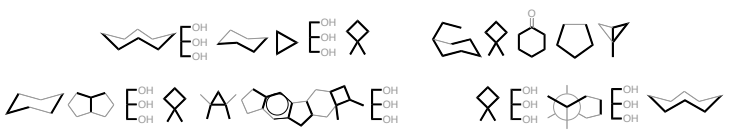

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Next Due Date: Wednesdasy, May 15, 2013

Instructions for Authors (*Volume 37*)

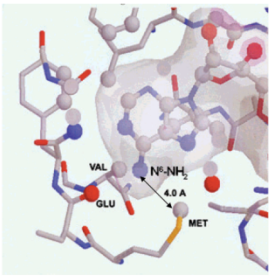
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent 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 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 (Iς-32Pl-N6-phenyl-ATP). Because this analogue could be utilized by the mutant kinase but not by wild-type PKCR (or presumably other protein kinase) to phosphorylate peptide or protein substrates, 32P-labeled products were the direct result of the mutant PKCR. 	**bioorganic** asymmetric methods synthesis mechanism review other OM **Bryo** Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.

Citation: Dictionary.com (search term = "mook")	
For those of you who always wanted to know what it meant.... **mook Pronunciation Key** (mk) *n. Slang* An insignificant or contemptible person.	***methods*** synthesis

DON'T BE A MOOK!

Lit Review MOOKS include those who:

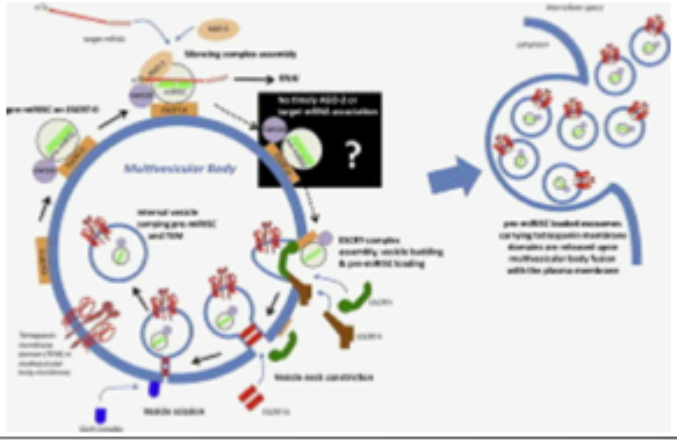
- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

Penalties for being a Lit Review MOOK:

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

Citation: *ADDR.* ***2013,*** 331-335.

Exosomes as nucleic acid nanocarriers



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DDO
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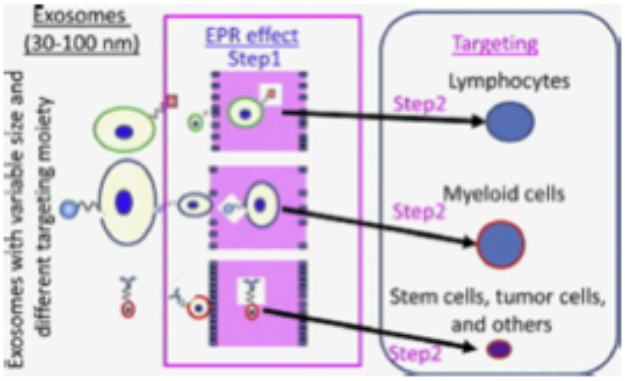
Drug Deliv.
Prostratin

Citation: *ADDR.* ***2013,*** 342-347.

Exosomes are endogenous nanoparticles that can deliver biological information between cells



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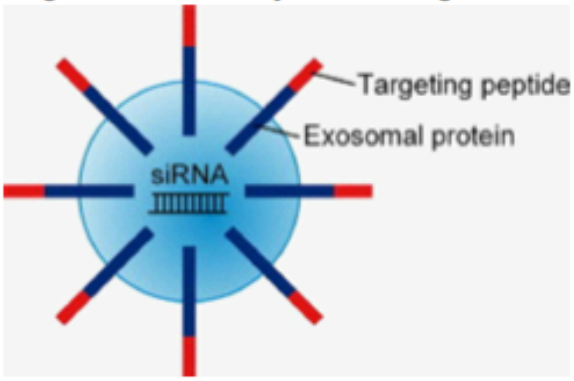
Citation: *ADDR.* ***2013,*** 391-397.

Exosomes for targeted siRNA delivery across biological barriers



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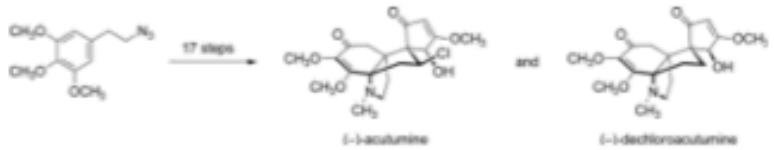
Citation: Herzon, S.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (13)*, 3642-3645.

Total Syntheses of (-)-Acutumine and (-)-Dechloroacutumine

Syntheses of the title complex tetracyclic alkaloids are described. The routes feature the strategic application of 5-trimethylsilylcyclopentadiene, a selective hydrostannylation of a complex enyne, a Hosomi–Sakurai cyclization to form the skeleton of the targets, an allylic formate rearrangement to construct the spirocyclopentenone rings, and a selective hydrogenation to establish the alkyl chloride functional group of (-)-acutumine.



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Hybrid
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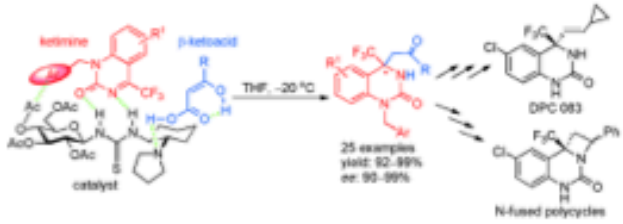
Citation: Ma, J.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (14)*, 3869-3873.

Hydrogen-Bond-Directed Enantioselective Decarboxylative Mannich Reaction of ß-Ketoacids with Ketimines: Application to the Synthesis of Anti-HIV Drug DPC 083

The title reaction provides facile access to enantioenriched 3,4-dihydroquinazolin-2(1H)-ones containing a quaternary stereogenic center in high yields with excellent enantioselectivities. Subsequent transformations lead to the convenient preparation of the anti-HIV drug DPC 083 and N-fused polycyclic compounds without loss of enantiomeric excess.



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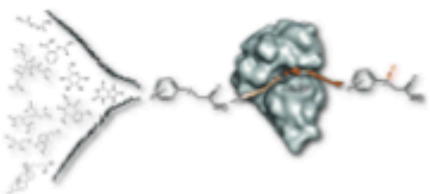
Citation: Menage, S.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (14)*, 3922-3925.

An Artificial Oxygenase Built from Scratch: Substrate Binding Site Identified Using a Docking Approach

The substrate for an artificial iron monooxygenase was selected by using docking calculations. The high catalytic efficiency of the reported enzyme for sulfide oxidation was directly correlated to the predicted substrate binding mode in the protein cavity, thus illustrating the synergetic effect of the substrate binding site, protein scaffold, and catalytic site.



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Drug Deliv.

Citation: Laufer, S.; et al. *Angew. Chem. Int. Ed.* **2013**, *52 (15)*, 4072-4076.

Drug Discovery: A Modern Decathlon

The increasing costs as well as the lack of innovation potency in the development of new drugs have led to a discussion of the possible contribution of the German university landscape, especially pharmaceutical sciences. Successful examples are already apparent in the US.

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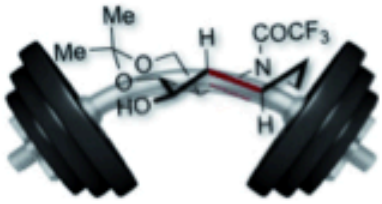
Pharma

Citation: Wilson, M.; Taylor, R. *Angew. Chem. Int. Ed.* **2013**, *52 (15)*, 4078-4087.

Strained Alkenes in Natural Product Synthesis

The high reactivity of strained alkenes facilitates complex synthetic transformations in a mild and atom-economical fashion. Recent developments in the synthesis and handling of distorted alkenes have led to the use of these highly reactive molecules as critical intermediates in natural product synthesis. The ability of strained alkenes to drive otherwise unfavorable reactions is demonstrated in a number of these examples.



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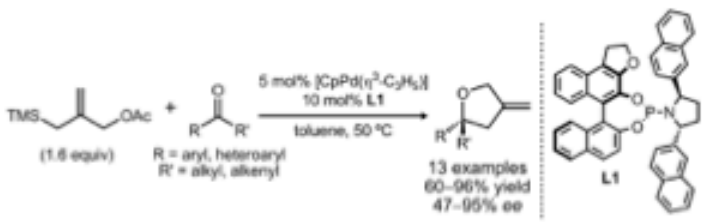
Citation: Trost, B.; Bringley, D. *Angew. Chem. Int. Ed.* **2013**, *52 (16)*, 4466-4469.

Enantioselective Synthesis of 2,2-Disubstituted Tetrahydrofurans: Palladium-Catalyzed [3+2] Cycloadditions of Trimethylenemethane with Ketones

An approach to the title compounds has been developed utilizing a cycloaddition of trimethylenemethane with aryl ketones. The products are formed in up to a 96% yield with 95% ee. The reaction is catalyzed by palladium in the presence of L1, which possesses a stereogenic phosphorus atom, and only a single epimer at the phosphorus atom yields the active catalyst.



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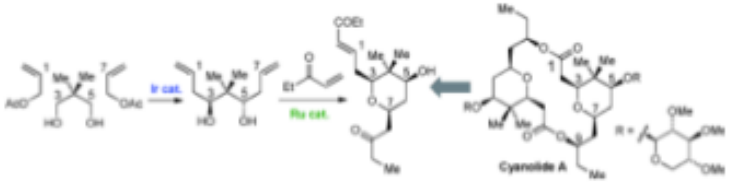
Citation: Waldeck, A.; Krische, M. *Angew. Chem. Int. Ed.* **2013**, *52 (16)*, 4470-4473.

Total Synthesis of Cyanolide A in the Absence of Protecting Groups, Chiral Auxiliaries, or Premetalated Carbon Nucleophiles

The C2-symmetric macrodiolide cyanolide A is prepared in six steps from neopentyl glycol and allyl acetate by iridium-catalyzed double asymmetric allylation and a tandem cross-metathesis/oxa-Michael cyclization to form the substituted pyran. The synthesis is accomplished in the absence of any protecting groups, chiral auxiliaries, or premetalated carbon nucleophiles in fewer than half the steps of any prior approach.



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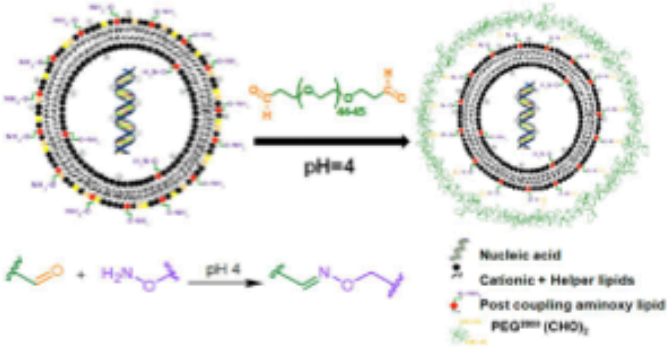
Kolli, S. et al. Bioconjugate Chem. 2013, 24, 314–332.

pH-Triggered Nanoparticle Mediated Delivery of siRNA to Liver Cells in Vitro and in Vivo



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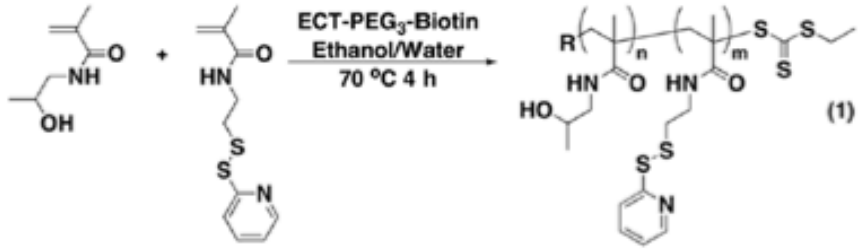
Lundy, B. B.; Convertine, A.; Miteva, M.; Stayton, P. S. Bioconjugate Chem. 2013, 24, 398–407.

Neutral Polymeric Micelles for RNA Delivery



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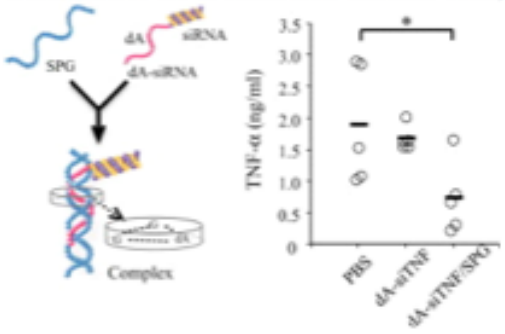
Mochizuki, S.; Morishita, H.; Sakurai, K. Bioorganic & Medicinal Chemistry 2013, 21, 2535–2542.

Macrophage specific delivery of TNF-α- siRNA complexed with β-1,3-glucan inhibits LPS-induced cytokine production in a murine acute hepatitis model



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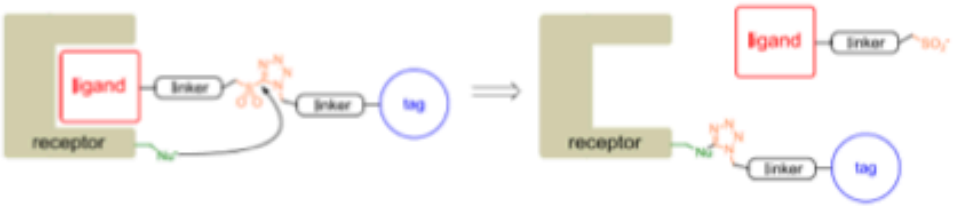
Citation: Otsuki, S.; *et al. Bioorg. Med. Chem. Lett.* **2013,** *23,* 1608-11

Chemical Tagging of a drug target using 5-sulfonyl tetrazole

The authors have devised a 5-sulfonyl tetrazole probe that can tag receptor proteins. The probe consists of a ligand for the receptor protein, a tagging function that reacts with nucleophiles in the receptor protein and a tag group for detection. Examining the reactivity between the probe and receptor gives insight into the interaction between drugs and receptor molecules.



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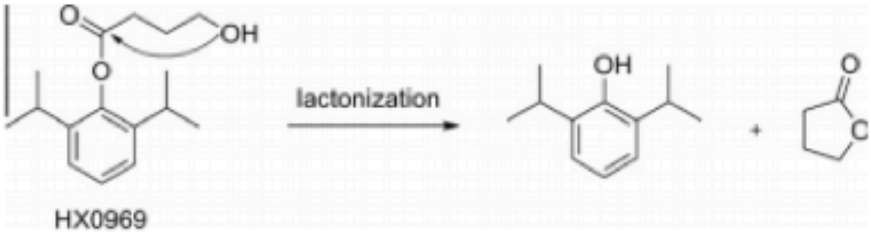
Citation: Yang, J.; *et al. Bioorg. Med. Chem. Lett.* **2013,** *23,* 1813-6

Synthesis and characterization of novel quick-release propofol prodrug via lactonization

In an effort to make propofol (an anesthetic) more soluble, compound HX0969 was synthesized. HX0969 undergoes lactonization to release propofol (the product on the left).



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Citation: Wolf, L. K. *C&EN* **2013**, *91* (11), 41.

Getting To Alzheimer's Early

Recently identified biomarkers and novel imaging tools may show whether new therapies stop damage before it's too late in a trio of early-intervention trials set to start later this year.

EARLY INTERVENTION

Clinical trials set to start in 2013 will give antiamyloid drugs to symptomless patients and monitor them with a plethora of diagnostics

	DIAN Trial	API Trial	A4 Trial
Number of participants	240	300	1,000
Screening criteria	Any genetic mutation for Alzheimer's	E280A presenilin-1 mutation for Alzheimer's	Positive Amyvid PET scan
Drugs being administered	Gantenerumab (antiamyloid antibody), solanezumab (antiamyloid antibody), LY2886721 (β-secretase inhibitor)	Crenezumab (antiamyloid antibody)	Solanezumab (antiamyloid antibody)
Diagnostic tools	Pittsburgh Compound B PET scans, CSF assays, MRI, cognitive tests	Amyvid and other PET scans, CSF/plasma assays, MRI, cognitive tests	Amyvid PET scans, CSF assays, MRI, cognitive tests
Lead institution	Washington University in St. Louis	Banner Alzheimer's Institute	University of California, San Diego
Funding	Not publically available	$100 million	$100 million
Estimated start date	March (baseline visits)	Summer	October

CSF = cerebrospinal fluid; MRI = magnetic resonance imaging; PET = positron emission tomography.

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Citation: Wolf, L. K.; Morrissey, S. R. *C&EN* **2013**, *91* (14), 9.

White House Unveils $100 Million BRAIN Initiative

Federal support from DARPA, the NIH, and the NSF will go towards a new project that aims to map human neuron activity. BRAIN will help understand the dynamic connectivity of nerve cells, which could help treat conditions such as autism and schizophrenia, in which faulty neuronal circuitry has been implicated.

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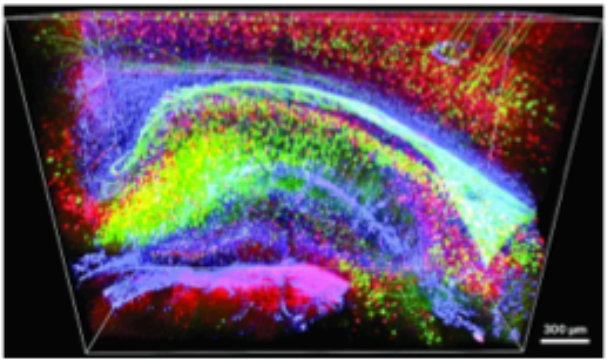
Citation: Wolf, L. K. *C&EN* **2013**, *91* (15), 9.

Chemical Method That Makes Tissue Transparent Could Lead To A Brain Wiring Diagram



A research team at Stanford University developed the patented Clarity method for converting brain tissue into a clear hydrogel (Nature, DOI: 10.1038/nature12107). Brains can then be immunostained with fluorescent antibodies of different colors to generate 3-D rainbow maps of brain features.

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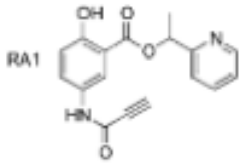
Citation: Zambaldo, C.; *et al. Chem. Sci.* **2013**, *4*, 2088

Selective affinity-based probe for oncogenic kinases suitable for live cell imaging

The authors have developed a cell permeable probe to image the prescence and location of kinases (EGFR and ERBB2) in the cell. The probes, which are ligated to a pro-fluorophore, covalently tag the kinases. The authors were able to tag kinases in live cells and in breast cancer cell ines. RA1 (below) was found to effectively inhibit the kinases and saw selective of three kinases: ERBB2, JAK3, and EGFR.



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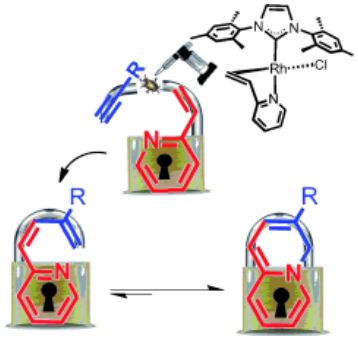
Citation: Azpíroz et al. Chemistry – A European Journal 2013, 19, 3812–3816.

A New Access to 4 H-Quinolizines from 2-Vinylpyridine and Alkynes Promoted by Rhodium–N-Heterocyclic-Carbene Catalysts



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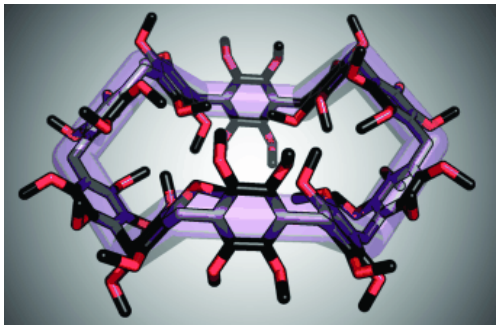
Citation: Schneebeli et al. Chemistry – A European Journal 2013, 19, 3860–3868.

Asararenes—A Family of Large Aromatic Macrocycles



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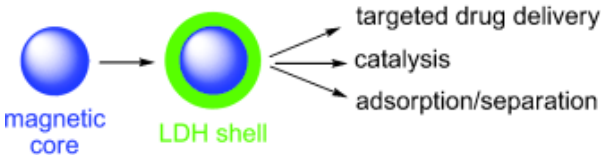
Citation: Shao et al. Chemistry – A European Journal 2013, 19, 4100–4108.

Hierarchical Structures Based on Functionalized Magnetic Cores and Layered Double-Hydroxide Shells: Concept, Controlled Synthesis, and Applications



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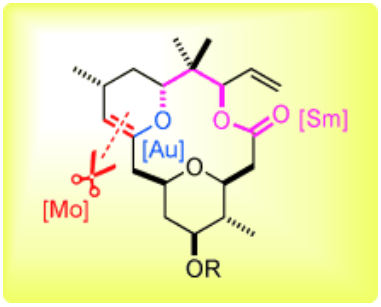
Citation: Brewitz et al. Chemistry – A European Journal 2013, 19, 4532–4537.

Formal Total Synthesis of the Algal Toxin (-)-Polycavernoside A



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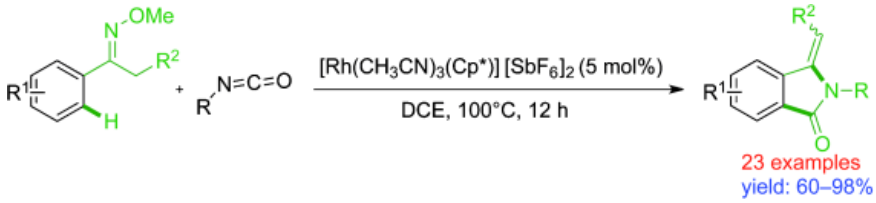
Citation: Zhou, B.; Hou, W.; Yang, Y.; Li, Y. Chemistry – A European Journal 2013, 19, 4701–4706.

Rhodium(III)-Catalyzed Amidation of Aryl Ketone O-Methyl Oximes with Isocyanates by C-H Activation: Convergent Synthesis of 3-Methyleneisoindolin-1-ones



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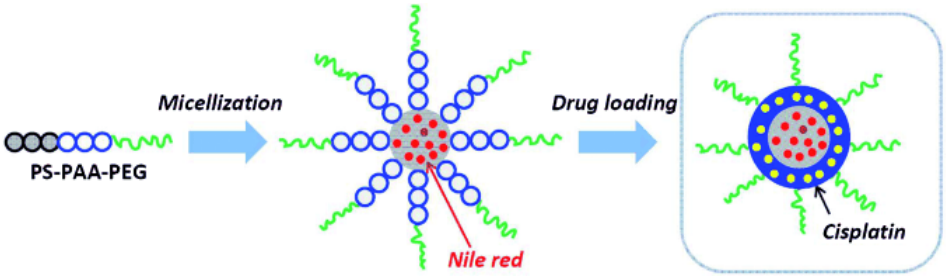
Prostratin

Citation: Bastakoti et al. Chemistry – A European Journal 2013, 19, 4812–4817.

Multifunctional Core-Shell-Corona-Type Polymeric Micelles for Anticancer Drug-Delivery and Imaging

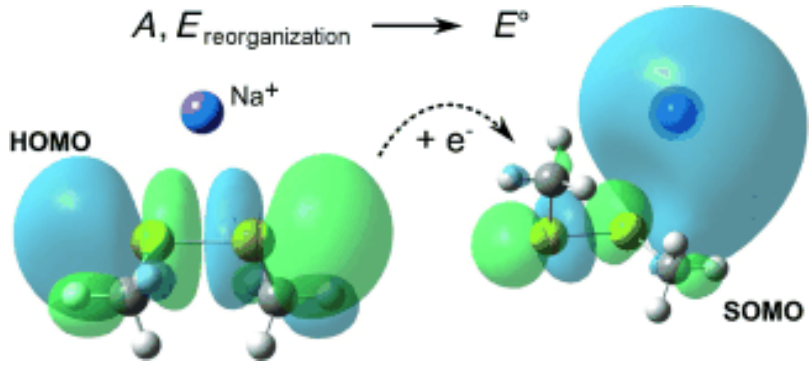


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Roos, G.; De Proft, F.; Geerlings, P. Chemistry – A European Journal 2013, 19, 5050–5060.

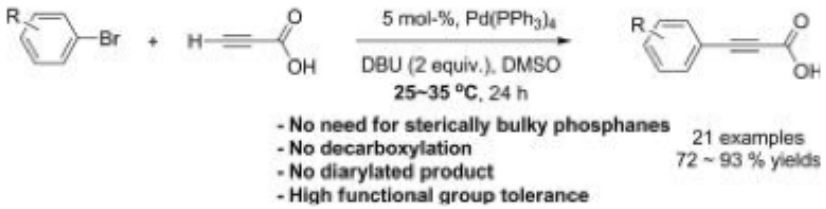
Electron Capture by the Thiyl Radical and Disulfide Bond: Ligand Effects on the Reduction Potential



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Park, K., You, J.-M., Jeon, S. and Lee, S. (2013). Eur. J. Org. Chem., 2013: 1973–1978



A variety of aryl bromides were coupled with propiolic acid in the presence of 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) and catalyst Pd(PPh3)4 to afford the corresponding arylpropiolic acids in good yields at low temperature.

bioorganic
methods
synthesis
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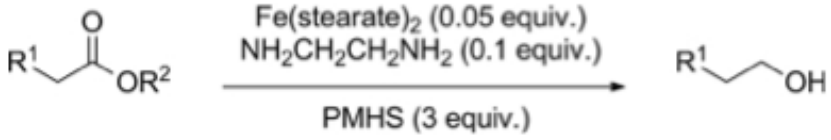
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Junge, K., Wendt, B., Zhou, S. and Beller, M. (2013). Eur. J. Org. Chem., 2013: 2061–2065



The first iron-catalyzed hydrosilylation of carboxylic acid esters to alcohols is described. A catalytic system formed by Fe(stearate)2/NH2CH2CH2NH2 and polymethylhydrosiloxane (PMHS) is used for this transformation, which has a broad substrate scope, including 20 aliphatic, aromatic, and heterocyclic esters. The corresponding alcohols are obtained in moderate to very good yields.

bioorganic
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synthesis
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other

OM
Bryo
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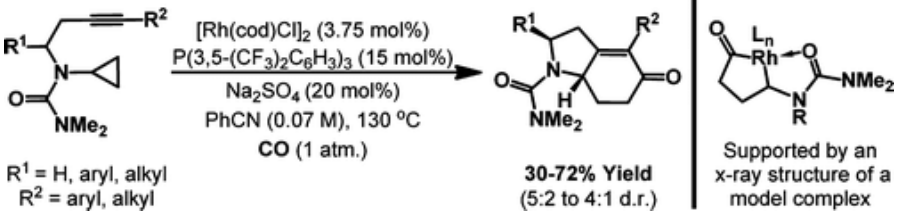
Hybrid
Drug Deliv.
Prostratin

Citation: Shaw, M.H.; Melikhova, E.Y.; Kloer, D.P.; Whittingham, W.G.; Bower, J.F. *J. Am. Chem. Soc.*, **2013**, *135* (13), 4992-4995.

Directing Group Enhanced Carbonylative Ring Expansions of Amino-Substituted Cyclopropanes: Rhodium-Catalyzed Multicomponent Synthesis of N-Heterobicyclic Enones



R^1 = H, aryl, alkyl
R^2 = aryl, alkyl

30-72% Yield
(5:2 to 4:1 d.r.)

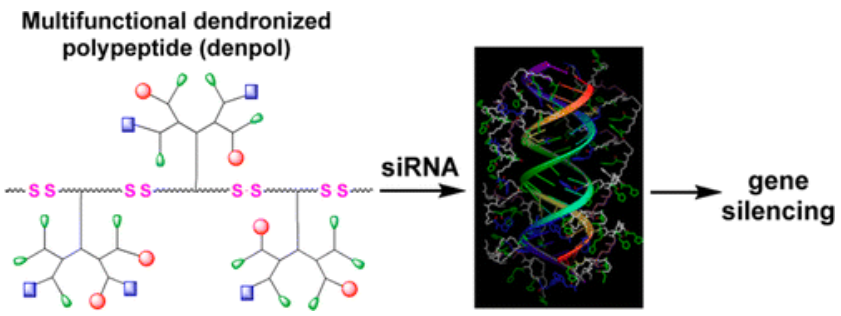
Supported by an x-ray structure of a model complex

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Zeng, H.; Little, H.C.; Tiambeng, T.N.; Williams, G.A.; Guan, Z. *J. Am. Chem. Soc.*, **2013**, *135* (13), 4962-4965.

Multifunctional Dendronized Peptide Polymer Platform for Safe and Effective siRNA delivery

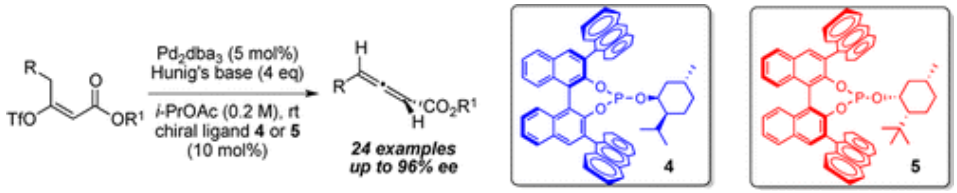


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Crouch, I.T.; Neff, R.K.; Frantz, D.E. *J. Am. Chem. Soc.*, **2013**, *135* (13), 4970-4973.

Pd-Catalyzed Asymmetric β-Hydride Elimination en Route to Chiral Allenes



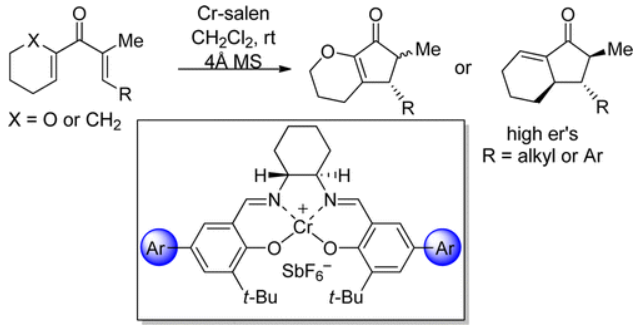
We wish to report our preliminary results on the discovery adn development of a catalytic aymmetric β-Hydride elimination from vinyl Pd(II)-complexes derived from enol triflates to access chiral allenes. To achieve this, we developed a class of chiral phosphite ligands that demonstrate high enantioselectivity, allow access of either allene enantiomer, and are readily synthesized.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hutson, G.E.; Türkmen, Y.E.; Rawal, V.H. *J. Am. Chem. Soc.*, **2013**, *135* (13), 4988-4991.

Salen Promoted Enantioselective Nazarov Cyclizations of Activated and Unactivated Dienones

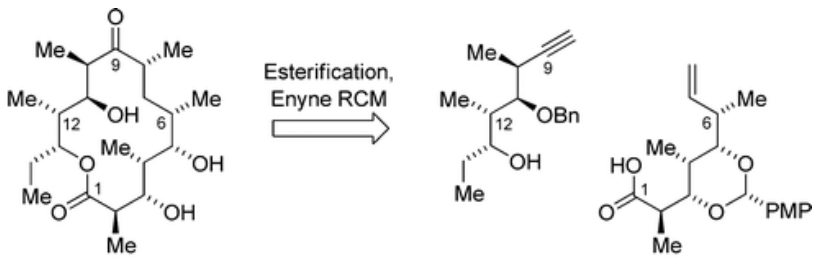


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Gao, X.; Woo, S.K.; Krische, M.J. *J. Am. Chem. Soc.*, **2013**, *135* (11), 4223-4226.

Total Synthesis of 6-Deoxyerythronolide B via C-C Bond-Forming Transfer Hydrogenation

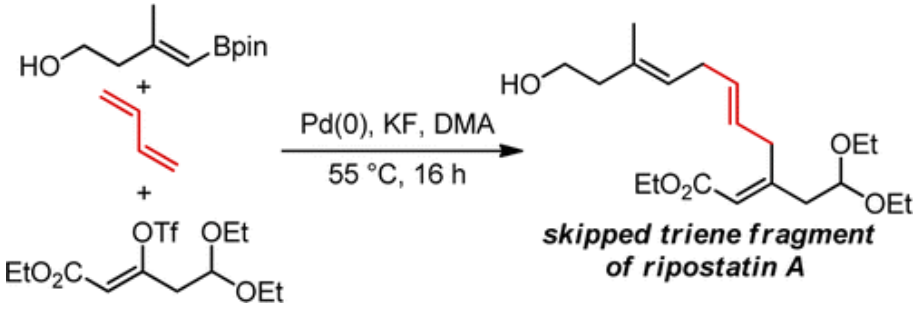


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: McCammant, M.S.; Liao, L.; Sigman, M.S. *J. Am. Chem. Soc.*, **2013**, *135* (11), 4167-4170.

Palladium-Catalyzed 1,4-Difunctionalization of Butadiene To Form Skipped Polyenes



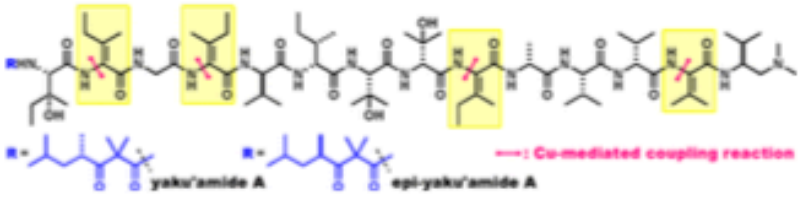
skipped triene fragment of ripostatin A

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Kuranaga, T.; Sesoko, Y.; Sakata, K.; Maeda, N.; Hayata, A.; Inoue, M.* J. Am. Chem. Soc., 2013, 135 (14), pp 5467–5474

Total Synthesis and Complete Structural Assignment of Yaku'amide A

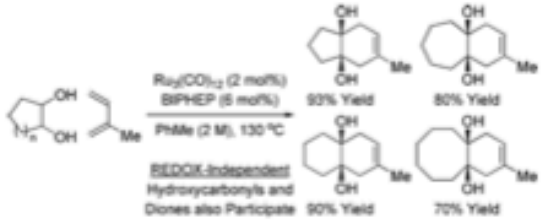


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Geary, L.M.; Glasspoole, B.W.; Kim, M.M.; Krische, M.J.* J. Am. Chem. Soc., 2013, 135 (10), pp 3796–3799

Successive C–C Coupling of Dienes to Vicinally Dioxygenated Hydrocarbons: Ruthenium Catalyzed [4 + 2] Cycloaddition across the Diol, Hydroxycarbonyl, or Dione Oxidation Levels



The ruthenium(0) catalyst generated from $Ru_3(CO)_{12}$ and tricyclohexylphosphine or BIPHEP promotes successive C–C coupling of dienes to vicinally dioxygenated hydrocarbons across the diol, hydroxyketone, and dione oxidation levels to form products of [4 + 2] cycloaddition. A mechanism involving diene-carbonyl oxidative coupling followed by intramolecular carbonyl addition from the resulting allylruthenium intermediate is postulated.

bioorganic
methods
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mechanism
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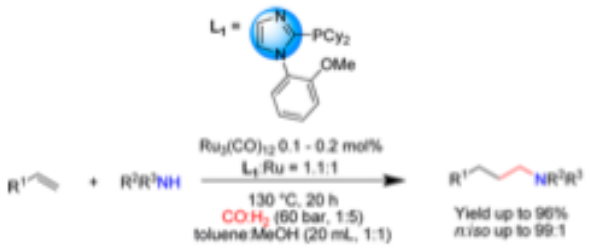
OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Wu, L.; Fleischer, I.; Jackstell, R.; Beller,M.* J. Am. Chem. Soc., 2013, 135 (10), pp 3989–3996

Efficient and Regioselective Ruthenium-catalyzed Hydro-aminomethylation of Olefins



An efficient and regioselective ruthenium-catalyzed hydroaminomethlyation of olefins is reported. Key to success is the use of specific 2-phosphino-substituted imidazole ligands and triruthenium dodecacarbonyl as catalyst. Both industrially important aliphatic as well as various functionalized olefins react with primary and secondary amines to give the corresponding secondary and tertiary amines generally in high yields (up to 96%) and excellent regioselectivities (n/iso up to 99:1).

bioorganic
methods
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mechanism
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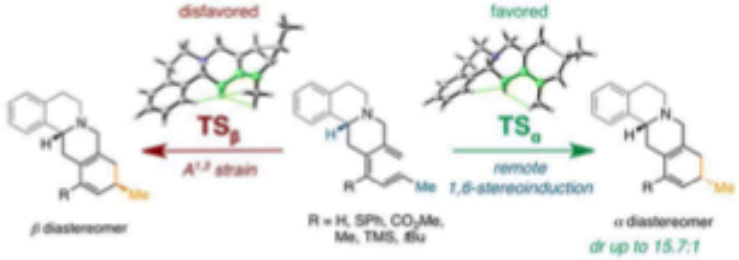
OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Patel, A.; Barcan, G.A.; Kwon, O.*; Houk, K.N.* J. Am. Chem. Soc., 2013, 135 (12), pp 4878–4883

Origins of 1,6-Stereoinduction in Torquoselective 6π Electrocyclizations

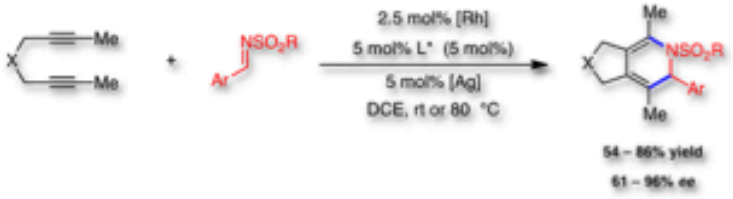


bioorganic
asymmetric
methods
synthesis
mechanism
review
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Amatore, M.; Lebœuf, D.; Malacria, M.; Gandon, V.*; Aubert, C.* J. Am. Chem. Soc., 2013, 135 (12), pp 4576–4579

Highly Enantioselective Rhodium-Catalyzed [2+2+2] Cycloaddition of Diynes to Sulfonimines



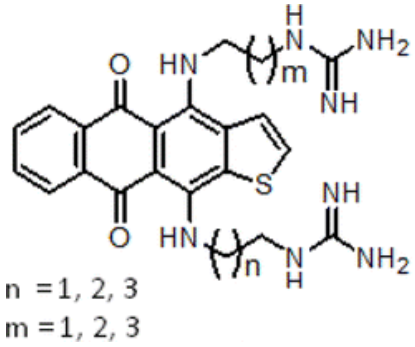
A new asymmetric [2+2+2] cycloaddition of diynes to sulfonimines under rhodium catalysis that provides the corresponding enantioenriched 1,2-dihydropyridines in good yields is described.

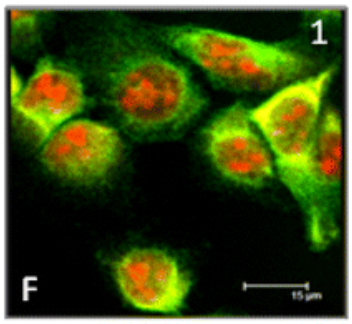
bioorganic
asymmetric
methods
synthesis
mechanism
review
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Cogoi, S.; *et al. Med. Chem.* **2013**, *56*, 2764-2778.

Guanidino Anthrathiophenediones as G-Quadruplex Binders: Uptake, Intracellular Localization, and Anti-Harvey-ras Gene Activity in Bladder Cancer Cells





bioorganic
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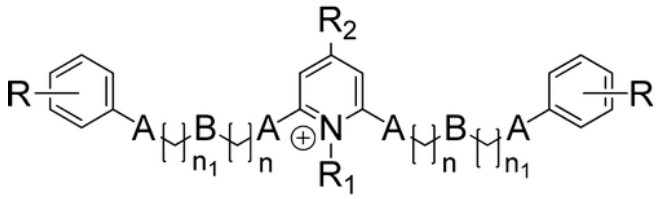
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Carvalho, J. F. S.; *et al. J. Med. Chem.* **2013**, *56*, 2828-2840.

Strategies To Reduce hERG K+ Channel Blockade. Exploring Heteroaromaticity and Rigidity in Novel Pyridine Analogues of Dofetilide

Dofetilide pyridine derivatives



hERG binding affinity ?

bioorganic
methods
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mechanism
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OM
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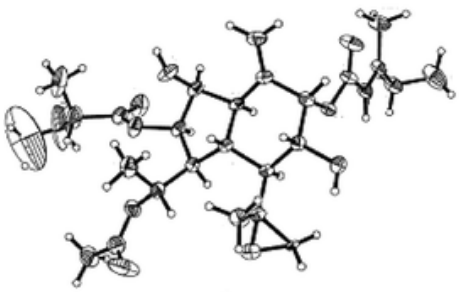
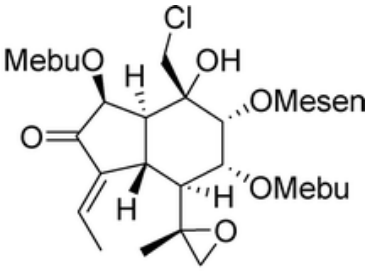
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Wang, W.; Chen, T-H.; Bastow, K. F.; Morris-Natschke, S. L.; Lee, K-H.; Chen, D-F.. *J. Nat. Prod.* **2013**, *76*, 305-310.

Songaricalarins A–E, Cytotoxic Oplopane Sesquiterpenes from *Ligularia songarica*



1



3

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mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Zhou, B. *et al. JOC,* **2013**, *78*, 2897-2907.

Synthesis of Six-Membered Spirocyclic Oxindoles with Five Consecutive Stereocenters in an Asymmetric Organocatalytic One-Pot Michael/Michael/Aldol Sequence

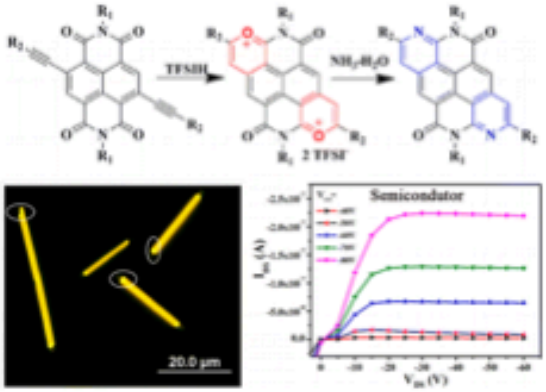
Rapid way of synthesizing these spiro-oxindoles that seems to be relatively robust; however, you necessarily end up with a nitro group in your product. That appears to be a downside. Yields are acceptable to good depending on substrate.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Li, Y. *et al. JOC,* **2013**, *78*, 2926-2934.

Extended π–Conjugated Molecules Derived from Naphthalene Diimides toward Organic Emissive and Semiconducting Materials

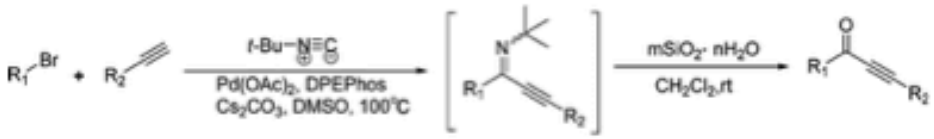


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Tang, T. *et al. JOC,* **2013**, *78*, 3170-3175.

Palladium-Catalyzed Carbonylative Sonogashira Coupling of Aryl Bromides via *tert*-Butyl Isocyanide Insertion



I wonder if we could use this technique with any of the Rh chemistry. They get to a very large variety of substituted products; however, the necessity of an aryl-bromide precursor (R^1-Br) is somewhat limiting.

bioorganic
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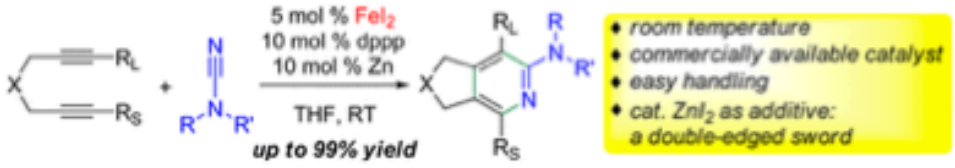
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wang, C. *et al. JOC.* **2013**, *78*, 3065-3072.

Iron-Catalyzed Cycloaddition Reactions of Diynes and Cyanamides at Room Temperature



Interesting system. Seems relatively operationally simple. Substrate scope is wide and yields are good to excellent.

bioorganic
methods
synthesis
mechanism
review
other

OM
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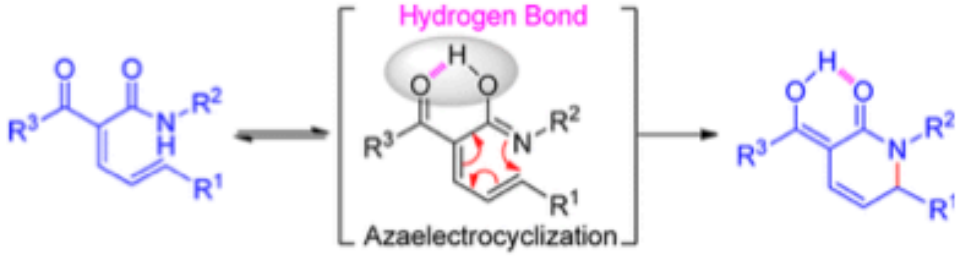
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Liu, X. *et al. JOC,* **2013**, *78*, 3323-3328.

Hydrogen Bond-Assisted 6pi-Azaelectrocyclization of Penta-2,4-dienamides: Synthesis of Dihydropyridin-2(3H)-ones



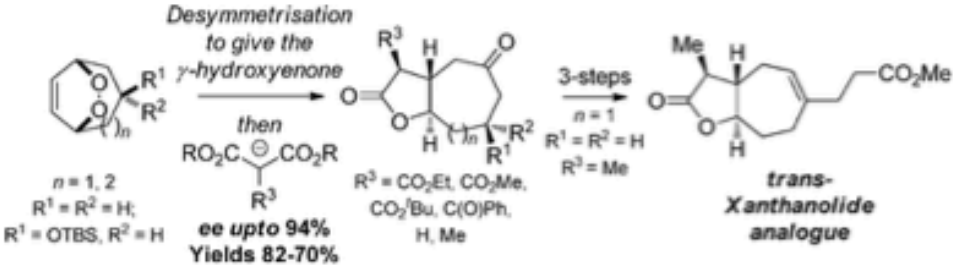
R^1, R^2, R^3 = aryl, alkyl 18 examples, up to 94% yield

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Priest, J. *et al. JOC,* **2013**, *78*, 3476-3481.

An Asymmetric Synthesis of *trans*-Fused Butyrolactones from Endoperoxides



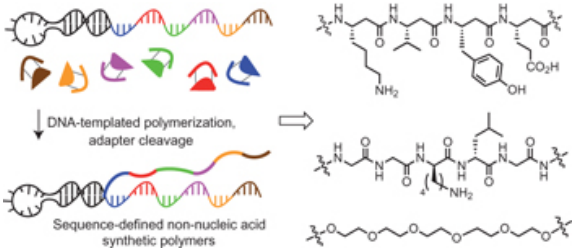
"The intermolecular addition of 1,3-dicarbonyl equivalents to endoperoxides in the presence of an organocatalyst yields trans-fused butyrolactones in high yield and enantioselectivities. This methodology expands the synthetic utility of endoperoxides and further underlines their potential as sources of oxygen functionality for natural and non-natural product target synthesis."

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Niu, J.; Hili, R.; Liu, D. R. *Nature Chem.* **2013**, *5,* 282-292.

Enzyme-Free Translation of DNA into Sequence-Defined Synthetic Polymers Structurally Unrelated to Nucleic Acids



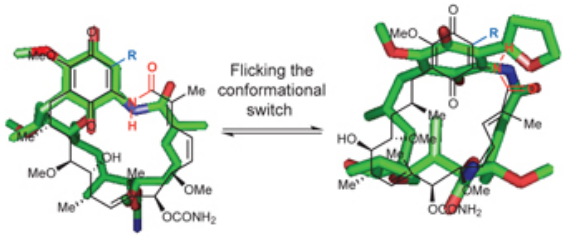
"An enzyme-free system that translates DNA into sequence-defined non-nucleic acid polymers including polyethylene glycol, α-(D)-peptides and β-peptides is described. Sequence-defined polymers with molecular weights of 26 kDa containing 16 consecutively coupled building blocks and 90 densely functionalized β-amino acids were translated from DNA templates using this strategy."

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Kitson, R. R. A.; Chang, C.-H.; Xiong, R.; Williams, H. E. L.; Davis, A. L.; Lewis, W.; Dehn, D. L.; Siegel, D.; Roe, S. M.; Prodromou, C.; Ross, D.; Moody, C. J. *Nature Chem*. **2013**, *5*, 307-314.

Synthesis of 19-Substituted Geldanamycins with Altered Conformations and their Binding to Heat Shock Protein Hsp90



"The heat shock protein Hsp90 is a potential target for cancer and neurodegeneration drugs. Here, the introduction of a substituent into the 19-position of the naturally occurring inhibitor geldanamycin by chemical synthesis is shown to ameliorate toxicity, and also cause a favourable conformational switch that is required for protein binding."

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin
Alison

Citation: Simon, G. M.; Niphakis, M. J.; Cravatt, B. F. *Nat. Chem. Bio.* **2013**, *9*, 200-205.

Determining target engagement in living systems

Chemical probes are critical tools for elucidating the biological functions of proteins and can lead to new medicines for treating disease. The pharmacological validation of protein function requires verification that chemical probes engage their intended targets in vivo. Here we discuss technologies, both established and emergent, for measuring target engagement in living systems and propose that determining this parameter should become standard practice for chemical probe and drug discovery programs.

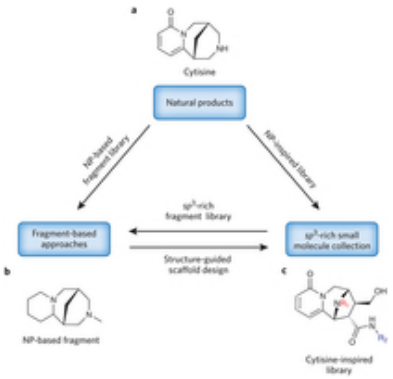
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Kesavan, S.; Marcaurelle, L. A. *Nat. Chem. Bio.* **2013**, *9*, 210-213.

Translational synthetic chemistry

Providing chemical matter to modulate newly identified biological targets—as well as pre-existing but chemically intractable ones—remains a challenge in the discovery of therapeutics. Here, we discuss opportunities for synthetic chemists to make a direct impact in addressing targets that are considered 'undruggable'.



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Citation: http://www.nytimes.com/2013/04/15/business/as-court-considers-gene-patents-case-may-overlook-relevant-issues.html?pagewanted=2&_r=0&ref=science

Justices Consider Whether Patents on Genes Are Valid

The question before the court is whether isolated human genes are products of nature, and therefore ineligible for patents, or are sufficiently different from the genes found inside the body's cells.

If the Supreme Court, which has shown a recent tendency to rein in patenting, were to say genes cannot be patented, the impact could depend on how the opinion was worded. Drugs, vaccines or crops might still be protectable even without patents on genes because other steps are involved in their creation.

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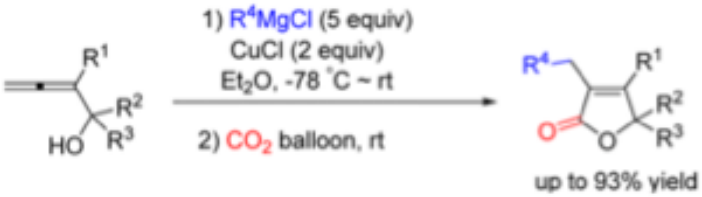
Citation: Suhua, L; et al. *Org. Lett.* **2013**, 15(5), 977-979.

Carbometalation-Carboxylation of 2,3-Allenols with Carbon Dioxide: A Dramatic Effect of Halide Anion



The cyclic organometallic intermediates formed via CuCl-mediated highly regio- and stereoselective carbomagnesiation of 2,3-allenols with Grignard reagents may smoothly react with carbon dioxide to afford 2(5*H*)-furanones. A dramatic effect of the halide anion from the Grignard reagent (Br vs Cl) for CO_2 activation was observed. The reaction proceeded smoothly under mild conditions to afford the products in 58-93% yields.

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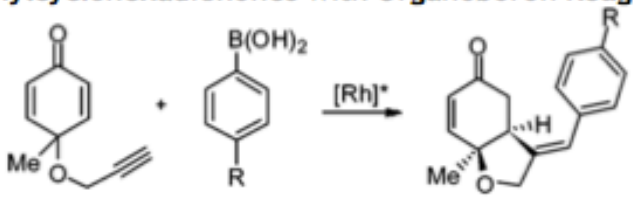
Citation: Keilitz, J.; et al. *Org. Lett.* **2013**, 15(5), 1148-1151.

Enantioselective Rh-Catalyzed Domino Transformations of Alkynylcyclohexadienones with Organoboron Reagents



up to 90% ee

A new enantioselective rhodium-catalyzed domino reaction is described that gives access to fused heterocycles by desymmetrization of alkyne-tethered cyclohexadienones. Two new C-C bonds and two stereocenters are formed in one step with good enantioselectivity. In contrast to prior reports, it was found that a vinylidene is not involved in the product formation but that *syn*-addition of the rhodium-aryl species onto the alkyne takes place.

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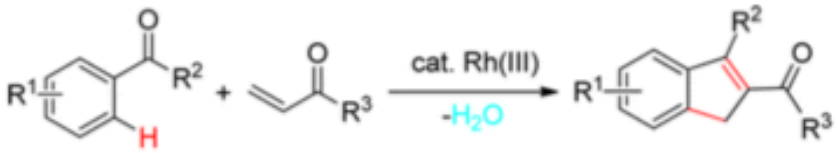
Citation: Shi, X-Y.; Li, C-J.; F. *Org. Lett.* **2013**, 15(7), 1576-1479.

Synthesis of Indene Frameworks via Rhodium-Catalyzed Cascade Cyclization of Aromatic Ketone and Unsaturated Carbonyl Compounds



A novel rhodium(III)-catalyzed direct functionalization of the *ortho*-C,ÄiH bond of aromatic ketone derivatives and an intramolecular cyclization sequence produced indene derivatives in moderate to good yields. This cascade cyclization involves a conjugate addition of Œ±,Œ≤-unsaturated ketone and subsequent aldol condensation. The reaction occurred efficiently in the presence of water and under an atmosphere of air.

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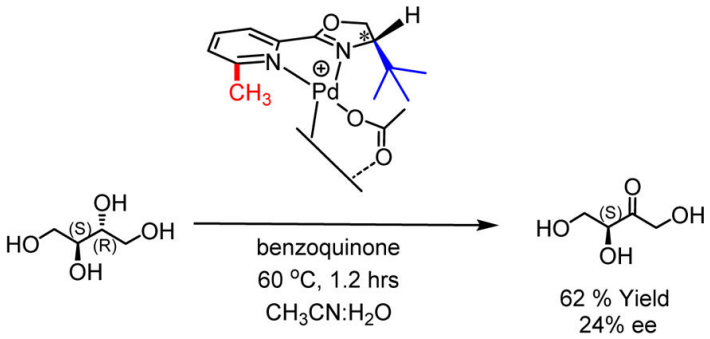
Citation: *Organometallics*, **2013**, *32*, 2257-2266

Chemoselective Oxidation of Polyols with Chiral Palladium Catalysts



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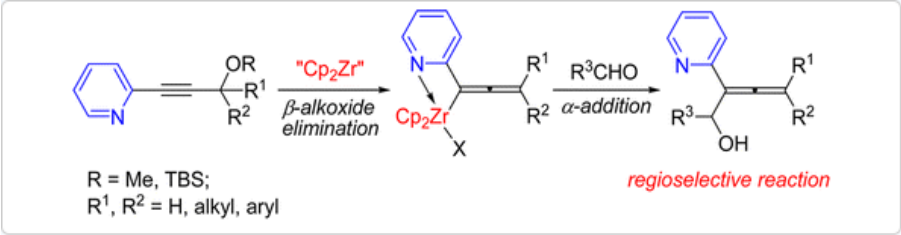
Citation: *Organometallics* **2013**, *32*, 1636-1642

Unusual Regioselectivity in the Aldehyde Addition Reactions of Allenyl/Propargyl Zirconium Complexes Derived from (2-Pyridyl)propargyl Ethers: Synthesis of Multisubstituted Hydroxyallenes



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Citation: *PNAS*, **2013.** 5510-5515.

Protein kinase C-theta phosphorylates and inhibits the guanine exchange factor, GIV/Girdin

Here we report that PKC-theta, a novel protein kinase C, down-regulates GIV's GEF function by phosphorylating Ser(S)1689 located within GIV's GEF motif. We demonstrate that PKC-theta specifically binds and phosphorylates GIV at S1689, and this phosphoevent abolishes GIV's ability to bind and activate Gai. The clinical significance of GIV's GEF motif is emphasized by the observation that its expression is dysregulated during cancer progression.

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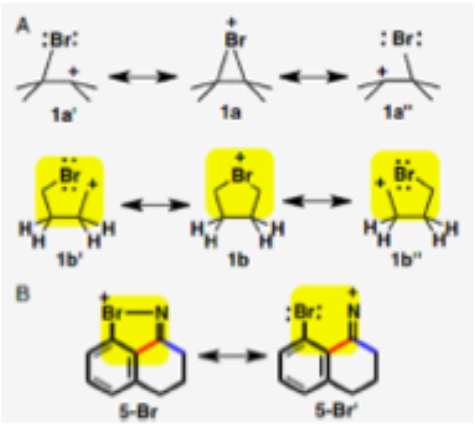
Citation: *PNAS*, **2013.** 4206-4211.

Stereochemical evidence for stabilization of a nitrogen cation by neighboring chlorine or bromine



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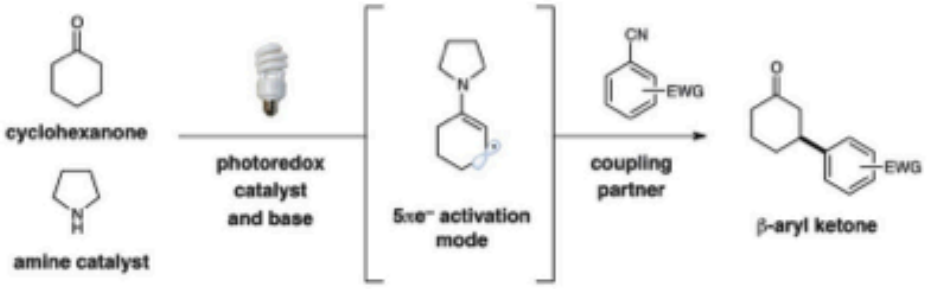
Citation: Pirnot, M. T.; Rankic, D. A.; Martin, D. B. C.; MacMillan, D. W. C. *Science* **2013**, *339*, 1593.

Photoredox Activation for the Direct β-Arylation of Ketones and Aldehydes



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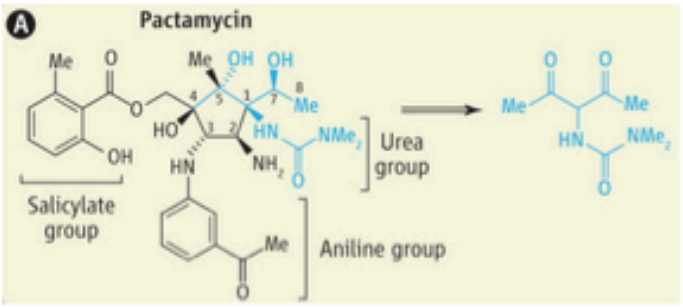
Citation: Malinowski, J. T.; Sharpe, R. J.; Johnson, J. S. *Science* **2013**, *340*, 180.

Enantioselective Synthesis of Pactamycin, a Complex Antitumor Antibiotic



The natural product pactamycin has been prepared via a new 15-step route, a dramatic improvement over the previous 32-step synthesis.

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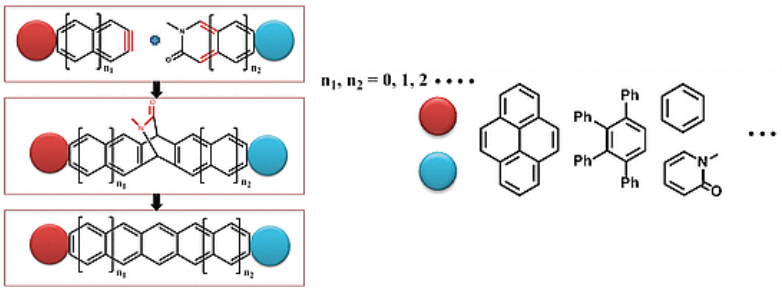
Citation: Li, J.; Zhang, Q., *Syn. Lett*. **2013**, 24, 686-698.

Mono- and Oligocyclic Aromatic Ynes and Diynes as Building Blocks to Approach Larger Acenes, Heteroacenes, and Twistacenes



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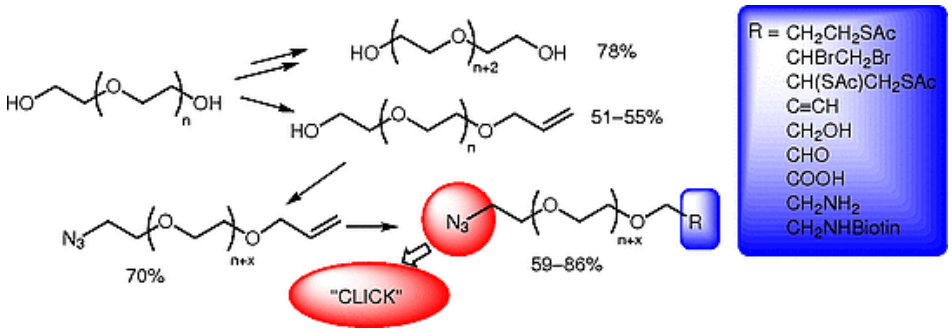
Citation: Zona, C.; D'Orazio, G.; La Ferla, B., *Syn. Lett.* **2013**, 24, 709-712.

Controlled-Length Efficient Synthesis of Heterobifunctionalized Oligo Ethylene Glycols



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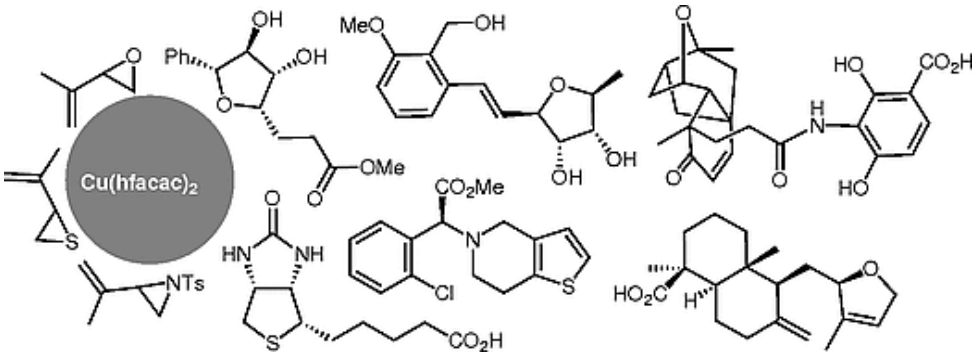
Citation: Njardarson, J. T., *Syn. Lett.* **2013**, 24, 787-803.

Catalytic Ring Expansion Adventures



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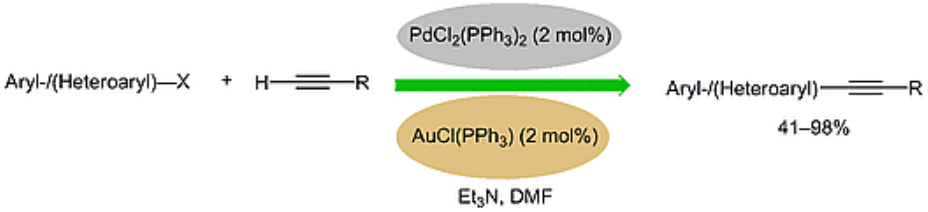
Citation: *Synthesis,* **2013**, *45, 817–829*

Gold and Palladium Combined for the Sonogashira Coupling of Aryl and Heteroaryl Halides



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Citation: *Synthesis,* **2013**, *45, 817–829*

Facile Synthesis of Cyclic Polyphenylenes by Consecutive Inter- and Intramolecular Cycloadditions of *ortho*-, *meta*-, and *para*-Phenylene-Tethered Triynes

A highly efficient gold and palladium combined methodology for the Sonogashira coupling of a wide array of electronically and structurally diverse aryl and heteroaryl halides is described. The orthogonal reactivity of the two me tals shows high selectivity and extreme functional group tolerance in Sonogashira coupling. A brief mechanistic study reveals that the gold acetylide intermediate enters into the palladium catalytic cycle at the transmetalation step

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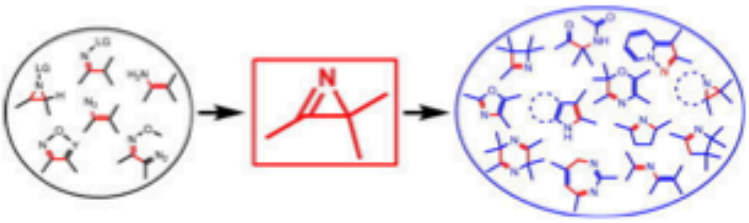
Citation: A. F. Khlebnikov, M. S. Novikov, *Tetrahedron* **2013**, *69* (16), 3363.

Recent advances in 2H-azirine chemistry

Review Article



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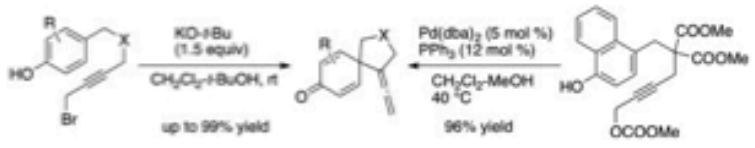
Citation: T. Nemoto, R. Wu, Z. Zhao, T. Yokosaka, Y. Hamada, *Tetrahedron* **2013**, *69* (16), 3403.

Synthesis of spiro[4.5]cyclohexadienones with an allene motif via a base-promoted intramolecular ipso-Friedel–Crafts addition of phenols to propargyl bromides

A novel synthetic method for allenyl spiro[4.5]cyclohexadienone derivatives based on a base-promoted intramolecular ipso-Friedel–Crafts addition of phenols to propargyl bromides is presented. The present spirocyclization proceeded in a CH2Cl2–tert-BuOH mixed solvent system using potassium tert-butoxide as the base, and produced the corresponding spiro[4.5]cyclohexadienone derivatives with an allene motif in up to 99% yield. This-type allenyl spirocycle was also accessible through Pd-catalyzed intramolecular ipso-Friedel–Crafts alkylation when a propargyl carbonate derivative with a naphthol unit was used as a substrate. Acid-promoted skeletal rearrangement of the reaction adducts was also examined.



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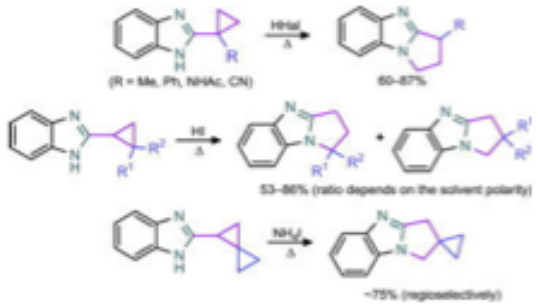
Citation: R. F. Salikov, D. N. Platonov, A. E. Frumkin, D. L. Lipilin, Y. V. Tomilov, *Tetrahedron* **2013**, *69* (16), 3495.

Synthesis of 2,3-dihydro-1H-pyrrolo[1,2-a]benzimidazoles via the cyclopropyliminium rearrangement of substituted 2-cyclopropylbenzimidazoles

2-Cyclopropylbenzimidazole derivatives with various substituents in the small ring undergo cyclopropyliminium rearrangement into 2,3-dihydropyrrolobenzimidazoles substituted at positions 1, 2 or 3. The substrates containing a functional group in position 1 of the cyclopropane ring form products substituted at position 3. Substituents at position 2 in most cases lead to the formation of a mixture of isomers. The reaction can be directed to yield one of the isomers predominantly by varying the solvent polarity.



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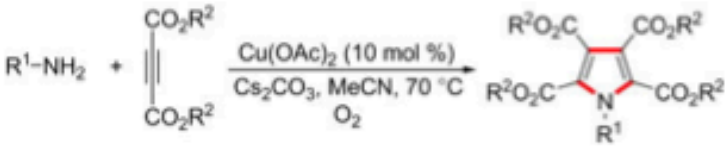
Citation: L. Zhang, X. Wang, S. Li, J. Wu, *Tetrahedron* **2013**, *69* (19), 3805.

Synthesis of pyrrole-2,3,4,5-tetracarboxylates via a copper-catalyzed reaction of amine with but-2-ynedioate

A copper-catalyzed reaction of amine with but-2-ynedioate gives rise to pyrrole-2,3,4,5-tetracarboxylates in moderate to good yields. The reaction proceeds in the presence of dioxygen with the formation of three bonds during the process.



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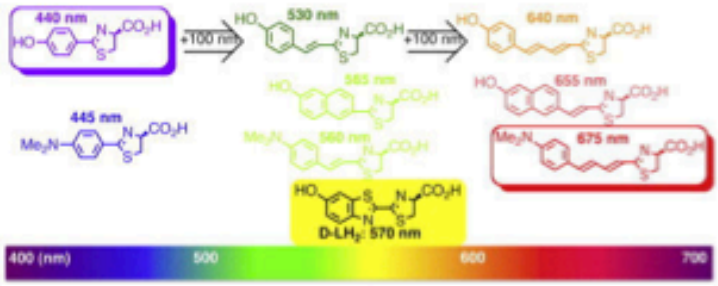
Citation: S. Iwano, R. Obata, C. Miura, M. Kiyama, K. Hama, M. Nakamura, Y. Amano, S. Kojima, T. Hirano, S. Maki, H. Niwa, *Tetrahedron* **2013**, *69* (19), 3847.

Development of simple firefly luciferin analogs emitting blue, green, red, and near-infrared biological window light

Simple firefly luciferin analogs emitting blue, green, and red light were developed. The longest emission maximum was observed at 675 nm, which belongs to the NIR biological window (650–900 nm), useful for deep site bioimaging of living animals. The analogs showed a slow rise of emission intensity compared with the rapid emission of natural luciferin. The light emission of the adenylated analogs was strongly enhanced compared with those of analogs themselves.



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Citation: R. Sugiura, R. Kozaki, S. Kitani, Y. Gosho, H. Tanimoto, Y. Nishiyama, T. Morimoto, K. Kakiuchi, *Tetrahedron* **2013**, *69* (19), 3984.

A novel thiochromone-type photolabile protecting group for carbonyl compounds

A novel photolabile protecting group, thiochromone S,S-dioxide, possessing the 1,2-diol group for protection of ketones and aldehydes is described. Photodeprotection of the successfully protected carbonyl derivatives proceeded smoothly under photoirradiation filtered through Pyrex glass (>280 nm) using an ultrahigh-pressure mercury lamp to recover the corresponding carbonyl compounds and the starting protecting group.

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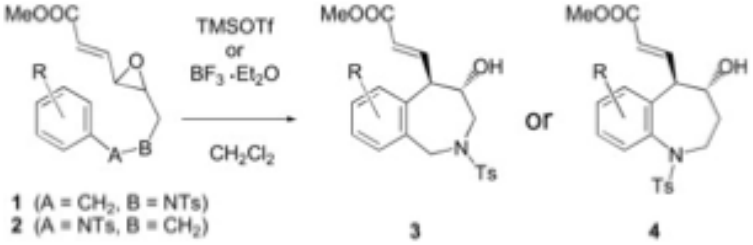
Citation: M. Mizukami, K. Wada, G. Sato, Y. Ishii, N. Kawahara, S. Nagumo, *Tetrahedron* **2013**, *69* (20), 4120.

Novel method for construction of tetrahydro-1-benzazepine and tetrahydro-2-benzazepine based on 7-endo selective Friedel–Crafts cyclization of vinyloxirane

The 7-endo Friedel–Crafts cyclization of vinyloxiranes, which have a nitrogen atom at the linker between the aromatic ring and the epoxide, was found to proceed regio- and stereoselectively to afford polyfunctional tetrahydro-1-benzazepine and tetrahydro-2-benzazepine.



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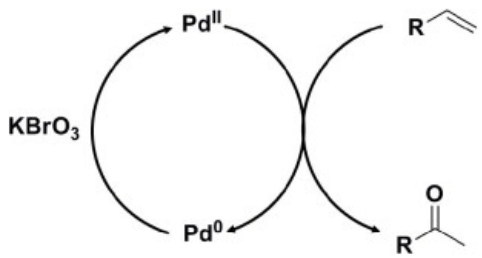
Citation: Kulkarni, M.G. et al. *Tetra. Lett.* **2013**, *54(19)*, 2293.

Greening the Wacker process



* Easy to handle
* Solid oxidant
* Recyclable catalyst
* Cu free system

Yields 68-86%

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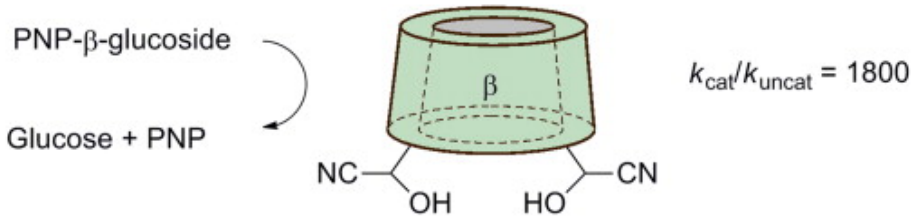
Citation: Zhou, Y.; Pederson, C.M.; Bols, M. *Tetra. Lett.* **2013**, *54(20)*, 2458

Artificial enzyme activity from cyclodextrins with cyanohydrins on the secondary rim



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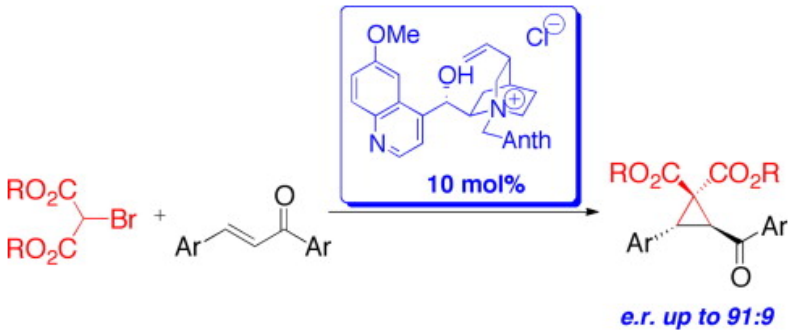
Citation: Herchl, R.; Waser, M. *Tetra. Lett.* **2013**, *54(20)*, 2472

Asymmetric cyclopropanation of chalcones using chiral phase-transfer catalysts



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