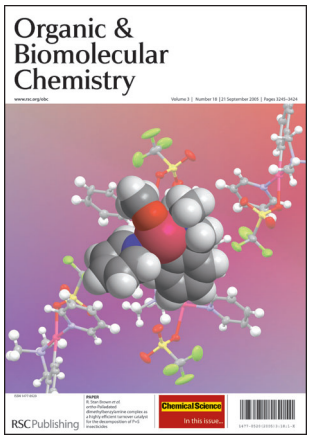
ISSN 1477-0520 CODEN OBCRAK 3(18) 3245–3424 (2005)



Cover

See Zhong-Lin Lu, Alexei A. Neverov and R. Stan Brown, pp. 3379–3387.
The complex Pd(*N*,*N*-dimethylbenzylamine)(pyridine)(trifluoromethanesulfonate) shown in the ORTEP background on the cover is extremely effective for catalytic methanolysis of P=S pesticides. The active form shown as the space-filling model is one having an Pd^{II}-coordinated methoxide generated with a pK_a of 10.8. This system promotes the turnover methanolysis of excess fenitrothion, diazinon, quinalphos, coumaphos and dichlofenthion at 25 °C. An associative mechanism is proposed where the Pd^{II}-coordinated methoxide intramolecularly attacks a transiently coordinated S=P substrate.

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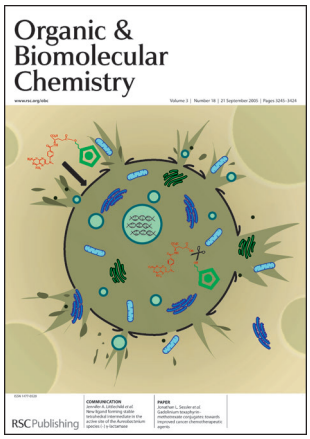

Inside cover

See Wen-Hao Wei, Mark Fountain, Darren Magda, Zhong Wang, Phil Lecane, Mimi Mesfin, Dale Miles and Jonathan L. Sessler, pp. 3290–3296.
The illustration provides a schematic representation of the anti-proliferative effect produced by an ester-linked conjugate between methotrexate, an anti-folate cancer chemotherapeutic, and motexafin gadolinium, an experimental cancer agent demonstrating selective tumour localization. The ester linkage undergoes cleavage within the A549 human lung cancer cells used for analysis and, presumably as a consequence, this conjugate displays greater activity at short incubation times than does methotrexate alone. Neither the amide conjugate, which is stable under these *in vitro* conditions, nor motexafin gadolinium on its own, shows any appreciable activity under these conditions.

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COMMUNICATIONS

3257

Controlled intracellular localization and enhanced antisense effect of oligonucleotides by chemical conjugation

Takanori Kubo, Zhivko Zhelev, Bakalova Rumiana, Hideki Ohba, Keiko Doi and Masayuki Fujii*

Antisense oligonucleotides conjugated with nuclear localizing signals could inhibit human telomerase in human leukemia cells much more strongly than phosphorothioate oligonucleotides.

Antisense oligonucleotide-nuclear localization signal peptide conjugate could inhibit human telomerase in 99.6 %

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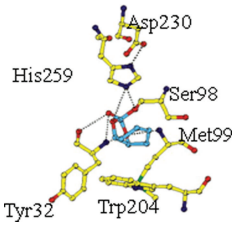
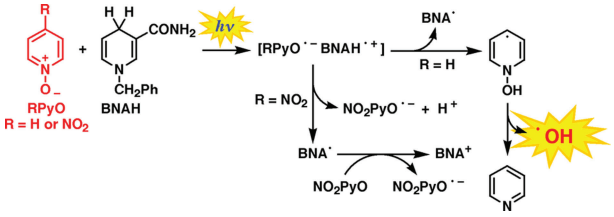
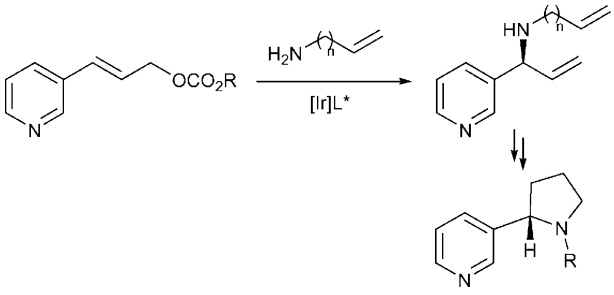
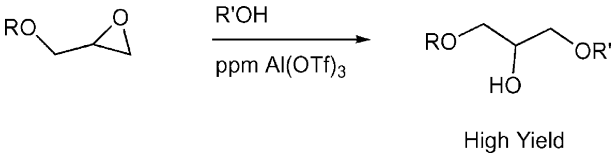
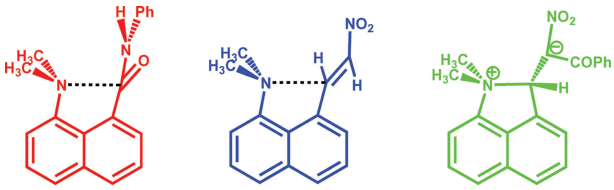
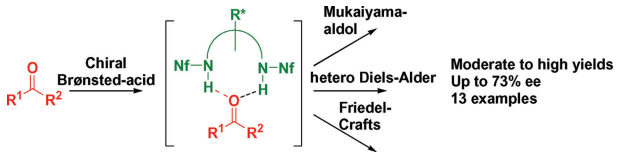








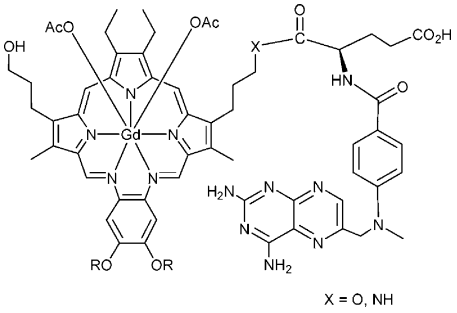




A versatile catalyst for asymmetric reactions of carbonyl groups working purely by activation through hydrogen bonding: Mukaiyama-aldol, hetero Diels–Alder and Friedel–Crafts reactions

Wei Zhuang, Thomas B. Poulsen and Karl Anker Jørgensen*

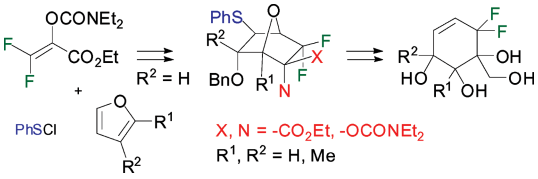
C_2-Symmetric chiral bis-sulfonamides are demonstrated to be effective Brønsted-acid catalysts leading to the optically active products in moderate to excellent yields and with moderate enantioinduction.



Gadolinium texaphyrin–methotrexate conjugates. Towards improved cancer chemotherapeutic agents

Wen-Hao Wei, Mark Fountain, Darren Magda,* Zhong Wang, Phil Lecane, Mimi Mesfin, Dale Miles and Jonathan L. Sessler*

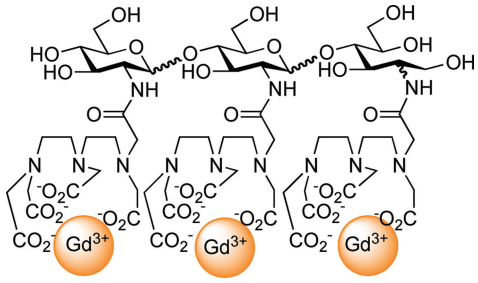
Ester linked methotrexate–motexafin gadolinium conjugates showed enhanced *in vitro* activity (A549 cells) at early incubation times relative to methotrexate alone.



Highly-functionalised difluorinated cyclohexane polyols *via* the Diels–Alder reaction: regiochemical control *via* the phenylsulfonyl group

Patrick J. Crowley, John Fawcett, Gerry A. Griffith, Andrew C. Moralee, Jonathan M. Percy* and Vittoria Salafia

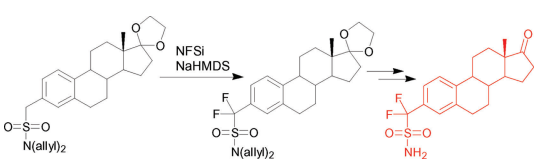
A difluorinated dienophile underwent cycloaddition reactions with a range of furans to afford cycloadducts which could be processed regio- and stereoselectively *via* episulfonium ions. The oxabicyclic products were ring opened *via* E1$_c$B or reductive desulfonative pathways to afford, ultimately, difluorinated cyclohexene or cyclohexane polyols.



Synthesis of DTPA-conjugated (1,4)-linked 2-aminoglycosides varying in the anomeric configuration and their MRI contrast effect

Hiroshi Tanaka, Yoshio Ando, Masatoshi Wada and Takashi Takahashi*

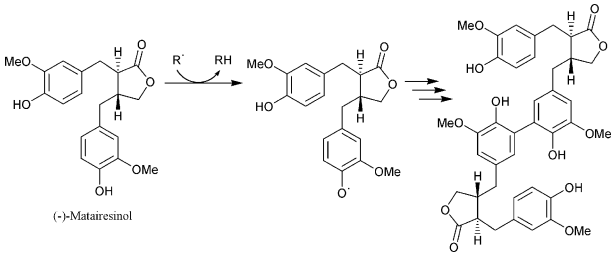
The efficient synthesis of DTPA-conjugated oligosaccharides composed of α- and/or β-linked tri to monoglucosamines and MRI contrast effects of their Gd(III) complexes are presented.

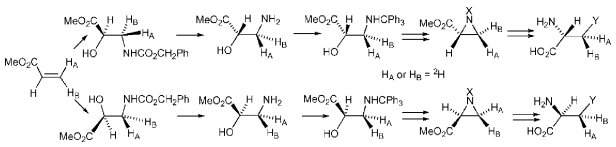


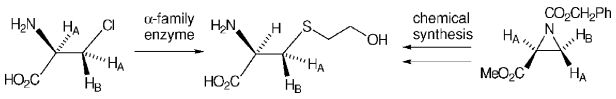
Synthesis of a non-hydrolyzable estrone sulfate analogue bearing the difluoromethanesulfonamide group and its evaluation as a steroid sulfatase inhibitor

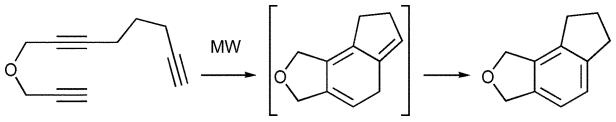
Yong Liu, Vanessa Ahmed, Bryan Hill and Scott D. Taylor*

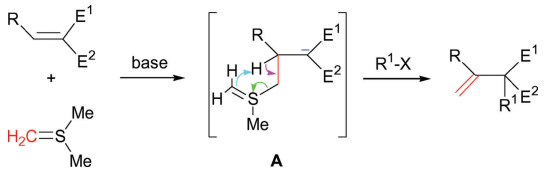
A non-hydrolyzable estrone sulfate analogue bearing an α,α-difluorosulfonamide moiety at the 3-position on the A-ring was synthesized and reversibly inhibited steroid sulfatase (STS) with a pH dependence opposite to that of other reversible STS inhibitors.











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Jasper Kaiser, Sape S. Kinderman, Bart C. J. van Esseveldt, Floris L. van Delft, Hans E. Schoemaker, Richard H. Blaauw and Floris P. J. T. Rutjes (**DOI**: 10.1039/b507973j)

Glycosylation with *in situ* separation: carbohydrate chemistry on a TLC plate

Balaram Mukhopadhyay, Peter Cura, K. P. Ravindranathan Kartha, Catherine H. Botting and Robert A. Field (**DOI**: 10.1039/b509417h)

A new and efficient method for *o*-quinone methide intermediate generation: application to the biomimetic synthesis of the benzopyran derived natural products (±)-lucidene and (±)-alboatrin

Raphaël Rodriguez, John E. Moses, Robert M. Adlington and Jack E. Baldwin (**DOI**: 10.1039/b508972g)

Structure–activity relationships, kinetics, selectivity, and mechanistic studies of synthetic hydraphile channels in bacterial and mammalian cells

W. Matthew Leevy, Seth T. Gammon, Tatiana Levchenko, David D. Daranciang, Oscar Murillo, Vladimir Torchilin, David Piwnica-Worms, James E. Huettner and George W. Gokel (**DOI**: 10.1039/b508157b)

Self-assembly and stability of double rosette nanostructures with biological functionalities

Mattijs G. J. ten Cate, Merdan Omerović, Gennady V. Oshovsky, Mercedes Crego-Calama, and David N. Reinhoudt (**DOI**: 10.1039/b508449k)

Palladium catalysed aryl amination reactions in supercritical carbon dioxide

Catherine J. Smith, Melanie W. S. Tsang, Andrew B. Holmes, Rick L. Danheiser and Jefferson W. Tester (**DOI**: 10.1039/b509345g)

C-Terminal properties are important for ring-fused 2-pyridones that interfere with chaperone function in uropathogenic *E. coli*

Veronica Åberg, Mattias Hedenström, Jerome S. Pinkner, S. J. Hultgren and Fredrik Almqvist (**DOI**: 10.1039/b509376g)

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Thibaud Coradin, David Eglin, M. M. Giraud-Guille, Jacques Livage and Gervaise Mosser

Papers

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Katsuhiko Ariga, Takashi Nakanishi, Jonathan P. Hill, Yukiko Terasaka, Daisuke Sakai and Jun-ichi Kikuchi

A small-angle neutron scattering study of biologically relevant mixed surfactant micelles comprising 1,2-diheptanoyl-sn-phosphatidylcholine and sodium dodecyl sulfate or dodecyltrimethylammonium bromide

Peter C. Griffiths, Alison Paul, Zeena Khayat, Richard K. Heenan, Radha Ranganathan and Isabelle Grillo

Intrinsic viscosity of dendrimers via equilibrium molecular dynamics

Philip M. Drew and David B. Adolf

Structure and rheology of aqueous micellar solutions and gels formed from an associative poly(oxybutylene)–poly(oxyethylene)–poly(oxybutylene) triblock copolymer

V. Castelletto, I. W. Hamley, X.-F. Yuan, A. Kelarakis and C. Booth

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