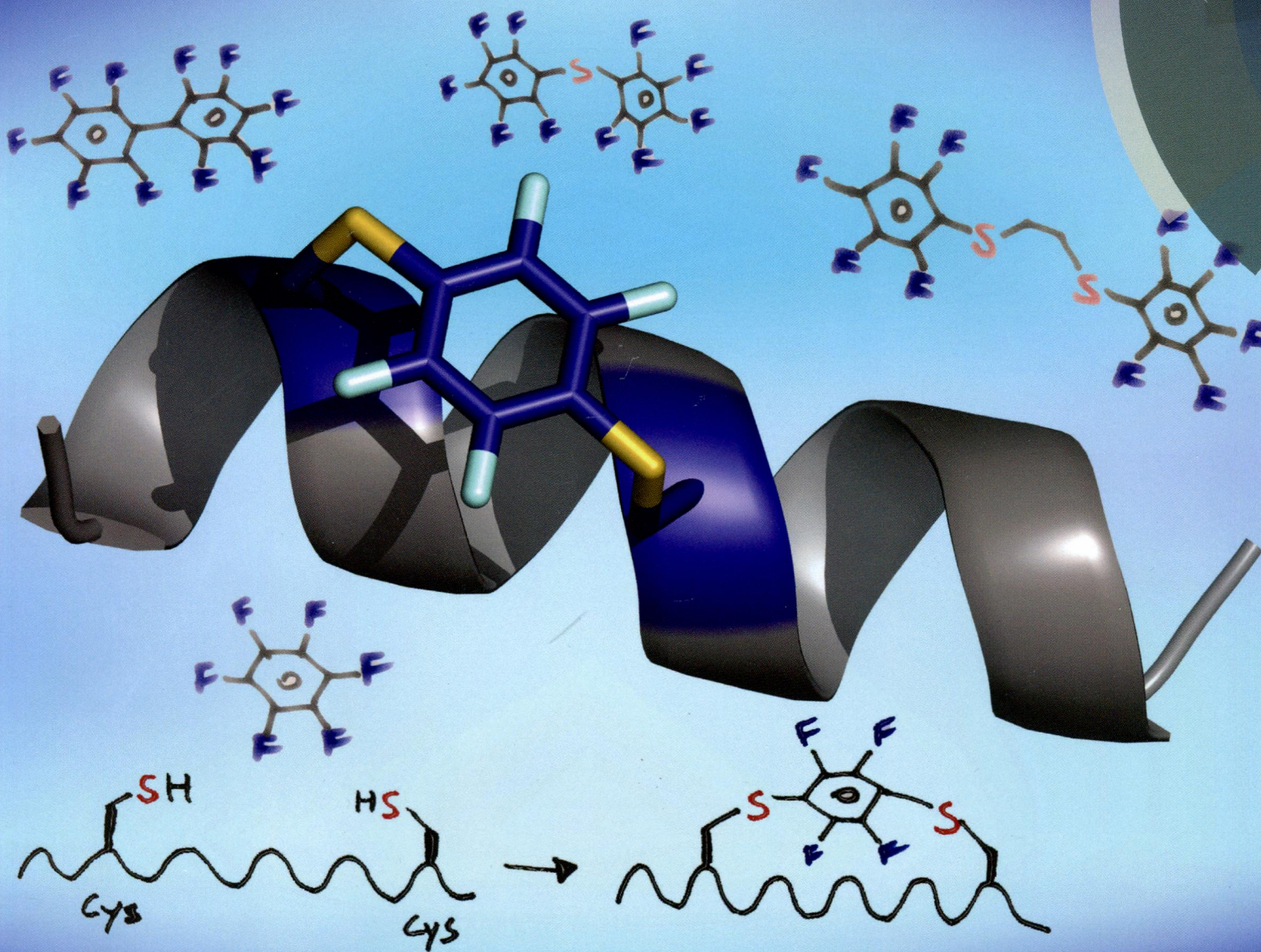


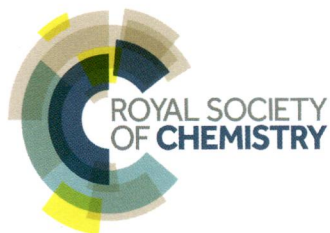
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# Organic & Biomolecular Chemistry

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ROYAL SOCIETY  
OF CHEMISTRY

PAPER

Bradley L. Pentelute *et al.*  
Convergent diversity-oriented side-chain macrocyclization scan for  
unprotected polypeptides

# Organic & Biomolecular Chemistry

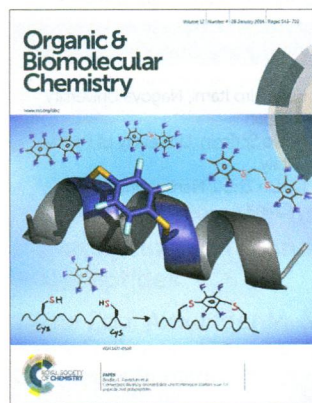
An international journal of synthetic, physical and biomolecular organic chemistry

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## IN THIS ISSUE

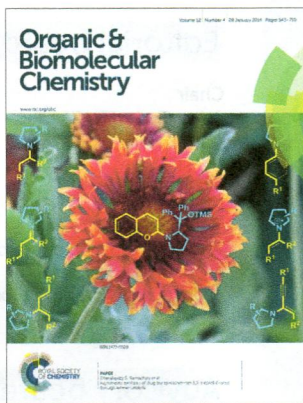
ISSN 1477-0520 CODEN OBCRAK 12(4) 543-710 (2014)



### Cover

See Bradley L. Pentelute *et al.*, pp. 566–573.

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### Inside cover

See Dhevalapally B. Ramachary *et al.*, pp. 574–580.

In this decade, primary organocatalytic species have well demonstrated their importance in a variety of asymmetric reactions. In a similar manner, secondary organocatalytic species (oxazolidinones and amins) are also blossoming in different asymmetric reactions.

Image reproduced by permission of Dhevalapally B. Ramachary from *Org. Biomol. Chem.*, 2014, **12**, 574.

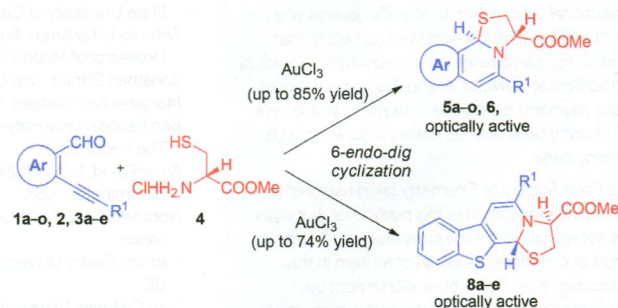
## COMMUNICATIONS

552

### Stereoselective tandem synthesis of thiazolo fused naphthyridines and thienopyridines from *o*-alkynylaldehydes via Au(III)-catalyzed regioselective 6-endo-dig ring closure

Rajeev R. Jha, Rakesh K. Saunthwal and Akhilesh K. Verma\*

An operationally simple tandem approach for the stereoselective synthesis of thiazolo fused naphthyridines **5a–o** and thienopyridines **8a–e** is described.

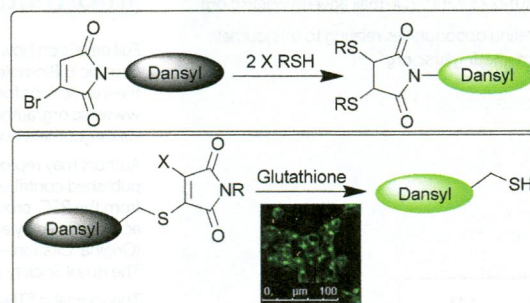


557

### Bromo- and thiomaleimides as a new class of thiol-mediated fluorescence 'turn-on' reagents

Judith Youziel, Ahmed R. Akhbar, Qadeer Aziz, Mark E. B. Smith, Stephen Caddick, Andrew Tinker and James R. Baker\*

Bromo- and thiomaleimides are shown to serve as highly effective quenchers of a covalently attached fluorophore. Reactions with thiols that lead to removal of the maleimide conjugation, or detachment of the fluorophore from the maleimide, result in 'turn-on' of the fluorescence.

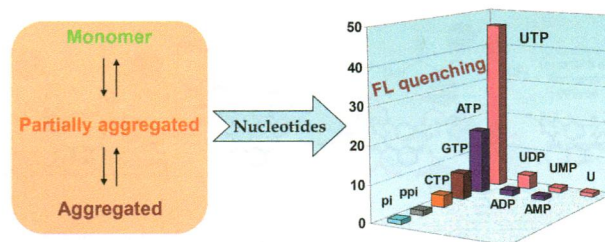


561

### Nucleotide sensing with a perylene-based molecular receptor via amplified fluorescence quenching

Bappaditya Roy,\* Takao Noguchi, Daisuke Yoshihara, Youichi Tsuchiya, Arnab Dawn and Seiji Shinkai\*

The balanced molecular state of a guanidinium-tethered perylene-based fluorescence probe was conveniently used to achieve selective sensing of UTP, and the combination of equilibrium with molecular recognition further enhances the fluorescence sensitivity.



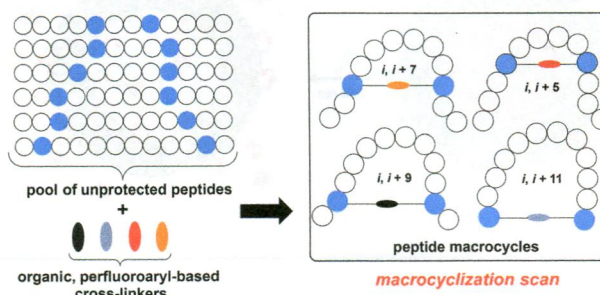
## PAPERS

566

### Convergent diversity-oriented side-chain macrocyclization scan for unprotected polypeptides

Yekui Zou, Alexander M. Spokoyny, Chi Zhang, Mark D. Simon, Hongtao Yu, Yu-Shan Lin and Bradley L. Pentelute\*

Here we describe a general synthetic platform for side-chain macrocyclization of an unprotected peptide library based on the  $S_NAr$  reaction between cysteine thiolates and highly reactive perfluoroaromatic small molecule linkers.

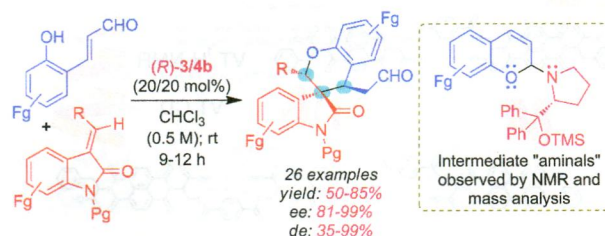


574

### Asymmetric synthesis of drug-like spiro[chroman-3,3'-indolin]-2'-ones through *aminal*-catalysis

Dhevalapally B. Ramachary,\* M. Shiva Prasad, S. Vijaya Laxmi and R. Madhavachary

An interesting *reflexive*-Michael (*r*-*M*) reaction has been developed to access drug-like spiro[chroman-3,3'-indolin]-2'-ones in good yields with excellent ee's and de's using *aminal*-catalysis.

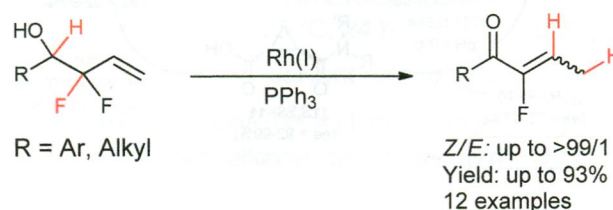


581

### Rh-catalyzed allylic C–F bond activation: the stereoselective synthesis of trisubstituted monofluoroalkenes and a mechanism study

He Zhang, Jin-Hong Lin, Ji-Chang Xiao\* and Yu-Cheng Gu

Rhodium-catalyzed allylic C–F bond activation was found to be a promising approach for the conversion of allylic difluoro-homoallylic alcohols into trisubstituted monofluoroalkenes in good yields with excellent stereoselectivity.

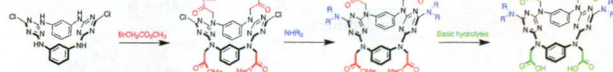


589

### Azacalix[2]arene[2]triazine-based receptors bearing carboxymethyl pendant arms on nitrogen bridges: synthesis and evaluation of their coordination ability towards copper(II)

João M. Caio, Teresa Esteves, Sílvia Carvalho, Cristina Moiteiro\* and Vítor Félix\*

A series of azacalix[2]arene[2]triazine macrocycles with the four nitrogen bridges functionalized with carboxymethyl pendant arms is reported. The coordination ability of dialkylamine derivatives for copper(II) was evaluated.

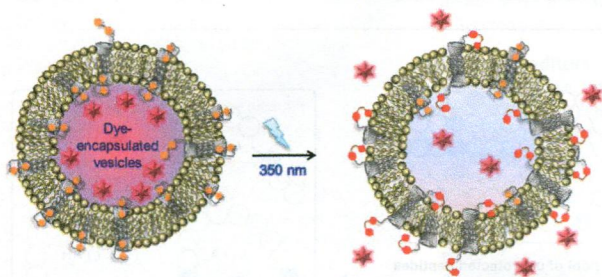


600

### Photoresponsive vesicle permeability based on intramolecular host-guest inclusion

Ulrike Kauscher, Avik Samanta and Bart Jan Ravoo\*

Azobenzene-appended amphiphilic cyclodextrins enable light-responsive release of entrapped dye from vesicles.

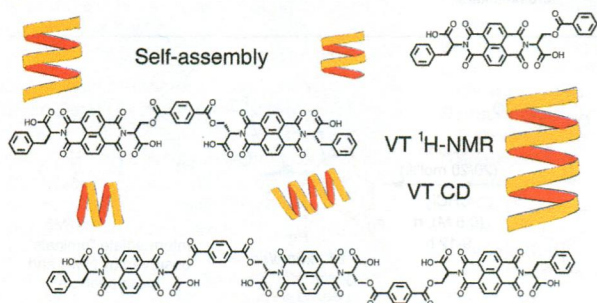


607

### The thermodynamics of the self-assembly of covalently linked oligomeric naphthalenediimides into helical organic nanotubes

Koujiro Tambara, John-Carl Olsen, David E. Hansen and G. Dan Pantoş\*

The mechanism and thermodynamic functions of the self-assembly of a family of covalently linked oligomeric naphthalenediimides (NDIs) were investigated through variable-temperature NMR and CD studies.

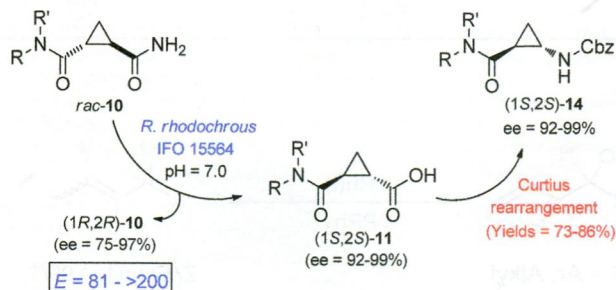


615

### Enantioselective bacterial hydrolysis of amido esters and diamides derived from (±)-trans-cyclopropane-1,2-dicarboxylic acid

Katharina G. Hugentobler and Francisca Rebolledo\*

Amidase from *Rhodococcus rhodochrous* enantioselectively catalyzes the hydrolysis of different racemic diamides (*rac*-**10**) and amido esters derived from *trans*-cyclopropane-1,2-dicarboxylic acid.

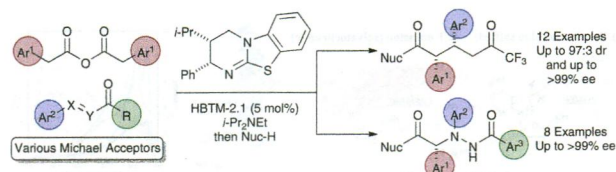


624

## 2-Arylacetic anhydrides as ammonium enolate precursors

Louis C. Morrill, Lyndsay A. Ledingham,  
Jean-Philippe Couturier, Jasmine Bickel,  
Andrew D. Harper, Charlene Fallan and  
Andrew D. Smith\*

2-Arylacetic anhydrides are convenient ammonium enolate precursors in isothiurea catalysed asymmetric intermolecular Michael addition–lactonisation processes.

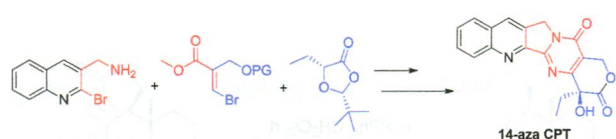


637

## Total synthesis of (S)-14-azacamptothecin

Feng Liu\* and Chaozhong Li

A new and concise synthesis of (S)-14-azacamptothecin has been accomplished in 8 steps from commercially available (R)-2-hydroxybutanoic acid.



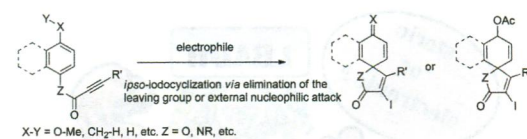
643

## Electrophilic ipso-iodocyclization of N-benzyl-N-(1-naphthyl)propiolamides: synthesis of complex polycyclic lactams

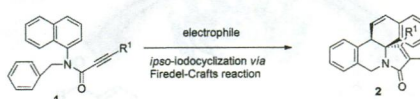
Li-Jing Wang, Hai-Tao Zhu, Yi-Feng Qiu, Xue-Yuan Liu  
and Yong-Min Liang\*

An intramolecular electrophilic ipso-iodocyclization of N-benzyl-N-(1-naphthyl)propiolamides combined with the Friedel–Crafts-type reaction for the synthesis of complex polycyclic lactams is reported.

Type 1: previous reports



Type 2: this work

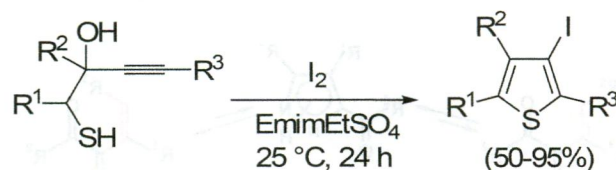


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## A recyclable and base-free method for the synthesis of 3-iodothiophenes by the iodoheterocyclisation of 1-mercapto-3-alkyn-2-ols in ionic liquids

Raffaella Mancuso,\* Christian S. Pomelli,  
Cinzia Chiappe, Richard C. Larock and  
Bartolo Gabriele\*

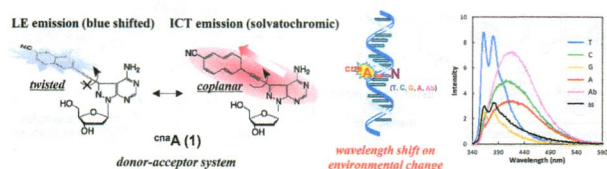
The first example of an iodocyclization reaction carried out in a recyclable ionic liquid medium is reported.



(base free - solvent recyclable)

(R<sup>1</sup> = H, alkyl; R<sup>2</sup> = H, alkyl, alkynyl;  
R<sup>3</sup> = alkyl, alkenyl, aryl, heteroaryl)

660

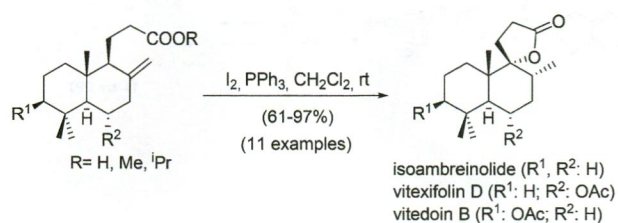


### Design of an environmentally sensitive fluorescent 8-aza-7-deaza-2'-deoxyadenosine derivative with dual fluorescence for the specific detection of thymine

Azusa Suzuki, Nobukatsu Nemoto, Isao Saito and Yoshio Saito\*

A novel environmentally sensitive fluorescent (ESF) purine nucleoside, *cnaA*, was synthesized and its photophysical properties were investigated.

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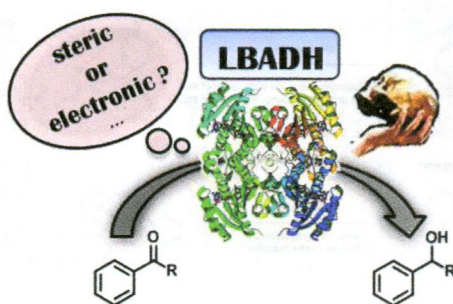


### The first synthesis of (–)-isoambreinolide, (+)-vitexifolin D and (+)-vitedoin B

Hanane Bouanou, Rubén Tapia, M. José Cano, Jose M. Ramos, Esteban Alvarez, Ettahir Boulifa, Abdelaziz Dahdouh, Ahmed I. Mansour, Ramón Alvarez-Manzaneda, Rachid Chahboun\* and Enrique Alvarez-Manzaneda\*

The treatment of  $\delta,\epsilon$ -unsaturated carboxylic acids with iodine and triphenylphosphine leads to the corresponding spiro  $\gamma$ -lactones in high yield and with complete stereoselectivity.

673

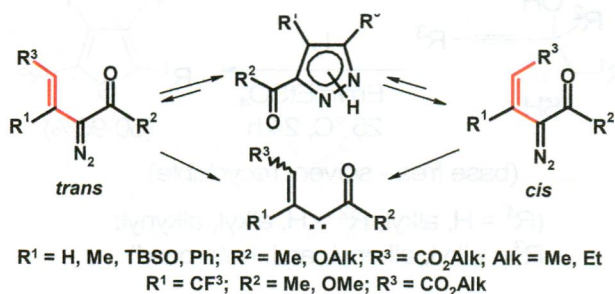


### Steric vs. electronic effects in the *Lactobacillus brevis* ADH-catalyzed bioreduction of ketones

Cristina Rodríguez, Wioleta Borzęcka, Johann H. Sattler, Wolfgang Kroutil, Iván Lavandera\* and Vicente Gotor\*

Steric or electronic effects: that is the question. A series of acetophenone derivatives differing in their size and electronic properties have been studied as substrates for *Lactobacillus brevis* ADH via hydrogen transfer. The results obtained have confirmed the versatility of this enzyme to accept bulky but electronically favored ketones.

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### Effect of configuration of 2-vinyldiazocarbonyl compounds on their reactivity: experimental and computational study

Murat B. Supurgibekov, David Cantillo,\* C. Oliver Kappe, G. K. Surya Prakash and Valerij A. Nikolaev\*

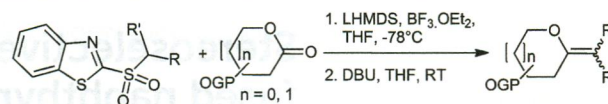
The ability of non-fluorinated vinyldiazocarbonyl compounds to give pyrazoles is dictated by their configuration. The 3- $\text{CF}_3$ -substituted *cis*- or *trans*-analogues do not produce pyrazoles and on heating furnish only vinyloxocarbene derived products.

690

### Synthesis of substituted *exo*-glucals *via* a modified Julia olefination and identification as selective $\beta$ -glucosidase inhibitors

Samuel Habib, Florent Larnaud, Emmanuel Pfund, Teresa Mena Barragán, Thierry Lequeux, Carmen Ortiz Mellet, Peter G. Goekjian and David Gueyrard\*

A series of fluorine and non-fluorine-substituted C-glucosylidenes (*exo*-glucals) has been synthesized *via* a modified Julia olefination.



700

### Synthesis of thioamides *via* one-pot A<sup>3</sup>-coupling of alkynyl bromides, amines, and sodium sulfide

Yadong Sun, Huanfeng Jiang,\* Wanqing Wu, Wei Zeng and Jianxiao Li

We herein describe a novel method for the synthesis of thioamides by a three component condensation of alkynyl bromides, amines, and Na<sub>2</sub>S·9H<sub>2</sub>O.

