

# 有机化学

Chinese Journal of Organic Chemistry

ISSN 0253-2786

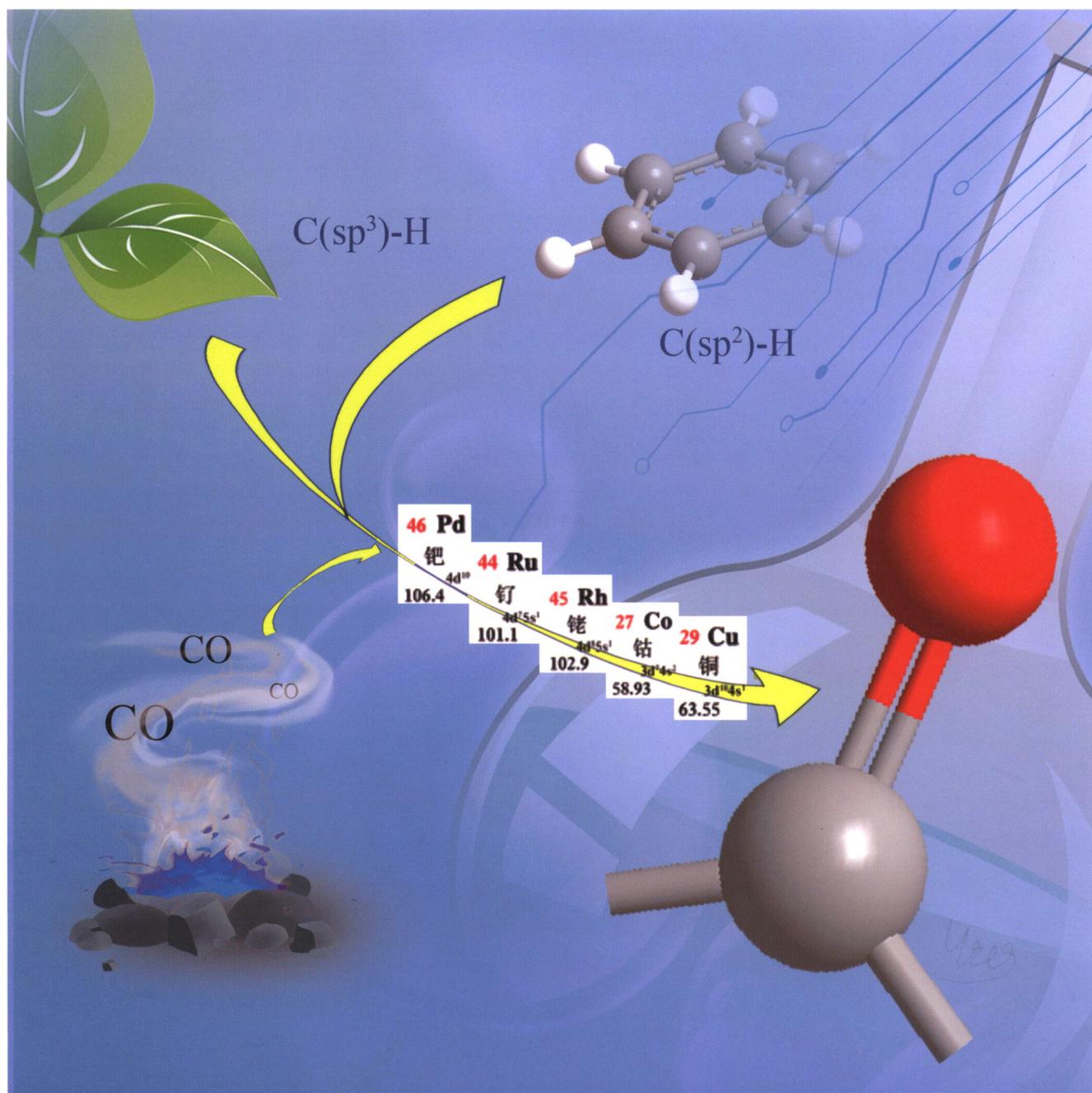
CN 31-1321/O6

http://www.cjoc.org.cn



QK2126893

Vol. 41 No. 6 June 2021



ISSN 0253-2786



9 770253 278631

06>

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 6 期 (总 391 期) 2021 年 6 月

## 目次

### 综述与进展

- 过渡金属催化的碳氢键与一氧化碳的反应 ..... 武泽臣 程 沧 张扬会\* (2155)
- 基于 2-卤苯甲酰胺合成苯并杂环化合物的研究进展 ..... 杨 凯 刘美娟 张毓娜 占佳琦 邓璐璇 郑雪洁 周永军 汪朝阳\* (2175)
- 杯芳烃促进的过渡金属催化反应 ..... 马志艳 李云剑 孙小强 杨 科\* 李正义\* (2188)
- 有机转化中二碘化钐及其他钐试剂近期发展研究 ..... 刘 晨 齐 燕\* 刘永军\* (2202)
- 天然药物小檗碱的化学合成研究进展 ..... 严锡飞 郑剑峰\* 李卫东\* (2217)
- 有机催化不对称合成 3,4-二氢吡喃-2-酮和 3,4-二氢吡啶-2-酮衍生物研究进展 ..... 底慧明 刘云婷 马艳榕 杨鑫悦 金 辉\* 张立新\* (2228)
- 靶向分枝菌酸生物合成的抗结核化合物研究进展 ..... 吕润秋 张 维 于丽芳\* (2249)
- 基于亚组分自组装的金属有机超分子研究进展 ..... 季长兴 王光霞\* 王 华\* (2261)
- 铜催化硼试剂参与的烯烃多组分双官能化研究进展 ..... 杨 晨 贾雪峰\* (2280)

### 研究论文

- 可见光催化炔烃串联羧基烷基磺酰化/环化 ..... 刘 宇 陈 赞 陈 璞 熊碧权 谢 军\* 刘 岸\* 梁 云 唐课文 (2290)
- 空气氧化的铜催化苯甲酸衍生物邻位 C(sp<sup>2</sup>)-H 键的碘化反应 ..... 孙名扬 徐 坤 郭兵兵 曾程初\* (2302)
- 乳酸催化的酰胺与胺的氨解反应 ..... 刘巨艳\* 赵聪颖 (2310)
- 无金属条件下叔丁基亚磺酰胺衍生物在 B<sub>2</sub>pin<sub>2</sub>/D<sub>2</sub>O 体系中的氘代还原 ..... 李琳琳 陈晓雨 裴聪聪 李敬亚 邹大鹏\* 吴养浩\* 吴豫生\* (2319)
- 水相中电化学促进铜催化苯甲醇氧化合成喹啉啉酮 ..... 吴 媚 于 玲 侯慧青 陈厚铮 庄庆龙 周孙英 林小燕\* (2326)
- AlCl<sub>3</sub> 催化 3-(2-氨基乙基)吡咯的合成 ..... 白 冰\* 徐芳琳 杨 静 张改红 毛多斌 王 宁\* (2335)

\* 通讯联系人.

3-芳基-7-甲基-7-羟基-2-辛烯-6-内酯类化合物的合成及杀菌活性.....	王卫伟 赵宇 刘鑫磊 蒋家珍 王明安*	(2343)
电化学介导的 S—N 键形成: 次磺酰胺化合物的简洁合成.....	何慕雪 程诗砚 潘永周 唐海涛* 潘英明*	(2354)
含三氮唑结构的 1,5-苯并硫氮杂草的合成及抑菌活性.....	孙雨佳 王紫薇 王岩 许同绣 田克情 张萍*	(2361)
基于原位捕获胺的 Ugi 四组分反应及其后修饰串联环化反应: “一锅法”合成六元、七元杂环化合物.....	刘金妮 谢益碧 阳青青 黄年玉 王龙*	(2374)
基于三唑并噻二唑-香豆素体系的高选择性识别硫化氢比率型 荧光探针的合成及应用.....	张成路* 张彦朋 王华玉 赵涇影 尚美彤 张璐 李香玲 王一鸣	(2384)
新型蓝萆甲素-噻唑类衍生物的设计、合成与生物学评价.....	张涛 李念先 周楠茜 马雯 卫海沅 张冰欣 陈亮辉 海广范 段迎超 白素平*	(2393)
含单一苧基冠状共轭分子的合成、表征和光物理性质.....	李璟爽 庄桂林 黄强 王进义 吴亚宇 杜平武*	(2401)
叔丁基自由基引发的 1,2-炔基迁移反应研究.....	张萍 张天舒 蔡佩君* 姜波 屠树江*	(2408)
一种水溶液中裸眼识别 $\text{HSO}_3^- / \text{SO}_3^{2-}$ 的长波长荧光探针及其应用.....	王超 王鑫 钟克利 侯淑华 燕小梅 边延江* 汤立军*	(2417)
三氟甲磺酸铜(II)催化的硫醇对烯酮的共轭加成反应.....	张同飞 陈逸波 高振博*	(2424)
3,6-二烷基吡啶-1-甲醛和 3,6-二烷基吡啶-1,8-二甲醛的高效合成.....	赵雨 刘阳 王鑫鑫 洪莹莹 满英秀 王进军* 李家柱*	(2435)
吡啶-噻唑联芳类化合物的合成及抗氧化性能研究.....	张晓平* 金桂勇 陈芝飞 王清福 赵森森 武志勇 万帅 席高磊* 赵旭*	(2445)
齐墩果酸 C3-糖缀合物的设计、合成及抗流感病毒活性研究.....	邵亮 杨帆 李唯嘉 俞飞*	(2454)
7-苯基-6 <i>H</i> ,7 <i>H</i> -1,3,4-噻二唑并[3,2- <i>a</i> ]-噻色烯并[4,3- <i>d</i> ]嘧啶类化合物的合成及抗真菌活性研究.....	董金娇 朱昕悦 冯思冉 张超超 刘振明 乔晓强* 宋亚丽*	(2467)
电化学脱氢[3+2]环化反应合成取代的 1,2,4-三氮唑衍生物.....	赵志恒 李鸣 周娅琴 何永辉 张丽珠 李干鹏 谷利军*	(2476)
含天然苯乙烯结构的 4-甲基-1,2,4-三唑-硫醚化合物的合成、除草活性及三维定量构效关系(3D-QSAR)研究.....	李成飞 岑波* 段文贵* 林桂汕 王秀 李宝谕	(2485)

## 研究简报

连翘果实中的三个新化合物.....	张旭 邵思远 冯子明 姜建双 杨桢楠* 张培成*	(2496)
链霉菌 LZ35 中 Germicidin 糖苷的发现.....	史海霞 李瑶瑶 朱敬 王浩鑫* 沈月毛	(2502)
(一)-Angustureine 的对映选择性合成.....	余璐璐 丁群山 宋传君* 常俊标*	(2507)
五倍子中一个新的甘遂烷型三萜类化合物.....	李钰婷 仝雪利 田立文*	(2511)

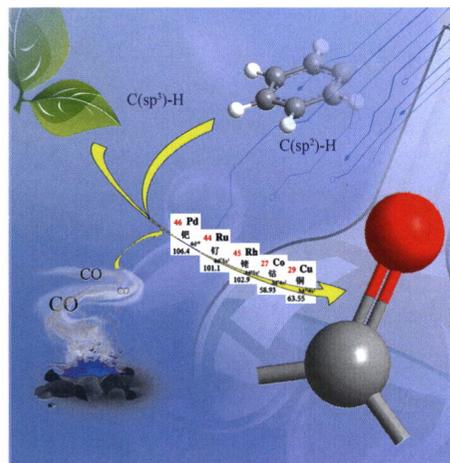
## 亮点述评

---

可见光氧化还原协同铜催化实现 1,3-二烯炔的对映选择性碳氰化反应.....	郭晓宁	吴骊珠*	(2515)
铈和手性硫脲串联催化的仲酰胺不对称还原氰基化和还原磷酸化反应.....	李照坤	王晓明*	(2517)
钨-催化芳香杂环烯炔的不对称环化异构化反应.....	赵平	栾新军*	(2520)
可见光驱动 $\alpha$ -氨基酸/多肽脱羧与烯炔原位偶联构建 $\gamma$ -氨基酸类化合物.....	赵燕飞	刘志敏*	(2522)
镍催化的不对称还原交叉偶联氟烷基化反应多样性合成手性三氟甲基化烷烃.....	姜晓环	汤平平*	(2525)
铜催化 1,4-戊二烯与酮的区域选择性不对称加成反应.....	顾幸威	徐利文*	(2528)
氮杂环卡宾催化去对称化[4+1]/[4+2]环化反应的机理与选择性.....	郑汉良	薛小松*	(2530)
Catellani 反应合成 3-甲基咪唑类化合物.....	余融融	方显杰*	(2532)

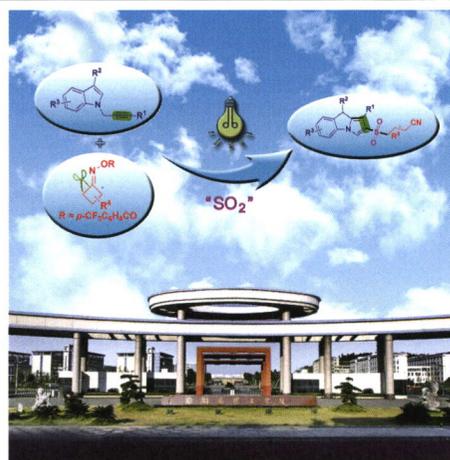
Cover Picture: Transition Metal-Catalyzed Reactions of C—H Bonds with Carbon Monoxide

Direct carbonylation of C—H bonds provides a straightforward method for the introduction of carbonyl groups into organic molecules. Under transition-metal catalysis, including palladium, ruthenium, rhodium, cobalt and copper, C(sp<sup>2</sup>)—H and C(sp<sup>3</sup>)—H bonds can react with carbon monoxide to yield carbonyl-containing compounds, such as acid anhydrides, amides and esters. The research progress of transition-metal-catalyzed reactions of C—H bonds with carbon monoxide over the past decades has been reviewed by Wu, Cheng and Zhang on page 2155.



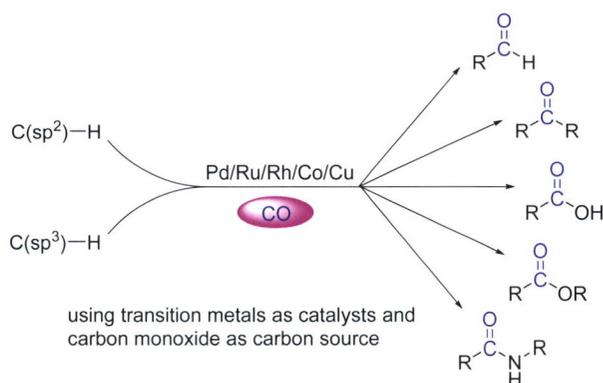
Inside Cover: Visible-Light-Catalyzed Tandem Cyanoalkylsulfonylation/Cyclization of Alkynes

The visible-light-catalyzed tandem cyanoalkylsulfonylation/cyclization of alkynes is reported by Liu, Chen, Chen, Xiong, Xie, Liu, Liang and Tang on page 2290. A transition-metal-free visible-light-mediated tandem cyanoalkylsulfonylation/cyclization of alkynes with cycloketone oxime derivatives for the construction of 2-cyanoalkylsulfonyl-9H-pyrrolo[1,2-a]indoles through the insertion of SO<sub>2</sub> is reported.



## REVIEWS

Transition Metal-Catalyzed Reactions of C—H Bonds with Carbon Monoxide

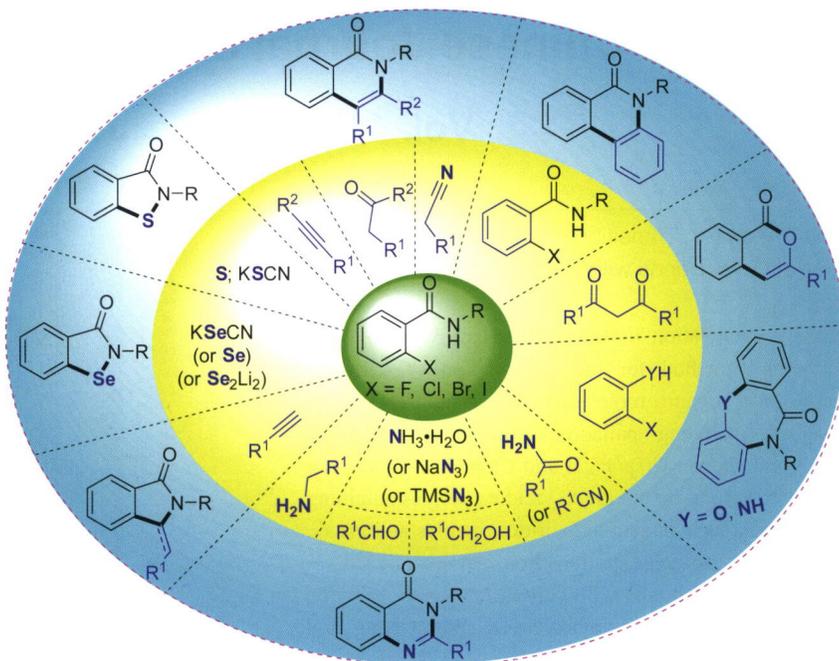


Wu, Zechen; Cheng, Cang; Zhang, Yanghui\*  
*Chin. J. Org. Chem.* **2021**, *41*(6), 2155

The research progress of the reactions of carbon-hydrogen bonds with carbon monoxide catalyzed by transition metals, such as palladium, ruthenium, rhodium, cobalt and copper over the past decades is reviewed.

# CONTENT

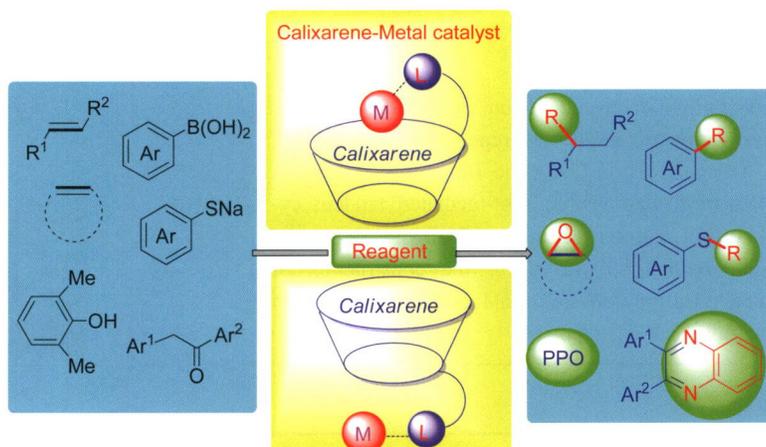
Progress in the Synthesis of Benzotheterocycles from 2-Halobenzamides



Yang, Kai; Liu, Meijuan; Zhang, Yu'na; Zhan, Jiaqi; Deng, Luxuan; Zheng, Xuejie; Zhou, Yongjun; Wang, Zhaoyang\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2175

General protocols to synthesize heterocycles from 2-halobenzamides have been summarized.

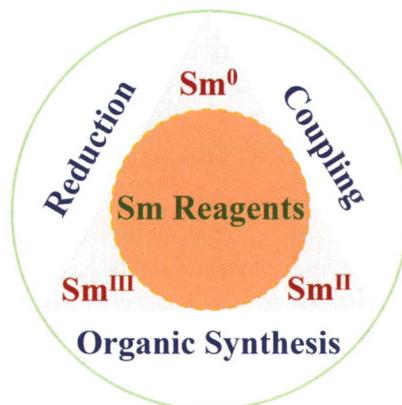
Calixarene Promoted Transition-Metal-Catalyzed Reactions



Ma, Zhiyan; Li, Yunjian; Sun, Xiao-Qiang; Yang, Ke\*; Li, Zheng-Yi\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2188

The recent progress in calixarene promoted transition-metal-catalyzed reactions is reviewed. Different types of transition metals (Rh, Pd, Mn, Cu or Ni) and calix[4, 6 or 8]arenes are discussed.

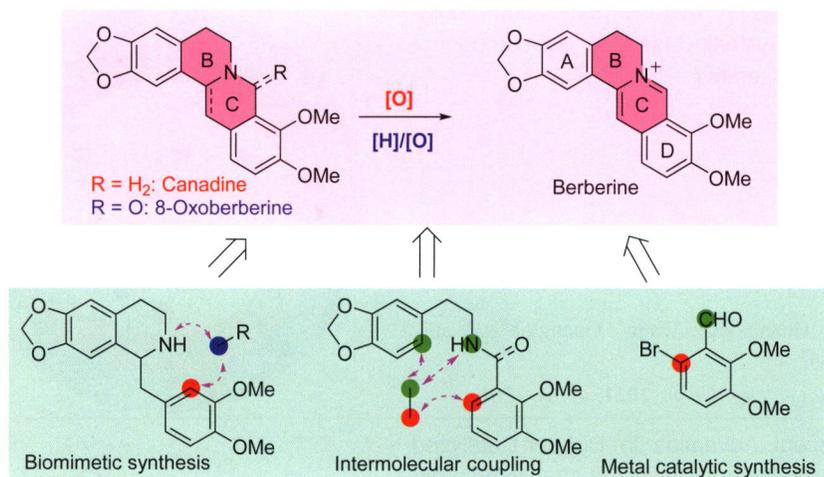
Recent Development of Samarium Diodide and Other Samarium Reagents in Organic Transformation



The reactions mediated by samarium reagents especially SmI<sub>2</sub> in latest five years are summarized. It mainly includes three parts: studies on the SmI<sub>2</sub> promoted coupling reactions, studies on the coupling reactions promoted by other samarium reagents (Sm, allylSmBr, SmI<sub>3</sub>, Sm(OTf)<sub>3</sub>, etc.), and studied on the samarium reagents promoted organic reduction reactions.

Liu, Chen; Qi, Yan\*; Liu, Yongjun\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2202

Studies on the Chemical Synthesis of  
Natural Drugs Berberine

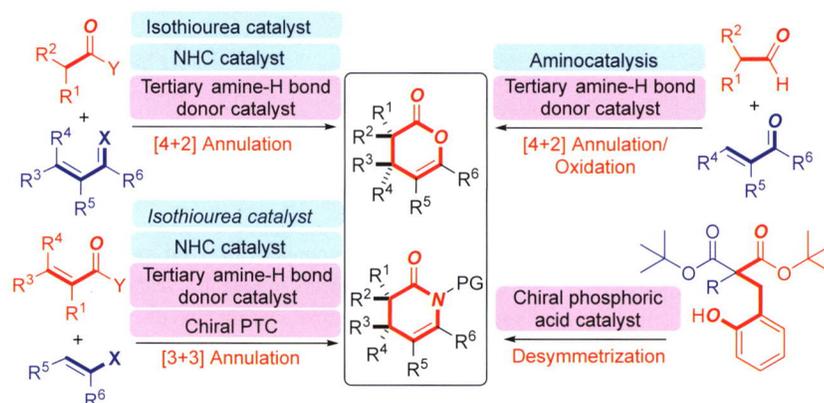


The studies on the chemical synthesis of natural drugs berberine are reviewed. Based on known general biosynthesis of berberine and Woodward's biosynthetic hypothesis of monoterpene indole alkaloids, a plausible biosynthetic pathway of berberine is proposed. The strategies of the total synthesis of berberine are mainly discussed. Finally, the future development of novel strategies is also prospected.

Yan, Xifei; Zheng, Jianfeng\*; Li, Wei-Dong Z.\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2217

Recent Advances in Organocatalytic  
Asymmetric Synthesis of 3,4-Dihydro-  
pyran-2-ones and 3,4-Dihydropyridin-2-  
ones

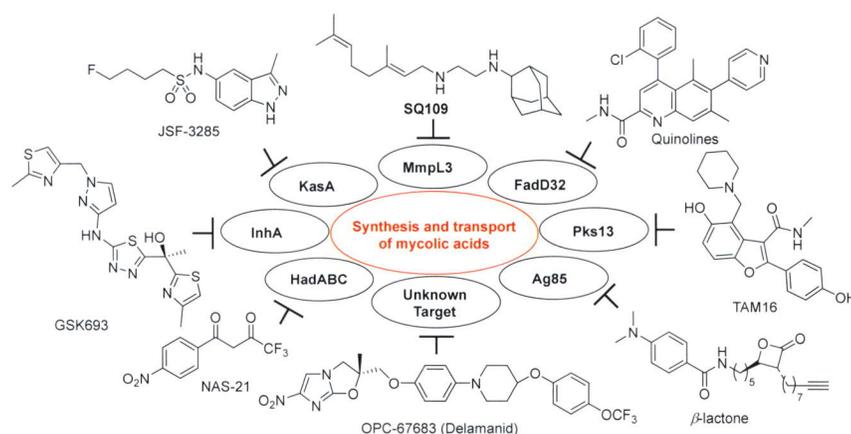


Di, Huiming; Liu, Yunting; Ma, Yanrong;  
Yang, Xinyue; Jin, Hui\*; Zhang, Lixin\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2228

The recent progress in organocatalytic asymmetric reactions for the synthesis of 3,4-dihydropyranones and 3,4-dihydropyridinones from 2003 to 2020 is summarized and discussed based on the different types of organocatalysts and reaction mechanisms.

Recent Advances in Antitubercular  
Compounds Targeting Mycolic Acid Bio-  
synthesis and Transport



This article reviews the important inhibitors targeting to the biosynthesis and transport pathways of mycolic acid, as well as the recent advances in the mechanism and pharmacokinetic properties *etc.*

Lü, Runqiu; Zhang, Wei; Yu, Lifang\*

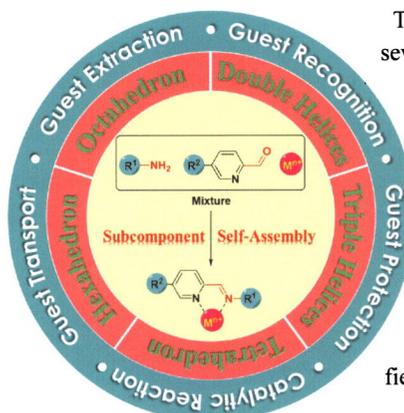
*Chin. J. Org. Chem.* **2021**, 41(6), 2249

# CONTENT

## Progress in Metal-Organic Supramolecular System Based on Subcomponent Self-Assembly

Ji, Changxing; Wang, Guangxia\*; Wang, Hua\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2261

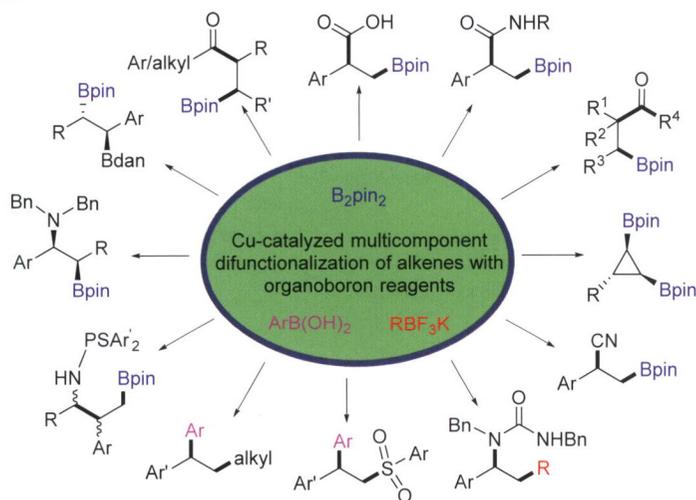


This review focuses on the introduction of several metal-organic supramolecular systems based on subcomponent self-assembly, including helical structures, tetrahedral structures, hexahedral structures and octahedral structures. The unique chemical microenvironment which is derived from the internal cavities of these supramolecular structures can be used for guest recognition, protection, catalysis and extraction. Finally, the future development of this field is prospected.

## Recent Advances in Copper-Catalyzed Boron-Reagent-Involved Multicomponent Difunctionalization of Alkenes

Yang, Chen; Jia, Xuefeng\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2280



The copper-catalyzed multicomponent difunctionalization of alkenes with organoboron reagents in recent 3 years is reviewed. The major challenges and development prospects of this fields are also discussed.

## ARTICLES

### Visible-Light-Catalyzed Tandem Cyanoalkylsulfonylation/Cyclization of Alkynes

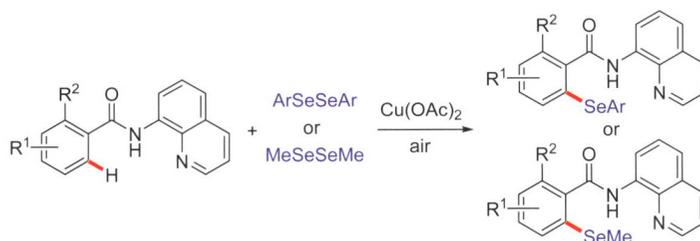


Liu, Yu; Chen, Zan; Chen, Pu; Xiong, Bi-quan; Xie, Jun\*; Liu, An\*; Liang, Yun; Tang, Kewen

*Chin. J. Org. Chem.* **2021**, 41(6), 2290

A transition-metal-free visible-light-mediated tandem cyanoalkylsulfonylation/cyclization of alkynes with cycloketone oxime derivatives for the construction of 2-cyanoalkylsulfonyl-9H-pyrrolo[1,2-a]indoles through the insertion of SO<sub>2</sub> is reported.

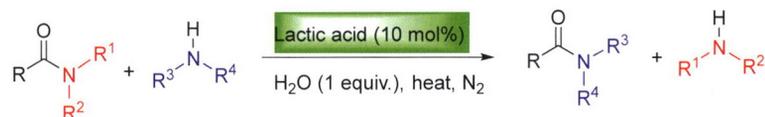
### Copper-Catalyzed Vicinal C(sp<sup>2</sup>)—H Selenylation of Benzoic Acid Derivatives Using Air as Oxidant



Sun, Mingyang; Xu, Kun; Guo, Bingbing; Zeng, Chengchu\*

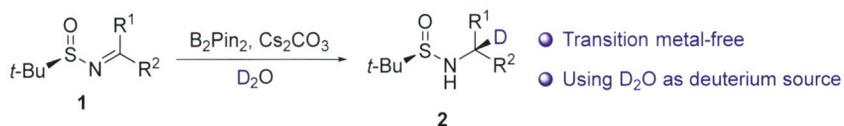
*Chin. J. Org. Chem.* **2021**, 41(6), 2302

An efficient copper-catalyzed vicinal C(sp<sup>2</sup>)—H selenylation of benzoic acid derivatives using diselenyl ether as selenyl resource, 8-aminoquinoline as the directing group, was reported.

Lactic Acid-Catalyzed Transamidation  
Reactions of Carboxamides with Amines

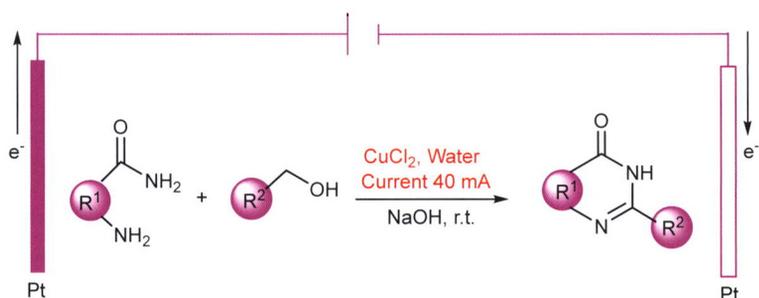
An environmentally benign protocol for the transamidation of carboxamides with amines using lactic acid as a green catalyst has been developed. The method has been successfully applied to the synthesis of a wide range of aromatic and aliphatic amides and ureas. The reaction has the advantages of the ready accessibility of the catalyst, solvent-free condition, efficient transformation, green processing.

Liu, Juyan\*; Zhao, Congying  
*Chin. J. Org. Chem.* **2021**, 41(6), 2310

Transition Metal-Free Deuteride Reduction  
of *N*-*tert*-Butanesulfinyl Ketimines  
Derivatives via B<sub>2</sub>pin<sub>2</sub>/D<sub>2</sub>O System

A transition metal-free deuterated reduction protocol of *N*-*tert*-butanesulfinyl ketimines with B<sub>2</sub>pin<sub>2</sub> has been developed. After screening of reaction parameters, such as base, solvent, and the amount of B<sub>2</sub>pin<sub>2</sub>, a series of deuterated secondary amines are obtained in reasonable yields with excellent deuterium purity by using D<sub>2</sub>O as the deuterium source.

Li, Linlin; Chen, Xiaoyu; Pei, Congcong; Li, Jingya; Zou, Dapeng\*; Wu, Yangjie\*; Wu, Yusheng\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2319

Electrochemistry-Enabled Copper-Catalyzed  
Oxidation of Benzyl Alcohols for the  
Preparation of Quinazolinones in Water

- Current
- Inexpensive metal catalyst
- In water phase

Wu, Mei; Yu, Ling; Hou, Huiqing; Chen, Houzheng; Zhuang, Qinglong; Zhou, Sunyong; Lin, Xiaoyan\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2326

Quinazolinone derivatives were synthesized by one-pot co-oxidation of benzyl alcohol with CuCl<sub>2</sub> and electric current in aqueous phase. The reaction provides an efficient protocol to a series of quinazolinones derivatives in good to high yields. This synthesis process features convenient operation and environmental friendliness,

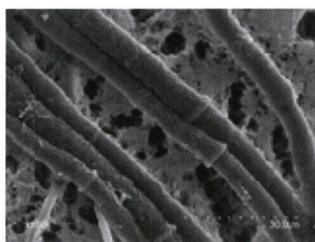
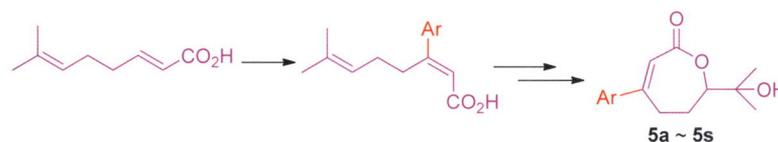
Synthesis of 3-(2-Aminoethyl)pyrroles  
Catalyzed by AlCl<sub>3</sub>

Bai, Bing\*; Xu, Fanglin; Yang, Jing; Zhang, Gaihong; Mao, Duobin; Wang, Ning\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2335

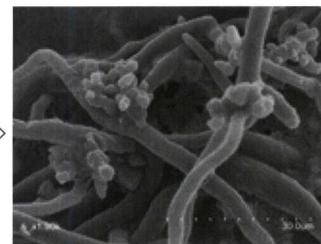
3-(2-Aminoethyl)pyrroles are important intermediates for the formation of the 6-azaindole skeleton. The electron withdrawing groups were introduced at the  $\alpha$ -position of pyrrole to form a positioning effect at the diagonal  $\beta$ -position. With anhydrous AlCl<sub>3</sub> as the catalyst, nitroalkenes could be added to the 3-position of pyrrole via Friedel-Crafts alkylation to obtain substituted 3-(2-aminoethyl)pyrroles.

# CONTENT

## Synthesis and Antifungal Activity of 3-Aryl-7-methyl-7-hydroxy-2-octen-6-olide



CK, the mycelium of *S. sclerotiorum*

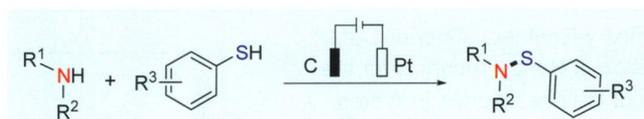


The mycelium treated by 5j

The synthesis of racemic and optical 3-aryl-7-methyl-7-hydroxy-2-octen-6-olide has been achieved via epoxidation-lactonization procedure and Sharpless asymmetric dihydroxylation as the key steps in 61%~91% yields, respectively. Their antifungal activities were evaluated and it showed that (*R*)-3-phenyl-7-methyl-7-hydroxy-2-octen-6-olide was the most active compound.

Wang, Weiwei; Zhao, Yu; Liu, Xinlei; Jiang, Jiazhen; Wang, Ming'an\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2343

## Electrochemically Mediated S—N Bond Formation: Synthesis of Sulfenamides

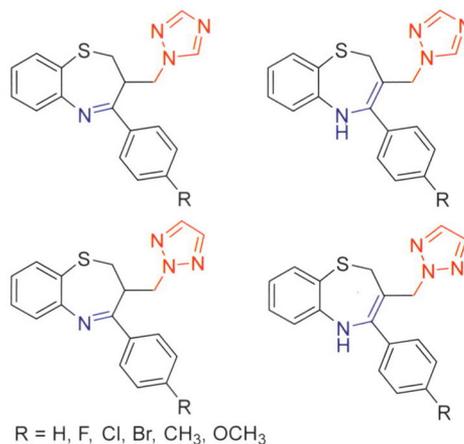


- metal-free
- oxidant-free
- broad scope
- easily available substrates
- environmental friendly

A series of sulfenamide compounds are synthesized by electrooxidation of thiophenols and amines. Oxidant-free and metal-free conditions are the striking features of the protocol. This method also featured broad substrate scope, ready availability of starting materials, operational simplicity and environmental friendly, which provides a new strategy for the simple and convenient synthesis of various sulfenamide derivatives.

He, Muxue; Cheng, Shiyan; Pan, Yongzhou; Tang, Haitao\*; Pan, Yingming\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2354

## Synthesis and Antimicrobial Activity of 1,5-Benzothiazepines Incorporated with Triazole Moiety

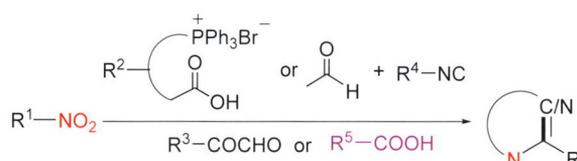


R = H, F, Cl, Br, CH<sub>3</sub>, OCH<sub>3</sub>

Four kinds of 1,5-benzothiazepines incorporated with triazole moiety: 2,3/2,5-dihydro-3-[(1*H*-1,2,4-triazol-1-yl)methyl]-4-aryl-1,5-benzothiazepines (**9a**~**9f**, **10a**~**10f**) and 2,3/2,5-dihydro-3-[(2*H*-1,2,3-triazol-2-yl)methyl]-4-aryl-1,5-benzothiazepines (**17a**~**17f**, **18a**~**18f**) were designed and synthesized. The antimicrobial activity test showed that **9a**~**9d** and **10a**~**10d** had moderate to high inhibitory effect on fungi and **9b**, **9c**, **10b** showed higher inhibition on *C. neoformans* than that of fluconazole.

Sun, Yujia; Wang, Ziwei; Wang, Yan; Xu, Tongxiu; Tian, Keqing; Zhang, Ping\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2361

Ugi Four-Component Reaction Based on the *in situ* Capture of Amines and Subsequent Modification Tandem Cyclization Reaction: "One-pot" Synthesis of Six- and Seven-Membered Heterocycles

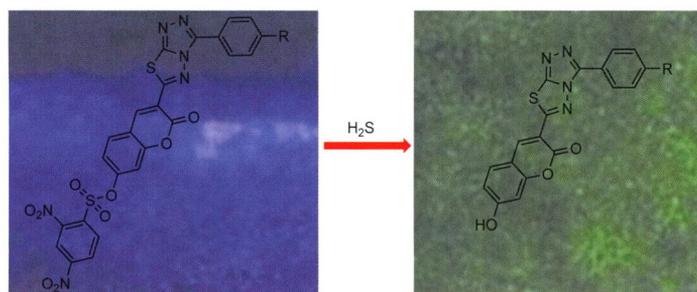


- Environmentally friendly
- Non-toxic and tasteless
- One-pot synthesis of six- and seven-membered heterocycles
- Cheap raw materials
- Simple process

Liu, Jinni; Xie, Yibi; Yang, Qingqing; Huang, Nianyu; Wang, Long\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2374

A tandem reaction strategy of Ugi-4CR based on *in situ* capture of amines and subsequent modification reaction was developed for the preparation of nitrogen-heterocycles.

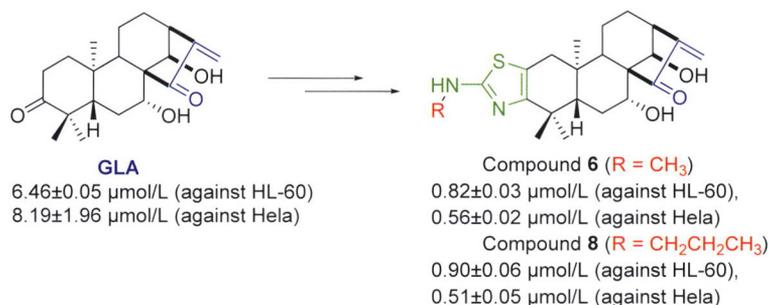
Synthesis and Application of Triazolothiadiazole-Coumarin Based Ratiometric Fluorescent Probes for Highly Selective Detection of H<sub>2</sub>S



Zhang, Chenglu\*; Zhang, Yanpeng; Wang, Huayu; Zhao, Huanying; Shang, Meitong; Zhang, Lu; Li, Xiangling; Wang, Yiming  
*Chin. J. Org. Chem.* **2021**, 41(6), 2384

Using triazolothiadiazole-coumarin system, ratiometric fluorescent probes (**4a**~**4c**) were developed for H<sub>2</sub>S detection. The use of **4a**~**4c** for applied in actual water samples was also demonstrated.

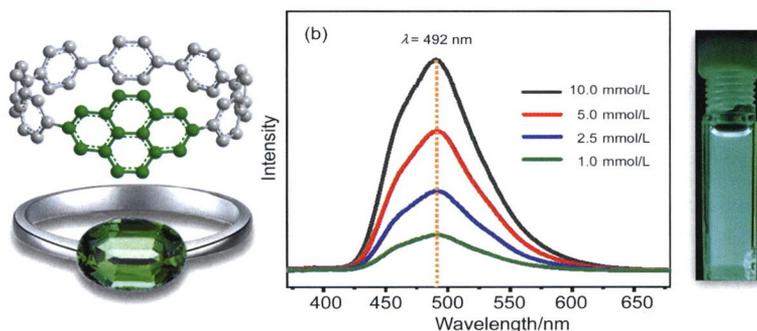
Design, Synthesis and Biological Evaluation of Novel Thiazole-Fused Glauco-calyxin A Derivatives



Zhang, Tao; Li, Nianxian; Zhou, Nanqian; Ma, Wen; Wei, Haiyuan; Zhang, Bingxin; Chen, Lianghai; Hai, Guangfan; Duan, Yingchao; Bai, Suping\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2393

A series of thiazole type derivatives based on glaucocalyxin A (GLA) were designed and prepared. Bioactivity studies against six tumor cell lines revealed that the introduction of aminothiazole substructures into A-ring of the GLA could improve the antiproliferative effects significantly.

A Conjugated Molecular Crown Containing a Single Pyrenyl Unit: Synthesis, Characterization, and Photophysical Properties

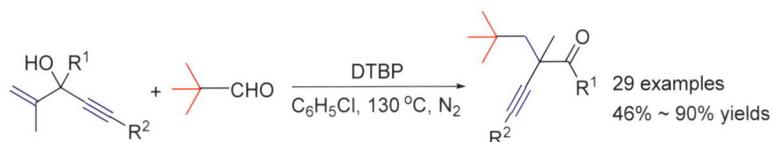


Li, Jingshi; Zhuang, Guilin; Huang, Qiang; Wang, Jinyi; Wu, Yayu; Du, Pingwu\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2401

A new macrocycle containing a single pyrenyl unit, cyclo[7]paraphenylene-2,7-pyrenylene ([7]CPPPy<sub>2,7</sub>) was achieved by Suzuki-Miyaura coupling and reductive aromatization. Several physical characterizations and density functional theory (DFT) calculations were carried out to analyze its photophysical properties.

# CONTENT

## Study on *tert*-Butyl Radical-Initiated 1,2-Alkynyl Migration



A new *tert*-butyl radical-induced 1,2-alkynyl migration reaction is reported. By using the characteristics of *in-situ*-generation of *tert*-butyl radical from pivalaldehyde mediated by di-*tert*-butyl peroxide (DTBP), *tert*-butyl radical-triggered addition and alkynyl migration of the preformed 1,4-enynes led to the synthesis of a series of  $\alpha$ -alkynyl ketones with good to excellent yields, thereby realizing alkylalkynylation of unactivated olefins.

Zhang, Ping; Zhang, Tianshu; Cai, Peijun\*;  
Jiang, Bo; Tu, Shujiang\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2408

## Long-Wavelength Fluorescent Probe for Naked Eye Recognition of $\text{HSO}_3^- / \text{SO}_3^{2-}$ in Aqueous Solution and Its Application

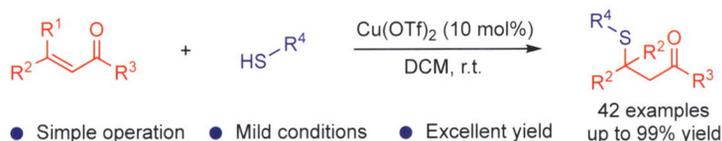


Wang, Chao; Wang, Xin; Zhong, Kelij; Hou, Shuhua; Yan, Xiaomei; Bian, Yanjiang\*;  
Tang, Lijun\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2417

3-Cyano-4-(3-formyl-4-hydroxystyryl)-5,5-dimethylfuran-2(5*H*)-alkylene(malononitrile) derivative CDM was synthesized. CDM can recognize  $\text{HSO}_3^- / \text{SO}_3^{2-}$  with the naked eye through the fluorescent signal "ON-OFF" in MeCN/Tris ( $V:V=2:8$ , pH=7.4) solution, and the color changes from pink to colorless.

## $\text{Cu}(\text{OTf})_2$ Catalyzed Conjugate Addition of Mercaptans to Enones

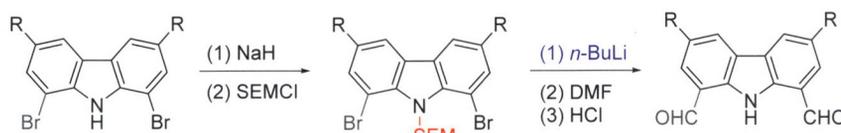


- Simple operation
- Mild conditions
- Excellent yield

Zhang, Tongfei; Chen, Yibo; Gao, Zhenbo\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2424

A new method for the synthesis of thioether was developed via copper-catalyzed conjugate addition of thiol and enone. 42 thioethers were synthesized in good to excellent yields. This approach features simple operation, mild conditions, and broad scope.

## Efficient Synthesis of 3,6-Dialkylcarbazole-1-formaldehyde and 3,6-Dialkylcarbazole-1,8-diformaldehyde

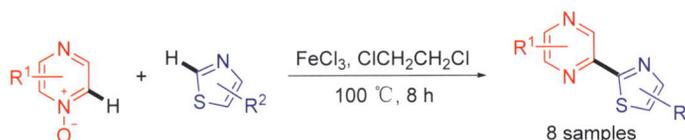


Zhao, Yu; Liu, Yang; Wang, Xinxin; Hong, Yingying; Man, Yingxiu; Wang, Jinjun\*;  
Li, Jiazhu\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2435

A safe and efficient method that is more suitable for large-scale preparation of 3,6-dialkylcarbazole-1-formaldehyde or 1,8-diformaldehyde was developed by using 2-(trimethylsilyl)ethoxymethyl chloride (SEMCl) to protect the 9-NH of bromocarbazole, and *n*-BuLi/DMF instead of *t*-BuLi/DMF for formylation.

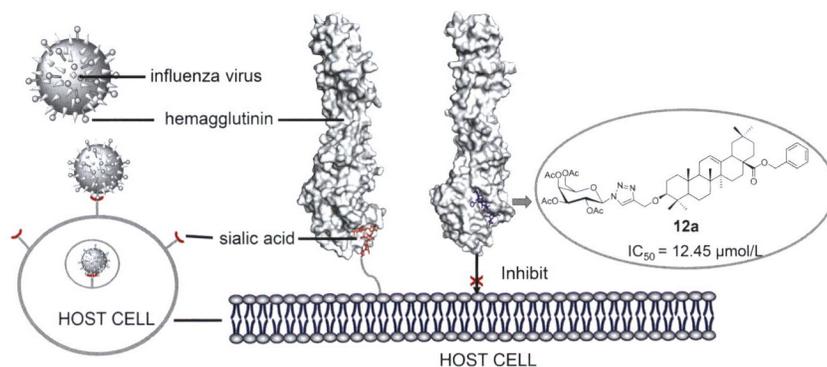
## Synthesis and Antioxidant Properties of Pyrazine-Thiazole Bi-heteroaryl Compounds



Zhang, Xiaoping\*;  
Jin, Guiyong; Chen, Zhifei; Wang, Qingfu; Zhao, Sensen; Wu, Zhiyong; Wan, Shuai; Xi, Gaolei\*;  
Zhao, Xu\*

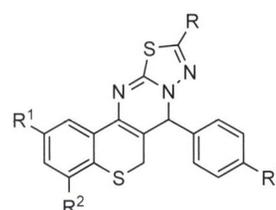
*Chin. J. Org. Chem.* **2021**, 41(6), 2445

Oxidative cross-dehydrogenative coupling reactions have been designed to synthesize pyrazine-thiazole bi-heteroaryl compounds. Antioxidant abilities of the obtained compounds were evaluated by inhibiting radicals induced oxidation of DNA and quenching radicals.

Design, Synthesis and Anti-influenza A  
Virus Evaluation of Oleanolic Acid  
C3-Glycoconjugates

Compound **12a** can bind to hemagglutinin (HA) protein on the surface of influenza virus membrane, inhibit the interaction between HA and sialic acid receptor, and prevent influenza virus from infecting host cells.

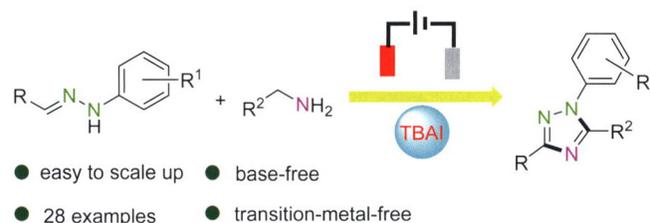
Shao, Liang; Yang, Fan; Li, Weijia; Yu, Fei\*  
*Chin. J. Org. Chem.* **2021**, *41*(6), 2454

Synthesis and Antifungal Activity of 7-  
Phenyl-6*H*,7*H*-1,3,4-thiadiazolo[3,2-*a*]thi-  
ochromeno[4,3-*d*]pyrimidine Compounds

Antifungal activity of **5d** ( $R = R^2 = R^3 = H$ ,  $R^1 = F$ )  
(MIC = 4  $\mu\text{g}\cdot\text{mL}^{-1}$  against *Trichophyton rubrum*)

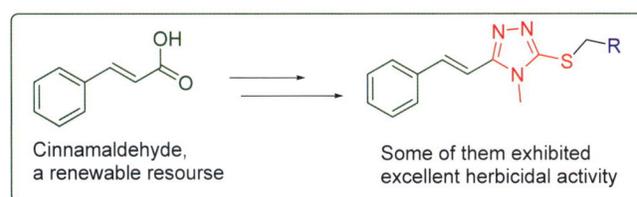
Dong, Jinjiao; Zhu, Xinyue; Feng, Siran;  
Zhang, Chaochao; Liu, Zhenming; Qiao,  
Xiaoqiang\*; Song, Yali\*  
*Chin. J. Org. Chem.* **2021**, *41*(6), 2467

According to the principle of active substructure splicing and bioisosterism, sixteen 7-phenyl-6*H*,7*H*-1,3,4-thiadiazolo[3,2-*a*]thiochromeno[4,3-*d*]pyrimidine compounds were designed and synthesized. The preliminary antifungal activity assay showed that compound **5d** was very sensitive to *Trichophyton rubrum*, and others exhibited a good antifungal activity *in vitro* on 3 animal superficial fungi and 4 plant pathogenic fungi.

Synthesis of 1,2,4-Triazoles via the Elec-  
trochemical Oxidative [3+2] Annulation

Zhao, Zhiheng; Li, Ming; Zhou, Yaqin; He,  
Yonghui; Zhang, Lizhu; Li, Ganpeng; Gu,  
Lijun\*  
*Chin. J. Org. Chem.* **2021**, *41*(6), 2476

An electrochemical dehydrogenative [3+2] annulation used for the synthesis of 1,2,4-triazoles from cheap and readily available amines and hydrazones was developed. Advantageously, this method proceeds in a transition-metal-, acid-, base- and external oxidant-free fashion to provide a variety of functionalized 1,2,4-triazoles.

Synthesis, Herbicidal Activity and Three-  
Dimensional Quantitative Structure-Acti-  
vity Relationship (3D-QSAR) Study of 4-  
Methyl-1,2,4-triazole-thioether Com-  
pounds Containing Natural Styrene Struc-  
ture

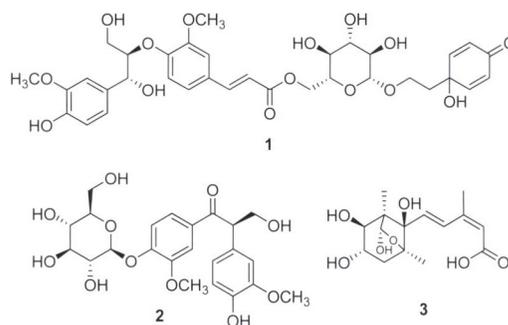
Li, Chengfei; Cen, Bo\*; Duan, Wengui\*;  
Lin, Guishan; Wang, Xiu; Li, Baoyu\*  
*Chin. J. Org. Chem.* **2021**, *41*(6), 2485

Twenty-six novel 4-methyl-1,2,4-triazole-thioether compounds containing natural styrene structure were designed and synthesized. Most of the target compounds were found to show good herbicidal activity against the root-growth of rape (*Brassica campestris*). Furthermore, a reasonable and effective 3D-QSAR model has been established.

# CONTENT

## NOTES

Three New Compounds from the Fruits of *Forsythia suspensa*



Zhang, Xu; Shao, Siyuan; Feng, Ziming; Jiang, Jianshuang; Yan, g, Ya'nan\*; Zhang, Peicheng\*

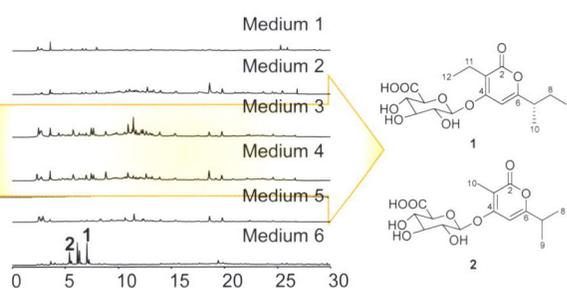
*Chin. J. Org. Chem.* **2021**, 41(6), 2496

Three new compounds including two phenylethanoid glycosides derivatives, forsyside A (1) and forsyside B (2), together with a sesquiterpene forsycic acid A (3) were isolated from the EtOH extract of the fruits of *Forsythia suspensa*.

Discovery of Germicidin Glucuronides from *Streptomyces* sp. LZ35



*Streptomyces* sp. SR111

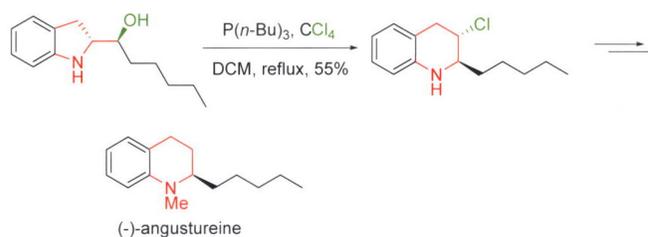


Shi, Haixia; Li, Yaoyao; Zhu, Jing; Wang, Haoxin\*; Shen, Yuemao

*Chin. J. Org. Chem.* **2021**, 41(6), 2502

Two new germicidin glucuronides, germicidin A-4-*O*- $\beta$ -D-glucuronide (1) and germicidin D-4-*O*- $\beta$ -D-glucuronide (2), were isolated from the metabolites of *Streptomyces* sp. LZ35 by screening of culture media. Remarkably, compounds 1 and 2 represent the first two germicidins with a glucuronic acid moiety.

Enantioselective Total Synthesis of (-)-Angustureine



Yu, Lulu; Ding, Qunshan; Song, Chuanjun\*; Chang, Junbiao\*

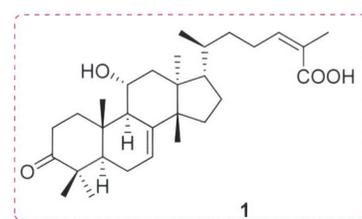
*Chin. J. Org. Chem.* **2021**, 41(6), 2507

A new method toward the enantioselective total synthesis of (-)-angustureine has been developed. The key step of the synthesis involves a rearrangement ring-expansion reaction of (*S*)-1-((*R*)-indolin-2-yl)-1-hexanol to build the tetrahydroquinoline structural motif.

A New Tirucallane Triterpenoid from *Galla chinensis*



*Galla chinensis*



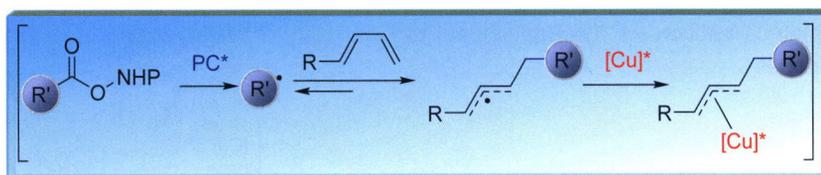
Li, Yuting; Tong, Xueli; Tian, Liwen\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2511

A new tirucallane triterpenoid, 11-hydroxylmasticadienonic acid (1), was isolated from the ethyl acetate extraction of *Galla chinensis*. Masticadienonic acid (3), isomasticadienonic acid (4) and masticadienolic acid (5) showed neuroprotective activity at a concentration of 1.6  $\mu\text{mol}\cdot\text{L}^{-1}$ .

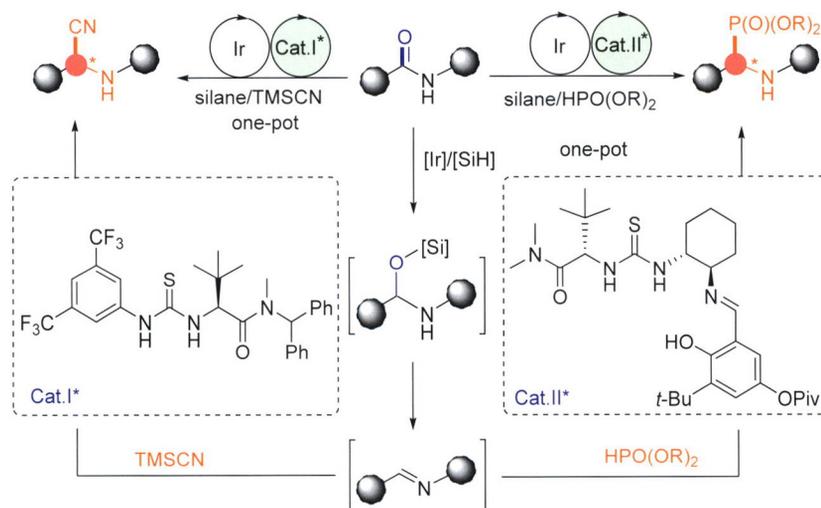
## HIGHLIGHTS

Enantioselective Carbocyanation of 1,3-Dienes by Dual Visible-Light Photoredox and Copper Catalysis



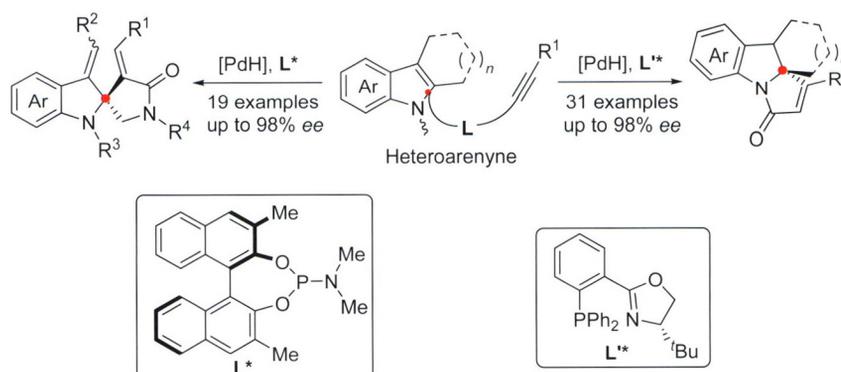
Guo, Xiaoning; Wu, Lizhu\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2515

Enantioselective Reductive Cyanation and Phosphonylation of Secondary Amides by Iridium and Chiral Thiourea Sequential Catalysis



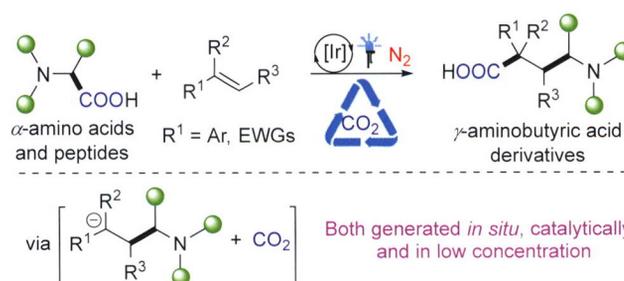
Li, Zhaokun; Wang, Xiaoming\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2517

Palladium-Catalyzed Enantioselective Heteroarenyne Cycloisomerization Reaction



Zhao, Ping; Luan, Xinjun\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2520

Visible-Light-Driven Decarboxylation of  $\alpha$ -Amino Acids/Peptides and *in-situ* Coupling with Alkenes to  $\gamma$ -Amino Acid Derivatives



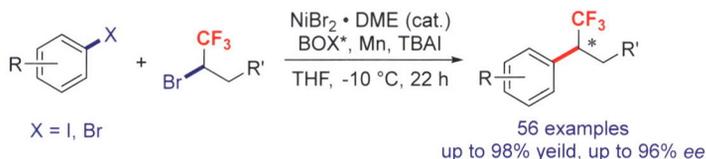
Zhao, Yanfei; Liu, Zhimin\*  
*Chin. J. Org. Chem.* **2021**, 41(6), 2522

# CONTENT

## Diverse Synthesis of Chiral Trifluoromethylated Alkanes via Nickel-Catalyzed Asymmetric Reductive Cross-Coupling Fluoroalkylation

Jiang, Xiaohuan; Tang, Pingping\*

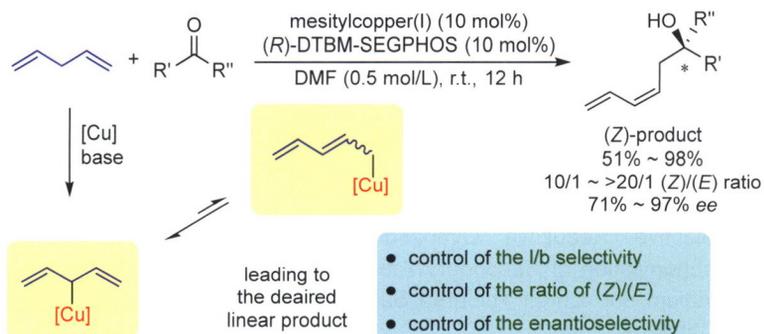
*Chin. J. Org. Chem.* **2021**, 41(6), 2525



## Copper(I)-Catalyzed Regioselective Asymmetric Addition of 1,4-Pentadiene to Ketones

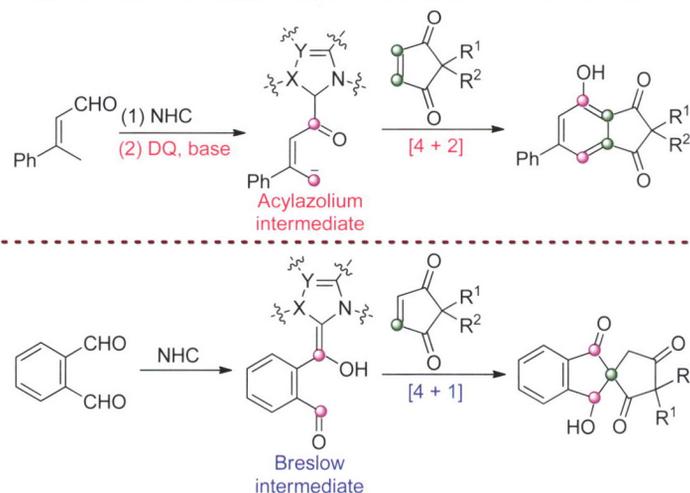
Gu, Xingwei; Xu, Liwen\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2528



## Mechanism and Selectivity of *N*-Heterocyclic Carbene-Catalyzed Desymmetrizing [4 + 1] and [4 + 2] Annulations

DFT calculations: mechanism, enantioselectivity and chemoselectivity



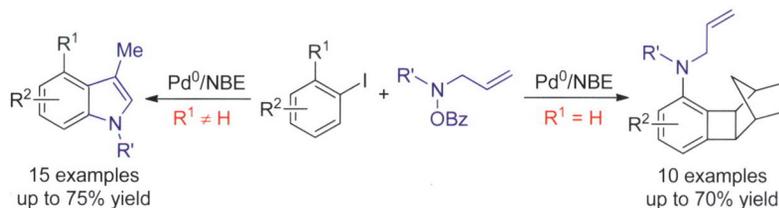
Zheng, Hanliang; Xue, Xiao-Song\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2530

## Synthesis of 3-Methyl Indoles via Catechani Reaction

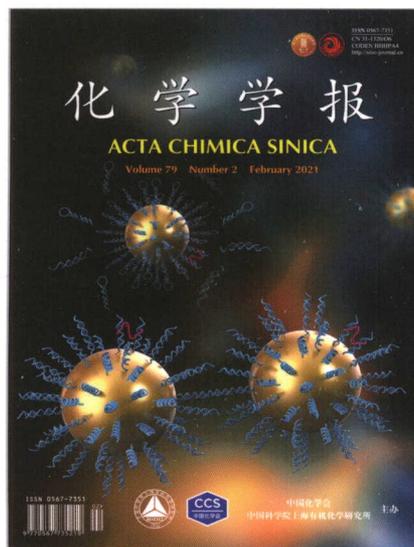
Yu, Rongrong; Fang, Xianjie\*

*Chin. J. Org. Chem.* **2021**, 41(6), 2532



Go Now!!

<http://sioc-journal.cn>



## 化学学报

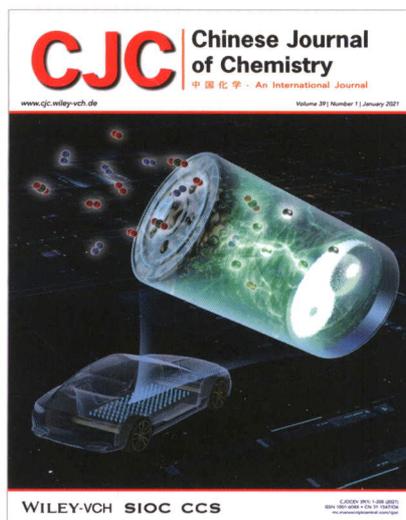
ACTA CHIMICA SINICA

主编：唐勇 院士

- SCI收录、中文核心、入选卓越计划
- 中国创刊最早的化学期刊(始于1933年)
- 中国最早被SCI收录的化学期刊
- 中国“百强科技期刊”
- SCI影响因子最高的中文期刊
- 免费审稿、免费发表
- 免费阅读、开放获取

Tel.: +86-21-54925242

E-mail: [hxxb@sioc.ac.cn](mailto:hxxb@sioc.ac.cn)



## CHINESE JOURNAL OF CHEMISTRY

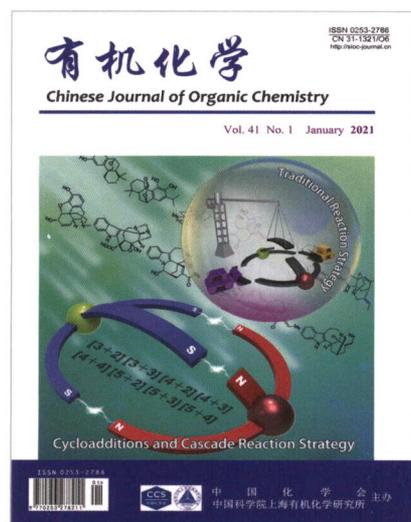
中国化学

主编：麻生明 院士

- SCI收录、入选卓越计划
- 1983年创刊(原名 *Acta Chimica Sinica English Edition*)
- 与Wiley-VCH合作出版
- 免费审稿、免费发表

Tel.: +86-21-54925243-27

E-mail: [cjc@sioc.ac.cn](mailto:cjc@sioc.ac.cn)



## 有机化学

Chinese Journal of Organic Chemistry

主编：丁奎岭 院士

- SCI收录、中文核心
- 1980年创刊
- 全面覆盖有机化学领域
- 设有研究专题、综述与进展、研究论文、研究简报、亮点介绍等栏目
- 免费阅读、开放获取

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

Tel.: +86-21-54925244-28

E-mail: [yjhx@sioc.ac.cn](mailto:yjhx@sioc.ac.cn)