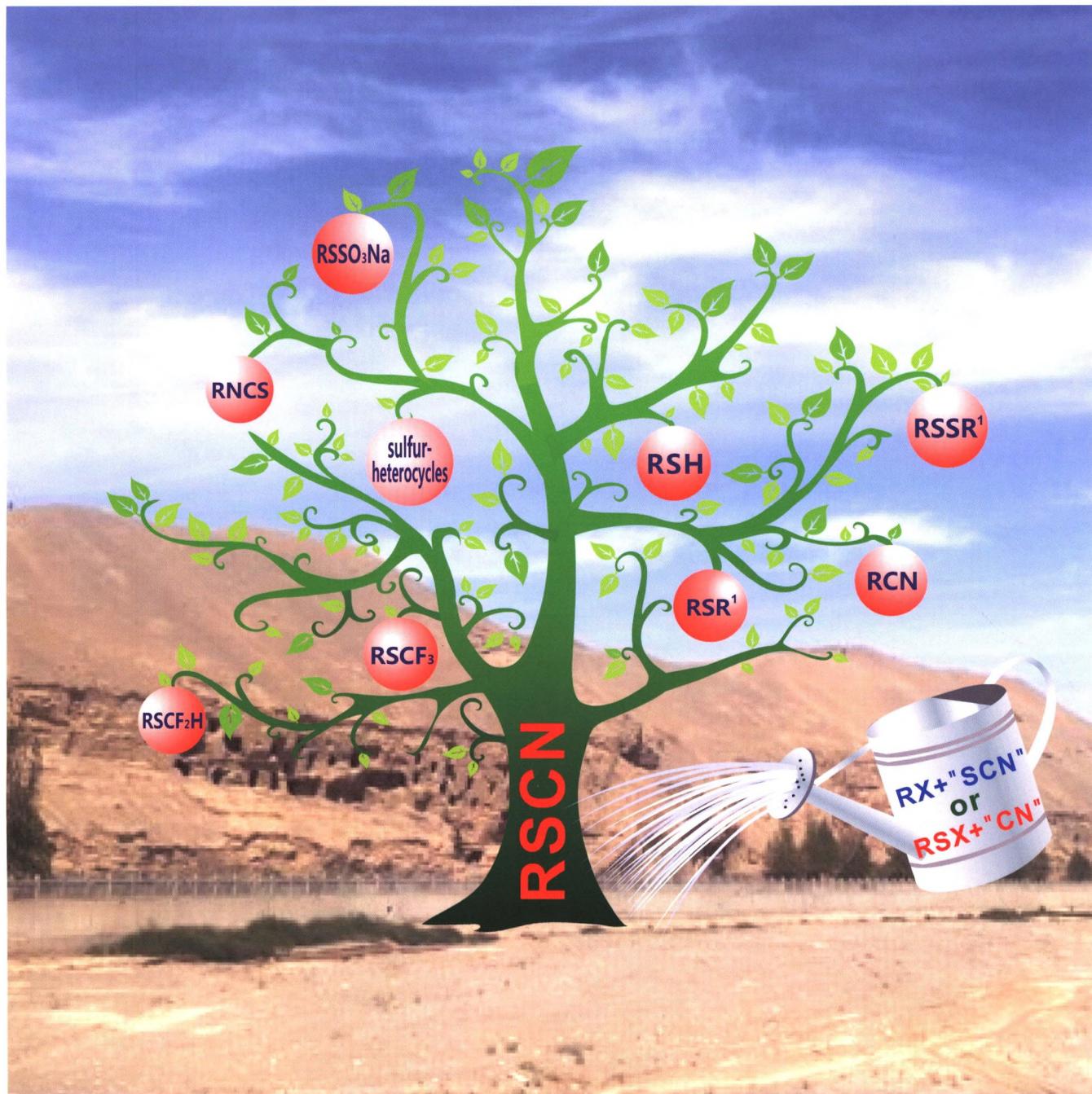


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有机化学

(月刊)

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(YOUJI HUAXUE)

第39卷 第2期 (总363期) 2019年2月*

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* 通讯联系人。

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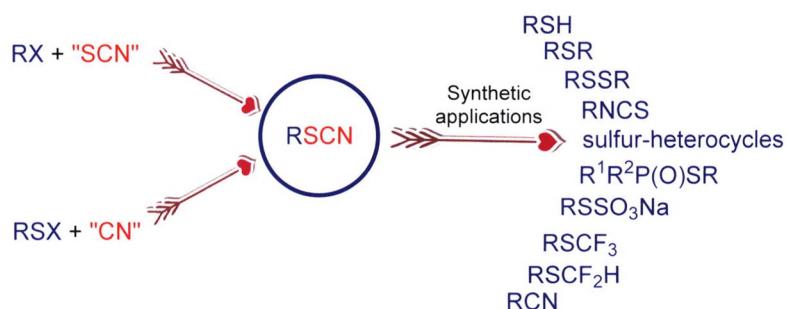
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On the Cover

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

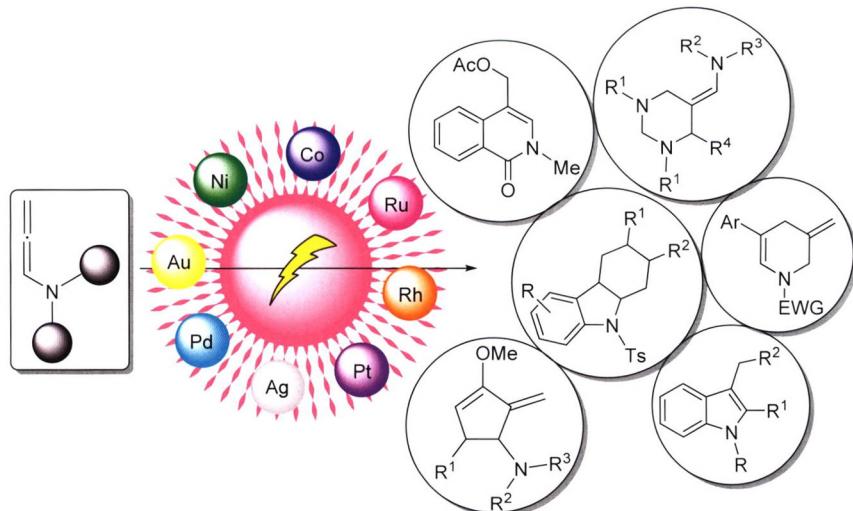
Progress on the Synthesis and Applications of Thiocyanates



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

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.

Recent Advances on Transition-Metal-Catalyzed Allenamides Cyclization

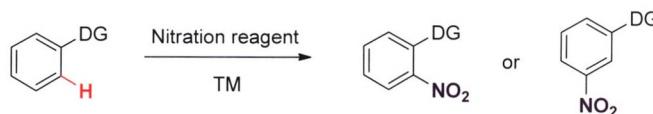


The recent progress in transition-metal-catalyzed allenamides cyclization is reviewed. For most of these transformations, the plausible mechanisms are demonstrated in details. Clarification of these issues is the key point for understanding this field and developing new high performance methodologies.

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

CONTENT

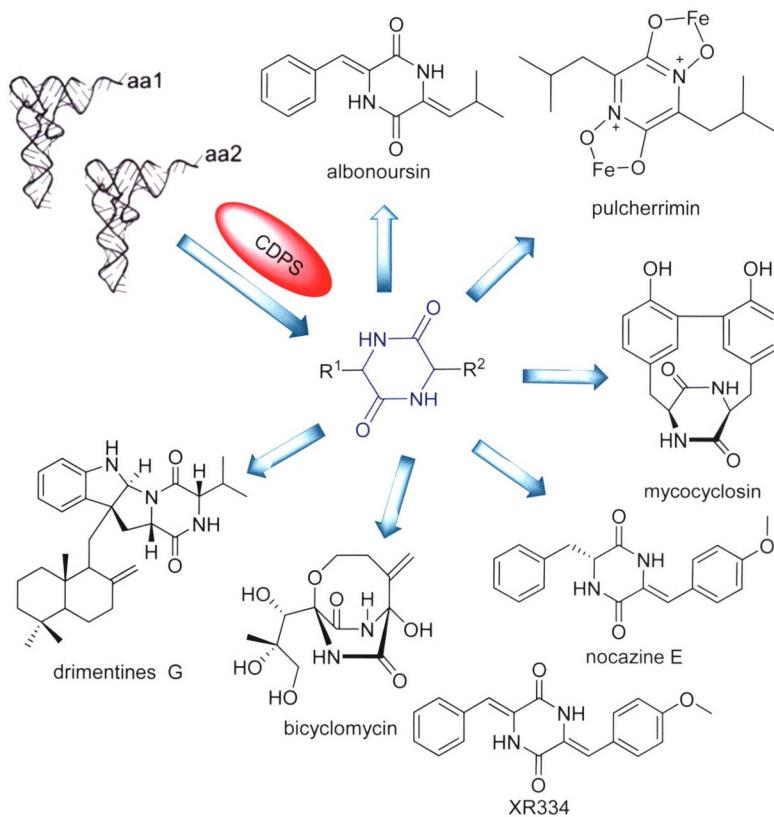
Recent Advances in Transition-Metal-Catalyzed Directing Group Assisted Nitration of Inert C—H Bonds



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.

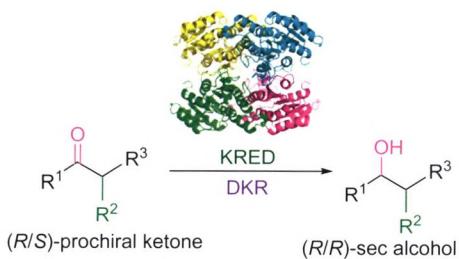
Recent Advances in Cyclodipeptide Synthase-Dependent Biosynthetic Pathway



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.

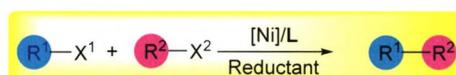
Recent Advances on Carbonyl Reductases for Dynamic Kinetic Resolution



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(sp²)—C(sp²) and C(sp²)—C(sp³) Bonds

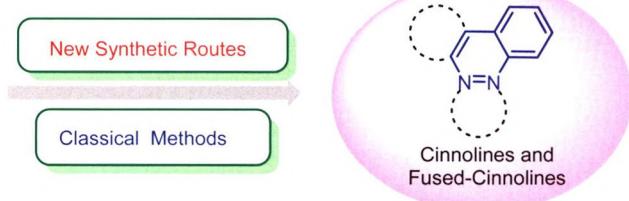


$R^1 = \text{Ar, vinyl, acyl}$
 $R^2 = \text{Ar, vinyl, alkyl}$
 $X^1, X^2 = \text{Br, I, OTf, OH}$

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

The recent progress in the research of Ni-catalyzed cross-electrophile coupling of C(sp²)—X with C(sp²)—X/C(sp³)—X is reviewed. The leaving groups, the effects of catalysts/ligands and the reaction mechanism are mainly discussed.

Progress in the Synthesis of Cinnoline Derivatives

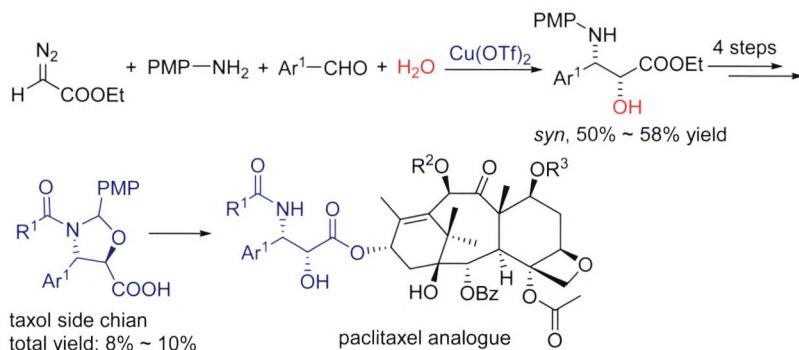


Su, Lin; Hou, Wei*
Chin. J. Org. Chem. 2019, 39(2), 363

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.

ARTICLES

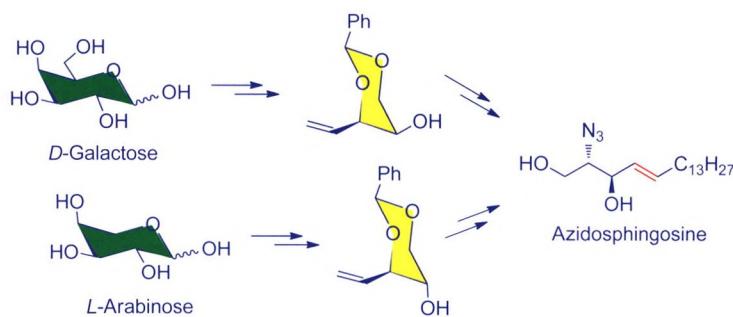
Synthesis of Paclitaxel Side Chain via Multi-Component Reaction and Its Application to the Synthesis of Paclitaxel Analogues



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

A Cu(OTf)₂ 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.

Synthesis of Azidosphingosine from D-Galactose or L-Arabinose

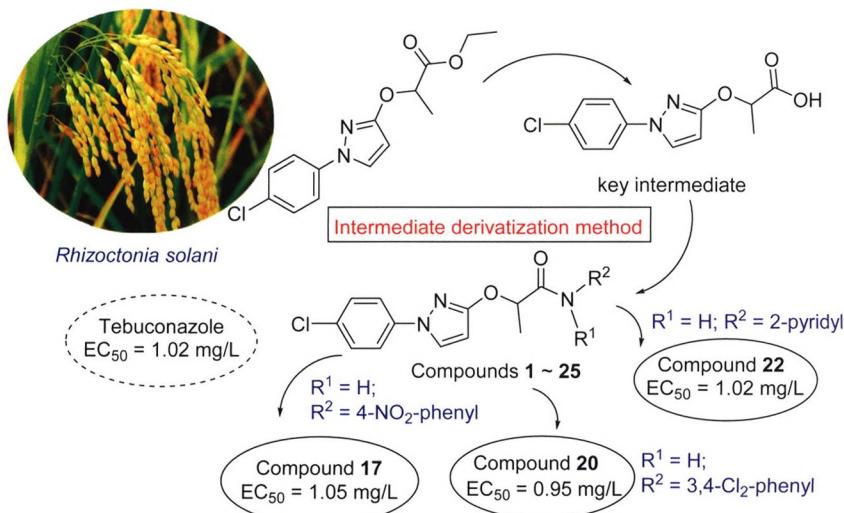


Gao, Yangguang*; Cao, Zhou; Han, Zhongxiang; Zhang, Qiang; Hu, Jie; Guo, Rui; He, Xianran; Ding, Fei; You, Qingliang; Zhang, Yongmin*
Chin. J. Org. Chem. 2019, 39(2), 390

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

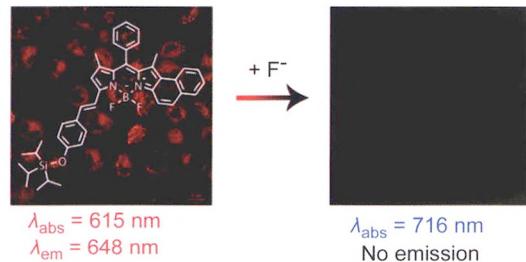
Design, Synthesis and Fungicidal Activity against *Rhizoctonia solani* of New Phenylpyrazoloxyl Propionic Acid Derivatives



Yu, Fuqiang; Guan, Aiying*; 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*.

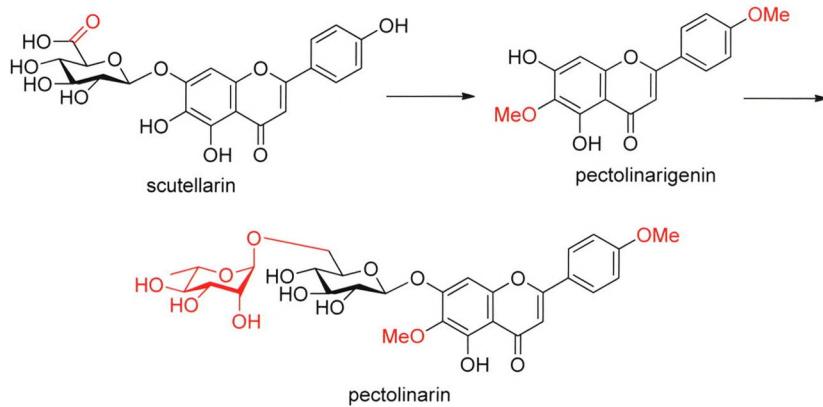
A Novel Naphthalene-Fused Boron Dipyromethene (BODIPY)-Based Near Infrared Fluorescent Probe for Detecting Fluoride in Living Cells



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 dipyromethene (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.

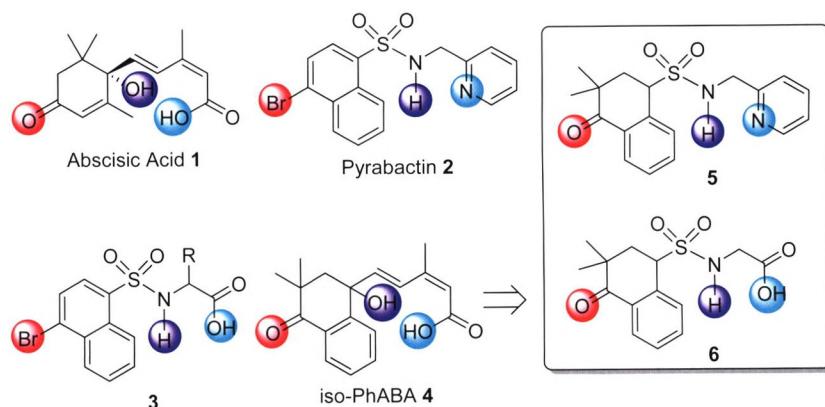
Research on the Semi-synthesis of Pectolinarin from Scutellarin



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

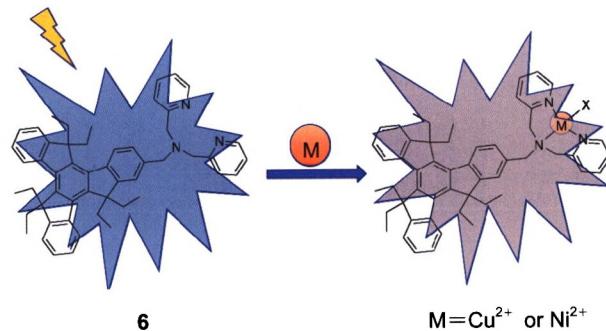
The efficient semi-synthesis of pectolinarigenin had been achieved starting from commercially available scutellarin via a linear reaction sequence of 3 steps with the overall yield of 69.5%, wherein carboxyl esterification, selective hydroxy protecting, and glycosidic bond hydrolyzation were used. Afterwards,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

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



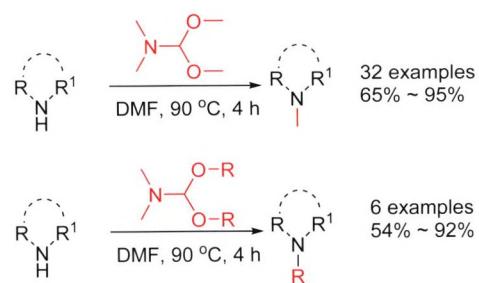
Che, Chuanliang; Hu, Yimin; Ding, Shanshan; Xiao, Yumei; Li, Jiqia; Qin, Zhaohai*
Chin. J. Org. Chem. 2019, 39(2), 419

N,N-Bis(2-pyridylmethyl)amine-Based
Truxene Derivative as a Highly Sensitive
Fluorescence Sensor for Cu²⁺ and Ni²⁺
Ion



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

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



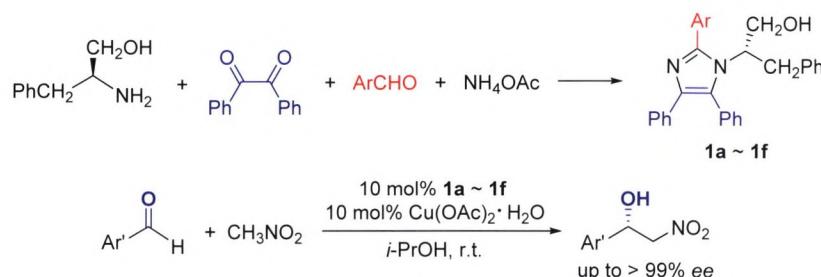
Advantages: simple operation, mild reaction conditions,
good adaptability of substrate and no metal participation

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

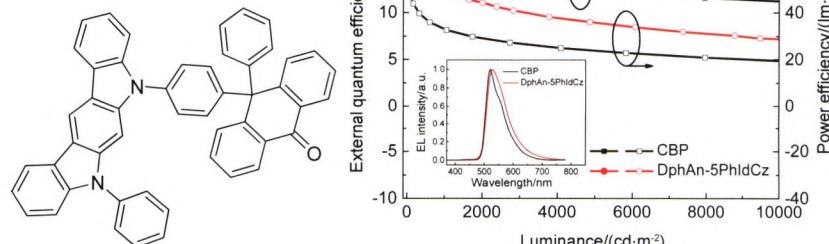


Mao, Pu*; Yang, Liangru*; Xiao, Yongmei; Yuan, Jinwei; Mai, Wengpeng; Gao, Jie; Zhang, Xinchi

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

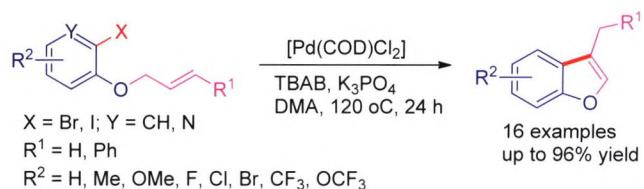


Ye, Zhonghua; Yang, Jiali; Ling, Zhitian; Zhao, Yi; Chen, Guo; Zheng, Yanqiong; Wei, Bin*; Shi, Ying*

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(*H*)-yl)phenyl)anthracen-9(*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

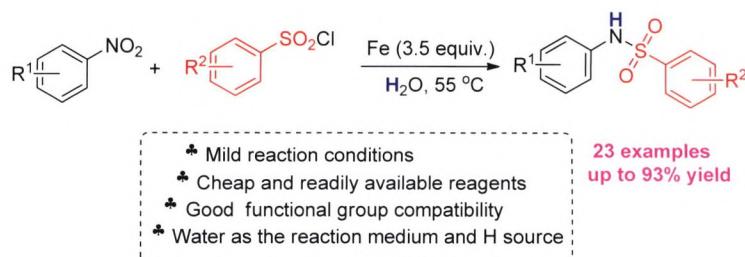


Huang, Jin; Fu, Ronghui; Jing, Linhai; Qin, Dabin; Huang, Kun; Wang, Wei*

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

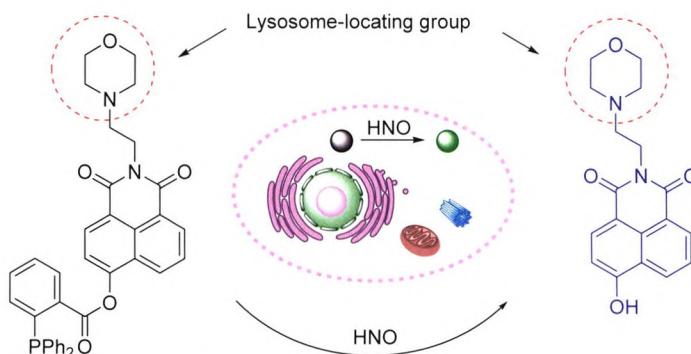


Yue, Huilan; Bao, Pengli; Wang, Leilei; Lü, Xiaoxia; Yang, Daoshan; Wang, Hua; Wei, Wei*

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 Application in Living Cell Imaging

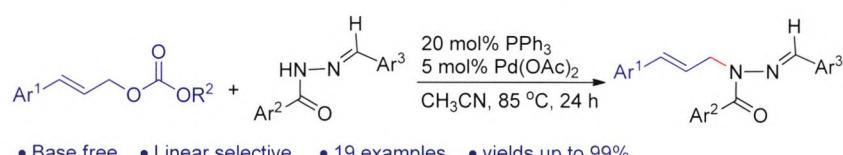


Wang, Xiaofen; Wei, Chao*; Li, Xueyan; Zheng, Xueyang; Geng, Xiaowei; Zhang, Pingzhu; Li, Xiaoliu*
Chin. J. Org. Chem. **2019**, *39*(2), 469

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.

Palladium Catalyzed Allylic Amination of Cinnamyl Carbonates with Acyl Hydrazones

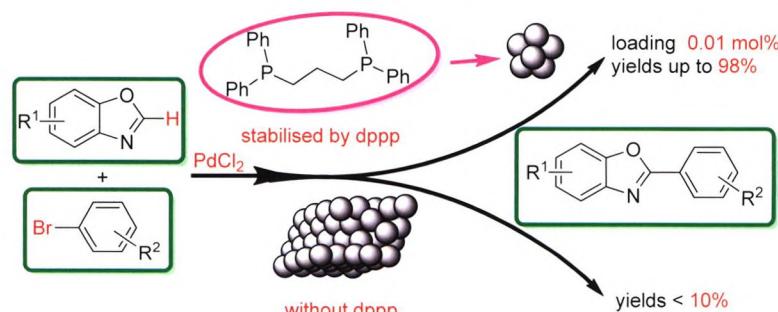
Liu, Lantao*; Chen, Yingying; Zhang, An'an; Liu, Xue; Zhang, Li; Bai, Jingru; Li, Heng; Mao, Guoliang*
Chin. J. Org. Chem. **2019**, *39*(2), 475



The palladium catalyzed allylation reaction of cinnamyl carbonates and acylhydrazone compounds 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, Haiyan; 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 Acylhydrazone Derivatives Containing Carbazole Moity

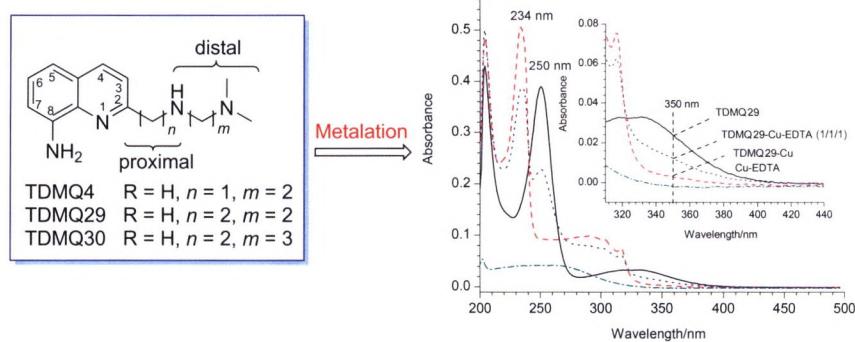
Li, Yingjun*; Wang, Siyuan; Jin, Kun; Gao, Lixin; Sheng, Li; Zhang, Nan; Liu, Jihong; Li, Jia*
Chin. J. Org. Chem. **2019**, *39*(2), 491



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

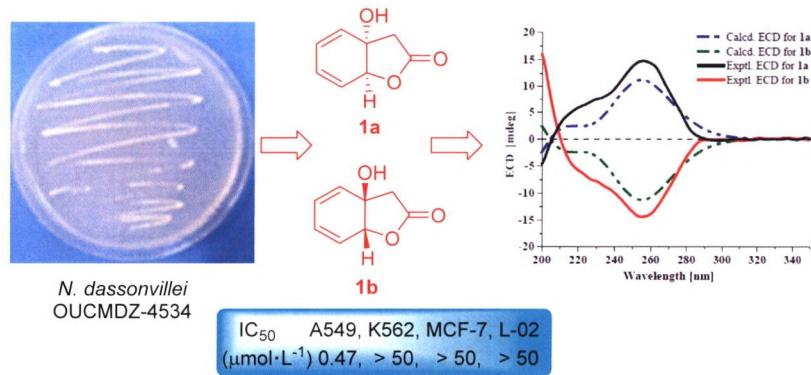
Synthesis and Chelation Selectivity Evaluation of 8-Aminoquinoline Derivatives as Copper Chelator



Huang, Daya; Li, Youzhi; Liu, Yan*; Meunier, Bernard*
Chin. J. Org. Chem. **2019**, *39*(2), 500

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 $\log K_{app}[\text{Cu}^{II}\text{-TDMQ29}]$ to be 15.7 and $\log K_{app}[\text{Zn}^{II}\text{-TDMQ29}]$ to be 5.8. Such metal ligands can be considered as potential ligands, which are able to regulate the homeostasis of copper in brains.

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

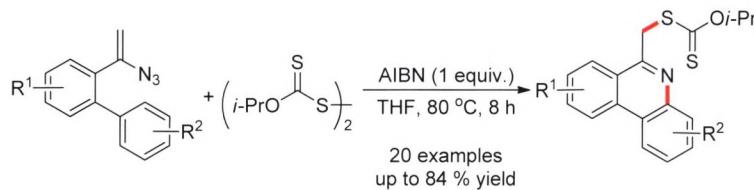


Liu, Haishan; Zhu, Guoliang; Zhao, Shuige; Fu, Peng; Zhu, Weiming*
Chin. J. Org. Chem. **2019**, *39*(2), 507

(3aS,7aS)-3a-Hydroxy-3a,7a-dihydrobenzofuran-2(3H)-one (**1a**) and (3aR,7aR)-3a-hydroxy-3a,7a-dihydrobenzofuran-2(3H)-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 IC₅₀ value and selective index of 0.47 $\mu\text{mol}\cdot\text{L}^{-1}$ and 106, respectively.

NOTES

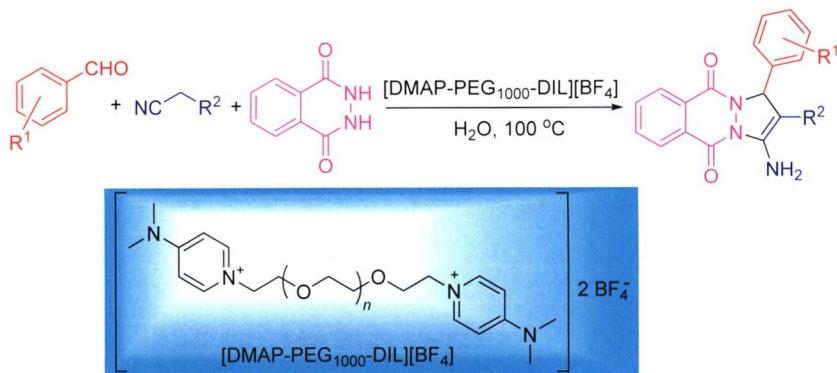
Radical-Triggered Tandem Reaction of Vinyl Azides with Isopropylxanthic Disulfide for the Synthesis of 6-Sulfanyl methyl Phenanthridines



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-sulfanyl methyl phenanthridines could be easily accessed in 50%~84% yields with a good regioselectivity. The mechanism study indicates a free radical pathway in this reaction.

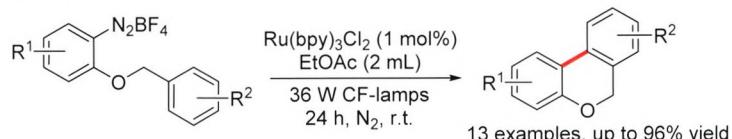
Synthesis of Pyrazolo[1,2-*b*]phthalazine-5,10-diones Catalyzed by Poly(ethylene glycol) Grafted 4-Dimethylaminopyridine Functionalized Ionic Liquid



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

A novel poly(ethylene glycol) grafted 4-dimethylaminopyridine functionalized ionic liquid ($[DMAP-PEG_{1000}-DIL][BF_4]$) 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.

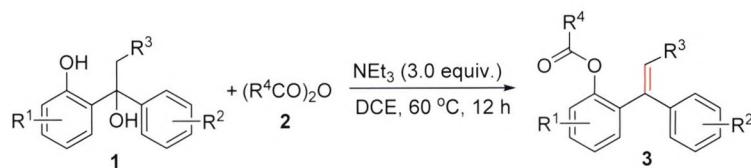
Visible-Light Mediated Synthesis of 6H-Benzo[c]chromenes



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 6H-benzo[c]-chromenes from benzoyloxybenzenediazonium salt via a sequence of dediazonation, intramolecular radical cyclization and aromaticization has been developed.

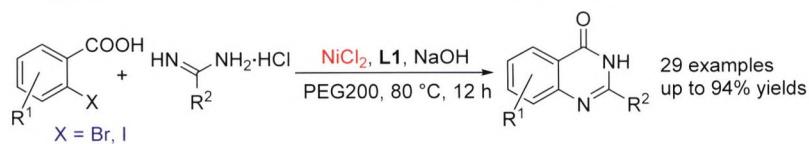
Anhydride Induced One-Pot Synthesis of *ortho*-Acyloxy Diarylalkenes from 2-(1-Hydroxy-1-arylalkyl)phenols



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.

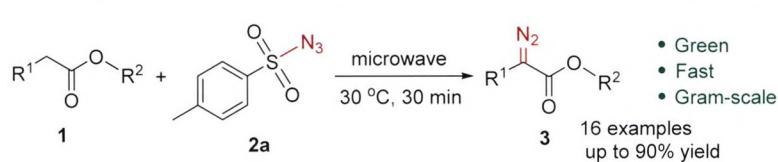
Nickel-Catalyzed Synthesis of Quinazolinone Derivatives in Polyethylene Glycol 200



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 α -Diazoesters

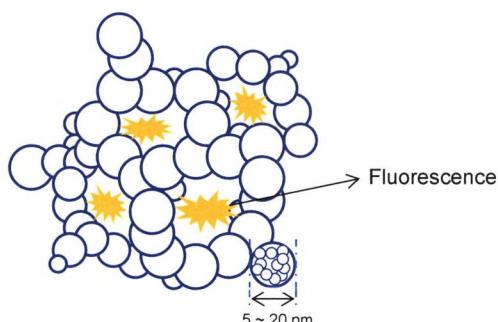


Yi, Xiangyan; Zhang, Zhipeng; Huang, He; Baell, Jonathan B.; Yu, Yang*; Huang, Fei*
Chin. J. Org. Chem. 2019, 39(2), 544

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

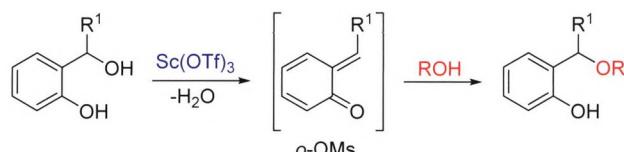
CONTENT

Preparation of Hydrophobic SiO₂ Aerogel by Rapid Solvents Exchange Method and Its Application Loaded with Organic Fluorescence Probe



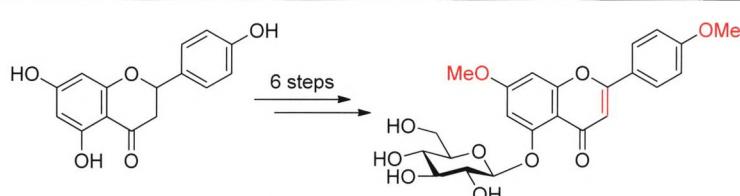
Wang, Yafei; Zhang, Tao; Guo, Xudong; Hu, Rui; Wang, Shuangqing*; Yang, Guoqiang*
Chin. J. Org. Chem. **2019**, *39*(2), 550

Sc(OTf)₃ Catalyzed Oxo-Michael Addition to *o*-Quinone Methides by Alcohols



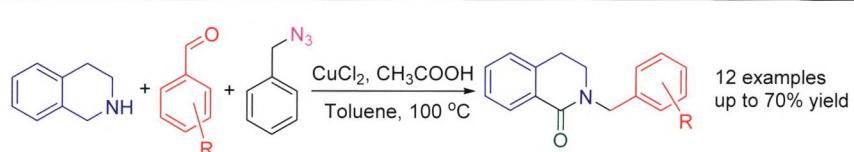
Zhang, Shuo; Peng, Dan; Zhao, Ning; Yu, Yitao; Wang, Feng; Liu, Hailong; Yi, Gang*
Chin. J. Org. Chem. **2019**, *39*(2), 555

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



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 CuCl₂



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

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.

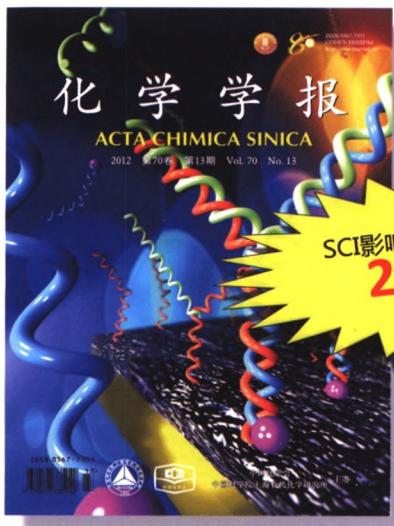
HIGHLIGHTS

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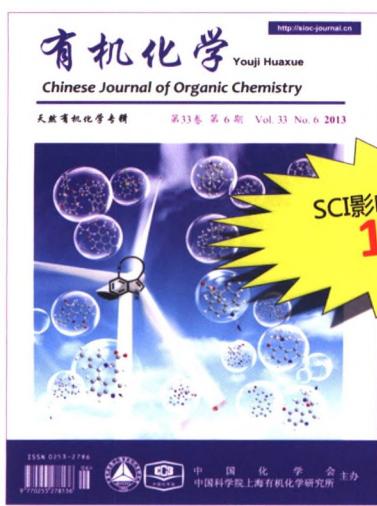
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