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Next Due Date: Monday, September 17, 2012

Instructions for Authors (Volume 37)

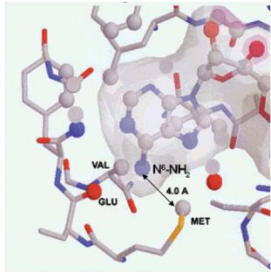
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent 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 jmattler@stanford.edu. Late abstracts will be included in the Lit Review for the following month.

Citation: Abeyweera, T.P.; Rotenberg, S.A. <i>Biochemistry</i> 2007 , <i>46</i> , 2364-2370	
<p style="text-align: center;">Design and Characterization of a Traceable Protein Kinase C-alpha</p> <p>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 (1ε-32P]-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.</p>	 <p style="text-align: right;">bioorganic asymmetric methods synthesis mechanism review other</p> <p style="text-align: right;">OM Bryo Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.</p>

Citation: Dictionary.com (search term = "mook")	
<p>For those of you who always wanted to know what it meant....</p> <p>mook Pronunciation Key (mk) <i>n. Slang</i> An insignificant or contemptible person.</p>	<p style="text-align: right;"><i>methods</i> synthesis</p>

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 not receive a printed copy of the Lit Review.
- You will get last choice when it's time to pick new journals.
- We will crack your corn (clean in half)

Citation: Guo, X.; Huang, L. *Acc. Chem. Res.*, **2012**, *45* (7), 971-979.

Recent Advances in Nonviral Vectors for Gene Delivery

Successful gene therapy is dependent on the development of an efficient delivery vector.

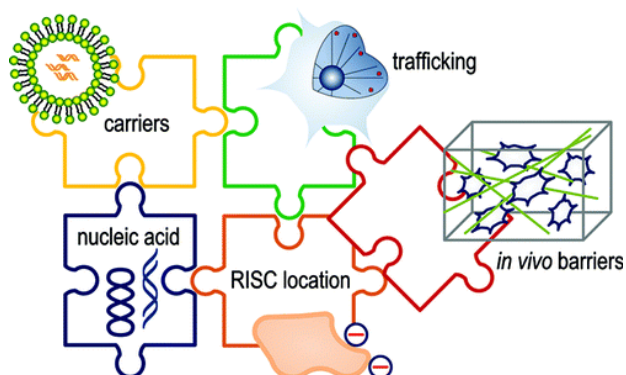
Researchers have pursued two major vehicles for gene delivery: viral and nonviral (synthetic) vectors. Although viral vectors currently offer greater efficiency, nonviral vectors, which are typically based on cationic lipids or polymers, are preferred because of safety concerns with viral vectors. So far, nonviral vectors can readily transfect cells in culture, but efficient nanomedicines remain far removed from the clinic. Overcoming the obstacles associated with nonviral vectors to improve the delivery efficiency and therapeutic effect of nucleic acids is thus an active area of current research. The difficulties are manifold, including the strong interaction of cationic delivery vehicles with blood components, uptake by the reticuloendothelial system (RES), toxicity, and managing the targeting ability of the carriers with respect to the cells of interest.

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Citation: Nguyen, J.; Szoka, F.C. *Acc. Chem. Res.*, **2012**, *45* (7), 1153-1162.

Nucleic Acid Delivery: The Missing Pieces of the Puzzle?



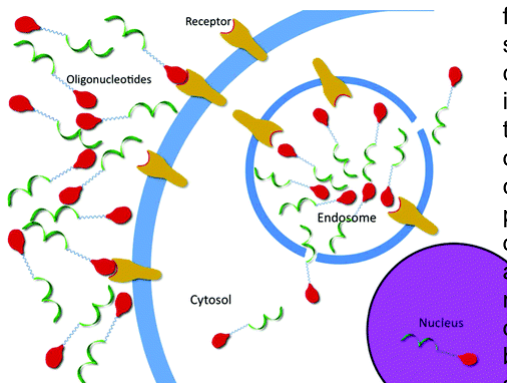
This Account describes current ideas about the mechanisms in nonviral nucleic acid delivery and how lipidic and polymeric carriers can overcome some of the critical barriers to delivery.

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Citation: Nakagawa, O.; Ming, X.; Juliano, R.L. *Acc. Chem. Res.*, **2012**, *45* (7), 1067-1076.

The Chemistry and Biology of Oligonucleotide Conjugates



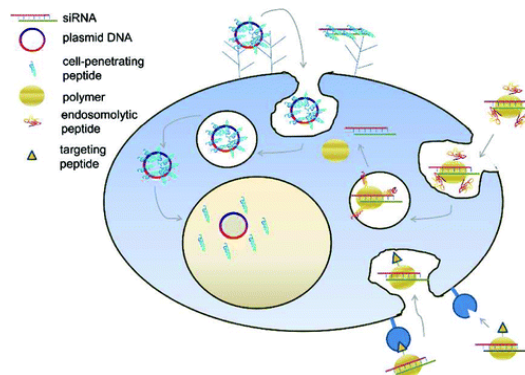
In this Account, we summarize some basic features of the biology of antisense and siRNA oligonucleotides. We then discuss chemical conjugation as an approach to improving the intracellular delivery and therapeutic potential of these agents. Instead of focusing on the details of conjugation chemistry, we emphasize the pharmacological ramifications of oligonucleotide conjugates. In one important approach to improving delivery and efficacy, researchers have conjugated oligonucleotides with ligands designed to bind to particular receptors and thus provide specific interactions with cells.

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Citation: Hoyer, J.; Neundorff, I. *Acc. Chem. Res.*, **2012**, *45* (7), 1048-1056.

Peptide Vectors for the Nonviral Delivery of Nucleic Acids



This Account focuses on peptide carrier systems for the cellular delivery of various types of therapeutic nucleic acids with a special emphasis on cell-penetrating peptides. We also emphasize the clinical relevance of this research through examples of promising in vivo studies. Because CPPs typically include many positively charged amino acids, those electrostatic interactions facilitate the formation of complexes between the carriers and the oligonucleotides.

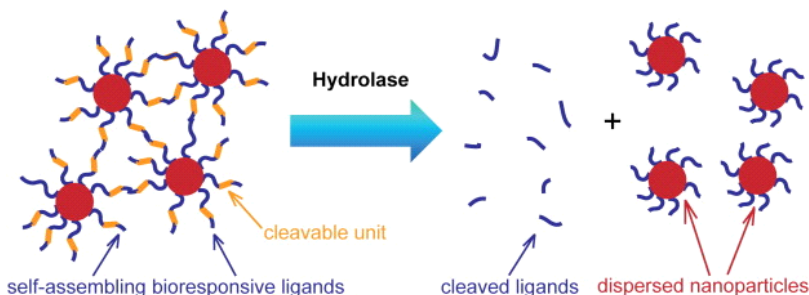
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Citation: *ADDR*, Volume 64, Issue 11, Aug 2012, Pages 967-978

Enzyme-responsive nanoparticles for drug release and diagnostics

Enzyme-responsive nanoparticles can be designed to perform functions efficiently and with high specificity for the triggering stimulus

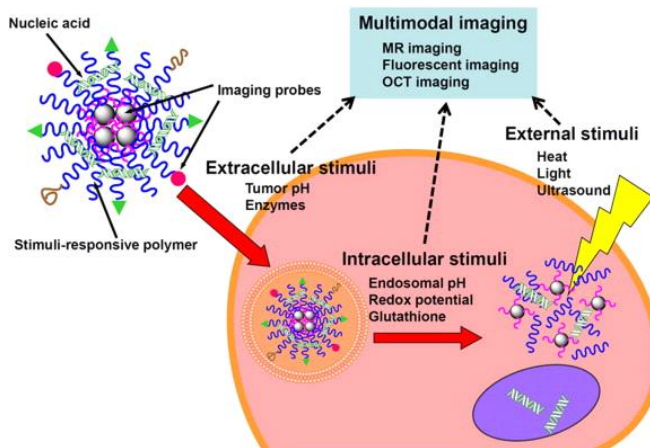


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Citation: *ADDR*, Volume 64, Issue 11, Aug 2012, Pages 967-978

This review introduces the recent advances in tackling the key challenges in achieving efficient, targeted, and safe nonviral gene delivery using various nucleic acid-containing nanomaterials that are designed to respond to various extra- and intracellular biological stimuli



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Citation: Zare, R. *Angew. Chem. Int. Ed.* **2012**, *51* (30), 7338-7339.

Assessing Academic Researchers

Editorial from Stanford Chemistry Department's former chairman on methods of evaluating young academics.



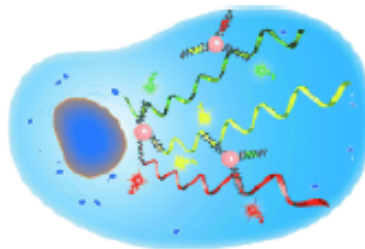
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Citation: Tang, B.; et. al. *Angew. Chem. Int. Ed.* **2012**, *51* (30), 7426-7430.

A Multicolor Nanoprobe for Detection and Imaging of Tumor-Related mRNAs in Living Cells

Multidetector: A novel nanoprobe, based on multicolor nanoflares, for the simultaneous detection and imaging of three tumor-related mRNAs in living cells has been developed. The nanoprobe possesses high specificity, nuclease stability, and good biocompatibility. It can also effectively distinguish cancer cells from normal cells and identify changes in the levels of mRNA expression.



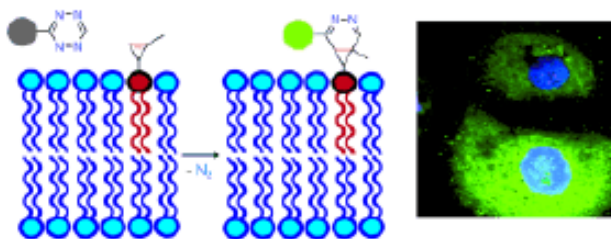
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Citation: Devaraj, N.; et al. *Angew. Chem. Int. Ed.* **2012**, *51* (30), 7476-7479.

Live-Cell Imaging of Cyclopropene Tags with Fluorogenic Tetrazine Cycloadditions

Spotlight on lipids: One of the major limitations of tetrazine bioorthogonal cycloadditions is the requirement of bulky dienophile reaction partners. Methylcyclopropene tags were designed capable of reacting rapidly with tetrazines while maintaining stability in aqueous solution. The suitability of these probes for bioconjugation is shown by imaging cyclopropene-modified phospholipids in live human cancer cells.



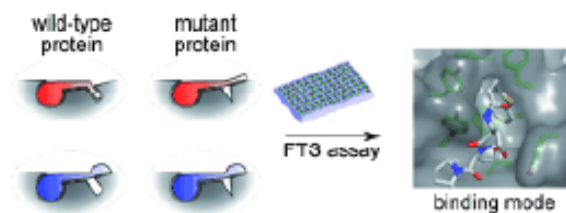
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Citation: Abell, C.; et al. *Angew. Chem. Int. Ed.* **2012**, *51* (31), 7680-7683.

High-Throughput Interrogation of Ligand Binding Mode Using a Fluorescence-Based Assay

Probing the pocket: A high-throughput fluorescence-based thermal shift (FTS) assay utilized different forms of a protein (in gray) to establish the binding mode of a ligand (see picture). The assay serves in the rapid evaluation of structure–activity binding-mode relationships for a series of ligands of Plk1, an important target of anticancer therapy.



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Citation: Gao, S.; et al. *Angew. Chem. Int. Ed.* **2012**, *51* (31), 7786-7789.

Asymmetric Synthesis and Biosynthetic Implications of (+)-Fusarisetin A

Starting from equisetin, the asymmetric synthesis of (+)-fusarisetin A has been accomplished in a one-pot transformation including a biomimetic oxidation and an intramolecular Diels–Alder/Roskamp reaction. Peroxyfusarisetin is proposed as a plausible biosynthetic intermediate based on studies of the oxidation of equisetin.



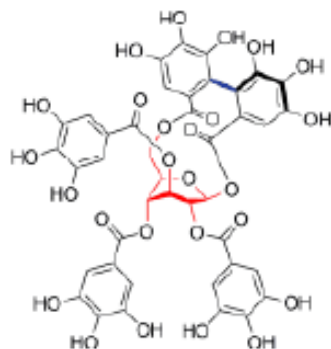
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Citation: Yamada, H.; et al. *Angew. Chem. Int. Ed.* **2012**, *51* (32), 7938-7941.

Total Synthesis of (+)-Davidiin

Quite strained: The total synthesis of (+)-davidiin, an ellagitannin with more substituents in axial than in equatorial positions, requires a conformational lock of the glucose, induced by steric repulsion between adjacent bulky silyloxy groups. This conformational lock played a pivotal role in 1) the β -selective formation of the glycosyl ester at the anomeric position, 2) the formation of the 1,6-HHDP bridge, and 3) the complete control of axial chirality in the aryl–aryl coupling.



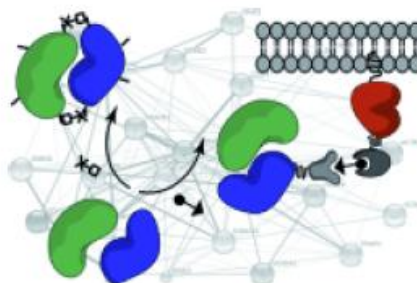
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Citation: Rutkowska, A.; Schultz, C. *Angew. Chem. Int. Ed.* **2012**, *51* (33), 8166-8176.

Protein Tango: The Toolbox to Capture Interacting Partners

In pairs: The key to understand living systems is enciphered in protein-protein interaction networks. For unraveling its complexity, a potent toolbox of small molecules (cross-linkers and chemical inducers of dimerization) is available to sense and induce the dynamic interaction processes in living cells.



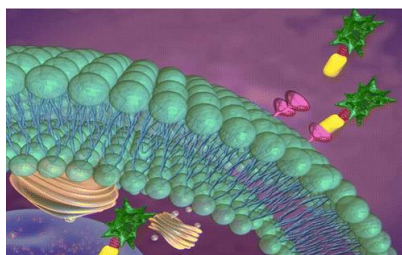
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Citation: *Bioconj. Chem.* **2012**, *23*, 1357-1369.

Engineering Folate-Drug Conjugates to Target Cancer: From Chemistry to Clinic

The folate receptor (FR) is a potentially useful biological target for the management of many human cancers. This review focuses on the methods utilized to construct folate-based small-molecule drug conjugates, with particular attention focused on modular design, hydrophilic spacers, and self-immolative linkers.



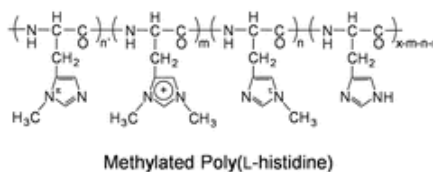
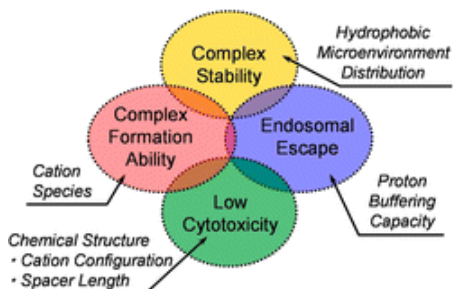
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Citation: *Bioconj. Chem.* **2012**, *23*, 1437-1442.

Synthesis and Characterization of Methylated Poly(L-histidine) To Control the Stability of Its siRNA Polyion Complexes for RNAi

Poly(L-histidine) (PLH) with dimethylimidazole groups has been synthesized as a pH-sensitive polypeptide to control the stability of its siRNA polyion complexes for RNAi. Distribution of alkylated and non-alkylated imidazole units is random.



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Arnaud, C. H. *Chemical & Engineering News*. 2012, 90 (30),10-13.

Diagnostics-Drugs Pairings Advance Personalized Medicine

Personalized medicine and companion diagnostics appear to be the way forward for drugs yet to be developed. Roche and Pfizer, both of which have had drugs approved under the pathway set up by FDA's guidance document, see companion diagnostics as a significant part of their future. Roche has set a goal of making sure at least half its drugs in early development have a companion diagnostic. "We're seriously committed to personalized health care," Hampton says.

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Hogue, C. *Chemical & Engineering News*. 2012, 90 (31), 9.

Senate Panel Adopts Chemicals Reform Bill

A Senate committee has approved legislation that would fundamentally alter the way the Environmental Protection Agency regulates commercial chemicals. The action marks the first congressional move toward reforming the Toxic Substances Control Act (TSCA), which has remained virtually unchanged since it was signed into law in 1976...

The bill differs significantly from current law. Under TSCA, chemicals are essentially presumed safe. EPA has the burden of showing that a substance on the market poses an unreasonable risk to human health or the environment before it can regulate the substance.

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Torrice, M.; Kemsley, J. *Chemical & Engineering News*. 2012, 90 (32), 7.

University of California Reaches Agreement in Connection with Charges in Lab Researcher's Death



Prosecutors have dropped an unprecedented set of felony charges against the Board of Regents of the University of California that stem from a 2008 lab fire and subsequent death of a young chemistry researcher at UC Los Angeles....

The Los Angeles County District Attorney's Office did not drop similar charges against UCLA chemistry professor Patrick Harran, who ran the laboratory where Sangji worked.

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Citation: Vendrell, M. *et al. Chem. Rev.* **2012**, *112*, 4392-4420.

Combinatorial Strategies in Fluorescent Probe Development

- 2. Target-Oriented Fluorescent Libraries
 - 2.1. Principles and Applications. Case Study: Probes for Metals
 - 2.4. Fluorescent Libraries for Volatile Analytes
- 3. Diversity-Oriented Fluorescent Libraries
 - 3.1. Combinatorial Derivatization of Known Fluorescent Scaffolds
 - 3.3.1. Small Molecule Libraries
- 4. In Vitro Fluorescence Screenings
 - 4.1. Fluorescence Spectra Profiling
 - 4.2. Evolution-Based Strategies
 - 4.2.1. Libraries Using Systematic Evolution of Ligands by Exponential Enrichment (SELEX)
 - 4.2.2. Display Libraries and Fluorescence Activated Cell Sorting (FACS)
- 5. Image-Based Fluorescence Screenings
 - 5.1. Recent Advances in High-Throughput Microscopy
 - 5.2. Cell-Based High-Throughput Screenings
 - 5.3. Tissue and Organism-Based Screenings
- 6. Trends and Prospects
 - 6.2. Design of New Chemical Architectures
 - 6.2.1. Multicolor and Multimodal Probes

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Citation: Alterio, V. *et al. Chem. Rev.* **2012**, *112*, 4421-4468.

Multiple Binding Modes of Inhibitors to Carbonic Anhydrases: How to Design Specific Drugs Targeting 15 Different Isoforms

- 2. Structural Features of α -CAs
- 3. Insights into CA Catalytic Mechanism: CO₂ and HCO₃⁻ Binding to hCA II
- 4. Insights into CA Inhibition: Structural Features of Zinc Binding Inhibitors
 - 4.1. Binding of Ureates and Hydroxamates
 - 4.2. Thiol Derivatives
 - 4.3. Metal-Complexing Anions
 - 4.4. Sulfonamides
 - 4.4.1. Benzenesulfonamides
 - 4.4.2. Thiophene, Thiaziazole, and Thiaziazoline Derivatives
 - 4.4.3. Sulfonamides Containing Other Ring Systems
 - 4.4.4. Thiazide Diuretics
 - 4.4.5. Aliphatic Sulfonamides
 - 4.5. Sulfamates and Sulfamides
 - 4.5.1. Aliphatic Sulfamates
 - 4.5.2. Sulfamate CAs Also Acting as Steroid Sulfatase and Aromatase Inhibitors
 - 4.6. Sulfonamides/Sulfamates/Sulfamides Containing Sugar Moieties
- 5. Insights into CA Inhibition: Structural Features of Non-Zinc-Binding Inhibitors
 - 5.1. Compounds Anchoring to the Zinc Bound Water Molecule
 - 5.1.1. Phenols
 - 5.1.2. Spermine and Related Polyamines
 - 5.2. Compounds Located at the Entrance of the Active Site: Coumarins and Lacosamide

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Citation: Zhu, C. *et al. Chem. Rev.* **2012**, *112*, 9194-9197.

Water-Soluble Conjugated Polymers for Imaging, Diagnosis, and Therapy

- 1. Introduction
 - 1.1. General Introduction of Water-Soluble Conjugated Polymers
 - 1.2. Synthesis and Properties of New WSCPs
 - 1.3. Mechanisms of WSCPs for Diagnosis, Imaging, and Therapy
- 2. Diagnostics with WSCPs
 - 2.1. Diagnostics of Microbial Infection
 - 2.2. Diagnostics of Tumor
 - 2.3. Detections of Disease-Related Biomarkers
- 3. Imaging with WSCPs
 - 3.1. Fluorescence Imaging of WSCPs In Vitro
 - 3.2. Fluorescence Imaging of WSCPs Ex/In Vivo
- 4. Biomedical Applications of WSCPs
 - 4.1. Drug Delivery and Release
 - 4.2. Gene Delivery
 - 4.3. Drug Screening
- 5. Photodynamic Therapy Using WSCPs as Light-Harvesting Complexes
 - 5.1. Anti-Microorganism Activity of WSCPs
 - 5.2. Anti-Tumor Activity of WSCPs
- 6. Concluding Remarks

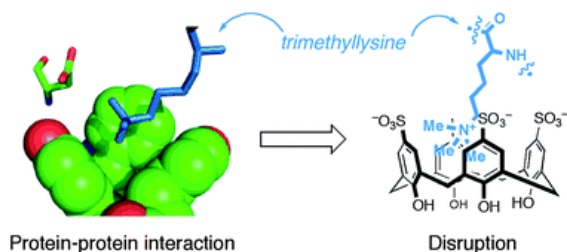
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Citation: K. D. Daze, T. Pinter, C. S. Beshara, A. Ibraheem, S. A. Minaker, M. C. F. Ma, R. J. M. Courtemanche, R. E. Campbell, F. Hof, *Chem. Sci.* **2012**, 3 (9), 2695

Supramolecular hosts that recognize methyllysines and disrupt the interaction between a modified histone tail and its epigenetic reader protein

Targeting post-translational modifications on unstructured protein tails using macrocyclic hosts provides a new approach to the disruption of protein–protein interactions.



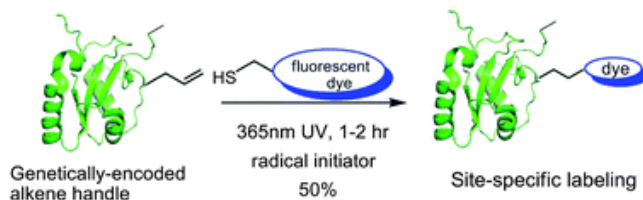
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Citation: Y. Li, M. Yang, Y. Huang, X. Song, L. Liu, P. R. Chen, *Chem. Sci.* **2012**, 3 (9), 2766

Zinc complex mediated regioselective O-acylation of therapeutic agents

A series of alkene-bearing pyrrolysine analogues were synthesized and subsequently incorporated into proteins at two sites by a mutant PyIRS–tRNA pair with excellent efficiency. This strategy allowed the site-specific labeling of proteins carrying single or double genetically encoded alkene handles via bioorthogonal thiol–ene ligation reactions.



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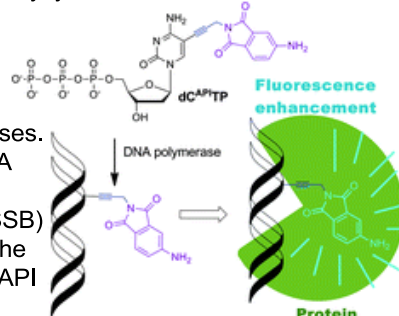
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Citation: J. Riedl, R. Pohl, N. P. Ernsting, P. Orsag, M. Fojta, M. Hocek, *Chem. Sci.* **2012**, 3 (9), 2797

Labelling of nucleosides and oligonucleotides by solvatochromic 4-aminophthalimide fluorophore for studying DNA–protein interactions

Solvatochromic fluorescent 4-aminophthalimide (API) and 4-(N,N-dimethylamino)phthalimide (DAPI) were attached covalently to 2'-deoxycytidine or -adenosine via a non-conjugated propargyl linker by Sonogashira cross-coupling reactions of N-propargyl-phthalimides with halogenated nucleosides. The nucleosides were phosphorylated to triphosphates and enzymatically incorporated into oligonucleotides by DNA polymerases.

API-labelled DNA was used for the detection of DNA protein interactions with either the sequence-specific p53 protein or a non-specific single strand binding (SSB) protein. Both proteins changed the polarity around the fluorophore and increased (2–3 fold) the intensity of API fluorescence



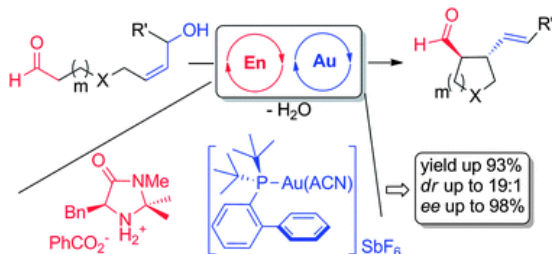
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Citation: M. Chiarucci, M. di Lillo, A. Romaniello, P. G. Cozzi, G. Cera, M. Bandini, *Chem. Sci.* **2012**, 3 (9), 2859

Gold meets enamine catalysis in the enantioselective α -allylic alkylation of aldehydes with alcohols

Synergetic gold(I)- and organo-catalysis proved to be efficient towards the intramolecular stereoselective α -allylic alkylation of aldehydes with simple primary and secondary alcohols. High diastereo- and enantioselectivity were recorded.



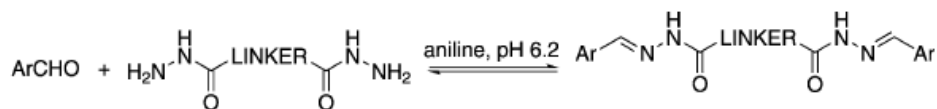
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DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Clipson, A.J.; *et al. Chem. Eur. J.*, **2012**, 18, 10562-10570.

Bivalent Enzyme Inhibitors Discovered Using Dynamic Covalent Chemistry

Chemistry



This particular paper looks like it could be applicable to Brandon's "DimerDAG" efforts. Using a number of different binding agents as well as different linkers, the authors are able to use a strategy where they synthesize their dimerized compounds while they are bound to the protein of question. I don't know if this same strategy would be applicable for the DAGs, but it was worth including.

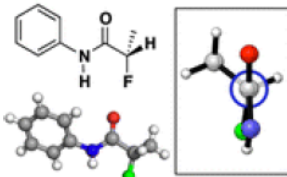
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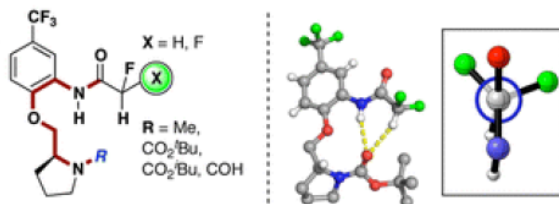
Citation: Can a C-H...O Interaction Be a Determinant of Conformation?

Can a C-H...O Interaction Be a Determinant of Conformation?

■ **Previous work:** α -fluoro amides adopt a *trans*-planar conformation



■ **This study:** can a C-H...O interaction be a *determinant* of conformation?

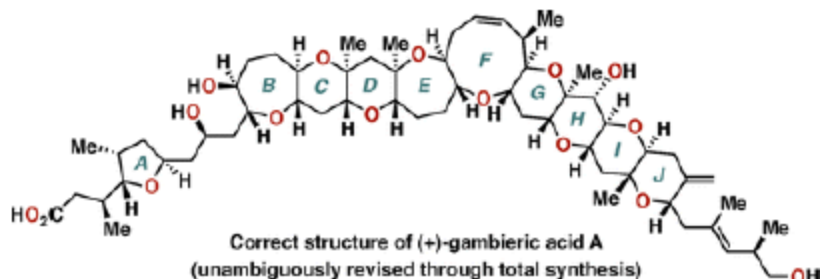


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DDO
Hybrid
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Citation: (1) Fuwa, H.; Ishigai, K.; Hashizume, K.; Sasaki, M. *J. Am. Chem. Soc.* 2012, 134, 11984–11987.

Total Synthesis and Complete Stereostructure of Gambieric Acid A

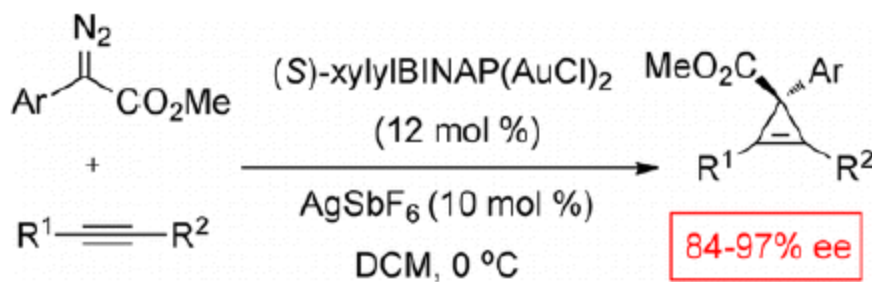


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Citation: (1) Briones, J. F.; Davies, H. M. L. *J. Am. Chem. Soc.* 2012, 134, 11916–11919.

Gold(I)-Catalyzed Asymmetric Cyclopropenation of Internal Alkynes

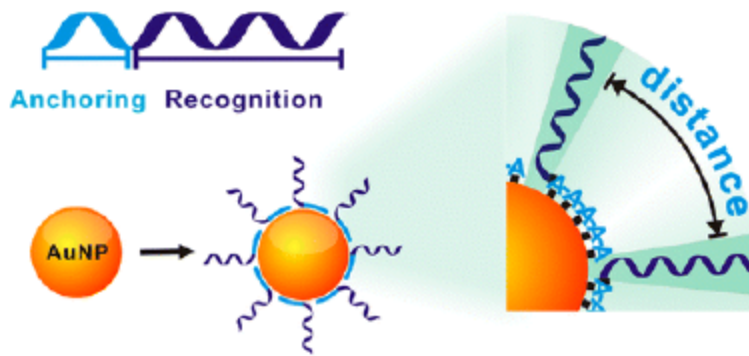


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DDO
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Citation: (1) Pei, H.; Li, F.; Wan, Y.; Wei, M.; Liu, H.; Su, Y.; Chen, N.; Huang, Q.; Fan, C. *J. Am. Chem. Soc.* 2012, 134, 11876–11879.

Designed Diblock Oligonucleotide for the Synthesis of Spatially Isolated and Highly Hybridizable Functionalization of DNA–Gold Nanoparticle Nanoconjugates

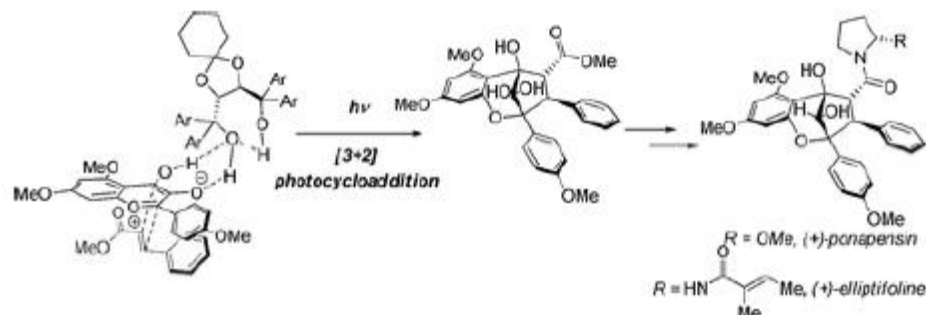


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DDO
Hybrid
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Prostratin

Citation: (1) Lajkiewicz, N. J.; Roche, S. P.; Gerard, B.; Porco, J. A. J. Am. Chem. Soc. 2012, 134, 13108–13113.

Enantioselective Photocycloaddition of 3-Hydroxyflavones: Total Syntheses and Absolute Configuration Assignments of (+)-Ponapensin and (+)-Elliptifoline

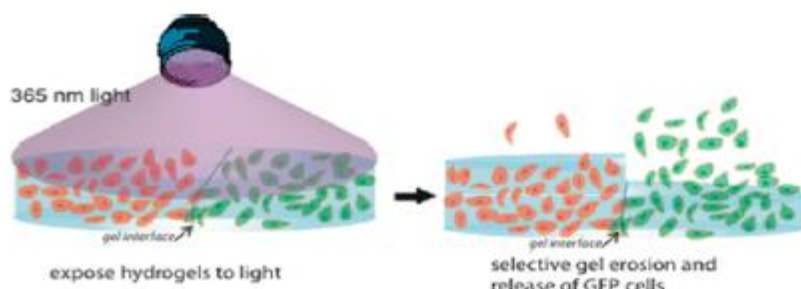


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Citation: (1) Griffin, D. R.; Kasko, A. M. J. Am. Chem. Soc. 2012, 134, 13103–13107.

Photodegradable Macromers and Hydrogels for Live Cell Encapsulation and Release

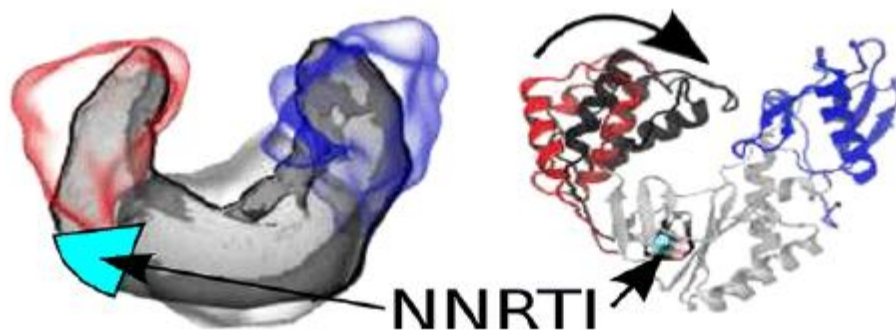


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Citation(1) Wright, D. W.; Sadiq, S. K.; De Fabritiis, G.; Coveney, P. V. J. Am. Chem. Soc. 2012, 134, 12885–12888.

Thumbs Down for HIV: Domain Level Rearrangements Do Occur in the NNRTI-Bound HIV-1 Reverse Transcriptase

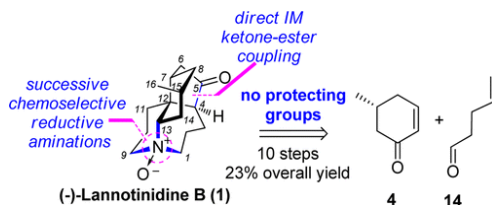


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Citation: Ge, H.M.; Zhang, L-D.; Tan, R.X.; Yao, Z-Y. J. Am. Chem. Soc., 2012, 134 (30), pp 12323–12325

Protecting Group-Free Total Synthesis of (-)-Lannotinidine B



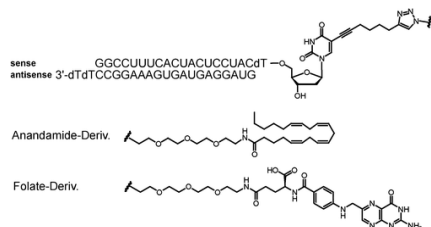
The first total synthesis of (-)-lannotinidine B, a unique tetracyclic constituent of *Lycopodium annotinum*, has been accomplished in 10 steps with 23% overall yield. The completed short and efficient synthesis is characterized with three highly chemo- and/or stereoselective reductive-amination steps to furnish the desired trans-fused 6/6 bicycle and the aza seven-membered ring system, and a direct intramolecular acyloin condensation to deliver the cyclopentanone moiety, as well as successful application of a protecting group-free strategy and an optimal redox order.

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Citation: Willibald, J.; Harder, J.; Sparrer, K.; Conzelmann, K-K.; Carell, T. J. Am. Chem. Soc., 2012, 134 (30), pp 12330–12333

Click-Modified Anandamide siRNA Enables Delivery and Gene Silencing in Neuronal and Immune Cells



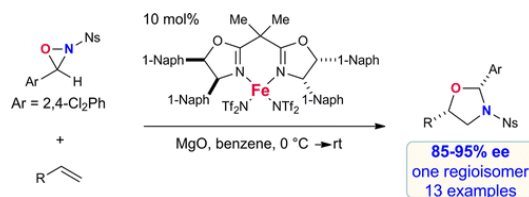
Click chemistry of alkyne-modified RNA with different receptor ligand azides was used to prepare 3'-folate, 3'-cholesterol, and, as a new entity, 3'-anandamide-modified RNA in high yields and excellent purity. The anandamide-modified RNA shows surprisingly high transfection properties and enables the delivery of siRNA even into difficult-to-transfect RBL-2H3 cells which model neuronal uptake. Furthermore, the system was employed in human immune cells (BJAB), demonstrating silencing effects similar to those of a cationic, benchmark transfection reagent.

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Drug Deliv.
Prostratin

Citation: Williamson, K.S.; Yoon, T.P. J. Am. Chem. Soc., 2012, 134 (30), pp 12370–12373

Iron Catalyzed Asymmetric Oxyamination of Olefins



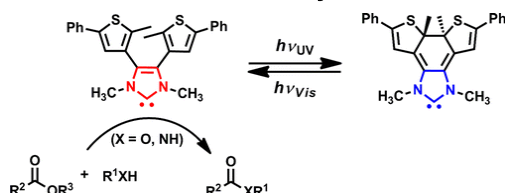
The regioselective and enantioselective oxyamination of alkenes with N-sulfonyl oxaziridines is catalyzed by a novel iron(II) bis(oxazoline) complex. This process affords oxazolidine products that can be easily manipulated to yield highly enantioenriched free amino alcohols. The regioselectivity of this process is complementary to that obtained from the analogous copper(II)-catalyzed reaction. Thus, both regioisomers of enantioenriched 1,2-aminoalcohols can be obtained using oxaziridine-mediated oxyamination reactions, and the overall sense of regiochemistry can be controlled using the appropriate choice of inexpensive first-row transition metal catalyst.

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Citation: Neilson, B.M.; Bielawski, C.W. *J. Am. Chem. Soc.*, 2012, 134 (30), pp 12693–12699

Photoswitchable Organocatalysis: Using Light To Modulate the Catalytic Activities of N-Heterocyclic Carbenes



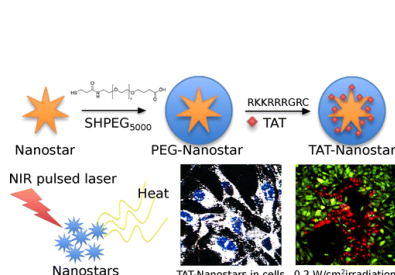
A 4,5-dithienylimidazolium salt was found to undergo electrocyclic isomerization upon exposure to UV radiation ($\lambda_{irr} = 313 \text{ nm}$) under neutral and basic conditions; subsequent exposure to visible light reversed the reaction. Under ambient light and in the presence of base, the imidazolium species catalyzed transesterifications as well as amidations in a manner similar to those of previously reported N-heterocyclic carbene precatalysts. However, upon UV irradiation to effect the aforementioned photocyclization, the rate of the transesterification reaction between vinyl acetate and allyl alcohol was significantly attenuated

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Citation: Yuan, H.; Fales, A.M.; Vo-Dinh, T. *J. Am. Chem. Soc.*, 2012, 134 (28), pp 11358–11361

TAT Peptide-Functionalized Gold Nanostars: Enhanced Intracellular Delivery and Efficient NIR Photothermal Therapy Using Ultralow Irradiance



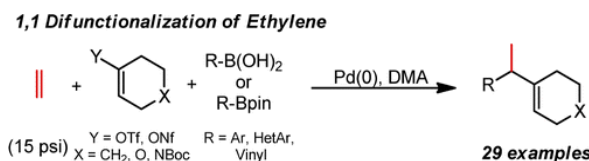
We show that TAT-peptide-functionalized gold nanostars (NS) enter cells significantly more than bare or PEGylated NS. The cellular uptake mechanism involves actin-driven lipid raft-mediated macropinocytosis, where particles primarily accumulate in macropinosomes but may also leak out into the cytoplasm. After 4-h incubation of TAT-NS on BT549 breast cancer cells, photothermolysis was accomplished using 850 nm pulsed laser under 0.2 W/cm² irradiation, below the maximal permissible exposure of skin. These results demonstrate the enhanced intracellular delivery and efficient photothermolysis of TAT-NS, promising agents in cancer therapy.

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Gnid/ Kirk
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Citation: Saini, V.; Sigman, M.S. *J. Am. Chem. Soc.*, 2012, 134 (28), pp 11372–11375

Palladium-Catalyzed 1,1-Difunctionalization of Ethylene



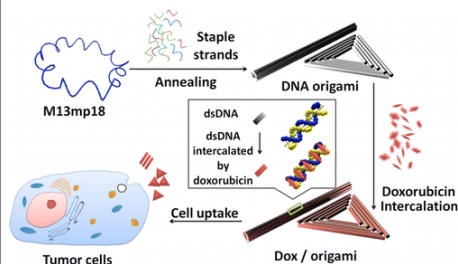
The 1,1-difunctionalization of ethylene, with aryl/vinyl/heteroaryl transmetalating agents and vinyl electrophiles, is reported. The reaction is high-yielding under a low pressure of ethylene, and regioselectivity is generally high for the 1,1-disubstituted product. The process is highlighted by the use of heteroaromatic cross-coupling reagents, which have not been competent reaction partners in previously reported efforts.

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Citation: Jiang, Q.; Song, C.; Nangreave, J.; Liu, X.; Lin, L.; Qiu, D.; Wang, Z-G.; Zou, G.; Liang, X.; Yan, H.; Ding, B. *J. Am. Chem. Soc.*, 2012, 134 (32), pp 13396–13403

DNA Origami as a Carrier for Circumvention of Drug Resistance



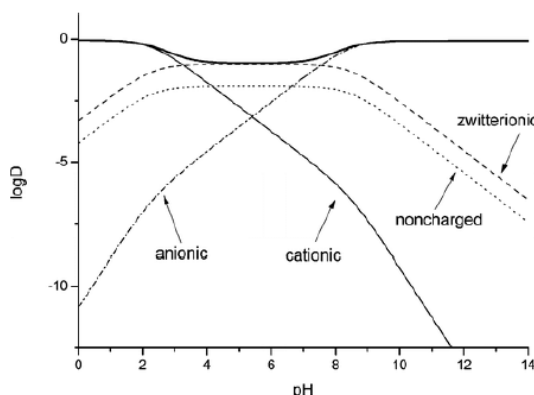
Here we present a novel drug carrier system based on self-assembled, spatially addressable DNA origami nanostructures. Doxorubicin was non-covalently attached to DNA origami nanostructures through intercalation. A high level of drug loading efficiency was achieved, and the complex exhibited prominent cytotoxicity not only to regular human breast adenocarcinoma cancer cells (MCF7), but more importantly to doxorubicin-resistant cancer cells, inducing a remarkable reversal of phenotype resistance. Presumably, the activity of doxorubicin-loaded DNA origami inhibits lysosomal acidification, resulting in cellular redistribution of the drug to action sites.

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Citation: Mazak, K.; Noszal, B. *J. Med. Chem.* 2012, 55, 6942-6947.

Zwitterions Can Be Predominant in Membrane Penetration of Drugs: Experimental Proof

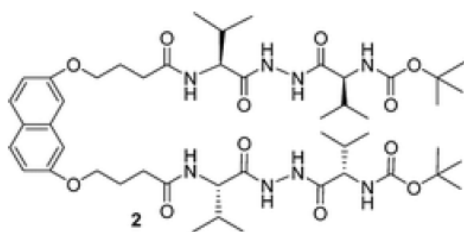


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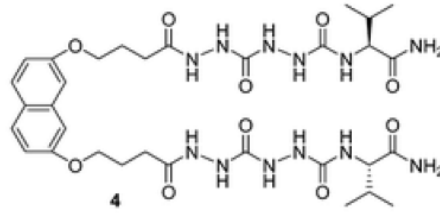
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Hybrid
Drug Deliv.
Prostratin

Citation: Dufau, L.; et. al. *J. Med. Chem.* 2012, 55, 6762-6775.

Carbonylhydrazone-Based Molecular Tongs Inhibit Wild-Type and Mutated HIV-1 Protease Dimerization



K_{id} (WT) = 50 nM
 K_{id} (ANAM-11) = 80 nM



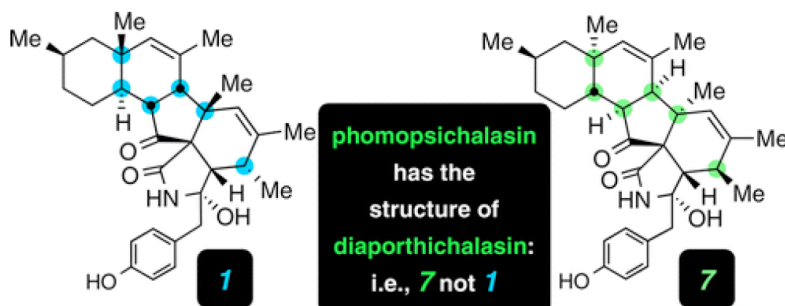
K_{id} (WT) = 700 nM
 K_{id} (ANAM-11) = 800 nM

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Citation: Brown, S. G.; Jansma, M. J.; Hoye, T. R. *J. Nat. Prod.* **2012**, *75*, 1326-1331.

Case Study of Empirical and Computational Chemical Shift Analyses: Reassignment of the Relative Configuration of Phomopsichalasin to That of Diaporthichalasin

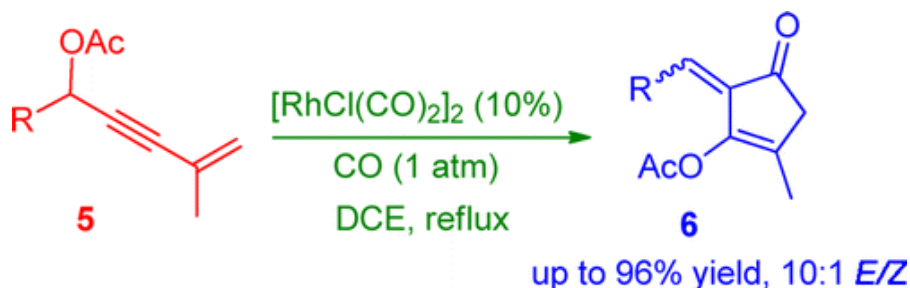


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Citation: Chen, W.; Tay, J.; X.; Pu, Lin. *J. Org. Chem.*, **2012**, *77* (14), 6215-6222.

Diastereoselective [4+1] Cycloaddition of Alkenyl Propargyl Aceates with CO Catalyzed by $[\text{RhCl}(\text{CO})_2]_2$

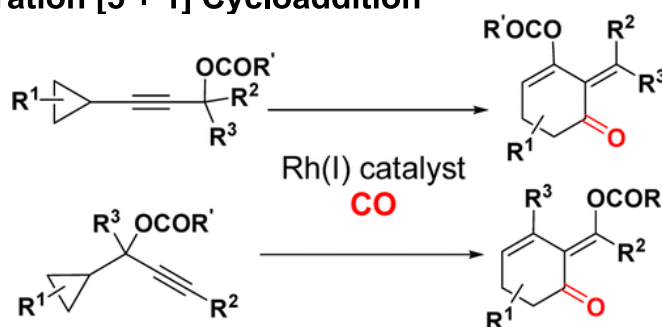


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Citation: Shu, D.; Li, X.; Zhang, M.; Robichaux, P.J.; Guzei, I.A. Tang, W. *J. Org. Chem.*, **2012**, *77* (15), 6463-6472.

Rhodium-Catalyzed Carbonylation of Cyclopropyl Substituted Propargyl Esters: A Tandem 1,3-Acyloxy Migration [5 + 1] Cycloaddition



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Citation: Ruano, J.L.G.; Torrente, E.; Parra, A.; Aldeman, J.; Martin-Castro, A.M. *J. Org. Chem.*, **2012**, 77 (15), 6583-6599.

Asymmetric Intramolecular Pauson-Khand Reaction Mediated by a Remote Sulfenyl or Sulfinyl Group



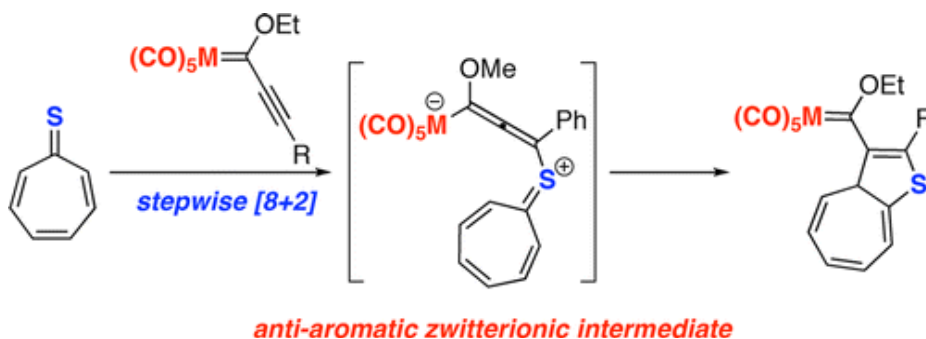
In this work, we report the use of the asymmetric intramolecular Pauson–Khand reactions of 4-aryl-4-cyano-1,6-enynes for obtaining enantiomerically enriched bicyclo[3.3.0]octenones, and the influence of both the quaternary stereocenter and the sulfur functions located at ortho-position of the aryl group, on their stereoselectivity and reactivity.

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Citation: Rivero, A.R.; Fernandez, I.; Sierra, M.A. *J. Org. Chem.*, **2012**, 77 (15), 6648-6652.

Regioselective and Stepwise [8+2] Cycloaddition Reaction between Alkynyl-Fischer Carbene Complexes and Trophothione



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Citation: Min, G.K.; Skrydstrup, T. *J. Org. Chem.*, **2012**, 77 (14), 5894-5906.

Regioselective Rh (I)-Catalyzed Sequential Hydrosilylation toward the Assembly of Silicon-Based Peptidomimetic Analogues



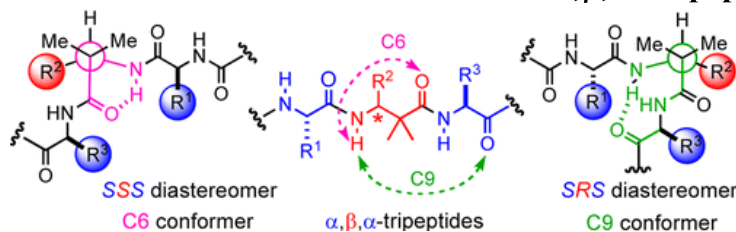
A highly regioselective Rh(I)-catalyzed hydrosilylation of enamides is presented. This mild protocol allows access to a wide variety of different arylsilanes with substitution at the β -position of the enamide and functionalization on the alkyl chain tethered to the silane.

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Citation: Saavedra, C.J.; Boto, A.; Hernandez, R.; Miranda, J.I.; Aizpurua, J.M. *J. Org. Chem.*, **2012**, *77* (14), 5907-5913.

Conformational and Chiral Effects in α,β,α -Tripeptides



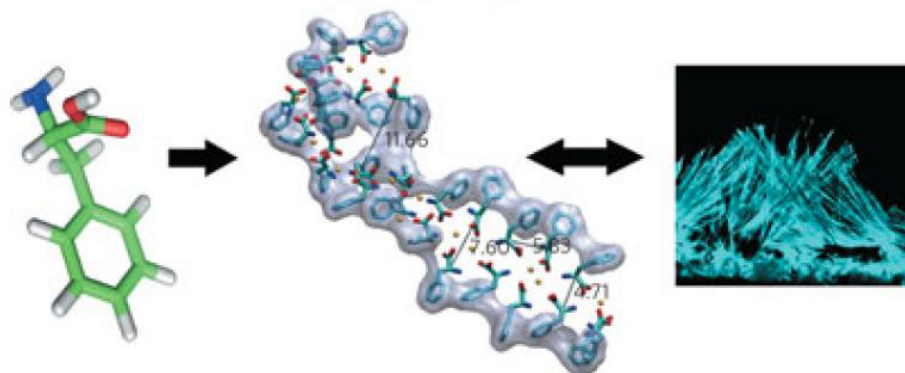
Short α,β,α -tripeptides comprising a central chiral trisubstituted β 2,2,3*-amino acid residue form unusual γ turns and δ -turns in CDCl₃ and DMSO-d₆ solutions but do not form β -turns.

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Citation: Adler-Abramovich, L.; et al. *Nat. Chem. Bio.* **2012**, *8*, 701-706.

Phenylalanine assembly into toxic fibrils suggests amyloid etiology in phenylketonuria

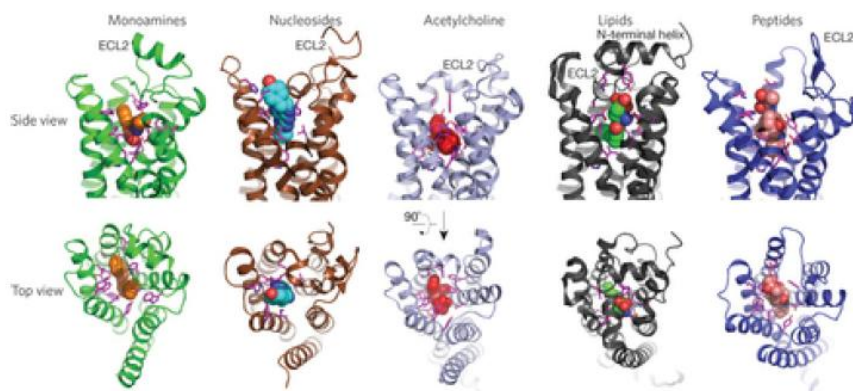


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Citation: Granier, S.; Kobilka, B. *Nat. Chem. Bio.* **2012**, *8*, 670-673.

A new era of GPCR structural and chemical biology



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Citation: <http://www.nytimes.com/2012/08/14/science/space/mars-looks-quiete-familiar-if-only-on-the-surface.html?ref=science>

Mars Looks Quite Familiar, if Only on the Surface

A conspiracy theorist might think that NASA's newest Mars rover, the Curiosity, is actually just in the middle of a desert on Earth.

Over the weekend, the Curiosity, which landed early on Aug. 6 after an eight-month flight, started sending back a 360-degree high-resolution panorama of its surroundings.

As the accompanying NASA news release noted, the images show "a landscape closely resembling portions of the southwestern United States."

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Citation: *The Onion*, <http://goo.gl/kb9Ia>

Study: Pretending Everything's Okay Works

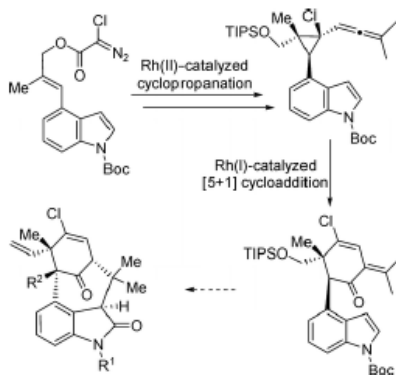
CAMBRIDGE, MA—A study released Thursday by researchers at Harvard University's Department of Psychology has found that the simple act of pretending one's life is not a complete shambles threatening to collapse at any moment works. "Even when everything is coming apart at the seams and disaster is almost certainly imminent, putting up a good front for friends and loved ones makes everything better," said Professor Christine Wanamaker, who explained that smiling a lot and evasive answers were usually enough to get by. "Tell everyone that things are fine, and they will be fine. Just don't over-think it." When asked about her study's methodology, Wanamaker said the research was rock-solid, had been looked over by a bunch of scientists, and definitely wasn't anything to worry about.

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Zhang, M.; Tang, W. *Org. Lett.* **2012**, *14*, 3756-3759.

Synthesis of Functionalized Cyclohexenone Core of Welwitindolinones via Rhodium-Catalyzed [5+1] Cycloaddition



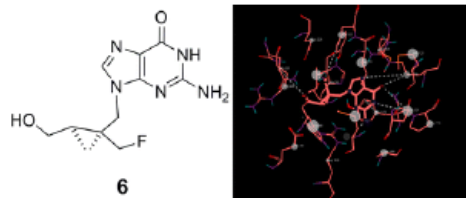
The cyclohexenone core of welwitindolinones was synthesized by a Rh(I)-catalyzed [5 + 1]-cycloaddition of an allenylcyclopropane with CO. A pentasubstituted cyclopropane was prepared successfully by a Rh(II)-catalyzed intramolecular cyclopropanation of alkenes with chlorodiazooacetates.

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Sundaram, G. S. M.; Harpriste, S. E.; Kao, J. L.-F.; Collins, S. D.; Sharma, V. *Org. Lett.* **2012**, *14*, 3568-3571.

A New Nucleoside Analogue with Potent Activity against Mutant sr39 Herpes Simplex Virus-1 (HSV-1) Thymidine Kinase (TK)



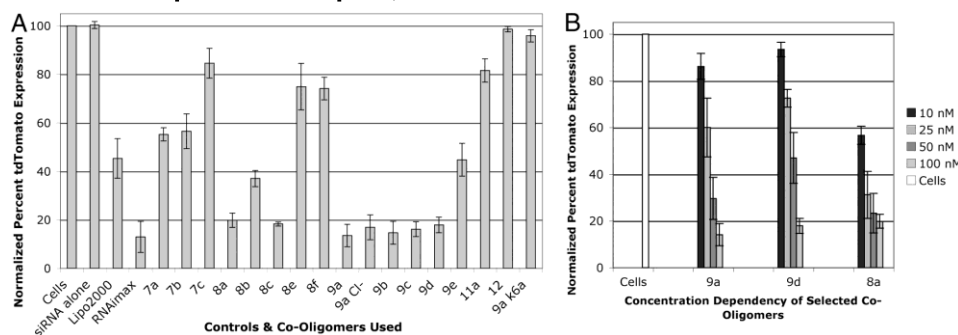
Nucleoside analogues, such as penciclovir, ganciclovir, acyclovir, and their fluoro-substituted derivatives, have wide utility as antivirals. Among these analogues, FHBG (18F-Fluorohydroxybutylguanine) is a well-validated PET probe for monitoring reporter gene expression...The synthesis of a new fluorinated nucleoside analogue 6 is reported. Agent 6 demonstrates selective activity against HeLa cells stably transfected with mutant HSV1-sr39TK and is also 47-fold more potent than FHBG.

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Citation: Geihe, E. I.; Cooley, C. B. *et al. Proc. Nat. Acad. Sci.* **2012**, *109* (33), 13171.

Designed guanidinium-rich amphipathic oligocarbonate molecular transporters complex, deliver and release siRNA in cells



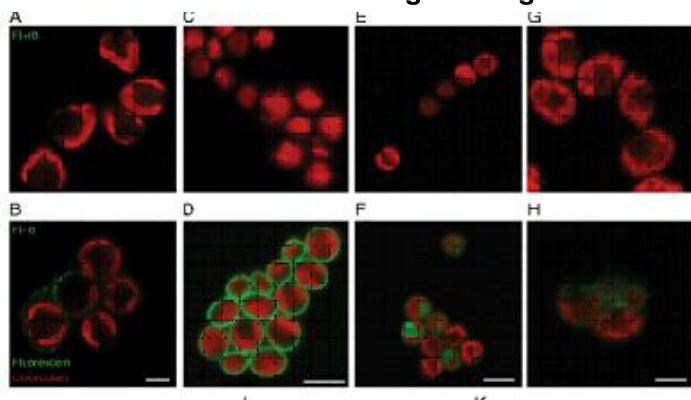
From our lab!!!

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Citation: Hyman, J. M.; Geihe, E. I.; Trantow, B. M.; Parvin, B.; Wender, P. A. *Proc. Nat. Acad. Sci.* **2012**, *109* (33), 13225.

A molecular method for the delivery of small molecules and proteins across the cell wall of algae using molecular transporters



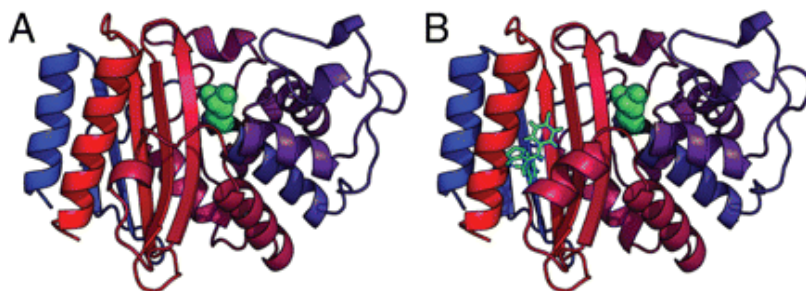
Another from our lab!!!

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Citation: Bowman, G. R.; Geissler, P. L. *Proc. Nat. Acad. Sci.* **2012**, *109* (29), 11681.

Equilibrium fluctuations of a single folded protein reveal a multitude of potential cryptic allosteric sites



Crystal structures of TEM-1 β -lactamase (A) in the absence of ligand and (B) in the presence of an allosteric inhibitor that reveals a cryptic binding site between helices 11 and 12.

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Citation: Zheng, D. *et al. Proc. Nat. Acad. Sci.* **2012**, *109* (30), 11975.

Topical delivery of siRNA-based spherical nucleic acid nanoparticle conjugates for gene regulation

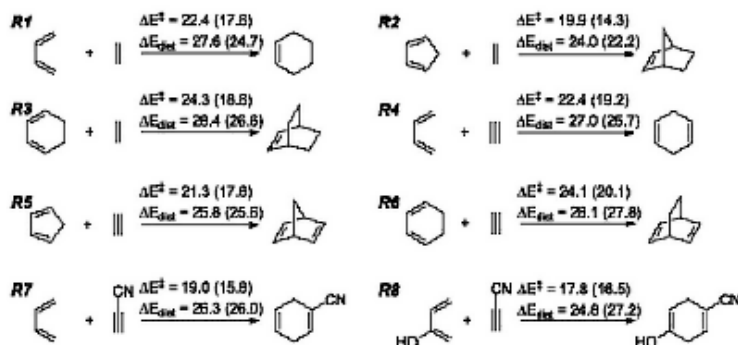
They show that spherical nucleic acid nanoparticle conjugates (SNA-NCs), gold cores surrounded by a dense shell of highly oriented, covalently immobilized siRNA, freely penetrate almost 100% of keratinocytes in vitro, mouse skin, and human epidermis within hours after application. Significantly, these structures can be delivered in a commercial moisturizer or phosphate-buffered saline, and do not require barrier disruption or transfection agents, such as liposomes, peptides, or viruses.

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Citation: Houk, K. N. *et al. Proc. Nat. Acad. Sci.* **2012**, *109* (32), 12860.

Dynamics, transition states, and timing of bond formation in Diels-Alder reactions

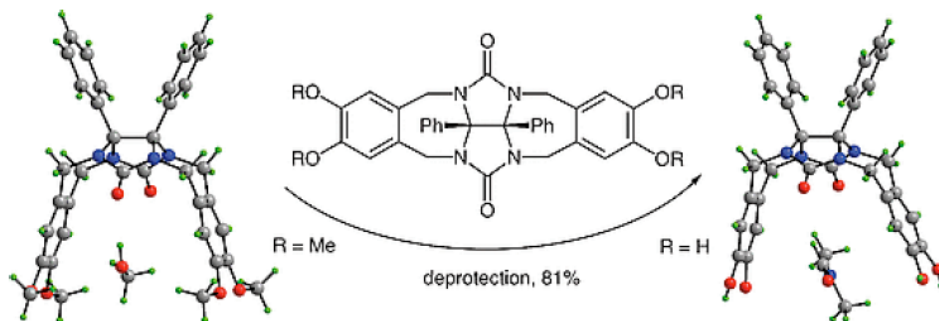


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Citation: Kikot, L. S.; Lyapunov, A. Y.; Zubatyuk, R. I.; Shishkin, O. V.; Kirichenko, T. I., *Syn. Lett.* **2012**, 1897-1900.

Molecular Clip Based on Diphenylglycoluril and Catechol: Promising Building Block of Supramolecular Structures

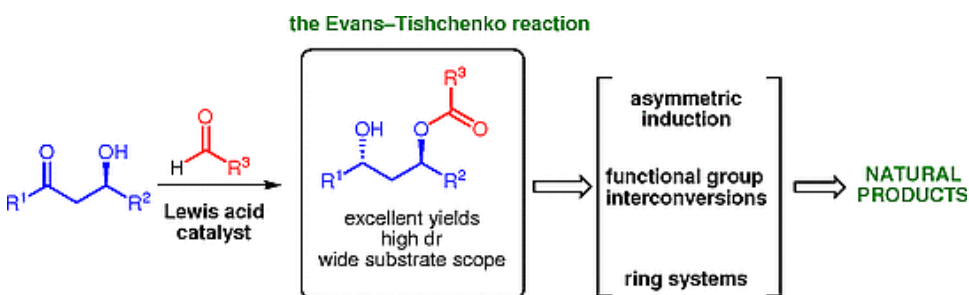


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Citation: Ralston, K. J.; Hulme, A. N. *Synthesis* **2012**, 44(15), 2310.

The Evans-Tishchenko Reaction: Scope and Applications

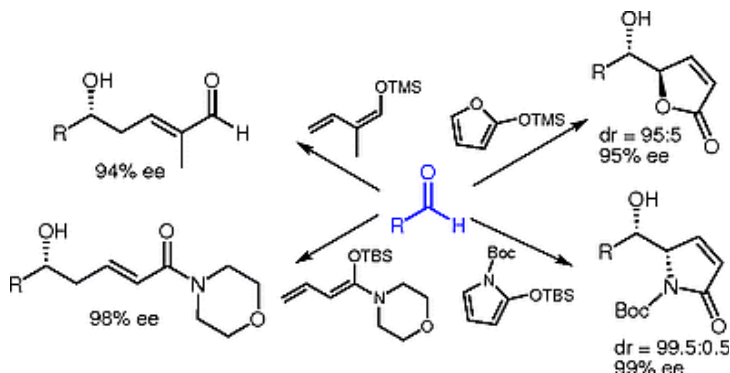


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Citation: Miao, Z.; Chen, F. *Synthesis* **2012**, 44(16), 2506.

Asymmetric Aldol Reactions of Heterocyclic Dienolsilanes and α, β -Unsaturated Carbonyl Derived Dienolsilanes



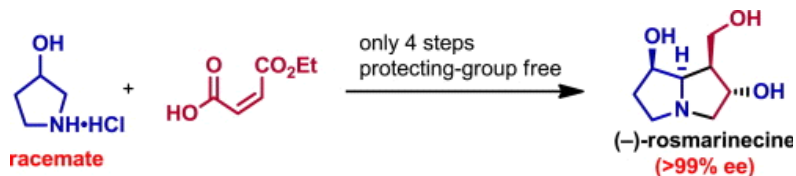
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Citation: H. Nemoto, K. Tanimoto, Y. Kanao, S. Omura, Y. Kita, S. Akai, *Tetrahedron* **2012**, 68 (36), 7295

Protecting-group-free catalytic asymmetric total synthesis of (-)-rosmarinecine

The protecting-group-free asymmetric total synthesis of (-)-rosmarinecine was achieved in only four steps from the commercially available (\pm)-3-hydroxypyrrolidine hydrochloride (2a). The key steps include the direct oxidation of (\pm)-2a to (\pm)-3-hydroxy-1-pyrroline N-oxide (1a) using the Davis reagent and the domino reaction; viz., the lipase-catalyzed dynamic kinetic resolution of (\pm)-1a with 1-ethoxyvinyl ethyl maleate followed by the intramolecular [3+2] dipolar cycloaddition reaction of the generated optically active ester. Some insights into the mechanism of the racemization of the optically active 1a, observed during the enzymatic process, were also obtained.



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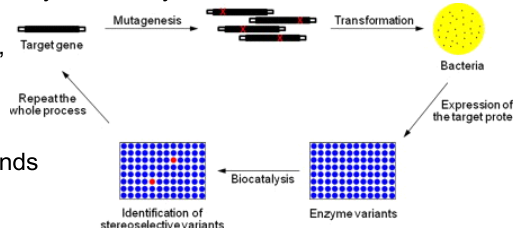
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Citation: M. T. Reetz, *Tetrahedron* **2012**, 68 (37), 7530

Laboratory evolution of stereoselective enzymes as a means to expand the toolbox of organic chemists

On the occasion of the 2011 *Tetrahedron Prize for Creativity in Organic Chemistry*, Trends in Catalysis and Biocatalysis being given to Manfred Reetz

This is a personal account of the author's research in the area of directed evolution of the past 15 years. It focuses on a novel concept first reported in 1997, which has proven to be useful in the development of catalysts for asymmetric transformations in synthetic organic chemistry. When performing such reactions, organic chemists can choose between synthetic transition metal catalysts, organocatalysts or enzymes. However, the latter suffer from traditional disadvantages, such as the often observed insufficient stereoselectivity, limited substrate scope and/or rate. The concept of laboratory evolution of stereoselective mutant enzymes eliminates these long-standing limitations and therefore extends the toolbox of synthetic organic chemistry.



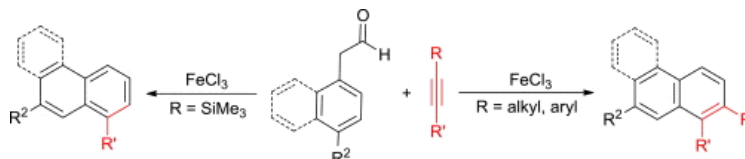
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Citation: X. Bu, L. Hong, R. Liu, J. Hong, Z. Zhang, X. Zhou, *Tetrahedron* **2012**, 68 (38), 7960

Regioselective synthesis of substituted naphthalenes and phenanthrenes by FeCl₃-promoted annulation of aryl and naphthyl acetaldehydes with alkynes

The FeCl₃-promoted annulation reaction of aryl acetaldehydes with alkynes has been established, which provides a new and versatile straightforward procedure for the regioselective synthesis of mono-, di-, and polysubstituted naphthalenes under mild conditions. Interestingly, the present catalytic system not only differentiates between internal and terminal alkynes but also shows unprecedented complete Me₃SiOH elimination selectivity for silyl alkyne substrates. Furthermore, the synthesis of a series of substituted phenanthrenes via reactions of naphthyl acetaldehydes with internal alkynes is also achieved for the first time in good yields with excellent regioselectivity.

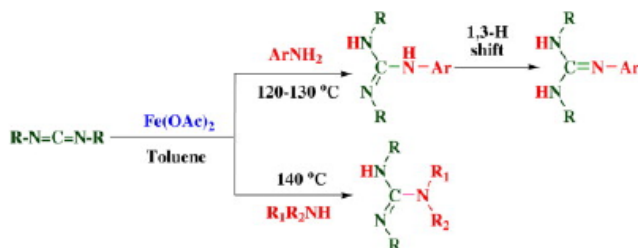


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Citation: Pottabathula, S., Royo, B. *Tetra. Lett.* **2012**, 53, 5156-5158

First iron-catalyzed guanylation of amines: a simple and highly efficient protocol to guanidines



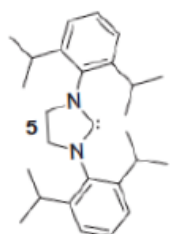
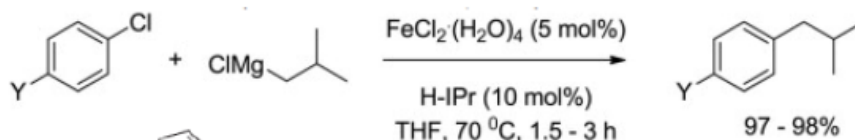
Attractive features: Catalyst is commercial and non-air sensitive. Substrate scope includes basic amines, aryl amines, nonaromatic heterocycles, and aromatic heterocycles, all with and without substituents. Yields up to 97%

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Citation: Perry, M.C., Gillett, A.N., Law, T.C. *Tetra.Lett.* **2012**, 53, 4436-4439

An unprecedented iron-catalyzed cross-coupling of primary and secondary alkyl Grignard reagents with non-activated aryl chlorides



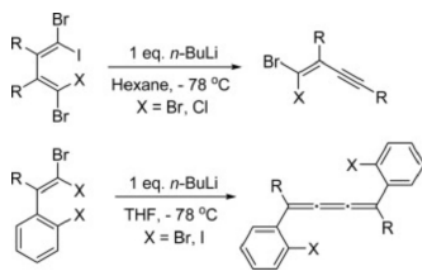
5 Carbene Ligand

Yields: 43-98%
Primary > Secondary Grignards

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Citation: Meng, T.; Zhang, H.; Xi, Z. *Tetrahedron Letters*, **2012**, 53(34), 4555-4557

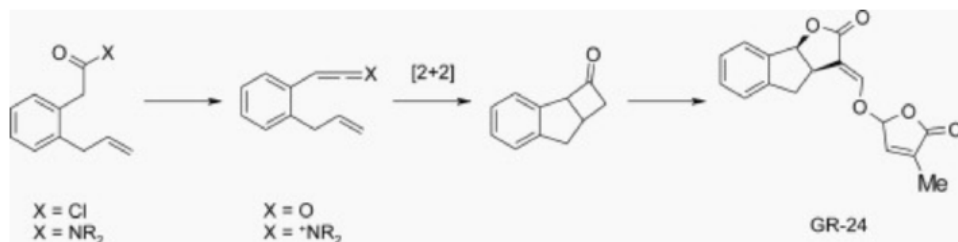


gem-Dihaloynes were synthesized in high yields from 1,1,4,4-tetrahalo-1,3-butadienes through the Fritsch–Buttenberg–Wiechell (FBW) rearrangement mediated by an organolithium compound. Butatriene derivatives could be obtained efficiently via an organolithium-mediated reaction of o-halo-(2,2-dihalo-1-vinyl)benzenes.

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Citation: Lachia, M.; Jung, P.; Mesmaeker, A. *Tetrahedron Letters*, 2012, 53(34), 4514-4517



An intramolecular [2+2] cycloaddition of ketenes and ketene-iminium was developed for the preparation of GR-24, a synthetic analogue of the family of strigolactone plant hormones. Excellent levels of regioselectivity and of chiral induction were obtained using a bulky chiral amine for the formation of the cyclobutanone and a subsequent regioselective Baeyer–Villiger afforded the tricyclic lactone core of (+)-GR-24.

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