

# Organic & Biomolecular Chemistry

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## COMMUNICATION

Marc J. Adler *et al.*

Towards a dynamic covalent molecular switch: substituent effects in chalcone/flavanone isomerism

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# Organic & Biomolecular Chemistry

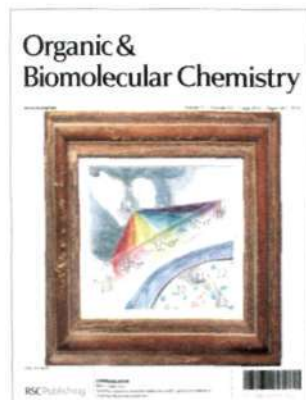
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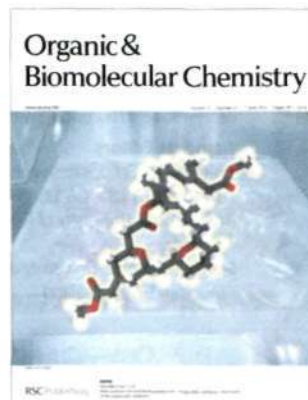
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### Cover

See Marc J. Adler *et al.*,  
pp. 3421–3423.

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3421.



### Inside cover

See Haruhiko Fuwa *et al.*,  
pp. 3442–3450.

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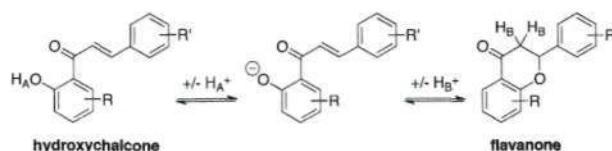
## COMMUNICATIONS

3421

### Towards a dynamic covalent molecular switch: substituent effects in chalcone/flavanone isomerism

Jesse Mai, Ermal Hoxha, Caitlin E. Morton,  
Brian M. Muller and Marc J. Adler\*

Chalcone/flavanone interconversion occurs readily under aqueous alkaline conditions making it a promising scaffold for the development of a covalent molecular switch.

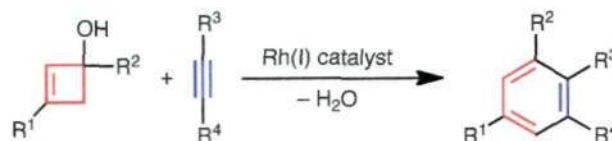


3424

### Synthesis of tetrasubstituted benzenes *via* rhodium(i)-catalysed ring-opening benzannulation of cyclobutenols with alkynes

Takanori Matsuda\* and Norio Miura

A simple and efficient method has been developed for the synthesis of 1,2,3,5-tetrasubstituted benzenes *via* rhodium(i)-catalysed ring-opening benzannulation of 1,3-disubstituted cyclobutenols with internal alkynes.

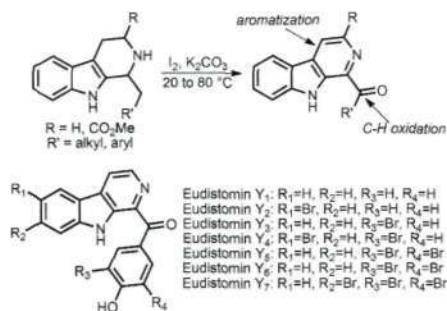


3428

### Tandem iodine-mediated oxidations of tetrahydro- $\beta$ -carbolines: total synthesis of eudistomins Y<sub>1</sub>–Y<sub>7</sub>

Joseph D. Panarese and Stephen P. Waters\*

An efficient iodine-mediated oxidation of tetrahydro- $\beta$ -carbolines is described to yield aromatic  $\beta$ -carboline products with tandem C–H oxidation. The utility of the method was demonstrated in the total synthesis of the alkaloids eudistomins Y<sub>1</sub>–Y<sub>7</sub>.

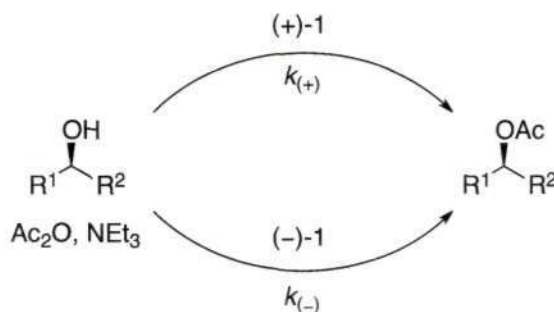


3432

### Elucidating absolute configuration of unsaturated alcohols *via* enantioselective acylation reactions

Christina M. LeGay, Colton G. Boudreau and Darren J. Derksen\*

Enantioselective nucleophilic acylation catalysis provides a simple method of determining absolute configuration for unsaturated alcohols.

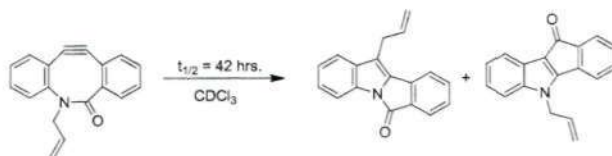


3436

### Rearrangements and addition reactions of biarylazacyclooctynones and the implications to copper-free click chemistry

Mariya Chigrinova, Craig S. McKay, Louis-Philippe B. Beaulieu, Konstantin A. Udachin, André M. Beauchemin and John Paul Pezacki\*

Rate is accelerated by Brønsted acid catalysis. Rearrangement observed for a derivative commonly used for bioconjugation. 4 X-ray structures.



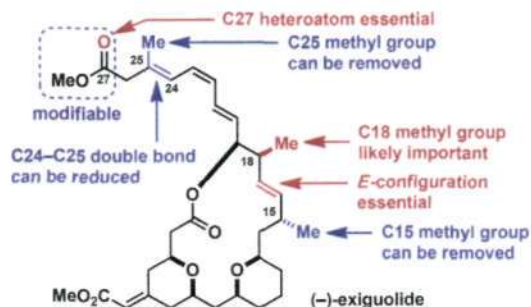
## PAPERS

3442

### Total synthesis and biological evaluation of (–)-exiguolide analogues: importance of the macrocyclic backbone

Haruhiko Fuwa,\* Kana Mizunuma, Makoto Sasaki, Takaya Suzuki and Hiroshi Kubo

Synthesis and biological evaluation of (–)-exiguolide analogues elucidated the importance of the macrocyclic backbone for antiproliferative activity and the tolerance of the side chain to structural modifications.



3451

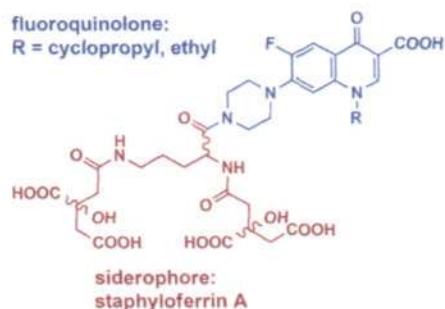


### Synthetically amenable amide derivatives of tosylated-amino acids as organocatalysts for enantioselective allylation of aldehydes: computational rationale for enantioselectivity

Debashis Ghosh, Debashis Sahu, S. Saravanan, Sayed H. R. Abdi,\* Bishwajit Ganguly,\* Noor-ul H. Khan, Rukhsana I. Kureshy and Hari C. Bajaj

Chirally enriched homoallyl alcohols were effectively synthesized by using synthetically amenable organocatalyst derived from L-phenylalanine.

3461

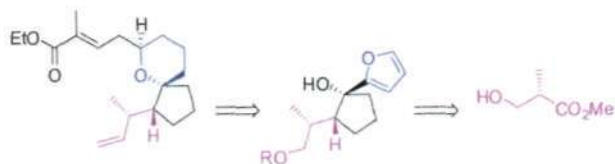


### Staphyloferrin A as siderophore-component in fluoroquinolone-based Trojan horse antibiotics

Stephen J. Milner, Alexandra Seve, Anna M. Snelling, Gavin H. Thomas, Kevin G. Kerr, Anne Routledge\* and Anne-Kathrin Duhme-Klair\*

We report the first synthesis of the carboxylate siderophore staphyloferrin A, its conjugation to ciprofloxacin and norfloxacin and the impact of conjugation on the antimicrobial activity of the fluoroquinolone.

3469



### Convergent approach to complex spirocyclic pyrans: practical synthesis of the oxa-pinnaic acid core

Frank D. Ferrari, Adele E. Pasqua, Andrew J. Ledgard and Rodolfo Marquez\*

One stereocentre to rule them all. The enantioselective synthesis of the oxa-pinnaic acid framework was achieved through internal asymmetric induction.

3477



### Silanization of quartz, silicon and mica surfaces with light-driven molecular motors: construction of surface-bound photo-active nanolayers

Gábor London, Gregory T. Carroll and Ben L. Feringa\*

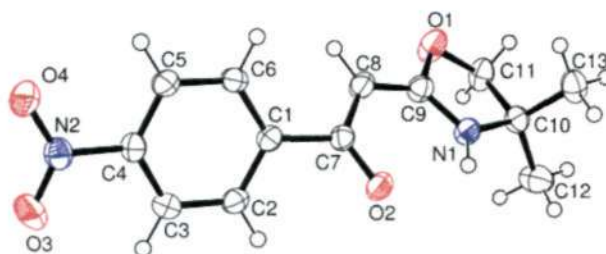
The attachment of molecular rotary motors containing triethoxysilane functional groups to quartz, silicon and mica surfaces is described and the effect of photochemical and thermal isomerization steps on the surface assemblies was studied.

3484

### Tautomerism and metal complexation of 2-acylmethyl-2-oxazolines: a combined synthetic, spectroscopic, crystallographic and theoretical treatment

R. C. Jones, K. Herasymchuk, T. Mahdi, A. Petrov, S. Resanović, D. G. Vaughan, A. J. Lough, J. W. Quail, B. D. Koivisto, R. S. Wylie and R. A. Gossage\*

A synthetic, structural and theoretical investigation into the solid-state, solution and gas phase structure(s) of six 2-acylmethyl-4,4-dimethyl-2-oxazolines is reported.

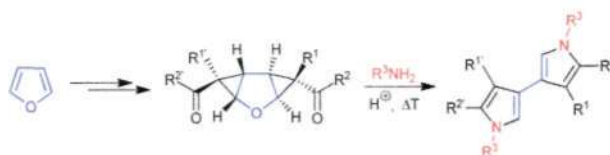


3494

### Symmetric and unsymmetric 3,3'-linked bispyrroles via ring-enlargement reactions of furan-derived donor-acceptor cyclopropanes

Johannes Kaschel, Tobias F. Schneider, Daniel Kratzert, Dietmar Stalke and Daniel B. Werz\*

Highly substituted symmetric and unsymmetric 3,3'-linked bispyrroles were obtained by a short sequence starting from furan utilizing donor-acceptor cyclopropanes as key intermediates.

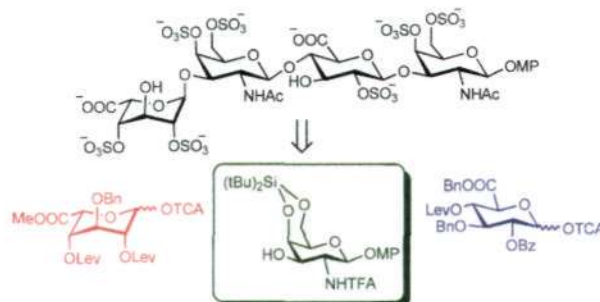


3510

### Synthesis of chondroitin/dermatan sulfate-like oligosaccharides and evaluation of their protein affinity by fluorescence polarization

Susana Maza, M. Mar Kayser, Giuseppe Macchione, Javier López-Prados, Jesús Angulo, José L. de Paz\* and Pedro M. Nieto\*

A novel strategy for the synthesis of chondroitin/dermatan sulfate-like oligosaccharides is described. The binding affinities of the synthesized compounds to FGF-2 are estimated by using a fluorescence polarization assay.

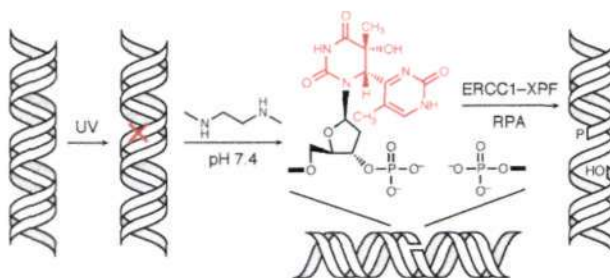


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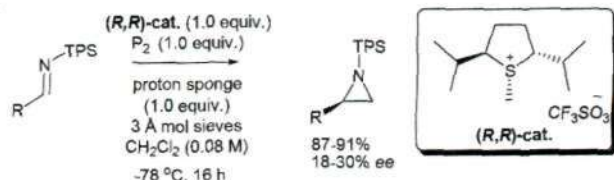
### Strand breakage of a (6-4) photoproduct-containing DNA at neutral pH and its repair by the ERCC1-XPF protein complex

Norihito Arichi, Junpei Yamamoto, Chiaki Takahata, Emi Sano, Yuji Masuda, Isao Kuraoka and Shigenori Iwai\*

The (6-4) photoproduct in DNA is heat-labile at neutral pH, while its Dewar valence isomer is relatively stable. ERCC1-XPF removes the 3'-blocking end in the presence of RPA.



3535



### The asymmetric synthesis of terminal aziridines by methylene transfer from sulfonium ylides to imines

Sarah A. Kavanagh, Alessandro Piccinini and Stephen J. Connon\*

A new sulfonium ylide-based protocol for the asymmetric aziridination of imines *via* methylene transfer has been developed.

3541

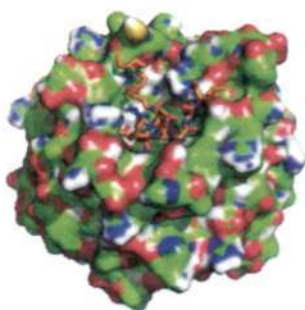


### Efficient pseudo-five-component coupling-Fiesellmann synthesis of luminescent oligothiophenes and their modification

Marco Teiber, Sönke Giebeler, Timo Lessing and Thomas J. J. Müller\*

Highly luminescent symmetrical terthiophenes and quinquethiophenes are accessible in a consecutive pseudo-five-component reaction in good to excellent yield.

3553

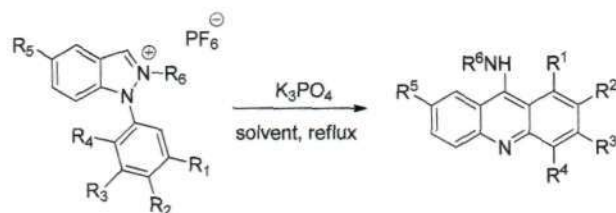


### Peptide inhibitors of the Keap1–Nrf2 protein–protein interaction with improved binding and cellular activity

Rowena Hancock, Marjolein Schaap, Helene Pfister and Geoff Wells\*

We describe potent peptide conjugate inhibitors of the Keap1–Nrf2 protein–protein interaction that have activity in cell based Nrf2 induction assays.

3558



### Pericyclic rearrangements of *N*-heterocyclic carbenes of indazole to substituted 9-aminoacridines

Zong Guan, Sascha Wiechmann, Martin Drafz, Eike Hübner and Andreas Schmidt\*

On deprotonation, 1-arylidiazolium salts form 1-arylidiazol-3-ylidenes which rearrange spontaneously *via* ring cleavage, ring closure and subsequent proton transfer to substituted 9-aminoacridines.

### A convenient method for selective detection of 5-hydroxymethylcytosine and 5-formylcytosine sites in DNA sequences

Wuxiang Mao, Jianlin Hu, Tingting Hong, Xiwen Xing, Sen Wang, Xi Chen and Xiang Zhou\*

The 5fC and 5hmC could be detected respectively, by comparing the DNA treated with piperidine with and without oxidation.

