

Volume 42 / Issue 6 15 June 2017



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Tetrahedron	N/A	N/A
Tetrahedron Letters	N/A	N/A

**Next Due Date:** Monday, July 17, 2017

## Instructions for Authors (Volume 1)

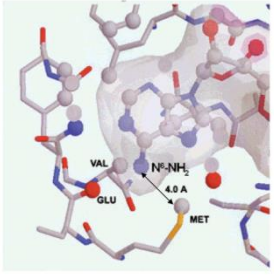
Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent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

### Create an Abstract

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

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

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

Citation: Abeyweera, T.P.; Rotenberg, S.A. <i>Biochemistry</i> <b>2007</b> , <i>46</i> , 2364-2370	
<p><b>Design and Characterization of a Traceable Protein Kinase C-alpha</b></p> <p>Protein kinase CR (PKCR) is a critical component of pathways that govern cancer-related phenotypes such as invasion and proliferation. Proteins that serve as immediate substrates for PKCR offer potential targets for anticancer drug design. To identify specific substrates, a mutant of PKCR (M417A) was constructed at the ATP binding site such that it could bind a sterically large ATP analogue derivatized through the N6 amino group of adenosine (1-<math>\beta</math>-<math>^{32}</math>P-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, <math>^{32}</math>P-labeled products were the direct result of the mutant PKCR.</p>	
	<p><b>bioorganic</b> asymmetric methods synthesis mechanism review other</p> <p><b>OM</b> <b>Bryo</b> Apop Hybrid Gnid/ Kirk Laulimalide Drug Deliv.</p>

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

### **DON'T BE A MOOK!**

Lit Review MOOKS include those who:

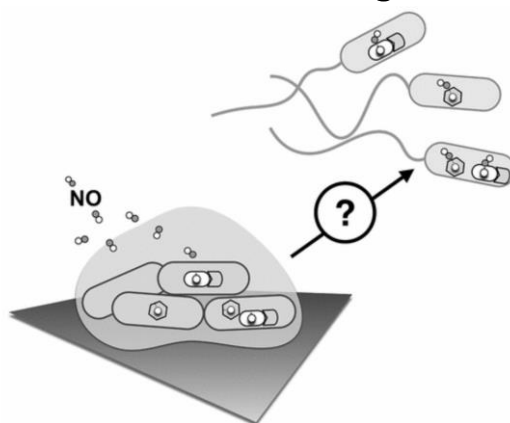
- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

Penalties for being a Lit Review MOOK:

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

Citation: Boon, E. M *et al. Acc. Chem. Res.* **2017**, ASAP

## Discovery of Two Bacterial Nitric Oxide-Responsive Proteins and Their Roles in Bacterial Biofilm Regulation

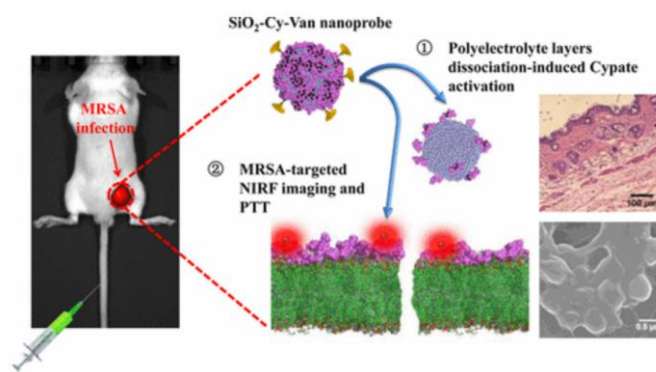


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Citation: Zhao, Z. *et al. ACS Nano*, **2017**, 11 (5) 4428-4438

## Bacteria-Activated Theranostic Nanoprobes against Methicillin-Resistant *Staphylococcus aureus* Infection

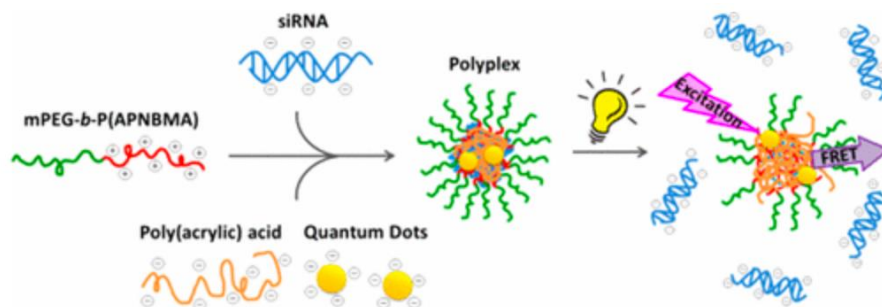


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Citation: Grecto, C. *et al. Biomacromolecules*, **2017**, 18 (6) 1814-1824

## Anionic Polymer and Quantum Dot Excipients to Facilitate siRNA Release and Self-Reporting of Disassembly in Stimuli-Responsive Nanocarrier Formulations

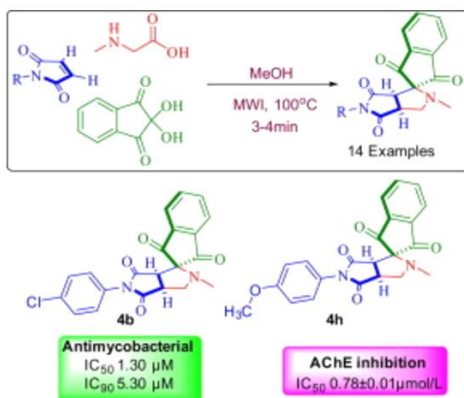


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Citation: Bharkavi, C.; *et al. Bioorg. Med. Chem. Lett.* **2017**, *27*, 3071.

### One-pot microwave assisted stereoselective synthesis of novel dihydro-2'H-spiro[indene-2,1'-pyrrolo-[3,4-c]pyrrole]-tetraones and evaluation of their antimycobacterial activity and inhibition of AChE



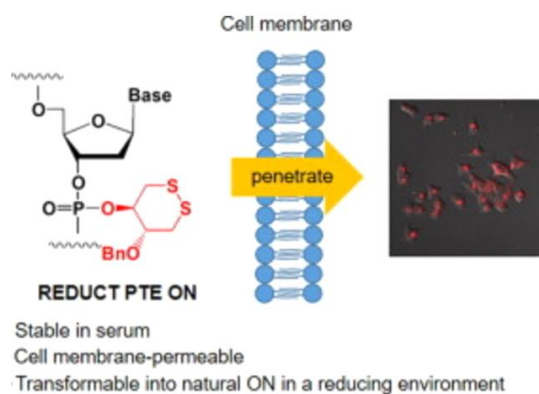
An efficient one-pot microwave assisted stereoselective synthesis of novel dihydro-2'H-spiro[indene-2,1'-pyrrolo[3,4-c]pyrrole]-tetraone derivatives through three-component 1,3-dipolar cycloaddition of azomethine ylides generated in situ from ninhydrin and sarcosine with a series of 1-aryl-1H-pyrrole-2,5-diones is described. The synthesised compounds were screened for their antimycobacterial and AChE inhibition activities.

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Citation: Hayashi, J.; *et al. Bioorg. Med. Chem. Lett.* **2017**, *27*, 3135.

### Syntheses of prodrug-type phosphotriester oligonucleotides responsive to intracellular reducing environment for improvement of cell membrane permeability and nuclease resistance

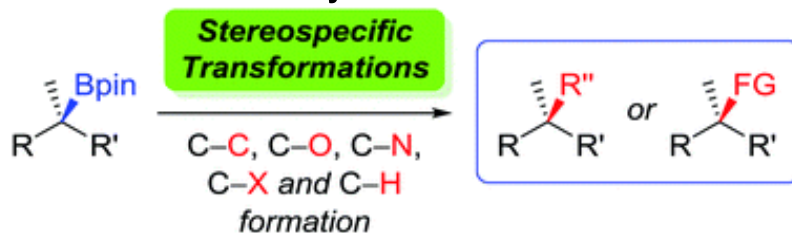


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Citation: Sandford, C.; Aggarwal, V. K. *Chem Commun.* **2017**, *53*, 5481.

### Stereospecific functionalizations and transformations of secondary and tertiary boronic esters



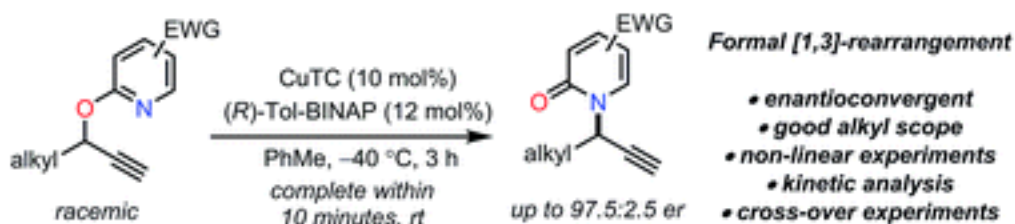
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This article summarises the current state of the art in stereospecific transformations of both secondary and tertiary boronic esters into other functionalities and groups, whilst considering critically the transformations that are currently unattainable and would represent future advances to the field.

Citation: Cheng, L.-J.; et al. *Chem. Sci.* **2017**, *8*, 4299

### Enantioselective propargylic [1,3]-rearrangements: copper-catalyzed *O*-to-*N* migrations toward C-N bond formation

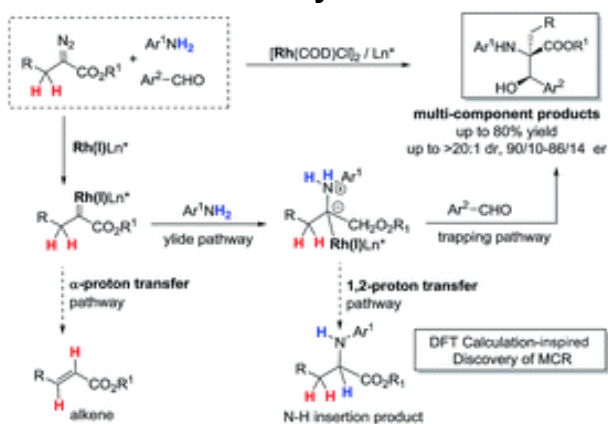


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Citation: Liu, S.; et al. *Chem. Sci.* **2017**, *8*, 4312

### A DFT calculation-inspired Rh(I)-catalyzed reaction *via* suppression of $\alpha$ -H shift in $\alpha$ -alkyldiazoacetates

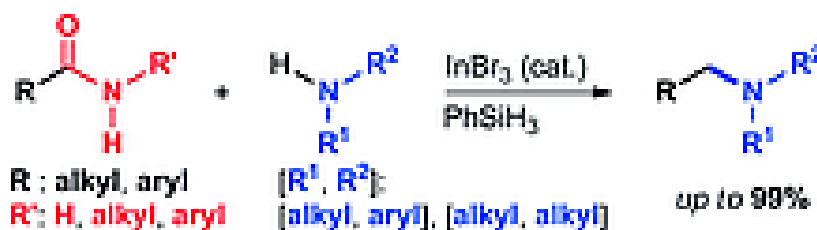


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Citation: Ogiwara, Y.; et al. *Eur. J. Org. Chem.* **2017**, *20*, 2866

### Carboxamides as *N*-Alkylating Reagents of Secondary Amines in Indium-Catalyzed Reductive Amination with a Hydrosilane

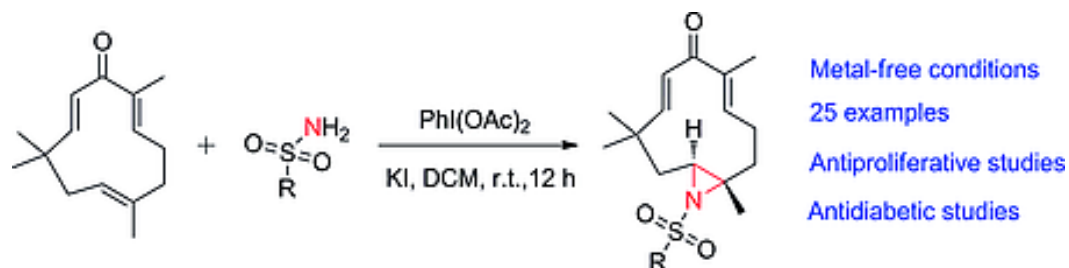


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Citation: Gopalan, G. et al. *Eur. J. Org. Chem.* **2017**, 21, 3072

### Metal-Free *trans*-Aziridination of Zerumbone: Synthesis and Biological Evaluation of Aziridine Derivatives of Zerumbone

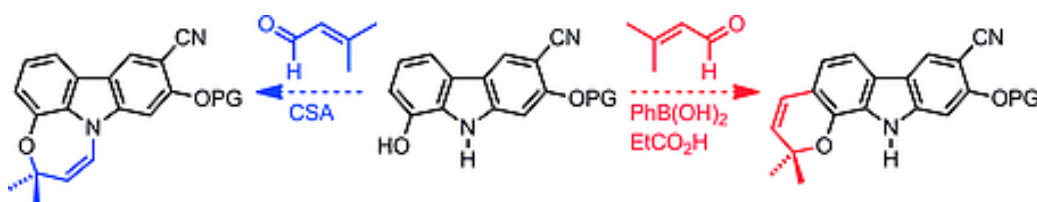


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Citation: Brütting, C. et al. *Eur. J. Org. Chem.* **2017**, 22, 3288

### First Total Synthesis of the Cytotoxic Carbazole Alkaloid Excavatine-A and Regioselective Annulation to Pyrano[2,3-a]carbazoles and [1,4]Oxazepino[2,3,4-*jk*]carbazoles

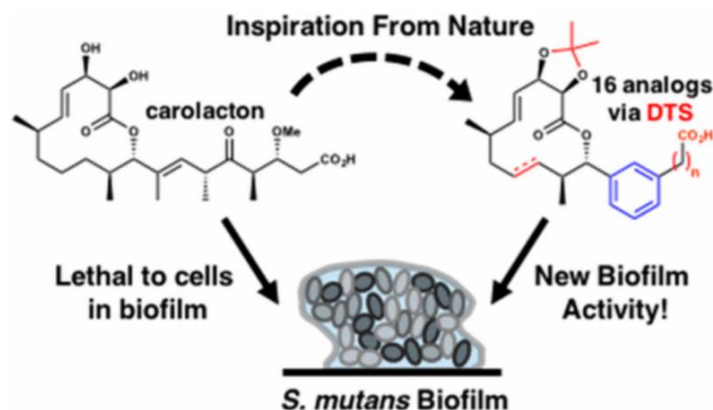


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Citation: *JACS*, **2017**, 139, 7188.

### Diverted Total Synthesis of Carolacton-Inspired Analogs Yields Three Distinct Phenotypes in *Streptococcus mutans* Biofilms



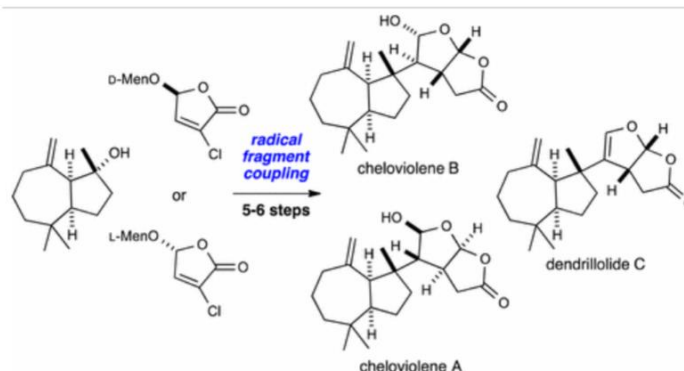
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Citation: *JACS*, **2017**, *139*, 7192.

### Versatile Construction of 6-Substituted *cis*-2,8-Dioxabicyclo[3.3.0]octan-3-ones: Short Enantioselective Total Syntheses of Cheloviolenes A and B and Dendrillolide C

Overman paper



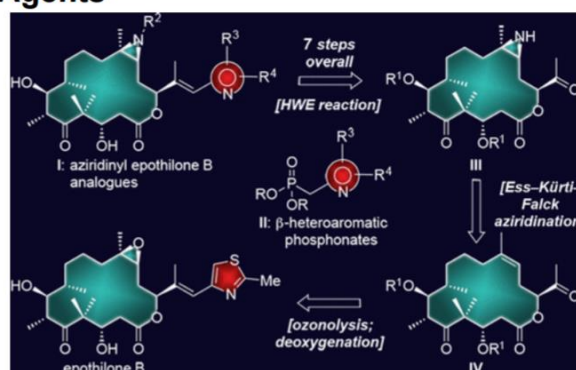
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Citation: *JACS*, **2017**, *139*, 7318.

### 12,13-Aziridinyl Epothilones. Stereoselective Synthesis of Trisubstituted Olefinic Bonds from Methyl Ketones and Heteroaromatic Phosphonates and Design, Synthesis, and Biological Evaluation of Potent Antitumor Agents

Some of these compounds exhibited picomolar potencies that qualify them as payloads for ADCs



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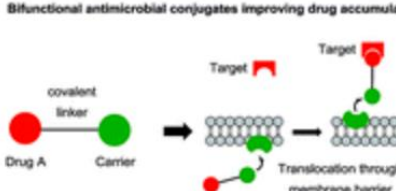
Citation: Yan, et al. *Mor. Pharm.* **2017**, *14*, 1898-1905

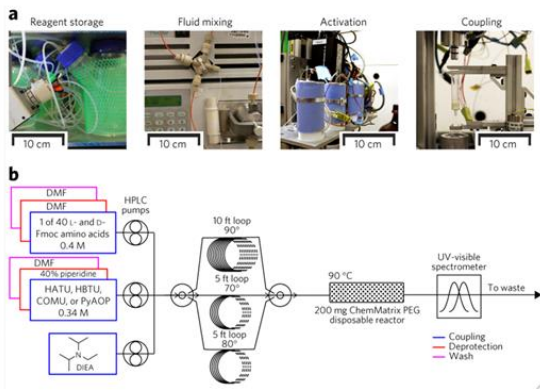
### Tat-Tagged and Folate-Modified N-Succinyl-chitosan (Tat-Suc-FA) Self-assembly Nanoparticle for Therapeutic Delivery OGX-011 to A549 Cells

The objective of this study was to develop a novel type of an antisense oligonucleotide (OGX-011) loaded Tat-tagged and folate-modified N-succinyl-chitosan (Tat-Suc-FA) nanoparticles (NPs) for improving tumor targetability. In this study, Tat-Suc-FA/OGX-011NPs were prepared and its physicochemical characterizations were also evaluated. The nanoparticles showed an average diameter of  $73 \pm 16.6$  nm, the zeta potential of  $+23.6 \pm 0.3$  mV, and a high entrapment efficiency of  $89.6 \pm 6.6\%$ . Transmission electron microscopy analysis showed the nanoparticles were mostly spherical and well dispersed. The delivery efficiency of this system was investigated both in vitro and in vivo. In comparison with nontargeted Lipofectamin2000/OGX-011 and free OGX-011, Tat-Suc-FA/OGX-011 showed the highest apoptosis rate of  $14.2 \pm 1.8\%$  and significant uptake in A549 cells. Tat-Suc-FA NPs loaded with GOX-011 induced significant down-regulation of s-CLU mRNA and protein levels in A549 cells. In A549 tumor-bearing mice model, Tat-Suc-FA/GOX-011 produced a more efficient down-regulation of s-CLU compared to Lipofectamin2000/OGX-011.

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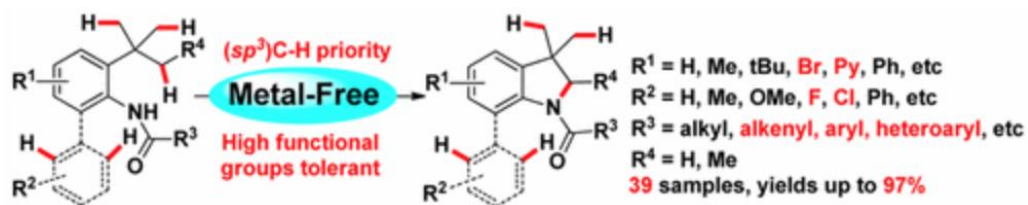
<p style="text-align: center;"><b>Bifunctional antimicrobial conjugates and hybrid antimicrobials</b></p> <p style="text-align: center;"><i>Hybridization approach in antimicrobial drug development</i></p> <p style="text-align: center;"><b>Hybrid antimicrobials for dual targeting</b></p>  <p style="text-align: center;"><b>Bifunctional antimicrobial conjugates improving drug accumulation</b></p> 	<p>bioorganic methods synthesis mechanism <b>review</b> other</p>
	<p>OM Bryo DDO Hybrid Drug Deliv. Prostratin</p>

<p><b>A fully automated flow-based approach for accelerated peptide synthesis</b></p> <p>Here we report a fully automated, flow-based approach to solid-phase polypeptide synthesis, with amide bond formation in 7 seconds and total synthesis times of 40 seconds per amino acid residue. Crude peptide purities and isolated yields were comparable to those for standard-batch solid-phase peptide synthesis. At full capacity, this approach can yield tens of thousands of individual 30-mer peptides per year.</p>		<p>bioorganic methods <b>synthesis</b> mechanism review other</p>
		<p>OM Bryo DDO Hybrid Drug Deliv. <b>Prostratin</b></p>

<p><b>A <i>Vibrio cholerae</i> autoinducer-Creceptor pair that controls biofilm formation</b></p> <p>Quorum sensing (QS) is a cell-cell communication process that enables bacteria to track cell population density and orchestrate collective behaviors. QS relies on the production and detection of, and the response to, extracellular signal molecules called autoinducers. In <i>Vibrio cholerae</i>, multiple QS circuits control pathogenesis and biofilm formation. Here, we identify and characterize a new QS autoinducer-Creceptor pair. The autoinducer is 3,5-dimethylpyrazin-2-ol (DPO). DPO is made from threonine and alanine, and its synthesis depends on threonine dehydrogenase (Tdh). DPO binds to and activates a transcription factor, VqmA. The VqmA-DPO complex activates expression of vqmR, which encodes a small regulatory RNA. VqmR represses genes required for biofilm formation and toxin production. We propose that DPO allows <i>V. cholerae</i> to regulate collective behaviors to, among other possible roles, diversify its QS output during colonization of the human host.</p>	<p>bioorganic methods synthesis mechanism review other</p>
	<p>OM Bryo DDO Hybrid <b>Drug Deliv.</b> Prostratin</p>

Citation: Iwasaki, T. *et al. Org. Lett.* **2017**, 19 (11), 2793-2796

## Intramolecular, Site-Selective, Iodine-Mediated, Amination of Unactivated ( $sp^3$ )C–H Bonds for the Synthesis of Indoline Derivatives

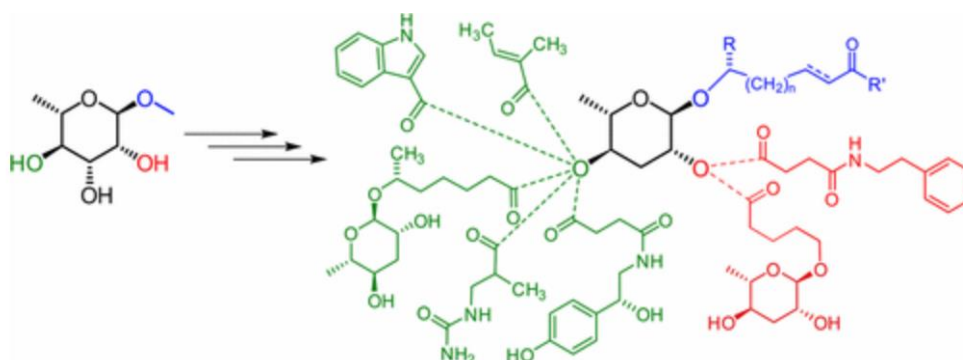


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Citation: Schroeder, F. C. *Org. Lett.* **2017**, 19 (11), 2837-2840

## Improved Synthesis for Modular Ascarosides Uncovers Biological Activity

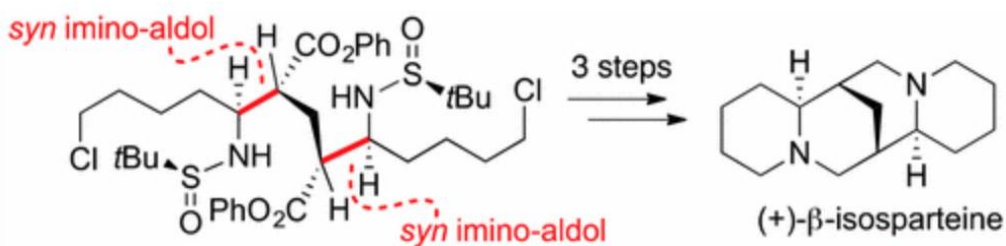


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Citation: Brown *et al. Org. Lett.* **2017**, ASAP

## A Two-Directional Synthesis of (+)-



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Citation: Gootenberg, et al. <i>Science</i> . 2017, 356, 438-422	
<b>Nucleic acid detection with CRISPR-Cas13a/C2c2</b>  Methods are needed that can easily detect nucleic acids that signal the presence of pathogens, even at very low levels. Gootenberg et al. combined the allele-specific sensing ability of CRISPR-Cas13a with recombinase polymerase amplification methods to detect specific RNA and DNA sequences. The method successfully detected attomolar levels of Zika virus, as well as the presence of pathogenic bacteria. It could also be used to perform human genotyping from cell-free DNA.	bioorganic methods synthesis mechanism <b>review</b> <b>other</b>
	OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Fung, <i>et al.</i> <i>Science</i> . 2017, 356, 491	
<b>Thomas Earl Starzl (1926 "C2017)</b>  Thomas E. Starzl, best known for his contributions to the field of organ transplantation, died on 4 March 2017 in Pittsburgh, Pennsylvania. He was 90 years old. Starzl pioneered many aspects of transplantation, including immunosuppressive drug development, organ preservation, tissue matching, and innovative transplant surgical procedures. He developed the team approach to organ transplantation, thus paving the way for the success and acceptance of heart, lung, pancreas, intestinal, liver, kidney, and composite tissue transplants. Thanks to Starzl's lifetime commitment to transplantation, close to 1 million patients around the world have received a life-saving organ transplant.	bioorganic methods synthesis mechanism <b>review</b> <b>other</b>
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Citation: Liu, <i>et al.</i> <i>Science</i> . 2017, 356, 638-642	
<b>Coupling between distant biofilms and emergence of nutrient time-sharing</b>  Given that collaborations generally arise from personal connections (1), it is hard to imagine internationally coauthored research expanding absent researcher mobility. Ties between U.S. and Chinese researchers exemplify how migration advances knowledge and benefits source and destination country. The United States is the top destination of Chinese international students and postdocs, and China is the top source of foreign students in the United States, and they contribute to U.S. scientific productivity. network links between collaborators from different countries establish a prima facie case for policies that treat foreign-born students and migrant researchers as valuable contributors to the United States and home-country scientific and economic progress and as possible future U.S. citizens as well.	bioorganic methods synthesis mechanism <b>review</b> <b>other</b>
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Citation: Freeman, R. <i>Science</i> . <b>2017</b> , 356, 696-697	
<p><b>Migration of ideas: China and U.S.</b></p> <p>In cyanobacteria, timing is generated by a posttranslational clock consisting of KaiA, KaiB, and KaiC proteins and a set of output signaling proteins, SasA and CikA, which transduce this rhythm to control gene expression. Here, we describe crystal and nuclear magnetic resonance structures of KaiB-KaiC, KaiA-KaiB-KaiC, and CikA-KaiB complexes. They reveal how the metamorphic properties of KaiB, a protein that adopts two distinct folds, and the post-Cadenosine triphosphate hydrolysis state of KaiC create a hub around which nighttime signaling events revolve, including inactivation of KaiA and reciprocal regulation of the mutually antagonistic signaling proteins, SasA and CikA.</p>	bioorganic methods synthesis mechanism review <b>other</b>
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Citation: Oswalt, T.D. <i>Science</i> . <b>2017</b> , 356, 1015	
<p><b>A centennial gift from Einstein</b></p> <p>The 1919 detection of the apparent displacement of background stars near the edge of the eclipsed Sun's disk provided one of the first convincing proofs of Einstein's theory of general relativity (1, 2). Almost 100 years later, Sahu et al. report on page 1046 of this issue the first measurement of the gravitational deflection of starlight by a star other than the Sun (3). Using the superior angular resolution of the Hubble Space Telescope (HST), they measured shifts in the apparent position of a distant background star as a nearby dense stellar remnant called a white dwarf passed almost in front of it in 2014. Because of the relative distances involved, the deflections they observed were about 1000 times smaller than those seen in 1919, but also in agreement with general relativity theory.</p>	bioorganic methods synthesis mechanism review <b>other</b>
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