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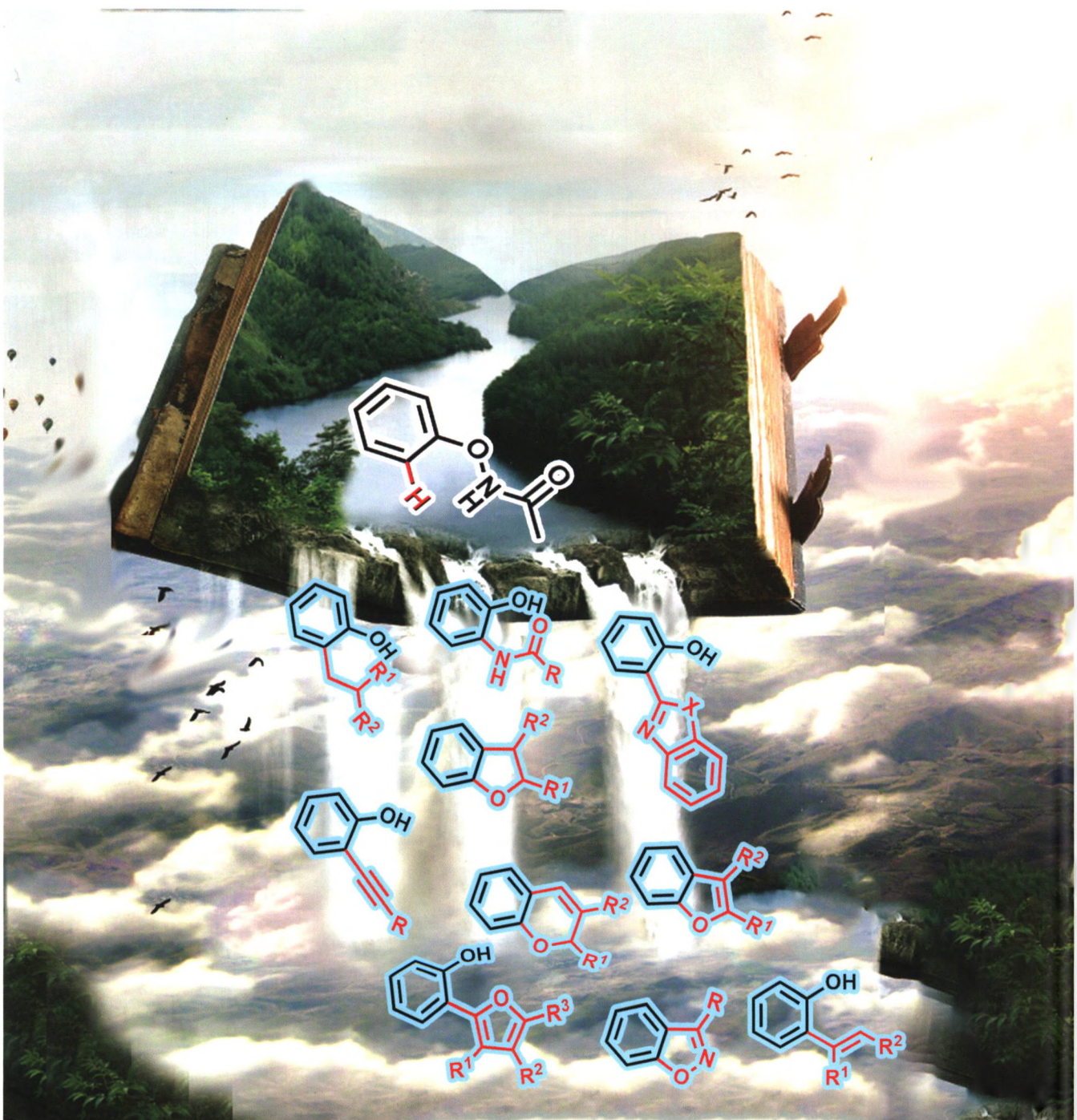

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目 次

综述与进展

N-苯氧基乙酰胺导向的碳氢键官能团化反应研究进展 …… 姜晓蕾 郝佳奇 周国庆 侯程程 胡芳东* (1811)

芳甲基叠氮化合物与烯烃及其衍生物反应研究进展 …… 李秀英 李亚军 韦贤生 罗金荣 黄国保* 谭明雄* (1831)

高价碘试剂的有机电化学合成及应用研究进展 …… 张怀远* 唐蓉萍 石星丽 颉 林 伍家卫 (1837)

多功能荧光探针的设计、合成与应用研究进展 …… 陈思鸿 庞楚明 陈孝云* 严智浩 黄诗敏 李香弟 钟雅婷 汪朝阳* (1846)

3D 打印技术在有机合成化学中的应用 …… 赖石林 廖 旭 张 辉 蒋 岩 刘源岗* 王士斌 熊兴泉* (1858)

苯丙氨酸衍生物的碳氢键直接官能化反应进展 …… 李小芳 熊伟康 丁秋平* (1867)

黄酮苷的合成研究进展 …… 徐焕基 李哲明 吴云秋 罗 迪 邱 莉 谢集照* 李雪华* (1875)

氟硼类荧光染料及其衍生物在肿瘤诊疗一体化中的应用 …… 冯 彤 薛中博 尹娟娟 蒋 旭 冯亚青 孟舒献* (1891)

抗肿瘤活性双四氢异喹啉生物碱类似物的合成研究进展 …… 杨 扬 郭 举* 刘站柱* (1913)

研究论文

氯胺-T 促进的醛、肼与富马酸酯的三组分反应构建四取代吡唑类化合物 …… 陈 樱 祝家楠 赵圣印* (1923)

一种新型用于 CN^-比色检测和 $Zn^{2+}/H_2PO_4^-$ 荧光识别的二芳烯探针 …… 刁 璐 王仁杰* 王念省 刘 刚 蒲守智* (1930)

4-氨基-5-取代-1,2,4-三唑-3-硫酮席夫碱的合成、生物活性及分子对接 …… 武少婕 卢一鸣 雷卓楠 蒋 演 张文慧 齐 乐 马海霞 任莹辉* (1939)

Amberlyst-15 催化下的二氢[1,2,4]三唑并[1,5-*a*]嘧啶类及二氢苯并[4,5]咪唑并[1,2-*a*]嘧啶类衍生物的一锅法合成 …… 马会芳 李文博 阿布拉江•克依木* (1945)

新型苯丙烯酰氨基酸化合物的设计合成及生物活性 …… 高粟繁 张艳春 李家明* 张 斌 杨 雨 胡孟奇 (1953)

基于芳基烯胺酯的环化反应合成苯并菲啶类似物及其细胞毒活性研究 …… 王增博 田 成 刘晴晴 张 玮 潘成学* 苏桂发* (1962)

* 通讯联系人.

氮氧烯丙基正离子与肟的[3+3]环加成反应: 2-*N*-无取代-1,2,4-噁二嗪-5-酮类化合物的合成 …… 张智勇 王 琦 张 浩 陈永明 王 欣 葛泽梅 李润涛* (1970)
8-异戊烯基黄酮类天然产物的微波促进 Claisen 重排合成 …… 李 蔚 宿 亮 汪秋安* 李高阳 单 杨 (1976)
西达本胺衍生物的设计合成及抗肿瘤活性评价 …… 张祥娜 何 峰 张秋琼 吕佳徽 徐阿娜 虞成功 曲 颖 吴敬德* (1983)
基于二苯并 24-冠-8 桥联双柱[5]芳烃的线性和网状超分子聚合物 …… 霍博超 李 斌 苏 杭 曾宪强 徐凯迪 崔 雷* (1990)
次氯酸钠引发的 α-羟基酯的自由基氧化 …… 王茂昌 张宝华* 丁 凯* (1996)
B_2pin_2 参与的含硼酸酯的芳香胺和醛的一锅两步还原胺化反应 …… 刘雪滢 刘振伟 郭圆圆 李敬亚 邹大鹏* 吴豫生* 吴养洁* (2001)
4,4'-二甲氧基三苯胺取代偶氮苯开关分子的设计合成、电化学及光化学性质研究 …… 鄢剑锋 张睿祺 原 野 袁耀锋* (2009)
碘诱导的醛糖与 *o*-氨基苯甲酰胺的氧化缩合合成糖基喹唑啉酮 …… 琚欢欢 孙佳婧 李小六* 陈 华* (2018)
基于 Strecker 反应的含氰基多取代吡唑的合成及生物活性研究 …… 苏诗淼 朱 墨 张大强 袁德凯* (2026)
通过[2,3]-Wittig 重排合成烯丙基-甲基-*N*-泛酸酰胺 …… 夏礼文 赵 青 巴梦雨 胡超平 孙默然* 杨 华 (2035)

研究简报

全氟烷基磺酰氟活化羧酸和 1,3-二羰基化合物之间一步 *O*-酰基化反应 …… 严兆华* 王彦梅 金红爱 艾城美 田伟生* (2042)
碘催化吲哚衍生物与二芳基二碲醚芳碲化反应制备 3-芳碲基吲哚 …… 陈锦杨* 胡 丽 汪海英 谭红绘 (2048)
新型含 1,2,4-三唑环结构的吡唑肟醚化合物的合成及其生物活性 …… 王誉蓉 郑丹丹 王 杨 叶 浩 姚 炜 丁 颖 顾海鹰* 冯 霞 李 玲 戴 红* (2053)
氟噻唑吡乙酮类衍生物的合成及杀菌活性 …… 丁成荣 潘亚运 殷 许 谭成侠* 王学东* (2062)
含肟醚的松油烯-4-醇衍生物的合成及杀虫活性 …… 雷 鹏 丁新吉 吴远勇 马志卿* (2070)
温度对氮杂环卡宾催化下 α-溴代烯醛与烯胺酮的[3+3]环化反应影响 …… 王占林 李如一 钱辉旻 姚昌盛* (2075)
无溶剂的 β-吖啉甲醛与酮的 Aldol 反应 …… 周 详 周 菲 贾晓良 于佳伟 申 琦 张运晓* 石伟民* (2084)
一种基于罗丹明类似物的 Cys 近红外荧光探针 …… 田 庆 陈双虎 陈景龙 刘 蕊 汪雨诗 杨晓朋 叶 勇* (2089)
(±)-Parvistemonine A 的全合成 …… 马开庆* 任虎斌 吴晓晓 钞建斌 秦雪梅 (2094)
含噻唑联哌啶烟酰胺类化合物的合成与生物活性研究 …… 丁成荣 潘亚运 殷 许 谭成侠* (2099)
新型石胆酸-3-肟酯衍生物及其蛋白酪氨酸磷酸酯酶 1B 抑制活性 …… 侍术智 梁志鹏 孙建勇 石玉军* (2106)
亮点介绍 …… (2117)

Chinese Journal of Organic Chemistry

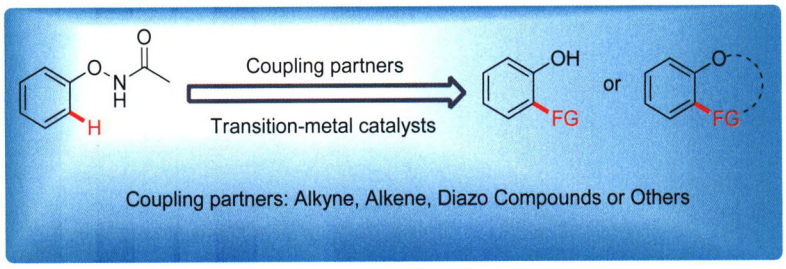
Vol. 39 No. 7 July 2019

On the Cover

The recent progresses in *N*-phenoxyacetamides directed C—H bond functionalizations are reviewed by Jiang, Hao, Zhou, Hou and Hu on page 1811. *N*-Phenoxyacetamide equipped with an oxidizing directing group can effectively react with alkyne, alkene, diazo compounds or others through C—H bond activations under redox neutral conditions for synthesizing a series of phenol derivatives or *O*-containing heterocyclic compounds.

REVIEWS

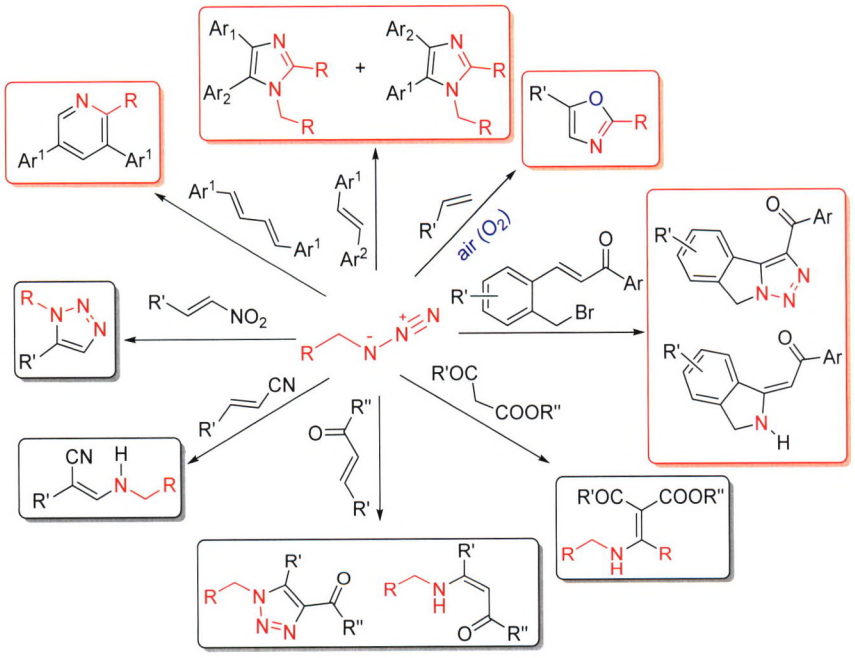
Recent Advances in *N*-Phenoxyacetamides Directed C—H Bond Functionalizations



Jiang, Xiaolei; Hao, Jiaqi; Zhou, Guoqing; Hou, Chengcheng; Hu, Fangdong*
Chin. J. Org. Chem. **2019**, *39*(7), 1811

N-Phenoxyacetamides with an oxidizing directing group can couple with alkyne, alkene, diazo compounds or others under redox neutral conditions. The recent advances of *N*-phenoxyacetamides in the field of C—H bond activations are summarized and the mechanism of the reaction is discussed.

Recent Progress on Reactions of Arylmethyl Azides with Alkenes

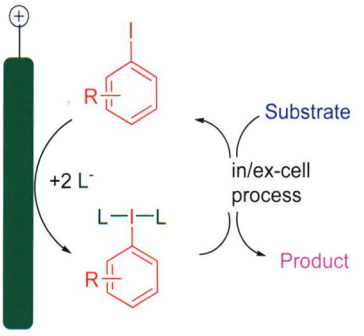


Li, Xiuying; Li, Yajun; Wei, Xiansheng; Luo, Jinrong; Huang, Guobao*; Tan, Minxiong*
Chin. J. Org. Chem. **2019**, *39*(7), 1831

The recent progress (2014～2018) on the reactions of arylmethyl azides with alkenes is summarized. In addition, the organic reactions on the synthesis of nitrogen compounds and other types of reactions are described, respectively, with their scope of substrates and reaction mechanism.

CONTENT

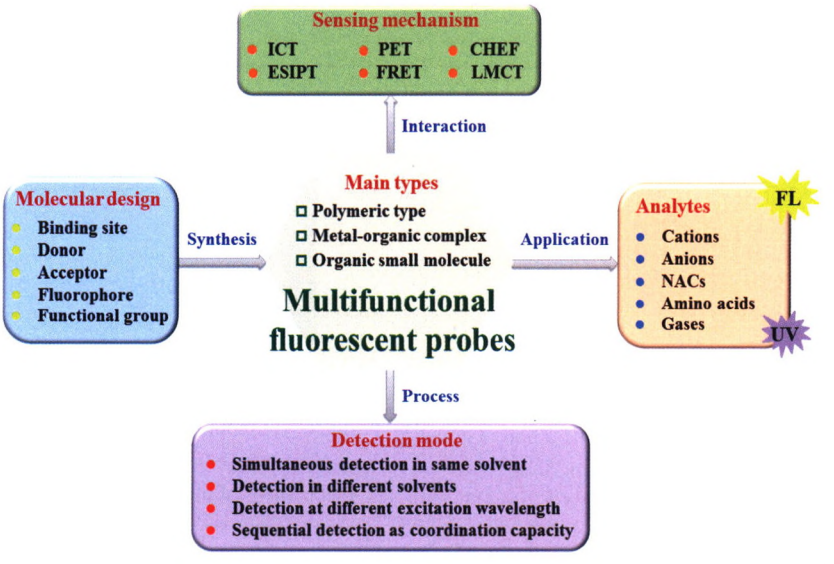
Recent Advances in Organic Electrochemical Synthesis and Application of Hypervalent Iodine Reagents



Zhang, Huaiyuan*; Tang, Rongping; Shi, Xingli; Xie, Lin; Wu, Jiawei
Chin. J. Org. Chem. **2019**, *39*(7), 1837

Anodic oxidation of aryl iodine compouds is a green and efficient method for the synthesis of hypervalent iodine reagents. Electrochemically generated hypervalent iodine reagents can not only promote fluorination, oxidative cyclization, but also be successfully applied in the total synthesis of natural products. In addition, recyclable aryl iodine mediator can be used to indirect anodic fluorination and easily separated from products. The organic electrochemical synthesis of hypervalent iodine reagents and their applications in various chemical transformations is reviewed.

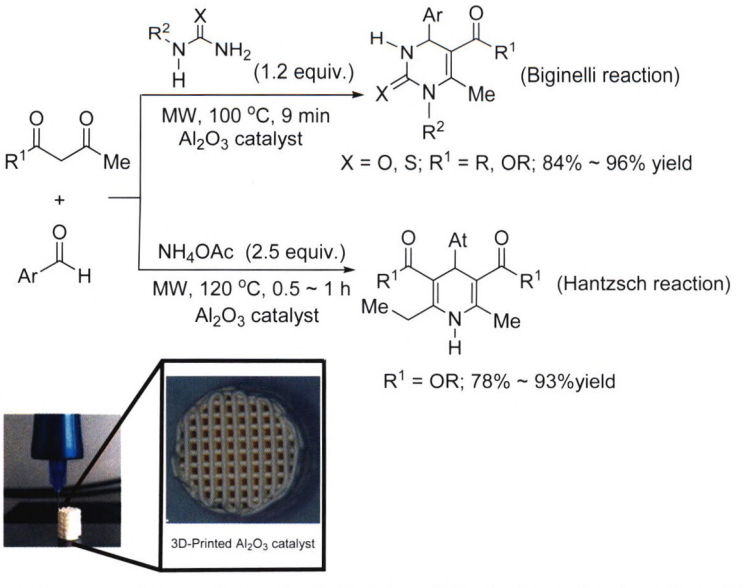
Research Progress in Design, Synthesis and Application of Multifunctional Fluorescent Probes



Chen, Sihong; Pang, Chuming; Chen, Xiaoyun*; Yan, Zhihao; Huang, Shimin; Li, Xiangdi; Zhong, Yating; Wang, Zhaoyang*
Chin. J. Org. Chem. **2019**, *39*(7), 1846

Multi-functional fluorescent probes can efficiently detect multiple analytes. Their research progress in design, synthesis and application in recent years is summarized.

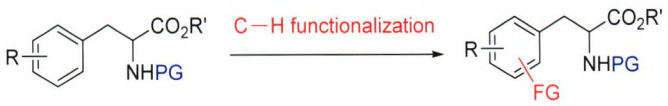
Application of 3D Printing Technology in Organic Synthetic Chemistry



Lai, Shilin; Liao, Xu; Zhang, Hui; Jiang, Yan; Liu, Yuangang*; Wang, Shibin; Xiong, Xingquan*
Chin. J. Org. Chem. **2019**, *39*(7), 1858

The recent progress in organic synthesis based on 3D printing technology from 2012 to 2018 is reviewed, such as 3D-printed heterogeneous catalysts, 3D-printed devices and 3D-printed continuous flow microreactors.

Recent Advances in Direct C—H Bond Functionalization of Phenylalanine Derivatives

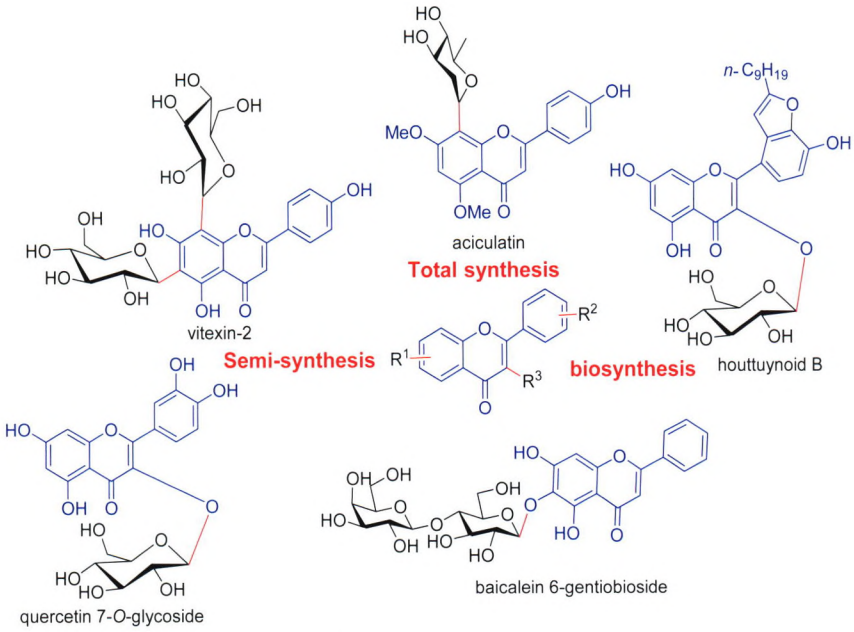


ortho-iodination, alkylation, arylation, alkenylation, alkynylation, acylation, acetoxylation, amination, and boronation
meta-arylation and alkylation

Li, Xiaofang; Xiong, Weikang; Ding, Qiuping*
Chin. J. Org. Chem. **2019**, *39*(7), 1867

The recent progress in direct C—H bond functionalization of phenylalanine derivatives to offer an efficient approach to construct C—I, C(sp^2)—C(sp^2), C(sp^2)—C(sp), C(sp^2)—C(sp^3), C—N, C—B and C—O bonds is reviewed. The transformation involves *ortho*-C—H bond iodination and the following intramolecular amination, *ortho*-alkylation, *ortho*-arylation, *ortho*-alkenylation, *ortho*-alkynylation, *ortho*-acylation, *ortho*-acetoxylation, *ortho*-amination, *ortho*-boronation, *meta*-arylation and *meta*-alkylation.

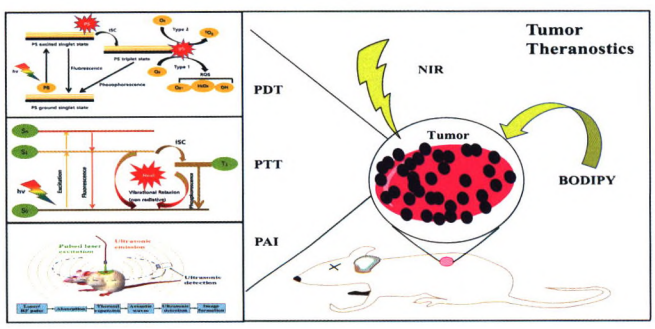
Advances on Synthesis of Flavonoid Glycosides



Xu, Huanji; Li, Zheming; Wu, Yunqiu; Luo, Di; Qiu, Li; Xie, Jizhao*; Li, Xuehua*
Chin. J. Org. Chem. **2019**, *39*(7), 1875

Flavonoid glycoside is commonly found in natural plants, possesses diverse bioactivities and potential medicinal values, and its synthesis methods are worthy to be studied. The synthesis of flavonoid glycosides covering the literatures from 2014 to 2018 is reviewed. The flavonoid glycoside synthesis includes two major methods of chemosynthesis and biosynthesis. Chemosynthesis includes total synthesis and semi-synthesis.

Application of Fluoroboron Fluoresceins (BODIPYS) and Their Derivatives in the Synergistic Diagnosis and Treatment of Tumor

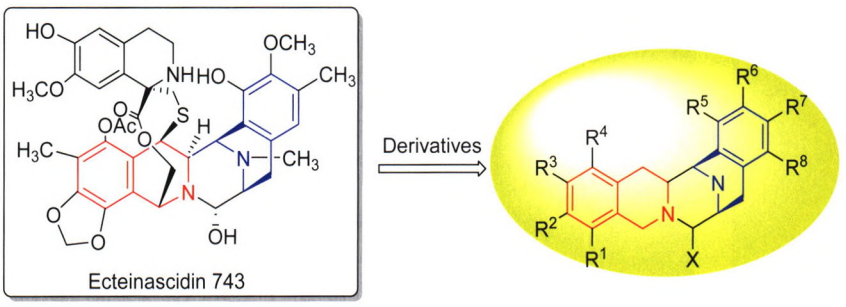


Feng, Tong; Xue, Zhongbo; Yin, Juanjuan; Jiang, Xu; Feng, Yaqing; Meng, Shuxian*
Chin. J. Org. Chem. **2019**, *39*(7), 1891

Fluoroboron fluorescein (BODIPY) and its derivatives are introduced in detail as photosensitizers, photothermal transformants, and contrast agents in the diagnosis and treatment of tumors (photodynamic therapy, photothermal therapy, photoacoustic imaging) and integration of diagnosis and treatment. The effects of different BODIPY structures and their derivatives in tumor diagnosis and treatment were evaluated systematically.

CONTENT

Progress in the Synthesis of Analogues of Bistetrahdroisoquinoline Antitumor Alkaloids

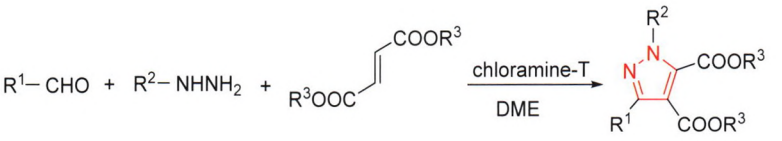


Bistetrahydroisoquinoline is a large family of natural products that display a range of biological properties such as antitumor and antimicrobial activities. Due to the extremely low content of natural products of bistetrahydroisoquinoline including ET-743 and the complexity of its chemical structure, the modification of its chemical structure has attracted more and more attention. Based on this, the recent advance in the synthesis of bistetrahydroisoquinoline analogues is reviewed.

Yang, Yang; Guo, Ju*; Liu, Zhanzhu*
Chin. J. Org. Chem. **2019**, *39*(7), 1913

ARTICLES

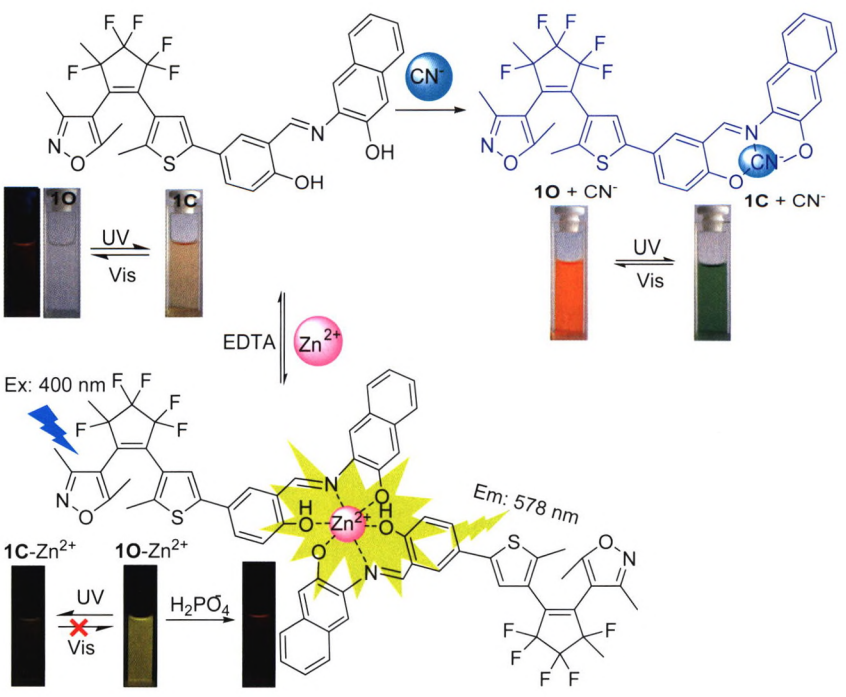
Chloroamine-T Promoted Three-Component Reaction of Aldehydes, Hydrazines and Fumarate Esters for the Construction of Tetra-substituted Pyrazole Derivatives



A series of tetra-substituted pyrazoles were synthesized from aldehydes, hydrazines and fumarate esters by three-component reaction in the presence of chloroamine T with 60%～87% yields. Their structures were confirmed by IR, ^{1}H NMR, ^{13}C NMR and HRMS analysis.

Chen, Ying; Zhu, Jianan; Zhao, Shengyin*
Chin. J. Org. Chem. **2019**, *39*(7), 1923

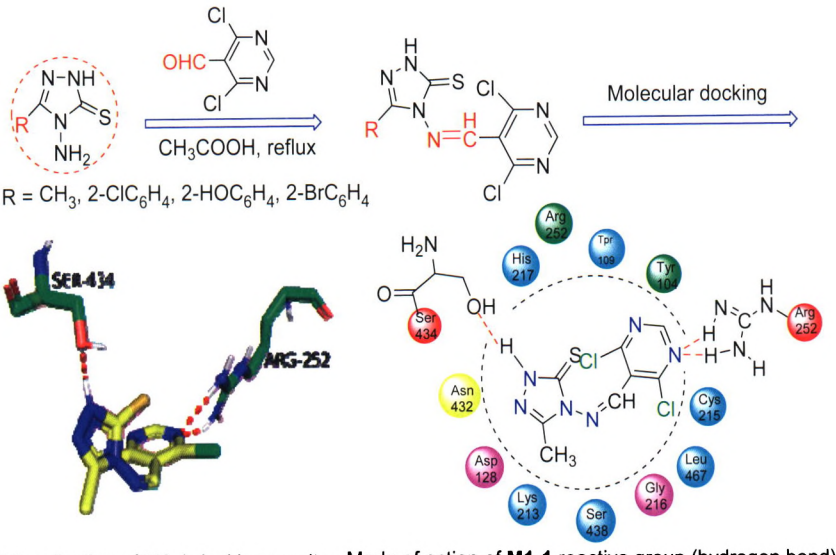
A New Diarylethene Probe for Colorimetric Detection of CN^- and Fluorescent Recognition of $Zn^{2+}/H_2PO_4^-$



A new diarylethene 1-(3,5-dimethylisoxazole-4-yl)-2-(2-methyl-5-[(3-aminonaphthol-2-yl)phenol-yl]-thiophene-3-yl)perfluorocyclopentene (**1O**) dual-response chemosensor has been synthesized, and its photochromic and fluorescent switch behaviors were systematically investigated by stimulation of lights and ions.

Diao, Lu; Wang, Renjie*; Wang, Niansheng; Liu, Gang; Pu, Shouzhi*
Chin. J. Org. Chem. **2019**, *39*(7), 1930

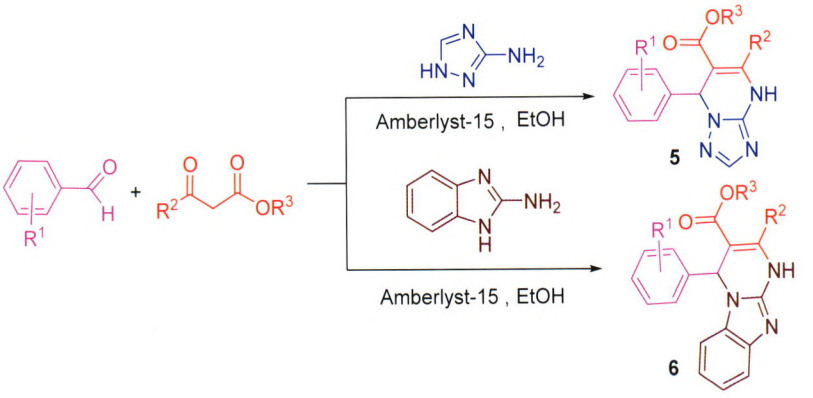
Synthesis, Biological Activity and Molecular Docking of 4-Amino-5-substituted-1,2,4-triazole-3-thione Schiff Base



Wu, Shaojie; Lu, Yiming; Lei, Zhuonan; Jiang, Yan; Zhang, Wenhui; Qi, Le; Ma, Haixia; Ren, Yinghui*
Chin. J. Org. Chem. **2019**, *39*(7), 1939

A series of novel 1,3,4-thiadiazolo[3,2-*a*]pyrimidinone mesoionic derivatives were designed and synthesized, and their insecticidal activity and antibacterial activity were also evaluated.

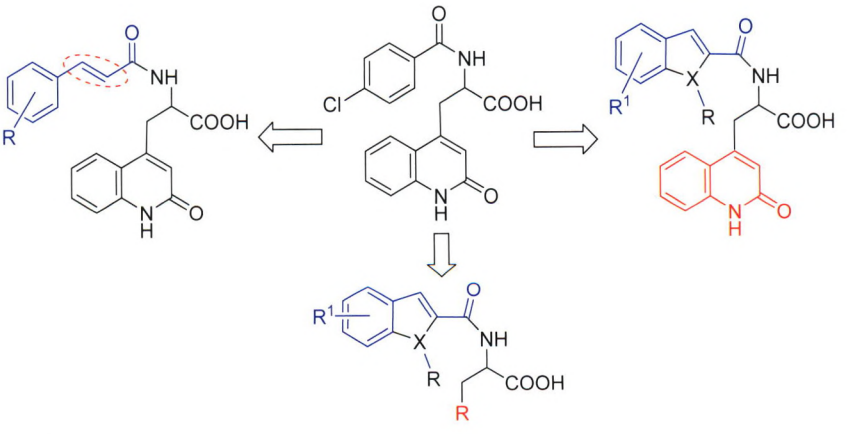
One-Pot Synthesis of Dihydro[1,2,4]triazolo[1,5-*a*]pyrimidines and Dihydrobenzo[4,5]imidazo[1,2-*a*]pyrimidine Derivatives Catalyzed by Amberlyst-15



Ma, Huifang; Li, Wenbo; Ablajan, Keyume*
Chin. J. Org. Chem. **2019**, *39*(7), 1945

Under the catalysis of solid acidic ion exchange resin Amberlyst-15, using ethanol as solvent, aromatic aldehyde, *β*-ketoester, and 3-amino-1,2,4-triazole or 2-aminobenzo as starting materials, a series of triazolo[1,5-*a*]pyrimidine derivatives **5a** ~ **5m** and dihydrobenzo[4,5]imidazo[1,2-*a*]pyrimidines **6a**~**6g** were synthesized by three-component one-pot reaction of imidazole derivatives.

Synthesis and Biological Evaluation of Novel Phenylpropenoyl-amino Acid Derivatives

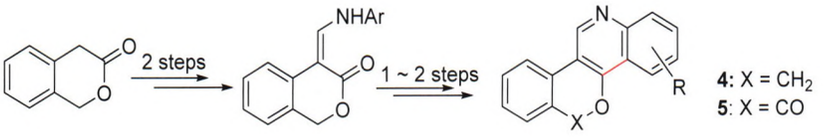


Gao, Sufan; Zhang,Yanchun; Li, Jiaming*; Zhang, Bin*; Yang, Yu; Hu, Mengqi
Chin. J. Org. Chem. **2019**, *39*(7), 1953

A series of novel phenylpropenoyl-amino acids using rebamipide as template were designed and synthesized, and their TNF-a and IL-6 expression inhibitory activities were evaluated.

CONTENT

Study on the Synthesis of Benzophenanthridine Analogues via the Cyclization Reaction of Aryl-enamine Ester and Their Cytotoxicity



Wang, Zengbo; Tian, Cheng; Liu, Qingqing; Zhang, Wei; Pan, Chengxue*; Su, Guifa*
Chin. J. Org. Chem. **2019**, *39*(7), 1962

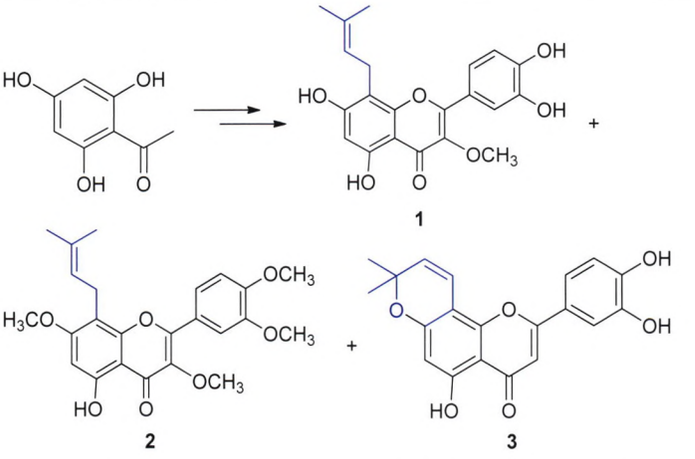
23 novel analogues of benzophenanthridine were synthesized starting from isochroman-3-one and aromatic amines via the cyclization reaction of aryl-enamine ester as the key steps. The *in vitro* cytotoxic activity of the targeted compounds against a panel of human tumor cell lines and nomal cell HL-7702 was also evaluated.

[3+3] Cycloaddition Reactions of Aza-Oxyallyl Cations with Oximes: Synthesis of 2-*N*-Unsubstituted 1,2,4-Oxadiazinan-5-ones

Zhang, Zhiyong; Wang, Qi; Zhang, Hao; Chen, Yongming; Wang, Xin; Ge, Zemei; Li, Runtao*
Chin. J. Org. Chem. **2019**, *39*(7), 1970

The first [3+3] cycloaddition reaction of *in situ*-generated azaoxyallyl cations with oximes was developed. This approach provides a simple and efficient method for the synthesis of 2-*N*-unsubstituted 1,2,4-oxadiazin-5-one derivatives with many merits, such as easy available regents, mild reaction conditions and high yield.

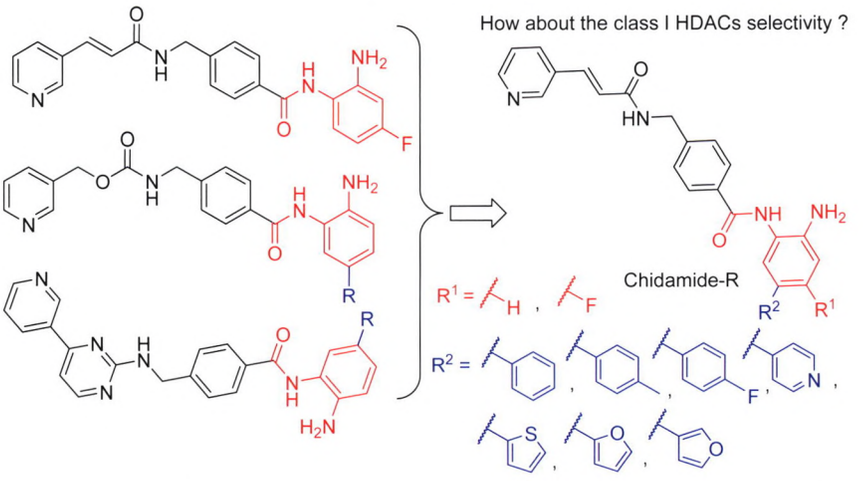
Synthesis of 8-Prenylflavonoids Natural Products by Microwave Promoted Claisen Rearrangement



Li, Wei; Shu, Liang; Wang, Qiuan*; Li, Gaoyang; Shan, Yang
Chin. J. Org. Chem. **2019**, *39*(7), 1976

The total synthesis of 8-prenylguercetin-3-methylether (**1**), 8-prenylquerccetin-3,7,3',4'-tetramethyl ether (**2**) and artochamin C (**3**) was first achieved. The key step of microwave promoted Claisen rearrangement was discussed.

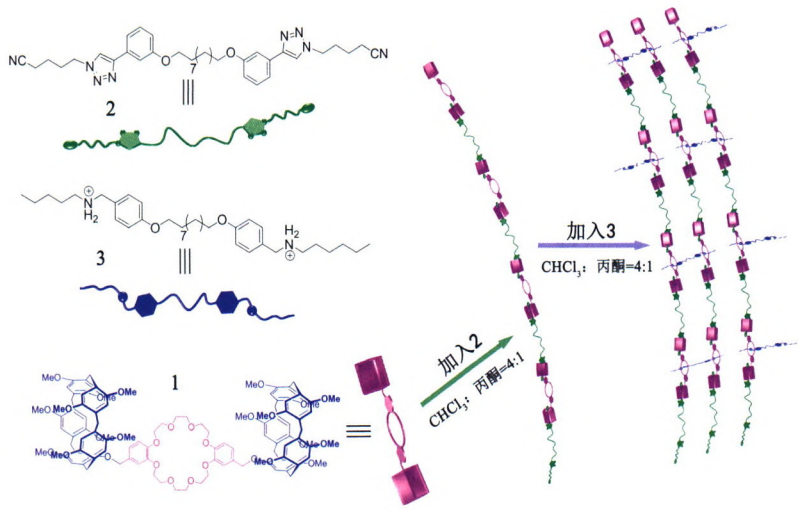
Design, Synthesis and Evaluation of Anti-tumor Activities of Chidamide Derivatives



Zhang, Xiangna; He, Feng; Zhang, Qiuqiong; Lü, Jiahui; Xu, A'na; Yu, Chenggong; Qu, Ying; Wu, Jingde*
Chin. J. Org. Chem. **2019**, *39*(7), 1983

A series of novel chidamide based histone deacetylases (HDACs) inhibitors were rationally designed and synthesized to increase the Zn^{2+} chelating and selectivity. Biological characterization established that most of the compounds showed moderate antiproliferative activitites in cancer cell lines.

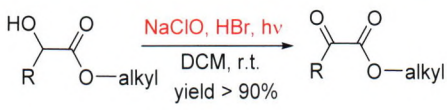
Linear and Cross-Linked Supramolecular Polymers Based on a Dibenzo-24-crown-8 Bridged Pillar[5]arene Dimer



One hybrid host molecule of dibenzo-24-crown-8 bridged pillar[5]arene dimer (**1**) was designed and synthesized. The combination of host **1** and ditopic guest **2** containing two 5-(1*H*-1,2,3-triazol-1-yl)pentanenitrile binding sites could afford linear AA/BB-type supramolecular polymers. Then introduction of ditopic guest **3** containing two secondary ammonium parts yields cross-linked supramolecular polymers.

Huo, Bochao; Li, Bin; Su, Hang; Zeng, Xianqiang; Xu, Kaidi; Cui, Lei*
Chin. J. Org. Chem. **2019**, *39*(7), 1990

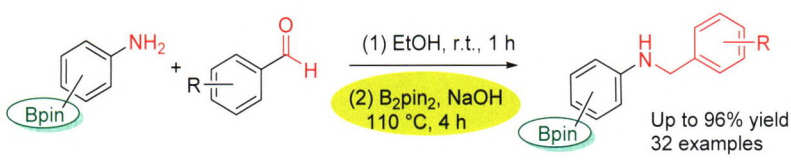
Radical Oxidation of α-Hydroxyl Ester Initiated by Sodium Hypochlorite



α-Hydroxyl esters were oxidized with sodium hypochlorite in the presence of hydrogen bromide to provide α-keto esters in high yields under mild conditions. The reaction was proposed to proceed via a free-radical mechanism.

Wang, Maochang; Zhang, Baohua*; Ding, Kai*
Chin. J. Org. Chem. **2019**, *39*(7), 1996

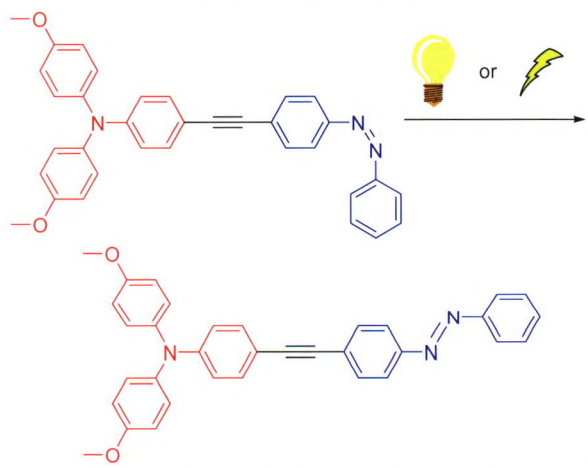
One-Pot, Two-Step Reductive Amination of Boronate Ester Containing Aromatic Amines and Aldehydes Using B_2pin_2 as Reductant



The one-pot, two-step reductive amination of boron-containing primary aromatic amines and aldehydes has been achieved in the presence of NaOH in ethanol using B_2pin_2 as reductant. A series of corresponding secondary aromatic amines containing pendant boronate ester and various functional groups were obtained in moderate to good yields.

Liu, Xueying; Liu, Zhenwei; Guo, Yuanyuan; Li, Jingya; Zou, Dapeng*; Wu, Yusheng*; Wu, Yangjie*
Chin. J. Org. Chem. **2019**, *39*(7), 2001

4,4'-Dimethoxy-triphenylamine Conjugated Azobenzene Photochromic Switches: Synthesis, Electrochemical and Photoisomerization Studies

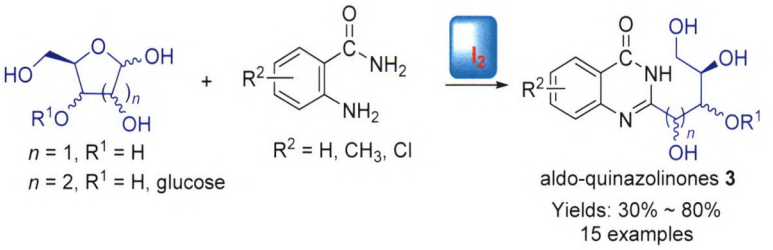


The *cis*-to-*trans* isomerization of **4** can be not only achieved by irradiation at UV light, but also realized by a more efficient way of change the state of redox center.

Yan, Jianfeng; Zhang, Ruiqi; Yuan, Ye; Yuan, Yaofeng*
Chin. J. Org. Chem. **2019**, *39*(7), 2009

CONTENT

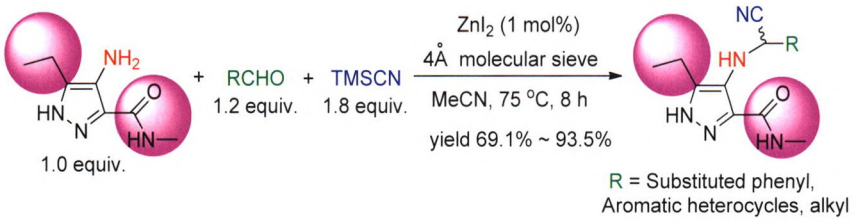
Synthesis of Aldo-quinazolinones by Iodine-Induced Oxidative Condensation of Aldoses and *o*-Aminobenzamides



Ju, Huanhuan; Sun, Jiajing; Li, Xiaoliu*; Chen, Hua*
Chin. J. Org. Chem. **2019**, *39*(7), 2018

A series of aldo-quinazolinones were synthesized by a one-pot iodine-induced oxidative condensation of the unprotected mono-/di-saccharides and *o*-aminobenzamides.

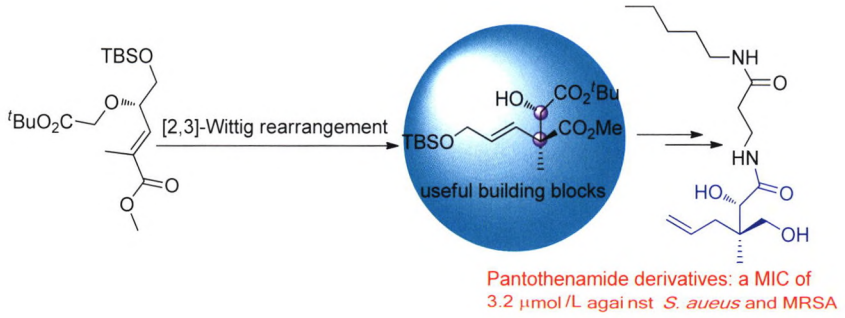
Synthesis and Biological Activity Study of Novel Cyano-containing Multi-substituted Pyrazoles Obtained via Strecker Reaction



Su, Shimiao; Zhu, Mo; Zhang, Daqiang; Yuan, Dekai*
Chin. J. Org. Chem. **2019**, *39*(7), 2026

The reaction of 3,5-disubstituted pyrazole-4-amine, TMSCN and aldehydes was realized by the catalysis of anhydrous ZnI_2 with 4Å molecular seive. 20 target compounds of cyano-containing multi-substituted pyrazoles were obtained with the highest yield of 93.5%. Preliminary boiassay showed that some compounds possessed some larvicidal activity, fungical activity and anti-TMV activity.

A Synthetic Route to Access Allyl-methyl-*N*-pantothenamide via [2,3]-Wittig Rearrangement

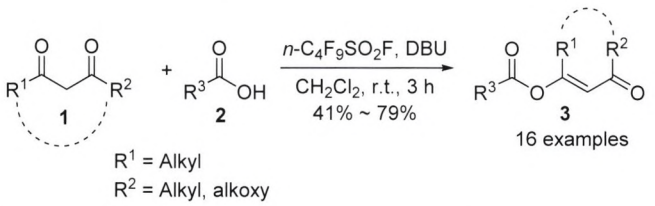


Xia, Liwen; Zhao, Qing; Ba, Mengyu; Hu, chaoping; Sun, Moran*; Yang, Hua
Chin. J. Org. Chem. **2019**, *39*(7), 2035

The synthetic route of allyl-methyl-*N*-pantothenamide (**1**) featuring [2,3]-Wittig rearrangement and palladium catalyzed formate reduction to assemble the requisite quaternary carbon with adjacent secondary alcohol has been reported. Our strategy presents a facile synthetic route to access **1** in 10 steps, which also provide a novel inspiration to construct chiral quaternary carbon via asymmetrical [2,3]-Wittig rearrangement.

NOTES

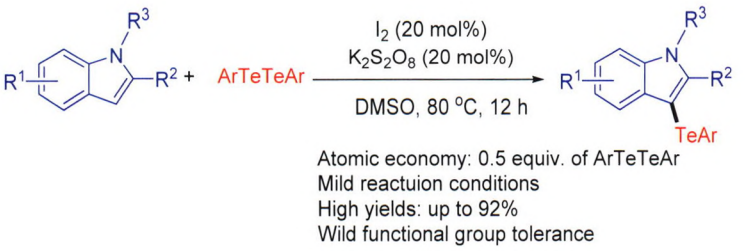
One-Step Enol Esterification of 1,3-Dicarbonyls with Carboxylic Acids Activated by Perfluoroalkanosulfonyl Fluoride



Yan, Zhaohua*; Wang, Yanmei; Jin, Hong'ai; Ai, Chengmei; Tian, Weisheng*
Chin. J. Org. Chem. **2019**, *39*(7), 2042

One-step *O*-acylation of 1,3-dicarbonyl compounds (1,3-diketones and *β*-ketonic esters) with carboxylic acids activated by perfluoroalkanosulfonyl fluoride in alkaline media was disclosed, and the corresponding *O*-acylation products of enol esters were generated in medium to good yields.

Iodine-Catalyzed Telluration of Indole Derivatives with Diarylditellurides for Synthesis of 3-Aryltellurylindoles

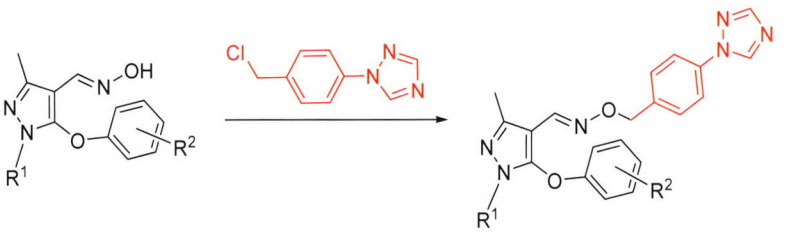


Chen, Jinyang*; Hu, Li; Wang, Haiying; Tan, Honghui

Chin. J. Org. Chem. **2019**, *39*(7), 2048

In the presence of 20 mol% $K_2S_2O_8$, a variety of 3-aryltellurylindoles were obtained in high yields via the telluration of indole dervatives with diarylditellurides catalyzed by 20 mol% I_2. This process tolerates a wide spectrum of different indole derivatives and diarylditellurides. Other advantages include mild reaction conditions, high yields and atom economy, and an efficient route to 3-aryltellurylindoles is afforded.

Synthesis and Bioactivities of Novel Pyrazole Oxime Ethers Containing 1,2,4-Triazole Moiety

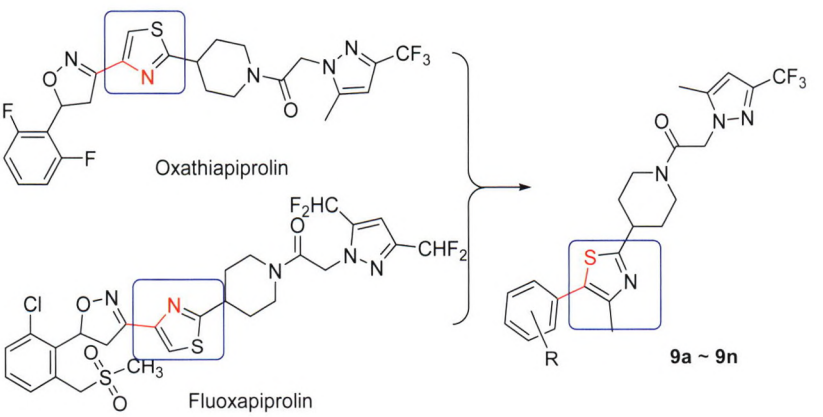


Wang, Yurong; Zheng, Dandan; Wang, Yang; Ye, Hao; Yao, Wei; Ding, Ying; Gu, Haiying*; Feng, Xia; Li, Ling; Dai, Hong*

Chin. J. Org. Chem. **2019**, *39*(7), 2053

A series of novel pyrazole oxime ethers containing 1,2,4-triazole moiety were prepared, and their biological activities were evaluated.

Synthesis and Fungicidal Activity of Novel Oxathiapiprolin Derivatives

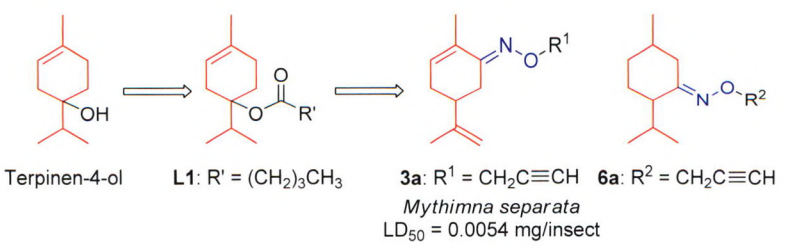


Ding, Chengrong; Pan, Yayun; Yin, Xu; Tan, Chengxia*; Wang, Xuedong*

Chin. J. Org. Chem. **2019**, *39*(7), 2062

Sixteen oxathiapiprolin derivatives were designed and synthesized. Their structures were confirmed by ^{1}H NMR, ^{13}C NMR and HRMS. The bioassay results indicated that the target compounds exhibited moderate to excellent antibacterial activities against *Fusarium graminearum*, *Diplocarpon mali*, *Rhizoctonia solani Ktihn*, *Phytophthora infestans* and *Botrytis cinerea*.

Synthesis and Insecticidal Activity of Terpinen-4-ol Derivatives Containing Oxime Ether



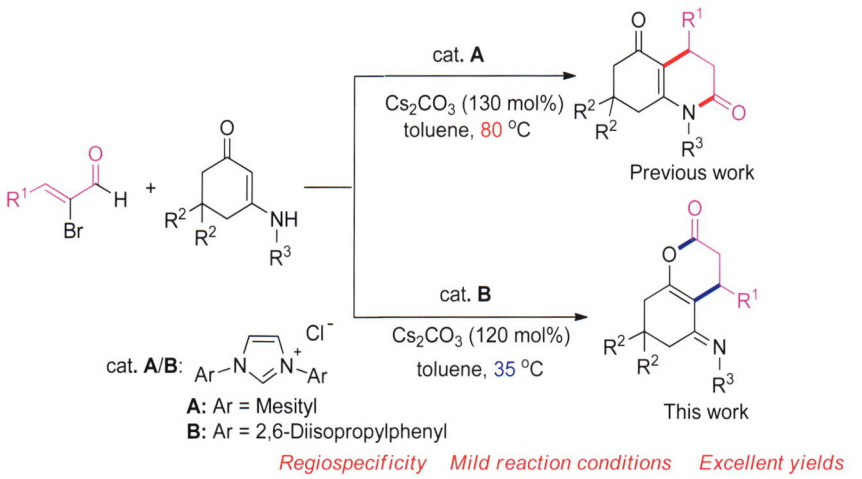
Lei, Peng; Ding, Xinji; Wu,Yuanyong; Ma, Zhiqing*

Chin. J. Org. Chem. **2019**, *39*(7), 2070

A series of terpinen-4-ol derivatives containing oxime ether were designed and synthesized. Compound **3a** showed satisfied activity against *Mythimna separata* with the LD_{50} value of 0.0054 mg/insect, which is 19.1 times than terpinen-4-ol.

CONTENT

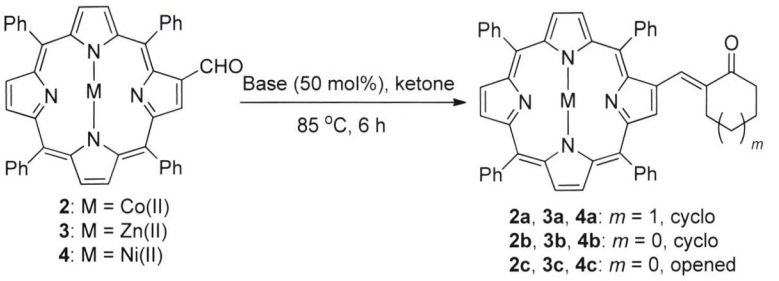
Effect of Temperature on *N*-Heterocyclic carbene-Catalyzed [3+3] Annulation of α-Bromoenal with Enaminone



Wang, Zhanlin; Li, Ruyi; Qian, Huimin; Yao, Changsheng*
Chin. J. Org. Chem. **2019**, *39*(7), 2075

The regioselectivity of *N*-heterocyclic carbene (NHC)-catalyzed [3+3] annulation of α-bromoenal with enaminone is dependent on the temperature. The reactions performed at 35 ℃ could regiospecifically give the derivatives of fused pyranone instead of previously reported quinolones, which provided a new shortcut to pyranone with mild reaction condition, broad substrate scope, high yields and operational simplicity.

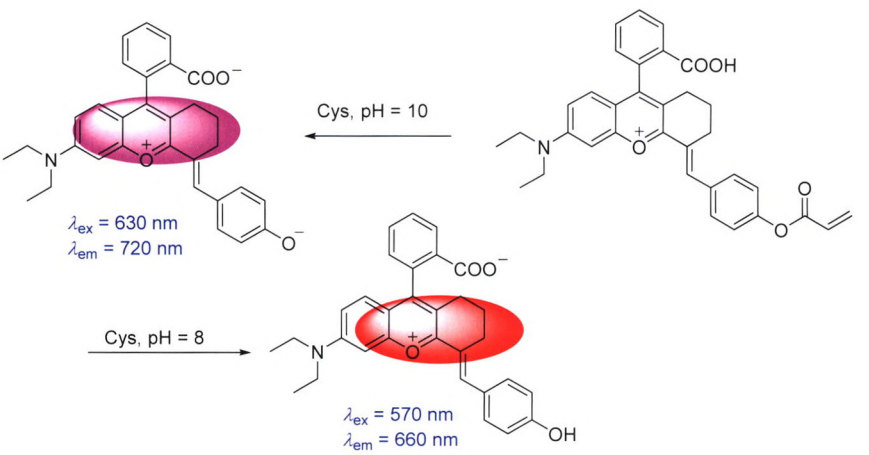
Solvent-Free Aldol Reaction of β-Porphyrin Formaldehyde with Ketone



Zhou, Xiang; Zhou, Fei; Jia, Xiaoliang; Yu, Jiawei; Shen, Qi; Zhang, Yunxiao*; Shi, Weimin*
Chin. J. Org. Chem. **2019**, *39*(7), 2084

A convenient approach for the synthesis of β-substituted α,β-unsaturated carbonyl porphyrin compounds via base-catalyzed aldol reaction was developed. By this method, a series of β-substituted α,β-unsaturated carbonyl porphyrin compounds were constructed using β-porphyrin formaldehyde and ketones with moderate to excellent yields under mild reaction conditions, especially solvent-free, and good functional group tolerance.

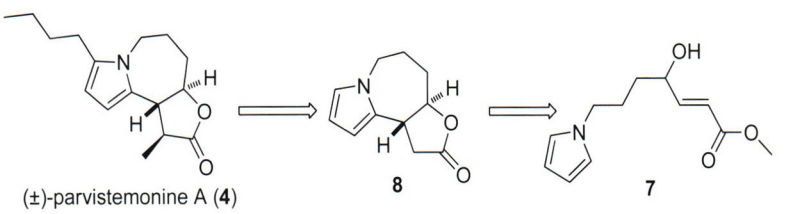
A Novel Rhodamine Analogues-Based Near-Infrared Fluorescent Probe for Cys



Tian, Qing; Chen, Shuanghu; Chen, Jinglong; Liu, Rui; Wang, Yushi; Yang, Xiaopeng; Ye, Yong*
Chin. J. Org. Chem. **2019**, *39*(7), 2089

A near-infrared fluorescence probe CS-Cys was synthesized using rhodamine analoguse as near-infrared fluorescent group. The probe can specificly response to Cys, not to other sulfhydryl amino acids.

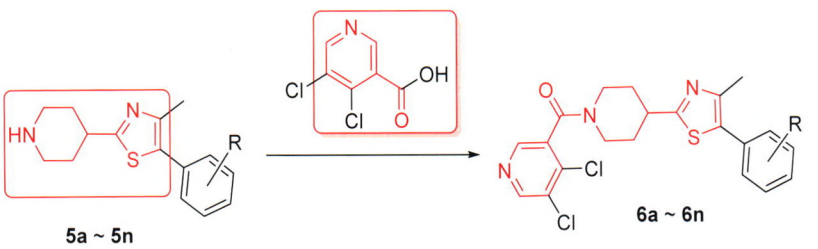
Total Synthesis of Racemic (±)-Parvistemonine A



Ma, Kaiqing*; Ren, Hubin; Wu, Xiaoxiao; Chao, Jianbin; Qin, Xuemei
Chin. J. Org. Chem. **2019**, *39*(7), 2094

Parvistemonine A was isolated from *Stemona parviflora*. The total synthesis of racemic parvistemonine A was completed in 6 steps for the first time, employing compound **7** as the starting material. The synthetic strategy features a tandem Friedel-Crafts cyclization and lactonization, Vilsmeier-Haack and Julia-Kocienski olefination.

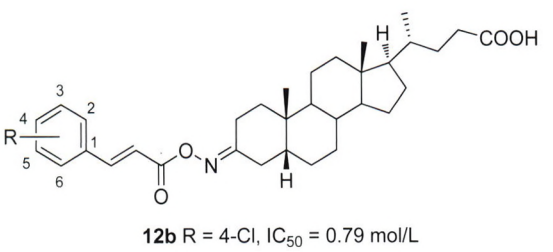
Synthesis and Biological Activity of Thiazolidine Piperidine Nicotinamide Compounds



Ding, Chengrong; Pan, Yayun; Yin, Xu; Tan, Chengxia*
Chin. J. Org. Chem. **2019**, *39*(7), 2099

14 novel thiazolidine piperidine nicotinamide derivatives were designed and synthesized in search of new bioactive compounds containing thiazolidine piperidine structure. The preliminary bioassay showed that the target compounds generally had antibacterial activities.

Novel Ester Derivatives of Lithocolic Acid-3-oxime and Their Inhibitory Activities against Protein Tyrosine Phosphatase 1B



Shi, shuzhi; Liang, zhipeng; Sun, jiangyong; Shi, Yujun*
Chin. J. Org. Chem. **2019**, *39*(7), 2106

3-Hydroxyl of lithocolic acid was oxidized, followed by oximating and splicing with cinnamoyl to afford a novel series of derivatives, of which, compound **12b** was 15-fold more potent than the lead compound (IC_{50}=0.79 μmol•L^{-1}), and had 4-fold selectivity over homologous T-cell protein tyrosine phosphatase as well.

HIGHLIGHTS

Chin. J. Org. Chem. **2019**, *39*(7), 2117

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