

Organic & Biomolecular Chemistry

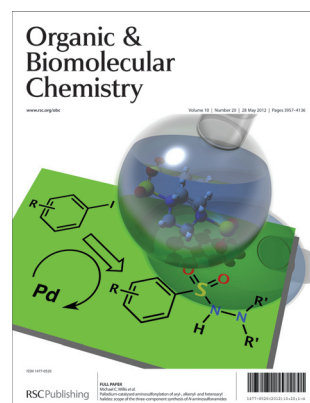
An international journal of synthetic, physical and biomolecular organic chemistry

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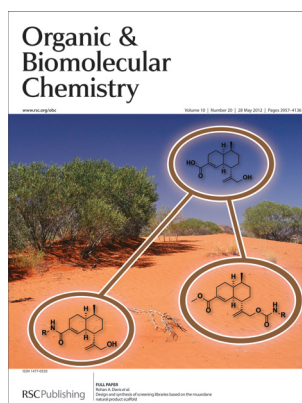


Cover

See Michael C. Willis *et al.*, pp. 4007–4014.

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The authors gratefully acknowledge Dr. Karl Harrison at the University of Oxford for help with the preparation of the cover artwork



Inside cover

See Rohan A. Davis *et al.*, pp. 4015–4023.

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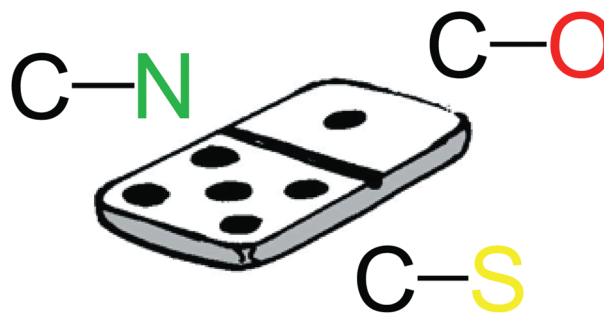
EMERGING AREA

3969

Asymmetric organocascades involving the formation of two C–heteroatom bonds from two distinct heteroatoms

Damien Bonne,* Thierry Constantieux, Yoann Coquerel and Jean Rodriguez*

Enantioselective organocascades creating multiple carbon–heteroatom bonds are rare but these fascinating domino processes lead to highly functionalised optically active building blocks.



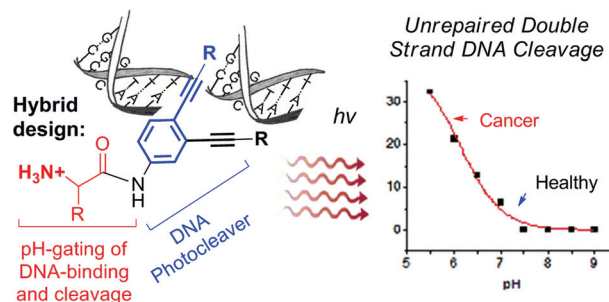
PERSPECTIVE

3974

Hybrids of amino acids and acetylenic DNA-photocleavers: optimising efficiency and selectivity for cancer phototherapy

Boris Breiner, Kemal Kaya, Saumya Roy, Wang-Yong Yang and Igor V. Alabugin*

Hybrid agents which combine potent DNA-photocleavers with tunable amino acids or small peptides were designed to improve selectivity of Nature's most potent class of antibiotics towards cancer cells.



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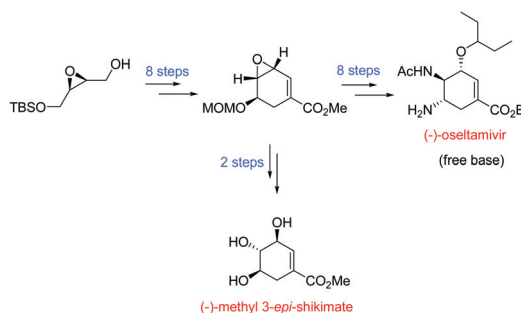
COMMUNICATIONS

3988

Synthesis of the anti-influenza agent (–)-oseltamivir free base and (–)-methyl 3-*epi*-shikimate

Varun Rawat, Soumen Dey and Arumugam Sudalai*

A new enantioselective synthesis of the anti-influenza agent (–)-oseltamivir free base (7.1% overall yield; 98% ee) and (–)-methyl 3-*epi*-shikimate (16% overall yield; 98% ee) has been described from readily available raw materials.

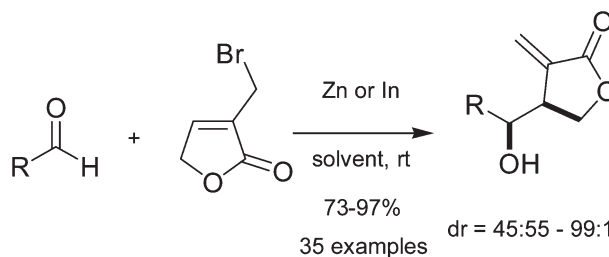


3991

Zinc or indium-mediated Barbier-type allylation of aldehydes with 3-bromomethyl-5*H*-furan-2-one in aqueous media: an efficient synthesis method for α -methylene- γ -butyrolactone

YuZhe Gao, Xue Wang, LiDong Sun, LongGuan Xie and XiaoHua Xu*

The zinc or indium-mediated Barbier-type allylation of aldehydes with 3-bromomethyl-5*H*-furan-2-one in aqueous solvents afforded α -methylene- γ -butyrolactone in moderate to excellent yields, with good drs in most cases.

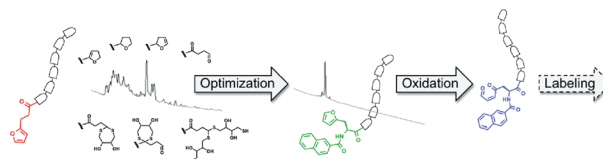


3999

Aromatic capping surprisingly stabilizes furan moieties in peptides against acidic degradation

Kurt Hoogewijs, Annelies Deceuninck and Annemieke Madder*

Synthesis of furan containing peptides for further derivatisation in solution through our furan-oxidation-labeling technology was achieved. Furan degradation can be suppressed by introduction of proximate aromatic residues. Versatile introduction of 2-furylalanine at internal, C-terminal as well as the sensitive N-terminal positions has now been proven possible.

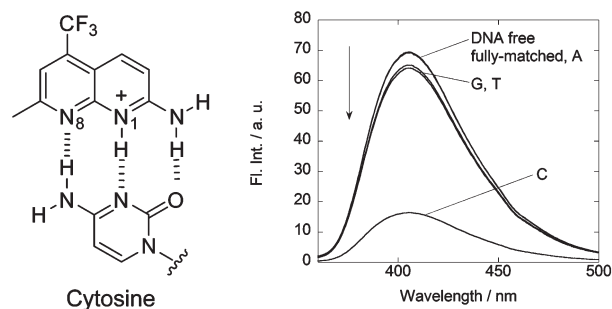


4003

Highly selective binding of naphthyridine with a trifluoromethyl group to cytosine opposite an abasic site in DNA duplexes

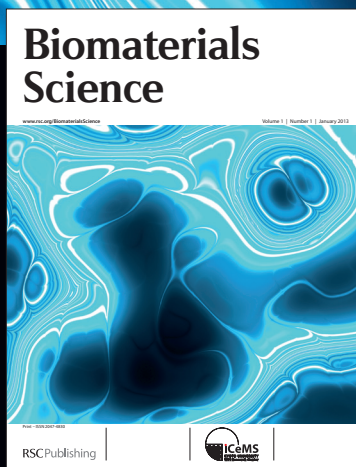
Yusuke Sato, Yushuang Zhang, Takehiro Seino, Takashi Sugimoto, Seiichi Nishizawa and Norio Teramae*

We report on highly selective binding of a naphthyridine derivative with a trifluoromethyl group to cytosine opposite an abasic site in DNA duplexes; the binding-induced fluorescence quenching is applicable to the analysis of a C-related single-base mutation in DNAs amplified by PCR.



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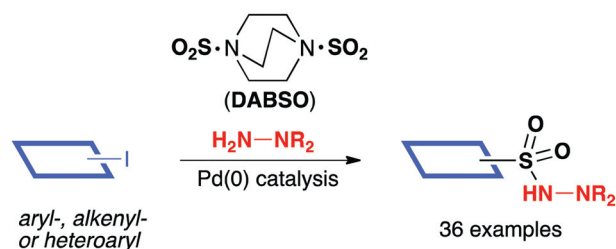
PAPERS

4007

Palladium-catalysed aminosulfonylation of aryl-, alkenyl- and heteroaryl halides: scope of the three-component synthesis of *N*-aminosulfonamides

Edward J. Emmett, Charlotte S. Richards-Taylor, Bao Nguyen, Alfonso Garcia-Rubia, Barry R. Hayter and Michael C. Willis*

By using DABCO·(SO₂)₂, DABSO, as a solid bench-stable SO₂-equivalent, the efficient palladium-catalysed aminosulfonylation of aryl-, alkenyl- and heteroaryl halides has been achieved.

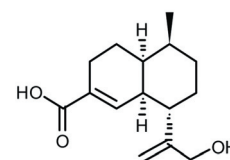


4015

Design and synthesis of screening libraries based on the murolane natural product scaffold

Emma C. Barnes, Vanida Choomuenwai, Katherine T. Andrews, Ronald J. Quinn and Rohan A. Davis*

The plant-derived natural product scaffold 14-hydroxy-6,12-muuroloadien-15-oic acid was utilised in the design and synthesis of two drug discovery screening libraries.

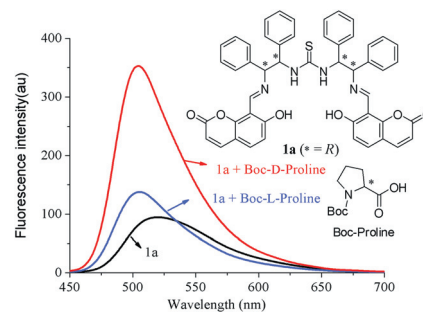


4024

Coumarin-based chiral fluorescence sensor incorporating a thiourea unit for highly enantioselective recognition of *N*-Boc-protected proline

Zhitao Xing, Yong Fu, Jiecong Zhou, Chengjian Zhu* and Yixiang Cheng*

Enantioselective fluorescent recognition and the enhancement of enantioselectivity.

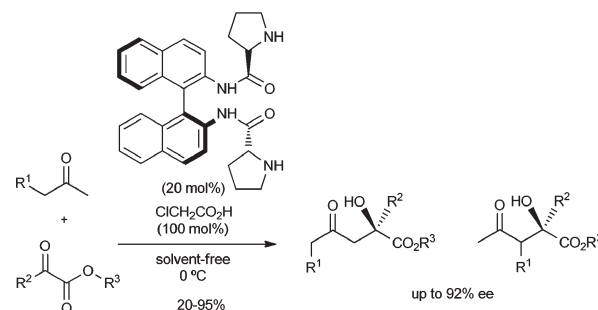


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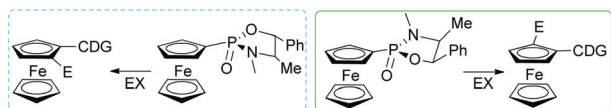
Enantioselective direct aldol reaction of α -keto esters catalyzed by (*S*_a)-binam-D-prolinamide under quasi solvent-free conditions

Santiago F. Vióquez, Abraham Bañón-Caballero, Gabriela Guillena,* Carmen Nájera* and Enrique Gómez-Bengoá*

(*S*_a)-Binam-D-prolinamide/chloroacetic acid allows the enantioenriched synthesis of quaternary carbon containing molecules through a direct aldol reaction.



4036

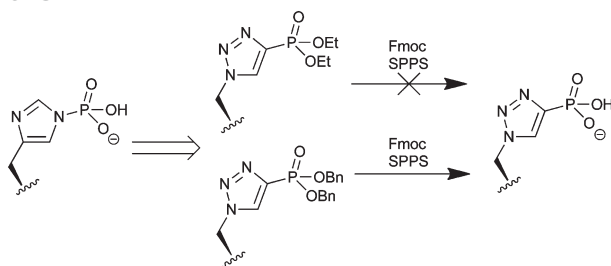


Another side of the oxazaphospholidine oxide chiral *ortho*-directing group

Nelson Martins, Nuno Mateus, Daniele Vinci, Ourida Saidi, Amadeu Brigas,* John Bacsá and Jianliang Xiao*

Oxazaphospholidine oxide is a novel chiral directing group, allowing access to functionalized ferrocenes of opposite planar chirality.

4043

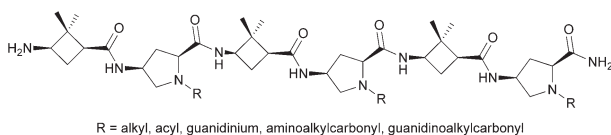


Triazole phosphohistidine analogues compatible with the Fmoc-strategy

Tom E. M^cAllister and Michael E. Webb*

An effective strategy for the incorporation of stable triazole analogues of phosphohistidine into proteins using the Fmoc-strategy for solid-phase peptide synthesis is described.

4050

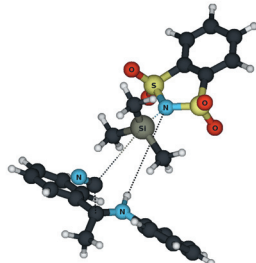
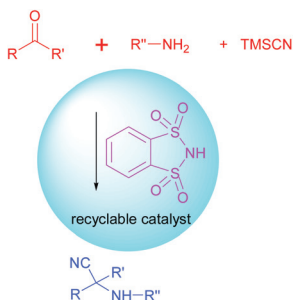


Searching for new cell-penetrating agents: hybrid cyclobutane–proline γ,γ -peptides

Esther Gorrea, Daniel Carbajo, Raquel Gutiérrez-Abad, Ona Illa, Vicenç Branchadell, Miriam Royo and Rosa M. Ortuño*

The influence of different side chains and the charge–hydrophobicity balance on the cell-uptake properties of hybrid γ,γ -peptides have been investigated.

4058



o-Benzenedisulfonimide and its chiral derivative as Brønsted acids catalysts for one-pot three-component Strecker reaction. Synthetic and mechanistic aspects

Margherita Barbero, Silvano Cadamuro, Stefano Dughera* and Giovanni Ghigo*

o-Benzenedisulfonimide efficiently catalyses the Strecker reaction in green and efficient conditions. Theoretical calculations have allowed us to explain its mechanism.

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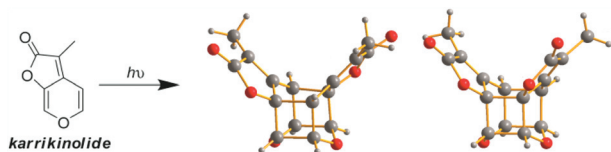
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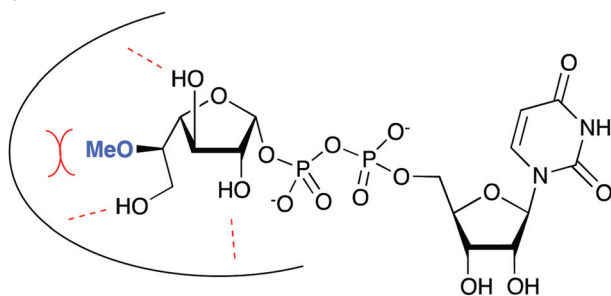


Solar irradiation of the seed germination stimulant karrikinolide produces two novel head-to-head cage dimers

Adrian Scaffidi, Mark T. Waters, Brian W. Skelton, Charles S. Bond, Alexandre N. Sobolev, Rohan Bythell-Douglas, Allan J. McKinley, Kingsley W. Dixon, Emilio L. Ghisalberti, Steven M. Smith and Gavin R. Flematti*

Caged karrikinolide: The potent seed germination stimulant isolated from smoke, karrikinolide, furnishes two novel cage photodimers when exposed to sunlight.

4074

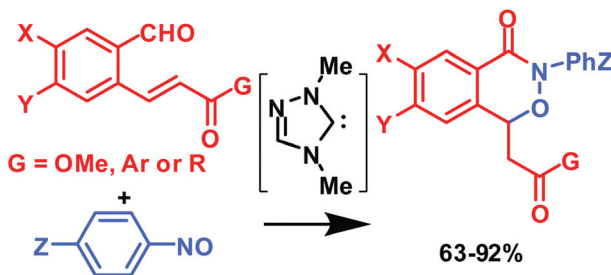


Synthetic UDP-galactofuranose analogs reveal critical enzyme–substrate interactions in GlfT2-catalyzed mycobacterial galactan assembly

Myles B. Poulin, Ruokun Zhou and Todd L. Lowary*

Singly modified UDP-galactofuranose analogs reveal critical hydrogen bonding interactions within the active site of the mycobacterial galactofuranosyltransferase GlfT2.

4088

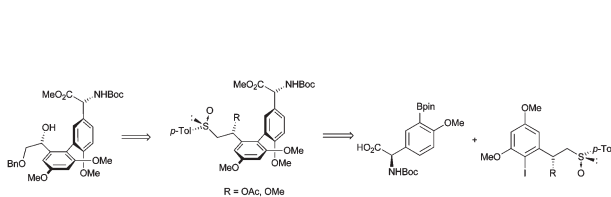


N-Heterocyclic carbene-catalyzed cascade annulation reaction of *ortho*-vinylarylaldehydes with nitrosoarenes: one-step assembly of functionalized 2,3-benzoxazin-4-ones

Zhong-Xin Sun and Ying Cheng*

The NHC-catalyzed reactions of *ortho* electron-deficient vinyl substituted arylaldehydes with nitrosoarenes produced multifunctional 2,3-benzoxazin-4-ones in good to excellent yields.

4095



Construction of the biaryl-part of vancomycin aglycon via atropo-diastereoselective Suzuki–Miyaura coupling

Timo Leermann, Pierre-Emmanuel Broutin, Frédéric R. Leroux* and Françoise Colobert*

Highly atropo-diastereoselective synthesis with dr up to 98/2 towards the biaryl subunit of vancomycin based on the use of enantiopure β -hydroxysulfoxide derivatives as novel chiral auxiliary.

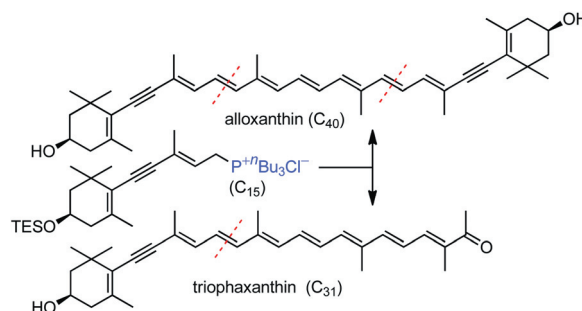
PAPERS

4103

Stereoselective total synthesis of the acetylenic carotenoids alloxanthin and triphaxanthin

Yumiko Yamano,* Mahankhali Venu Chary and Akimori Wada

Stereoselective total synthesis of the C₄₀-diacetylenic carotenoid alloxanthin and the C₃₁-acetylenic apocarotenoid triphaxanthin was accomplished by Wittig condensation characterized by utilization of C₁₅-acetylenic tri-*n*-butylphosphonium salt.

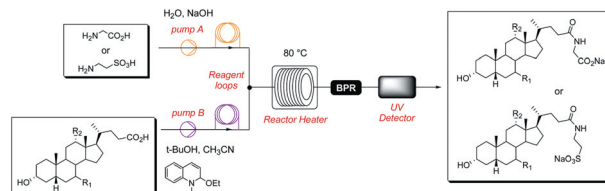


4109

Continuous flow synthesis and scale-up of glycine- and taurine-conjugated bile salts

Francesco Venturoni, Antimo Gioiello,* Roccaldo Sardella, Benedetto Natalini and Roberto Pellicciari

Bile acids meet flow chemistry: *N*-acyl amidation reaction with glycine and taurine.

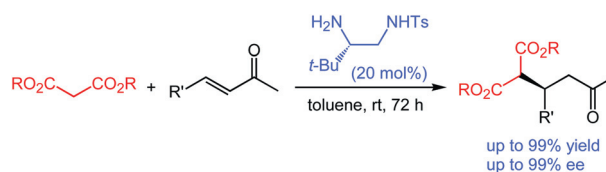


4116

Simple chiral sulfonamide primary amine catalysed highly enantioselective Michael addition of malonates to enones

Chunhua Luo, Yu Jin and Da-Ming Du*

A simple chiral sulfonamide primary amine-organocatalysed highly enantioselective Michael addition of malonates to enones afforded the corresponding products in excellent yields (up to 99%) and excellent enantioselectivity (up to 99% ee).

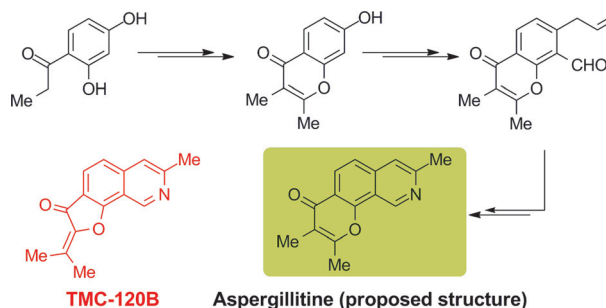


4124

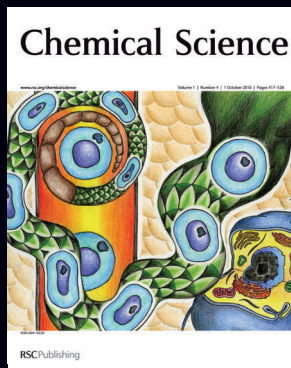
Synthesis of the unique angular tricyclic chromone structure proposed for aspergillitine, and its relationship with alkaloid TMC-120B

Sebastián O. Simonetti, Enrique L. Larghi, Andrea B. J. Bracca and Teodoro S. Kaufman*

The synthesis of the structure proposed for Aspergillitine indicates that the isoquinoline alkaloid obtained from *Aspergillus versicolor*, isolated from *Xestospongia exigua*, should be reassigned to alkaloid TMC-120B.



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