

Organic & Biomolecular Chemistry

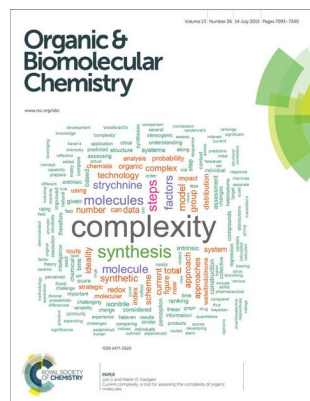
An international journal of synthetic, physical and biomolecular organic chemistry

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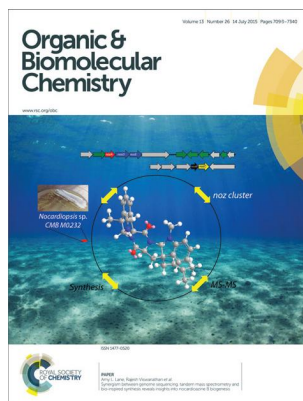
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Cover

See Jun Li and Martin D. Eastgate, pp. 7164–7176.

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Inside cover

See Amy L. Lane, Rajesh Viswanathan *et al.*, pp. 7177–7192.

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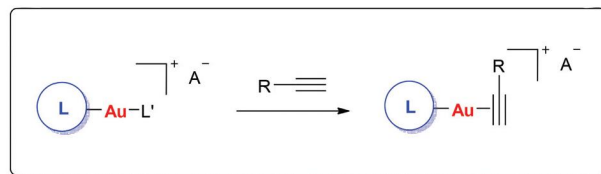
REVIEWS

7103

Anatomy of gold catalysts: facts and myths

Beatrice Ranieri, Imma Escofet and Antonio M. Echavarren*

This review article covers the main types of gold(I) complexes used as precatalysts under homogeneous conditions in organic synthesis and discusses the different ways of catalyst activation as well as ligand, silver, and anion effects.

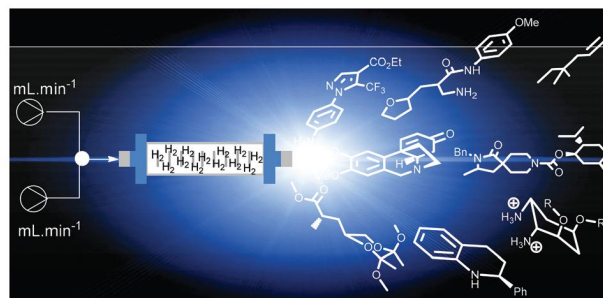


7119

The expanding utility of continuous flow hydrogenation

Peter J. Cossar, Lacey Hizartzidis, Michela I. Simone, Adam McCluskey* and Christopher P. Gordon*

There has been an increasing body of evidence that flow hydrogenation enhances reduction outcomes across a wide range of synthetic transformations.



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An international journal of synthetic, physical and biomolecular organic chemistry

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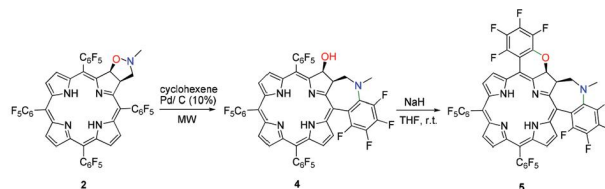
COMMUNICATIONS

7131

Isoxazolidine-fused *meso*-tetraarylchlorins as key tools for the synthesis of mono- and bis-annulated chlorins

António Aguiar, Andreia Leite, André M. N. Silva, Augusto C. Tomé, Luís Cunha-Silva, Baltazar de Castro, Maria Rangel and Ana M. G. Silva*

An efficient synthetic approach to prepare novel annulated chlorin derivatives, a *meso*-tetraarylchlorin bearing an azepine ring and another bearing simultaneously an azepine and a 2*H*-pyran ring, is described.

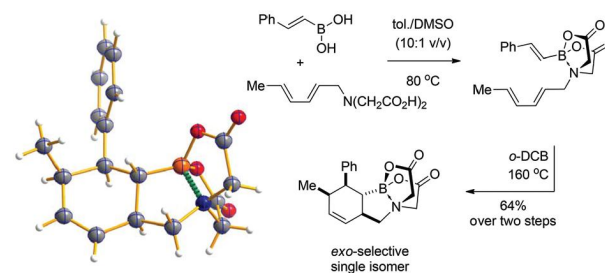


7136

N–B dative bond-induced [3.3.0] bicyclic boronate-tethered *exo*-selective intramolecular Diels–Alder reaction

Chao Feng, Hong Wang, Liang Xu and Pengfei Li*

We report herein a highly *exo*-selective intramolecular Diels–Alder reaction of alkenyl boronates which employs an N–B dative bond-involved bicyclic rigid tether.

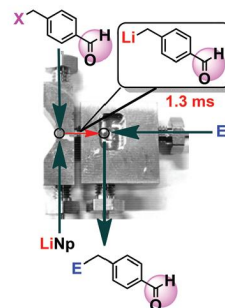


7140

Benzyllithiums bearing aldehyde carbonyl groups. A flash chemistry approach

Aiichiro Nagaki, Yuta Tsuchihashi, Suguru Haraki and Jun-ichi Yoshida*

Reductive lithiation of benzyl halides bearing aldehyde carbonyl groups followed by reaction with subsequently added electrophiles was successfully accomplished.

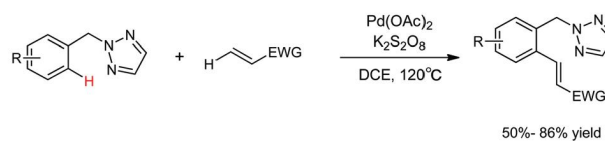


7146

Palladium-catalyzed *ortho*-C–H alkenylation of 2-benzyl-1,2,3-triazoles

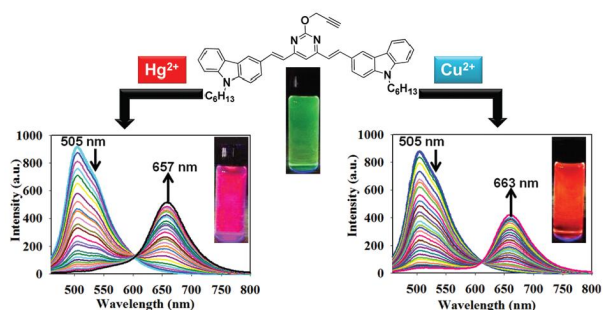
Ping He, Qingshan Tian and Chunxiang Kuang*

A mild and efficient method for the direct alkenylation of 2-benzyl-1,2,3-triazoles *via* Pd-catalyzed C–H bond activation was developed.



COMMUNICATIONS

7149

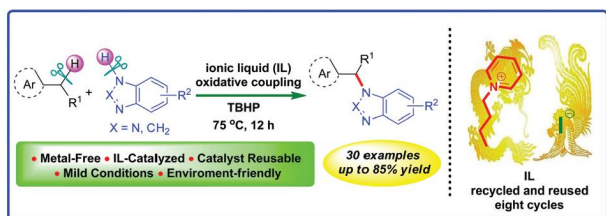


A bifunctional colorimetric fluorescent probe for Hg^{2+} and Cu^{2+} based on a carbazole–pyrimidine conjugate: chromogenic and fluorogenic recognition on TLC, silica-gel and filter paper

Matinder Kaur, Ye-Hee Ahn, Kihang Choi, Min Ju Cho and Dong Hoon Choi*

A bifunctional fluorescent probe based on a carbazole–pyrimidine conjugate exhibited a colorimetric and ratiometric turn-on response towards $\text{Hg}^{2+}/\text{Cu}^{2+}$ in the nanomolar range.

7154

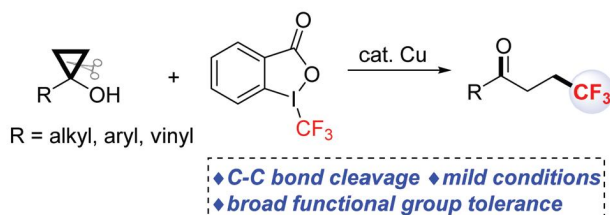


Reusable ionic liquid-catalyzed oxidative coupling of azoles and benzylic compounds *via* sp^3 C–N bond formation under metal-free conditions

Wenbo Liu, Chenjiang Liu,* Yonghong Zhang, Yadong Sun, Ablimit Abdukadera, Bin Wang, He Li, Xuecheng Ma and Zengpeng Zhang

The heterocyclic ionic liquid-catalyzed direct oxidative amination of benzylic sp^3 C–H bonds *via* intermolecular sp^3 C–N bond formation for the synthesis of *N*-alkylated azoles under metal-free conditions is reported for the first time.

7159



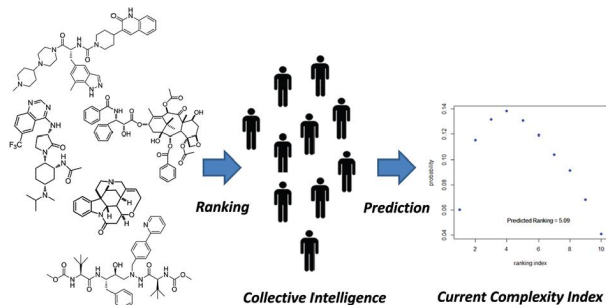
Copper-catalysed ring-opening trifluoromethylation of cyclopropanols

Xia-Ping He, Yong-Jin Shu, Jian-Jun Dai,* Wen-Man Zhang, Yi-Si Feng and Hua-Jian Xu*

A copper catalyzed ring-opening trifluoromethylation of cyclopropanols under mild reaction conditions was developed. A wide variety of synthetically useful β -trifluoromethyl ketones were obtained.

PAPERS

7164



Current complexity: a tool for assessing the complexity of organic molecules

Jun Li and Martin D. Eastgate*

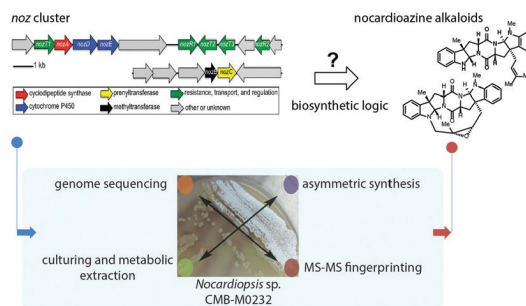
A new complexity index is described, leveraging crowd-sourced knowledge to assess a molecules complexity in the context of current technology.

7177

Synergism between genome sequencing, tandem mass spectrometry and bio-inspired synthesis reveals insights into nocardioazine B biogenesis

Norah Alqahtani, Suheel K. Porwal, Elle D. James, Dana M. Bis, Jonathan A. Karty, Amy L. Lane* and Rajesh Viswanathan*

A *noz* gene cluster encoded by *Nocardioopsis* sp. CMB M0232 is presented, revealing the actinomycetes' unique indole methylating and prenylating patterns, leading to anticancer nocardioazine alkaloids.

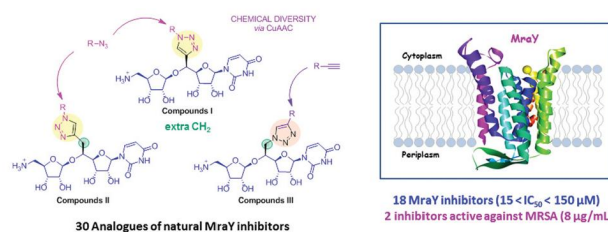


7193

5'-Methylene-triazole-substituted-aminoribosyl uridines as *MraY* inhibitors: synthesis, biological evaluation and molecular modeling

Mickaël J. Fer, Ahmed Bouhss, Mariana Patrão, Laurent Le Corre, Nicolas Pietrancosta, Ana Amoroso, Bernard Joris, Dominique Mengin-Lecreulx, Sandrine Calvet-Vitale* and Christine Gravier-Pelletier*

The synthesis of 5'-methylene-[1,4]-triazole-substituted aminoribosyl uridines is described. Their biological evaluation was performed and rationalized by molecular modeling.

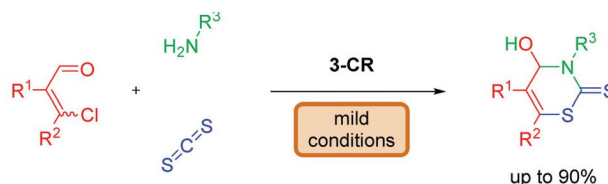


7223

A three-component reaction for rapid access to underexplored 1,3-thiazine-2-thiones

Denis Kröger, Fabian Brockmeyer* and Christoph Kahrs

A newly developed multicomponent reaction opens access to a variety of (poly)heterocyclic structures containing the underexplored skeleton of 1,3-thiazine-2-thione.

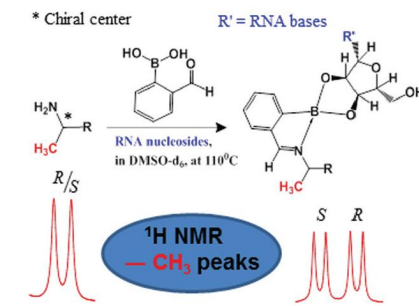


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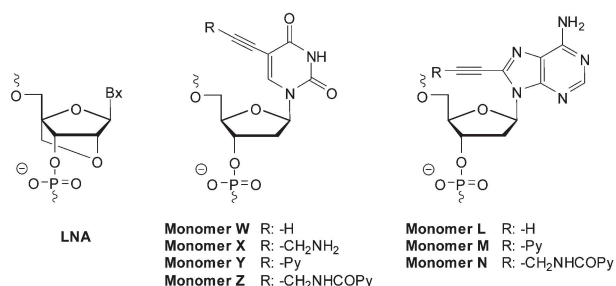
RNA nucleosides as chiral sensing agents in NMR spectroscopy

N. Lokesh, S. L. Sachin, L. V. Narendra, K. Arun and N. Suryaprakash*

The study reports chiral sensing properties of RNA nucleosides. A three component derivitization protocol has been adopted to differentiate chiral amines. All RNA nucleosides exhibit chiral sensing property.



7236

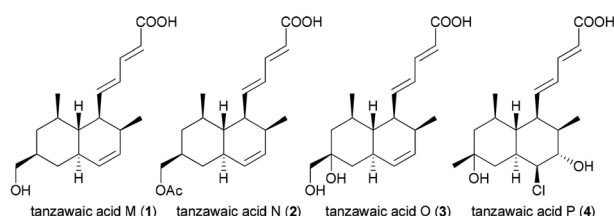


Locked nucleic acid (LNA) induced effect on the hybridization and fluorescence properties of oligodeoxyribonucleotides modified with nucleobase-functionalized DNA monomers

Mamta Kaura and Patrick J. Hrdlicka*

Mixmer oligonucleotides modified with LNA and C5-pyrene-functionalized DNA monomers are shown to display interesting fluorescence properties for the discrimination of single nucleotide polymorphisms (SNPs).

7248

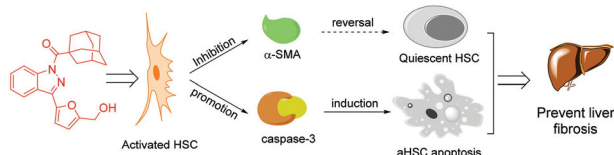


Tanzawaic acids isolated from a marine-derived fungus of the genus *Penicillium* with cytotoxic activities

Faviola Cardoso-Martínez, José M. de la Rosa, Ana R. Díaz-Marrero, José Darías, Claudia Cerella, Marc Diederich and Mercedes Cueto*

Tanzawaic acids M (1), N (2), O (3) and P (4) were isolated from an extract of a cultured marine-derived fungus (strain CF07370) identified as a member of the genus *Penicillium*.

7257

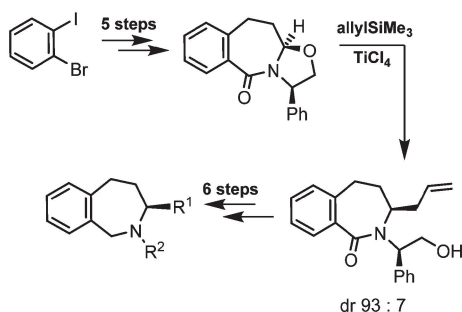


The design, synthesis, and biological evaluation of novel YC-1 derivatives as potent anti-hepatic fibrosis agents

Juan Xiao, Chunmei Jin, Zhixue Liu, Shujing Guo, Xiaochuan Zhang, Xin Zhou* and Xue Wu*

YC-1 and its derivatives have been demonstrated for the first time with significant effects on inhibiting LX-2 cell activation and inducing apoptosis of LX-2 cells, making them potential agents for hepatic fibrosis therapy.

7265



Asymmetric synthesis of 3-substituted tetrahydro-2-benzazepines

Matthias P. Quick, Roland Fröhlich, Dirk Schepmann and Bernhard Wünsch*

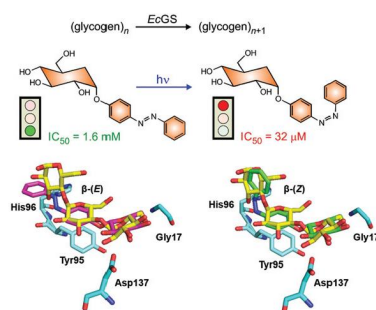
Diastereoselective opening of a tricyclic oxazolidine with allyltrimethylsilane represents a key step in the synthesis of enantiomerically pure 3-substituted tetrahydro-2-benzazepines.

7282

Selective photoregulation of the activity of glycogen synthase and glycogen phosphorylase, two key enzymes in glycogen metabolism

Mireia Díaz-Lobo, Jaume Garcia-Amorós, Ignacio Fita, Dolores Velasco, Joan J. Guinovart and Joan C. Ferrer*

An azobenzene glucoside was synthesized and was shown to be an excellent selective inhibitor of *Escherichia coli* glycogen synthase in its photogenerated (*Z*)-form, which structurally resembles the three terminal glucoses of a glycogen branch.

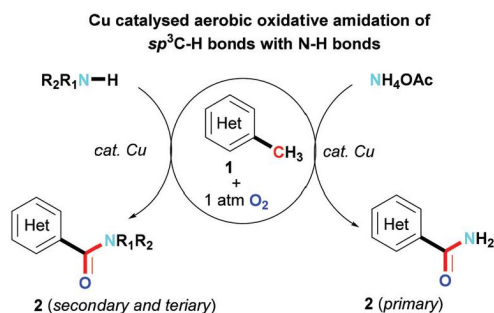


7289

Copper catalysed direct amidation of methyl groups with N–H bonds

Yao Huang, Tiegao Chen,* Qiang Li, Yongbo Zhou and Shuang-Feng Yin*

An efficient copper catalysed direct aerobic oxidative amidation of methyl groups of azaarylmethanes with N–H bonds producing amides is developed, which can produce primary, secondary and tertiary amides, including those with functional groups. This reaction represents a straightforward method for the preparation of amides from the readily available hydrocarbon starting materials.

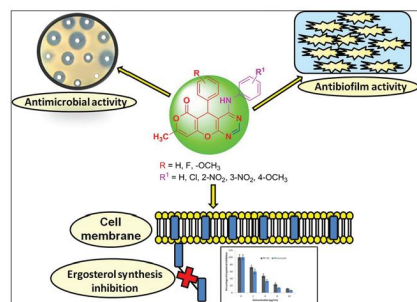


7294

One-pot three-component domino protocol for the synthesis of novel pyrano[2,3-*d*]pyrimidines as antimicrobial and anti-biofilm agents

Lingala Suresh, Y. Poornachandra, S. Kanakaraju, C. Ganesh Kumar and G. V. P. Chandramouli*

A simple and facile synthesis of a series of novel pyrano-[2,3-*d*]pyrimidines have been achieved successfully via one-pot three-component reaction of 2-amino-7-methyl-5-oxo-4-phenyl-4,5-dihydropyrano[4,3-*b*]pyran-3-carbonitriles, DMF-DMA and arylamines.

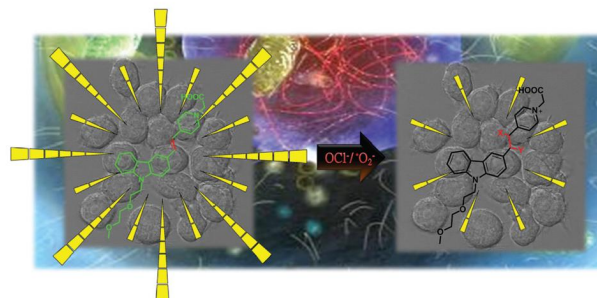


7307

Cyanine fluorophores for cellular protection against ROS in stimulated macrophages and two-photon ROS detection

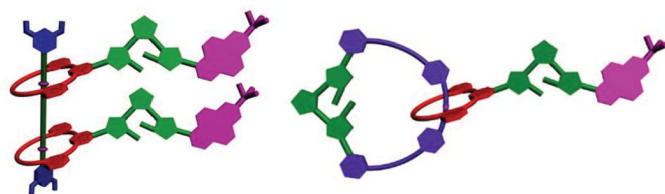
M. S. Chan, D. Xu, L. Guo, D. Y. Tam, L. S. Liu, Y. Chen, M. S. Wong* and P. K. Lo*

A novel biocompatible and macrophage cell-membrane permeable carbazole-based cyanine probe for ROS detection and cellular protection is reported.



PAPERS

7313



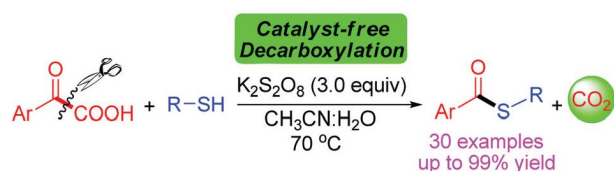
Dual-dithienylethene System Based on Mechanical bonds

Construction of photoswitchable rotaxanes and catenanes containing dithienylethene fragments

Ziyong Li, Xie Han, Haiyan Chen,* Di Wu, Fang Hu, Sheng Hua Liu* and Jun Yin*

Mechanically interlocked structures such as rotaxanes and catenanes provide a novel backbone for constructing functional materials with unique structural characteristics.

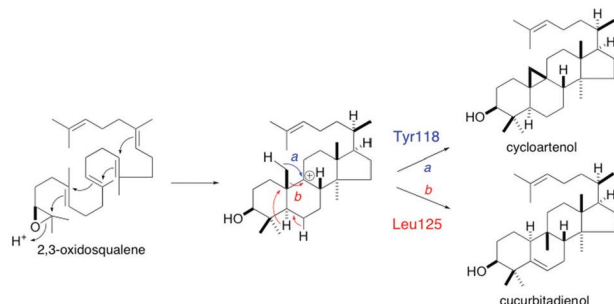
7323

**Catalyst-free direct decarboxylative coupling of α -keto acids with thiols: a facile access to thioesters**

Kelu Yan, Daoshan Yang,* Wei Wei, Jing Zhao, Yuanyuan Shuai, Laijin Tian and Hua Wang*

A catalyst-free protocol has been demonstrated for the synthesis of thioesters via the direct decarboxylation of α -keto acids with thiols.

7331

**Control of the 1,2-rearrangement process by oxidosqualene cyclases during triterpene biosynthesis**

Shohei Takase, Yusuke Saga, Nozomi Kurihara, Shingo Naraki, Kenta Kuze, Genki Nakata, Takeshi Araki and Tetsuo Kushiro*

Critical residues controlling the 1,2-rearrangement process during cycloartenol and cucurbitadienol formation in oxidosqualene cyclase were identified.

CORRECTION

7337

Correction: Enantioselective synthesis of chiral heterocycles containing both chroman and pyrazolone derivatives catalysed by a chiral squaramide

Jun-Hua Li and Da-Ming Du*