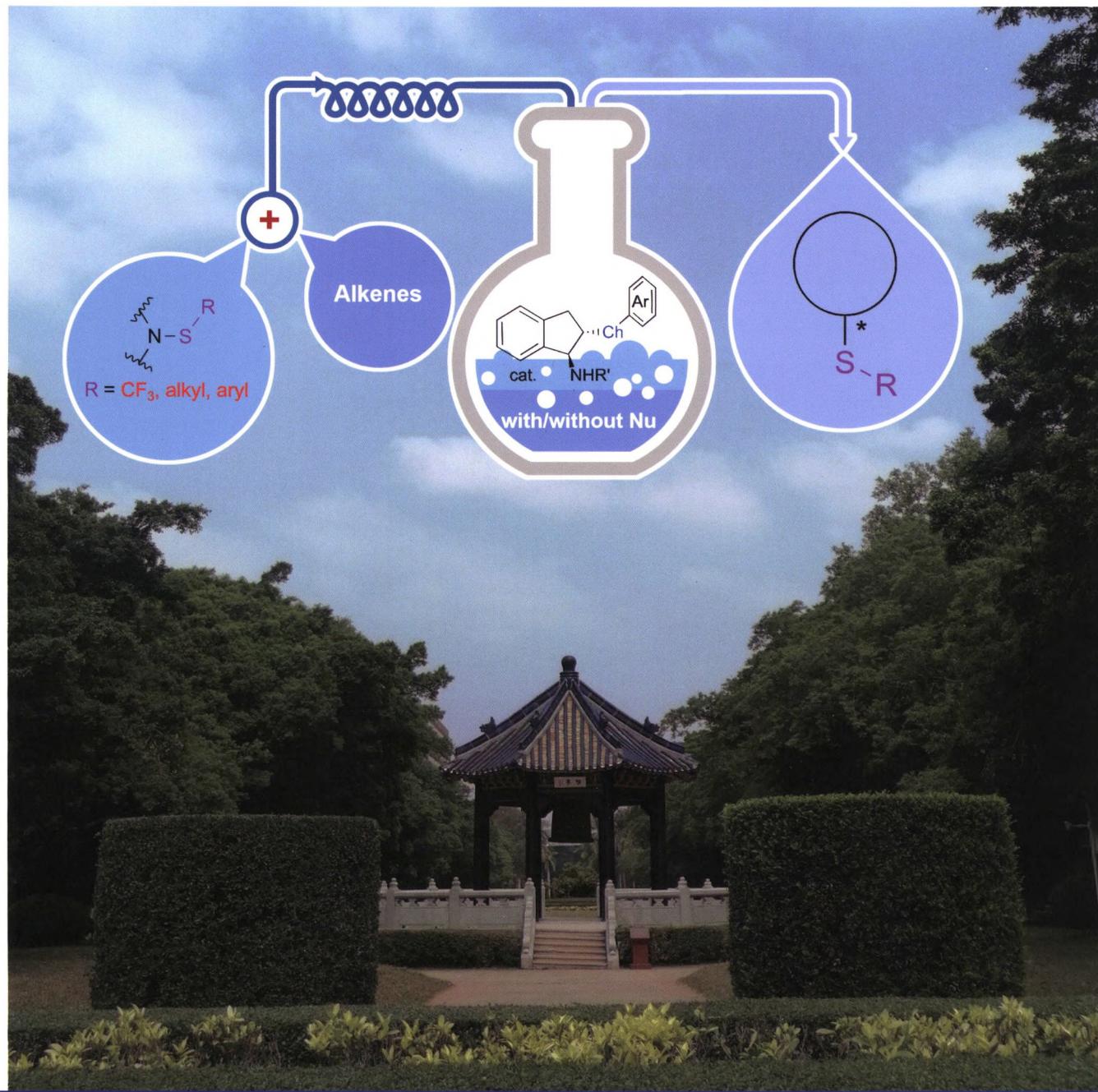


有机化学

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中国科学院上海有机化学研究所

万方数据

有 机 化 学

(月刊)

Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 41 卷 第 2 期 (总 387 期) 2021 年 2 月

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* 通讯联系人。

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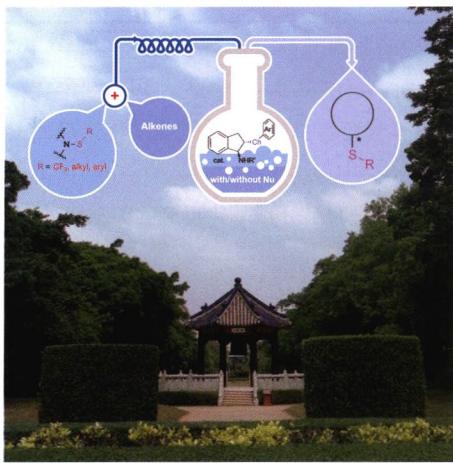
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钯催化烯烃的不对称马氏氢胺羰基化反应	田端帅 汤文军*	(865)
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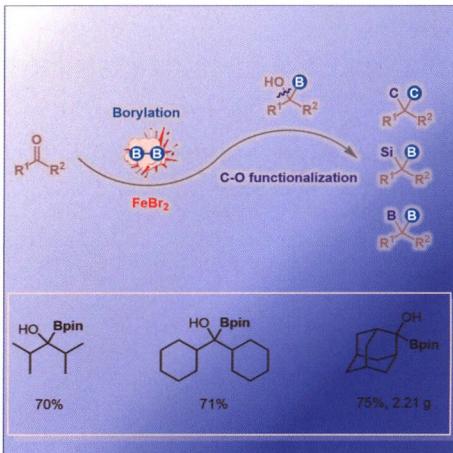
Cover Picture: Chiral Bifunctional Chalcogenide-Catalyzed Enantioselective Electrophilic Thiofunctionalization of Alkenes

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 simultaneously 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.



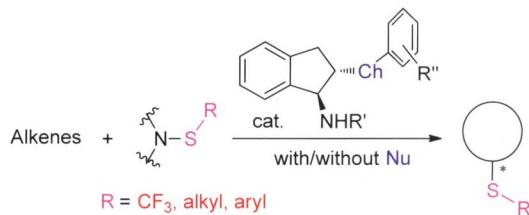
Inside Cover: Iron-Catalyzed Borylation of Ketones to α -Hydroxyboronates

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



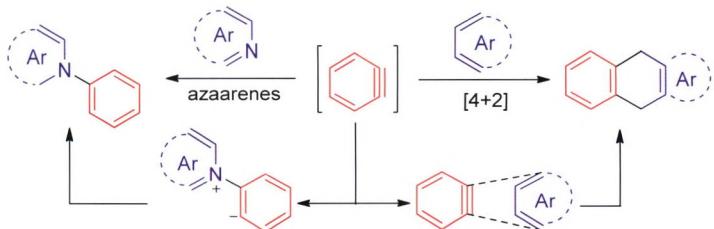
Jiang, Quanbin; Zhao, Xiaodan*
Chin. J. Org. Chem. **2021**, *41*(2), 443

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

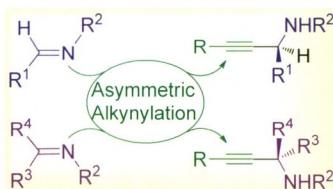
Recent Progress in Aryne Participated Dearomatization Reactions



Yan, Qiang; Fan, Rong; Liu, Binbin; Su, Shuaisheng; Wang, Bo; Yao, Tuanli*; Tan, Jiajing*
Chin. J. Org. Chem. **2021**, *41*(2), 455

The mechanisms of the dearomatization reaction of azaarenes with arynes, the [4+2] cycloaddition dearomatization reactions of arynes with dienes, and the dearomatization of arynes in other pathways are reviewed. The insights and outlooks regarding this rapid developing field are also provided.

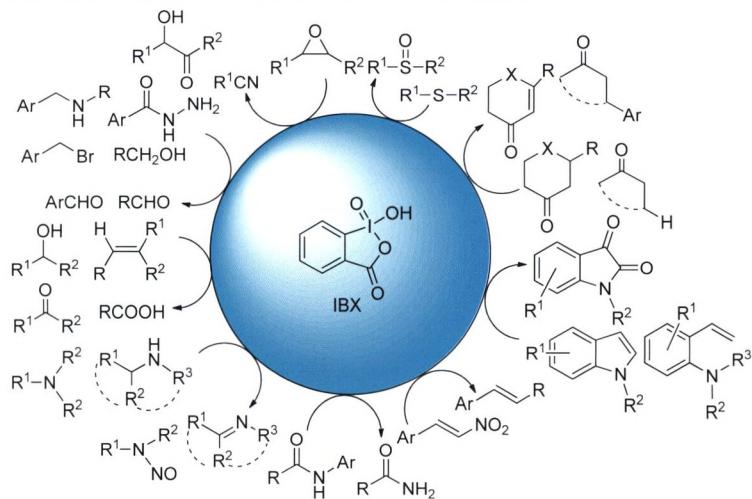
Recent Progress in Catalytic Asymmetric Alkynylation of Imines



Zhou, Shurui; Wen, Kaige; Zeng, Xingping*
Chin. J. Org. Chem. **2021**, *41*(2), 471

The research progress in asymmetric alkynylation of imines and their analogues over the past decade is described.

Research and Application of 2-Iodoxybenzoic Acid in Organic Synthesis



Zhang, Shuyu; Wu, Haotian; Tang, E*
Chin. J. Org. Chem. **2021**, *41*(2), 490

The recent research progress of 2-iodoxybenzoic acid (IBX) in organic synthesis is reviewed, including the oxidation of hydroxyl group, nitrogen-containing compounds, and sulfur-containing compounds, the preparation of α,β -unsaturated carbonyl compounds and esters, and the application in asymmetric synthesis etc. The recent improvement of IBX is also introduced.

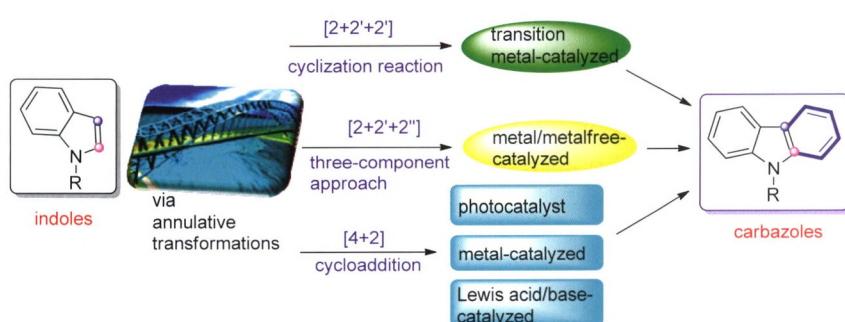
Advances in Fluorinated Organometallic Complexes with Aggregation-Induced Emission Characteristics



Qin, Chengyuan; Miao, Jinling; Nie, Yong*; Liu, Wei; Gao, Ying; Li, Tianrui; Jiang, Xu-chuan*
Chin. J. Org. Chem. **2021**, *41*(2), 504

Luminescent organometallic complexes with aggregation-induced emission properties having fluorine-containing ligands are summarized, according to the types of the central metal atoms and the ligands. Such organometallic complexes are mainly those of iridium, platinum and gold, with potential applications in light-emitting devices, chemical sensing, cell imaging and data storage, etc. The prospects of relevant studies are also discussed.

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

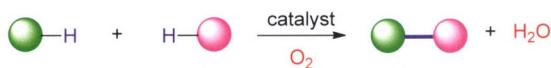


Du, Yuying; Xiao, Yeyuan; Tian, Fuli; Han, Limin*; Gu, Yanlong*; Zhu, Ning
Chin. J. Org. Chem. **2021**, *41*(2), 521

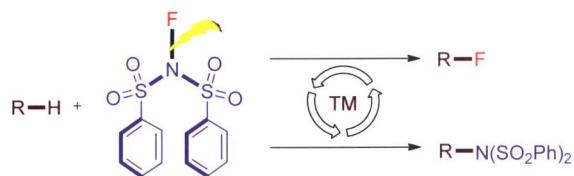
Recent Advances in Cross-Dehydrogenative-Coupling Reactions Using Molecular Oxygen as the Sole Oxidant

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

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



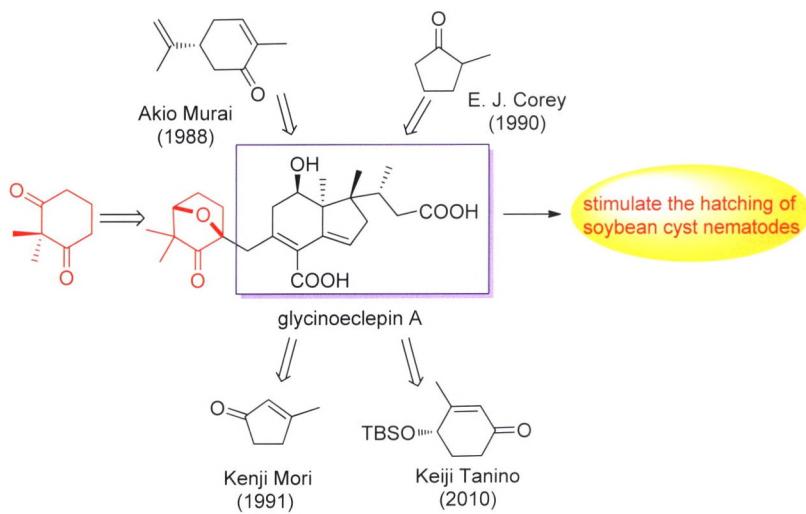
The recent progress in cross-dehydrogenative-coupling reactions is reviewed using molecular oxygen as the sole oxidant based on the classification of catalysts.



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

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

The recent research advances in the formation of C—N and C—F bonds through transition-metal-catalyzed C—H with *N*-fluorobenzene-sulfonimide (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.

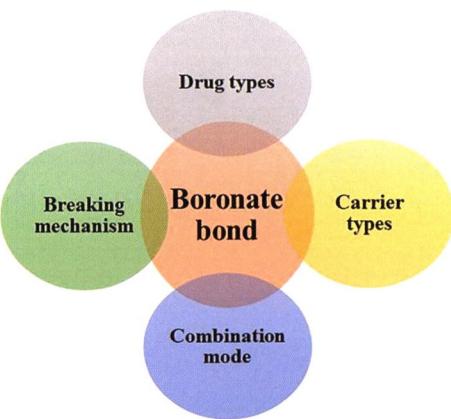


Ma, Ding; Ao, Junli; Hu, Naifeng; Liang, Guangxin*
Chin. J. Org. Chem. **2021**, *41*(2), 553

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

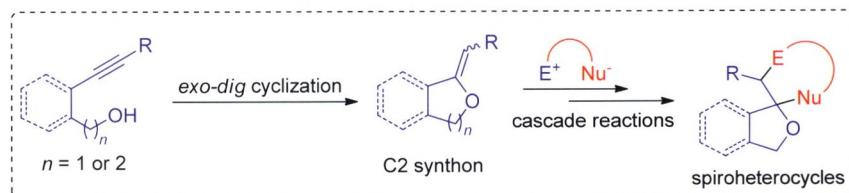
Application of Boronate Bond in Drug Delivery System



Wang, Lijuan; Sheng, Xianliang; Wang, Jie; Zhang, Yuhui*
Chin. J. Org. Chem. **2021**, 41(2), 567

The application of boronate bond in drug delivery system is reviewed from four aspects: drug types, carrier types, combination mode of drug and carrier as well as breaking mechanism of boronate bond. Finally, the main challenges and future advances in this field are also detailed.

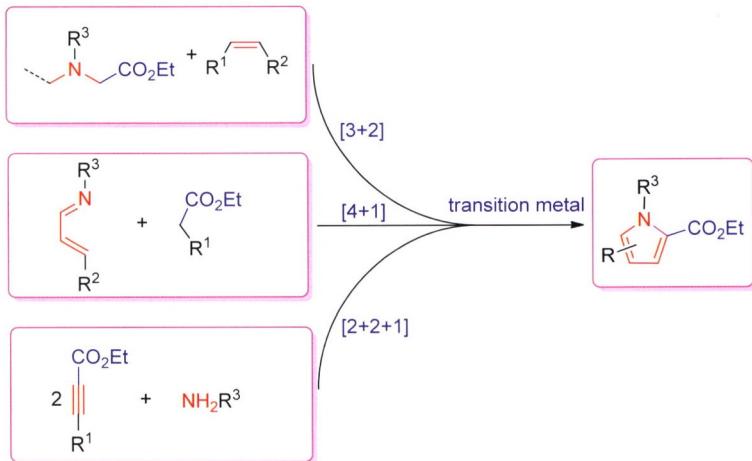
Application of Cascade Reactions in the Synthesis of Spiro-heterocycles Initiated by Intramolecular Cyclization of Alkynols



Yu, Shuyan*; Gao, Lihong; Yan, Yizhe; Yin, Zhigang; Shang, Yongjia*
Chin. J. Org. Chem. **2021**, 41(2), 582

The *exo*-cyclic enol ethers which formed *in situ* by *exo-dig* cyclization of alkynols served as C2 synthons to react with various "amphiphilic substrates", generating spiro heterocyclic skeletons in highly efficient manners. The application progress of cascade reactions in the synthesis of spiroheterocycles initiated by intramolecular cyclization of alkynols is reviewed. The catalytic system and reaction mechanism are mainly described. Finally, the challenges and future development are also put forward.

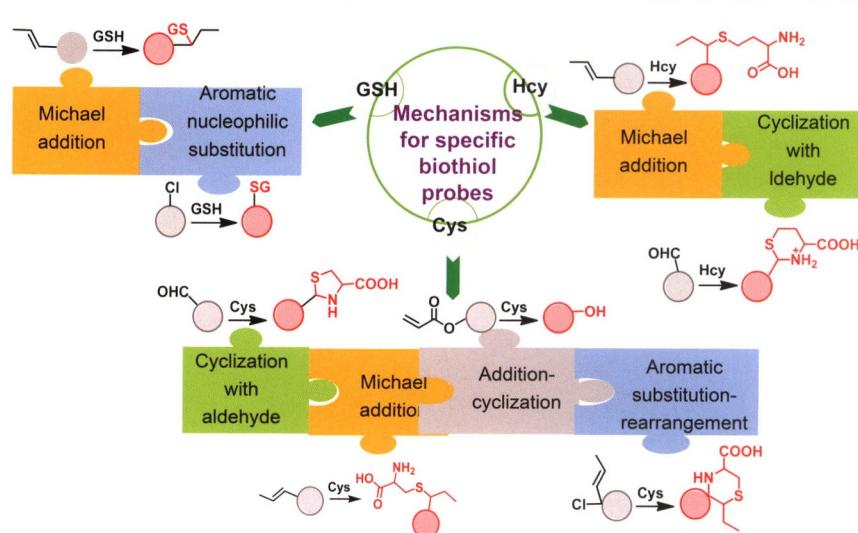
Progress in the Synthesis of Pyrrole-2-carboxylate Catalyzed by Transition Metals



Li, Ci; Li, Mingrui; Xie, Yuxing; Yu, Yang; Huang, Fei*
Chin. J. Org. Chem. **2021**, 41(2), 594

The reactions of [3+2], [4+1] and [2+2+1] cycloaddition are reviewed, and the reaction mechanism and application of pyrrole-2-carboxylate compounds are introduced under various transition-metal conditions. The prospects of the synthesis of pyrrole-2-carboxylates are also discussed.

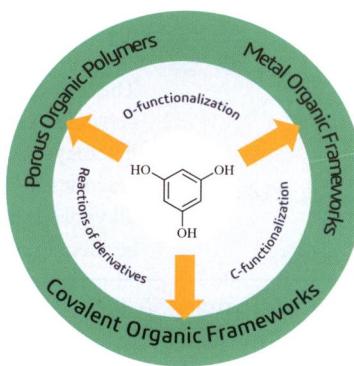
Recent Advances in Fluorescent Probes
for Biothiols



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 systematically 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

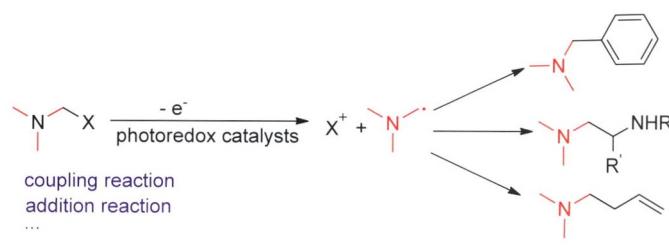
Synthesis of Novel Porous Organic Materials Based on Phloroglucinol and Its Derivatives



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

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.

Application of α -Aminoalkyl Radical in Visible Light Catalysis



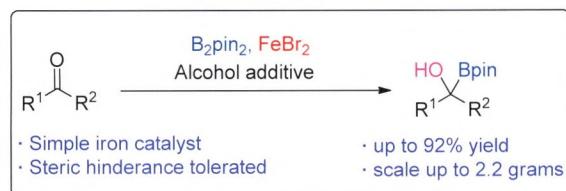
Zhao, He; Cheng, Dongping*; Xu, Xiaoliang*
Chin. J. Org. Chem. **2021**, *41*(2), 642

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.

CONTENT

ARTICLES

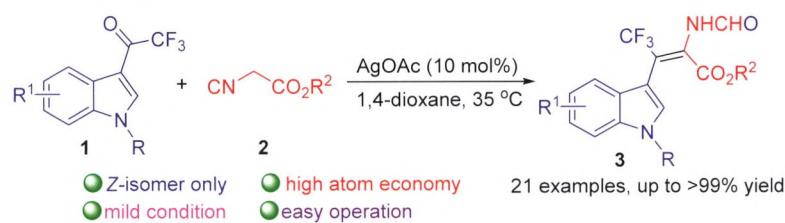
Iron-Catalyzed Borylation of Ketones to α -Hydroxyboronates



Zhu, Qing; Xia, Chungu; Liu, Chao*
Chin. J. Org. Chem. **2021**, *41*(2), 661

Fe-catalyzed borylation of ketones to access tertiary α -hydroxyboronates has been demonstrated. In this transformation, commercially available FeBr_2 was used as the catalyst, alcohols have been added to accelerate the transformation and avoid the side reaction. Various aliphatic ketones with different functional groups have been converted into tertiary α -hydroxyboronates. This transformation showed a particular tolerance for ketones with steric hindrance and could be scaled up to gram scale.

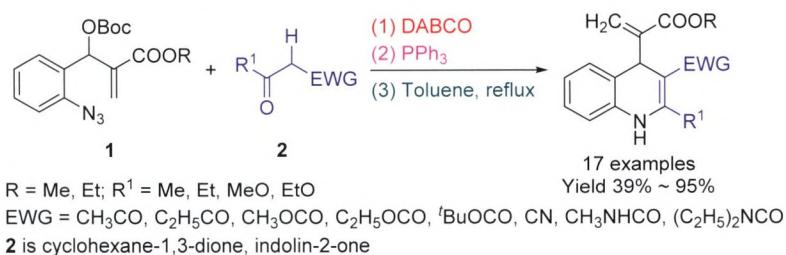
Ag-Catalyzed Reactions of 3-Trifluoroacetyl Indoles and Isocyanoacetates: An Efficient Process to (*Z*)- β -Trifluoromethylated Dehydrotryptophan Derivatives



Zhang, Mingliang; Zhao, Pin; Yuan, Hao; Zhang, An'an; Zhang, Wenyu; Zheng, Linlin; Wu, Dongqing*; Liu, Lantao*
Chin. J. Org. Chem. **2021**, *41*(2), 669

Catalytic cyclization-rearrangement reaction of 3-trifluoroacetyl indole and isocyanoacetate was achieved with AgOAc as catalyst in mild conditions. A series of β -trifluoromethylated dehydrotryptophan derivatives were afforded with single *Z*-isomer in excellent yields (up to >99% yields). The large scale experiment and proposed mechanism were also demonstrated. This transformation has features of high atom economy, mild reaction condition and easy operation.

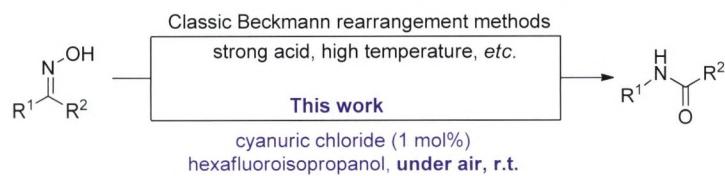
Synthesis of Multi-substituted 1,4-Dihydroquinoline Derivatives from Morita-Baylis-Hillman (MBH) Carbonates



Shi, Hongyan; Zhong, Ying*; Zhao, Zhi-gang*
Chin. J. Org. Chem. **2021**, *41*(2), 677

Seventeen new multi-substituted 1,4-dihydroquinoline derivatives were synthesized from Morita-Baylis-Hillman (MBH) carbonates and active methylene compounds. They were obtained by two nucleophilic substitution reactions under the catalysis of 1,4-diazabicyclo[2.2.2]octane (DABCO), and then reacted with triphenylphosphine via sequential Staudinger/intramolecularaza-Wittig/isomerization reactions.

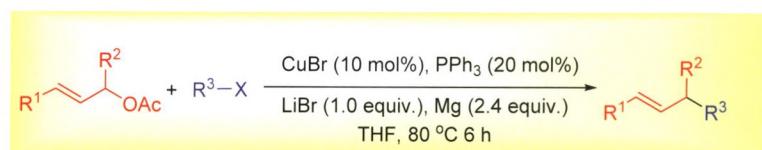
Cyanuric Chloride Catalysis and Solvent Effect Leading to a Mild and Efficient Beckmann Rearrangement of Ketoximes



Zhou, Tingting; Liu, Xia; Ye, Zihang; Zhou, Yipeng; Yang, Yaqi; Xu, Qing*
Chin. J. Org. Chem. **2021**, *41*(2), 688

By using hexafluoroisopropanol as the solvent, a low loading of cyanuric chloride could effectively catalyze the Beckmann rearrangement of ketoximes to obtain the corresponding amide products under mild conditions, such as room temperature and air atmosphere. The effect of hexafluoroisopropanol was greatly different from that of other solvents.

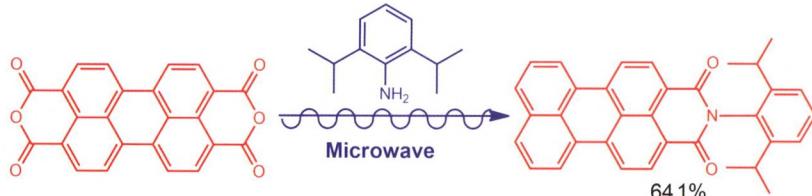
Study on the Copper-Catalyzed Selective Allylation of Aryl (or Alkyl) Halides



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.

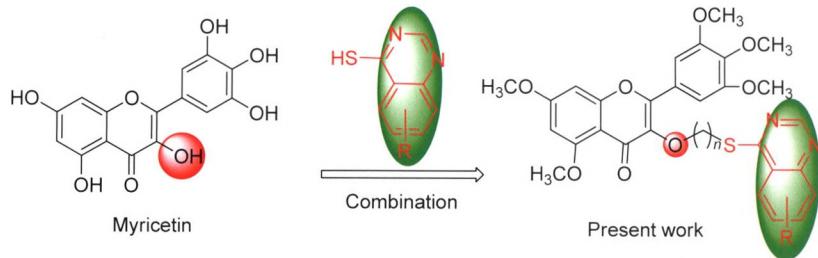
Microwave-Assisted Synthesis of Perylene Monoimide Derivatives



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.

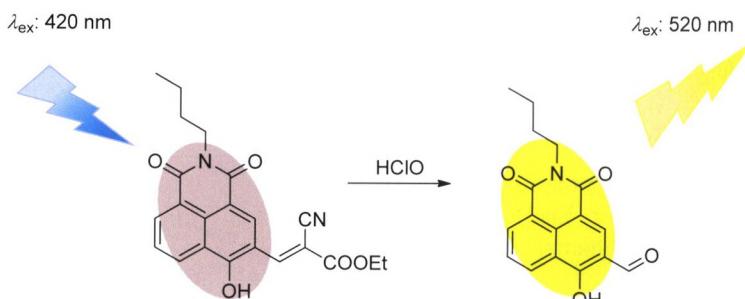
Design, Synthesis and Biological Activities of Myricetin Derivatives Containing Quinazoline Thioether Moiety



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 myricetin 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

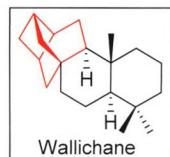
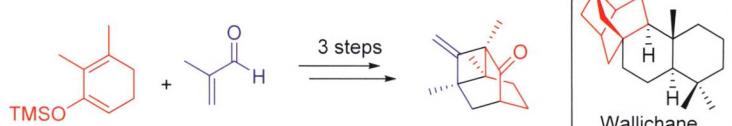


Wang, Xinyu; Qi, Shaolong; Du, Jianshi*;
Li, Qiang; Zhu, Lubao; Xue, Longqi; Zhao,
Qing; Yang, Qingbiao*; Li, Yaoxian; Cong,
Xianling
Chin. J. Org. Chem. **2021**, *41*(2), 719

A novel naphthalimide-based fluorescence probe ethyl (E)-3-(2-butyl-6-hydroxy-1,3-dioxo-2,3-dihydro-1H-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 the ABC Ring System of Wallichanol Natural Product



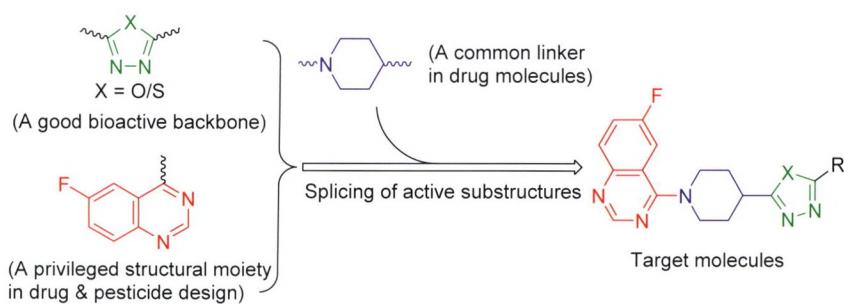
Yang, Gang; Feng, Xiangyu; Han, Congcong; Chen, Yang*; He, Shuzhong*
Chin. J. Org. Chem. **2021**, *41*(2), 726

Synthesis of 2-Quinolinone Derivatives via [3+3] Cyclization Promoted by Acetic Anhydride



Zhao, Yu; Chen, Zhuo; Chen, Xuebing*
Chin. J. Org. Chem. **2021**, *41*(2), 731

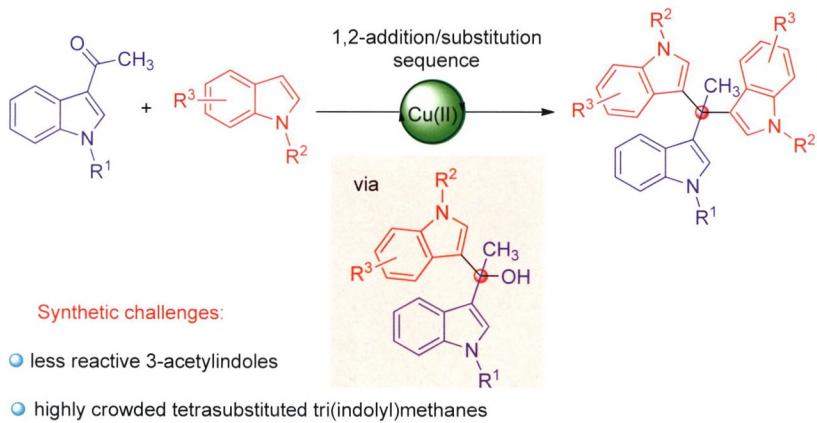
Synthesis and Antimicrobial Activities of Novel 1,3,4-Oxa(Thia)diazole Derivatives Containing 6-Fluoroquinazoline Moiety



Shi, Jun; Luo, Na; Ding, Muhan; Li, Chuanhui; Wan, Suran; Li, Peijia; Li, Junhong; Bao, Xiaoping*
Chin. J. Org. Chem. **2021**, *41*(2), 738

Fifty novel 1,3,4-oxa(tha)diazole derivatives containing the 6-fluoroquinazoline moiety were designed, synthesized and evaluated as agricultural antimicrobial agents. The preliminary bioassays revealed that some compounds exhibited good fungicidal activities *in vitro* against the tested fungi at 50 µg/mL.

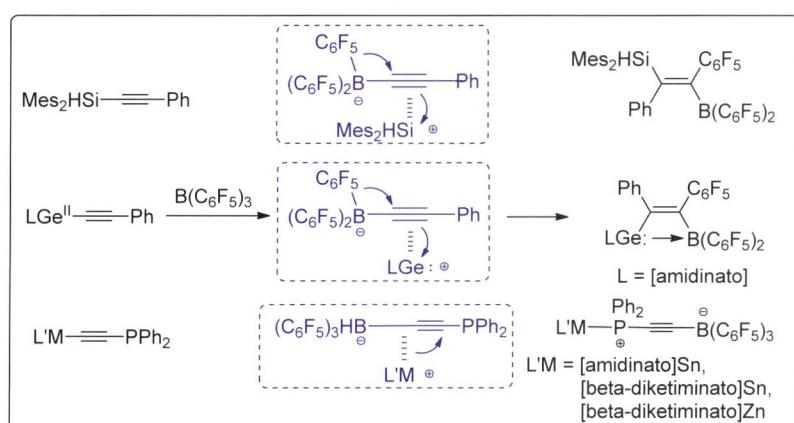
Access to Tetrasubstituted Tri(indolyl)methanes through Copper-Catalyzed Addition/Substitution Sequence



Wang, Wenbo; Han, Huabin; Wang, Lele; Wang, Qilin*; Bu, Zhanwei*
Chin. J. Org. Chem. **2021**, *41*(2), 757

An addition/substitution sequence of 3-acetylindoles and indoles was reported herein to access highly crowded tetrasubstituted tri(indolyl)methanes.

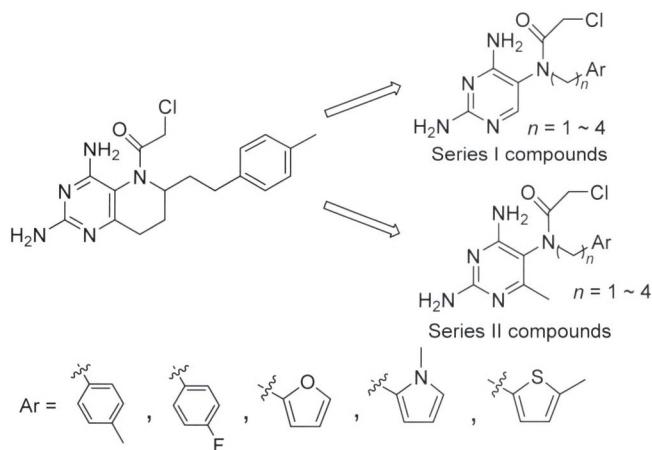
Synthesis of Alkynes Composed of the Novel Substituents and Their Reactions with $B(C_6F_5)_3$



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

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

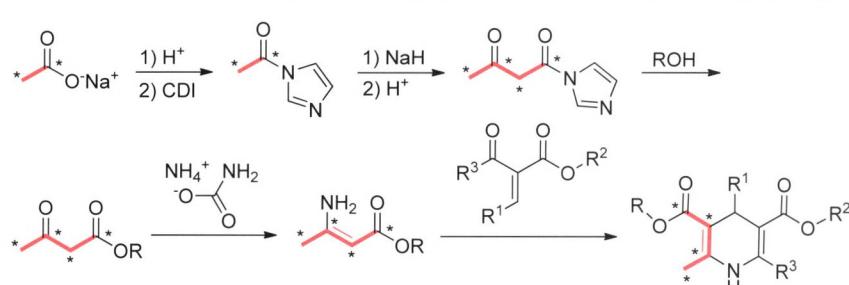
Synthesis and Antitumor Activity of Novel Pyrimidine Monocyclic Nonclassical Antifolates



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

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

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- $^{13}C_4$ -acetylacetate 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

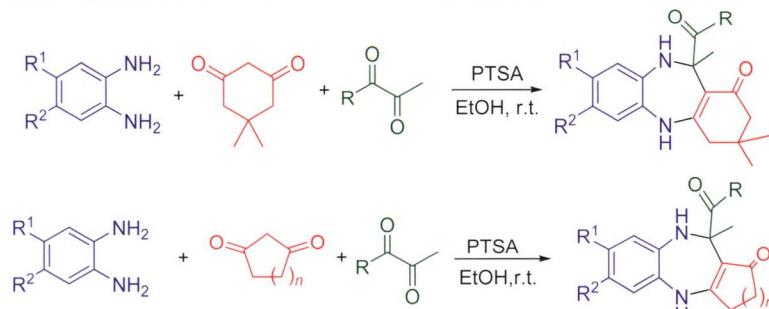
Nucleophilic Etherification of Heteroaryl Alkyl Ethers, Heteroaryl Halides with (Deuterated) Alcohols



Wang, Xia; Qu, Yixin; Long, Chengyu;
Wang, Xue-Qiang*
Chin. J. Org. Chem. **2021**, *41*(2), 795

A KOt-Bu empowered transition-metal free and efficient procedure for the etherification of alkoxy heteroarenes, heteroaryl halides and heteroaryl thioethers with various primary, secondary, tertiary aliphatic alcohols has been developed. The usefulness of our method is highlighted.

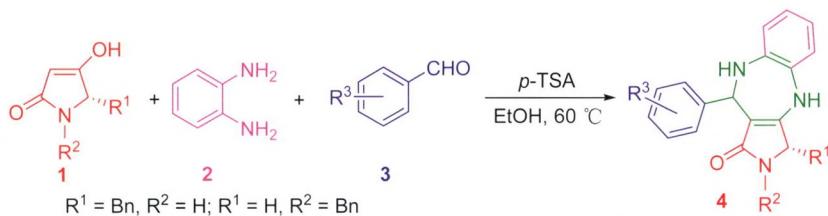
One-Pot Synthesis of 1,5-Benzodiazepine Compounds by Three-Component Tadem Reaction



Li, Jing; Hao, Zhenfang; Zhang, Kaiyue;
Wang, Lanzhi*
Chin. J. Org. Chem. **2021**, *41*(2), 806

43 novel 1,5-benzodiazepine compounds containing aryl and carbonyl groups in tricyclic or tetracyclic systems were obtained via a tandem sequence were reported. Reaction conditions are optimized and a detailed reaction mechanism was proposed.

One-Pot Three-Component Synthesis of Novel 1,5-Benzodiazepine Derivatives and Their anti-BVDV (Bovine Viral Diarrhea Virus) Activity

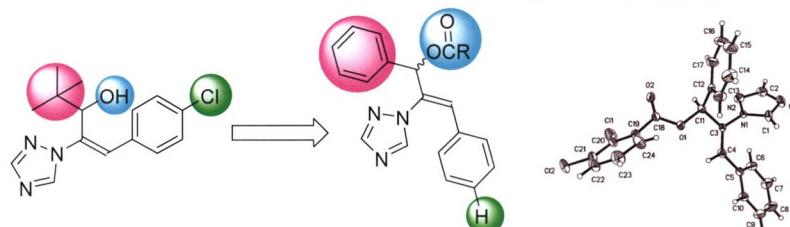


Han, Chao*; Nie, Lei; Han, Xiao; Zhang, Yan; Sun, Kelei; Shi, Lei; Cui, Guanghua;
Meng, Wei*
Chin. J. Org. Chem. **2021**, *41*(2), 819

The “one-pot” three-component reaction is established for the direct synthesis of 1,5-benzodiazepine derivatives containing pyrrolidinone with *p*-toluenesulfonic acid as catalyst for 3 h at 60 °C in good yields. The studies on antiviral activities against bovine viral diarrhea virus (BVDV) demonstrated that some of the benzodiazepine derivatives showed prominent anti-BVDV activity and no significant cytotoxicity.

NOTES

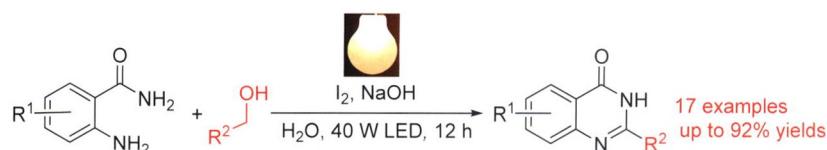
Synthesis and Fungicidal Activity of Novel Allyl Benzoate Compounds Containing Triazole



Yu, Wei; Wang, Han; Min, Li-Jing; Hua, Xue-Wen; Liu, Xing-Hai*
Chin. J. Org. Chem. **2021**, *41*(2), 826

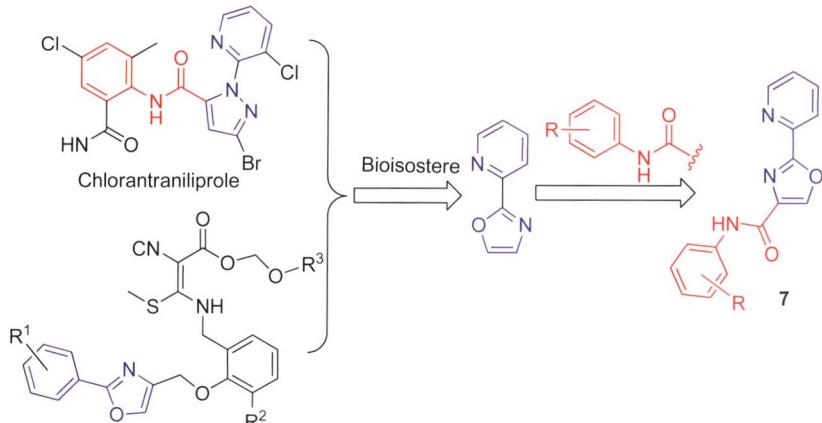
A series of unreported allyl benzoate compounds containing triazole were designed and synthesized. The bioassay results showed that (*R,S*)-(Z)-1,3-diphenyl-2-(1*H*-1,2,4-triazol-1-yl)allyl benzoate (**5a**), (*R,S*)-(Z)-1,3-diphenyl-2-(1*H*-1,2,4-triazol-1-yl)allyl 2-fluorobenzoate (**5g**) and (*R,S*)-(Z)-1,3-diphenyl-2-(1*H*-1,2,4-triazol-1-yl)allyl 3-methylbenzoate (**5n**) exhibited good control efficacy against *Sclerotinia sclerotiorum* more than 70% at 50 mg/L.

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



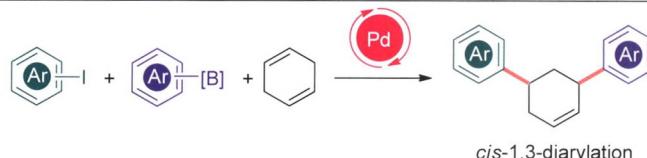
Zhang, Fan; Hou, Huiqing; Xu, Xiuzhi;
Chen, Zhitao; Ke, Fang*
Chin. J. Org. Chem. **2021**, *41*(2), 833

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

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



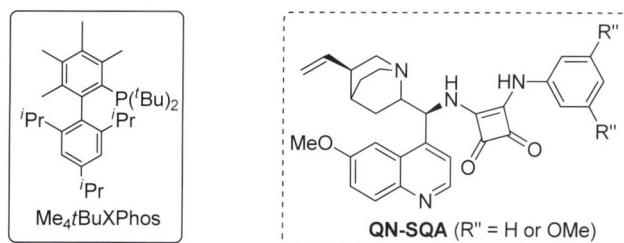
Pang, Hailiang; Wu, Dong; Yin, Guoyin*
Chin. J. Org. Chem. **2021**, *41*(2), 849

HIGHLIGHTS

Asymmetric Formal Hetero-Ene Reaction of Allylgold Intermediates

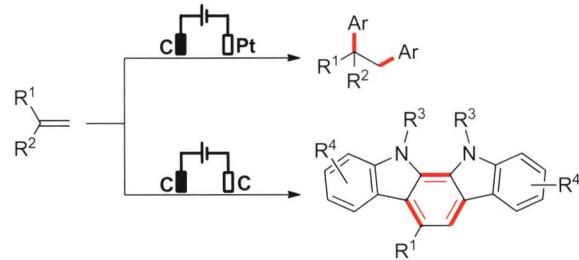


Cao, Weidi; Liu, Xiaohua*
Chin. J. Org. Chem. **2021**, *41*(2), 857



CONTENT

Electrochemical 1,2-Diarylation of Alkenes Enabled by Direct Dual C—H Functionalizations of Electron-Rich Aromatic Hydrocarbons



Wang, Ziqiang; Zhu, Chen*

Chin. J. Org. Chem. **2021**, *41*(2), 859

Nickel-Catalyzed Four-Component Carbocarbonylation of Alkenes under Atmospheric CO



He, Binqing; Wu, Xuesong*

Chin. J. Org. Chem. **2021**, *41*(2), 861

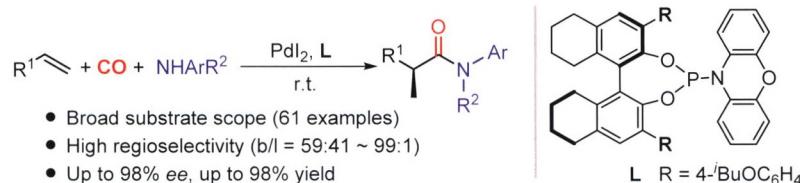
Enantioselective Alkylation of Azoles by Merging Visible Light and Copper Catalysis



Li, Xiangsheng; Liu, Qiang*

Chin. J. Org. Chem. **2021**, *41*(2), 863

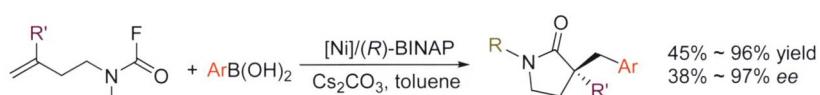
Palladium-Catalyzed Asymmetric Markovnikov Hydroaminocarbonylation of Alkenes



Tian, Duanshuai; Tang, Wenjun*

Chin. J. Org. Chem. **2021**, *41*(2), 865

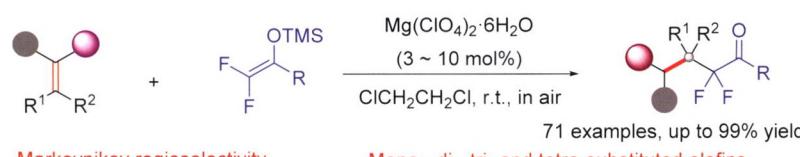
Carbamoyl Fluoride-Promoted Ni-Catalyzed Asymmetric Carbamoyl-Arylation of Unactivated Alkenes



Wu, Xianqing; Chen, Yifeng*

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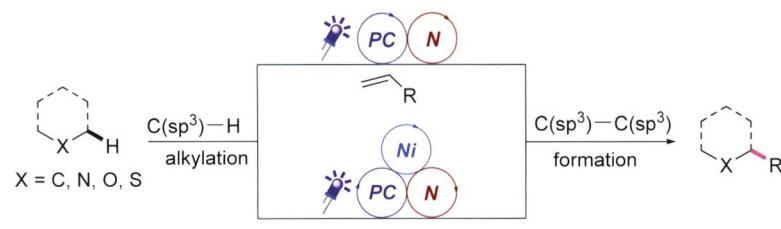
Regioselective Markovnikov Hydrodifluoroalkylation of Difluoroenoxy silanes with Alkenes



Chen, Guoshu; Liu, Yunlin*

Chin. J. Org. Chem. **2021**, *41*(2), 869

Visible-Light-Driven Sulfonamide Catalyzed Alkylation of α -C(sp³)—H Bonds of Heteroatoms



Zhao, Quanqing; Chen, Jiarong*

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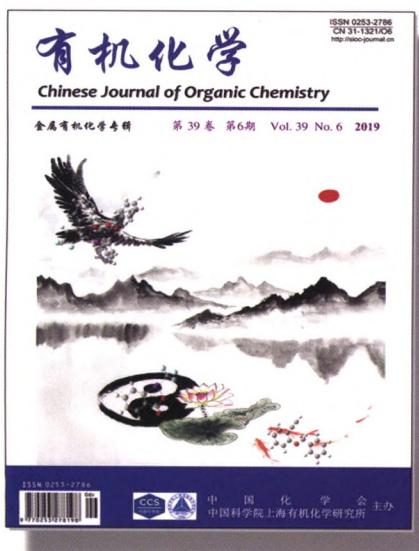
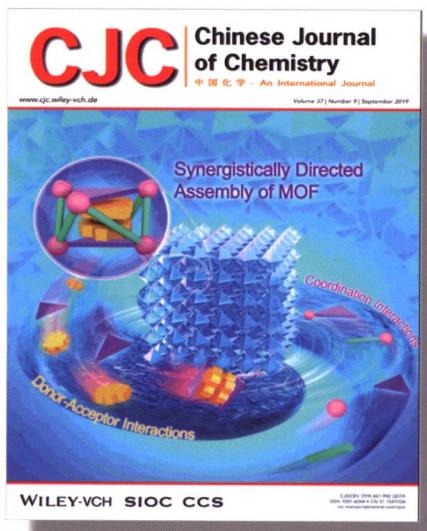
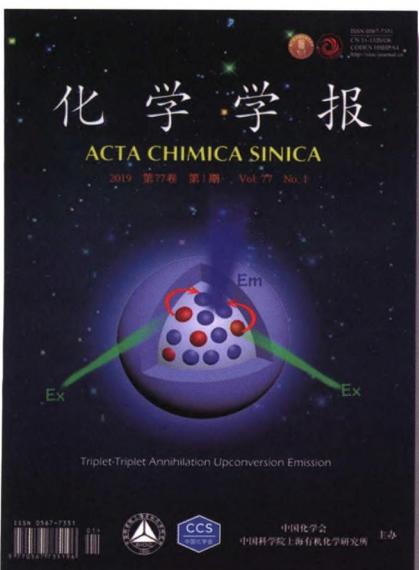
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