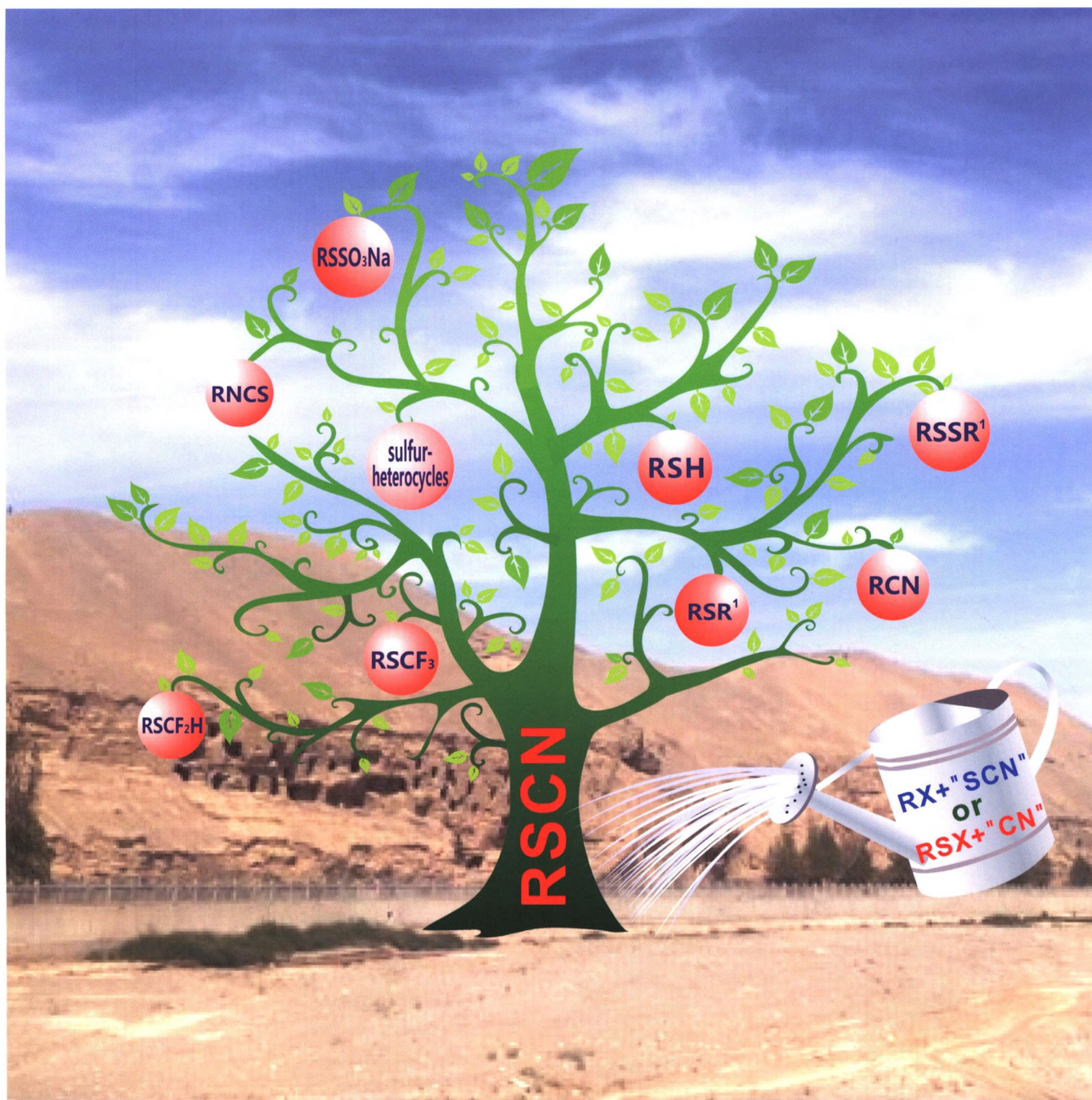


# 有机化学

Chinese Journal of Organic Chemistry

QK1905123  
http://sioo-journal.cn

第 39 卷 第 2 期 Vol. 39 No. 2 2019



ISSN 0253-2786



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 39 卷 第 2 期 (总 363 期) 2019 年 2 月\*

## 目 次

### 综述与进展

- 硫氰酸酯类化合物的合成及其应用研究进展 ..... 徐 庆 张连阳 冯高峰 金城安\* (287)
- 过渡金属催化联烯胺环化反应进展 ..... 耿佃国\* (301)
- 过渡金属催化导向基团辅助的惰性 C—H 键硝化反应研究进展 ..... 程辉成 林锦龙 张耀丰 陈 冰 王 敏 程丽华 马姣丽\* (318)
- 环二肽合酶生物合成途径研究进展 ..... 张京星 姚婷婷 刘 晶 李花月 李文利\* (328)
- 羰基还原酶在动态动力学拆分中的应用进展 ..... 倪国伟 汤佳伟 邹 杰 陈少欣 鞠佃文\* 张福利\* (339)
- 镍催化还原偶联反应构筑 C(sp<sup>2</sup>)-C(sp<sup>2</sup>)/C(sp<sup>2</sup>)-C(sp<sup>3</sup>) 键的研究进展 ..... 李娅琼 范玉航 贾乾发\* (350)
- 喹啉类化合物的合成研究进展 ..... 苏 琳 侯 卫\* (363)

### 研究论文

- 多组分反应合成紫杉醇侧链及其在合成紫杉醇衍生物中的应用 ..... 盛家骏 于雅楠 王 信 钱 宇 符立梧 赵 芸 马明亮\* 胡文浩\* (377)
- 从 D-半乳糖或 L-阿拉伯糖合成叠氮鞘氨醇 ..... 高阳光\* 曹 周 韩忠享 张 强 胡 杰 郭 锐 贺贤然 丁 菲 尤庆亮 张勇民\* (390)
- 苯基吡唑氧基丙酸衍生物的设计、合成及其对水稻纹枯病的杀菌活性 ..... 于福强 关爱莹\* 孙旭峰 李慧超 李小武\* (397)
- 基于新型萘环耦合硼氟二吡咯化合物(BODIPY)的氟离子荧光探针及其细胞成像研究 ..... 周建平 吴保庚 周志宽\* 田蒋为\* 袁爱华\* (406)
- 灯盏花乙素半合成柳穿鱼叶苷研究 ..... 颜世强 谢明现 王玉杰 李英霞\* (412)
- 新型 Pyrabactin 类似物的设计、合成及种子萌发抑制活性 ..... 车传亮 胡益敏 丁珊珊 肖玉梅 李佳奇 覃北海\* (419)
- N,N-二(2-吡啶甲基)胺基三聚茛衍生物的合成及对铜离子、镍离子的选择性识别 ..... 朱阳明 王忠龙 杨 剑 徐 徐 王石发 蔡正春 徐海军\* (427)
- N,N-二甲基甲酰胺二烷基缩醛对含 N—H 化合物的 N-烷基化反应 ..... 赵 辉 朱孝云 胡小霞 刘延革 唐春雷\* 冯柏年\* (434)

\* 通讯联系人。

手性咪唑氨基醇的合成及其在铜催化不对称 Henry 反应中的应用 .....	毛 璞* 杨亮茹* 肖咏梅 袁金伟 买文鹏 高 杰 张心持	(443)
含有吡啶并咪唑基团的热激发延迟荧光双极性主体材料的设计、合成及应用 .....	叶中华 杨佳丽 凌志天 赵 艺 陈 果 郑燕琼 魏 斌* 施 鹰*	(449)
基于钯纳米粒子催化分子内 Heck 反应合成 3-取代苯并咪唑衍生物的研究 .....	黄 锦 付荣辉 敬林海 秦大斌 黄 昆 汪 伟*	(456)
通过硝基苯与磺酰氯水相反应直接合成磺酰胺 .....	岳会兰 鲍鹏丽 王雷雷 吕晚霞 杨道山 王 桦 魏 伟*	(463)
一种溶酶体靶向双光子亚硝酰氢荧光探针的合成及细胞成像研究 .....	王晓芬 魏 超* 李雪艳 郑雪阳 耿晓维 张平竹 李小六*	(469)
钯催化的肉桂基碳酸酯化合物与酰脲的烯丙基胺化反应 .....	刘澜涛* 陈莹莹 张安安 刘 雪 张 丽 白静茹 李 恒 毛国梁*	(475)
Pd/1,3-双(二苯基膦)丙烷催化苯并噁唑 C-2 位直接芳基化反应的研究 .....	汪洋点点 余晓军 付海燕 郑学丽 陈 华 李瑞祥*	(482)
新型含咪唑环酰脲衍生物的合成及 Cdc25B/PTP1B 抑制活性评价 .....	李英俊* 王思远 靳 焜 高立信 盛 丽 张 楠 刘季红 李 佳*	(491)
8-氨基喹啉类铜离子螯合剂的合成及螯合选择性研究 .....	黄达涯 李佑智 刘 艳* Bernard Meunier*	(500)
海绵放线菌 <i>Nocardopsis dassonvillei</i> OUCMDZ-4534 的活性天然产物 .....	刘海珊 朱国良 赵水鸽 付 鹏 朱伟明*	(507)

## 研究简报

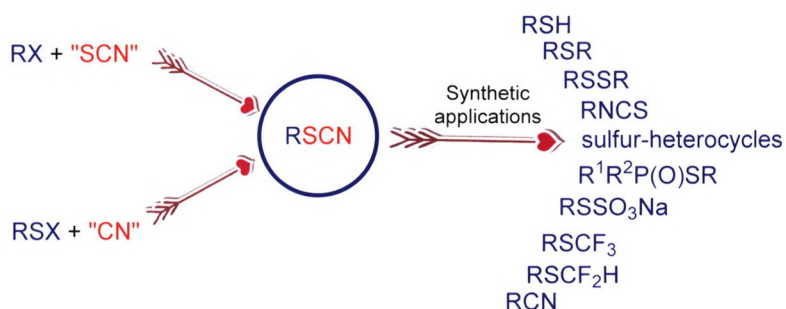
烯基叠氮与二异丙基黄原酸酯的自由基串联反应: 合成 6-巯甲基菲啶 .....	陆露露 周丙伟 金红卫* 刘运奎*	(515)
聚乙二醇接枝 4-二甲氨基吡啶功能化离子液体催化合成吡啶并[1,2- <i>b</i> ]呋喃-5,10-二酮 .....	王英磊* 万子娟 罗 军	(521)
可见光催化合成 6 <i>H</i> -苯并[ <i>c</i> ]苯并吡喃类化合物 .....	白其凡 何静耀 祝小青 冯高峰 金城安*	(527)
酸酐诱导的 2-(1-羟基-1-苯烷基)苯酚一步合成邻酰氧基二芳基烯烃 .....	吕雯雯 贺信淳 施 敏 王飞军*	(532)
聚乙二醇 200 溶剂中镍催化合成喹啉酮衍生物 .....	许贻文 张 鹏 刘彩琴 林 晨 林小燕 柯 方*	(538)
微波辅助 $\alpha$ -重氮酯类化合物的快速合成 .....	易享炎 张志朋 黄 和 Jonathan B. Baell 于 杨* 黄 菲*	(544)
快速溶剂交换法制备疏水性二氧化硅气凝胶及其负载有机荧光探针的应用研究 .....	王亚飞 张 涛 郭旭东 胡 睿 王双青* 杨国强*	(550)
三氟甲烷磺酸钨催化醇对邻亚甲基苯醌的氧杂迈克尔加成反应 .....	张 硕 彭 丹 赵 宁 于一涛 王 峰 刘海龙 伊 港*	(555)
7,4'-二甲氧基洋芹素-5- <i>O</i> -葡萄糖苷的高效合成 .....	颜世强 李英霞*	(561)
CuCl <sub>2</sub> 催化“一锅法”合成 2-苄基-3,4-二氢异喹啉-1-酮类衍生物 .....	付 超 樊彦霞 孙启辉 易维银* 易封萍*	(566)
亮点介绍 .....		(571)

### On the Cover

Thiocyanates (RSCN) are very useful and important chemical intermediates to access valuable sulfur-containing compounds. Different preparation and transformation about this class of compounds have achieved great a advance. The recent progresses on the synthesis and synthetic applications of thiocyanates are summarized by Xu, Zhang, Feng and Jin on page 287, which may provide assistance for the studies of thiocyanates in this area.

### REVIEWS

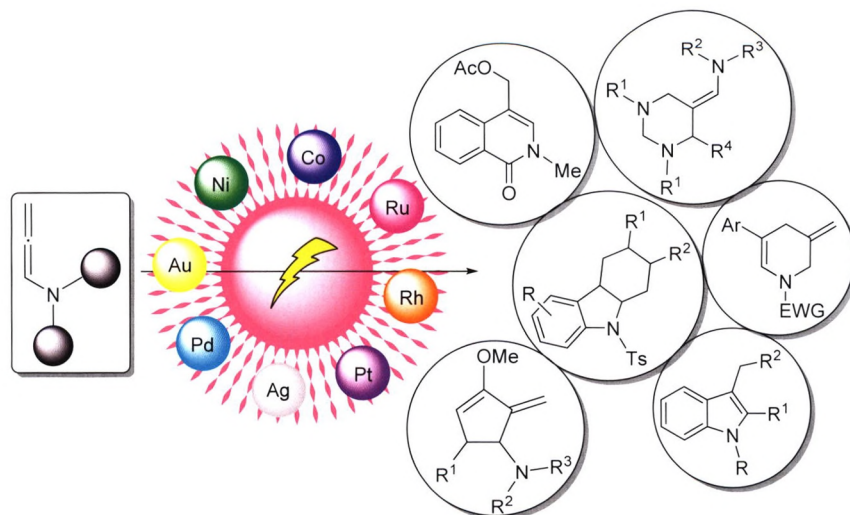
#### Progress on the Synthesis and Applications of Thiocyanates



Thiocyanates (RSCN) are important synthetic intermediates, which have been widely used for the synthesis of pesticides, medicines and materials. In recent years, great advances in the synthesis and transformations of this class of compounds have been made, and many synthetic applications of those compounds have been emerged. In this review, the synthetic methods and transformations of thiocyanates are introduced systematically, which may provide assistance for the studies of thiocyanates in this area.

Xu, Qing; Zhang, Lianyang; Feng, Gaofeng; Jin, Cheng'an\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 287

#### Recent Advances on Transition-Metal-Catalyzed Allenamides Cyclization

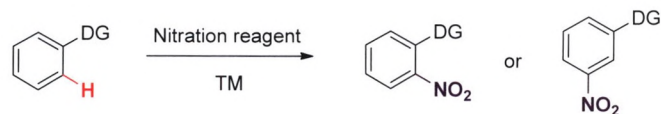


The recent progress in transition-metal-catalyzed allenamides cyclization is reviewed. For most of these transformations, the plausible mechanisms are demonstrated in details. Clarification of these issues is the key point for understanding this field and developing new high performance methodologies.

Geng, Dianguo\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 301

# CONTENT

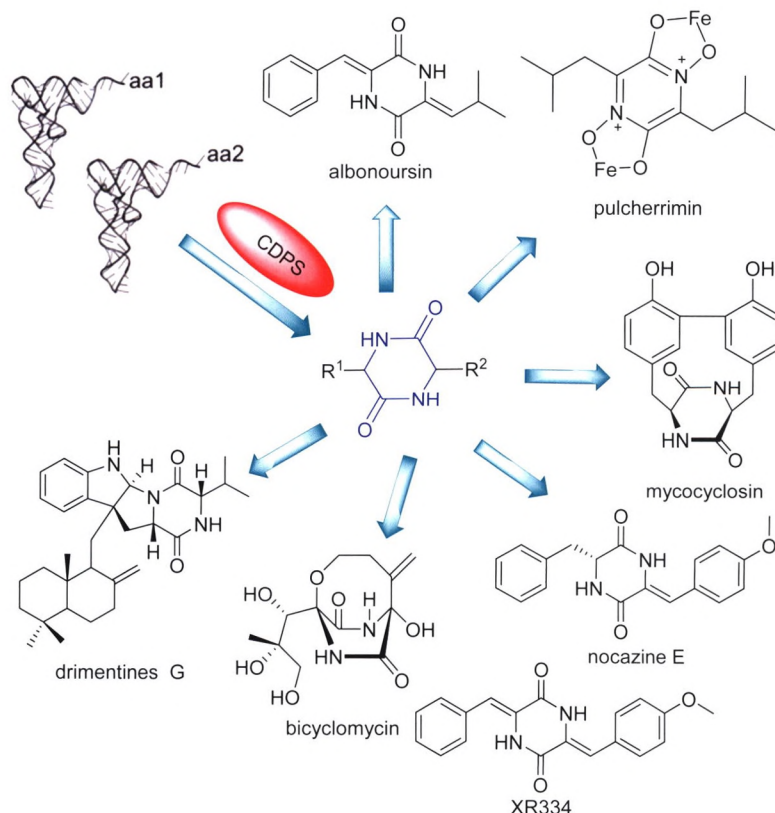
## Recent Advances in Transition-Metal-Catalyzed Directing Group Assisted Nitration of Inert C—H Bonds



Cheng, Huicheng; Lin, Jinlong; Zhang, Yaofeng; Chen, Bing; Wang, Min; Cheng, Lihua; Ma, Jiaoli\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 318

In recent years, transition-metal-catalyzed nitration of inert C—H bonds C—H nitration has made important progress. According to different transition metal catalysts, the research progress on transition-metal-catalyzed directing-group assisted C—H nitration is summarized, and the limitations of the research field and prospects for future development are presented.

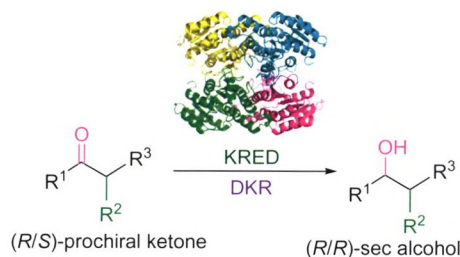
## Recent Advances in Cyclodipeptide Synthase-Dependent Biosynthetic Pathway



Zhang, Jingxing; Yao, Tingting; Liu, Jing; Li, Huayue; Li, Wenli\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 328

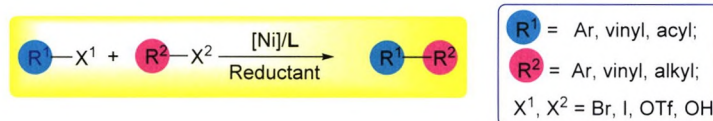
A brief overview of recent progresses on the cyclodipeptide synthases (CDPSs) and CDPS-dependent pathways is provided. CDPSs use aminoacyl-tRNAs (aa-tRNAs) as substrates and the resulting cyclodipeptides are further modified by associated tailoring enzymes to yield the final products. To date, six types of diketopiperazines (DKPs) synthesized by CDPS-dependent pathway have been reported.

## Recent Advances on Carbonyl Reductases for Dynamic Kinetic Resolution



Ni, Guowei; Tang, Jiawei; Zou, Jie; Chen, Shaoxin; Ju, Dianwen\*; Zhang, Fuli  
*Chin. J. Org. Chem.* **2019**, 39(2), 339

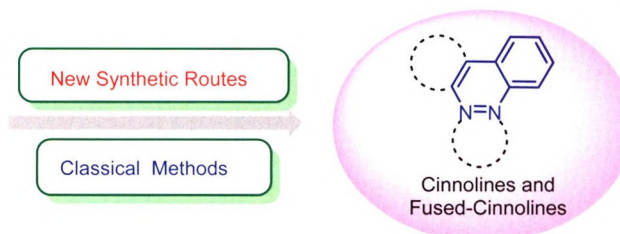
The method of its mechanism and nearly twenty examples from research papers and patents for one decade is highlighted. A practical and developing research method is recommended in three steps: screening-racemization-balance in sequence. It is hoped to be useful for future basic researches and industrial applications.

Recent Advance in Ni-Catalyzed Reductive Cross-Coupling to Construct C(sp<sup>2</sup>)-C(sp<sup>2</sup>) and C(sp<sup>2</sup>)-C(sp<sup>3</sup>) Bonds

The recent progress in the research of Ni-catalyzed cross-electrophile coupling of C(sp<sup>2</sup>)-X with C(sp<sup>2</sup>)-X/C(sp<sup>3</sup>)-X is reviewed. The leaving groups, the effects of catalysts/ligands and the reaction mechanism are mainly discussed.

Li, Yaqiong; Fan, Yuhang; Jia, Qianfa\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 350

## Progress in the Synthesis of Cinnoline Derivatives

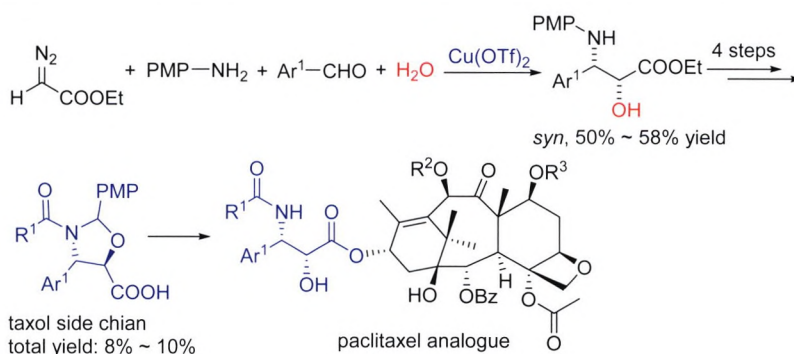


Recent progress of the synthetic routes to cinnolines based on different synthetic strategies and raw materials is reviewed. Finally, the future development of synthetic methods and their application are also prospected.

Su, Lin; Hou, Wei\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 363

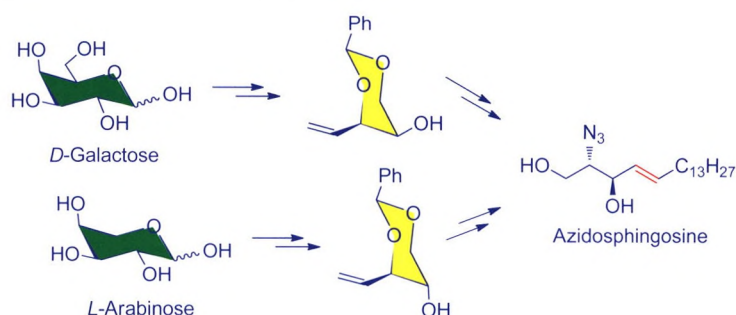
## ARTICLES

## Synthesis of Paclitaxel Side Chain via Multi-Component Reaction and Its Application to the Synthesis of Paclitaxel Analogues



A Cu(OTf)<sub>2</sub> catalyzed hydroxy ylide trapping based multi-component reaction which uses water as raw material is reported. A highly efficient method to synthesize taxol side chain derivatives and paclitaxel analogues is provided. Several novel paclitaxel analogues with excellent anti-tumor activity were discovered in this paper. This research is one of the good examples combining the methodology and application.

Sheng, Jiajun; Yu, Ya'nan; Wang, Xin; Qian, Yu; Fu, Liwu; Zhao, Yun; Ma, Mingliang\*; Hu, Wenhao\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 377

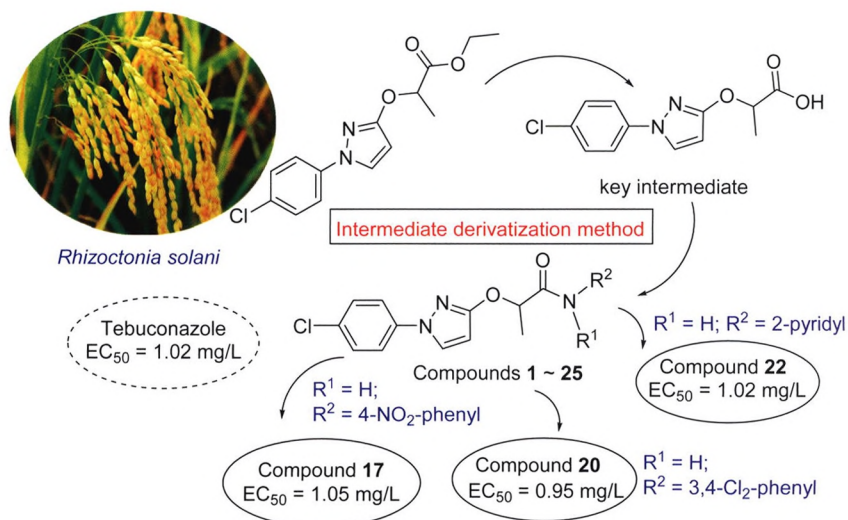
Synthesis of Azidosphingosine from *D*-Galactose or *L*-Arabinose

Gao, Yangguang\*; Cao, Zhou; Han, Zhongxiang; Zhang, Qiang; Hu, Jie; Guo, Rui; He, Xianran; Ding, Fei; You, Qingliang; Zhang, Yongmin\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 390

Synthesis of azidosphingosine has been described from *D*-galactose or *L*-arabinose with an overall yields of 27% and 20%, respectively. Our strategy features olefin cross-metathesis reaction, radical-induced isomerization, Appel reaction, and azido replacement.

# CONTENT

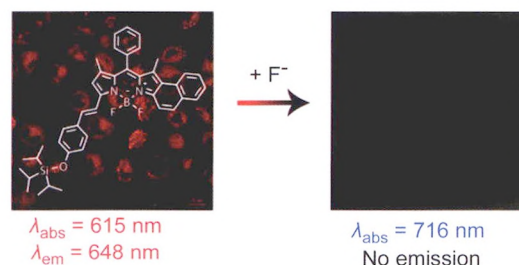
Design, Synthesis and Fungicidal Activity against *Rhizoctonia solani* of New Phenylpyrazoloxyl Propionic Acid Derivatives



Yu, Fuqiang; Guan, Aiyang\*; Sun, Xufeng; Li, Huichao; Li, Xiaowu\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 397

Twenty-five new phenylpyrazoloxyl propionic acid derivatives were designed and synthesized by employing the intermediate derivatization method. Bioassays demonstrated that some compounds exhibited good fungicidal activities against *Rhizoctonia solani*.

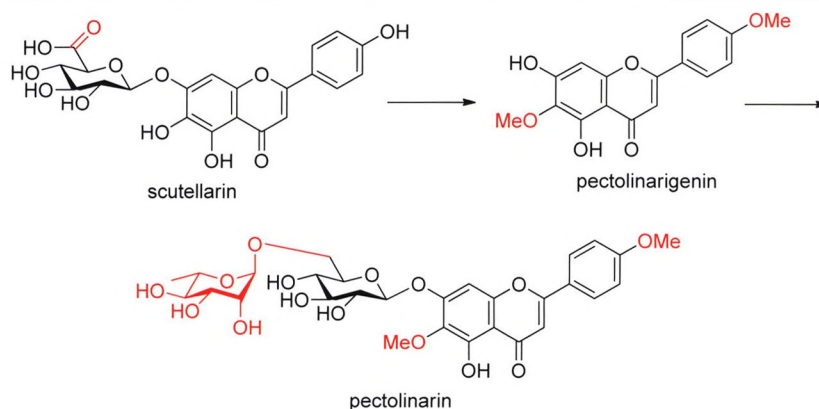
A Novel Naphthalene-Fused Boron Dipyrromethene (BODIPY)-Based Near Infrared Fluorescent Probe for Detecting Fluoride in Living Cells



Zhou, Jianping; Wu, Baogeng; Zhou, Zhikuan\*; Tian, Jiangwei\*; Yuan, Aihua\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 406

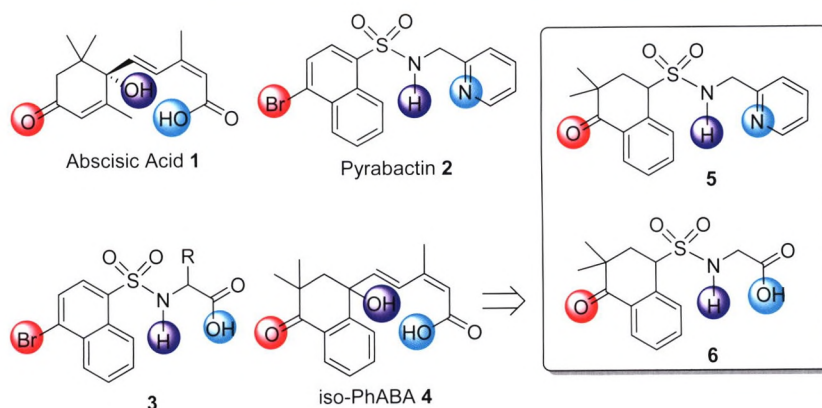
A colorimetric and fluorescent turn-off chemosensor for fluoride based on novel naphthalene-fused boron dipyrromethene (BODIPY) was designed and synthesized. In the presence of fluoride, the UV-Vis absorption peak of probe **5** red-shifted 100 nm, reaching the near infrared region. The fluorescence was quenched. Confocal fluorescence microscopy experiments demonstrate that BODIPY **5** can be used for monitoring fluoride in living cells.

Research on the Semi-synthesis of Pectolarin from Scutellarin



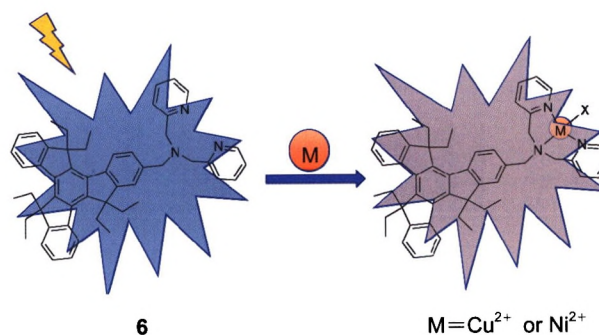
Yan, Shiqiang; Xie, Mingxian; Wang, Yujie; Li, Yingxia\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 412

The efficient semi-synthesis of pectolarigenin had been achieved starting from commercially available scutellarin via a linear reaction sequence of 3 steps with the overall yield of 69.5%, wherein carboxyl esterification, selective hydroxy protecting, and glycosidic bond hydrolyzation were used. Afterwards, the chemical synthesis of pectolarin had been accomplished by the glycosylation of pectolarigenin and benzobromorutinose.

Design, Synthesis and Inhibition Activity  
of Seed Germination of Novel Pyrabactin  
Analog

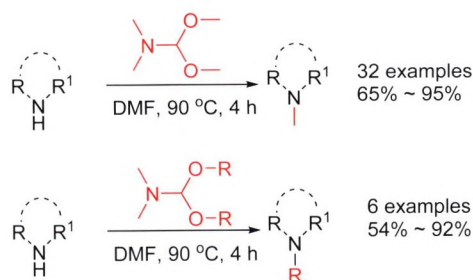
The tetralone part of *iso*-PhABA with the sulfonamide moiety of pyrabactin was spliced, and 13 novel sulfonamide-based abscisic acid analogs were designed and synthesized. Bioassay results showed that some of the compounds exhibited good inhibition activity on seed germination at  $50 \mu\text{mol}\cdot\text{L}^{-1}$ .

Che, Chuanliang; Hu, Yimin; Ding, Shan-shan; Xiao, Yumei; Li, Jiqia; Qin, Zhaohai\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 419

*N,N*-Bis(2-pyridylmethyl)amine-Based  
Truxene Derivative as a Highly Sensitive  
Fluorescence Sensor for  $\text{Cu}^{2+}$  and  $\text{Ni}^{2+}$   
Ion

A new turn-off probe **6** was synthesized from bis(pyridin-2-ylmethyl)amine and truxene derivatives. The recognition behaviors of **6** to various metal ions were investigated and the results show that **6** exhibited good selectivity and high sensitivity to  $\text{Cu}^{2+}$  and  $\text{Ni}^{2+}$  with good anti-interference. The probe **6** presented apparent fluorescence quenching in DMF/ $\text{H}_2\text{O}$  ( $V/V=8/2$ ,  $\text{pH}=7.0$ ) solution toward  $\text{Cu}^{2+}$  and  $\text{Ni}^{2+}$ .

Zhu, Yangmin; Wang, Zhonglong; Yang, Jian; Xu, Xu; Wang, Shifa; Cai, Zhengchun; Xu, Haijun\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 427

*N,N*-Alkylation of *N*-H Compounds in  
*N,N*-Dimethylformamide Dialkyl Acetal

**Advantages:** simple operation, mild reaction conditions, good adaptability of substrate and no metal participation

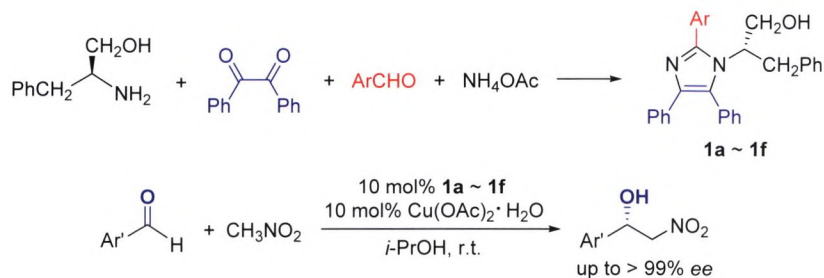
The *N,N*-dimethylformamide dialkyl acetal was used as the alkyl source to achieve different nitrogen alkylation reactions of *N*-H compounds. By studying the effects of solvents, temperature, reaction time, and the amount of *N,N*-dimethylformamide dialkyl acetal on the reaction, the optimal reaction conditions were obtained. The effect of different *N,N*-dimethylformamide dialkyl acetals on the alkylation ability of the substrate was investigated.

Zhao, Hui; Zhu, Xiaoyun; Hu, Xiaoxia; Liu, Yange; Tang, Chunlei\*; Feng, Bainian\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 434



# CONTENT

## Synthesis of Chiral Imidazole Amino Alcohols and Their Application in the Asymmetric Copper-Catalyzed Henry Reaction

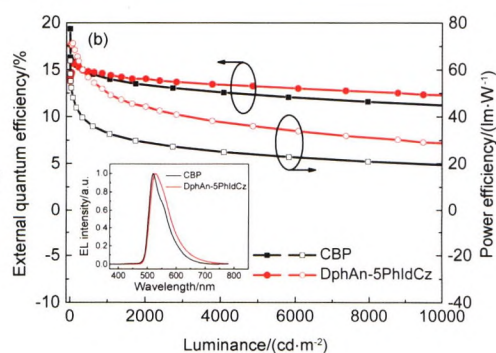
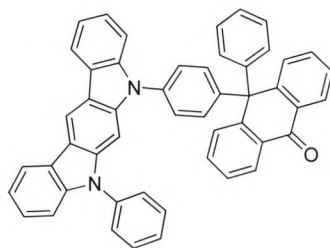


Mao, Pu\*; Yang, Liangru\*; Xiao, Yongmei; Yuan, Jinwei; Mai, Wenpeng; Gao, Jie; Zhang, Xinchi

*Chin. J. Org. Chem.* **2019**, 39(2), 443

A series of multi-aryl substituted imidazole amino alcohol derivatives containing appended chiral functionalities were synthesized and used in copper-catalyzed enantioselective Henry reaction of nitromethane and aromatic aldehydes. Moderate to high yields and excellent enantioselectivities ( $\geq 99\%$ ) with *S* configuration were obtained. A possible catalytic transition state for the system was proposed.

## Synthesis of Host Material Containing Indolocarbazole Group Featuring Bipolar and Thermally Activated Delayed Fluorescence and Its Application

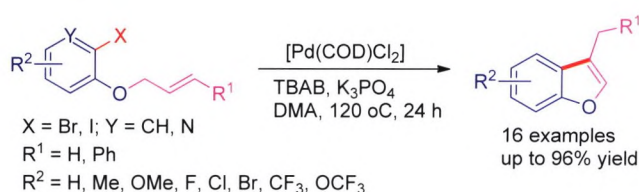


Ye, Zhonghua; Yang, Jiali; Ling, Zhitian; Zhao, Yi; Chen, Guo; Zheng, Yanqiong; Wei, Bin\*; Shi, Ying\*

*Chin. J. Org. Chem.* **2019**, 39(2), 449

A novel host material containing indolocarbazole group, 10-phenyl-10-(4-(7-phenyl-indolo[2,3-*b*]carbazol-5(7*H*)-yl)phenyl)anthracen-9(10*H*)-one was reported, and then the properties of this material were investigated, and a high-efficiency and low roll-off phosphorescent organic light-emitting diode was fabricated.

## A Convenient Access to 3-Substituted Benzofuran Derivatives via Palladium Nanoparticles-Catalyzed Intramolecular Heck Reaction

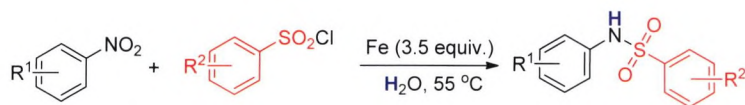


Huang, Jin; Fu, Ronghui; Jing, Linhai; Qin, Dabin; Huang, Kun; Wang, Wei\*

*Chin. J. Org. Chem.* **2019**, 39(2), 456

A convenient approach, intramolecular Heck reaction, was successfully developed for the construction of 3-substituted benzofurans. This reaction shows good catalytic activity and regioselectivity when various of bromoaryl 3-phenylallyl ethers were used.

## Direct Synthesis Sulfonamides from Nitroarenes and Sulfonyl Chlorides in Water



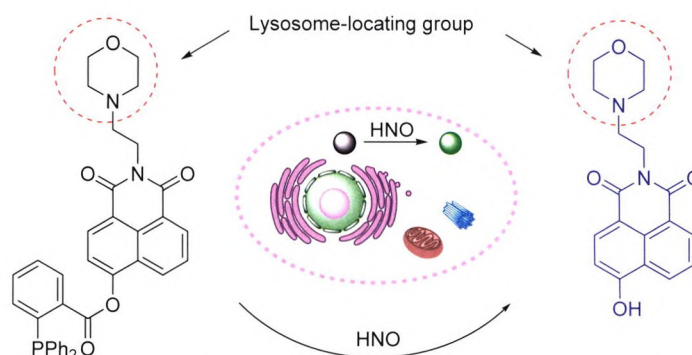
- \* Mild reaction conditions
- \* Cheap and readily available reagents
- \* Good functional group compatibility
- \* Water as the reaction medium and H source

23 examples  
up to 93% yield

Yue, Huilan; Bao, Pengli; Wang, Leilei; Lü, Xiaoxia; Yang, Daoshan; Wang, Hua; Wei, Wei\*

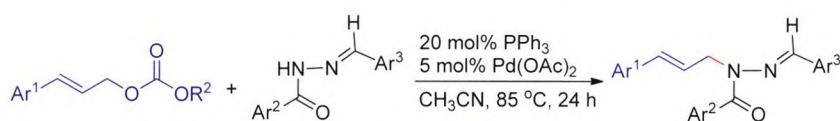
*Chin. J. Org. Chem.* **2019**, 39(2), 463

A simple and practical method for the synthesis of sulfonamides from nitroarenes and sulfonyl chlorides with iron powder as reductant in water has been developed.

Lysosome-Targeted Dual-Photon Nitroxyl  
Fluorescent Probe: Synthesis and Appli-  
cation in Living Cell Imaging

A lysosome-targeted dual-photon nitroxyl fluorescent probe (Lyso-HNO), which used 1,8-naphthalimide as two-photon fluorophore, 4-(2-aminoethyl)morpholine as lysosomal-targetable groups, and triphenylphosphine as HNO reaction site, was synthesized and characterized. The probe exhibits good selectivity and sensitivity to HNO with fast response, and can be applied to bioimaging exogenous lysosomal HNO by two-photon fluorescence confocal microscopy.

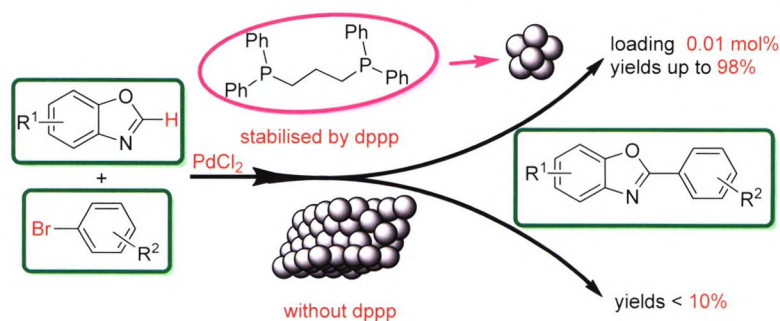
Wang, Xiaofen; Wei, Chao\*; Li, Xueyan;  
Zheng, Xueyang; Geng, Xiaowei; Zhang,  
Pingzhu; Li, Xiaoliu\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 469

Palladium Catalyzed Allylic Amination of  
Cinnamyl Carbonates with Acyl Hydra-  
zones

• Base free • Linear selective • 19 examples • yields up to 99%

Liu, Lantao\*; Chen, Yingying; Zhang, An'an;  
Liu, Xue; Zhang, Li; Bai, Jingru; Li, Heng;  
Mao, Guoliang\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 475

The palladium catalyzed allylmination reaction of cinnamyl carbonates and acylhydrazones proceeded smoothly under mild condition to give linear products in moderate to excellent yields.

Pd/1,3-Bis(diphenylphosphino)propane  
Catalyzed Arylation of Benzoxazoles at  
C-2 Position with Aryl Bromides

Wang, Yangdiandian; Yu, Xiaojun; Fu, Hai-  
yan; Zheng, Xueli; Chen, Hua; Li, Ruixiang\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 482

Pd-dppp catalytic system achieves highly efficient arylation of benzoxazoles with aryl bromides at low catalyst loading without any co-catalysts or additives.

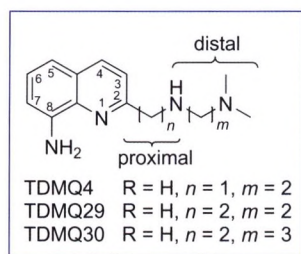
Synthesis and Cdc25B/PTP1B Inhibitory  
Activity Evaluation of Novel Acylhydra-  
zone Derivatives Containing Carbazole  
Moity

Li, Yingjun\*; Wang, Siyuan; Jin, Kun; Gao,  
Lixin; Sheng, Li; Zhang, Nan; Liu, Jihong;  
Li, Jia\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 491

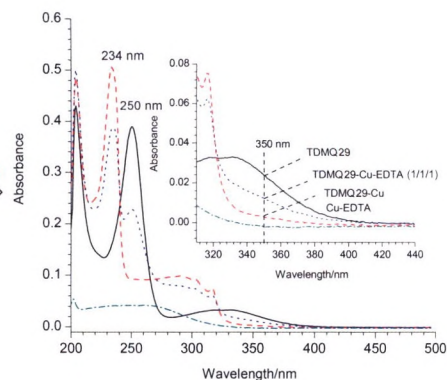
A series of novel acylhydrazone derivatives containing carbazole moiety were synthesized by using carbazole and 4-cyanobenzyl chloride as starting materials via multi-step reactions. All synthesized target compounds were evaluated for the inhibitory activities against Cdc25B and PTP1B. 4-((Carbazol-9-yl)methyl)-N'-(2-hydroxy-1-naphthalenylmethylene)benzoyl hydrazide (**6g**) had the highest inhibitory activities against Cdc25B and PTP1B.

# CONTENT

## Synthesis and Chelation Selectivity Evaluation of 8-Aminoquinoline Derivatives as Copper Chelator



Metalation



8-Aminoquinoline derivatives with a lateral chain at the C2 position of the aromatic ring were designed and synthesized. Among these chelators, TDMQ29 is specific for copper chelation with  $\log K_{app}[\text{Cu}^{\text{II}}\text{-TDMQ29}]$  to be 15.7 and  $\log K_{app}[\text{Zn}^{\text{II}}\text{-TDMQ29}]$  to be 5.8. Such metal ligands can be considered as potential ligands, which are able to regulate the homeostasis of copper in brains.

Huang, Daya; Li, Youzhi; Liu, Yan\*; Meunier, Bernard\*

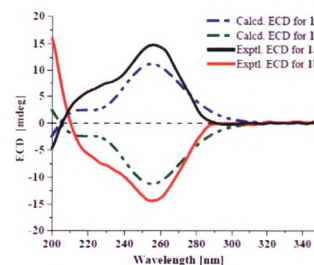
*Chin. J. Org. Chem.* **2019**, 39(2), 500

## Bioactive Natural Products from the Marine Sponge-Derived *Nocardiopsis dassonvillei* OUCMDZ-4534



*N. dassonvillei*  
OUCMDZ-4534

$\text{IC}_{50}$  A549, K562, MCF-7, L-02  
( $\mu\text{mol}\cdot\text{L}^{-1}$ ) 0.47, > 50, > 50, > 50



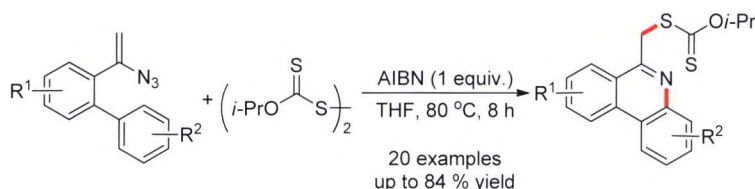
(3a*S*,7a*S*)-3a-Hydroxy-3a,7a-dihydrobenzofuran-2(3*H*)-one (**1a**) and (3a*R*,7a*R*)-3a-hydroxy-3a,7a-dihydrobenzofuran-2(3*H*)-one (**1b**), as well as 11 known compounds (**2**~**12**) were identified from the fermentation products of the actinobacterium, *Nocardiopsis dassonvillei* OUCMDZ-4534 associated with the marine sponge *Dysidea avara*. The racemic **1** showed selective inhibition on A549 cell line with  $\text{IC}_{50}$  value and selective index of  $0.47 \mu\text{mol}\cdot\text{L}^{-1}$  and 106, respectively.

Liu, Haishan; Zhu, Guoliang; Zhao, Shuige; Fu, Peng; Zhu, Weiming\*

*Chin. J. Org. Chem.* **2019**, 39(2), 507

## NOTES

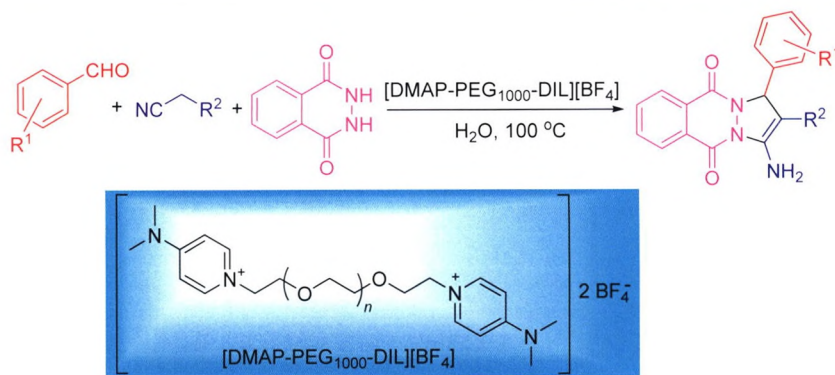
### Radical-Triggered Tandem Reaction of Vinyl Azides with Isopropylxanthic Disulfide for the Synthesis of 6-Sulfanylmethyl Phenanthridines



Lu, Lulu; Zhou, Bingwei; Jin, Hongwei\*; Liu, Yunkui\*

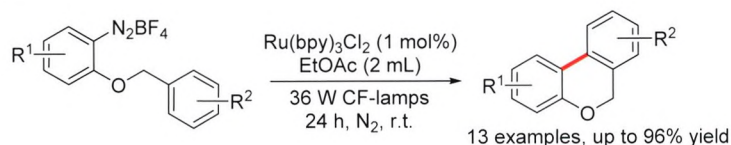
*Chin. J. Org. Chem.* **2019**, 39(2), 515

An 2,2'-azobis(2-methylpropionitrile) (AIBN) initiated tandem reaction of vinyl azides with isopropylxanthic disulfide to construct C—S—C—N bonds was disclosed. A range of functionalized 6-sulfanylmethyl phenanthridines could be easily accessed in 50%~84% yields with a good regioselectivity. The mechanism study indicates a free radical pathway in this reaction.

Synthesis of Pyrazolo[1,2-*b*]phthalazine-5,10-diones Catalyzed by Poly(ethylene glycol) Grafted 4-Dimethylaminopyridine Functionalized Ionic Liquid

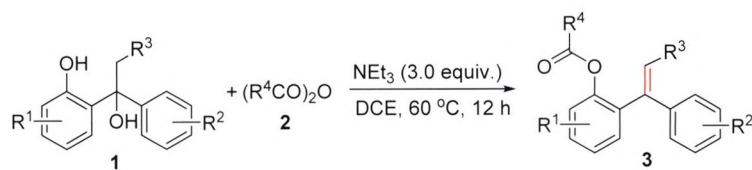
A novel poly(ethylene glycol) grafted 4-dimethylaminopyridine functionalized ionic liquid ([DMAP-PEG<sub>1000</sub>-DIL][BF<sub>4</sub>]) was synthesized and used as an efficient and recyclable catalyst for the synthesis of pyrazolo[1,2-*b*]phthalazine-5,10-diones with excellent yields through the one-pot three-component reaction of aromatic aldehyde, malononitrile (or ethyl cyanoacetate) and phthalhydrazide in water.

Wang, Yinglei\*; Wan, Zijuan; Luo, Jun  
*Chin. J. Org. Chem.* **2019**, 39(2), 521

Visible-Light Mediated Synthesis of 6*H*-Benzo[*c*]chromenes

Bai, Qifan; He, Jingyao; Zhu, Xiaoqing;  
Feng, Gaofeng; Jin, Cheng'an\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 527

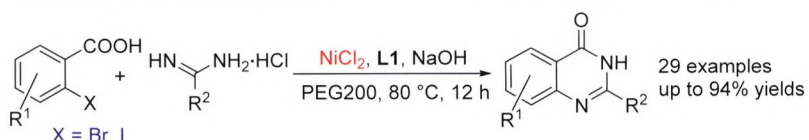
An effective visible-light mediated Ru-catalyzed protocol for accessing 6*H*-benzo[*c*]chromenes from benzyloxybenzenediazonium salt via a sequence of dediazonation, intramolecular radical cyclization and aromatization has been developed.

Anhydride Induced One-Pot Synthesis of *ortho*-Acyloxy Diarylalkenes from 2-(1-Hydroxy-1-arylalkyl)phenols

Lü, Wenwen; He, Xinchun; Shi, Min; Wang,  
Feijun\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 532

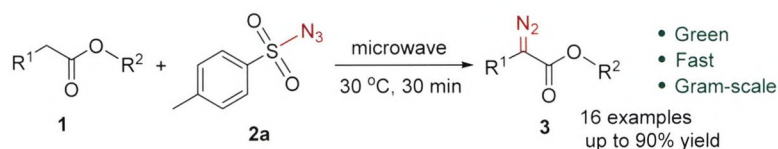
Anhydride induced reaction of 2-(1-hydroxy-1-phenylalkyl)phenols was reported to provide a practical and environmentally friendly way to the synthesis of *ortho*-acyloxy diarylalkenes.

## Nickel-Catalyzed Synthesis of Quinazolinone Derivatives in Polyethylene Glycol 200



Xu, Yiwen; Zhang, Peng; Liu, Caiqin;  
Lin, Chen; Lin, Xiaoyan; Ke, Fang\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 538

A simple and economic method for synthesizing quinazolinone derivatives from substituted 2-halobenzoic acids and amidine hydrochlorides by nickel-catalyzed in polyethylene glycol 200 was reported.

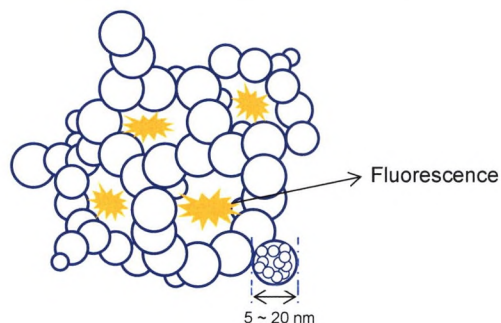
Microwave-Assisted Synthesis of  $\alpha$ -Diazoesters

Yi, Xiangyan; Zhang, Zhipeng; Huang, He;  
Baell, Jonathan B.; Yu, Yang\*; Huang, Fei\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 544

A new method of microwave-assisted synthesis of  $\alpha$ -diazoesters from 2-phenylacetates and tosyl azide has been explored. This protocol provides a quick, efficient and green approach to various  $\alpha$ -diazoesters compounds with up to 90% isolated yields at the gram scale in 30 min and a broad range of functional groups.

# CONTENT

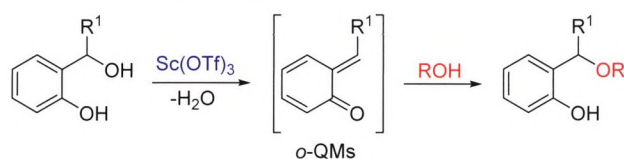
## Preparation of Hydrophobic SiO<sub>2</sub> Aerogel by Rapid Solvents Exchange Method and Its Application Loaded with Organic Fluorescence Probe



A rapid solvents exchange method was developed, and using *in-situ* secondary extraction, rapid solvents exchange in aerogel preparation was achieved through the miscibility and immiscibility of ethanol-dichloromethane-water. The aerogel can load various organic fluorescent probe dyes, which can effectively avoid the fluorescence quenching caused by the aggregation of the probe molecules.

Wang, Yafei; Zhang, Tao; Guo, Xudong; Hu, Rui; Wang, Shuangqing\*; Yang, Guoqiang\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 550

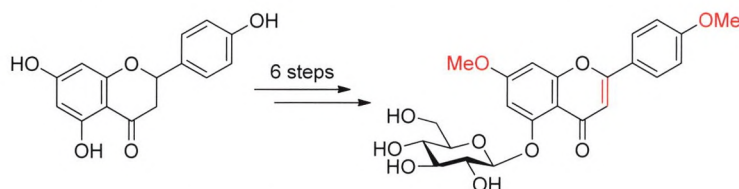
## Sc(OTf)<sub>3</sub> Catalyzed Oxo-Michael Addition to *o*-Quinone Methides by Alcohols



*o*-Quinone derivatives are not only a variety of active and important intermediate, but also widely used in the synthesis of natural products and medicinal chemistry. In the present study, the Sc(OTf)<sub>3</sub> catalyzed oxo-Michael addition to *o*-quinone methides by alcohols was developed. The products were obtained in moderate to good yields (76%~97%) under mild conditions. Furthermore, the reaction could be scaled up to multigram scale.

Zhang, Shuo; Peng, Dan; Zhao, Ning; Yu, Yitao; Wang, Feng; Liu, Hailong; Yi, Gang\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 555

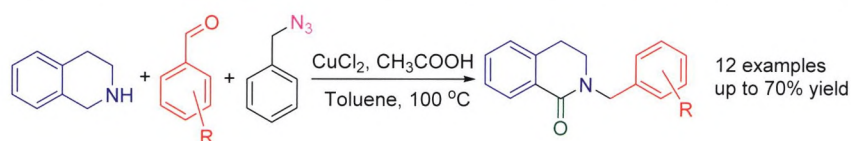
## Efficient Synthesis of 7,4'-Dimethylapigenin-5-*O*-glycoside



The efficient synthesis of 7,4'-dimethylapigenin-5-*O*-glycoside has been achieved starting from commercially available naringenin and *D*-glucose via a linear reaction sequence of 6 steps with the overall yield of 36.0%, wherein selective hydroxy protecting, reduction with sodium borohydride, glycosylation under phase transfer catalytic condition, oxidation with 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (DDQ) and other reactions were used.

Yan, Shiqiang; Li, Yingxia\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 561

## One-Pot Synthesis of 2-Benzyl-3,4-dihydro-2*H*-isoquinolin-1-ones Catalyzed by CuCl<sub>2</sub>



An facile, effective, copper-catalyzed one-pot approach to 2-benzyl-3,4-dihydroisoquinolin-1-ones has been successfully developed. The compounds were achieved by the reaction of tetrahydroisoquinoline, benzyl azide and benzaldehyde in one-pot fashion via nucleophilic addition reaction followed by oxidation.

Fu, Chao; Fan, Yanxia; Sun, Qihui; Yi, Weiyin\*; Yi, Fengping\*  
*Chin. J. Org. Chem.* **2019**, 39(2), 566

## HIGHLIGHTS

*Chin. J. Org. Chem.* **2019**, 39(2), 571

Go Now!!

<http://sioc-journal.cn>



SCI影响因子 (2017)  
**2.735**

# 化学学报

ACTA CHIMICA SINICA

- 同行评审
- 中国创刊最早的化学期刊(始于1933年)
- 中国第一个被SCI收录的化学期刊
- 中国“百强科技期刊”
- 高水平、高质量、高效率
- 免费投稿、审稿、发表
- 免费阅读、开放获取
- SCI影响因子最高的中文期刊

Tel.: +86-21-54925242

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



SCI影响因子 (2017)  
**2.378**

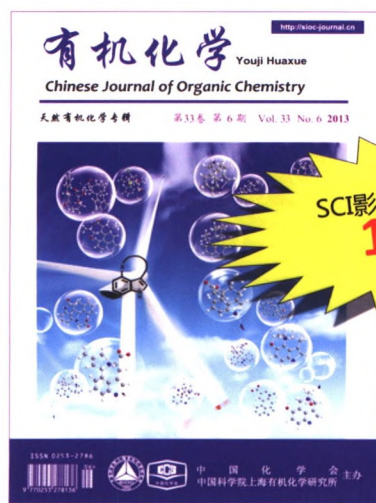
# CHINESE JOURNAL OF CHEMISTRY

中国化学

- 同行评审
- 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
- SCI收录

Tel.: +86-21-54925243-27

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



SCI影响因子 (2017)  
**1.392**

# 有机化学

Chinese Journal of Organic Chemistry

- 同行评审
- 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
- 开放获取
- SCI收录

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)



万方数据

中国化学会  
中国科学院上海有机化学研究所

主办