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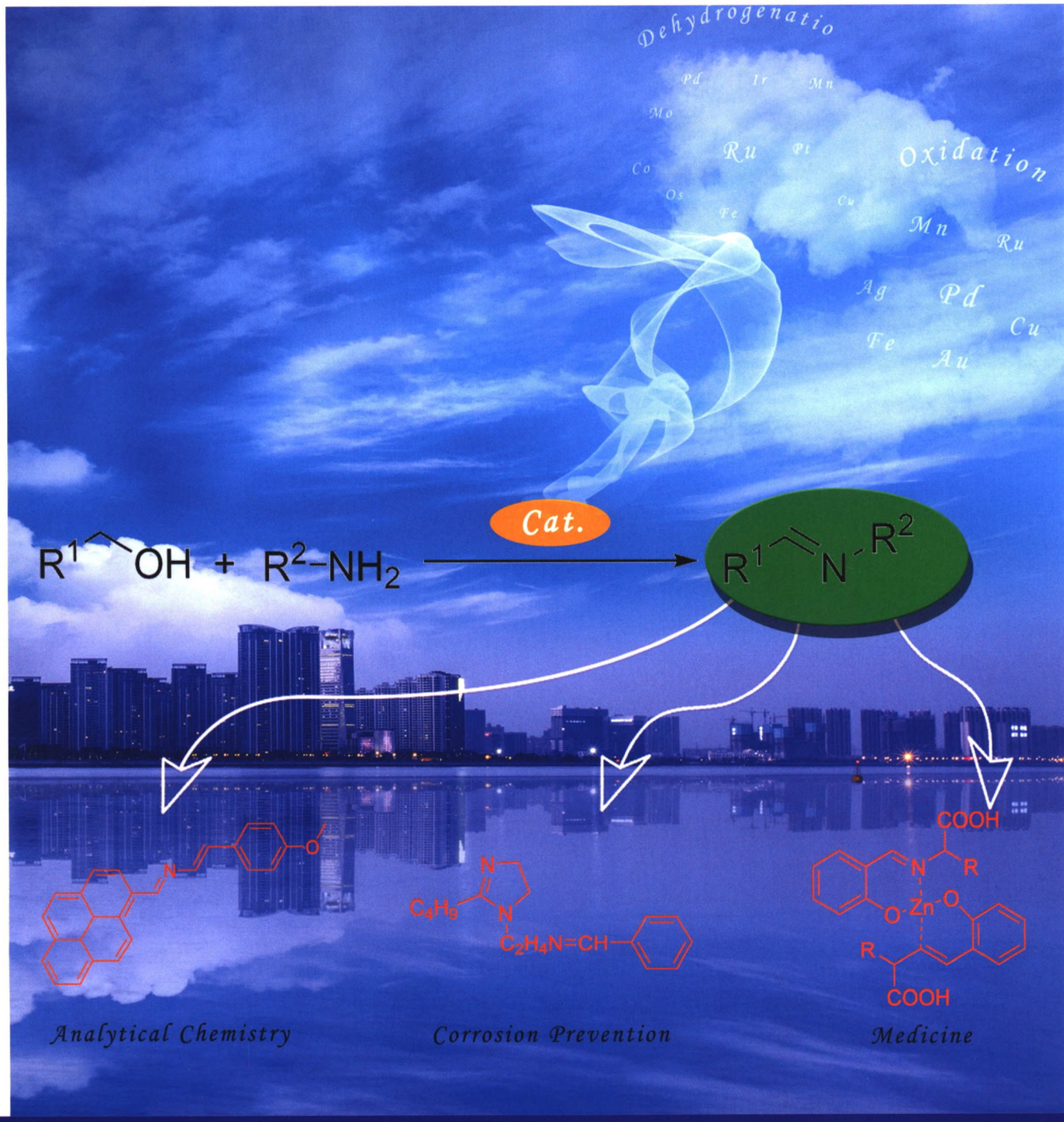

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万方数据

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(YOUJI HUAXUE)

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目 次

综述与进展

金属催化剂催化醇和胺直接偶联制备亚胺的研究进展 …… 王 辉 黄龙江* (883)

Baeyer-Villiger 单加氧酶的蛋白质改造及其催化氧化反应研究新进展 …… 郑 禾 周玉珂 林贤福 吴 起* (903)

巯基类荧光探针的研究进展 …… 闫沛沛* 王 婷 张 丹 马晓雪 (916)

以三氟乙酸及其衍生物为三氟甲基源的三氟甲基化反应研究进展 …… 季小明 史广法 张扬会* (929)

内质网靶向型有机小分子荧光探针的研究进展 …… 张晟曦 牛晴旻 吴松泽 吕海娟 邢国文* (940)

基于激发态分子内质子转移(ESIPT)原理的反应型荧光探针研究进展 …… 王瑞祥 赖晓静 邱观音生* 刘晋彪* (952)

贝克曼重排的研究新进展 …… 张 健* 刘园园 冯维春 武玉民 (961)

开环膦酸核苷类抗病毒药物前药的研究进展 …… 高梦远 刘洪涛 连玉菲 高欣丰 耿云鹤 李文燕* (974)

2006～2018 年稀有放线菌中的新天然产物 …… 张举成 杨雪琼 周 皓 杨亚滨* 丁中涛* (982)

研究论文

一种新型苯并咪唑-酰腙衍生物用于比色和荧光识别 F^- 和 AcO^- …… 李英俊* 赵 月 靳 焜 刘季红 周晓霞 杨凯栋 (1013)

新型席夫碱 Cr^{3+} 荧光探针及其细胞成像研究 …… 常永新 李 白 高云帆 徐括喜* (1023)

含 1*H*-苯并[*d*]咪唑或 1*H*-苯并[*d*][1,2,3]三唑结构的新型查尔酮衍生物的合成及 PTP1B 抑制活性研究 …… 付 洋 盛 丽 高立信 李 佳* 孙良鹏* (1029)

新型含 1,3,4-噁二唑片段硫色满酮衍生物的设计、合成及其抗真菌活性研究 …… 孙晓阳 冯佳佳 李生彬 冯思冉 刘振明 宋亚丽* 乔晓强* (1037)

芳基吡啶酮腙类化合物的设计、合成及杀菌活性研究 …… 王家尧 陶 晗 金 蜜 李丽莎 肖玉梅 李佳奇 覃兆海* (1044)

* 通讯联系人.

万方数据

手性硫脲-酰胺催化 1,3-二酮对硝基烯烃的不对称 Michael 加成反应……
……白 冰 王 龙 杨 静 蔡莉莉 刘前进 席高磊 赵志伟 毛多斌* 陈芝飞* (1053)
1,3,4-噻二唑三氮烯化合物的合成和生物活性研究……
……张明千 刘斌凯 雷 强 颜景东 赵中玉 龙 跃* (1064)
在含水介质中铜催化交叉氧化偶联反应合成 β-酰基-α-氨基酸衍生物……
……周安西* 周晓菲 毛刘量* 郑大贵 祝显虹 陈宗保 (1070)
Daphnilactone B 的 AC 环系高效合成……
……张 焱 郭联东* 徐 晶* (1079)
色烯并[4,3-*d*]吡唑并[3,4-*b*]吡啶衍生物的三组分合成及荧光性质研究……
……张梦烨 王 宁 徐文韬 黄志斌* 史达清* (1085)
利用碳碳叁键断裂重组反应合成 2,4,4-三氯萘-1(4*H*)-酮衍生物……
……蒋文婕 宋雅婷 韦晓静 徐 义 陆 娟 姜 波* 郝文娟 (1095)
锌介导的炔酰胺串联氧化/卤化反应合成 α-卤代酰胺……
……朱建荣* 任小娟 唐飞宇 潘 飞 叶龙武* (1102)
钯催化 *N,N*-二甲基甲酰胺与胺高效合成甲酰胺……
……李 春 王梦娜 陆逊花 杨元勇 张 林* (1109)
I_2O_5 促进炔烃与磺酰肼碘磺酰化反应合成(*E*)-β-碘代烯基砜……
……唐裕才* 冉书童 王 萍 陈 飘 (1116)
氢键驱动的二苯甲酮肟 Beckmann 重排反应研究……
……冯一格 叶浙高 郝仕油* (1122)
通过(*E*)-7-甲基-2,6-辛二烯酸的立体选择性 Mizoroki-Heck 芳基化反应合成(*E*)-3-芳基-7-甲基-2,6-辛二烯酸……
……王卫伟 赵 宇 刘鑫磊 耿 瑞 王明安* (1129)

研究简报

白芍中一种内生真菌 *Penicillium mariae-crucis* 的次生代谢产物研究……
……王举涛 马宗慧 王国凯 许凤清 郁 阳 王 刚 刘劲松* (1136)
咔唑生物碱 Murrayaquinone A 的全合成……
……张 坤 徐红进 刘咨博 宋传君* (1142)
非预期的重排反应及苯并噁唑类化合物的合成……
……王凯璇 王兰芝* (1147)
Cu(II)/Ag(I)催化芳香羧酸和邻氨基苯甲酰胺的选择性脱羧胺化反应……
……沈 丽 张 洁 谢建伟* (1153)
含噁二唑杨梅素衍生物的合成及生物活性研究……
……张 橙 蒋仕春 陈 英 郭 涛 夏榕娇 汤 旭 陈丽娟 贺 鸣 薛 伟* (1160)
Rif-orf13 编码的细胞色素 P450 催化利福霉素生物合成过程中 C34a 位的羟化反应……
……周 强 罗光彩 张惠展* 唐功利* (1169)
四丁基碘化铵促进芳基磺酰肼和 4-羟基香豆素的硫醚化反应……
……朱福元 王彦梅 何明闯 严兆华* 林 森* (1175)
苯胺基于钛硅分子筛的选择性氧化合成氧化偶氮苯……
……宋玉婉 冯韶静 韩 维* 肖亚平* (1181)
Se 粉为原料的芳硒基取代黄酮衍生物的合成……
……冯春来 朱 杰 唐秋洁 周爱华* (1187)

亮点介绍…… (1193)

万方数据

Chinese Journal of Organic Chemistry

Vol. 39 No. 4 April 2019

On the Cover

The recent progresses in the total synthesis of imines from alcohols and amines catalyzed by different catalysts are reviewed by Wang and Huang on page 883. According to the different function in the reaction, they are mainly divided into two categories: oxidation and dehydrogenation catalysts. Among them, catalysts Pd, Cu, Mn and Au are most used in oxidation coupling of alcohol and amine, while Ru is widely used in dehydrogenation coupling of alcohol and amine. Imines and their metal complexes have been found to have extensive utilizations in medicine, analytical chemistry and corrosion prevention.

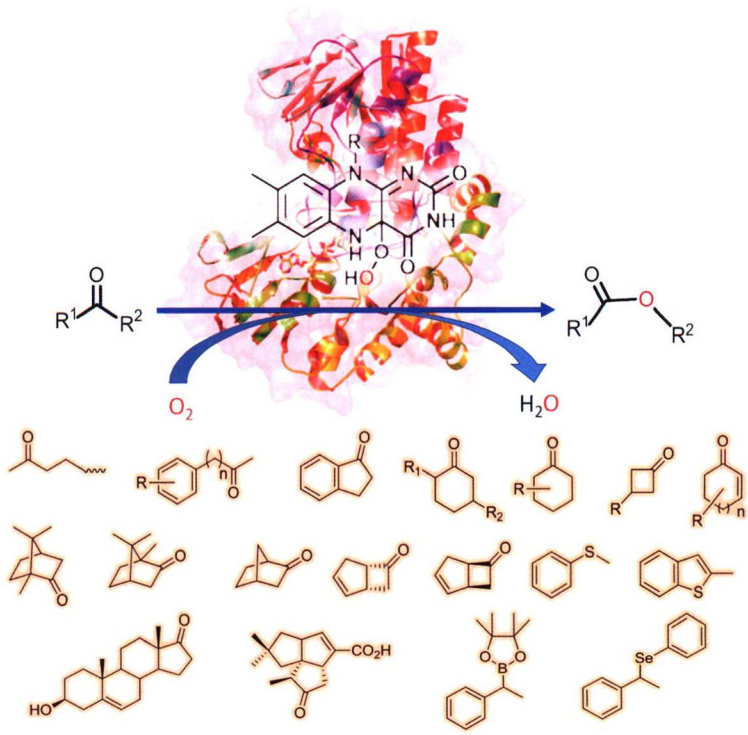
REVIEWS

Progress in Imine Formation from Direct Coupling of Alcohols and Amines Catalyzed by Metal Catalysts

The C=N double bond in imine is an important nitrogen source in different types of reactions due to its high reactive activity. Due to its high atom economy, catalytic direct coupling of alcohols and amines to imines based on metal catalysts has attracted much attention and maken great progress in recent years. In this paper, the advances in direct coupling of alcohols and amines to imines catalyzed by metal catalysts are reviewed.

Wang, Hui; Huang, Longjiang*
Chin. J. Org. Chem. **2019**, *39*(4), 883

Recent Developments in Protein Engineering and Catalytic Oxidations of Baeyer-Villiger Monooxygenase



Based on the Baeyer-Villiger oxidation reaction with different substrate structures, the recent advancements in the research on the catalytic oxidation of wild type and protein-engineered Baeyer-Villiger monooxygenase (BVMO) in the past five years are summarized.

Zheng, He; Zhou, Yuke; Lin, Xianfu; Wu, Qi*
Chin. J. Org. Chem. **2019**, *39*(4), 903

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万方数据

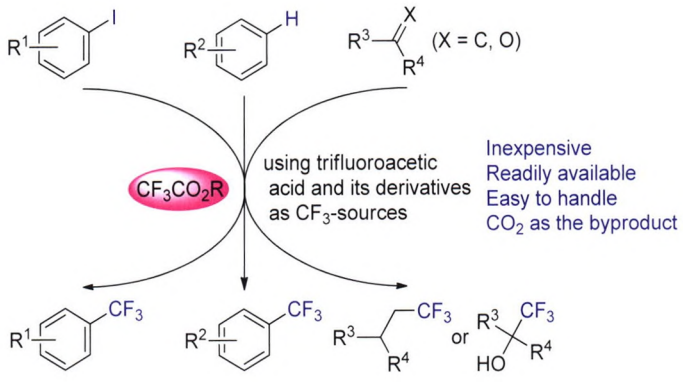
CONTENT

Progress in Fluorescence Sensors for Detection of Thiols

Yan, Peipei*; Wang, Ting; Zhang, Dan; Ma, Xiaoxue
Chin. J. Org. Chem. **2019**, *39*(4), 916

The synthesis of fluorescent probes for detecting sulfhydryl compounds, which was reported from 2013 to 2018, is reviewed based on the different mechanism of the reaction between fluorescence probe and sulfhydryl, including Michael addition, cyclization of aldehyde groups, sulfonamides or sulfonates of the lysis, natural chemical linkage and so on. The detection limit of the probe, response time, equivalence ratio were elaborated.

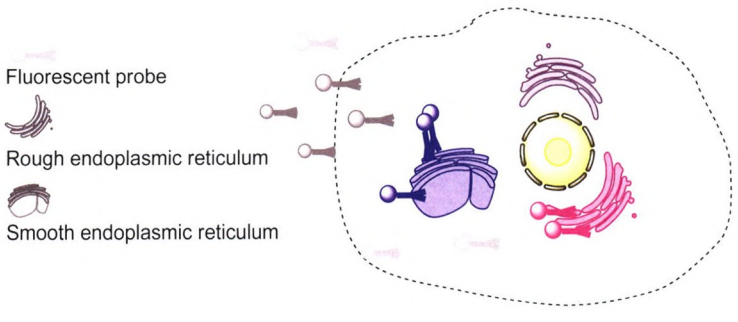
Progress of Trifluoromethylation Using Trifluoroacetic Acid and Its Derivatives as CF_3-Sources



Ji, Xiaoming; Shi, Guangfa; Zhang, Yanghui*
Chin. J. Org. Chem. **2019**, *39*(4), 929

Trifluoroacetic acid and its derivatives are ideal trifluoromethylating reagents, and have great application potentials. The progress of trifluoromethylation reactions using trifluoroacetic acid and its derivatives as CF_3-sources is reviewed, including the reactions of C—X (X=Br and I), C—H, and C=X (X=C, O). The mechanisms are also introduced.

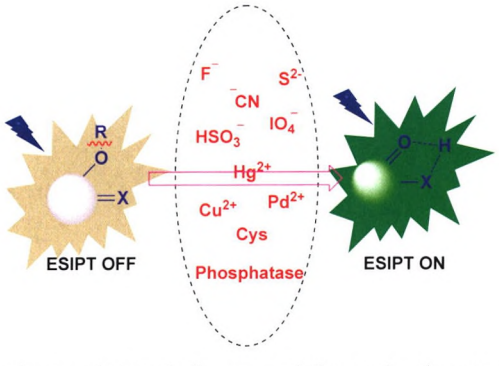
Recent Progress on Endoplasmic Reticulum-Targetable Small Organic Fluorescent Probes



Zhang, Shengxi; Niu, Qingmin; Wu, Songze; Lü, Haijuan; Xing, Guowen*
Chin. J. Org. Chem. **2019**, *39*(4), 940

The structure, function and biological application of endoplasmic reticulum (ER) fluorescent probes in recent years are summarized and reviewed. Various localization mechanisms of ER fluorescent probes are described. The development trend of ER fluorescent probes in life science research is prospected.

Recent Advances in Reaction-Based Excited State Intramolecular Proton Transfer (ESIPT) Fluorescence Probe



Wang, Ruixiang; Lai, Xiaojing; Qiu, Guanyinsheng*; Liu, Jinbiao*
Chin. J. Org. Chem. **2019**, *39*(4), 952

Fluorescence detection based on excited state intramolecular proton transfer (ESIPT) using reaction-based probes has attracted considerable attention in the scientific community as they offer promising advantages, including high selectivity, high sensitivity and large Stoke shift. The representative examples of design strategies, mechanism of actions, existing challenges and future developments for reaction-based ESIPT fluorophores reported in the last ten years are reviewed.

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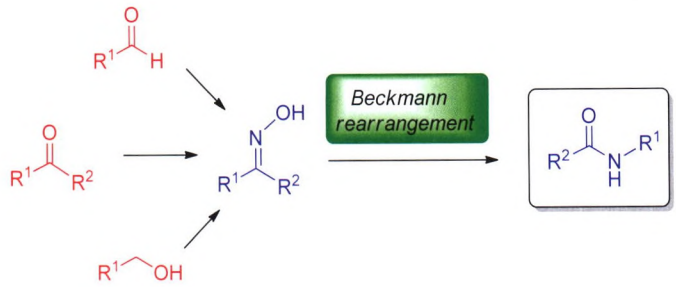
万方数据

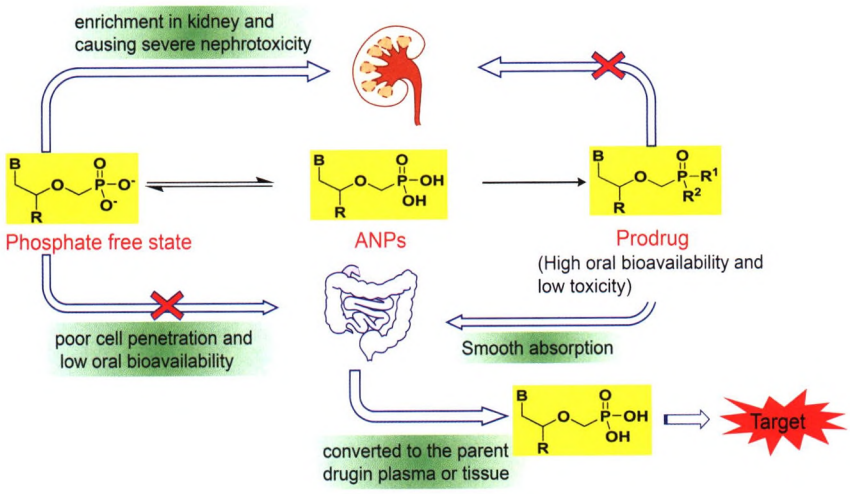
Recent Progress in Beckmann Rearrangement



Zhang, Jian*; Liu, Yuanyuan; Feng, Weichun; Wu, Yumin
Chin. J. Org. Chem. **2019**, *39*(4), 961

The progress and applications of Beckmann rearrangement in recent five years are reviewed. The transformation of aldoximes to primary amides under metal catalyzed conditions is mainly discussed. In addition, protocols to generate the aldoximes *in situ* from the corresponding aldehydes, or even from alcohols, have also been summarized.

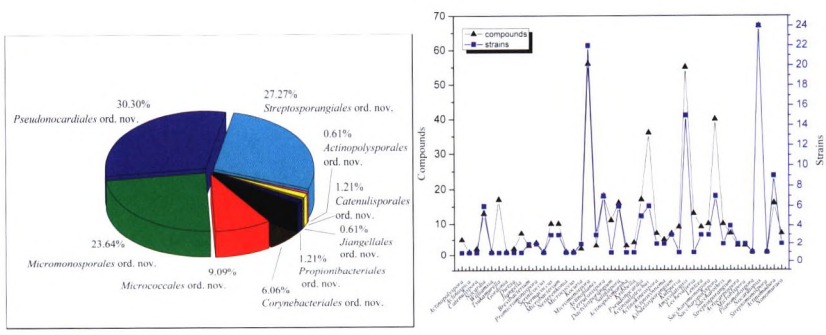
Research Progress in Prodrugs of Acyclic Nucleoside Phosphonates Antiviral Agents



Gao, Mengyuan; Liu, Hongtao; Lian, Yufei; Gao, Xinfeng; Geng, Yunhe; Li, Wenyan*
Chin. J. Org. Chem. **2019**, *39*(4), 974

More and more attention has been focused on acyclic nucleoside phosphonates (ANPs) antiviral agents which were wildly used in clinical therapy. However, poor oral bioavailability and high toxicity directly related to the phosphonate charge were serious and unnegligible especially for the treatment of chronic diseases such as hepatitis B virus (HBV) and human immunodeficiency virus (HIV). Preparing appropriate forms of prodrug could enhance the oral bioavailability and reduce the toxicity because of the smooth absorption of prodrugs and the release of active drug only at the target. This review summarizes some recent progress in prodrugs of ANPs antiviral agents based on the structures of tenofovir, adefovir and cidofovir.

New Natural Products of Rare *Actinomycetes* from 2006 to 2018



Zhang, Jucheng; Yang, Xueqiong; Zhou, Hao; Yang, Yabin*; Ding, Zhongtao*
Chin. J. Org. Chem. **2019**, *39*(4), 982

This paper introduces the new active compounds including 515 new natural products found in rare actinomycetes, from plants, soil, marine sediment, marine animals and so on. The structure type included macrolides, polyketides, anthraquinones, bipyridines, polyene, etc. The biotransformation of natural products by rare actinomycetes was reported from January 2006 to June 2018.

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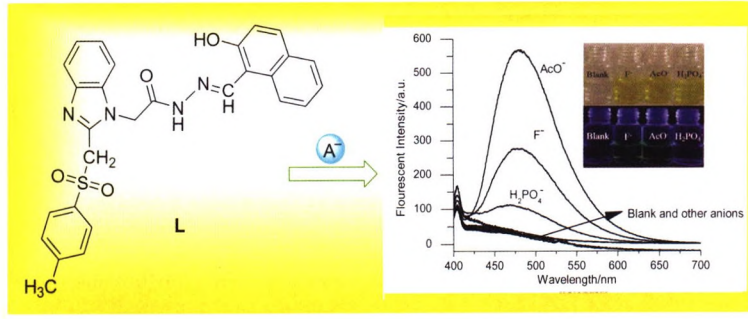
万方数据

CONTENT

ARTICLES

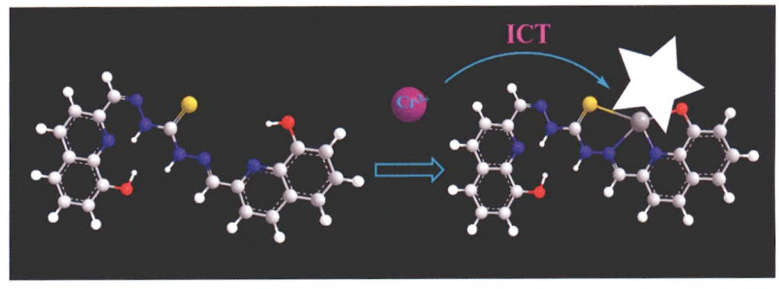
A Novel Benzimidazole-Hydrazone Derivative for Colorimetric and Fluorescent Recognition of F^- and AcO^-



A novel benzimidazole-hydrazone derivative, *N'*-(2-hydroxy-1-naphthyl)methylene-2-[2-(4-methylphenylsulfonylmethyl)-1*H*-benzoimidazol-1-yl]acetohydrazide (**L**), was synthesized. **L** can be used as a probe for the naked eye to recognize F^-, AcO^- and $H_2PO_4^-$, and colorimetric and fluorescent to recognize F^- and AcO^-. The detection limits (DL) of probe **L** to F^- and AcO^- were 3.63×10^{-7} and 8.51×10^{-8} mol•L^{-1}, respectively. The stoichiometry of complexation between **L** and F^-/AcO^- was 1∶1.

Li, Yingjun*; Zhao, Yue; Jin, Kun; Liu, Jihong; Zhou, Xiaoxia; Yang, Kaidong
Chin. J. Org. Chem. **2019**, *39*(4), 1013

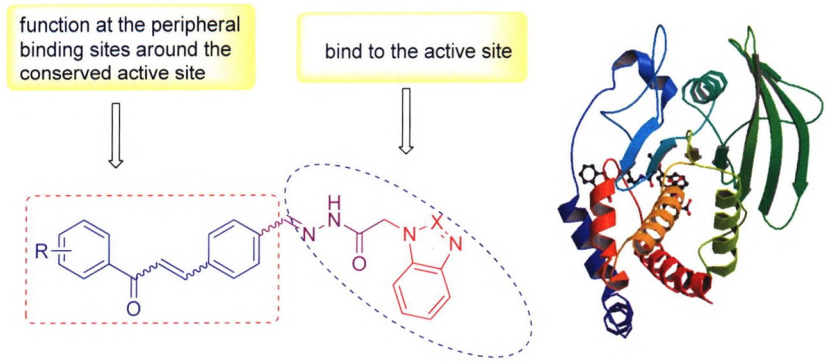
A Novel Schiff-Base Fluorescent Probe for Cr^{3+} and Its Bioimaging in Cells



Cr^{3+} fluorescent probe **L** was synthesized by reaction of 8-hydroxyquinolinaldehyde with thiocarbazone. The probe **L** showed high selectivity towards Cr^{3+} ion through the color of solution changed from colorless to yellow for naked-eye detection and significant fluorescence intensity enhanced in CH_3CN/H_2O (V∶V=1∶2, Tris buffer 50 mmol/L, pH=7.3) solution. The 1∶1 binding stoichiometry between probe and Cr^{3+} was determined from Job's plot, fluorescence titration, ESI-MS and density functional theory (DFT) calculations.

Chang, Yongxin; Li, Bai; Gao, Yunfan; Xu, Kuoxi*
Chin. J. Org. Chem. **2019**, *39*(4), 1023

Synthesis and PTP1B Inhibitory Activity of Novel Chalcone Derivatives Bearing 1*H*-Benzo[*d*]imidazol or 1*H*-Benzo[*d*]-[1,2,3]triazol Moieties



Protein tyrosine phosphatase 1B (PTP1B) inhibitor has recently been identified as new candidate drug for type II diabetes and obesity due to it is a negative regulator of the insulin and leptin-signaling pathway. In order to find new nonphosphonate-based pTyr mimetics, a series of novel chalcone derivatives bearing 1*H*-benzo[*d*]imidazol or 1*H*-benzo[*d*][1,2,3]triazol moieties were designed, synthesized, and evaluated for their PTP1B inhibitory activities.

Fu, Yang; Sheng, Li; Gao, Lixin; Li, Jia*; Sun, Liangpeng*
Chin. J. Org. Chem. **2019**, *39*(4), 1029

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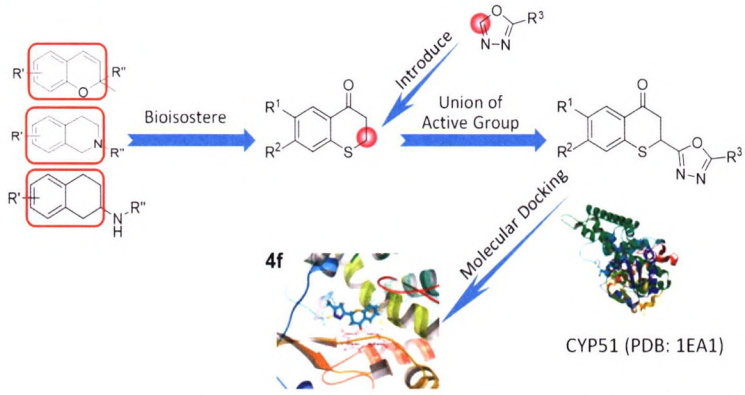
万方数据

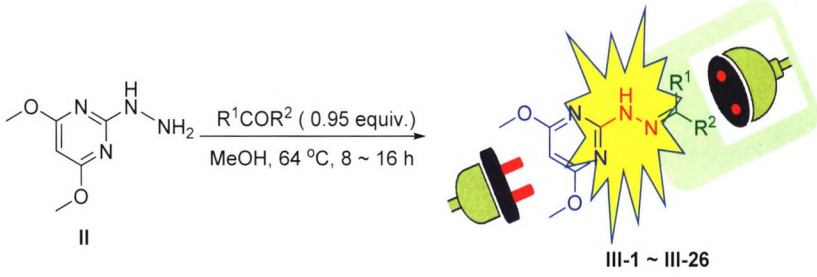
Design, Synthesis, and Antifungal Activity of Novel Thiochromanone Derivatives Containing 1,3,4-Oxadiazole Skeleton



Sun, Xiaoyang; Feng, Jiajia; Li, Shengbin; Feng, Siran; Liu, Zhenming; Song, Yali*; Qiao, Xiaoqiang*
Chin. J. Org. Chem. **2019**, *39*(4), 1037

The small molecule substitued 1,3,4-oxadiazoles were introduced into thiochromanone, and the antifungal activity of the derivatives was tested. The results showed that most target compounds have significant antifungal activity, and molecular docking studies indicated that **4f** has strong binding ability to CYP51.

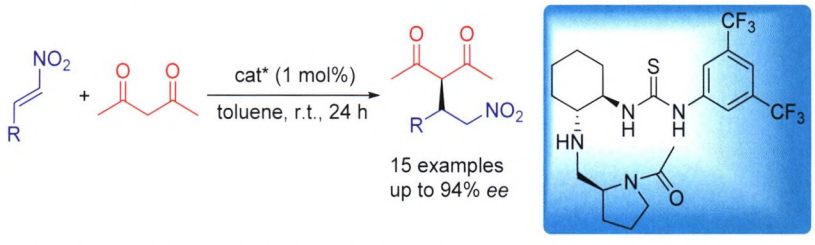
Design, Synthesis and Activity Evaluation of Arylpyridone Hydrazones



Wang, Jiayao; Tao, Han; Jin, Mi; Li, Lisha; Xiao, Yumei; Li, Jiaqi; Qin, Zhaohai*
Chin. J. Org. Chem. **2019**, *39*(4), 1044

A series of novel arylpyridone hydrazones were designed and synthesized by using arylpyridinylmethanone as the plug-in molecules and ferimzone as parent compound. The antifungal activities of all compounds were tested by growth rate method *in vitro*.

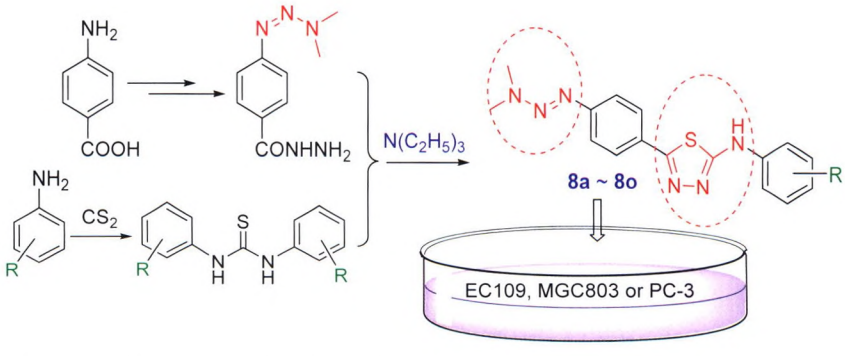
Asymmetric Conjugate Addition of 1,3-Diketone to Nitroalkenes Catalyzed by Bifunctional Thiourea-Amide Organocatalysts



Bai, Bing; Wang, Long; Yang, Jing; Cai, Lili; Liu, Qianjin; Xi, Gaolei; Zhao, Zhiwei; Mao, Duobin*; Chen, Zhifei*
Chin. J. Org. Chem. **2019**, *39*(4), 1053

New bifunctional chiral thiourea-amide organocatalysts were applicated in asymmetric conjugate addition of acetylacetone to various nitroalkenes with high enantioselectivities up to 94% *ee*.

Synthesis and Biological Activities of 1,3,4-Thiadiazole Triazene Compound



Zhang, Mingqian; Liu, Binkai; Lei, Qian; Yan, Jingdong; Zhao, Zhongyu; Long, Yue*
Chin. J. Org. Chem. **2019**, *39*(4), 1064

Using the splicing principle of combining the pharmacological group triazene with 1,3,4-thiadiazole, 15 unreported 1,3,4-thiadiazole triazene derivatives were synthesized. By using the dacarbazine (DTIC) and 5-fluorouracil (5-FU) as a reference, the activity detections of human esophageal cancer cells (EC109), human gastric cancer cells (MGC803), and human prostate cancer cells (PC-3) were carried out.

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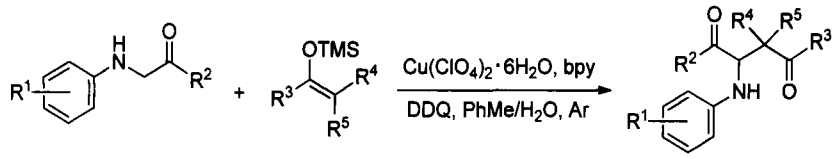
万方数据

CONTENT

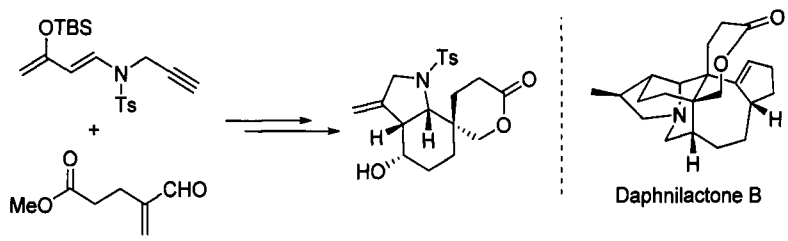
Copper-Catalyzed Oxidative Cross-Coupling Reactions for the Synthesis of β-Acyl-α-amino Acid Derivatives in Aqueous Medium



Zhou, Anxi*; Zhou, Xiaofei; Mao, Liuliang*; Zheng, Dagui; Zhu, Xianhong; Chen, Zongbao
Chin. J. Org. Chem. **2019**, *39*(4), 1070

A novel copper-catalyzed oxidative cross-coupling reaction between *N*-arylglycine esters and silyl enol ethers for the synthesis of β-acyl-α-amino acid esters has been developed. The features of this reaction are high reaction yields, mild reaction conditions and smooth operation in aqueous medium.

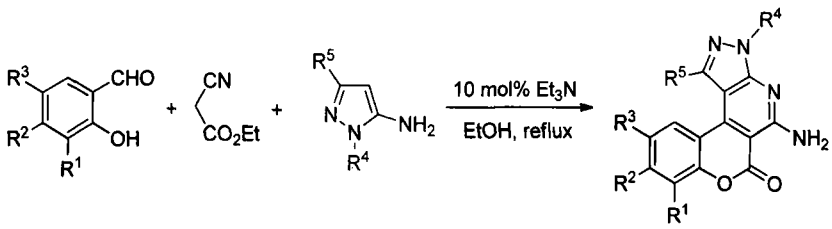
Efficient Synthesis of the AC Ring System of Daphnilactone B



Zhang, Yan; Guo, Liandong*; Xu, Jing*
Chin. J. Org. Chem. **2019**, *39*(4), 1079

The synthesis of the AC ring moiety of daphnilactone B has been achieved through an efficient Diels-Alder reaction and Au-catalyzed Conia-ene reaction in seven steps with 30% overall yield. Our strategies paved the way to the total synthesis of various daphnilactone B-type *Daphniphyllum* alkaloids.

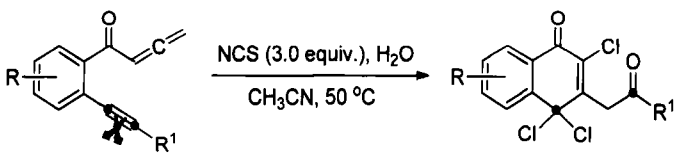
Three-Component Synthesis of Chromeno[4,3-*d*]pyrazolo[3,4-*b*]pyridine Derivatives and Their Fluorescence Properties



Zhang, Mengye; Wang, Ning; Xu, Wentao; Huang, Zhibin*; Shi, Daqing*
Chin. J. Org. Chem. **2019**, *39*(5), 1085

A series of 5-aminochromeno[4,3-*d*]pyrazolo[3,4-*b*]pyridin-6(3*H*)-one derivatives **4a**~**4w** were synthesized by three-component reactions of salicylaldehydes, ethyl cyanoacetate and 5-aminopyrazoles catalyzed by triethylamine (TEA). The properties of the synthesized compounds were examined by fluorescence spectroscopy.

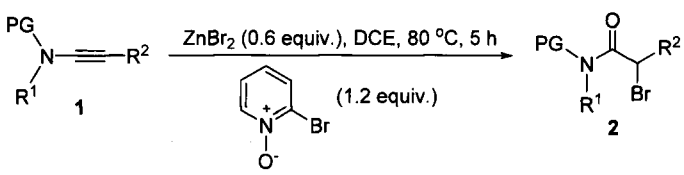
C—C Triple Bond Cleavage/Rearrangement Reaction for Accessing 2,4,4-Trichloronaphthalen-1(4*H*)-ones



Jiang, Wenjie; Song, Yating; Wei, Xiaojing; Xu, Yi; Lu, Juan; Jiang, Bo*; Hao, Wenjuan
Chin. J. Org. Chem. **2019**, *39*(4), 1095

N-Chlorosuccinimide-mediated [2+2] cycloaddition and C—C triple bond cleavage/rearrangement reaction of yne-allenones and H_2O as a nucleophilic reagent enabled the formation of 18 examples of 2,4,4-trichloronaphthalene-1(4*H*)-one derivatives with 55%~88% yields. This metal-free transformation features mild conditions, simple operation and high reaction yields..

Synthesis of α-Halo Amides via Zinc-Mediated Tandem Oxidation/Halogenation of Ynamides



Zhu, Jianrong*; Ren, Xiaojuan; Tang, Feiyu; Pan, Fei; Ye, Longwu*
Chin. J. Org. Chem. **2019**, *39*(4), 1102

The realization of the tandem oxidation/halogenation of ynamides by employing the zinc halide as both the catalyst and the halogen source is described, thus avoiding the use of other external halogenating reagents. This method allows the practical synthesis of a variety of valuable α-haloamides in moderate to good yields.

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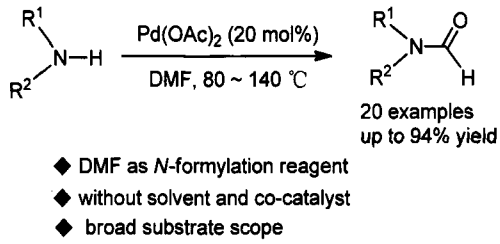
万方数据

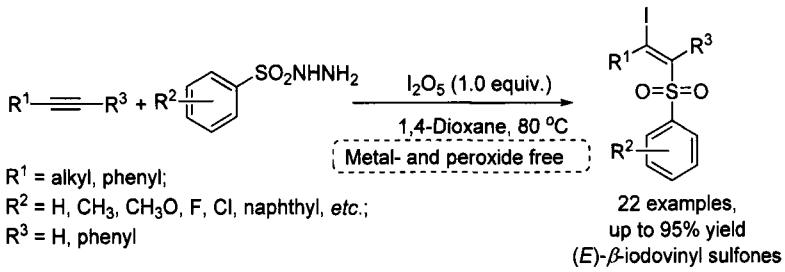
Pd-Catalyzed *N*-Formylation of Amines with *N,N*-Dimethylformamide



Li, Chun; Wang, Mengna; Lu, Xunhua; Yang, Yuanyong; Zhang, Lin*
Chin. J. Org. Chem. **2019**, *39*(4), 1109

A highly efficient transamidation of *N,N*-dimethylformamide (DMF) with amines catalysed by $Pd(OAc)_2$ has been reported. A wide range of functionalised formamides was synthesized in excellent yields. And this process is simple and easily performed without solvent and co-catalyst.

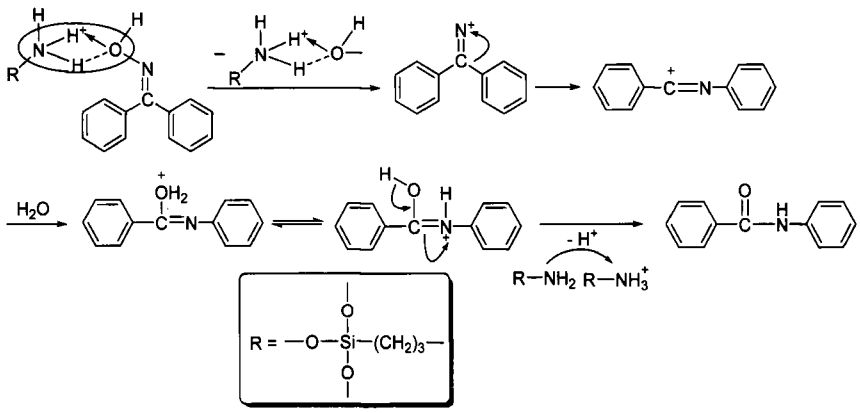
I_2O_5 Promoted Iodosulfonylation of Alkynes with Sulfonylhydrazides to Synthesis (*E*)-*β*-Iodovinyl Sulfones under Peroxide-Free Conditions



Tang, Yucai*; Ran, Shutong; Wang, Ping; Chen, Piao
Chin. J. Org. Chem. **2019**, *39*(4), 1116

A facile and efficient I_2O_5-promoted iodosulfonylation of alkynes for direct synthesis of (*E*)-*β*-iodovinyl sulfones is described. This procedure affords various synthetically useful *β*-iodosulfonyl alkenes in moderate to good yields under peroxide free conditions.

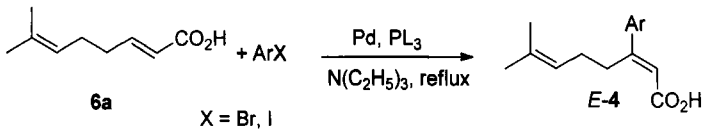
Hydrogen Bond Driven Beckmann Rearrangement of Diphenyl-ketoxime



Feng, Yige; Ye, Zhegao; Hao, Shiyou*
Chin. J. Org. Chem. **2019**, *39*(4), 1122

N-Benzophenamide was successfully synthesized by the hydrogen bond driven Beckmann rearrangement of diphenyl-ketoxime.

Synthesis of (*E*)-3-Aryl-7-methylocta-2,6-dienoic Acid via Stereoselective Mizoroki-Heck Arylation of (*E*)-7-Methylocta-2,6-dienoic Acid



Wang, Weiwei; Zhao, Yu; Liu, Xinlei; Geng, Rui; Wang, Mingan*
Chin. J. Org. Chem. **2019**, *39*(4), 1129

Stereoselective Mizoroki-Heck arylation of (*E*)-7-methylocta-2,6-dienoic acid with aryl iodide catalyzed by $Pd(OAc)_2$ and $P(o\text{-}MeC_6H_4)_3$ was optimized, and the yields were improved under the condition of excess Et_3N both as base and solvent with reduced amount of catalysts. (*E*)-3-Aryl-7-methylocta-2,6-dienoic acids were directly synthesized via Mizoroki-Heck arylation in 37%~68% isolated yields under the optimization condition.

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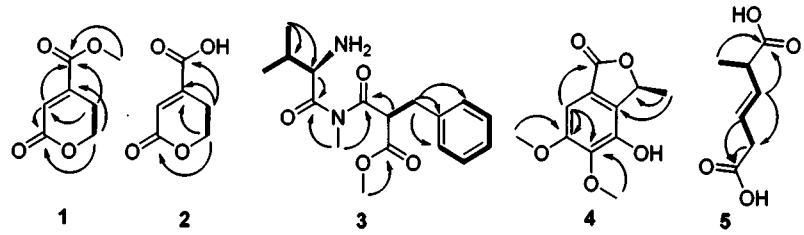
CONTENT

NOTES

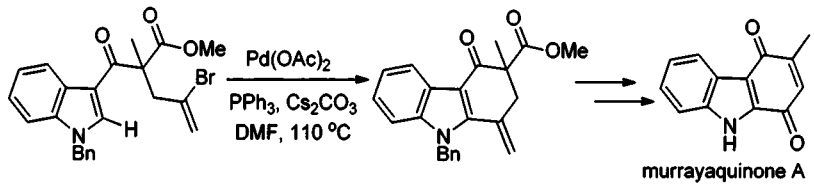
Secondary Metabolites of Endophytic Fungus *Penicillium mariae-crucis* from *Paeonia lactiflora* Pall.



Four new compounds, penicmariae-crucis A (**1**), penicmariae-crucis B (**2**), penicmariae-crucis C (**3**), penicmariae-crucis D (**4**), and a new natural product, (*E*)-2-methylhex-3-enedioic acid (**5**), together with five known compounds, *O*-methylcurvulinic acid (**6**), methyl 2-acetyl-3-hydroxy-5-methoxyphenylacetate (**7**), methyl 2-acetyl-3,5-dihydroxyphenylacetate (**8**), *β*-sitosterol (**9**), ergosterol peroxide(**10**), were isolated from the EtOAc extract of endophytic fungus *P. mariae-crucis* in *P. lactiflora* Pall.

Wang, Jutao; Ma, Zonghui; Wang, Guokai; Xu, Fengqing; Yu, Yang; Wang, Gang; Liu, Jinsong*
Chin. J. Org. Chem. **2019**, *39*(4), 1136

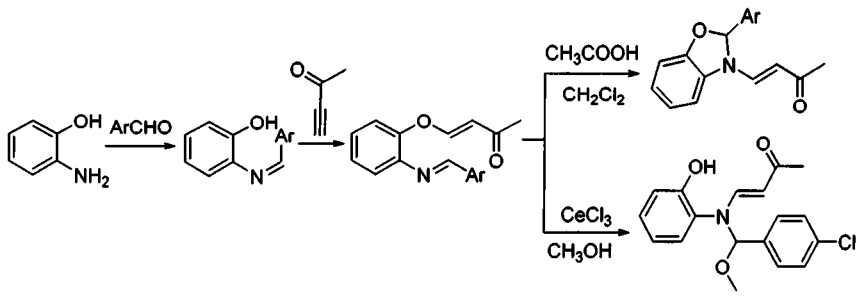
Total Synthesis of Carbazole Alkaloid Murrayaquinone A



Murrayaquinone A is a carbazolequinone alkaloid isolated from the root bark of *Murraya euchrestifolia* Hayata. It has been found to exhibit cardiotonic activity on heart muscle. Starting from the commercially available 3-acylindole, the total synthesis of Murrayaquinone A was realized in eight steps in an overall yield of 8%. The key step of our synthesis involves a palladium-catalyzed intramolecular *α*-C—H alkenylation of indole derivative to construct the carbazole A ring.

Zhang, Kun; Xu, Hongjin; Liu, Zibo; Song, Chuanjun*
Chin. J. Org. Chem. **2019**, *39*(4), 1142

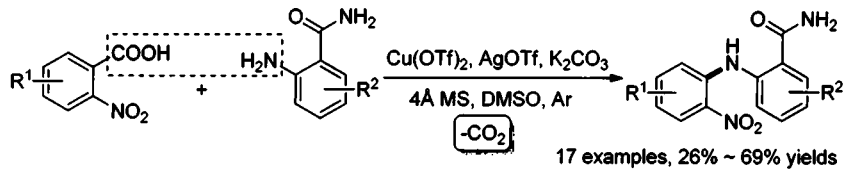
Unexpected Rearrangement Reaction and Synthesis of Benzoxazoles



A series of novel rearrangement reactions and the synthesis of unique benzoxazole derivatives were reported. A detailed reaction mechanism was proposed and was verified by the theory of density functional theory (DFT).

Wang, Kaixuan; Wang, Lanzhi*
Chin. J. Org. Chem. **2019**, *39*(4), 1147

Cu(II)/Ag(I)-Catalyzed Selective Decarboxylated Amination of Aromatic Carboxylic Acids with o-Aminobenzamides



The selective decarboxylation C—N coupling reaction of *o*-nitro aromatic carboxylic acids with *o*-aminobenzamides in the presence of $Cu(OTf)_2$/AgOTf as co-catalyst gives seventeen diarylaminobenzamides in relatively high yields.

Shen, Li; Zhang, Jie; Xie, Jianwei*
Chin. J. Org. Chem. **2019**, *39*(4), 1153

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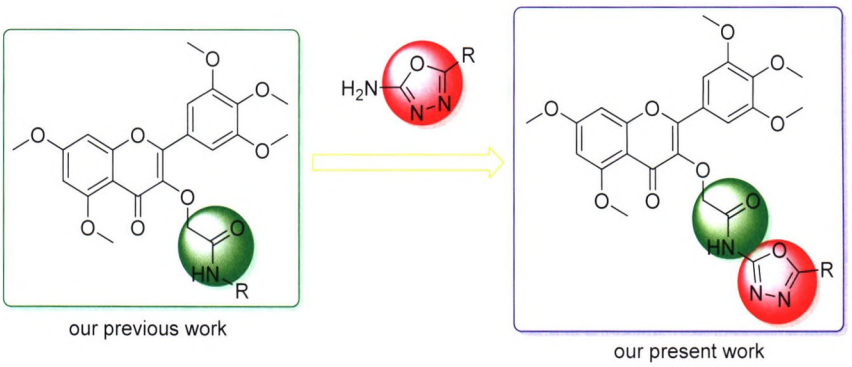
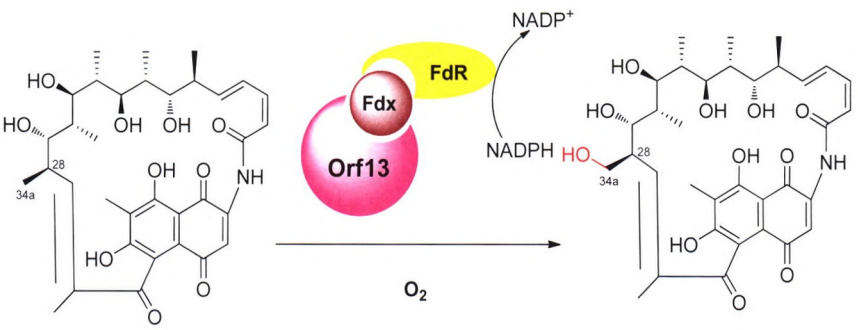
Synthesis and Biological of Novel Myricetin Derivatives Containing 1,3,4-Oxadiazoles



Zhang, Cheng; Jiang, Shichun; Chen, Ying; Guo, Tao; Xia, Rongjiao; Tang, Xu; Chen, Lijuan; He, Ming; Xue, Wei*
Chin. J. Org. Chem. **2019**, *39*(4), 1160

A series of novel Myricetin derivatives containing 1,3,4-oxadiazole moiety were designed and synthesized. Compound **4j** demonstrated appreciable inhibitory effect against *Xanthomonas axonopodis pv.citri* (*Xac*) and *Xanthomonas oryzae pv. Oryzae* (*Xoo*).

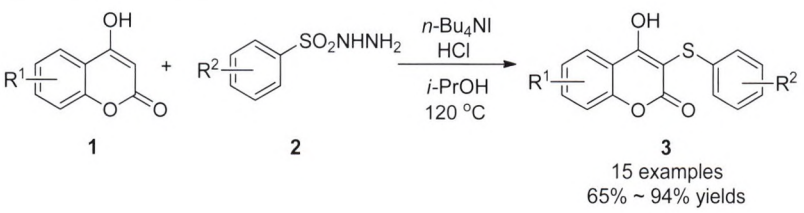
34a-Hydroxylation in Rifamycin Biosynthesis Catalyzed by Cytochrome P450 Encoded by *rif-orf13*



Zhou, Qiang; Luo, Guang-Cai; Zhang, Huizhan*; Tang, Gong-Li*
Chin. J. Org. Chem. **2019**, *39*(4), 1169

Cytochrome P450 encoded by *rif-orf13* can convert 16-demethyl-34a-deoxyrifmycin W into 16-demethyl-rifamycin W when heterologously expressed in *Streptomyces lividans*. The same conversion was observed in the *in vitro* enzymatic assay using Orf13 as catalyst at the presence of ferredoxin, ferredoxin reductase and NADPH.

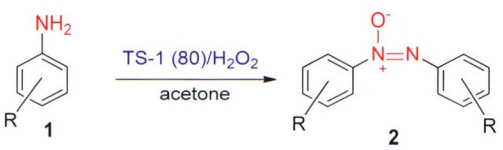
Tetrabutylammonium Iodide Promoted Thioetherification of Arylsulfonylhydrazides with 4-Hydroxycoumarins



Zhu, Fuyuan; Wang, Yanmei; He, Mingchuang; Yan, Zhaohua*; Lin, Sen*
Chin. J. Org. Chem. **2019**, *39*(4), 1175

A facile approach for thioetherification of 4-hydroxycoumarins with arylsulfonyl hydrazides promoted by tetrabutylammonium iodide in the presence of hydrochloric acid was developed generating a series of 3-arylthio-4-hydroxycoumarins in 65%～94% yields. Broad scope and easy availability of substrates, simple operation and high yields of products are the advantages of this method.

Synthesis of Azoxybenzenes by Selective Oxidation of Anilines on Titanium Silicate Molecular Sieves



Song, Yuwan; Feng, Shaojing; Han, Wei*; Xiao, Yaping*
Chin. J. Org. Chem. **2019**, *39*(4), 1181

A convenient method for improving the conversion and selectivity of aniline to azoxybenzene by adjusting the ratio of silicon to titanium of TS-1 is reported. Over TS-1 (80), aryl anilines are transformed into the corresponding azoxybenzenes in good yields with H_2O_2 as the oxidant. The effect of different reaction parameters like molar ratio of H_2O_2 to aniline, solvent and the activity in catalyst recycling has been studied.

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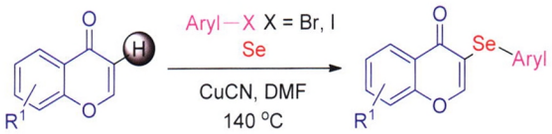
Synthesis of ArSe-Substituted Flavone Derivatives Using Se Powder



Feng, Chunlai; Zhu, Jie; Tang, Qiujie; Zhou, Aihua*
Chin. J. Org. Chem. **2019**, *39*(4), 1187

New flavone derivatives are highly valued in drug discovery due to their diversity of important bioactivities. Here, one simple Cu-catalyzed method of constructing C—Se bonds on flavone structures using convenient Se powder via C—H functionalization is reported, regioselectively affording ArSe-substituted flavone derivatives in good yields.

HIGHLIGHTS

Chin. J. Org. Chem. **2019**, *39*(4), 1193

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190.23 76
[Xe]4f¹⁴5d⁶s²
Os
Melting point: 3033°C
Boiling point: 5012°C
OSMIUM

锇

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耐磨超级合金
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