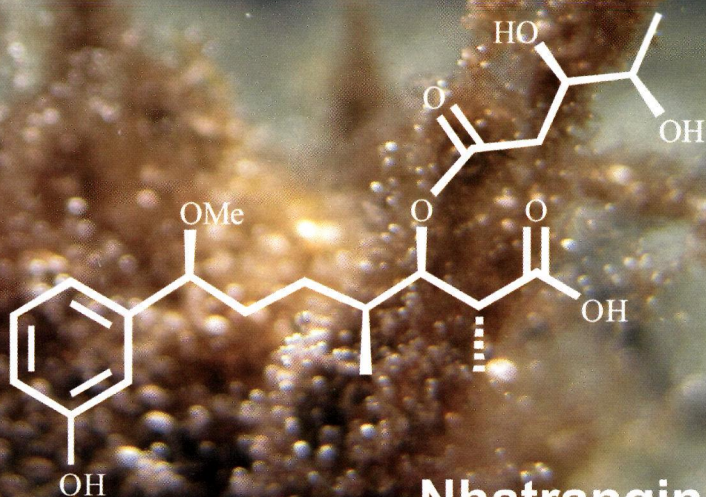


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# Organic & Biomolecular Chemistry

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Volume 11 | Number 27 | 21 July 2013 | Pages 4421–4560



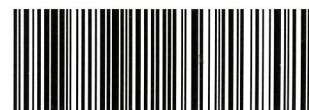
**Nhatrangin A**

ISSN 1477-0520

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**PAPER**

Ahmed Kamal and Saidi Reddy Vangala  
The first total synthesis of nhatrangin A



1477-0520(2013)11:27;1-6



# Organic & Biomolecular Chemistry

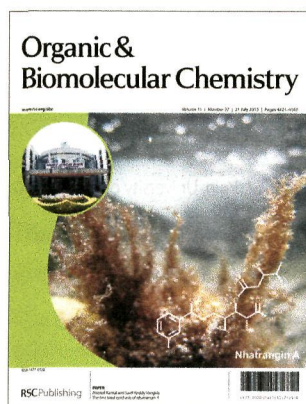
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## IN THIS ISSUE

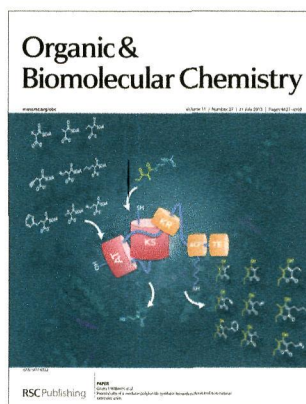
ISSN 1477-0520 CODEN OBCRAK 11(27) 4421–4560 (2013)



### Cover

See Ahmed Kamal and Saidi Reddy Vangala pp. 4442–4448.

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### Inside cover

See Gavin J. Williams *et al.*, pp. 4449–4458.

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## COMMUNICATIONS

4429

### Tandem nucleophilic addition–Oppenauer oxidation of aromatic aldehydes to aryl ketones with triorganoaluminium reagents

Ying Fu,\* Yanshou Yang, Helmut M. Hügel, Zhengyin Du, Kehu Wang, Danfeng Huang and Yulai Hu

Triorganoaluminium reagents are versatile reagents in the tandem nucleophilic addition–Oppenauer oxidation of aromatic aldehydes to ketones.



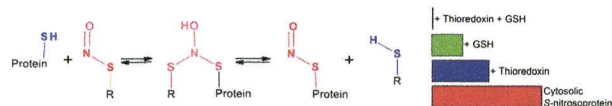
28 examples

4433

### Glutathione and thioredoxin type 1 cooperatively denitrosate HepG2 cells-derived cytosolic S-nitrosoproteins

Detcho A. Stoyanovsky,\* Melanie J. Scott and Timothy R. Billiar

In this study, we present experimental evidence that glutathione acts in concert with human thioredoxin type 1 in the denitrosation of cytosolic S-nitrosoproteins (PSNOs) from HepG2 cells.



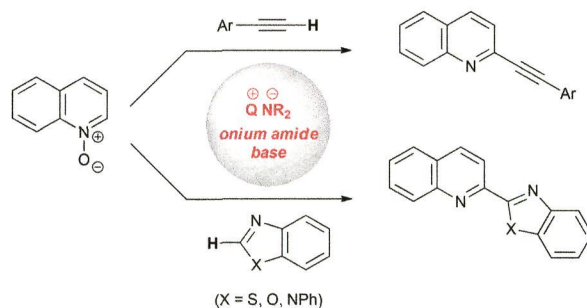
Федеральное государственное  
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Центральная научная библиотека  
Уральского отделения  
Российской академии наук (ЦНБ УрО РАН)

4438

### Organocatalytic functionalization of heteroaromatic *N*-oxides with C-nucleophiles using *in situ* generated onium amide bases

Kiyofumi Inamoto,\* Yuta Araki, Shoko Kikkawa, Misato Yonemoto, Yoshiyuki Tanaka and Yoshinori Kondo\*

Organocatalytic functionalization of heteroaromatic *N*-oxides with C-nucleophiles has been achieved by using *in situ* generated onium amide bases.



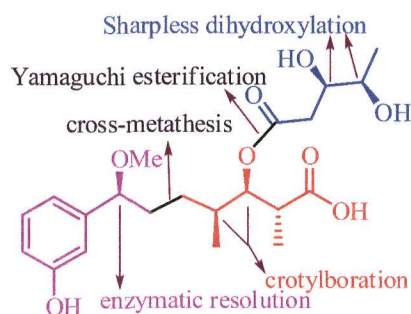
PAPERS

4442

### The first total synthesis of nhatrangin A

Ahmed Kamal\* and Saidi Reddy Vangala

The first total synthesis of nhatrangin A (**1**) has been achieved with an overall yield for the 14-step longest sequence, starting from Roche ester, of 5.8%.

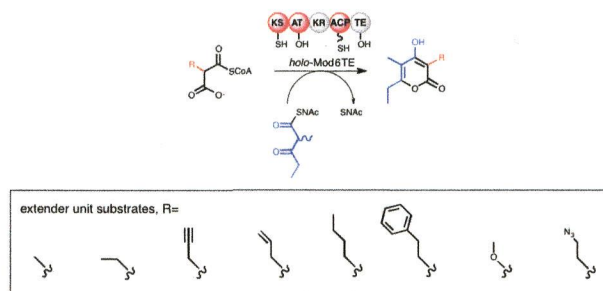


4449

### Promiscuity of a modular polyketide synthase towards natural and non-natural extender units

Irina Koryakina, John B. McArthur, Matthew M. Draelos and Gavin J. Williams\*

Using engineered malonyl-CoA synthetases, a polyketide synthase module was shown to utilize non-natural extender units, providing a guide for further engineering.

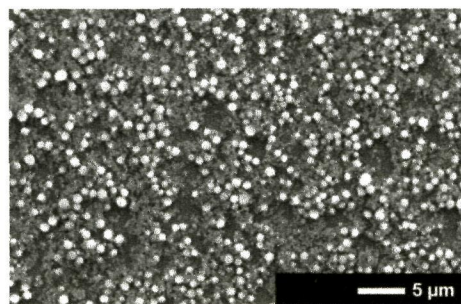


4459

### Tunable peptoid microspheres: effects of side chain chemistry and sequence

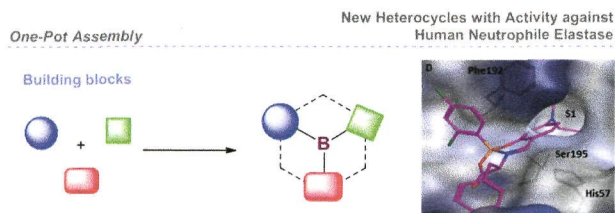
Melissa L. Hebert, Dhaval S. Shah, Phillip Blake, J. Phillip Turner and Shannon L. Servoss\*

Peptoids with helical secondary structure and partial water solubility self-assemble into microspheres, the size of which can be tuned by varying side chain chemistry and placement.





4465

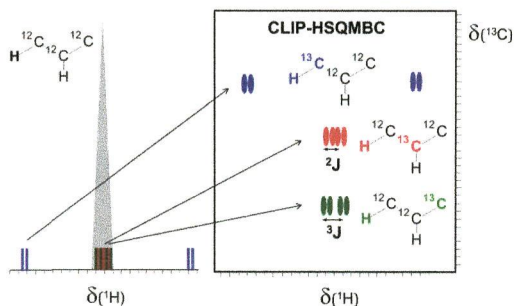


### Discovery of new heterocycles with activity against human neutrophil elastase based on a boron promoted one-pot assembly reaction

Francesco Montalbano, Pedro M. S. D. Cal, Marta A. B. R. Carvalho, Lídia M. Gonçalves, Susana D. Lucas, Rita C. Guedes, Luís F. Veiros, Rui Moreira and Pedro M. P. Gois\*

Herein we demonstrate for the first time that a boron promoted one-pot assembly reaction may be used to discover novel enzyme inhibitors.

4473

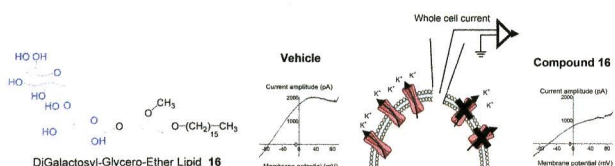


### CLIP-HSQMBC: easy measurement of small proton-carbon coupling constants in organic molecules

Josep Saurí, Teodor Parella and Juan F. Espinosa\*

A user-friendly 2D NMR approach denoted as CLIP-HSQMBC is proposed for an easy and accurate measurement of long-range proton-carbon coupling constants in organic molecules.

4479

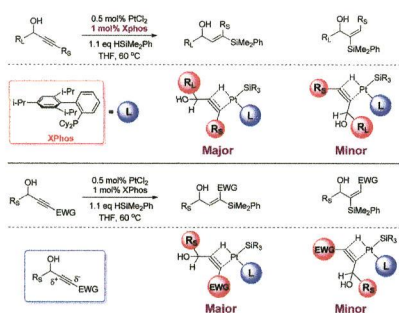


### DiGalactosyl-Glycero-Ether Lipid: synthetic approaches and evaluation as SK3 channel inhibitor

Charlotte M. Sevrain, Jean-Pierre Haelters\*, Aurélie Chantôme, Hélène Couthon-Gourvès, Maxime Gueguinou, Marie Potier-Cartereau, Christophe Vandier\* and Paul-Alain Jaffrès\*

Compound 16 was synthesized by a synthetic approach using TMS as a protecting group. This compound reduces SK3 current and SK3-dependent cell migration.

4488



### Platinum catalysed hydrosilylation of propargylic alcohols

Catherine A. McAdam, Mark G. McLaughlin, Adam J. S. Johnston, Jun Chen, Magnus W. Walter and Matthew J. Cook\*

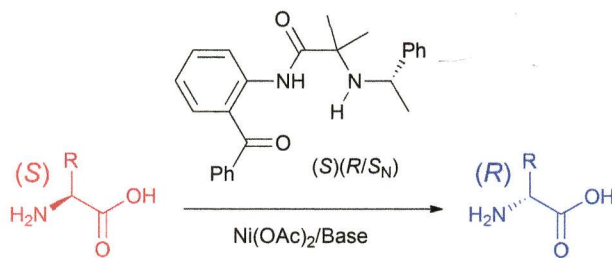
A facile and user-friendly protocol has been developed for the selective synthesis of *E*-vinyl silanes derived from propargylic alcohols using a  $\text{PtCl}_2/\text{XPhos}$  catalyst system.

4503

### Chemical approach for interconversion of (*S*)- and (*R*)- $\alpha$ -amino acids

Alexander E. Sorochinsky, Hisanori Ueki, José Luis Aceña, Trevor K. Ellis, Hiroki Moriwaki, Tatsunori Sato and Vadim A. Soloshonok\*

Complexation with a chiral ligand derived from  $\alpha$ -(phenyl)-ethylamine and a Ni(II) salt constitutes a convenient method for interconverting amino acids into their unnatural enantiomeric forms.

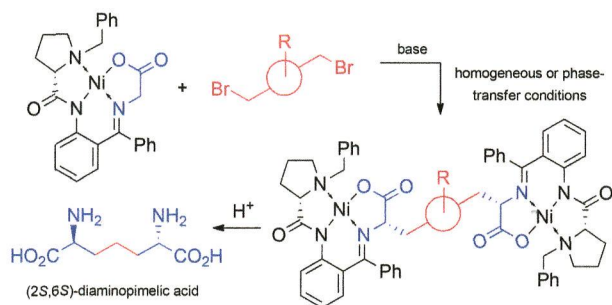


4508

### Synthesis of bis- $\alpha,\alpha'$ -amino acids through diastereoselective bis-alkylations of chiral Ni(II)-complexes of glycine

Jiang Wang, Hong Liu,\* José Luis Aceña, Daniel Houck, Ryosuke Takeda, Hiroki Moriwaki, Tatsunori Sato and Vadim A. Soloshonok\*

Optically pure bis- $\alpha,\alpha'$ -amino acids are accessed from the chiral Ni(II) complex derived from glycine and *N*-(benzylpropyl)-2-aminobenzophenone using highly diastereoselective bis-alkylations with suitable dibromides.

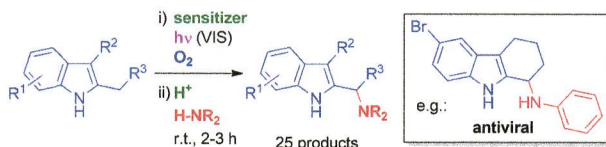


4516

### Aerobic C–H amination of tetrahydrocarbazole derivatives via photochemically generated hydroperoxides

Naeem Gulzar and Martin Klussmann\*

The oxidative C–H amination of indole derivatives with anilines and other N-nucleophiles is achieved via photochemically generated hydroperoxides and by using Brønsted acid catalysis.

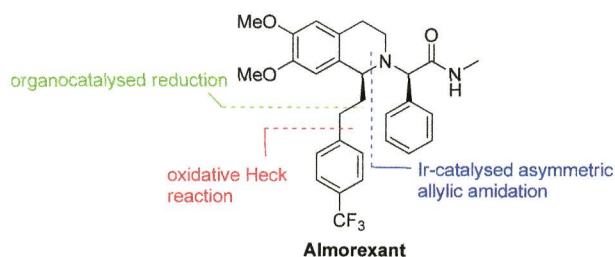


4521

### Enantioselective synthesis of almorexant via iridium-catalysed intramolecular allylic amidation

Martín Fañanás-Mastral,\* Johannes F. Teichert, José Antonio Fernández-Salas, Dorus Heijnen and Ben L. Feringa\*

A catalytic enantioselective synthesis of almorexant, a potent antagonist of human orexin receptors, is presented.





4526

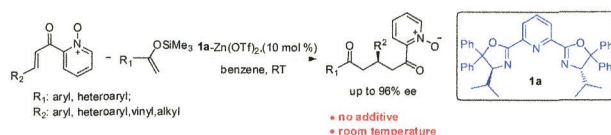


### Azastilbenes: a cut-off to p38 MAPK inhibitors

Jia-Fei Poon, John Patrick Alao, Per Sunnerhagen and Peter Dinér\*

Several substituted azastilbene-based compounds with vicinal 4-fluorophenyl/4-pyridine rings were synthesized and evaluated in a cell-free radiometric p38 assay (IC<sub>50</sub>-value down to 110 nM) and inhibition of p38 signaling in human breast cancer cells was also observed for two of the compounds.

4537



### Enantioselective Mukaiyama–Michael with 2-enoyl pyridine *N*-oxides catalyzed by PYBOX-DIPH-Zn(II)-complexes at ambient temperature

Subhrajit Rout, Sumit K. Ray and Vinod K. Singh\*

A chiral PYBOX-DIPH-Zn(II) catalyzed enantioselective Mukaiyama–Michael reaction of acyclic silyl enol ethers with 2-enoylpyridine *N*-oxides has been studied. The methodology offers a straightforward access to a variety of functionalized chiral 1,5-dicarbonyl compounds which could easily be elaborated into synthetically viable pyrones.

4546

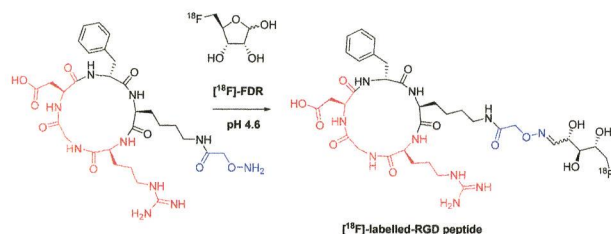


### Synthesis of 4*H*-1,4-oxazines as transthyretin amyloid fibril inhibitors

Weipeng Li, Xiaowei Duan, Hong Yan\* and Hongxing Xin

A series of novel 4*H*-1,4-oxazines were designed and synthesized as transthyretin amyloid fibril inhibitors and some of the 4*H*-1,4-oxazines displayed potent biological activity by a fibril formation assay.

4551



### Efficient bioconjugation of 5-fluoro-5-deoxy-ribose (FDR) to RGD peptides for positron emission tomography (PET) imaging of $\alpha_v\beta_3$ integrin receptor

Sergio Dall'Angelo, Qingzhi Zhang, Ian N. Fleming, Monica Piras, Lutz F. Schweiger, David O'Hagan\* and Matteo Zanda\*

[<sup>18</sup>F]-5-Fluoro-5-deoxyribose ([<sup>18</sup>F]FDR) is shown to be an efficient conjugation agent for radiolabelling of the RGD peptides with the fluorine-18 isotope.