Accounts of Chemical Research	230	Daniel Buehler
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ACS Medicinal Chemistry Letters	231	Xiaoyu Zang (Janice)
ACS Nano	231	Daniel Buehler
Advanced Drug Delivery Reviews	233	Melanie Huttner
Angewandte Chemie International Edition	233	Daryl Staveness
Bioconjugate Chemistry	235	Filip Hessler
Biomaterials	N/A	Colin McKinlay
Bioorganic and Medicinal Chemistry	236	Nancy Benner
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Chemical Communications	237	Katie Near
Chemical & Engineering News	238	Matthew Stevens
Chemical Reviews	N/A	Jennifer Mattler
Chemical Science	239	Daniel Buehler
Chemistry, A European Journal	239	Xiaoyu Zang (Janice)
European Journal of Organic Chemistry	242	Filip Hessler
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	245	Hsio-Tieh Hsu (even)
Journal of Medicinal Chemistry	248	Katie Near
Journal of Organic Chemistry	N/A	Matthew Jeffreys
Molecular Pharmaceutics	N/A	Colin McKinlay
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Nature	249	Ryan Quiroz
Nature Chemistry	N/A	Jennifer Mattler
Nature Chemical Biology	250	Filip Hessler
The New York Times	250	Melanie Huttner
The Onion	N/A	Steven Ryckbosch
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Organometallics	252	Ryan Quiroz
PNAS	252	Jessica Vargas
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Synthesis	255	Andrew Raub
Synthesis Letters	256	Andrew Raub
Tetrahedron	256	Akira Shimizu
Tetrahedron Letters	257	Akira Shimizu

Next Due Date: TBD

Instructions for Authors (*Volume 39)*

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.

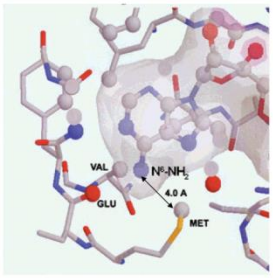
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

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

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

Design and Characterization of a Traceable Protein Kinase C-alpha

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



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Gnid/ Kirk
Laulimalide
Drug Deliv.

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

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

methods
synthesis

DON'T BE A MOOK!

Lit Review MOOKS include those who:

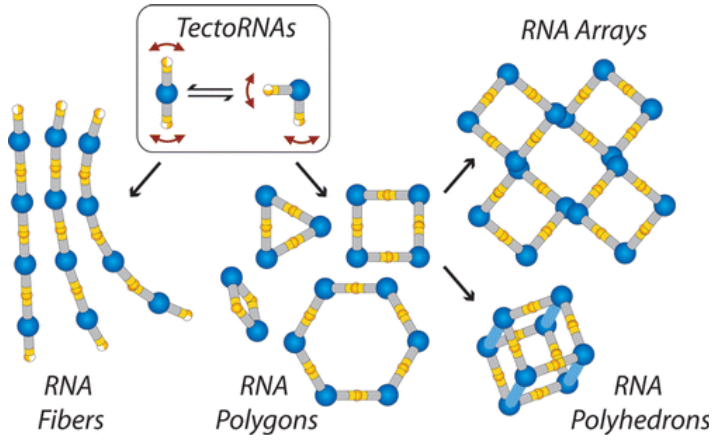
- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

Penalties for being a Lit Review MOOK:

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

Citation: Grabow, W.W., et. al. Acc. Chem. Res., 2014, 47 (6), pp 1871–1880

RNA Self-Assembly and RNA Nanotechnology



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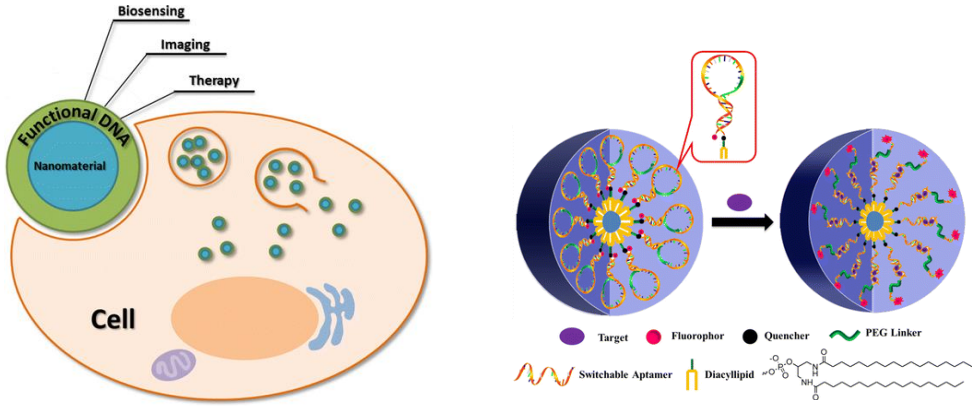
Prostratin

Citation: Liang, H., et. al. Acc. Chem. Res., 2014, 47 (6), pp 1891–1901

Functional DNA-Containing Nanomaterials:
Cellular Applications in Biosensing, Imaging, and Targeted Therapy



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Citation: Homan, K.T.; *et al. ACS Chem. Bio.* **2014,** *ASAP.*

Molecular Basis for Small Molecule Inhibition of G Protein-Coupled Receptor Kinases

Small molecules that inhibit the protein kinase A, G, and C (AGC) family of serine/threonine kinases can exert profound effects on cell homeostasis and thereby regulate fundamental processes such as heart rate, blood pressure, and metabolism, but there is not yet a clinically approved drug in the United States selective for a member of this family. One subfamily of AGC kinases, the G protein-coupled receptor (GPCR) kinases (GRKs), initiates the desensitization of active GPCRs. Of these, GRK2 has been directly implicated in the progression of heart failure. Thus, there is great interest in the identification of GRK2-specific chemical probes that can be further developed into therapeutics. Herein, we compare crystal structures of small molecule inhibitors in complex with GRK2 to those of highly selective compounds in complex with Rho-associated coiled-coil containing kinase 1 (ROCK1), a closely related AGC kinase.

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Citation: Leonard, S.E.; *et al. ACS Chem. Bio.* **2014,** *ASAP.*

Divergent Modulation of Src-Family Kinase Regulatory Interactions with ATP-Competitive Inhhibitors

Src-family kinases (SFKs) are promising therapeutic targets for a number of diseases and are an excellent model for studying the regulation of multidomain kinases. Here, we demonstrate that the regulatory domains of the SFKs Src and Hck are divergently affected by ligands that stabilize two distinct inactive ATP-binding site conformations. Conformation-selective, ATP-competitive inhibitors differentially modulate the ability of the SH3 and SH2 domains of Src and Hck to engage in intermolecular interactions and the ability of the kinase–inhibitor complex to undergo post-translational modification by effector enzymes. These studies provide insight into how conformation-selective, ATP-competitive inhibitors can be designed to modulate domain interactions and post-translational modifications distal to the ATP-binding site of kinases.

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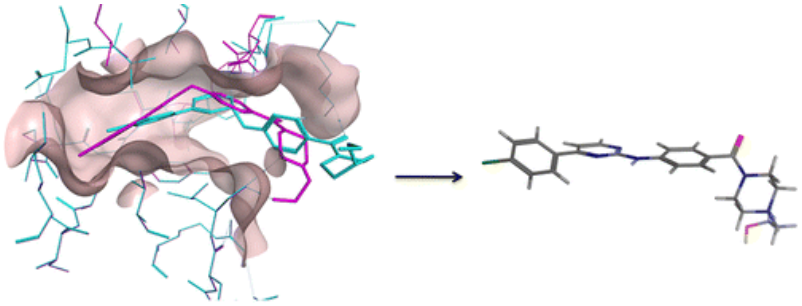
Citation: Reynolds. *ACS. Med. Chem. Lett.* **2014,** *5,* 727-729

Protein–Ligand Cocrystal Structures: We Can Do Better



Bound geometries for 3qad (cyan) and 3rzf (magenta) Unbound ligand geometry

There is a large body of evidence that many protein–ligand cocrystal structures contain poorly refined ligand geometries. These errors result in bound structures that have nonideal bond lengths and angles, are strained, contain improbable conformations, and have bad protein–ligand contacts. Many of these problems can be greatly reduced with better refinement models

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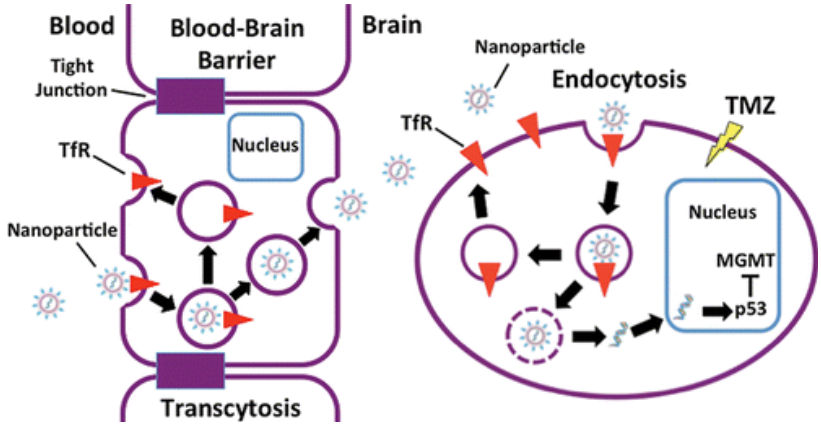
Citation: Kim, S.S., et. al. ACS Nano, 2014, 8 (6), pp 5494–5514

A Nanoparticle Carrying the p53 Gene Targets Tumors Including Cancer Stem Cells, Sensitizes Glioblastoma to Chemotherapy and Improves Survival



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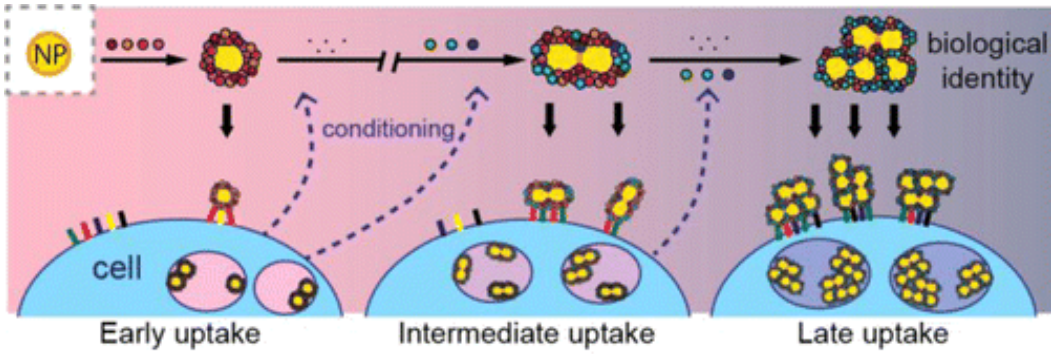
Prostratin

Citation: Albanese, A., et. al. ACS Nano, 2014, 8 (6), pp 5515–5526

Secreted Biomolecules Alter the Biological Identity and Cellular Interactions of Nanoparticles



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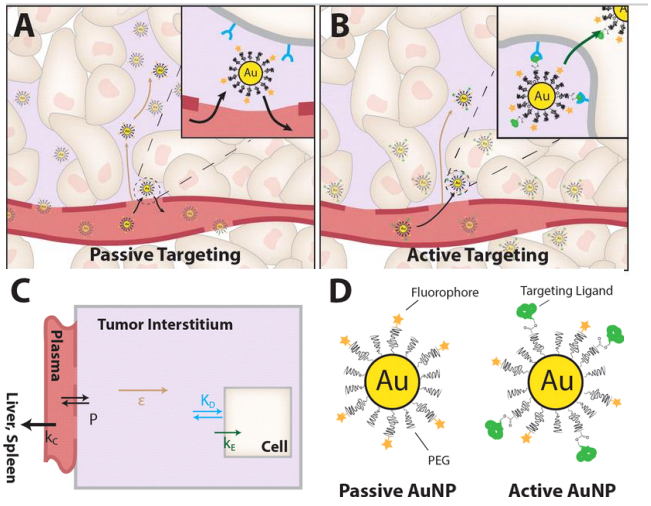
Citation: Sykes, E.A., et. al. ACS Nano, 2014, 8 (6), pp 5696–5706



Investigating the Impact of Nanoparticle Size on Active and Passive Tumor Targeting Efficiency

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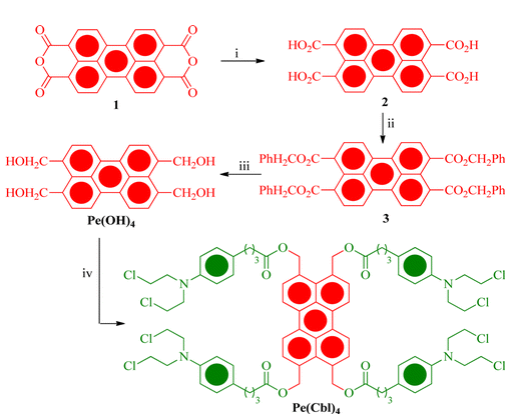
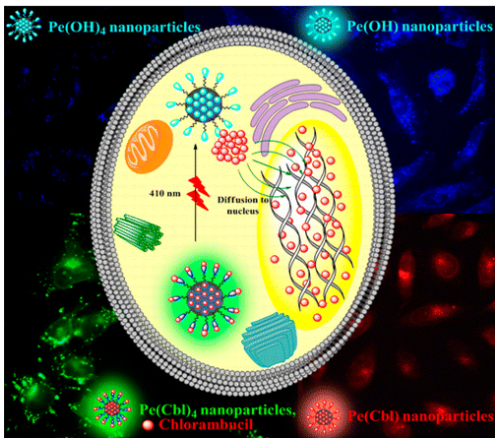
Citation: Jana, A., et. al. ACS Nano, 2014, 8 (6), pp 5939–5952

Perylene-Derived Single-Component Organic Nanoparticles with Tunable Emission: Efficient Anticancer Drug Carriers with Real-Time Monitoring of Drug Release





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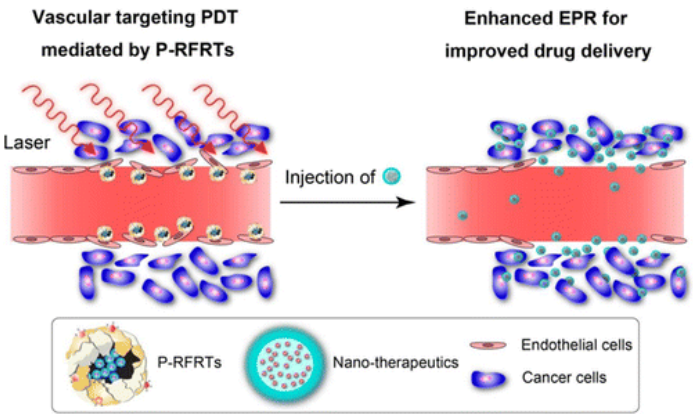
Citation: Zhen, Z., et. al. ACS Nano, 2014, 8 (6), pp 6004–6013

Tumor Vasculature Targeted Photodynamic Therapy for Enhanced Delivery of Nanoparticles



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Citation: Loessner, D.; *et al. Adv. Drug Deliv. Rev.* **2014,** *in press.*

Engineered microenvironments provide new insights into ovarian and prostate cancer progression and drug responses

Bioengineered approaches allow cell biologists to develop sophisticated experimentally and physiologically relevant cancer models to recapitulate the complexity of the disease seen in patients. Tissue engineering tools enable three-dimensionality based on the design of biomaterials and scaffolds that re-create the geometry, chemistry, function and signalling milieu of the native tumour microenvironment. Three-dimensional (3D) microenvironments, including cell-derived matrices, biomaterial-based cell culture models and integrated co-cultures with engineered stromal components, are powerful tools to study dynamic processes like proteolytic functions associated with cancer progression, metastasis and resistance to therapeutics.

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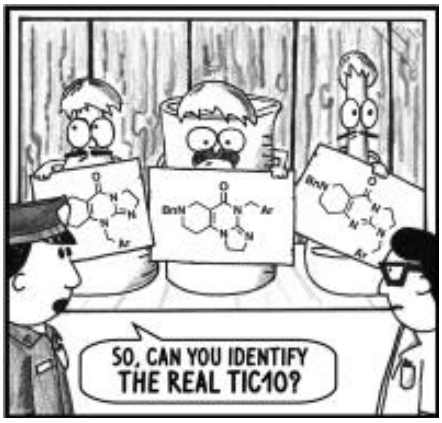
Citation: Janda, K.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (26)*, 6628-6631.

Pharmacophore Reassignment for Induction of the Immunosurveillance Cytokine TRAIL

An investigation of an imidazolinopyrimidinone (TIC10) reported to induce expression of the immunosurveillance cytokine TRAIL led to a constitutional reassignment of the active pharmacophore. Analysis of TRAIL induction in macrophages revealed the reported structure to be inactive. The structural identity of the active compound was established. The active compound was then synthesized and its activity confirmed



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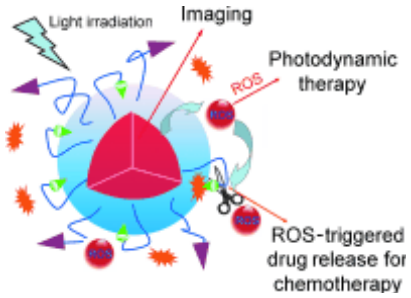
Citation: Liu, B.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (28)*, 7163-7168.

Conjugated-Polyelectrolyte-Based Polyprodrug: Targeted and Image-Guided Photodynamic and Chemotherapy with On-Demand Drug Release upon Irradiation with a Single Light Source

A theranostic nanoplatform based on a conjugated polyelectrolyte covalently linked to an anticancer drug (orange) through a linker (green) cleaved by reactive oxygen species (ROS) had functionalities for image, therapy, and on-demand drug release (see picture). An enhanced therapeutic effect was possible through combined photodynamic therapy and chemotherapy with ROS-triggered drug release upon illumination with a single light switch.



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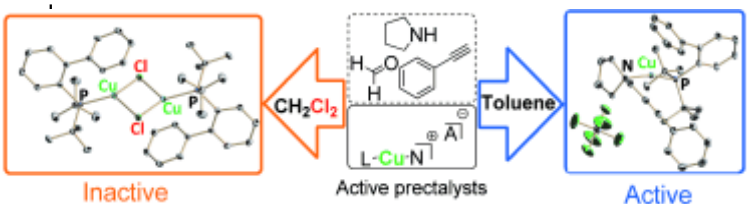
Citation: Corma, A.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (28)*, 7253-7258.

Deactivation of Cationic CuI and AuI Catalysts for A3 Coupling by CH2Cl2: Mechanistic Implications of the Formation of Neutral CuI and AuI Chlorides

Care should be exercised when using CH2Cl2 as a solvent for reactions in which amines are a reagent, since undesirable deactivation of cationic CuI and AuI catalysts to form the corresponding inactive neutral chloride complexes [LMCl] (M=Cu or Au) can occur as a result of the generation of hydrogen chloride in the medium. This phenomenon was studied on the basis of a Mannich three-component coupling reaction (



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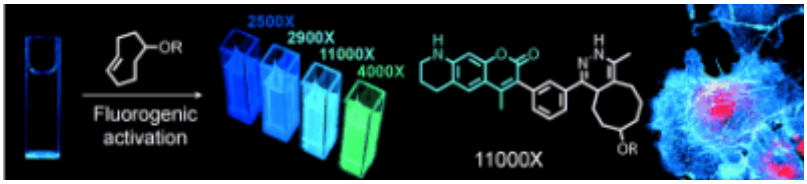
Laulimalide

Citation: Weissleder, R.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (29)*, 7531-7534.

Ultrafluorogenic Coumarin–Tetrazine Probes for Real-Time Biological Imaging

Brightness enhancement of greater than 10000-fold is detected in a series of coumarin–tetrazine probes, the largest to date of any bioorthogonal fluorogenic platform. This enhancement is achieved by the logical use of through-bond energy transfer (TBET) in molecular design. High-spatial-resolution “no-wash” images of extra- and intracellular targets were obtained with negligible background signal.



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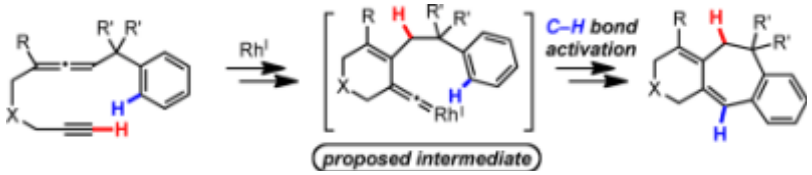
Laulimalide
Pharma

Citation: Mukai, C.; et al. *Angew. Chem. Int. Ed*. **2014**, *53 (28)*, 7608-7612.

Rhodium(I)-Catalyzed Cycloisomerization of Benzylallene-Alkynes through C-H Activation

An efficient RhI-catalyzed cycloisomerization of benzylallene-alkynes produced the tricyclo[9.4.0.03,8]pentadecapentaene skeleton through Cinline image[BOND]H bond activation. Based on deuteration and competition experiments, a reaction mechanism was proposed, which proceeds via a vinylidenecarbene–RhI intermediate.



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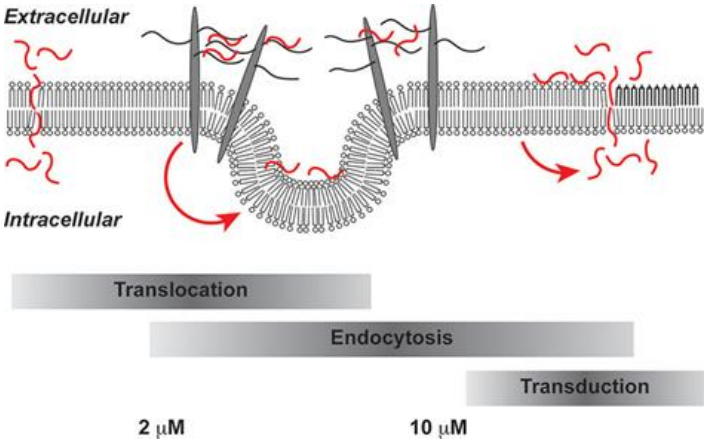
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Brock, R. *Bioconjugate Chem.*, **2014**, *25*, 863-867.

The Uptake of Arginine-Rich Cell-Penetrating Peptides: Putting the Puzzle Together



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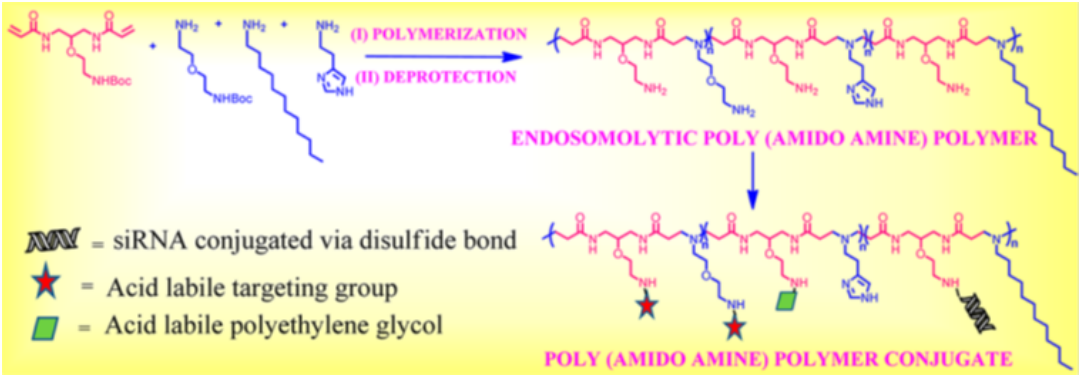
Citation: Wang, W., et. al. *Bioconjugate Chem.*, **2014**, *25*, 896–906.

Novel Endosomolytic Poly(amido amine) Polymer Conjugates for Systemic Delivery of siRNA to Hepatocytes in Rodents and Nonhuman Primates



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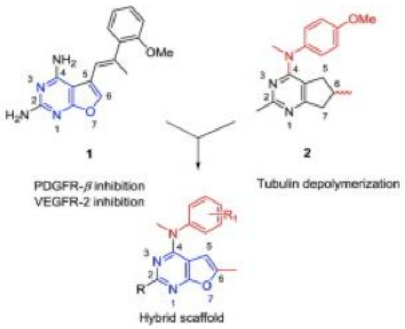
Citation: Zhang, X.; et al. *Bioorg. Med. Chem*., 22, (2014) 3753-3772

The design and discovery of water soluble 4-substituted-2,6-dimethylfuro [2,3-d]pyrimidines as multitargeted receptor tyrosine kinase inhibitors and microtubule targeting antitumor agents



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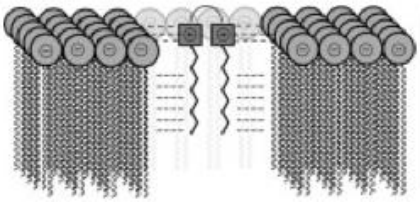
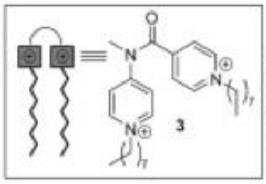
Citation: Fahs, S.; et al. *Bioorg. Med. Chem. Lett*., 24, (2014) 3430-3433

Development of a novel, multifunctional, membrane-interactive pyridinium salt with potent anticancer activity





3 possesses potent micro-molar anticancer activity. A membrane interactive process, combining attractive London dispersion and Coulombic forces, to help lyse the cell membrane, is proposed.

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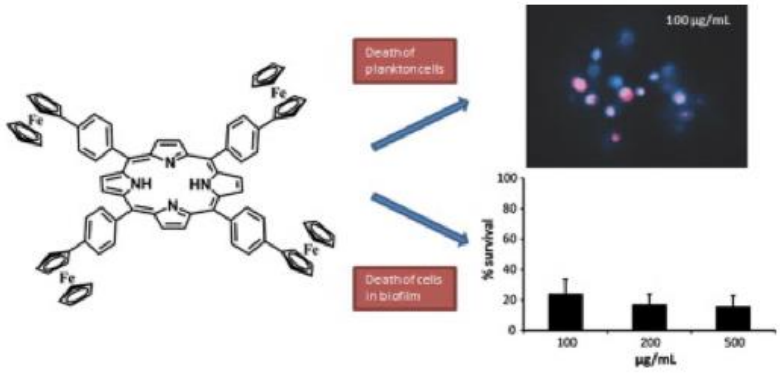
Citation: Lippert, R.; et al. *Bioorg. Med. Chem Lett*., 24, (2014) 3506-3511

Effect of ferrocene-substituted porphyrin RL-91 on Candida albicans biofilm formation



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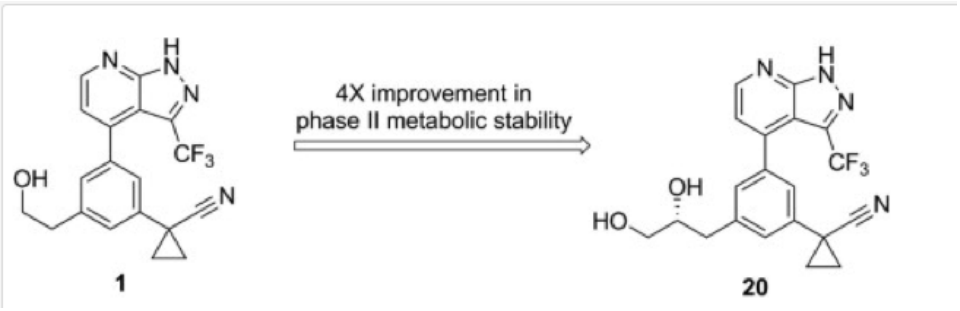
Citation: Clemens, J. J.; et al. *Bioorg. Med. Chem Lett*., 24, (2014) 3398-3402

Strategies for the modulation of phase II metabolism in a series of PKCe inhibitors



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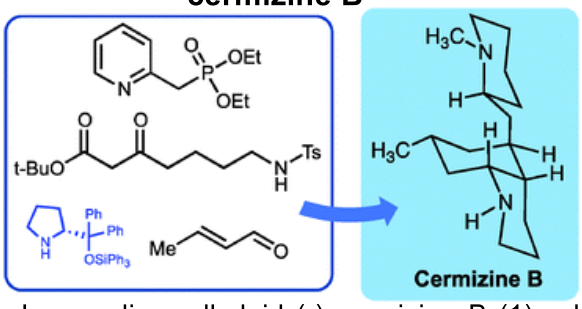
Citation: Bradshaw, B. *et al. Chem. Commun.* **2014**, *50,* 7099.

A gram-scale route to phlegmarine alkaloids: rapid total synthesis of (-)-cermizine B



The synthesis of the Lycopodium alkaloid (-)-cermizine B (1), which establishes its absolute configuration, is achieved by combining asymmetric organocatalysis and an uninterrupted eight-step reaction sequence, followed by a final reduction step. This "pot-economy" strategy provides access to the cis-phlegmarine stereoparent embedded in 1 for the first time, rapidly and on a gram-scale.

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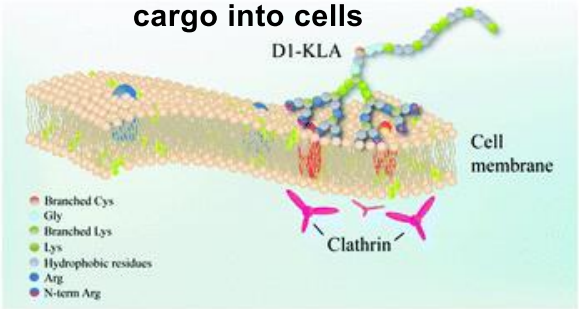
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Eggimann, G. A.; *et al. Chem. Commun.* **2014**, *50,* 7254.

Designed cell penetrating peptide dendrimers efficiently internalize cargo into cells



Redesigning linear cell penetrating peptides (CPPs) into a multi-branched topology with short dipeptide branches gave cell penetrating peptide dendrimers (CPPDs) with higher cell penetration, lower toxicity and hemolysis and higher serum stability than linear CPPs. Their use is demonstrated by delivering a cytotoxic peptide and paclitaxel into cells.

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OM
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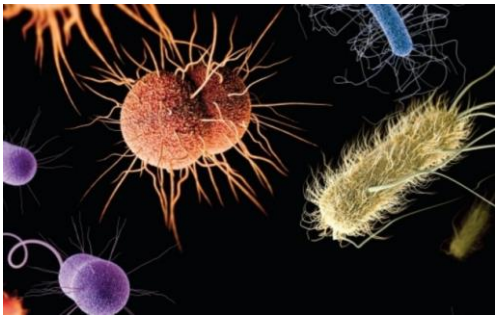
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Jarvis, L. *C&EN.* **2014**, 92(24), 9-14.

A Bacterial Battle



The regulatory path to approving new antibiotics has been cleared, but work still remains to rebuild a healthy drug pipeline

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OM
Bryo
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Citation: Jacoby, M. *C&EN.* **2014**, 92(26), 9-12.

Nano From The Forest

Tiny cellulosic particles are poised to make big impact on materials technology

bioorganic
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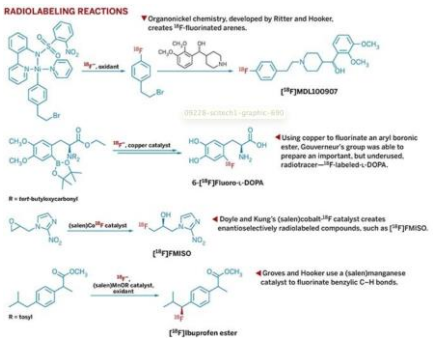
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Halford, B. *C&EN.* **2014**, 92(28), 33-35.

PET



Chemists develop reactions with radioactive fluoride, hoping to find new radiotracers for positron emission tomography

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Hybrid
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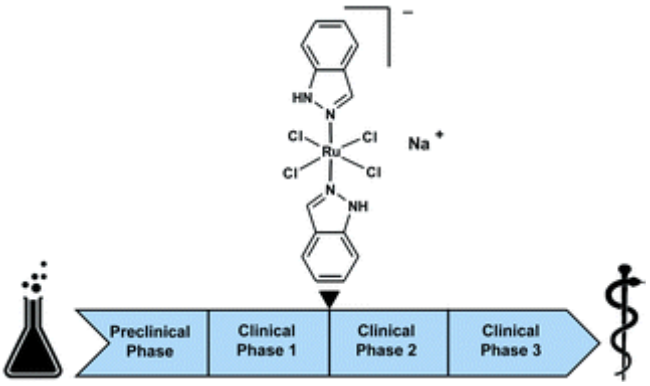
Citation: Trondl, R., et. al. Chem. Sci., 2014,5, 2925-2932

NKP-1339, the first ruthenium-based anticancer drug on the edge to clinical application



bioorganic
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DDO
Hybrid
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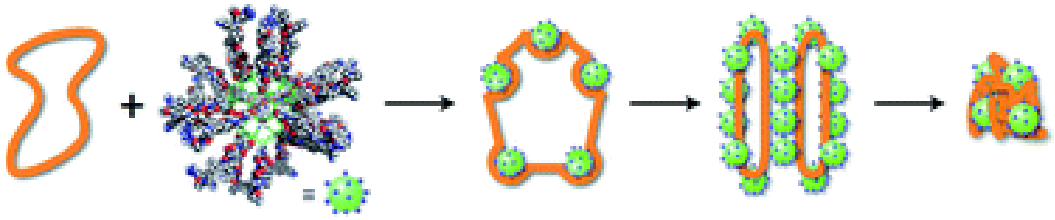
Prostratin

Citation: Kikuchia, T., et. al. Chem. Sci., 2014,5, 3257-3260

Stepwise DNA condensation by a histone-mimic peptide-coated M12L24 spherical complex



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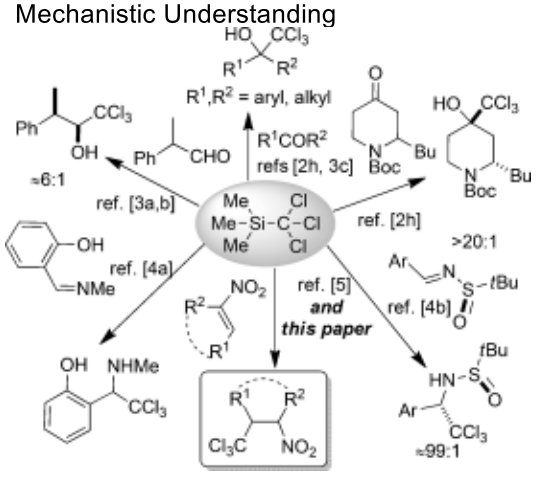
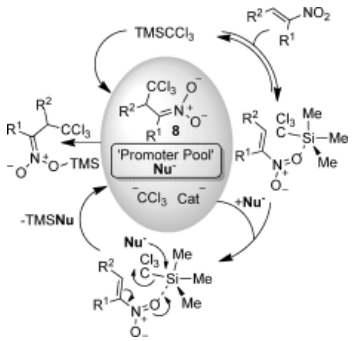
Citation: Wu, *et al. Chem. Eur. J.* **2014,** 20, 7718-7724.

1,4-Addition of TMSCCl3 to Nitroalkenes: Efficient Reaction Conditions and Mechanistic Understanding





Proposed catalytic cycle

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Hybrid
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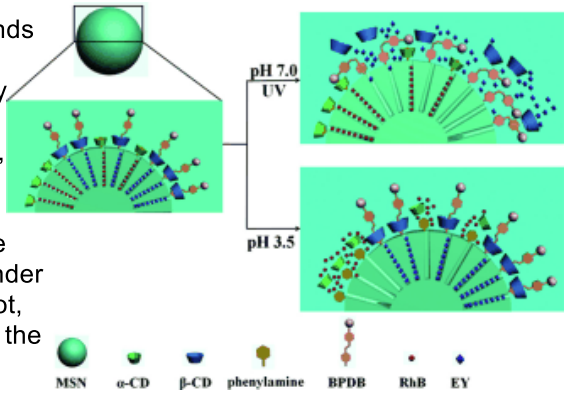
Prostratin

Citation: Wang, *et al. Chem. Eur. J.* **2014,** 20, 7796-7802.

A Selective Release System Based on Dual-Drug-Loaded Mesoporous Silica for Nanoparticle-Assisted Combination Therapy

In this system, the supramolecular pseudorotaxanes were used to trap two kinds of cargoes into the nanopores of MSNs individually. These nanopores were radially oriented and unconnected between each other. Under the stimuli of different signals, UV or H+, the dual cargoes could be selectively released from the MSNs separately. In the neutral solution, only one cargo could be released from the MSNs under the irradiation of UV; and whether UV or not, only another cargo could be released from the MSNs upon the stimulus of H+.



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Hybrid
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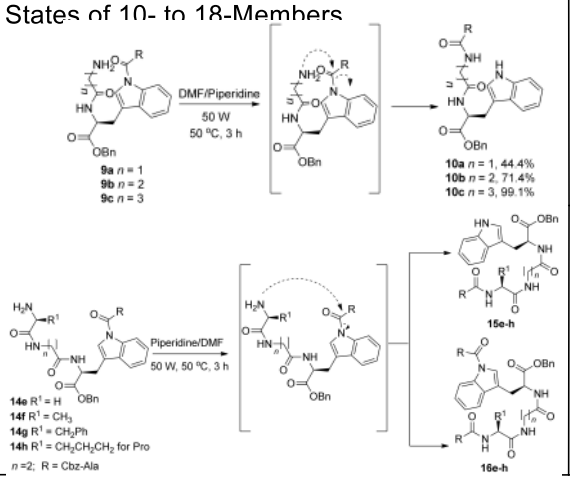
Citation: Biswas, *et al. Chem. Eur. J.* **2014,** 20, 8189-8198

Long-Range Chemical Ligation from N[RIGHTWARDS ARROW]N Acyl Migrations in Tryptophan Peptides via Cyclic Transition States of 10- to 18-Members

Chemical ligations to form native peptides from N-->N acyl migrations in Trp-containing peptides via 10- to 18-membered cyclic transition states are described. In this study, a statistical, predictive model that uses an extensive synthetic and computational approach to rationalize the chemical ligation is reported. N-->N acyl migrations that form longer native peptides without the use of Cys/Ser/Tyr residues or an auxiliary group at the ligation site were achieved. The feasibility of these traceless chemical ligations is supported by the N[BOND]C bond distance in N-acyl isopeptides.



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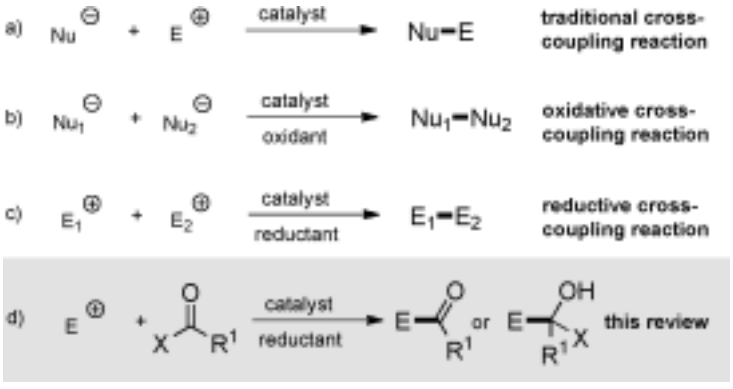
Citation: Moragas, *et al. Chem. Eur. J.* **2014,** 20, 8242-8258

Metal-Catalyzed Reductive Coupling Reactions of Organic Halides with Carbonyl-Type Compounds

In this Minireview, the recent findings in this field are summarized, with particular emphasis on the mechanistic interpretation of the results and future aspects of this area of expertise.



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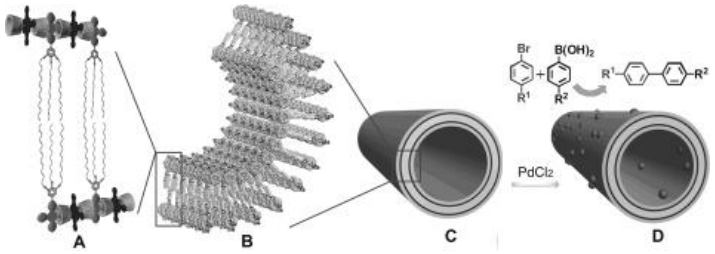
Citation: Li, *et al. Chem. Eur. J.* **2014,** 20, 8566-8570.

A Supramolecular Tubular Nanoreactor



A representative coupling reaction occurs in the hydrophobic interlayers of the tubular walls in pure water at room temperature, leading to an enhancement of ten times higher reaction rate without any adverse effect on catalytic activity and conversion.

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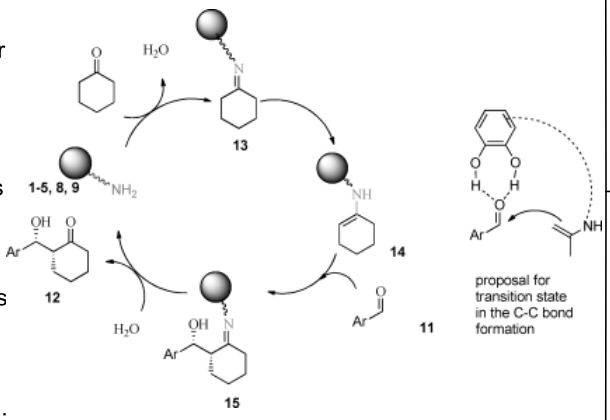
Citation: Mrowczynski, et *al. Chem. Eur. J.* **2014,** 20, 8647-8653.

Polydopamine—An Organocatalyst Rather than an Innocent Polymer

Polydopamine (PDA) is easily available by oxidation of dopamine and is widely used for persistent coatings of various materials. It is hitherto considered to be inert in many interesting biomedical and other applications. Results presented here, reveal an unexpected behavior of polydopamine as an organocatalyst in direct aldol reactions under mild conditions. Evidence was found for dual catalysis making use of amino and phenolic hydroxy groups found in PDA. Thus scientists must be aware that PDA is not an innocent polymer and can cause unwanted side effects in important applications, such as in biomedicine or as supports in catalysis.



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Hybrid
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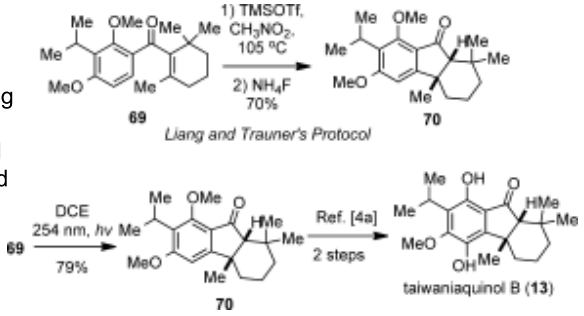
Citation: Gao, *et al. Chem. Eur. J.* **2014,** 20,8677-8681.

The Photo-Nazarov Reaction: Scope and Application

The reaction conditions and scope of the photo-Nazarov reaction of aryl vinyl ketones were investigated. In contrast to the conventional acid-catalyzed methods, this photolytic electrocyclization proceeds in the neutral or basic conditions. Irradiating substrates bearing various aromatic rings, acid-sensitive groups, cyclohexenyl, cycloheptenyl, and unsaturated pyran with UV-light (254 nm) smoothly yielded exahydrofluorenones and related structures. This photo Nazarov reaction could also be applicable to the substrates carrying b-alkyl groups on the enone, which gave corresponding polycyclic rings containing quaternary centers.These photo-electrocyclized products may prove useful for synthesizing a variety of natural products and their derivatives.



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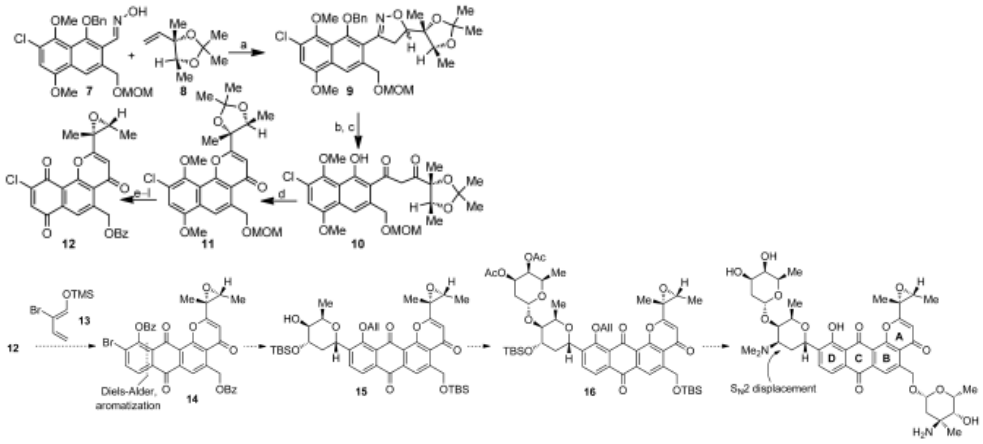
Citation: Hartung,*et al. Chem. Eur. J.* **2014,** 20, 8731-8736.

Studies Toward the Total Synthesis of Pluraflavin A



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Citation: Spjelkavik, *et al. Chem. Eur. J.* **2014,** 20,8973-8978.

Forming MOFs into Spheres by Use of Molecular Gastronomy Methods

A novel method utilizing hydrocolloids to prepare nicely shaped spheres of metal–organic frameworks (MOFs) has been developed. Microcrystalline CPO-27-Ni particles are dispersed in either alginate or chitosan solutions, which are added dropwise to solutions containing, respectively, either divalent group 2 cations or base that act as gelling agents. Well-shaped spheres are immediately formed, which can be dried into spheres containing mainly MOF (>95 wt%). The spheronizing procedures have been optimized with respect to maximum specific surface area, shape, and particle density of the final sphere. At optimal conditions, well-shaped 2.5–3.5 mm diameter CPO-27-Ni spheres with weight-specific surface areas <10% lower than the nonformulated CPO-27-Ni precursor, and having sphere densities in the range 0.8 to 0.9 gcm-3 and particle crushing strengths above 20 N, can be obtained. The spheres are well suited for use in fixed-bed catalytic or adsorption processes.

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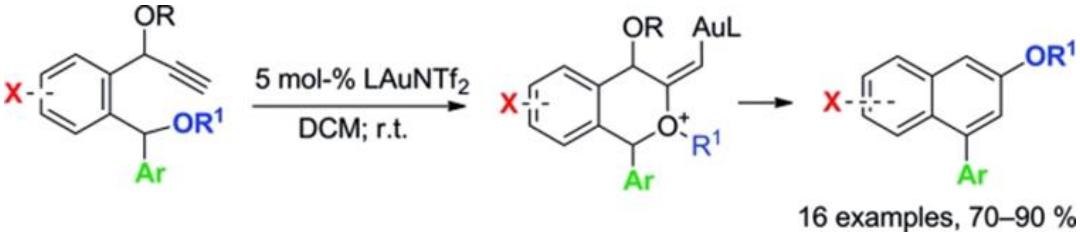
Citation: Pati, K.; Alabugin, I. V. *Eur. J. Org. Chem.* **2014**, 3986–3990.

A Three-Step Synthesis of the Guaianolide Ring System

Au-catalyzed cycloisomerization of aryl propargyl ethers provides controlled transition from alkyne to carbonyl chemistry followed up by a Petasis–Ferrier rearrangement/aromatization cascade leading to substituted biaryls with functionalized naphthalene cores.



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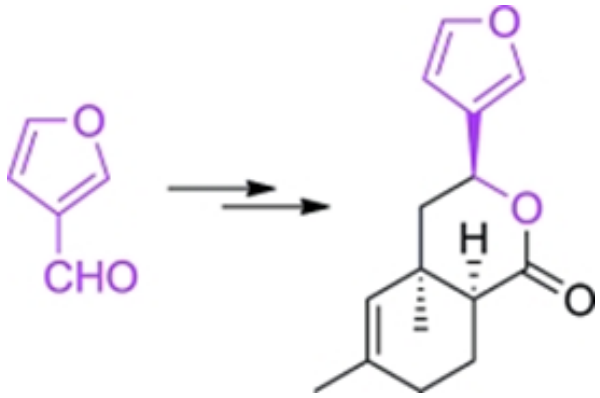
Citation: Metz, P. et. al. *Eur. J. Org. Chem.* **2014**, 4083–4088.

An Approach to the Bicyclic C-5–C-17/C-19–C-20 (BC) Portion of Neoclerodane Diterpenes by Intramolecular Diels–Alder Reaction

A highly diastereoselective intramolecular acrylate [4+2] cycloaddition of a sterically congested 1,3-diene served as the key step in a short synthesis of a BC building block for neoclerodane diterpenes.



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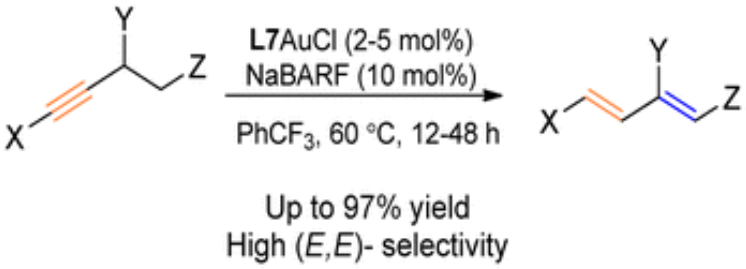
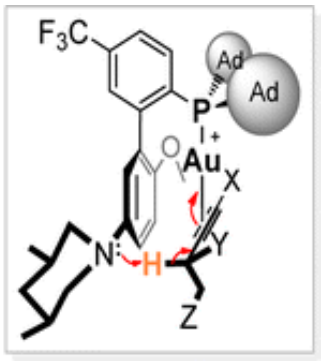
Citation: Wang, Z.; Wang, Y.; Zhang, L. *J. Am. Chem. Soc.*, **2014**, *136* (25), 8887-8890.

Soft Propargylic Deprotonation: Designed Ligand Enables Au-Catalyzed Isomerization of Alkynes to 1,3-Dienes





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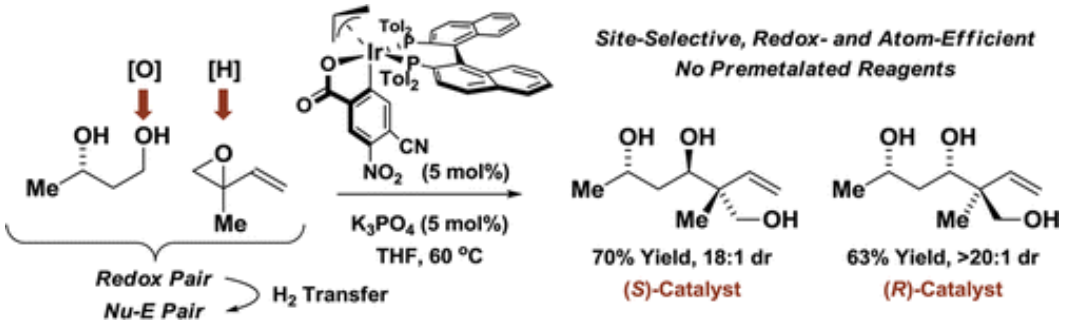
Citation: Feng, J.; Garza, V.J.; Krische, M.J. *J. Am. Chem. Soc.*, **2014**, *136* (25), 8911-8914.

Redox-Triggered C–C Coupling of Alcohols and Vinyl Epoxides: Diastereo- and Enantioselective Formation of All-Carbon Quaternary Centers via tert-(Hydroxy)-Prenylation



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DDO
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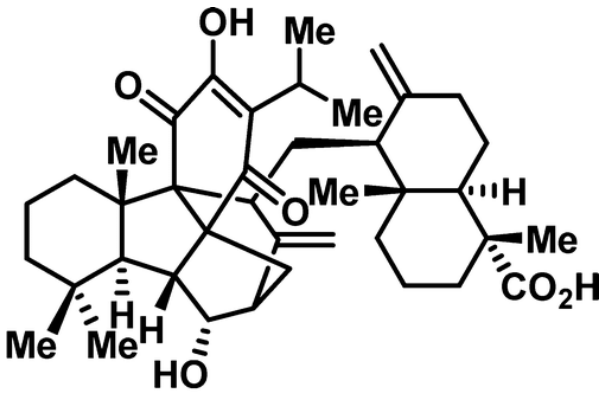
Citation: Deng, J.; Zhou, S.; Zhang, W.; Li, J.; Li, R.; Li, A. *J. Am. Chem. Soc.*, **2014**, *136* (23), 8185-8188.

Total Synthesis of Taiwaniadducts B, C, and D



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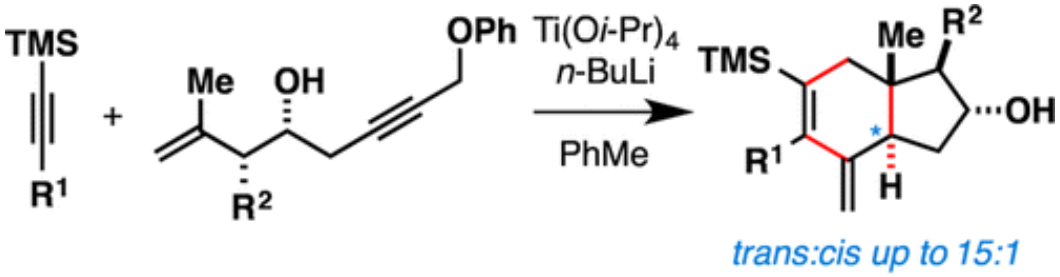
Citation: Jeso, V.; Aquino, C.; Cheng, X.; Mizoguchi, H.; Nakashige, M.; Micalizio, G.C. *J. Am. Chem. Soc.*, **2014**, *136* (23), 8209-8212.

Synthesis of Angularly Substituted Trans-Fused Hydroindanes by Convergent Coupling of Acyclic Precursors



trans:cis up to 15:1

/ = bonds formed in the annulation reaction.

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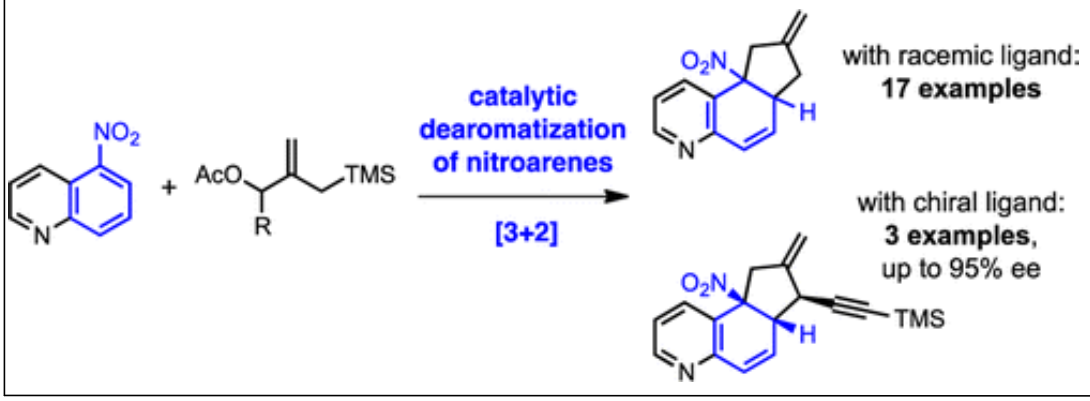
Citation: Trost, B.M.; Ehmke, V.; O'Keefe, B.M.; Bringley, D.A. *J. Am. Chem. Soc.*, **2014**, *136* (23), 8213-8216.

Palladium-Catalyzed Dearomative Trimethylenemethane Cycloaddition Reactions



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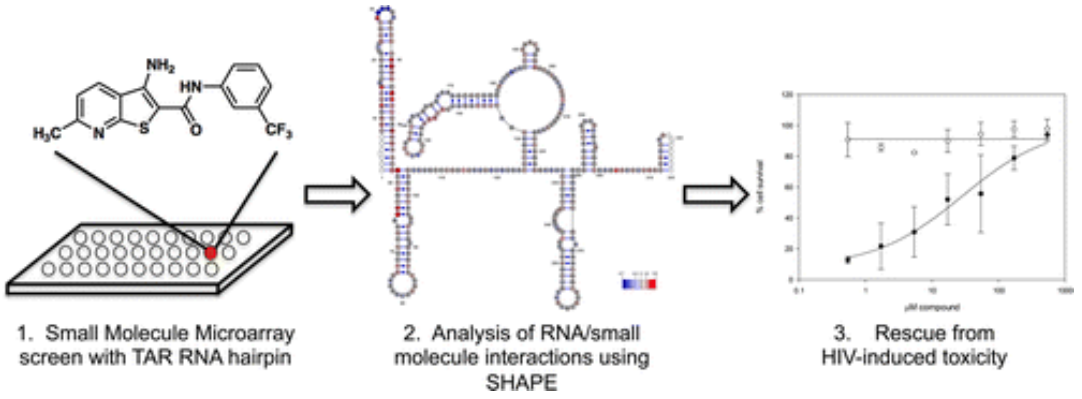
Citation: Sztuba-Solinska, J.; Shenoy, S.R.; Gareiss, P.; Krumpe, L.R.H.; Le Grice, S.F.J.; O'Keefe, B.R.; Schneekloth, Jr., J.S. *J. Am. Chem. Soc.*, **2014**, *136* (23), 8402-8410.

Identification of Biologically Active, HIV TAR RNA-Binding Small Molecules Using Small Molecule Microarrays



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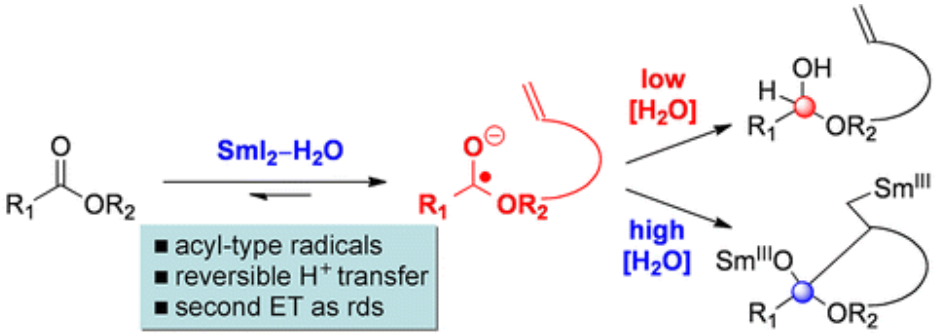
Citation: Szostak, M.; Spain, M.; Procter, D.J. *J. Am. Chem. Soc.*, **2014**, *136* (23), 8459-8466.

Ketyl-Type Radicals from Cyclic and Acyclic Esters are Stabilized by SmI2(H2O)n: The Role of SmI2(H2O)n in Post-Electron Transfer Steps



•new and general insights into mechanisms involving ketyl radicals w/Sm(II)

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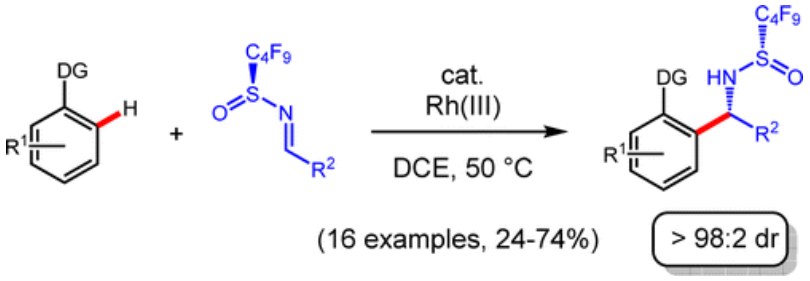
Prostratin

Citation: Wangweerawong, A. et al. J. Am. Chem. Soc., 2014, 136 (24), pp 8520–8523

Asymmetric Synthesis of a-Branched Amines via Rh(III)-Catalyzed C–H Bond Functionalization



The first asymmetric intermolecular addition of non-acidic C–H bonds to imines is reported. The use of the activating N-perfluorobutanesulfinyl imine substituent is essential for achieving sufficient reactivity and provides outstanding diastereoselectivity (>98:2 dr). Straightforward removal of the sulfinyl group with HCl yields the highly enantiomerically enriched amine hydrochlorides.

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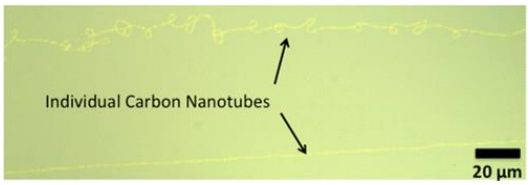
Citation: Novak, M. A. et al. J. Am. Chem. Soc., 2014, 136 (24), pp 8536–8539

Visualizing Individual Carbon Nanotubes with Optical Microscopy



This paper reports a high-throughput, label-free technique to visualize individual carbon nanotubes (CNTs) on a silicon wafer using a conventional optical microscope. (Because who doesn't want to look at a carbon nanotube?)Iindividual CNTs can locally enhance the rate of vapor-phase HF etching of SiO2 to produce a SiO2 trench that is several to several tens of nanometers in depth. The trench is visible under an optical microscope due to a change in the optical interference in the SiO2 layer, allowing the location of an individual CNT to be determined.

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Hybrid
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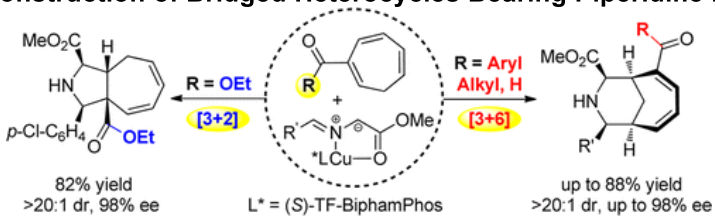
Citation: Li, Q. -H. et al. J. Am. Chem. Soc., 2014, 136 (24), pp 8685–8692

Catalytic Asymmetric 1,3-Dipolar [3 + 6] Cycloaddition of Azomethine Ylides with 2-Acyl Cycloheptatrienes: Efficient Construction of Bridged Heterocycles Bearing Piperidine Moiety



Conjugated cyclic trienes without nonbenzenoid aromatic characteristic were successfully employed as fine-tunable dipolarophiles in the Cu(I)-catalyzed asymmetric azomethine ylide-involved 1,3-dipolar [3 + 6] cycloaddition for the first time, affording a variety of bridged heterocycles bearing piperidine moiety in good yield with exclusive regioselectivity and excellent stereoselectivity. 2-Acyl group is the key factor that determines the annulation preferentially through [3 + 6]-pathway, while 2-ester group modulates the annulation through [3 + 2]-pathway.

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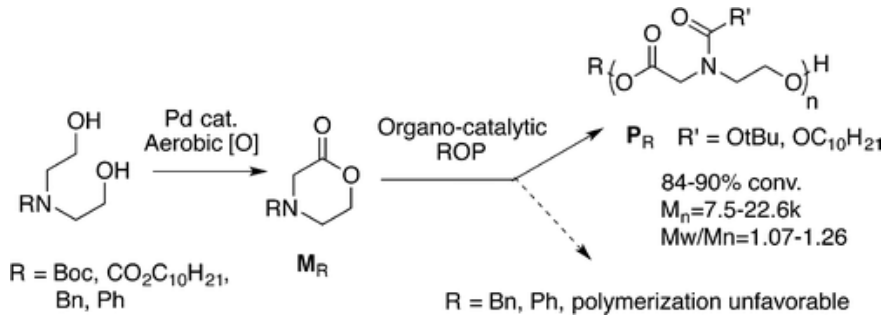
Citation: Blake, T. R.; Waymouth, R. M. J. Am. Chem. Soc., 2014, 136 (26), pp 9252–9255

Organocatalytic Ring-Opening Polymerization of Morpholinones: New Strategies to Functionalized Polyesters



The oxidative lactonization of N-substituted diethanolamines with the Pd catalyst [LPd(OAc)]22+[OTf–]2 generates N-substituted morpholin-2-ones. The organocatalytic ring-opening polymerization of N-acyl morpholin-2-ones occurs readily to generate functionalized poly(aminoesters) with N-acylated amines in the polyester backbone.

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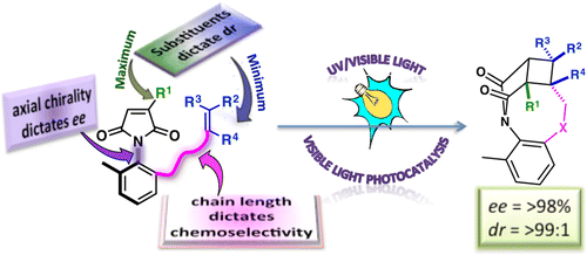
Citation: Kumarasamy, E. et al. J. Am. Chem. Soc., 2014, 136 (24), pp 8729–8737

Tailoring Atropisomeric Maleimides for Stereospecific [2 + 2] Photocycloaddition: Photochemical and Photophysical Investigations Leading to Visible-Light Photocatalysis



Atropisomeric maleimides were synthesized and employed for stereospecific [2 + 2] photocycloaddition. Efficient reaction was observed under direct irradiation, triplet-sensitized UV irradiation, and non-metal catalyzed visible-light irradiation, leading to two regioisomeric (exo/endo) photoproducts with complete chemoselectivity (exclusive [2 + 2] photoproduct).

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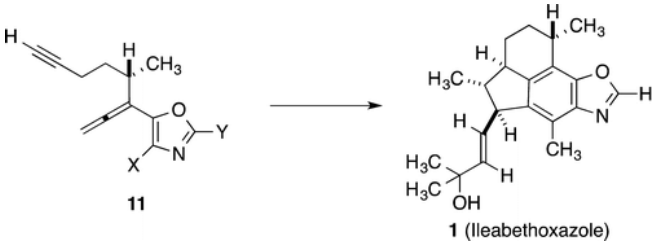
Citation: Williams, D. R. et al. J. Am. Chem. Soc., 2014, 136 (24), pp 8829–8836

Total Synthesis of (+)-Ileabethoxazole via an Iron-Mediated Pauson–Khand [2 + 2 + 1] Carbocyclization



This paper describes the total synthesis of (+)-ileabethoxazole (1) using a Stille cross-coupling reaction of propargylic stannanes with 5-iodo-1,3-oxazoles to produce 1,1-disubstituted allenes (11)An iron-mediated [2 + 2 + 1] carbocyclization yields a novel cyclopentenone for elaboration to 1. Site-selective palladium insertion reactions allow for regiocontrolled substitutions of the heterocycle.

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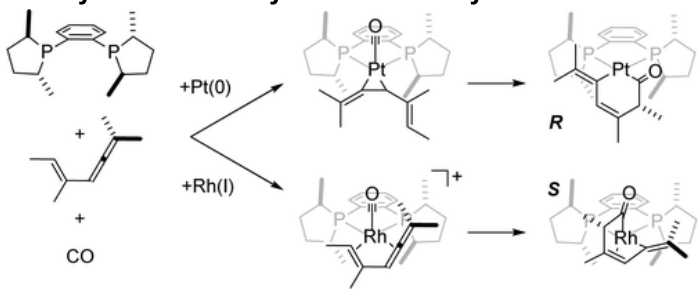
Citation: Mazumder, S. et al. J. Am. Chem. Soc., 2014, 136 (26), pp 9414–9423

Switching the Enantioselectivity in Catalytic [4 + 1] Cycloadditions by Changing the Metal Center: Principles of Inverting the Stereochemical Preference of an Asymmetric Catalysis Revealed by DFT Calculations



The mechanisms of the asymmetric [4 + 1] carbocyclization of vinylallenes with carbon monoxide catalyzed by Pt(0) and Rh(I) carrying the chiral support ligand (R,R)-Me-DuPHOS (Me-DuPHOS = 1,2-bis(2,5-dimethylphosphorano)benzene) were studied using density functional theoretical models.

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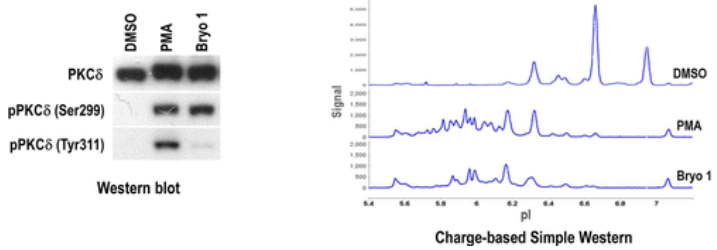
Citation: Keck, G. E.; Blumberg, P. M.; *et al. J. Med. Chem.* **2014**, *57* (12), 5356.

Molecular Systems Pharmacology: Isoelectric Focusing Signature of Protein Kinase Cd Provides an Integrated Measure of Its Modulation in Response to Ligands



Using a capillary isoelectric focusing immunoassay system, the authors visulaized a high resolution isoelectric focusing signature of PKCd upon stimulation by ligands of the phorbol ester and bryostatin classes. Derivatives that possessed different physicochemical characteristics and induced different patterns of biological response generated different signatures.

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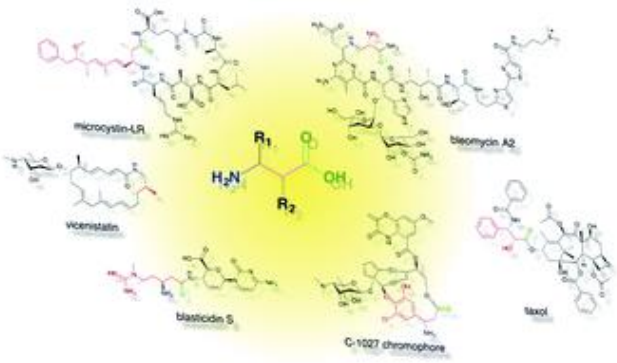
Citation: Kudo, F. et al. *Nat. Prod. Rep.* 31 (2014) 1056-1073

Biosynthesis of natural products containing beta-amino acids



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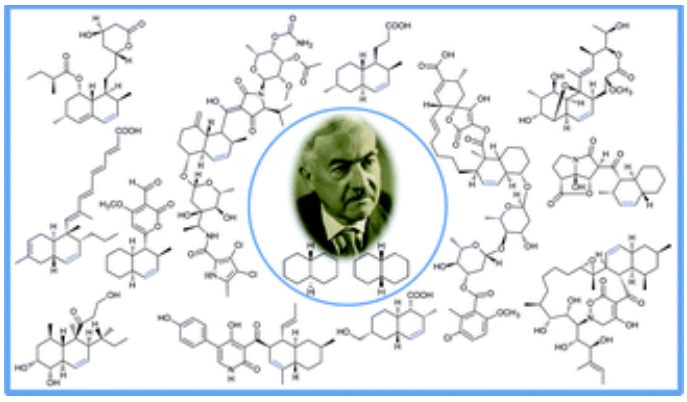
Prostratin

Citation: Li, G.; et al. *Nat. Prod. Rep.,* 31 (2014) Advance Article

Natural products containing 'decalin' motif in microorganisms



bioorganic
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DDO
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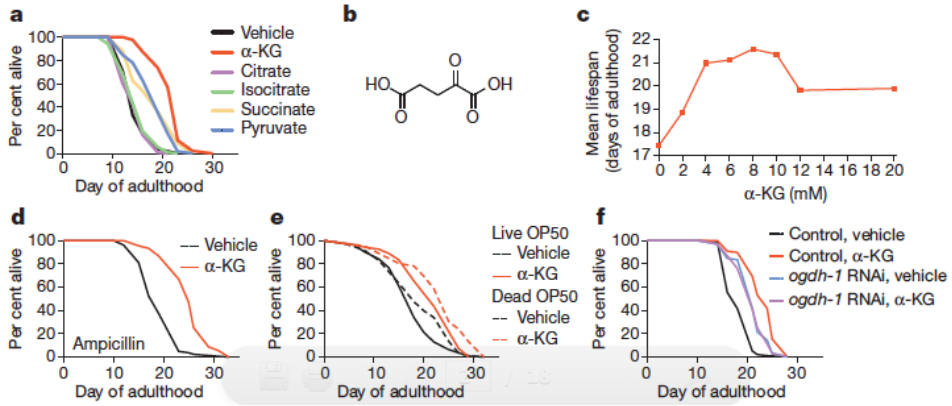
Drug Deliv.
Prostratin

Citation: Chin, R. M. et al. *Nature*. **2014**, *510*, 397.

The metabolite α-ketoglutarate extends lifespan by inhibiting ATP synthase and TOR



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Hybrid
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Prostratin

Citation: Ali, K. et al. *Nature*. **2014**, *510*, 407.

Inactivation of PI(3)K p110δ breaks regulatory T-cell-mediated immune tolerance to cancer

Inhibitors against the p110Œ¥ isoform of phosphoinositide-3-OH kinase (PI(3)K) have shown remarkable therapeutic efficacy in some human leukaemias. As p110δ is primarily expressed in leukocytes, drugs against p110δ have not been considered for the treatment of solid tumours. Here we report that p110δ inactivation in mice protects against a broad range of cancers, including non-haematological solid tumours. We demonstrate that p110δ inactivation in regulatory T cells unleashes CD8$^+$ cytotoxic T cells and induces tumour regression. Thus, p110δ inhibitors can break tumour-induced immune tolerance and should be considered for wider use in oncology.

Another potential target for staurosporine analogs

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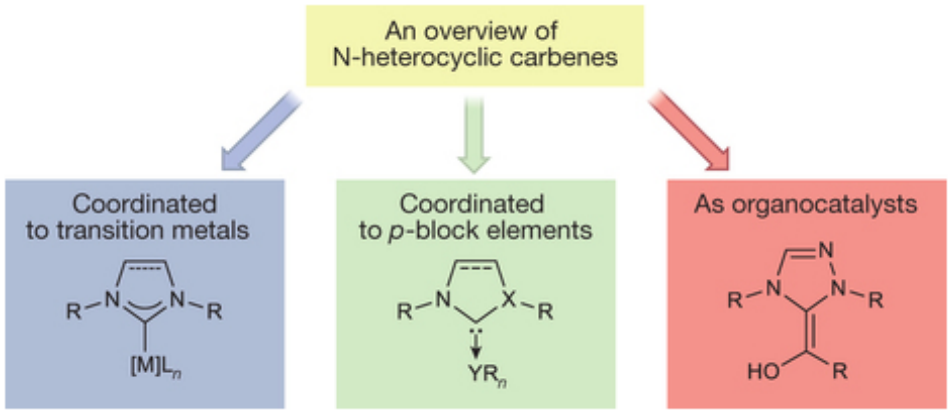
Citation: Hopkinson, M. N.; Richter, C.; Schedler, M.; Glorius, F.. *Nature*. **2014**, *510*, 485.

An overview of N-heterocyclic carbenes



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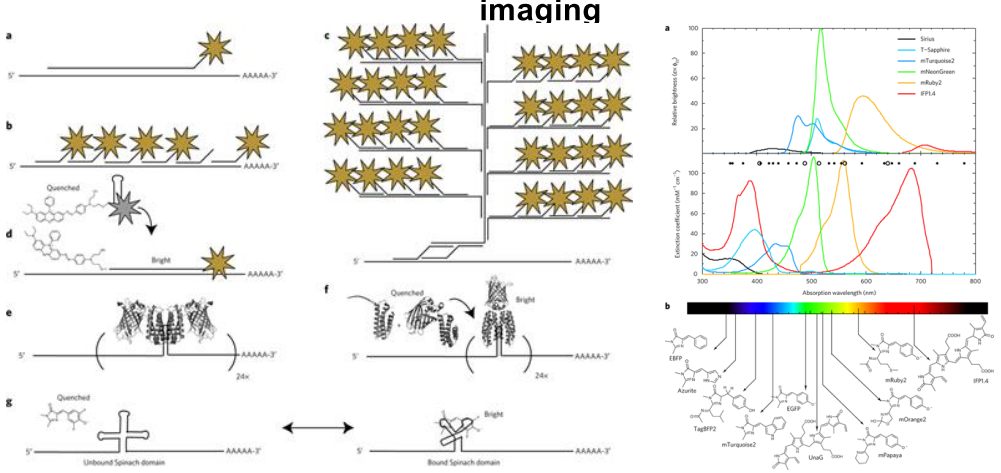
Citation: Palmer, A. E., et. al. *Nature Chemical Biology* **2014**, *10*, 512–523.

Advances in fluorescence labeling strategies for dynamic cellular imaging



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Citation: http://www.nytimes.com/2014/07/07/opinion/testing-for-ovarian-cancer.html

Testing for Ovarian Cancer

"Until there's a test, awareness is best."

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Citation: http://www.nytimes.com/roomfordebate/2014/06/17/is-prep-a-good-way-to-fight-hiv-infections

Is a pill enought to fight H.I.V.?

New federal guidelines urge gay men and others who have unprotected sex to take a daily dose of the drug Truvada, a regimen called pre-exposure prophylaxis (PrEP), to curb H.I.V. infections and AIDS.

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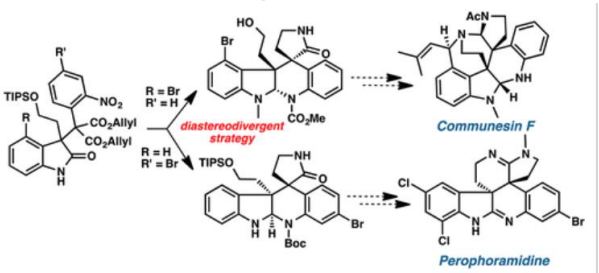
Citation: Han, S-J.; *et al*. *Org. Lett.* **2014**, 16 (12), 3316-3319

A Diastereodivergent Synthetic Strategy for the Syntheses of Communesin F and Perophoramidine



An efficient, unified, and stereodivergent approach toward communesin F and perophoramidine was examined. The C(3) all-carbon quaternary center of an oxindole was smoothly constructed by base-promoted indolone-malonate alkylation chemistry. The complementary relative stereochemistry of the crucial vicinal quaternary centers found in communesin F and perophoramidine was selectively installed by substrate-controlled decarboxylative allylic alkylations.

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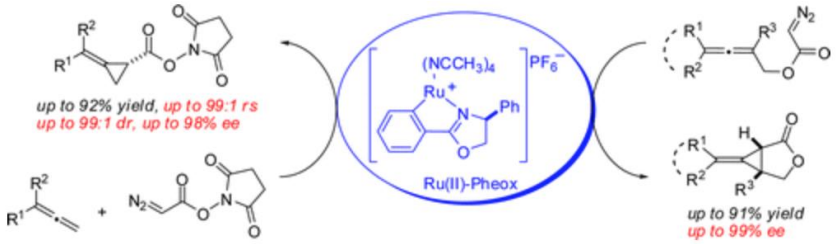
Citation: Chanthamath, S.; *Org. Lett.* **2014**, 16 (12), 3408-3411

Highly Regio- and Stereoselective Synthesis of Alkylidenecyclopropanes via Ru(II)-Pheox Catalyzed Asymmetric Inter- and Intramolecular Cyclopropanation of Allenes



An efficient protocol for the synthesis of optically active alkylidenecyclopropanes (ACPs) via the Ru(II)-Pheox catalyzed asymmetric cyclopropanation of allenes has been established. This catalytic system proceeded with high regioselectivity to give the ACP products in high yield with high diastereoselectivity (up to 99/1) and enantioselectivity (up to 99% ee).

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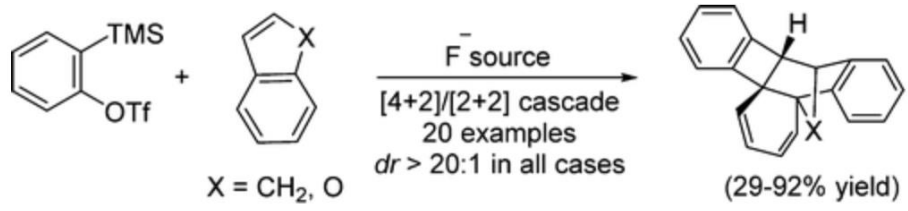
Prostratin

Citation: Bhojgude, S. S.; *et al*. *Org. Lett.* **2014**, 16 (13), 3576-3579

Tandem [4 + 2]/[2 + 2] Cycloaddition Reactions Involving Indene or Benzofurans and Arynes



The reaction of arynes with indene/benzofurans has been developed. The arynes were generated from 2-(trimethylsilyl)aryl triflates by the fluoride-induced 1,2-elimination react with indene or various benzofurans proceeding via a cascade reaction involving the Diels–Alder reaction and a [2 + 2] cycloaddition reaction. The tandem process afforded functionalized dihydrobenzocyclobutaphenanthrenes in moderate to good yields. Moreover, the method has been utilized for the one-pot synthesis of benzo[*b*]fluoranthene.

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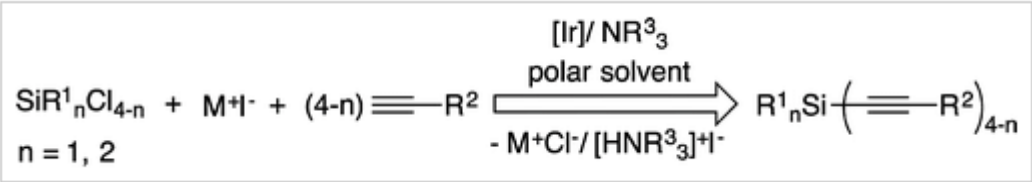
Citation: Kownacki, I.; Orwat, B.; Marciniec, B. *Organometallics*. **2014**, *33*, 3051.

Iridium-Promoted Conversion of Chlorosilanes to Alkynyl Derivatives in a One-Pot Reaction Sequence



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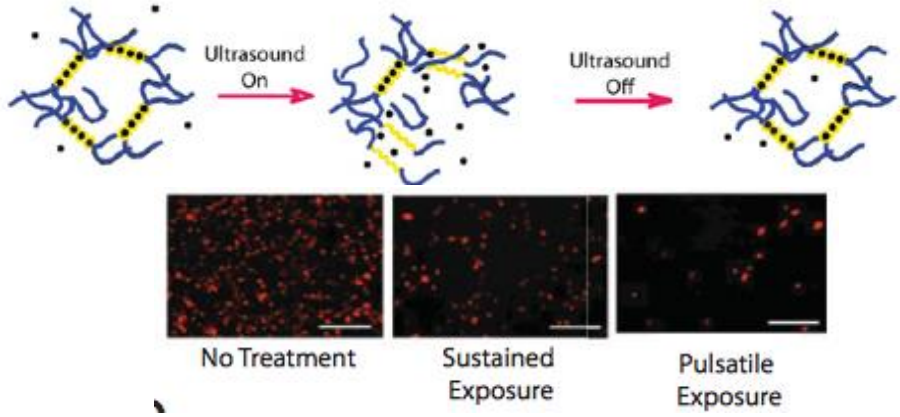
Citation: 9762–9767 | PNAS | July 8, 2014 | vol. 111 | no. 27

Ultrasound-triggered disruption and self-healing of reversibly cross-linked hydrogels for drug delivery and enhanced chemotherapy



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Citation: 9058–9063 | PNAS | June 24, 2014 | vol. 111 | no. 25

Self-assembly of amphiphilic Janus dendrimers into uniform onion-like dendrimersomes with predictable size and number of bilayers

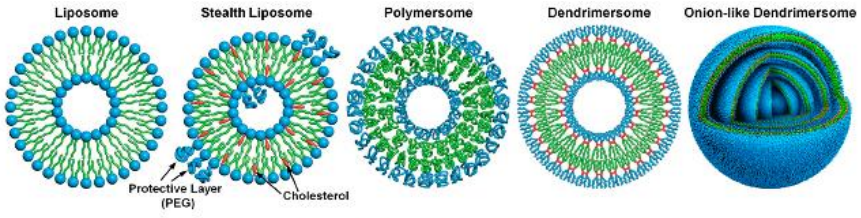
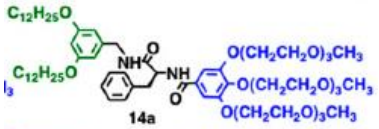


Fig. 1. Strategies for the preparation of single-bilayer vesicles and multibilayer onion-like vesicles.



(3,5)12G1-CH_2-L-Phe-(3,4,5)-3EO-G1-$(OCH_3)_3$
D: 156, PDI: 0.14
Onion-like dendrimersomes + dendrimersomes

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Citation: http://www.sciencemag.org/content/345/6192/14.full

Intellectual Property:
Biotech feels a chill from changing U.S. patent rules

Supreme Court decisions hobble efforts to protect inventions involving natural products.

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Citation: http://news.sciencemag.org/scientific-community/2014/07/1-scientific-publishing

The 1% of scientific publishing

"In many disciplines, doctoral students may be enrolled in high numbers, offering a cheap workforce," Ioannidis and his co-authors write in their paper. These students may spend years on research that yields, then, only one or a few papers. "[I]n these cases, the research system may be exploiting the work of millions of young scientists."

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Citation: http://www.sciencemag.org/site/special/hiv2014/index.xhtml

Strategies against HIV/AIDS

Science's special section on HIV/AIDS focuses on the success of Australia's approach to combating HIV and its neighbors' (Malaysia, Indonesia, and Papua New Guinea) efforts emulating some of Australia's methods to stem their own epidemics. However, critical issues remain unresolved about how to develop even more effective responses against HIV than now exist.

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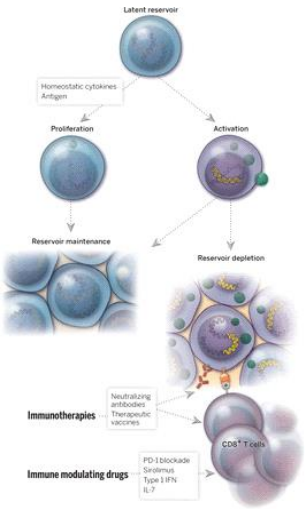
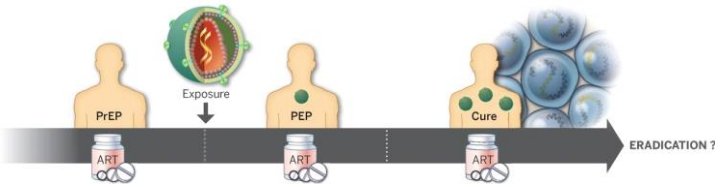
Citation: Barouch, D.H., et. al. Science, 2014, 345 (6193), 169-174



Immunologic strategies for HIV-1 remission and eradication



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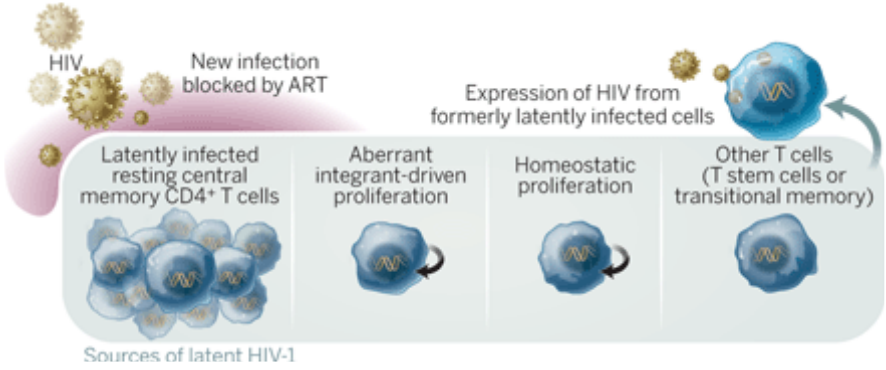
Citation: Margolis, D., et. al. Science, 2014, 345 (6193), 143-144

Persistence by proliferation?



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Citation: Zolla-Pazner, S. Science, 2014, 345 (6193),167-168

A critical question for HIV vaccine development: Which antibodies to induce?

A vaccine against HIV-1 must prevent infection against genetically diverse virus strains. Two approaches are currently being pursued to elicit antibody-mediated protection: vaccines that induce potent and broadly reactive neutralizing antibodies (bnAbs) or vaccines that induce “conventional antibodies,” which are less potent and broadly neutralizing in comparison. Although bnAbs may provide the greatest level of protection, their structural and genetic characteristics make their elicitation through vaccination a major challenge. In contrast, conventional HIV-1 antibodies have been induced by vaccination and correlated with reduced HIV-1 infection in a phase III vaccine trial. Here, I present evidence that both approaches should be pursued with equal vigor.

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Citation: Sci. Transl. Med. 6, 241ed14 (2014).

Chronic Diseases in HIV Survivors

This editorial highlights the tragic reality that developing effective treatments for a chronic condition such as HIV often increases the risk for other complications. It reiterates the driving need to search for and develop curative, rather than palliative treatment strategies for global health problems such as HIV.

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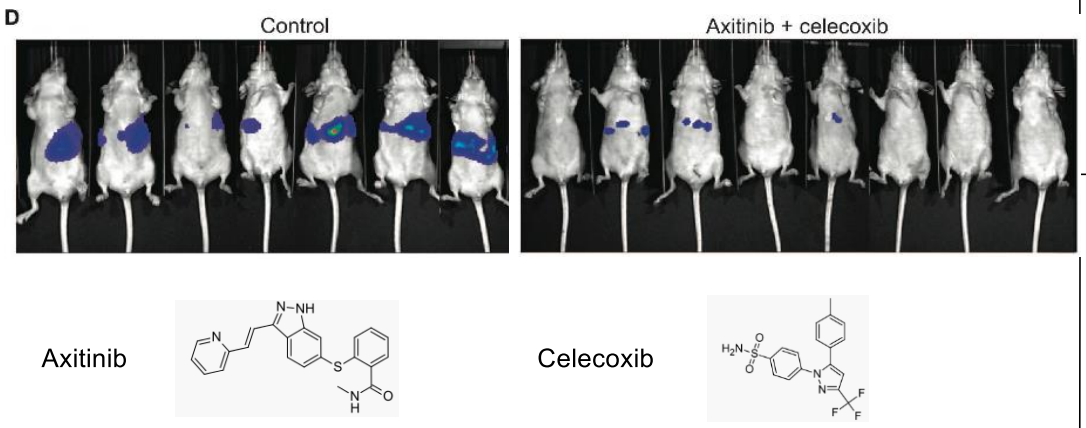
Citation: Sci Transl Med 6, 242ra84 (2014)

COX-2 Inhibition Potentiates Antiangiogenic Cancer Therapy and Prevents Metastasis in Preclinical Models



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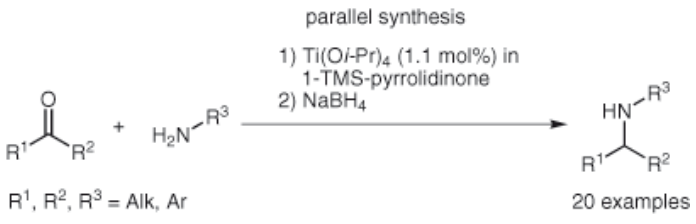
Citation: Yurii S. Moroz et al *Synthesis,* **2014**, 46(13), 1765

One-Pot Parallel Synthesis Approach to Secondary Amines Based on the Reductive Amination of Ketones



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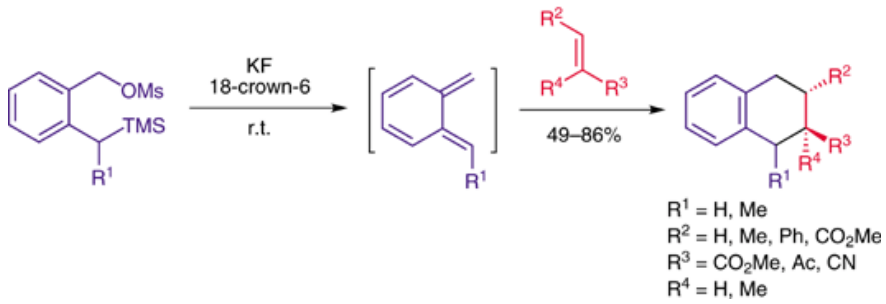
Citation: Shirakawa, Hidenori; Sano, Hiroshi; *Synthesis,* **2014**, 46(13), 1788

2-[(Trimethylsilyl)methyl]benzyl Methanesulfonates: Useful Precursors for the Generation of o-Quinodimethanes



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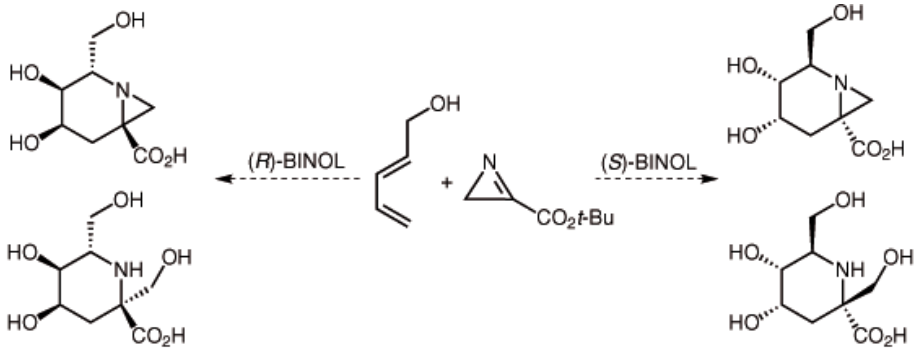
Citation: Duarte, Vera C. M.; Alves, Maria J.; Gil Fortes, António; *Synlett,* **2014**, 12(25), 1751.

Enantioselective Diels–Alder Cycloadditions in the Synthesis of Two Enantiomeric Sets of Chiral Polyhydroxylated Pipecolic Acid Derivatives



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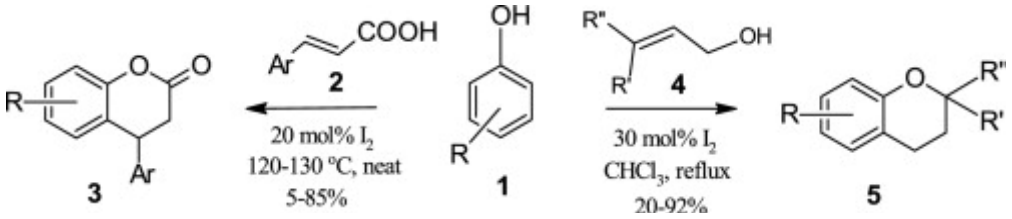
Citation: Mayuri M. Naik, Durga P. Kamat, Santosh G. Tilve, et al. *Tetrahedron* 70 (**2014**) 5221

Molecular iodine catalyst promoted synthesis of chromans and 4-aryl-3,4-dihydrobenzopyran-2-ones via [3+3] cyclocoupling



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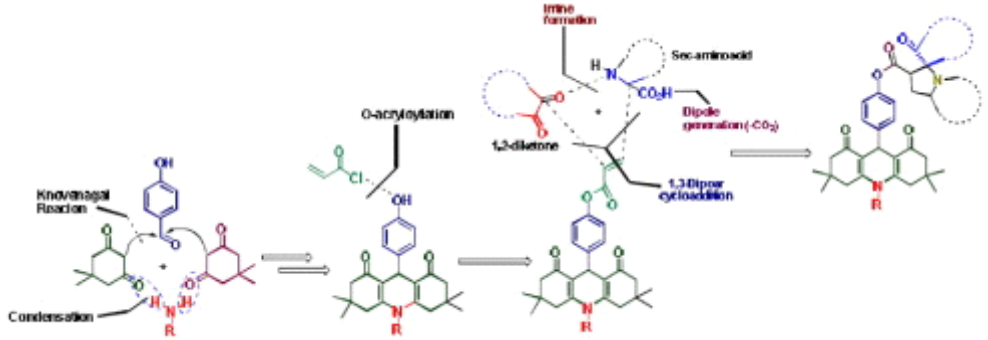
Citation: Rajesh, R., Suresh, M., Selvam, R., & Raghunathan, R. *Tetrahedron Letters*, **2014,** 55(30), 4047–4053.

Synthesis of acridinedione derived mono spiro-pyrrolidine/pyrrolizidine derivatives—a facile approach via intermolecular [3+2] cycloaddition reaction



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other

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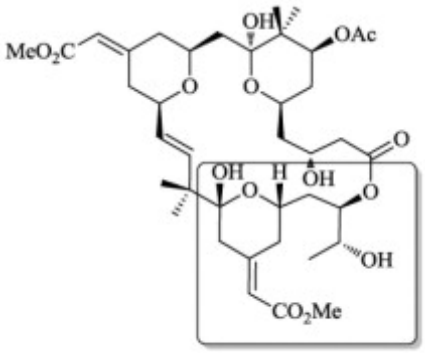
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Yadav, J. S., Swamy, T., Subba Reddy, B. V., & Ravinder, V. Tetrahedron Letters, **(2014),** 55(30), 4054–4056.

Stereoselective synthesis of C19–C27 fragment of bryostatin 11



Bryostatin 11

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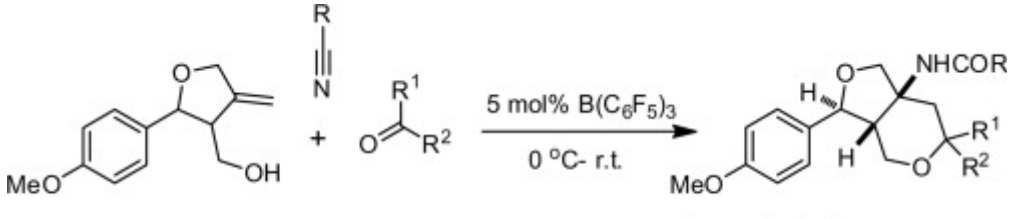
Citation: Reddy, B. V. S., Ghanty, S., Kishore, C., & Sridhar, B. *Tetrahedron Letters*, **(2014),** 55(31), 4298–4301.

B(C6F5)3-catalyzed Prins/Ritter reaction: a novel synthesis of hexahydro-1H-furo[3,4-c]pyranyl amide derivatives



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