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PAPER

Mary F. Mahon, Simon E. Lewis *et al.*

Aliphatic C–H activation with aluminium trichloride–acetyl chloride: expanding the scope of the Baddeley reaction for the functionalisation of saturated hydrocarbons

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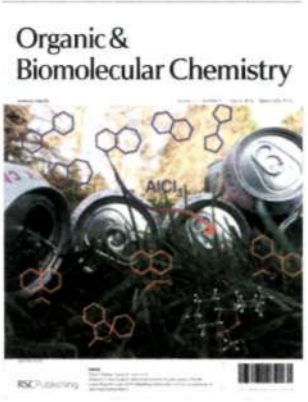

Cover

See Mary F. Mahon, Simon E. Lewis *et al.*, pp. 1468–1475.

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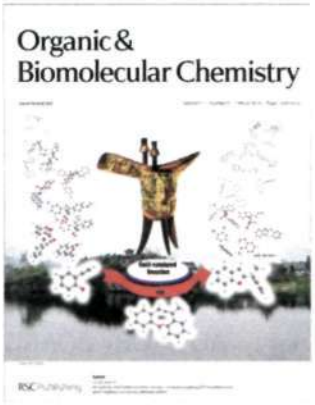

Inside cover

See Lei Zhou *et al.*, pp. 1490–1497.

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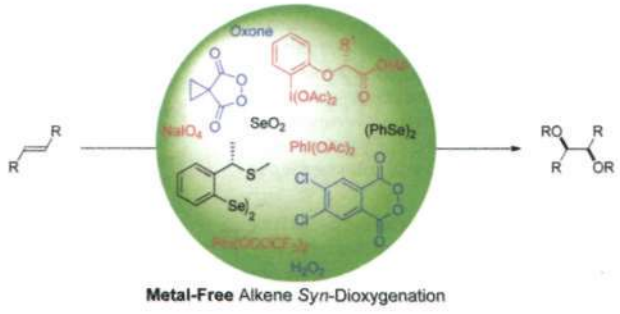
PERSPECTIVE

1434

Metal-free syn-dioxygenation of alkenes

Michael J. Rawling and Nicholas C. O. Tomkinson*

This review highlights the methods available for the metal-free *syn*-dioxygenation of alkenes.



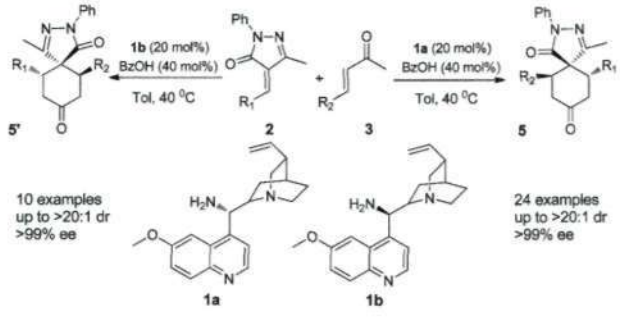
COMMUNICATIONS

1441

An organocatalytic asymmetric double Michael cascade reaction of unsaturated ketones and unsaturated pyrazolones: highly efficient synthesis of spiropyrazolone derivatives

Jinyan Liang, Qiao Chen, Luping Liu, Xianxing Jiang and Rui Wang*

The first organocatalytic cascade reaction between unsaturated ketones and unsaturated pyrazolones has been developed which provides spiropyrazolones with excellent diastereo- and enantioselectivities. Moreover, a pair of enantiomers **5** and **5'** can be achieved.

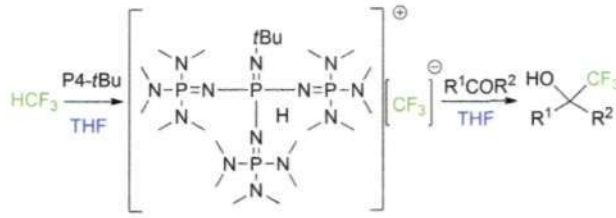


1446

A sterically demanding organo-superbase avoids decomposition of a naked trifluoromethyl carbanion directly generated from fluoroform

Hiroyuki Kawai, Zhe Yuan, Etsuko Tokunaga and Norio Shibata*

The direct non-metallic trifluoromethylation of carbonyl compounds using fluoroform in the presence of *t*-Bu-P4 afforded trifluoromethyl alcohols in high yields.

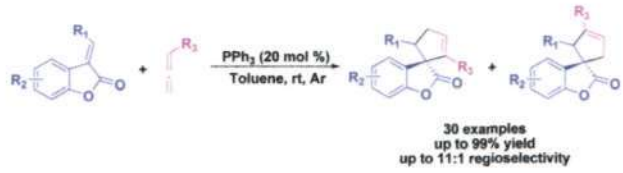


1451

Phosphine-containing Lewis base catalyzed cyclization of benzofuranone type electron-deficient alkenes with allenoates: a facile synthesis of spirocyclic benzofuranones

Xin Li,* Feng Wang, Nan Dong and Jin-Pei Cheng*

A regioselective [3 + 2] cycloaddition of benzofuranone type active olefins with allenoates catalyzed by trivalent phosphines has been developed.

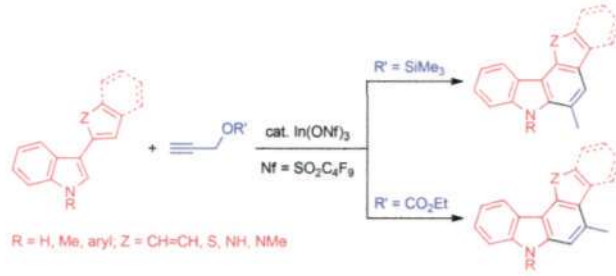


1456

Indium-catalyzed annulation of 3-aryl- and 3-heteroarylindoles with propargyl ethers: synthesis and photoluminescent properties of aryl- and heteroaryl[c]carbazoles

Yuta Nagase, Hiroyuki Shirai, Masayoshi Kaneko, Eiji Shirakawa* and Teruhisa Tsuchimoto*

Treating 3-(hetero)arylindoles with propargyl ethers under indium catalysis gave (hetero)aryl[c]carbazoles, which were found to be more efficient emitters compared to the corresponding [*a*]-analogs.

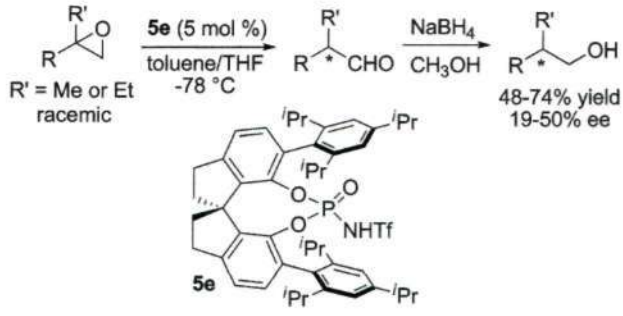


1460

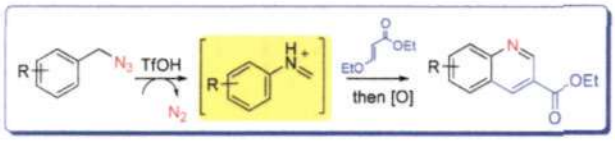
Asymmetric rearrangement of racemic epoxides catalyzed by chiral Brønsted acids

Minyang Zhuang and Haifeng Du*

An asymmetric 1,2-rearrangement of racemic epoxides *via* a hydrogen-shift process was realized to furnish chiral alcohols with up to 50% ee.



1463

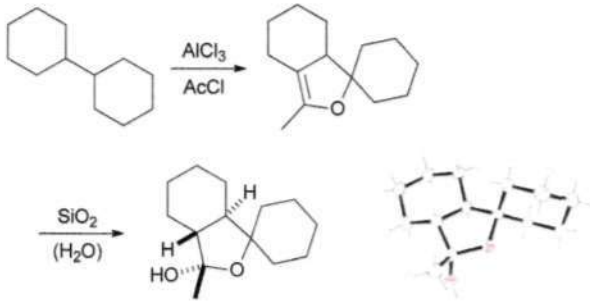


Synthesis of 2,4-unsubstituted quinoline-3-carboxylic acid ethyl esters from arylmethyl azides *via* a domino process

Jumreang Tummatorn,* Charnsak Thongsornkleeb, Somsak Ruchirawat and Tanita Gettongsong

Rearrangement of arylmethyl azides under acidic conditions and subsequent reaction with 3-ethoxyacrylate in the domino fashion provide a convenient access to a variety of 2,4-unsubstituted quinoline-3-carboxylic acid ethyl esters.

1468

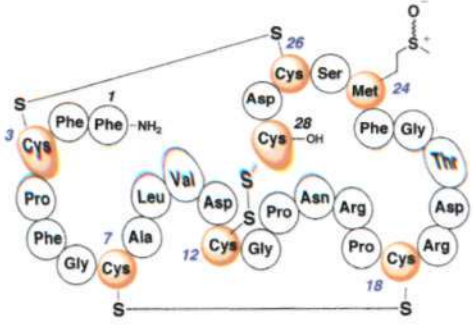


Aliphatic C–H activation with aluminium trichloride–acetyl chloride: expanding the scope of the Baddeley reaction for the functionalisation of saturated hydrocarbons

Catherine L. Lyall, Mario Uosis-Martin, John P. Lowe, Mary F. Mahon,* G. Dan Pantoş and Simon E. Lewis*

An "aliphatic Friedel–Crafts" process permits the preparation of a range of novel oxygenated building blocks from cheap starting materials and reagents. The mechanism of this eye-catching transformation is discussed with the aid of DFT modelling data.

1476

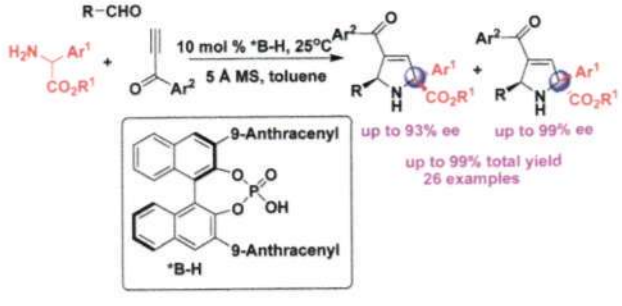


Synthesis and biological studies of neopetrosiamides as inhibitors of cancer cell invasion

Kaitlyn M. Towle, Jennifer L. Chaytor, Hongqiang Liu, Pamela Austin, Michel Roberge, Calvin D. Roskelley and John C. Vederas*

The tricyclic peptides neopetrosiamides A and B, isolated from the marine sponge *Neopetrosia* sp., are potential antimetastatic agents that inhibit tumour cell invasion by both amoeboid and mesenchymal migration pathways.

1482



Enantioselective construction of 2,5-dihydropyrrole skeleton with quaternary stereogenic center *via* catalytic asymmetric 1,3-dipolar cycloaddition involving α-arylglycine esters

Feng Shi,* Gui-Juan Xing, Wei Tan, Ren-Yi Zhu and Shujiang Tu*

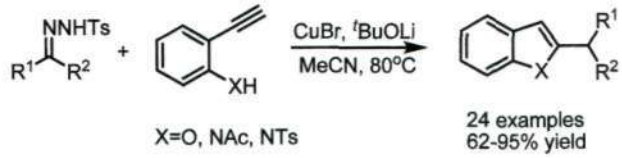
A catalytic asymmetric construction of 2,5-dihydropyrrole scaffold with quaternary stereogenic center has been established *via* 1,3-dipolar cycloadditions.

1490

Benzofuran and indole synthesis *via* Cu(I)-catalyzed coupling of *N*-tosylhydrazone and *o*-hydroxy or *o*-amino phenylacetylene

Tiebo Xiao, Xichang Dong and Lei Zhou*

A general and practical method to synthesize 2-substituted benzofurans and indoles is described. This method employs easily accessible *N*-tosylhydrazones and *o*-hydroxy or *o*-amino phenylacetylenes as substrates. The reaction proceeds through a CuBr-catalyzed coupling–allenylation–cyclization sequence.



1498

Migration of methylethynyl group in a long-lived carbocation

George E. Salnikov, Alexander M. Genaev,* Vladimir A. Bushmelev and Vyacheslav G. Shubin

The first example of migration of an ethynyl group in a long-lived carbocation.

1502

2-Carbomethoxy-3-hydroxyquinoxaline-di-*N*-oxide as a novel ligand for the copper-catalyzed coupling reaction of phenols and aryl halides

Yatao Qiu, Weijun Jia, Zhiyi Yao, Fanhong Wu and Sheng Jiang*

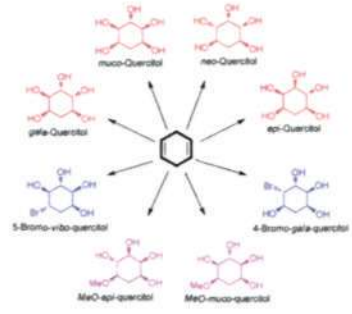
A novel ligand was discovered for the copper-catalyzed coupling of aryl halides with various phenols under mild conditions.

1511

Stereoselective syntheses of racemic quercitols and bromoquercitols starting from cyclohexa-1,4-diene: *gala*-, *epi*-, *muco*-, and *neo*-quercitol

Gökay Aydın, Tahir Savran, Fatih Aktaş, Arif Baran* and Metin Balci*

Stereoselective synthesis of various quercitols, bromoquercitols, and methoxyquercitols has been accomplished in a few steps starting from cyclohexa-1,4-diene.



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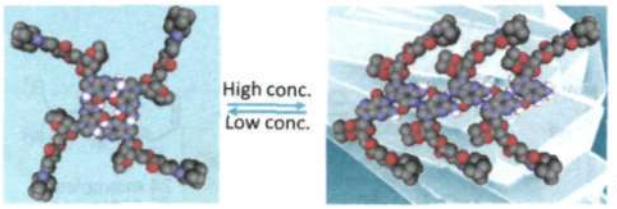
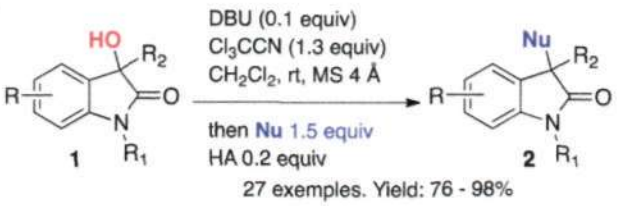


From G-quartets to G-ribbon gel by concentration and sonication control

Luyan Meng, Keyin Liu, Shuli Mo, Yueyuan Mao and Tao Yi*

A guanosine analogue containing an adamantane branch forms G-quartets in acetonitrile solution, and transforms into a G-ribbon gel at concentrations higher than CGC.

1533

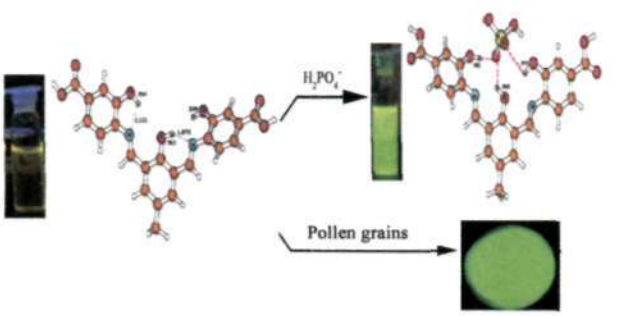


Synthesis of 3,3-disubstituted oxindoles by one-pot integrated Brønsted base-catalyzed trichloroacetimidation of 3-hydroxyoxindoles and Brønsted acid-catalyzed nucleophilic substitution reaction

Cyril Piemontesi, Qian Wang and Jieping Zhu*

Treatment of 3-hydroxyoxindoles with trichloroacetonitrile (1.3 equiv.) and a catalytic amount of DBU (0.1 equiv.) followed by addition of nucleophiles (1.5 equiv.) and phosphoric acid (0.2 equiv.) afforded the 3,3-disubstituted oxindoles in good to excellent yields.

1537

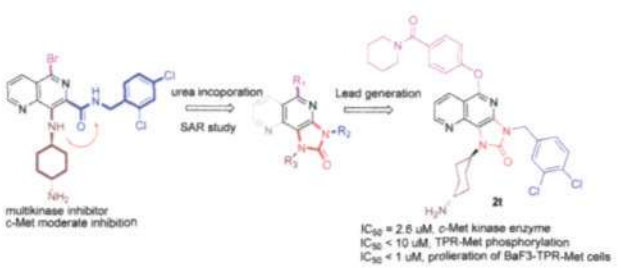


Cell permeable fluorescent receptor for detection of $H_2PO_4^-$ in aqueous solvent

Supriti Sen, Manjira Mukherjee, Kuheli Chakrabarty, Ipsit Hauli, Subhra Kanti Mukhopadhyay and Pabitra Chattopadhyay*

4-Methyl-2,6-bis((3-hydroxy-4-carboxyphenyl)imino)-phenol (**1**) behaves as a selective receptor for $H_2PO_4^-$ in DMSO–water (1 : 9) (v/v) with the LOD of 3.5×10^{-6} M and it is applicable to detect $H_2PO_4^-$ *in vitro* in aqueous medium by developing a green image of the living cells.

1545



Investigation on the 1,6-naphthyridine motif: discovery and SAR study of 1*H*-imidazo[4,5-*h*][1,6]-naphthyridin-2(3*H*)-one-based c-Met kinase inhibitors

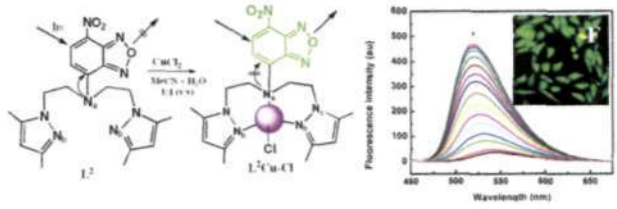
Yong Wang, Zhong-Liang Xu, Jing Ai, Xia Peng, Jian-Ping Lin, Yin-Chun Ji, Mei-Yu Geng* and Ya-Qiu Long*

A new class of c-Met inhibitors were designed and discovered based on the 1,6-naphthyridine scaffold by constraining the 7,8-positions into a urea functionality.

1563

A 7-nitrobenz-2-oxa-1,3-diazole based highly sensitive and selective turn-on chemosensor for copper(II) ion with intracellular application without cytotoxicity

Tarun Mistri, Rabiul Alam, Malay Dolai, Sushil Kumar Mandal, Anisur Rahman Khuda-Bukhsh and Mahammad Ali*



An NBD based turn-on fluorescent probe **1** exhibits a selective and reversible binding to Cu^{2+} ion with possibilities of intracellular applications.