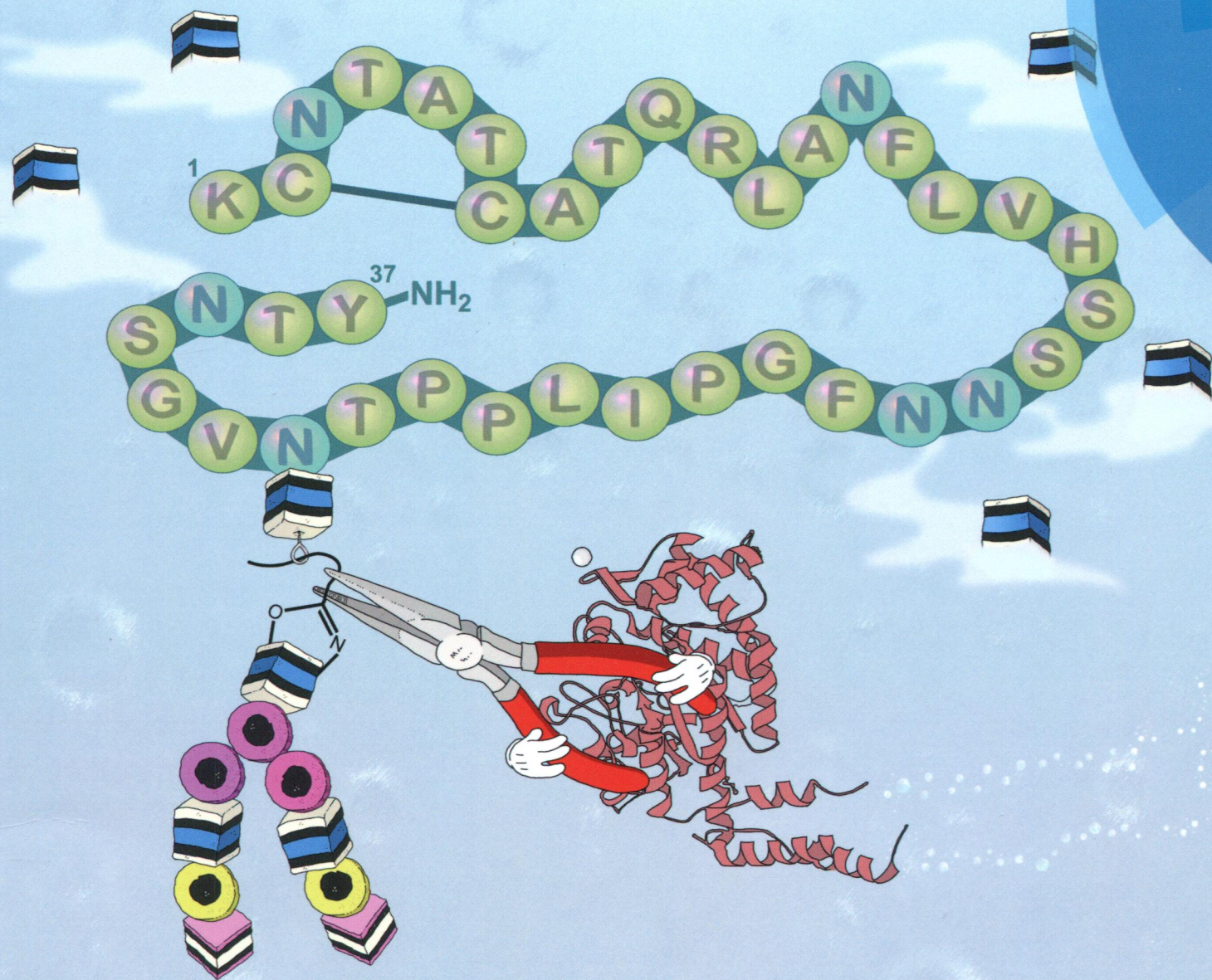


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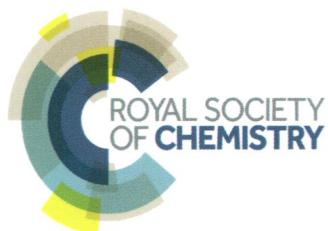
Volume 12 | Number 41 | 7 November 2014 | Pages 8102–8355

# Organic & Biomolecular Chemistry

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ISSN 1477-0520



PAPER

Margaret A. Brimble, Antony J. Fairbanks, Debbie L. Hay *et al.*  
Convergent chemoenzymatic synthesis of a library of glycosylated analogues of pramlintide: structure–activity relationships for amylin receptor agonism

# Organic & Biomolecular Chemistry

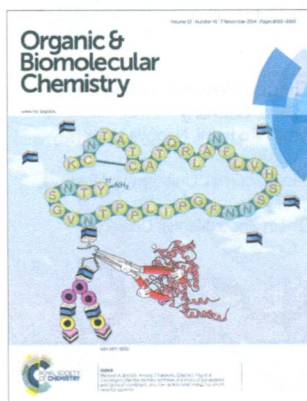
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## IN THIS ISSUE

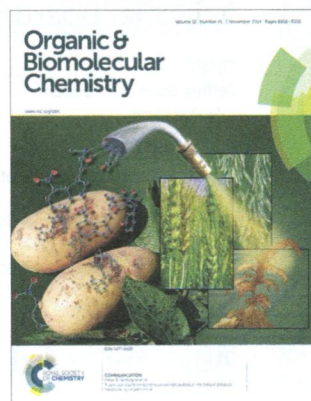
ISSN 1477-0520 CODEN OBCRAK 12(41) 8102–8355 (2014)



### Cover

See Margaret A. Brimble, Antony J. Fairbanks, Debbie L. Hay *et al.*, pp. 8142–8151.

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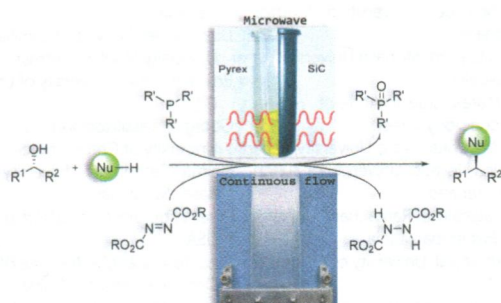
### Inside cover

See Peter R. Andreama *et al.*, pp. 8125–8127.

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## REVIEW

8112



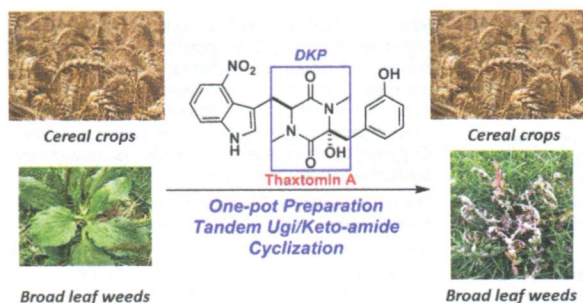
### Subtle Mitsunobu couplings under super-heating: the role of high-throughput continuous flow and microwave strategies

Atul Manvar\* and Anamik Shah\*

Fragile Mitsunobu reaction can efficiently be performed under super-heating.

## COMMUNICATIONS

8125

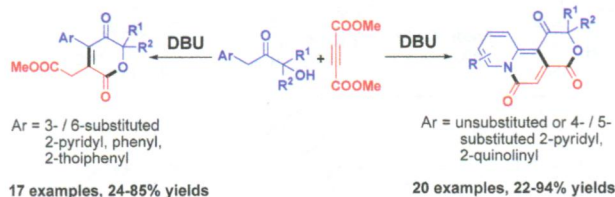


### A one-pot multicomponent coupling/cyclization for natural product herbicide (±)-thaxtomin A

Jean Paul Bourgault, Amarendar Reddy Maddirala and Peter R. Andreama\*

The herbicide (±)-thaxtomin A has been synthesized in a one-pot two step process through an Ugi reaction followed by base-mediated cyclization.

8128

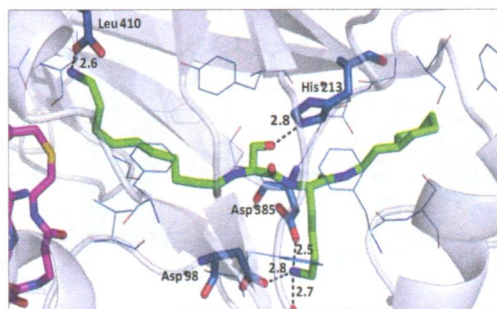


### Base-promoted annulation of $\alpha$ -hydroxy ketones and dimethyl but-2-ynedioate: straightforward access to pyrano[4,3-*a*]quinolizine-1,4,6(2*H*)-triones and 2*H*-pyran-2,5(6*H*)-diones

Haitao He, Chaorong Qi,\* Yanglu Ou, Wenfang Xiong, Xiaohan Hu, Yanwei Ren and Huanfeng Jiang

A novel direct synthesis of pyrano[4,3-*a*]quinolizine-1,4,6-(2*H*)-triones and 2*H*-pyran-2,5(6*H*)-diones from  $\alpha$ -hydroxy ketones and dimethyl but-2-ynedioate via a base-promoted cascade annulation has been developed.

8132

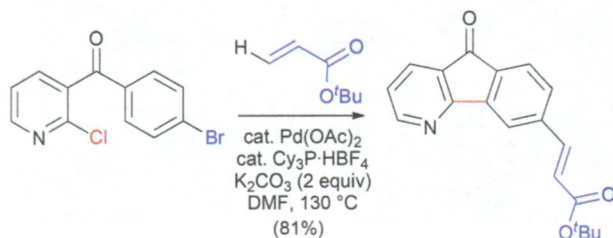


### Peptidomimetic inhibitors of *N*-myristoyltransferase from human malaria and leishmaniasis parasites

Tayo O. Olaleye, James A. Brannigan, Shirley M. Roberts, Robin J. Leatherbarrow, Anthony J. Wilkinson and Edward W. Tate\*

Peptidomimetic inhibitors of *N*-myristoyltransferase from malaria and leishmaniasis parasites have been designed with nanomolar potency, and reveal the first direct structural evidence for a ternary NMT/CoA/myristoyl peptide product complex.

8138



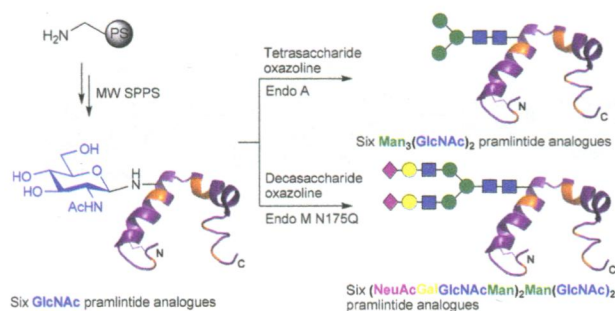
### Synthesis of substituted azafluorenones from dihalogeno diaryl ketones by palladium-catalyzed auto-tandem processes

Nada Marquise, Vincent Dorcet, Floris Chevallier\* and Florence Mongin\*

Auto-tandem processes combining either Suzuki or Heck coupling with direct cyclizing arylation are described.

## PAPERS

8142



### Convergent chemoenzymatic synthesis of a library of glycosylated analogues of pramlintide: structure–activity relationships for amylin receptor agonism

Renata Kowalczyk, Margaret A. Brimble,\* Yusuke Tomabechi, Antony J. Fairbanks,\* Madeleine Fletcher and Debbie L. Hay\*

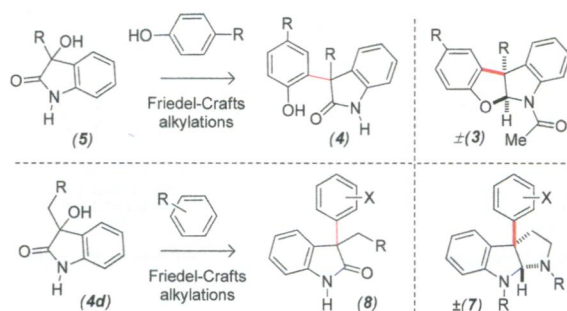
The synthesis of a library of *N*-glycosylated pramlintide analogues to establish the SAR of amylin receptor agonism has been undertaken.

8152

### Friedel–Crafts alkylations of electron-rich aromatics with 3-hydroxy-2-oxindoles: scope and limitations

Lakshmana K. Kinthada, Santanu Ghosh, K. Naresh Babu, Mohd. Sharique, Soumava Biswas and Alakesh Bisai\*

Lewis acid-catalyzed activation of 3-hydroxy-2-oxindoles  $\pm$ 5 followed by reactions with various electron-rich aromatics was investigated. A variety of products were synthesized in high yields.

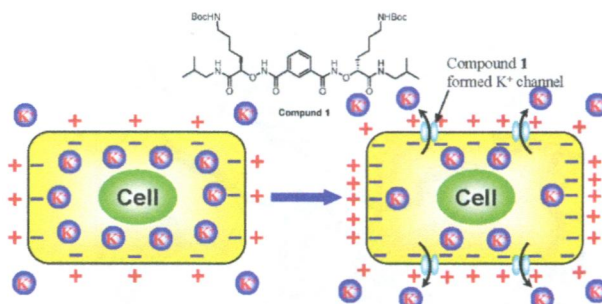


8174

### A small synthetic molecule forms selective potassium channels to regulate cell membrane potential and blood vessel tone

Hui-Yan Zha, Bing Shen, Kwok-Hei Yau, Shing-To Li, Xiao-Qiang Yao\* and Dan Yang\*

A molecule forms a  $K^+$ -selective channel in the cell membrane to regulate vascular muscle cell membrane potential and blood vessel tone.

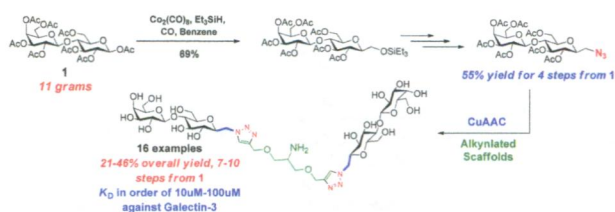


8180

### Adaptable synthesis of C-lactosyl glycoclusters and their binding properties with galectin-3

Wang Yao, Meng-jie Xia, Xiang-bao Meng, Qing Li\* and Zhong-jun Li\*

The synthesis of mono- to tetravalent C- $\beta$ -lactosyl glycoclusters has been achieved in good yield. The  $K_D$  values of glycoclusters against galectin-3 were tested by SPR assay, and the structure–activity relationship has been summarized in detail.

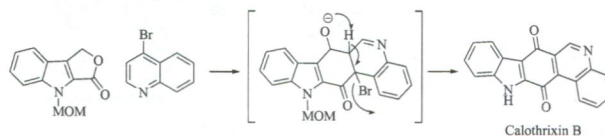


8196

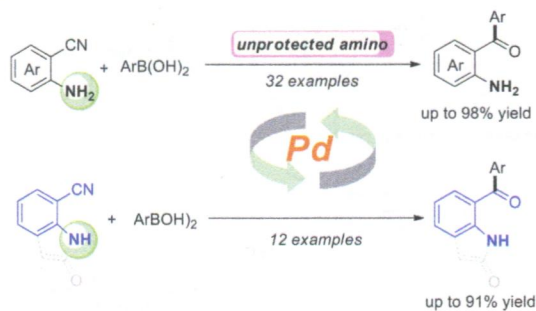
### Regiodivergent and short total synthesis of calothrixins

Dipakranjan Mal,\* Joyeeta Roy and Kumar Biradha

The anionic annulation of MOM-protected furoindolone with 4-bromoquinoline followed by deprotection of the *N*-MOM group provides calothrixin B, whereas that with 3-bromoquinoline yields isocalothrixin B. The outcomes are explained by the divergence of the reaction mechanism from the commonly perceived 3,4-didehydroquinoline. A sequence of addition–cyclization–elimination is proposed to account for the formation of calothrixin from 4-bromoquinoline.



8204

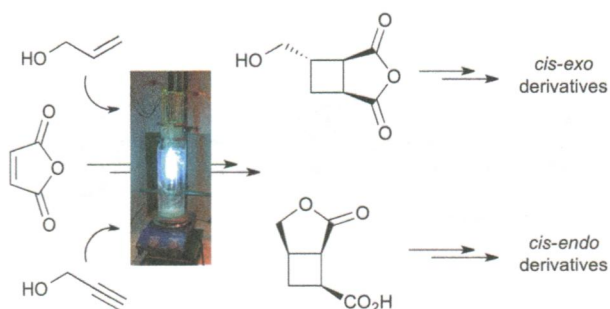


**Palladium-catalyzed direct addition of arylboronic acids to 2-aminobenzonitrile derivatives: synthesis, biological evaluation and *in silico* analysis of 2-aminobenzophenones, 7-benzoyl-2-oxindolines, and 7-benzoylindoles**

Jiuxi Chen, Leping Ye and Weike Su\*

Synthesis, biological evaluation and *in silico* analysis of 2-aminobenzophenones, 7-benzoyl-2-oxindolines and 7-benzoylindoles.

8212

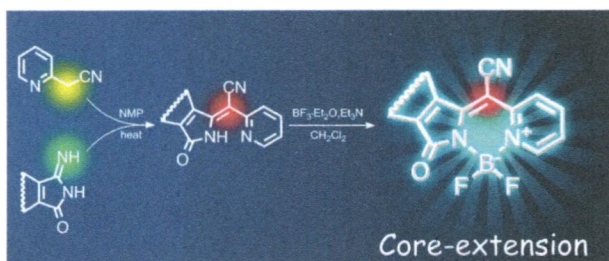


**Stereoselective intermolecular [2 + 2]-photocycloaddition reactions of maleic anhydride: stereocontrolled and regiocontrolled access to 1,2,3-trifunctionalized cyclobutanes**

Florian Hervann, Gloria Rasore, Valérie Declerck and David J. Aitken\*

1,2,3-Trisubstituted cyclobutanes with three differentiated substituents and complementary stereochemical patterns were obtained by [2 + 2]-photocycloaddition reactions of maleic anhydride with allyl alcohol or propargyl alcohol.

8223

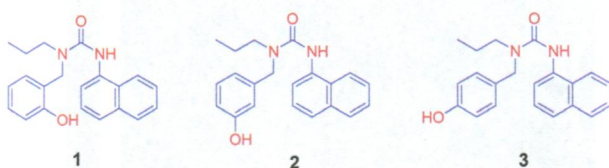


**Synthesis and spectroscopic properties of novel meso-cyano boron-pyridyl-isoindoline dyes**

Hui Liu, Hua Lu,\* Fan Wu, Zhifang Li, Nagao Kobayashi and Zhen Shen

The synthesis of meso-cyano boron-pyridyl-isoindoline dyes, a novel type of BODIPY analogue, involving a facile two-step reaction, is reported.

8230



**Development of chemosensor for Sr<sup>2+</sup> using organic nanoparticles: application of sensor in product analysis for oral care**

Simanpreet Kaur, Amanpreet Kaur, Navneet Kaur\* and Narinder Singh\*

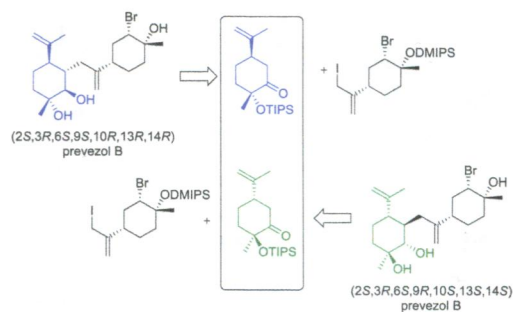
Organic nanoparticles have been developed and used to investigate the level of Sr<sup>2+</sup> in an oral gel and toothpastes.

8239

### Enantioselective total syntheses of the proposed structures of prevezol B and evaluation of anti-cancer activity

Anna E. Leung, Riccardo Rubbiani, Gilles Gasser and Kellie L. Tuck\*

The first enantioselective total syntheses of the proposed structures of the natural product prevezol B are reported. This work has shown that the proposed structures of prevezol B are incorrect.

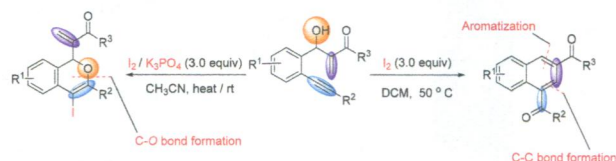


8247

### Molecular iodine-mediated reaction of 2-(2-phenylethynyl)-Morita–Baylis–Hillman adducts: an easy route to naphthyl ketones and iodo-substituted isochromenes

Donala Janreddy, Veerababurao Kavala, Trimurtulu Kotipalli, R. R. Rajawinslin, Chun-Wei Kuo, Wen-Chang Huang and Ching-Fa Yao\*

The iodine mediated reaction of 2-(2-phenylethynyl)-MBH gives corresponding naphthyl ketones and iodo-substituted isochromenes.

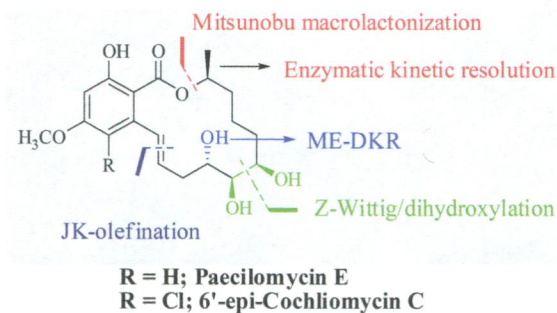


8257

### Asymmetric total synthesis of paecilomycin E, 10'-*epi*-paecilomycin E and 6'-*epi*-cochliomycin C

Pratik Pal, Nandan Jana and Samik Nanda\*

The asymmetric total syntheses of paecilomycin E and its stereoisomers have been disclosed by employing the late stage Mitsunobu macrolactonization reaction.

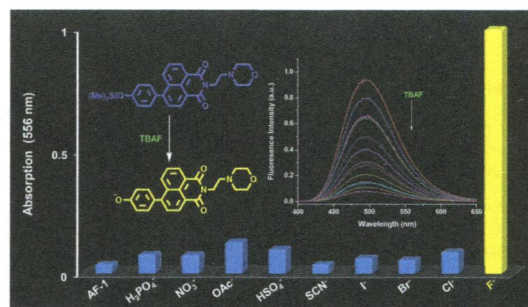


8275

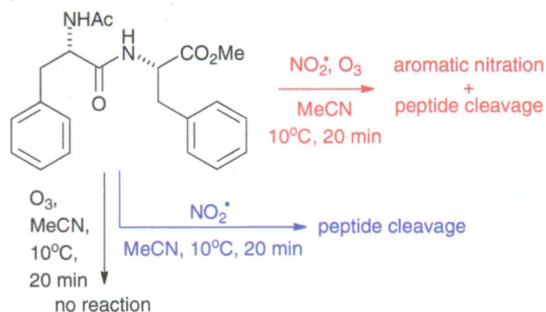
### Investigation of desilylation in the recognition mechanism to fluoride by a 1,8-naphthalimide derivative

Jeeun Woo, Gunwoo Kim, Kevanie Quintero, Michael P. Hanrahan, Hector Palencia and Haishi Cao\*

Desilylation based fluorescence sensor (AF-1) gives a dual signal for quantitative detection of F<sup>-</sup> in MeCN.



8280

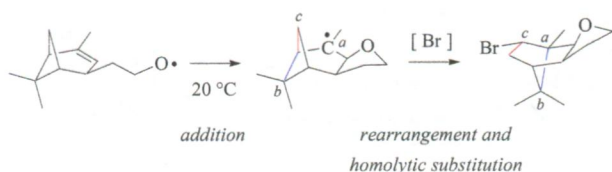


### Oxidative damage of aromatic dipeptides by the environmental oxidants $\text{NO}_2^*$ and $\text{O}_3$

L. F. Gamon, J. M. White and U. Wille\*

Irreversible oxidative damage at both aromatic side chains and dipeptide linkage occurs in aromatic N- and C-protected dipeptides upon exposure to the environmental pollutants  $\text{NO}_2^*$  and  $\text{O}_3$ .

8288

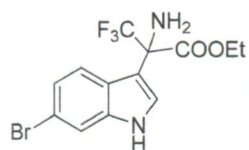


### Annulated and bridged tetrahydrofurans from alkenoxyl radical cyclization

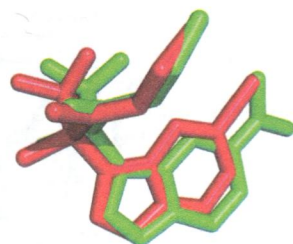
Christine Schur, Harald Kelm, Thomas Gottwald, Arne Ludwig, Rainer Kneuer and Jens Hartung\*

4-Pentenoxyl radicals sharing two or more carbon atoms with a cycloalkane cyclize in a predictable manner stereoselectively and regioselectively to afford in solutions of bromotrichloromethane cycloalkyl-fused or -bridged 2-bromomethyltetrahydrofurans in up to 95% yield.

8308



Indole-based  $\alpha$ -amino acid as potent anti-HIV reagent

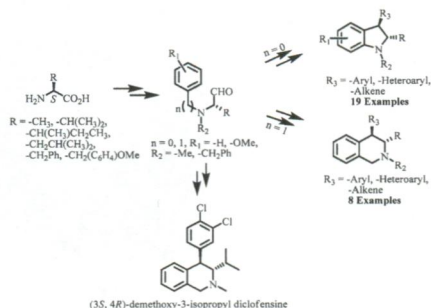


### Synthesis and SARs of indole-based $\alpha$ -amino acids as potent HIV-1 non-nucleoside reverse transcriptase inhibitors

Xin Han, Haoming Wu, Wei Wang, Chune Dong, Po Tien, Shuwen Wu\* and Hai-Bing Zhou\*

Indole-based  $\alpha$ -amino acids as potent non-nucleoside reverse transcriptase inhibitors (NNRTIs) of HIV-1 have been developed using a TZM-bl cell assay on HIV virus type HIV-1<sub>IIIIB</sub>. A comprehensive SAR study of these indole  $\alpha$ -amino acids was also presented.

8318



### Synthesis of enantiomerically enriched indolines and tetrahydroisoquinolines from (S)-amino acid-derived chiral carbocations: an easy access to (3S,4R)-demethoxy-3-isopropyl diclofensine

Sudipta Kumar Manna and Gautam Panda\*

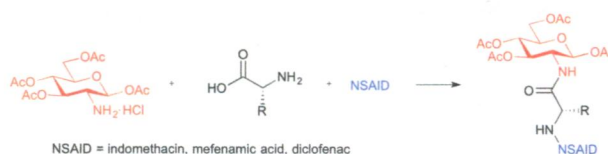
Enantiomerically enriched indolines and tetrahydroisoquinolines were synthesized in high yields from easily accessible (S)-amino acid-derived chiral carbocations.

8325

### Synthesis and characterisation of glucosamine–NSAID bioconjugates

Rachel A. Jones,\* Yann Thillier, Siva S. Panda, Nicole Rivera Rosario, C. Dennis Hall\* and Alan R. Katritzky

Synthetic strategies to prepare non-steroidal anti-inflammatory drug–glucosamine bioconjugates.

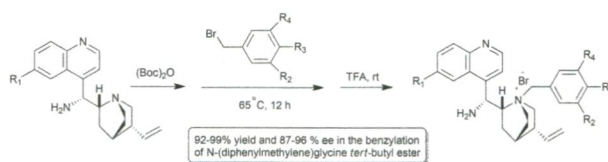


8336

### 9-Amino-(9-deoxy)cinchona alkaloid-derived new chiral phase-transfer catalysts

Wenwen Peng, Jingwei Wan, Bing Xie\* and Xuebing Ma\*

9-Amino-(9-deoxy)cinchona alkaloid-derived chiral phase-transfer catalysts achieved high yields (92–99%) and excellent enantioselectivities (87–96% ee) in the enantioselective  $\alpha$ -alkylation of glycine Schiff base.



8346

### Performance of DFT methods and origin of stereoselectivity in bipyridine $N,N'$ -dioxide catalyzed allylation and propargylation reactions

Diana Sepúlveda, Tongxiang Lu and Steven E. Wheeler\*

It is shown that many DFT methods correctly predict the stereoselectivity of bipyridine  $N,N'$ -dioxide catalyzed alkylation reactions despite predicting the incorrect low-lying transition state structures. A novel explanation of the origin of stereoselectivity in these reactions is also provided.

