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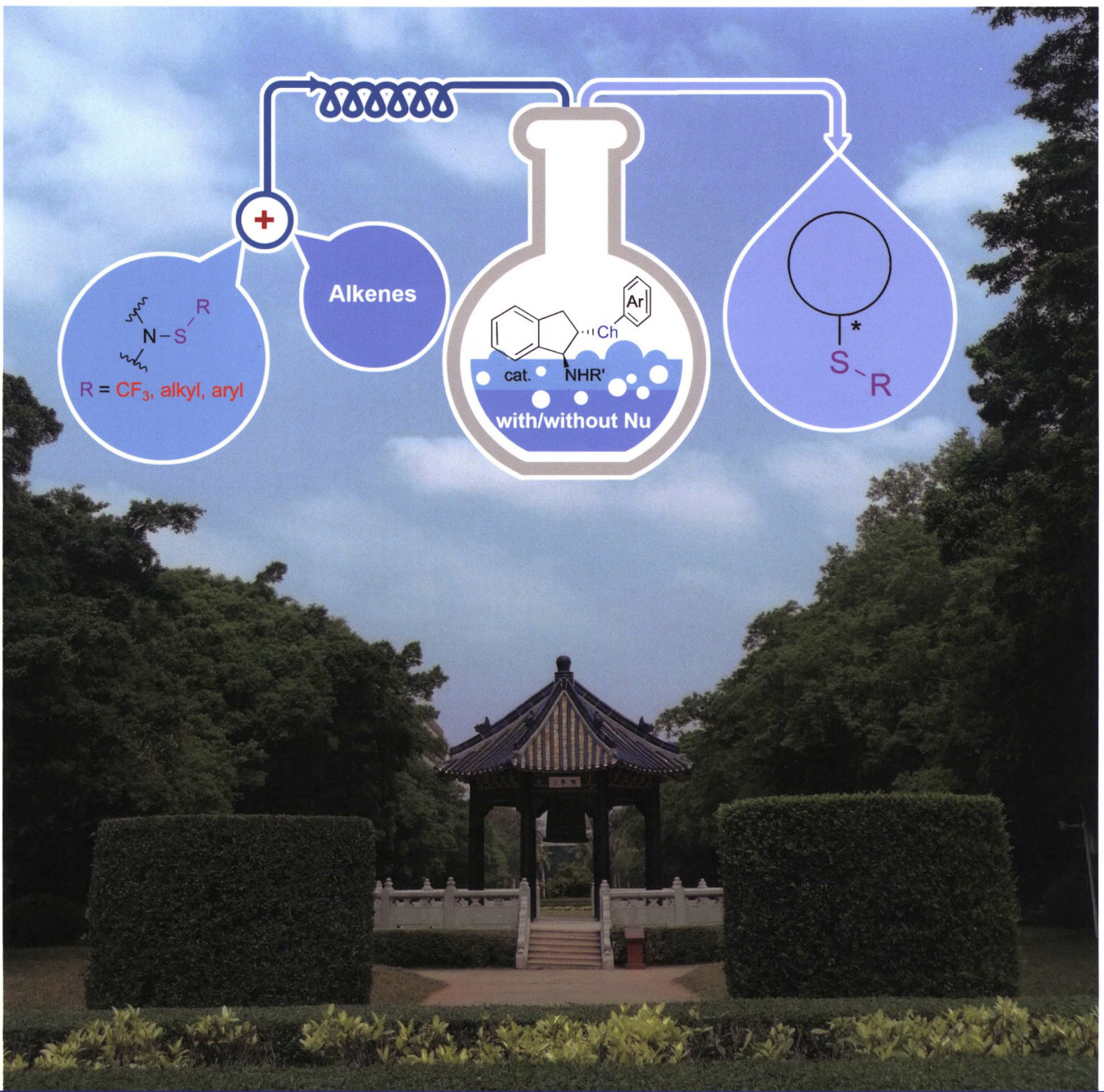
有机化学

Chinese Journal of Organic Chemistry

Vol. 41 No. 2 February 2021



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Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 41 卷 第 2 期 (总 387 期) 2021 年 2 月

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研究专题

综述与进展

* 通讯联系人.

研究论文

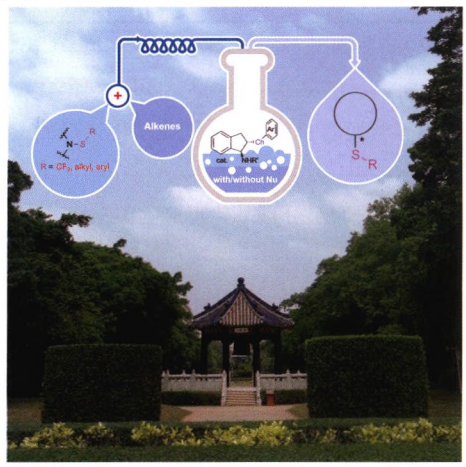
研究简报

亮点述评

Chinese Journal of Organic Chemistry

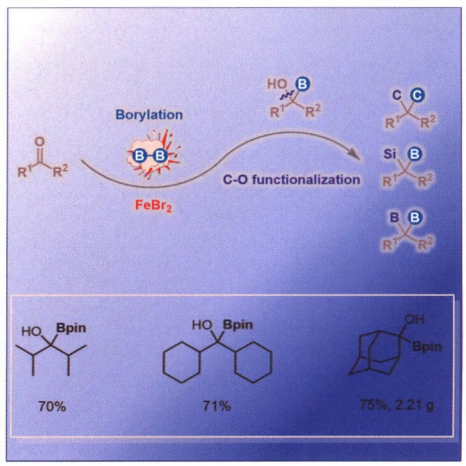
Vol. 41 No. 2 February 2021

Cover Picture: Chiral Bifunctional Chalcogenide-Catalyzed Enantioselective Electrophilic Thiofunctionalization of Alkenes



Enantioselective electrophilic thiolation of alkenes has emerged as a straightforward pathway for the synthesis of chiral sulfides. By this fashion, both the thio group and another valuable functional group can be introduced sim-ultaneously into the parent alkene molecules. This account focuses on the advance on chiral bifunctional chalcogenide-catalyzed enantioselective electrophilic thiofunctionalization of alkenes, which is reported by Jiang and Zhao on page 443.

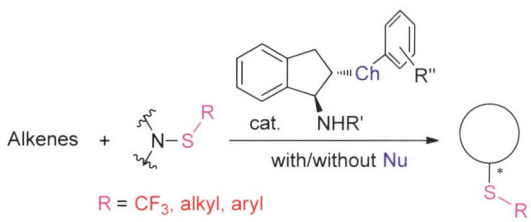
Inside Cover: Iron-Catalyzed Borylation of Ketones to α-Hydroxyboronates



Fe-catalyzed borylation of ketones to access tertiary α-hydroxyboronates has been demonstrated by Zhu, Xia, and Liu on page 661. In this transformation, commercially available $FeBr_2$ was used as the catalyst, various aliphatic ketones with different functional groups or steric hinderance have been converted into tertiary α-hydroxyboronates efficiently.

ACCOUNT

Chiral Bifunctional Chalcogenide-Catalyzed Enantioselective Electrophilic Thiofunctionalization of Alkenes



Jiang, Quanbin; Zhao, Xiaodan*

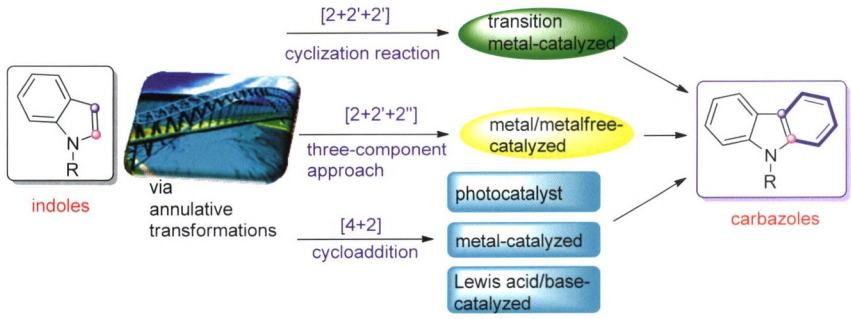
Chin. J. Org. Chem. **2021**, *41*(2), 443

We designed and synthesized a series of chiral bifunctional chalcogenide catalysts and successfully applied them to intra- and inter-molecular enantioselective trifluoromethylthiolation, alkylthiolation, arylthiolation of different kinds of alkenes. A variety of chiral sulfides were obtained with high enantioselectivities. The recent advances in chiral bifunctional chalcogenide catalyzed enantioselective thiofunctionalization of alkenes developed by our group are summarized, and the prospect of this field is also discussed.

CONTENT

REVIEWS

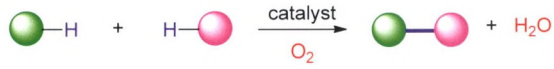
Progress in Annulative Transformations from Indoles to Carbazoles: State of the Art



Du, Yuying; Xiao,Yeyuan; Tian, Fuli; Han, Limin*; Gu, Yanlong*; Zhu, Ning
Chin. J. Org. Chem. **2021**, *41*(2), 521

The current progress of annulation transformations from indoles to carbazole derivatives is summarized. The representative samples of the cyclization strategies have been selected and divided into three types, respectively: [2+2'+2'] cyclization reaction, [2+2'+2"] cyclization reaction and [4+2] cycloaddition. The basic properties, advantages and limitations of each reaction are discussed in detail. A perspective is also given in this review.

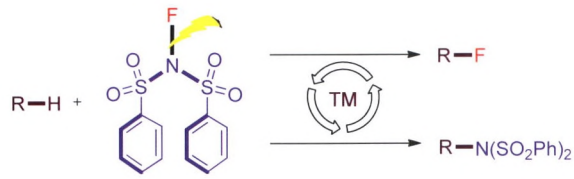
Recent Advances in Cross-Dehydrogenative-Coupling Reactions Using Molecular Oxygen as the Sole Oxidant



Zhuang, Weihui; Zhang, Xiaofeng*; Huang, Qiufeng*
Chin. J. Org. Chem. **2021**, *41*(2), 529

The recent progress in cross-dehydrogenative-coupling reactions is reviewed using molecular oxygen as the sole oxidant based on the classification of catalysts.

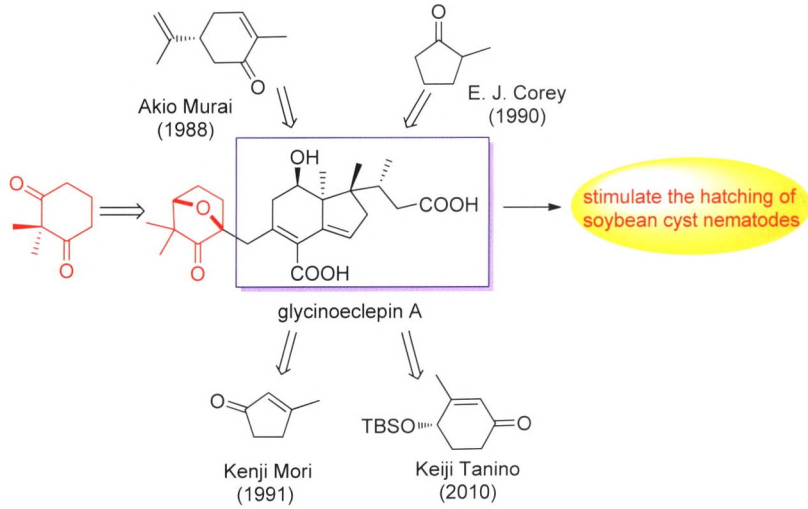
Recent Advances in C—H Fluorination and Amination with *N*-Fluorobenzenesulfonimide



Wang, Weilin; Chen, Weidong; Luo, Junfei*; Xie, Pan*
Chin. J. Org. Chem. **2021**, *41*(2), 543

The recent research advances in the formation of C—N and C—F bonds through transition-metal-catalyzed C—H with *N*-fluorobenzenesulfonimide (NFSI) are reviewed. The reaction scopes and mechanisms are discussed in details, and the limitations of current procedures and the prospects for the future are summarized.

Progress in Biological Activity and Synthesis of Nematode Hatching Pheromone Glycinoeclepin A

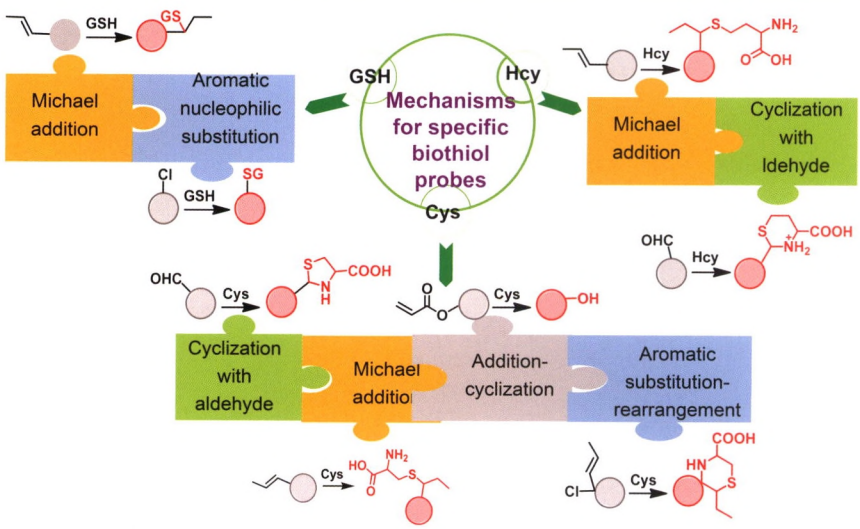


Ma, Ding; Ao, Junli; Hu, Naifeng; Liang, Guangxin*
Chin. J. Org. Chem. **2021**, *41*(2), 553

A comprehensive overview on soybean cyst nematode disease, current methods in fighting it, the bioactivity studies on glycinoeclepin A, as well as the progress in the total synthesis and structure-activity relationship of this natural product are provided.

CONTENT

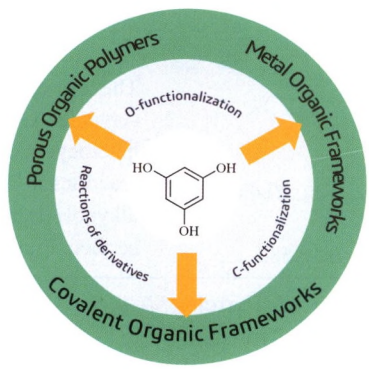
Recent Advances in Fluorescent Probes for Biothiols



The research progress of thiol fluorescent probes in recent three years is reviewed. The fluorescent probes that can selectively respond to biothiols as well as to Cys, Hcy and GSH are systemically analyzed and summarized. The molecular design, recognition mechanism, fluorescence properties and imaging applications are emphasized, and the application of biothiol fluorescent probe on monitoring life activities is preliminary introduced. In addition, a perspective of future development in this area is also presented.

Chen, Li; Li, Junbo; Chen, Dugang*
Chin. J. Org. Chem. **2021**, *41*(2), 611

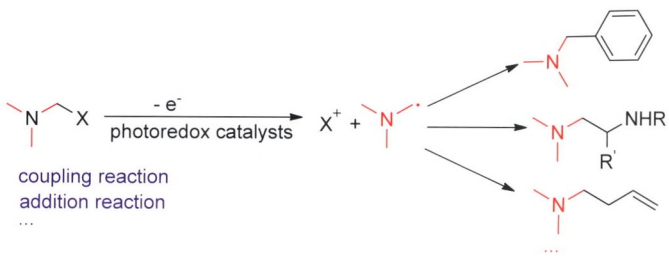
Synthesis of Novel Porous Organic Materials Based on Phloroglucinol and Its Derivatives



The recent progress in the synthesis and applications of novel porous organic materials based on phloroglucinol and its derivatives is reviewed, including covalent organic frameworks, metal organic frameworks and porous organic polymers.

Deng, Hanlin; Luo, Xiansheng; Li, Zhihua; Zhao, Jiangying; Huang, Muhua*
Chin. J. Org. Chem. **2021**, *41*(2), 624

Application of α-Aminoalkyl Radical in Visible Light Catalysis



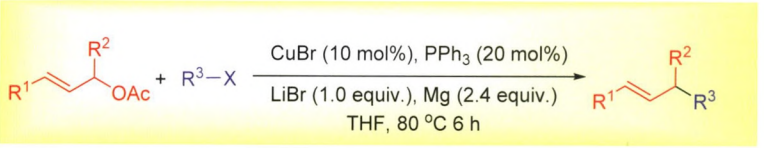
Because of its low cost and environmental friendliness, visible light catalysis has been widely used in organic synthesis in recent years. Among them, α-aminoalkyl radical plays an important role because of its high activity and accessibility. The development and application of this active radical in visible light catalysis are mainly summarized and its outlook in the future is given.

Zhao, He; Cheng, Dongping*; Xu, Xiaoliang*
Chin. J. Org. Chem. **2021**, *41*(2), 642

CONTENT

ARTICLES

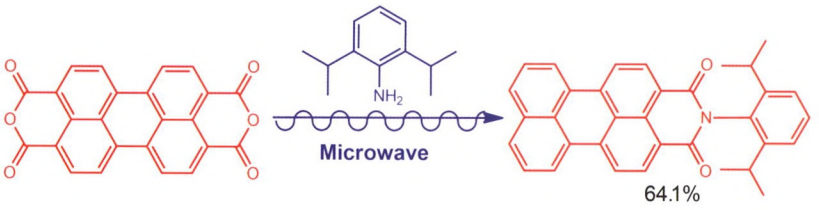
Study on the Copper-Catalyzed Selective Allylation of Aryl (or Alkyl) Halides



Han, Boshi; Shi, Zheng; He, Huihong*; Zhang, Xinghua*
Chin. J. Org. Chem. **2021**, *41*(2), 695

A practical method for the Cu-catalyzed coupling of aryl halides with allylic acetates was described. This procedure effectively avoids the use of preformed Grignard reagent and affords allyl products with high to excellent regioselectivity under relatively mild condition.

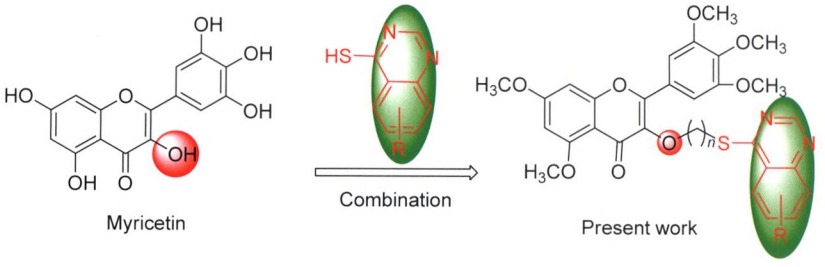
Microwave-Assisted Synthesis of Perylene Monoimide Derivatives



Wang, Ya'nan; Wang, Chong; Qi, Yuming; Li, Guokai; Li, Xiaoliu*; Wang, Kerang*
Chin. J. Org. Chem. **2021**, *41*(2), 702

A fast and efficient method for the synthesis of aromatic amine modified perylene monoimide derivatives based on microwave-assisted reaction was developed. It is found that the amount of 2,6-diisopropylaniline showed very important influences on the synthetic yield.

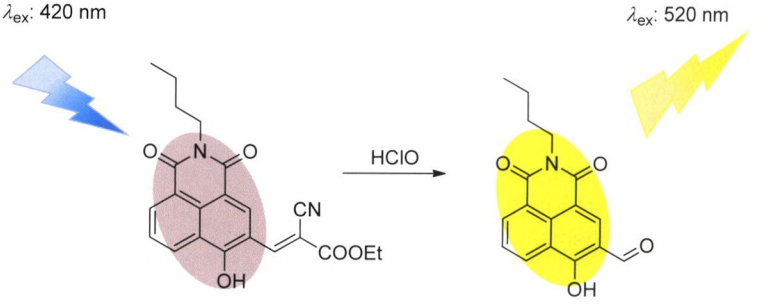
Design, Synthesis and Biological Activities of Myricetin Derivatives Containing Quinazoline Thioether Moiety



He, Jun; Tang, Xuemei; Zhou, Qing; Peng, Feng; Liu, Tingting; Liu, Liwei; He, Ming; Xie, Chengwei; Xue, Wei*
Chin. J. Org. Chem. **2021**, *41*(2), 708

A series of myricetin derivatives containing quinazoline thioether moiety were designed and synthesized with myricetrin as the starting material through active splicing strategy. Their biological activities were evaluated. The results of biological activity showed that these compounds exhibited certain inhibitory activities against *X. oryzae*, *X. citri* and *R. solanacearum*.

A Naphthalimide-Based Hypochlorous Acid-Selective Fluorescent Probe and Its Application in Cell Imaging

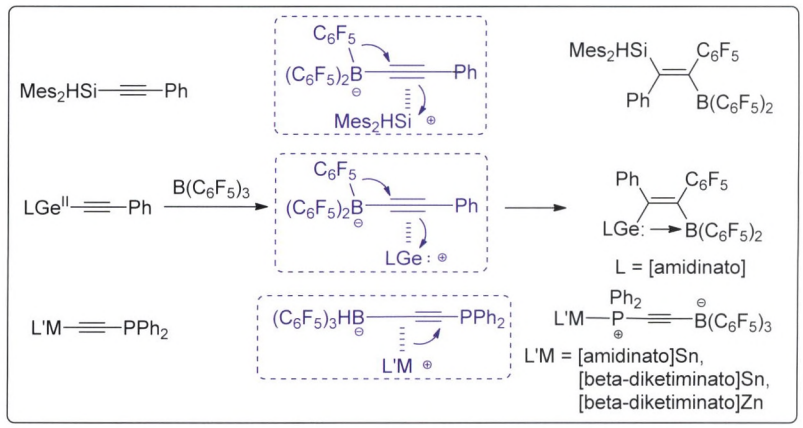


Wang, Xinyu; Qi, Shaolong; Du, Jianshi*; Li, Qiang; Zhu, Lubao; Xue, Longqi; Zhao, Qing; Yang, Qingbiao*; Li, Yaoxian; Cong, Xianling
Chin. J. Org. Chem. **2021**, *41*(2), 719

A novel naphthalimide-based fluorescence probe ethyl (*E*)-3-(2-butyl-6-hydroxy-1,3-dioxo-2,3-dihydro-1*H*-benzo[de]isoquinolin-5-yl)-2-cyanoacrylate (NAEC) with low detection limit has been synthesized for the specific and sensitive detection of ClO^-. In addition, NAEC exhibited low cytotoxicity and excellent biocompatibility. Thus, This study may provide a feasible plan for the specific detection of ClO^- in biological detection and environmental monitoring.

CONTENT

Synthesis of Alkynes Composed of the Novel Substituents and Their Reactions with $B(C_6F_5)_3$

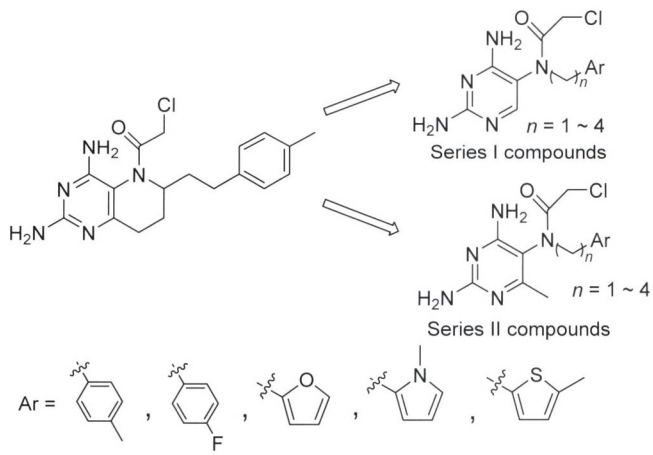


Xi, Xin; Zhang, Gongping; Li, Jiancheng; Huang, Yanting; Jiang, Wenjun; Wu, Peng; Zhu, Hongping*

Chin. J. Org. Chem. **2021**, *41*(2), 766

Two types of routes by a 1,1-carboboration *versus* a bonding shift of the metal group at PPh_2 were found for reactions of the novel alkynes with $B(C_6F_5)_3$, which both underwent initially the group exchange intermediate state.

Synthesis and Antitumor Activity of Novel Pyrimidine Monocyclic Nonclassical Antifolates

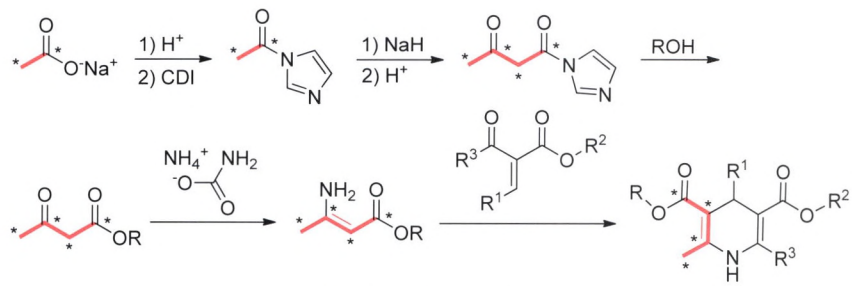


Cong, Jing; Fang, Fang; Xue, Liangmin; Wang, Meng; Tian, Chao; Wang, Xiaowei; Liu, Junyi; Zhang, Zhili*

Chin. J. Org. Chem. **2021**, *41*(2), 776

Two series of nonclassical antifolates were designed and synthesized. And the effects of carbon chain length and aromatic heterocyclic side chain on antitumor activity were also investigated. The biological activity results showed that 6-methyl-2,4-diamino-5-(*N*-(4-methylphenyl)propyl-*N*-(2-chloroacetyl))aminopyrimidine (**6b-3**) exhibited better activities than other compounds (IC_{50} for HL-60 is 0.25 μmol•L^{-1}). The intermediate 6-methyl-2,4-diamino-5-(*N*-(4-methylphenyl)propyl)aminopyrimidine (**5b-3**) had excellent dihydrofolate reductase inhibitory activity. Molecular docking studies further explored the possible causes of the difference in inhibitory activity of dihydrofolate reductase and the structure-activity relationship.

Synthesis of Stable Isotope Labeled $^{13}C_4$-1,4-Dihydropyridines on 5,6-Position and Its Substituent Carbon



Hu, Yuzhao; Quan, Haiyuan; Wang, Liuyang; Wang, Zhinan; Mei, Xiangdong; Nin, Jun; She, Dongmei*

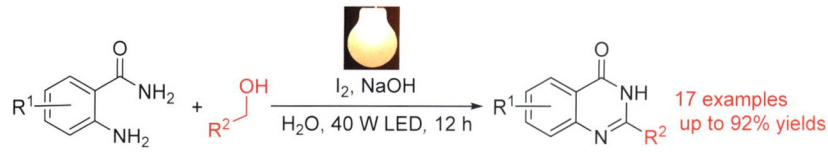
Chin. J. Org. Chem. **2021**, *41*(2), 788

A synthesis method of 1,2,3,4-$^{13}C_4$-acetylacetic esters was developed. The acetoacetate can be easily obtained through the steps of Claisen condensation of $^{13}C_2$-*N*-acetylimidazole and esterification and is used in the synthesis of $^{13}C_4$-1,4-dihydropyridines.

CONTENT

NOTES

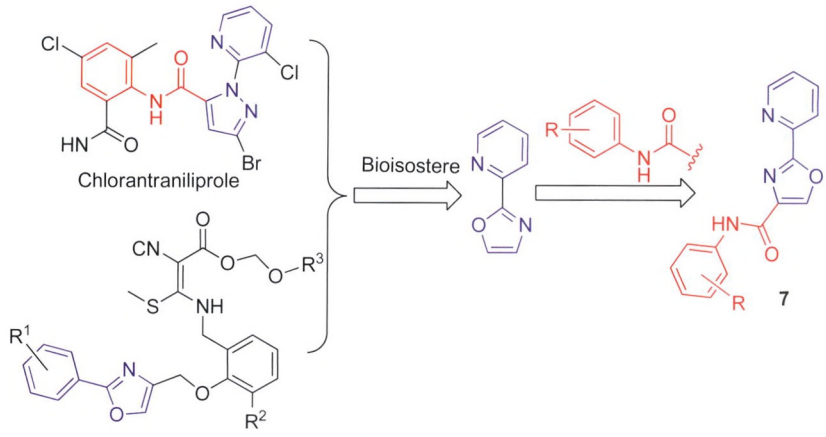
Visible-Light-Induced Preparation of Quinazolinones by Oxidation of Benzyl Alcohols in Water



Zhang, Fan; Hou, Huiqing; Xu, Xiuzhi; Chen, Zhitao; Ke, Fang*
Chin. J. Org. Chem. **2021**, *41*(2), 833

The reaction has been achieved in high yield under mild conditions by using iodine as photocatalyst, which is cheap, available and easy to handle. A variety of quinazolinones were obtained in yields up to 92%.

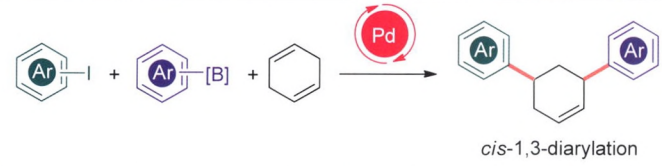
Design, Synthesis and Fungicidal Activities of Pyridyl Oxazoamide Compounds



Chen, Shu; Ren, Chaoli; Tian, Xiaoyu; Zhang, Donglin; Yang, Sen; Yuan, Shaoqing; Du, Xiaohua; Tan, Chengxia*
Chin. J. Org. Chem. **2021**, *41*(2), 842

A series of novel pyridyl oxazoamide compounds were designed and synthesized through cyclization, oxidation, substitution, hydrolysis, chlorination and ammonolysis reactions. And the preliminary bioassay results indicated that at the concentration of 100 mg/L, all target compounds displayed excellent fungicidal activities against *Botrytis cinerea*.

Palladium-Catalyzed Stereoselective 1,3-Diarylation of 1,4-Cyclohexadiene

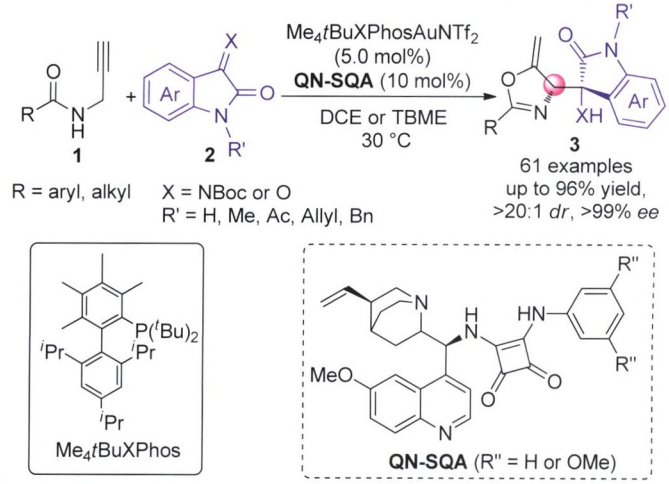


Pang, Hailiang; Wu, Dong; Yin, Guoyin*
Chin. J. Org. Chem. **2021**, *41*(2), 849

A palladium-catalyzed migratory diarylation of unconjugated cyclohexadiene is disclosed. This reaction exihibits high 1,3-regioselectivity and exclusive *cis*-diastereoselectivity. The excellent selectivity suggests that the olefin does not dissocate from the palladium during chain-walking. The method allows for the synthesis of 1,3-diaryl-substituted cyclohexanes from the readily accessible materials.

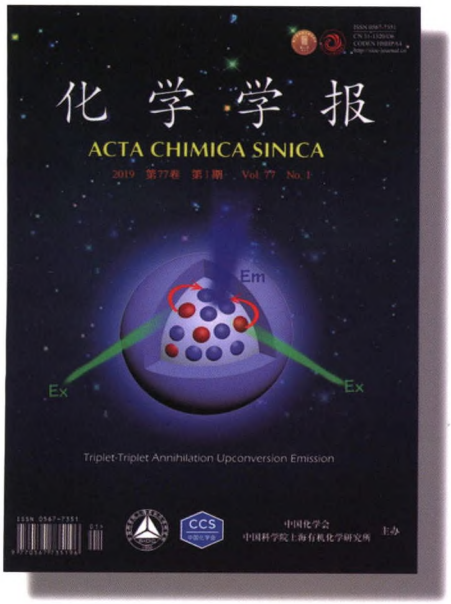
HIGHLIGHTS

Asymmetric Formal Hetero-Ene Reaction of Allylgold Intermediates



Cao, Weidi; Liu, Xiaohua*
Chin. J. Org. Chem. **2021**, *41*(2), 857

CONTENT



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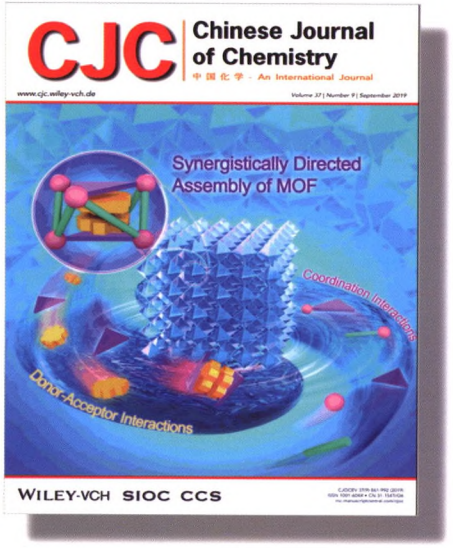

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主编：麻生明 院士

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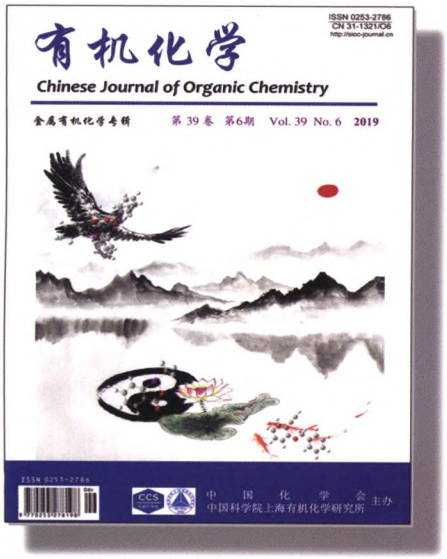

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Chinese Journal of Organic Chemistry

主编：丁奎岭 院士

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