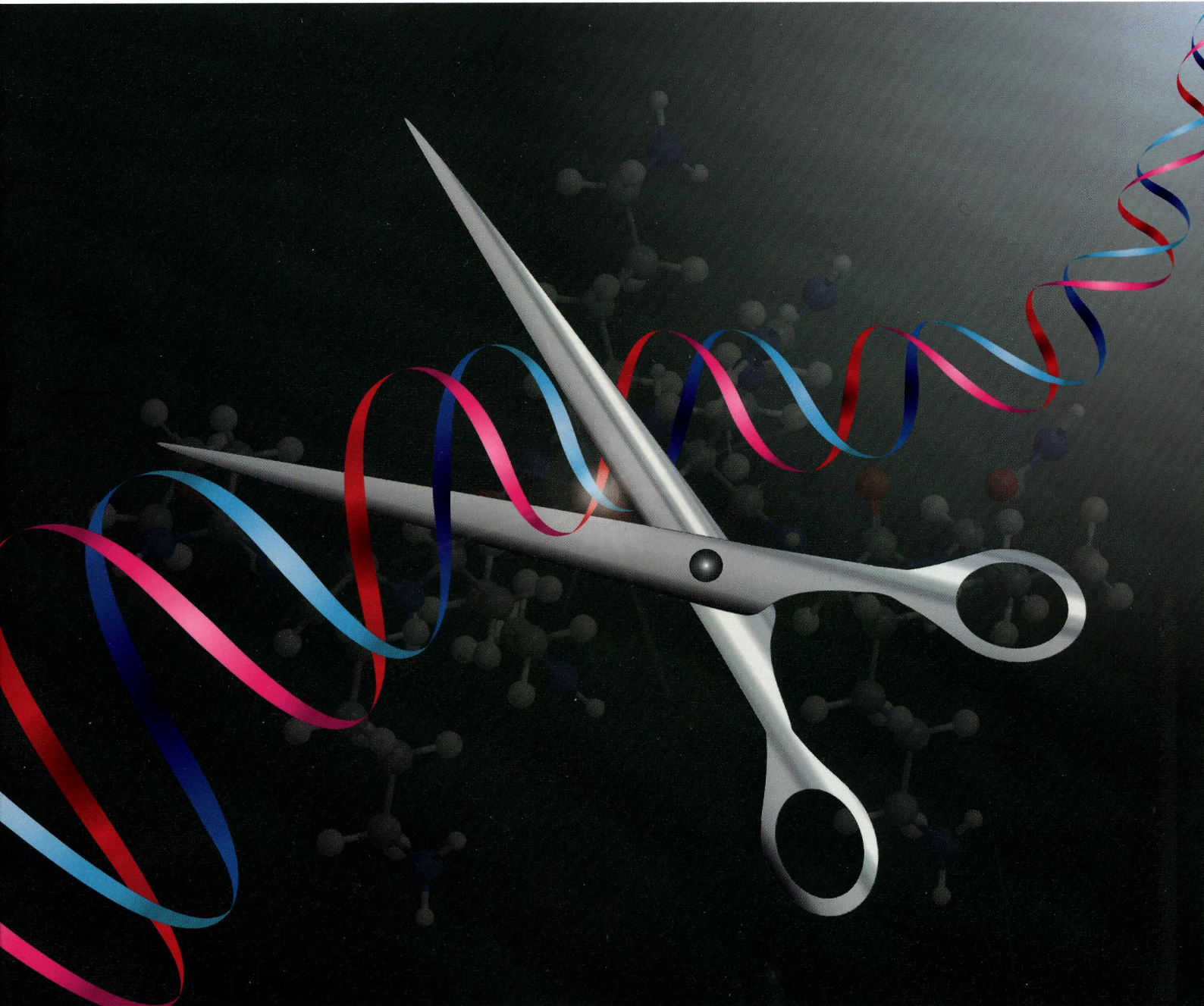


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PAPER

Makoto Komiyama *et al.*

PNA–NLS conjugates as single-molecular activators of target sites in double-stranded DNA for site-selective scission



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Organic & Biomolecular Chemistry

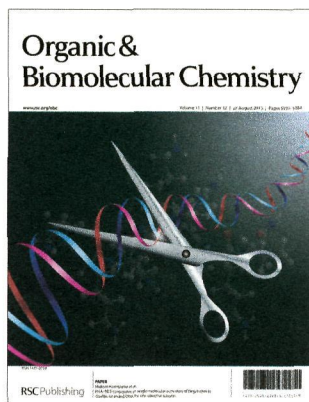
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IN THIS ISSUE

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Cover

See Makoto Komiyama *et al.*, pp. 5233–5238.

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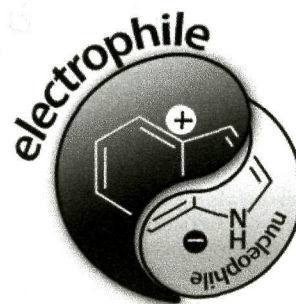
PERSPECTIVE

5206

Electrophilicity: the “dark-side” of indole chemistry

Marco Bandini*

Indole is by far one of the most popular heterocyclic scaffolds in nature.



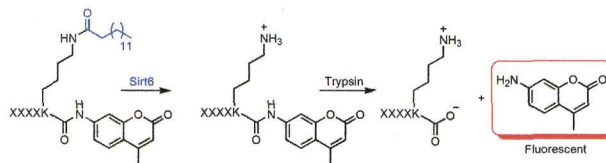
COMMUNICATIONS

5213

A fluorogenic assay for screening Sirt6 modulators

Jing Hu, Bin He, Shiva Bhargava and Hening Lin*

A fluorogenic high-throughput assay suitable for screening Sirt6 modulators is developed based on the recently discovered efficient activity of Sirt6.



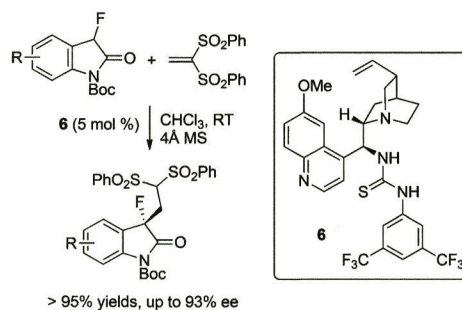
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Российской академии наук (ЦНБ УРО РАН)

5217

Enantioselective conjugate addition of 3-fluoro-oxindoles to vinyl sulfone: an organocatalytic access to chiral 3-fluoro-3-substituted oxindoles

Xiaowei Dou and Yixin Lu*

An organocatalytic conjugate addition of prochiral 3-fluorinated oxindoles to vinyl sulfones was described for the first time.

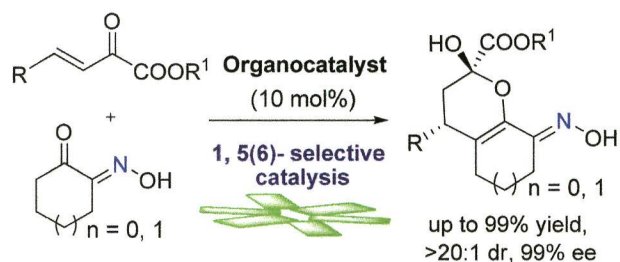


5222

Catalytic highly asymmetric 1,5(6)-selective cyclization reaction of α -hydroxyimino cyclic ketones: direct approach to ring-fused hydroxyimino dihydropyrans

Luping Liu, Dekui Zhang, Panpan Zhang, Xianxing Jiang* and Rui Wang*

Herein, we have disclosed a catalytic asymmetric 1,5(6)-selective Michael/cyclization reaction of α -hydroxyimino cyclic ketones with γ,β -unsaturated α -keto esters to access synthetic useful ring-fused dihydropyrans.



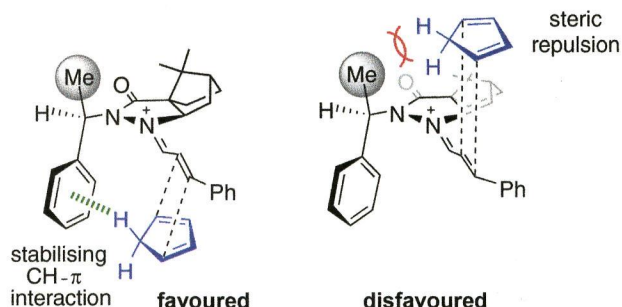
PAPERS

5226

Aromatic interactions in asymmetric catalysis: control of enantioselectivity in Diels–Alder reactions catalysed by camphor-derived hydrazides

Elizabeth H. Krenske*

Density functional theory calculations reveal that steric crowding and CH– π interactions combine to generate high facial selectivity in Diels–Alder reactions catalysed by chiral camphor-derived hydrazides.

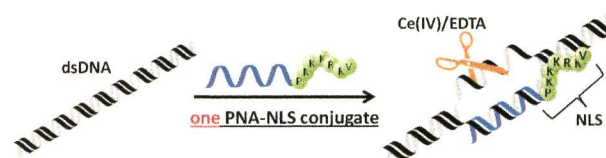


5233

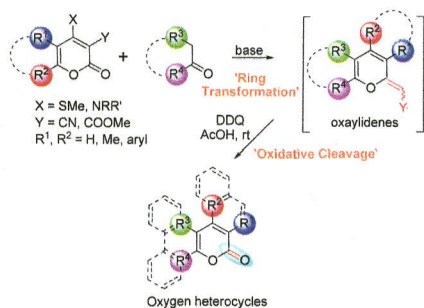
PNA–NLS conjugates as single-molecular activators of target sites in double-stranded DNA for site-selective scission

Yuichiro Aiba,* Yuya Hamano, Wataru Kameshima, Yasuyuki Araki, Takehiko Wada, Alessandro Accetta, Stefano Sforza, Roberto Corradini,* Rosangela Marchelli and Makoto Komiyama*

One strand of PNA–NLS (nuclear localization signal) conjugate activated the target site in double-stranded DNA and promoted the site-selective scission by Ce(IV)–EDTA.



5239

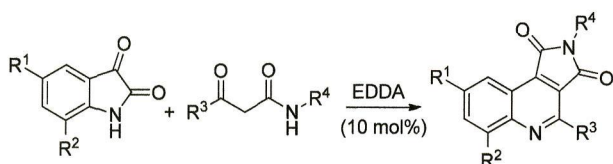


Diversity-oriented general protocol for the synthesis of privileged oxygen scaffolds: pyrones, coumarins, benzocoumarins and naphthocoumarins

Atul Goel,* Gaurav Taneja, Ashutosh Raghuvanshi, Ruchir Kant and Prakas R. Maulik

A new general methodology for the synthesis of various functionalized privileged oxygen heterocyclic compounds, viz. pyrones, coumarins, and benzannulated coumarins, is described.

5254

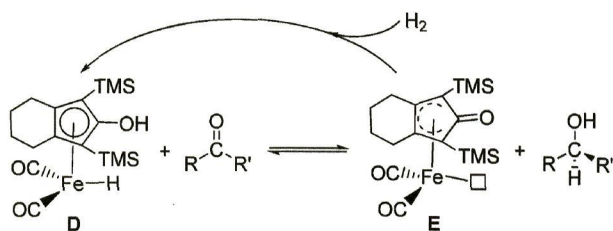


Efficient one-step synthesis of pyrrolo[3,4-c]-quinoline-1,3-dione derivatives by organocatalytic cascade reactions of isatins and β -ketoamides

Likai Xia and Yong Rok Lee*

An efficient one-step synthesis of a variety of pyrrolo[3,4-c]quinolinedione derivatives under mild conditions has been developed using the ethylenediamine diacetate (EDDA)-catalyzed cascade reactions of isatins and β -ketoamides.

5264

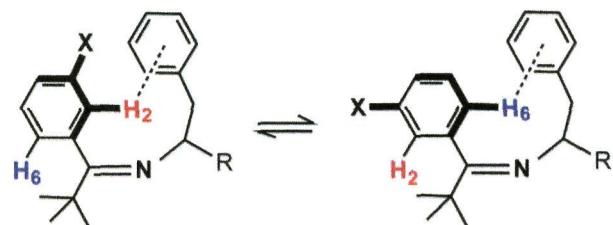


The mechanism for the hydrogenation of ketones catalyzed by Knölker's iron-catalyst

Xi Lu, Yawei Zhang, Peng Yun, Mingtao Zhang* and Tonglei Li

Knölker's iron-based catalysts have some value in "green" transformations given the relatively low toxicity of iron compared to more commonly used precious-metal catalysts.

5278



An evaluation of substituent effects on aromatic edge-to-face interactions and CF- π versus CH- π interactions using an imino torsion balance model

W. Brian Jennings,* Niamh O'Connell, John F. Malone* and Derek R. Boyd

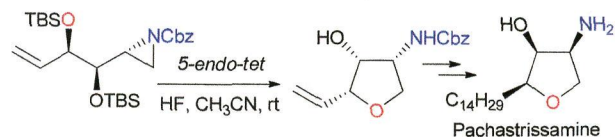
A simple model system with restricted aryl rotation enables a positional comparison of substituent effects on edge-to-face aromatic CH- π interactions and a competitive assessment of potential CF- π versus CH- π interactions.

5292

Formation of tetrahydrofurans via a 5-endo-tet cyclization of aziridines – synthesis of (–)-pachastrissamine

Chen-Wei Lin, Sin-Wei Liu and Duen-Ren Hou*

A 5-endo-tet cyclization/ring opening of aziridine gives THF.

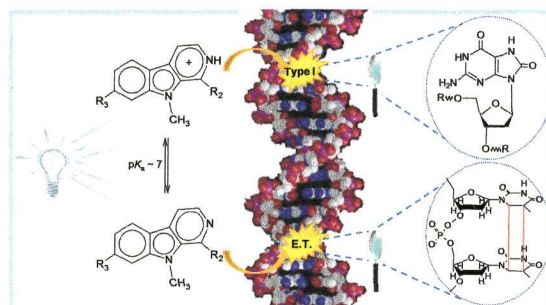


5300

Mechanisms of DNA damage by photoexcited 9-methyl- β -carbolines

Mariana Vignoni, Federico A. O. Rasse-Suriani, Kathrin Butzbach, Rosa Erra-Balsells, Bernd Epe* and Franco M. Cabrerizo*

The type of DNA damage induced by 9-methyl- β -carbolines as photosensitizers is modulated by the protonation of their excited states.

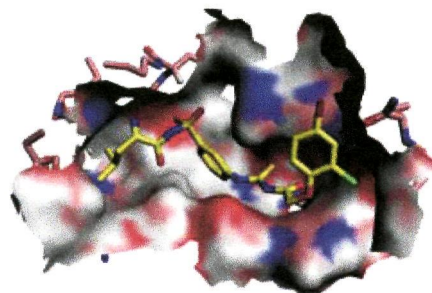


5310

Discovery of *N*-(4-sulfamoylphenyl)thioureas as *Trypanosoma brucei* leucyl-tRNA synthetase inhibitors

Fenglong Zhang, Jin Du, Qing Wang, Qinghua Hu, Jiong Zhang, Dazhong Ding, Yaxue Zhao, Fei Yang, Enduo Wang and Huchen Zhou*

We report the discovery of *N*-(4-sulfamoylphenyl)thioureas as a new class of *T. brucei* LeuRS inhibitors.

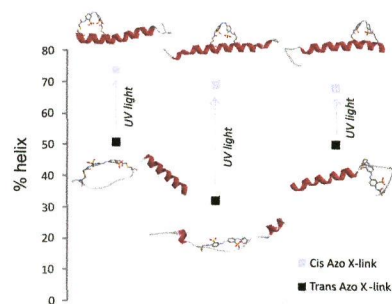


5325

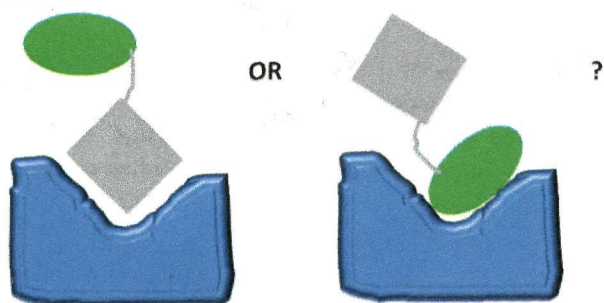
The effect of azobenzene cross-linker position on the degree of helical peptide photo-control

Ahmed M. Ali and G. Andrew Woolley*

Photo-control of helix content is maximized when a photoisomerizable cross-linker are introduced into a region of high intrinsic helical propensity.



5332

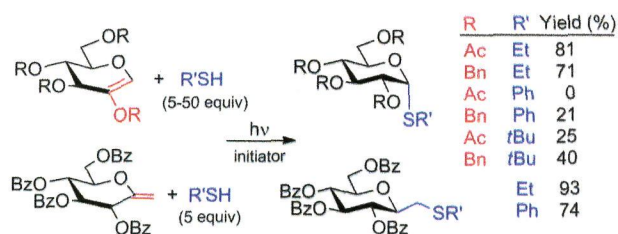


Exploring NMR methods as a tool to select suitable fluorescent nucleotide analogues

Patrick Groves,* Agnieszka Strzelecka-Kiliszek, Anna Sekrecka-Belniak, Angeles Canales, Jesús Jiménez-Barbero, Joanna Bandorowicz-Pikula, Sławomir Pikula and F. Javier Cañada

NMR methods can determine if fluorescent ligands bind like the native ligand (left) or aberrantly through the tag (right).

5339

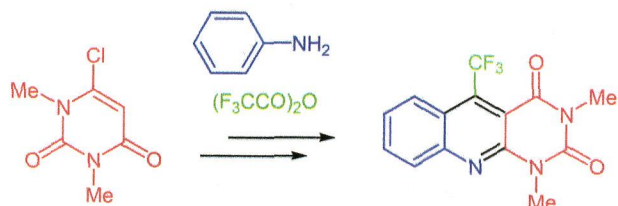


Systematic study on free radical hydrothiolation of unsaturated monosaccharide derivatives with exo- and endocyclic double bonds

László Lázár, Magdolna Csávás, Ádám Hadházi, Mihály Herczeg, Marietta Tóth, László Somsák, Terézia Barna, Pál Herczegh and Anikó Borbás*

More examples of the reaction of various glycals and enoses including Neu5Ac-2-ene with various thiols.

5351

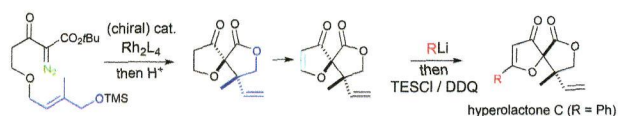


Synthesis and reactivity of 5-polyfluoroalkyl-5-deazaalloxazines

Sergii Dudkin, Viktor O. Iaroshenko,* Vyacheslav Ya. Sosnovskikh, Andrey A. Tolmachev, Alexander Villinger and Peter Langer*

Perfluorinated 5-deazaalloxazines were prepared from 6-chloro-1,3-dialkyluracils in three steps.

5362



An approach to hyperlactone C and analogues using late stage conjugate addition on an oxonium ylide-derived spirofuranone

David M. Hodgson,* Elena Moreno-Clavijo, Sophie E. Day and Stanislav Man

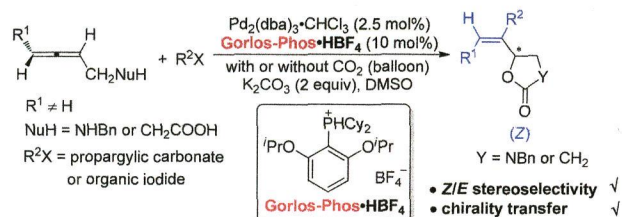
A concise stereocontrolled synthesis of a spirofuranone using oxonium ylide formation–[2,3] sigmatropic rearrangement provides a platform for remarkably selective addition of organolithiums, allowing access to the anti-HIV agent hyperlactone C and analogues.

5370

Gorlos-phos: addressing the stereoselectivity in palladium-catalyzed *exo*-mode cyclization of allenes with a nucleophilic functionality

Juntao Ye, Suhua Li and Shengming Ma*

A novel catalyst system has been indentified for addressing the long-standing issue of *Z/E* stereoselectivity in palladium-catalyzed *exo*-mode cyclization reactions of allenes bearing a nucleophilic functionality with organic halides or their equivalents.



5374

Enantioselective cycloaddition of carbonyl ylides with arylallenes using Rh₂(*S*-TCPTTL)₄

Janagiraman Krishnamurthi, Hisanori Nambu, Koji Takeda, Masahiro Anada, Akihito Yamano and Shunichi Hashimoto*

Rh₂(*S*-TCPTTL)₄ is an exceptionally effective catalyst for asymmetric carbonyl ylide cycloaddition with arylallenes to give 8-oxabicyclo[3.2.1]octanes with up to 99% ee and perfect *exo* diastereoselectivity.

