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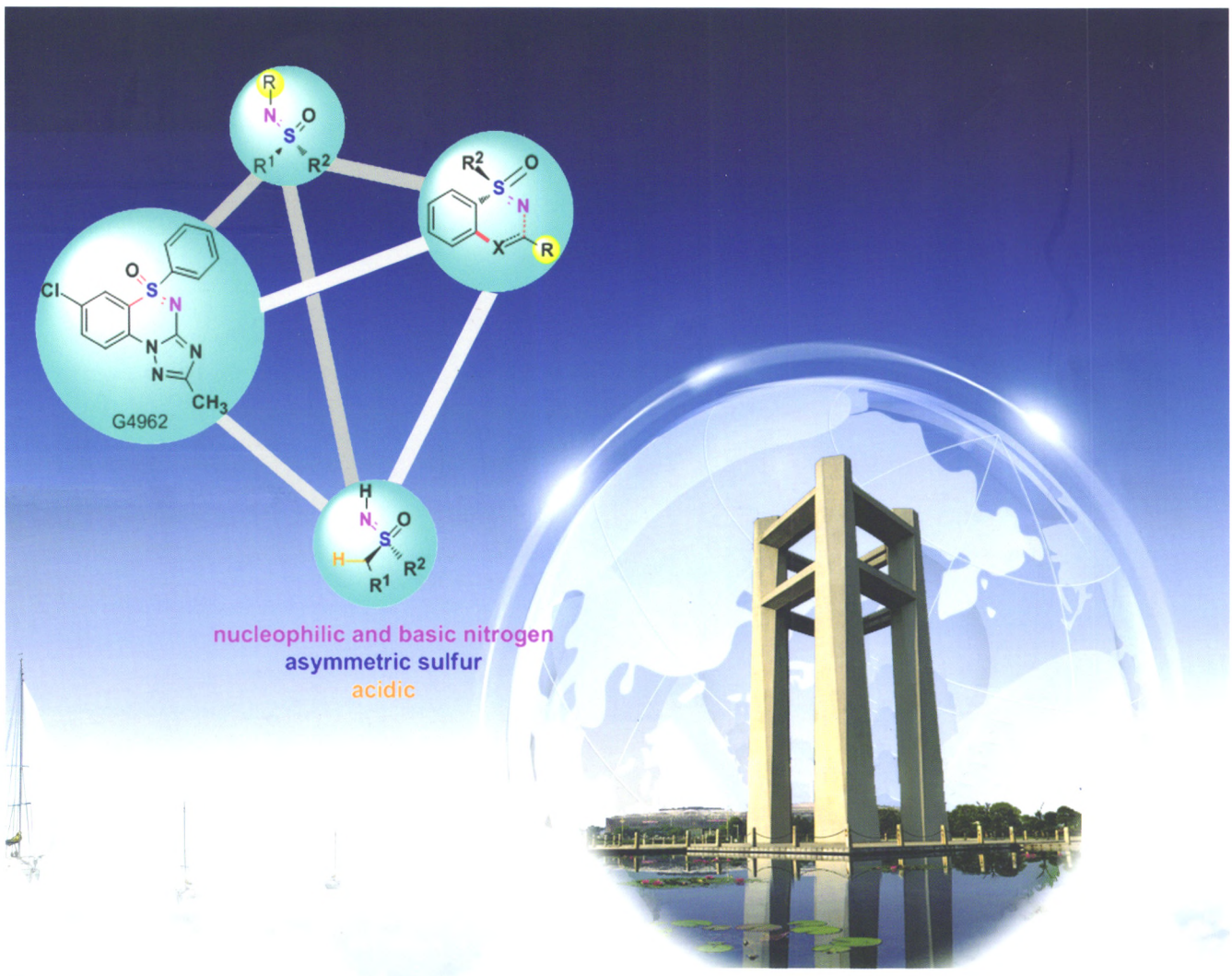

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* 通讯联系人.

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Chinese Journal of Organic Chemistry

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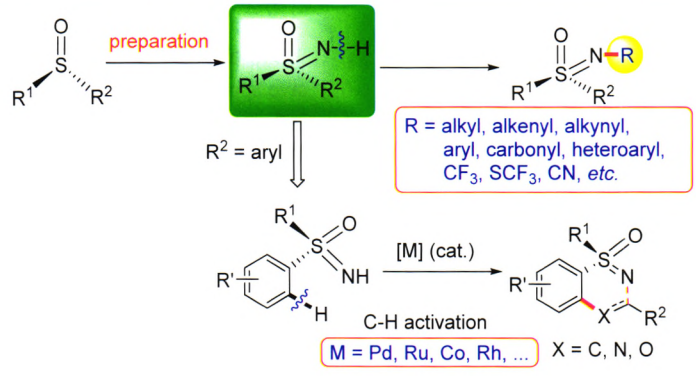
On the Cover

The recent advancements in the synthesis of sulfoximine derivatives are reviewed by Zhou and Chen on page 719. Direct N—H functionalizations such as alkynylation, alkenylation, alkylation, and arylation can be accomplished by utilizing diverse transition-metal catalyzed conditions. The *ortho* arene C(sp^2)—H functionalization can also be achieved through C—H activation strategy with the assistance of S=NH as intramolecular directing group.

REVIEWS

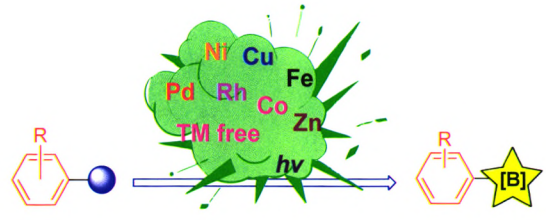
Recent Achievements in the Synthesis of Sulfoximine Derivatives



The recent developments of direct N—H functionalization reactions of free NH-sulfoximines are summarized. In addition to these acyclic sulfoximine preparations, the cyclic sulfoximine heterocycles can be synthesized through C(sp^2)—H activation with the assistance of S=NH as an intramolecular directing group.

Zhou, Hao; Chen, Zhiyuan*
Chin. J. Org. Chem. **2018**, *38*(4), 719

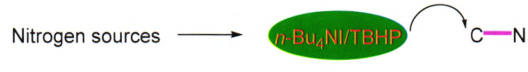
Research Progress towards Synthesis of Aryl Boronic Acid Compounds



The resent progress on the synthesis of aryl boronic acid compounds over the past few years is summarized, including Pd-catalyzed borylation, other metal (Ni, Cu, Zn, Fe, Rh, Co)-catalyzed borylation, metal-free borylation, and photoinduced borylation.

Xu, Yuliang; Fang, Hao*
Chin. J. Org. Chem. **2018**, *38*(4), 738

Recent Advances in Tetrabutylammonium Iodide/*t*-BuOOH Promoted C—N Bond Formation



Recently, the remarkable progress has been made in construction of C—N bond by using n-Bu_4NI/t-BuOOH under transition-metal-free condition. Due to the relatively mild reaction condition and excellent selectivity, it provides an economy and efficient approach to construct C—N bond. In this review, the recent advances in this area are summarized on the basis of different nitrogen sources.

Huang, Yiling; Bao, Wenhui; Zhu, Wenming; Wei, Wenting*
Chin. J. Org. Chem. **2018**, *38*(4), 752

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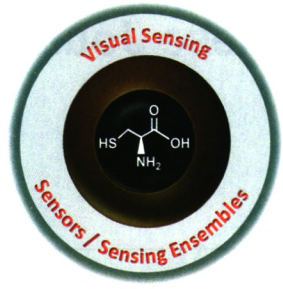
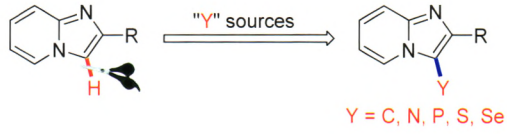
Research Progress in the Visual Sensors/Sensing Ensembles for *L*-Cysteine



Wang, Jun*; Hu, Liangjun; Shen, Jing; Jiang, Jiquan; Yu, Keyong; Sun, Rongguo
Chin. J. Org. Chem. **2018**, *38*(4), 760

The research progress in the visual sensors/sensing ensembles for *L*-cysteine is reviewed, and the development tendency of the sensors/sensing ensembles for Cys is prospected.

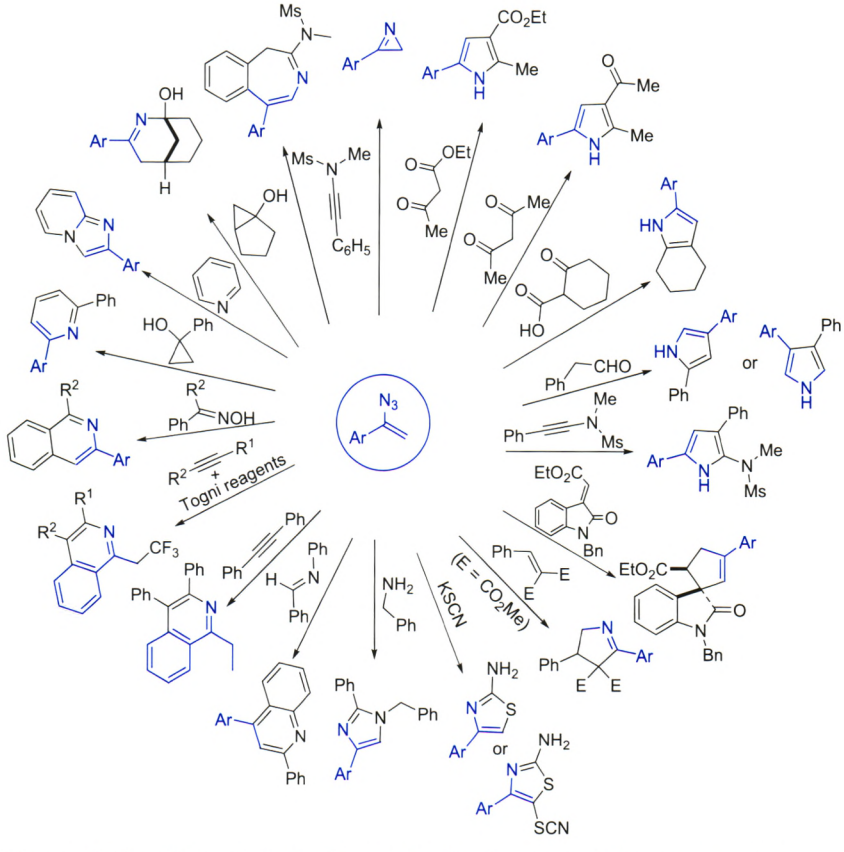
Progress in C—H Bond Functionalization on C-3 Position of Imidazo[1,2-*a*]pyridines



Jin, Cheng'an; Xu, Qing; Feng, Gaofeng; Jin, Yang; Zhang, Lianyang*
Chin. J. Org. Chem. **2018**, *38*(4), 775

3-Substituted imidazo[1,2-*a*]pyridines are important *N*-fused heterocycles with extensive application value in medicine, materials and other fields. C(3)—H bond functionalization strategy was a simple and effective way to build 3-substituted imidazo[1,2-*a*]pyridines. The recent advances of the access to 3-substituted imidazo[1,2-*a*]pyridines according to the type of bonding (C—C, C—S/Se, C—N/P) on C-3 position are briefly summarized, and a prospect for the future development is made.

Recent Advances of *α*-Aryl Vinyl Azides in Nitrogen Heterocycle Synthesis



Yan, Jun; Ji, Xiaoyue; Hua, Shugui*; Wang, Jing
Chin. J. Org. Chem. **2018**, *38*(4), 791

The reactivities of *α*-aryl vinyl azide and the developments of the recent application of *α*-aryl vinyl azide in nitrogen heterocycle synthesis are reviewed, including mechanism, reaction characteristics and application study, thus it may be helpful for the research on nitrogen heterocycle synthesis.

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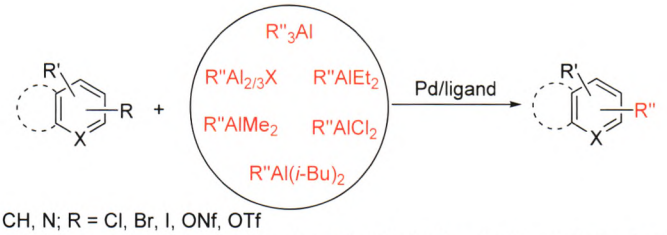
Recent Advance of Palladium-Catalyzed Cross-Coupling Reactions of Organoalanes with Electrophiles Reagents

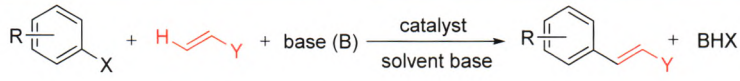


X = CH, N; R = Cl, Br, I, ONf, OTf
R' = alkyl, ester, alkoxy, halogen, amino; R" = alkyl, alkenyl, alkynyl, (hetero)aryl

Li, Qinghan*; Shao, Xuebei; Zhang, Gang; Ding, Yong; Yang, Xuejun; Chen, Feng*
Chin. J. Org. Chem. **2018**, *38*(4), 802

The recent research results about the organoaluminum reagents applied in cross-coupling reactions catalyzed by palladium are reviewed, involving various reaction systems.

Progress in Oxidative Coupling Reaction of Olefins, Alkynes and Aromatic Hydrocarbons Catalyzed by Palladium



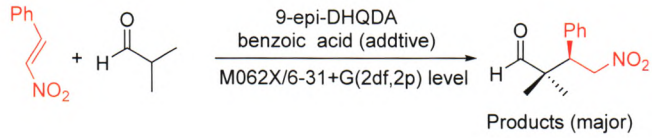
X = I, Br, Cl, N_2, OTf, OTs, ONf, SO_2Cl; Y = H, Ph, CH_3, COOR, CN;
B = NEt_3, $(n\text{-Bu})_3N$, $i\text{-Pr}_2NEt$, Na_2CO_3, K_2CO_3, NaOAc, KOAc

Xu, Lige; Huang, Yi; Liu, Binggen; Niu, Yunhong; Huo, Xing*
Chin. J. Org. Chem. **2018**, *38*(4), 812

Palladium-catalyzed oxidative coupling has undergone decades of development and has become an important organic synthesis method. Oxidative coupling reaction can effectively activate or functionalize the C—H bonds of olefins, alkynes, and aromatics, which has a significant advantage over traditional reaction methods. The progress in oxidative coupling reaction of olefins, alkynes and aromatic hydrocarbons catalyzed by palladium is reviewed.

ARTICLES

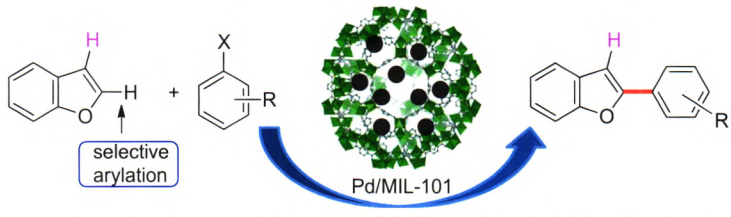
Theoretical Study on the Conjugate Addition of Asymmetric Michael Addition of *trans*-1-Nitro-2-phenylethylene to 2-Methylpropionaldehyde Catalyzed by Cinchona Alkaloid Derived Primary Amine



Jiang, Haiyang*; Li, Qiang; Qi, Qingjie; Yang, Chenxi; Zhang, Dan
Chin. J. Org. Chem. **2018**, *38*(4), 825

The mechanism and enantioselectivity of the conjugate addition of asymmetric Michael addition of β-nitrostyrene to isobutylaldehyde catalyzed by cinchona alkaloid derived primary amine under the influence of 9-epi-DHQDA as organic catalyst and benzoic acid as co-catalyst are investigated using DFT/M062X method. The calculated results indicated that the minimum energy paths lead to the (*R*)-product, which accounts well for the observations in experiments.

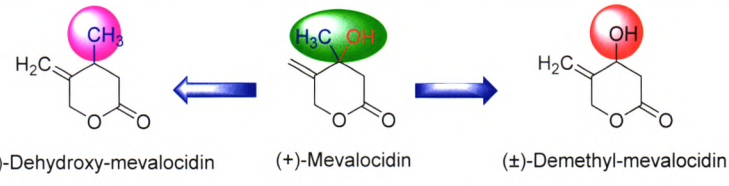
Palladium Nanoparticles Supported on MIL-101 as an Efficient Heterogeneous Catalyst for Selective C_2 Arylation of Benzofuran



Xu, Huan; Zhang, Maoyuan; Huang, Xiang; Shi, Dabin*
Chin. J. Org. Chem. **2018**, *38*(4), 832

A highly active Pd/MIL-101 catalyst was prepared and characterized. The catalytic experiments show that Pd/MIL-101 has a high catalytic activity for the C_2 arylation of benzofuran. After 5 runs of catalysis, the catalyst can still maintain high reactivity.

Design and Synthesis of Natural Product Mevalocidin Chiral Center Based Analogues



Wu, Qiongyou*; Zhang, Rui; Pan, Jinhuan; Clough, John; Gu, Yucheng; Yang, Guangfu*
Chin. J. Org. Chem. **2018**, *38*(4), 840

The structure modification of natural phytotoxin mevalocidin at its chiral center was investigated with the aim of discovering novel herbicides with improved activity.

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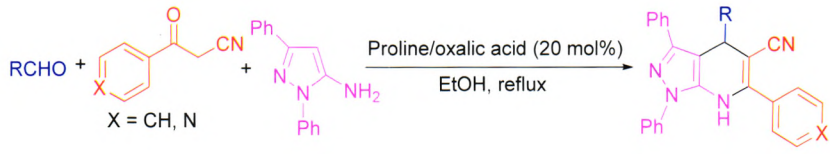
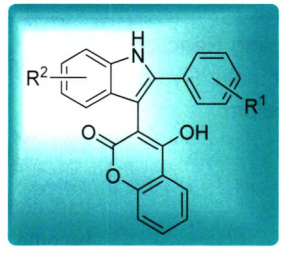
Deep Eutectic Solvent Catalyzed One-Pot Synthesis of 4,7-Dihydro-1*H*-pyrazolo[3,4-*b*]pyridine-5-carbonitriles



Gao, Ge; Wang, Ping; Liu, Peng; Zhang, Weihong; Mo, Liping; Zhang, Zhanhui*
Chin. J. Org. Chem. **2018**, *38*(4), 846

Deep eutectic solvent based on *L*-proline and oxalic acid has been demonstrated for the first time as an efficient catalyst for synthesis of 4,7-dihydro-1*H*-pyrazolo[3,4-*b*]pyridine-5-carbonitrile derivatives via one-pot three-component reaction of aldehyde, 3-oxopropanenitrile and 1*H*-pyrazol-5-amine.

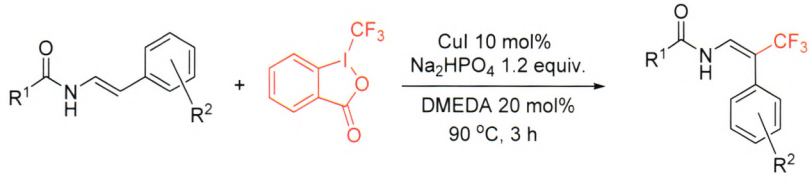
Microwave-Assisted Synthesis of 3-Substituted Indole Derivatives via Three-Component Domino Reaction



Lin, Wei*; Hu, Xiuxiu; Wang, Yazhen; Song, Shuai; Zhang, Mengye; Shi, Daqing*
Chin. J. Org. Chem. **2018**, *38*(4), 855

The research of indoles has been one of the most active areas of heterocyclic chemistry. In particular, 3-substituted indole derivatives have received much attention as building blocks for the synthesis of many natural products and other biologically active compounds. An synthetic procedure for multi-substituted indole derivatives was successfully developed by a three-component reaction of phenylglyoxal monohydrate, aromatic amine and 4-hydroxycoumarin with a catalytic amount of trifluoroacetic acid under microwave irradiation conditions. This method has the advantages of simple operation, readily available raw materials, and high atom utilization.

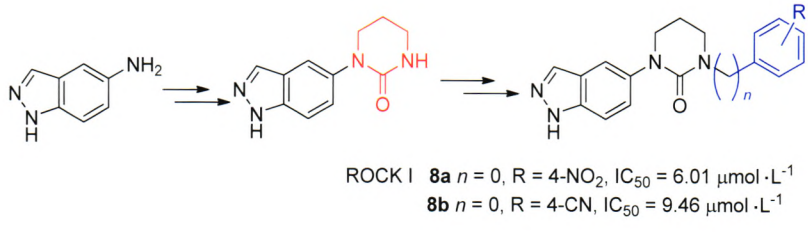
Copper(I)-Catalyzed Non-terminal Enamides Trifluoromethylation: Flexible Synthesis of *N*-(3,3,3-Trifluoro-2-arylprop-1-en-1-yl) Substituted Benzamide



Wang, Qing; Gao, Kecheng; Zou, Jianping; Zeng, Runsheng*
Chin. J. Org. Chem. **2018**, *38*(4), 863

A novel copper-catalyzed synthesis of *β*-trifluoromethyl amine derivatives is developed. The reaction proceeds via a Togni reagent firstly released CF_3 radical in the presence of copper(I) salts and CF_3 radical selectively added to the carbon-carbon double bond of *β*-position of enamides.

Design, Synthesis and Biological Activity Evaluation of Novel Rho Kinase Inhibitors



Yao, Yangyang; Liu, Xiaoyu; Yang, Feilong; Yang, Ying; Yuan, Tianyi; Fang, Lianhua; Du, Guanhua; Jiao, Xiaozhen*; Xie, Ping*
Chin. J. Org. Chem. **2018**, *38*(4), 871

Sixteen novel 1-substituted-(1*H*-indazol-5-yl)tetrahydropyrimidin-2(1*H*)-one derivatives were designed and synthesized as ROCK inhibitors. 1-(1*H*-Indazol-5-yl)-3-(4-nitrophenyl)tetrahydropyrimidin-2(1*H*)-one (**8a**) and 4-(3-(1*H*-indazol-5-yl)-2-oxotetrahydropyrimidin-1(2*H*)-yl)benzonitrile (**8b**) showed potent ROCK inhibitory activity and vasorelaxant activity in rat basilar artery ring.

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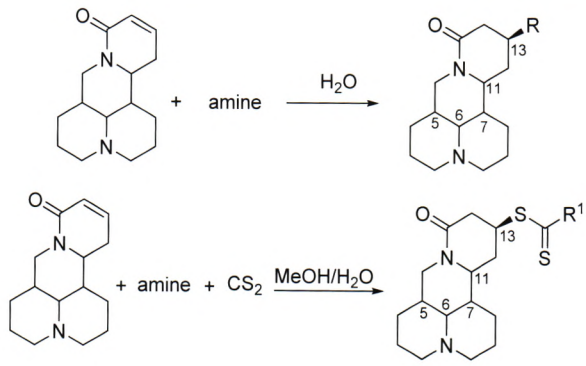
万方数据

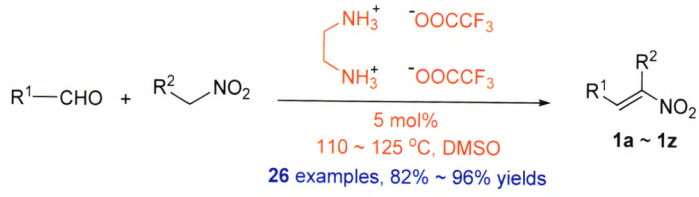
Synthesis of New Matrine Derivatives in Aqueous Phase and Their Crystal Structures



Wang, Wei*; Lü, Mengjiao; Zhao, Lixia; Zhang, Yaling; Li, Benhao; Li, Baolin*
Chin. J. Org. Chem. **2018**, *38*(4), 883

Thirteen matrine derivatives were synthesized with one step in aqueous phase. The chemical structures of the synthesized compounds were characterized by ^{1}H NMR, ^{13}C NMR, HRMS and X-ray diffraction. Preliminary antitumor activity evalution of thirteen compounds was also conducted by thiazolyl blue tetrazolium bromide (MTT) method.

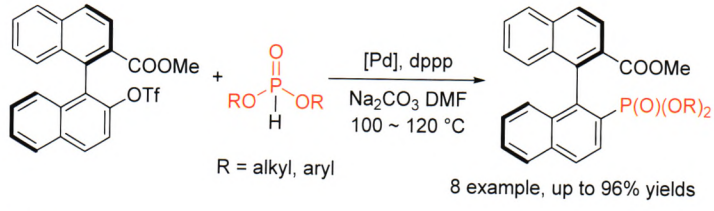
Synthesis of the Variously Substituted Nitroalkenes via Ethylenediaminium Trifluoroacetate-Catalyzed Condensation of Nitroalkanes with Aryl Aldehydes



Huang, Zhongshou; Zhu, Xingliang; He, Yungang; Li, Fenglei; Meng, Tianzhuo; Shi, Xiaoxin*
Chin. J. Org. Chem. **2018**, *38*(4), 890

A general method for the synthesis of nitroalkenes is described, in which ethylenediaminium trifluoroacetate (EDA-TFA) was used as an effective catalyst for the condensation of aryl aldehydes with various nitroalkanes. In presence of 5 mol% catalyst, one-pot reaction of aryl aldehydes with various nitroalkanes in dimethyl sulfoxide efficiently afforded various nitroalkenes in 82%～96% yields.

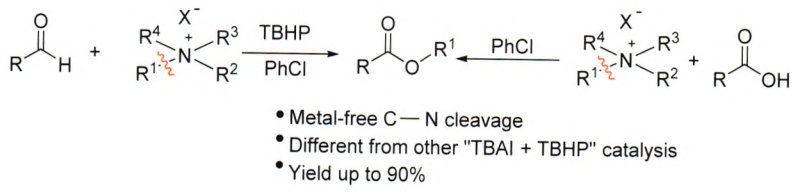
Palladium-Catalyzed Cross-Coupling Reaction of Arenesulphonate with Phosphite



Feng, Zhigang; Xie, Xiaomin; Zhang, Zhaoguo*
Chin. J. Org. Chem. **2018**, *38*(4), 896

An efficient cross-coupling reaction between arenesulphonates and phosphites using palladium as catalyst to synthesize a series of organo-phosphorus compounds is reported. The amount of catalyst can be reduced to 1%. In addition, a chiral N/P ligand is achieved via this approach.

Metal-Free Esterification of Aldehydes or Carboxylic Acids with Quaternary Ammonium Salts



Menggen, qiqige; Wu, Yun; Bao, Yongsheng*
Chin. J. Org. Chem. **2018**, *38*(4), 902

A metal-free esterification of various aldehydes or carboxylic acids with quaternary ammonium salts has been developed for selective synthesis of esters. A possible mechanism containing radical process that aldehyde converts to carboxylic acid and the generation of iodohydrocarbon via C—N bond cleavage of quaternary ammonium salt is proposed.

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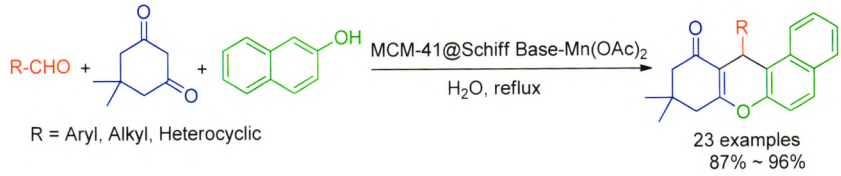
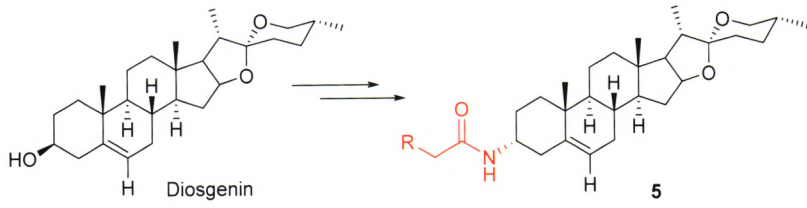
MCM-41@Schiff Base-Mn(OAc)$_2$ Catalyzed Synthesis of Xanthene Derivatives in Water



Xing, Liuzhuang; Hou, Yadong; Xing, Xuejian; Hui, Yonghai*
Chin. J. Org. Chem. **2018**, *38*(4), 912

MCM-41@Schiff base-Mn(OAc)$_2$, a heterogeneous catalyst, was used for the synthesis of xanthene derivatives in water with high catalytic activity, up to 99%.

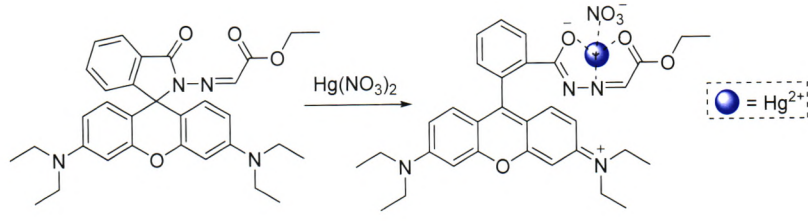
Study on the Synthesis and Bioactivity of Diosgenin Antitumor Derivatives



Luo, Zhuoma; Hu, Yuegao; Wang, Luhong; Yin, Xiangjian; Ma, Xiaodong; Yang, Hongjun*; Zhao, Zhigang
Chin. J. Org. Chem. **2018**, *38*(4), 919

Nine novel diosgenin derivatives were designed and synthesized by using diosgenin as a precursor. Their structures were identified by ^{1}H NMR, ^{13}C NMR, IR and HRMS. All derivatives were tested for anti-tumor activity and cytotoxicity using thiazolyl blue tetrazolium bromide (MTT). The results show that most diosgenin derivatives have significant anti-tumor activity and low cytotoxicity.

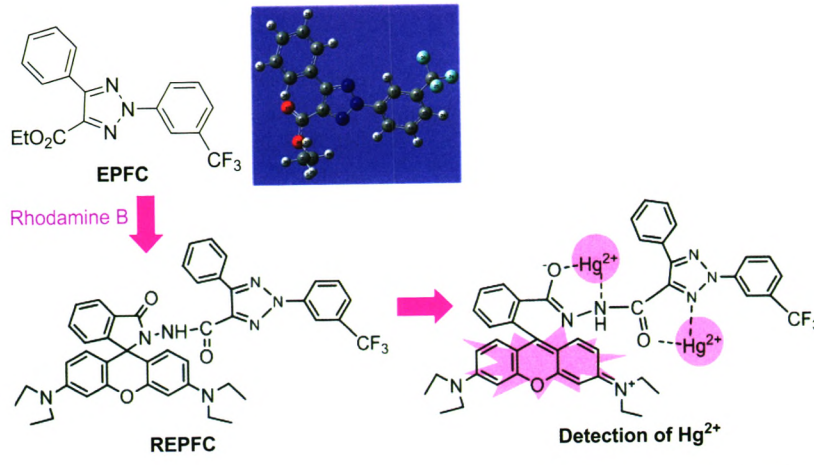
A Hg^{2+}-Selective Fluorescence Probe: Synthesis and Application in Aqueous Solution and Living Cell Imaging



Zhang, Min; Xiao, Huifeng; Han, Zhixiang; Yang, Liuqing; Wu, Xiangyang*
Chin. J. Org. Chem. **2018**, *38*(4), 926

A new fluorescence probe based on rhodamine B and ethyl glyoxalate was synthesized and characterized. It can be applied to the detection of Hg^{2+} in aqueous media and living cells with high sensitivity and selectivity.

Structural Properties of Ethyl 5-Phenyl-2-(3-(trifluoromethyl)phenyl)-2*H*-1,2,3-triazole-4-carboxylate and Chromo Genic Responses of Its Rhodamine B Derivatives to Hg^{2+} Ions



Li, Jianling; Ding, Guohua; Niu, Yanyan; Wu, Luyong; Duan, Hongye; Feng, Huajie*; He, Wenying*
Chin. J. Org. Chem. **2018**, *38*(4), 931

Ethyl 5-phenyl-2-(3-(trifluoromethyl)phenyl)-2*H*-1,2,3-triazole-4-carboxylate (EPFC), a newly synthesized compound, is used to study its structural properties and explores as a fluorescent probe for metal ions. EPFC was investigated in terms of structural, fluorescence spectroscopic, UV-Vis spectroscopic and theoretical analysis by using HF/6-31G(d), CIS/6-31G(d) and B3LYP/6-31G(d) methods, respectively.

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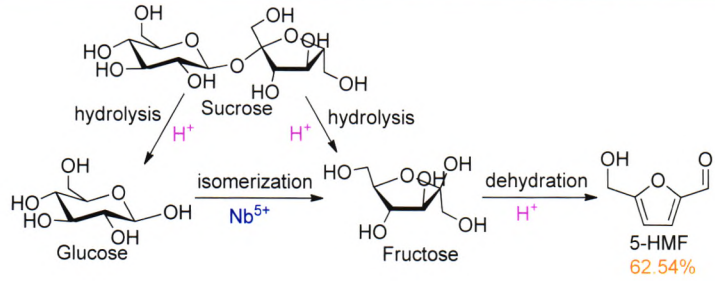
NOTES

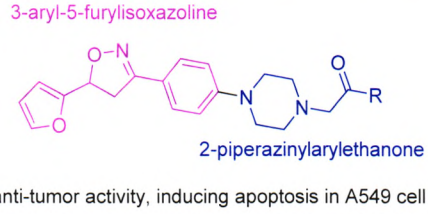
Synthesis of 5-Hydroxymethylfurfural from Sucrose Catalyzed by Phosphtungstate



The catalyst $Nb_{0.6}PW_{12}O_{40}$ was used in synthesis of 5-hydroxymethylfurfural (5-HMF) from sucrose, and the optimum yield of 5-HMF reached 62.54% with 99.3% conversion of sucrose, using $Nb_{0.6}PW_{12}O_{40}$ in dimethyl sulfoxide at 80 ℃ under 0.1 MPa of N_2 with reaction time of 90 min. Moreover, $Nb_{0.6}PW_{12}O_{40}$ could be reused five times without loss of activity, and the reaction mechanism was proposed. This study has reference significance for carbohydrate conversion to 5-HMF.

Qiu, Guo; Wang, Xincheng; Huang, Chongpin*; Zhang, Pu; Li, Yingxia; Chen, Biaohua
Chin. J. Org. Chem. **2018**, *38*(4), 940

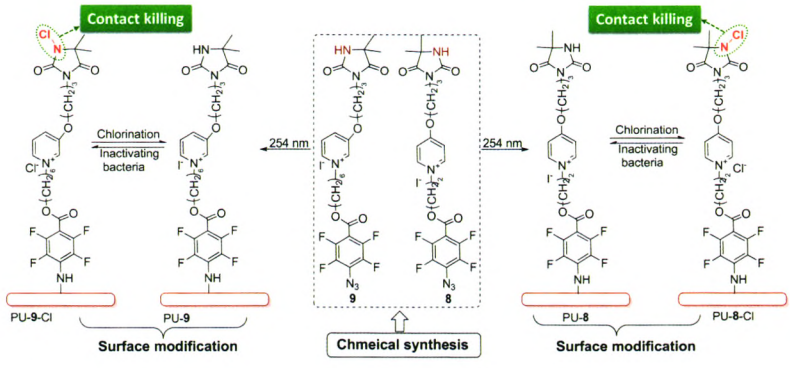
Synthesis and Cytotoxic Activity of New 3-Aryl-5-furanyl-4,5-dihydroisoxazoline Derivatives



Ten new 3-(4-piperazinyl)phenyl-5-(2-furanyl)-4,5-dihydroisoxazoline derivatives **3**～**12** have been designed and synthesized, and their *in vitro* cytotoxic activity against a panel of human tumor cell lines (Hela, A549 and SGC7901) was screened by the MTT assay. The result indicated that dihydroisoxazoline derivatives had potent cytotoxic activity.

Li, Yongkun; Liu, Bei; Zhang, Lijun; Zhu, Jiahong; Wan, Chunping*; Mao, Zewei*
Chin. J. Org. Chem. **2018**, *38*(4), 949

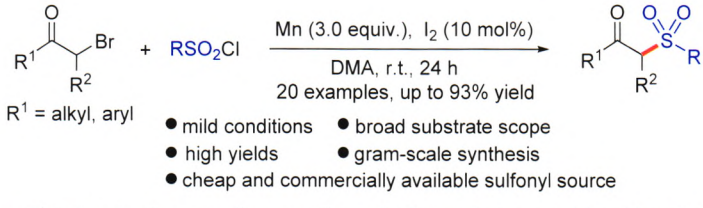
Synthesis and Immobilization of Pyridinium *N*-Chloramine Precursors on PU Film for Antibacterial Application



Pyridinium *N*-chloramine precursors **8**～**9** containing perfluorophenyl azide unit were synthesized and photo immobilized on PU films upon UV light irradiation. After bleaching treatment, the resulting PU film was rendered antibacterial and PU-**8**-Cl demonstrated even higher biocidal efficacy. This work enables facile immobilization of *N*-chloramine precursors on inert polymer surface to produce durable *N*-chloramine antibacterial materials.

Li, Lingdong*; Chi, Xiaofang; Yan, Jiawei; Zhao, Zihan
Chin. J. Org. Chem. **2018**, *38*(4), 955

Facile Access to *β*-Ketosulfones via Mn-Mediated Reductive Coupling of *α*-Bromoketones with Sulfonyl Chlorides



A Mn-mediated reductive coupling reaction of *α*-bromoketones with sulfonyl chlorides has been developed under mild conditions. Various *β*-ketosulfones were obtained in good to excellent yields.

Chen, Zhen; Guo, Kang; Chen, Rongshun*; Gu, Chen; Zhou, Huating; Zhu, Yingguang*
Chin. J. Org. Chem. **2018**, *38*(4), 963

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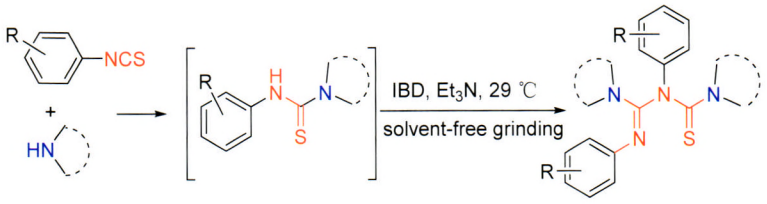
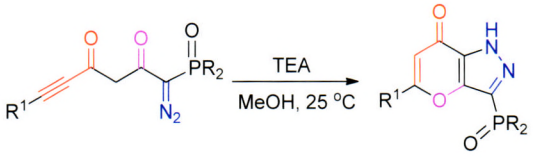
Synthesis of Thioamidoguanidine Derivatives Under Solvent-Free Grinding Conditions



The *in situ* generated aryl-alkyl unsymmetrical thioureas were prepared by the reaction of aryl isothiocyanate with cyclic aliphatic secondary amine. Then, the thioamidoguanidino derivatives instead of the expected Hugerschoff product 2-aminobenzothiazole were obtained from unsymmetrical thioureas using iodosobenzene diacetate and Et_3N under solvent-free grinding conditions.

Liu, Tianbao*; Peng, Yanfen; Wang, Yajie; Yong, Jiayuan; Wang, Xi
Chin. J. Org. Chem. **2018**, *38*(4), 969

Synthesis of 3-Phosphonyl Pyrano[3,2-*c*]pyrazol-7(1*H*)-one



Base-mediated cyclization of 1-diazo-2,4-dioxo-5-ynylphosphonate provided novel 3-phosphonyl pyrano[3,2-*c*]pyrazol-7(1*H*)-one derivatives in 48%～99% yields. Mild conditions, simple manipulation and functional group diversity are the salient features of this methodology.

Chen, Bo; Liu, Weishun; Zhang, Jianfang; Liu, Jiayi; Deng, Guisheng*
Chin. J. Org. Chem. **2018**, *38*(4), 975

HIGHLIGHTS

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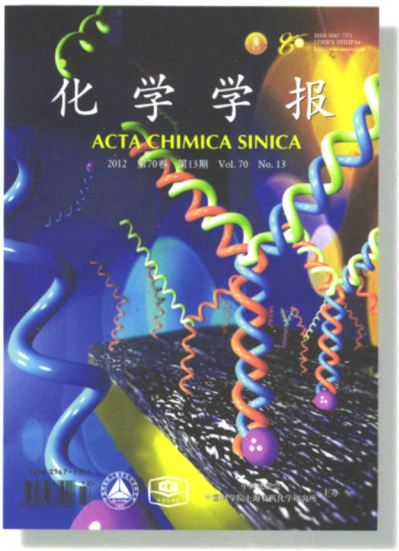

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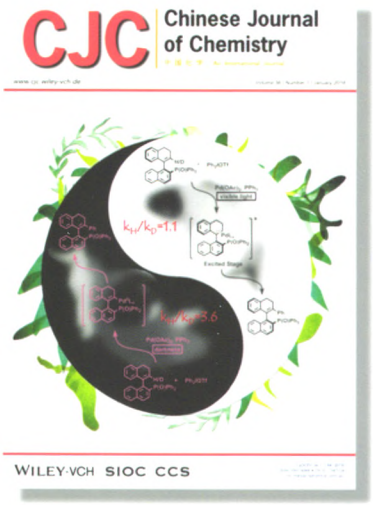

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