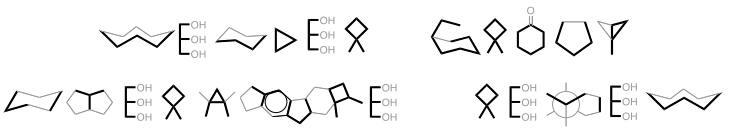


Volume 37 / Issue 06 16 June 2012

Next Due Date: Monday, July 16, 2012

Instructions for Authors (*Volume 37*)

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

Create an Abstract

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

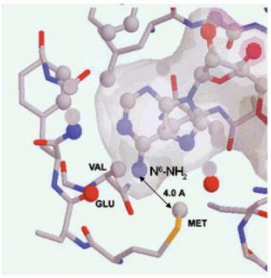
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

Please email the files to jmattler@stanford.edu. Late abstracts will be included in the Lit Review for the following month.

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

Design and Characterization of a Traceable Protein Kinase C-alpha

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



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methods
synthesis
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review
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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:

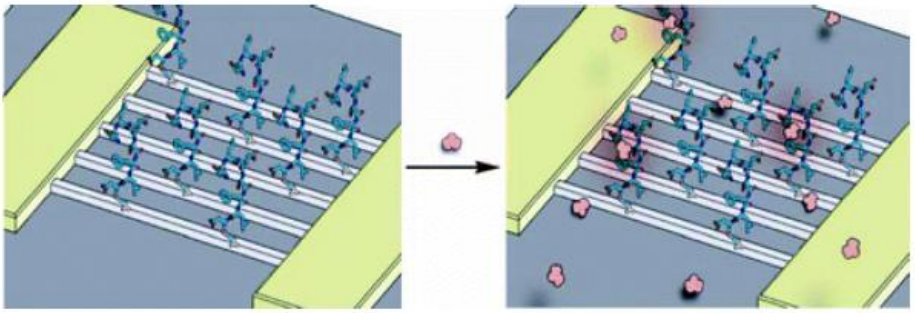
- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

Penalties for being a Lit Review MOOK:

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

Citation: Cui, Yue, Sang N. Kim, Rajesh R. Naik, and Michael C. McAlpine. "Biomimetic Peptide Nanosensors." Acc. Chem. Res. (2012): 696–704.

Biomimetic Peptide Nanosensors



bioorganic
methods
synthesis
mechanism
review
other

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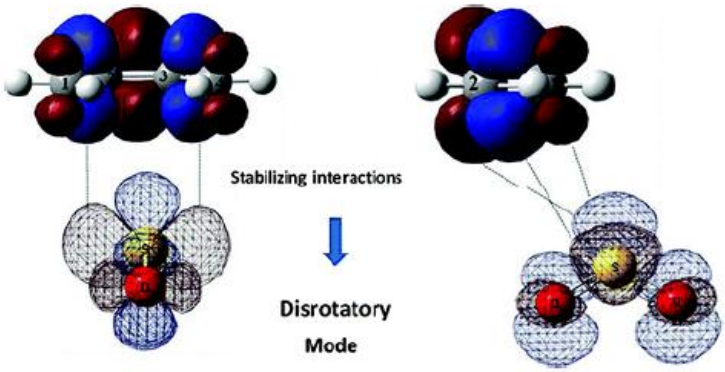
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Geerlings, Paul, Paul W. Ayers, Alejandro Toro-Labbé, Pratim K. Chattaraj, and Frank De Proft. "The Woodward–Hoffmann Rules Reinterpreted by Conceptual Density Functional Theory." Acc. Chem. Res. 45, no. 5 (2012): 683–695.

The Woodward–Hoffmann Rules Reinterpreted by Conceptual Density Functional Theory



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review
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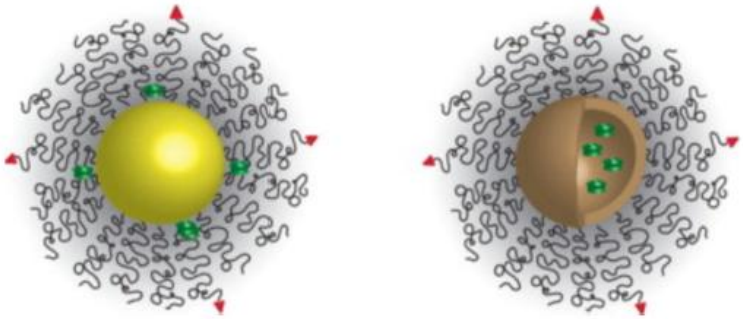
Citation: Doane, T.; Burda, C. *ADDR* **2012**, *ASAP.*

Nanoparticle Mediated Non-Covalent Drug Delivery



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review
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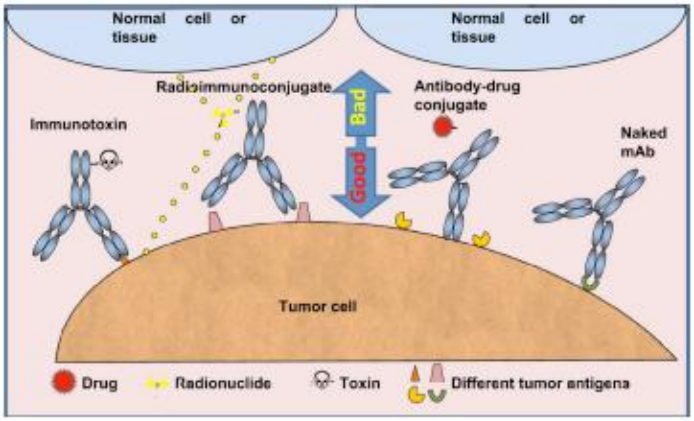
Citation: Litvak-Greenfeld, D.; Benhar, I. *ADDR* **2012**, *ASAP*

Risks and Untoward Toxicities of Antibody-Based Immunoconjugates



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methods
synthesis
mechanism
review
other

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Drug Deliv.
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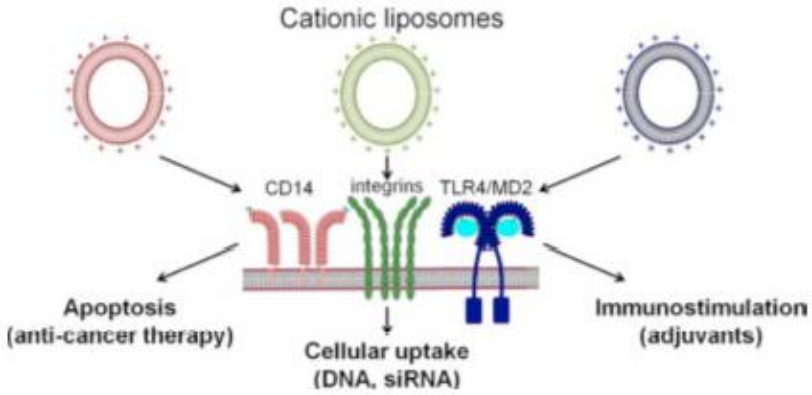
Citation: Lonez, C.; Vandenbranden, M.; Ruysschaert, J.-M. *ADDR* **2012**, *ASAP*

Cationic Lipids Activate Intracellular Signaling Pathways



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methods
synthesis
mechanism
review
other

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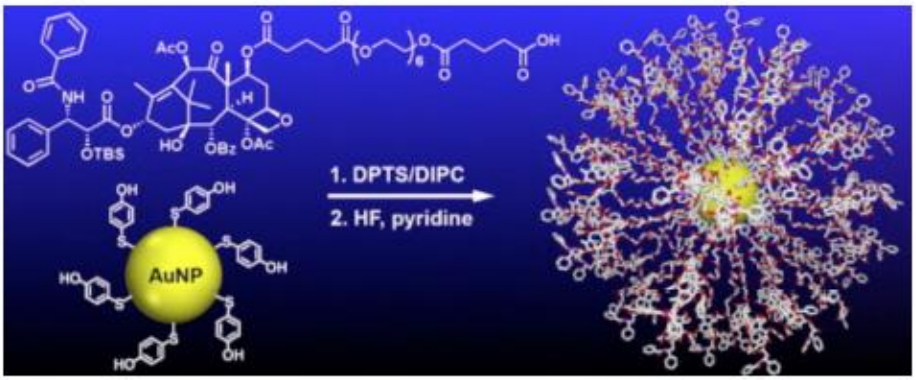
Hybrid
Drug Deliv.
Prostratin

Citation: Vigderman, L.; Zubarev, E.R. *ADDR* **2012**, *ASAP*

Therapeutic Platforms Based on Gold Nanoparticles and Their Covalent Conjugates with Drug Molecules



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methods
synthesis
mechanism
review
other

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Bryo
DDO
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Drug Deliv.
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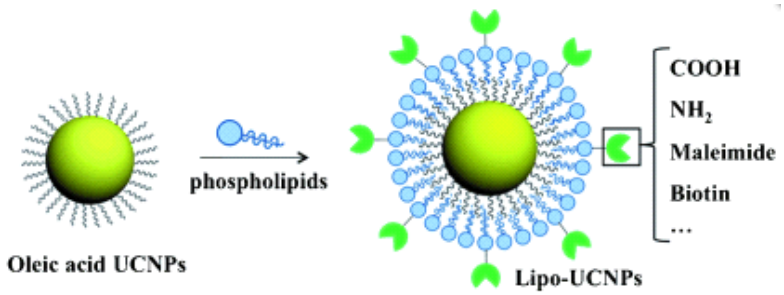
Citation: Angew. Chem. Int. Ed. 2012, 51, 6121 –6125

Biomimetic Surface Engineering of Lanthanide-Doped Upconversion Nanoparticles as Versatile Bioprobes



bioorganic
methods
synthesis
mechanism
review
other

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Bryo
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Hybrid
Drug Deliv.
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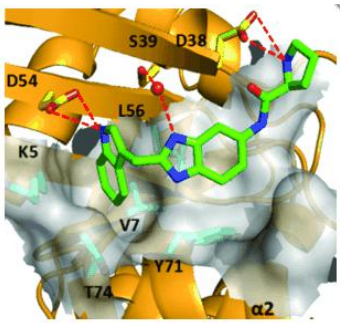
Citation: Angew. Chem. Int. Ed. 2012, 51, 6140 –6143

Discovery of Small Molecules that Bind to K-Ras and Inhibit Sos-Mediated Activation

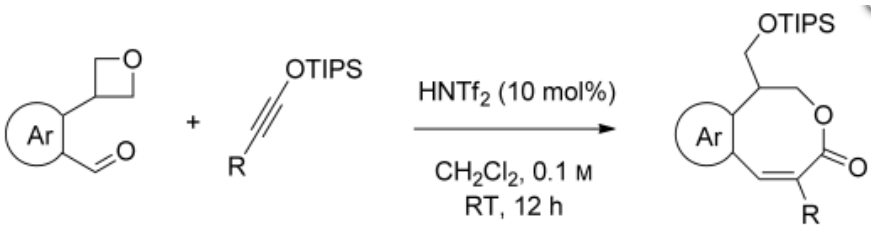


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Angew. Chem. Int. Ed. 2012, 51, 6209 –6213

Synthesis of Eight-Membered Lactones: Intermolecular [6+2] Cyclization of Amphoteric Molecules with Siloxy Alkynes



bioorganic
methods
synthesis
mechanism
review
other

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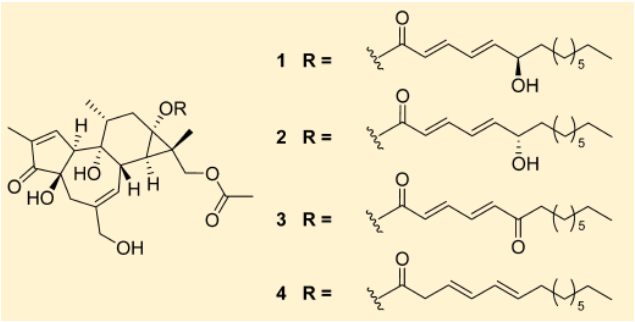
Drug Deliv.
Prostratin

Citation: J. Nat. Prod. 2012, 75, 722-727

Cytotoxic Diterpenoids from Sapium insigne



bioorganic
methods
synthesis
mechanism
review
other

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Bryo
DDO
Hybrid
Drug Deliv.
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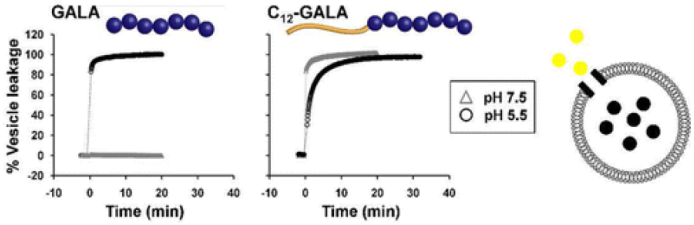
Citation: Lin, B.F.; Missirlis, D.; Krogstad, D.V., Tirrell, M. *Biochemistry*, **2012**, *51*, 4658-4668.

Structural Effects and Lipid Membrane Interactions of the pH- Responsive GALA Peptide with Fatty Acid Acylation



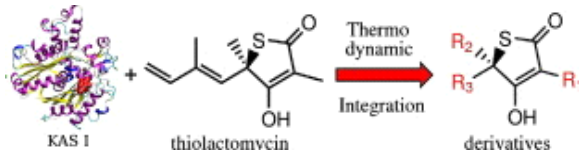
GALA (peptide designed for endosomal escape) was acylated with a fatty acid chain. This was found to change the mechanism of membrane disruption, going from only distrupting at lower pH (by forming pores), to disrupting with the membrane at all pHs when the side chain is added.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Steinbrecher, T.; Case, D. A.; Labahn, A. *Bioorg. Med. Chem.* **2012**, *11*, 3446-3453.

Free energy calculations on the binding of novel thiolactomycin derivatives to *E. coli* fatty acid synthase I



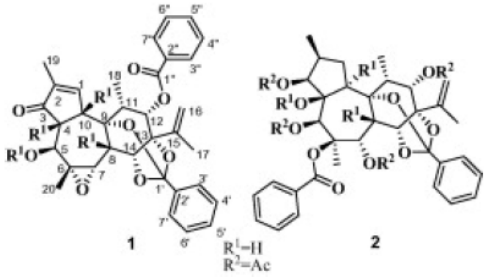
Molecular dynamics based free energy calculations have emerged as a useful tool to accurately calculate receptor binding affinities of novel or modified ligands. While being significantly more demanding in computational resources than simpler docking algorithms, they can be employed to obtain reliable estimates of the effect individual functional groups have on protein–ligand complex binding constants. Four ligand modifications were predicted to show improved binding to the E. coli enzyme, pointing the way towards the design of thiolactomycin derivatives with binding constants in the nanomolar range.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Yang, B.; et al.
Bioorg. Med. Chem. Lett. **2012**, *22*, 3828-3830.

Trigoxyphins H and I: Two new daphnane diterpenoids from *Trigonostemon xyphophylloides*



Some new daphnane diterpenoids have been isolated from a flower. Compound **2** shows modest cytotoxicity against two cancer cell lines.

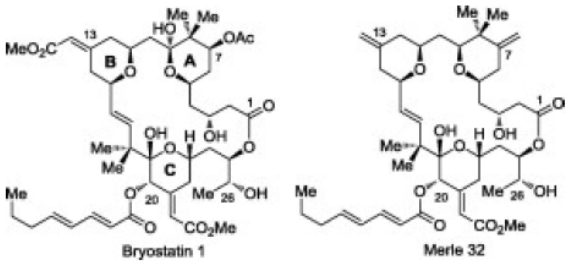
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDOs
Hybrid
Drug Deliv.
Prostratin

Citation: Keck, G.E., et al.
Bioorg. Med. Chem. Lett., **2012**, *22*, 4084-4088.

Role of the C_8 gem-dimethyl group of bryostatin 1 on its unique pattern of biological activity

(They found that the dimethyl group wasn't that important.)

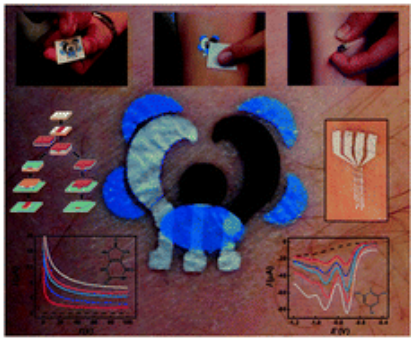


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Windmiller, J. R. *et al*. *Chem. Commun.* **2012**, *48* (54), 6794.

Electrochemical sensing based on printable temporary transfer tattoos



The realization of epidermal chemical sensing requires a fabrication methodology compatible with the non-planarity and irregularities of the human anatomy. This communication describes the development of printed temporary transfer tattoo (T3) electrochemical sensors for physiological and security monitoring of chemical constituents leading to the demonstration of 'electronic skin'.

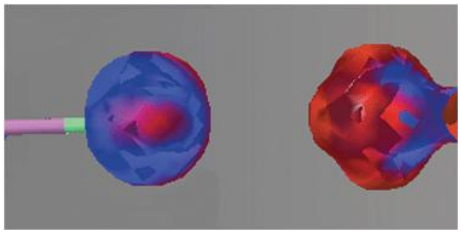
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Wang, R.; Dols, T. S.; Lehmann, C. W.; Englert, U. *Chem. Commun.* **2012**, *48* (54), 6830.

The halogen bond made visible: experimental charge density of a very short intermolecular Cl···Cl donor-acceptor contact

[ZnCl2(3,4,5-trichloropyridine)2] features short intermolecular ClCl contacts between halogen atoms of different nature, and a charge density study provides experimental evidence for the accepted model of the halogen bonds: an arene-bonded Cl atom acts as a donor of electron density towards the "sigma hole" of a chlorido ligand attached to a neighbouring Zn(II) cation.

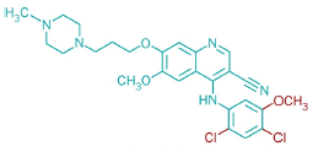


bioorganic
methods
synthesis
mechanism
review
other

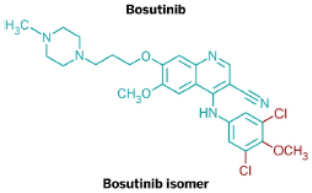
OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Halford, B. *Chemical & Engineering News*. **2012**, *90* (21), 34-35.

Bosutinib Buyer Beware



Bosutinib



Bosutinib isomer

The wrong isomer of Bosutinib, a compound in Phase III clinical trials to treat chronic myeloid leukemia, is going around...

"The whole bosutinib saga illustrates that researchers should never take for granted the identity of the chemicals they receive, [Steven] Boxer says, but it also points to vulnerability in the system. 'While we have good mechanisms for warning the chemical community about highly explosive or toxic materials, this is a more insidious problem,' he points out. 'As far as I can tell, there is no mechanism, beyond publication, which will only be read by people interested in this area, to alert the scientific community.' "

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Widener, A. & Morrissey, S. *Chemical & Engineering News*. **2012**, *90* (21), 9.

Budget Battle 2013 Begins

POSITIVE TREND

Science avoids big cuts in House-passed Commerce-Justice-Science appropriations bill

		2013		
$ MILLIONS	2012[a]	PRESIDENT'S REQUEST	HOUSE-PASSED LEVELS	CHANGE 2012–13[b]
NASA	$ 17,771	$ 17,711	$ 17,448	-1.8 %
NSF	7,033	7,373	7,333	4.3
NIST	751	857	830	10.5

a Estimate. **b** Change from 2012 levels to House-passed 2013 levels. **SOURCES:** House Appropriations Committee, AAAS

The House of Representatives has passed the first of 12 fiscal 2013 appropriations bills, and the measure contains some preliminarily good news for key science agencies. But it must still be passed by the Senate and signed by President Barack Obama, who has threatened a veto over budget cuts in the bill.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

McCoy, M. *Chemical & Engineering News*. **2012**, *90* (22), 30-32.

Heavy Problems for Heavy Water

Last December, Ontario Power Generation sent a letter to a small group of customers informing them that it would stop selling deuterium oxide by the end of 2012. The company, a nuclear power producer that is the main supplier of electricity to the Canadian province, told the customers that it was keeping the material for itself...

That leaves two of Ontario Power's largest U.S. customers, Sigma-Aldrich and **Cambridge Isotope Laboratories (CIL)**, without a key source of supply. Both companies sell heavy water and deuterated solvents to the laboratory market.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
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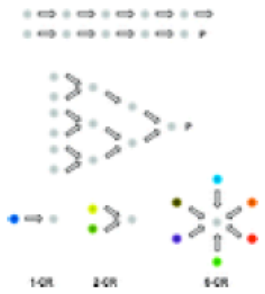
Citation: Domling, A.; Wang, W.; Wang, K., *Chem. Rev.* **2012**, 112, 3083-3135.

Chemistrty and Biology of Multicomponent Reactions



bioorganic
methods
synthesis
mechanism
review
other

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Bryo
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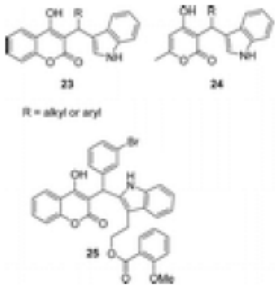
Hybrid
Drug Deliv.
Prostratin

Citation: Shiri, M., *Chem. Rev.* **2012**, 112, 3508-3549.

Indoles in Multicomponent Processes (MCPs)



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: **Tehshik P. Yoon**, et al, *Eur. J. Org. Chem.* 2012: 3359

Accessing the Synthetic Chemistry of Radical Ions

A review

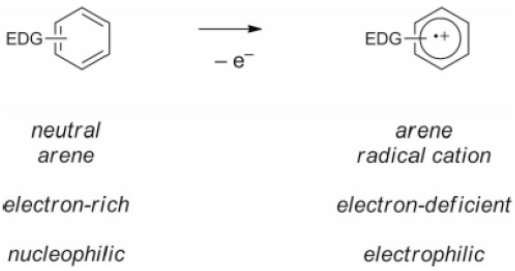


Figure 1. Reversal of the electronic character of an electron-rich arene upon one-electron oxidation.

bioorganic
methods
synthesis
mechanism
review
other

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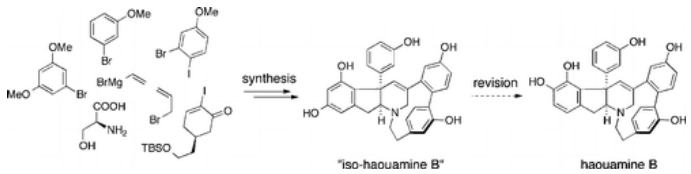
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Matveenko, M.; Liang, G.; Lauterwasser, E.M.W.; Zubía*, E.; Trauner*, D. J. Am. Chem. Soc., 2012, 134 (22), pp 9291–9295

A Total Synthesis Prompts the Structure Revision of Haouamine B



A concise asymmetric approach to the indeno-tetrahydropyridine core of the unusual alkaloid haouamine B allowed for an investigation of a biomimetic oxidative phenol coupling as a proposed biosynthetic step, and ultimately provided access to the published structure of the natural product. As a consequence of our synthetic studies, the structure of haouamine B has been revised.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Huang, C.-Y., D.; Doyle*, A.G. J. Am. Chem. Soc., 2012, 134 (23), pp 9541–9544

Nickel-Catalyzed Negishi Alkylations of Styrenyl Aziridines

A nickel-catalyzed cross-coupling reaction between N-sulfonyl aziridines and organozinc reagents is reported. The catalytic system comprises an inexpensive and air-stable Ni(II) source and dimethyl fumarate as ligand. Regioselective synthesis of ß-substituted amines is possible under mild and functional-group-tolerant conditions. The stereoselectivity of the reaction is consistent with a stereoconvergent mechanism wherein the sulfonamide directs C–C bond formation.

bioorganic
asymmetric
methods
synthesis
mechanism
review
other

OM
Bryo
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Hybrid
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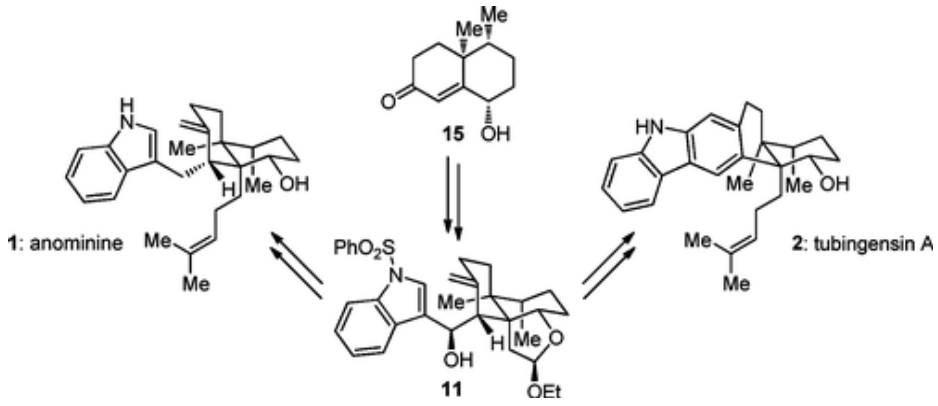
Drug Deliv.

Citation: Bian, M.; Wang, Z.; Xiong, X.; Sun, Y.; Matera, C.; Nicolaou, K.C.; Li, A. J. Am. Chem. Soc., 2012, 134 (19), pp 8078–8081

Total Syntheses of Anominine and Tubingensin A



bioorganic
methods
synthesis
mechanism
review
other

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Bryo
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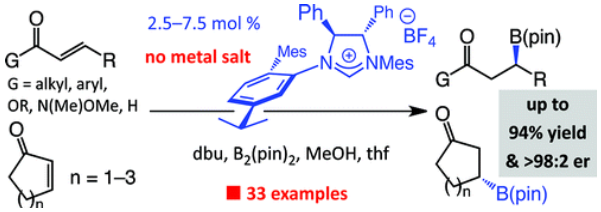
Hybrid
Drug Deliv.
Prostratin

Citation: Wu, H.; Radomkit, S.; O'Brien, J.M.; Hoveyda*, A.H. J. Am. Chem. Soc., 2012, 134 (19), pp 8277–8285

Metal-Free Catalytic Enantioselective C–B Bond Formation: (Pinacolato)boron Conjugate Additions to α,β–Unsaturated Ketones, Esters, Weinreb Amides, and Aldehydes Promoted by Chiral N-Heterocyclic Carbenes



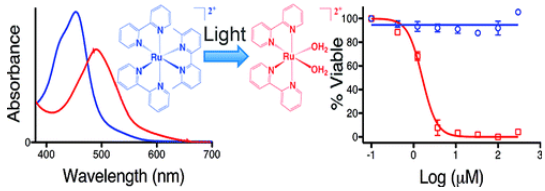
The first broadly applicable metal-free enantioselective method for boron conjugate addition (BCA) to a,ß-unsaturated carbonyls is presented. The C–B bond forming reactions are promoted in the presence of 2.5–7.5 mol % of a readily accessible C1-symmetric chiral imidazolinium salt, which is converted, in situ, to the catalytically active diastereo- and enantiomerically pure N-heterocyclic carbene (NHC) by the common organic base 1,8-diazabicyclo[5.4.0]undec-7-ene (dbu)

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Howerton, B.S.; Heidary, D.K.; Glazer*, E.C. J. Am. Chem. Soc., 2012, 134 (20), pp 8324–8327

Strained Ruthenium Complexes Are Potent Light-Activated Anticancer Agents



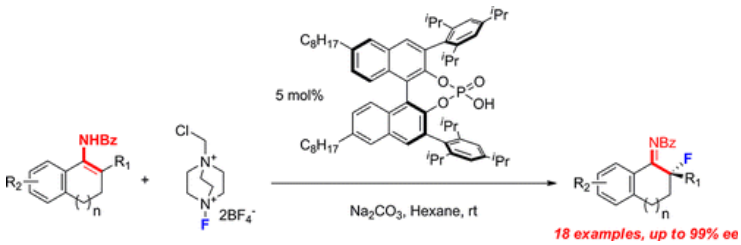
Strained ruthenium (Ru) complexes have been synthesized and characterized as novel agents for photodynamic therapy (PDT). The complexes are inert until triggered by visible light, which induces ligand loss and covalent modification of DNA. An increase in cytotoxicity of 2 orders of magnitude is observed with light activation in cancer cells, and the compounds display potencies superior to cisplatin against 3D tumor spheroids. The use of intramolecular strain may be applied as a general paradigm to develop light-activated ruthenium complexes for PDT applications.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Phipps, R.J.; Hiramatsu, K.; Toste*, F.D. J. Am. Chem. Soc., 2012, 134 (20), pp 8376–8379

Asymmetric Fluorination of Enamides: Access to a-Fluoroimines Using an Anionic Chiral Phase-Transfer Catalyst



The use of a BINOL-derived phosphate as a chiral anionic phase-transfer catalyst in a nonpolar solvent allows the enantioselective fluorination of enamides using Selectfluor as the fluorinating reagent.

bioorganic
asymmetric
methods
synthesis
mechanism
review
other

OM
Bryo
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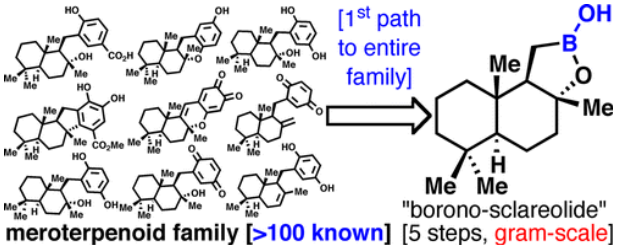
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Dixon, D.D.; Lockner, J.W.; Zhou, Q.; Baran*, P.S. J. Am. Chem. Soc., 2012, 134 (20), pp 8432–8435

Scalable, Divergent Synthesis of Meroterpenoids via "Borono-sclareolide"



A scalable, divergent synthesis of bioactive meroterpenoids has been developed. A key component of this work is the invention of "borono-sclareolide", a terpenyl radical precursor that enables gram-scale preparation of (+)-chromazonarol. Subsequent synthetic operations on this key intermediate permit rapid access to a variety of related meroterpenoids, many of which possess important biological activity.

bioorganic
asymmetric
methods
synthesis
mechanism
review
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Lu, D.; Lei, J.; Wang*, L.; Zhang*, J. J. Am. Chem. Soc., 2012, 134 (21), pp 8746–8749

Multifluorescently Traceable Nanoparticle by a Single-Wavelength Excitation with Color-Related Drug Release Performance

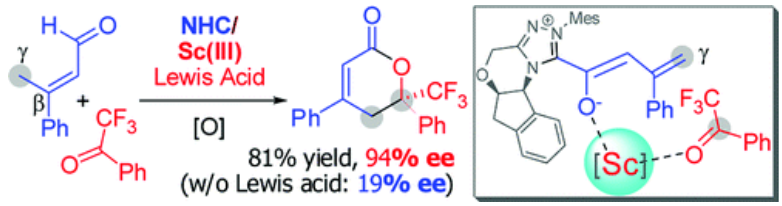
Monodisperse and nanometer-sized periodic mesoporous organosilicas co-doped with fluorescence resonance energy transfer cascades composed of triple fluorophores at various ratios were prepared. These nanoparticles exhibit multifluorescent emissions by a single-wavelength excitation and were designed for the application as multichannelly traceable drug carriers. When applied as drug carriers, they can achieve synchronous or asynchronous release of different drugs by simply choosing different colored nanoparticles.

bioorganic
asymmetric
methods
synthesis
mechanism
review
other

OM
Bryo
Apop
Hybrid
Gnid/ Kirk
Laulimalide
Drug Deliv.

Citation: Mo, J.; Chen, X.; Chi*, Y.R. J. Am. Chem. Soc., 2012, 134 (21), pp 8810–8813

Oxidative γ-Addition of Enals to Trifluoromethyl Ketones: Enantioselectivity Control via Lewis Acid/N-Heterocyclic Carbene Cooperative Catalysis



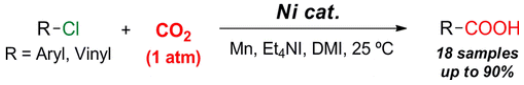
An oxidative γ-functionalization of enals under N-heterocyclic carbene (NHC) catalysis to give unsaturated δ-lactones is disclosed. Enantioselectivity control involving the relatively remote enal γ-carbon was achieved via Lewis acid [$Sc(OTf)_3$ or combined $Sc(OTf)_3/Mg(OTf)_2$] and NHC cooperative catalysis.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Fujihara, T.; Nogi, K.; Xu, T.; Terao, J.; Tsuji*, Y. J. Am. Chem. Soc., 2012, 134 (22), pp 9106–9109

Nickel-Catalyzed Carboxylation of Aryl and Vinyl Chlorides Employing Carbon Dioxide



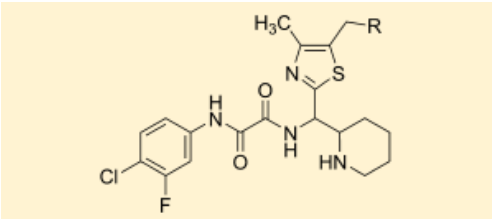
Nickel-catalyzed carboxylation of aryl and vinyl chlorides employing carbon dioxide has been developed. The reactions proceeded under a CO_2 pressure of 1 atm at room temperature in the presence of nickel catalysts and Mn powder as a reducing agent. Various aryl chlorides could be converted to the corresponding carboxylic acid in good to high yields. Furthermore, vinyl chlorides were successfully carboxylated with CO_2. Mechanistic study suggests that Ni(I) species is involved in the catalytic cycle.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Curreli, F; *et al. J. Med. Chem.* **2012**, 55, 4764.

Design, Synthesis, and Antiviral Activity of Entry Inhibitors That Target the CD4-Binding Site of HIV-1



R = OH; **27**; TZM-bl cells, IC_{50} = 1.60 μM

R = CH_2OH; **39**; TZM-bl cells, IC_{50} = 1.98 μM

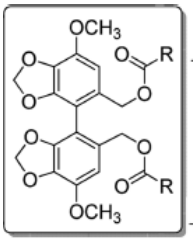
SAR studeis were performed on an anti-HIV drug candididate targetign HIV-1.

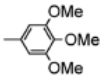
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hung, H-Y.; *et al. J. Med. Chem.* **2012**, 55, 5413.

Antitumor Agents. 293. Nontoxic Dimethyl-4,4'-dimethoxy-5,6,5',6'-dimethylenedioxybiphenyl-2,2'-dicarboxylate (DDB) Analogues Chemosensitize Multidrug-Resistant Cancer Cells to Clinical Anticancer Drugs



Compounds	R	Chemoreversal Ability[a] with Paclitaxel	Vincristine	Doxorubicin
6	tBu	37	349	46
16	-CH=C(CH$_3$)$_2$	326	560	7
23		222	566	23
Verapamil		31	109	9

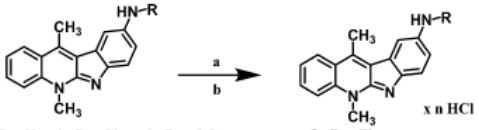
[a]Chemoreversal abilities were shown as the reversal fold values [IC_{50} (anticancer drug alone) / IC_{50} (anticancer drug + test compound)].

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Sidoryk, K.; *et al. J. Med. Chem.* **2012**, 55, 5077.

Synthesis and Biological Evaluation of New Amino Acid and Dipeptide Derivatives of Neocryptolepine as Anticancer Agents



1 R = H or **2a** R = Gly or **3a** R = *L*-Pro

2a R = Gly
3a R = *L*-Pro
4a R = *D*-Pro
5a R = *L*-His
6a R = *D*-His
7a R = Gly-Gly
8a R = *L*-His-Gly
9a R = *L*-Pro-Gly
10a R = *L*-Pro-*L*-Pro
11a R = Gly-*L*-Pro

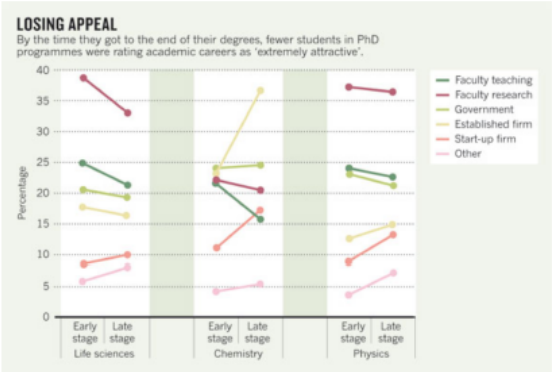
Many of the derivatives synthesized showed high antriproliferative activity in vitro and inhibited growt of tumors in mice.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Kaplan, K. *Nature* **2012**, *485*, 535.

Postgraduate Options: Academia Misses the Mark

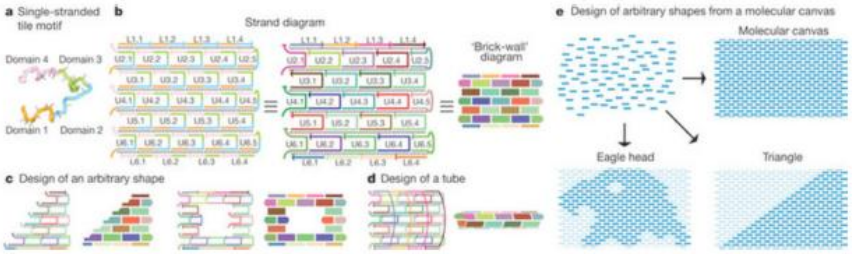


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Wei, B.; Dai, M.; Yin, P. *Nature* **2012**, *485*, 623.

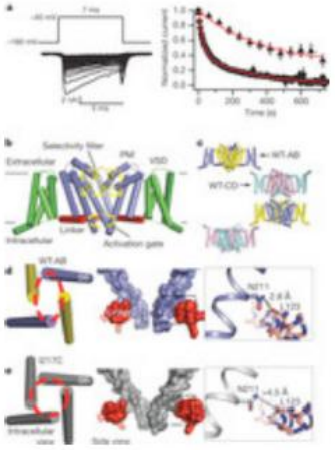
Complex Shapes Self-Assembled from Single-Straded DNA Tiles



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Zhang, et al. *Nature* **2012**, *486*, 130 & Payandeh, et al. *Nature* **2012**, *486*, 135.



Crystal Structure of an Orthologue of the NaChBac Voltage-Gated Sodium Channel & Crystal Structure of a Voltage-Gated Sodium Channel in Two Potentially Inactivated States

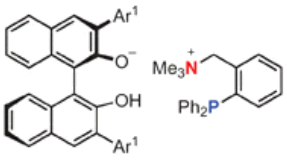
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ohmatsu, K.; Ito, M.; Kunieda, T.; Ooi, T. *Nature Chem.*, **2012**, *4*, 473-477.

Ion-paired chiral ligands for asymmetric palladium catalysis

Here, we report a new strategy for the design of a chiral ligand for asymmetric transition-metal catalysis; our approach is based on the development of an achiral cationic ammonium–phosphine hybrid ligand paired with a chiral binaphtholate anion. This ion-paired chiral ligand imparts a remarkable stereocontrolling ability to its palladium complex, which catalyses a highly enantioselective allylic alkylation of a-nitrocarboxylates. By exploiting the possible combinations of the achiral onium entities with suitable coordinative functionalities and readily available chiral acids, this approach should contribute to the development of a broad range of metal-catalysed, stereoselective chemical transformations.



Ion-paired ligand **3a**: Ar^1 = Ph
3b: Ar^1 = β-Naph

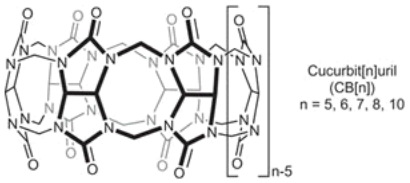
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ma, D.; Hettiarachchi, G.; Nguyen, D.; Zhang, B.; Wittenberg, J.B.; Zavalij, P.Y.; Volker, B.; Isaacs, L. *Nature Chem.*, **2012**, *4*, 503-510.

Acyclic cucurbit[n]uril molecular containers enhance the solubility and bioactivity of poorly soluble pharmaceuticals

The solubility characteristics of 40–70% of new drug candidates are so poor that they cannot be formulated on their own, so new methods for increasing drug solubility are highly prized. Here, we describe a new class of general-purpose solubilizing agents—acyclic cucurbituril-type containers—which increase the solubility of ten insoluble drugs by a factor of between 23 and 2,750 by forming container–drug complexes. Paclitaxel solubilized by the acyclic cucurbituril-type containers kills cervical and ovarian cancer cells more efficiently than paclitaxel alone

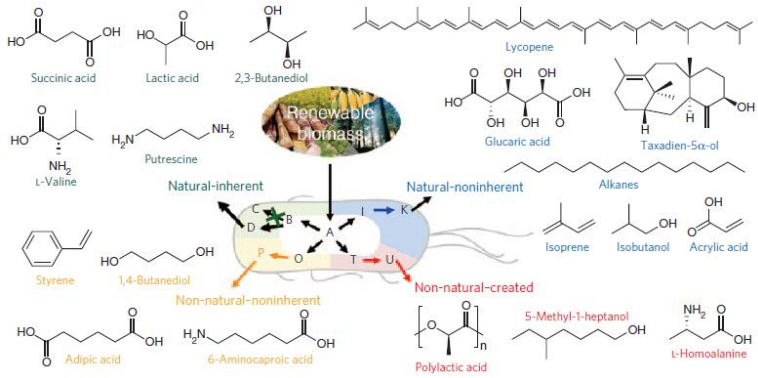


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Lee, J.W; et al. *Nat. Chem. Bio.* **2012**, 8, 538.

Systems Metabolic Engineering of Microorganizms for Natural and Non-Natural Chemicals



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: *The Onion*, http://www.theonion.com/articles/new-preventative-drug-would-kill-people-before-the,28433/

New Preventative Drug Would Kill People Before They Get Alzheimer's

SILVER SPRING, MD—Calling it the single greatest breakthrough to date in Alzheimer's research, the U.S. Food and Drug Administration announced Monday the approval of Proneva, a new medication that prevents the degenerative brain disorder by killing individuals before its onset. "Clinical trials have shown that a single dose of Proneva can end the lives of patients who otherwise would have developed a serious and life-threatening illness," said FDA spokeswoman Sandy Walsh, explaining that in a recent study Proneva successfully prevented Alzheimer's in 87 of 87 people who were administered the drug. "For the millions of Americans who already suffer from this disease or have shown early signs of dementia, we recommend commencing treatment as soon as possible." According to Walsh, preliminary research also suggests Proneva may be equally effective at preventing cancer, AIDS, and heart attacks.

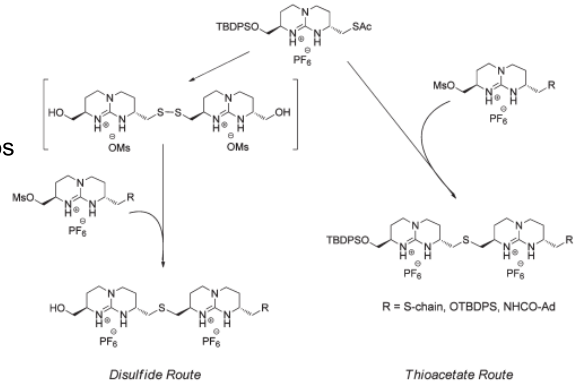
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Org. Biomol. Chem., 2012, Advance Article , DOI: 10.1039/C2OB25467K

Non-peptidic cell-penetrating agents: synthesis of oligomeric chiral bicyclic guanidinium vectors

Report of improved and selective procedures for the preparation of oligoguanidinium scaffolds linked through thioether bonds, with similar or different groups and functions at both ends of the chain.

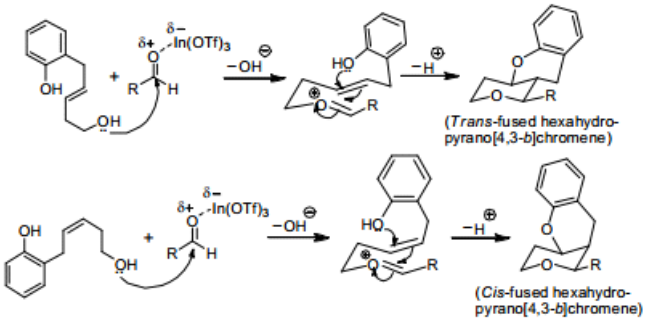


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Org. Biomol. Chem., **2012,** ASAP, DOI: 10.1039/C2OB25771H

The stereoselective synthesis of cis-/trans-fused hexahydropyrano[4,3-b]chromenes via Prins cyclization trapping by a tethered nucleophile



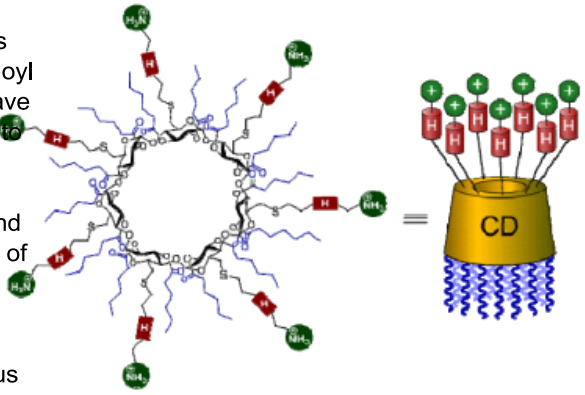
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation:Org. Biomol. Chem., **2012,** ASAP , DOI: 10.1039/C2OB25786F

Polycationic amphiphilic cyclodextrins as gene vectors: Effect of the macrocyclic ring size on the DNA complexing and delivery properties

A cyclodextrin platform exposing a multivalent display of cationic groups at the primary rim and bearing hexanoyl chains at the secondary hydroxyls have been prepared to assess their ability to complex, compact and protect pDNA and in the efficiency of the resulting CDplexes to deliver DNA into cells and promote transfection in the presence of serum. All CDplexes were able to selfassemble in the presence of the plasmid and produce transfectious nanoparticles at nitrogen/phosphorous ratios ≥5.

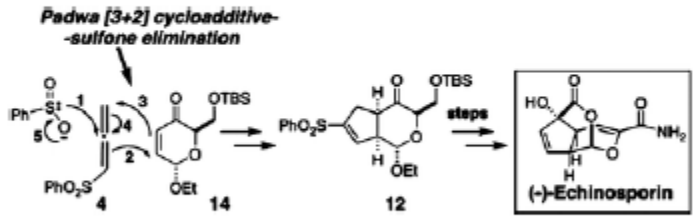


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Flasz, J. T.; Hale, K. J. *Org. Lett.* **2012**, *14*, 3024-3027.

A New Stereocontrolled Synthetic Route to (-)-Echinosporin from D-Glucose via Padwa Allenylsulfone [3+2]-Anionic Cycloadditive Elimination



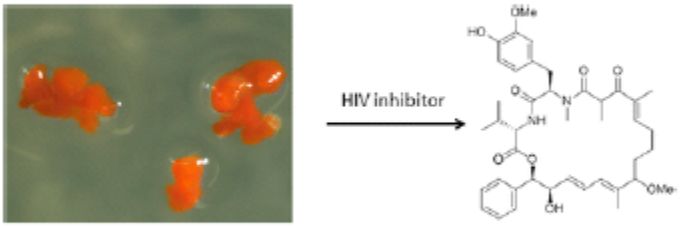
A new formal total synthesis of (-)-echinosporin has been developed based upon the Padwa [3 + 2]-cycloadditive elimination reaction of allenylsulfone **4** with the D-glucose-derived enone **14** which provides cycloadduct **12.**

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Plaza, A.; Garcia, R.; Bifulco, G.; Martinez, J. P.; Hüttel, S.; Sasse, F.; Meyerhans, A.; Stadler, M.; Müller, R. *Org. Lett.* **2012**, *14*, 2854-2857.

Aetheramides A and B, Potent HIV-Inhibitory Depsipeptides from a Myxobacterium of the New Genus "*Aetherobacter*"



Aetherobacter rufus Aetheramide A

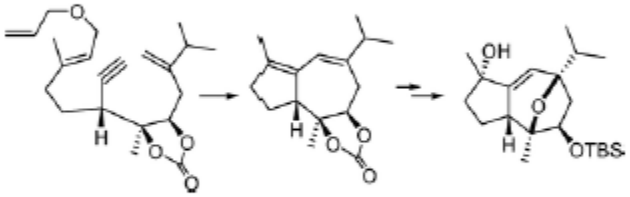
The effect of aetheramides on HIV-1 was evaluated by infecting the indicator cell-line TZM-bl with the HIV_{LAI} isolate...Indeed, **aetheramides A (1) and B (2) potently inhibited HIV-1 with an IC_{50} value of 0.015 and 0.018 μM, respectively.**

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Lee, J.; Parker, K. A. *Org. Lett.* **2012**, *14*, 2682-2685.

A Formal Synthesis of (-)-Englerin A by Relay Ring Closing Metathesis and Transannular Etherification



A bicyclization approach to englerin A has culminated in a formal asymmetric total synthesis. Key transformations in the 10-step sequence are a regiospecific epoxide opening and a relay ene-yne-ene metathesis that converts linear substrates specifically to $\Delta^{4,6}$-guaiadiene-9,10 diol derivatives. Regiospecific functionalization of the diene moiety installs the oxygen bridge required for the englerin tricyclic core.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Trost, B. M.; Hirano, K. *Org. Lett.* **2012**, *14*, 2446-2449.

Dinuclear Zinc Catalyzed Asymmetric Spirannulation Reaction: An Umpolong Strategy for Formation of α-Alkylated-α-Hydroxyoxindoles

A highly diastereo- and enantioselective formal [3 + 2] cycloaddition of α,β-unsaturated esters and 3-hydroxyoxindoles catalyzed by a dinuclear zinc-ProPhenol complex is reported. The stereoselective Michael additions of 3-hydroxyoxindoles and the subsequent transesterifications afford spirocyclic δ-lactones.

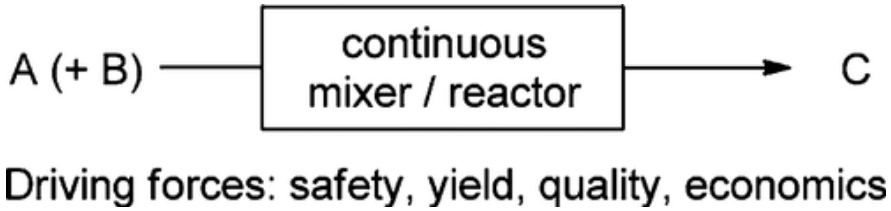
bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
Gnid/Kirk
Hybrid
Drug Deliv.
Prostratin

Citation: Anderson, N.G. *Org. Processes Res. Dev.*, **2012**, *16* (5), 852-869.

Using Continuous Processes to Increase Production

Continuous operations have become popular in both academia and the pharmaceutical industry. Continuous operations may be developed to make high-quality material safely, or because continuous operations are the only effective and economical way to make larger quantities of material. This review surveys the area of continuous processes used to make larger quantities of material and discusses the feasibility of developing economical continuous operations.



Driving forces: safety, yield, quality, economics

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: *PNAS.* **2012.** vol. 109 no. 24, 9523-9528.

Immediate antiviral therapy appears to restrict resting CD4+ cell HIV-1 infection without accelerating the decay of *latent infection*

"These findings reinforce and extend the concept that new approaches will be needed to eradicate HIV infection, and, in particular, *highlight the need to target the extremely small but universal, long-lived latent reservoir.*"

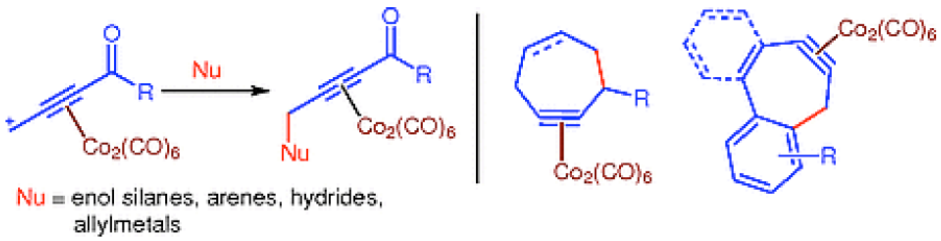
A PKC-subgroup must-read from this week's PNAS cover!

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: *PNAS*. **2012** vol. 109 no. 24, 9545–9550.	
Functional genomics identifes therapeutic targets for MYC-driven cancer In summary, through a functional genomics approach, pathways essential in the context of oncogenic MYC but not to normal cells were identified, thus revealing a rich therapeutic space linked to a previously “undruggable” oncogene.	bioorganic methods synthesis mechanism review other OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: PNAS | May 29, 2012 | vol. 109 | no. 22 | 8405–8410	
Fluorescence lifetime snapshots reveal two rapidly reversible mechanisms of photoprotection in live cells of Chlamydomonas reinhardtii Chlamydomonas reinhardtii! This is work out of LBNL. They have devised a technique to measure the changes in chlorophyll fluorescence lifetime as photosynthetic organisms adapt to varying light conditions.	bioorganic methods synthesis mechanism review other OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Green, J. R., *Syn. Lett.* **2012**, 1271-1282.	
Alkynedicobalt Complexes in gamma-Carbonyl Cations and Cycloheptynedicobalt Complexes  Nu = enol silanes, arenes, hydrides, allylmetals	bioorganic **methods** synthesis mechanism review other OM Bryo Gnid/Kirk Hybrid Drug Deliv. Prostratin

Citation: Barriault, L.; *et al*. *Synthesis*. **2012**, *44*, 1833-1840.

Mechanistic Investigation of the Domino Oxy-Cope/Ene/Claisen Reaction and Its Application to the Synthesis of Desdimethyl Ambliol B

We report an efficient and highly diastereoselective oxy-Cope/ene/Claisen reaction for the synthesis of decalin frameworks possessing four contiguous stereogenic centers. A detailed mechanistic investigation and its application to a short and protecting group free synthesis of desdimethyl ambliol B are presented.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Batsomboon, P.; *et al*. *Synthesis*. **2012**, *44*, 1818-1824.

Tandem Nucleophilic Addtion/Fragmentation of Vinylogous Acyl Nanoflates for the Synthesis of Functionalized Alkynes, with New Mechanistic Insight

Vinylogous acyl nonaflates, like the corresponding triflates, are subject to nucleophile-triggered fragmentation as part of a tandem process for generating functionalized alkynes. Advantages to the use of nonaflates in lieu of triflates include cost and stability. Computational analysis supports a postulated fragmentation mechanism involving a closed (cyclic) transition state with concerted extrusion of lithium sulfonate.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Hachiya, H.; *et al*. *Synthesis.* **2012**. *44*, 1672-1678.

Unique Salt Effect on Highly Selective Synthesis of Acid-Labile Terpene and Styrene Oxides with a Tugsten/H2O2 Catalytic System under Acidic Aqueous Conditions

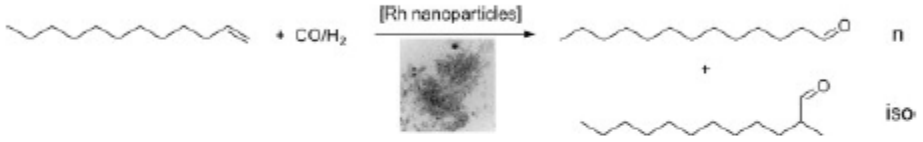
Acid-labile epoxides such as terpene and styrene oxides are effectively synthesized in high yields with good selectivities using tungsten-catalyzed hydrogen peroxide epoxidation in the presence of Na2SO4. The salt effect is thought to originate with the addition of a saturated amount of Na2SO4 to aqueous H2O2; this addition strongly inhibited the undesired hydrolysis of the acid-labile epoxy products, despite the biphasic conditions of substrate as oil phase and H2O2 as acidic aqueous phase.

bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Behr, Arno, Yvonne Brunsch, and Adrian Lux. Tetrahedron Letters 53, no. 22 2012: 2680–2683.

Rhodium Nanoparticles as Catalysts in the Hydroformylation of 1-dodecene and Their Recycling in Thermomorphic Solvent Systems

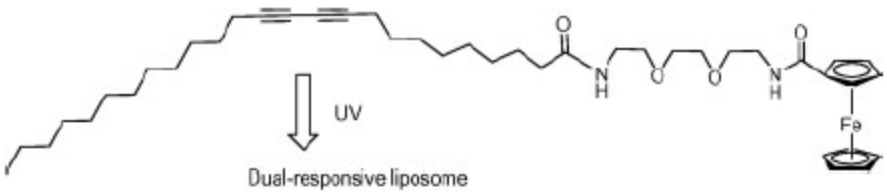


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Maclean, Catherine Elaine, Claire Louise Parkinson, Paul James Davis, Mark James Davis, and Graeme Cooke. Tetrahedron Letters 53, no. 24 2012: 2948–2950.

A Dual-responsive Ferrocene-functionalised PDA Liposome

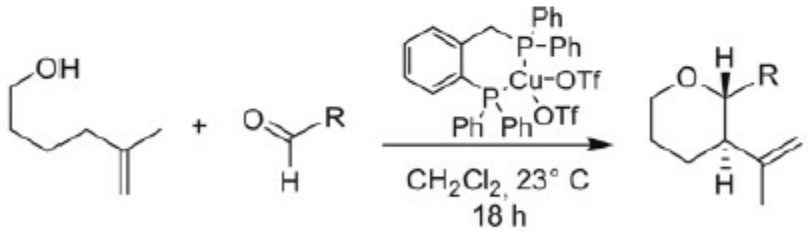


bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Ghosh, Arun K., Daniel R. Nicponski, and Jorden Kass. Tetrahedron Letters 53, (2012): 3699–3702.

A Tandem Olefin Migration and Prins Cyclization Using Cu(OTf)2–bisphosphine Complexes: An Improved Synthesis of Functionalized Tetrahydropyrans



bioorganic
methods
synthesis
mechanism
review
other

OM
Bryo
DDO
Hybrid
Drug Deliv.
Prostratin