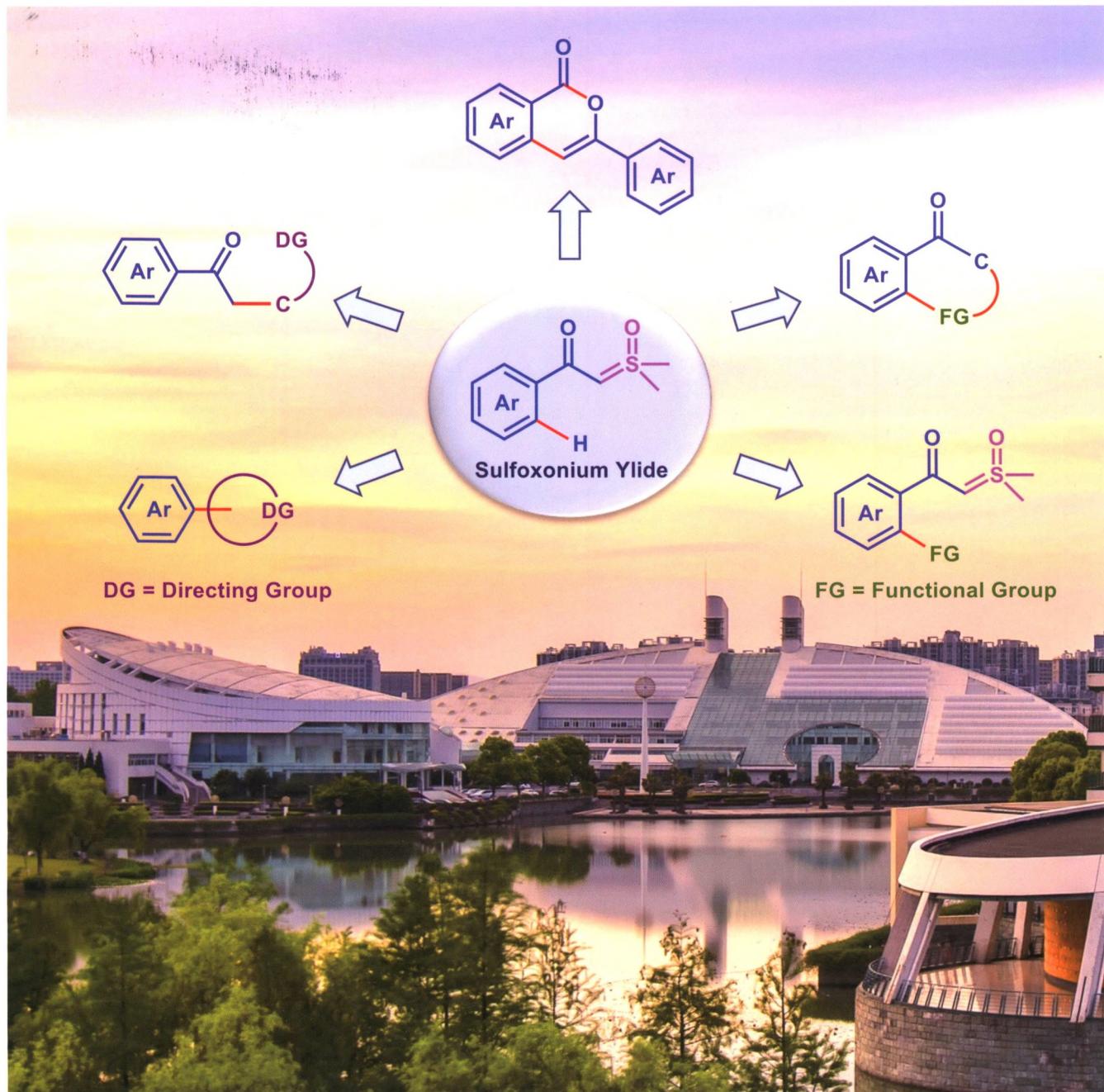


有机化学

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有机化学

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(YOUJI HUAXUE)

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* 通讯联系人。

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..... 王敏 高洪银* (1269)

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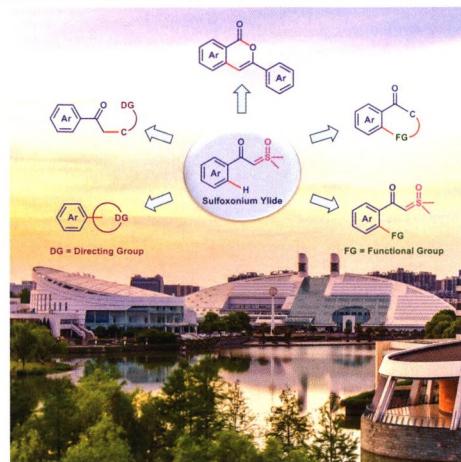
..... 郑龙 王鹏* (1272)

Chinese Journal of Organic Chemistry

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Cover Picture: Recent Progress on the Application of Sulfoxonium Ylides in C—H Activation

The recent progress on the application of sulfoxonium ylides in C—H activation is summarized by Hong, Jiang, Yu, Liu and Zhang on page 888. An overview of the advances of sulfoxonium ylides is given from three aspects: sulfoxonium ylide as a coupling synthon, sulfoxonium ylide as a directing group as well as self-coupling and condensation of sulfoxonium ylide. Finally, the future challenges and developments of sulfoxonium ylides are also prospected.



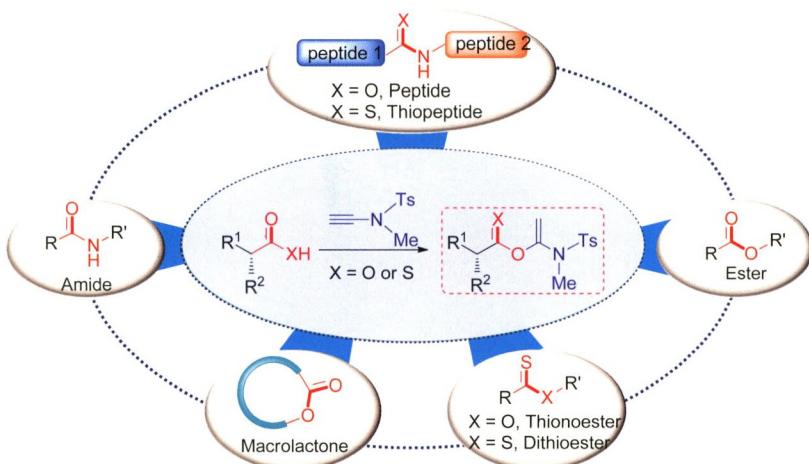
Inside Cover: Cu(OAc)₂-Mediated C—H Bond Dithiolation of Amide-Oxazolines with Aryl Thiols



Cu(OAc)₂-mediated dithiolation of C(sp²)—H bonds with aryl thiols has been developed via using amide-oxazoline as directing group to afford functionalized thioethers by Wang, Wang, Song, Huo, Zhou, Kang, and Liu on page 1098. Under the optimized conditions, various substituted phenylamides and pyridine amides were well tolerated to give the corresponding products in good to excellent yields.

ACCOUNT

Recent Advances in Ynamide Coupling Reagent



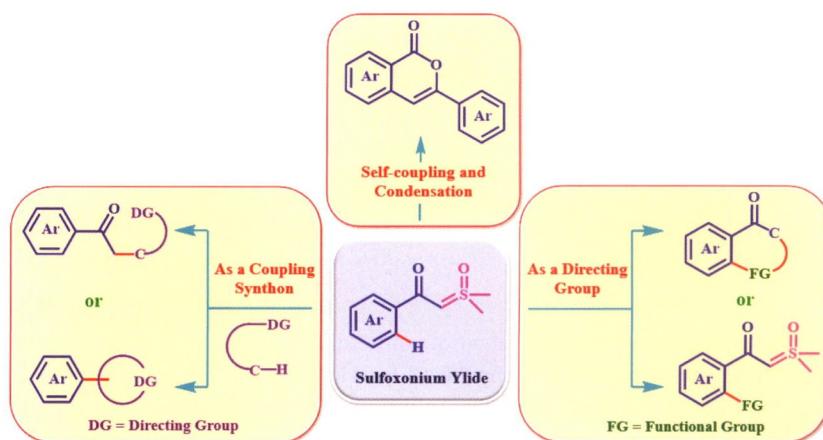
Liu, Tao; Xu, Silin; Zhao, Junfeng*
Chin. J. Org. Chem. 2021, 41(3), 873

The discovery as well as the application of ynamide coupling reagent in the construction of amide and ester bonds is systematically summarized.

CONTENT

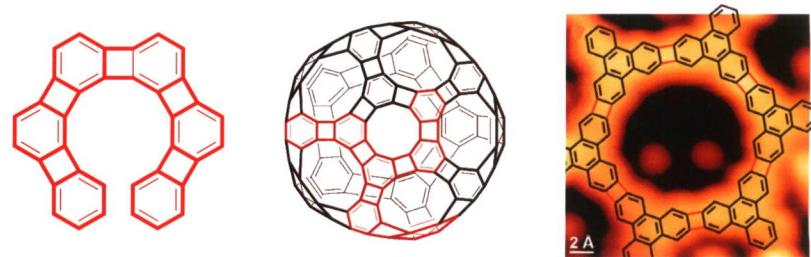
REVIEWS

Recent Progress on the Application of Sulfoxonium Ylides in C—H Activation



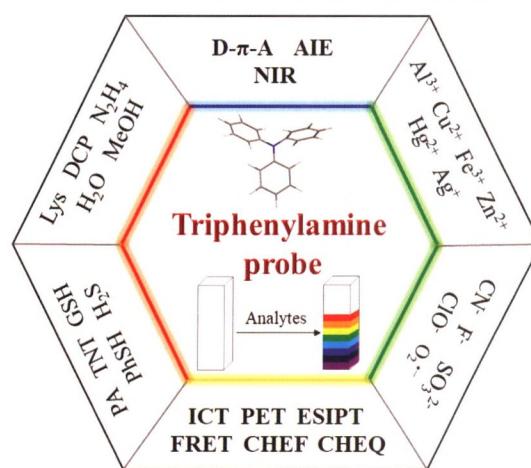
Hong, Chao; Jiang, Xicheng; Yu, Shuling; Liu, Zhanxiang*; Zhang, Yuhong*
Chin. J. Org. Chem. **2021**, *41*(3), 888

Recent Advances of Polycyclic Aromatic Compounds Containing Four-Membered Rings



Cui, Yingcui; Xia, Debin*
Chin. J. Org. Chem. **2021**, *41*(3), 907

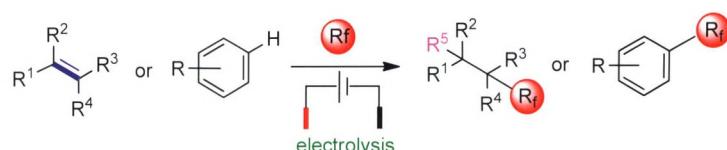
Progress in Design, Synthesis and Application of Triphenylamine-Based Fluorescent Probes



Chen, Sihong; Chen, Qi; Luo, Shihe*; Cao, Xiying; Yang, Guoxian; Zeng, Xiaoqing; Wang, Zhaoyang*
Chin. J. Org. Chem. **2021**, *41*(3), 919

The recent progress in the molecular design, synthesis and detecting application of triphenylamine probes is reviewed. In the future, the aggregation-induced emission (AIE)-type triphenylamine-based fluorescent probes with the properties of near-infrared and high photoluminescence quantum yield are promising research topics.

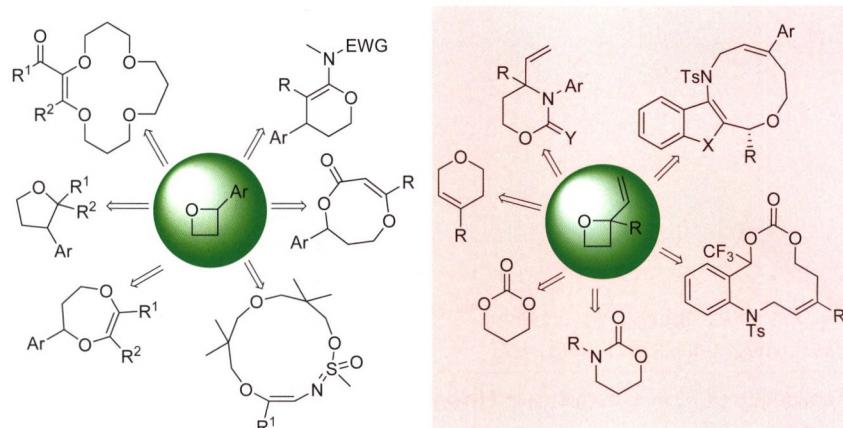
Research Progress of Polyfluoroalkylation Reaction under Electrochemical Catalysis



Liu, Yingjie; Han, Yinghui; Lin, Liqing; Xu, Ying*
Chin. J. Org. Chem. **2021**, *41*(3), 934

The fluoroalkylation reaction pathway under the guidance of electrochemistry has not only reformed the reaction mode, but also has advantages in the universality of substrates. The progress of electrocatalytic fluoroalkylation methods is summarized based on the nature of the substrate and its reaction mechanism.

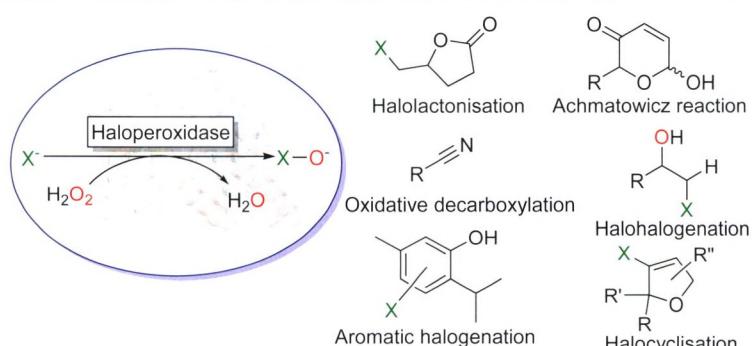
Ring Expansions of Oxetanes



Yuan, Wenhao; Xu, Jiaxi*
Chin. J. Org. Chem. **2021**, *41*(3), 947

The ring expansion reactions of oxetanes are reviewed. Some reaction mechanisms of ring expansion reactions are analyzed, and new prospects for the future development of the ring expansion reactions are put forward.

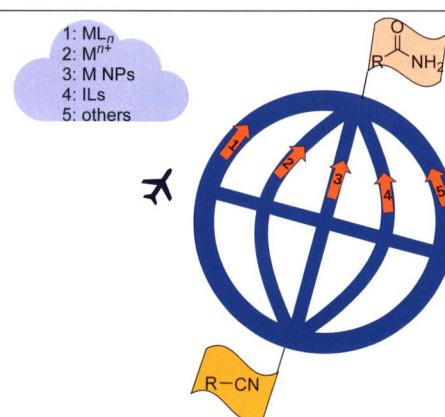
Advances of Haloperoxidases-Catalyzed Green Halogenation Reactions



Zeng, Zhigang; Sang, Xianke; Yuan, Bo; Wu, Minghu*; Zhang, Wuyuan*
Chin. J. Org. Chem. **2021**, *41*(3), 959

In view of the significant potential of enzymatic catalysis in halogenation reactions, the recent advances of halogenases-catalyzed green synthesis are introduced from the perspective of catalytic activity, enzyme stability, substrate concentration, catalytic scope and so on.

Recent Advances for Hydration Reaction of Nitriles in Different Catalytic Systems

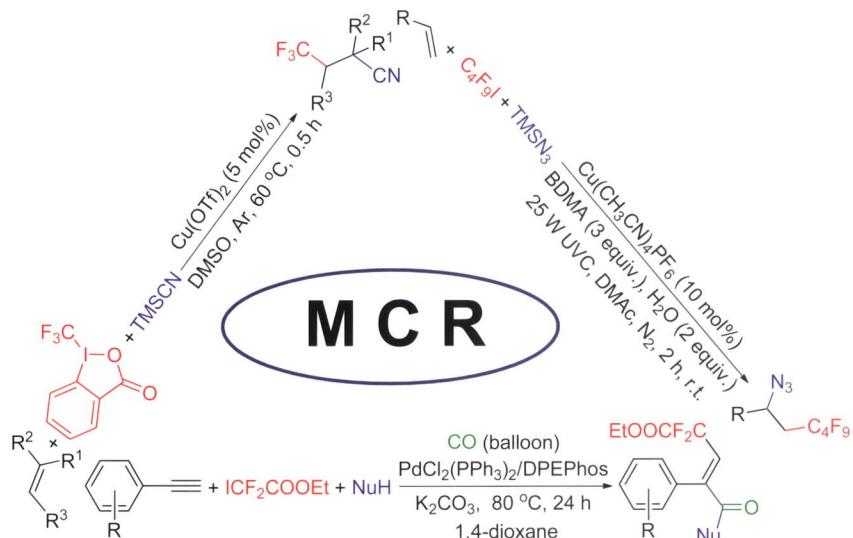


Xia, Yujie; He, Dandan; Wu, Wanqing*
Chin. J. Org. Chem. **2021**, *41*(3), 969

According to different catalytic systems, the progress of the hydration of nitriles including the conversion of cyanohydrins which are difficult to be hydrated due to their easy decomposition into hydrogen cyanide and carbonyl compounds is summarized.

CONTENT

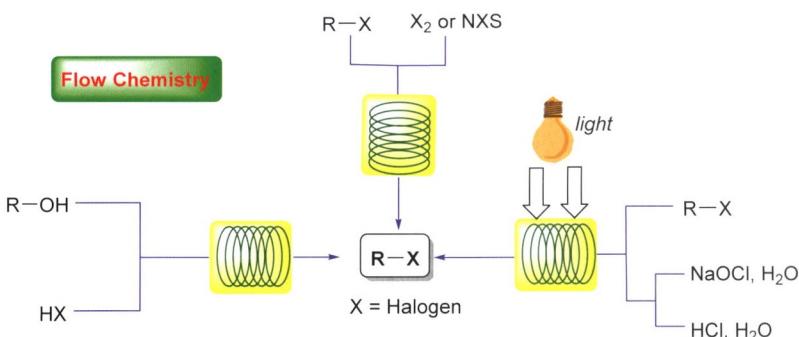
Progress in Fluoroalkylation of Multicomponent
component



The development process of multicomponent fluoroalkylation reactions in the past decade is summarized from seven parts, and the achievement made in this reaction is summarized and commended. Meanwhile, it also points out the current challenges of multicomponent fluoroalkylation reactions.

Pan, Jun; Wu, Jingjing*; Wu, Fanhong*
Chin. J. Org. Chem. **2021**, *41*(3), 983

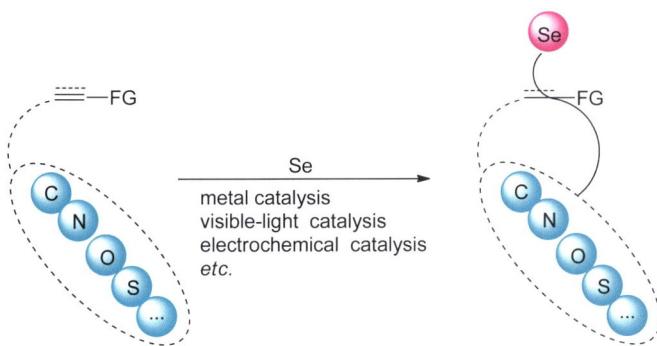
Application of Flow Chemistry in Halogenation



Liu, Ding; Zhu, Yuanyuan; Gu, Shuangxi*;
Chen, Fener*
Chin. J. Org. Chem. **2021**, *41*(3), 1002

According to the classification of halogenation of organic compounds, the main progress of flow chemistry in fluorination, chlorination, bromination and iodization is reviewed. And its future development is prospected.

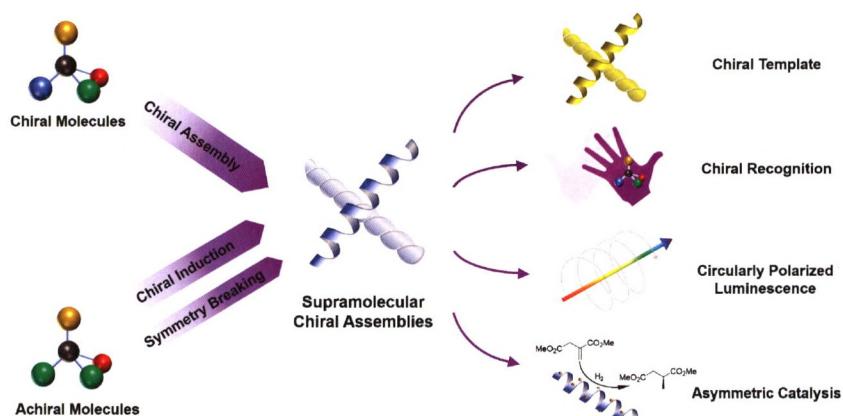
Recent Progress in the Selenocyclization
Reactions with Organic Selenides



Xu, Ying; Li, Chen; Meng, Jianping; Huang,
Yuling; Fu, Jiyuan; Liu, Bing; Liu, Yingjie;
Chen, Ning*
Chin. J. Org. Chem. **2021**, *41*(3), 1012

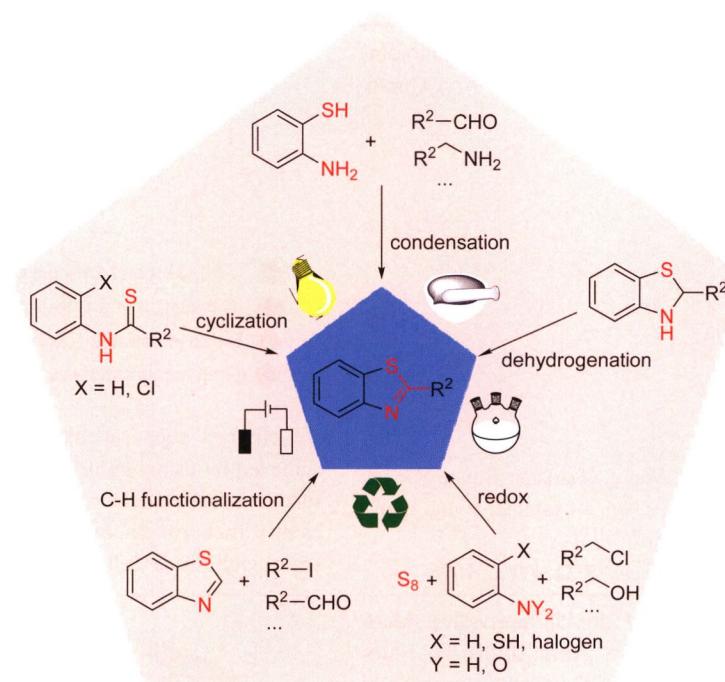
The development of new methods for the synthesis of selenium-containing heterocyclic derivatives has attracted much attention. The recent progress in this rapidly growing area, including metal catalysis, electrochemical catalysis, visible-light catalysis, organocatalysis, and other selenocyclization types, is highlighted with an emphasis on the scope and the mechanisms of these different reactions.

Fabrication and Applications of Supra-molecular Chiral Assemblies



Liu, Jinguo; Yin, Feng; Hu, Jun*; Ju, Yong*
Chin. J. Org. Chem. **2021**, *41*(3), 1031

Progress in Synthesis of 2-Substituted Benzothiazole Compounds

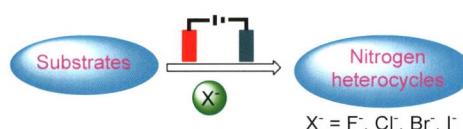


Zhang, Jun; Liu, Yafei; Zhang, Yurong; Hu, Liang; Han, Shiqing*
Chin. J. Org. Chem. **2021**, *41*(3), 1053

Recent Advance in Organic Electrochemical Synthesis of Nitrogenous Heterocyclic Compounds Involving Haloids as Mediators

Zhou, Yaqin; Zhao, Zhiheng; Zeng, Liang; Li, Ming He, Yonghui*, Gu, Lijun*
Chin. J. Org. Chem. **2021**, *41*(3), 1072

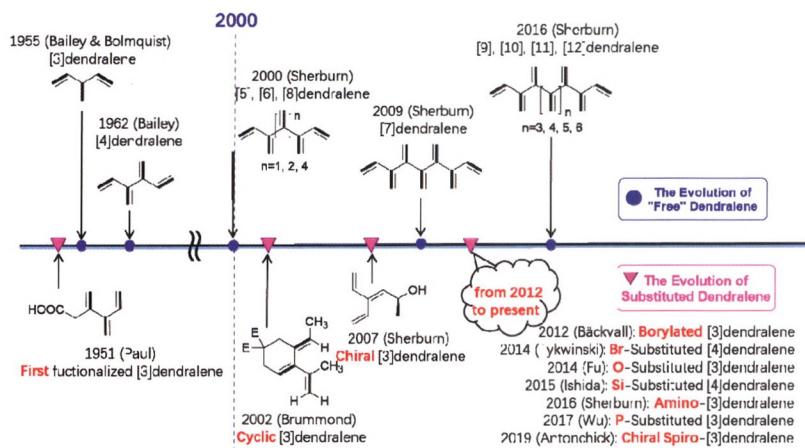
As a heterocyclic compound with unique structure, 2-substituted benzothiazole has many applications in biomedicine, materials science and other fields. The recent advances and achievements in the synthesis of 2-substituted benzothiazoles are reviewed, and their future synthetic directions and trends are prospected.



Nitrogenous heterocyclic compounds are widely found in medicinal molecules, natural products and functional materials. Recently, remarkable progress has been made in haloids mediated electrochemical synthesis of nitrogen heterocycles. In this review, the recent developments in this area are summarized.

CONTENT

Recent Progress in the Synthesis of Dendralenes: A Decade Update

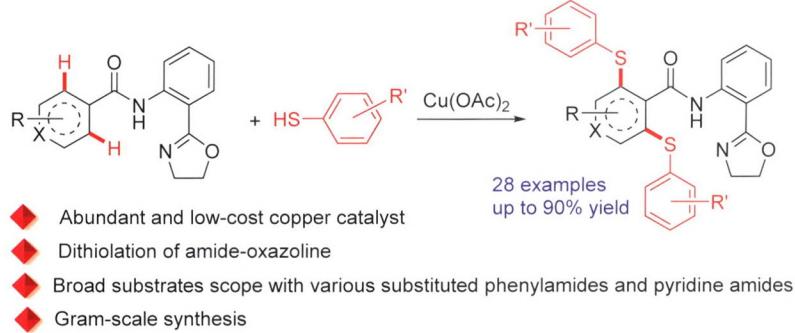


Zhu, Jie; Yang, Wenchao; Zhang, Chengyun; Wu, Lei*
Chin. J. Org. Chem. **2021**, *41*(3), 1081

The progress in the synthesis of dendrimers in recent ten years is reviewed. The synthetic design and mechanism are mainly discussed. Finally, the development prospect of dendrimers is prospected.

ARTICLES

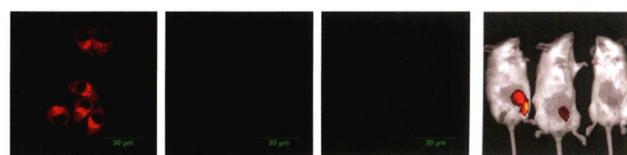
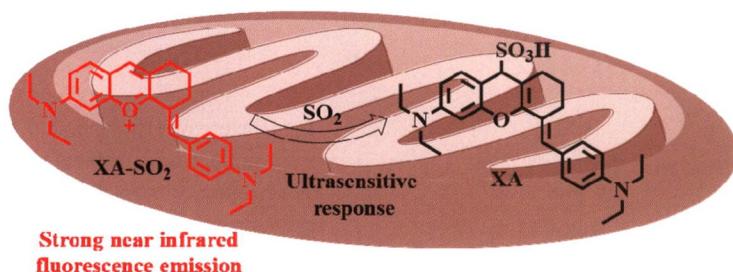
Cu(OAc)₂-Mediated C—H Bond Dithiolation of Amide-Oxazolines with Aryl Thiols



Wang, Tao*; Wang, Xiaosha; Song, Yawen; Huo, Jingjing; Zhou, Jingshuan; Kang, Qingwei; Liu, Lantao*
Chin. J. Org. Chem. **2021**, *41*(3), 1098

An efficient copper-mediated dithiolation of C(sp²)—H bonds with aryl thiols was achieved by using amide-oxazoline as directing group. This strategy gives a variety of functionalized thioethers in moderate to excellent yields (up to 90%) in simple and efficient way. Furthermore, the protocol has been successfully implemented for the gram-scale synthesis as well.

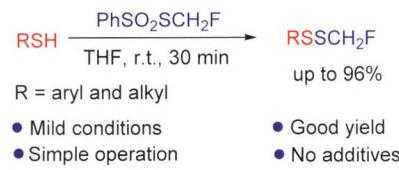
Development of an Ultrasensitive Mitochondria-Targeted Near Infrared Fluorescent Probe for SO₂ and Its Imaging in Living Cells and Mice



Li, Fang; Tang, Yonghe; Guo, Rui; Lin, Weiying*
Chin. J. Org. Chem. **2021**, *41*(3), 1108

A new ultrasensitive mitochondria-targeted near infrared fluorescent probe (XA-SO₂) was designed and synthesized for monitoring SO₂ in living cells and mouse.

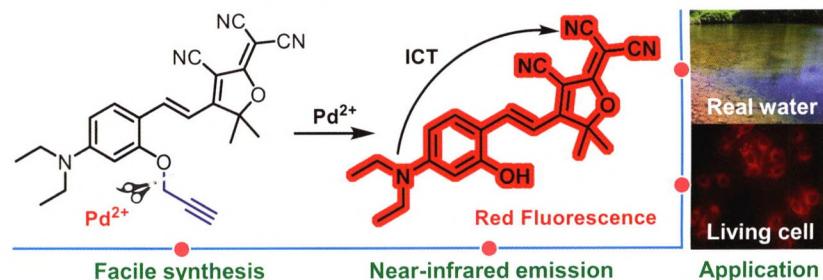
High Efficient Synthesis of Monofluoromethyldisulfides



Hu, Xiaoguang; Pang, Renyi; Zheng, Tianjiao; Yao, Ruichao; Chen, Wenbo*
Chin. J. Org. Chem. **2021**, *41*(3), 1117

Synthesis of 2-(3-Cyanofuran-2(5H)-methylene)malononitrile Derivative and Its Recognition for Pd^{2+}

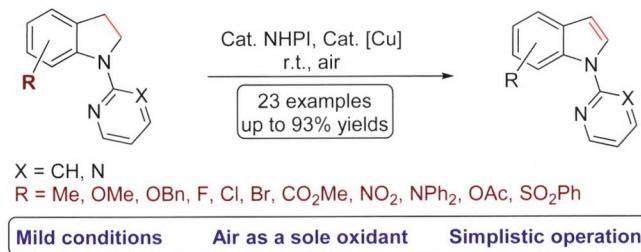
A new method for the synthesis of monofluoromethyl disulfides from $\text{PhSO}_2\text{SCH}_2\text{F}$ and thiophenols/mercaptans was developed. This approach features short reaction time, mild conditions, simple operation and no additives.



Zhong, Keli; Zhou, Lulu; Chen, Lin; Tang, Lijun*; Gao, Xue; Liu, Xiuying; Pang, Xiuxiu; Yan, Xiaomei*
Chin. J. Org. Chem. **2021**, *41*(3), 1124

A Mild and Efficient Catalytic Aerobic Oxidative Dehydrogenation of *N*-Pyridylindolines

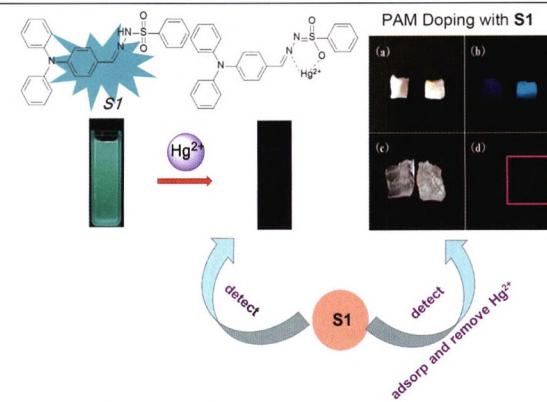
2-(3-Cyanofuran-2(5H)-methylene)malononitrile derivative (**TCF-Pyn**) was synthesized by a two-step reaction. Compound **TCF-Pyn** can recognize Pd^{2+} with a high selectivity, “OFF-ON” fluorescent response and the near-infrared emission (653 nm) in MeCN/PBS ($V:V=2:8$, PBS 2×10^{-2} mol/L, pH=7.4) solution. The probe possesses a good anti-interference capability, a wide pH range (3~8) and a low detection limit (6.92 $\mu\text{mol/L}$). The application research shows that **TCF-Pyn** can detect Pd^{2+} in real water samples and fluorescent image Pd^{2+} in living cells.



Tang, Hao; Zhang, Beibei; Chen, Weidong; Luo, Junfei*
Chin. J. Org. Chem. **2021**, *41*(3), 1131

Synthesis of Sulfonylhydrazone Type Probe with High Selectivity for Rapid Detection of Mercury and Its Application in Adsorption and HeLa Cell

An efficient and mild *N*-hydroxyphthalimide and copper co-catalyzed aerobic oxidative dehydrogenation of *N*-pyridylindolines is developed. The use of air as sole oxidant allows a green, safe, low-cost and simple operation. This method provides an alternative to the known method for the oxidation of *N*-pyridylindoline derivatives by the use of stoichiometric excess strong oxidant 2,3-dichloro-5,6-dicyanobenzoquinone.

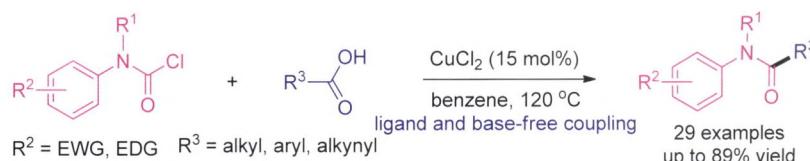


Xue, Songsong; Xie, Zhengfeng*; Chu, Yicheng; Yue, Yongshuang; Shi, Wei; Zhou, Jiabin
Chin. J. Org. Chem. **2021**, *41*(3), 1138

A novel sulfonylhydrazone Hg^{2+} probe (4-(diphenylamino)benzylidene)benzenesulfonyl hydrazone (**S1**) was synthesized, which exhibited a rapid response time, high selectivity and sensitivity to Hg^{2+} . Polyacrylamide (**PAM**) doped **S1** (**PAMS**) had high adsorption for Hg^{2+} and could easily be distinguished by the naked-eye in UV lamp. The micro-morphology of **PAMS** before and after adsorption was observed by scanning electron microscope (SEM).

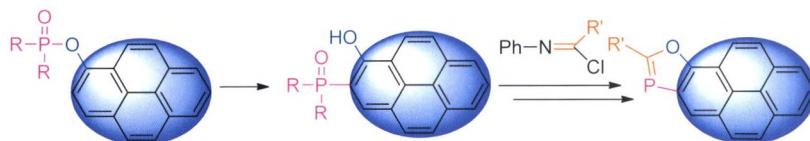
CONTENT

Copper-Catalyzed Decarboxylative Cross-Coupling of Carboxylic Acids and Arylcarbamoyl Chlorides



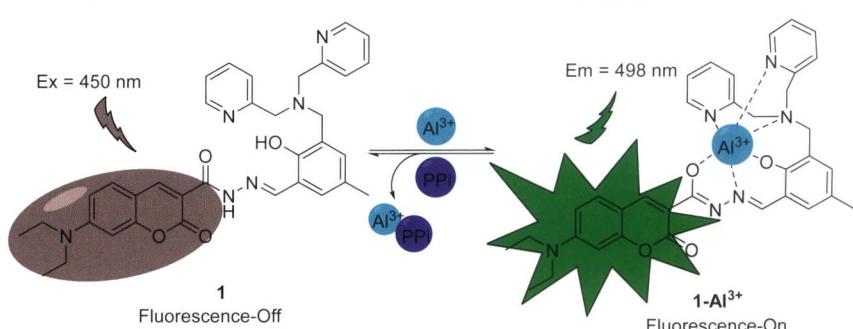
Zhou, Dun; Fan, Aihong; Li, Xiang; Chen, Chunxia*; Sun, Peng; Peng, Jinsong*
Chin. J. Org. Chem. **2021**, *41*(3), 1146

Synthesis of 2-Pyrenylphosphines via Phospho-Fries Rearrangement



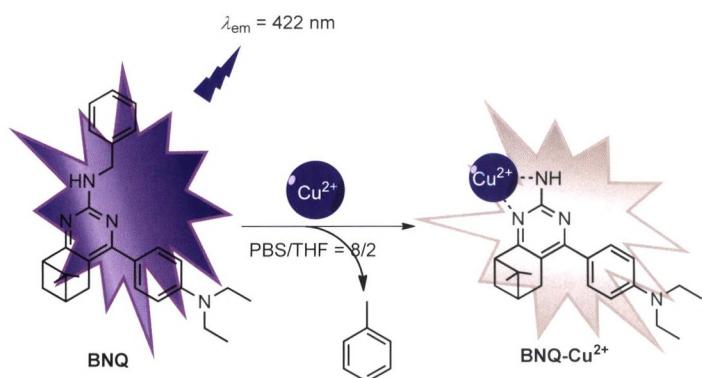
Lan, Xinchan; Wang, Lili*; Duan, Zheng*; Mathey, François
Chin. J. Org. Chem. **2021**, *41*(3), 1153

A Fluorescent Chemosensor Based on Coumarin for Sequential Recognition of Al³⁺ and Pyrophosphate (PPi) in Aqueous Solution



Meng, Xianjiao; Zhao, Jinzhong; Zhang, Yongpo; Li, Zhichun; Yuan, Changchun*; Ma, Wenbing*
Chin. J. Org. Chem. **2021**, *41*(3), 1161

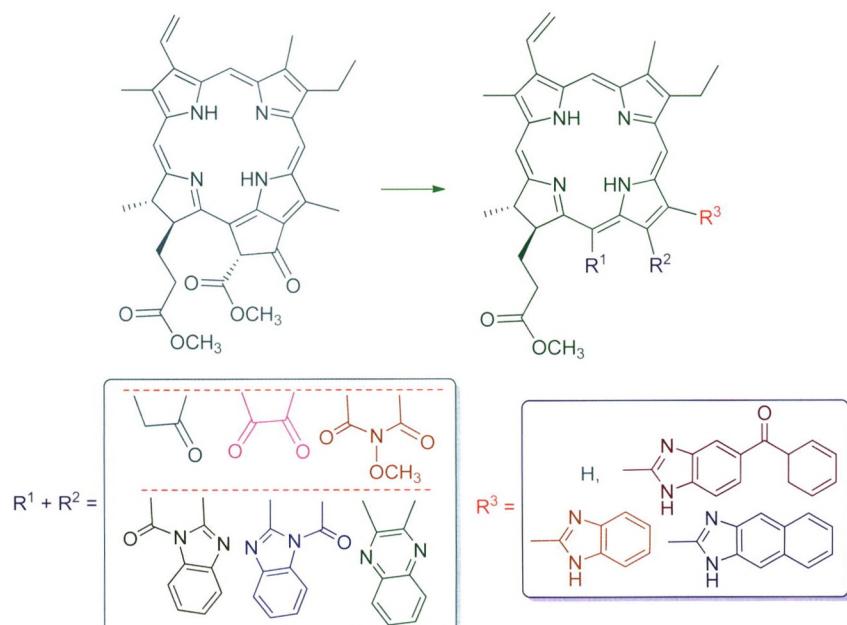
Synthesis of Nopinone-Based Quinaldine-2-amine Fluorescent Probe for Detection of Cu²⁺ and Its Application Research



Zhang, Mingguang; Li, Mingxin; Yang, Yiqin; Xu, Xu; Song, Jie; Wang, Zhonglong*; Wang, Shifa*
Chin. J. Org. Chem. **2021**, *41*(3), 1168

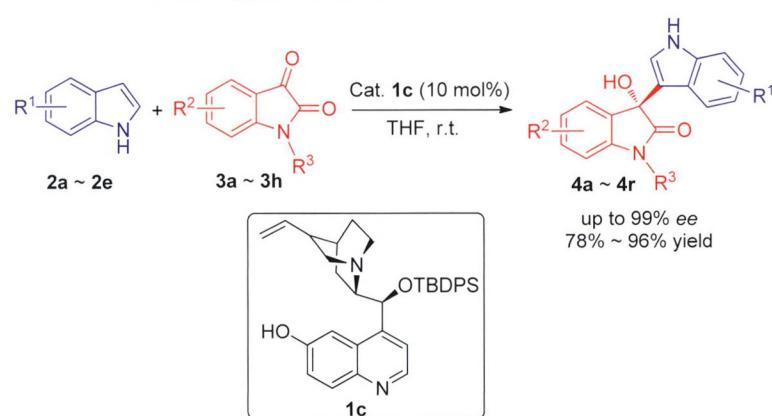
A small molecular fluorescent probe **BNQ** was designed and synthesized based on nopinone. The probe **BNQ** could selectively complex with Cu²⁺ and represented high selectivity and competitiveness compared with other 20 kinds of metal ions in wide pH range and short time. The limit of detection of **BNQ** to Cu²⁺ was calculated to be 0.09 μmol·L⁻¹. The complexation mechanism of **BNQ** with Cu²⁺ was confirmed by high resolution mass spectrum (HRMS) and density functional theory (DFT) calculation. Moreover, **BNQ** was applied in the detection of micromole level Cu²⁺ in real water samples and bioimaging in living zebrafish.

Syntheses of Chlorophyllous Chlorin Derivatives with Aromatic Ring-Fused Imidazole Structural Unit



Zhang, Zhu; Zhao, Yu; Wang, Xinyue; Li, Jiazu; Wang, Jinjun*
Chin. J. Org. Chem. **2021**, *41*(3), 1177

Enantioselective Friedel-Crafts Reaction of Indoles with Isatins Catalyzed by Cinchona Alkaloid Silyl Ether Derivative



Zhang, Junwei; Wu, Hao; Zhang, Weixin; Wang, Liming*; Jin, Ying*
Chin. J. Org. Chem. **2021**, *41*(3), 1187

Selective Synthesis of Unsymmetrical *N*-Heteroaryl Thioethers by Base-Free Direct Multi-Component Reaction

Cinchona alkaloid silyl ether derivatives were used to organocatalyze the asymmetric Friedel-Crafts reaction of indoles with isatins. The desired chiral 3-hydroxy-2-oxindoles were obtained in good yields (78%~96%) with high enantioselectivities (up to 99% ee).

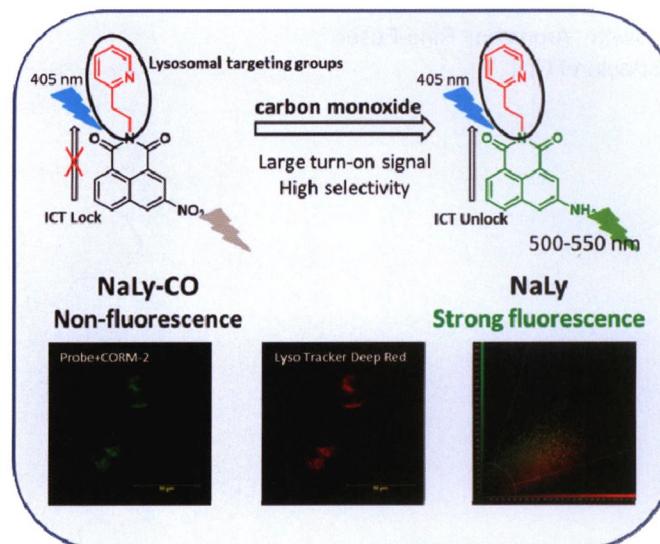


Wang, Qi; Zhu, Boran; Yang, Guang; Ma, Xiantao*; Xu, Qing*
Chin. J. Org. Chem. **2021**, *41*(3), 1193

A new method for the direct synthesis of *N*-heteroaryl thioethers through a base-, additive-, and solvent-free one-pot multi-component reaction of *N*-heteroaryl halides, thiourea, and alkyl bromides was reported. This method can employ thiourea as the sulfur surrogate and tolerate various alkyl bromides and *N*-heteroaryl halides, providing a concise, selective, and efficient new method for heteroaryl thioether synthesis.

CONTENT

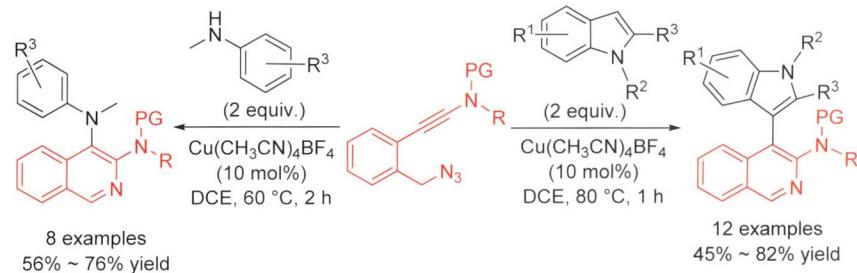
Development of a New Carbon Monoxide Fluorescent Probe Based on Nitro Reduction and Its Bioimaging Research in Living Cells



Chen, Enqing; Tang, Yonghe; Wang, Lei; Ren, Jiangbo; Lin, Weiying*
Chin. J. Org. Chem. **2021**, *41*(3), 1200

The new highly selective fluorescence probe (**NaLy-CO**) was designed and synthesized for monitoring endogenous carbon monoxide of the living cells.

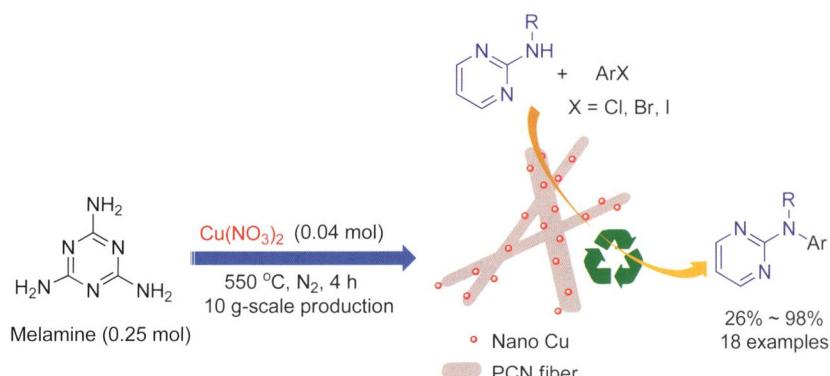
Copper-Catalyzed C—H Bond and N—H Bond Insertion Reaction Based on Azide-Ynamide Cyclization



The insertion reaction of α -imino copper carbene into C—H bond and N—H bond initiated by copper-catalyzed azide-ynamide cyclization has been developed. Under mild reaction conditions, various isoquinoline-indole and isoquinoline-aniline products were obtained in moderate to good yields from readily available azide-ynamides, thus providing a highly convenient and efficient route for the preparation of synthetically useful isoquinoline motif.

Liu, Xiaotao*; Liu, Xin; Ye, Longwu*
Chin. J. Org. Chem. **2021**, *41*(3), 1207

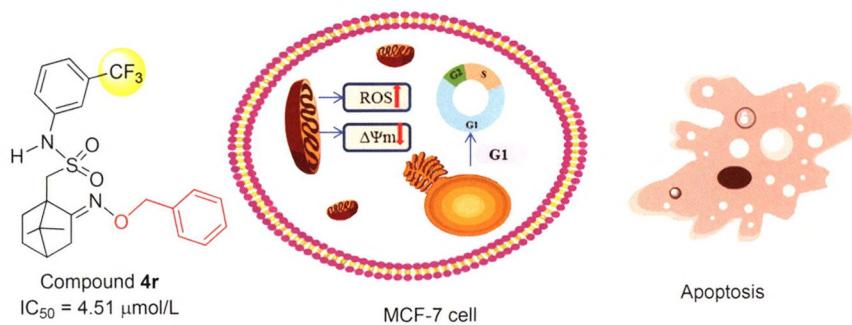
Gram-Scale Synthesis of Polymeric Carbon Nitride-Supported Copper: A Practical Catalyst for Ullmann-Type C—N Coupling Modifying Secondary Pyrimidin-2-amines without Additional Ligand



Sun, Yangyang; Feng, Gang; Chen, Chao; Liu, Yonghong*; Zhang, Xu*
Chin. J. Org. Chem. **2021**, *41*(3), 1216

Cu/PCN can be prepared in 10 g scale by calcining $\text{Cu}(\text{NO}_3)_2$ with the melamine precursor at 550 °C. It could catalyze the Ullmann-type C—N coupling reactions of pyrimidin-2-amine derivatives without additional ligand, affording an opportunity to develop the efficient and practical catalyst reagent for the synthesis of medicines containing pyrimidin-2-amine moieties in pharmaceutical industry.

Synthesis of Novel Camphor Sulfamoxime Ether Derivatives and Its Application in Antitumor Activity



Zhao, Yuxun; Wang, Yunyun; Zhang, Chenglong; Xu, Xu; Wang, Shifa*
Chin. J. Org. Chem. **2021**, *41*(3), 1224

NOTES

ZrCl₄-Catalyzed Synthesis of Pyrimido[4,5-*b*]quinolone-2,4-(1*H*,3*H*)-diones and 11*H*-Indeno[1,2-*b*]quinolone-11-ones

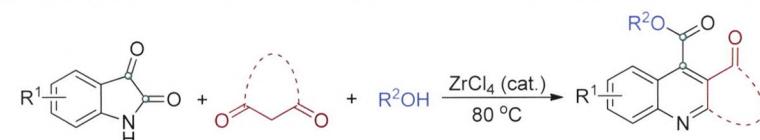
Yin, Guodong*; Li, Yuan; Fan, Ling
Chin. J. Org. Chem. **2021**, *41*(3), 1234

Synthesis of 3-Benzo[*d*]imidazol-2(3*H*)-ylidene Substituted Pyrano[3,2-*c*]chromen-2-ones via Three-Component Reaction

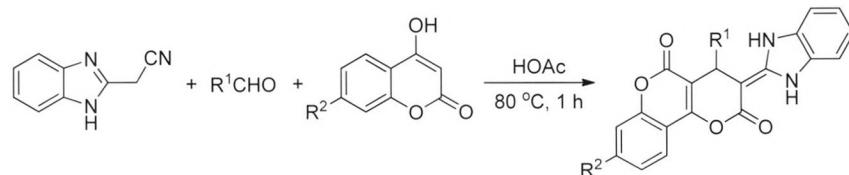
Wang, Xiang*; Chen, Ping; Zhi, Sanjun; Hu, Huayou; Kan, Yuhe; Tang, Guodong; Zhang, Zaichao*
Chin. J. Org. Chem. **2021**, *41*(3), 1241

A New Prenylated Isoflavone from the Stems and Leaves of *Trigonostemon howii*

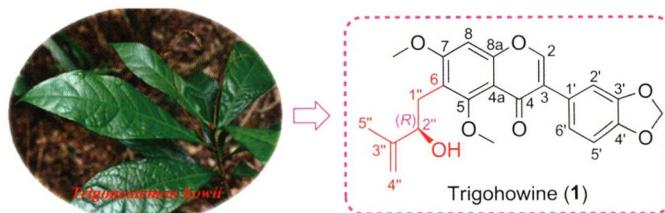
Fu, Yanhui; Xie, Yutong; Ma, Qingwei; Jiang, Bo; Xie, Lan; Qiao, Zehua; Liu, Yanping*
Chin. J. Org. Chem. **2021**, *41*(3), 1246



Using zirconium tetrachloride as the catalyst, a multicomponent domino reaction of isatin, 1,3-dione and aliphatic alcohol, effectively gave the corresponding fused-ring compounds pyrimido[4,5-*b*]quinolone-2,4-(1*H*,3*H*)-diones and 11*H*-indeno[1,2-*b*]quinolone-11-ones.



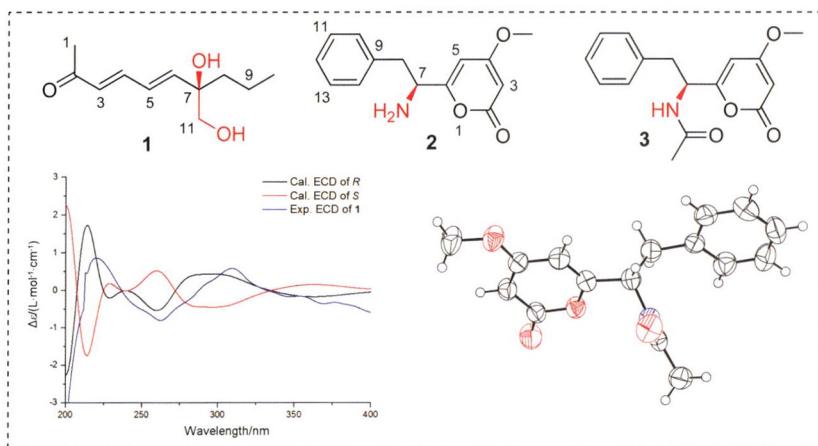
HOAc-promoted three-component annulation reaction of aromatic aldehydes with 2-(1*H*-benzo[*d*]imidazol-2-yl)acetonitrile and 4-hydroxy-2*H*-chromen-2-one is reported, leading to the formation of a series of 3-benzo[*d*]imidazol-2(3*H*)-ylidene substituted pyrano[3,2-*c*]chromen-2-ones in 58%~84% yields. The current reaction could tolerate aromatic aldehydes with diverse functional groups such as chloro, bromo, cyano, methoxy and heteroaryl aldehydes, and HOAc served as the reaction media as well as the Brønsted acid catalyst.



A new prenylated isoflavone, trigohowine (1), together with seven known isoflavones, were isolated from the stems and leaves of *Trigonostemon howii*. All known compounds were isolated from the genus *Trigonostemon* for the first time. The antitumor activities of compounds 1~8 were evaluated against five cancer cell lines (HL-60, A549, SMMC-7721, MCF-7 and SW480).

CONTENT

Study on Bioactive Secondary Metabolites from *Penicillium herquei* JX4

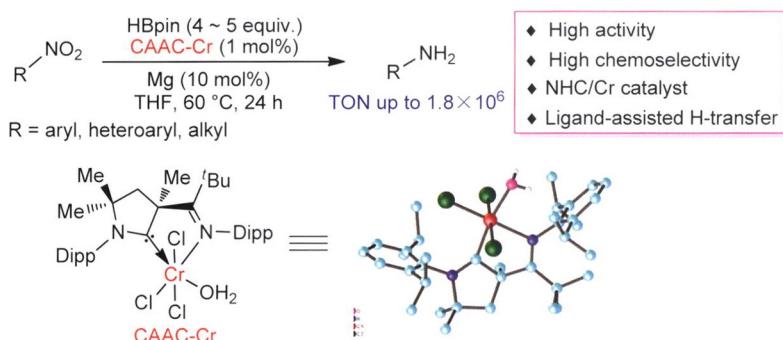


Wu, Xibin; Tan, Yinfeng; Yi, Jiling; Song, Xinming; Yang, Jingyu; Zhou, Xueming*; Chen, Guangying*
Chin. J. Org. Chem. **2021**, *41*(3), 1251

Two new secondary metabolites, penicillqueies A and B (**1** and **2**) were isolated from *Penicillium herquei* JX4. The absolute configuration of penicillquei A was determined by its experimental and calculated electronic circular dichroism (ECD) spectra. Compounds **2**, **3**, **7** and **8** showed broad spectrum antifungal activities against nine phytopathogenic fungi.

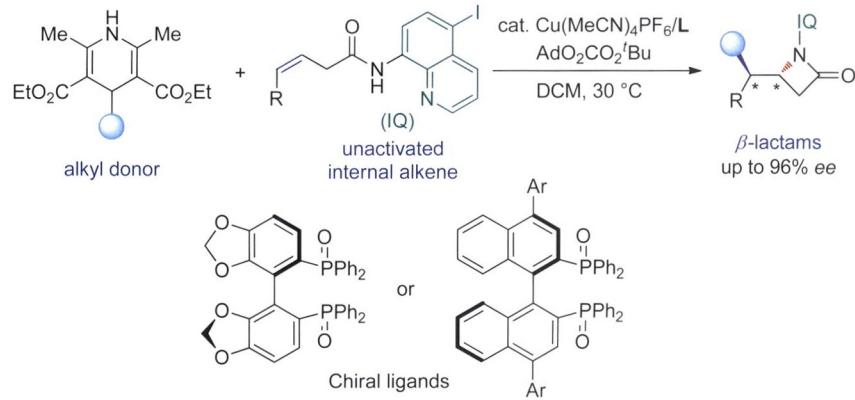
HIGHLIGHTS

Chromium-Catalyzed Deoxygenative Hydroboration of Nitro Compounds



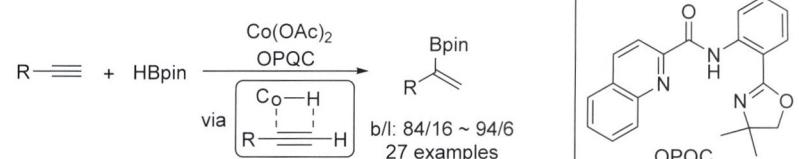
Zhang, Yandong; Zhu, Shoufei*
Chin. J. Org. Chem. **2021**, *41*(3), 1255

Cu-Catalyzed Asymmetric Alkylation of Unactivated Alkenes



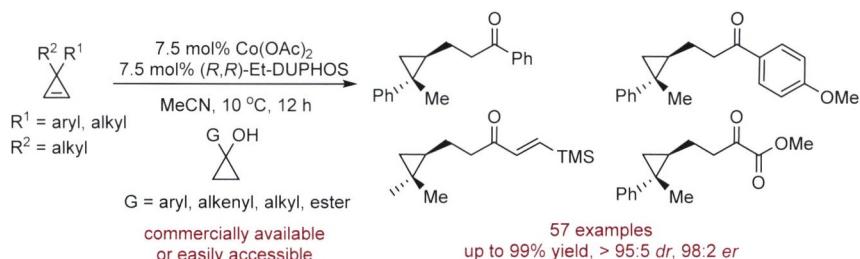
Wang, Zixuan; Li, Bijie*
Chin. J. Org. Chem. **2021**, *41*(3), 1257

Cobalt-Catalyzed Markovnikov-Type Selective Hydroboration of Terminal Alkynes



Yuan, Dan; Yao, Yingming*
Chin. J. Org. Chem. **2021**, *41*(3), 1259

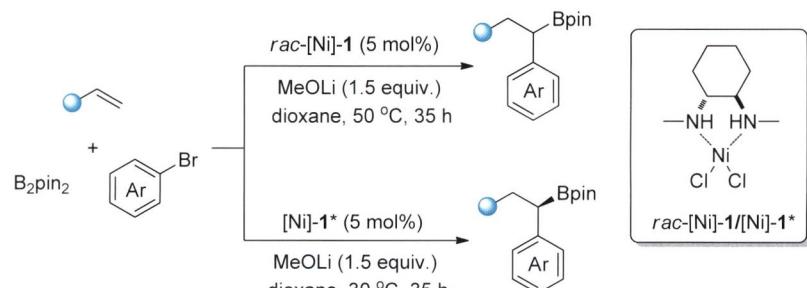
Cobalt-Catalyzed Asymmetric Hydroalkylation of Cyclopropenes



He, Bin; Niu, Dawen*

Chin. J. Org. Chem. **2021**, *41*(3), 1261

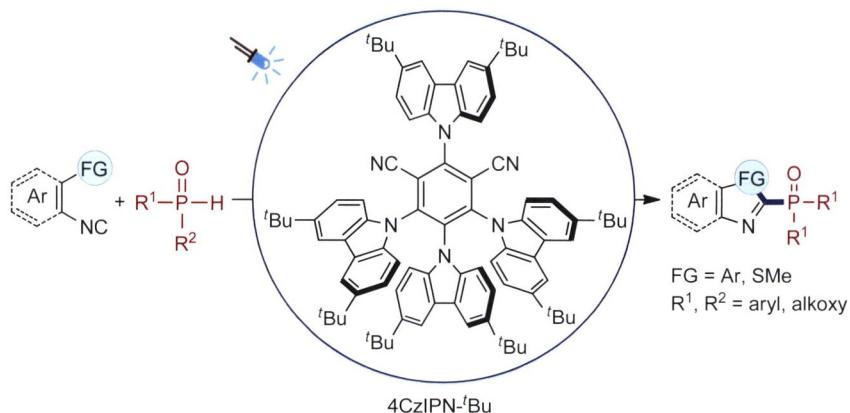
Enantioselective Nickel-Catalyzed 1,1-Arylboration of Terminal Olefins



Xi, Longlong; Shi, Zhuangzhi*

Chin. J. Org. Chem. **2021**, *41*(3), 1264

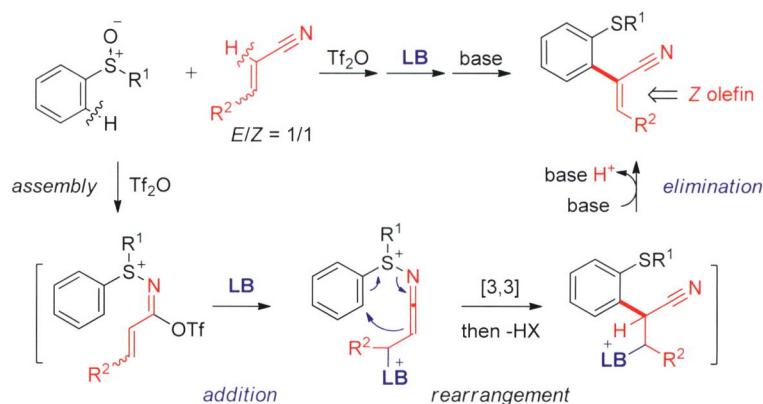
Proton-Coupled Electron Transfer for Photosynthesis of Phosphorylated *N*-Heteroaromatics



Yi, Rongnan; He, Weimin

Chin. J. Org. Chem. **2021**, *41*(3), 1267

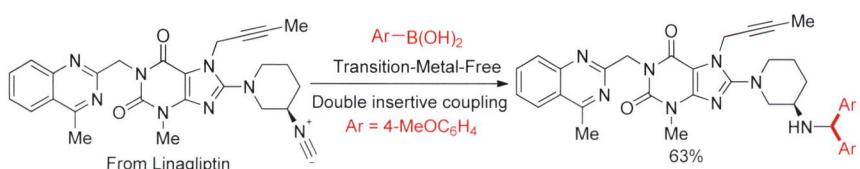
Morita-Baylis-Hillman Type [3,3]-σ Rearrangement Enabled Z-Selective α-Arylation



Wang, Min; Gao, Hongyin*

Chin. J. Org. Chem. **2021**, *41*(3), 1269

Efficient Synthesis of Diarylmethanamines via Transition-Metal-Free Double-Insertive Coupling of Isocyanides with Arylboronic Acids



Zheng, Long; Wang, Peng*

Chin. J. Org. Chem. **2021**, *41*(3), 1272

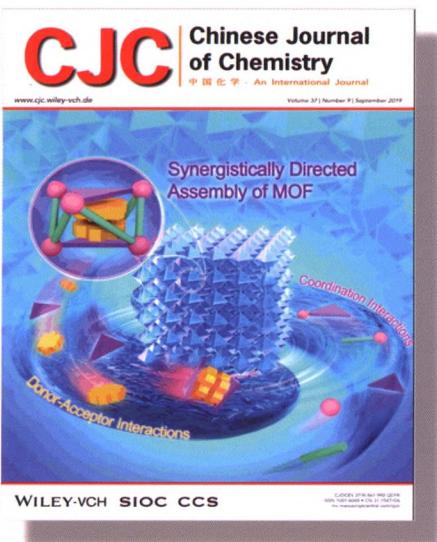
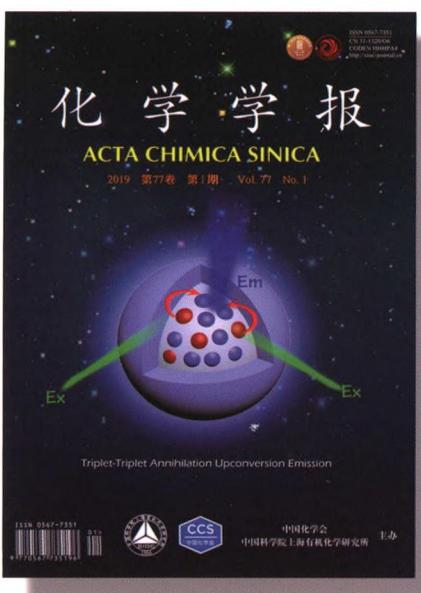


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