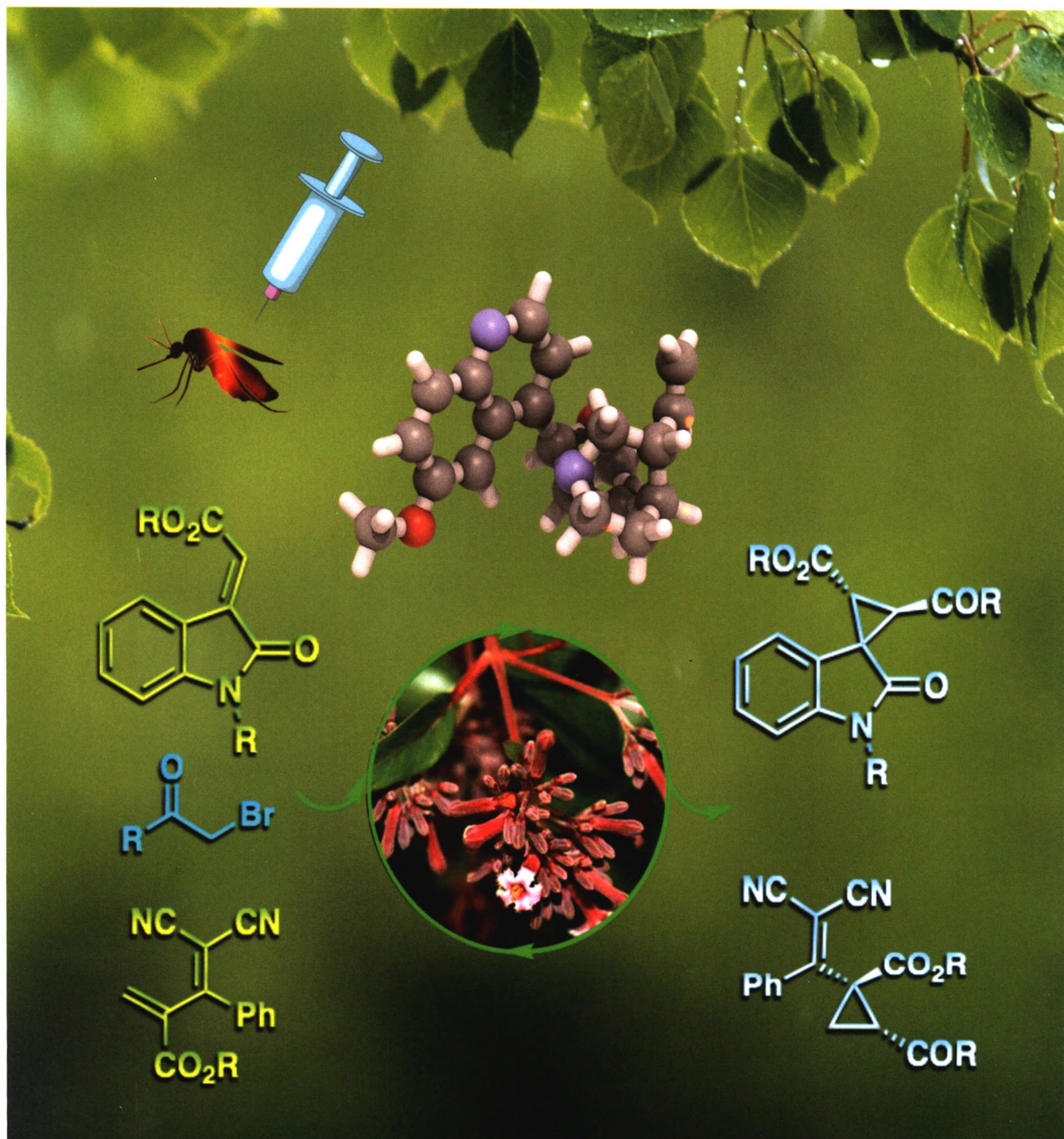


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Chinese Journal of Organic Chemistry

第 40 卷 第 1 期 Vol. 40 No. 1 2020



ISSN 0253-2786



中国化学会 主办
中国科学院上海有机化学研究所

有机化学 (月刊)

Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 40 卷 第 1 期 (总 374 期) 2020 年 1 月

目次

综述与进展

直接二氟甲基化与一氟甲基化反应研究进展	闫强 蒋绿齐* 易文斌*	(1)
多取代吡唑合成最新研究进展	王少华 张帮红 陈洁 郑莹莹 冯娜 马爱军* 徐学涛* Abdullah, M. Asiri	(15)
原位生成的高价碘试剂在有机合成中的应用进展	杨柳 许国贺 马晶军* 杨倩 冯安 崔景港	(28)

研究论文

基于 α -溴代酮的催化不对称合成 3,3-螺环丙基吡啶酮和乙烯基环丙烷	罗京华 耿玮笙 曹仕轩 贺峥杰*	(40)
NN 型双齿半夹心 Ru(II) 化合物: 无受体脱氢环化合成喹啉和吡咯衍生物的催化剂	胡博文* 张宇哲 尹鸽平 陈大发	(53)
钯催化二芳基膦氢对萘醌单酮的不对称 1,4-加成反应	孙贵教 肖繁花* 段伟良*	(61)
新型丁烯内酯衍生物的设计合成及诱导胃癌细胞凋亡研究	徐海伟 李媛媛 董航歧 孟夏 赵玲洁 吕春涛 王振亚* 金成允*	(69)
钴(II)催化 2-乙基-3-甲基吡嗪绿色氧化方法	陈晶晶 王莹淑* 余璐 成佳佳 郑辉东	(78)
通过 FGF401 的构效关系研究发现一种新颖的 FGFR4 选择性抑制剂	孙长安 房雷* 苟少华*	(84)
新型吡啶苯磺酰胺联喹啉基异羟肟酸类 PI3K/HDAC 双靶点抑制剂的设计、合成和生物活性研究	顾依钰 吕晓庆 马晓东 张浩健 嵇媛媛 丁婉婧 沈立*	(95)
含哌嗪片段的噻吩查尔酮衍生物的合成及其抗菌活性	黎勇坤 唐燕玲 李敏欣 杨小碧 高慧 毛泽伟*	(108)
碱金属盐催化 1,2,4-三唑与 α,β -不饱和酮及二酰亚胺的氮杂 Michael 反应	马奔* 王刚刚 周红艳 杨靖亚*	(115)
新型弯曲形双偶氮苯类液晶合成及光致异构性能研究	刘曼曼 刘豪浩 鄢道仁 张智勇* 关金涛	(125)
可见光促进三级胺 α 位 $C(sp^3)-H$ 键的胺化反应研究	赵亚婷* 曾俊杰 夏吾炯*	(133)
光诱导的二芳基烯丙醇氰甲基化合成 δ -酮腈的反应研究	杜琳琳 李铁生*	(140)

* 通讯联系人.

苄基异喹啉生物碱 Neolitacumonine、Mollinedine 和 Papaverine 的全合成.....	
.....孙勉勉 李风雷 何云刚 朱昱亮 刘世领* 施小新*	(149)
深共融溶剂中合成 2,4-二取代喹啉衍生物.....	
.....陈国庆 谢宗波* 刘一帅 孟 佳 乐长高*	(156)
基于咪唑的单-/双-硫代碳酸酐衍生物的合成及 Cdc25B/PTP1B 抑制活性评价.....	
.....李英俊* 杨凯栋 靳 焜 高立信 盛 丽 刘雪洁 杨鸿境 林乐弟 李 佳*	(162)
基于柱[5]芳烃主客体包结构筑分子响应型超分子水凝胶.....	
.....王 姣 姚 虹* 周 琦 阚晓彤 樊彦青 关晓文 张有明 林 奇 魏太保*	(175)

研究简报

一种基于协同效应的肝荧光探针及其在细胞成像中的应用.....	
.....胥稳智* 李 雪 韩孟楠 周婷婷 杨瑜涛 李 玮*	(181)
香豆素-咪唑类荧光染料的设计与研究.....	
.....后际挺* 王冰雅 李 坤 汪 珊* 余孝其*	(186)
基于 4,7-二噻吩苯并噻二唑和异靛单元的受体-受体共轭聚合物的合成与光伏性质.....	
.....杜俊平* 秦鹏举 绪连彩 封珊珊 徐云祥 黄 江*	(194)
5'位羟基异戊烯基查尔酮类天然产物的合成及其抗菌活性研究.....	
付 林 孙炳夏 翟佳黛 李媛媛 刘信强 宋 如 石冠群 李娇娜 宋远霞 桑 锋*	(201)
巴戟天生真菌 <i>Trichoderma spirale</i> A725 中两个新的聚酮类化合物.....	
.....陈书帅 刘洪新 刘昭明 李赛妮 陈玉婵 李浩华 李冬利* 章卫民*	(209)
糖苷酶底物 6-氯-4-甲基伞形酮- α -L-艾杜糖醛酸苷的合成.....	
.....田加蒙 宁倩倩 丁海新* 柏 江 肖 强*	(215)
5-卤代-2'-脱氧-2'- β -氟-4'-叠氮嘧啶核苷的合成与抗乙型肝炎病毒活性研究.....	
.....郭美超 康进峰 侯 姣 张倩倩 于文全* 常俊标*	(221)
新型膦胺配体羰基钕化合物的合成及其催化性能研究.....	
.....方霄龙 章 敏 段 宁 汪 新* 朱红平*	(226)
含 1,3,4-噁二唑结构的新型吡唑脲醚类衍生物的合成及杀虫活性.....	
王 杨 叶 浩 钱 程 姚 炜 李 宏 王 凯 胡兰萍* 李 玲 吴锦明 戴 红*	(232)

亮点介绍

过渡金属与有机分子协同催化：高对映选择性卡宾对脂肪胺 N—H 键的插入反应.....	
.....霍静凤 王剑波*	(239)
电化学促进铈催化乙烯基 C—H 键官能团化高效合成 α -吡喃酮.....	
.....叶增辉 张逢质*	(241)
能量连续传递的超分子人工光捕获系统构筑及其在光催化反应中应用.....	
.....Pangannaya, Srikala 强璐莉 王瑞兵*	(243)
$\text{Rh}^{\text{II}}/\text{Zn}^{\text{II}}$ 接力催化不对称[4+3]环加成反应.....	
.....宋 璘 龚流柱*	(245)
二氧化碳与 1,3-二烯的不对称催化偶联构建全碳季碳手性中心.....	
.....程 磊 谢建华*	(247)
《有机化学》投稿须知.....	(249)

Chinese Journal of Organic Chemistry

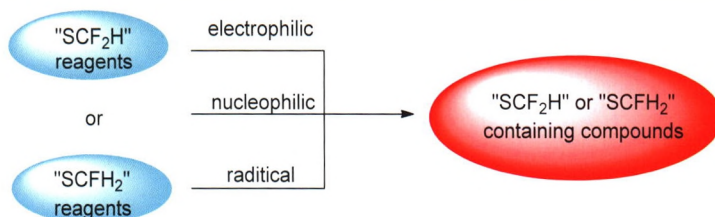
Vol. 40 No. 1 January 2020

On the Cover

The naturally occurring cinchona alkaloids are well known for their medicinal use. This kind of alkaloids and their derivatives have recently proven to be efficient chiral organocatalysts. On page 40, highly enantioselective syntheses of functionalized 3,3-spiro-cyclopropyl oxindoles and vinylcyclopropanes are reported by Luo, Geng, Cao, and He via ethylated quinidine catalytic cyclopropanations of α -bromoketones.

REVIEWS

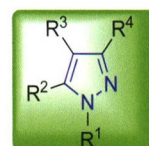
Recent Progress on Direct Difluoromethylthiolation and Monofluoromethylthiolation



Yan, Qiang; Jiang, Lüqi*; Yi, Wenbin*
Chin. J. Org. Chem. **2020**, 40(1), 1

The recent development of direct difluoromethylthiolation and monofluoromethylthiolation reactions is summarized, and the related mechanisms are also discussed.

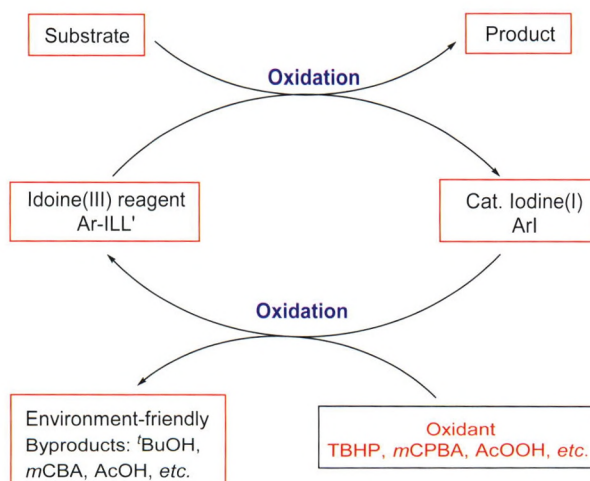
Recent Progress in Synthesis of Polysubstituted Pyrazoles



Wang, Shaohua; Zhang, Banghong; Chen, Jie; Zheng, Yingying; Feng, Na; Ma, Aijun*; Xu, Xuetao*; Abdullah, M. Asiri
Chin. J. Org. Chem. **2020**, 40(1), 15

The synthesis of polysubstituted pyrazoles has attracted much attention and developed rapidly in recent years. Herein, the recent research progress in the construction of polysubstituted pyrazoles is summarized.

Recent Advances in the Application of *in-situ* Generated Hypervalent Iodine Reagents in Organic Synthesis



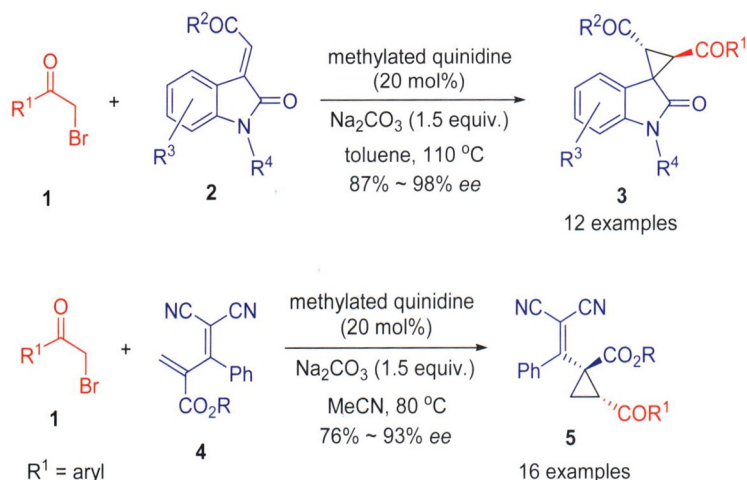
Yang, Liu; Xu, Guohe; Ma, Jingjun*; Yang, Qian; Feng, An; Cui, Jinggang
Chin. J. Org. Chem. **2020**, 40(1), 28

The progress of *in-situ* generated hypervalent iodine reagents is systematically reviewed, including conception and mechanisms. According to the different reaction types, the application of *in-situ* generated hypervalent iodine reagent in organic synthesis reaction is summarized, including trivalent iodine reagent, pentavalent iodine reagent and chiral hypervalent iodine reagent.

CONTENT

ARTICLES

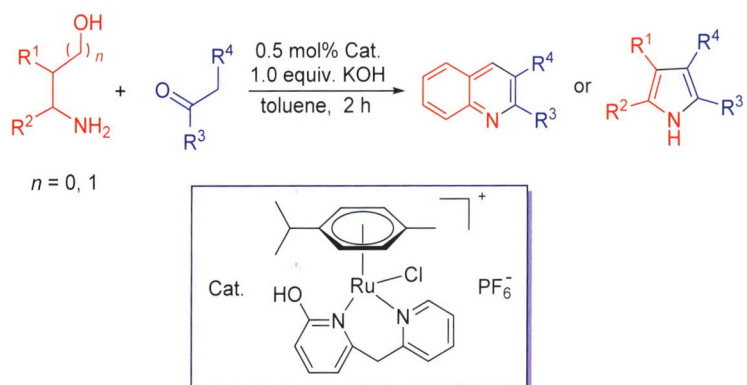
Catalytic Enantioselective Syntheses of Functionalized 3,3-Spirocyclopropyl Oxindoles and Vinylcyclopropanes via Ammonium Ylides Generated from α -Bromoketones



Luo, Jinghua; Geng, Weisheng; Cao, Shixuan; He, Zhengjie*
Chin. J. Org. Chem. **2020**, 40(1), 40

Two new asymmetric cyclopropanation reactions of α -bromoketones with two kinds of electron-deficient alkenes have been developed by the catalytic ammonium ylide protocol.

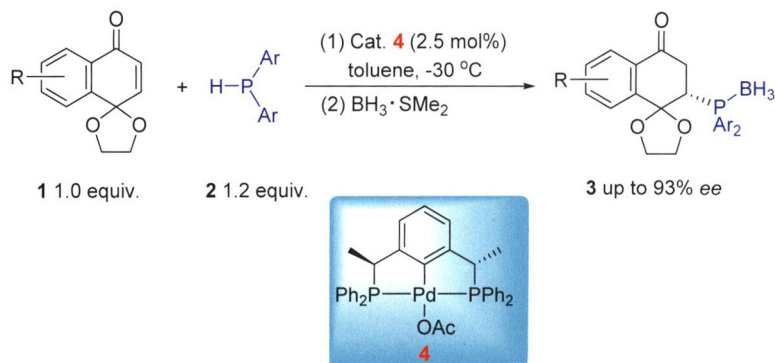
Half-Sandwich Ruthenium(II) Complexes with Bidentate NN Ligands: Active Catalysts for the Synthesis of Quinolines and Pyrroles by Acceptorless Dehydrogenative Cyclization



Hu, Bowen*; Zhang, Yuzhe; Yin, Geping; Chen, Dafa*
Chin. J. Org. Chem. **2020**, 40(1), 53

Four $(\eta^6\text{-}p\text{-cymene})\text{Ru}(\text{II})$ complexes with bidentate NN ligands were synthesized. Complex **3** exhibited high activity for cyclizations of amino alcohols with ketones. With 0.5 mol% catalyst loading in toluene, a series of quinolines and pyrroles were isolated.

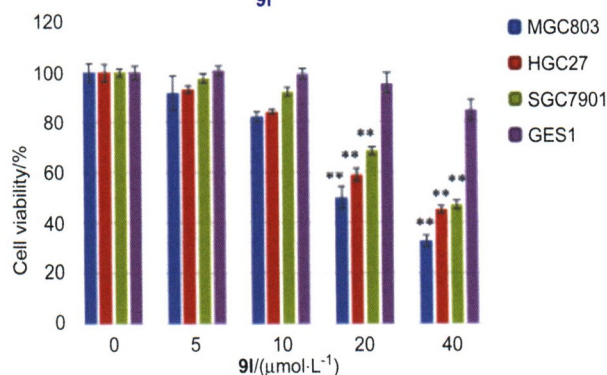
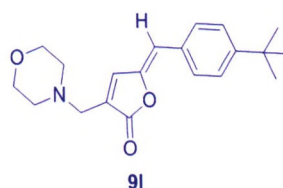
Palladium-Catalyzed Asymmetric 1,4-Addition of Diarylphosphines to Quinone Monoketals



Sun, Guijiu; Xiao, Fanhua*; Duan, Weiliang*
Chin. J. Org. Chem. **2020**, 40(1), 61

An asymmetric 1,4-addition reaction of diarylphosphines with quinone monoketals was studied. Pincer Pd complex was used as catalyst to generate a series of chiral phosphorus compounds with moderate to good enantioselectivities in high yields.

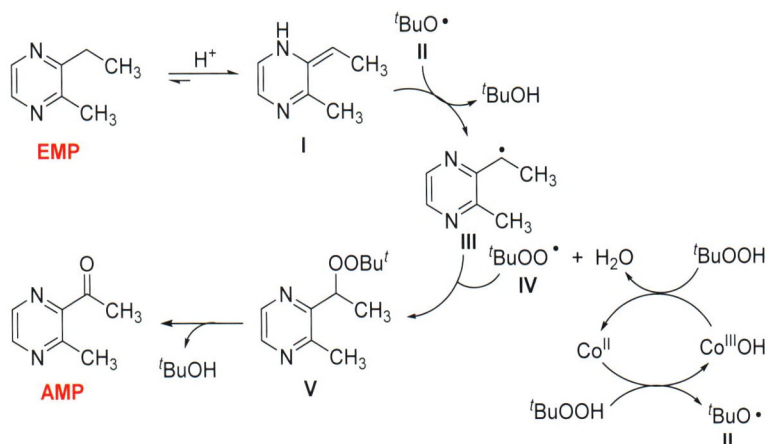
Design and Synthesis of Novel Butenolide Derivatives and Inducing Apoptosis in Gastric Cancer Cells



Xu, Haiwei; Li, Yuanyuan; Dong, Hangqi; Meng, Xia; Zhao, Lingjie; Lü, Chuntao; Wang, Zhenya*; Jin, Chengyun*
Chin. J. Org. Chem. **2020**, 40(1), 69

Compound **9I**, an analogue of natural product uncineine, showed anti-proliferation in gastric cancer cell lines with less cytotoxicity in normal gastric epithelial line (GES 1).

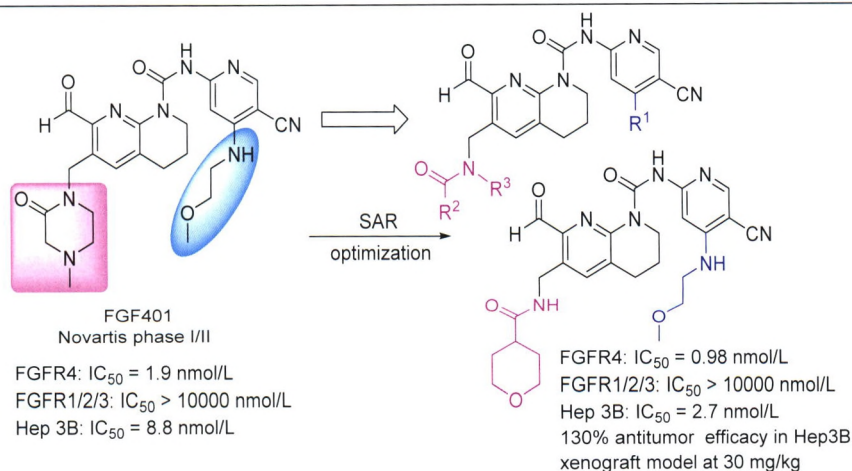
A Green and Scalable Cobalt(II)-Catalyzed Oxidation of 2-Ethyl-3-methylpyrazine



Chen, Jingjing; Wang, Yingshu*; Yu, Jun; Cheng, Jiajia; Zheng, Huidong*
Chin. J. Org. Chem. **2020**, 40(1), 78

A green and scalable oxidation of 2-ethyl-3-methylpyrazine (EMP) was investigated with a catalytic system of cobalt(II) and *N*-containing ligand. The effects of catalyst, ligand, solvent and temperature were compared. The mechanism and kinetics of the oxidation of EMP were studied. The scale-up of the oxidation system was performed.

Discovery of a Novel FGFR4 Selective Inhibitor via Structure-Activity Relationship Studies of FGF401

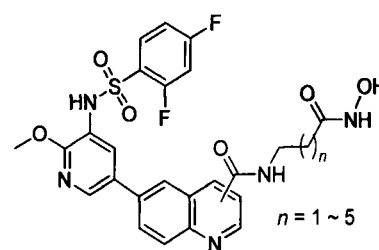


Sun, Chang'an; Fang, Lei*; Gou, Shaohua*
Chin. J. Org. Chem. **2020**, 40(1), 84

A set of analogues of FRF401 were designed and synthesized, and their FGFR4 inhibition and antitumor activity as well as the structure-activity relationship (SAR) studies were screened.

CONTENT

Design, Synthesis and Biological Evaluation of Novel (Quinoliny-3-pyridinyl)benzenesulfonamide-Based Hydroxamic Acids as PI3K and HDAC Dual Targeting Inhibitors



GYA and GYB

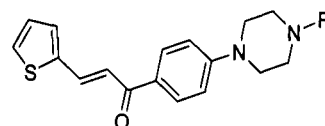
PI3K α IC₅₀ = 0.94 ~ 6.5 nmol·L⁻¹
HDAC1 IC₅₀ = 4.2 ~ 3654 nmol·L⁻¹

This study reports the design, synthesis, and biological evaluation of novel phosphatidylinositol 3-kinases (PI3Ks) and histone deacetylases (HDACs) dual inhibitors on the basis of GSK2126458 under clinical evaluation and vorinostat approved. Biological evaluation and structure-activity relationships study proved that our design is a promising approach to drug discovery.

Gu, Yiyu; Lü, Xiaoqing; Ma, Xiaodong; Zhang, Haojian; Ji, Yuanyuan; Ding, Wangjing; Shen, Li*

Chin. J. Org. Chem. **2020**, 40(1), 95

Synthesis and Antibacterial Activity of Thienyl Chalcone Derivatives Bearing Piperazine Moiety



Antibacterial activity

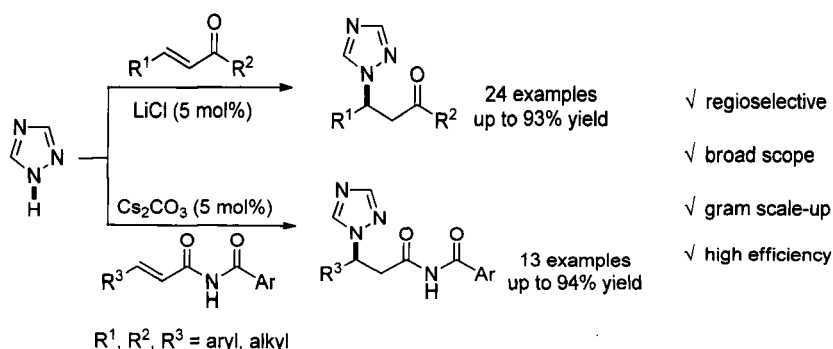
4b and **4e**: MIC = 4.0 mg/mL against *Bacillus subtilis*

A series of new thienyl chalcone derivatives bearing piperazine moiety have been designed and prepared based on the principle of bioisosteres and molecular hybridization. Their antibacterial activities against *Staphylococcus aureus* Rosenbach, *Escherichia coli* and *Bacillus subtilis* were evaluated.

Li, Yongkun; Tang, Yanling; Li, Minxin; Yang, Xiaobi; Gao, Hui; Mao, Zewei*

Chin. J. Org. Chem. **2020**, 40(1), 108

Alkali Salt-Catalyzed Aza-Michael Addition of 1,2,4-Triazole to α,β -Unsaturated Ketones and Imides

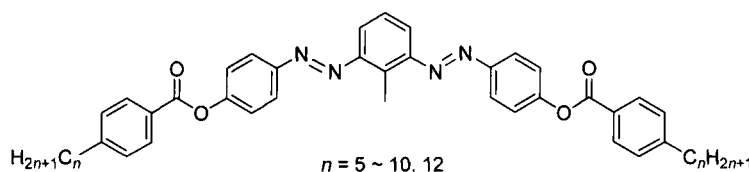


An alkali salt-catalyzed aza-Michael addition of 1,2,4-triazole to α,β -unsaturated ketones and imides has been disclosed, affording various functionalized triazole derivatives in moderate to excellent yields with high regioselectivity. The cheap catalyst, good substrate tolerance, and easiness to scale up make this procedure more practical.

Ma, Ben*; Wang, Ganggang; Zhou, Hongyan; Yang, Jingya*

Chin. J. Org. Chem. **2020**, 40(1), 115

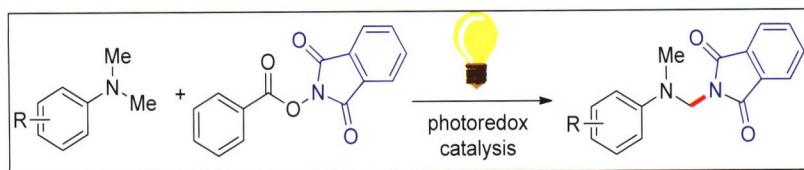
Synthesis and Photo-Induced Isomerization Performance for the Novel Bent Di-azobenzene Liquid Crystals



A series of novel curved bisazo benzene liquid crystal compounds were designed and synthesized using methyl-1,3-*m*-phenylenediamine as the central nucleus. The phase transition temperature and phase texture of the liquid crystals were determined. The photo isomerization performance of 2-methyl-1,3-bis(4-((4-heptylphenyl)ester)-1-(*E*)-azophenyl) benzene (**2c**) was determined. The photo isomerism and response time of the liquid crystal compound and the doped nematic liquid crystal material were measured.

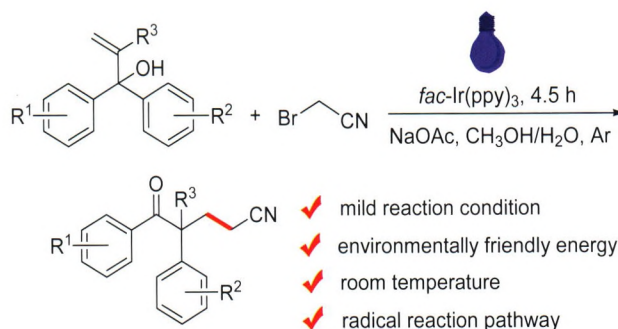
Liu, Manman; Liu, Haohao; Yan, Daoren; Zhang, Zhiyong*; Guan, Jintao

Chin. J. Org. Chem. **2020**, 40(1), 125

Visible-Light-Induced α -C(sp³)-H Amination Reactions of Tertiary Amines

Visible-light-induced α -C(sp³)-H amination reactions of tertiary amines were reported herein. By using the readily available 1,3-dioxoisindolin-2-yl benzoate as precursor of *N*-radical and blue LEDs as green and sustainable energy source, various *N,N*-dimethylaniline derivatives were *ortho*-aminated directly through radical coupling pathway. The method featured in mild reaction conditions and good functional group tolerance, which provides a simple and practical protocol to the modification of tertiary amines.

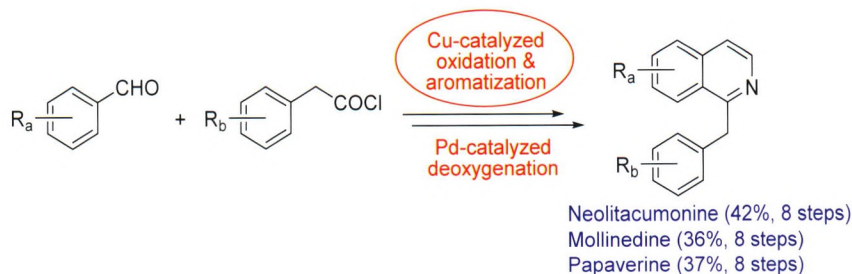
Zhao, Yating*; Zeng, Junjie; Xia, Wujiong*
Chin. J. Org. Chem. **2020**, 40(1), 133

Visible-Light Induced Cyanomethylation of Diaryl Allyl Alcohols to Synthesize δ -Ketonitriles

A photocatalyzed method for the synthesis of δ -ketonitriles from the reaction of diaryl allyl alcohols and bromoacetonitrile is developed. The result of control experiments indicates that the reaction might proceed via a free radical mechanism and bromoacetonitrile was the source of cyanomethyl radical.

Du, Linlin; Li, Tiesheng*
Chin. J. Org. Chem. **2020**, 40(1), 140

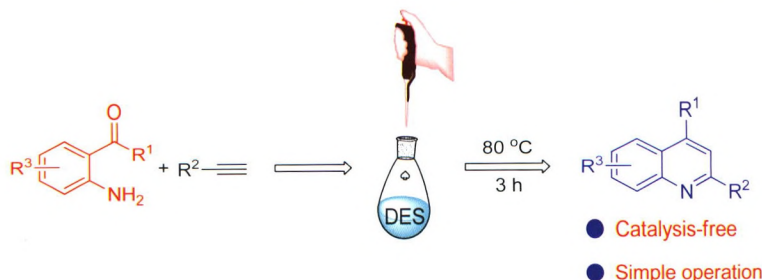
Total Syntheses of Benzylisoquinoline Alkaloids Neoliticumoinine, Mollinedine and Papaverine



A new general synthetic route towards benzylisoquinoline alkaloids was developed. The key step is Cu-catalyzed cascade oxidation-aromatization of 1-benzyl-3,4-dihydroisoquinolines. The three benzylisoquinoline alkaloids such as neoliticumoinine, mollinedine and papaverine were synthesized starting from piperaldehyde or 3,4-dimethoxybenzaldehyde by 8 steps in 42%, 37% and 37% overall yields, respectively.

Sun, Mianmian; Li, Fenglei; He, Yungang; Zhu, Xingliang; Liu, Shiling*; Shi, Xiaoxin*
Chin. J. Org. Chem. **2020**, 40(1), 149

Synthesis 2,4-Disubstituted Quinolines in Deep Eutectic Solvents

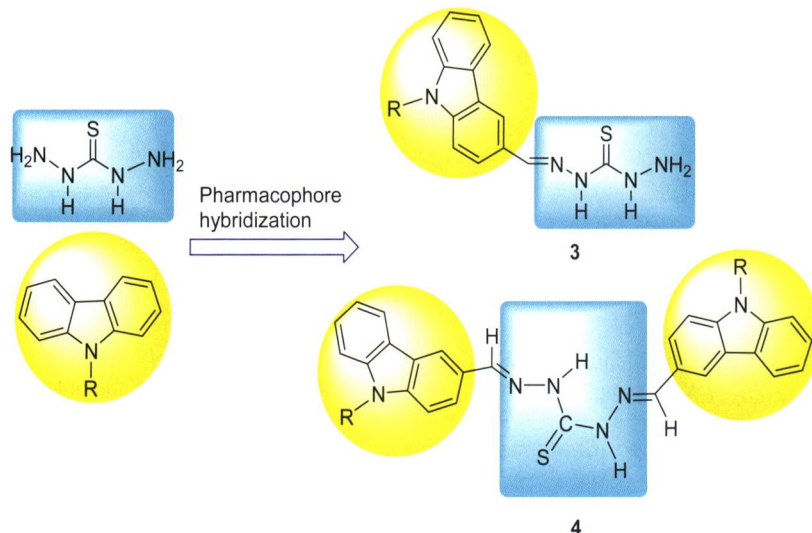


A new and general method to access valued quinolines by the reaction of 2-aminoacetophenone with aromatic alkyne in deep eutectic solvent was developed. The method does not need additional catalyst, and has the advantages of mild reaction conditions, simple operation and a wide range of substrates.

Chen, Guoqing; Xie, Zongbo*; Liu, Yishuai; Meng, Jia; Le, Zhanggao*
Chin. J. Org. Chem. **2020**, 40(1), 156

CONTENT

Synthesis and Cdc25B/PTP1B Inhibitory Activity Evaluation of Novel Carbazole-Based Mono-/Bis-thiocarbohydrazone Derivatives

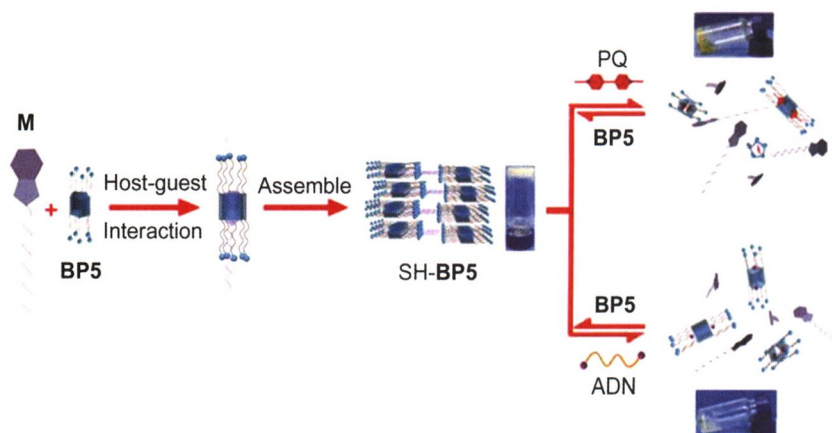


Li, Yingjun*; Yang, Kaidong; Jin, Kun; Gao, Lixin; Sheng, Li; Liu, Xuejie; Yang, Hong-jing; Lin, Ledi; Li, Jia*

Chin. J. Org. Chem. **2020**, 40(1), 162

A series of novel carbazole-based mono-/bis-thiocarbohydrazone derivatives were synthesized. The inhibitory activities of the target compounds against Cdc25B/PTP1B were evaluated. The results showed that most of the target compounds had good inhibitory activity against Cdc25B and PTP1B.

Molecule-Responsive Supramolecular Hydrogel Constructed from Pillar[5]arene Based on Host-Guest System



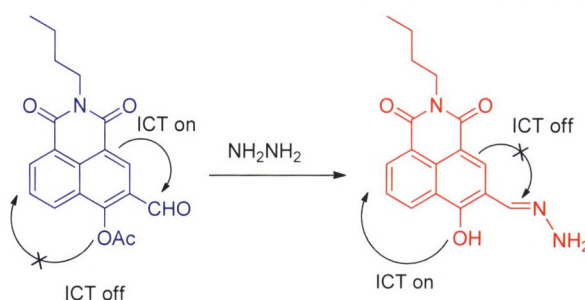
Wang, Jiao; Yao, Hong*; Zhou, Qi; Kan, Xiaotong; Fan, Yanqing; Guan, Xiaowen; Zhang, Youming; Lin, Qi; Wei, Taibao*

Chin. J. Org. Chem. **2020**, 40(1), 175

Supramolecular material constructed from host molecule pillar[5]arene (**BP5**) and guest **M** could self-assemble into supramolecular hydrogel (**SH-BP5**) by host-guest interaction in pure water. Importantly, with the addition of competitive guest molecules adiponitrile (ADN)/paraquat (PQ), pillar[5]arene-based hydrogel could be converted into sol due to the competitive interaction. More interestingly, with continuous addition of enough **BP5** to the disassembled sol, the hydrogel recovered again. Herein, the supramolecular hydrogel based on pillar[5]arene could recognize organic molecules.

NOTES

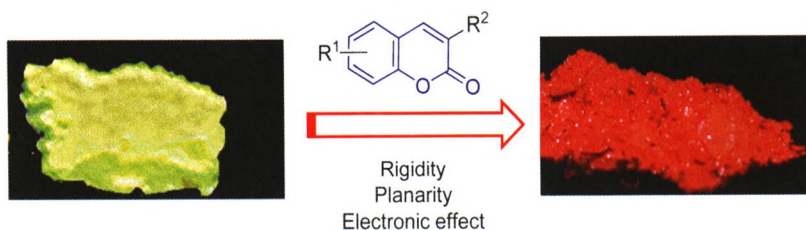
A Fluorescent Probe for Hydrazine Based on Synergistic Effect and Its Utilization in Cell Imaging



Xu, Wenzhi*; Li, Xue; Han, Mengnan; Zhou, Tingting; Yang, Yutao; Li, Wei*

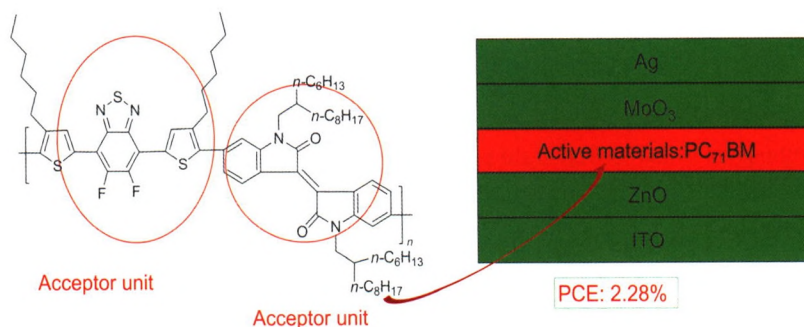
Chin. J. Org. Chem. **2020**, 40(1), 181

A new type of probe based on the synergistic effect was developed. Two recognition sites were introduced into the probe to improve performance to hydrazine. Moreover, the probe could detect N_2H_4 in BT-474 cells.

Design and Study of Coumarin-Imidazole
Dyes

Hou, Jiting^{*}; Wang, Bingya; Li, Kun; Wang, Shan^{*}; Yu, Xiaoqi^{*}
Chin. J. Org. Chem. **2020**, 40(1), 186

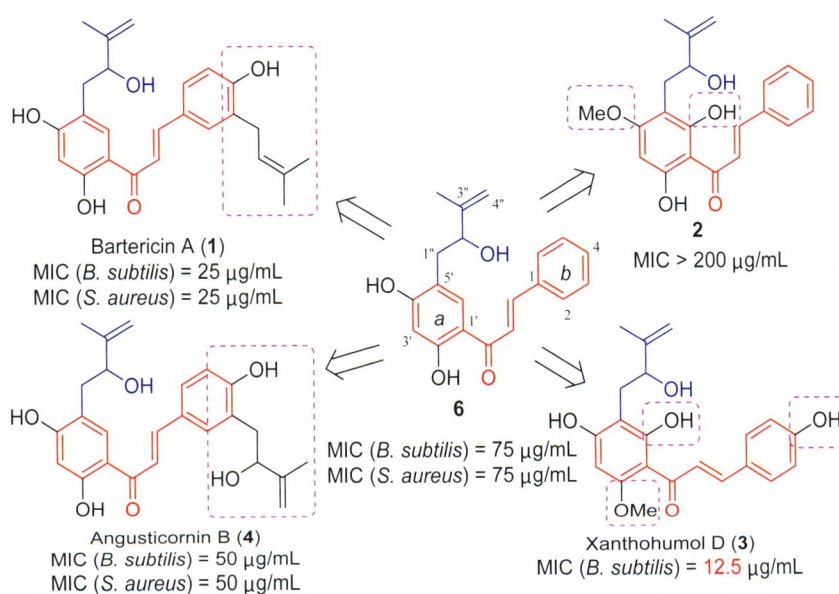
A series of substituted coumarins were presented with tunable solid emission. Rigidity, planarity, and electronic effects of the substituents were regarded as the synergetic factors to regulate the optical properties of these compounds.

Synthesis and Photovoltaic Property of
Acceptor-Acceptor Conjugated Polymers
Based on 4,7-Dithiophene Benzothiadiazole and Isoindigo Units

Acceptor-Acceptor polymer **HFTBT-DA865**

An acceptor-acceptor polymer **HFTBT-DA865** has been designed and synthesized. By optimizing spin coating speed and temperature, the maximum photoelectric conversion efficiency of the polymer solar cell based on **HFTBT-DA865** is 2.28%, the open circuit voltage is 0.83 V, the short circuit current is -5.70 mA/cm^2 , and the filling factor is 48.9%. This study about the photovoltaic properties based on the acceptor-acceptor polymer **HFTBT-DA865** implied that this type polymer is a potential photovoltaic material.

Du, Junping^{*}; Qin, Pengju; Xu, Liancai; Feng, Shanshan; Xu, Yunxiang; Huang, Jiang^{*}
Chin. J. Org. Chem. **2020**, 40(1), 194

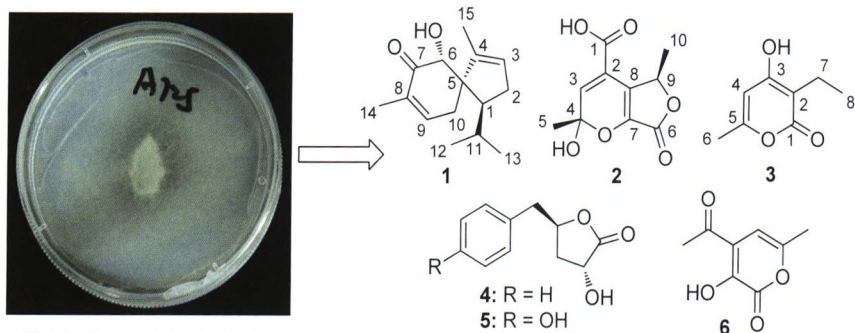
Synthesis and Antibacterial Activity Study
of Natural 5'-Hydroxyisoprenyl Chalcones

Fu, Lin; Sun, Bingxia; Zhai, Jiadai; Li, Yuanyuan; Liu, Xinqiang; Song, Ru; Shi, Guanqun; Li, Jiaona; Song, Yuanxia; Sang, Feng^{*}
Chin. J. Org. Chem. **2020**, 40(1), 201

Four natural chalcones with 5'-hydroxyisoprenyl group were first synthesized. These four natural products and their derivative were evaluated for their antibacterial activities against *Bacillus subtilis* [CMCC(B)63 501], *Staphylococcus aureus* [CMCC(B)260003], *Escherichia coli* [CMCC(B)44102] and *Pseudomonas aeruginosa* [CMCC(B)10104]. Compound **3** showed significant activity towards *Bacillus subtilis* selectively.

CONTENT

Two New Polyketide Compounds from the Endophytic Fungus *Trichoderma spirale* A725 of *Morinda officinalis*



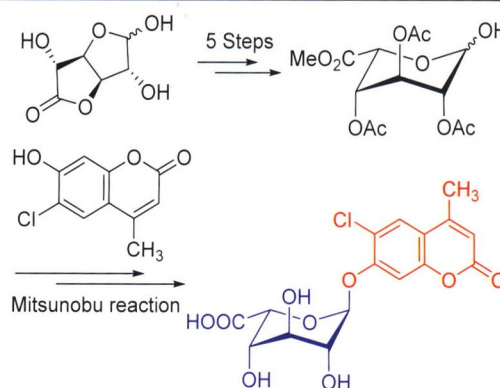
Trichoderma spirale A725

Two new compounds, named 6-hydroxy-4-isopropyl-1,8-dimethylspiro[4.5]deca-1,8-dien-7-one (**1**) and 2-hydroxy-2,5-dimethyl-7-oxo-5,7-dihydro-2H-furo[3,4-b]pyran-4-carboxylic acid (**2**), and one new natural product 3-ethyl-4-hydroxy-6-methyl-2H-pyran-2-one (**3**), along with three known compounds **4**~**6**, were isolated from the endophytic fungus *Trichoderma spirale* A725.

Chen, Shushuai; Liu, Hongxin; Liu, Zhao-ming; Li, Saini; Chen, Yuchan; Li, Haohua; Li, Dongli*; Zhang, Weimin*

Chin. J. Org. Chem. **2020**, 40(1), 209

Synthesis of Enzyme Substrate 6-Chloro-4-methylumbelliferyl- α -L-idopyranosiduronic Acid

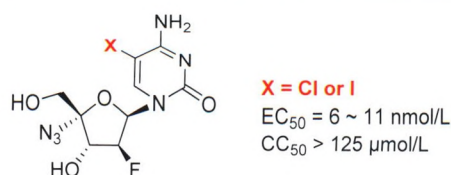


A novel fluorogenic enzyme substrate for quick diagnosis of mucopolysaccharidosis type I, named 6-chloro-4-methylumbelliferyl- α -L-idopyranosiduronic acid, was synthesized from commercially available *D*-glucurono-6,3-lactone using Mitsunobu reaction as the key step. The preliminary biological test proved that the synthesized enzyme substrate could be used for quick detection of α -L-iduronidase.

Tian, Jiameng; Ning, Qianqian; Ding, Haixin*; Bai, Jiang; Xiao, Qiang*

Chin. J. Org. Chem. **2020**, 40(1), 215

Synthesis and Anti-HBV Evaluation of 5-Halogenated 2'-Deoxy-2'- β -fluoro-4'-azido Pyrimidine Nucleosides

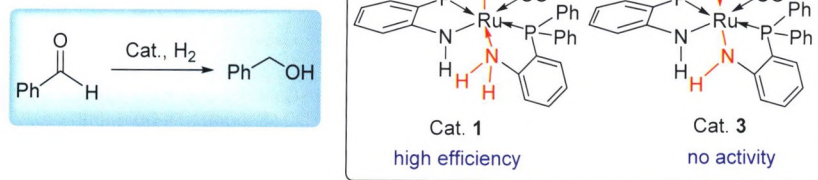


Guo, Meichao; Kang, Jinfeng; Hou, Jiao; Zhang, Qianqian; Yu, Wenquan*; Chang, Junbiao*

Chin. J. Org. Chem. **2020**, 40(1), 221

A series of 5-halogenated 2'-deoxy-2'- β -fluoro-4'-azido pyrimidine nucleosides were synthesized, with the 5-chloro and 5-iodo derivatives possessing potent anti-HBV (hepatitis B virus) activity and low cytotoxicity.

Synthesis and Catalytic Property of New Aminophosphino Ruthenium Carbonyl Complexes

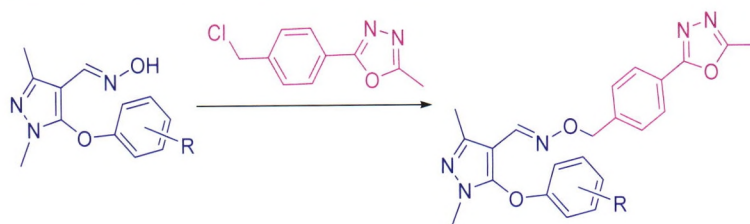


Fang, Xiaolong; Zhang, Min; Duan, Ning; Wang, Xin*; Zhu, Hongping*

Chin. J. Org. Chem. **2020**, 40(1), 226

Three new carbonyl ruthenium complexes were successfully synthesized from $Ru_3(CO)_{12}$ and *o*-PPh₂C₆H₄NR₂ (R = H, Me) ligand. The activity test of hydrogenation of benzaldehyde into benzyl alcohol revealed that metal hydride of Ru—NH catalyst is indispensable.

Synthesis and Insecticidal Activities of Novel Pyrazole Oxime Ethers Carrying 1,3,4-Oxadiazole Unit

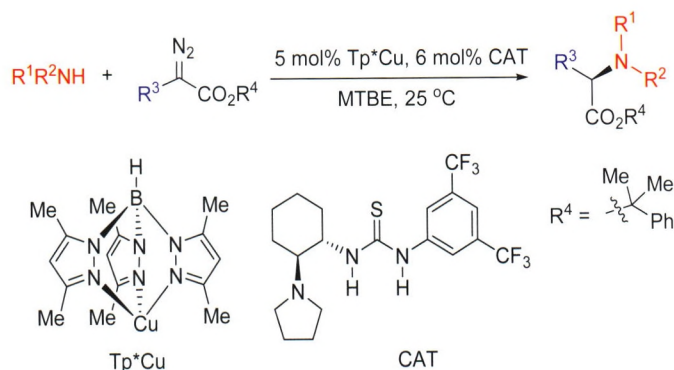


Wang, Yang; Ye, Hao; Qian, Cheng; Yao, Wei; Li, Hong; Wang, Kai; Hu, Lanping*; Li, Ling; Wu, Jinming; Dai, Hong*
Chin. J. Org. Chem. **2020**, 40(1), 232

In search of novel pyrazole oxime ether derivatives with potent bioactivities, fifteen new pyrazole oxime ethers were designed and synthesized by introducing 1,3,4-oxadiazole moiety into the C-4 position of pyrazole based on the structure of fenpyroximate. Their biological activities were tested.

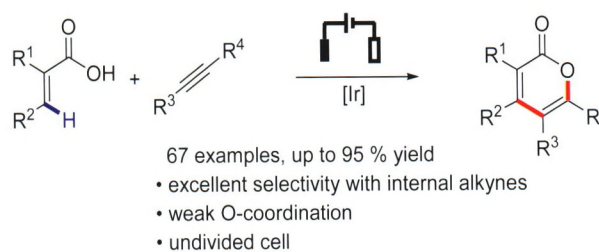
HIGHLIGHTS

Cooperative Catalysis with Transition Metal and Organic Molecule: Highly Enantioselective Carbene Insertion into N—H Bond of Aliphatic Amines



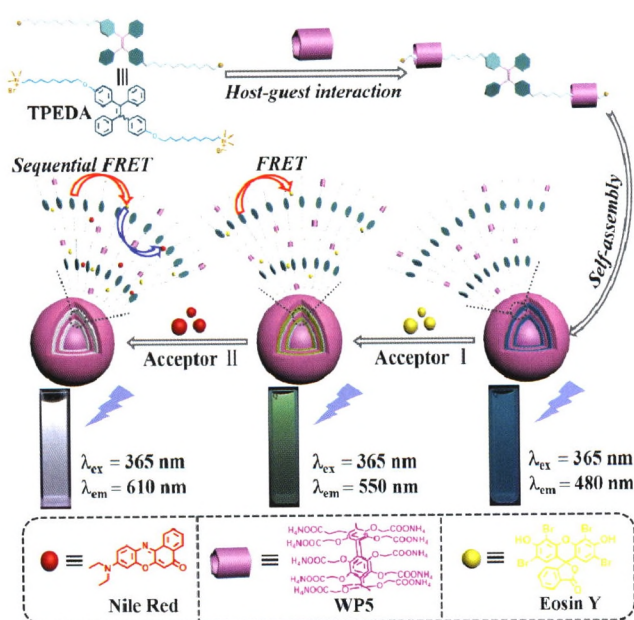
Huo, Jingfeng; Wang, Jianbo*
Chin. J. Org. Chem. **2020**, 40(1), 239

Electrochemistry-Enabled Ir-Catalyzed Vinylic C—H Functionalization for the Synthesis of α -Pyrones



Ye, Zenghui; Zhang, Fengzhi*
Chin. J. Org. Chem. **2020**, 40(1), 241

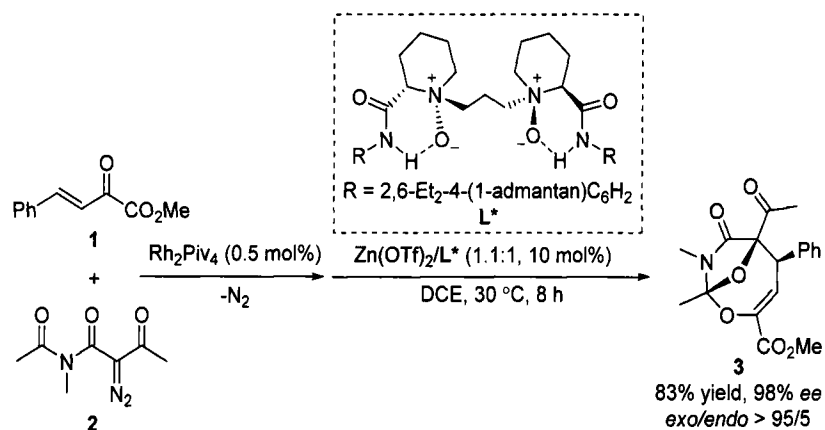
Fabrication of Supramolecular Artificial Light-Harvesting System with Sequential Energy Transfer for Photochemical Catalysis



Pangannaya, Srikala; Jiang, Juli; Wang, Ruibing*
Chin. J. Org. Chem. **2020**, 40(1), 243

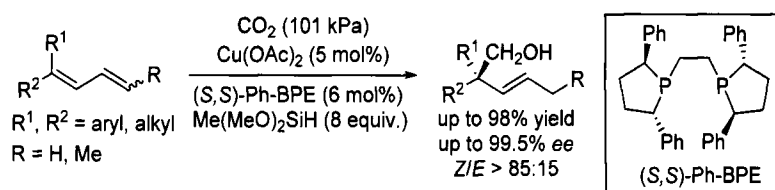
CONTENT

$\text{Rh}^{\text{II}}/\text{Zn}^{\text{II}}$ Catalyzed Asymmetric [4 + 3]
Cycloaddition Reaction



Song, Jin; Gong, Liuzhu*
Chin. J. Org. Chem. **2020**, 40(1), 245

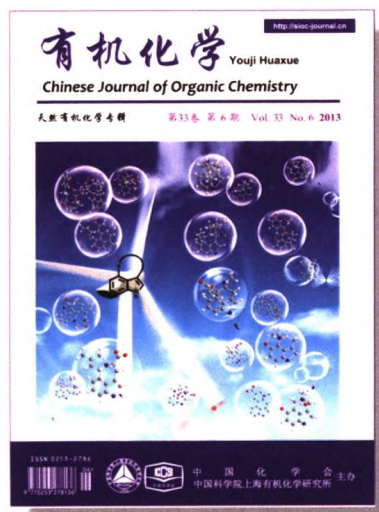
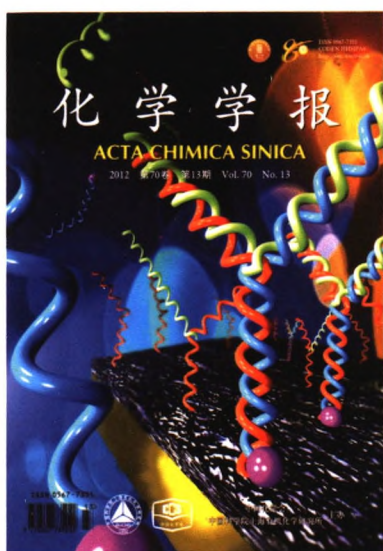
Catalytic Asymmetric Construction of All-
Carbon Quaternary Stereocenters via
Coupling of CO_2 with 1,3-Dienes



Cheng, Lei; Xie, Jianhua*
Chin. J. Org. Chem. **2020**, 40(1), 247

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