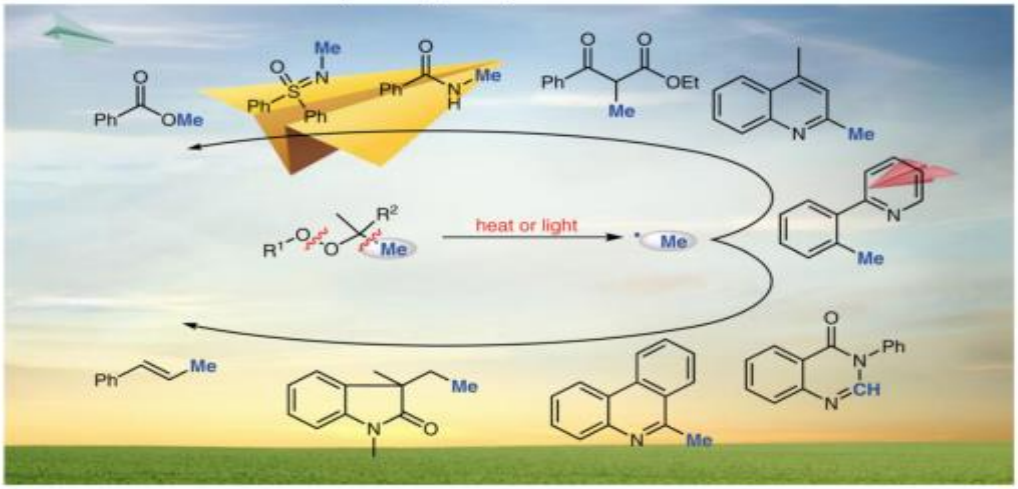
Citation: Dai *et al.* Synthesis, **2016**, 48, 329–339.

Peroxides: A Novel Methylating Reagent.



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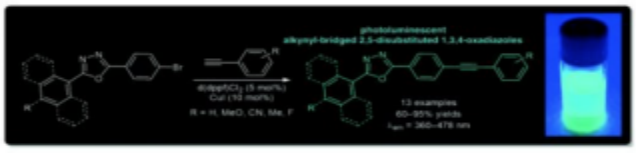
Drug Deliv.
Prostratin

Citation: Paun *et al.* Synthesis, **2016**, 48, 606–614.

Synthesis of New Alkynyl-Bridged 2,5-Disubstituted 1,3,4-Oxadiazoles

Anca Paun[1]
Codruta C. Paraschivescu[1]
Mihaela Matache*
Vasile I. Parvulescu*

University of Bucharest, Faculty of Chemistry, Department of Organic Chemistry, Biochemistry, and Catalysis, 90–92 Panduri Street, 050663 Bucharest, Romania
vasile.parvulescu@chimie.unibuc.ro
mihaela.matache@g.unibuc.ro



The paper details a new approach to alkynyl-derived 2,5-disubstituted 1,3,4-oxadiazoles with high luminescence intensities. Although this paper aims for preparation of OLEDs as electron-transporting components, the synthetic strategies as well as chromophores may be applied for designing new fluorescent markers for studies of drug delivery.

Bioorganic
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Laumalide
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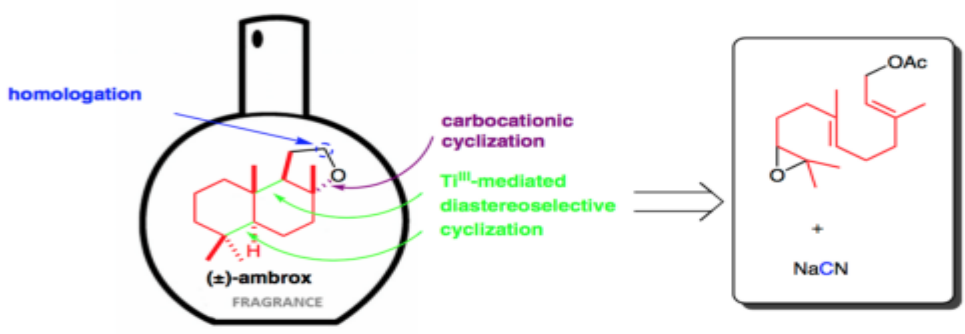
Citation: Rosales *et al.* Synlett, **2016**, 27, 369–374.

Diastereoselective Synthesis of (±)-Ambrox by Titanium (III)-Catalyzed Radical Tandem Cyclization.



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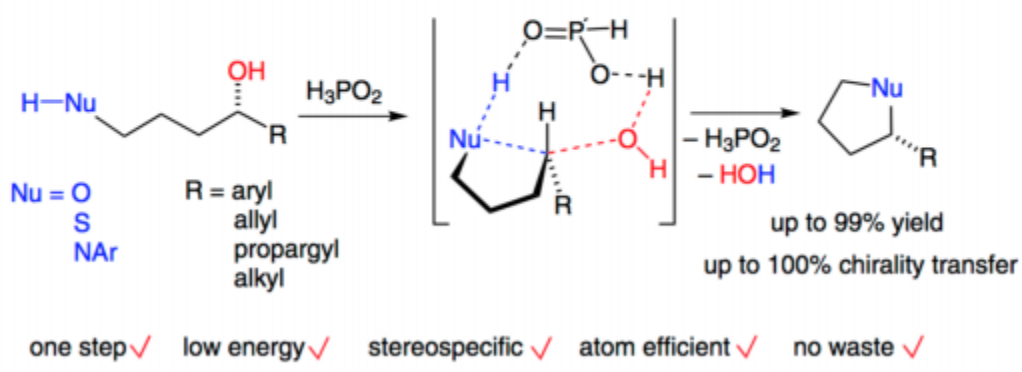
Citations: Bunrit *et al.* Synlett, **2016**, 173–176

Nucleophilic Substitution of the Hydroxyl Group in Stereogenic Alcohols with Chirality Transfer



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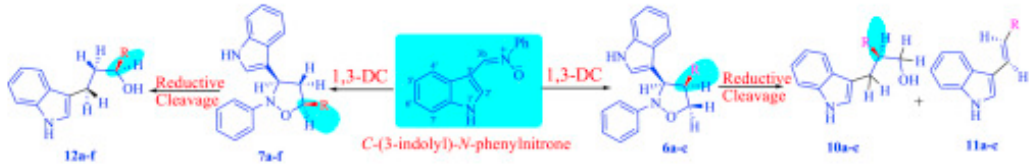
Citation: Singh, G.; Sharma, S.; Gupta, V.; Raj, T.; Singh, P.; Ishar, M. Formation And Reductive Ring Opening Reactions Of Indolyl-Isoxazolidines: Access To Novel Natural Product Analogs And Precursors. *Tetrahedron* **2016,** 72, 900-911.



Highlights:
1,3-dipolar cycloadditions of nitrones provides access to several indole based natural product precursors

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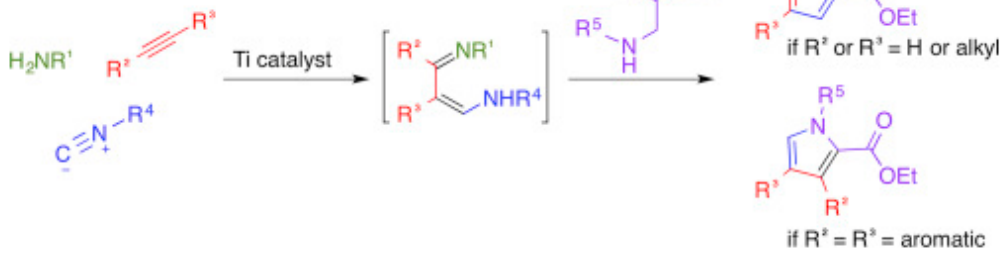
Citation: Pasko, C.; Dissanayake, A.; Billow, B.; Odom, A. One-Pot Synthesis Of Pyrroles Using A Titanium-Catalyzed Multicomponent Coupling Procedure. *Tetrahedron* **2016,** 72, 1168-1176.



One flask, multi-component procedure for the synthesis of highly substituted pyrroles.

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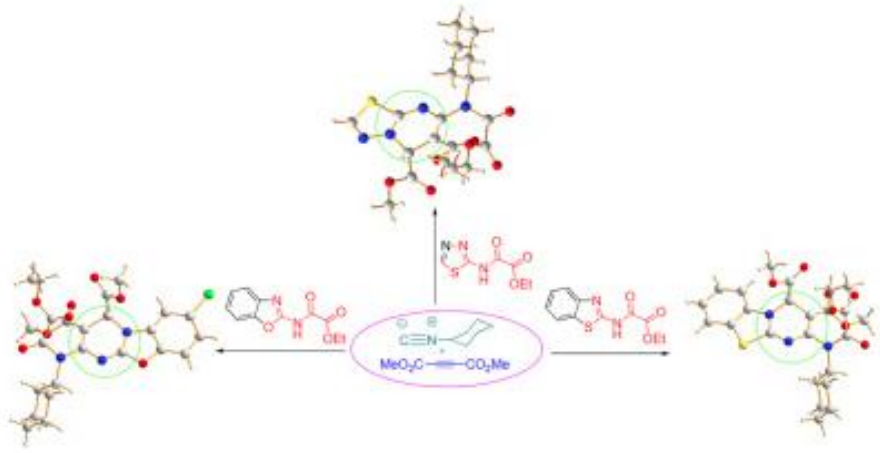
Citation: Bao, M.; Jiang, B.; Wang, H.; Li, L. Three-Component [3+2+1] Cyclizations Leading To Densely Functionalized Benzo[4,5]Thiazolo[1,2-A]Pyrimidines. *Tetrahedron* **2016,** 72, 1011-1017.



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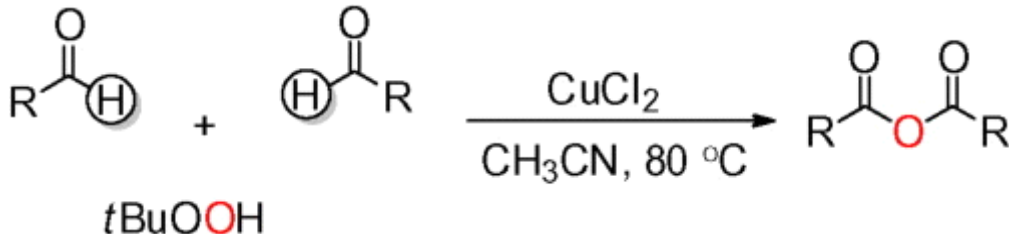
Citation: Saberi, D.; *et al. Tet. Lett.* **2016**, *57,* 566.

Oxidative self-coupling of aldehydes in the presence of CuCl2/TBHP system: direct access to symmetrical anhydrides



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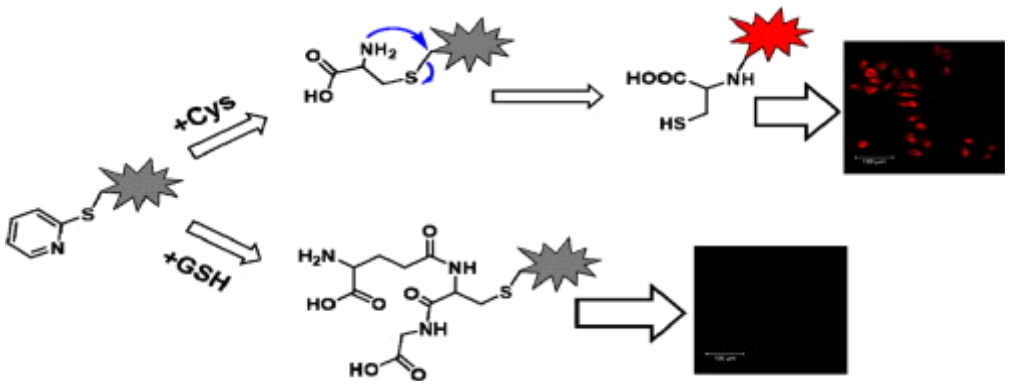
Citation: Zhang, L.; *et al. Tet. Lett.* **2016**, *57,* 578.

A novel fluorescent probe for discrimination of Cys from GSH: inspiration from chemical ligation



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