

# Organic & Biomolecular Chemistry

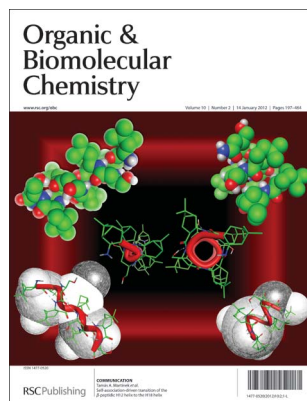
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## IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 10(2) 197–464 (2012)



### Cover

See Tamás A. Martinek *et al.*, pp. 255–259.

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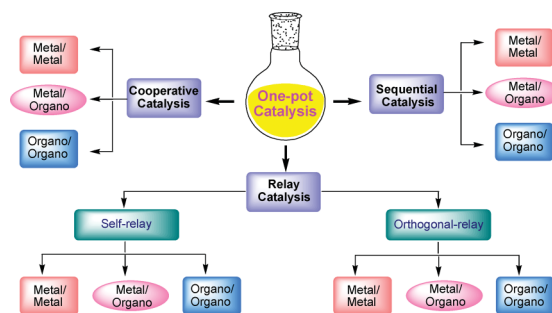
## EMERGING AREA

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### A one-pot catalysis: the strategic classification with some recent examples

Nitin T. Patil,\* Valmik S. Shinde and Balakrishna Gajula

In this “Emerging Area”, the strategic classification of one-pot catalysis, *i.e.* cooperative, relay and sequential catalysis, is described based on recent examples which utilize either metal-metal, metal-organo and organo-organo catalysts.



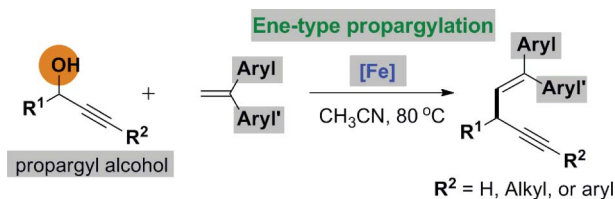
## COMMUNICATIONS

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### Iron-catalyzed ene-type propargylation of diarylethylenes with propargyl alcohols

Shiyong Peng, Lei Wang and Jian Wang\*

We disclose an unprecedented  $\text{FeCl}_3$  catalyzed ene-type reaction to furnish a diarylalkenyl propargylic complex framework in moderate to high chemical yields (up to 98%).



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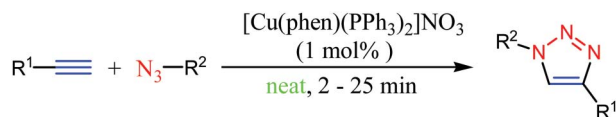
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**Quick and highly efficient copper-catalyzed cycloaddition of organic azides with terminal alkynes**

Dong Wang, Mingming Zhao, Xiang Liu, Yongxin Chen, Na Li and Baohua Chen\*

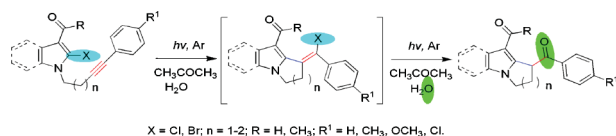
A highly efficient catalyst  $[\text{Cu}(\text{phen})(\text{PPh}_3)_2]\text{NO}_3$  for the Huisgen cycloaddition reaction has been developed.

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**Photoinduced chlorine atom-transfer cyclization/ photohydrolysis of 3-acyl-2-chloro-*N*-( $\omega$ -phenylalkynyl)pyrroles: a one-pot synthesis of benzoyl-substituted fused pyrroles**

Shen-Ci Lu, Wei-Xia Wang, Pan-Liang Gao, Wei Zhang\* and Zhi-Feng Tu

A one-pot synthesis of benzoyl-substituted fused pyrroles and indoles has been achieved by photoinduced halogen atom-transfer cyclization and subsequent photohydrolysis.

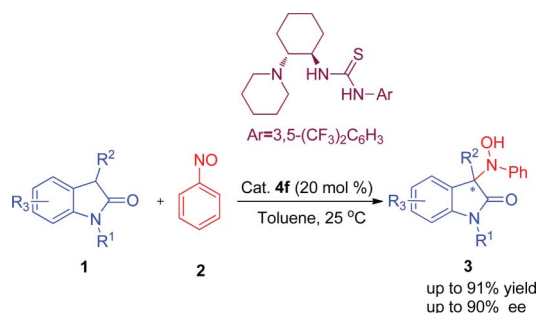


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**Asymmetric hydroxyamination of oxindoles catalyzed by chiral bifunctional tertiary amine thiourea: construction of 3-amino-2-oxindoles with quaternary stereocenters**

Li-Na Jia, Jun Huang, Lin Peng, Liang-Liang Wang, Jian-Fei Bai, Fang Tian, Guang-Yun He, Xiao-Ying Xu\* and Li-Xin Wang\*

Chiral bifunctional tertiary amine thiourea was successfully applied to catalyze the asymmetric hydroxyamination of 3-substituted oxindoles with nitrosobenzene to construct 3-amino-2-oxindoles in good yields (up to 91%) and enantioselectivities (up to 90% ee).

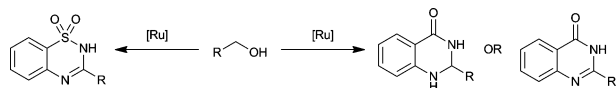


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**Ruthenium-catalysed oxidative synthesis of heterocycles from alcohols**

Andrew J. A. Watson,\* Aoife C. Maxwell and Jonathan M. J. Williams

Ruthenium-catalysed hydrogen transfer has been successfully used for the conversion of alcohols into either 2,3-dihydroquinazolines or quinazolines.

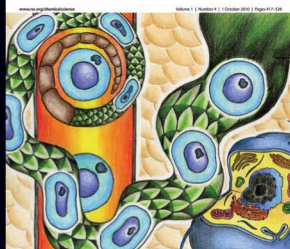




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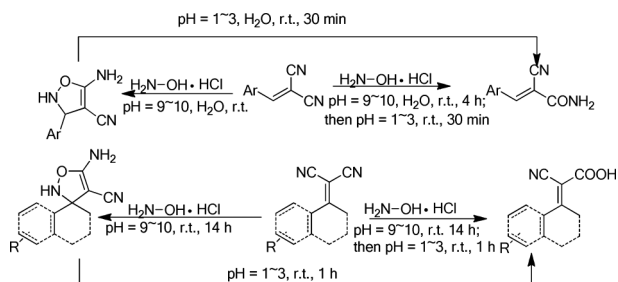
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### Synthesis of functionalized 2,3-dihydroisoxazoles by domino reactions in water and unexpected ring-opening reactions of 2,3-dihydroisoxazoles

Ping Li, Bo-Tao Teng, Fa-Gen Jin, Xin-Sheng Li, Wei-Dong Zhu and Jian-Wu Xie\*

A new, mild, and environmentally benign process for the efficient synthesis of 2,3-dihydroisoxazoles, 2-cyano-3-aryl-acrylamides, and 2-cyanobut-2-enoic acid derivatives has been reported.

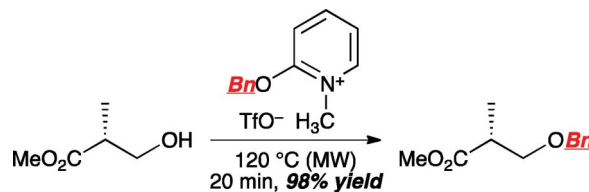


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### Microwave-assisted benzyl-transfer reactions of commercially available 2-benzyloxy-1-methylpyridinium triflate

Teng-wei Wang, Tanit Intaranukulkit, Michael R. Rosana, Rimantas Slegers, Janet Simon and Gregory B. Dudley\*

MW heating should be considered for benzylation of high-value substrates using the title reagent.



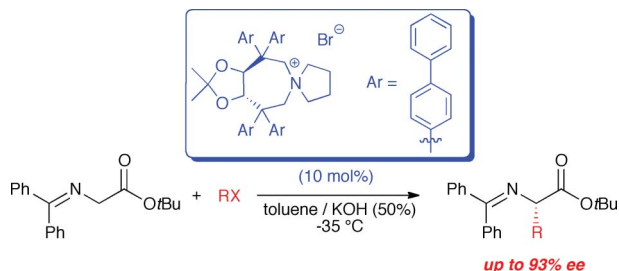
benzylation of 1°, 2°, 3° alcohol, phenol, carboxylic acid, and electron-rich arene substrates; isolated yields from 48% (3° ROH) to >90% (1° ROH and carboxylic acid)

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### Design, synthesis, and application of tartaric acid derived *N*-spiro quaternary ammonium salts as chiral phase-transfer catalysts

Mario Waser,\* Katharina Gratzler, Richard Herchl and Norbert Müller

A novel class of tartaric acid-derived *N*-spiro quaternary ammonium salts was synthesised starting from known TADDOLs. These compounds were found to catalyse the asymmetric  $\alpha$ -alkylation of glycine Schiff bases with high enantioselectivities and in good yields.

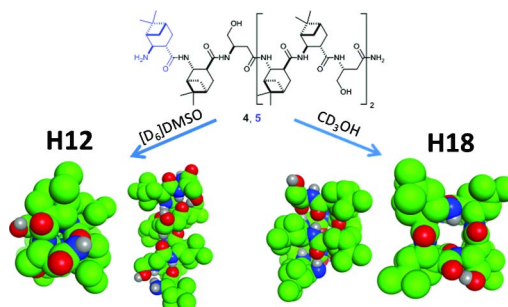


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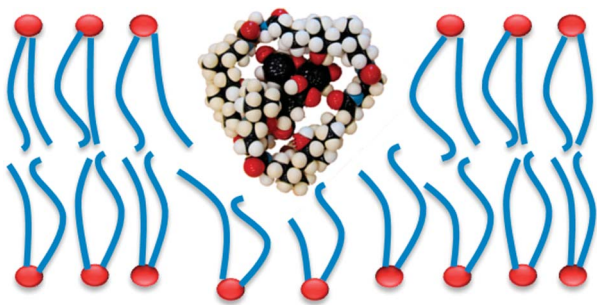
### Self-association-driven transition of the $\beta$ -peptidic H12 helix to the H18 helix

Éva Szolnoki, Anasztázia Hetényi, Tamás A. Martinek,\* Zsolt Szakonyi and Ferenc Fülöp

Foldamer sequences constructed by using *trans*-ABHC and  $\beta^3$ -hSer residues produce  $\beta$ -H18 helix in a solvent- and concentration-dependent way.



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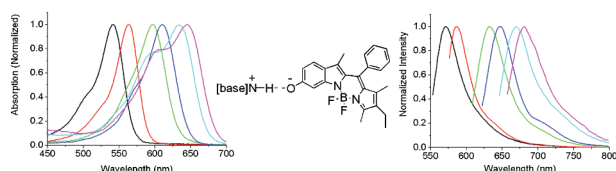


### Flexible oligocholate foldamers as membrane transporters and their guest-dependent transport mechanism

Shiyong Zhang and Yan Zhao\*

Flexible oligocholate foldamers transport carboxyfluorescein and glucose across lipid membranes in distinctively different mechanisms.

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### 6-Hydroxyindole-based borondipyrromethene: Synthesis and spectroscopic studies

Chunchang Zhao,\* Peng Feng, Jian Cao, Yulin Zhang, Xuzhe Wang, Yang Yang, Yanfen Zhang and Jinxin Zhang

Control of phenol/phenolate interconversion of a 6-hydroxyindole-based BODIPY achieved tunable light and Stokes shift characteristics. Interconversion depended on environmental effects, such as solvent polarity and basicity of organic bases.

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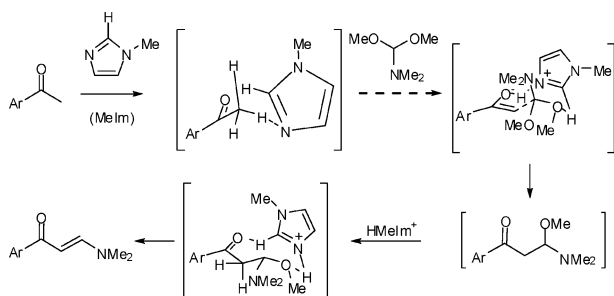


### Site-specific protein double labeling by expressed protein ligation: applications to repeat proteins

Lucia De Rosa, Aitziber L. Cortajarena, Alessandra Romanelli, Lynne Regan and Luca Domenico D'Andrea\*

A synthetic strategy based on expressed protein ligation was developed to site-specific label proteins with two different molecular probes.

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### Lack of correlation between catalytic efficiency and basicity of amines during the reaction of aryl methyl ketones with DMF-DMA: an unprecedented supramolecular domino catalysis

Anirban Sarkar, Sudipta Raha Roy, Dinesh Kumar, Chetna Madaan, Santosh Rudrawar and Asit K. Chakraborti\*

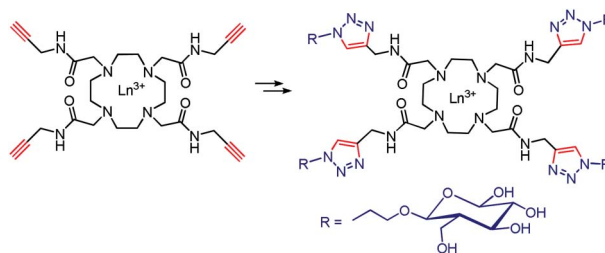
Supramolecular domino catalysis rationalises the lack of correlation between catalytic efficiency and basicity of amines during the reaction of aryl methyl ketones with DMF-DMA.

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### ParaCEST MRI contrast agents capable of derivatization via “click” chemistry

Mark Milne, Kirby Chicas, Alex Li, Robert Bartha and Robert H. E. Hudson\*

The synthesis and evaluation of a series of tetra alkyne DOTAM-based lanthanide MRI agents is reported. Subsequent derivatization by click chemistry using a glucosyl azide gave carbohydrate-decorated agents with interesting relaxivity and CEST responses.

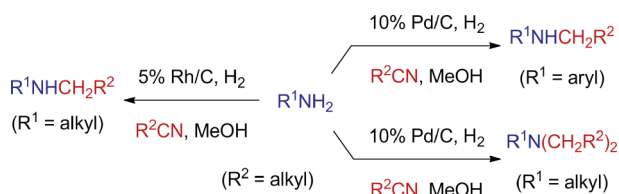


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### Selective *N*-alkylation of amines using nitriles under hydrogenation conditions: facile synthesis of secondary and tertiary amines

Takashi Ikawa, Yuki Fujita, Tomoteru Mizusaki, Sae Betsuin, Haruki Takamatsu, Tomohiro Maegawa, Yasunari Monguchi and Hironao Sajiki\*

In the presence of nitriles, amines were selectively alkylated under Pd/C- or Rh/C-catalyzed hydrogenation conditions.

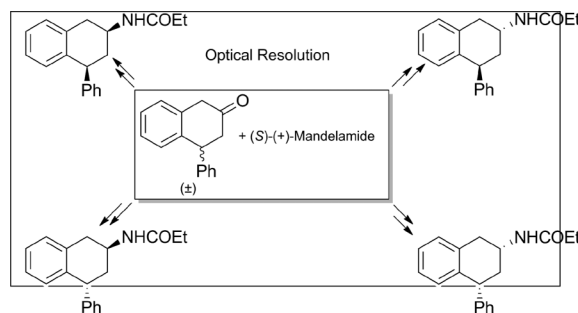


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### Synthesis and configuration determination of all enantiopure stereoisomers of the melatonin receptor ligand 4-phenyl-2-propionamidotetralin using an expedient optical resolution of 4-phenyl-2-tetralone

Simone Lucarini, Silvia Bartolucci, Annalida Bedini, Giuseppe Gatti, Pierfrancesco Orlando, Giovanni Piersanti and Gilberto Spadoni\*

Optical resolution of 4-phenyl-2-tetralone by (*S*)-mandelamide and NMR studies allowed the synthesis and the configuration determination of all enantiopure stereoisomers of 4-P-PDOT.

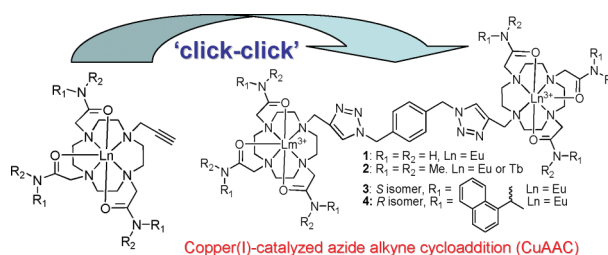


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### Synthesis of luminescent homo-dinuclear cationic lanthanide cyclen complexes bearing amide pendant arms through the use of copper catalysed (1,3-Huisgen, CuAAC) click chemistry

Jennifer K. Molloy, Oxana Kotova, Robert D. Peacock and Thorfinnur Gunnlaugsson\*

The synthesis, characterisation and photophysical evaluation of new di-nuclear Eu(III) and Tb(III) lanthanide complexes is described, formed by using copper catalysed 1,3-cycloaddition reactions.



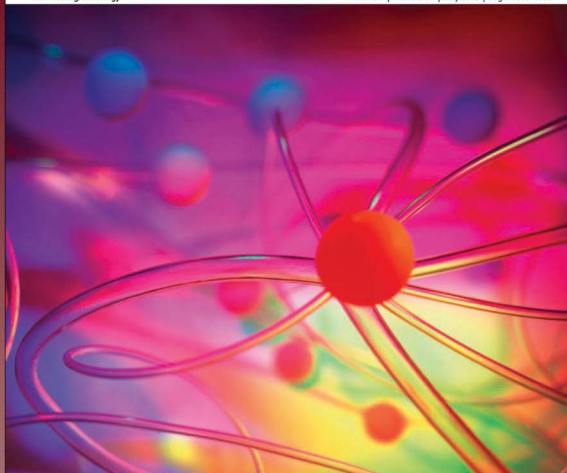


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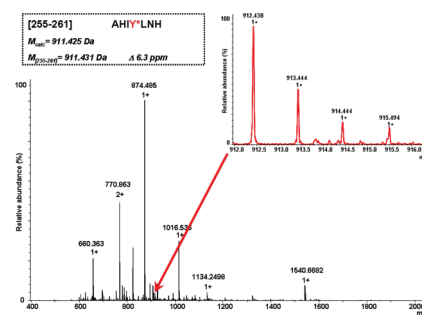


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**Tyrosine nitration affects thymidylate synthase properties**

E. Dąbrowska-Maś, T. Frączyk, T. Ruman, K. Radziszewska, P. Wilk, J. Cieśla, Z. Zieliński, A. Jurkiewicz, B. Gołos, P. Wińska, E. Wałajtys-Rode, A. Leś, J. Nizioł, A. Jarmuła, P. Stefanowicz, Z. Szewczuk and W. Rode\*

Purified endogenous calf thymus and L1210 thymidylate synthase proteins were found nitrated on tyrosine residues. Chemical nitration of human, mouse and *C. elegans* recombinant enzyme proteins distinctly affected catalytic potency.

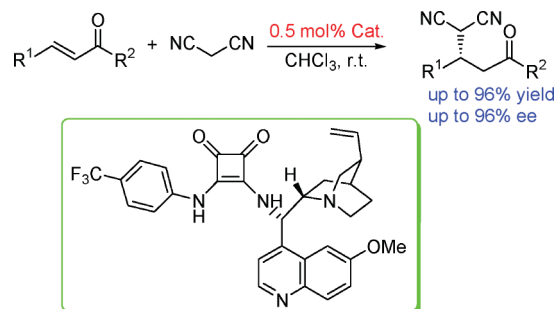


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**Squaramide-catalyzed enantioselective Michael addition of malononitrile to chalcones**

Wen Yang, Yang Jia and Da-Ming Du\*

A highly enantioselective Michael addition of malononitrile to chalcones catalyzed by a chiral squaramide catalyst at a very low catalyst loading (0.5 mol%) led to chiral  $\gamma$ -cyano carbonyl compounds in good yields with high enantioselectivities (up to 96% ee) under mild reaction conditions.

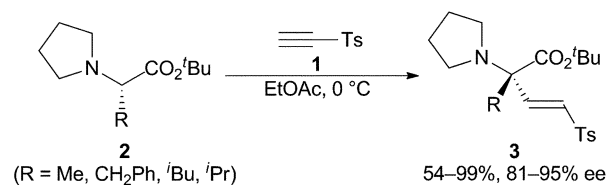


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**Asymmetric  $\alpha$ -2-tosylethylenylation of *N,N*-dialkyl-L-amino acid esters *via* the formation of non-racemic ammonium enolates**

Eiji Tayama,\* Tomohito Igarashi, Hajime Iwamoto and Eietsu Hasegawa

Asymmetric  $\alpha$ -2-tosylethylenylation of **2** with **1** was shown to produce good yields with high enantioselectivities. The reaction proceeds *via* the formation of a non-racemic ammonium enolate without an external source of chirality.



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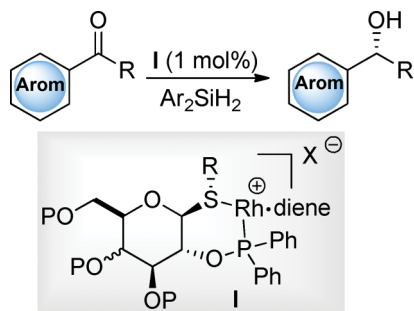
**Acidic-functionalized ionic liquid as an efficient, green and reusable catalyst for hetero-Michael addition of nitrogen, sulfur and oxygen nucleophiles to  $\alpha,\beta$ -unsaturated ketones**

Feng Han, Lei Yang,\* Zhen Li and Chungu Xia\*

An efficient, green and reusable acidic-functionalized ionic liquid catalyst was used for hetero-Michael addition to construct C–X bonds.



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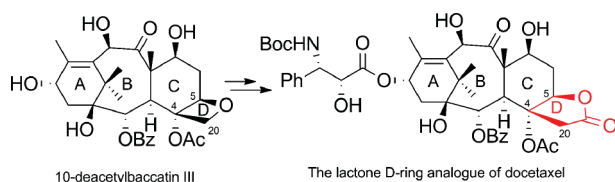


### P/S ligands derived from carbohydrates in Rh-catalyzed hydrosilylation of ketones

Noureddine Khiar,\* Manuel Pernía Leal, Raquel Navas, Juan Francisco Moya, María Victoria García Pérez and Inmaculada Fernández\*

Diastereomerically pure cationic Rh(I)-complexes derived from phosphinite thioglycosides are highly efficient catalysts in the asymmetric hydrosilylation of aromatic prochiral ketones.

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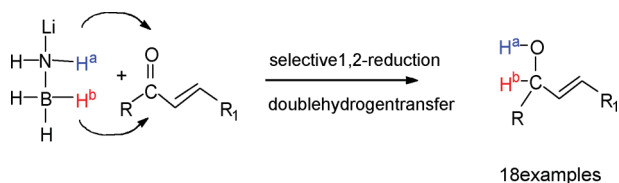


### A novel D-ring modified taxoid: synthesis and biological evaluation of a $\gamma$ -lactone analogue of docetaxel

Feng Gao, Zhan-Kun Yang, Qiao-Hong Chen, Xiao-Guang Chen and Feng-Peng Wang\*

The synthesis of a novel D-ring modified docetaxel analogue, in which the oxetane ring is replaced with a  $\gamma$ -lactone, was achieved from 10-deacetylbaccatin III. Key steps of the synthesis include direct acetylation of the secondary hydroxyl group at C-5 and D-ring opening and intramolecular aldol reaction to form the  $\gamma$ -lactone.

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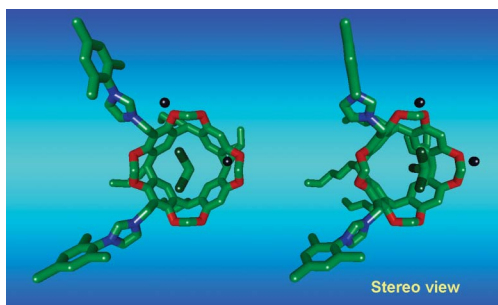


### Lithium amidoborane, a highly chemoselective reagent for the reduction of $\alpha,\beta$ -unsaturated ketones to allylic alcohols

Weiliang Xu, Yonggui Zhou, Ruimin Wang, Guotao Wu and Ping Chen\*

LiAB selectively reduces  $\alpha,\beta$ -unsaturated ketones to allylic alcohols *via* a double hydrogen transfer process.

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### Resorcin[4]arene-derived mono-, bis- and tetra-imidazolium salts as ligand precursors for Suzuki–Miyaura cross-coupling

Hani El Moll, David Sémeril,\* Dominique Matt,\* Loïc Toupet and Jean-Jacques Harrowfield

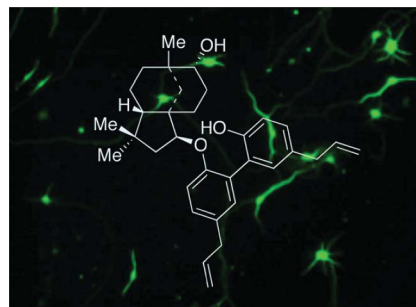
Resorcinarene-cavitands substituted by imidazolium units were synthesised and used for the first time in Suzuki–Miyaura cross coupling. The highest activities were observed with a resorcinarene having two (1-imidazolium)-methyl groups grafted on two proximal positions.

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### Neuronal growth promoting sesquiterpene–neolignans; syntheses and biological studies

Xu Cheng, Nicole Harzdorf, Zin Khaing, Danby Kang, Andrew M. Camelio, Travis Shaw, Christine E. Schmidt and Dionicio Siegel\*

We have developed separate short or modular syntheses of the natural products caryolanemagnolol and clovanemagnolol and have examined clovanemagnolol's ability to promote the growth of embryonic hippocampal and cortical neurons.

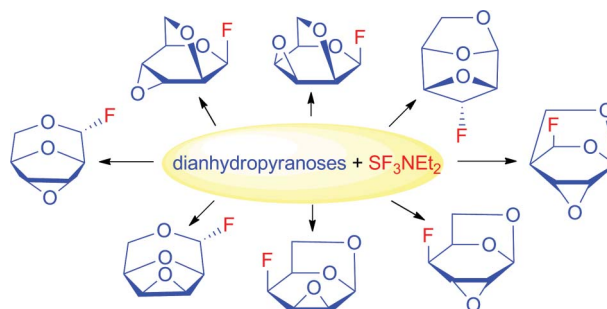


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### Skeletal rearrangements resulting from reactions of 1,6:2,3- and 1,6:3,4-dianhydro-β-D-hexopyranoses with diethylaminosulphur trifluoride

Jindřich Karban,\* Ivana Císařová, Tomáš Strašák, Lucie Červenková Štastná and Jan Sýkora

DAST fluorination of 1,6:2,3- and 1,6:3,4-dianhydrohexopyranoses gave a variety of fluorinated carbohydrates, most of them resulting from skeletal rearrangements.

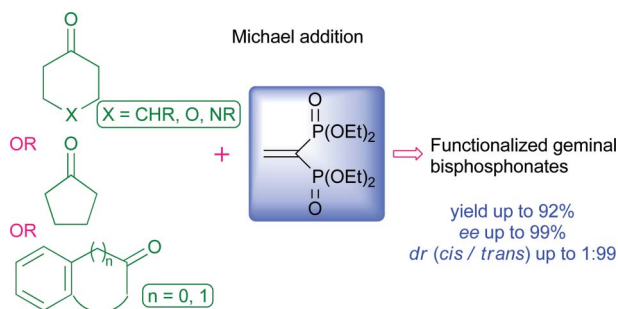


404

### Synthesis of geminal bisphosphonates via organocatalyzed enantioselective Michael additions of cyclic ketones and 4-piperidones

Ana Maria Fáisca Phillips\* and Maria Teresa Barros\*

A Michael addition reaction catalyzed by 10 mol% (*S*)-(+)-1-(2-pyrrolidinylmethyl)pyrrolidine and benzoic acid gives a diverse range of enantiomerically enriched geminal bisphosphonates with potential anti-inflammatory activity.

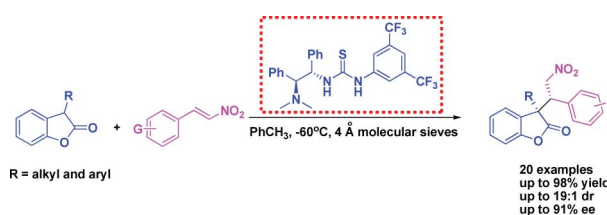


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### Asymmetric Michael addition reactions of 3-substituted benzofuran-2(3*H*)-ones to nitroolefins catalyzed by a bifunctional tertiary-amine thiourea

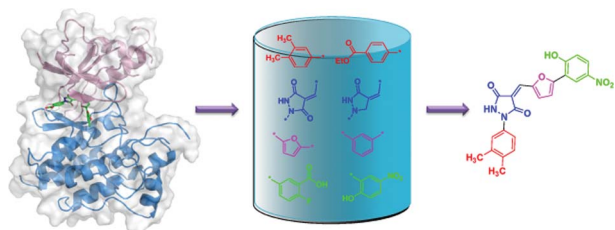
Xin Li,\* Xiao-Song Xue, Cong Liu, Bin Wang, Bo-Xuan Tan, Jia-Lu Jin, Yue-Yan Zhang, Nan Dong and Jin-Pei Cheng\*

An enantioselective Michael addition of 3-substituted benzofuran-2(3*H*)-ones and nitroolefins catalyzed by a bifunctional thiourea is reported.





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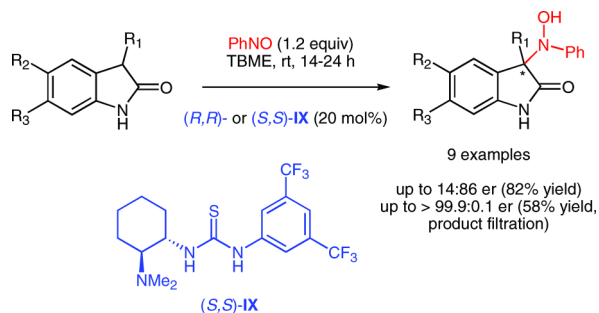


### Discovering potent inhibitors against c-Met kinase: molecular design, organic synthesis and bioassay

Zhongjie Liang, Xiao Ding, Jing Ai, Xiangqian Kong, Limin Chen, Liang Chen, Cheng Luo,\* Meiyu Geng,\* Hong Liu,\* Kaixian Chen and Hualiang Jiang

The receptor tyrosine kinase c-Met is an attractive target for therapeutic treatment of cancers.

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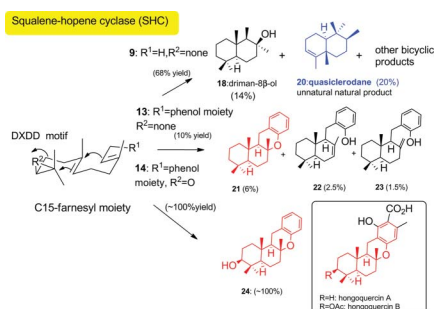


### Enantioselective organocatalytic oxyamination of unprotected 3-substituted oxindoles

Xavier Companyó, Guillem Valero, Oriol Pineda, Teresa Calvet, Mercè Font-Bardía, Albert Moyano\* and Ramon Rios\*

The enantioselective  $\alpha$ -oxyamination of 3-substituted oxindoles with nitrosobenzene catalyzed by amine–thiourea bifunctional organocatalysts affords 3-amino-2-oxindole derivatives in good yields and enantioselectivities.

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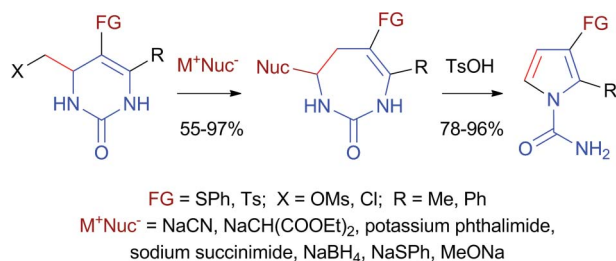


### Chemo-enzymatic syntheses of drimane-type sesquiterpenes and the fundamental core of hongoquercin meroterpenoid by recombinant squalene–hopene cyclase

Yukie Yonemura, Takuro Ohyama and Tsutomu Hoshino\*

A linear sesquiterpene, (6*E*,10*E*)-2,6,10-trimethyldodeca-2,6,10-triene, underwent cyclization catalyzed by squalene–hopene cyclase, affording six bicyclic sesquiterpenes (drimane skeleton) in relatively high yield (68%).

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### Synthesis of functionalized tetrahydro-1,3-diazepin-2-ones and 1-carbamoyl-1*H*-pyrroles via ring expansion and ring expansion/ring contraction of tetrahydropyrimidines

Anastasia A. Fesenko, Ludmila A. Trafimova and Anatoly D. Shutalev\*

Nucleophile-promoted ring expansion of 4-mesyloxymethyl- and 4-chloromethyl-1,2,3,4-tetrahydropyrimidin-2-ones gave functionalized 2,3,4,5-tetrahydro-1*H*-1,3-diazepin-2-ones which were converted into 3-substituted 1-carbamoyl-1*H*-pyrroles under acidic conditions.