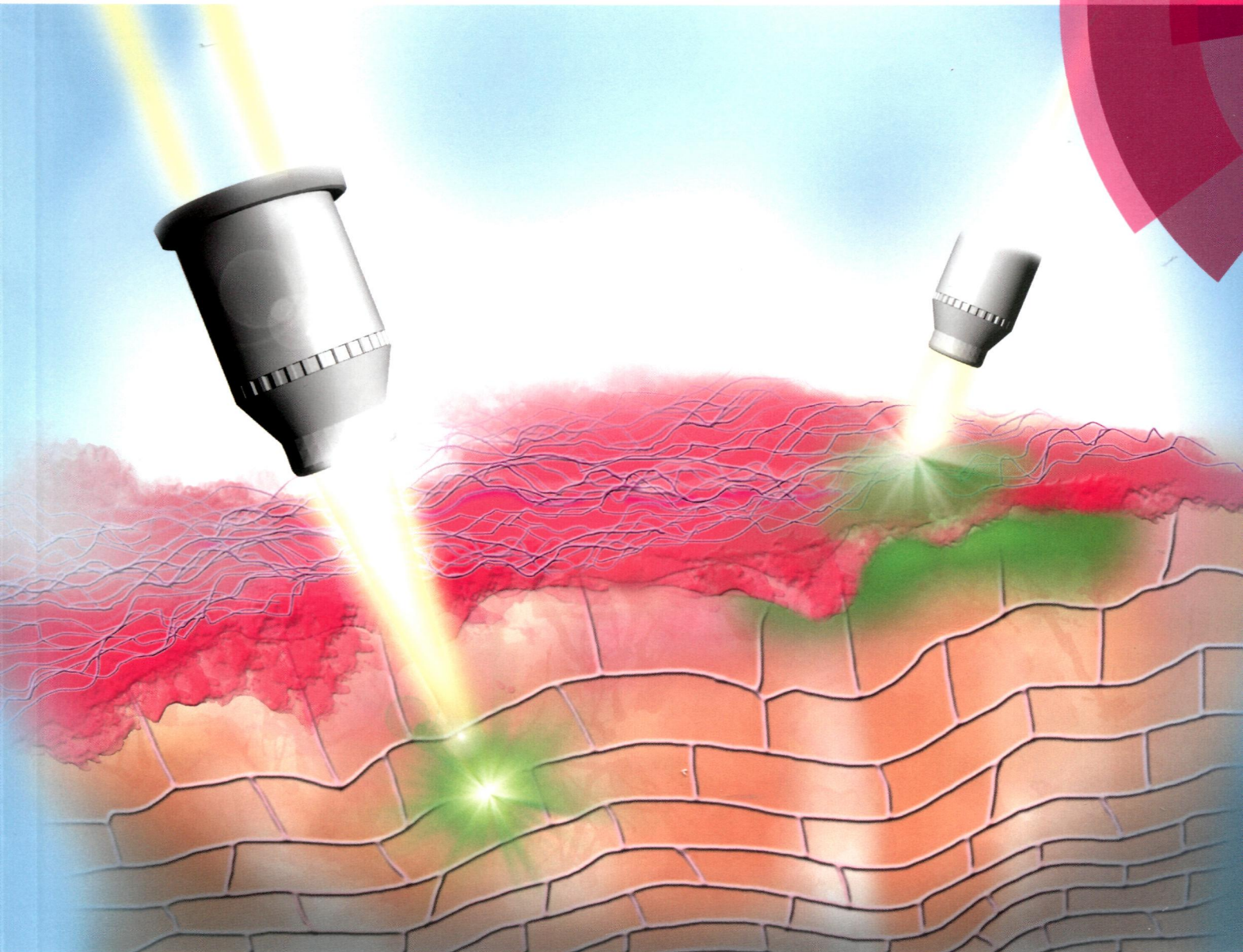


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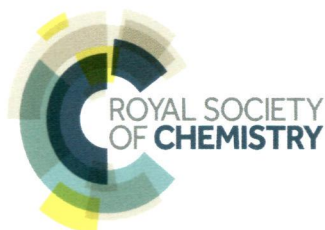
Volume 12 | Number 26 | 14 July 2014 | Pages 4533–4764

# Organic & Biomolecular Chemistry

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ROYAL SOCIETY  
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## REVIEW ARTICLE

Kyo Han Ahn *et al.*

Recent development of two-photon fluorescent probes for bioimaging

# Organic & Biomolecular Chemistry

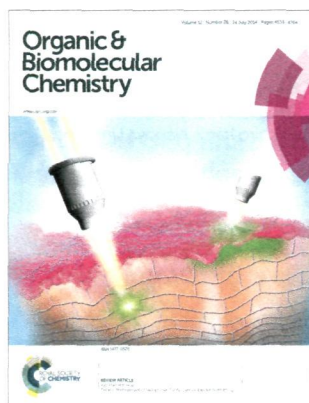
An international journal of synthetic, physical and biomolecular organic chemistry

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## IN THIS ISSUE

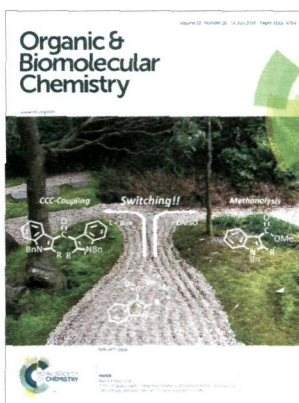
ISSN 1477-0520 CODEN OBCRAK 12(26) 4533–4764 (2014)



### Cover

See Kyo Han Ahn *et al.*,  
pp. 4550–4566.

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2014, **12**, 4550.



### Inside cover

See Keisuke Kato *et al.*,  
pp. 4602–4609.

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2014, **12**, 4602.

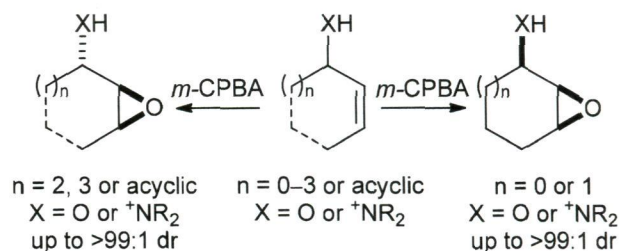
## PERSPECTIVE

4544

### Hydrogen bond directed epoxidation: diastereoselective olefinic oxidation of allylic alcohols and amines

Stephen G. Davies,\* Ai M. Fletcher and  
James E. Thomson

This review highlights the H-bond directed  
diastereoselective epoxidation of allylic alcohols and  
amines, and contrasts the diastereoselectivity in different  
cyclic and acyclic systems.



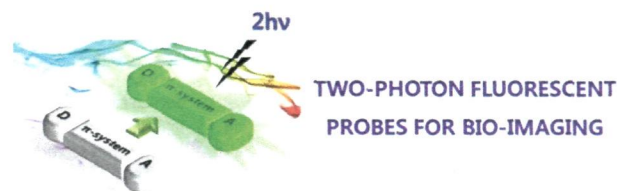
## REVIEW

4550

### Recent development of two-photon fluorescent probes for bioimaging

Dokyoung Kim, Hye Gun Ryu and Kyo Han Ahn\*

Fluorescent probes are essential tools for studying  
biological systems.



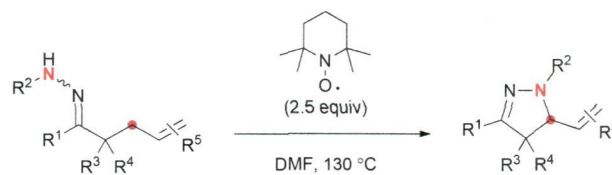
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Центральная научная библиотека  
Уральского отделения  
Российской академии наук (ЦНБ УрО РАН)

4567

### TEMPO-mediated allylic C–H amination with hydrazones

Xu Zhu and Shunsuke Chiba\*

TEMPO-mediated reactions of alkenyl hydrazones afforded azaheterocycles *via*  $sp^3$  C–H allylic amination. The transformation is featured by a sequence of remote allylic H-radical shift and allylic homolytic substitution with hydrazone radicals.

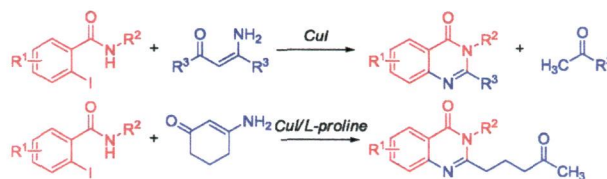


4571

### Syntheses of quinazolinones from 2-iodobenzamides and enaminones *via* copper-catalyzed domino reactions

Teerawat Songsichan, Jaturong Promsuk, Vatcharin Rukachaisirikul and Juthanat Kaeobamrung\*

Enaminones, as imine equivalents, show a potential synthetic use in copper-catalyzed domino reactions with a variety of benzamides to produce quinazolinone derivatives.

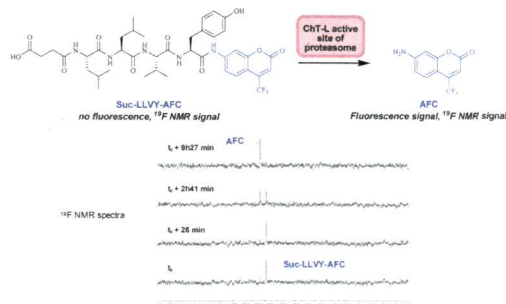


4576

### $^{19}\text{F}$ NMR monitoring of the eukaryotic 20S proteasome chymotrypsin-like activity: an investigative tool for studying allosteric regulation

M. Keita, J. Kaffy,\* C. Troufflard, E. Morvan, B. Crousse and S. Ongerî\*

A novel bimodal fluorescent and fluorinated substrate of the chymotrypsin-like proteolytic activity has been developed.

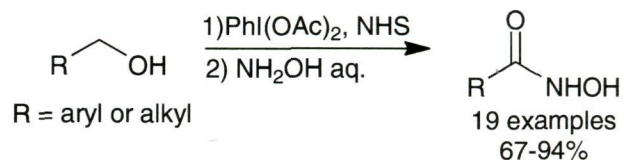


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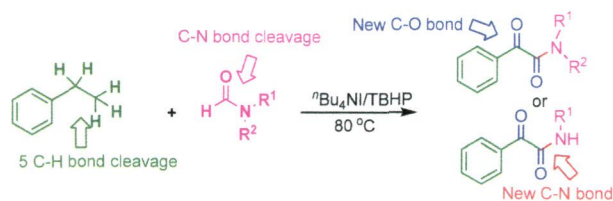
### A two-step tandem reaction to prepare hydroxamic acids directly from alcohols

Giovanna Dettori, Silvia Gaspa, Andrea Porcheddu and Lidia De Luca\*

The first synthesis of hydroxamic acids from alcohols has been developed.



4586

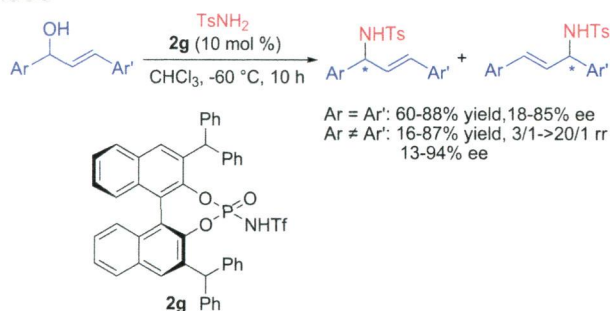


### The syntheses of $\alpha$ -ketoamides via $^n\text{Bu}_4\text{Ni}$ -catalyzed multiple $\text{sp}^3\text{C-H}$ bond oxidation of ethylarenes and sequential coupling with dialkylformamides

Bingnan Du, Bo Jin and Peipei Sun\*

The  $^n\text{Bu}_4\text{Ni}$ -catalyzed sequential C–O and C–N bond formation via multiple  $\text{sp}^3\text{C-H}$  bond activation of ethylarenes, using  $N,N$ -dialkylformamide as the amino source, provided  $\alpha$ -ketoamides with moderate yields.

4590

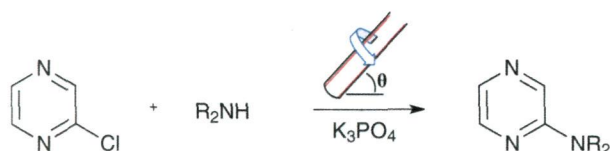


### Chiral Brønsted acid catalyzed enantioselective intermolecular allylic aminations

Minyang Zhuang and Haifeng Du\*

Brønsted acid-catalyzed asymmetric intermolecular amination of allylic alcohols was first achieved with moderate to high levels of reactivities and selectivities.

4594

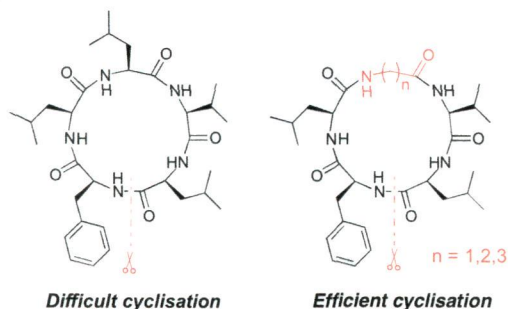


### Towards aryl C–N bond formation in dynamic thin films

Michael N. Gandy, Colin L. Raston\* and Keith A. Stubbs\*

A thin film microfluidic vortex fluidic device (VFD) operating under confined mode affords  $N$ -aryl compounds from the corresponding amine and aryl chloride, without the need for a transition metal catalyst.

4598



### Incrementally increasing the length of a peptide backbone: effect on macrocyclisation efficiency

Md. Iqbal Ahmed, Jason B. Harper and Luke Hunter\*

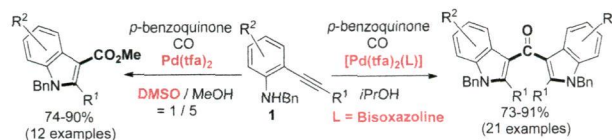
Three novel analogues of the cyclic pentapeptide sansalvamide A have been synthesised in high yield.

4602

### Pd(II)-catalyzed ligand controlled synthesis of methyl 1-benzyl-1*H*-indole-3-carboxylates and bis(1-benzyl-1*H*-indol-3-yl)methanones

Rong Shen, Taichi Kusakabe, Keisuke Takahashi and Keisuke Kato\*

A simple change of ligand and solvent allows controlled, effective switching between cyclization–carbonylation and cyclization–carbonylation–cyclization–coupling (CCC-coupling) reactions.

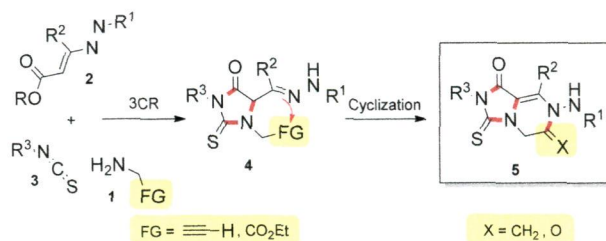


4610

### Access to novel imidazo[1,5-*a*]pyrazine scaffolds by the combined use of a three-component reaction and a base-assisted intramolecular cyclization

Orazio A. Attanasi, Gianfranco Favi,\* Gianluca Giorgi, Roberta Majer, Francesca Romana Perrulli\* and Stefania Santeusano

A novel and practical two-step approach to an intriguing class of imidazo[1,5-*a*]pyrazines with exocyclic C=X (X = CH<sub>2</sub>, O) bonds is described.

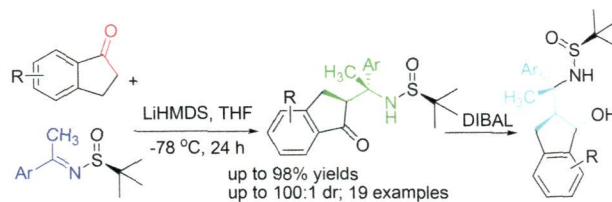


4620

### Highly efficient and generalized asymmetric synthesis of quaternary stereogenic carbon-containing $\beta$ -amino indanones/indanoles via Mannich-type additions between 1-indanones and *N*-*tert*-butanesulfinylketimines

Lingmin Wu, Chen Xie, Haibo Mei, Vadim A. Soloshonok, Jianlin Han\* and Yi Pan

1-Indanone and acetophenone derived enolates undergo Mannich-type reactions with ketimines in up to 98% yields and >99 : 1 diastereoselectivities.



4625

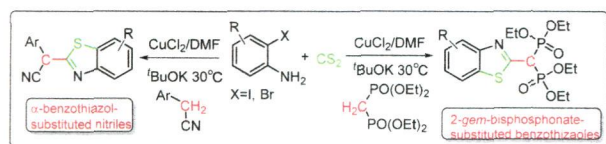
### DABCO-mediated isocyanide-based multicomponent reaction: synthesis of highly substituted cyclopentenes

Li-Rong Wen,\* Ming-Chao Lan, Wen-Kui Yuan and Ming Li\*

Highly substituted cyclopentenes can be accessed rapidly from isocyanides, aldehydes and malononitrile or ethyl cyanoacetate (AB<sub>2</sub>C<sub>2</sub>) using DABCO as a catalyst under solvent-free conditions at 40 °C within 30 min.



4633

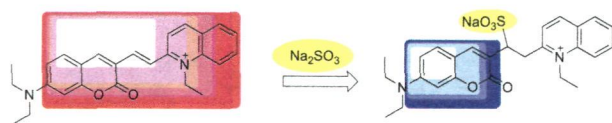


### Synthesis of 2-C-substituted benzothiazoles via a copper-promoted domino condensation/S-arylation/heterocyclization process

Haoyue Xiang, Jin Qi, Qian He, Min Jiang,\*  
Chunhao Yang\* and Lianfu Deng

Two series of extremely useful 2-C-substituted benzothiazoles containing *gem*-bisphosphonates and aryl-substituted nitriles were synthesized here via a copper-promoted domino condensation/S-arylation/heterocyclization process.

4637

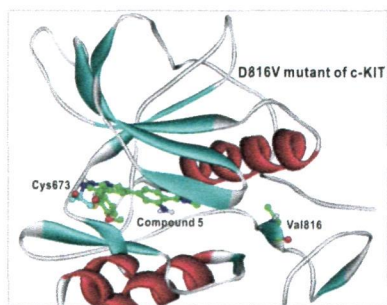


### A coumarin-quinolinium-based fluorescent probe for ratiometric sensing of sulfite in living cells

Li Tan, Weiyang Lin,\* Sasa Zhu, Lin Yuan and  
Kaibo Zheng

Based on a novel coumarin-quinolinium platform, compound **2** was rationally designed and synthesized as a novel ratiometric fluorescent sensor for sulfite anions.

4644

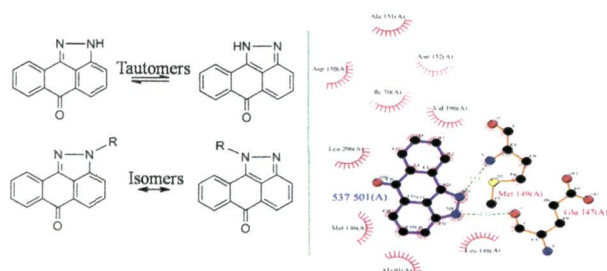


### Structure-based *de novo* design and identification of D816V mutant-selective c-KIT inhibitors

Hwangseo Park,\* Soyoung Lee, Suhyun Lee and  
Sungwoo Hong\*

New 7-azaindole-based c-KIT inhibitors with nanomolar inhibitory activity and high selectivity for the gain-of-function D816V mutant were identified through the structure-based *de novo* design using the scoring function improved by implementing an accurate solvation free energy.

4656



### Alkyl chain substituted 1,9-pyrazoloanthrones exhibit prominent inhibitory effect on c-Jun N-terminal kinase (JNK)

Karothu Durga Prasad, Jamma Trinath, Ansuman Biswas,  
Kanagaraj Sekar,\* Kithiganahalli N. Balaji\* and  
Tayur N. Guru Row\*

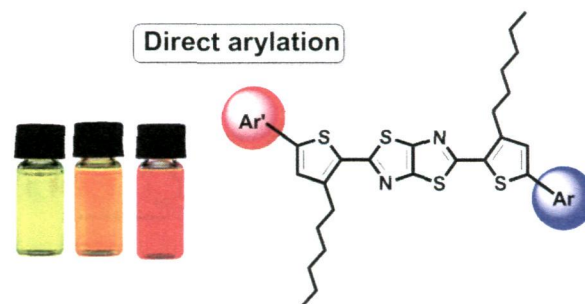
*N*-Alkyl substituted pyrazoloanthrone derivatives were synthesized, characterized and tested for their *in vitro* inhibitory activity over c-Jun N-terminal kinase (JNK) in regulating inflammation associated disorders.

4663

### Direct arylation as a versatile tool towards thiazolo[5,4-*d*]thiazole-based semiconducting materials

Julija Kudrjasova, Roald Herckens, Huguette Penxten, Peter Adriaensens, Laurence Lutsen, Dirk Vanderzande and Wouter Maes\*

A variety of thiazolo[5,4-*d*]thiazole-based organic optoelectronic materials is synthesized via a straightforward Pd-catalyzed C–H arylation protocol.

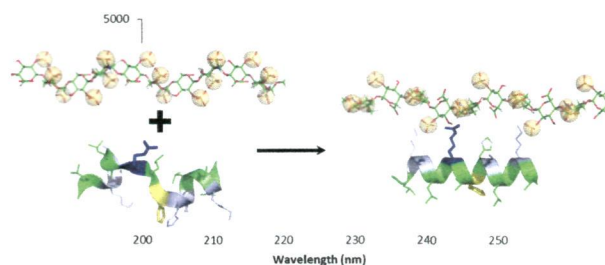


4673

### Interaction of amphiphilic $\alpha$ -helical cell-penetrating peptides with heparan sulfate

Ji Yang, Hiroshi Tsutsumi, Tadaomi Furuta, Minoru Sakurai and Hisakazu Mihara\*

The binding of cell-penetrating peptides to heparan sulfate is investigated experimentally and computationally.

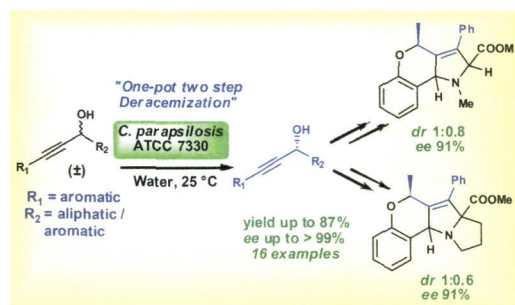


4682

### Utilization of whole cell mediated deracemization in a chemoenzymatic synthesis of enantiomerically enriched polycyclic chromeno[4,3-*b*]pyrrolidines

Thangavelu Saravanan, Sushital Jana and Anju Chadha\*

Enantiomerically enriched pyrrolidines via chemoenzymatic synthesis.

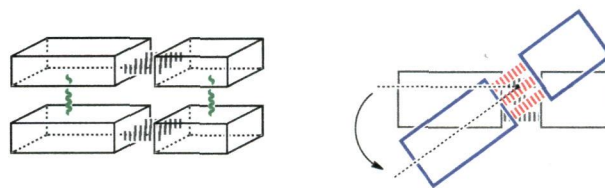


4691

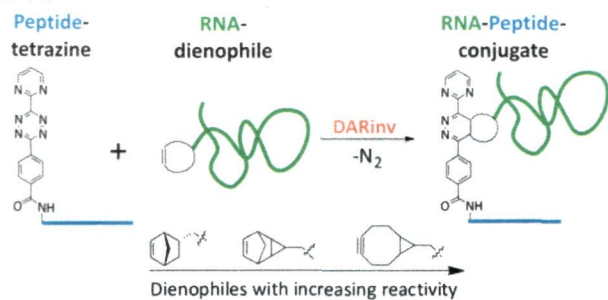
### B-DNA structure and stability: the role of hydrogen bonding, $\pi$ - $\pi$ stacking interactions, twist-angle, and solvation

Jordi Poater, Marcel Swart, F. Matthias Bickelhaupt and Célia Fonseca Guerra\*

Insight into structure and stability of B-DNA is obtained through systematic quantum chemical analyses of the roles played by hydrogen bonding,  $\pi$ - $\pi$  stacking, solvation, and twist-angle.



4701

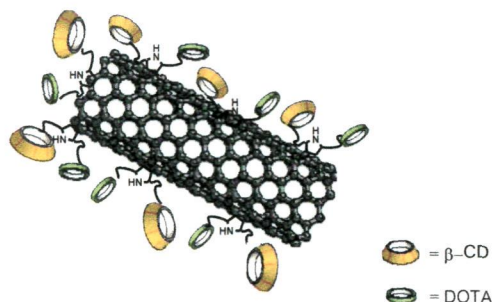


### RNA–peptide conjugate synthesis by inverse-electron demand Diels–Alder reaction

Sandeep Ameta, Juliane Becker and Andres Jäschke\*

We present an efficient method to synthesize RNA–peptide conjugates employing inverse Diels–Alder cycloaddition. Different dienophiles are enzymatically incorporated into RNA and then conjugated with a tetrazine peptide at 1 : 1 stoichiometry.

4708

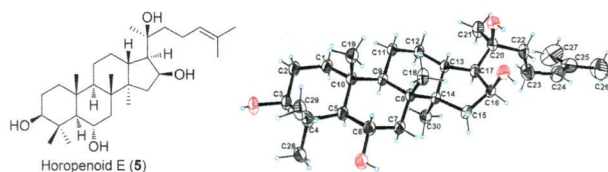


### A novel SWCNT platform bearing DOTA and $\beta$ -cyclodextrin units. "One shot" multidecoration under microwave irradiation

E. Calcio Gaudino, S. Tagliapietra, K. Martina, A. Barge, M. Lolli, E. Terreno, D. Lembo and G. Cravotto\*

The functionalization of single-walled carbon nanotubes (SWCNTs) *via* microwave-assisted grafting reactions enables efficient multidecoration in a single step.

4716

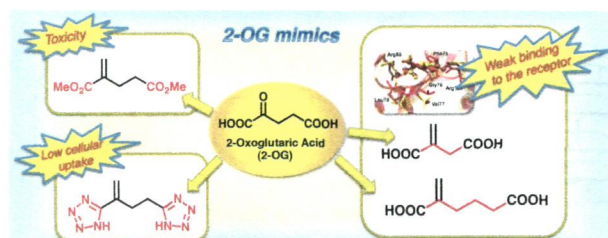


### Dammarane-type triterpenoids as $11\beta$ -HSD1 inhibitors from *Homonoia riparia*

Jin-Hai Yu, Yu Shen, Hong-Bing Liu, Ying Leng, Hua Zhang\* and Jian-Min Yue\*

The chemistry and bioactivity of a series of dammarane-type triterpenoids from *Homonoia riparia* were reported in this article.

4723



### Mimicking the 2-oxoglutaric acid signalling function using molecular probes: insights from structural and functional investigations

Yang Wang, Xinjun Liu, Erik Laurini, Paola Posocco, Fabio Ziarelli, Maurizio Fermeglia, Fanqi Qu, Sabrina Pricl, Cheng-Cai Zhang and Ling Peng\*

2-Oxoglutaric acid (2-OG) has gained considerable attention because of its newly discovered signalling role in addition to its established metabolic functions.

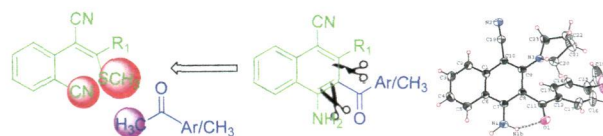


4730

### Synthesis of 1-amino-2-aryl/acetylnaphthalenes through a base mediated one pot inter and intramolecular C–C bond formation strategy

Surjeet Singh, Pratik Yadav, Satya Narayan Sahu, Ismail Althagafi, Abhinav Kumar, Brijesh Kumar, Vishnu Ji Ram and Ramendra Pratap\*

Base mediated synthesis of highly functionalized aryl/acetylnaphthalenes by the reaction of 2-(1-cyano-2,2-bis(methylthio)vinyl)benzotrile and aryl methyl ketone or acetone has been reported.

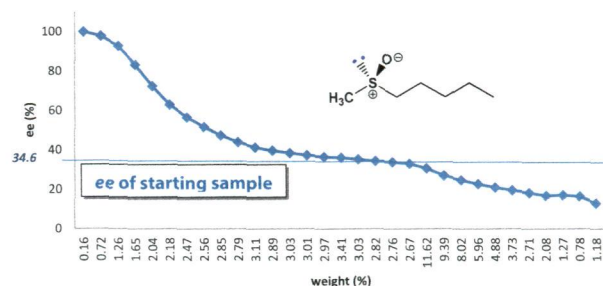


4738

### The self-disproportionation of the enantiomers (SDE) of methyl *n*-pentyl sulfoxide via achiral, gravity-driven column chromatography: a case study

Alicja Wzorek, Karel D. Klika, Józef Drabowicz, Azusa Sato, José Luis Aceña and Vadim A. Soloshonok\*

The practicality of the SDE phenomenon is demonstrated herein for the preparation of enantiomerically pure methyl *n*-pentyl sulfoxide.

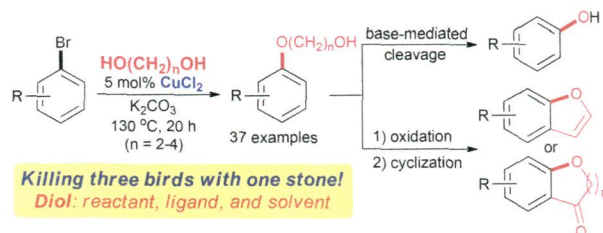


4747

### Copper(II)-catalyzed C–O coupling of aryl bromides with aliphatic diols: synthesis of ethers, phenols, and benzo-fused cyclic ethers

Yajun Liu, Se Kyung Park, Yan Xiao and Junghyun Chae\*

An efficient copper(II)-catalyzed C–O cross-coupling reaction between aryl bromides and aliphatic diols has been developed.



4754

### Acetal-initiated Prins bicyclization for the synthesis of hexahydrofuro[3,4-c]furan lignans and octahydropyrano[3,4-c]pyran derivatives

B. V. Subba Reddy,\* M. Ramana Reddy, B. Sridhar and Kiran Kumar Singarapu

The stereoselective synthesis of hexahydrofuro[3,4-c]furan lignans and octahydropyrano[3,4-c]pyran derivatives is reported through a Prins bicyclization.

