

# 有机化学

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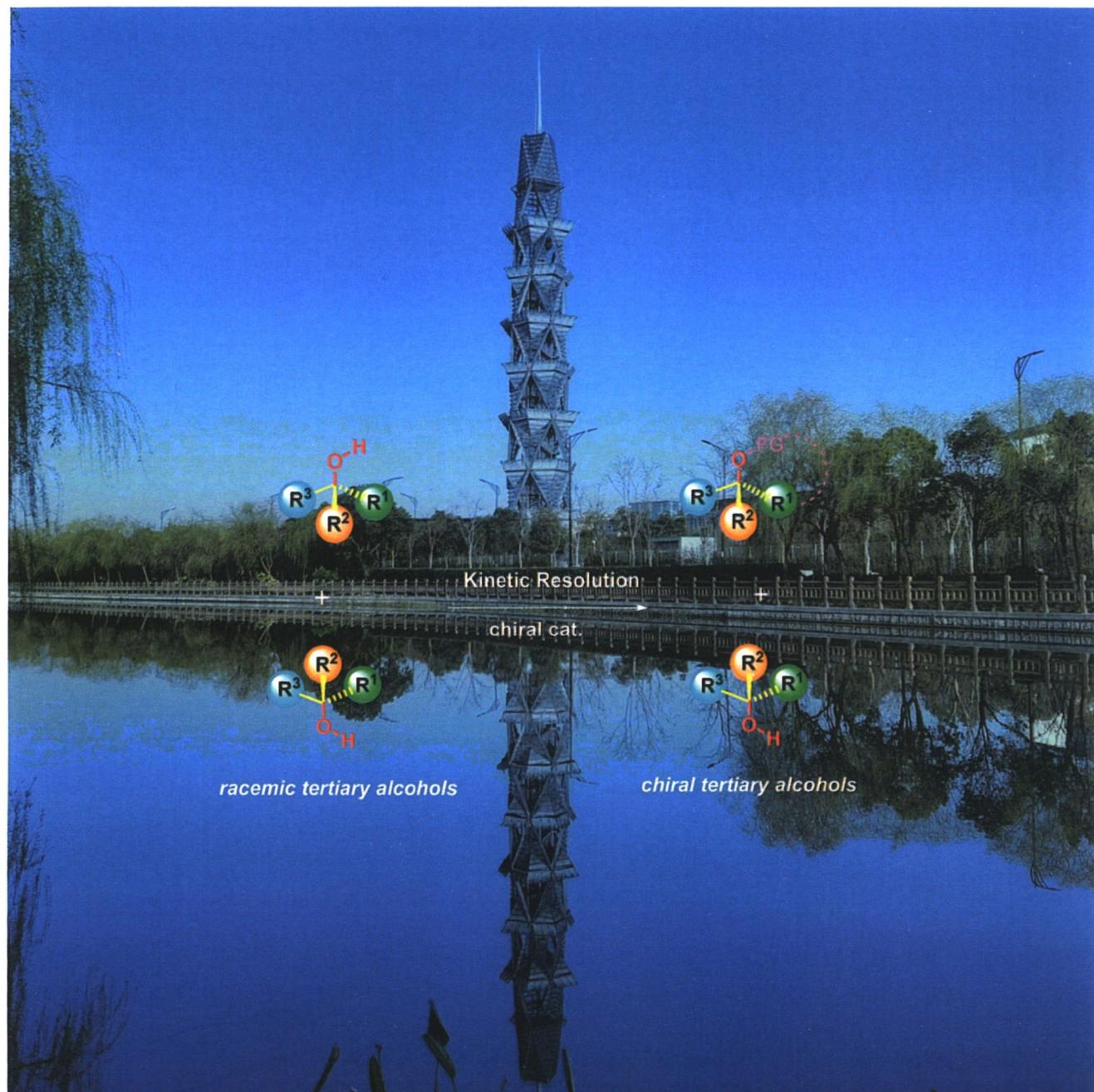
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# 有机化学 (月刊)

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\* 通讯联系人.

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## 研究简报

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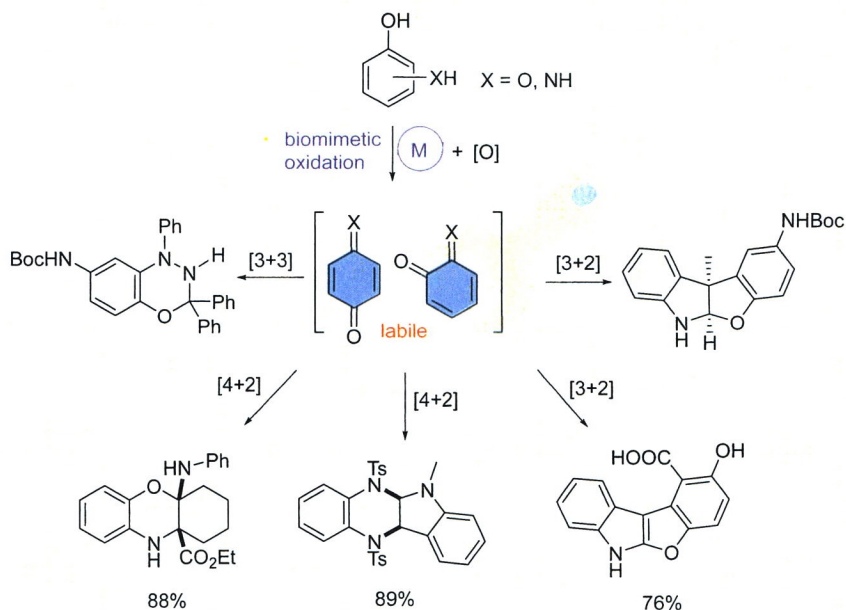
Cover Picture: Recent Advances in Kinetic Resolution of Tertiary Alcohols

Kinetic resolution (KR) is a powerful strategy to access enantioenriched tertiary alcohols, although challenging requirement to distinguish three none-hydrogen substituents has to be fulfilled. The advances of nonenzymatic KR of tertiary alcohols in the last two decades are comprehensively reviewed on page 679 by Chen, Liu and Yang, which are categorized into three parts: asymmetric protection of the hydroxy group, asymmetric intramolecular cyclizations of the hydroxy group and non-hydroxy group involved asymmetric transformations.



## ACCOUNT

Reactivity Modulation of Labile Quinones and Biomimetic Catalytic Transformations



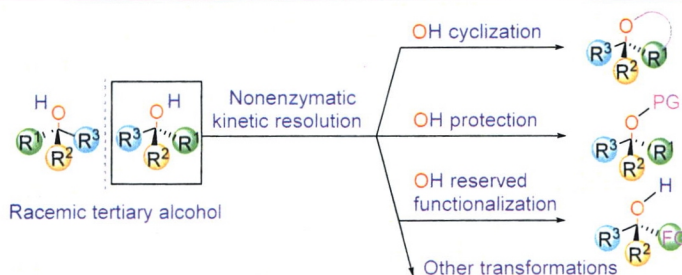
By taking a biomimetic catalytic oxidation strategy, we have developed oxidation systems comprising metal catalysts and green terminal oxidants that realized *in situ* oxidation of phenols and reactivity modulation of labile quinone intermediates. In this account, our recent advances in reactivity modulation of labile quinones/quinone imines and their biomimetic catalytic transformations are summarized.

Zuo, Honghua; Zhong, Fangrui\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 665



## REVIEWS

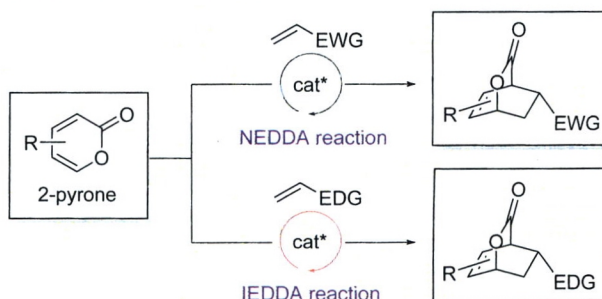
### Recent Advances in Kinetic Resolution of Tertiary Alcohols



The tremendous advances in the kinetic resolution of tertiary alcohols are comprehensively reviewed, the substrate scope, characteristics, mechanisms and limitations of these methods are summarized, and our perspective on this research field is also provided.

Chen, Yunrong; Liu, Wei; Yang, Xiaoyu\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 679

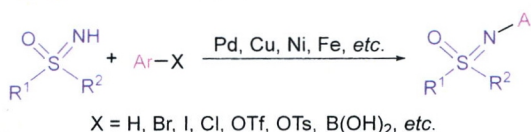
### Progress of Catalytic Asymmetric Diels-Alder Reactions of 2-Pyrones



The recent progress of catalytic asymmetric normal-electron-demand Diels-Alder (NEDDA) reactions and inverse-electron-demand Diels-Alder (IEDDA) reactions of 2-pyrones is reviewed. These reactions have demonstrated great synthetic potential in organic synthesis.

Xu, Mengmeng; Cai, Quan\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 698

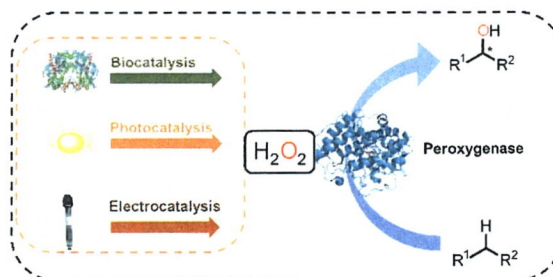
### Recent Advances in *N*-Arylation of NH-Sulfoximines and Their Applications



The recent progress in the development and application of *N*-arylation of NH-sulfoximines is reviewed. Direct arylation of NH-sulfoximines to prepare *N*Ar-sulfoximines exhibits some unique advantages, including atom-economics, mild conditions and step-economics. Various arylation protocols of NH-sulfoximines to afford *N*Ar-sulfoximines via a C—N bond formation strategy, as well as their applications in organic synthesis, are reviewed.

Li, Xue; Wang, Cong; Jia, Tiezheng\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 714

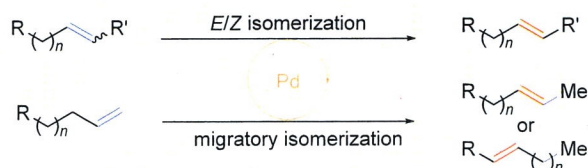
### Research Progress of Peroxygenase-Catalyzed Reactions Driven by *in-situ* Generation of H<sub>2</sub>O<sub>2</sub>



This paper outlines a variety of methods used for *in situ* generation of H<sub>2</sub>O<sub>2</sub> to drive peroxxygenases reported in recent years. The pros and cons of biocatalysis, photocatalysis and electrocatalysis used for high atom-efficient H<sub>2</sub>O<sub>2</sub> generation are comprehensively analyzed. This review paper is expected to provide a reference for promoting the application of peroxxygenases in organic synthesis.

Li, Kexin; Yang, Qingyuan\*; Zhang, Peng-peng; Zhang, Wuyuan\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 732

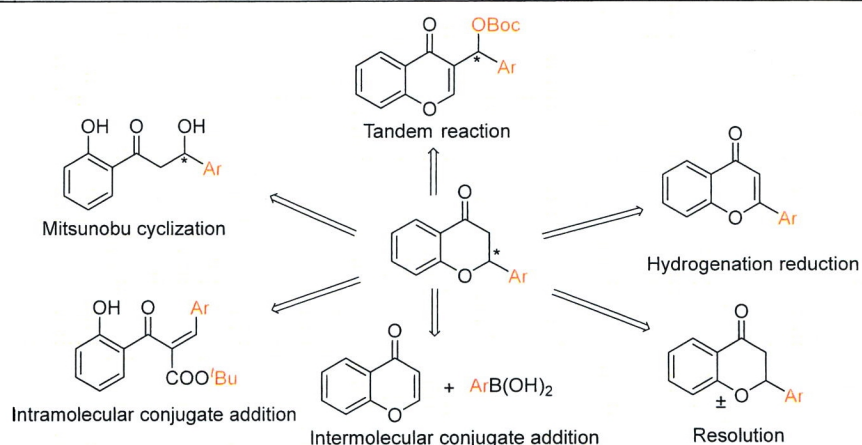
## Pd-Catalyzed Isomerization of Alkenes



Chen, Hong-Chao; Wu, Yichen; Yu, Yang;  
Wang, Peng\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 742

The palladium catalyzed stereoselective (*Z/E*) and the positional selective isomerization reactions of alkenes, the detailed mechanistic perspectives and their synthetic applications in the synthesis of drug molecules and natural products are summarized.

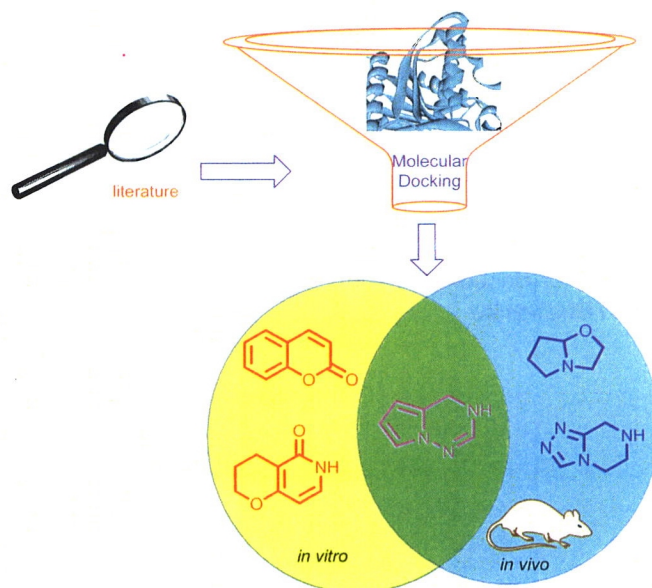
## Research Progress on Asymmetric Synthesis of Flavanones



Wang, Lihua; Gong, Xushun; Lei, Ting;  
Jiang, Shizhi\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 758

The asymmetric synthesis methods of flavanones are reviewed in recent years. The synthesis methods include carbonyl reduction and chiral resolution of raceme, formation of carbon-carbon bonds, formation of carbon-heterobonds and other types of synthesis methods.

## Research Progress on the Synthesis and Structure-Activity Relationship of Five Hypoglycemic Active Heterocycles Based on Dipeptidyl Peptidase 4 (DPP-4) Target Design



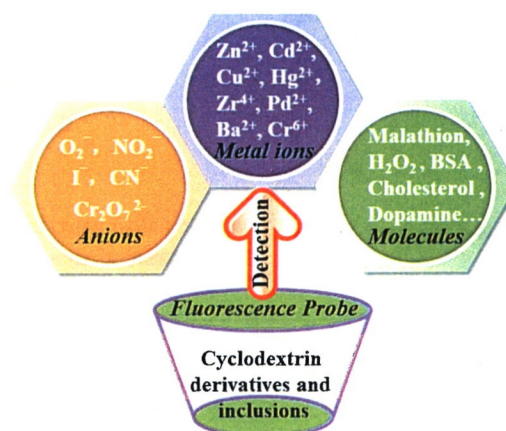
Kong, Yuanfang; Yang, Bin; Zhuang, Yan;  
Zhang, Jingyu; Sun, Demei\*; Dong, Chun-  
hong\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 770

According to the systematic literature review, the potential heterocyclic structures with hypoglycemic activity are summarized, and through computer molecular simulation docking, five kinds of heterocyclic structures with high hypoglycemic activity and easy synthesis are finally screened out based on DPP-4 target design. The synthesis routes and structure-activity relationships are summarized and analyzed, which provides a research basis for the development of safe, efficient and novel hypoglycemic drugs for DPP-4 inhibitors in the future.



# CONTENT

## Research Progress of Fluorescence Probes Constructed by Cyclodextrin Derivatives and Inclusion Complexes

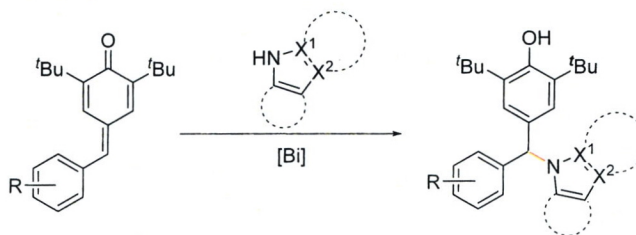


The applications of fluorescent probes that designed and synthesized based on cyclodextrins in the detection of metal ions, anions and molecules are summarized, the recognition performance and mechanisms are described, and it is expected to provide theoretical basis for the application of cyclodextrin derivatives and inclusion complexes in the field of fluorescence detection.

He, Yuqing; Chen, Lin; He, Ruili; Zhong, Keli\*; Tang, Lijun\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 785

## ARTICLES

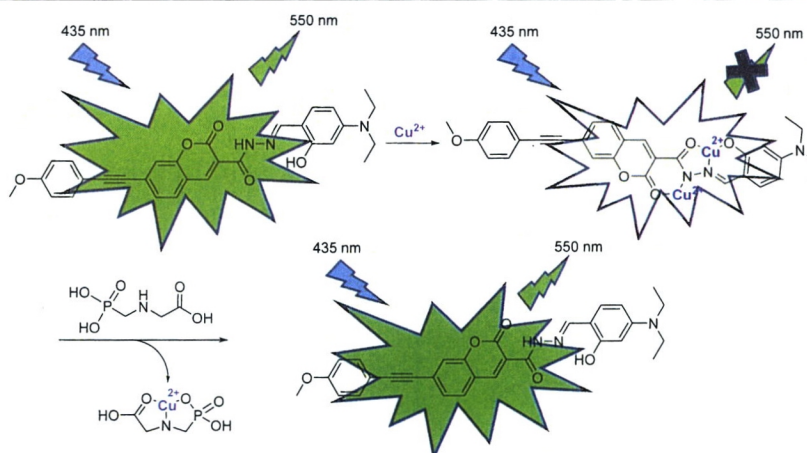
### 1,6-Addition of Nitrogen Nucleophile to *para*-Quinone Methides Catalyzed by Recyclable Bismuth Complex: Facile Access to *N*-Heterocyclic Substituted Unsymmetric Triarylmethane Derivatives



A useful protocol for the synthesis of nitrogen-containing unsymmetric triarylmethane derivatives through 1,6-aza-Michael addition of *para*-quinone methides (*p*-QMs) and various aromatic *N*-heterocycles was developed. The outstanding features of this protocol include broad scope of substrates, non-toxic metal catalysts, short reaction time, and environmentally friendly.

Liu, Donglan; Xu, Haiyan\*; Hang, Yi; Lu, Hongfei\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 796

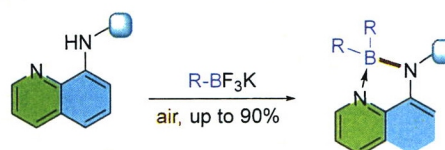
### Synthesis and Application of a Novel Fluorescent Probe for Sequential Recognition $\text{Cu}^{2+}$ and Glyphosate



A novel fluorescent probe **L** based on coumarin-derived acylhydrazone has been designed and synthesized. The probe **L** showed high selectivity, good anti-interference ability towards  $\text{Cu}^{2+}$  and glyphosate respectively. In addition, the probe **L** could be used as a logic gate fluorescence sensor. Finally, glyphosate detection was assessed within the actual water samples for testing the probe actual application.

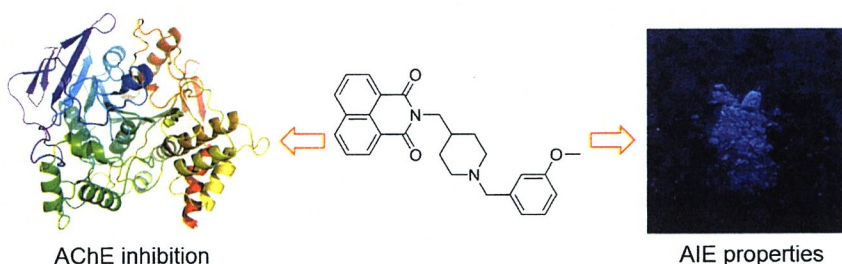
Wu, Mianyuan; Yu, Yanchao\*; Liu, Yang; You, Jun\*; Wu, Wenju; Liu, Bo  
*Chin. J. Org. Chem.* **2022**, 42(3), 803



Synthetic and Computational Study of  
Four-Coordinate *B,B*-Diaryl 8-Aminoqui-  
nolate Complexes

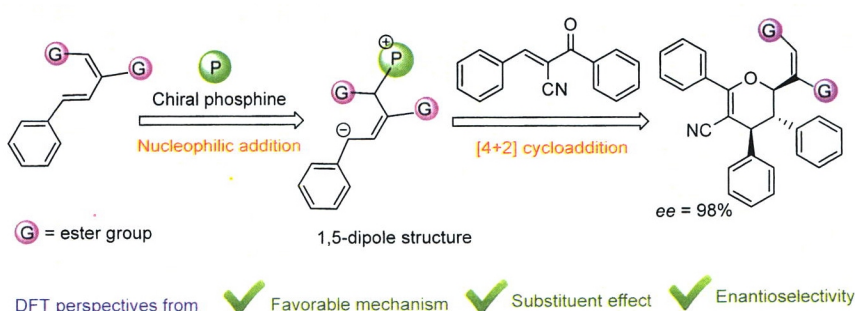
Ding, Siyi; Zu, Weisai; Miao, Zongcheng\*;  
Xu, Liang\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 812

A series of aminoquinolate-framed diarylboron complexes were obtained, using stable and commercially available aryl trifluoroborate potassium salts as the source of the diaryl units.

Synthesis of Naphthalimide Derivatives  
as Cholinesterase Inhibitors with Aggre-  
gation Induced Emission Properties

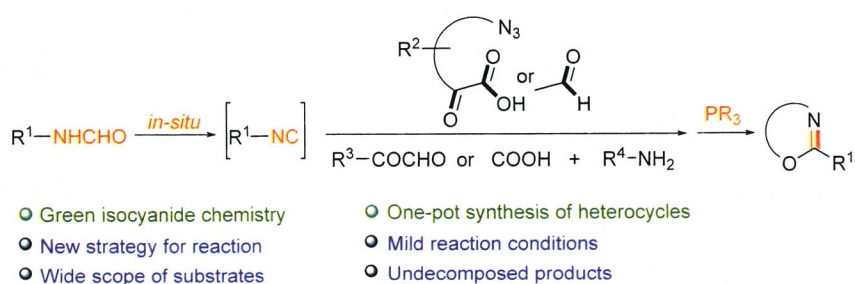
Zhao, Yongmei; Mu, Yeshu; Luo, Wen\*;  
Tian, Zhiyong\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 819

A series of naphthimide derivatives were synthesized as AChE inhibitors. These derivatives showed potent AChE inhibitory activity and exhibited aggregation induced emission properties.

Density Functional Theory Study on the  
Mechanism of Organophosphine-Cataly-  
zed [4+2] Cycloaddition Reaction

Li, Zheng; Gu, Yingchun\*; Xu, Dazhen; Fei,  
Xuening; Zhang, Lei\*  
*Chin. J. Org. Chem.* **2021**, 41(3), 830

The mechanism of chiral phosphine-catalyzed [4+2] cycloaddition between dienes and enones was explored by density functional theory (DFT) calculations. The plausible mechanism was disclosed and the enantioselectivity was analyzed both energetically and structurally. Lastly, the substituent effects concerning the diene substrate were investigated.

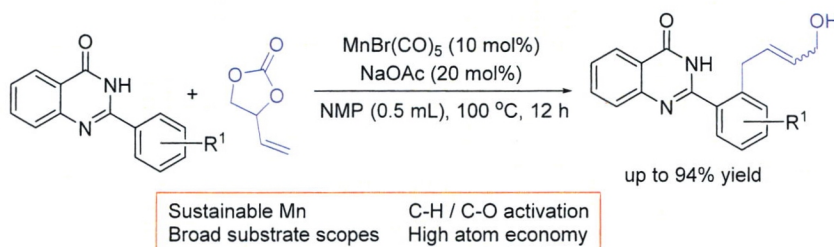
Ugi Four-Component Reaction Based on  
*in-situ* Capture of Isocyanide and Post-  
Modification Tandem Reaction: One-Pot  
Synthesis of Nitrogen Heterocycles

Luo, Xianghao; Xie, Yibi; Huang, Nianyu;  
Wang, Long\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 838

A novel strategy of Ugi four-component and post-modification tandem reaction based on *in-situ* capture of isocyanide is reported in this paper. According to this reaction strategy, the isocyanide was prepared *in situ* and immediately captured to participate in Ugi four-component reaction and the subsequent modification tandem reaction.

# CONTENT

Manganese-Catalyzed Allylation of Quinazolinones with 4-Vinyl-1,3-dioxolan-2-one via C—H Activation

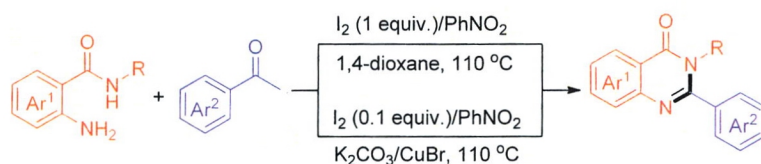


The *ortho*-allylation of quinazolinones with 4-vinyl-1,3-dioxolan-2-one via manganese catalysis has been described. A series of allylation products with potential applications have been obtained. This protocol is also highlighted by good compatibility of functional groups and excellent *E/Z* selectivity. This work broadens the scope of Mn-catalyzed C—C coupling reactions.

Li, Yudong; Li, Ying; Dong, Ya'nan; Xia, Chungu\*; Li, Yuehui\*

*Chin. J. Org. Chem.* **2022**, 42(3), 847

$\text{I}_2/\text{PhNO}_2$  Mediated Synthesis of Quinazolin-4(3*H*)-ones by C(CO)—C Bond Oxidative Cleavage of Acetophenones and Amination with 2-Aminobenzamides

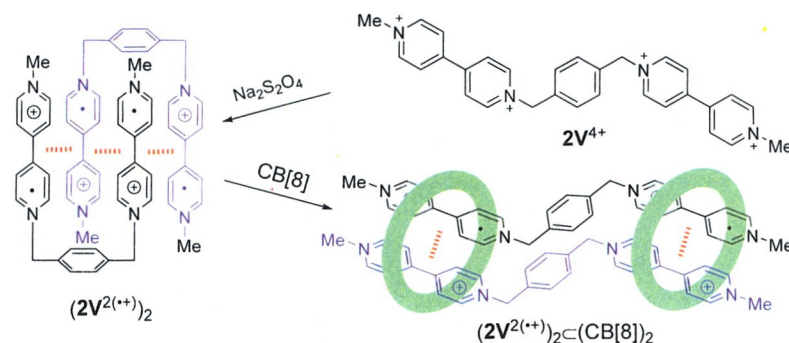


A simple and efficient protocol for the synthesis of quinazolin-4(3*H*)-ones from acetophenones and 2-aminobenzamides mediated by  $\text{I}_2/\text{PhNO}_2$  has been achieved.

Zhang, Ruiqin; Ma, Renchao; Fu, Qinjiao; Chen, Jing\*; Ma, Yongmin\*

*Chin. J. Org. Chem.* **2022**, 42(3), 854

Folding and Aggregation of Oligoviologens in Water and Cucurbit[*n*]uril (*n* = 7, 8) Modulation

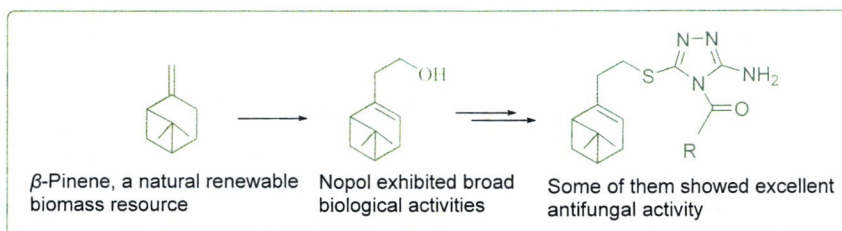


To study the conformations and aggregation of linear viologen radical cation-incorporated molecules in water, a series of water-soluble oligoviologens with different length and linkers were prepared.  $^1\text{H}$  NMR experiments in deuterioxide showed that cucurbit[7]uril (CB[7]) and cucurbit[8]uril (CB[8]) encapsulate their *p*-xylylene linkers instead of the bipyridinium units.

Peng, Wen-Chang; Wang, Hui; Zhang, Dan-Wei\*; Li, Zhan-Ting\*

*Chin. J. Org. Chem.* **2022**, 42(3), 863

Synthesis, Antifungal Activity, Three-Dimensional Quantitative Structure-Activity Relationship and Molecular Docking Study of 4-Acyl-3-amino-1,2,4-triazole-thioether Derivatives Containing Natural Pinene Structure



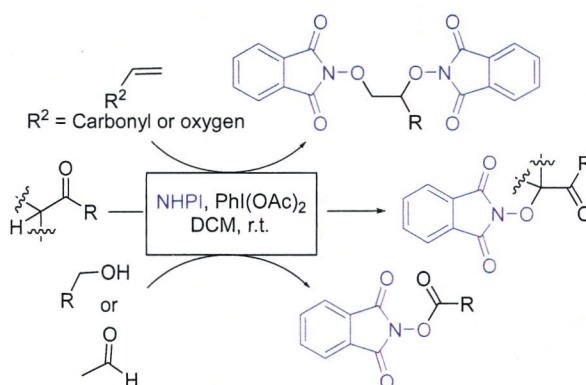
Twenty-four 4-acyl-3-amino-1,2,4-triazole-thioether derivatives containing natural pinene structure were designed and synthesized in search of novel natural product-based bioactive molecules. The *in vitro* antifungal activity test of the target compounds and the preliminary analysis of three-dimensional quantitative structure-activity relationship (3D-QSAR) were carried out.

Wang, Xiu; Duan, Wengui\*; Lin, Guishan\*; Li, Baoyu; Zhang, Wenjing; Lei, Fuhou

*Chin. J. Org. Chem.* **2022**, 42(3), 871



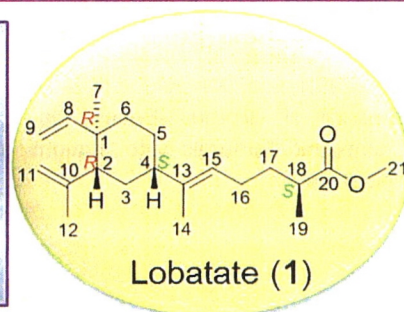
## Iodine(III)-Promoted Oxidative Cross-Coupling Reactions of C—H Bonds via a Free Radical Process



A metal-free oxidation coupling reaction of various C=C/C—H reagents such as olefins, ketones, esters, aldehydes and alcohols with *N*-hydroxy phthalimide (NHPI) has been developed using iodobenzene diacetate (PIDA). The method refers to radical cross coupling mechanism and it has the characteristics of mild conditions, good compatibility and wide substrate scope.

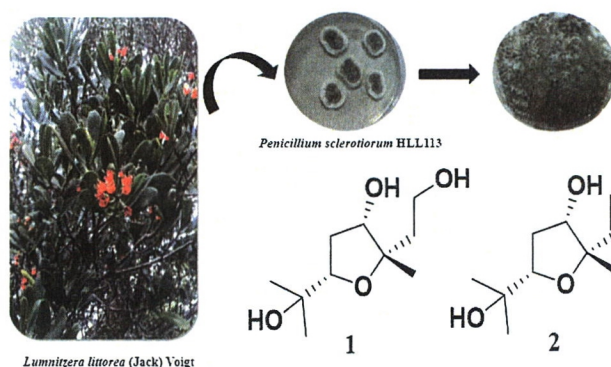
Wu, Fufang; Li, Xuejian; Jia, Hao; Han, Xuanzhen; Shen, Xiaobao\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 884

## NOTES

Chemical Constituents of *Sinularia nanolobata* from the South China Sea

A new prenylemane-type diterpenoid, named lobatate (1), and nine known compounds (2~10) were isolated from the Sanya soft coral *Sinularia nanolobata*, which were collected from the Ximao Island, Sanya, Hainan Province, China. Their structures were elucidated by using comprehensive spectroscopic methods.

Zeng, Zirong; Zhang, Mengmeng; Wang, Hong; Li, Jia; Guo, Yuewei\*; Su, Mingzhi\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 891

Study on the Secondary Metabolites of Endophytic *Penicillium sclerotiorum* HLL113

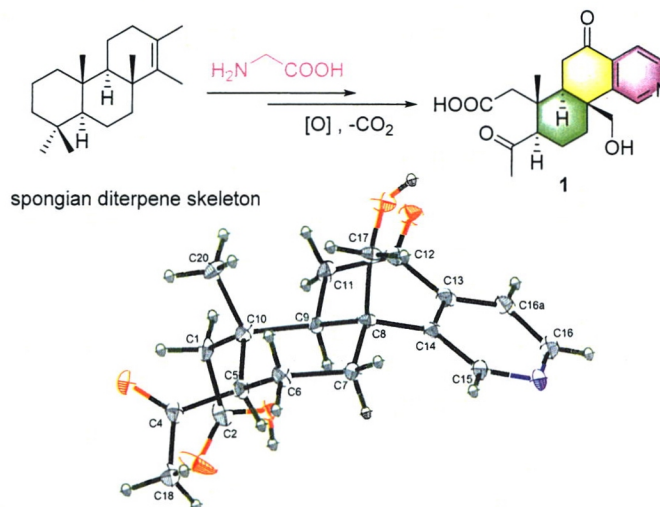
Two new furan derivatives, (2*S*,3*S*,5*S*)-2-(2-hydroxyethyl)-3-hydroxy-5-(2-hydroxypropan-2-yl)-2-methyltetrahydrofuran (1), (2*S*,3*S*,5*S*)-2-allyl-3-hydroxy-5-(2-hydroxypropan-2-yl)-2-methyltetrahydrofuran (2), together with six known steroid compounds were isolated from *Penicillium sclerotiorum* HLL113. 6,9-Epoxy-ergosta-7,22-dien-3-ol (5) was isolated from the genus *Penicillium* for the first time. Their structures were elucidated on the basis of extensive spectroscopic methods. The test results of  $\alpha$ -glucosidase inhibitory activity showed that (22*E*,4*R*)-ergosta-7,9(11),22-triene-3 $\beta$ ,5 $\alpha$ ,6 $\beta$ -triol (7) and derrisisoflavone K (8) have significant inhibitory activity.

Yang, Jing-Yu; Tang, Min-Min; Chen, Li; Lai, Xin-Yi; Zhuo, Xin; Zhou, Xue-Ming\*; Chen, Guang-Ying\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 896



# CONTENT

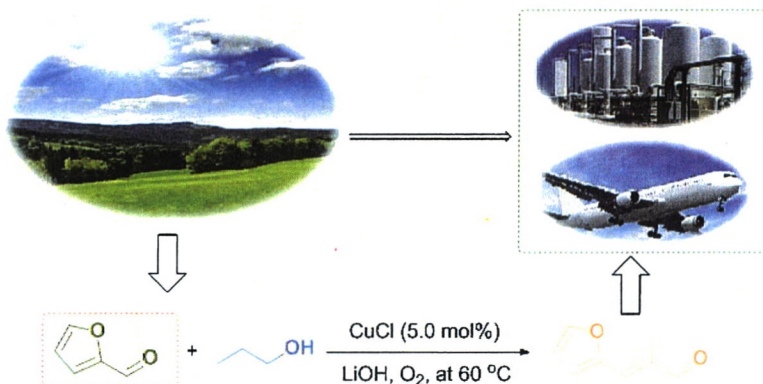
A New Dinorspongian Diterpene with Pyridyl D-Ring from the Marine Sponge *Spongia* sp.



A new dinorspongian diterpene, dinorsongiapyridine (**1**), together with four known compounds (**2**–**5**), were isolated from the marine sponge *Spongia* sp.. Compound **1** was the first instance of 3,4-seco-3,19-dinorspongian diterpene bearing a rare pyridyl D-ring system. The plausible biogenetic pathway of **1** was proposed. The cytotoxic activities against several cancer cell lines of **1** were evaluated.

Liang, Yongqian; Liao, Xiaojian; Ling, Long; Yang, Yating; Zhao, Bingxin\*; Xu, Shihai\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 901

Copper(I)-Catalyzed Aerobic Oxidative Condensation of Biomass-Based Platform Compound Furfurals with Straight-Chain Alcohols



A highly efficient and selective aerobic oxidative condensation of furfural with straight-chain alcohol is achieved with simple copper(I) chloride as the catalyst. It is found that the conversion of furfural and the selectivity of main product reached 94% and more than 99.9% in the presence of molecular oxygen, respectively.

Shi, Jing; Guo, Pengfei; Li, Wei\*; Sun, Haijing; Meng, Lingwu; Tong, Xinli\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 905

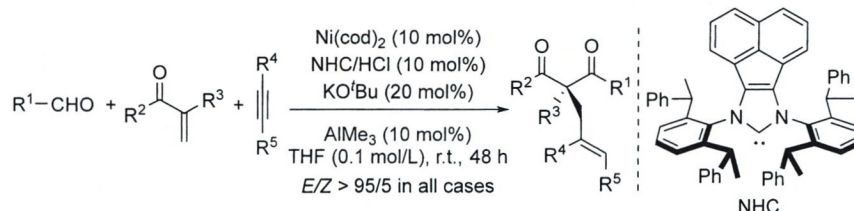
## HIGHLIGHTS

Enantioselective Dearomative [3 + 2] Annulation of *N*-Heteroarenes with Alkynes



Wu, Zhuo; Zheng, Chao\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 910

Ni/*N*-Heterocyclic Carbene-Catalyzed Enantioselective Three-Component Couplings of Aldehydes, Alkynes and Enones



Zhou, Zhijun; Kong, Wangqing\*  
*Chin. J. Org. Chem.* **2022**, 42(3), 913

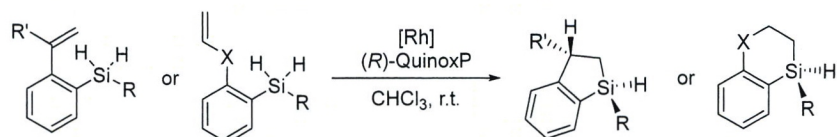
Nickel-Catalyzed Stereo- and Enantioselective Cross-Coupling of *gem*-Difluoroalkenes with Carbon Electrophiles by C—F Bond Activation

Ye, Cheng; Gong, Hegui\*

*Chin. J. Org. Chem.* **2022**, 42(3), 915

## Rhodium-Catalyzed Enantioselective Intramolecular Hydrosilylation to Access Silicon-Stereogenic Tertiary Hydrosilanes

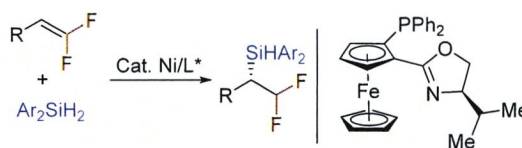
An, Kun; He, Wei\*

*Chin. J. Org. Chem.* **2022**, 42(3), 918

Excellent Stereocontrol   Low [Rh] Loading   Broad Substrate Scope   High Efficiency

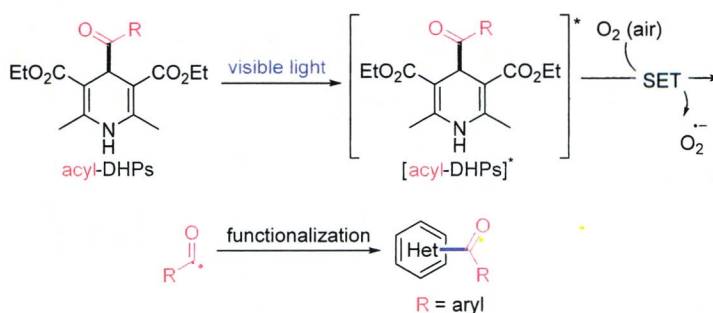
Nickel-Catalyzed Enantioselective Hydrosilylation of *gem*-Difluoroalkenes with Silanes

Chen, Jianqiang; Wu, Jie\*

*Chin. J. Org. Chem.* **2022**, 42(3), 921

## Photochemical Synthesis of Aroylated Heterocycles under Catalyst and Additive Free Conditions

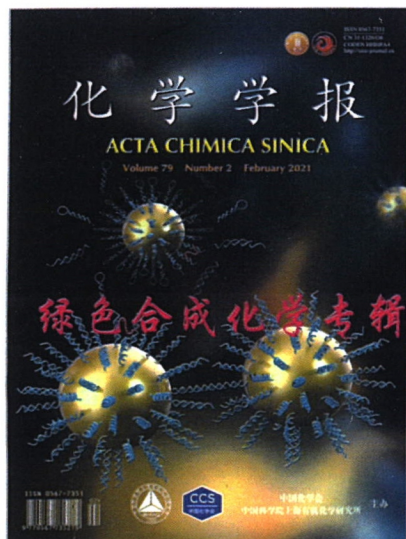
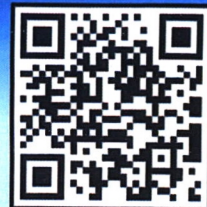
Chen, Zele; Xuan, Jun\*

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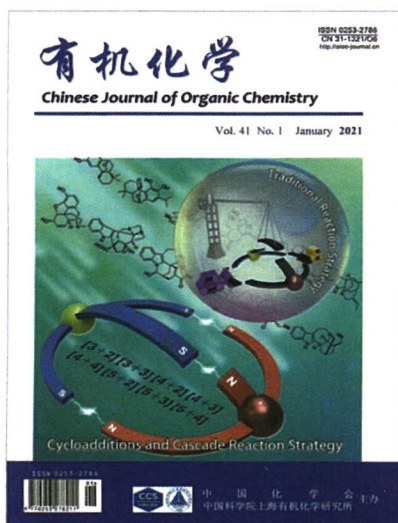
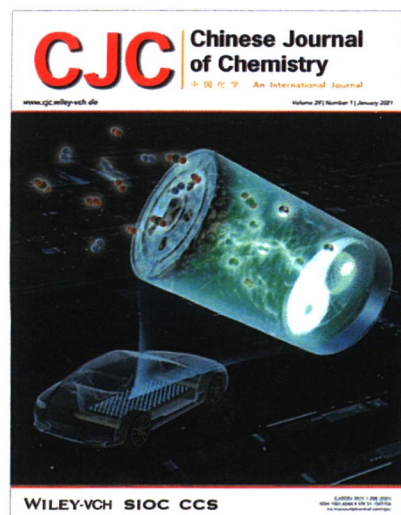
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