

有机化学

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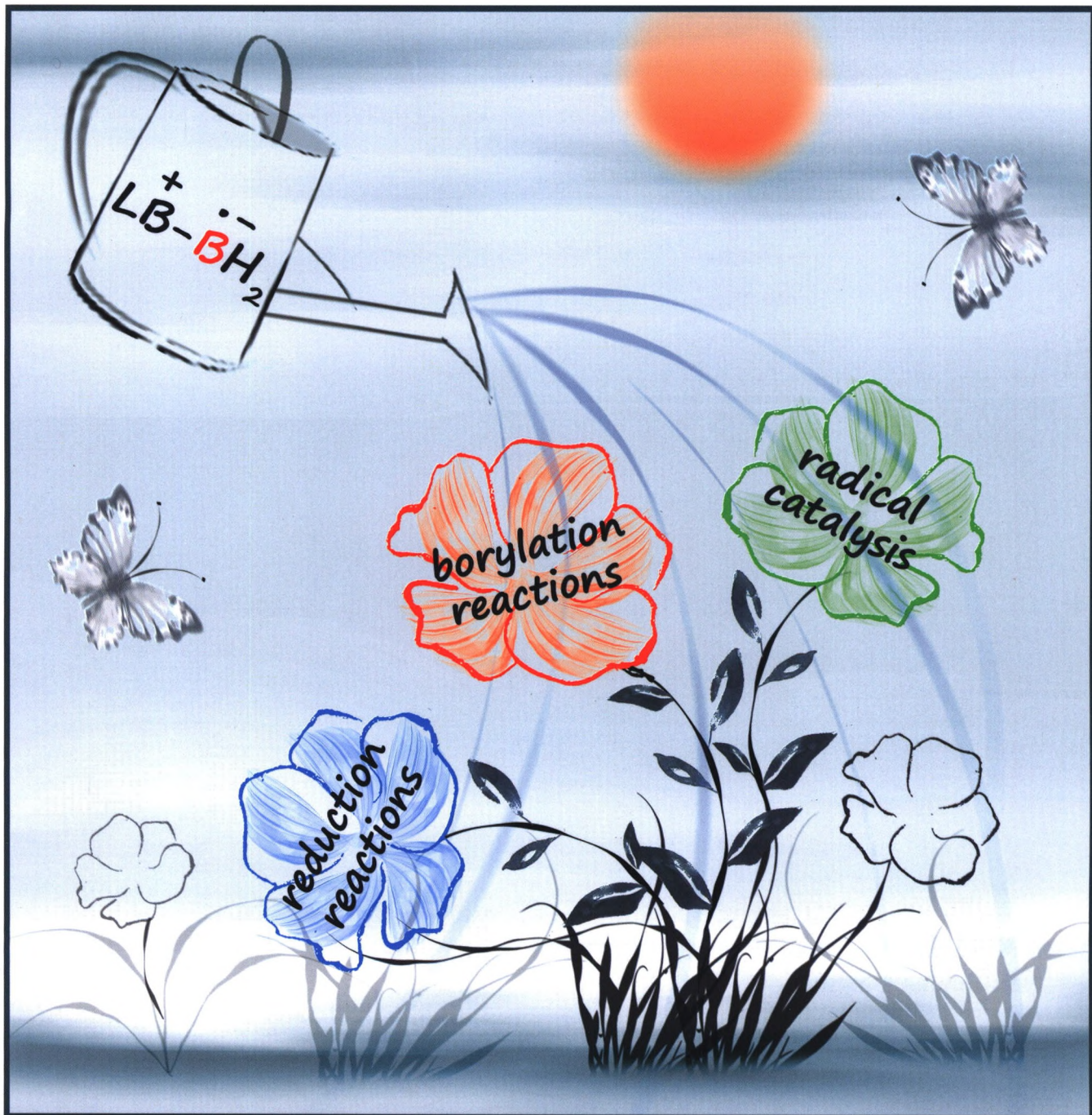
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中国科学院上海有机化学研究所

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Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 40 卷 第 8 期 (总 381 期) 2020 年 8 月

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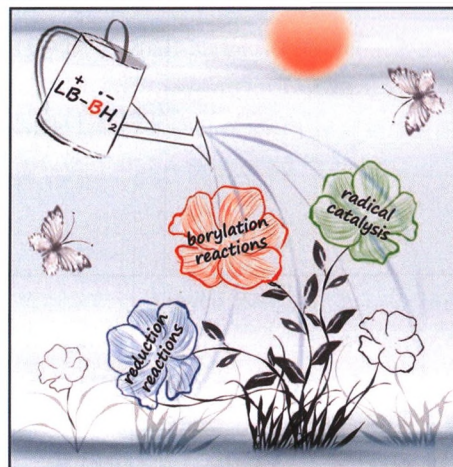
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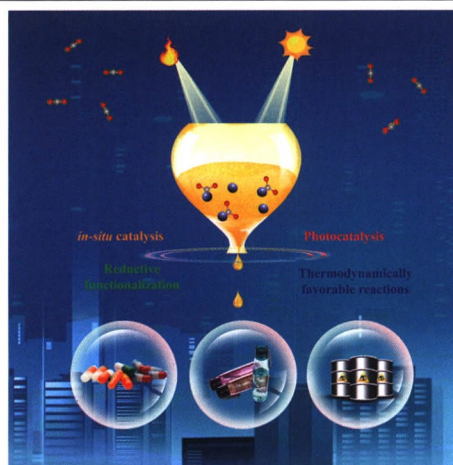
Cover Picture: Lewis-Base Boryl Radicals Enabled Borylation, Radical Catalysis and Reduction Reactions

Lewis-base boryl radicals have recently received increasing attention in synthetic chemistry because of their unique chemical reactivity. The research progress on synthetic applications of Lewis base-boryl radicals in borylation reactions, radical catalysis, and reduction reactions is summarized. This paper is reported by Jin, Xia, Zhang, and Wang on page 2185.



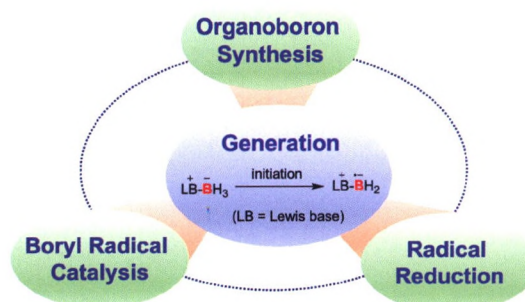
Inside Cover: Advance and Prospective on CO₂ Activation and Transformation Strategy

Carbon dioxide valorization into valuable chemicals is a promising approach to release environmental and energy issues. Recent efforts to design novel strategies including carbon dioxide capture and *in-situ* transformation, reductive functionalization of carbon dioxide, multi-component cascade reaction, photo-promoted carbon dioxide transformation and so on for carbon dioxide activation and transformation by Liangnian He's group are highlighted on page 2195.



ACCOUNT

Lewis-Base Boryl Radicals Enabled Borylation, Radical Catalysis and Reduction Reactions



This account summarizes our new findings in this research field, including Lewis-based boryl radicals enabled borylation reactions, Lewis-based boryl radicals-catalyzed new reactions, and Lewis-based boryl radicals promoted reduction reactions. These reactions feature mild reaction conditions, good functional groups compatibility, high yields, and excellent chemo-, regio-, and stereo-selectivities.

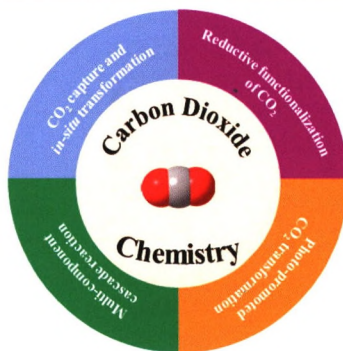
Jin, Jikang; Xia, Huimin; Zhang, Fenglian; Wang, Yifeng*
Chin. J. Org. Chem. **2020**, 40(8), 2185

CONTENT

REVIEWS

Advance and Prospective on CO₂ Activation and Transformation Strategy

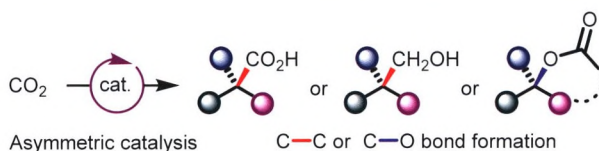
Chen, Kaihong; Li, Hongru; He, Liangnian*
Chin. J. Org. Chem. **2020**, 40(8), 2195



In recent years, He's group made great progress on strategy design and catalyst development for CO₂ conversion. A series novel CO₂ conversion strategies are proposed, including CO₂ capture and *in-situ* transformation, hierarchical reductive functionalization of CO₂, designing thermodynamically favorable reactions by multi-component cascade reaction and photo-promoted CO₂ transformation.

Recent Advances of CO₂ Fixation via Asymmetric Catalysis for the Direct Synthesis of Optically Active Small Molecules

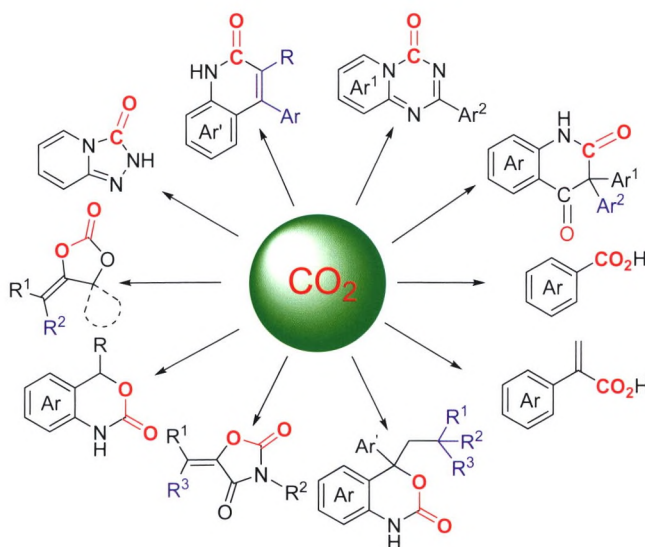
Guo, Xiao; Wang, Yazhou; Chen, Jie*; Li, Gongqiang*; Xia, Ji-Bao*
Chin. J. Org. Chem. **2020**, 40(8), 2208



Catalytic enantioselective small molecule compounds with carbon dioxide as a C1 feed-stock has been summarized.

Recent Progress in the Carboxylation/Cyclization Reactions Using Carbon Dioxide as the C1 Source

Zhou, Cong; Li, Miao; Yu, Jintao; Sun, Song*; Cheng, Jiang*
Chin. J. Org. Chem. **2020**, 40(8), 2221



The advances on the annulation reaction of atmospheric CO₂ with N-, and O-nucleophiles for the construction of various carbonyl-containing heterocycles, including benzoxazin, cyclic carbamates, lactams, oxazolidine-2,4-diones are reviewed. In addition, the carboxylation of C-nucleophiles with CO₂ toward carboxylic acids is also summarized.

Advances in Organofluorine Compounds with Aggregation-Induced Emission

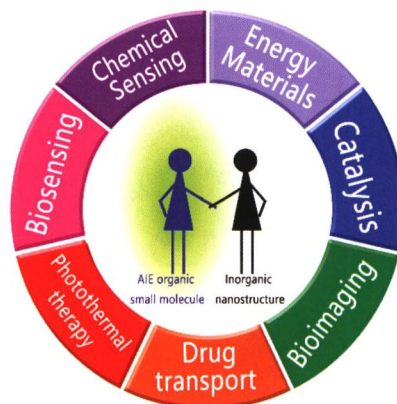
Qin, Chengyuan; Liu, Wei; Nie, Yong*
Gao, Ying; Miao, Jinling; Li, Tianrui; Jiang, Xuchuan*
Chin. J. Org. Chem. **2020**, 40(8), 2232



The organofluorine compounds with aggregation-induced emission (AIE) properties are summarized and classified. The currently reported AIE organofluorine compounds include the fluorinated tetraphenylethene (TPE) derivatives, 9,10-distyrylanthracene (DSA) derivatives, cyanostilbene derivatives, distyrylbenzene derivatives, fluorinated polymers, carboranes, room temperature phosphorescent compounds, and some other structures. The prospects of the future study are also discussed.

Recent Progress in Aggregation-Induced
Emission-Active Organic Small Molecule
Inorganic Nanocomposites

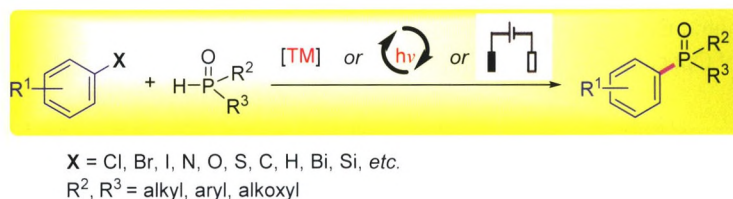
Gao, Ying; Qin, Chengyuan; Nie, Yong*;
Liu, Wei; Li, Tianrui; Jiang, Xuchuan*
Chin. J. Org. Chem. **2020**, 40(8), 2254



The recent progress in the organic-inorganic composites of aggregation-induced emission (AIE)-active organic small molecules and various types of inorganic nanostructures is reviewed. The typical applications of these composites in chemical sensing, biosensing, bioimaging, drug transport, catalysis, photothermal therapy and energy materials are summarized. The prospects of the future research are also discussed.

Progress in the Synthesis of Arylphos-
phonates via Ar—P Bond Construction

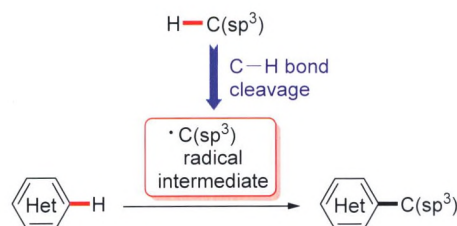
Sun, Kai; Liu, Haidong; Xie, Qi; Luo, Hai-
qing*
Chin. J. Org. Chem. **2020**, 40(8), 2275



On basis of various aryl sources for the Ar—P bond construction, the recent advances in the development of the synthesis of arylphosphonates catalyzed by transition metals and photoinduced are surveyed.

Recent Progress in Radical Alkylation of
Heteroarenes Based on C(sp³)—H bond
Cleavage Strategy

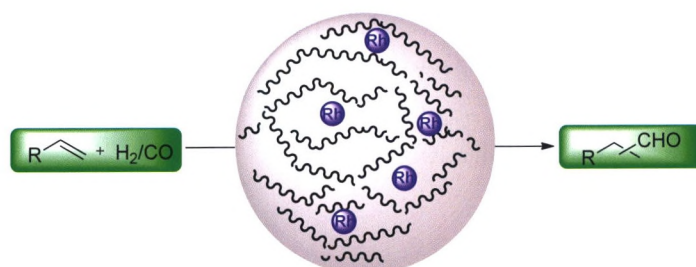
Luo, Wenkun; Yang, Kai*; Yin, Biao*
Chin. J. Org. Chem. **2020**, 40(8), 2290



C(sp³)—H bond cleavage strategy was widely used in radical alkylation of heteroarenes in organic synthesis and has been successfully applied in the total synthesis of natural products and pharmaceuticals due to its excellent atom economy. Based on the different precursor compounds (ethers, alcohols, amines, esters, amides and common alkanes), the research progress of radical alkylation of heteroarenes in a decade is summarized, and the related mechanism is also discussed.

Progress in Application of Organic Poly-
mers Supported Rhodium Catalysts in
Hydroformylation

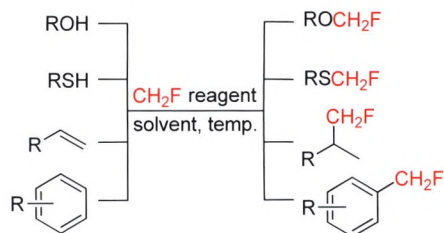
Zong, Lingbo; Chen, Jianbin; Ren, Xinyi;
Zhang, Guoying; Jia, Xiaofei*
Chin. J. Org. Chem. **2020**, 40(8), 2308



The research progress of the application of organic polymer supported catalysts in hydroformylation is summarized, including synthesis, material characteristics and application of supported catalysts. Finally, the future development of them is also prospected.

CONTENT

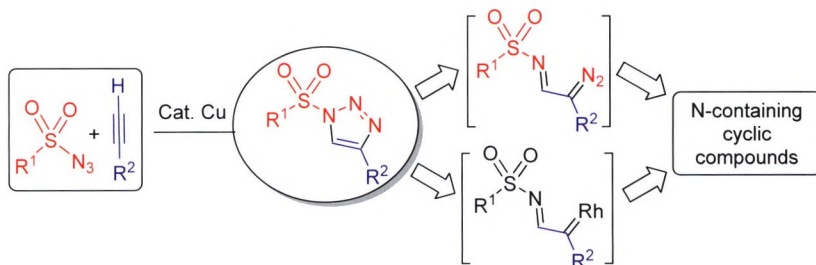
Recent Progress in Monofluoromethylation



Liu, Yingjie*; Li, Chen; Meng, Jianping; Song, Dongxue; Liu, Bing; Xu, Ying
Chin. J. Org. Chem. **2020**, 40(8), 2322

The research progress of the monofluoromethylation of different structural molecules is summarized according to the classification of fluoromethyl reagents, and the possible mechanism of some reactions is discussed.

Progress in Synthesis of *N*-Sulfonyl-1,2,3-triazole and Its Application in Organic Synthesis

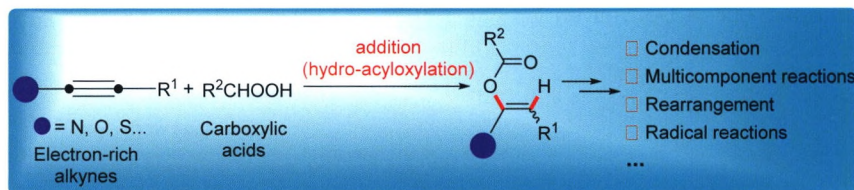


Cat. Cu: CuI/2,6-lutidine, CuBr/PhSMe, CuTC, Cu(OAc)₂·H₂O/2-aminophenol

Zhang, Wensheng*; Xu, Wenjing; Zhang, Fei; Ma, Chunyu; Ma, Keyou; Li, Yan
Chin. J. Org. Chem. **2020**, 40(8), 2338

The progress in the synthesis of *N*-sulfonyl-1,2,3-triazoles and their synthetic application in recent years is reviewed.

Recent Progress in the Addition Reaction of Electron-Rich Alkynes and Carboxylic Acids

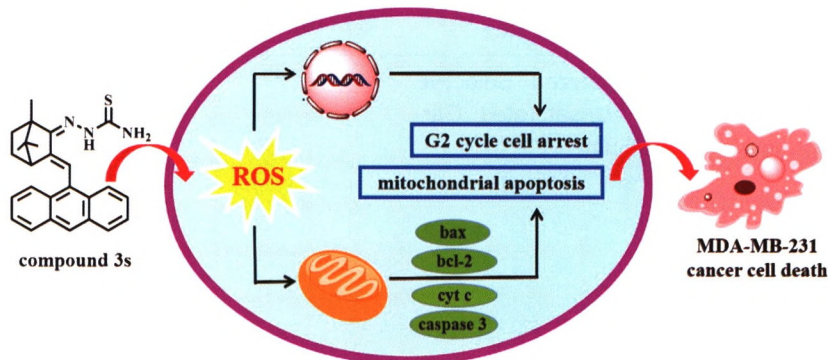


Zeng, Linwei; Cui, Sunliang*
Chin. J. Org. Chem. **2020**, 40(8), 2353

The progress of addition reactions between electron-rich alkynes and carboxylic acids is summarized, and the future perspective is prospected.

ARTICLES

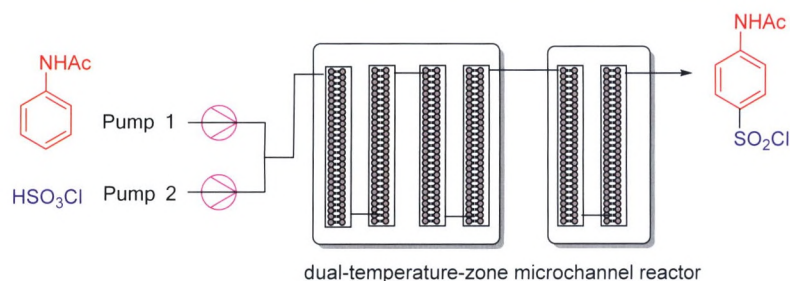
Camphor-Based Thiosemicarbazone Analogues Induced G2 Cell Cycle Arrest and Apoptosis via Reactive Oxygen Species (ROS)-Mediated Mitochondrial Pathway in Human Breast Cancer Cells



Zhang, Yan; Wang, Yunyun; Zhao, Yuxun; Zhang, Chenglong; Gu, Wen; Wang, Zhonglong; Zhu, Yongqiang*; Wang, Shifa*
Chin. J. Org. Chem. **2020**, 40(8), 2374

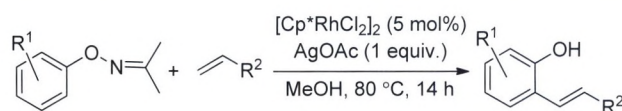
A series of novel camphor-based thiosemicarbazone derivatives were synthesized, and the most promising 2-(3-(anthracen-9-ylmethylene)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-ylidene)hydrazinecarbothioamide (**3s**) exhibited selective anti-tumor activities against human breast cancer cell line (MDA-MB-231) cells ($IC_{50} = 3.90 \pm 0.04 \mu\text{mol} \cdot \text{L}^{-1}$) and low toxicity.

Chlorosulfonation of Acetanilide in a Dual-Temperature-Zone Silicon Carbide Microchannel Reactor and Synthesis of Sulfasalazine



Chlorosulfonation of acetanilide was realized in a highly thermoconductive and corrosion-resistant dual-temperature-zone silicon carbide microchannel reactor. Highly efficient mass and heat transfer, short reaction time, easy control of reaction temperature, and intrinsic safety of microchannel reactor helped to achieve better selectivity, reproducibility, and productivity for organic synthesis.

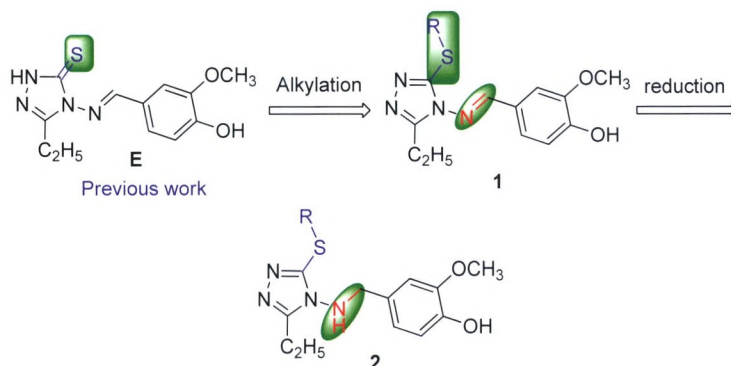
Zhang, Zishu; Zhao, Yulong; Geng, Huiling*
Chin. J. Org. Chem. **2020**, 40(8), 2387

Rhodium-Catalyzed *ortho*-Alkenylation of Phenols Directed by Acetone Oxime Ether

A high regioselective phenolic compound *ortho*-alkenylation was realized using the weak coordination center acetoxime ether as the guiding group and Rh as the catalyst. The strategy has advantages of simple and mild reaction conditions, wide substrate adaptability, and high regional selectivity.

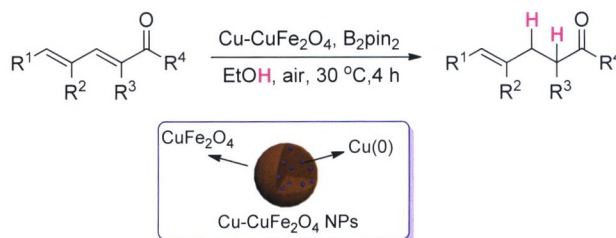
Liu, Lingling; Yang, Shan; Han, Yi; Dai, Chenyang; Shi, Daqing; Huang, Zhibin*; Zhao, Yingsheng*
Chin. J. Org. Chem. **2020**, 40(8), 2394

Synthesis, Crystal Structure and Neuraminidase Inhibitory Activity of 1,2,4-Triazole-3-sulfide Derivatives



A series of 1,2,4-triazole-3-sulfide derivatives were designed and synthesized. The preliminary assay of neuraminidase (NA, H1N1) inhibitory activity *in vitro* showed that most of compound **1** has more potent NA inhibitory activity.

He, Mei; He, Chaofan; Liu, Ling; Ye, Jiao*; Hu, Aixi*; Chen, Yun; Xu, Lujie; Liu, Ailin
Chin. J. Org. Chem. **2020**, 40(8), 2402

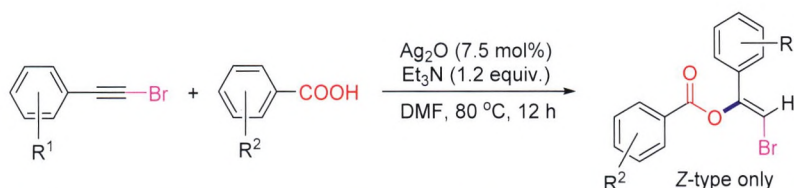
Nano Cu-CuFe₂O₄-Catalyzed Selective Reduction of $\alpha,\beta,\gamma,\delta$ -Unsaturated Carbonyls in Alcohol Medium

An efficient Cu-CuFe₂O₄ nanoparticle-catalyzed protodeboronation strategy has been developed for the chemoselective 1,4-reduction of $\alpha,\beta,\gamma,\delta$ -unsaturated ketones, carboxylic ester and cyano-ester. Additionally, the Cu-CuFe₂O₄ catalyst has shown excellent performance in gram-scale reactions. Furthermore, the catalytic mechanism has also been discussed. The reactivity of (*E*)- γ,δ -unsaturated carbonyl products enables easy access to 3-buten-1-ols, 3-buten-1-amines, γ -keto acids, cyclic ethers, and cyclic nitrones.

Cong, Yikang; Zeng, Xianghua*
Chin. J. Org. Chem. **2020**, 40(8), 2411

CONTENT

A Silver-Catalyzed Functionalization of 1-Bromoalkynes: Highly Regio- and Stereoselective Synthesis of (Z)- β -Bromo-1-arylviny Aryl Esters



- Good functional group tolerance
- Readily available starting materials
- High regio- and stereo-selectivity
- 21 examples, up to 94% yield

Sun, Mingli; Zhang, Jiajun; Zhang, Yicheng*; Li, Pinhua; Wang, Lei*
Chin. J. Org. Chem. **2020**, 40(8), 2419

A silver-catalyzed functionalization of 1-bromoalkynes for the highly regio- and stereo-selective synthesis of (Z)- β -bromo-1-arylviny aryl esters was developed. In the presence of Ag₂O as a catalyst, and Et₃N as a base, the reactions of 1-bromoalkynes with commercially available aromatic carboxylic acids underwent smoothly to afford the corresponding (Z)- β -bromo-1-arylviny aryl esters in good yields.

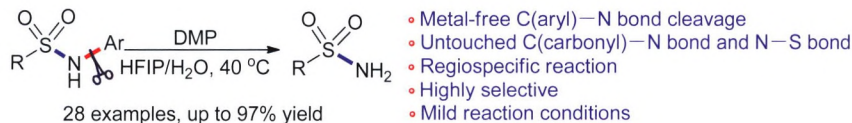
Copper-Catalyzed Cascade Bicyclization of *o*-Alkenylphenyl Isothiocyanates with Sodium Azide Leading to the 5*H*-Benzo[*d*]tetrazolo[5,1-*b*][1,3]thiazines



Zhang, Yahui; Liu, Yang; Miao, Jiankang; Hao, Wenyan*
Chin. J. Org. Chem. **2020**, 40(8), 2426

An efficient method for the synthesis of 5*H*-benzo[*d*]tetrazolo[5,1-*b*][1,3]thiazines via the copper(I)-catalyzed tandem bicyclization of *o*-alkenylphenyl isothiocyanates and sodium azide has been developed.

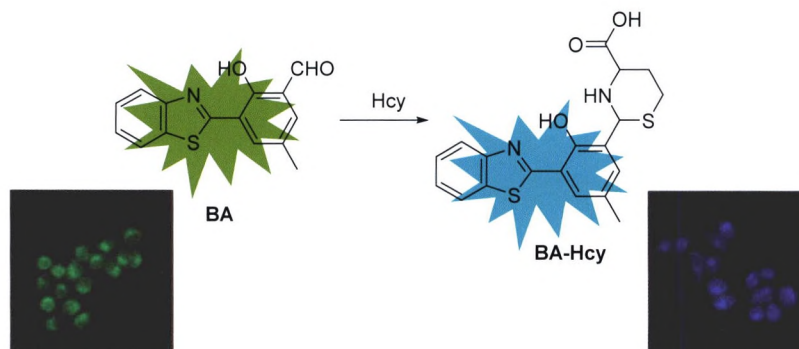
Hypervalent Organoiodine Promoted Dearylation Reaction of *N*-Aryl Sulfonamides



Song, Mengmeng; Zhang, Zhiguo*; Zheng, Dan; Li, Xiang; Liang, Rui; Zhao, Xu'na; Shi, Lei; Zhang, Guisheng*
Chin. J. Org. Chem. **2020**, 40(8), 2433

An efficient Dess-Martin periodinane-promoted dearylation of *N*-arylsulfonamides was developed through a highly selective oxidative cleavage of the inert C(aryl)—N bonds in secondary sulfonamides while leaving the S—N bond unchanged. This metal-free reaction proceeds under mild conditions and provides access to various biologically important primary sulfonamides, some of which are otherwise unattainable using conventional aminolysis and hydrolysis methods.

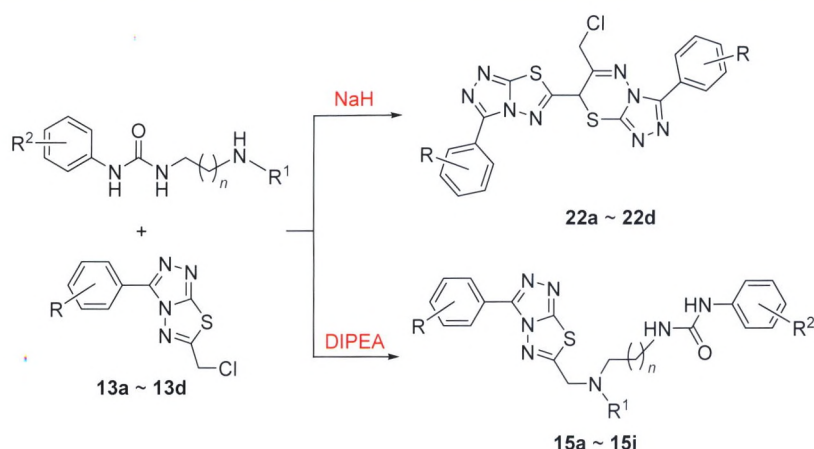
A Benzothiazole-Based Ratiometric Fluorescent Probe for Highly Selective Detection of Homocysteine and Its Bioimaging Application



Shen, Youming*; Gu, Biao*; Liu, Xin; Tang, Yucai; Li, Haitao
Chin. J. Org. Chem. **2020**, 40(8), 2442

A ratiometric fluorescent probe **BA** had been developed the detection of Hcy. The probe possessed itself green fluorescence. Upon addition of Hcy, probe **BA** solution showed remarkable blue fluorescence by addition-cyclization reaction.

Design, Synthesis, and Biological Activities of Novel Triazolothiadiazole Derivatives Linked with Amino Side Chain Containing Urea Group as DOT1L Inhibitors

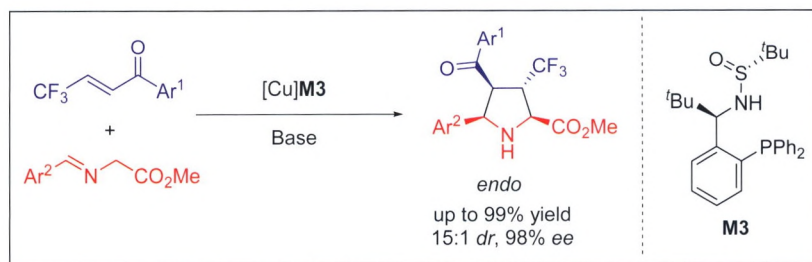


Liu, Na; Guo, Siqi; Liu, Junfang; Chen, Yantao; Xu, Xiaoming; Zhang, Jing; Kang, Yaqing; Luo, Cheng; Chen, Shijie*; Chen, Hua*

Chin. J. Org. Chem. **2020**, 40(8), 2450

A series of novel triazolothiadiazole derivatives linked with amino side chain containing urea group **15** were designed and synthesized as potential DOT1L (disruptor of telomeric silencing 1-like) inhibitors. The new dimeric analogues **22** bearing with triazolothiadiazole-triazolothiadiazine were obtained by intermolecular reaction of two molecules of **13**.

Ming-Phos/Copper(I)-Catalyzed Asymmetric Intermolecular [3+2] Cycloaddition of Azomethine Ylides with Trifluoromethyl Enones

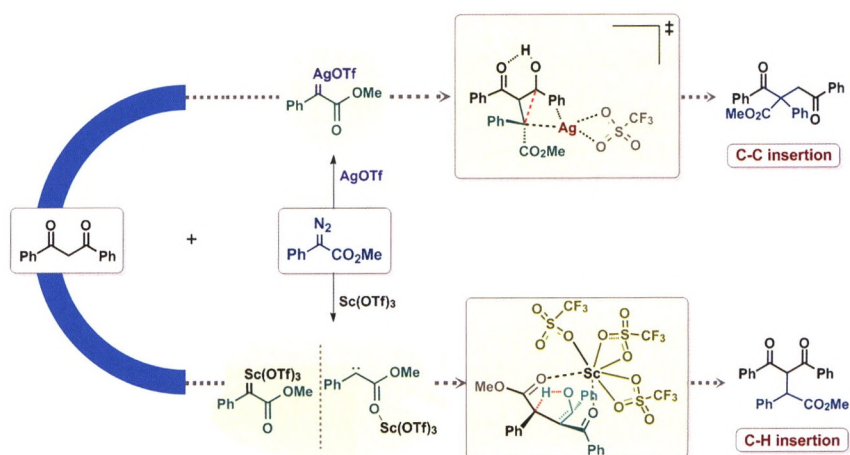


Wu, Lizuo; Zhang, Fengyuan; Zhang, Zhen-tao; Shang, Lei*; Liu, Yu*

Chin. J. Org. Chem. **2020**, 40(8), 2460

A highly efficient copper catalyzed asymmetric intermolecular [3+2] cycloaddition of azomethine ylides with β -trifluoromethyl- α,β -unsaturated ketone was realized by using Ming-Phos (**M3**) as ligand. *Endo*-products could be obtained with good to high yield, and diastereo- and enantio-selectivities.

Computational Studies on Reaction Mechanism of the Catalyst-Controlled Selective Insertion of Metal Carbenoids into C—C and C—H Bonds of 1,3-Dicarbonyl Compounds



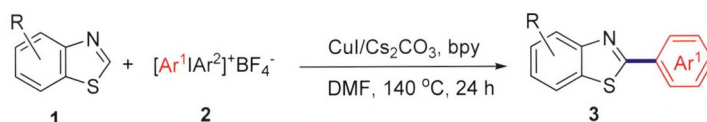
Cao, Shanshan; Liu, Zhaohong*; Yuan, Hai-yan; Yang, Liu; Zhang, Jingping*; Bi, Xihe*

Chin. J. Org. Chem. **2020**, 40(8), 2468

Computational studies were carried out to investigate the mechanism and chemoselectivity of silver- or scandium-catalyzed insertion of diazo compounds into C—C or C—H bonds of 1,3-dicarbonyl compounds. Computational studies show that the chemoselectivity results from the cooperative effect of ring tension and the difference in coordination number of metal center

CONTENT

Cu-Catalyzed Direct Arylation of Benzothiazoles with Diaryliodonium Salts

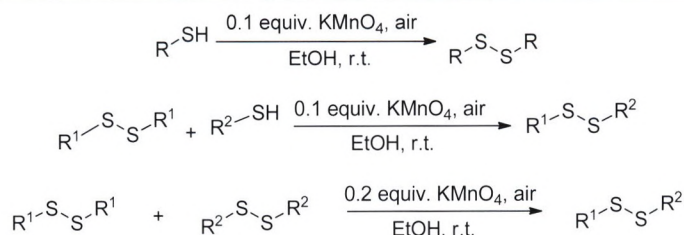


- Cheap and commercial available catalyst and ligand
- Simple and low cost operation
- Wide scope of substrates and good group tolerance

Li, Huaigui; Yang, Peng; Xu, Zheng; Du, Zhengyin*; Fu, Ying*
Chin. J. Org. Chem. **2020**, 40(8), 2476

A simple and efficient copper-catalyzed C—H direct arylation of benzothiazoles with diaryliodonium salts as arylation reagents to synthesize 2-arylbenzothiazoles is developed.

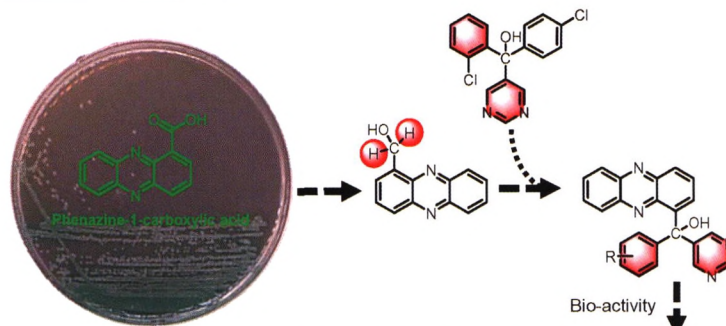
Highly Active Manganese Dioxide Catalyzed the Construction of S—S Bond



Lü, Jinqiang; Zeng, Jing*; Abulikemu, Abudu Rexit*
Chin. J. Org. Chem. **2020**, 40(8), 2483

Generation of highly active manganese dioxide *in situ* by using catalytic amount of potassium permanganate in anhydrous ethanol system, the catalytic system promoted S—S bond construction by free radical self coupling reactions of thiophenol and mercaptan compounds at room temperature.

Synthesis and Fungicidal Activities of Novel Tertiary Alcohol Ergosterol Biosynthesis Inhibitors Based on Phenazine-1-carboxylic Acid

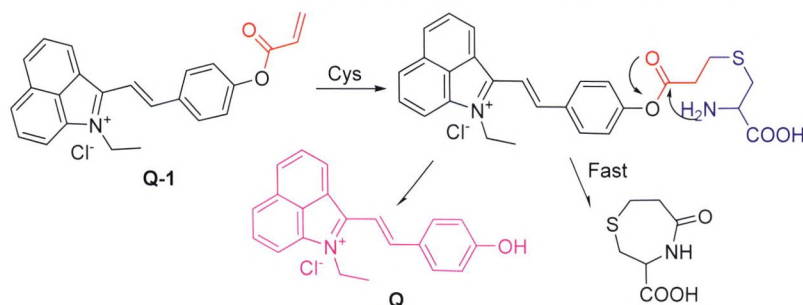


	<i>Thanatephorus cucumeris</i>	<i>Phytophthora capsici</i>
6b	EC ₅₀ = 21.62 mg/L	EC ₅₀ = 18.68 mg/L
6f	EC ₅₀ = 22.04 mg/L	EC ₅₀ = 66.98 mg/L
6g	EC ₅₀ = 14.48 mg/L	EC ₅₀ = 17.52 mg/L

Tang, Xianjun; Lu, Xingliang; Yang, Dan; Zhang, Min; Xiong, Yongtong; Wu, Qinglai*; Li, Junkai*
Chin. J. Org. Chem. **2020**, 40(8), 2491

A new class of phenazine-1-aryl(5-pyrimidine)methanol derivatives were designed and synthesized by using phenazine-1-methanol as a secondary lead compound, and referring to ergosterol biosynthesis inhibitor fenarimol. The bioassays showed that the target compounds displayed moderate or strong control effects against wheat powdery mildew.

Novel Ratio-Based Fluorescent Probe for Intracellular Cys Detection



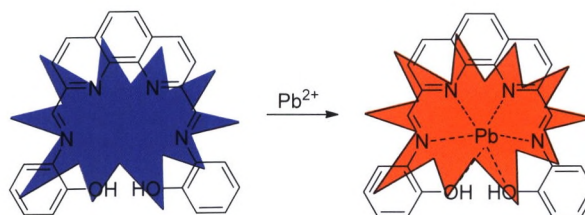
Zhou, Xiaoqin; Cui, Mengyuan; Jia, Chengli; Yang, Min; Ji, Min*; Wang, Peng*
Chin. J. Org. Chem. **2020**, 40(8), 2502

This study is based on the classical cysteine response mechanism. The thiol group of cysteine undergoes Michael addition to acrylate, and then undergoes intramolecular cyclization to specifically recognize cysteine. A novel ratio-based fluorescent probe was designed and synthesized.

NOTES

A Novel Phenanthroline-Based Fluorescent Probe for Pb(II)

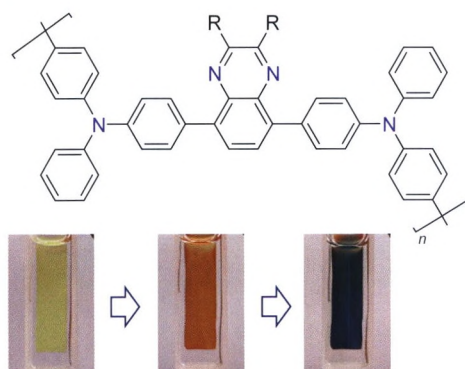
Mei, Huihui; Yang, Mingyang; Liu, Xiaoyan;
Tian, Yuping*; Xu, Kuoxi*
Chin. J. Org. Chem. **2020**, 40(8), 2508



A novel fluorescent probe **L** for Pb^{2+} based phenanthroline derivative has been designed and synthesized. The bonding mechanism was confirmed by UV-Vis, fluorescence, HRMS, ^1H NMR experiments and theoretical calculation. It was found that the probe had excellent reversibility for detection of Pb^{2+} and could be used to effectively identify Pb^{2+} in living cells.

Synthesis and Properties of Donor-Acceptor Type Electrochromic Materials Based on Triphenylamine and Quinoxaline

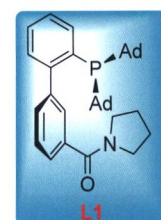
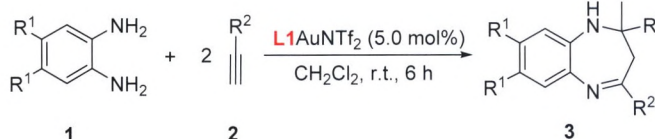
Wang, Wenyuan; Chen, Hongjin; Zhang, Gang; Zhang, Rui*; Liu, Jian*
Chin. J. Org. Chem. **2020**, 40(8), 2513



Two novel donor-acceptor type electrochromic materials were designed and synthesized by electrochemical polymerization, which exhibited pale yellow in the neutral state, and two different colors with the increase of the applied potentials. The novel electrochromic materials showed fast switch rate, good cycle stability as well as good coloration efficiency.

Bifunctional Phosphine Ligand-Enabled Gold(I)-Catalyzed Efficient Synthesis of 1,5-Benzodiazepines

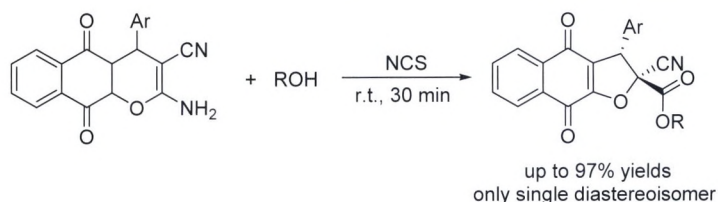
Zheng, Kanghe; Zhou, Bingwei; Jin, Hongwei; Liu, Yunkui*
Chin. J. Org. Chem. **2020**, 40(8), 2520



A bifunctional phosphine ligand-coordinated gold(I) catalyst enables direct synthesis of 1,5-benzodiazepines from o-phenylenediamines and alkynes at room temperature.

N-Chloro-succinimide-Promoted Efficient Synthesis of Naphthofuran-4,9-dione Derivatives

Wang, Xiang*; Chen, Ping; Zhi, Sanjun; Hu, Huayou; Kan, Yuhe; Zhang, Zaichao*
Chin. J. Org. Chem. **2020**, 40(8), 2526



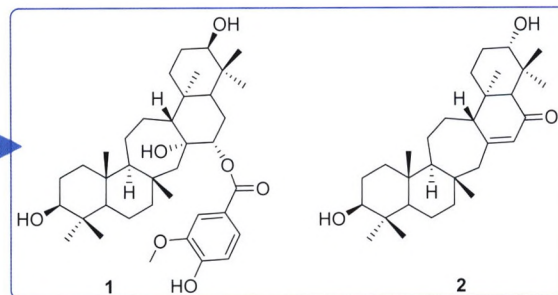
The *N*-chloro-succinimide (NCS)-promoted reaction of 2-amino-benzo[*g*]chromene-3-carbonitriles or ethyl 2-amino-pyrano[3,2-*c*]chromene-3-carboxylates with alcohols lead to naphthofuran-4,9-dione or diethyl furo[3,2-*c*]chromene-2,2-dicarboxylate was reported. All reactions were completed in 30 min under room temperature, and two types of novel fused furan derivatives were obtained in 48%~97% yields under tandem ring-opening/cyclization processes.

CONTENT

A New Serratane Triterpenoid from *Lycopodiella cernua*



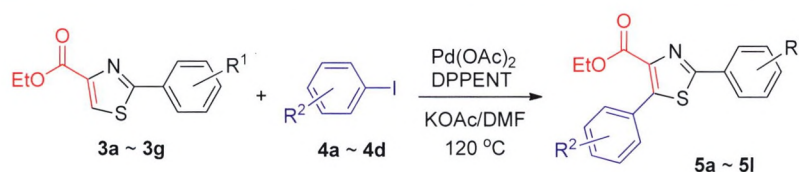
Lycopodiella cernua



Two serratane triterpenoids, 3 β ,14 α ,15 α ,21 β -tetrahydroxyserrat-15-(3'-methoxyl-4'-hydroxylbenzoate) (**1**) and 16-oxoserrat-14-en-3 β ,21 α -diol (**2**), were isolated from the whole plant of *Lycopodiella cernua*. The structures of these compounds were identified by analysis of spectral data. These two compounds were isolated from *Lycopodiella* genus for the first time.

Jin, Yu; Huang, Zhengwan; Huang, Yingna; Xu, Yingting; Yan, Jian; Yang, Jie*; Zhou, Zhongyu*; Wei, Xiaoyi
Chin. J. Org. Chem. **2020**, 40(8), 2531

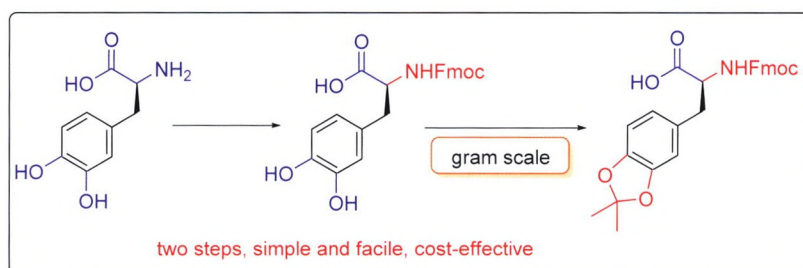
New Method for the Synthesis of 2,5-Diaryl Substituted Thiazoles



The synthesis of 2,5-diarylthiazole derivatives by acylation, thiolation, cyclization and Heck reaction using inexpensive and readily available substituted benzoic acid as raw materials was developed. The key point was to optimize the Heck reaction conditions and explore the possible reaction mechanism.

Zeng, Hongyun; Zhang, Jun'gan; Hong, Wei*
Chin. J. Org. Chem. **2020**, 40(8), 2535

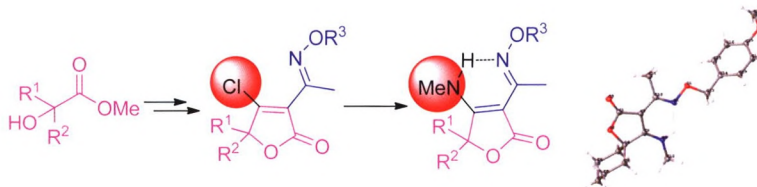
Simple and Cost-Effective Synthesis of Fmoc-DOPA(acetonide)-OH



Fmoc-DOPA(acetonide)-OH is the key precursor for solid-phase synthesis of adhesive mussel proteins and peptides. However, existing synthesis methods of Fmoc-DOPA-(acetonide)-OH were tedious and costly which greatly hindered its practical application. Herein, a simple two-step strategy for preparing Fmoc-DOPA-(acetonide)-OH is reported, which is a simple and cost-effective synthesis method with broad application prospects.

Liu, Jingjing; Zhang, Donghui; Jiang, Weinan; Liu, Runhui*
Chin. J. Org. Chem. **2020**, 40(8), 2543

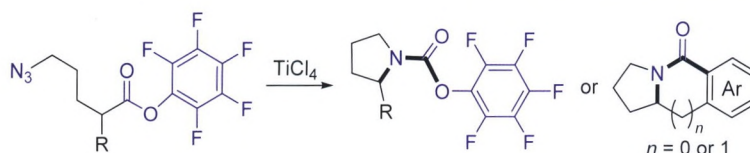
Synthesis and Unexpected Insecticidal Activity of (*E*)-3-(1-Iminoethyl)-5,5-disubstituted-4-(methylamino)furan-2(5*H*)-one



Eighteen novel (*E*)-3-(1-iminoethyl)-5,5-disubstituted-4-(methylamino)furan-2(5*H*)-one compounds were designed and synthesized based on the diversity-oriented synthesis strategy. Their *in vivo* fungicidal and insecticidal activities were evaluated.

Zhao, Yu; Liu, Xinlei; Li, Yihao; Xu, Leichuan; Su, Yanhao; Jiang, Jiazhen; Wang, Ming'an*
Chin. J. Org. Chem. **2020**, 40(8), 2547

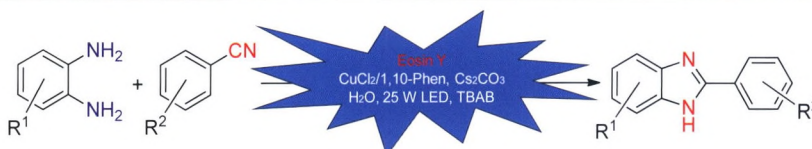
Intramolecular Schmidt Reaction of Alkyl Azides with Pentafluorophenyl Ester



The intramolecular Schmidt reaction of alkyl azides with pentafluorophenyl esters was designed and realized. The Schmidt reaction of 5-azido-pentanoate proceeded smoothly to afford the isocyanate ion as the primary product, and it was converted to a lactam or a carbamate through nucleophilic addition to isocyanate ion with an intermolecular pentafluorophenol anion or an intramolecular arene.

Cao, Zhiqi; Li, Rui*; Su, Yan; Gu, Peiming*
Chin. J. Org. Chem. **2020**, 40(8), 2555

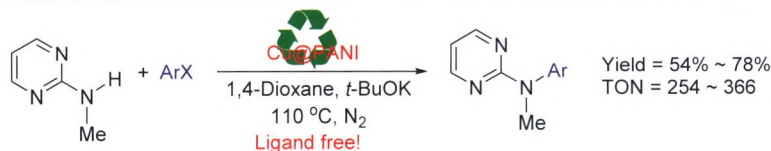
Visible-Light Promoted Preparation of Benzimidazoles by Eosin Y Catalyzed Reaction of Benzonitrile Derivatives in Water



A novel visible-light-introduced reaction for the construction of benzimidazole derivatives via radical cyclization of *o*-phenylenediamines with benzonitrile derivatives in water has been developed. The reaction has been achieved in high yield under mild conditions by using Eosin Y as photocatalyst.

Lin, Mei*; Wu, Fan; Liu, Tianhui; Chen, Zhitao; Xu, Xiuzhi; Ke, Fang*
Chin. J. Org. Chem. **2020**, 40(8), 2563

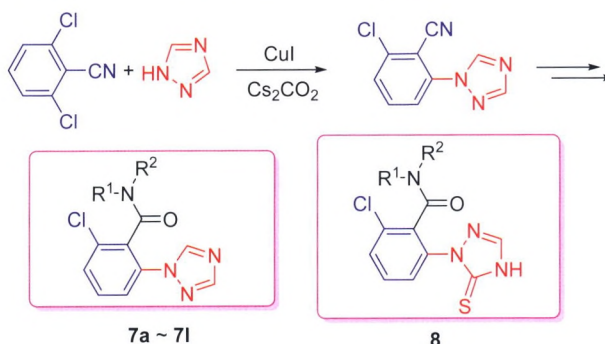
Polyaniline-Supported Copper-Catalyzed Buchwald-Hartwig Couplings of Pyrimidin-2-amines



The polyaniline-supported copper catalyst (Cu@PANI) was synthesized via the oxidative polymerization of aniline in the presence of copper salt. This recyclable heterogeneous catalyst could catalyze the Buchwald-Hartwig couplings of pyrimidin-2-amines free of additional ligands with relatively high catalyst turnover numbers.

Chen, Ying; Jing, Xiaobi*; Yu, Lei*
Chin. J. Org. Chem. **2020**, 40(8), 2570

Synthesis of 1,2,4-Triazole Benzamide Derivatives and Fungicidal Activity

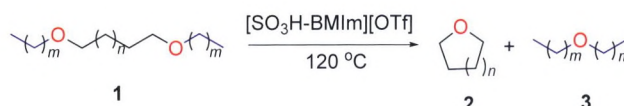


The synthetic route of 1,2,4-triazole benzamide derivatives was explored. A little library of 1,2,4-triazole benzamide derivatives were synthesized. 2-Chloro-*N*-phenyl-6-(1*H*-1,2,4-triazol-1-yl)benzamide (**7i**) showed good antifungal activity against *gaemannomyces graminis* var. *tritici*. The structure analysis showed that *N*-aryl substituted-benzamides had better activities than those *N*-alkyl, benzyl and allyl.

Jiang, Zhenhua; Cheng, Yi'nan*; Shen, Guofu; Zhang, Mengmeng; Su, Ziyang; Sun, Liansheng; Li, Honglian*
Chin. J. Org. Chem. **2020**, 40(8), 2575

HIGHLIGHTS

Hydrogen-Bonding Catalyzed Ring-Closing C—O/C—O Metathesis of Aliphatic Ethers for the Construction of O-Heterocycles



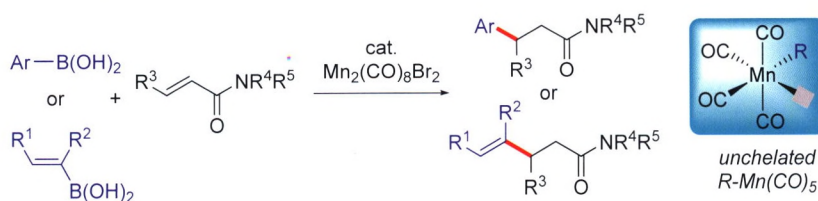
Qi, Chaorong; Jiang, Huanfeng*
Chin. J. Org. Chem. **2020**, 40(8), 2583

CONTENT

Manganese-Catalyzed Hydroarylation and Hydroalkenylation of α,β -Unsaturated Amides with Organoboronic Acids

Liu, Ting; Wang, Chunyang*

Chin. J. Org. Chem. **2020**, 40(8), 2585



Chromium Catalyzed Reductive Chemoselective Cross-Coupling between Anisole Derivatives and Aryl Ester

Ye, Yang; Gong, Hegui*

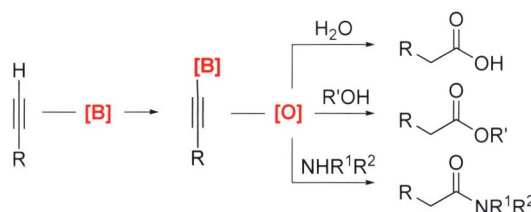
Chin. J. Org. Chem. **2020**, 40(8), 2588



A General and Efficient Access to Carboxylic Acids and Derivatives through the Oxidation of Alkynyl Boronates

Lu, Xi; Fu, Yao*

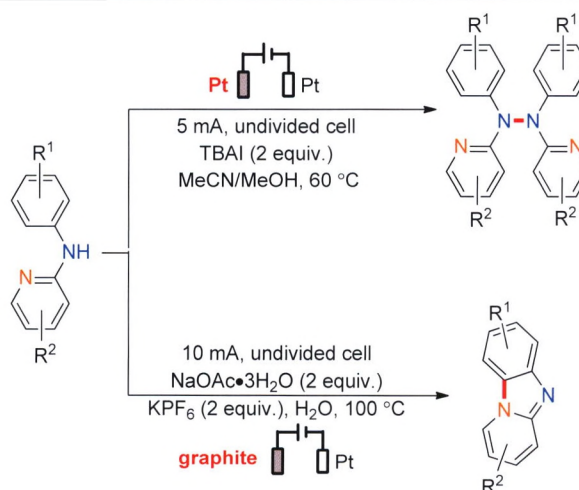
Chin. J. Org. Chem. **2020**, 40(8), 2590



Electrode Materials Tune Product Selectivity

Chen, Na; Lai, Xiaoli; Xu, Haichao*

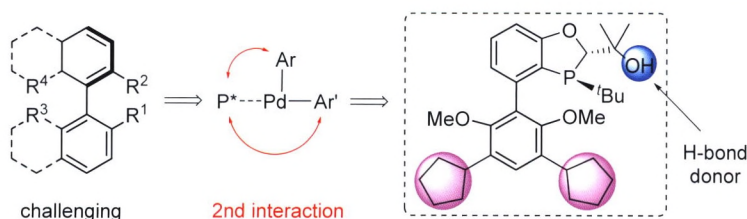
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Enantioselective Cross-Coupling for Axially Chiral Tetra-ortho-Substituted Biaryls and Asymmetric Synthesis of Gossypol

Sun, Shutao; Liu, Lei*

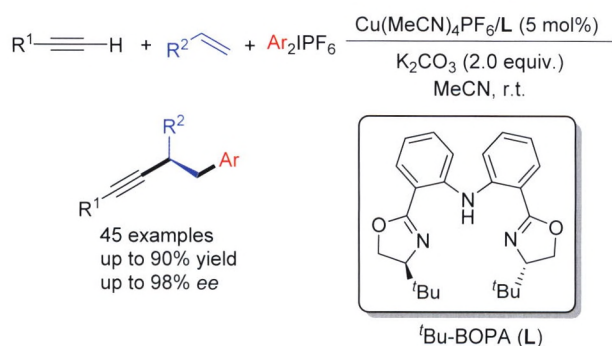
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Copper-Catalyzed Enantioselective Arylalkynylation of Alkenes

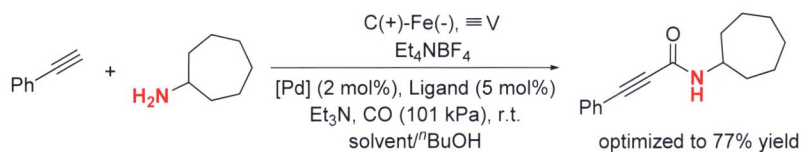
Wu, Yan; He, Weimin*

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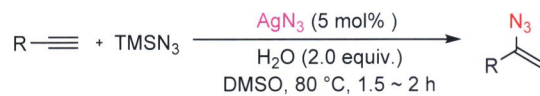


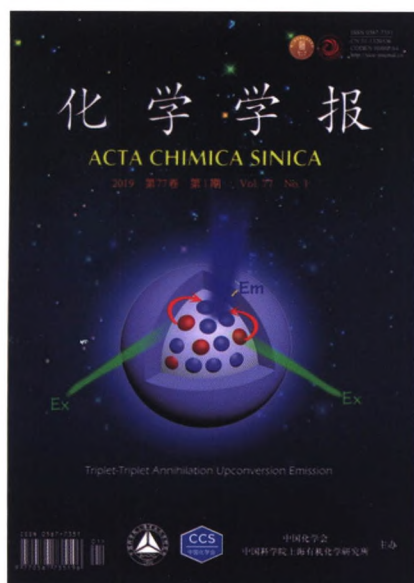
Palladium-Catalyzed Electrochemical
Dehydrogenative Aminocarbonylation of
Terminal Alkynes

Cheng, Xu*

Chin. J. Org. Chem. **2020**, 40(8), 2600AgN₃-Catalyzed Hydroazidation of Ter-
minal Alkynes and Mechanistic Studies

Li, Fangfang; Chung, Lung Wa*

Chin. J. Org. Chem. **2020**, 40(8), 2603



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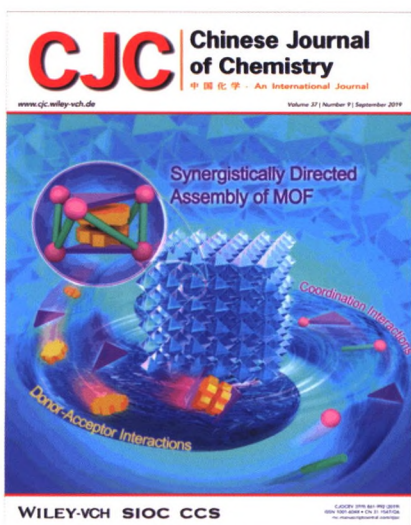
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