

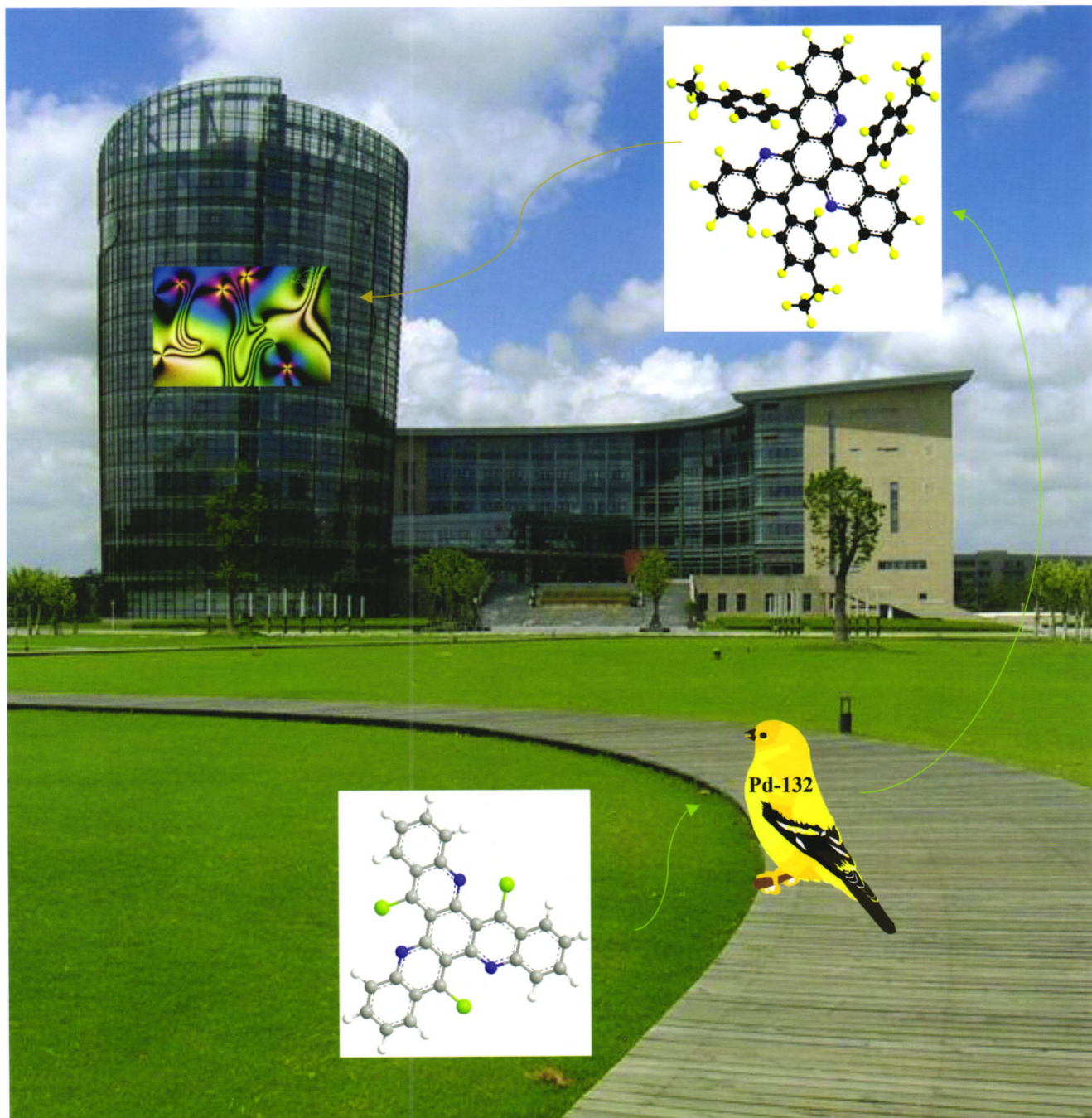
有机化学

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Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 38 卷 第 2 期 (总 351 期) 2018 年 2 月*

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* 通讯联系人.

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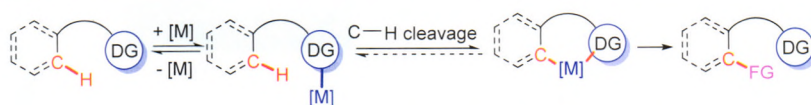
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On the Cover

A series of compounds with potential applications for organic optoelectronic functional materials was reported by Dong, Li, An, Ma, Bai and Liu on page 410. The palladium-catalyzed Suzuki coupling was carried out with a novel catalyst Pd-132 to form fourteen C₃-symmetric 9-aryl acridine derivatives. The reactions can be performed at low catalyst loading (0.1 mol%, ca. 0.03 mol% per site).

REVIEWS

Recent Advances in Directing Group-Induced C—H Activation Reactions



Directing group assisted C—H activation

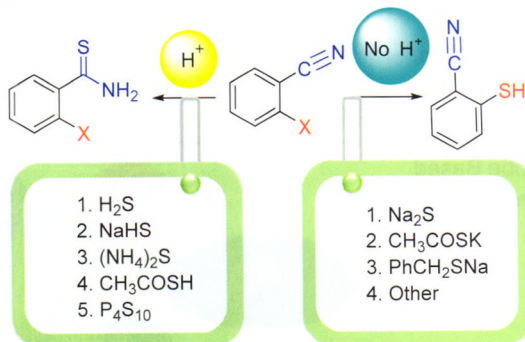
DG: directing group; [M]: Pd, Rh, Cu, Co, etc.; FG: functional group

Wang, Shan; Yan, Feng; Wang, Liansheng*; Zhu, Lei*

Chin. J. Org. Chem. **2018**, 38(2), 291

The recent progress in directing group-induced C—H activations is reviewed. The function of diverse auxiliaries based on altered directing atoms is mainly discussed. Also, mechanisms of these reactions are elaborated. Finally, the future development is prospected.

Research Progress for the Thiolytic Reaction of Halobenzonitrile

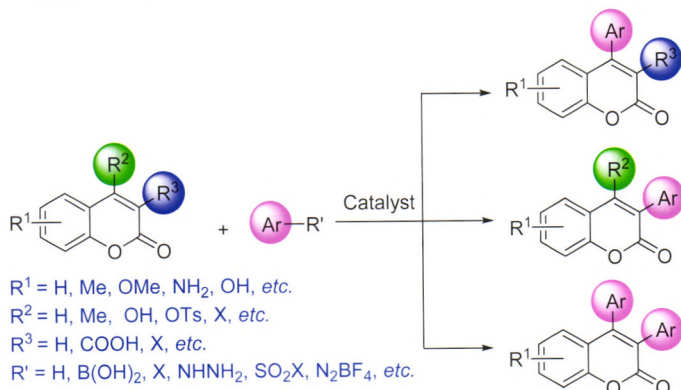


Li, Shanshan; Hong, Hailong*; Han, Limin; Zhang, Tianmiao; Wang, Yunlong; Zhu, Ning*

Chin. J. Org. Chem. **2018**, 38(2), 304

Halobenzonitrile has a nitrile group and a halo group which all could react with nucleophile reagents. The law for the selective synthesis of halothiobenzamide or mercaptobenzonitrile from the reaction of halobenzonitrile and different sulfur source is summarized.

Progress in the Synthesis of Arylated Coumarin Derivatives



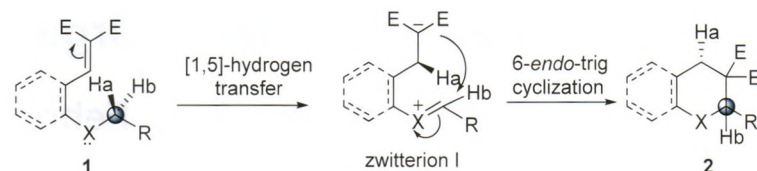
Liu, Shuainan; Yuan, Jinwei*; Qu, Lingbo

Chin. J. Org. Chem. **2018**, 38(2), 316

In recent years, many efficient, green synthetic approaches of arylated coumarin derivatives have been reported using transition-metal or metal-free catalytic systems. The recent progress in the synthesis of arylated coumarin derivatives is reviewed according to the differences of reaction positions and arylation sources.

CONTENT

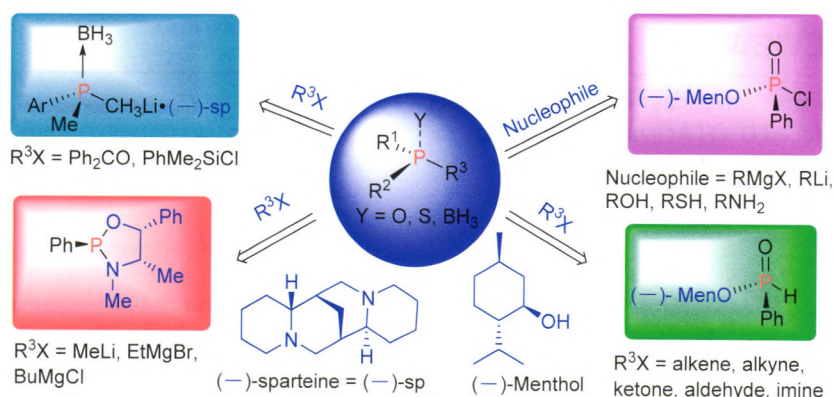
Construction of Chiral Cyclic Compounds via Asymmetric Cascade [1,*n*]-Hydride Transfer/Cyclization



The C(sp³)—H adjacent to heteroatoms can be readily functionalized to C—C, C—N, C—O bonds *etc.* via cascade [1,*n*]-hydride transfer/cyclization, which shows high potency to construct 5-membered, 6-membered and all carbon rings. This intriguing cascade process can be employed to synthesize common skeletons of significant natural products and pharmaceutical molecules. Chiral amines, Lewis acids and Brønsted acids have been successfully utilized to catalyze the asymmetric cascade reaction.

Xiao, Mingyan; Zhu, Shuai; Shen, Yaobin; Wang, Liang*; Xiao, Jian*
Chin. J. Org. Chem. **2018**, 38(2), 328

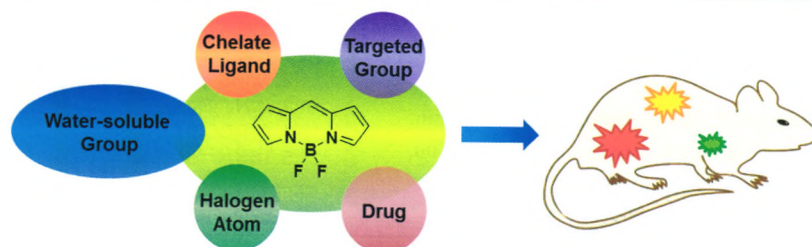
Research Progress of Asymmetric Synthesis of Optically Active P-Stereogenic Organophosphoryl Compounds by Chiral Induction



The preparation of enantiomerically enriched phosphorus compounds with P-stereogenic centers has received considerable attention. The recent development of the asymmetric synthesis of P-stereogenic organophosphoryl compounds employing chiral auxiliary is summarized.

Liu, Shuang; Li, Yuming; Wang, Dian; Wei, Rong; Miao, Zhiwei*
Chin. J. Org. Chem. **2018**, 38(2), 341

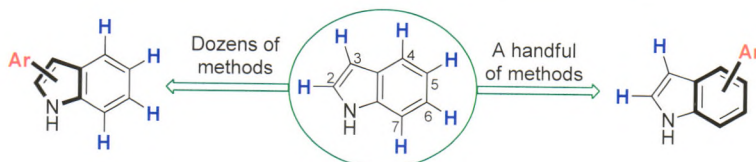
Progress of Fluorescent Bio-probe Based on Water-Soluble Boron-dipyrromethene



The research progress on the application of water-soluble boron-dipyrromethene (BODIPY) dyes in field of biology and medicine since 2006 has been summarized in this review. The merits of methods for improving the hydrophilicity and main issues of current studies have been summarized. The hypothesis for future directions has also been put forward.

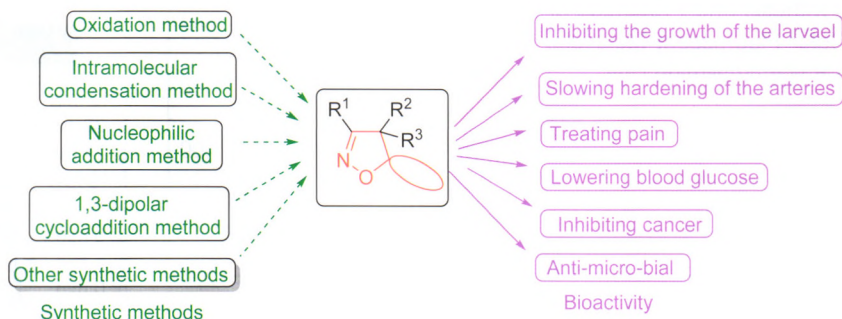
Lu, Bowei; Meng, Shuxian*; Feng, Yaqing*
Chin. J. Org. Chem. **2018**, 38(2), 350

Advance in C—H Arylation of Indoles



One of the efficient strategy to access the indole derivatives is through the direct C—H functionalization of indole framework itself under transition-metal catalysis. The research advances on the transition-metal-catalyzed C—H arylation of indoles are reviewed.

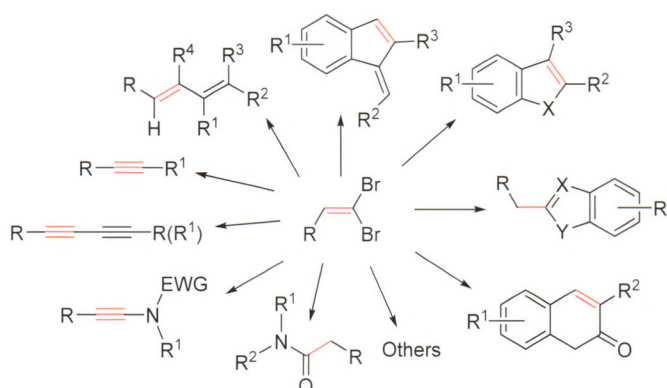
Luo, Junfei*; Xu, Xing; Zheng, Junliang
Chin. J. Org. Chem. **2018**, 38(2), 363

Progress in Synthesis and Bioactivity
of Spiroisoxazoline Compounds

Li, Minghui; Song Buer; Imerhasan, Mukhtar*

Chin. J. Org. Chem. **2018**, 38(2), 378

On the basis of reaction mechanisms, the synthetic methods of spiroisoxazoline compounds are classified into the following five types: oxidation, intramolecular condensation, nucleophilic addition, 1,3-dipolar cycloaddition, and other methods. Their biological activity is summarized as six categories.

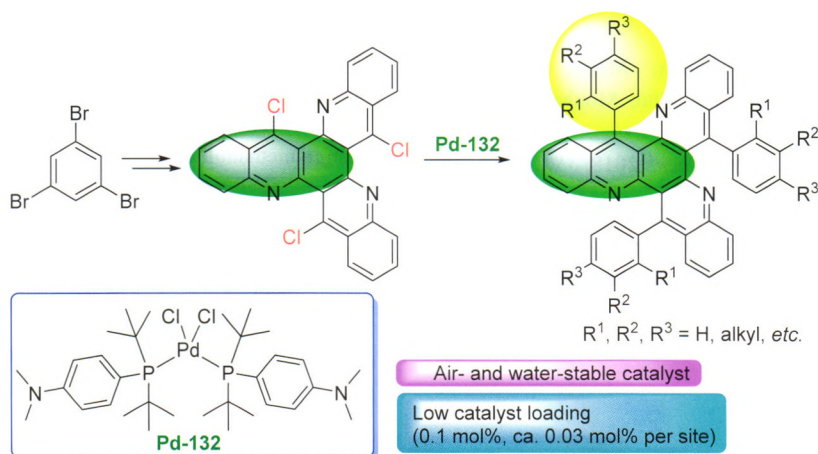
Progress on Synthetic Applications of
1,1-Dibromo-1-alkenes

As one type of organic synthetic materials and intermediates, 1,1-dibromo-1-alkenes have been widely researched in C—C, C—N, C—O, C—P, and C—S bond formations. The couple of C—Br bonds in the molecule make it reactive to afford bromoalkenes, bromoalkynes, terminal alkynes, and to prepare poly-substituted alkenes, fused aromatic rings and internal alkynes through coupling reactions. Various organic reactions with 1,1-dibromo-1-alkenes as the starting materials are mainly reviewed.

Zhao, Ming*; Ji, Yuan

Chin. J. Org. Chem. **2018**, 38(2), 401

ARTICLES

Palladium-Catalyzed Syntheses of C_3 -
Symmetric 9-Aryl Acridine Derivatives

Dong, Kun; Li, Qiuyun; An, Kang; Ma, Junyi; Bai, Zhongsheng; Liu, Qiancai*

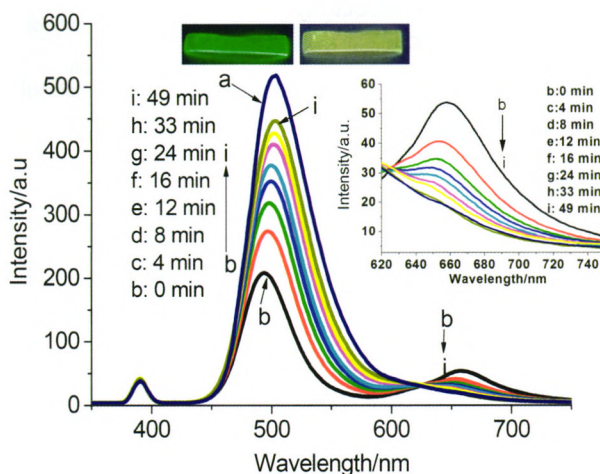
Chin. J. Org. Chem. **2018**, 38(2), 416

The palladium-catalyzed Suzuki coupling was carried out with a novel catalyst Pd-132 to form fourteen C_3 -symmetric 9-aryl acridine derivatives. The reactions can be performed at low catalyst loading (0.1 mol%, ca. 0.03 mol% per site).

CONTENT

Synthesis and Properties of Novel Dual-Color Fluorescent Molecular Switch with 1,8-Naphthalimide Unit

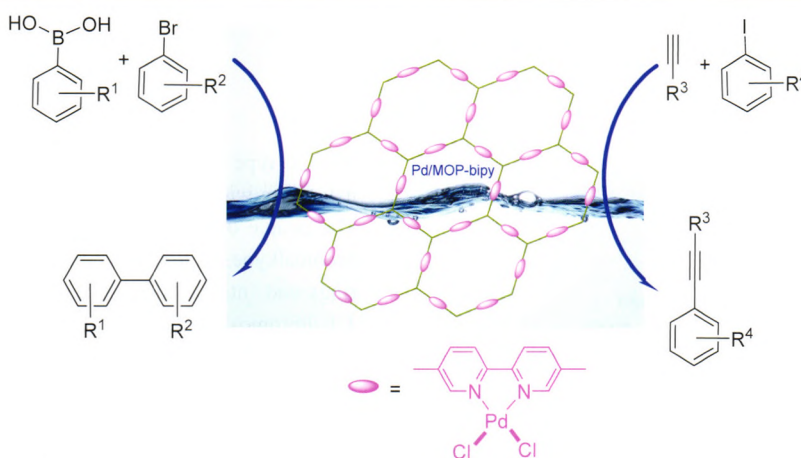
Yang, Suhua*; Yan, Sujun; Yang, Jing; Zhang, Ce; Han, Guoying*
Chin. J. Org. Chem. **2018**, 38(2), 425



Three 1,8-naphthalimide compounds with spiropyran unit were synthesized and characterized. The photochromic properties of **SP3** are obvious both in solid medium and organic solvent. Fluorescence changes of compound **SP3** in acetone were not detected after the UV irradiation. The compound **SP3** emits green fluorescence and becomes orange yellow fluorescence after ultrasonic irradiation in polyethylene glycol (200). The change process was detected by fluorescence spectrum too. The fluorescence color change of **SP3** is more obvious in the thin layer silica gel before and after irradiation. As time elapses, the green fluorescence converts to red fluorescence.

C—C Coupling Reactions in Water Catalyzed by Palladium(II) Supported on Microporous Organic Polymer

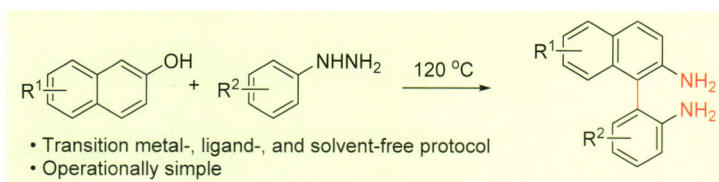
Kong, Shengnan; Malik, Abaid Ullah; Qian, Xuefeng; Shu, Mouhai*; Xiao, Wende
Chin. J. Org. Chem. **2018**, 38(2), 432



An excellent heterogeneous catalyst Pd/MOP-bipy via post-synthetic modification was synthesized. The composite material Pd/MOP-bipy exhibits excellent catalytic activity and recyclability for Suzuki-Miyaura reaction in water and Sonogashira coupling reaction in methanol/water.

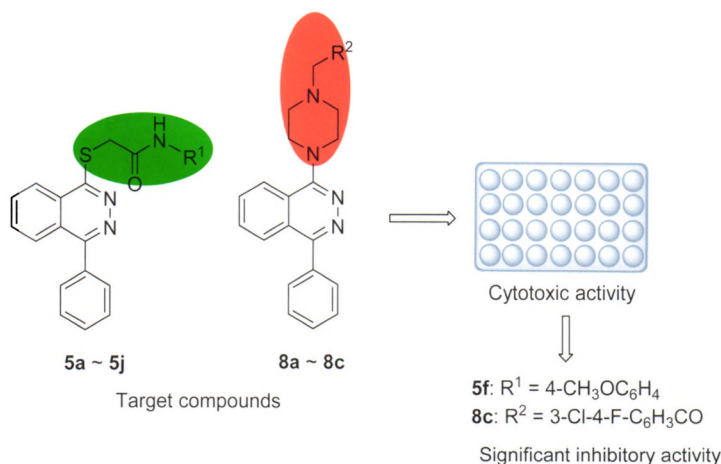
One-Step Synthesis of Unsymmetric 1,1'-Biaryl-2,2'-diamines by the Reaction of 2-Naphthols with Aryl Hydrazines

Jia, Lei; Tang, Qiang; Luo, Meiming*; Zeng, Xiaoming*
Chin. J. Org. Chem. **2018**, 38(2), 443



A metal-free, operationally simple synthetic protocol for the buildup of unsymmetric 1,1'-biaryl-2,2'-diamine compounds was reported. This reaction was performed by the treatment of 2-naphthols with aryl hydrazines under no transition metal catalyst and no ligand conditions, which has the advantages of simple operation and one-step synthesis.

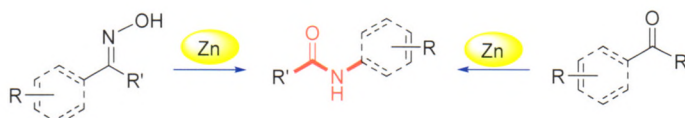
Synthesis and Antitumor Activity of 1-Phenyl-4-substituted Phthalazine Derivatives



In order to find more efficient and economical antitumor drugs, a series of 1-phenyl-4-substituted phthalazine derivatives were synthesized and evaluated for antiproliferative activity *in vivo*. *N*-(4-Methoxyphenyl)-2-((4-phenylphthalazin-1-yl)thio)acetamide (**5f**) and *N*-(3-chloro-4-fluorophenyl)-2-(4-(4-phenylphthalazin-1-yl)piperazin-1-yl)acetamide (**8c**) exhibited excellent growth inhibition against all tested four human cancer cell lines.

Xin, Jingchao; Li, Na; Ma, Qisheng; Li, Er-dong; Meng, Xiangchuan; Ke, Yu*; Liu, Hongmin*; Zhang, Qiurong*
Chin. J. Org. Chem. **2018**, 38(2), 451

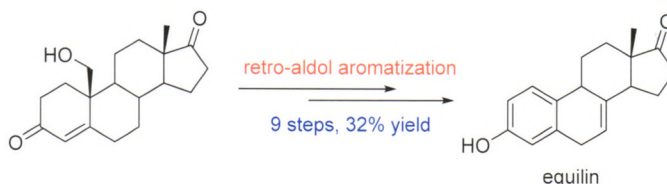
Zn-Catalyzed Beckmann Rearrangement Reaction



The green and highly efficient Zn-catalyst system capable of catalytic Beckmann rearrangement of ketoximes is developed. Featuring the use of the green catalyst system, the Beckmann rearrangement reaction is further extended to a one-pot protocol using ketone and hydroxylamine hydrochloride as reactive materials.

Sun, Chao; Yao, Wubing*; Zhang, Bin*; Huang, Xiangyun; Yu, Jiangjiang
Chin. J. Org. Chem. **2018**, 38(2), 457

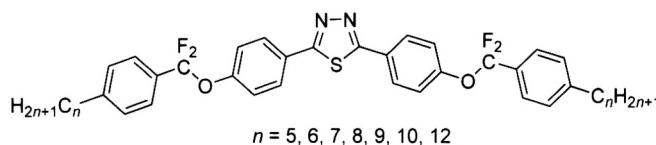
Practical Syntheses of Equilin and Its Derivatives



Equilin, 17 β -dihydroequilin and 17 α -dihydroequilin were efficiently synthesized from commercially available 19-hydroxyandrost-4-ene-3,17-dione via retro-aldol aromatization with the overall yields of 32%, 37% and 25%, respectively.

Zheng, Xiuwen; Ma, Haiyan*; Ding, Kai*
Chin. J. Org. Chem. **2018**, 38(2), 464

Synthesis and Properties of Thiadiazole Bent-Core Liquid Crystal with Difluorooxymethylene-Bridge



In this paper, a series of novel bent-core liquid crystal compounds were designed and synthesized, which were based on 2,5-disubstituted-1,3,4-thiadiazole as the central units, difluorooxymethylene (CF_2O) as the linking group and straight alkyl as the terminal chain. All of the target compounds exhibited nematic phase and existed wide temperature range of nematic phase.

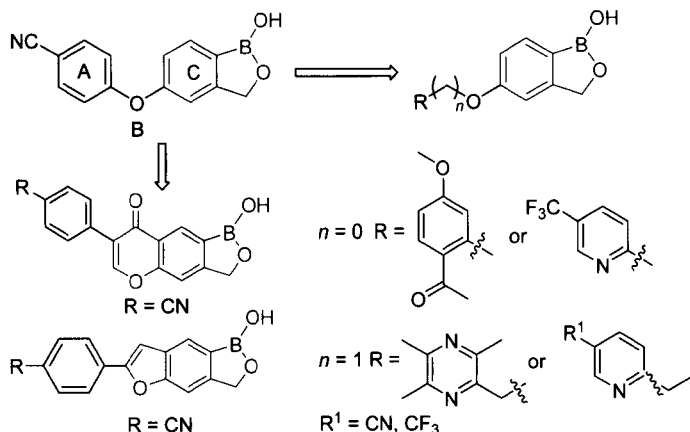
Yin, Junxia; Wen, Yanhao; Mao, Zhipeng; Zhang, Zhiyong*; Guan, Jintao; Yan, Daoren
Chin. J. Org. Chem. **2018**, 38(2), 471

CONTENT

Design, Synthesis, and Biological Evaluation of Novel PDE-4 Inhibitors

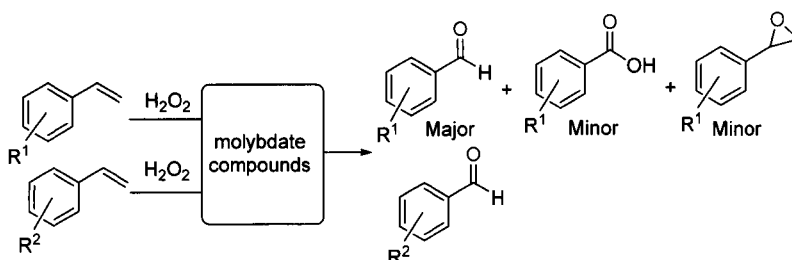
Gao, Sufan; Xu, Qinlong; Li, Jiaming*; Chu, Zhaoxing; He, Guangwei; Lin, Gaofeng; Zhu, Zhenwei; Cui, Yong; Mo, Jiajia; Guo, Jing; Zhao, Yan

Chin. J. Org. Chem. **2018**, *38*(2), 478



A series of novel PDE-4 inhibitors using crisaborole as template were designed and synthesized, and their anti-inflammatory activity was evaluated.

Metal Molybdate Catalysts for the Selective Oxidation of Olefins and Alcohols Using Hydrogen Peroxide as Oxidant



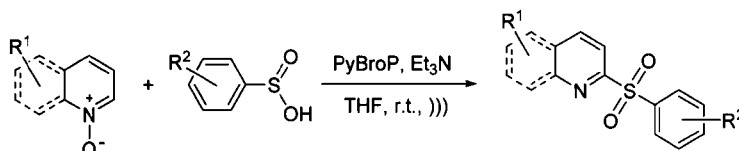
Hu, Chuanfeng; Zhou, Jianhao; Huang, Zhida; Fu, Huihui; Peng, Xinhua*

Chin. J. Org. Chem. **2018**, 38(2), 486

Several facile metal molybdates were prepared by hydrothermal method and characterized by X-ray diffraction. Meanwhile, the bimetallic combination effect of these metal molybdate compounds as bimetallic catalysts applied to the selective oxidation of various olefins and alcohols was investigated.

NOTES

Ultrasonic-Assisted Synthesis of 2-Sulfonyl Nitrogen Heterocyclic Compounds

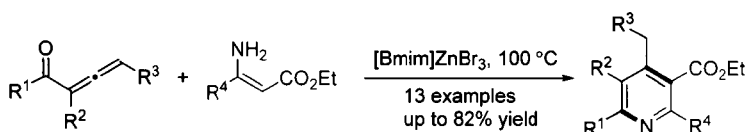


An ultrasonic-assisted facile and convenient procedure for the synthesis of 2-sulfonyl quinoline/pyridines has been described. In this approach, ultrasonic mediated reaction of different substituted quinoline/pyridine *N*-oxides and structurally diverse sulfinic acids in tetrahydrofuran at room temperature furnishes 2-sulfonyl heterocyclic compounds in moderate to good yields.

Lan, Lixin; Xiao, Jie; Xiao, Huaiqiu; Yi, Weiguo*

Chin. J. Org. Chem. **2018**, 38(2), 492

[Bmim]ZnBr₃-Promoted Tandem Reaction of Enaminoester and Allenic Ketones for the Synthesis of Substituted Nicotinate Derivatives

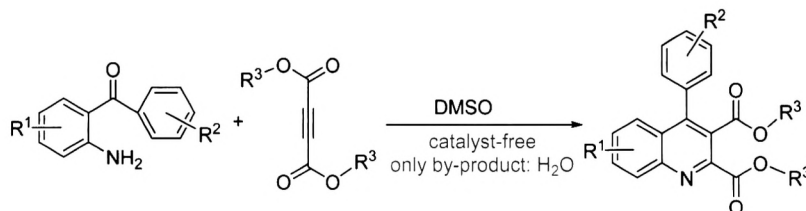


A novel approach for the synthesis of nicotinate derivatives has been developed by using Lewis acidic ionic liquid [Bmim]ZnBr₃, which acts as dual solvent-catalyst in promoting the tandem reaction of enaminoester and allenic ketones. In the reaction process, no catalysts or other organic solvents are used, and [Bmim]ZnBr₃ can be readily reused for three times without noticeable decrease in the catalytic activity after simple treatment.

Zhang, Tao; Wang, Qiang*

Chin. J. Org. Chem. **2018**, 38(2), 498

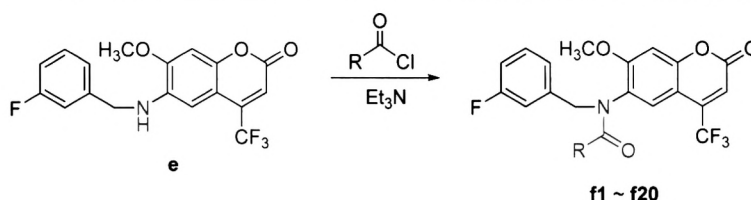
A New Catalyst-Free Synthesis of 2,3-Dicarboxylic Ester Quinoline Derivatives



A high efficient method for the synthesis of 2,3-dicarboxylic ester quinoline derivatives was developed, which employed *o*-amino diphenyl methylone derivatives and acetylenedicarboxylic esters as the reaction substrates. The reaction was well proceeded under catalyst-free and mild condition. Moreover, the only by-product of reaction was water.

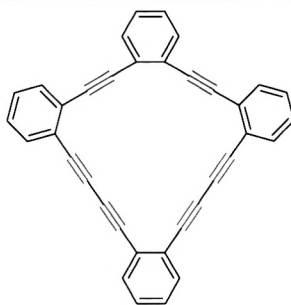
Wang, Bin; Ye, Wenbo; Yan, Zicong; Wan, Changfeng*; Hou, Haoqing; Wang, Zhiyong
Chin. J. Org. Chem. **2018**, 38(2), 504

Synthesis and Biological Activity of Novel Fluorinated Amide Hydroxy Methyl Coumarin Derivatives



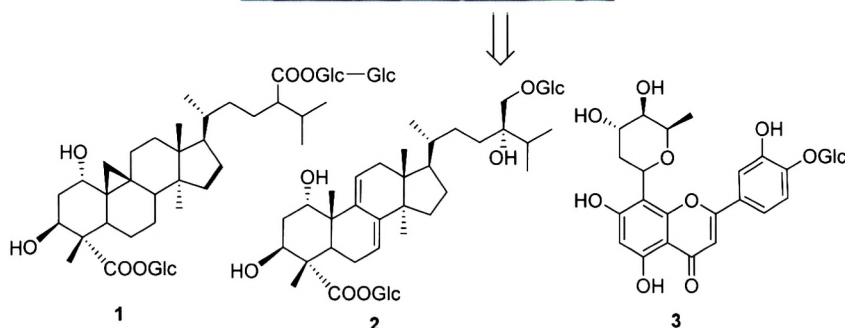
A series of novel fluorinated amide coumarin derivatives were designed and synthesized. Compounds **f1**, **f13**, **f7** and **f20** exhibited marked herbicidal activities. Furthermore, compounds **f12**, **f7** and **f9** were medium active against the phytopathogenic fungi.

Qiao, Lili; Wei, Yan; Hao, Shuanghong*
Chin. J. Org. Chem. **2018**, 38(2), 509

Synthesis of Cyclic Phenyl Polyynes: Ph₂P(O)-Deprotection/Intramolecular Eglington Coupling Cyclization

Ph₂P(O)-deprotection/intramolecular acetylene coupling, proceeding in one-pot manner, can be applied to synthesize cyclic aromatic polyynes. This one-pot reaction showed some outstanding features including facile separation of product, easy synthesis of intermediates, mild reaction conditions and high yield.

Peng, Lifen*; Zhang, Siwei; Wang, Binghao; Xun, Mengshuo; Tang, Zilong*; Jiao, Yinchun; Xu, Xinhua
Chin. J. Org. Chem. **2018**, 38(2), 519

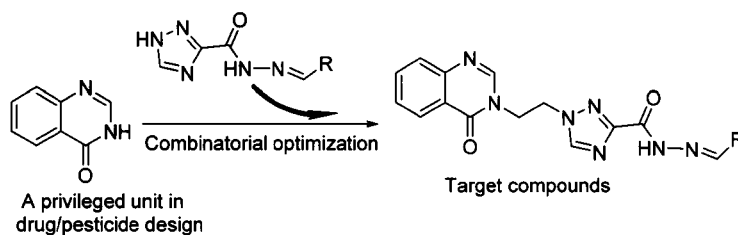
Three New Glycosides from the Stems and Leaves of *Passiflora edulis*

Xu, Fengqing; Fan, Weiwei; Zi, Chengting; Zhou, Jun; Hu, Jiangmiao*
Chin. J. Org. Chem. **2018**, 38(2), 526

A rare C-dideoxyhexosyl flavones with a boivinopyranosyl residue, and two triterpenoids isolated from the stems and leaves of *Passiflora edulis* Sims.

CONTENT

Synthesis and Antimicrobial Activities of Novel 1,2,4-Triazole-acylhydrazone Derivatives Containing the Quinazolin-4-one Moiety



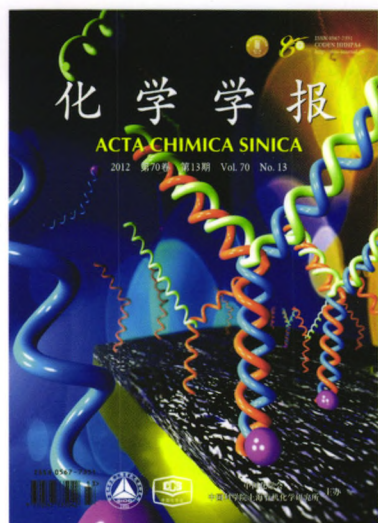
Du, Huan; Fan, Zhijiang; Yang, Lan; Bao, Xiaoping*

Chin. J. Org. Chem. **2018**, 38(2), 531

Twenty novel 1,2,4-triazole-acylhydrazone derivatives containing the quinazolin-4-one moiety were synthesized, and antimicrobial assays *in vitro* indicated that most of the target compounds exhibited good antibacterial activities against the pathogenic phyto-bacteria *Xanthomonas oryzae* pv. *oryzae* and *Xanthomonas axonopodis* pv. *citri*.

HIGHLIGHTS

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