SSN 0253-2786
QK1720648 CN 31-1321/O6
http://sioc-journal.cn

有机化学 Youji Huaxue

Chinese Journal of Organic Chemistry

第 37 卷 第 7 期 Vol. 37 No. 7 2017

ISSN 0253-2786
07>
770253 278114
中国科学院上海有机化学研究所 SIOC 1950
CCS 中国化学会
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 37 卷 第 7 期 (总 344 期) 2017 年 7 月*

目 次

综述与进展

研究论文

* 通讯联系人.

研究简报

Chinese Journal of Organic Chemistry

Vol. 37 No. 7 July 2017

On the Cover

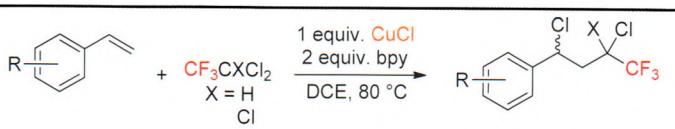
Over the past few years, great success in the asymmetric synthesis of α-chiral amines has been achieved by using chiral auxiliary or chiral catalysis strategies. Transition metal-catalyzed asymmetric addition of organoboron reagents to imines has proven to be one of the most efficient approaches to furnish 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

CONTENT

ARTICLES

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

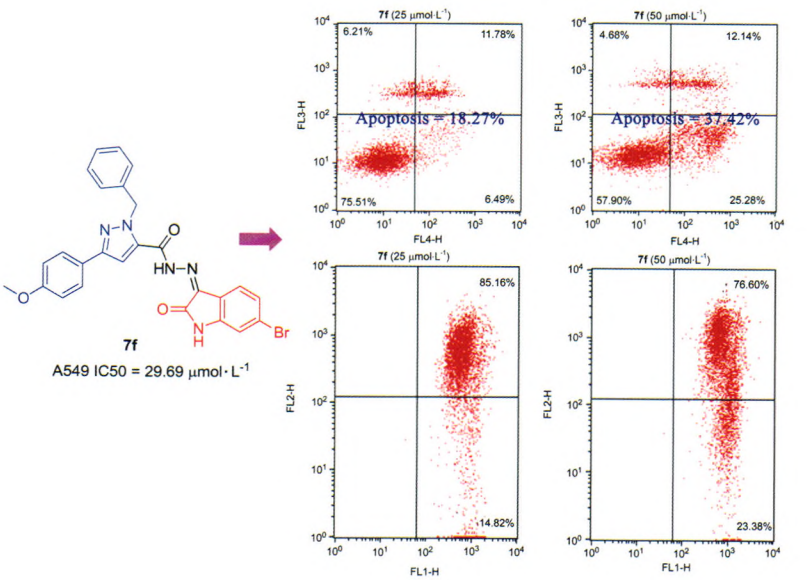


HCFC conversion, C-Cl ativation and CF_3-containing products

An efficient CuCl/bpy-mediated atom transfer radical addition reaction of styrenes with CF_3CHCl_2 and CF_3CCl_3 is developed. Bpy which was added to enhance the reducibility of copper was crucial for activating one inert C—Cl bond of CF_3CHCl_2 and CF_3CCl_3 to form single radical adducts.

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

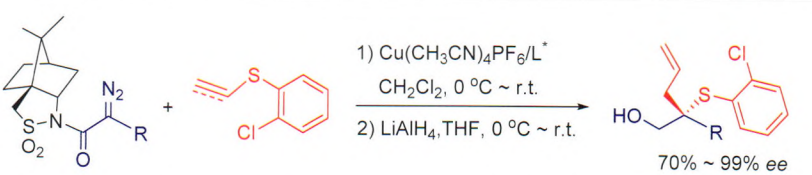
Synthesis of Novel Pyrazole Derivatives Containing Isatins as Potential Apoptosis Inducer in Non-small Lung Cancer A549 Cells



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 IC_{50} 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.

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

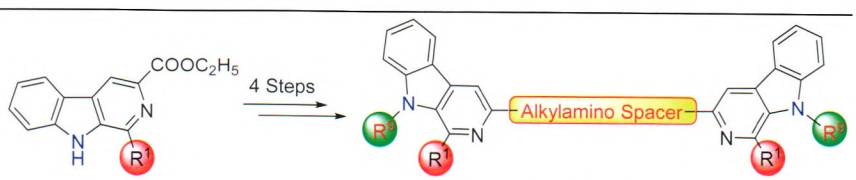
Cu(I)-Catalyzed Stereoselective Doyle-Kirmse Reaction



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.

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

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



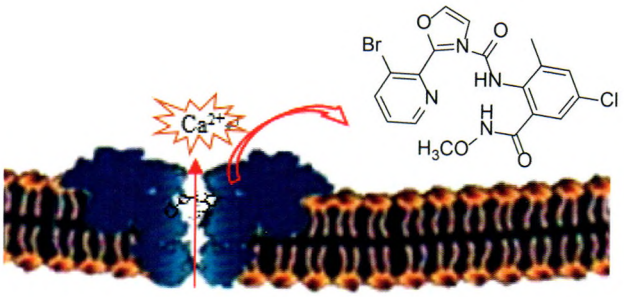
R^1 = 3-pyridyl, 2-thienyl

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

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

CONTENT

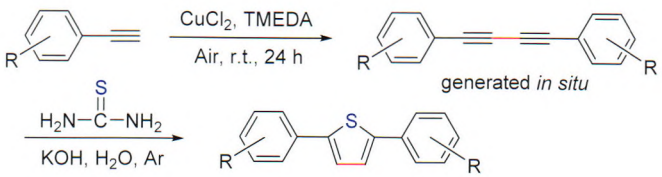
Synthesis and Insecticidal Activity of *o*-Carboxamidobenzamide Compounds Containing 2-(Substituted phenyl)oxazole Group



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

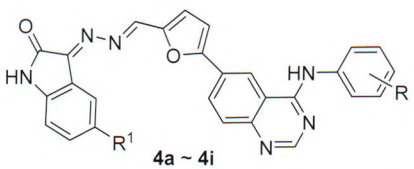
One-Pot Synthesis and Optical Properties of 2,5-Diphenylthiophene Derivatives



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



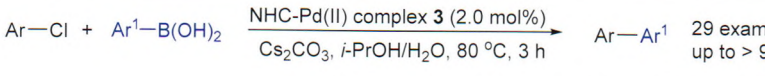
4a ~ 4i

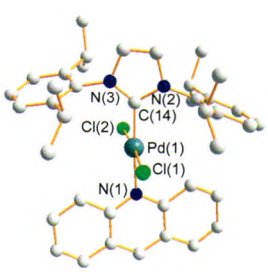
4a: R = 3-ethynyl, R^1 = H; **4b**: R = 3-ethynyl, R^1 = F; **4c**: R = 3-ethynyl, R^1 = Cl; **4d**: R = 4-(*E*)-propenyl, R^1 = H; **4e**: R = 4-(E)-propenyl, R^1 = F; **4f**: R = 4-(*E*)-propenyl, R^1 = Cl; **4g**: R = 3-chloro-4-(3-fluorobenzyloxy), R^1 = H; **4h**: R = 3-chloro-4-(3-fluorobenzyloxy), R^1 = F; **4i**: R = 3-chloro-4-(3-fluorobenzyloxy), R^1 = 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





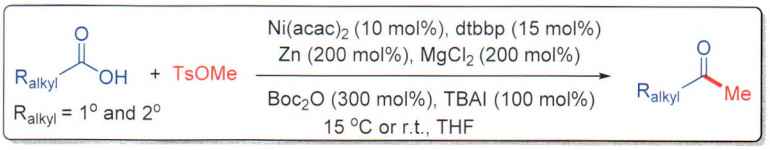
Two novel *N*-heterocyclic carbene-palladium(II) complexes were conveniently synthesized through one-pot reactions of imidazolium salts, palladium chloride and acridine. Moreover, the obtained palladium(II) complexes were the effective catalyst precursors for the Suzuki-Miyaura coupling of aryl as well 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

NOTES

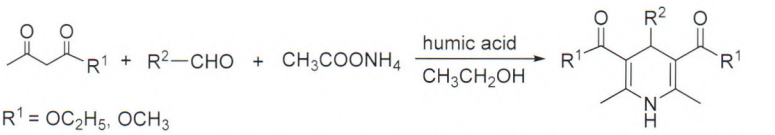
Nickel-Catalyzed Reductive Methylation of Alkyl Acid with Methyl *p*-Tosylate



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

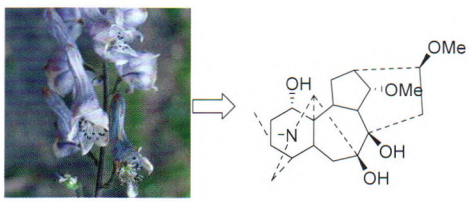
Synthesis of 1,4-Dihydropyridine Compounds Catalyzed by Humic Acid



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

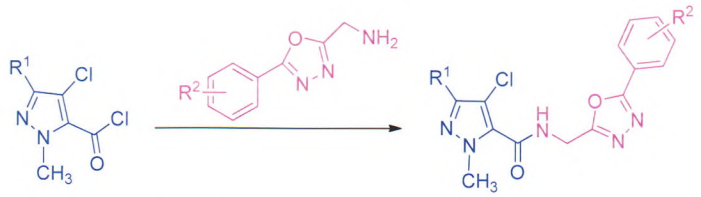
Diterpenoid Alkaloids from *Aconitum leucostomum* and Their Antifeedant Activity



A new C_{18} 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 ($EC_{50}<1$ mg/cm^2), followed by *N*-acetylsepaconitine, finaconitine and *N*-deacetylappaconitine ($EC_{50}<2$ mg/cm^2).

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

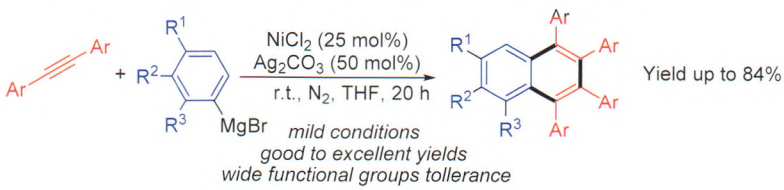
Synthesis and Insecticidal Activities of Novel Pyrazole Amides Containing 1,3,4-Oxadiazole Moiety



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, Chunjian; 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

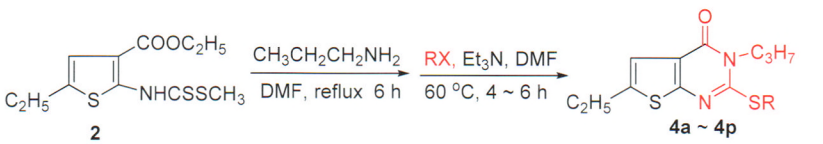


A novel approach for the synthesis of tetra-substituted naphthalenes is demonstrated through the ligand-free coupling of a wide range of alkynes with Grignard reagents catalyzed by $NiCl_2$, avoiding the use of special ligands and expensive catalysts used in previous methods.

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

CONTENT

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



Zhao, Anlin; Liu, Shu; Zhu, Yongmei; Wang, Tao*; Luo, Jin*

Chin. J. Org. Chem. **2017**, *37*(7), 1877

In an attempt to discover novel fuse heterocyclic compound with high herbicidal activity, sixteen newly 2-alkythio-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 ^{1}H 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.

HIGHLIGHTS

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

国际刊号：ISSN 0253-2786 国内刊号：CN 31-1321/O6 国内邮发代号：4-285 国外发行代号：M 513