

有机化学

Chinese Journal of Organic Chemistry

ISSN 0253-2786

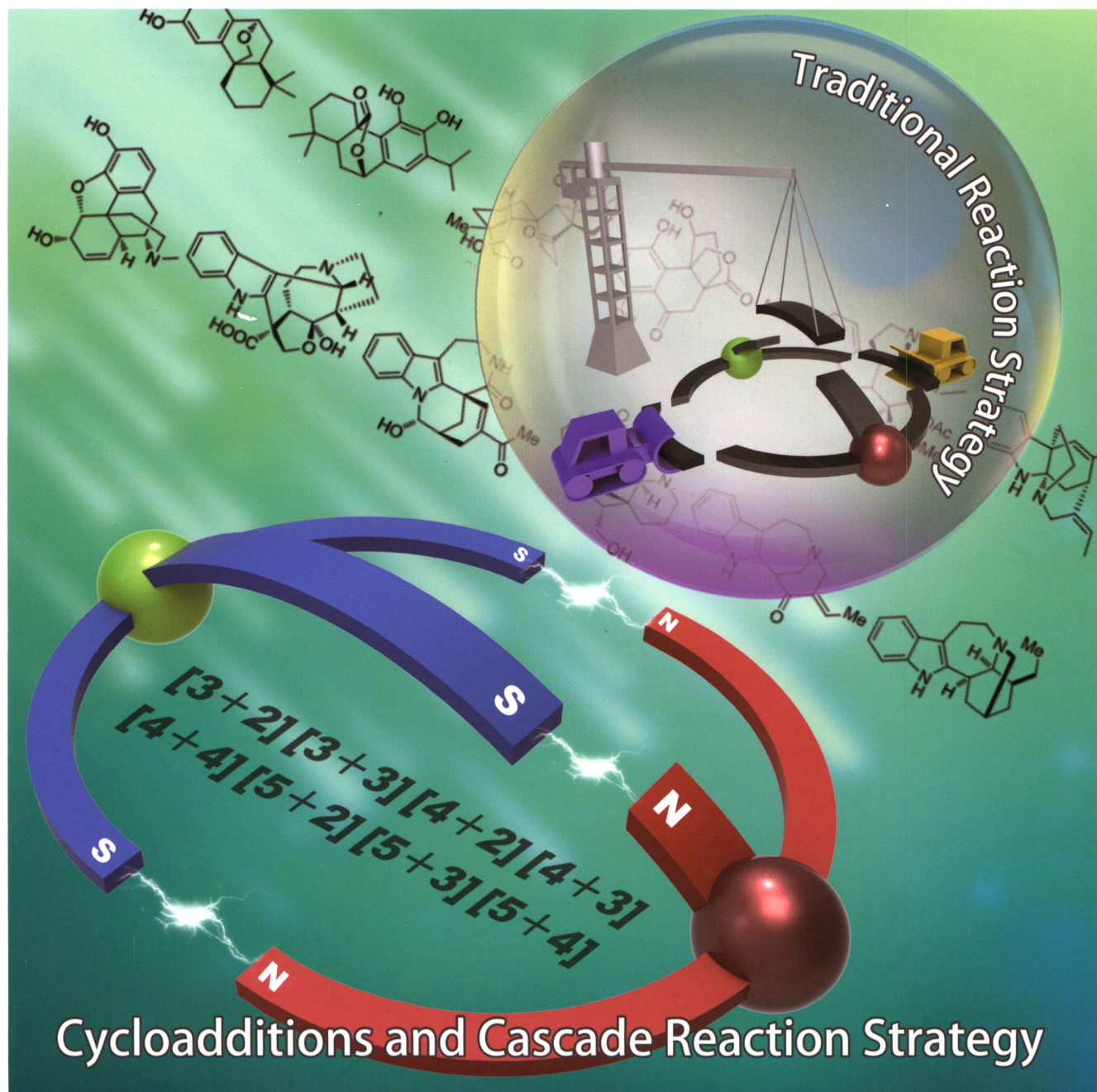
CN 31-1321/O6

http://sioc-journal.cn



QK2103129

Vol. 41 No. 1 January 2021



ISSN 0253-2786



万方数据



中国化学会主办
中国科学院上海有机化学研究所

有机化学 (月刊)

Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 41 卷 第 1 期 (总 386 期) 2021 年 1 月

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* 通讯联系人.

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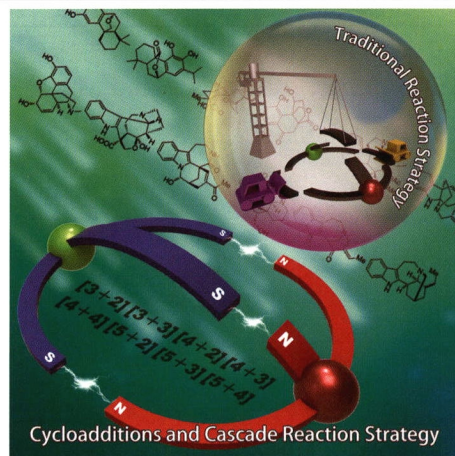
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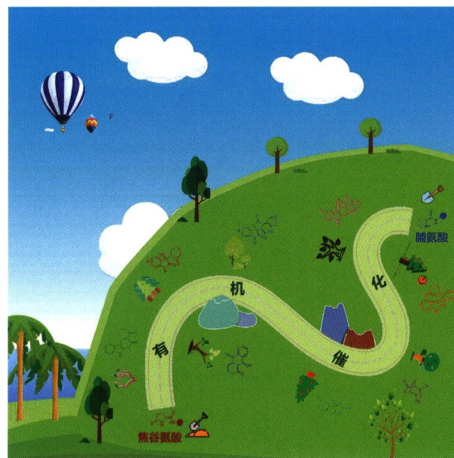
Cover Picture: Recent Advances in the Construction of Bridged Rings through Cycloadditions and Cascade Reactions

A series of synthetic methods involving cycloadditions and cascade reactions to access bridged compounds in the past five years are summarized by Wang, Zhang, Han, Liu, Bu and Wang on page 12. The advantages and problems of the current methods are briefly analyzed, which would provide useful reference for the researchers engaged in organic synthesis and related fields.



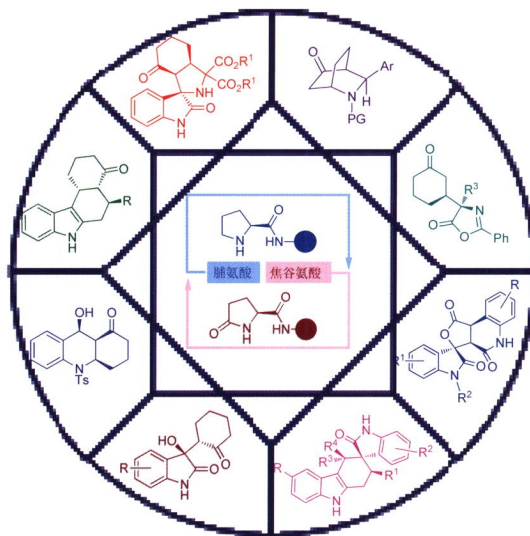
Inside Cover: Application of Organocatalysis in Asymmetric Construction of Nitrogen-Containing Heterocyclic Compounds

In recent years, a series of organocatalysts based on amino acids such as proline and pyroglutamic acid have been developed, which have been applied in the asymmetric construction of various nitrogen-containing compounds. The recent progress in asymmetric synthesis of nitrogen-containing heterocyclic compounds is summarized by Zheng, Xie, Chen, Xiang, and Yang on page 1.



ACCOUNT

Application of Organocatalysis in Asymmetric Construction of Nitrogen-Containing Heterocyclic Compounds



In recent years, a series of organocatalysts based on amino acid such as proline and pyroglutamic acid have been reported, which have been well applied in the asymmetric construction of various nitrogen-containing compounds. This account mainly focuses on the research of asymmetric synthesis of nitrogen-containing heterocyclic compounds in our group, and the possible mechanisms of some typical reactions are thus discussed.

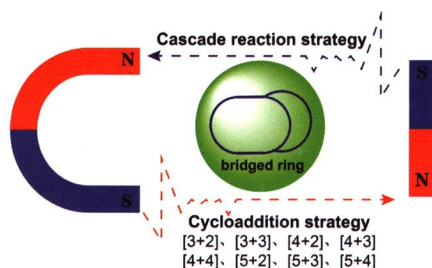
Zheng, Yu; Xie, Zhenzhen; Chen, Kai;
Xiang, Haoyue*; Yang, Hua*
Chin. J. Org. Chem. **2021**, 41(1), 1

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REVIEWS

Recent Advances in the Construction of Bridged Rings through Cycloadditions and Cascade Reactions

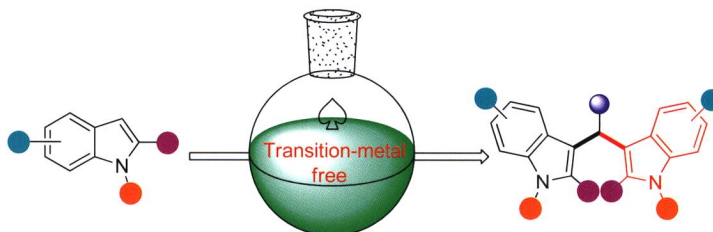
Wang, Lele; Zhang, Ziying; Han, Huabin; Liu, Xiongli; Bu, Zhanwei; Wang, Qilin*
Chin. J. Org. Chem. **2021**, 41(1), 12



Bridged rings are important structural units. In this review, the detailed synthetic methods to access bridged compounds in the past five years involving cycloadditions and cascade reactions are summarized.

Recent Advance on the Synthesis of 3,3'-Bisindolymethane Derivatives Under Transition-metal-free Catalytic Conditions

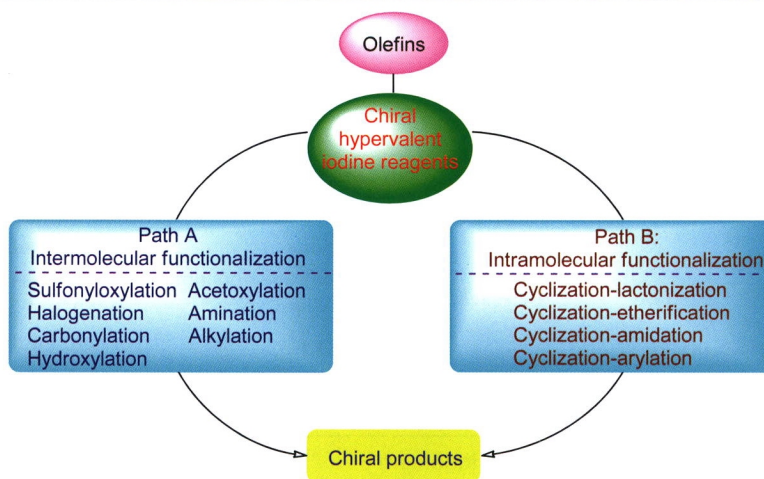
Zhang, Zhenguo; Liu, Xiaoxiao; Zong, Xinlong; Yuan, Yalin; Liu, Shuanglei; Zhang, Ting; Wu, Zishang; Yang, Jingying*; Jia, Zhenhua*
Chin. J. Org. Chem. **2021**, 41(1), 52



The recent progress in the synthesis of 3,3'-bisindolymethanes (3,3'-BIMs) compounds under transition-metal-free since 2010 is reviewed. The approaches and corresponding mechanism to prepare symmetrical and unsymmetrical 3,3'-BIMs are mainly discussed. Finally, the prospective protocol of preparation of 3,3'-BIMs and further application in pharmaceutical chemistry are also prospected.

Recent Advances in Asymmetric Functionalization of Olefins Induced by Chiral Hypervalent Iodine Reagents

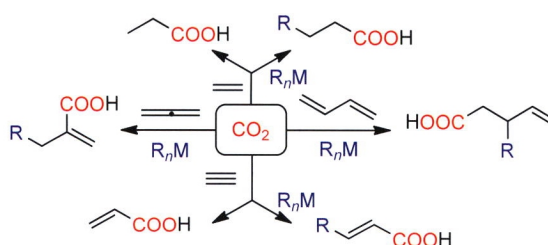
Zhang, Huaiyuan*; Wirth, Thomas*
Chin. J. Org. Chem. **2021**, 41(1), 65



The functionalization of olefins induced by chiral hypervalent iodine reagents and their applications in the total synthesis of natural products are reviewed.

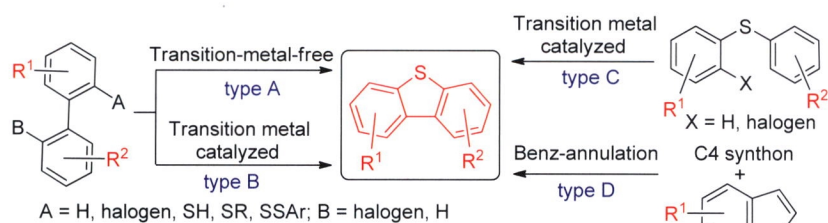
Recent Advance of Transition-Metal-Catalyzed Tandem Carboxylation Reaction of Unsaturated Hydrocarbons with Organometallic Reagents and CO₂

Yi, Yaping; Hang, Wei; Xi, Chanjuan*
Chin. J. Org. Chem. **2021**, 41(1), 80



The recent advance of transition-metal-catalyzed tandem carboxylation reaction of unsaturated hydrocarbons with organometallic reagents and CO₂ is reviewed. Reactions are divided according to the type of unsaturated hydrocarbons, and each type can also be divided into hydrocarboxylation and carbocarboxylation.

Recent Advances in the Synthesis of Dibenzothiophenes

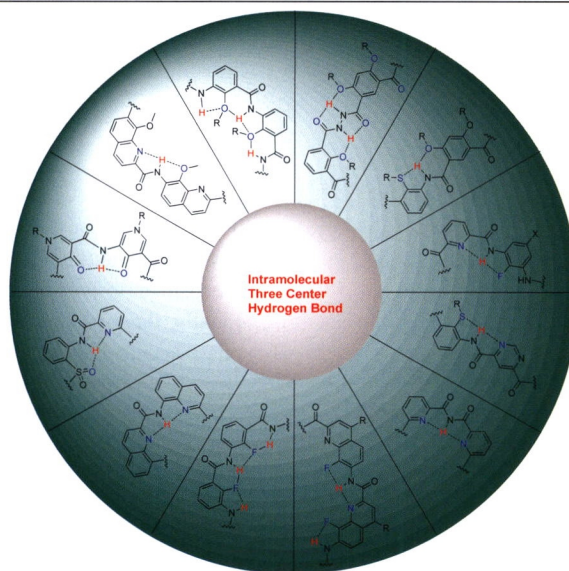


The formation of a benzene ring by cyclization of thiophene and its derivatives with a four-carbon synthon has been applied to the synthesis of dibenzothiophenes. These synthetic methods have enabled the provision of dibenzothiophenes bearing versatile functional groups. The following types of cyclization methods combined with the latest research progress of dibenzothiophene derivatives are briefly discussed until early 2020.

Cheng, Huicheng; Guo, Penghu; Chen, Bing; Yao, Jiawei; Ma, Jiaoli*; Hu, Weijie; Ji, Hongbing

Chin. J. Org. Chem. **2021**, 41(1), 94

Supramolecular Assemblies Based on Intramolecular Three-Center Hydrogen Bond and Their Applications

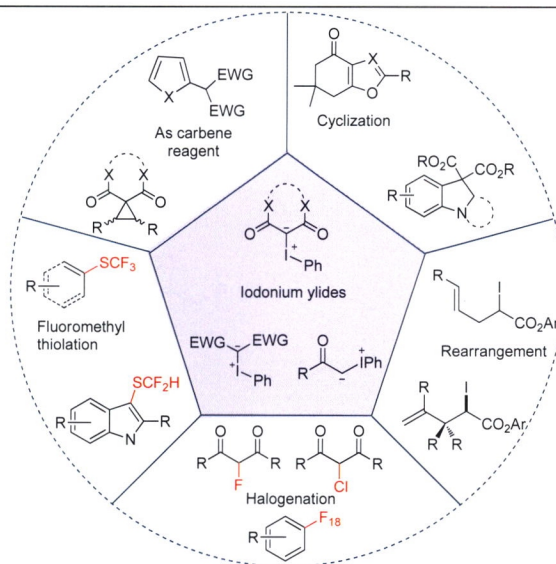


The research progress on supramolecular assemblies based on different types of intramolecular three-center hydrogen bond is summarized in detail according to the kinds of hydrogen bonding atoms, such as $O \cdots H \cdots O$, $S \cdots H \cdots X$ ($X = N, O$), $N \cdots H \cdots X$ ($X = N, O$), $F \cdots H \cdots X$ ($X = F, O, N$), and so on. More specifically, the synthesis of supramolecular assemblies is elaborated. Furthermore, their applications in promoting organic reactions, molecular recognition, transmembrane channels, molecular machines, soft materials are introduced.

Pei, Qiang*; Ding, Aixiang Wu, Jinjin

Chin. J. Org. Chem. **2021**, 41(1), 105

Advances in Reactions of Iodonium Ylides



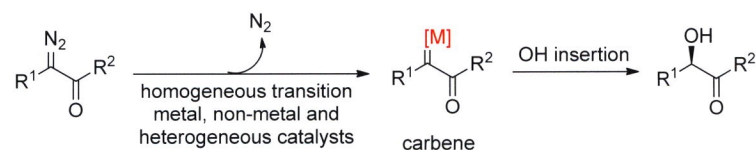
The preparation methods and structural properties of iodonium ylides are generally elaborated. Then, the reactivities of iodonium ylides are reviewed, including the application of iodonium ylides as a carbene precursor in insertion reactions, cyclopropane reactions, and their development research in cycloaddition reactions, rearrangement reactions and halogenation reaction.

Tong, Minghui; Zhang, Xinyu; Wang, Yeming*; Wang, Zikun*

Chin. J. Org. Chem. **2021**, 41(1), 126

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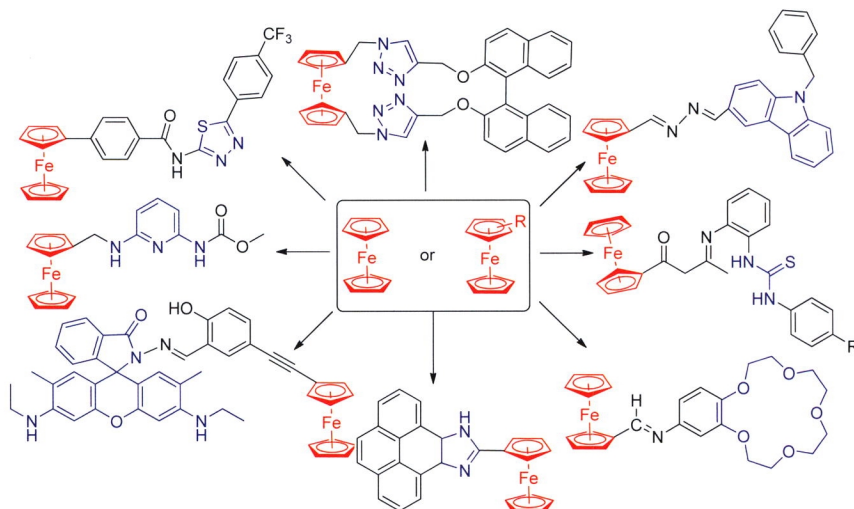
Research Progress of O—H Insertion Reaction Based on Diazo Ester



The research progress of O—H insertion reaction of diazo esters catalyzed by homogeneous transition metal, non-metal and heterogeneous catalysts in the past 10 years is reviewed. The structures and catalytic systems of various catalysts and their applications in drug development and organic synthesis are described. Finally, transition-metal-catalyzed O—H insertion and green synthesis are prospected.

Wang, Feiyu; Zhang, Zhipeng; Huang, Fei*
Chin. J. Org. Chem. **2021**, 41(1), 144

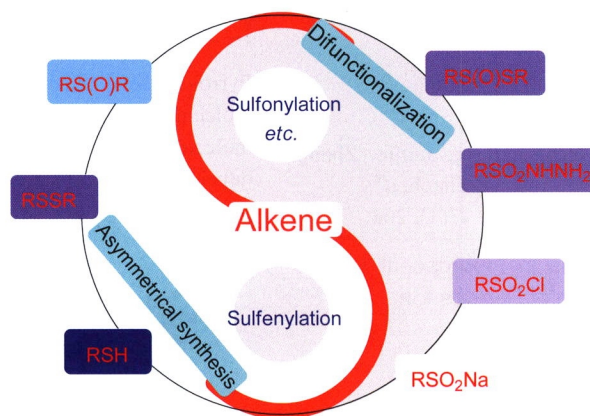
Progress of Ferrocene-Based Metal Cation Recognition Receptor



From the aspects of raw materials, synthesis methods, molecular structure, *etc.*, the research progress of ferrocene-based cation recognition receptors in recent years is reviewed. It is mainly classified from different molecular recognition centers such as crown ethers, polyamines, conjugates and heterocycles. At last, the future and development of ferrocene receptors are prospected.

Liu, Yuting*; Li, Jie; Yin, Dawei
Chin. J. Org. Chem. **2021**, 41(1), 158

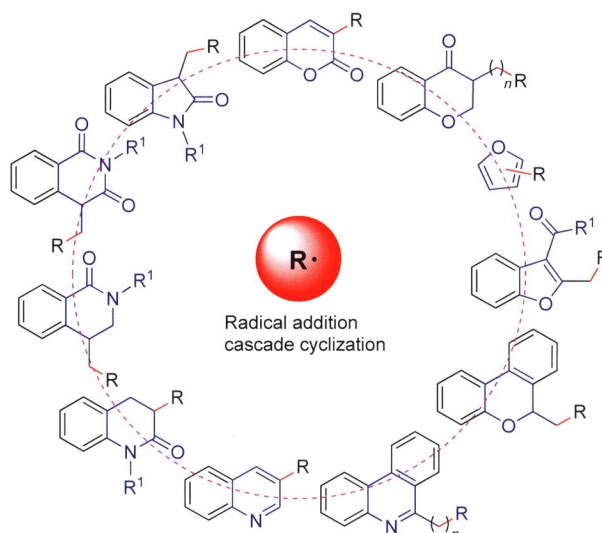
Research Progress in C—S Bond Formation Reaction of Olefins with Organic Sulfur Reagents under Photocatalyst-Free and Non-Electrochemical Conditions



The recent research progresses in C—S bond formation reaction of olefins with organic sulfur reagents under photocatalyst-free conditions and non-electrochemical method is summarized. In the future, among the researches on the C—S bond formation reactions of olefins with inexpensive organosulfur reagents, asymmetrical synthesis and various difunctionalizations are still promising directions.

Wang, Bowen; Zhou, Yongjun; Luo, Shihe*; Luo, Xiaoyan; Chen, Weiqing; Yang, Shimin; Wang, Zhaoyang*
Chin. J. Org. Chem. **2021**, 41(1), 171

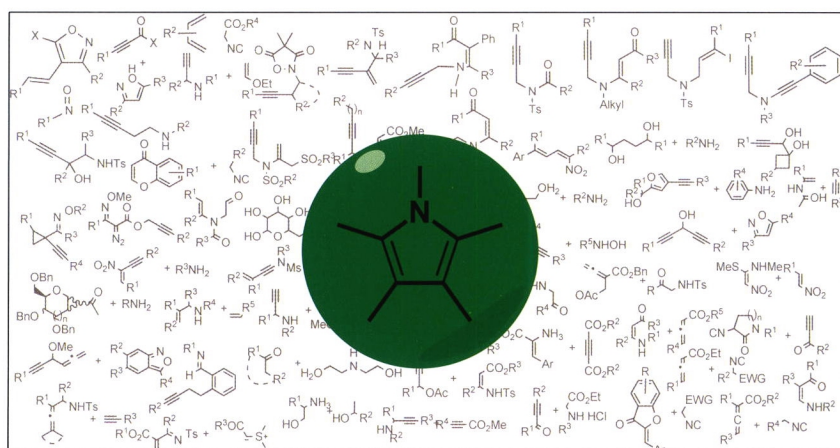
Synthesis of Oxygen- or Nitrogen-Containing Heterocyclic Compounds via Radical Addition Cascade Cyclization



One of the convenient and efficient strategies for the construction of various oxygen- or nitrogen-containing heterocycles is radical addition cascade cyclization in recent years. This article offers an overview on the radical addition cascade cyclization strategies based on the types of oxygen- or nitrogen-containing heterocyclic compounds.

Zhang, Jie; Liu, Ping*; Sun, Peipei*
Chin. J. Org. Chem. **2021**, 41(1), 185

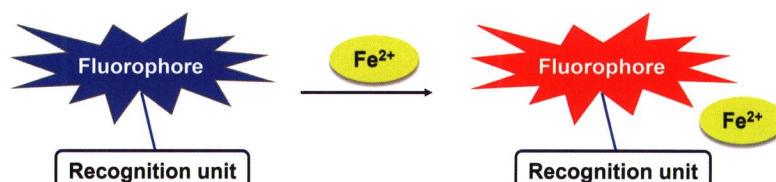
Recent Advances on Pyrrole Synthesis through Different Annulation Modes



Pyrrole, as one of the most important heterocycles, broadly exists in various functional molecules ranging from biological contexts to natural products, man-made bioactive molecules and functional materials. Such a fact has prompted the methodology development toward the construction of such a structural unit. The recent advances on pyrrole synthesis are summarized based on different cyclization modes.

Xu, Xuetao; Chen, Jie; Ke, Junjie; Zhang, Kun; Wu, Panpan*; Wang, Shaohua*
Chin. J. Org. Chem. **2021**, 41(1), 206

Recent Progress in Fluorescent Probes for the Detection of Ferrous Ion



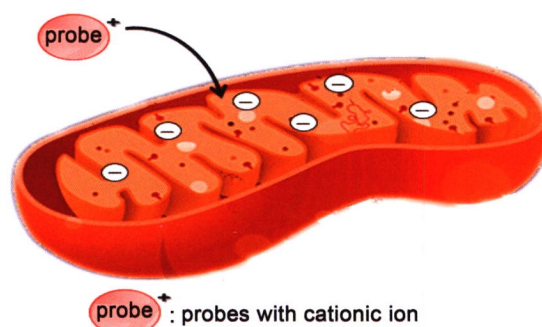
The recent progress in the synthesis, structure, properties and applications of Fe^{2+} fluorescent probes is reviewed. The effects of the structures on the fluorescent properties of probes are mainly discussed. Finally, the future development and application of them are also prospected.

Ma, Sufang; Yu, Qiang; Lu, Li; Li, Lihong; Liu, Wen; Wu, Zhifang*; Li, Sijin*
Chin. J. Org. Chem. **2021**, 41(1), 229

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Research Progress in Mitochondrial Targeting Fluorescent Probes for Hydrogen Peroxide

Li, Jiaojiao; Ban, Lifu; Tang, Lijun*
Chin. J. Org. Chem. **2021**, 41(1), 241

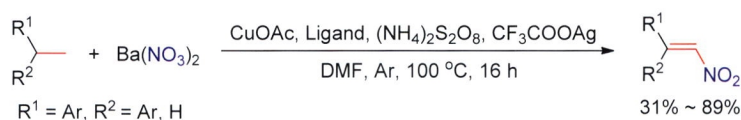


The recent progress in mitochondrial targeting fluorescent probes for hydrogen peroxide is summarized. The advantages and disadvantages of the probe design principles are also briefly discussed. Finally, the future design and application prospects of probes are performed.

ARTICLES

Alternative Approach for the Synthesis of Nitroaromatic Olefins via Dehydrogenative Nitration of Easily Available Arylethanes

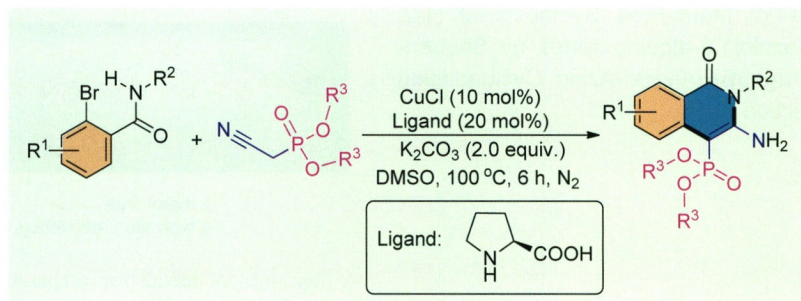
Mu, Bing; Wu, Junliang*; Zhang, Guang'an
Chin. J. Org. Chem. **2021**, 41(1), 250



An alternative and economical protocol for the synthesis of nitroaromatic olefins directly from easily available arylethanes with barium nitrate using Cu/Ag as cocatalyst and ammonium persulfate as the terminal oxidant is reported. Additionally, 1,1-diphenylethanes, phenylethanes, 4-ethyl-1,1'-biphenyl and ethylnaphthalenes were suitable substrates for the current dehydrogenative nitration, and provided *E*-nitroaromatic olefins in moderate to good yields.

Efficient Copper-Catalyzed Domino Synthesis of Phosphonated Isoquinolin-1(2H)-ones Using Cyanomethylphosphonates as Building Blocks

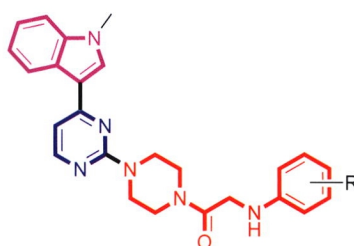
Zhao, Suyan; Gong, Xueqin; Gan, Ziyu; Yan, Qiuli; Liu, Xueliang*; Yang, Daoshan*
Chin. J. Org. Chem. **2021**, 41(1), 258



An efficient and convenient copper-catalyzed cascade synthesis of C-4 phosphonated isoquinolin-1(2H)-ones has been initially proposed. This is the first example for the construction of phosphine-containing heterocycles through copper-catalyzed Ullmann-type coupling reactions using cyanomethylphosphonates as the building blocks.

Design, Synthesis and Anticancer Activity Studies of Novel Indole-Pyrimidine Biaryl Derivatives

Zhang, Danqing; Liu, Xu; Pang, Xiaojing; Liu, Hongmin*; Zhang, Qiurong*
Chin. J. Org. Chem. **2021**, 41(1), 267



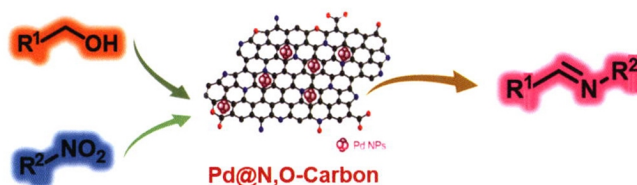
- Novel biaryl derivatives
- Hydrophobic pharmacophore
- Potent antitumor activity
- IC₅₀: 4.35 μmol/L (MGC-803)
- IC₅₀: 2.75 μmol/L (PC-3)
- IC₅₀: 9.34 μmol/L (EC-109)
- IC₅₀: 6.51 μmol/L (PC-12)
- IC₅₀: 8.19 μmol/L (MCF-7)

A series of novel indole-pyrimidine biaryl derivatives were designed, synthesized and evaluated for antiproliferative activity against five different cancer cell lines (MGC-803, PC-3, EC-109, PC-12 and MCF-7).

Borrowing Hydrogen Reductive Coupling of Nitroarenes with Benzyl Alcohols to Imines Catalyzed by Pd Nanoparticles on N Doped Carbon Materials

Che, Jianing; Song, Tao; Gao, Xianchi*; Yang, Yong*

Chin. J. Org. Chem. **2021**, 41(1), 276

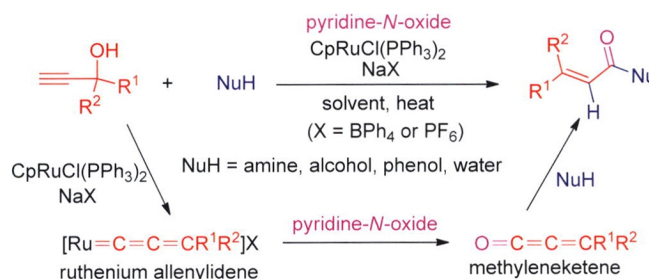


The heterogeneous Pd nanoparticles (Pd@N,O-carbon) on N doped carbon materials were developed using bamboo shoot as raw materials for highly efficient reductive coupling of nitroarenes with alcohols to selectively synthesize imines via the borrowing hydrogen strategy.

Ruthenium-Catalyzed Oxygenative Transformation of Terminal Propargyl Alcohols to Methyleneketenes via Allenylidene Intermediates: Synthesis of α,β -Unsaturated Carboxylic Acid Derivatives

Wang, Xinyu; Li, Qihuan; Wen, Tingbin*

Chin. J. Org. Chem. **2021**, 41(1), 284

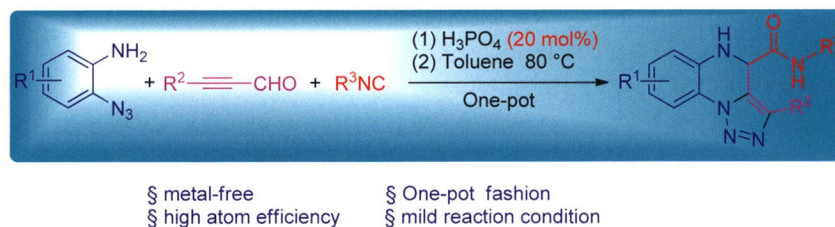


A ruthenium-catalyzed oxygenative transformation of terminal propargyl alcohols to methyleneketenes via allenylidene intermediates has been developed for the synthesis of a variety of α,β -unsaturated carboxylic acid derivatives. This reaction provides an attractive complementary to the traditional approach for the synthesis of this class of unsaturated compounds, but in a distinct mechanism. The metal allenylidene-to-methyleneketene transformation also represents a new mechanistic modality for metal allenylidene-mediated catalysis.

One-Pot Metal-Free Synthesis of [1,2,3]triazolo[1,5-a]quinoxalines by Sequential Ugi-3CR/Alkyne-Azide Cycloaddition Reaction

Shi, Ying; Qin, Fuwen; Wang, Jie; Yan, Yanmei*

Chin. J. Org. Chem. **2021**, 41(1), 297

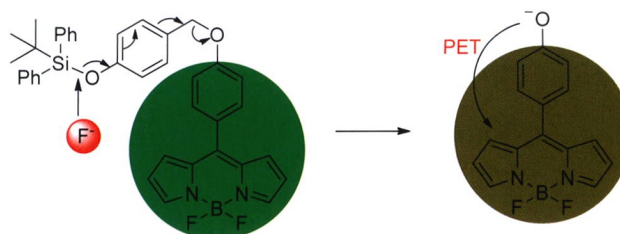


A new one-pot metal-free preparation of [1,2,3]triazolo[1,5-a]quinoxalines by a Ugi-3CR/alkyne-azide cycloaddition sequence has been developed. The reaction of 2-azido-benzenamines, propiolic aldehydes and isocyanides produced [1,2,3]triazolo[1,5-a]quinoxalines in 55%~85% yields via sequential Ugi-3CR/alkyne-azide cycloaddition reaction in the presence of H_3PO_4 and heating in toluene respectively.

Synthesis and Recognition Properties of Fluoroborodipyrrole Fluorescent Probes Based on Fluorine Triggered Cascade Releasing

Chen, Xi; Gao, Chen; Fu, Chao; Zhu, Tingting; Liu, Zhenjiang*; Liu, Chuanxiang*

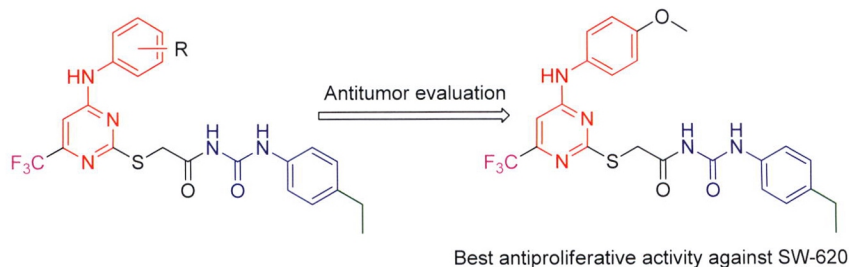
Chin. J. Org. Chem. **2021**, 41(1), 303



4-Hydroxy-fluoroborodipyrrole is used as fluorophore, and *tert*-butyldiphenylsilyl (TBDPS) is used as protective group for active hydroxyl groups. A novel fluoroborodipyrrole type of fluoride ion fluorescent probe **R** based on fluorine ion causing cleavage of Si—O bond to trigger cascade releasing was designed and synthesized.

CONTENT

Design, Synthesis and Antitumor Activity Evaluation Research of Novel 2,4,6-Substituted Pyrimidine Derivatives

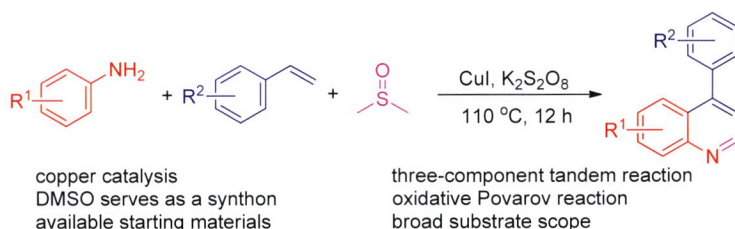


Zhang, Yang; Zhang, Luye; Wang, Jikuan; Liu, Limin; Wang, Tao; Li, Na; Wang, Zhengjie; Liu, Xiujuan; Chen, Yaxin; Zhao, Danlin; Zheng, Jiaxin; Shan, Lihong*; Liu, Hongmin*; Zhang, Qiurong*

Chin. J. Org. Chem. **2021**, 41(1), 310

A series of novel pyrimidine derivatives were designed, synthesized, and evaluated for antitumor activity, among which *N*-((4-ethylphenyl)carbamoyl)-2-((4-(4-methoxyphenyl)amino)-6-(trifluoromethyl)pyrimidin-2-yl)thioacetamide (**5r**) possessed antiproliferative activity against SW-620 ($IC_{50} = 1.46 \mu\text{mol} \cdot \text{L}^{-1}$), and the antiproliferative activity was better than that of the positive control drug 5-fluorouracil.

Copper-Catalyzed Three-Component Synthesis of Quinolines via Oxidation and Aza-Diels-Alder Reaction

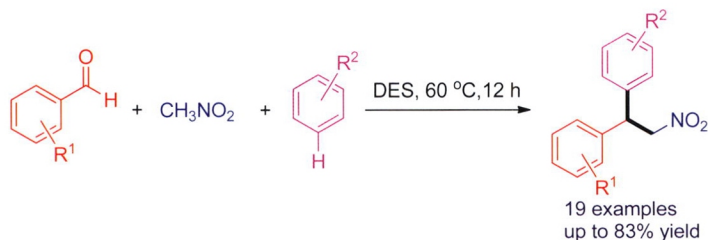


Qin, Feng; Tang, Lin; Huang, Fei; Li, Xiaoyue; Zhang, Wu*

Chin. J. Org. Chem. **2021**, 41(1), 318

A tandem three-component reaction for the synthesis of quinolines from anilines, styrene and dimethyl sulfoxide (DMSO) has been developed. DMSO served as one-carbon synthon and solvent. The mechanism studies revealed that imine intermediate was involved and inverse electron demand Aza-Diels-Alder reaction was occurred.

One-Pot Domino Henry-Friedel-Crafts Alkylation Reaction in Deep Eutectic Solvent



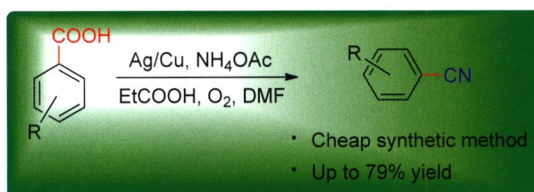
- Mild conditions in Deep eutectic solvent
- One-pot procedure
- Broad substrate scope
- Henry-Friedel-Crafts alkylation reaction

Hu, Zhiyu; Jiang, Guofang*; Zhu, Zhiqiang; Gong, Bozhen; Xie, Zongbo; Le, Zhanggao*

Chin. J. Org. Chem. **2021**, 41(1), 325

A green multicomponent reaction between aldehydes, nitromethane and *N*-substituted anilines in deep eutectic solvent (DES) has been developed. In this reaction, aldehydes and nitromethane underwent Henry reaction, followed by a Friedel-Crafts alkylation reaction with electron-rich arenes. The desired products were formed quickly in excellent yields under mild conditions without the need of any additional catalysts.

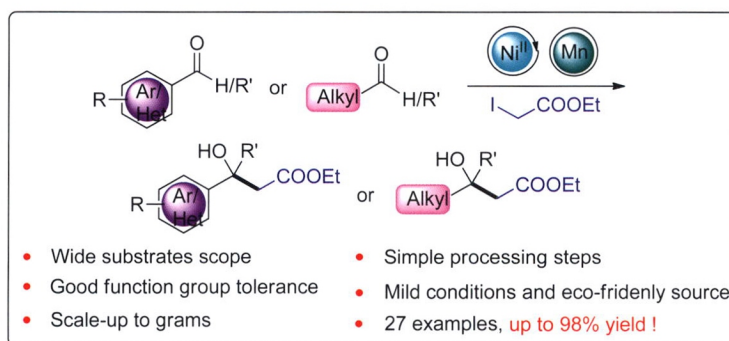
Ag/Cu-Mediated Decarboxylative Cyanation of Arene Carboxylic Acids Using NH_4^+ /*N,N*-Dimethylformamide as Combined Cyanide Source



Fu, Zhengjiang*; Hao, Guangguo; Shi, Quanqing; Zhou, Jinqi; Jiang, Ligao; Wang, Shuiliang; Guo, Shengmei; Cai, Hu*

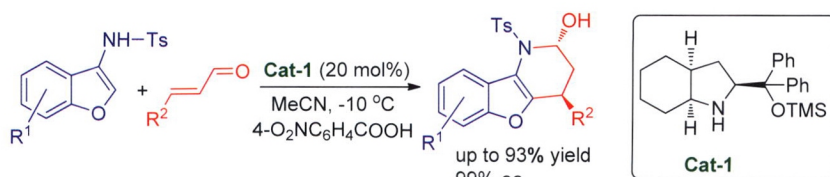
Chin. J. Org. Chem. **2021**, 41(1), 333

An efficient Ag/Cu-promoted decarboxylative cyanation of readily accessible aryl carboxylic acids has been well developed. This method provides straightforward access to aryl nitriles with cheap NH_4OAc /*N,N*-dimethylformamide (DMF) as cyano source under aerobic conditions.

Manganese-Mediated Reformatsky Reaction: Highly Divergent Synthesis of β -Hydroxyalkanoates

A practical, flexible, and efficient manganese-mediated catalytic system of Reformatsky reaction has been described. The cheap and readily available manganese powder acts as the reaction mediator, which conducted effectively for the preparation of useful β -hydroxyalkanoates compounds with the nickel catalyst in excellent yields (up to 98%) and mild condition. In addition, the catalytic methodology can be scaled up to the gram scale.

Xia, Yanping; Ouyang, Lu; Liao, Jianhua; Wei, Yifei; Luo, Renshi*
Chin. J. Org. Chem. **2021**, 41(1), 341

Synthesis of Benzofuran Derivatives by Diphenylperhydroindolinol Silyl Ether-Catalyzed Asymmetric [3+3] Aza-cyclization of α,β -Unsaturated Aldehydes

A highly enantioselective [3+3] aza-cyclization of α,β -unsaturated aldehydes with 3-aminobenzofuran promoted by diphenylperhydroindolinol silyl ether has been described, which afforded benzofuran derivatives in high yields (up to 93%), diastereoselectivities ($dr > 20 : 1$) and enantioselectivities (86% ~ >99% *ee*). This method also enabled to obtain benzofuran derivative in gram scale-up.

Wen, Huiling; Luo, Nianhua; Ouyang, Lu; Luo, Renshi*
Chin. J. Org. Chem. **2021**, 41(1), 348

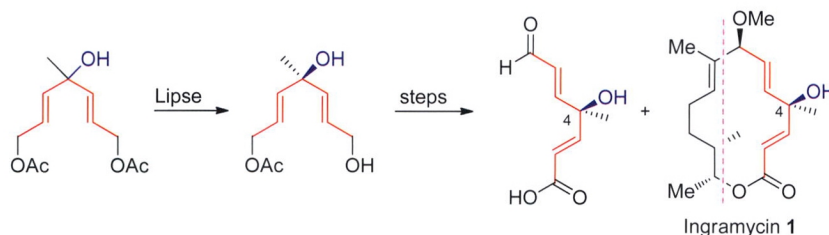
Synthesis of Zn-Li Bimetallic Compound and Its Catalytic Application in Hydroboration of Isocyanate



A novel asymmetric β -diketiminate Zn-Li bimetallic compound has been prepared and used as a highly efficient catalyst in the hydroboration of various isocyanates with HBpin in high yield. The preliminary mechanism of hydroboration reaction has been explored.

Xiao, Qian; Zang, Shenluo; Chen, Zewei; Yao, Weiwei*; Zheng, Jing*; Ma, Mengtao*
Chin. J. Org. Chem. **2021**, 41(1), 357

Desymmetrization Strategy: Synthesis of Right Segment of Ingramycin

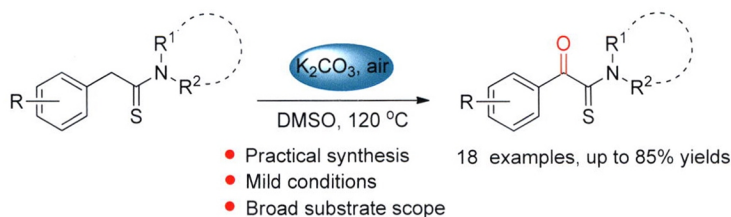


Based on the readily available allyl bromide and ethyl acetate, the right segment of Ingramycin was synthesized by 11 steps with total yield of 26.7% and *ee* value of 50.84%.

Sun, Moran; Bai, Leiyang; Xiang, Junhong; Yang, Hua; Yu, Dequan; Liu, Hongmin*
Chin. J. Org. Chem. **2021**, 41(1), 364

CONTENT

Convenient Method for Preparing α -Keto-arylthioamide by Air Oxidation under Base Conditions

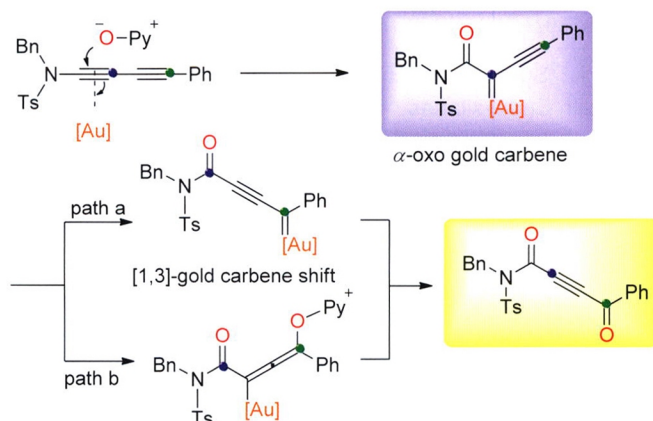


Wang, Cong; Yao, Yaoyao; Xie, Jun; Wang, Jianta; Wang, Feiqing; Zhang, Jiquan; Tang, Lei*

Chin. J. Org. Chem. **2021**, 41(1), 370

A practical method for preparing α -ketoaryl thioamide by air oxidation has been developed. Under air atmosphere, in the presence of K_2CO_3 as base and dimethyl sulfoxide (DMSO) as solvent at 120 °C, a variety of α -ketoarylthioamides were obtained from aryl thioamide in the yields of 42%~85%.

Gold-Catalyzed Selective Oxidation of 1,3-Dynamides to Access 4-Oxo-but-2-ynamides

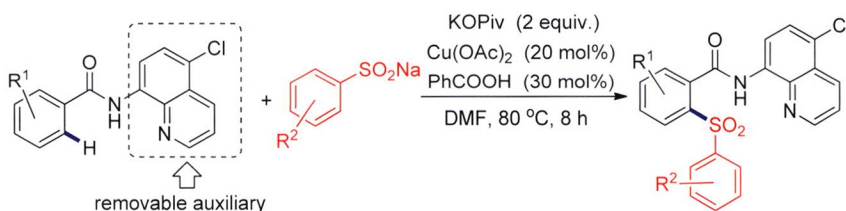


Wan, Wan; Liu, Jibing; Huang, Xueliang*

Chin. J. Org. Chem. **2021**, 41(1), 376

A gold-catalyzed selective oxidation of 1,3-ynamides is described. The reaction provides an efficient and practical method to prepare 4-oxo-but-2-ynamide derivatives in a modular manner. Two plausible reaction pathways were proposed for this novel transformation.

Copper-Catalyzed *ortho*-Sulfonylation with 5-Chloro-8-aminoquinoline Group-Directed

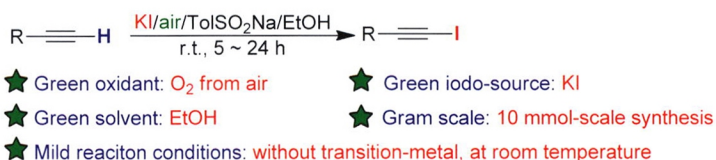


Wang, Xiangyang; Gao, Junqing; Xu, Xue-tao*; Fang, Ping*; Mei, Tiansheng

Chin. J. Org. Chem. **2021**, 41(1), 384

The direct sulfonylation of $C(sp^2)-H$ bond has been successfully realized by copper catalysis using 5-chloro-8-aminoquinoline (AQ') as a bidentate guiding group and various substituted sodium arylsulfites as sulfonylation reagent.

Sodium *p*-Toluenesulfonate/KI-Mediated Aerobic Oxidative Iodination of Terminal Alkynes for Synthesis of 1-Iodoalkynes and 1,3-Diynes



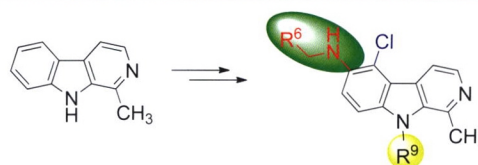
Zhou, Peng*; Feng, Shangwei; Qiu, Huihua; Zhang, Jiantao*

Chin. J. Org. Chem. **2021**, 41(1), 394

A practical and environmentally friendly protocol for the synthesis of 1-iodoalkynes was developed via sodium sulfinate-KI mediated aerobic oxidative iodination of terminal alkynes under mild reaction conditions. An efficient transition-metal-free synthetic approach to symmetrical 1,3-diynes was developed via the iodination/homocoupling of terminal alkynes in a one-pot manner.

NOTES

Synthesis, Crystal Structure and Antitumor Activity of Novel 5-Chloro- β -carboline Derivatives

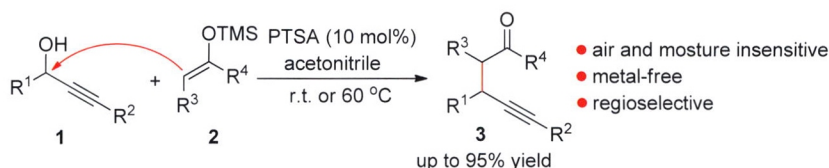


$R^6 = C_6H_5$, 2,6- $F_2C_6H_3$, o - $CF_3C_6H_4$, 3-(methylthio)butyl, 3-pyridyl, p - ClC_6H_4 , p - $CH(CH_3)_2C_6H_4$, p - $CH_3OC_6H_4$

16 novel 5-chloro- β -carboline derivatives were synthesized and characterized for their cytotoxic profiles against a panel of tumor cell lines. The results showed that some compounds exhibited potent cytotoxic activities, especially, compounds **5j** and **5m** showed significant inhibitory activity with IC_{50} values lower than $10 \mu\text{mol}\cdot\text{L}^{-1}$ against four cancer cell lines.

Sun, Yue; Guo, Liang; Fan, Wenxi; Chen, Wei; Zhang, Jie*; Dai, Bin*
Chin. J. Org. Chem. **2021**, 41(1), 400

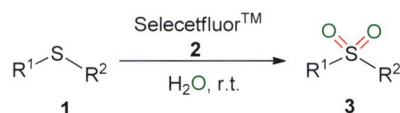
Direct Nucleophilic Substitution of Propargyl Alcohols with Enoxysilanes



A novel and efficient synthesis of γ -alkynyl ketones by the direct nucleophilic substitution of propargyl alcohols with enoxysilanes in the presence of a catalytic amount of p -toluenesulfonic acid monohydrate, has been developed. This method does not require expensive catalysts, explosive solvents, or long reaction times.

Li, Xinling; Liu, Huili; Zhang, Shunji*
Chin. J. Org. Chem. **2021**, 41(1), 407

A Green Method for the Synthesis of Sulfones from Thioethers

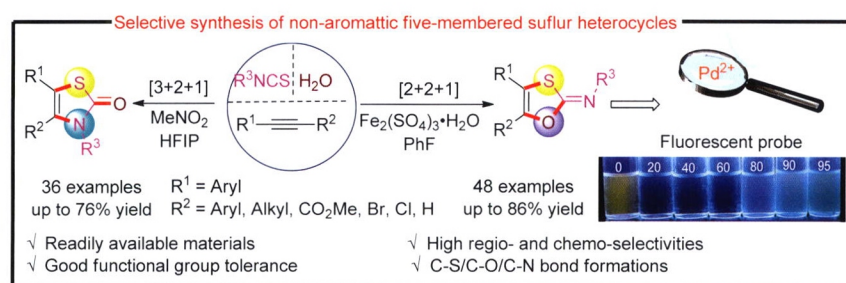


With water as oxygen source, a method for the synthesis of sulfones from thioethers under the action of Selecetfluor™ was designed. The yield can reach 99%. The conditions of this green method are mild and can reach the grams scale.

Fang, Xiang*; Wang, Wang; Yang, Xueyan; Wu, Fanhong*
Chin. J. Org. Chem. **2021**, 41(1), 412

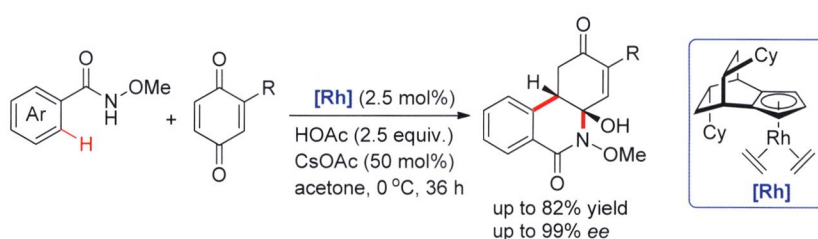
HIGHLIGHTS

Selective Synthesis of Non-Aromatic Five-Membered Sulfur Heterocycles via Multicomponent Cyclization of Alkynes



Hu, Rong; Qin, Anjun*
Chin. J. Org. Chem. **2021**, 41(1), 418

Chiral Bicyclo[2.2.2]octane-Fused Cyclopentadienyl Rhodium Complexes: Synthesis and Potential Use in Asymmetric C—H Activation

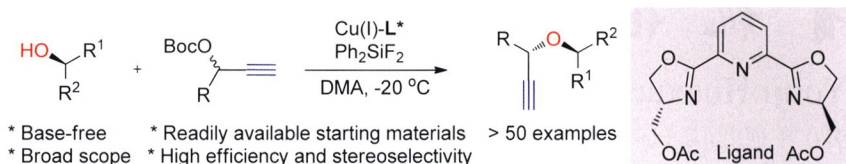


Huang, Yi; Chen, Gong*
Chin. J. Org. Chem. **2021**, 41(1), 420

CONTENT

Asymmetric Propargyl Etherification of Secondary Aliphatic Alcohols

Wang, Yani; Chen, Peng; Lu, Liangqiu*
Chin. J. Org. Chem. **2021**, 41(1), 423

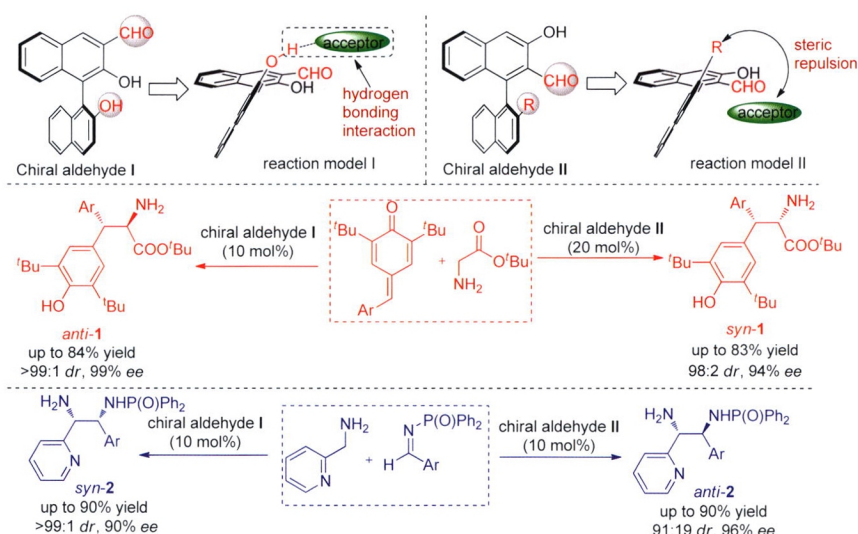


Rh(I)-Catalyzed Regioselective Arylcarboxylation of Acrylamides with Arylboronic Acids and CO₂

Hang, Wei; Xi, Chanjuan*
Chin. J. Org. Chem. **2021**, 41(1), 425



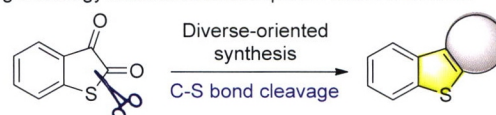
Diastereodivergent Chiral Aldehyde Catalysis for Asymmetric 1,6-Conjugated Addition and Mannich Reactions



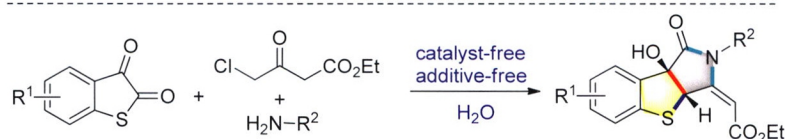
Wang, Ran; Shao, Zhihui*
Chin. J. Org. Chem. **2021**, 41(1), 428

Construction of Benzothiophene Fused Pyrrolidone in Water Under Catalyst-Free Conditions

Meng's strategy towards benzothiophene fused framework

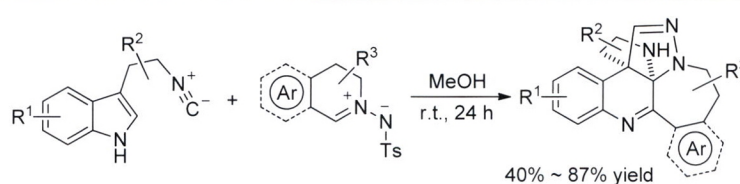


Xing, Huicong; Xie, Peizhong*
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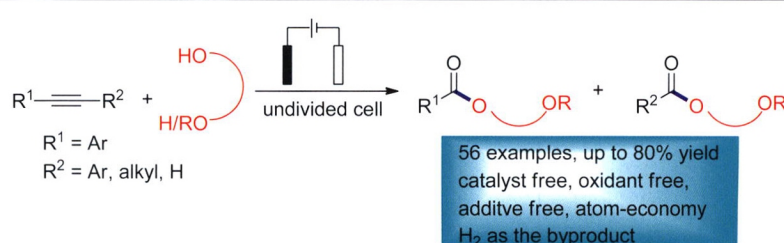
Hydrogen-Bonding-Promoted Cascade Rearrangement

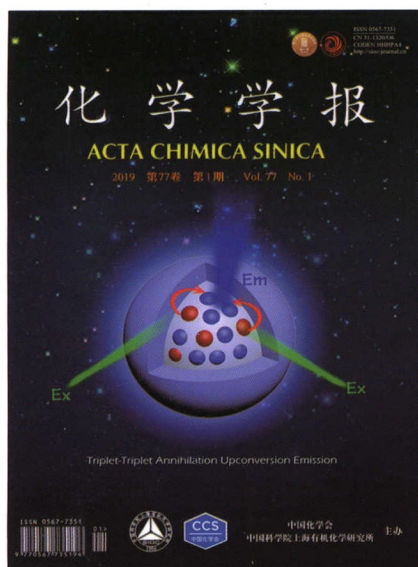
Wang, Yali; Guo, Hongchao*
Chin. J. Org. Chem. **2021**, 41(1), 433



Electrochemical Esterification Reaction of Alkynes with Diols via Cleavage of Carbon-Carbon Triple Bonds

Tang, Haitao; Pan, Yingming*
Chin. J. Org. Chem. **2021**, 41(1), 435





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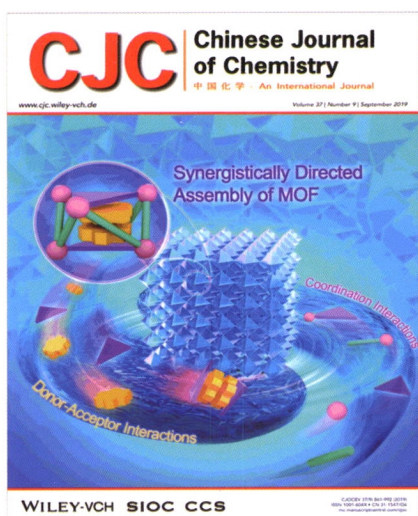
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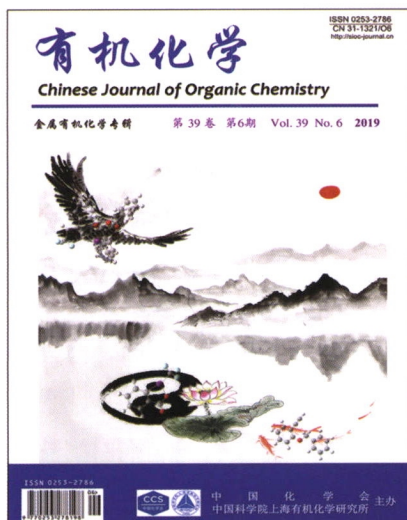
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主编：麻生明 院士

- SCI收录、入选卓越计划
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- 与Wiley-VCH合作出版
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国际刊号: ISSN 0253-2786

国内刊号: CN 31-1321/O6

国内邮发代码: 4-285

国外发行代码: M 513