

# Organic & Biomolecular Chemistry

www.rsc.org/obc

Volume 11 | Number 11 | 21 March 2013 | Pages 1745–1908



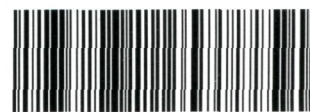
ISSN 1477-0520

RSC Publishing

**PAPER**

Steven V. Ley *et al.*

An expeditious synthesis of imatinib and analogues utilising flow chemistry methods



1477-0520 (2013) 11:11;1-F



# Organic & Biomolecular Chemistry

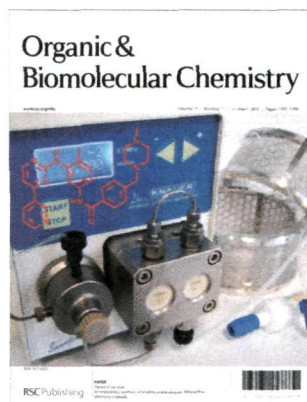
An international journal of synthetic, physical and biomolecular organic chemistry

[www.rsc.org/obc](http://www.rsc.org/obc)

RSC Publishing is a not-for-profit publisher and a division of the Royal Society of Chemistry. Any surplus made is used to support charitable activities aimed at advancing the chemical sciences. Full details are available from [www.rsc.org](http://www.rsc.org)

## IN THIS ISSUE

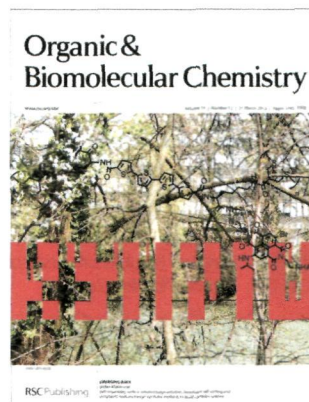
ISSN 1477-0520 CODEN OBCRAK 11(11) 1745–1908 (2013)



### Cover

See Steven V. Ley *et al.*,  
pp. 1822–1839.

Image reproduced by permission  
of Benjamin Deadman from  
*Org. Biomol. Chem.*, 2013, **11**,  
1822.



### Inside cover

See Stefan Matile *et al.*,  
pp. 1754–1765.

Image reproduced by permission  
of Stefan Matile from  
*Org. Biomol. Chem.*, 2013, **11**,  
1754.

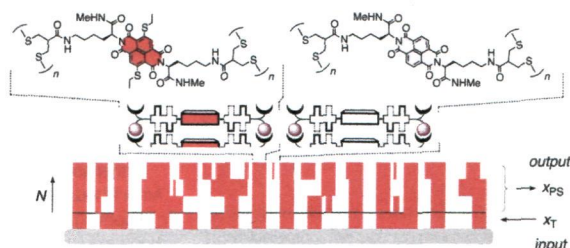
## EMERGING AREA

1754

### Self-organizing surface-initiated polymerization, templated self-sorting and templated stack exchange: synthetic methods to build complex systems

M. Lista, E. Orentas, J. Areephong, P. Charbonnaz,  
A. Wilson, Y. Zhao, A. Bolag, G. Sforazzini, R. Turdean,  
H. Hayashi, Y. Domoto, A. Sobczuk, N. Sakai and  
S. Matile\*

This account offers a summary of synthetic methods that  
have been designed to grow multicomponent systems  
directly on solid surfaces.



$$\eta_{\text{eff}} = \frac{\eta_{\text{int}}(1 - \eta_{\text{int}}^M)}{N(1 - \eta_{\text{int}})} = \frac{(X_{\text{PS}} - b)}{X_{\text{T}}}$$

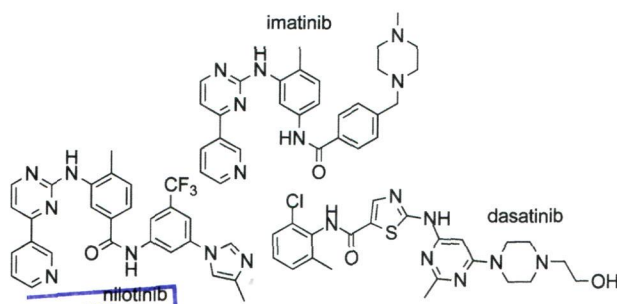
## PERSPECTIVE

1766

### The synthesis of Bcr-Abl inhibiting anticancer pharmaceutical agents imatinib, nilotinib and dasatinib

Benjamin J. Deadman, Mark D. Hopkin,  
Ian R. Baxendale and Steven V. Ley\*

A comprehensive review of the synthetic methods used to  
prepare the Bcr-Abl inhibiting anticancer pharmaceutical  
agents imatinib, nilotinib and dasatinib.

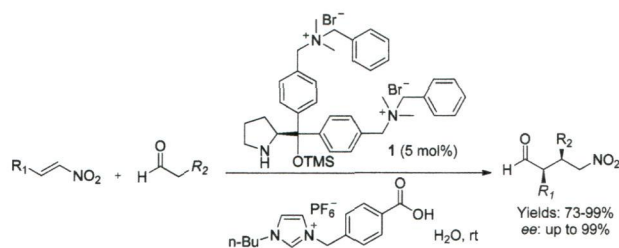


1801

### Asymmetric Michael reactions catalyzed by a highly efficient and recyclable quaternary ammonium ionic liquid-supported organocatalyst in aqueous media

Subrata K. Ghosh, Yupu Qiao, Bukuo Ni\* and Allan D. Headley\*

A novel ionic liquid-supported (ILS) organocatalyst has been developed and successfully applied to the asymmetric Michael reaction in the presence of a ILS benzoic acid as co-catalyst; enantioselectivities resulted from their use.

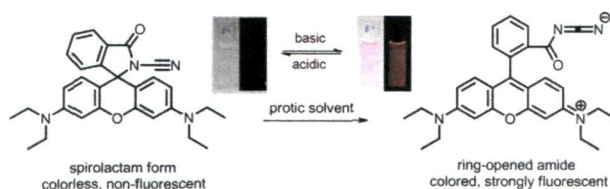


1805

### An acid catalyzed reversible ring-opening/ring-closure reaction involving a cyano-rhodamine spirolactam

Honglin Li, Hong Guan, Xinrui Duan, Jun Hu, Guiren Wang and Qian Wang\*

A cyano-rhodamine spirolactam compound is reported to be involved in a ring-opening/ring-closure reaction catalyzed by acid.

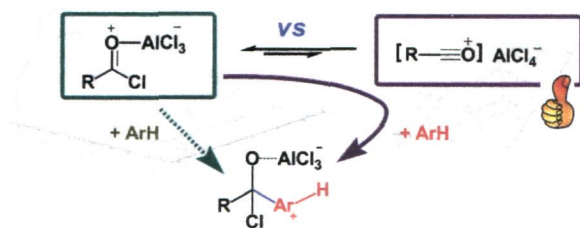


1810

### The "kinetic capture" of an acylium ion from live aluminum chloride promoted Friedel-Crafts acylation reactions

Zhiliang Huang, Liqun Jin, Heyou Han and Aiwen Lei\*

The "kinetic capture" of an acylium ion from live aluminum chloride promoted Friedel-Crafts acylation reactions has been investigated.

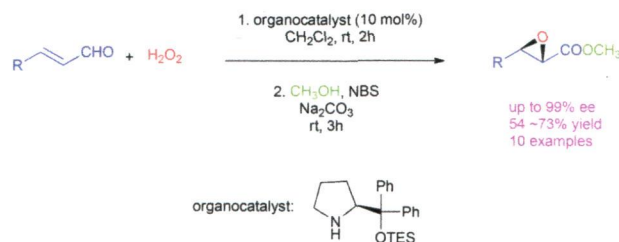


1815

### Highly efficient asymmetric synthesis of $\alpha,\beta$ -epoxy esters via one-pot organocatalytic epoxidation and oxidative esterification

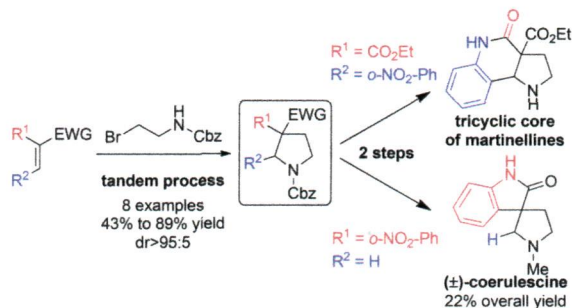
Yi-ning Xuan,\* Han-sen Lin and Ming Yan\*

Highly enantioselective synthesis of  $\alpha,\beta$ -epoxy esters was achieved via one-pot organocatalytic epoxidation and consequent oxidative esterification.





1818



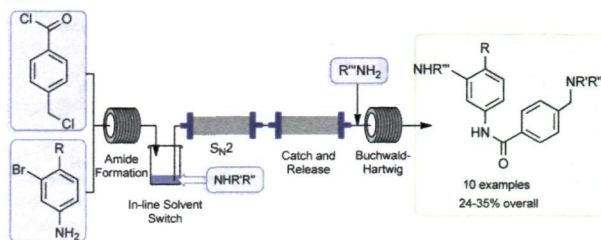
### Synthesis of highly functionalized pyrrolidines as tunable templates for the direct access to (±)-coerulescine and the tricyclic core of martinellines

Ronan Le Goff, Ata Martin Lawson, Adam Daich and Sébastien Comesse\*

An efficient tandem process was developed to access highly functionalized pyrrolidines which were converted in two steps in both tricyclic frameworks of martinellines and (±)-coerulescine.

PAPERS

1822

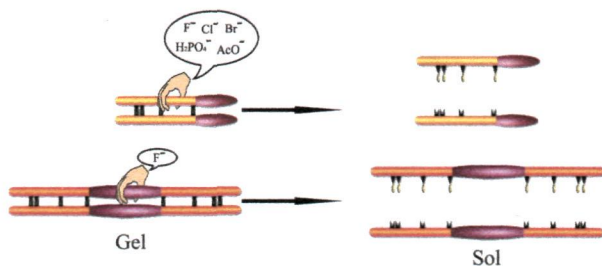


### An expeditious synthesis of imatinib and analogues utilising flow chemistry methods

Mark D. Hopkin, Ian R. Baxendale and Steven V. Ley\*

A flow based synthesis of imatinib and analogues requiring minimal manual intervention.

1840

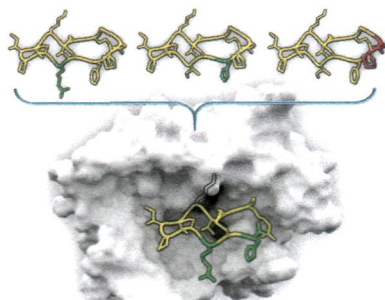


### Anion response of organogels: dependence on intermolecular interactions between gelators

Pengchong Xue,\* Jiabao Sun, Qiuxia Xu, Ran Lu,\* Makoto Takafuji and Hirotaka Ihara

The gelator with a larger aggregate constant could selectively recognize fluoride anions in the gel. In contrast, the gelator with a weak intermolecular interaction could transform its gel into a sol phase by the addition of  $\text{F}^-$ ,  $\text{Cl}^-$ ,  $\text{Br}^-$ ,  $\text{AcO}^-$  and  $\text{H}_2\text{PO}_4^-$ , exhibiting poor selectivity.

1848



### Combinatorial tuning of peptidic drug candidates: high-affinity matriptase inhibitors through incremental structure-guided optimization

Heiko Fittler, Olga Avrutina, Bernhard Glotzbach, Martin Empting\* and Harald Kolmar\*

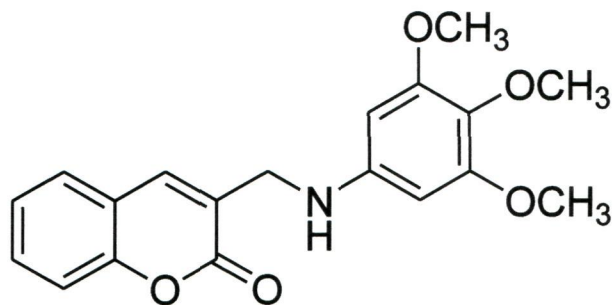
The combination of incremental improvements of the sunflower trypsin inhibitor-1 (SFTI-1) yielded single-digit nanomolar inhibitors of matriptase. Beneficial modifications of the peptidic framework were identified using a small "click" library.

1858

### Novel anilinocoumarin derivatives as agents against hepatitis C virus by the induction of IFN-mediated antiviral responses

Huang-Kai Peng, Wei-Chun Chen, Jin-Ching Lee, Shiang-Yu Yang, Cherng-Chyi Tzeng, Ying-Ting Lin\* and Shyh-Chyun Yang\*

We demonstrated that 3-(3', 4', 5'-trimethoxyanilin-1'-yl) methylaminocoumarin exhibited strong anti-HCV activity at protein and RNA levels at nontoxic concentrations by the induction of IFN-mediated antiviral responses.

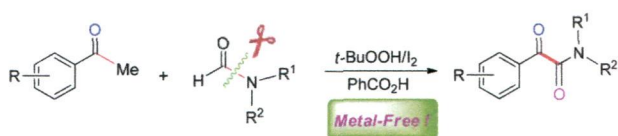


1867

### Direct use of formamides as amino group sources via C–N bond cleavage: a catalytic oxidative synthesis of $\alpha$ -ketoamides from acetophenones and formamides under metal-free conditions

Qiong Zhao, Tao Miao, Xiaobin Zhang, Wei Zhou and Lei Wang\*

An efficient synthesis of  $\alpha$ -ketoamides based on the oxidative coupling of acetophenones with formamides was developed under metal-free conditions.

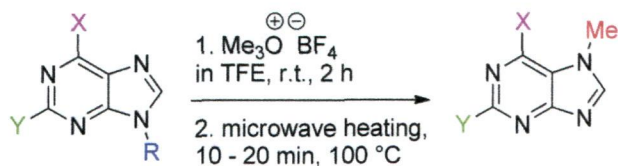


1874

### Trifluoroethanol solvent facilitates selective N-7 methylation of purines

Honorine Lebraud, Celine Cano, Benoit Carbain, Ian R. Hardcastle, Ross W. Harrington, Roger J. Griffin\* and Bernard T. Golding\*

Purines methylated or ethylated at N-7 are prepared by a convenient one-pot procedure in 2,2,2-trifluoroethanol applicable to diverse substrates.

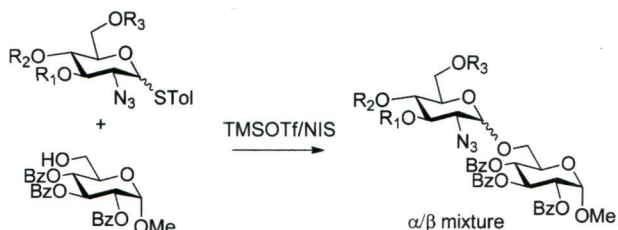


1879

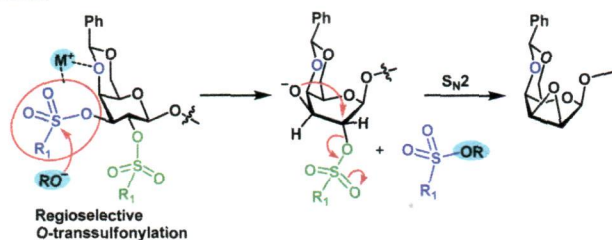
### Study of the stereoselectivity of 2-azido-2-deoxyglucosyl donors: protecting group effects

George Ngoje and Zhitao Li\*

The impact of acetyl groups on the stereoselectivity of tolyl 2-azido-2-deoxy-glucosyl-thioglycoside donors was studied and characterized by systematically changing the number and the position of acetyl protecting group.



1887

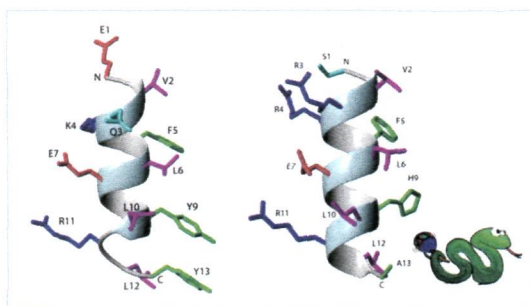


### Evidence of cation-coordination involvement in directing the regioselective di-inversion reaction of vicinal di-sulfonate esters

Rachel Hevey and Chang-Chun Ling\*

The rate of *O*-transsulfonation can be enhanced by alkali cations with the help of a neighbouring *cis*-orientated oxygen atom.

1896



### Helical peptides from VEGF and Vammin hotspots for modulating the VEGF-VEGFR interaction

María Isabel García-Aranda, Susana González-López, Clara María Santiveri, Nathalie Gagey-Eilstein, Marie Reille-Seroussi, Mercedes Martín-Martínez, Nicolas Inguibert, Michel Vidal, María Teresa García-López, María Angeles Jiménez, Rosario González-Muñiz and María Jesús Pérez de Vega\*

Helical peptide analogues of VEGF<sub>13–25</sub> and Vammin<sub>1–13</sub> are able to bind to VEGFR-1.