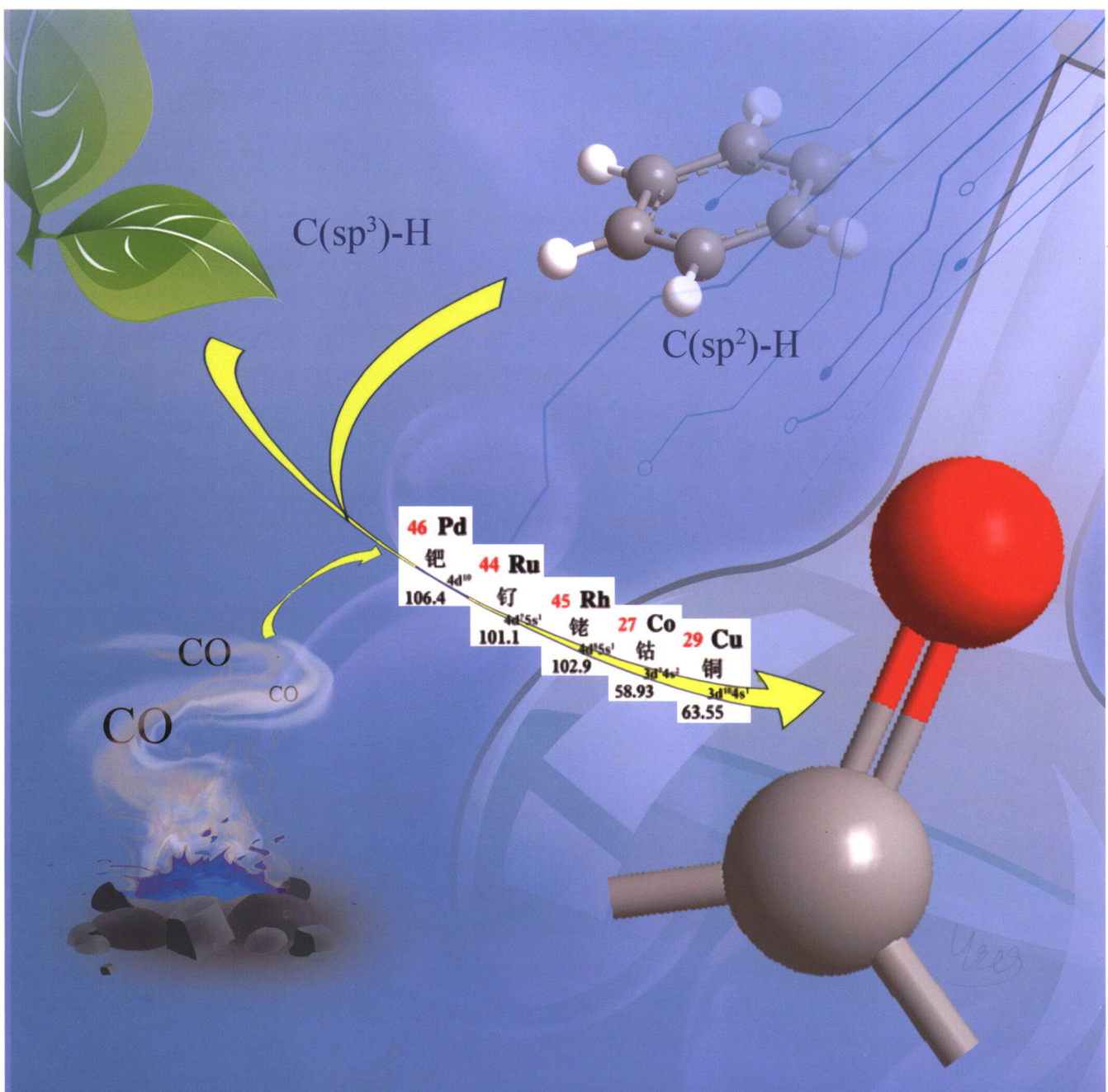
ISSN 0253-2786
CN 31-1321/O6
http://...al.cn
QK2126893

有机化学

Chinese Journal of Organic Chemistry

Vol. 41 No. 6 June 2021



ISSN 0253-2786
06>

中国化学会
中国科学院上海有机化学研究所 主办

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

研究论文

* 通讯联系人.

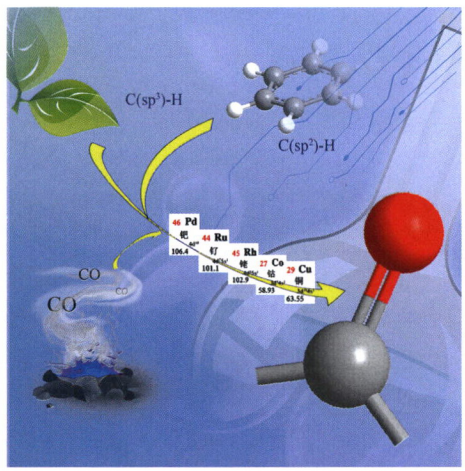
研究简报

亮点述评

Chinese Journal of Organic Chemistry

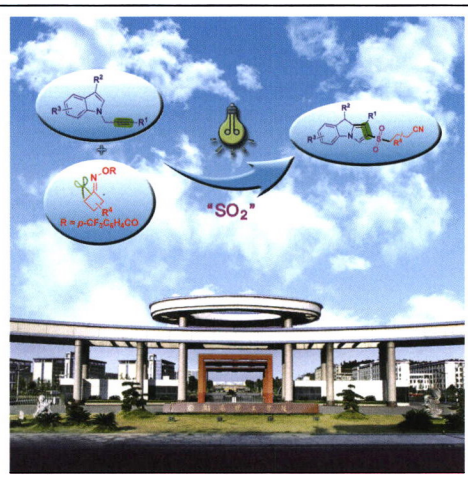
Vol. 41 No. 6 June 2021

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^2)—H and C(sp^3)—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.

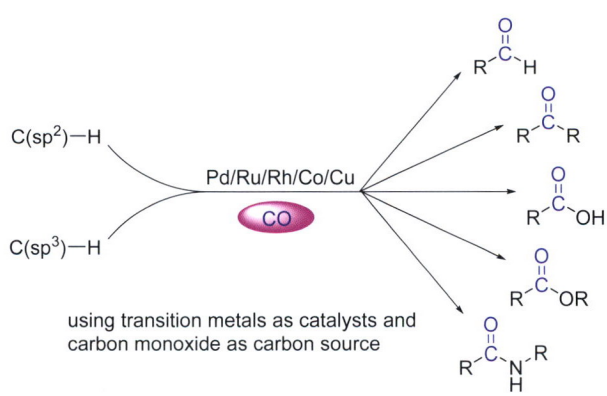
Inside Cover: Visible-Light-Catalyzed Tandem Cyanoalkylsulfonylation/Cyclization of Alkynes



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-9*H*-pyrrolo[1,2-*a*]indoles through the insertion of SO_2 is reported.

REVIEWS

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

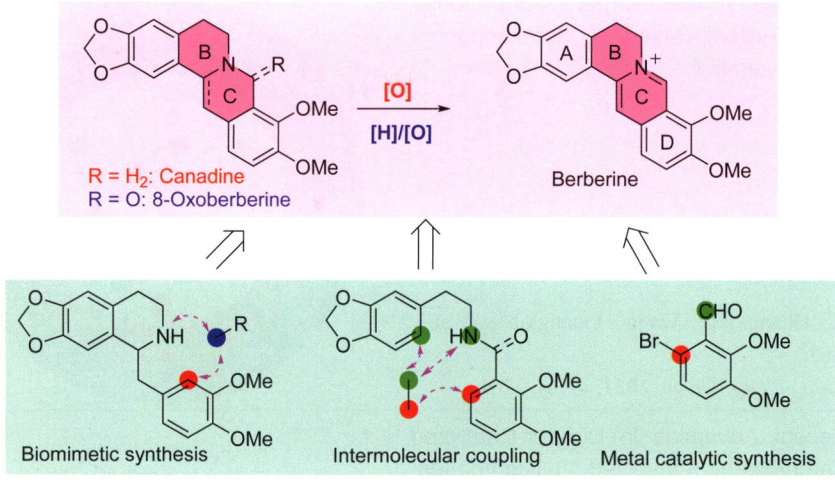


Wu, Zechen; Cheng, Cang; Zhang, Yanghui*
Chin. J. Org. Chem. **2021**, *41*(6), 2155

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

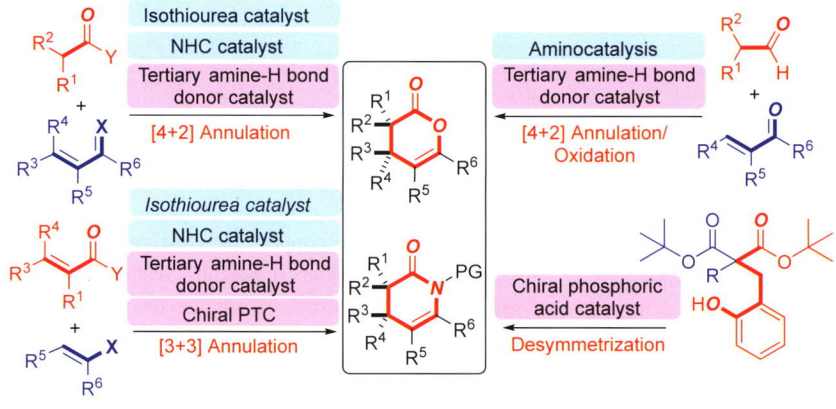
Studies on the Chemical Synthesis of Natural Drugs Berberine



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

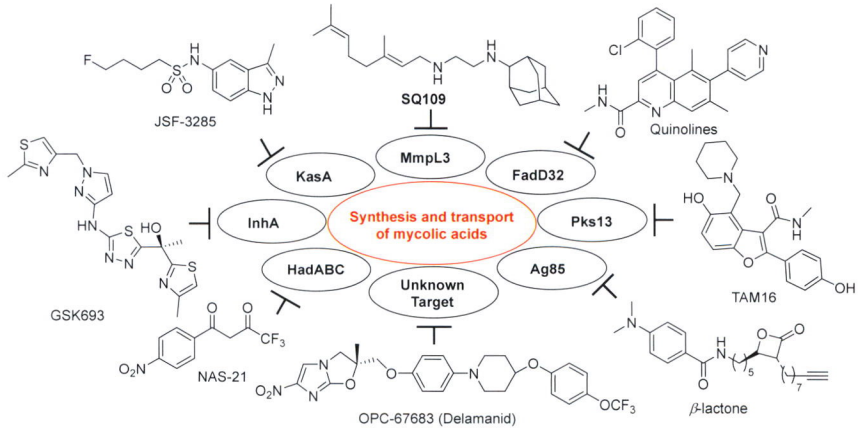
Recent Advances in Organocatalytic Asymmetric Synthesis of 3,4-Dihydropyran-2-ones and 3,4-Dihydropyridin-2-ones



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.

Di, Huiming; Liu, Yunting; Ma, Yanrong; Yang, Xinyue; Jin, Hui*; Zhang, Lixin*
Chin. J. Org. Chem. **2021**, *41*(6), 2228

Recent Advances in Antitubercular Compounds Targeting Mycolic Acid Biosynthesis and Transport



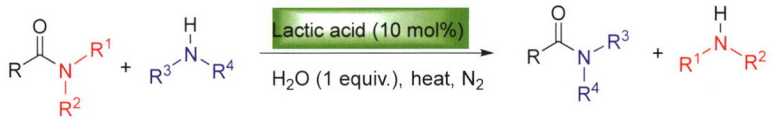
This article reviews the important inhibitors targeting to the biosynthesis and transport pathways of mycolic acid, as well as the recent advances in the mechanism and pharmacokinetic properties *etc.*

Lü, Runqiu; Zhang, Wei; Yu, Lifang*
Chin. J. Org. Chem. **2021**, *41*(6), 2249

CONTENT

ARTICLES

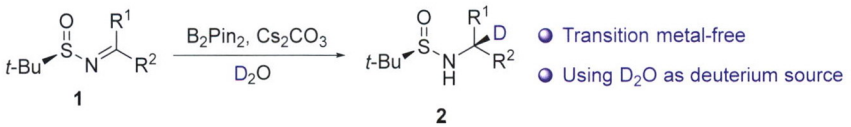
Lactic Acid-Catalyzed Transamidation Reactions of Carboxamides with Amines



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_2pin_2/D_2O System

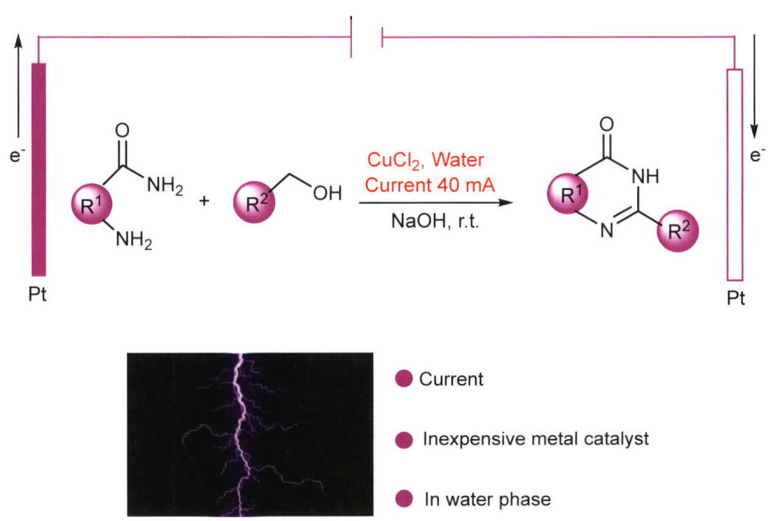


1 2

A transition metal-free deuterated reduction protocol of *N-tert*-butanesulfinyl ketimines with B_2pin_2 has been developed. After screening of reaction parameters, such as base, solvent, and the amount of B_2pin_2, a series of deuterated secondary amines are obtained in reasonable yields with excellent deuterium purity by using D_2O 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

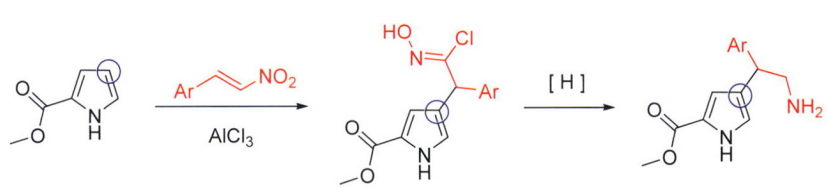
Electrochemistry-Enabled Copper-Catalyzed Oxidation of Benzyl Alcohols for the Preparation of Quinazolinones in Water



Quinazolinone derivatives were synthesized by one-pot co-oxidation of benzyl alcohol with $CuCl_2$ 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,

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

Synthesis of 3-(2-Aminoethyl)pyrroles Catalyzed by $AlCl_3$

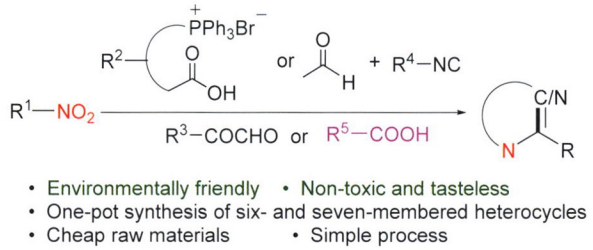


3-(2-Aminoethyl)pyrroles are important intermediates for the formation of the 6- azaindole skeleton. The electron withdrawing groups were introduced at the α-position of pyrrole to form a positioning effect at the diagonal β-position. With anhydrous $AlCl_3$ as the catalyst, nitroalkenes could be added to the 3-position of pyrrole via Friedel- Crafts alkylation to obtain substituted 3-(2-aminoethyl)pyrroles.

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

CONTENT

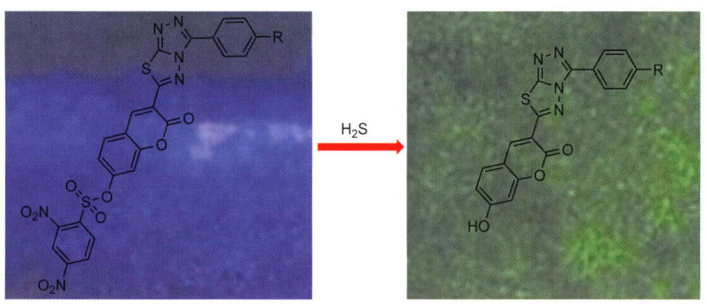
Ugi Four-Component Reaction Based on the *in situ* Capture of Amines and Subsequent Modification Tandem Cyclization Reaction: "One-pot" Synthesis of Six- and Seven-Membered Heterocycles



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.

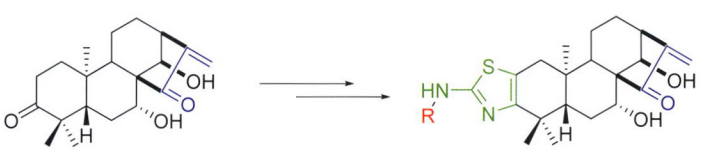
Synthesis and Application of Triazolothiadiazole-Coumarin Based Ratiometric Fluorescent Probes for Highly Selective Detection of H_2S



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_2S detection. The use of **4a**～**4c** for applied in actual water samples was also demonstrated.

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



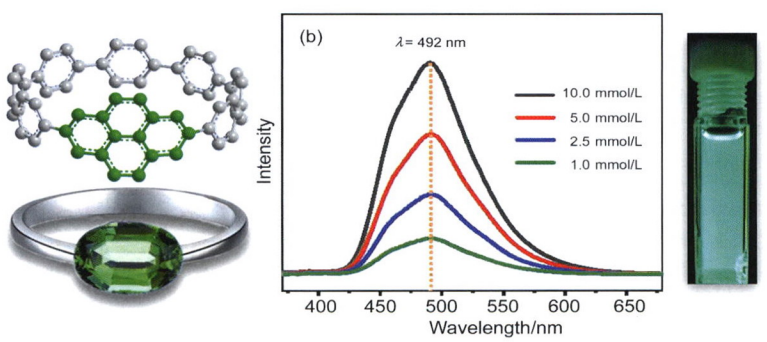
GLA
6.46±0.05 μmol/L (against HL-60)
8.19±1.96 μmol/L (against Hela)

Compound **6** (R = CH_3)
0.82±0.03 μmol/L (against HL-60),
0.56±0.02 μmol/L (against Hela)
Compound **8** (R = $CH_2CH_2CH_3$)
0.90±0.06 μmol/L (against HL-60),
0.51±0.05 μmol/L (against Hela)

Zhang, Tao; Li, Nianxian; Zhou, Nanqian; Ma, Wen; Wei, Haiyuan; Zhang, Bingxin; Chen, Lianghui; 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

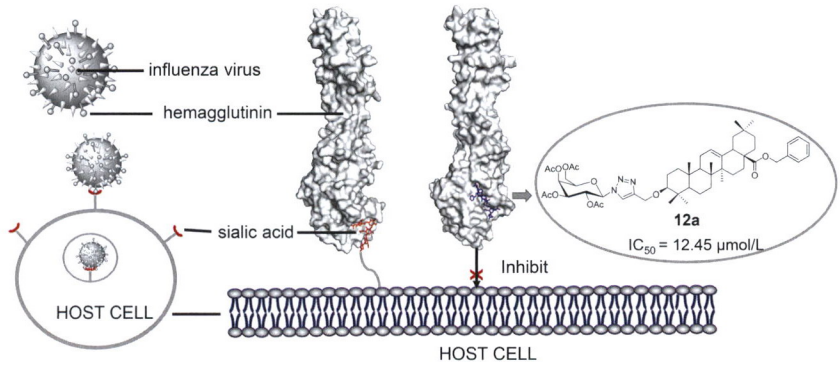


Li, Jingshi; Zhuang, Guilin; Huang, Qiang; Wang, Jinyi; Wu, Yayu; Du, Pingwu*
Chin. J. Org. Chem. **2021**, *41*(6), 2401

A new macrocycle containing a single pyrenyl unit, cyclo[7]paraphenylene-2,7-pyrenylene ([7]CPPP$y_{2,7}$) was achieved by Suzuki-Miyanra coupling and reductive aromatization. Several physical characterizations and density functional theory (DFT) calculations were carried out to analyze its photophysical properties.

CONTENT

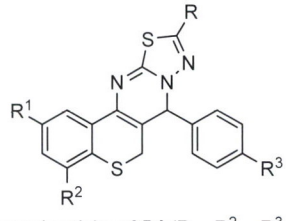
Design, Synthesis and Anti-influenza A Virus Evaluation of Oleanolic Acid C3-Glycoconjugates



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*]thiochromeno[4,3-*d*]pyrimidine Compounds

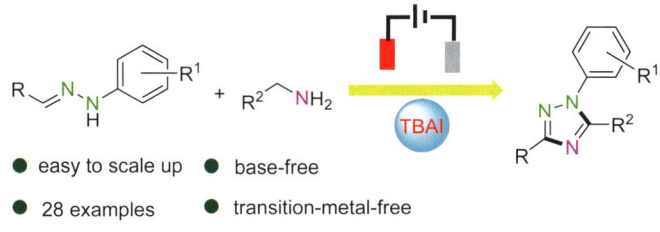


Antifungal activity of **5d** (R = R^2 = R^3 = H, R^1 = F)
(MIC = 4 μg·mL^{-1} against *Trichophyton rubrum*)

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.

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

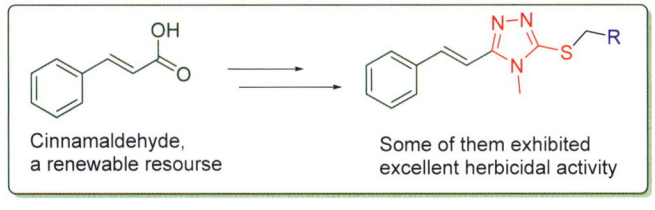
Synthesis of 1,2,4-Triazoles via the Electrochemical Oxidative [3+2] Annulation



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.

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

Synthesis, Herbicidal Activity and Three-Dimensional Quantitative Structure-Activity Relationship (3D-QSAR) Study of 4-Methyl-1,2,4-triazole-thioether Compounds Containing Natural Styrene Structure



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.

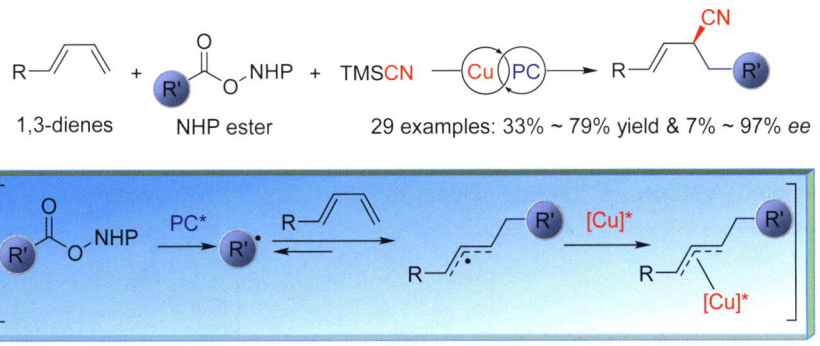
Li, Chengfei; Cen, Bo*; Duan, Wengui*; Lin, Guishan; Wang, Xiu; Li, Baoyu*
Chin. J. Org. Chem. **2021**, *41*(6), 2485

CONTENT

NOTES

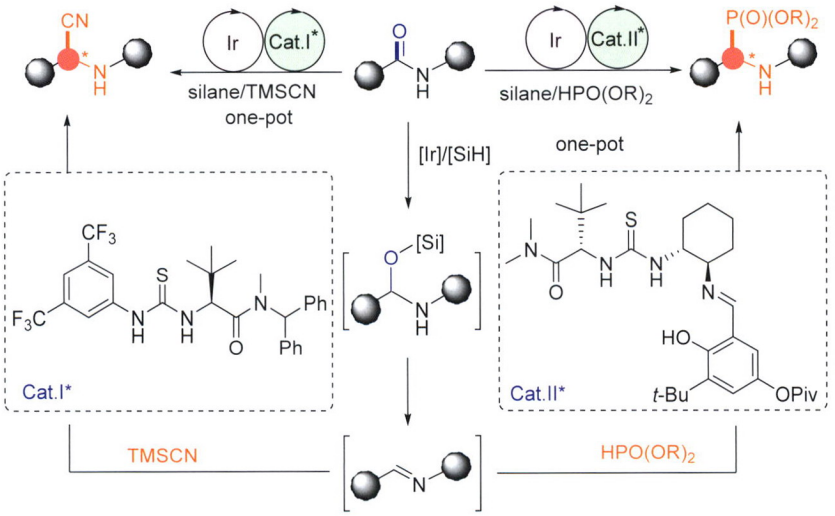
HIGHLIGHTS

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



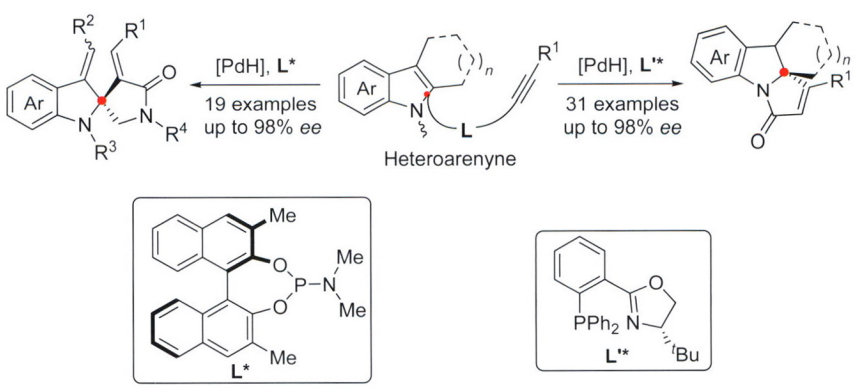
Guo, Xiaoning; Wu, Lizhu*
Chin. J. Org. Chem. **2021**, *41*(6), 2515

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



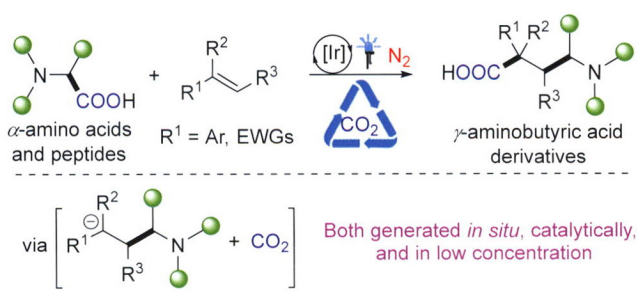
Li, Zhaokun; Wang, Xiaoming*
Chin. J. Org. Chem. **2021**, *41*(6), 2517

Palladium-Catalyzed Enantioselective Heteroarenyne Cycloisomerization Reaction



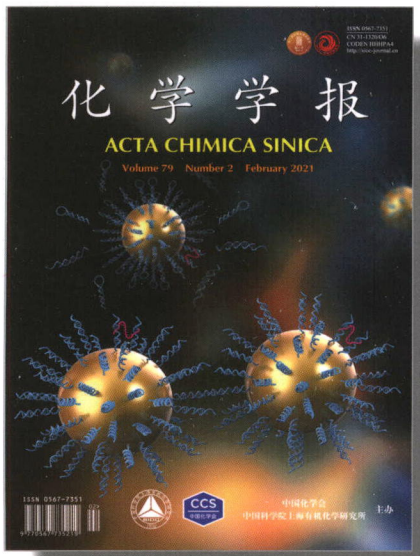
Zhao, Ping; Luan, Xinjun*
Chin. J. Org. Chem. **2021**, *41*(6), 2520

Visible-Light-Driven Decarboxylation of α-Amino Acids/Peptides and *in-situ* Coupling with Alkenes to γ-Amino Acid Derivatives



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

CONTENT

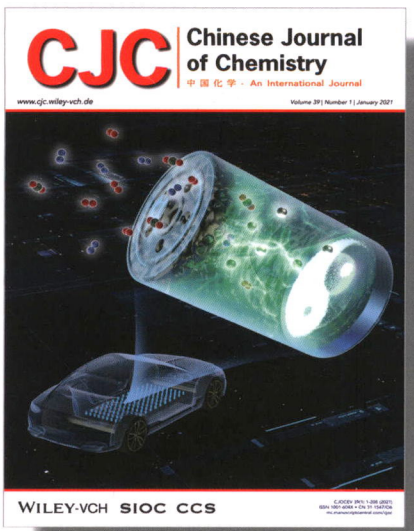


化学学报
ACTA CHIMICA SINICA

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

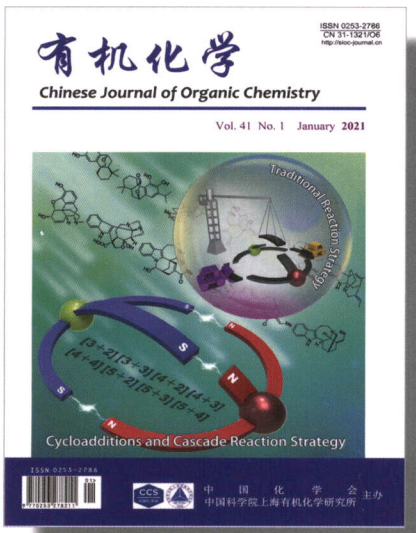


CHINESE JOURNAL OF CHEMISTRY
中国化学

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



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

Tel.: +86-21-54925244-28
E-mail: yjhx@sioc.ac.cn

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