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目 次

综述与进展

二氟甲基化方法研究进展 …… 王为强 余秦伟 张 前 李江伟 惠 丰 杨建明* 吕 剑* (1569)

线性二芳基碘盐在杂原子芳基化中的应用研究进展 …… 马姣丽 陈立成 袁中文 程辉成* (1586)

以糖原合成酶激酶-3 为靶标的抗老年痴呆新药研究进展 …… 赵文姣 孙德群* (1596)

Halo-Michael/Aldol 串联反应及其在合成中的应用 …… 代义华 申艳芳 高栓虎* (1608)

二氧化碳与亲核试剂反应的研究进展 …… 徐 佩 汪顺义 方 毅 纪顺俊* (1626)

过渡金属催化 *N*-导向羰基和醇羟基邻位 C—C 键活化反应的研究进展 …… 王晶晶 李 峰 于晓波 刘澜涛* 丁俊汝 谢佩瑶 王建辉* (1638)

过渡金属催化硝基芳烃的脱硝基偶联反应研究进展 …… 王玉超 叶秋香 邱观音生* 刘晋彪* (1650)

研究论文

砷亚胺配位的高自旋亚铁配合物的合成、表征和乃春转移反应 …… 赵明晶 毛国梁* 刘 洋 肖 洁 邓 亮* (1656)

无过渡金属催化 2-重氮-3,5-二氧代-6-炔酯/炔酮/炔酰胺的区域选择性逆转环化: 重氮 γ-吡喃酮和重氮 3(2*H*)-呋喃酮衍生物的合成 …… 陆声乐 涂现侠 刘为顺 沈利亭 毛善检 邓桂胜* (1663)

6-取代-吡啶并[3,2-*e*][1,2,4]三唑并[4,3-*a*]吡嗪类衍生物的合成及抗惊厥活性研究 …… 李佳丽 胡 涛 张洪健 宫国华* 全哲山* (1673)

新型苯并噻吩稠合吡啶并[1,2-*a*]嘧啶衍生物的合成及其抑菌活性 …… 徐 姣 马 玲 刘秀波 马 伟* 马 岩 王道林* (1680)

铑催化酸酐对氧杂苯并降冰片烯的不对称开环反应研究 …… 胡继荣 徐建斌* 邹玲玲 吕海平 樊瑞峰 刘 娜 周永云 樊保敏* (1687)

2-甲基-1-取代苯基-2-丙胺类化合物的简便制备方法 …… 朱兰平 赵 帅 仓志鹏 周安迪 陈 新* (1695)

糖基配体促进的铜催化水相 Ullmann 型 C—N 偶联反应 …… 刘学民 陈 雯 倪邦庆 陈新志 钱 超 葛 新* (1703)

Selectfluor 介导的高效高选择性地脱除叔丁氧羰基方法研究 …… 曾逸杰 段 岳 赵 辉* 胡祥国* (1712)

* 通讯联系人.

甲氧基柱[5]芳烃对苯并唑类杂环化合物的主客体作用研究 …… 师海雄 程晓斌 林 奇 姚 虹 张有明 魏太保* (1718)
锡粉促进下“一锅法”合成 α-亚甲基-γ-丁内酯衍生物 …… 杨 政 黄丹凤* 文 岚 王娟娟 王克虎 胡雨来 (1725)
水溶性磺酸卟啉的合成、性质及光催化 2,3-萘二酚 …… 蔡 诚 孙凯芳 王 颖 侯宗胜 任奇志* (1733)
通过羧酸与异硫氰酸酯的反应直接构筑大位阻与缺电子仲酰胺 …… 谭家希 郭 也 曾 飞 陈观荣 谢龙勇* 何卫民* (1740)
MCM-41 负载杂多酸水相中催化亚胺和丙二腈的加成-消去反应 …… 侯亚东 董秀芝 杨 超* 惠永海* 解正峰 (1749)
新型含取代噁二唑结构的吡唑肟类化合物的合成与生物活性研究 …… 戴 红 丁 颖 杜显超 姚 炜 陈庆文 王祥龙 仲苏林 曹雄飞 石玉军* (1755)
新型杂环查尔酮衍生物的合成及其杀虫活性研究 …… 严映坤 徐 侨 高 扬 刘 辉 唐孝荣* (1763)
新型含取代噁唑结构的氰基丙烯酸酯类化合物的合成与除草活性研究 …… 石玉军 杜显超 王祥龙 陈庆文 李 玲 戴 红* 徐蔡芹 张敬远 凌 勇* (1772)
两种具有高弛豫率的双核非离子型磁共振对比剂 …… 孙宏顺 李玉龙 蒋 蘋 郭 成 沈临江* (1779)
胼二腙类多功能长波发射荧光探针的合成及其铜配合物对 H_2S 的识别 …… 钟克利 赵 杰 李秋莹 侯淑华 汤轶伟* 边延江 汤立军* (1786)
一种在含水介质和食物样品中快速和高灵敏度的双通道 检测氰根的化学传感器 …… 曲文娟 李文婷 张海丽 张有明* 林 奇 姚 虹 魏太保* (1792)
基于功能化萘二甲酰亚胺席夫碱的 Fe^{3+}传感器分子的合成及性能 …… 张有明* 韩冰冰 林 奇 毛鹏鹏 陈进发 姚 虹 魏太保* (1800)

研究简报

一种氟离子荧光传感器分子的合成及性能研究 …… 付 怡 唐 辉 刘 泽 张万轩* 任 君* (1806)
“一锅煮”的化学-酶法合成手性 α-卤代芳基醇 …… 杨靖文 陈建波 王诗婕 吴小梅* 马宝娣 徐 毅* (1811)
Pd/O_2 体系中邻炔基苯乙烯类衍生物的氯钯化/Heck 串联反应研究 …… 邱会华* 成博睿 黄颖思 陈 翠 周 鹏 (1817)
$K_2S_2O_8$/H_2O/NaOTf 体系促进的烯基叠氮类化合物的 Schmidt 重排反应 …… 徐 峥 周丙伟 金红卫 刘运奎* (1823)
南鹤虱中两个新的倍半萜类化合物 …… 成 蕾 刘贵园 潘胤池 张茂生 肖世基* (1829)
胆木枝叶中一个新的吲哚生物碱类化合物 …… 柳庆龙 陈阿红 蒋芝华 马延蕾 唐进英 徐 伟 刘艳萍* 付艳辉* (1833)
爪哇毛霉脂肪酶催化合成 2-氨基噻吩衍生物 …… 卢 粤 姜国芳* 谢宗波 陈国庆 乐长高* (1837)
利用 Passerini 反应简便合成 2-(吡啶-3-基)-2-苯甲酰氧基乙酰胺及其生物活性 …… 张君辉 牛李智 李 映 刘 思 姜 林* (1842)
金属钯催化偶氮苯与 $Co(NO_3)_2$•$6H_2O$ 通过 C—H 活化邻位硝基化反应 …… 王少凡 赵启鹏 王国栋 王 凯 夏成才* (1849)

亮点介绍 …… (1855)

Chinese Journal of Organic Chemistry

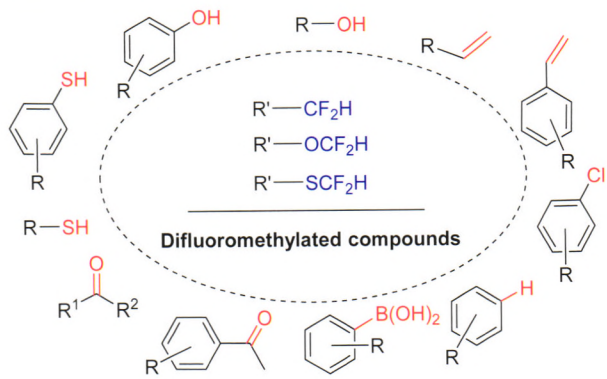
Vol. 38 No. 7 July 2018

On the Cover

Recent progress in the synthesis of CF_2H-containing compounds by different synthetic strategies are reviewed by Wang, Yu, Zhang, Li, Hui, Yang and Lü on page 1569. Many efficient difluoromethylation methods have been developed to accomplish the introduction of CF_2H group into diverse organic substrates.

REVIEWS

Recent Progress on Difluoromethylation Methods

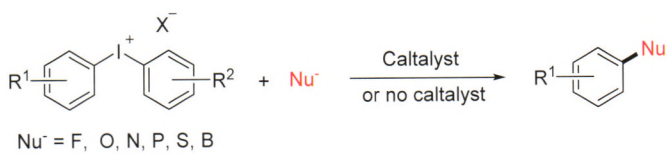


Wang, Weiqiang; Yu, Qinwei; Zhang, Qian; Li, Jiangwei; Hui, Feng; Yang, Jianming*; Lü, Jian*

Chin. J. Org. Chem. **2018**, *38*(7), 1569

The recent progress on difluoromethylation reactions of variety organic molecules, such as alcohols, thiols, carbonyl compounds, olefins, aryl halids, aromatic compounds, is reviewed.

Recent Advance of Acyclic Diaryliodonium Salts in Arylation of Heteroatom

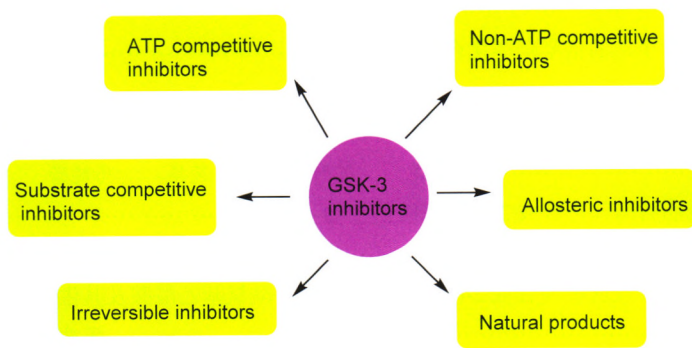


Ma, Jiaoli; Chen, Licheng; Yuan, Zhongwen; Cheng, Huicheng*

Chin. J. Org. Chem. **2018**, *38*(7), 1586

The application of acyclic diaryl iodonium salt in the arylation of heteroatom (including oxygen, nitrogen, sulfur, phosphorus, *etc.*) is summarized according to the classification of chemical bond formation.

Novel Drug Development Process of Anti-Alzheimer Targeted to Glycogen Synthase Kinase-3



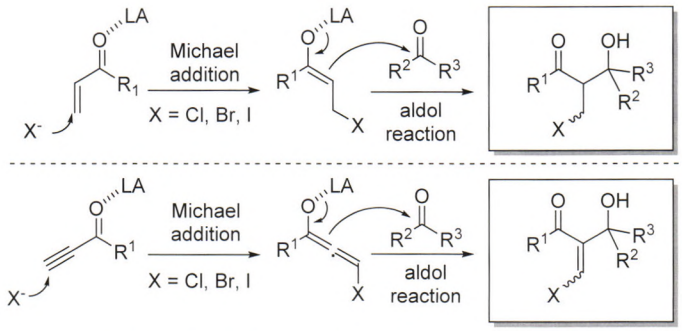
Zhao, Wenjiao; Sun, Dequn*

Chin. J. Org. Chem. **2018**, *38*(7), 1596

The glycogen synthase kinase-3 (GSK-3) inhibitors reported in recent five years are summarized, furthermore, the source, chemical structure and mechanism about GSK-3 inhibitors are introduced. Some research directions in this field are summarized.

CONTENT

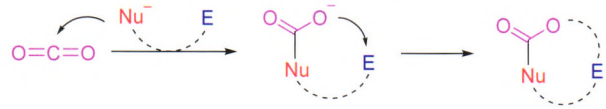
Cascade Halo-Michael/Aldol Reaction and Its Application in Synthesis



Dai, Yihua; Shen, Yanfang; Gao, Shuanhu*
Chin. J. Org. Chem. **2018**, *38*(7), 1608

The progress of cascade halo-Michael/Aldol reaction and its applications are reviewed. The future development of methodologies and applications are also prospected.

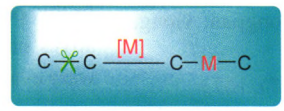
Research Progress on the Reaction of Carbon Dioxide with Nucleophiles



Xu, Pei; Wang, Shun-Yi; Fang, Yi; Ji, Shun-Jun*
Chin. J. Org. Chem. **2018**, *38*(7), 1626

Many of the heterocyclic compounds can be synthesized by reacting the carbon atom in using carbon dioxide with electron deficient with nucleophiles. This review focuses on the recent intermolecular and intramolecular reactions of carbon dioxide with nucleophiles centered around nitrogen, oxygen, or carbon.

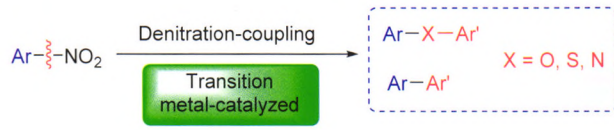
Recent Progress in the Research of the Transition-Metal-Catalyzed *N*-Directed Carbonyl and Alcohol Hydroxyl *ortho* C—C Bonds Activation Reactions



Wang, Jingjing; Li, Feng; Yu, Xiaobo; Liu, Lantao*; Ding, Junru; Xie, Peiyao; Wang, Jianhui*
Chin. J. Org. Chem. **2018**, *38*(7), 1638

The recent progress of chelation-assisted C—C activation and controlled transformation is reviewed, and the mechanisms of these C—C activation reactions are also discussed. Finally, the future development and application of them are also prospected.

Recent Advances in Transition Metal-Catalyzed Denitration-Coupling of Nitroarenes

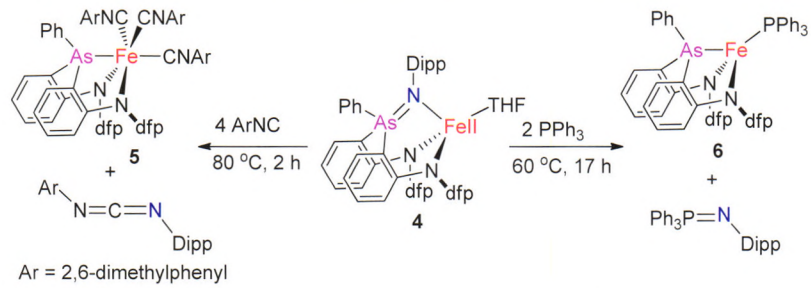


Wang Yuchao, Ye Qiuxiang, Qiu Guanyinsheng*, Liu Jinbiao*
Chin. J. Org. Chem. **2018**, *38*(7), 1650

Recently, the transition metal-catalyzed denitration-coupling of nitroarenes has attracted extensive attention. The recent advances in denitration-coupling of nitroarenes for the formation of C—X(C) bonds under transition metal-catalysis conditions are summarized.

ARTICLES

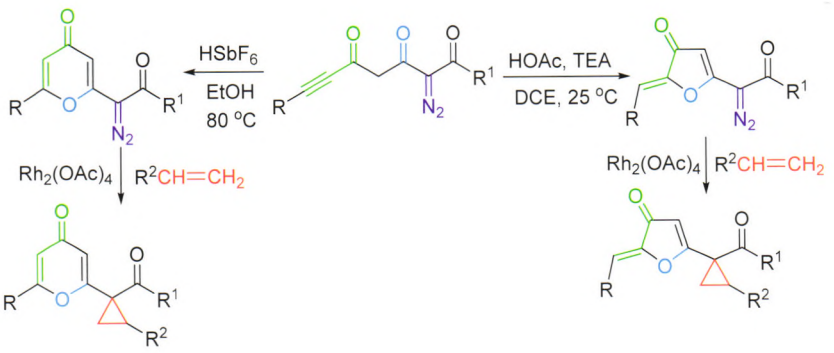
Synthesis, Structure, and Nitrene-Transfer Reactivity of High-Spin Iron(II) Complex Featuring Iminoarsorane Ligation



Zhao, Mingjing; Mao, Guoliang*; Liu, Yang; Xiao, Jie; Deng, Liang*
Chin. J. Org. Chem. **2018**, *38*(7), 1656

The first iminoarsorane transition-metal complex [(κ-*N*,*N*,*N*-$^{dfp}N_2AsN^{Dipp}$)Fe(THF)] (**4**) was synthesized and structurally characterized. The high-spin iron(II) complex can perform nitrene-transfer reactions with ArNC and PPh_3 to afford arsorane-iron(II) complexes.

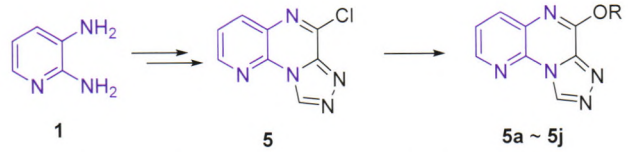
Transition Metal-Free-Catalyzed Regioselective Reversal in the Cyclization of 2-Diazo-3,5-dioxo-6-ynoates/ynones/ynamide: Synthesis of Diazo γ-Pyrones and Diazo 3(2*H*)-Furanones



Lu, Shengle; Tu, Xianxia; Liu, Weishun; Shen, Liting; Mao, Shanjian; Deng, Guisheng*
Chin. J. Org. Chem. **2018**, *38*(7), 1663

For $HSbF_6$-EtOH system, diazo γ-pyrones were cleanly obtained starting from 2-diazo-3,5-dioxo-6-ynoates/ynones/ynamide, whereas diazo 3(2*H*)-furanones were predominantly generated in HOAc-Et_3N-1,2-dichloroethane system. These diazo compounds can undergo an efficient Rh(II)-catalyzed intermolecular cyclopropanation with alkene.

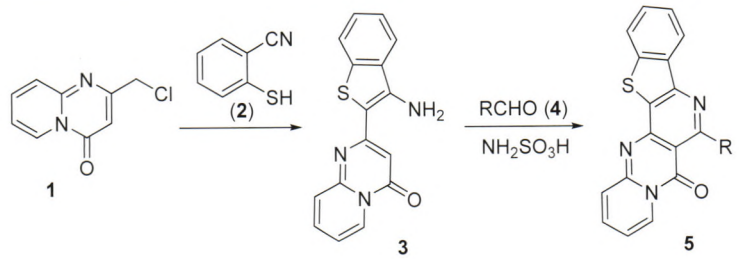
Synthesis and Anticonvulsant Activity Evaluation of 6-Substituted-pyrido[3,2-*e*]-[1,2,4]triazolo[4,3-*a*]pyrazine Derivatives



Li, Jiali; Hu, Tao; Zhang, Hongjian; Gong, Guohua*; Quan, Zhe-Shan*
Chin. J. Org. Chem. **2018**, *38*(7), 1673

In this paper, a series of 6-substituted-pyrido[3,2-*e*][1,2,4]triazolo[4,3-*a*]pyrazine derivatives have been synthesized. Their anticonvulsant activity and neurotoxicity in mice were evaluated by maximal electroshock (MES) and rotarod test, respectively.

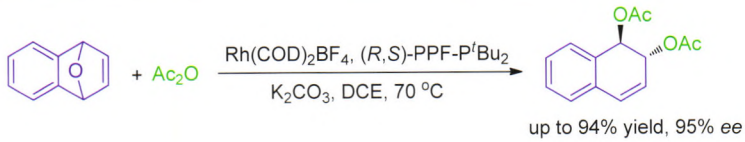
Synthesis and Fungicidal Activity of Novel Benzothiophene-Fused Pyrido[1,2-*a*]pyrimidine Derivatives



Xu, Jiao; Ma, Ling; Liu, Xiubo; Ma, Wei*; Ma, Yan; Wang, Daolin*
Chin. J. Org. Chem. **2018**, *38*(7), 1680

A series of novel benzothieno[3',2':2,3]pyrido[4,5-*d*]pyrido[1,2-*a*]pyrimidines are prepared via Pictet-Spengler reaction of 2-(3-aminobenzothiophene-2-yl)-4*H*-pyrido[1,2-*a*]pyrimidin-4-one using sulfamic acid as a catalyst, which in turn were obtained from the Thorpe-Ziegler isomerization of 2-(chloromethyl)-4*H*-pyrido[1,2-*a*]pyrimidin-4-one with 2-mercaptobenzonitrile.

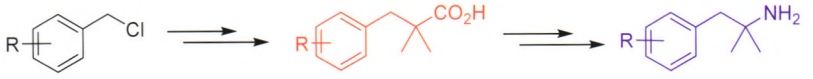
Rhodium Catalyzed Asymmetric Ring-Opening Reaction of Oxabenzonorbornadienes with Anhydride



Hu, Jirong; Xu, Jianbin*; Zou, Lingling; Lü, Haiping; Fan, Ruifeng; Liu, Na; Zhou, Yongyun; Fan, Baomin*
Chin. J. Org. Chem. **2018**, *38*(7), 1687

The combination of $Rh(COD)_2BF_4$ and (*R*,*S*)-PPF-P^{t}Bu$_2$ was found to be an efficient catalytic system for asymmetric ring opening reaction of oxabenzonorbornadienes with anhydride. The aimed products were commonly generated in good yields (up to 94% yield) and high enantioselectivities (up to 95% *ee*).

An Efficient Method for the Synthesis of 2-Methyl-1-substituted-phenyl-2-propylamines

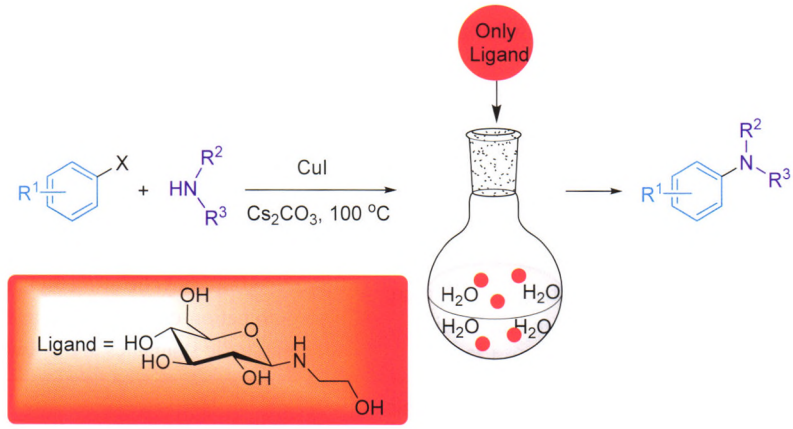


Zhu, Lanping; Zhao, Shuai; Cang, Zhipeng; Zhou, Andi; Chen, Xin*
Chin. J. Org. Chem. **2018**, *38*(7), 1695

This method is suitable for the synthesis of 2-methyl-2-(2-methylphenyl)-phenyl-2-propylamine and various kinds of derivatives.

CONTENT

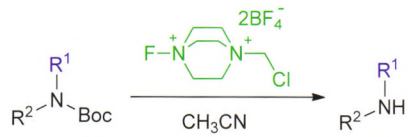
Cu-Catalyzed Aqueous Phase Ullmann-type C—N Coupling Reaction Promoted by Glycosyl Ligand



A green and efficient catalytic system has been developed for the Cu-catalyzed Ullmann-type C—N coupling reactions in water. With CuI as catalyst, *N*-(2-hydroxyethyl)-*β*-*D*-glucopyranosylamine as ligand, aryl iodides and aryl bromides bearing various electron-withdrawing and electron-donating groups could be coupled with *N*-nucleophiles in water with good yields (61%～96%). The catalytic system was expanded successfully to the reaction of indoles with 4-iodoanisole in water.

Liu, Xuemin; Chen, Wen; Ni, Bangqing; Chen, Xinzhi; Qian, Chao; Ge, Xin*
Chin. J. Org. Chem. **2018**, *38*(7), 1703

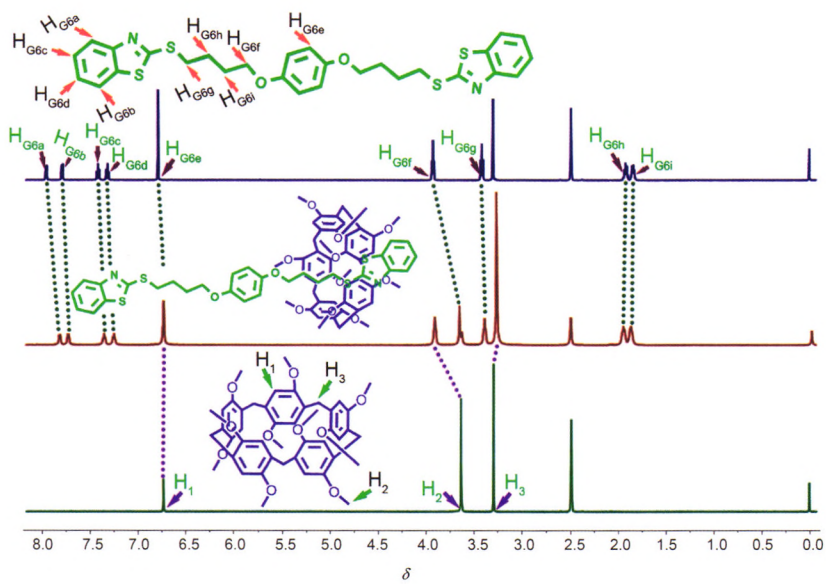
Efficient and Chemoselective Deprotection of *N*-*t*-Butyloxycarbonyl Group Mediated by Selectfluor



It is reported that selectfluor can selectively remove *t*-butyloxycarbonyl group from doubly protected amines in acetonitrile in a chemoselective fashion.

Zeng, Yijie; Duan, Yue; Zhao, Hui*; Hu, Xiangguo*
Chin. J. Org. Chem. **2018**, *38*(7), 1712

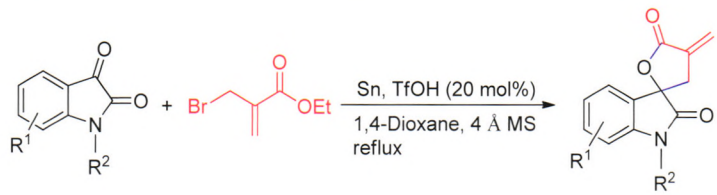
Study on the Effect of Host-guest Based on the Dimethoxypillar[5]arene and Benzazole Heterocyclic Compounds



A host-guest system was successfully constructed from dimethoxypillar[5]arene (**DMP5**) and six guest molecules of benzoazolidazole (**G2**), benzimidazole (**G3**) and benzothiazole (**G1**, **G4**, **G5** and **G6**) via host-guest interactions. Moreover, the addition of guest compounds led to the effective reinforcement of the fluorescence intensity compared with the original guest species and the host (**DMP5**) that giving an additional support for the host-guest interaction based supramolecular assembly nature of the present system.

Shi, Haixiong; Cheng, Xiaobin; Lin, Qi; Yao, Hong; Zhang, Youming; Wei, Taibao*
Chin. J. Org. Chem. **2018**, *38*(7), 1718

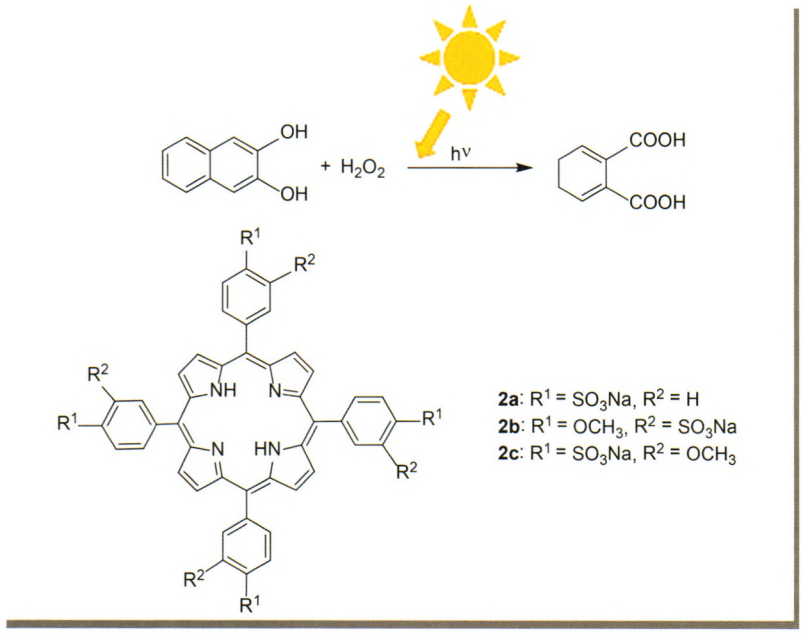
Tin Powder-Promoted "One-Pot" Synthesis of α-Methylene-γ-butyrolactones



An one-pot reaction of various isatin compounds and ethyl 2-(bromomethyl)acrylate promoted by tin powder has been investigated, affording the corresponding isatin-derived spirocyclic α-methylene-γ-butyrolactones in high yields. The method uses the combination of tin powder and ethyl 2-(bromomethyl)acrylate to replace the corresponding toxic stannanes and allows the operation much easier.

Yang, Zheng; Huang, Danfeng*; Wen, Lan; Wang, Juanjuan; Wang, Kehu; Hu, Yulai
Chin. J. Org. Chem. **2018**, *38*(7), 1725

Synthesis, Properties and Photocatalysis for 2,3-Dihydroxynaphthalene of Water-Soluble Sulfonated Porphyrins



A series of water-soluble sulfonated porphyrins have been synthesized. The fluorescence quantum yield and lifetime of the series of porphyrins have been obtained. These porphyrins have been used as photocatalysts for the oxidation of 2,3-dihydroxynaphthalene. The effects of electron caused by different substituent groups and steric structure on sulfonated porphyrins photocatalytic activities have been investigated.

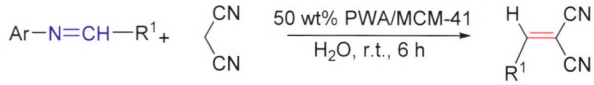
Cai, Cheng; Sun, Kaifang; Wang, Ying; Hou, Zongsheng; Ren, Qizhi*
Chin. J. Org. Chem. **2018**, *38*(7), 1733

Synthesis of Sterically Hindered and Electron-Deficient Secondary Amides from Unactivated Carboxylic Acids and Isothiocyanates

An efficient protocol for the synthesis of sterically hindered and electron-deficient secondary amides from commercially available carboxylic acids and isocyanates was developed.

Tan, Jiaxi; Guo, Ye; Zeng, Fei; Chen, Guanrong; Xie, Longyong*; He, Weimin*
Chin. J. Org. Chem. **2018**, *38*(7), 1740

MCM-41 Immobilized $H_3PW_{12}O_{40}$ Catalyzed the Addition-Elimination Reaction of Imine with Malonitrile in Water

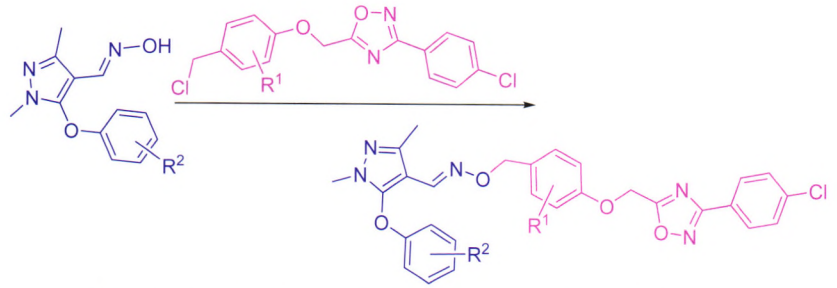


A convenient and excellent yield procedure for the preparation of benzylidenemalononitrile by addition-elimination reaction of imine with malonitrile in the presence of immobilized $H_3PW_{12}O_{40}$ as heterogeneous catalyst in water is described, and a variety of the corresponding products were obtained in high yield (up to 99%).

Hou, Yadong; Dong, Xiuzhi; Yang, Chao*; Hui, Yonghai*; Xie, Zhengfeng
Chin. J. Org. Chem. **2018**, *38*(7), 1749

CONTENT

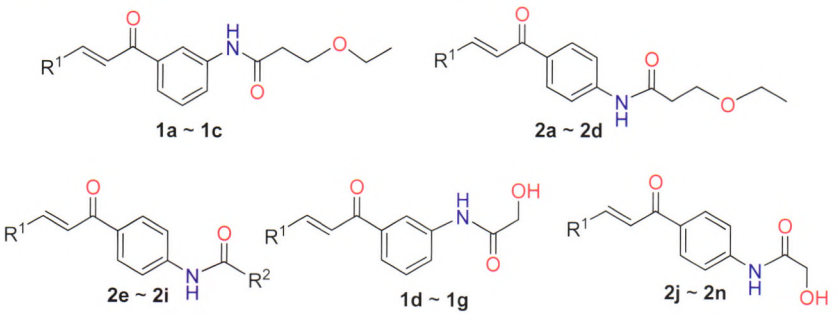
Synthesis and Biological Activities of Novel Pyrazole Oximes Containing Substituted Oxadiazole Moiety



Dai, Hong; Ding, Ying; Du, Xianchao; Yao, Wei; Chen, Qingwen; Wang, Xianglong; Zhong, Sulin; Cao, Xiongfei; Shi, Yujun*
Chin. J. Org. Chem. **2018**, *38*(7), 1755

A series of novel pyrazole oxime derivatives containing substituted oxadiazole moiety were prepared, and their biological activities were tested.

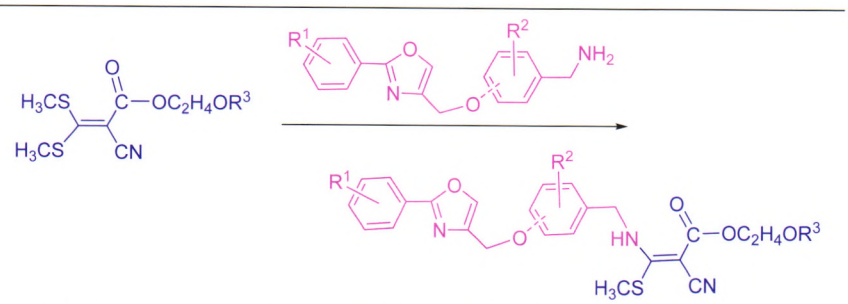
Synthesis and Study on Insecticidal Activity of New Heterocyclic Chalcone Derivatives



Yan, Yingkun; Xu, Qiao; Gao, Yang; Liu, Hui; Tang, Xiaorong*
Chin. J. Org. Chem. **2018**, *38*(7), 1763

Twenty one new heterocyclic chalcone derivatives were synthesized by the Claisen-Schmidt condensation and ammonolysis of acyl chloride using heterocyclic aldehyde and amino substituted acetophenone as well as acyl chloride as raw materials. The laboratorial bioassay of the insecticidal activity of the synthesized compounds was performed using *Aphis craccivora* and *Pieris rapae* as targets.

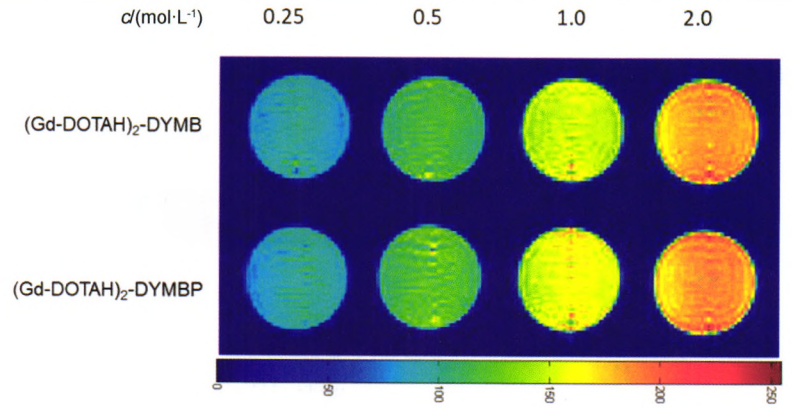
Synthesis and Herbicidal Activity of Novel Cyanoacrylate Derivatives Containing Substituted Oxazole Moiety



Shi, Yujun; Du, Xianchao; Wang, Xianglong; Chen, Qingwen; Li, Ling; Dai, Hong*; Xu, Caiqin; Zhang, Jingyuan; Ling, Yong*
Chin. J. Org. Chem. **2018**, *38*(7), 1772

A series of novel cyanoacrylates containing substituted oxazole moiety were synthesized, and their herbicidal activities were tested.

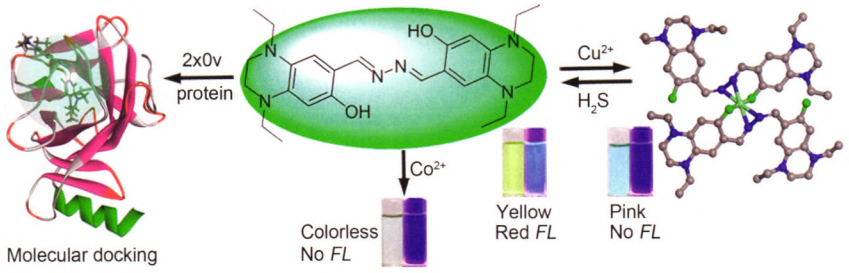
Two Binuclear Nonionic Magnetic Resonance Contrast Agents with High Relaxivity



Sun, Hongshun; Li, Yulong; Jiang, Hong; Guo, Cheng; Shen, Linjiang*
Chin. J. Org. Chem. **2018**, *38*(7), 1779

Two novel binuclear nonionic MRI contrast agents, $(Gd\text{-}DOTAH)_2$-DYMB and $(Gd\text{-}DOTAH)_2$-DYMBP, have been designed and synthesized. They have improved longitudinal relaxivity values of 11.4 and 11.7 $L{\bullet}mmol^{-1}{\bullet}s^{-1}$ per molecule or 5.7 and 5.9 $L{\bullet}mmol^{-1}{\bullet}Gd^{-1}{\bullet}s^{-1}$ at 0.5 T, respectively.

Synthesis of Multifunctional Long-Wavelength-Emitting Fluorescent Probe Based on Hydrazine Dihydrazone and Its Copper Complex for Detection of H_2S

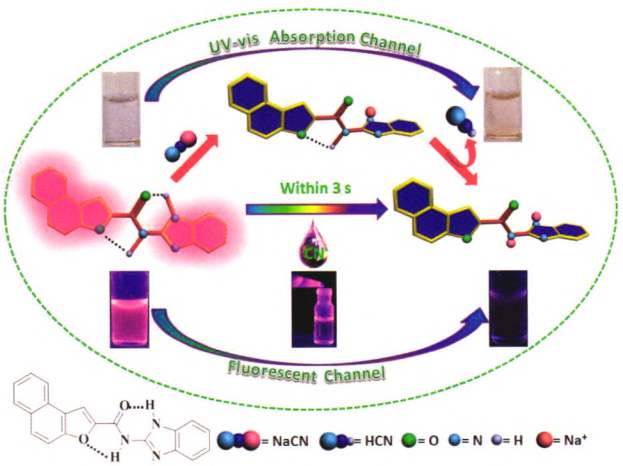


Zhong, Keli; Zhao, Jie; Li, Qiuying; Hou, Shuhua; Tang, Yiwei*; Bian, Yanjiang; Tang, Lijun*

Chin. J. Org. Chem. **2018**, *38*(7), 1786

A novel fluorescent probe **L** with long wavelength emission was synthesized. Probe **L** can recognize Cu^{2+} and Co^{2+} by naked eyes in DMSO solution. The **L**-Cu^{2+} can recognize H_2S with fluorescence "OFF-ON" in DMSO/H_2O (V∶V=7∶3, HEPES, 1×10^{-2} mol/L, pH=7.4).

Rapid and Highly Sensitive Dual-Channel Detection of Cyanide in Aqueous Medium and the Applications in Food Samples

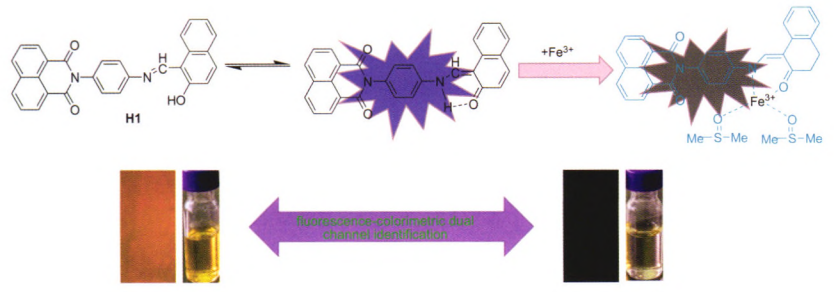


Qu, Wenjuan; Li, Wenting; Zhang, Haili; Zhang, Youming*; Lin, Qi; Yao, Hong; Wei, Taibao*

Chin. J. Org. Chem. **2018**, *38*(7), 1792

Taking advantage of the special nucleophilicity of cyanide, a new colorimetric and fluorescent sensor (**Q1-2**) was synthesized based on naphtho[2,1-*b*]furan-2-carbonyl chloride and 2-aminobenzimidazole. Upon the addition of cyanide anion, the probe displayed a red-shift in absorption spectra and the fluorescence decreased immediately with the detection limit of 8.0769×10^{-7} and 1.0510×10^{-9} mol/L, respectively. Other anions gave nearly no interference. Furthermore, **Q1-2** was successfully applied to the naked eye identification for cyanide in the visible light and under the UV lamp in food samples and silica gel plates.

Synthesis and Fe^{3+} Sensing Properties of the Chemosensor Based on Functionalized Naphthalimide Schiff Base Derivative



Zhang, Youming*; Han, Bingbing; Lin, Qi; Mao, Pengpeng; Chen, Jinfa; Yao, Hong; Wei, Taibao*

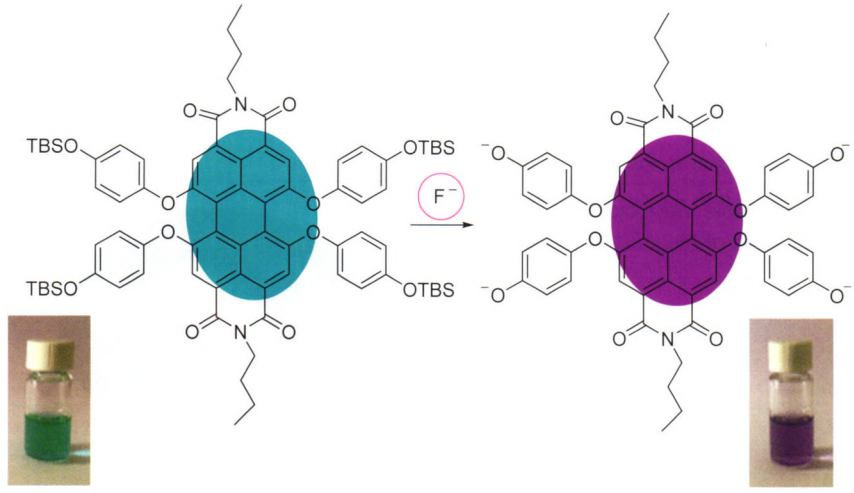
Chin. J. Org. Chem. **2018**, *38*(7), 1800

A novel sensor molecule of 2-hydroxyl-1-naldehyde-*N*-(4-aminophenyl)-1,8-naphthalimide (**H1**) based on functionalized naphthalimide Schiff base derivative was synthesized. The sensor molecule **H1** shown fluorescence-colorimetric dual channel identification ability for Fe^{3+}. The test strips based on the sensor **H1** were prepared, which could conveniently and efficiently detect Fe^{3+} in water.

CONTENT

NOTES

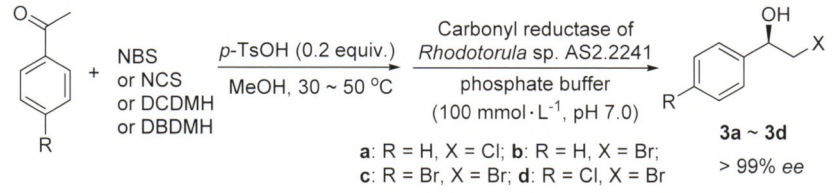
Synthesis and Properties of a Fluoride Ion Fluorescence Chemosensor



Based on the cleavage of Si—O bond induced by fluoride ions, a novel derivative of perylene **B** which contains four silicon oxygen bond was designed and synthesized, and this fluorescent chemosensor could recognize F^- with good selectivity and high sensitivity.

Fu, Yi; Tang, Hui; Liu, Ze; Zhang, Wan-xuan*; Ren Jun*
Chin. J. Org. Chem. **2018**, *38*(7), 1806

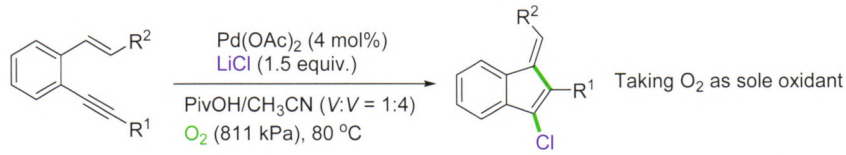
"One-Pot" Chemo-enzymatic Synthesis of Chiral α-Halogenated Aryl Alcohols



A novel one-pot chemo-enzymatic method was developed for the preparation of chiral α-halogenated aryl alcohols from cheap aryl ketones. Firstly, the α-halogenated aryl ketones were obtained via halogenation of aryl ketones catalyzed by *p*-toluenesulfonic acid. Then, α-halogenated aryl ketones was asymmetric reduced to chiral α-halogenated aryl alcohols by adding cell suspension of *Rhodotorula* sp. AS2.2241 with carbonyl reductase activity into the reaction system without isolating intermediate products.

Yang, Jingwen; Chen, Jianbo; Wang, Shijie; Wu, Xiaomei*; Ma, Baodi; Xu, Yi*
Chin. J. Org. Chem. **2018**, *38*(7), 1811

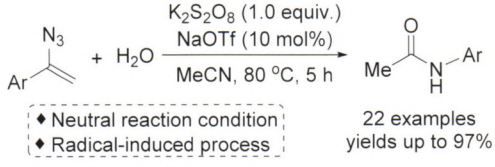
Research on the Tandem Reaction via Chloropalladation/Heck Cross Coupling of *o*-(Alkynyl)styrenes with Pd/O_2



An economic and environmental synthetic method for 3-chloroindenes from *o*-(alkynyl)styrenes through tandem reactions including chloropalladation/Heck cross-coupling in Pd/O_2 system was reported. Taking green dioxygen as sole oxidant and LiCl as chlorine source, 13 functionalized 3-chloroindenes could be synthesized in moderate to high yield without the addition of $CuCl_2$.

Qiu, Huihua*; Cheng, Borui; Huang, Yingsi; Chen, Cui; Zhou, Peng
Chin. J. Org. Chem. **2018**, *38*(7), 1817

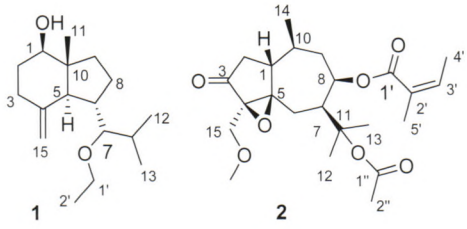
$K_2S_2O_8$/H_2O/NaOTf System-Promoted Schmidt Rearrangement Reaction of Vinyl Azides



Under neutral reaction conditions, sodium triflate promotes the radical reaction of potassium persulfate with water to yield a proton which induces Schmidt rearrangement of alkenyl azides. A variety of substituted acetanilide compounds were synthesized in one step.

Xu, Zheng; Zhou, Bingwei; Jin, Hongwei; Liu, Yunkui*
Chin. J. Org. Chem. **2018**, *38*(7), 1823

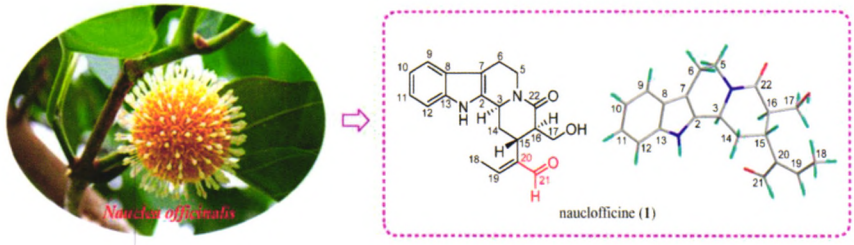
Two New Sesquterpenoids from Fructus Carotae



Seven sesquterpenoids were obtained from Chinese traditional medicine, Fructus carotae. 7-Ethoxy-4(15)-oppositen-1β-ol (**1**) and 11-acetoxy-8β-angeloyloxy-15-methoxy-4α,5α-epoxyarbutane-3-one (**2**) were new sesquterpenoids.

Cheng, Lei; Liu, Guiyuan; Pan, Yinchi; Zhang, Maosheng; Xiao, Shiji*
Chin. J. Org. Chem. **2018**, *38*(7), 1829

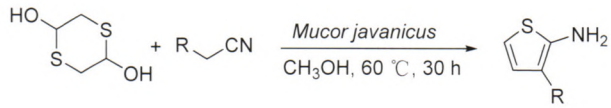
A New Indole Alkaloid from the Stems and Leaves of *Nauclea officinalis*



A new indole alkaloid, nauclofficine (**1**), together with three known alkaloids, naucleamide A (**2**), naucleamide D (**3**) and latifoliamide A (**4**), were isolated from the stems and leaves of *Nauclea officinalis*. All known compounds were isolated from *N. officinalis* for the first time. The cytotoxicities of compounds **1**～**4** were evaluated against five cancer cell lines (HL-60, A549, SMMC-7721, MCF-7 and SW480).

Liu, Qinglong; Chen, Ahong; Jiang, Zhihua; Ma, Yanlei; Tang, Jinying; Xu, Wei; Liu, Yanping*; Fu, Yanhui*
Chin. J. Org. Chem. **2018**, *38*(7), 1833

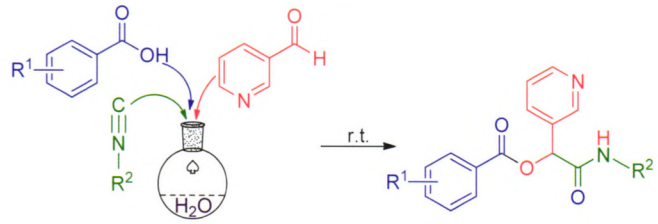
Synthesis of 2-Aminothiophene Derivatives Catalyzed by Amano Lipase M from *Mucor javanicus*



A series of 2-aminothiophene derivatives were synthesized by the Gewald reaction between α-active methylene nitrilthes and 2,5-dihydroxy-1,4-dithiane using amano lipase M from *Mucor javanicus* as biocatalyst.

Lu, Yue; Jiang, Guofang*; Xie, Zongbo; Chen, Guoqing; Le, Zhanggao*
Chin. J. Org. Chem. **2018**, *38*(7), 1837

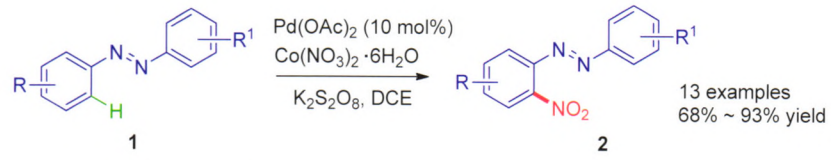
Facile Synthesis of 2-(Pyridin-3-yl)-2-benzoyloxy Acetamides via Passerini Reaction and Evaluation of Their Biological Activity



A series of novel 2-(pyridin-3-yl)-2-benzoyloxy acetamides were synthesized via Passerini three-component reaction. The *in vitro* biological assay revealed that at the dosage of 100 μg/mL, a lot of compounds exhibited high activities against *S. sclerotiorum* with 90%～100% inhibition rates.

Zhang, Junhui; Niu, Lizhi; Li, Ying; Liu, Si; Jiang, Lin*
Chin. J. Org. Chem. **2018**, *38*(7), 1842

Palladium-Catalyzed Direct *o*-Nitrification of Azobenzenes with $Co(NO_3)_2$•$6H_2O$ via C—H Activation



Various *ortho*-nitrations of azoarenes were obtained in moderate to high yields by palladium-catalyzed direct C(sp^2)—H nitrification of aromatic azo compounds with $Co(NO_3)_2$•$6H_2O$.

Wang, Shaofan; Zhao, Qipeng; Wang, Guodong; Wang, Kai; Xia, Chengcai*
Chin. J. Org. Chem. **2018**, *38*(7), 1849

HIGHLIGHTS

Chin. J. Org. Chem. **2018**, *38*(7), 1855

