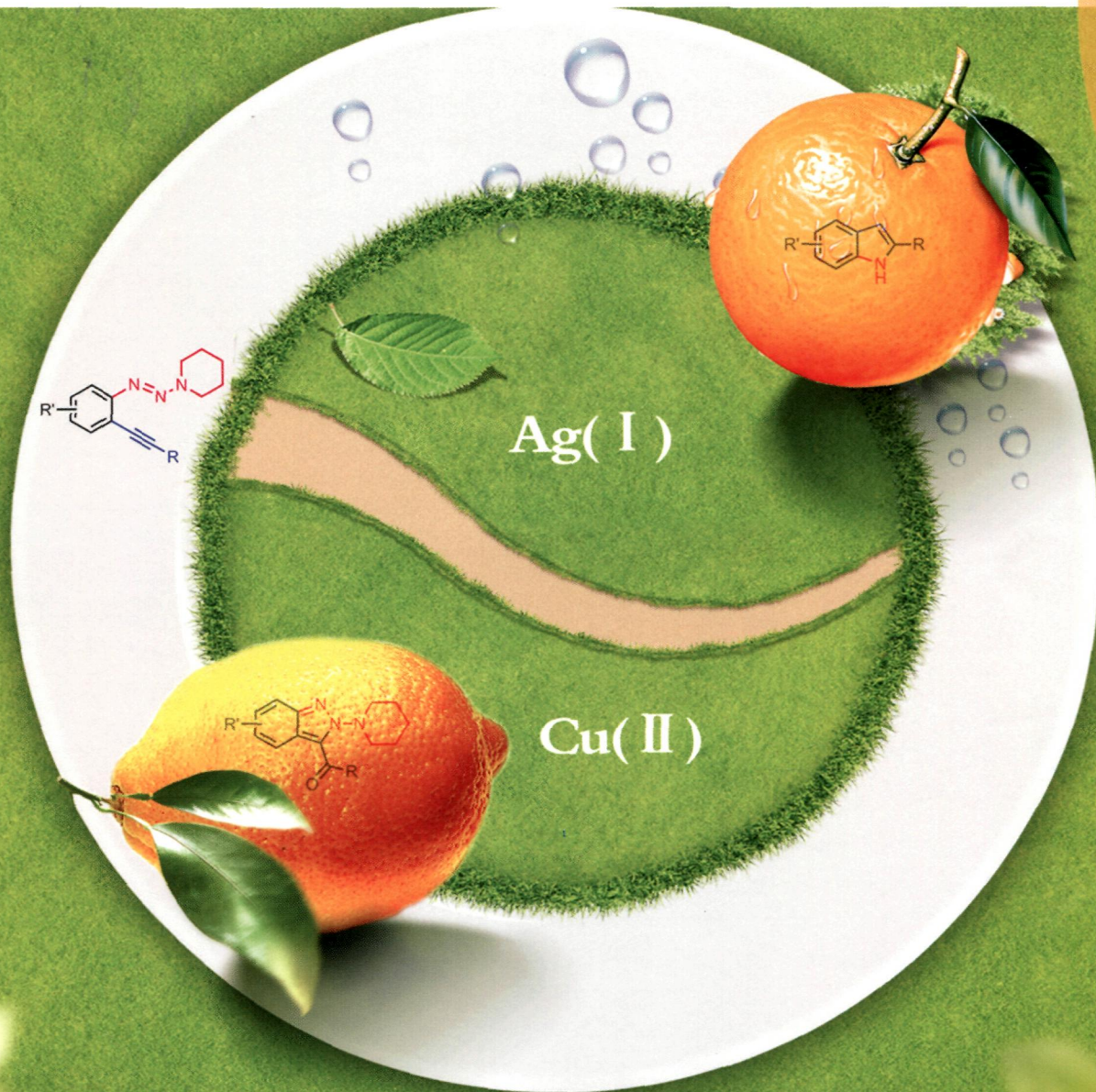


# Organic & Biomolecular Chemistry

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PAPER

Haizhu Yu, Yong Huang *et al.*

Selective synthesis of indazoles and indoles *via* triazene–alkyne cyclization switched by different metals

# Organic & Biomolecular Chemistry

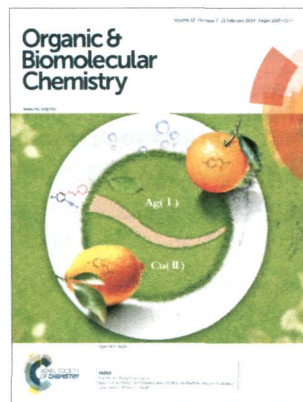
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## IN THIS ISSUE

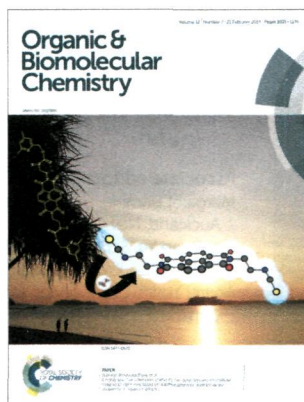
ISSN 1477-0520 CODEN OBCRAK 12(7) 1021-1174 (2014)



### Cover

See Haizhu Yu, Yong Huang *et al.*, pp. 1061–1071.

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### Inside cover

See Subhajt Bandyopadhyay *et al.*, pp. 1072–1078.

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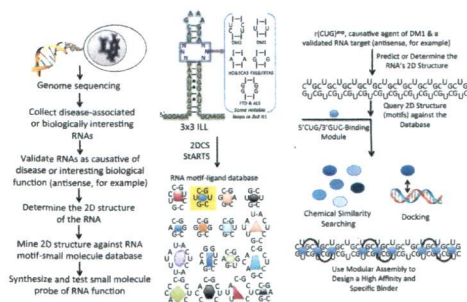
## REVIEW

1029

### Methods to enable the design of bioactive small molecules targeting RNA

Matthew D. Disney,\* Ilyas Yildirim and Jessica L. Childs-Disney

Herein, we describe methods that enable the design of small molecules that target RNA, an increasingly important drug target.



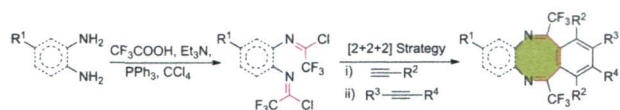
## COMMUNICATIONS

1040

### Vicinal bis(trifluoroacetimidoyl chloride)s: novel building blocks for the synthesis of benzo-fused eight-membered rings via [2 + 2 + 2] cycloadditions

Lisi Zhang, Yajun Li, Li Zhang and Yongming Wu\*

Vicinal bis(trifluoroacetimidoyl chloride)s, a novel kind of fluorinated building blocks, were obtained from *o*-phenylenediamines. Based on the blocks, [2 + 2 + 2] cycloaddition for synthesis of trifluoromethylated benzo-fused eight-membered rings was disclosed.

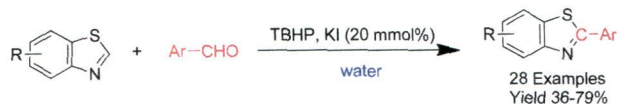


1044

### KI-catalyzed arylation of benzothiazoles from the coupling of aryl aldehydes with benzothiazoles in neat water

Yuyu Gao, Qiuling Song, Guolin Cheng and Xiuling Cui\*

A KI-catalyzed oxidative coupling of benzothiazoles with aryl aldehydes using TBHP as an oxidant in neat water under metal free conditions is described.

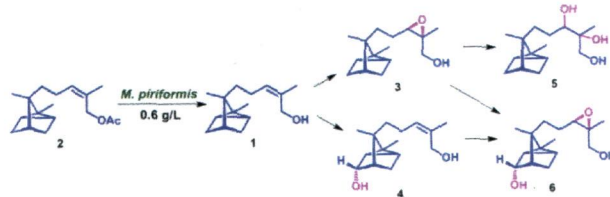


1048

### Biocatalyst mediated regio- and stereo-selective hydroxylation and epoxidation of (Z)- $\alpha$ -santalol

Pankaj P. Daramwar, Prabhakar Lal Srivastava, Swati P. Kolet and Hirekodathakallu V. Thulasiram\*

Biocatalyst mediated regio- and stereo-selective functionalization of (Z)- $\alpha$ -santalol using a highly efficient fungal system *M. piriformis* furnished four novel metabolites, characterized for the first time. Kinetic resolution of 10,11-epoxy- $\alpha$ -santalols was accomplished using Amano lipase PS from *B. cepacia*.

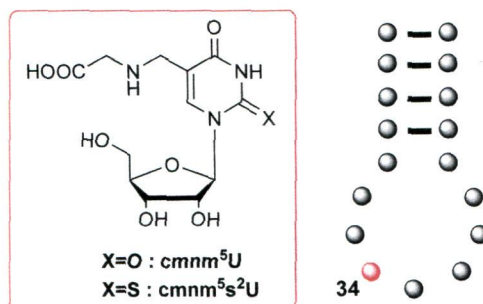


1052

### Site-selected incorporation of 5-carboxymethylaminomethyl(-2-thio)uridine into RNA sequences by phosphoramidite chemistry

Grazyna Leszczynska,\* Jakub Pięta, Karolina Wozniak and Andrzej Malkiewicz

This study presents the first site-selected incorporation of  $\text{cmnm}^5\text{U}$  and  $\text{cmnm}^5\text{s}^2\text{U}$  into RNA sequences by phosphoramidite chemistry on a CPG support.

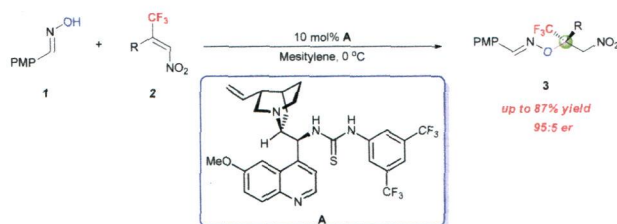


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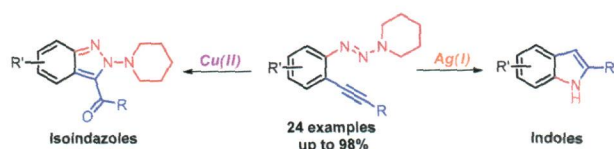
### Enantioselective organocatalytic oxa-Michael addition of oximes to $\beta$ - $\text{CF}_3$ - $\beta$ -disubstituted nitroalkenes: efficient synthesis of $\beta$ -amino- $\alpha$ -trifluoromethyl alcohols

Feng-Lei Liu, Jia-Rong Chen,\* Bin Feng, Xiao-Qiang Hu, Li-Hua Ye, Liang-Qiu Lu and Wen-Jing Xiao\*

An enantioselective intermolecular oxa-Michael addition of oximes to  $\beta$ - $\text{CF}_3$ - $\beta$ -disubstituted nitroalkenes has been developed.



1061

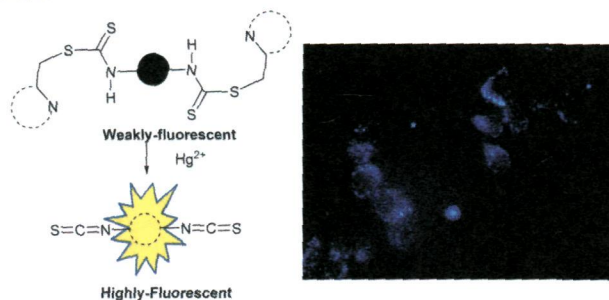


### Selective synthesis of indazoles and indoles via triazene-alkyne cyclization switched by different metals

Yan Fang, Chengming Wang, Shengqin Su, Haizhu Yu\* and Yong Huang\*

The Cu or Ag mediated orthogonal synthesis of two distinct cyclized products (*i.e.* indoles or isoindazoles) has been reported.

1072

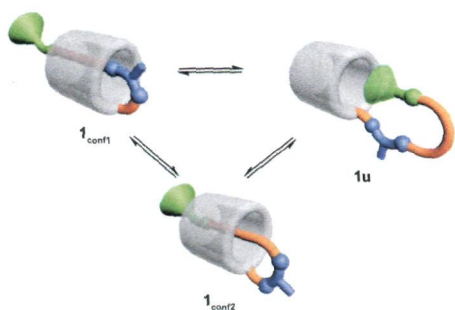


### A highly selective chemodosimeter for fast detection and intracellular imaging of $Hg^{2+}$ ions based on a dithiocarbamate-isothiocyanate conversion in aqueous ethanol

Suman Pal, Joydev Hatai, Mousumi Samanta, Alok Shaurya and Subhajit Bandyopadhyay\*

A novel naphthalene diimide based fluorescence chemodosimeter detects  $Hg^{2+}$  ions *in vitro* and in cells.

1079

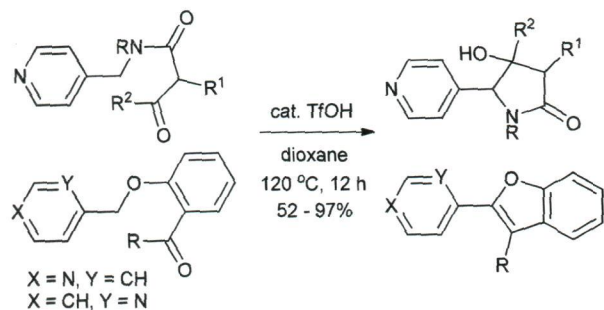


### Dynamic self-inclusion behavior of pillar[5]arene-based pseudo[1]rotaxanes

Yangfan Guan, Pingying Liu, Chao Deng, Mengfei Ni, Shuhan Xiong, Chen Lin, Xiao-Yu Hu,\* Jing Ma\* and Leyong Wang\*

Three isomers of a pillar[5]arene (P5)-based pseudo[1]-rotaxane were investigated for their conformations and transformations. The multiple interactions including H-bonding, C-H... $\pi$  interactions, and the steric effect of the *N*-Boc moiety greatly enhance the stability of the threaded form in polar solvent.

1090



### Brønsted acid catalyzed intramolecular benzylic cyclizations of alkylpyridines

Ashabha I. Lansakara, Daniel P. Farrell and F. Christopher Pigge\*

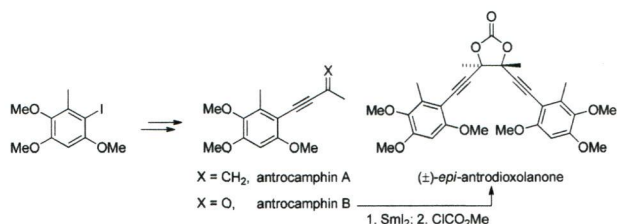
Aldehyde and ketone electrophiles incorporated into the side chains of 2- and 4-alkylpyridines participate in intramolecular aldol-like condensations with pyridine benzylic carbons in the presence of Brønsted acid catalysts.

1100

### Ethynylbenzenoid metabolites of *Antrodia camphorata*: synthesis and inhibition of TNF expression

Marco Buccini, Kathryn A. Punch, Belinda Kaskow, Gavin R. Flematti, Brian W. Skelton, Lawrence J. Abraham and Matthew J. Piggott\*

Antrocamphin A and B, and ( $\pm$ )-*epi*-antrodiioxolanone have been synthesised from a common precursor. Antrocamphin A displays anti-inflammatory activity but is also cytotoxic.

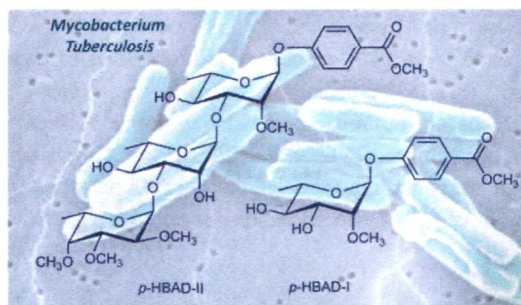


1114

### The synthesis and biological evaluation of mycobacterial *p*-hydroxybenzoic acid derivatives (*p*-HBADs)

Jean Bourke, Corinna F. Brereton, Stephen V. Gordon, Ed C. Lavelle\* and Eoin M. Scanlan\*

Synthetic *p*-hydroxybenzoic acid derivatives (*p*-HBADs) from *Mycobacterium tuberculosis* have the ability to suppress host immune response *in vitro*.

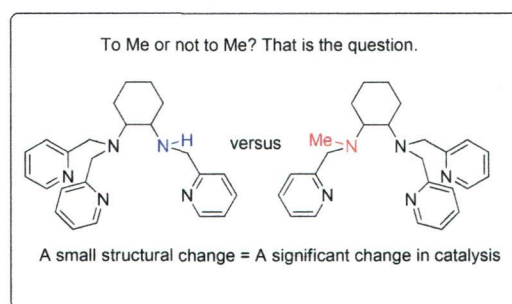


1124

### Catalytic and mechanistic studies into the epoxidation of styrenes using manganese complexes of structurally similar polyamine ligands

Gennadiy Ilyashenko, Giorgio De Faveri, Thomas Follier, Rawan Al-Safadi, Majid Motevalli and Michael Watkinson\*

The synthesis and catalytic activity of manganese(II) complexes of two polyamine ligands is reported which highlights how a small structural change in the ligand affects the overall catalytic behaviour.

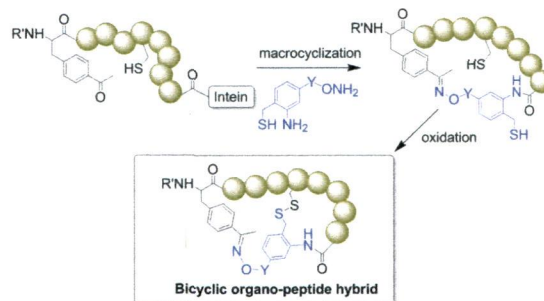


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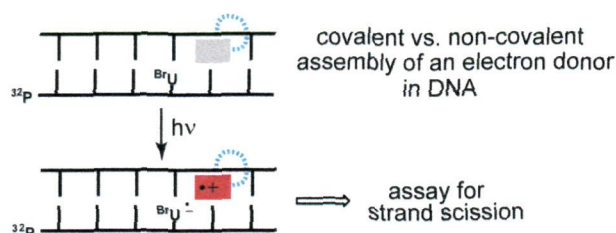
### Synthesis of bicyclic organo-peptide hybrids via oxime/intein-mediated macrocyclization followed by disulfide bond formation

Jessica M. Smith, Nicholas C. Hill, Peter J. Krasniak and Rudi Fasan\*

A new strategy is described to convert recombinant polypeptides into bicyclic organo-peptide hybrids constrained by an intramolecular disulfide bridge.



1143

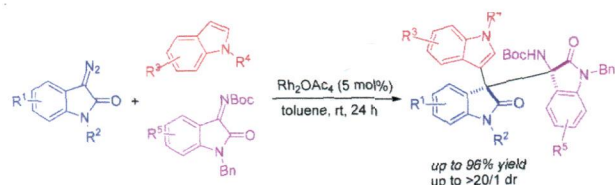


### Electron transport in DNA initiated by diaminonaphthalene donors alternatively bound by non-covalent and covalent association

Neil P. Campbell and Steven E. Rokita\*

Non-covalent association can identify active donors for study of charge transfer in DNA but may not establish detailed correlations between donor structure and transfer efficiency.

1149

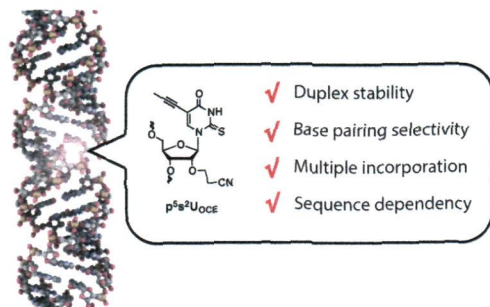


### Rhodium-catalyzed three-component reaction of 3-diazoindoles with indoles and isatin-derived ketimines: a facile and versatile approach to functionalized 3,3',3''-trisindoles

Liang-Yong Mei, Xiang-Ying Tang\* and Min Shi\*

A variety of functionalized 3,3',3''-trisindoles could be produced in high yields with moderate to excellent diastereoselectivities via  $\text{Rh}_2(\text{OAc})_4$ -catalyzed three-component reaction of 3-diazoindoles with indoles and isatin-derived N-Boc ketimines.

1157

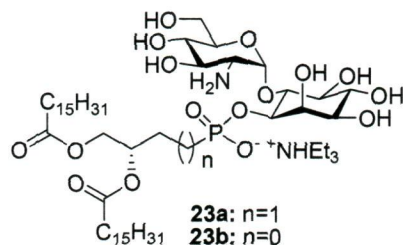


### Properties of 5- and/or 2-modified 2'-O-cyanoethyl uridine residue: 2'-O-cyanoethyl-5-propynyl-2-thiouridine as an efficient duplex stabilizing component

Yoshiaki Masaki, Ryuta Miyasaka, Kunihiro Hirai, Takashi Kanamori, Hirosuke Tsunoda, Akihiro Ohkubo, Kohji Seio and Mitsuo Sekine\*

We systematically synthesized eight types of 5- and/or 2-modified uridine derivatives and evaluated their effect on duplex stability.

1163



First generation non-hydrolysable C-phosphonate GPI analogs

### Synthesis of non-hydrolysable mimics of glycosylphosphatidylinositol (GPI) anchors

Mahipal Yadav, Riya Raghupathy, Varma Saikam, Saidulu Dara, Parvinder Pal Singh,\* Sanghapal D. Sawant, Satyajit Mayor and Ram A. Vishwakarma\*

Synthesis of first generation non-hydrolysable C-phosphonate GPI analogs **23a** and **23b** is reported.