Accounts of Chemical Research	N/A	Melanie Huttner
ACS Chemical Biology	N/A	Jessica Vargas
ACS Medicinal Chemistry Letters	90	Filip Hessler
ACS Nano	90	Nancy Benner
Advanced Drug Delivery Reviews	91	Xiaoyu Zang (Janice)
Angewandte Chemie International Edition	MOOK	Daryl Staveness
Bioconjugate Chemistry	91	Colin McKinlay
Biomacromolecules	91	Colin McKinlay
Bioorganic and Medicinal Chemistry	92	Nancy Benner
Bioorganic and Medicinal Chemistry Letters	93	Nancy Benner
Chemical Communications	93	Katie Near
Chemical & Engineering News	95	Steven Ryckbosch
Chemical Reviews	96	Ryan Quiroz
Chemical Science	N/A	N/A
Chemistry, A European Journal	96	Xiaoyu Zang (Janice)
European Journal of Organic Chemistry	98	Filip Hessler
Journal of the American Chemical Society	99	Akira Shimizu (odd)
		Hsiao-Tieh Hsu (even)
Journal of Medicinal Chemistry	103	Matthew Stevens
Journal of Organic Chemistry	105	Matthew Jeffreys
Molecular Pharmaceutics	107	Colin McKinlay
Natural Product Reports	N/A	Jack Sloane
Nature	108	Ryan Quiroz
Nature Chemistry	MOOK	Andrew Raub
Nature Chemical Biology	108	Jessica Vargas
The New York Times	109	Melanie Huttner
The Onion	110	Melanie Huttner
Organic Letters	111	Jack Sloane
Organometallics	113	Matthew Stevens
PNAS	114	Melanie Huttner
Science	115	Steven Ryckbosch
Science Translational Medicine	116	Jessica Vargas
Synlett	117	Andreas Steib
Synthesis	117	Andreas Steib
Tetrahedron	N/A	N/A
Tetrahedron Letters	MOOK	Andrew Raub

Next Due Date: Wednesday, April 15, 2015

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

Create an Abstract

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

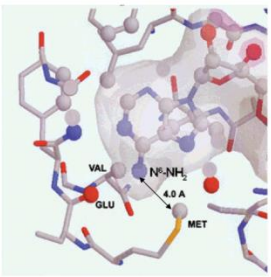
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

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

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

Design and Characterization of a Traceable Protein Kinase C-alpha

Protein kinase CR (PKCR) is a critical component of pathways that govern cancer-related phenotypes such as invasion and proliferation. Proteins that serve as immediate substrates for PKCR offer potential targets for anticancer drug design. To identify specific substrates, a mutant of PKCR (M417A) was constructed at the ATP binding site such that it could bind a sterically large ATP analogue derivatized through the N6 amino group of adenosine (1c-32Pl-N6-phenyl-ATP). Because this analogue could be utilized by the mutant kinase but not by wild-type PKCR (or presumably other protein kinase) to phosphorylate peptide or protein substrates, 32P-labeled products were the direct result of the mutant PKCR.



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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
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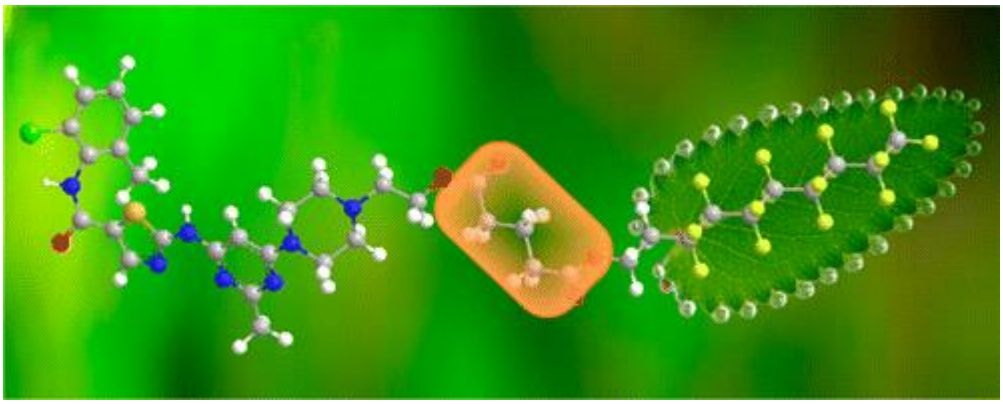
DON'T BE A MOOK!

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

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

Citation: Dyson, P. J. et. al. *ACS Med. Chem. Lett.* **2015**, *6*, 313-317.

Improved Angiostatic Activity of Dasatinib by Modulation with Hydrophobic Chains



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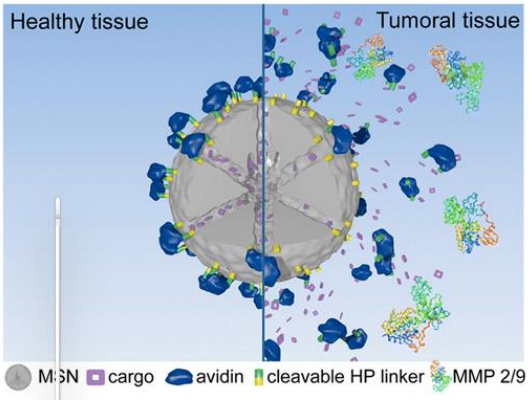
Hybrid
Drug Deliv.
Prostratin

Citation: van Rijt, S.H. et. al, *ACS Nano,* **2015**, *ASAP*

Protease-Mediated Release of Chemotherapeutics from Mesoporous Silica Nanoparticles to ex Vivo Human and Mouse Lung Tumors



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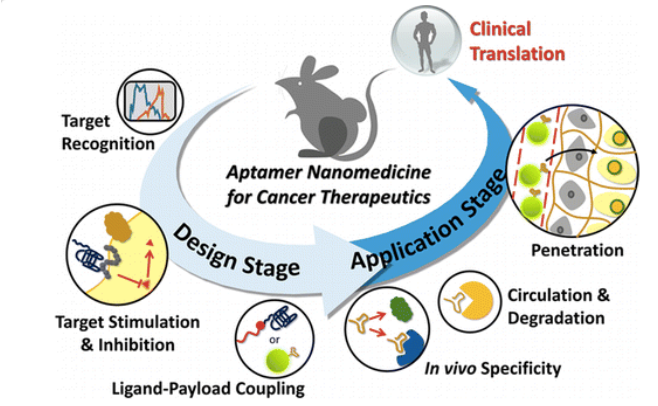
Citation: Lao, Y. et. al, *ACS Nano,* **2015**, *ASAP*

Aptamer Nanomedicine for Cancer Therapeutics: Barriers and Potential for Translation



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Citation: Chabot, *et al. Adv Drug Deliv Rev.* **2015,** *81,* 161-168.

Targeted electro-delivery of oligonucleotides for RNA interference: siRNA and antimiRNA

For more than a decade, the understanding of RNA interference (RNAi) has been a growing field of interest. Micro-RNAs (miRNAs) are small regulatory RNAs that play an important role in disease development and progression and therefore represent a potential new class of therapeutic targets. However, delivery of RNAi-based oligonucleotides is one of the most challenging hurdles to RNAi-based drug development. Electropermeabilization (EP) is recognized as a successful non-viral method to transfer nucleic acids into living cells both in vitro and in vivo. EP is the direct application of electric pulses to cells or tissues that transiently permeabilize plasma membranes, allowing the efficient delivery of exogenous molecules. The present review focused on the mechanism of RNAi-based oligonucleotides electrotransfer, from cellular uptake to intracellular distribution. Biophysical theories on oligonucleotide electrotransfer will be also presented. The advantages and few drawbacks of EP-mediated delivery will also be discussed.

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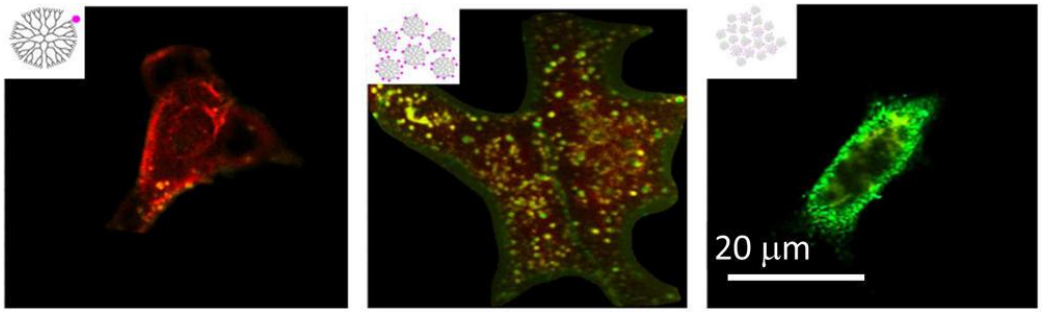
Citation: Bioconjugate Chem. 2015, 26 (2), 304–315.

Fluorophore:Dendrimer Ratio Impacts Cellular Uptake and Intracellular Fluorescence Lifetime.



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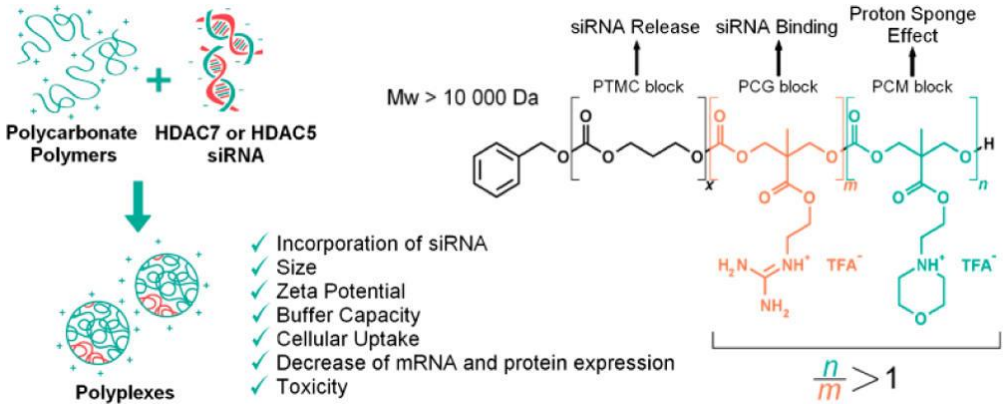
Citation: Biomacromolecules 2015, 16 (3), 769–779.

Impact of the Structure of Biocompatible Aliphatic Polycarbonates on siRNA Transfection Ability.



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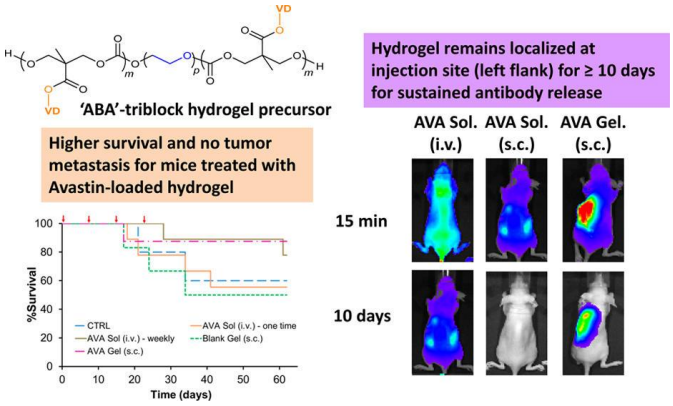
Citation: Biomacromolecules 2015, 16 (2), 465–475.

Injectable Biodegradable Hydrogels from Vitamin D-Functionalized Polycarbonates for the Delivery of Avastin with Enhanced Therapeutic Efficiency against Metastatic Colorectal Cancer.



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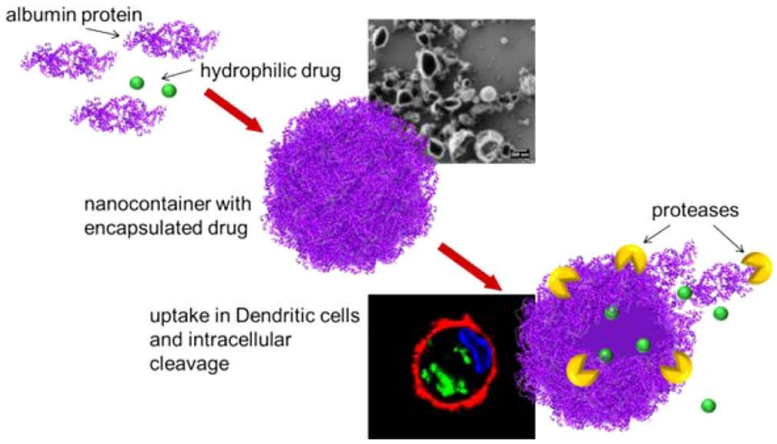
Citation: Biomacromolecules 2015, 16 (3), 815–821.

Biodegradable Protein Nanocontainers.



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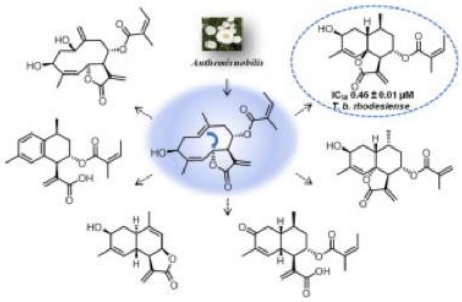
Citation: Mieri, M. et al. *Bioorg. Med. Chem.*, 23, (2015) 1521-1529

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



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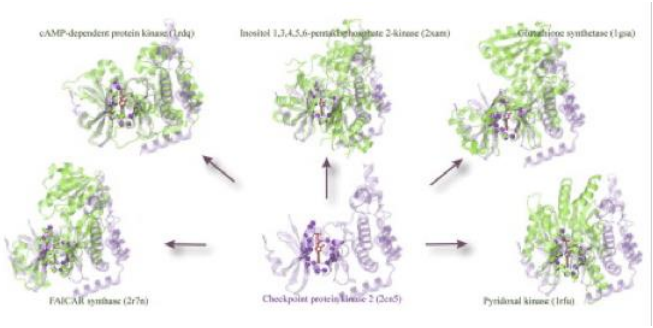
Citation. Skolnick, J. et al. *Bioorg. Med. Chem. Lett*., 25, (2015) 1163-1170

Implications of the small number of distinct ligand binding pockets in proteins for drug discovery, evolution and biochemical function



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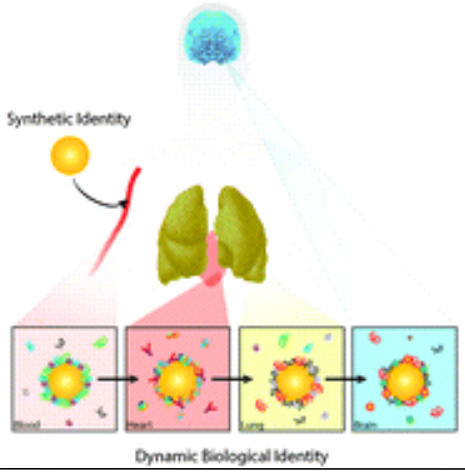
Citation: Lazarovits, J.; *et al*. *Chem. Commun.* **2015**, *51,* 2756.

Nanoparticle–blood interactions: the implications on solid tumour targeting



Nanoparticles are suitable platforms for cancer targeting and diagnostic applications. Typically, less than 10% of all systemically administered nanoparticles accumulate in the tumour. An in-depth and complete understanding of nanoparticle–blood interactions is key to designing nanoparticles with optimal physicochemical properties with high tumour accumulation. The purpose of this review article is to describe how the protein corona alters the targeting of nanoparticles to solid tumours and explains current solutions to solve this problem.

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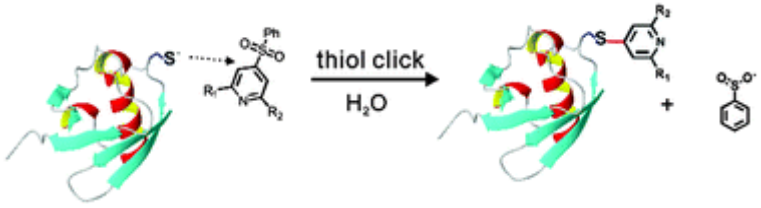
Citation: Yang, Y.; *et al. Chem. Commun.* **2015**, *51,* 2824.

Site-specific tagging proteins via a rigid, stable and short thiolether tether for paramagnetic spectroscopic analysis



Increasing the stability of protein bioconjugates and improving the resolution of protein complexes is important for spectroscopic analysis in structural biology. The reaction of phenylsulfonated pyridine derivatives and protein thiols generates a stable, rigid and short thiolether tether, which is valuable in high-resolution spectroscopic measurements.

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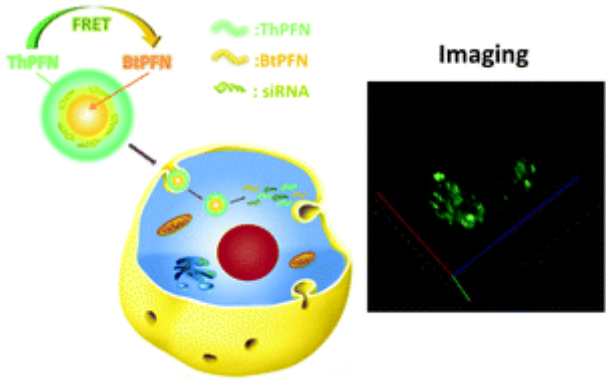
Citation: Yu, J.-C.; *et al. Chem. Commun.* **2015**, *51,* 2976.

Cationic fluorescent polymer core–shell nanoparticles for encapsulation, delivery, and non-invasively tracking the intracellular release of siRNA



A multifunctional nanocarrier for encapsulation and delivery of short interfering RNA (siRNA) has been realized using cationic fluorescent polymer core–shell nanoparticles. The nanocarrier has good biocompatibility and high transfection efficiency over the most popular transfection reagent, Lipofectamine 2000. Fluorescence resonance energy transfer within the nanocarrier provides a non-invasive and label-free method to track the intracellular release of siRNA.

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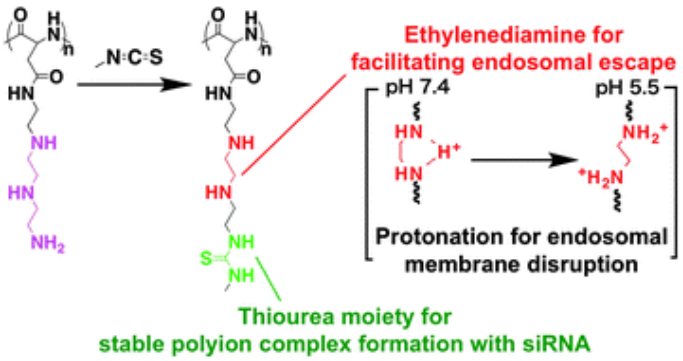
Citation: Gao, H.; *et al. Chem. Commun.* **2015**, *51,* 3158.

Regulated protonation of polyaspartamide derivatives bearing repeated aminoethylene side chains for efficient intracellular siRNA delivery with minimal cytotoxicity



The effects of the repeated number (RN) of aminoethylene (AE) units in polyaspartamide side chains were investigated for polyion complex (PIC)-based siRNA delivery. Reduction of the apparent RN from 3 to 2 by thiourea introduction increased a protonatable amine fraction in AE units at endosomal pH, leading to the efficient endosomal escape of siRNA-loaded PICs.

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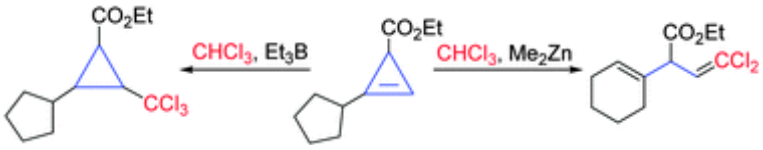
Citation: Ueda, M; et al. *Chem. Commun.* **2015**, *51,* 4207.

Reaction of cyclopropenes with a trichloromethyl radical: unprecedented ring-opening reaction of cyclopropanes with migration



The direct addition reaction of chloroform to cyclopropenes under triethylborane-mediated radical reaction conditions to provide trichloromethylcyclopropanes has been developed. In contrast, using dimethylzinc as a radical initiator led to the formation of unconjugated esters via a domino sequence involving the addition of the trichloromethyl radical, rearrangement and ring-opening reactions.

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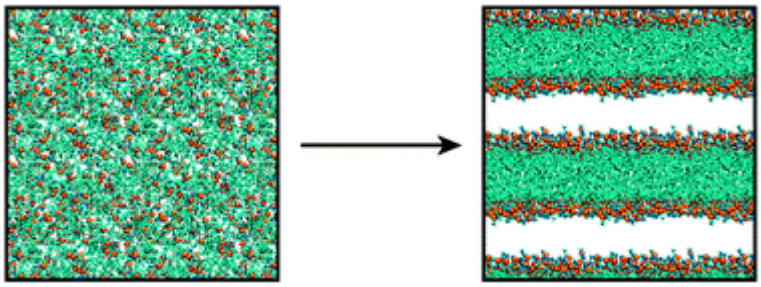
Citation: Skjevik, A. A.; et al. *Chem. Commun.* **2015**, *51,* 4402.

All-atom lipid bilayer self-assembly with the AMBER and CHARMM lipid force fields



This communication reports the first example of spontaneous lipid bilayer formation in unbiased all-atom molecular dynamics (MD) simulations. Using two different lipid force fields we show simulations started from random mixtures of lipids and water in which four different types of phospholipids self-assemble into organized bilayers in under 1 microsecond.

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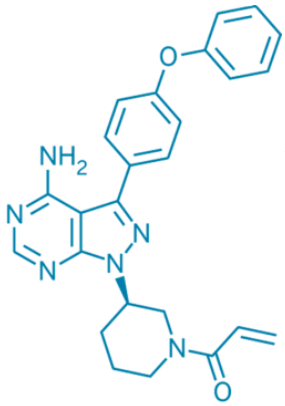
Citation: *C&E News*, http://goo.gl/GbzSV6

Abbvie Buys Pharmacyclics for $21 billion



Imbruvica

Just months after abandoning its $54 billion bid for specialty drug maker Shire, AbbVie is shelling out $21 billion for Sunnyvale, Calif.-based Pharmacyclics. The purchase furthers AbbVie's ambitions in the field of hematological cancer and reduces its reliance on one major product.

Pharmacyclics's key asset is Imbruvica, a BTK inhibitor acquired in 2006 from Celera Genomics for just $2 million and some equity. FDA approved Imbruvica in late 2013 to treat mantle cell leukemia, and the drug has since won the agency's nod in three other blood cancers. It is expected to bring in $1 billion in sales this year for Pharmacyclics and its marketing partner J&J.

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Citation: *C&E News*, http://goo.gl/rZEja9

Bristol-Myers Squibb Accesses Small Molecules For Immunotherapy

Bristol-Myers Squibb, a pioneer in the development of monoclonal antibodies for use in cancer immunotherapy, added small-molecule capabilities last week with an acquisition and a research collaboration. BMS will pay $800 million to acquire Flexus Biosciences, a privately held cancer drug discovery and development firm. The deal includes a drug candidate—an IDO1 inhibitor called F001287—and Flexus's IDO/TDO discovery program. IDO and TDO are enzymes expressed by tumor cells to suppress the function of disease-fighting T cells, thus preventing the immune system from destroying certain types of tumors. Flexus shareholders could receive an additional $450 million in development milestones.

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

Fine Chemicals Firms Go Beyond Pharma

The nonpharmaceutical side of the business has been gaining ground at the English firm Contract Chemicals. Whereas drug chemical manufacturing once made up 60% of the company's business, it now stands at 30%. "About 55% is agchem now, and the rest is odds and sods," said Managing Director Tony Bastock.

The shift has more to do with the agchem business growing than with pharma lagging, he added. Increases in population and advances in biofuels have spurred agriculture, as has the inclusion of more meat in the diets of people in China and other developing countries. "You need 6 kilos of grain to feed 1 kilo of pork," Bastock said.

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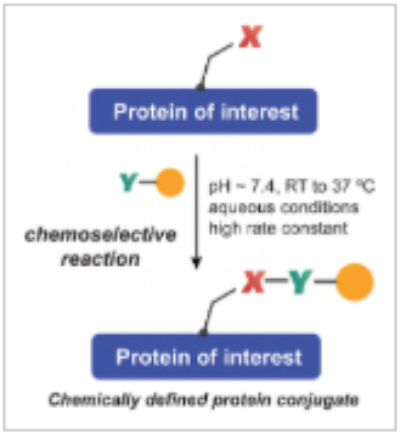
Citation: Boutureira, O.; Bernardes, G. J. L. *Chem. Rev*. **2015**, *115*, 2174.

Advances in Chemical Protein Modification



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Citation: Moratz, *et al. Chem. Eur. J.* **2015**, 21, 3271-3277.

Selective Host Molecules Obtained bLight-Triggered Capture and Release of DNA and Proteins by Host-Guest Binding and Electrostatic Interactiony Dynamic Adaptive Chemistry

The development of an effective and general delivery method that can be applied to a large variety of structurally diverse biomolecules remains a bottleneck in modern drug therapy. Herein, we present a supramolecular system for the dynamic trapping and light-stimulated release of both DNA and proteins. Self-assembled ternary complexes act as nanoscale carriers, comprising vesicles of amphiphilic cyclodextrin, the target biomolecules and linker molecules with an azobenzene unit and a charged functionality. The non-covalent linker binds to the cyclodextrin by host¨Cguest complexation with the azobenzene. Proteins or DNA are then bound to the functionalized vesicles through multivalent electrostatic attraction. The photoresponse of the host¨Cguest complex allows a light-induced switch from the multivalent state that can bind the biomolecules to the low-affinity state of the free linker, thereby providing external control over the cargo release. The major advantage of this delivery approach is the wide variety of targets that can be addressed by multivalent electrostatic interaction, which we demonstrate on four types of DNA and six different proteins.

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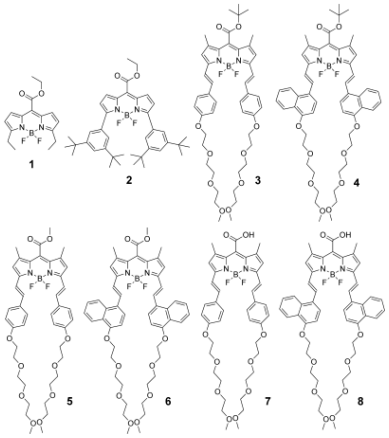
Citation: Ni, *et al. Chem. Eur. J.* **2014,** 20, 2301-2310.

meso-Ester and Carboxylic Acid Substituted BODIPYs with Far-Red and Near-Infrared Emission for Bioimaging Applications



Dyes functionalized with oligo(ethylene glycol) ether styryl or naphthalene vinylene groups at the α-positions of the BODIPY core become partially soluble in water, and their absorptions and emissions are located in the far-red or near-infrared region. It is revealed that the Stokes shift is dependent mainly on the geometric change from the ground state to the first excited singlet state. Furthermore, cell staining tests demonstrate that the meso-ester-substituted BODIPYs (1 and 3¨C6) and one of the meso-COOH-substituted BODIPYs (8) are very membrane-permeable. These features make these meso-ester- and meso-COOH-substituted BODIPY dyes attractive for bioimaging and biolabeling applications in living cells.

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

NMR Hyperpolarization Techniques for Biomedicine

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

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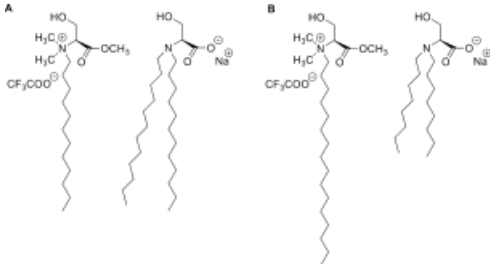
Citation: Silva, *et al. Chem. Eur. J.* **2015,** 21, 4092-4101.

Size, Charge, and Stability of Fully Serine-Based Catanionic Vesicles: Towards Versatile Biocompatible Nanocarriers

Vesicles based on mixed cationic and anionic surfactants (catanionic vesicles) offer a number of advantageous colloidal features over conventional lipid-based vesicles, namely spontaneity in formation, long-term stability, and easy modulation of size and charge. If biocompatibility is added through rational design of the chemical components, the potential for biorelated applications further emerges. In this paper the authors report for the first time on two catanionic vesicle systems in which both ionic amphiphiles are derivatized from the same amino acid serine with the goal of enhancing aggregate biocompatibility.



Molecular structure of the serine-based surfactants: A) symmetric systems, 12Ser and 12-12Ser; and B)asymmetric systems, 16Ser and 8-8Ser.

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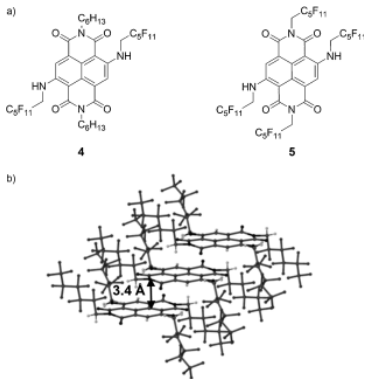
Citation: Maniam, *et al. Chem. Eur. J.* **2015,** 21, 4133-4140.

Unexpected Photoluminescence of Fluorinated Naphthalene Diimides

Two new amino core-substituted naphthalene diimides (cNDIs) bearing fluorinated side chains have been synthesised. Steady-state and time-resolved fluorescence spectroscopy reveals unprecedented optical properties for the cNDIs with high quantum yields of ~0.8 and fluorescence lifetimes of ~13ns in a range of solvents. These properties are apparent at the level of single molecules, where the compounds also show exceptional photostability under pulsed-laser excitation. Photon emission is remarkably consistent with very few long timescale (millisecond or longer) interruptions with molecules regularly undergoing >107 cycles of excitation and emission. Intermittencies owing to triplet-state formation occur on a sub-millisecond timescale with a low yield of 1-2%, indicating that the presence of the fluorine atoms does not lead to a significant triplet yield through the heavy-atom effect. These properties make the compounds excellent candidates for single-molecule labelling applications.



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

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

Does Fluorine Participate in Halogen Bonding?

When R is sufficiently electron withdrawing, the fluorine in the R--F molecules could interact with electron donors (e.g., ammonia) and form a noncovalent bond (F---N). Although these interactions are usually categorized as halogen bonding, our studies show that there are fundamental differences between these interactions and halogen bonds. Although the anisotropic distribution of electronic charge around a halogen is responsible for halogen bond formations, the electronic charge around the fluorine in these molecules is spherical.

bioorganic
methods
synthesis
mechanism
review
other

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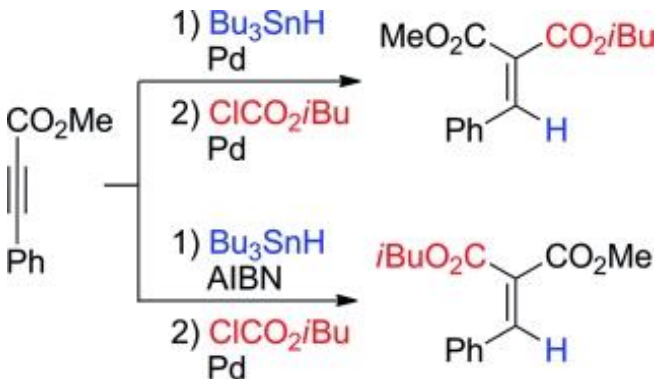
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Yamada, K. et. al. *Eur. J. Org. Chem.* **2015**, 1264–1272.

Hydrostannylation–Cross-Coupling Strategy for the Stereoselective Synthesis of Alkylidenemalonates and Related a,ß-Unsaturated Esters

Pd-catalyzed and radical hydrostannylation of propiolate derivatives stereoselectively provided a-alkoxycarbonyl (E)- and (Z)-vinylstannanes, respectively, which were then converted into alkylidenemalonates by the Stille coupling reaction. A one-pot process was also realizable for the Pd-catalyzed reactions.



bioorganic
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synthesis
mechanism
review
other

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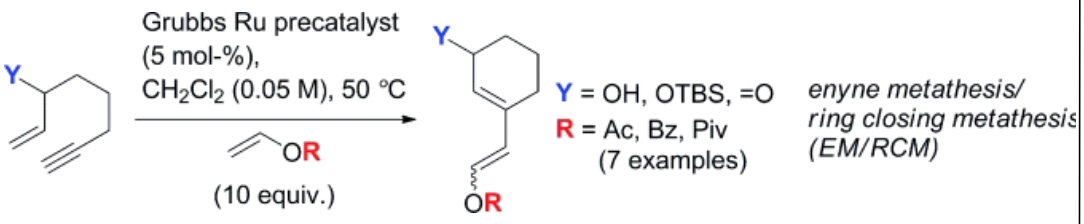
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Larsen, D. S. et. al. *Eur. J. Org. Chem.* **2015**, 1485–1490.

Synthesis of Acyloxy-Semicyclic Dienes Using an Enyne Metathesis/Ring Closing Metathesis Approach

An enyne metathesis/ring closing metathesis (EM/RCM) sequence was developed to allow convenient access to acyloxy-semicyclic dienes not available from the cross metathesis of vinyl esters with the termini of 1,3-dienes. The prepared acyloxy-semicyclic dienes constitute useful reactants in Diels–Alder cycloadditions.



bioorganic
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synthesis
mechanism
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other

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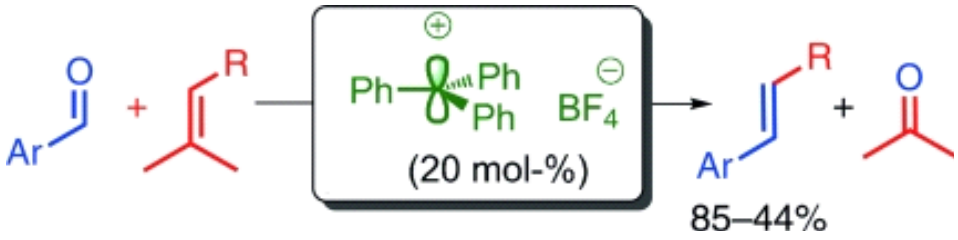
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Franzén, J., et. al. *Eur. J. Org. Chem.* **2015**, 1834-1839.

Direct Organocatalytic Oxo-Metathesis, a trans-Selective Carbocation-Catalyzed Olefination of Aldehydes

A new partner in the metathesis dance: Trityl tetrafluoroborate (TrBF4) catalyzes the direct oxo-metathesis of aldehydes and unactivated olefins to give ß-alkylstyrene derivatives and acetone through an unusual metal-free formal [2+2]/retro [2+2] reaction sequence.



bioorganic
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synthesis
mechanism
review
other

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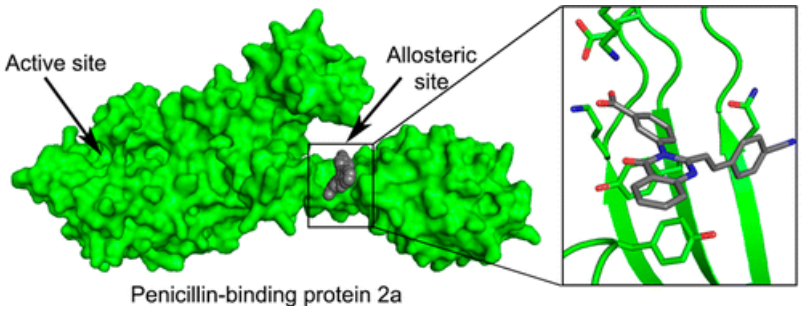
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Bouley, Renee; Kumarasiri, Malika; *et al*. *Journal of the American Chemical Society* **2015** 137 (5), 1738-1741

Discovery of Antibiotic (E)-3-(3-Carboxyphenyl)-2-(4-cyanostyryl)quinazolin-4(3H)-one



bioorganic
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synthesis
mechanism
review
other

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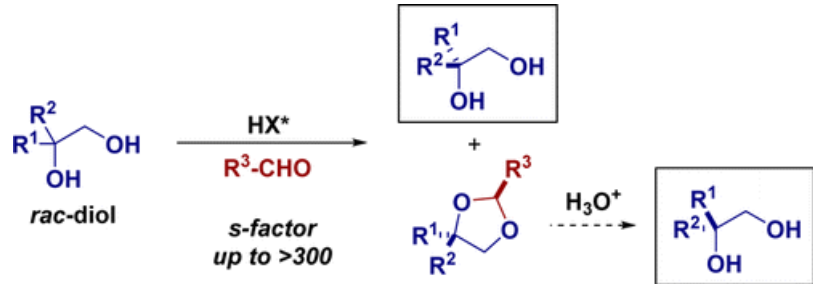
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Kim, Ji Hye; Coric, Ilija; Palumbo, Chiara; List, Benjamin. *Journal of the American Chemical Society* **2015** 137 (5), 1778-1781

Resolution of Diols via Catalytic Asymmetric Acetalization



bioorganic
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synthesis
mechanism
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other

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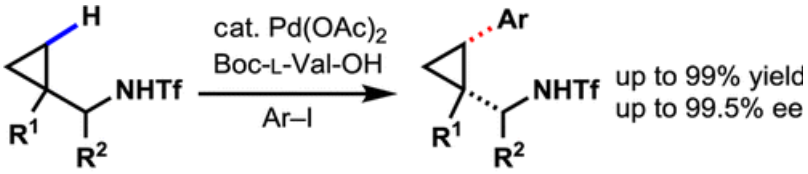
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Chan, Kelvin S. L.; Fu, Hai-Yan; Yu, Jin-Quan. *Journal of the American Chemical Society* **2015** 137 (5), 2042-2046

Palladium(II)-Catalyzed Highly Enantioselective C–H Arylation of Cyclopropylmethylamines



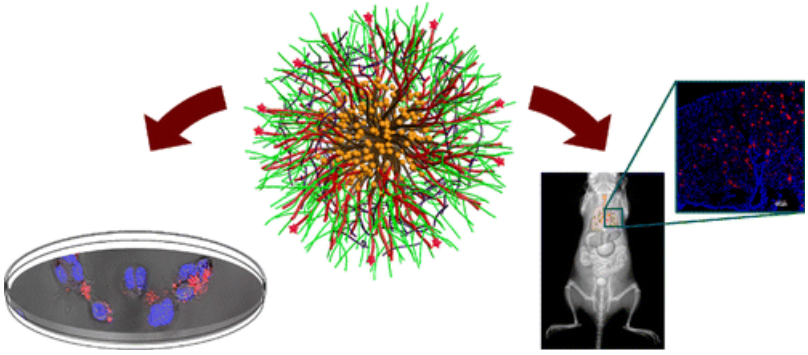
First example of enantioselective
C–H arylation via Pd(II)/Pd(IV) catalysis

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Zhang, Fuwu; Wooley, Karen L.; *et al. Journal of the American Chemical Society* **2015** 137 (5), 2056-2066

Improving Paclitaxel Delivery: In Vitro and In Vivo Characterization of PEGylated Polyphosphoester-Based Nanocarriers



bioorganic
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synthesis
mechanism
review
other

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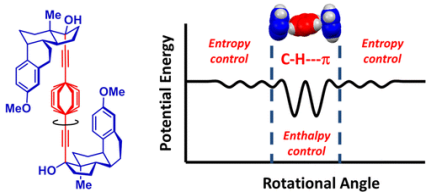
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Perez-Estrada, S. et al. J. Am. Chem. Soc., 2015, 137 (6), pp 2175–2178

Thermodynamic Evaluation of Aromatic CH/ Interactions and Rotational Entropy in a Molecular Rotor



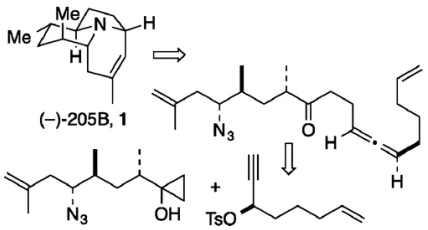
A molecular rotor built with a stator formed by two rigid 9beta-mestranol units having a 90° bent angle linked to a central phenylene rotator has an ideal structure to examine aromatic CH/ interactions. Energies and populations of the multiple solution conformations from quantum-mechanical calculations and molecular dynamics simulations were combined with variable-temperature (VT) 1H NMR data to establish the enthalpy of this interaction and the entropy associated with rotation about a single bond. Rotational dynamics in the solid state were determined via VT cross-polarization magic-angle spinning 13C NMR spectroscopy.

bioorganic
methods
synthesis
mechanism
review
other (MD)

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Rao, N. N. et al. J. Am. Chem. Soc., 2015, 137 (6), pp 2243–2246

Concise Synthesis of Alkaloid (-)-205B



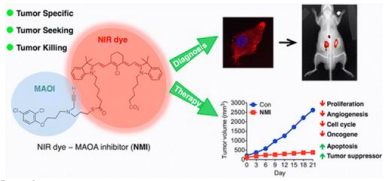
Described herein is a short total synthesis of alkaloid (-)-205B (**1**) by means of an anti-selective SN2'alkylation of an attractively functionalized cyclopropanol and diastereoselective cyclization of the resulting aminoallene adduct for bicyclic ring formation. The synthesis features a general route to cis- or trans-2,6-disubstituted piperidines by lithium aluminum hydride reduction of the imine intermediate by an appropriate choice of solvent and cis- or trans-2,5-disubstituted pyrrolidines by an exceptional level of chirality transfer from a pendant allene. Particularly noteworthy are the brevity and convergence made possible by a segment-coupling strategy.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wu, J. B. et al. J. Am. Chem. Soc., 2015, 137 (6), pp 2366–2374

Monoamine Oxidase A Inhibitor–Near-Infrared Dye Conjugate Reduces Prostate Tumor Growth



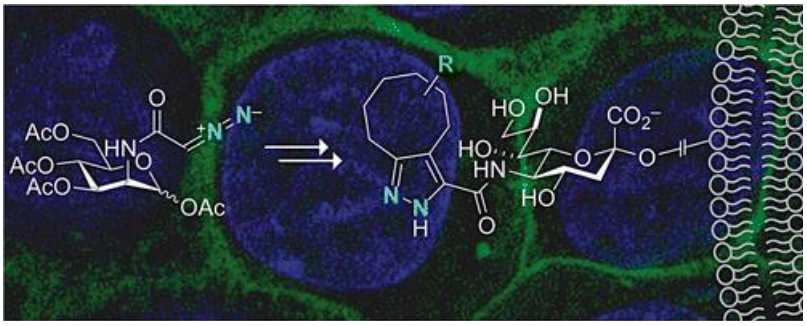
Monoamine oxidase A (MAOA), a mitochondria-bound enzyme, degrades monoamine neurotransmitters and dietary monoamines. Recent evidence suggests a correlation between increased MAOA expression and prostate cancer (PCa) progression with poor outcomes for patients. Here the design, synthesis, and in vitro and in vivo evaluation of NMI, a conjugate that combines a near-infrared dye for tumor targeting with the moiety derived from the MAOA inhibitor clorgyline, was described. NMI inhibits MAOA with low micromolar IC50, suppresses PCa cell proliferation and colony formation, and reduces migration and invasion. In mouse PCa xenografts, NMI targets tumors with no detectable accumulation in normal tissues, providing effective reduction of the tumor burden

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Andersen,Kristen A.; Raines, Ronald T.*et al. Journal of the American Chemical Society* **2015** 137 (7), 2412-2415

Diazo Groups Endure Metabolism and Enable Chemoselectivity in Cellulo



bioorganic
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other

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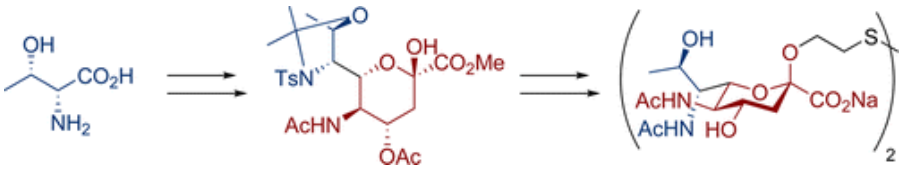
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Matties, S. et al. J. Am. Chem. Soc., 2015, 137 (8), pp 2848–2851

Total Synthesis of Legionaminic Acid as Basis for Serological Studies



A The stereoselective synthesis that yields a legionaminic acid building block as well as linker-equipped conjugation-ready legionaminic acid starting from cheap d-threonine was reported. To set the desired amino and hydroxyl group pattern of the target, a concise sequence of stereoselective reactions was designed. The key transformations rely on chelation-controlled organometallic additions and a Petasis multicomponent reaction. The legionaminic acid was synthesized in a form that enables attachment to surfaces. Glycan microarray containing legionaminic acid revealed that human antibodies bind the synthetic glycoside. The synthetic bacterial monosaccharide is a valuable probe to detect an immune response to bacterial pathogens such as Legionella pneumophila, the causative agent of Legionnaire's disease.

bioorganic
methods
synthesis
mechanism
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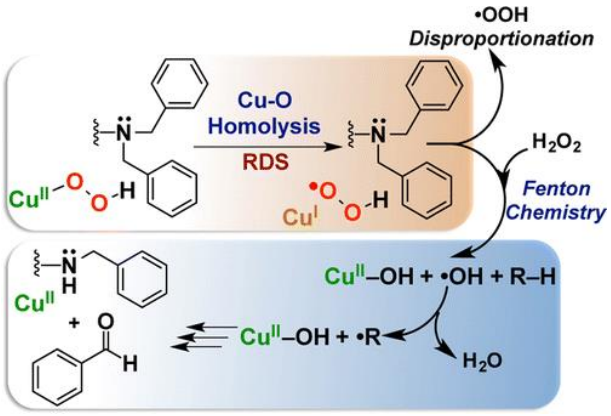
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Kim, S. et al. J. Am. Chem. Soc., 2015, 137 (8), pp 2867–2874

Amine Oxidative N-Dealkylation via Cupric Hydroperoxide Cu-OOH Homolytic Cleavage Followed by Site-Specific Fenton Chemistry (Congratulations to our friends in the Solomon Group!)



bioorganic
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mechanism
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other

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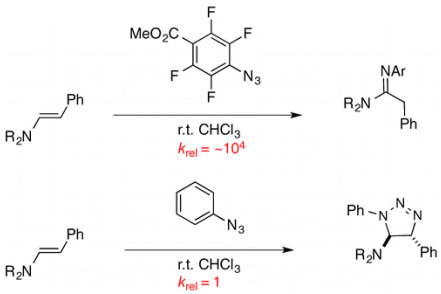
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Xie, S. et al. J. Am. Chem. Soc., 2015, 137 (8), pp 2958–2966

1,3-Dipolar Cycloaddition Reactivities of Perfluorinated Aryl Azides with Enamines and Strained Dipolarophiles



The reactivities of enamines and predistorted (strained) dipolarophiles toward perfluoroaryl azides (PFAAs) were explored experimentally and computationally. Kinetic analyses indicate that PFAAs undergo (3 + 2) cycloadditions with enamines up to 4 orders of magnitude faster than phenyl azide reacts with these dipolarophiles. DFT calculations were used to identify the origin of this rate acceleration. Orbital interactions between the cycloaddends are larger due to the relatively low-lying LUMO of PFAAs. The triazolines resulting from PFAA–enamine cycloadditions rearrange to amidines at room temperature, while (3 + 2) cycloadditions of enamines and phenyl azide yield stable, isolable triazolines. The 1,3-dipolar cycloadditions of norbornene and DIBAC also show increased reactivity toward PFAAs over phenyl azide but are slower than enamine–azide cycloadditions.

bioorganic
methods
synthesis
mechanism
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other

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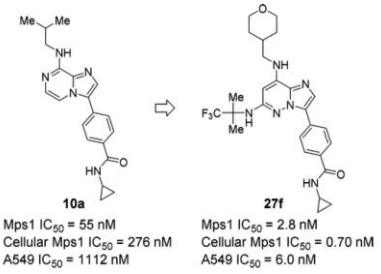
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Kusakabe, K.; *et al. J. Med. Chem.* **2015**, 58 (4), 1760-1775

Discovery of Imidazo[1,2-*b*]pyridazine Derivatives: Selective and Orally Available Mps1 (TTK) Kinase Inhibitors Exhibiting Remarkable Antiproliferative Activity



Monopolar spindle 1 (Mps1) is an attractive oncology target due to its high expression level in cancer cells as well as the correlation of its expression levels with histological grades of cancers. An imidazo[1,2-*a*]pyrazine **10a** was identified during an HTS campaign...

bioorganic
methods
synthesis
mechanism
review
other

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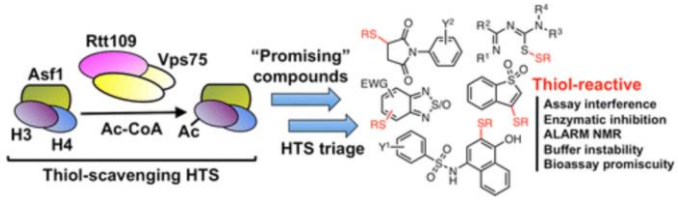
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

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

PAINS in the Assay: Chemical Mechanisms of Assay Interference and Promiscuous Enzymatic Inhibition Observed during a Sulfhydryl-Scavenging HTS



Significant resources in early drug discovery are spent unknowingly pursuing artifacts and promiscuous bioactive compounds, while understanding the chemical basis for these adverse behaviors often goes unexplored in pursuit of lead compounds. Nearly all the hits from our recent sulfhydryl-scavenging high-throughput screen (HTS) targeting the histone acetyltransferase Rtt109 were such compounds. Herein, we characterize the chemical basis for assay interference and promiscuous enzymatic inhibition for several prominent chemotypes identified by this HTS, including some pan-assay interference compounds (PAINS)...

bioorganic
methods
synthesis
mechanism
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other

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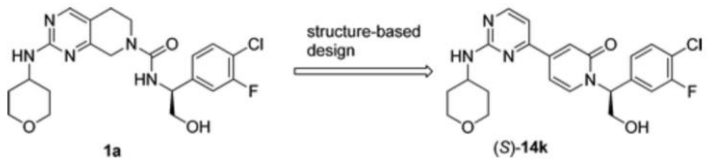
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ren, L.; *et al. J. Med. Chem.* **2015**, 58 (4), 1976-1991

Discovery of Highly Potent, Selective, and Efficacious Small Molecule Inhibitors of ERK1/2



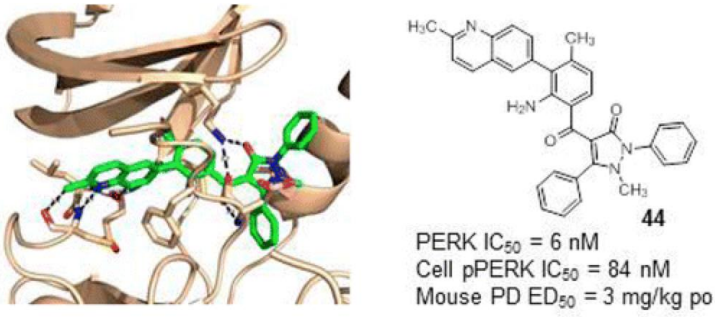
Using structure-based design, a novel series of pyridone ERK1/2 inhibitors was developed. Optimization led to the identification of (*S*)-**14k**, a potent, selective, and orally bioavailable agent that inhibited tumor growth in mouse xenograft models. On the basis of its in vivo efficacy and preliminary safety profiles, (*S*)-**14k** was selected for further preclinical evaluation.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Dahlin, J.; *et al. J. Med. Chem.* **2015**, 58 (5), 2091-2113

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



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

bioorganic
methods
synthesis
mechanism
review
other

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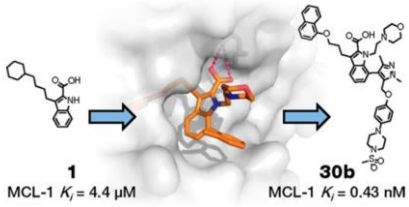
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Bruncko, M.; *et al. J. Med. Chem.* **2015**, 58 (5), 2180-2194

Structure-Guided Design of a Series of MCL-1 Inhibitors with High Affinity and Selectivity



Myeloid cell leukemia 1 (MCL-1) is a BCL-2 family protein that has been implicated in the progression and survival of multiple tumor types. Herein we report a series of MCL-1 inhibitors that emanated from a high throughput screening (HTS) hit and progressed via iterative cycles of structure-guided design. Advanced compounds from this series exhibited subnanomolar affinity for MCL-1 and excellent selectivity over other BCL-2 family proteins as well as multiple kinases and GPCRs. In a MCL-1 dependent human tumor cell line, administration of compound **30b** rapidly induced caspase activation with associated loss in cell viability. The small molecules described herein thus comprise effective tools for studying MCL-1 biology.

bioorganic
methods
synthesis
mechanism
review
other

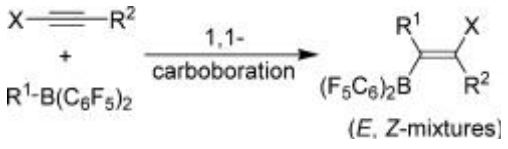
OM
Bryo

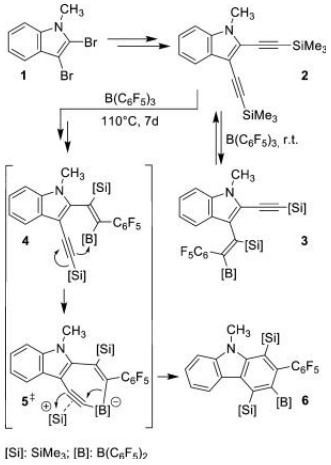
Hybrid
Drug Deliv.
Prostratin

Citation: Liedtke, R.; Tenberge, F.; Diniliuc, C.G.; Kehr, G.; Erker, G. *JOC,* **2015**, *80,* 2240-2248.

Benzannulation of Heterocyclic Frameworks by 1,1-Carboboration Pathways

This reaction proceeds through a unique 1,1-carboboration of TMS-substituted acetylenes. This is a reaction I have never seen before but might be a cool way of putting together terminal quinones by using Tamao-Fleming conditions on the silicons that are formed.





bioorganic
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mechanism
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other

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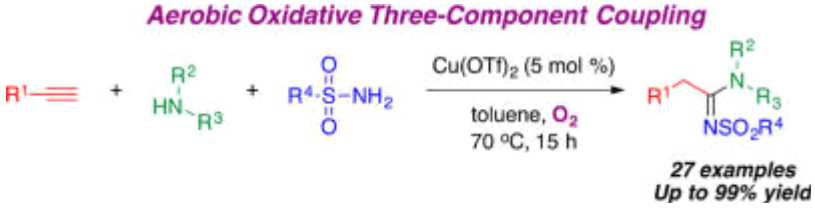
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Kim, J.; Stahl, S.S. *JOC,* **2015**, *80*, 1042-1051.

Cu-Catalyzed Aerobic Oxidative Three-Component Coupling Route to N.Sulfonyl Amidines via an Ynamine Intermediate



Seems like a fairly straight forward way of synthesizing amidines of this type. Pretty large substrate scope althought the secondary amine component is somewhat limited. There is also insight in the paper on how to work with ynamines which may be of interest to the New Reactions subgroup.

bioorganic
methods
synthesis
mechanism
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other

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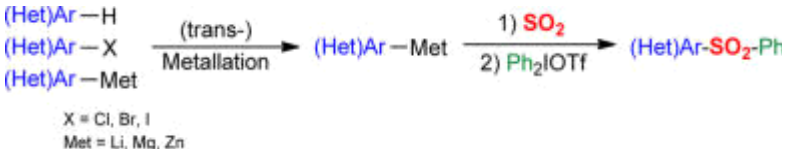
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Margraf, N.; Manolikakes, G. *JOC,* **2015**, *80,* 2582-2600.

One-Pot Synthesis of Aryl Sulfones from Organometallic Reagents and Iodonium Salts



Interesting, but conditions are not simple and require synthesis and use of aryl iodonium salts.

bioorganic
methods
synthesis
mechanism
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other

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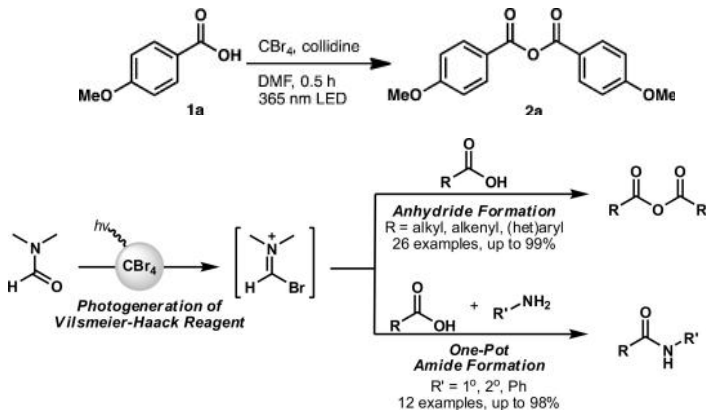
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: McCallum, T.; Barriault, L. *JOC,* **2015**, *80,* 2874-2878.

Light-Enabled Synthesis of Anhydrides and Amides

Yields are okay considering the group is isolating anhydrides. I think this type of chemistry could find quite a bit of use if appropriately expanded on. A pretty cool technique.



bioorganic
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mechanism
review
other

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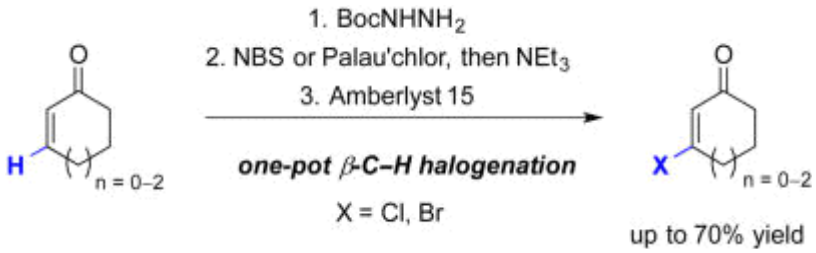
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Huber, T.; Kaiser, D.; Rickmeier, J.; Magauer, T. *JOC,* **2015**, *80*, 2281-2294.

Experimental Studies on the Selective beta-C-H Halogenation of Enones



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Citation: Xu, Z.; Ma, C.; *et al*. *JOC,* **2015**, *80*, 2835-2841.

Synthesis of Quinolinones with Palladium-Catalyzed Oxidative Annulation between Acrylamides and Arynes

Yields are only moderate, however the fact that they are effectively doing a [4+2] with an alpha-beta unsubstituted amide is pretty cool.

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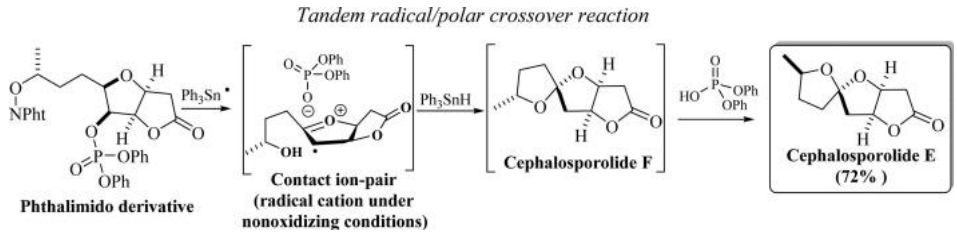
Citation: Cortezano-Arellano, O.; Quintero, L.; Sartillo-Piscil, F. *JOC,* **2015**, *80*, 2601-2608.

Total Synthesis of Cephalosporolide E via a Tandem Radical/Polar Crossover Reaction. The Use of the Radical Cations under Nonoxidative Conditions in Total Synthesis



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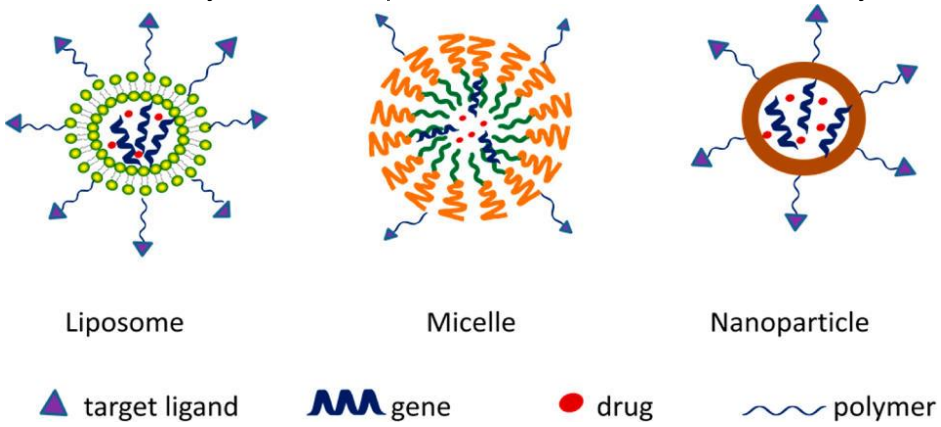
Citation: Mol. Pharmaceutics 2015, 12, 314–321.

Smart Polymeric Nanoparticles for Cancer Gene Delivery



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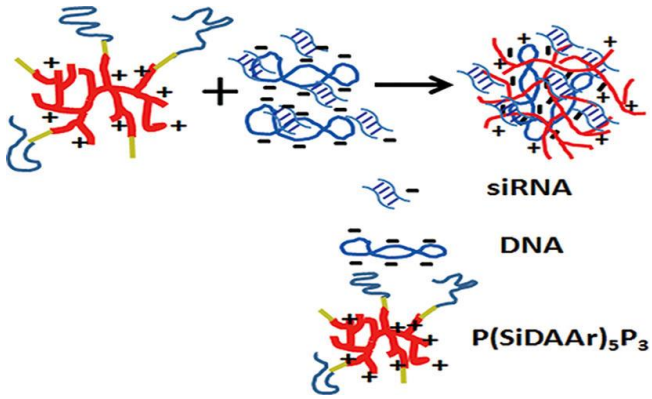
Citation: Mol. Pharmaceutics 2015, 12, 621–629.

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



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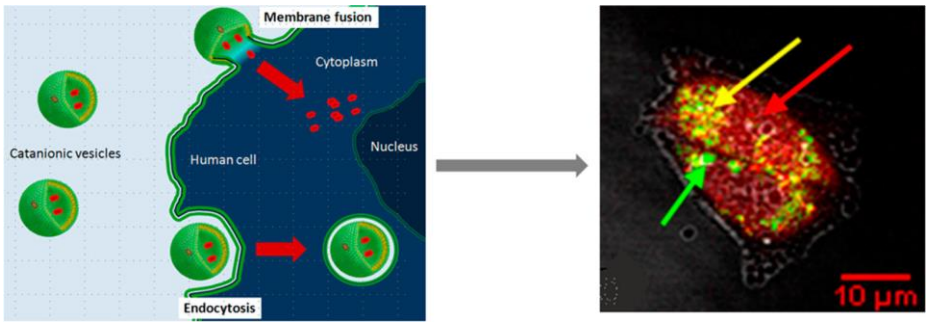
Citation: Mol. Pharmaceutics 2015, 12, 103–110.

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



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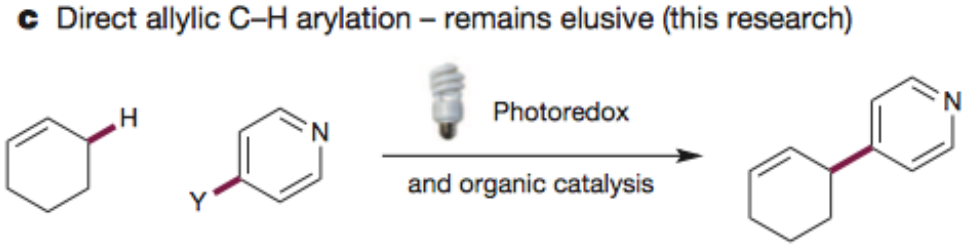
Citation: Cuthbertson, J. D.; MacMillan, D. W. C. *Nature*. **2015**, *519*, 74 .

The direct arylation of allylic sp^3 C-H bonds via organic and photoredox catalysis



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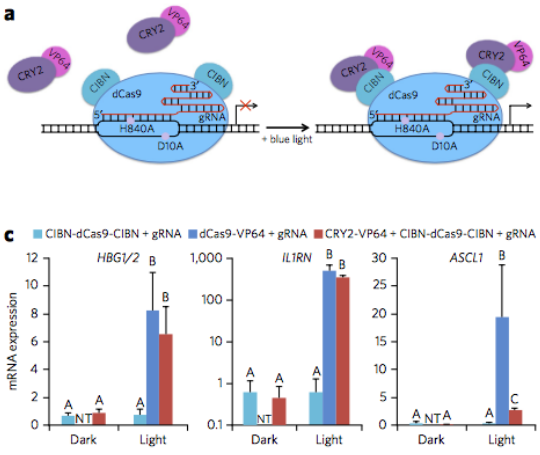
Citation: nature chemical biology | 2015, vol 11 p. 198

a light-inducible crispr-cas9 system for control of endogenous gene activation



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Citation:nature chemical biology | vol 11 | march 2015, p. 182.

collective antibiotic tolerance: mechanisms, dynamics and intervention

Bacteria have developed resistance against every antibiotic at a rate that is alarming considering the timescale at which new antibiotics are developed. Thus, there is a critical need to use antibiotics more effectively, extend the shelf life of existing antibiotics and minimize their side effects. This requires understanding the mechanisms underlying bacterial drug responses. Past studies have focused on survival in the presence of antibiotics by individual cells, as genetic mutants or persisters. Also important, however, is the fact that a population of bacterial cells can collectively survive antibiotic treatments lethal to individual cells. This tolerance can arise by diverse mechanisms, including resistance-conferring enzyme production, titration-mediated bistable growth inhibition, swarming and interpopulation interactions. These strategies can enable rapid population recovery after antibiotic treatment and provide a time window during which otherwise susceptible bacteria can acquire inheritable genetic resistance. Here, we emphasize the potential for targeting collective antibiotic tolerance behaviors as an antibacterial treatment strategy.

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Citation: nature chemical biology | VOL 11 | MARCH 2015, p. 177

Untwisting the antibiotic'ome

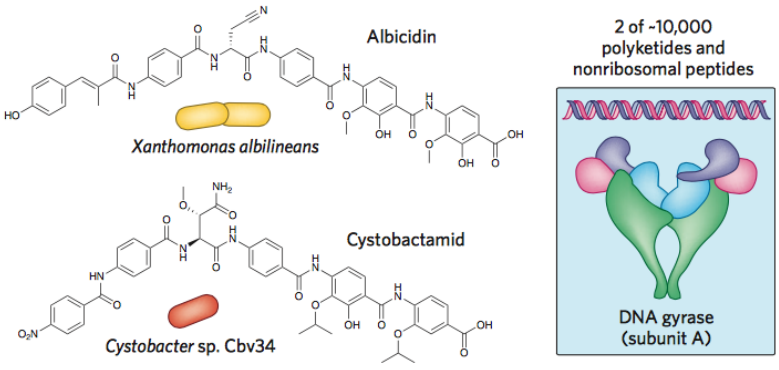

Figure 1 | New, exotic DNA gyrase inhibitors from the antibiotic'ome. After nearly 10,000 known polyketide and nonribosomal peptides have been surveyed, albicidin (top left) and the cystobactamids (bottom left) represent the first natural products to inhibit the A subunit of DNA gyrase—a clinically validated antibacterial target for synthetic quinolones.

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Citation: http://www.nytimes.com/2015/03/03/science/arming-the-immune-system-against-cancer.html

Arming the Immune System Against Cancer

An interview with Dr. James P. Allison about his pioneering work to unleash the immune system to destroy caner.

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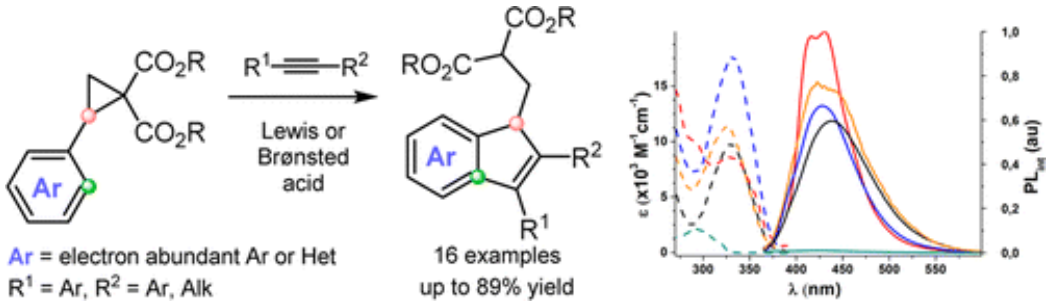
Citation: http://www.nytimes.com/2015/02/26/health/fast-track-attacks-on-cancer-accelerate-hopes.html	
A Faster Way to Try Many Drugs on Many Cancers There is a new national effort to try to treat cancer based not on what organ it started in, but on what mutations drive its growth. Cancers often tend to be fueled by changes in genes, or mutations, that make cells grow and spread to other parts of hte body. There are now an increasing number of drugs that block mutations in cancer genes and can halt a tumor's growth. Medical facilities are starting coordinated efforts to find answers. This spring, a federally funded national program will start to screen tumors in thousands of patients to see which might be attacked by any of at least a dozen new drugs. Those whose tumors have mutations that can be attacked will be given the drugs.	bioorganic methods synthesis mechanism review other OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: http://www.nytimes.com/2015/03/10/health/protection-without-a-vaccine.html	
Protection Without a Vaccine Last month, a team of scientists announced what could prove to be an enormous step forward in the fight against H.I.V. Scientists at Scripps Research Institute said they had developed an artificial antibody that, once in the blood, grabbed hold of the virus and inactivated it. The molecule can eliminate H.I.V. from infected monkeys and protect them from future infections. But this treatment is not a vaccine, not in any ordinary sense. By delivering synthetic genes into the muscles of the monkeys, the scientists are essentially re0engineering the animals to resist disease. This strategy is called immunoprophylaxis by gene transfter.	bioorganic methods synthesis mechanism review other OM **Bryo** DDO Hybrid Drug Deliv. Prostratin

Citation: http://www.theonion.com/articles/natural-selection-kills-38-quadrillion-organisms-i,37873/	
Natural Selection Kills 38 Quadrillion Organisms in Bloodiest Day Yet EARTH—In a seemingly unstoppable cycle of carnage that has become tragically commonplace throughout the biosphere, sources confirmed this morning that natural selection has killed an estimated 38 quadrillion organisms in its bloodiest day yet. Numerous reports from biomes on all seven continents revealed that over the past 24 hours, the ruthless biological phenomenon had ended the lives of a record 360 trillion animals and 908 trillion plants, along with 36.7 quadrillion fungi, protists, and bacteria.	bioorganic methods synthesis mechanism review other OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Rakhmankulov, E. R., et al. *Organic Letters*. **2015**, 17, 770-773

Lewis and Bronsted Acid Induced (3+2)-Annulation of Donor-Acceptor Cyclopropanes to Alkynes: Indene Assembly



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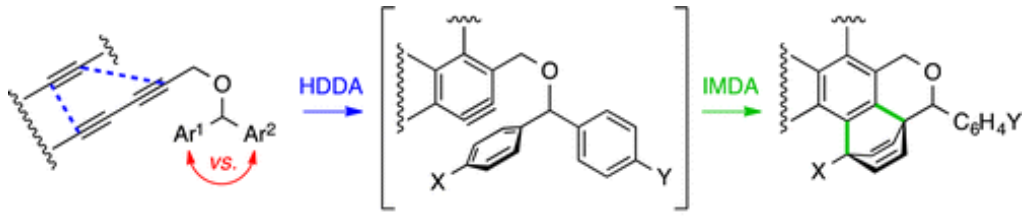
Prostratin

Citation: Pogula, V. D., et al. *Organic Letters*. **2015**, 17, 856-859

Intramolecular [4+2] Trapping of a Hexadehydro-Diels-Alder (HDDA) Benzyne by Tethered Arenes



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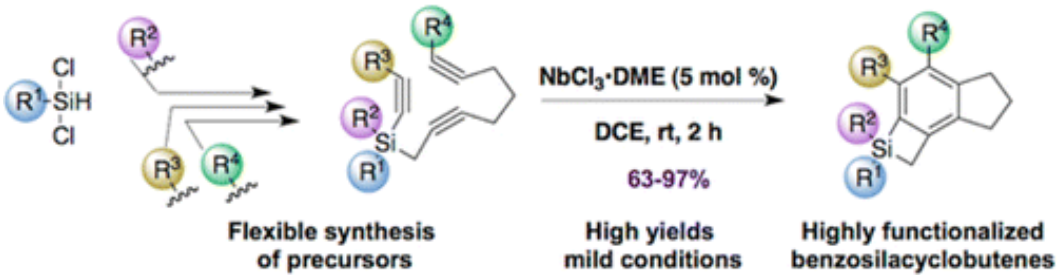
Citation: Simon, C. et al. *Organic Letters*. **2015**, 17, 844-847

Mild Niobium-Catalyzed [2+2+2] Cycloaddition of Sila-triynes: Easy Access to Polysubstituted Benzosilacyclobutenes



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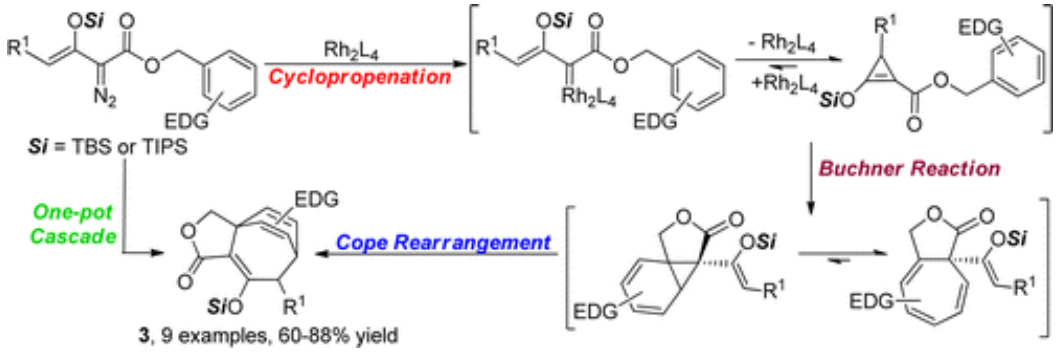
Citation: Xu, X., et al. *Organic Letters*. **2015**, 17, 790-793

Straightforward Access to the [3.2.2]Nonatriene Structural Framework via Intramolecular Cyclopropenation/Buchner Reaction/Cope Rearrangement Cascade



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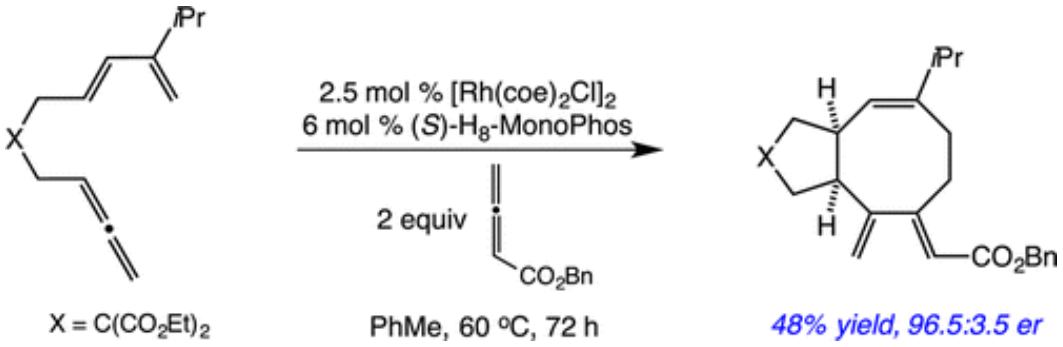
Citation: Lainhart, B., et al. *Organic Letters*. **2015**, 17, 1284-1287

Enantioselective Synthesis of cis-Fused Cyclooctanoids via Rhodium(I)-Catalyzed [4+2+2] Cycloadditions



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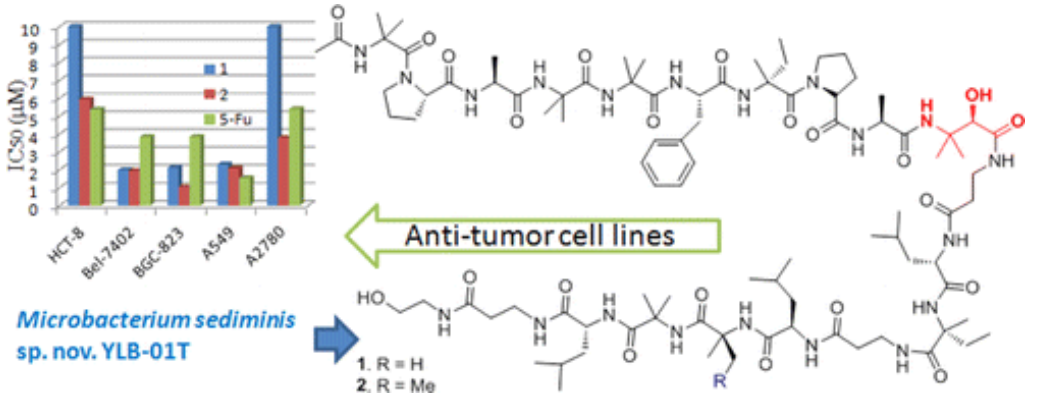
Citation: Liu, D., et al. *Organic Letters*. **2015**, 17, 1220-1223

Microbacterins A and B, New Peptaibols from the Deep Sea Actinomycete *Microbacterium sediminis* sp. nov. YLB-01(T)



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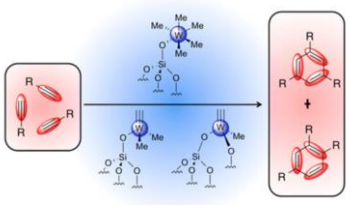
Citation: Riache, N.; *et al*. *Organometallics* **2015**, 34 (4), 690-695

Silica-Supported Tungsten Carbynes (,â˚SiO)$_x$W(,â˚CH)(Me)$_y$ (*x* = 1, *y* = 2; *x* = 2, *y* = 1): New Efficient Catalysts for Alkyne Cyclotrimerization



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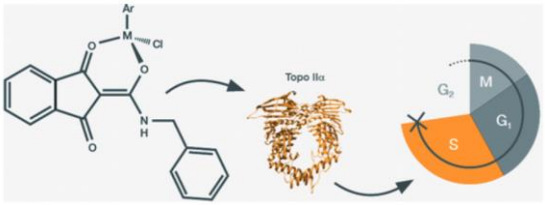
The activity of silica-supported tungsten carbyne complexes (,â°SiO)$_x$W(,â°CH)(Me)$_y$ (*x* = 1, *y* = 2; *x* = 2, *y* = 1) toward alkynes is reported. We found that they are efficient precatalysts for terminal alkyne cyclotrimerization with high TONs. We also demonstrate that this catalyst species is active for alkyne cyclotrimerization without the formation of significant alkyne metathesis products. Additional DFT calculations highlight the importance of the W coordination sphere in supporting this experimental behavior.

Citation: Mokesch, S.; *et al*. *Organometallics* **2015**, 34 (5), 848-857

1,3-Dioxoindan-2-carboxamides as Bioactive Ligand Scaffolds for the Development of Novel Organometallic Anticancer Drugs



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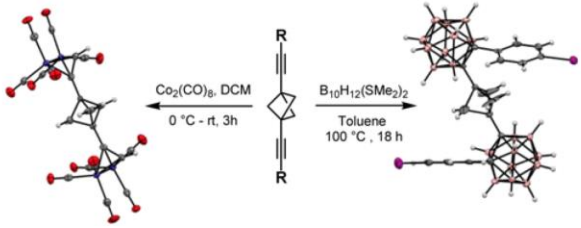
A series of novel 1,3-dioxoindan-2-carboxamide-based complexes were synthesized, designed to employ both the attributes of half-sandwich complexes and the topoisomerase inhibiting properties of the ligand scaffold. The compounds were characterized with standard analytical methods. Their stability in aqueous systems and the impact of either the metal center or the ligand scaffold on the affinity toward small biomolecules such as amino acids, DNA model compounds, and small proteins were determined by IT-ESI mass spectrometry. ..

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

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



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Octacarbonyl dicobalt and bis(dimethyl sulfide)decaborane $B_{10}H_{12}(Me_2S)_2$ were successfully added to 1,3-diethynylbicyclo[1.1.1]pentane in good yields. This is an interesting example of a cycloaddition reaction achieved next to the bicyclopentane cage that tends to rearrange in many other cases. It proves that both reagents attack the triple bond in a more or less concerted manner that prevents the rearrangement. Products of the latter reaction are of a particular interest because the bicyclopentane and *o*-carborane cages are immediately linked in their rodlike structures...

Citation: Fourts, T. R.; *et al.* *Proc. Natl. Acad. Sci. U.S.A.*, **2015**, *112*, E992-E999.

Balance of cellular and humoral immunity determine the level of protection by HIV vaccines in rhesus macaque models of HIV infection

Multiple studies strongly suggest that HIV/SIV-specific T-cell responses are a double-edged sword. On one hand, they are required for T-cell help in the protective antibody response. On the other had, they appear to mitigate protection by creating new targets for viral replication. Determining the balance between protective antibody responses and attenuating T-cell responses is a key challenge confronting HIV vaccine development.

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Citation: Mintz, P. J.; *et al*. *Proc. Natl. Acad. Sci. U.S.A.,* **2015**, *112*, 2515-2520.

Discovery and horizontal follow-up of an autoantibody signature in human prostate cancer

For the management of prostate cancer it has remained a significant clinical challenge to identify biomarkers that can be used as prognostic indicators to facilitate early treatment decisions and indicate patients at risk for castrate-resistant bone-metastatic prostate cancer in need of more aggressive treatment. In this report, serum antibodies to alpha-2-Heremans-Schmidt glycoprotein (fetuin-A) were demonstrated to display increased reactivity with concomitant development of metastatic castrate-resistant disease in a large cohor of prostate cancer patients.

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Citation: Lippincott-Schwartz, J. *Proc. Natl. Acad. Sci. U.S.A.*, **2015**, *112*, 2630-2632.

Profile of Eric Betzig, Stefan Hell, and W.E. Moerner, 2014 Nobel Laureates in Chemistry

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Citation: Xu, A. J.; *et al. Proc. Natl. Acad. Sci. U.S.A.,* **2015,** *112,* 2688-2692.

Hunger promotes acquisition of nonfood objects

Are hungry people more likely to acquire objects that cannot satisfy their hunger? Five laboratory and field studies show that hunger increases the accessibility of acquisition-related concepts and the intention to acquire not only food but also nonfood objects. Moreover, people act on this intention and acquire more nonfood objects (e.g., binder clips) when they are hungry, both when these items are freely available and when they must be pain for. However, hunger does not influence how much they like nonfood objects.

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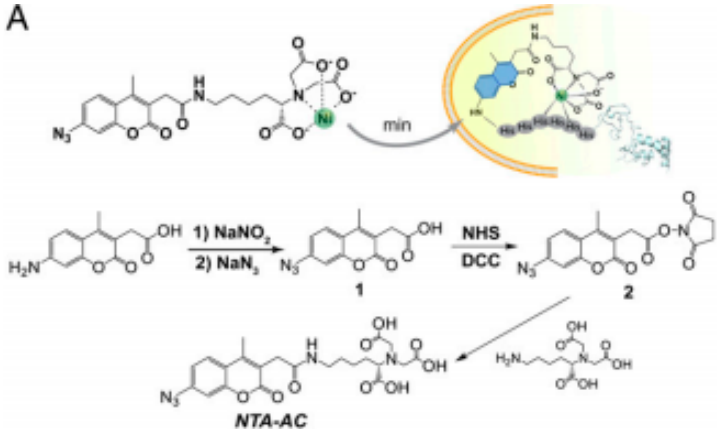
Citation: Lai, Y.-T.; *et al. Proc. Natl. Acad. Sci. U.S.A.,* **2015,** *112,* 2948-2953.

Rapid labeling of intracellular His-tagged proteins in living cells



The application of this tagging system to image proteins in live cells would offer significant opportunities to track cellular events with minimal spatial and functional perturbation on a protein of interest.

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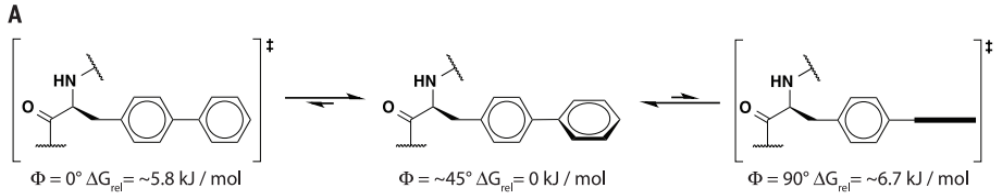
Citation: Pearson, A.D.; Mills, J.H.; Song, Y.; Nasertorabi, F.; Han, G.W.; Baker, D.; Stevens, R.C.; Schults, P.G. *Science*, **2015**, *347* (6224), 863-867.

Trapping a transition state in a computationally designed protein bottle

They desinged a protein and crystallized a biphenyl system in it such that it was entirely coplanar. Which is pretty baller



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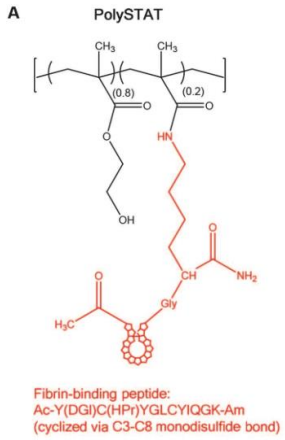
Citation: Science Translational Medicine 4 March 2015 Vol 7 Issue 277 277ra29

A synthetic fibrin cross-linking polymer for modulating clot properties and inducing hemostasis

Clotting factor replacement is the standard management of acute bleeding in congenital and acquired bleeding dis- orders. We present a synthetic approach to hemostasis using an engineered hemostatic polymer (PolySTAT) that cir- culates innocuously in the blood, identifies sites of vascular injury, and promotes clot formation to stop bleeding. PolySTAT induces hemostasis by cross-linking the fibrin matrix within clots, mimicking the function of the transglu- taminase factor XIII. Furthermore, synthetic PolySTAT binds specifically to fibrin monomers and is uniformly integrated into fibrin fibers during fibrin polymerization, resulting in a fortified, hybrid polymer network with enhanced resistance to enzymatic degradation.



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Citation: Science Translational Medicine 25 February 2015 Vol 7 Issue 276 276fs8

Tuberculosis vaccines: Time for a global strategy

We need a global strategy for the development of better tuberculosis vaccines. Good perspective article.Check it out.

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Citation: Science Translational Medicine

Scanning ultrasound removes amyloid-b and restores memory in an Alzheimer's disease mouse model

Amyloid-b (Ab) peptide has been implicated in the pathogenesis of Alzheimer's disease (AD). We present a non- pharmacological approach for removing Ab and restoring memory function in a mouse model of AD in which Ab is deposited in the brain. We used repeated scanning ultrasound (SUS) treatments of the mouse brain to remove Ab, without the need for any additional therapeutic agent such as anti-Ab antibody. Spinning disk confocal microscopy and high-resolution three-dimensional reconstruction revealed extensive internalization of Ab into the lysosomes of activated microglia in mouse brains subjected to SUS, with no concomitant increase observed in the number of microglia.

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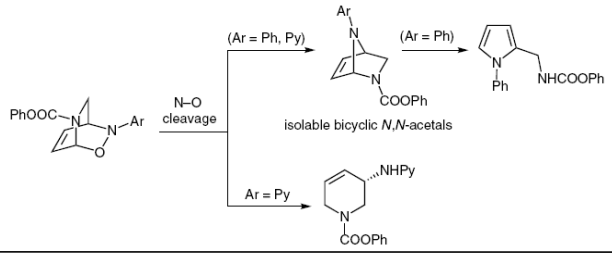
Citation: Berti, F.; Di Bussolo, V.; Pineschi, M.; Synthesis, 47, 647–652 (2015)

Synthesis of 2,7-Diazabicyclo[2.2.1]heptenes by N–O Bond Cleavage of Arylnitroso Diels–Alder 1,2-Dihydropyridine Cycloadducts

The cleavage of the N–O bond of nitrosoarene-derived cycloadducts with 1,2-dihydropyridines gives different products depending on the protecting group of the starting dihydropyridine and reaction conditions. The use of catalytic amounts of CuCl in combination with a N-phenoxycarbonyl-protected nitrosophenyl-derived cycloadduct allowed the unprecedented formation of the 2,7-diazabicycle[2.2.1]heptene scaffold. On the other hand, the application of different reductive conditions can deliver the corresponding bicyclic gem-diamine derivative or 3-aminotetrahydropyridine also in enantioenriched form.



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Hybrid
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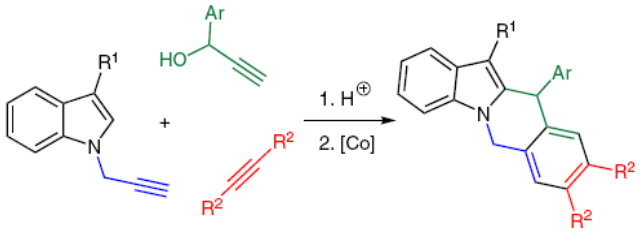
Prostratin

Citation: Swami, A.; Ramana, C. V.; Synlett, 26, 604–608 (2015)

Target cum Flexibility: Synthesis of Indolo[1,2-b]isoquinoline Derivatives via Cobalt-Catalyzed [2+2+2] Cyclotrimerization

A modular approach for the synthesis of small molecules having the unnatural 6,11-dihydroindolo[1,2-b]isoquinoline tetracyclic core has been documented. An acid-catalyzed Friedel–Crafts-type C2- alkylation of N-propargyl indole with a suitably activated alkynol has been used to prepare the key indole-derived diynes. The cobalt-catalyzed [2+2+2] cyclotrimerization of these diynes has been studied with various internal/terminal alkynes and with nitriles.



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