

有机化学

Youji Huaxue

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Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

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* 通讯联系人.

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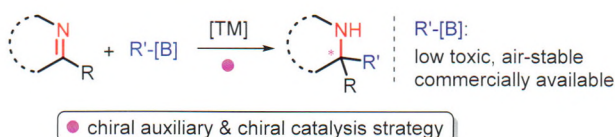
万方数据

On the Cover

Over the past few years, great success in the asymmetric synthesis of α -chiral amines has been achieved by using chiral auxiliary or chiral catalysis strategies. Transition metal-catalyzed asymmetric addition of organoboron reagents to imines has proven to be one of the most efficient approaches to furnish highly optically active α -chiral amines. The remarkable progress and recent advances in rhodium and palladium catalysis are summarized by Chen and Xu on page 1589.

REVIEWS

Transition Metal-Catalyzed Asymmetric Addition of Organoboron Reagents to Imines

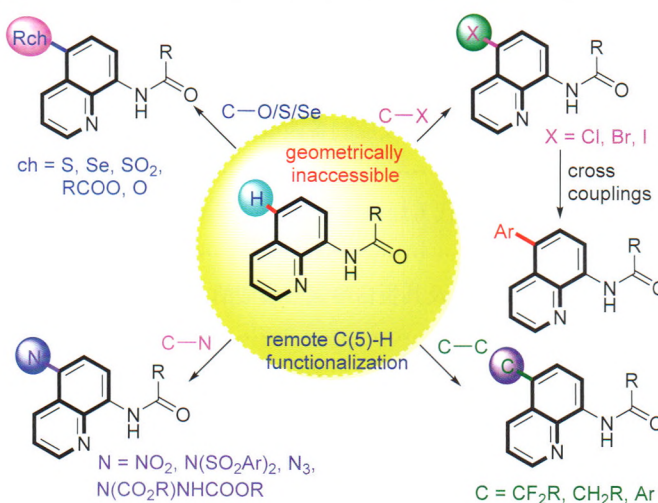


This review summarizes the progress and advances in transition metal-catalyzed asymmetric addition of organoboron reagents to imines over the past few years, providing an overview of the recent achievements in stereoselective synthesis of α -chiral amines by using chiral auxiliary or chiral catalysis strategies.

Chen, Diao; Xu, Ming-Hua*

Chin. J. Org. Chem. **2017**, 37(7), 1589

Recent Advances on the C—H Bond Functionalization on C(5) Position of 8-Aminoquinolines

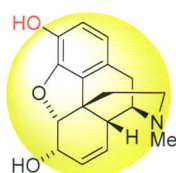


This review focuses on the remote C—H functionalizations of 8-aminoquinolines on the C(5) position, including the advantages and disadvantages as well as an outlook in this field.

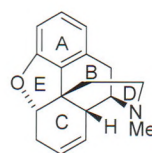
Zhu, Longzhi; Cao, Xin; Li, You; Liu, Ting; Wang, Xie; Qiu, Renhua*; Yin, Shuang-Feng*

Chin. J. Org. Chem. **2017**, 37(7), 1613

Research Progress on the Synthesis of Morphine Alkaloids



Morphine



morphine skeleton

The morphine alkaloids constitute a class of structurally related natural products isolated from opium poppy, *Papaver somniferum*. Progresses toward the synthesis of the morphine alkaloids are reviewed in terms of chronological order.

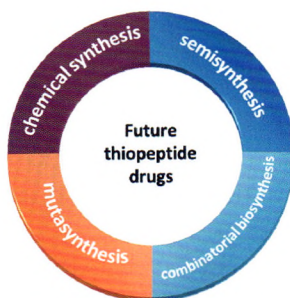
Li, Qilin; Zhang, Hongbin*

Chin. J. Org. Chem. **2017**, 37(7), 1629

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Progress in Synthesis of Thiopeptide Antibiotics Analogues

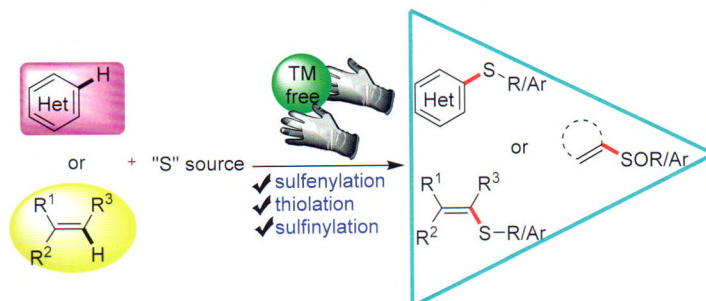
Wang, Shoufeng*; Zheng, Qingfei; Duan, Panpan; Liu, Wen*
Chin. J. Org. Chem. **2017**, 37(7), 1653



Modification of the structure of thiopeptides has produced numerous analogues that overcome some of their inherent limitations to these naturally occurring substances. The combined use of chemical synthesis, semisynthesis, combinatorial biosynthesis, and mutasynthesis will allow the development of future thiopeptide drugs.

Recent Advances in the C(sp²)—S Bond Formation Reactions by Transition Metal-Free C(sp²)—H Functionalization

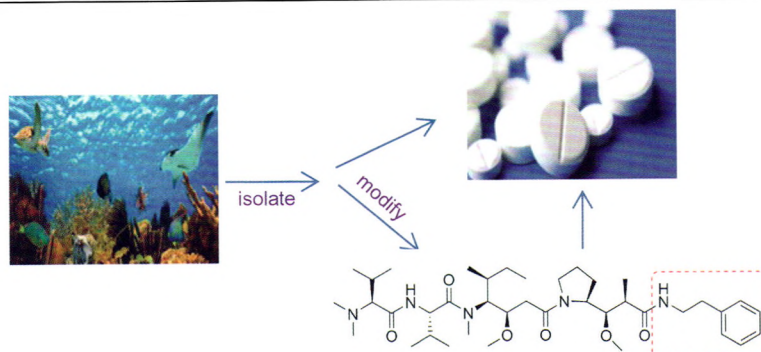
Liu, Yunyun*; Xiong, Jin; Wei, Li*
Chin. J. Org. Chem. **2017**, 37(7), 1667



This review introduces mainly the research advances on transition metal-free C(sp²)—S bond forming reaction by means of C(sp²)—H bond functionalization over the period of 2001~2016.

Advances in Application of Marine Bioactive Peptides in Drug Development

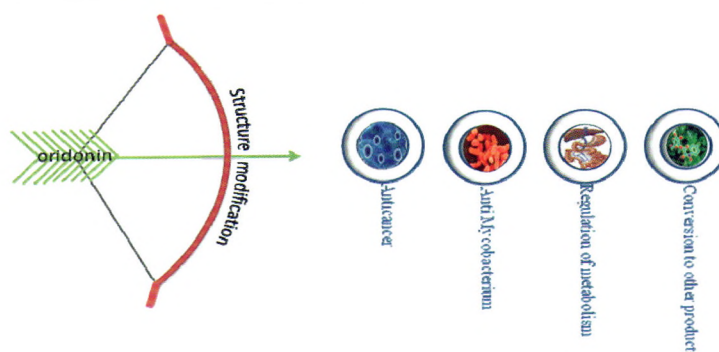
Lu, Wenyu; Yu, Wenjing; Sun, Dequn*
Chin. J. Org. Chem. **2017**, 37(7), 1681



The current research status of marine bioactive peptides is reviewed including their source, synthetic method, chemical structure, activity, mechanism, clinical efficacy and safety. Research prospects in this field are discussed.

Advances in the Study of Structural Modification and Biological Activities of Oridonin

Dai, Yi*; Zhong, Fei
Chin. J. Org. Chem. **2017**, 37(7), 1701

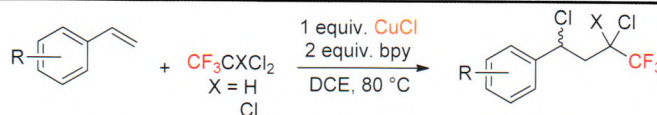


Oridonin, an ent-kaurane diterpenoid, is found in the Chinese herb *Rabdosia rubescens* and some related species, and has various biological activities such as anti-tumor, anti-microbial, anti-inflammatory, and so on. This review provides an overview of the multifunctional effects of the structural modification of oridonin since 2000, suggesting that it may be effective choice for improving pharmacological activities.

ARTICLES

Copper-Mediated Addition Reactions to Styrenes with 1,1,1-Trifluoro-2,2-dichloroethane or 1,1,1-Trifluoro-2,2,2-trichloroethane

Han, Enjian; Guo, Yong*; Chen, Qingyun*
Chin. J. Org. Chem. **2017**, 37(7), 1714

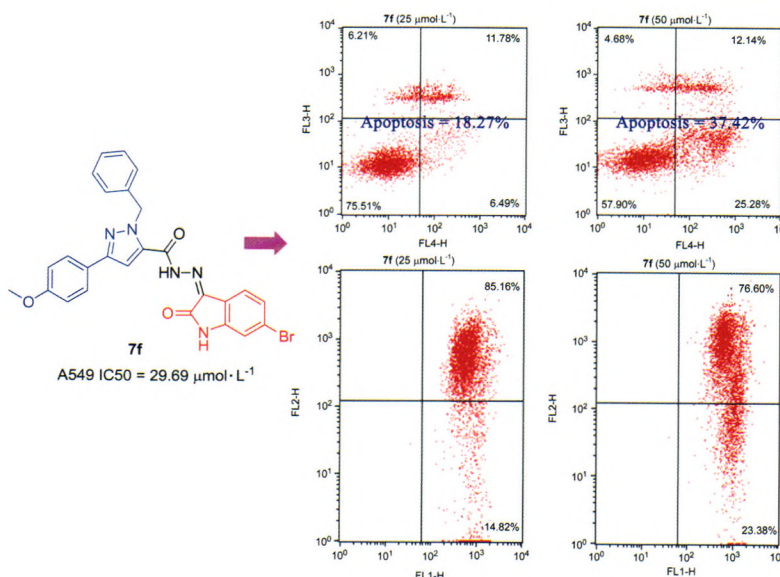


HCFC conversion, C-Cl activation and CF₃-containing products

An efficient CuCl/bpy-mediated atom transfer radical addition reaction of styrenes with CF₃CHCl₂ and CF₃CCl₃ is developed. Bpy which was added to enhance the reducibility of copper was crucial for activating one inert C—Cl bond of CF₃CHCl₂ and CF₃CCl₃ to form single radical adducts.

Synthesis of Novel Pyrazole Derivatives Containing Isatins as Potential Apoptosis Inducer in Non-small Lung Cancer A549 Cells

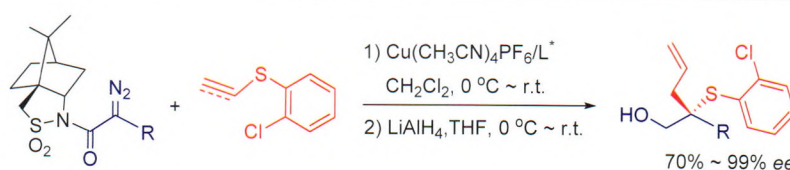
Zhang, Lei*; Li, Wenyun; Liu, Lai; Zheng, Chengyue; Wang, Yang; Xu, Yingshu; Shi, Dabin; Nie, Xuqiang; Guo, Jiaying; Zhu, Chunyuan; Wang, Jing*
Chin. J. Org. Chem. **2017**, 37(7), 1721



Two kinds of novel pyrazole derivatives containing isatins were prepared and their antineoplastic activities were evaluated against human non-small cell lung cancer cell line A549 using CCK-8 assay. Among them, *N'*-(3-imino-6-bromoindole-2-one)-1-benzyl-3-(4-methoxyphenyl)-1*H*-pyrazole-5-carbohydrazide (**7f**) exhibited an IC₅₀ value of 29.69 μmol·L⁻¹. Moreover, the anti-proliferative activity of **7f** was mediated by apoptosis-dependent mechanism involving the mitochondrial pathway in A549 cells.

Cu(I)-Catalyzed Stereoselective Doyle-Kirmse Reaction

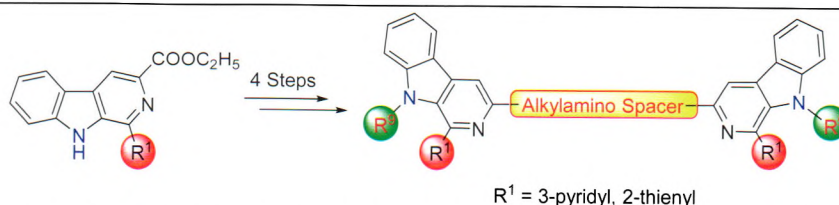
Sheng, Zhe; Ma, Ming; Peng, Lingling; Zhang, Zhikun; Chu, Changhu*; Zhang, Yan; Wang, Jianbo*
Chin. J. Org. Chem. **2017**, 37(7), 1730



A highly stereoselective [2,3]-σ rearrangement of sulfonium ylides derived from Cu(I) carbene and allyl/propargyl sulfides (the Doyle-Kirmse reaction) is reported. High stereocontrol is achieved by a dual asymmetric induction approach which involves a chiral auxiliary on diazo substrate, and steric bulky ligand of the Cu(I) catalyst.

Synthesis and Antitumor Activities of Novel Bivalent 1-Heterocyclic-β-carbolines Linked by Alkylamino Spacer

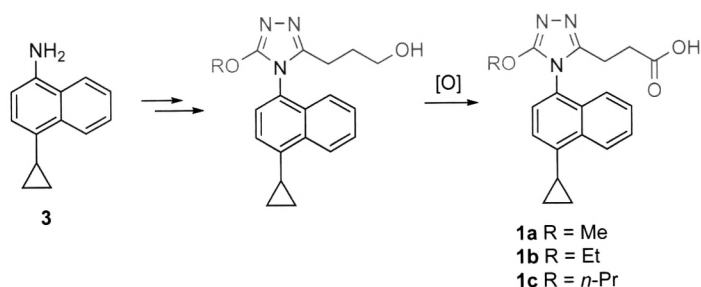
Guo, Liang; Xie, Jianwei; Fan, Wenxi; Chen, Wei; Dai, Bin*; Ma, Qin
Chin. J. Org. Chem. **2017**, 37(7), 1741



Eight 1-heterocyclic substituted bivalent β-carbolines were designed, synthesized and characterized for their cytotoxic profiles against a panel of human tumor cell lines.

CONTENT

Efficient Synthetic Approaches to Uric Acid Transporter 1 Inhibitors Bearing Alkoxy Group-Substituted Triazoles



Two synthetic approaches were developed:

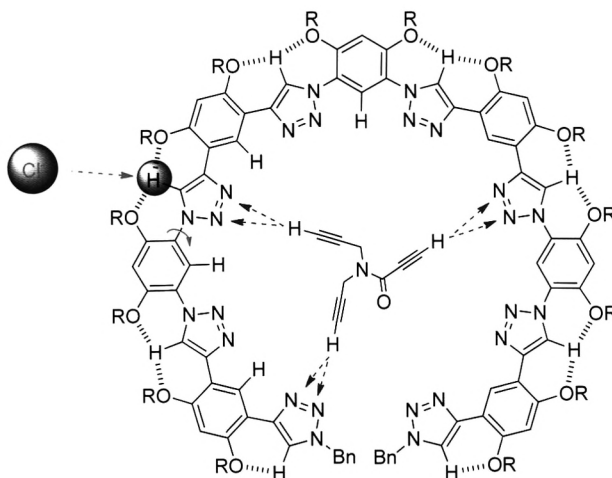
Approach A: 5 steps; overall yield, 19.8% (**1a**), 19.9% (**1b**), 16.7% (**1c**)

Approach B: 6 steps; overall yield, 32.5% (**1a**), 31.2% (**1b**), 27.6% (**1c**)

Tian, He; Wu, Jingwei; Liu, Yuqiang; Xie, Yafei; Wang, Jianwu*; Zhao, Guilong*
Chin. J. Org. Chem. **2017**, 37(7), 1748

Two efficient synthetic approaches to lead compounds **1a**~**1c** were developed. They are characterized by dramatically higher yields.

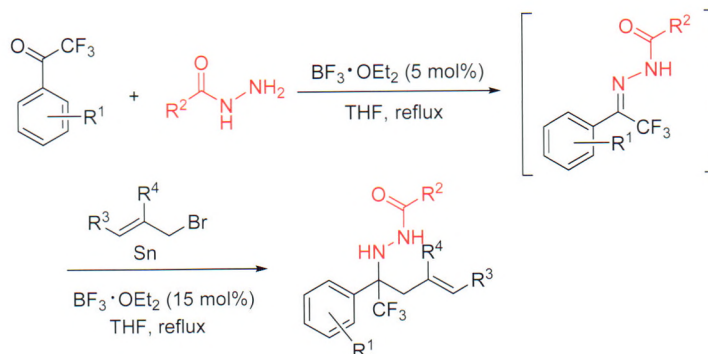
Intramolecular C—H···O Hydrogen Bonding-Driven 1,2,3-Triazole Foldamers: Assessment of Intermolecular C—H···X[−] (X = Cl, Br, I) and C—H···N Hydrogen Bonding



Sun, Guangjun; Nie, Chengbin; Zhao, Xin*; Li, Zhanting*
Chin. J. Org. Chem. **2017**, 37(7), 1757

Systematic NMR studies demonstrate that, whereas the C—H proton of 1,2,3-triazole forms both intra- and inter-molecular hydrogen bonding, the two N atoms are very weak hydrogen bonding acceptor.

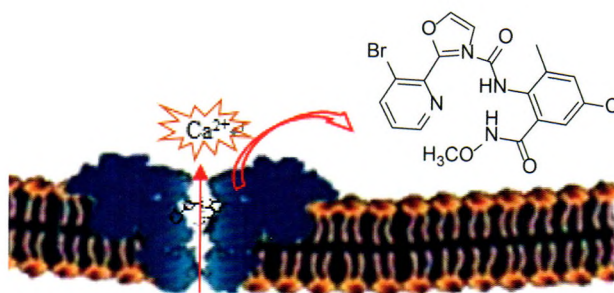
Tin-Promoted One-Pot Synthesis of Aryl/Trifluoromethyl Group Substituted Homoallylic N-Acylhydrazines



Wang, Kehu*; Wang, Yalin; Yin, Xuejiao; Peng, Xiansha; Huang, Danfeng; Su, Yingpeng; Hu, Yulai*
Chin. J. Org. Chem. **2017**, 37(7), 1764

A series of trifluoromethylated homoallylic *N*-acylhydrazines were obtained from one-pot reaction of aryl trifluoroketones, acylhydrazines and allyl bromide promoted by tin powder in the presence of boron trifluoride diethyl etherate. The features of this process include good yields, wide substrate scope, mild conditions and easy operation. Trifluoromethylated homoallylic *N*-acylhydrazines are useful trifluoromethyl building blocks. They can be easily transformed into trifluoromethylated nitrogen-containing compounds.

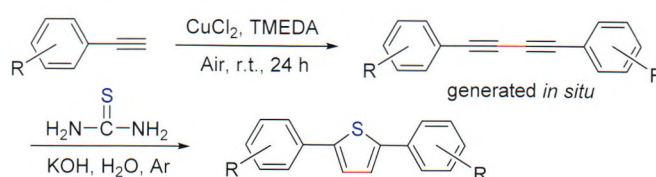
Synthesis and Insecticidal Activity of *o*-Carboxamidobenzamide Compounds Containing 2-(Substituted phenyl)oxazole Group



In order to study the insecticidal activity of *o*-carboxamidobenzoyl compounds, summarize the structure-activity relationships of these compounds, 29 diamides target compounds containing 2-substituted phenyloxazole group were synthesized. The structure-activity relationship of these compounds was summarized and the desired compounds with high activity were obtained.

Wang, Mengmeng; Zhang, Qingqing; Yue, Kai; Li, Qingshan*; Xu, Fengbo*
Chin. J. Org. Chem. **2017**, 37(7), 1774

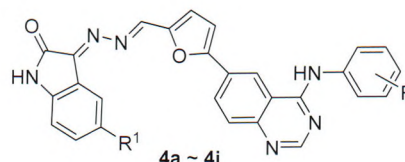
One-Pot Synthesis and Optical Properties of 2,5-Diphenylthiophene Derivatives



A convenient and facile methodology for the synthesis of 2,5-diphenylthiophene derivatives is described. The environmentally friendly synthetic approach is supported by a one-pot tandem reaction process. UV and fluorescence properties of the synthesized compounds were further explored.

Qian, Cunwei*; Zang, Shiyu; Zhou, Qian; Wang, Dong; Li, Wanxin; Wang, Maoyuan*
Chin. J. Org. Chem. **2017**, 37(7), 1781

Synthesis and Antitumor Activity of Heterozygous Isatin-Quinazoline Compounds

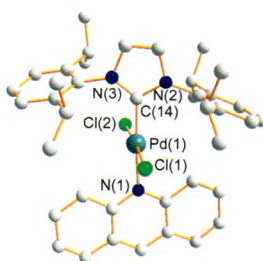
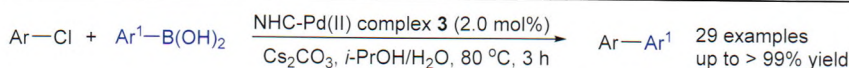


4a: R = 3-ethynyl, R¹ = H; **4b:** R = 3-ethynyl, R¹ = F; **4c:** R = 3-ethynyl, R¹ = Cl; **4d:** R = 4-(*E*)-propenyl, R¹ = H; **4e:** R = 4-(*E*)-propenyl, R¹ = F; **4f:** R = 4-(*E*)-propenyl, R¹ = Cl; **4g:** R = 3-chloro-4-(3-fluorobenzyloxy), R¹ = H; **4h:** R = 3-chloro-4-(3-fluorobenzyloxy), R¹ = F; **4i:** R = 3-chloro-4-(3-fluorobenzyloxy), R¹ = Cl

Novel heterozygous isatin-quinazoline compounds were synthesized from cheap and readily accessible ortho nitrobenzaldehyde as the starting material. The antitumor activity of the nine new compounds **4a~4i** was evaluated *in vitro* by methyl thiazolyl tetrazolium assay.

Zhang, Ying; Lü, Mengjiao; Zhang, Yaling; Chen, Li; Wang, Wei*; Li, Baolin*
Chin. J. Org. Chem. **2017**, 37(7), 1787

N-Heterocyclic Carbene-Palladium(II) Complexes with Acridine Ligand: Synthesis, Characterization and Catalytic Applications

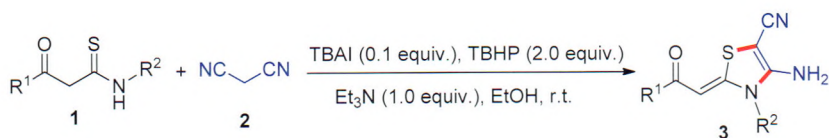


Two novel *N*-heterocyclic carbene-palladium(II) complexes were conveniently synthesized through one-pot reactions of imidazolium salts, palladium chloride and acridine. Moreover, the obtained palladium(II) complexes were the effective catalyst precursors for the Suzuki-Miyaura coupling of aryl as well as benzyl chlorides with arylboronic acids. Under the optimal conditions, all reactions proceeded successfully to give the desired products in good to almost quantitative yields.

Wang, Tao*; Xu, Kai; Meng, Tuanjie; Zhang, An'an; Wang, Hongyu; Shen, Sisi; Liu, Lantao*
Chin. J. Org. Chem. **2017**, 37(7), 1794

CONTENT

Tetrabutylammonium Iodide/*t*-Butylhydroperoxide Catalytic/Oxidative Synthesis of Thiazolylidene Derivatives

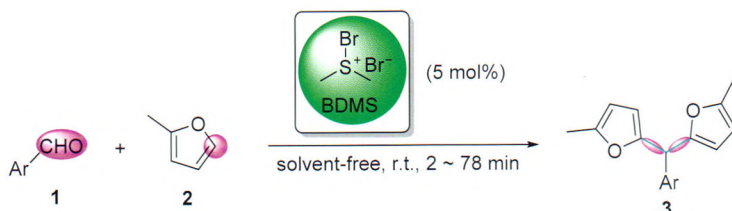


A new method to synthesize thiazolylidene derivatives from β -ketothioamides and malononitrile by tetrabutylammonium iodide/*t*-butylhydroperoxide catalyst was developed.

Yu, Le; Liu, Ruijuan; Li, Ming*

Chin. J. Org. Chem. **2017**, 37(7), 1800

Synthesis of Difurylarylmethanes Catalyzed by Bromodimethylsulfonium Bromide

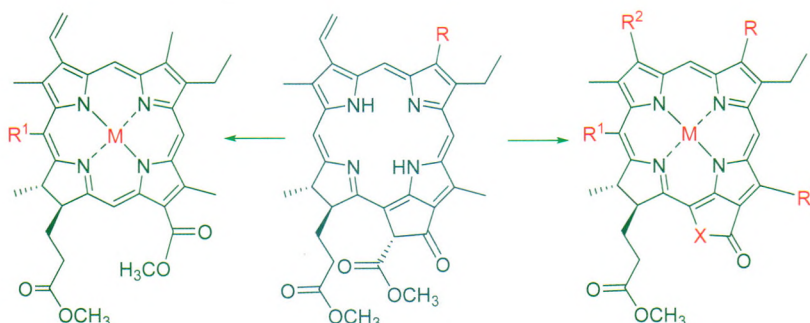


An efficient synthetic protocol was devised for the synthesis of difurylarylmethanes from aromatic aldehydes and 2-methyl furan by using bromodimethylsulfonium bromide as a catalyst. The important aspects of the present methodology are: use of low-price catalyst, shorter reaction time, compatibility with wide range of substrates, and good yields.

Liu, Juyan*; Huang, Haijing; Jiao, Dequan

Chin. J. Org. Chem. **2017**, 37(7), 1808

Synthesis of Chlorin Aldehydes with Chlorophyllous Skeleton and Their Interactions with Protein



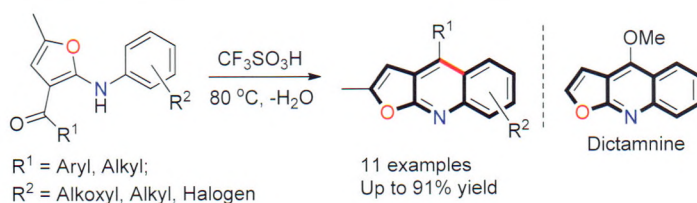
$R = \text{CH}_3, \text{CHO}; R^1 = \text{H}, \text{CHO}, \text{CH}=\text{CHCHO}; R^2 = \text{CH}=\text{CH}_2, \text{CHO}, \text{CH}(\text{OCH}_3)_2$
 $R^3 = \text{H}, \text{CHO}; M = \text{Ni}, \text{Zn}, 2\text{H}; X = \text{CH}_2, \text{CON}(\text{C}_4\text{H}_9), \text{CON}(\text{C}_2\text{H}_5\text{OH}), \text{CON}(\text{C}_2\text{H}_5\text{CHO})$

Pyropheophorbide-a (b) methyl esters were used as starting materials and converted to chlorophyll derivatives bearing different aldehyde group by the modification of the active structures of their peripheries. A series of unreported chlorin aldehydes related to chlorophyll were synthesized. The reaction mechanisms on the hydroformylation were discussed and the interactions with bovine serum albumins of new compounds were researched.

Jiang, Qiyong; Zhang, Zhu; Liu, Yang; Yao, Nannan; Wang, Jinjun*

Chin. J. Org. Chem. **2017**, 37(7), 1814

Brønsted Acid-Promoted the Synthesis of Furo[2,3-*b*]quinolines



$R^1 = \text{Aryl}, \text{Alkyl};$
 $R^2 = \text{Alkoxy}, \text{Alkyl}, \text{Halogen}$

11 examples
Up to 91% yield



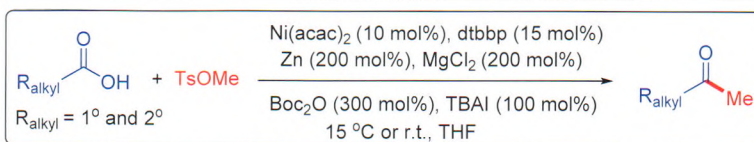
Dictamnene

The furoquinoline unit is present in many natural products. Here, an approach is presented for the preparing of furo[2,3-*b*]quinolines from readily available multi-substituted furans in the presence of Brønsted acid via an intramolecular cyclization under the heating conditions. Simple operation, good compatibility, high regioselectivity and moderate yields are the advantages of the method.

Zhang, Zhiguo*; Zheng, Dan; Ma, Na'na; Bi, Jingjing

Chin. J. Org. Chem. **2017**, 37(7), 1824

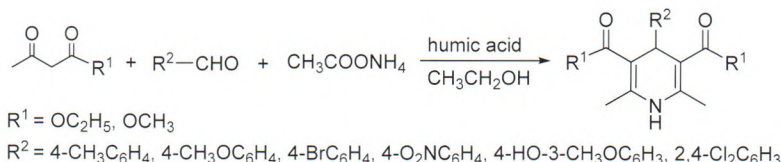
NOTES

Nickel-Catalyzed Reductive Methylation of Alkyl Acid with Methyl *p*-Tosylate

A room-temperature Ni-catalyzed reductive methylation method for the coupling of aryl acid with methyl *p*-tosylate has been developed. Moderate yields as well as good functional group tolerance were observed under the present mild and easy-to-operate reaction conditions.

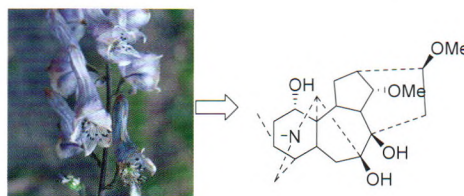
Gu, Jun; Liu, Jiandong; Sun, Yuren; Wang, Hongyu*
Chin. J. Org. Chem. **2017**, 37(7), 1830

Synthesis of 1,4-Dihydropyridine Compounds Catalyzed by Humic Acid



Humic acid has been found to be an extremely efficient catalyst for Hantzsch reaction. The catalyst could also be recovered easily.

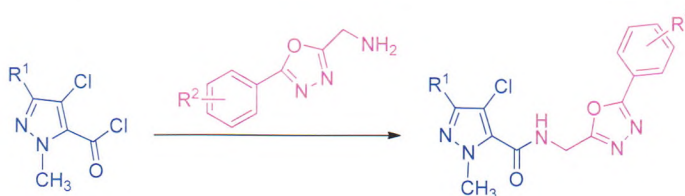
Wei, Zhenzhong; Li, Jiangfei; Wang, Zeyun; Li, Pinhua; Wang, Yongqiu*
Chin. J. Org. Chem. **2017**, 37(7), 1835

Diterpenoid Alkaloids from *Aconitum leucostomum* and Their Antifeedant Activity

A new C₁₈ diterpenoid alkaloid, leucostonine, was isolated from the whole plant of *Aconitum leucostomum* Vorosch., together with twelve known diterpenoid alkaloids. Their structures were elucidated on the basis of extensive spectroscopic analysis, including HR-ESI-MS, 1D NMR and 2D NMR experiments. 12 compounds were tested for their antifeedant activity against larvae of *Spodoptera exigua* Hiibner. Anthranoyllycoctonine and avadharidine showed considerable potent antifeedant activity (EC₅₀ < 1 mg/cm²), followed by *N*-acetylsepaconitine, finaconitine and *N*-deacetylappaconitine (EC₅₀ < 2 mg/cm²).

Chen, Lin; Wang, Qian; Huang, Shuai; Shan, Lianhai; Gao, Feng; Zhou, Xianli*
Chin. J. Org. Chem. **2017**, 37(7), 1839

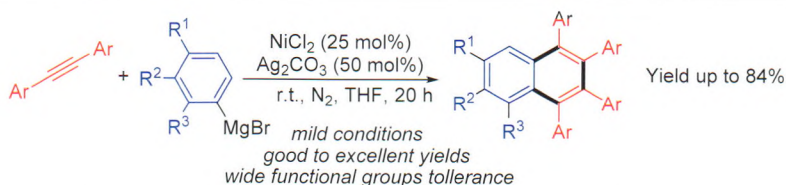
Synthesis and Insecticidal Activities of Novel Pyrazole Amides Containing 1,3,4-Oxadiazole Moiety



A series of novel pyrazole amide derivatives containing 1,3,4-oxadiazole moiety were prepared, and their insecticidal activities were tested.

Shi, Yujun; Ye, Linyu; Zhong, Sulin; Cao, Xiongfei; Dai, Hong*; Hong, Yu; Li, Chun-jian; Shi, Jian; Wu, Jinming*
Chin. J. Org. Chem. **2017**, 37(7), 1844

Nickel-Catalyzed Coupling of Grignard Reagents and Diaryl Acetylenes for Synthesis of Tetra-substituted Naphthalenes

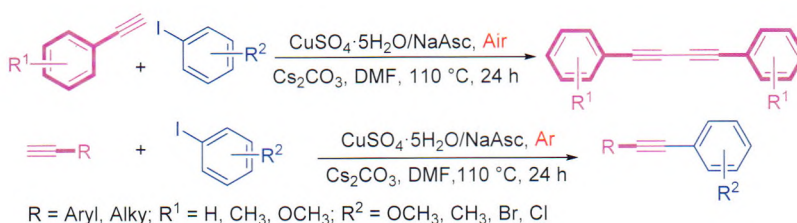


A novel approach for the synthesis of tetra-substituted naphthalenes is demonstrated through the ligand-free coupling of a wide range of alkynes with Grignard reagents catalyzed by NiCl₂, avoiding the use of special ligands and expensive catalysts used in previous methods.

Chen, Jinyang; Wu, Xiaobo; Yi, Rongnan; Xu, Xinxua*
Chin. J. Org. Chem. **2017**, 37(7), 1850

CONTENT

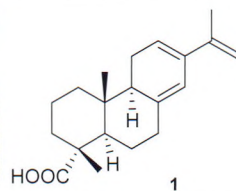
CuSO₄·5H₂O/NaAsc-Catalyzed Sonogashira Coupling Reaction of Aryl Iodides and Terminal Alkynes



In this paper, the homogeneous catalytic system of CuSO₄·5H₂O/NaAsc was used to realize the Sonogashira reaction of aryl iodide and terminal alkynes. This catalytic system replaces the general catalytic system of Pd/Cu-bound phosphorus ligand, which has the advantages of cheap catalyst, simple post-treatment, reaction under homogeneous conditions, and meets the requirements of green chemistry.

Qi, Haitang; Song, Guanglin; Quan, Zhengjun; Wang, Xicun*
Chin. J. Org. Chem. **2017**, 37(7), 1855

Diterpenoids from the Needles of the Endangered Plant *Pinus Dabeshanensis* and Their Protein Tyrosine Phosphatase 1B Inhibitory Effects

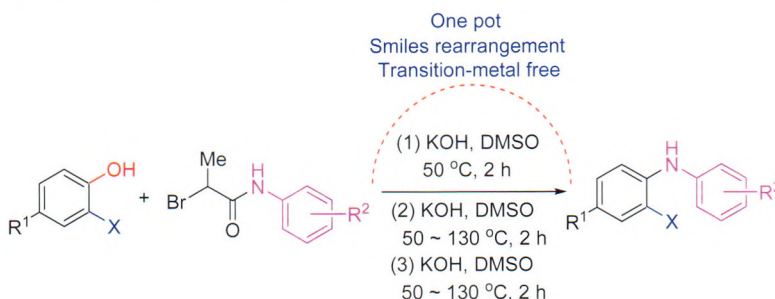


One new, dabeshanensin L (**1**), and nine known diterpenoids with diverse structures were isolated from the needles of *Pinus dabeshanensis*. The new structure was established by detailed analysis of its

spectroscopic data (IR, 1D/2D NMR, and HRMS). Compounds **4**, **6** and **8** showed significant inhibitory activity against human protein tyrosine phosphatase 1B enzyme with IC₅₀ values ranging from 14.6 to 37.7 μmol/L.

Li, Ming; Hu, Changlin; Han, Han; Xiong, Juan; Hu, Jinfeng*
Chin. J. Org. Chem. **2017**, 37(7), 1860

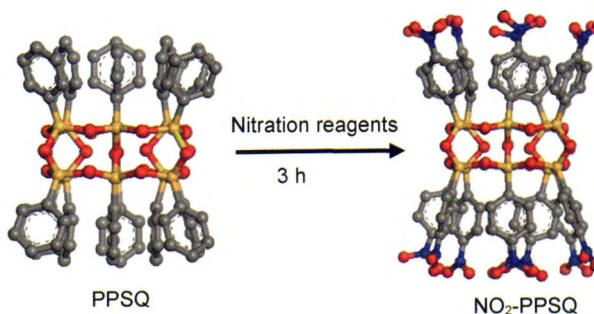
Transition-Metal-Free Synthesis of *o*-Halodiarylamines from *o*-Halophenols



A metal-free method for the synthesis of *o*-halodiarylamines from *o*-halophenols via Smiles rearrangement reaction as a key step has been developed. A variety of *o*-halodiarylamines were prepared by this method in the KOH/DMSO system in good yields, which provides an alternative way to synthesize other useful products from *o*-halodiarylamines.

Lin, Songbo; He, Xingrui; Meng, Jinpeng; Gu, Haining; Zhang, Peizhi; Wu, Jun*
Chin. J. Org. Chem. **2017**, 37(7), 1864

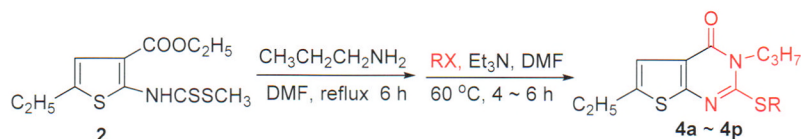
Nitration Study of Cyclic Ladder Polyphenylsilsesquioxane



Introduction of nitro groups into the polyhedral oligomeric silsesquioxanes (POSS) by nitration is an important method for improving the compatibility between POSS and other polymers. GPC, TGA, ¹H NMR, FTIR and element analysis were used to characterize the structures of the products nitrated from cyclic ladder polyphenylsilsesquioxane by several kinds of nitration agents, including fuming nitric. At the same time, the nitration mechanisms using different nitration reagents were analyzed.

Wu, Yiwei; Fan, Haibo; Yang, Rongjie*
Chin. J. Org. Chem. **2017**, 37(7), 1870

Designed, Synthesis and Herbicidal Activity of Novel 2-Alkylthio-thieno[2,3-*d*]-pyrimidin-4-ones



In an attempt to discover novel fuse heterocyclic compound with high herbicidal activity, sixteen newly 2-alkylthio-thieno[2,3-*d*]pyrimidin-4-ones have been designed and synthesized by the reaction of 3-propyl-6-ethylthieno[2,3-*d*]pyrimidine-2-thione with halo-hydrocarbon. Their structures were clearly verified by ^1H NMR, IR, LC-MS and elemental analysis. Preliminary bioassay results indicate that some target compounds have excellent inhibitory activities on barnyard grass and rape. Compounds **4e** and **4o** show 100% inhibition rate to barnyard grass at the concentration of 100 mg/L.

Zhao, Anlin; Liu, Shu; Zhu, Yongmei;
Wang, Tao*; Luo, Jin*
Chin. J. Org. Chem. **2017**, 37(7), 1877

HIGHLIGHTS

Chin. J. Org. Chem. **2017**, 37(7), 1883



LabNetwork



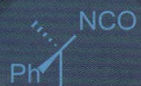
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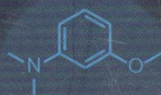
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维生素B₁₂的全合成

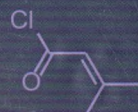
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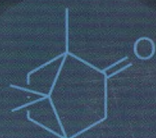
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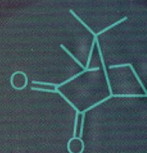
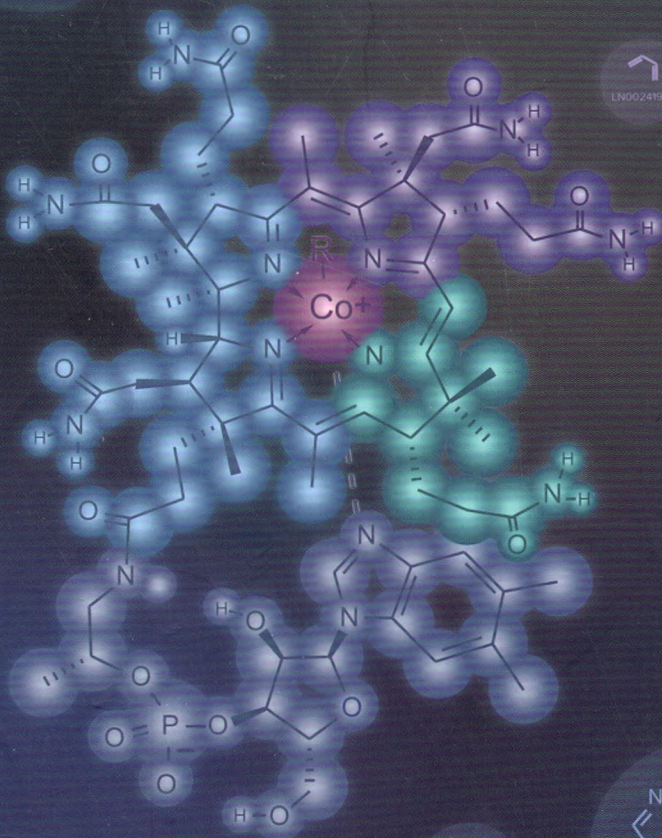
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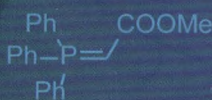
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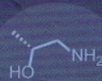
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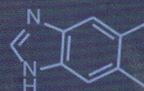
LN00171711



LN00004102



LN00226609



LN00179122

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