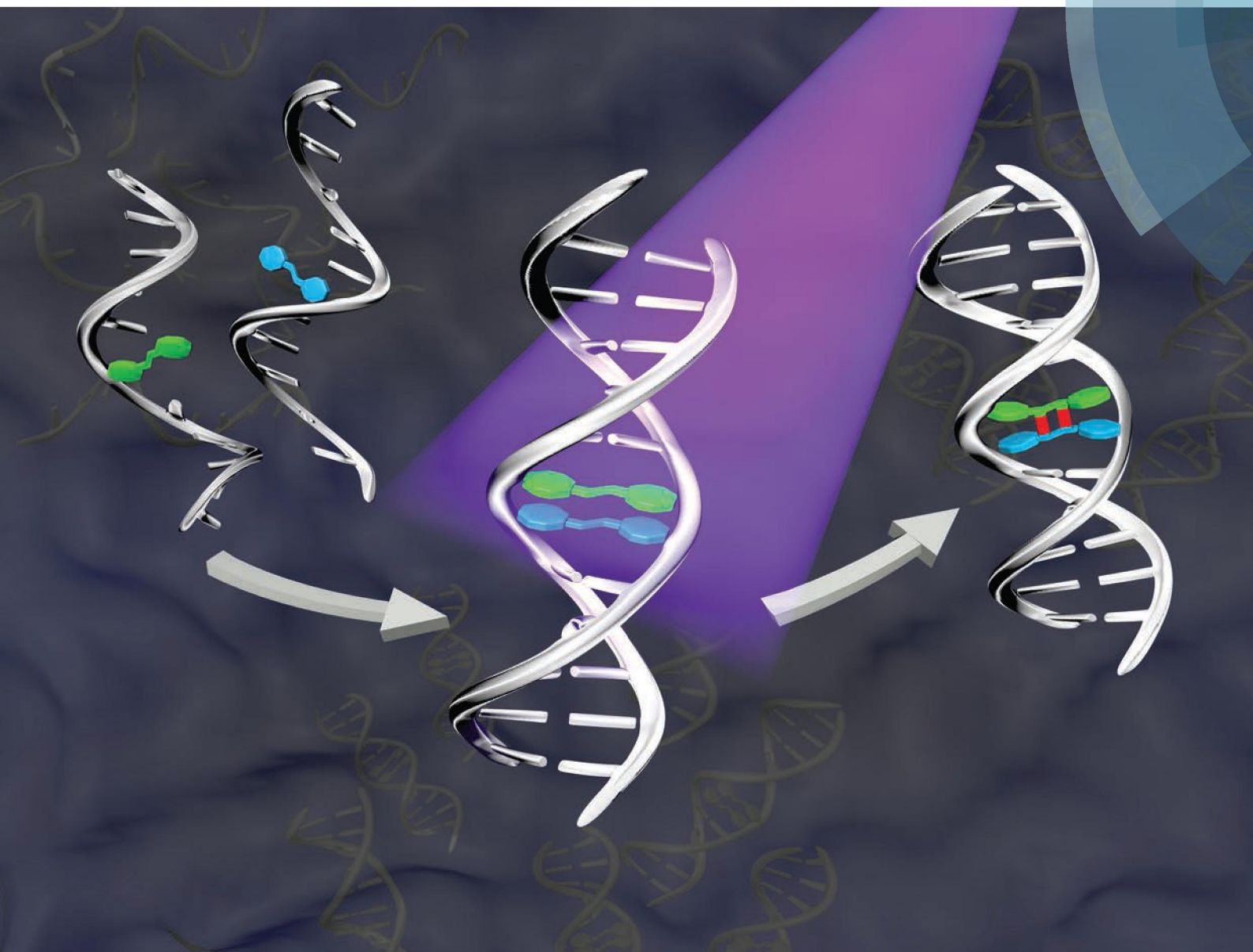


Organic & Biomolecular Chemistry

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PAPER

Hiromu Kashida, Hiroyuki Asanuma *et al.*
Efficiency of [2 + 2] photodimerization of various stilbene derivatives
within the DNA duplex scaffold

Organic & Biomolecular Chemistry

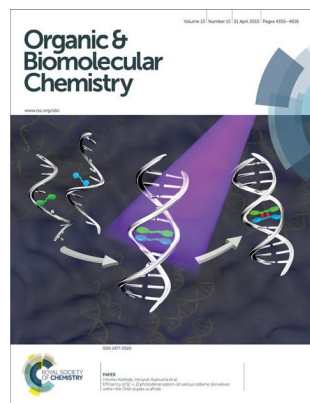
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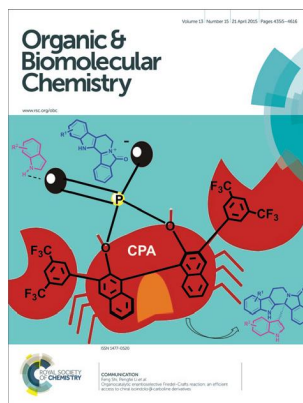
ISSN 1477-0520 CODEN OBCRAK 13(15) 4355–4616 (2015)



Cover

See Hiromu Kashida, Hiroyuki Asanuma *et al.*, pp. 4430–4437.

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Inside cover

See Feng Shi, Pengfei Li *et al.*, pp. 4395–4398.

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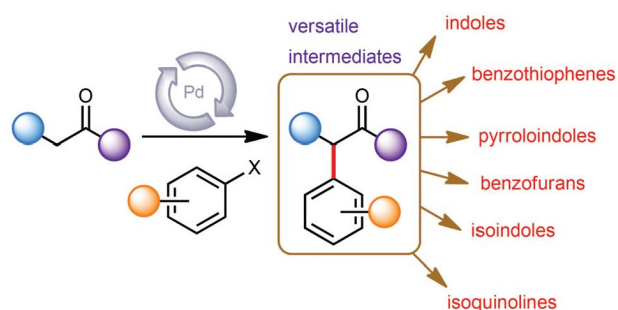
PERSPECTIVE

4367

Palladium-catalyzed α -arylation of carbonyls in the *de novo* synthesis of aromatic heterocycles

Harish K. Potukuchi, Anatol P. Spork and Timothy J. Donohoe*

The enolate cross coupling reaction is a highly efficient method for the *de novo* synthesis of aromatic rings.



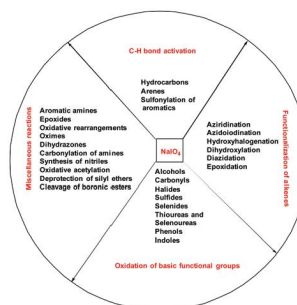
REVIEW

4374

Sodium periodate mediated oxidative transformations in organic synthesis

Arumugam Sudalai,* Alexander Khenkin and Ronny Neumann

The investigation of new oxidative transformations for the synthesis of carbon–heteroatom and heteroatom–heteroatom bonds is of fundamental importance in the synthesis of numerous bioactive molecules and fine chemicals.



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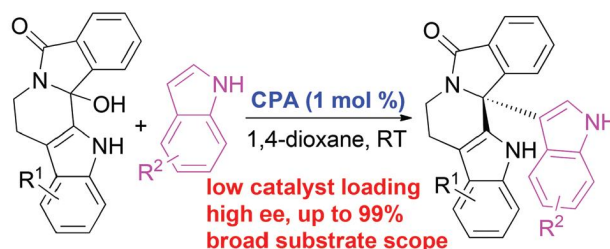
COMMUNICATIONS

4395

Organocatalytic enantioselective Friedel–Crafts reaction: an efficient access to chiral isoindolo- β -carboline derivatives

Fang Fang, Genghong Hua, Feng Shi* and Pengfei Li*

An organocatalytic asymmetric Friedel–Crafts reaction between indole and indole-derived hydroxylactams has been realized to furnish isoindolo- β -carboline in good to excellent yields (up to >99%) and generally high enantioselectivities (up to >99% ee).

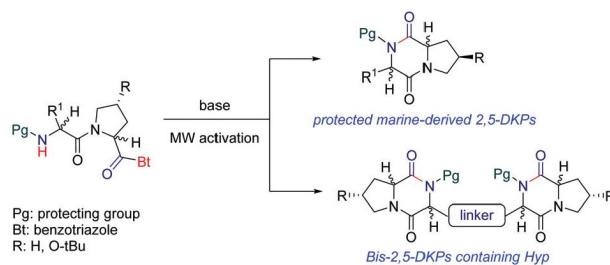


4399

A benzotriazole-mediated route to protected marine-derived hetero-2,5-diketopiperazines containing proline

Olivier Nsengiyumva, Sadra Hamedzadeh, James McDaniel, Jocelyn Macho, Grant Simpson, Siva S. Panda, Khanh Ha, Iryna Lebedyeva, Hassan M. Faidallah, Manal Metgen AL-Mohammadi, C. Dennis Hall* and Alan R. Katritzky

Dipeptidoyl benzotriazolides containing proline were cyclized by triethylamine into 2,5-diketopiperazines.

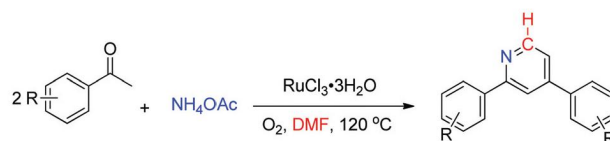


4404

Synthesis of 2,4-diarylsubstituted-pyridines through a Ru-catalyzed four component reaction

Yang Bai, Lichang Tang, Huawen Huang and Guo-Jun Deng*

2,4-Diarylsubstituted pyridines were prepared from acetophenones, ammonium acetate and DMF under an oxygen atmosphere.

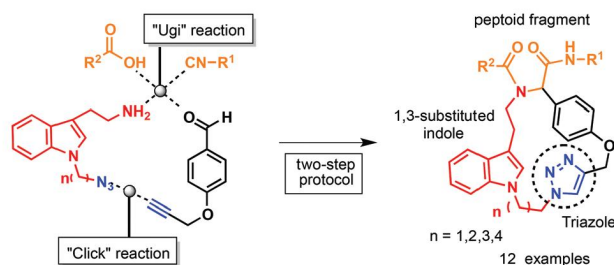


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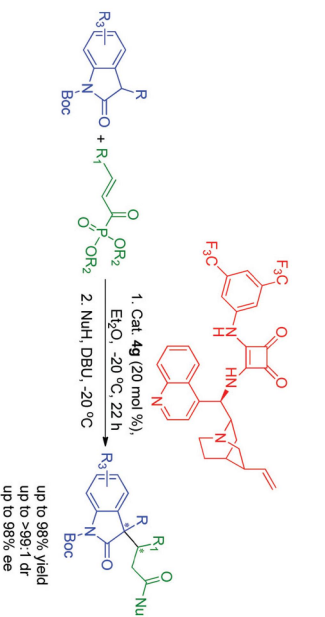
Synthesis of novel tryptamine-based macrocycles using an Ugi 4-CR/microwave assisted click-cycloaddition reaction protocol

Lizbeth Chavez-Acevedo and Luis D. Miranda*

A practical synthesis of novel tryptamine-based macrocycles using an Ugi 4-CR/click-cycloaddition sequential reaction protocol is described.



4413

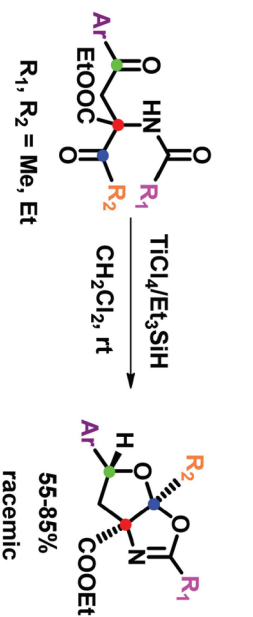


Organocatalytic asymmetric Michael addition of 3-substituted oxindoles to α,β -unsaturated acyl phosphonates for the synthesis of 3,3'-disubstituted oxindoles with chiral squaramides

Lin Chen, Yong You, Ming-Liang Zhang, Jian-qiang Zhao, Jian Zuo, Xiao-Mei Zhang, Wei-Cheng Yuan* and Xiao-Ying Xu*

The first Michael addition of 3-monosubstituted oxindoles to α,β -unsaturated acyl phosphonates is investigated to give 3,3'-disubstituted oxindoles with excellent results.

4418

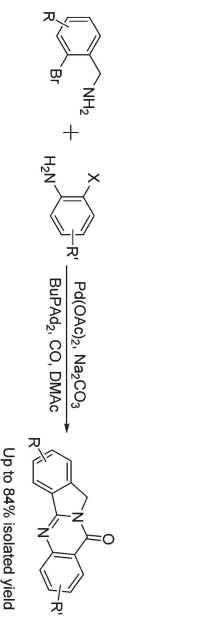


$\text{Ti}(\text{IV})$ -catalyzed cascade synthesis of tetrahydrofuro[3,2-*d*]oxazole from arene-1,4-diones

Gang Li, Li Li, Hailong Huang* and Dali Yin*

The tandem ionic hydrogenation, ketalization, and intramolecular cyclization of arene-1,4-diones with a combination of $\text{TiCl}_4/\text{Et}_3\text{SiH}$ give facile access to tetrahydrofuro[3,2-*d*]oxazole derivatives in good yields at room temperature.

4422

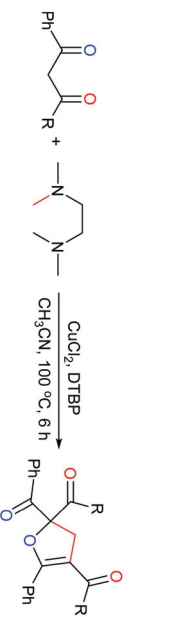


Palladium-catalyzed dicarbonylative synthesis of tetracyclic quinazolinones

Chaoren Shen, Nikki Y. T. Man, Scott Stewart and Xiao-Feng Wu*

An interesting palladium-catalyzed carbonylative procedure for the synthesis of isoindolo[1,2-*b*]quinazolin-10(12*H*)-ones from commercially available 2-bromoanilines and 2-bromobenzyl amines has been developed. The desired products were isolated in good yields.

4426



Copper-mediated tandem reaction of β -ketoesters/ketones with tertiary amines for the synthesis of 2,3-dihydrofurans

Shengmei Guo, Lin Lu, Jiuhan Gong, Zheng Zhu, Feng Xu, Zhenhong Wei and Hu Cai*

A novel synthetic method of 2,3-dihydrofuran using β -ketoester and TMEDA as starting materials has been developed.

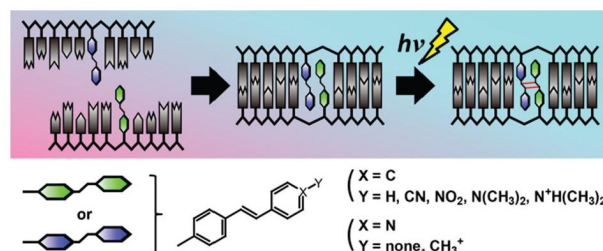
PAPERS

4430

Efficiency of [2 + 2] photodimerization of various stilbene derivatives within the DNA duplex scaffold

Tetsuya Doi, Hiromu Kashida* and Hiroyuki Asanuma*

[2 + 2] photodimerization of various stilbene derivatives successfully proceeded within the DNA duplex scaffold.

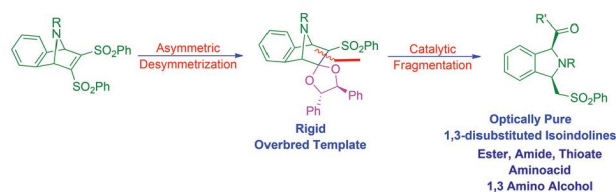


4438

Efficient access to enantiopure 1,3-disubstituted isoindolines from selective catalytic fragmentation of an original desymmetrized rigid overbred template

Ganesh Pandey,* Rajesh Varkhedkar and Divya Tiwari

Enantiopure 1,3-disubstituted isoindolines are synthesized from selective C–C bond fragmentation of a rigid overbred template, obtained through an asymmetric desymmetrization reaction.



4449

N-Branched acyclic nucleoside phosphonates as monomers for the synthesis of modified oligonucleotides

Dana Hocková,* Šárka Rosenbergová, Petra Ménová, Ondřej Páv, Radek Pohl, Pavel Novák and Ivan Rosenberg*

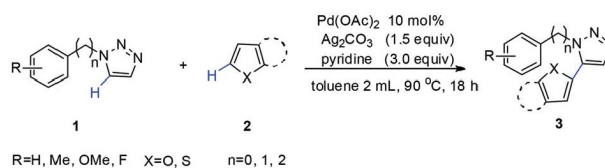
The solid phase synthesis of nonamers containing a highly flexible acyclic central unit was performed and their hybridization properties were evaluated.



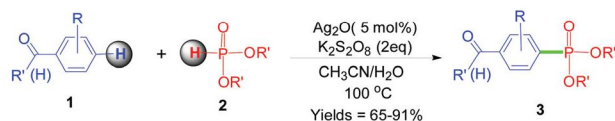
4459

Palladium-catalyzed oxidative C–H/C–H cross-coupling of 1-substituted 1,2,3-triazoles with furans and thiophenes

Xin Yu, ZhenDong Huang, Wei Liu, SuPing Shi and ChunXiang Kuang*

Palladium-catalyzed heteroarylation of 1-substituted 1,2,3-triazoles with furans and thiophenes has been developed in the presence of pyridine and Ag_2CO_3 .

4466

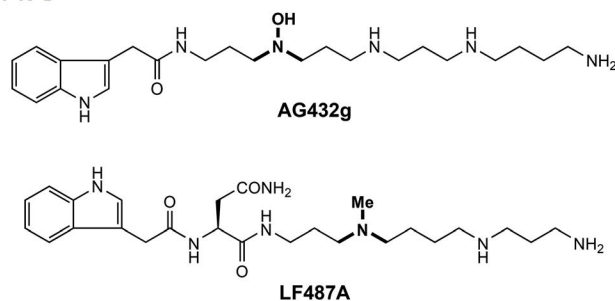


A dehydrogenative cross-coupling reaction between aromatic aldehydes or ketones and dialkyl H-phosphonates for formyl or acylphenylphosphonates

Xing-Fen Huang, Qing-Lai Wu, Jian-Shi He and Zhi-Zhen Huang*

A novel DCC reaction between aromatic aldehydes or ketones and H-phosphonates has been developed for the synthesis of *p*-formyl or *p*-acylphenylphosphonates.

4473

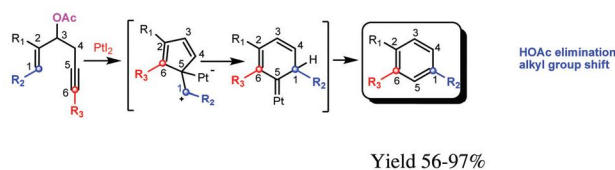


Regioselective solid-phase synthesis of *N*-mono-hydroxylated and *N*-mono-methylated acylpolyamine spider toxins using an 2-(*ortho*-nitrophenyl)ethanal-modified resin

Denise Pauli and Stefan Bienz*

Spider toxins such as **AG432g** and **LF487A** were divergently prepared by SPS and used for correlation with the natural products.

4486

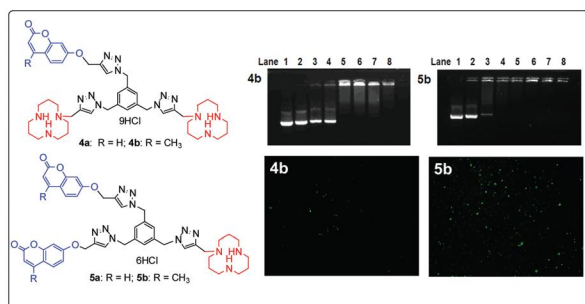


PtI₂-catalyzed cyclization of 3-acyloxy-1,5-enynes with the elimination of HOAc and a benzyl shift: synthesis of unsymmetrical *m*-terphenyls

Kaimeng Huang, Xiaona Ke, Hongkai Wang, Junying Wang, Chenchen Zhou, Xiufang Xu,* Lingyan Liu* and Jing Li*

A new cyclization of 1,5-enyne was developed to synthesize the *m*-terphenyls *via* the elimination of HOAc and a benzyl shift.

4494



Synthesis of bifunctional molecules containing [12]aneN₃ and coumarin moieties as effective DNA condensation agents and new non-viral gene vectors

Pan Yue, Ying Zhang, Zhi-Fo Guo, Ao-Cheng Cao, Zhong-Lin Lu* and Yong-Gong Zhai*

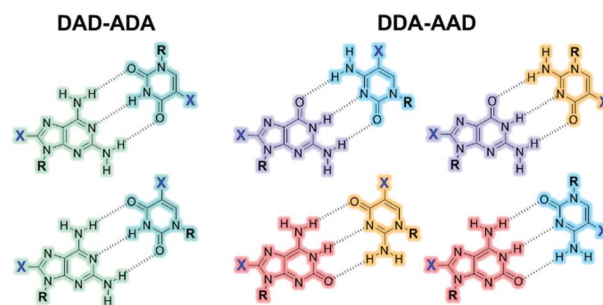
Bifunctional molecules with different combinations of [12]aneN₃ and coumarin moieties were successfully applied in DNA condensation and gene transfection.

4506

Synthesis and complementary self-association of novel lipophilic π -conjugated nucleoside oligomers

J. Camacho-García, C. Montoro-García, A. M. López-Pérez, N. Bilbao, S. Romero-Pérez and D. González-Rodríguez*

A series of lipophilic nucleosides comprising natural and non-natural bases that are π -conjugated to a short oligophenylene–ethynylene fragment has been synthesized and their respective association constants measured.

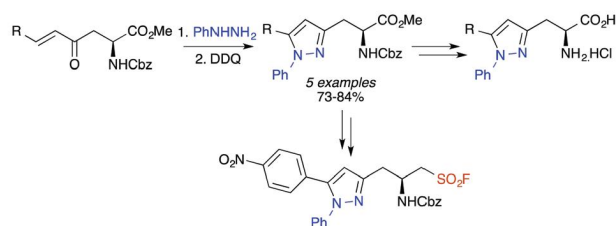


4514

Synthesis of pyrazole containing α -amino acids via a highly regioselective condensation/aza-Michael reaction of β -aryl α,β -unsaturated ketones

Lynne Gilfillan, Raik Artschwager, Alexander H. Harkiss, Rob M. J. Liskamp and Andrew Sutherland*

A new class of α -amino acid incorporating 5-aryl pyrazole units have been prepared using a highly regioselective condensation/aza-Michael reaction of β -aryl α,β -unsaturated ketones.

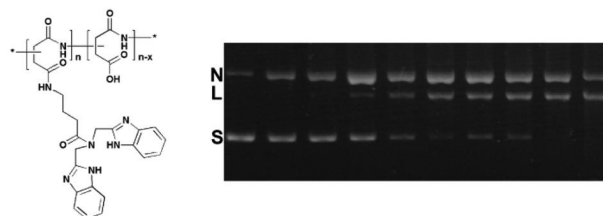


4524

An IDB-containing low molecular weight short peptide as an efficient DNA cleavage reagent

Chunying Ma, Huan Chen, Chao Li,* Jin Zhang and Renzhong Qiao*

We present poly(aspartic acid) grafting bis-amine conjugates as artificial nucleases, which can effectively induce double-strand DNA cleavage.

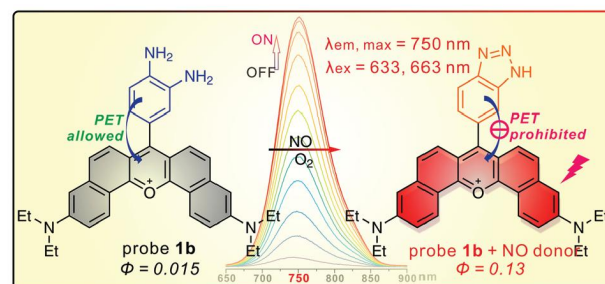


4532

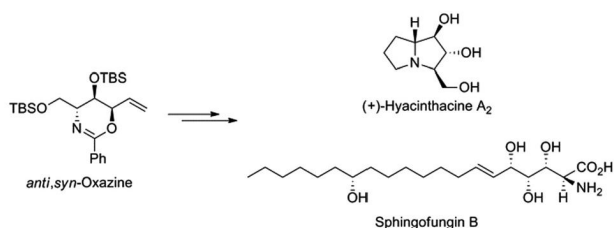
Near-infrared emission of dibenzoxanthenium and its application in the design of nitric oxide probes

Wu Liu, Chen Fan, Ru Sun,* Yu-Jie Xu and Jian-Feng Ge*

The application of a diaminodibenzoxanthenium skeleton in chemosensors was reported, and it can work with near-infrared excitation and near-infrared emission.



4539

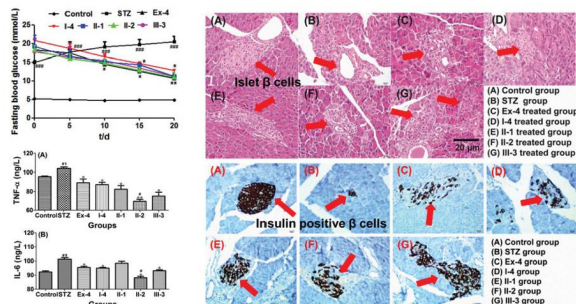


Chirality extension of an oxazine building block en route to total syntheses of (+)-hyacinthacine A₂ and sphingofungin B

Seok-Hwi Park, Xiangdan Jin, Jong-Cheol Kang, Changyoung Jung, Seong-Soo Kim, Sung-Soo Kim, Kee-Young Lee and Won-Hun Ham*

Concise total syntheses of (+)-hyacinthacine A₂ and sphingofungin B were achieved by palladium(0)-catalyzed intramolecular oxazine formation and diastereoselective nucleophilic additions.

4551

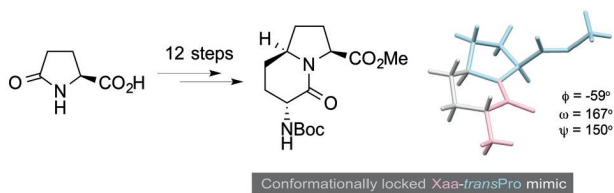


Design, synthesis and biological evaluation of novel peptide MC2 analogues from *Momordica charantia* as potential anti-diabetic agents

Baowei Yang, Xue Li, Chenyu Zhang, Sijia Yan, Wei Wei, Xuekun Wang, Xin Deng, Hai Qian, Haiyan Lin* and Wenlong Huang*

The structure–activity relationship of peptide MC2 resulted in the development of compound III-3, which exhibited potent anti-hyperglycaemic and anti-oxidative effects.

4562

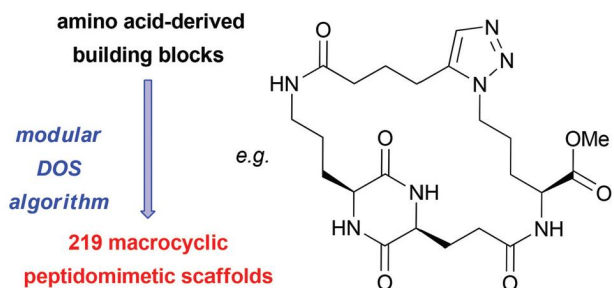


Synthesis and evaluation of a (3*R*,6*S*,9*S*)-2-oxo-1-azabicyclo[4.3.0]nonane scaffold as a mimic of Xaa-trans-Pro in poly-L-proline type II helix conformation

Boris Aillard, Jeremy D. Kilburn, Jeremy P. Blaydes, Graham J. Tizzard, Stuart Findlow, Jörn M. Werner and Sally Bloodworth*

Stereoselective synthesis of a (3*R*,6*S*,9*S*)-2-oxo-1-azabicyclo[4.3.0]nonane mimic of Xaa-trans-Pro in poly-L-proline type II helix conformation is reported.

4570



A diversity-oriented synthesis strategy enabling the combinatorial-type variation of macrocyclic peptidomimetic scaffolds

Albert Isidro-Llobet, Kathy Hadje Georgiou, Warren R. J. D. Galloway, Elisa Giacomini, Mette R. Hansen, Gabriela Méndez-Abt, Yaw Sing Tan, Laura Carro, Hannah F. Sore and David R. Spring*

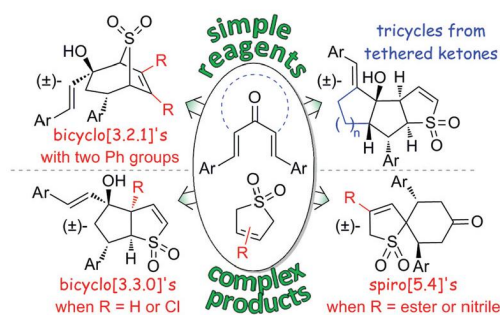
Macrocyclic peptidomimetics are associated with a broad range of biological activities.

4581

Diastereoselective tandem reactions of substituted 3-sulfolenes with bis-vinyl ketones leading to highly functionalized bicyclic and tricyclic frameworks

Michael G. Brant, Jordan N. Friedmann, Connor G. Bohlken, Allen G. Oliver and Jeremy E. Wulff*

Addition of substituted butadiene sulfones to substituted bis-vinyl ketones permits selective access to a broad range of structural types.

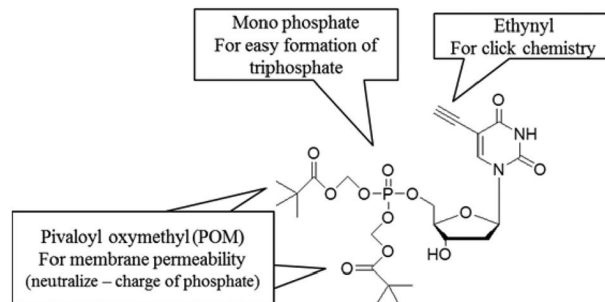


4589

Phosphorylated 5-ethynyl-2'-deoxyuridine for advanced DNA labeling

Siyoong Seo, Kazumitsu Onizuka, Chieko Nishioka, Eiki Takahashi, Satoshi Tsuneda, Hiroshi Abe* and Yoshihiro Ito*

The representative DNA-labeling agent 5-ethynyl-2'-deoxyuridine (EdU) was chemically modified to improve its function. Monophosphorylation was to enhance its efficiency and bis-pivaloyloxymethyl protecting group was for membrane permeability.



4596

Oxidative cross-coupling of pyridine *N*-oxides and ethers between C(sp²)-H/C(sp³)-H bonds under transition-metal-free conditions

Wei Sun, Zuguang Xie, Jie Liu* and Lei Wang*

An oxidative cross-coupling of pyridine *N*-oxides and ethers between C(sp²)-H/C(sp³)-H bonds under transition metal free conditions was developed.

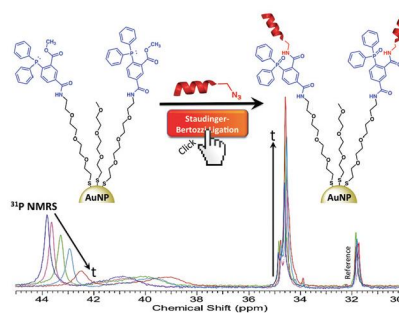


4605

Small gold nanoparticles for interfacial Staudinger–Bertozzi ligation

Pierangelo Gobbo,* Wilson Luo, Sung Ju Cho, Xiaoxiao Wang, Mark C. Biesinger, Robert H. E. Hudson and Mark S. Workentin*

Small gold nanoparticles (AuNPs) that possess interfacial methyl-2-(diphenylphosphino)benzoate moieties have been successfully synthesized (Staudinger–AuNPs), characterized and their interfacial reactivity was investigated.



CORRECTIONS

4613

Correction: Light-driven conformational regulation of human telomeric G-quadruplex DNA in physiological conditions

Xiwen Xing, Xiaolin Wang, Liang Xu, Yang Tai, Luyang Dai, Xiaolong Zheng, Wuxiang Mao, Xiaowei Xu and Xiang Zhou*

4614

Correction: Tuning temperature responsive poly(2-alkyl-2-oxazoline)s by supramolecular host–guest interactions

Victor R. de la Rosa, Werner M. Nau and Richard Hoogenboom*