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* 通讯联系人。

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2-苯磺基-5-甲基-1,2,4-三唑并[1,5- <i>a</i>]嘧啶-7-氧乙酰肼类衍生物的合成及抑菌活性研究	熊启中 刘军虎 林选福 鲍小平*	(1951)

研究简报

一种新型树枝分子的合成及其荧光性质	罗蔓利 钱 鹰*	(1958)
2-乙酰氧甲基吡咯衍生物和醇钠的醚化反应研究	严兆华* 余章昕 刘永杰 胡 伟	(1965)
介孔材料 MCM-41 催化吲哚与硝基烯 Friedel-Crafts 反应的研究	陈永诚 谢绍雷 解正峰*	(1970)
呋咱并[3,4- <i>e</i>]-4,6-二氧化-1,2,3,4-四嗪新法合成与表征	李祥志 王伯周* 李 辉 李亚南 毕福强 霍 欢 樊学忠	(1975)
新型酞菁-花分子异质结的合成及其光伏性能研究	俞孝伟 张山林 贺伟伟 张志刚 郭丰启* 詹传郎 黄 彦*	(1981)
化学与生物转化法合成帕立骨化醇	万 阳 文 鹏 张 攀 陆 群*	(1988)
5-亚环己基-2-取代氨基咪唑啉酮类化合物的合成及其杀菌活性研究	雷建平 韩金涛 徐志红 董宏波 王明安*	(1993)
无溶剂条件下简单、有效的合成多取代环己醇	荣良策* 魏贤勇* 路 瑶 宗志敏	(1999)
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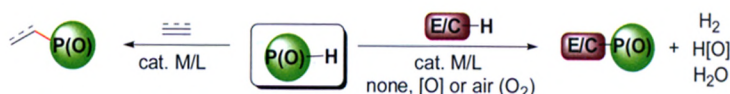
亮点介绍		(2007)
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On the Cover

The recent progresses in transition metal-catalyzed regio- and stereo-selective additions of P(O)—H bonds to carbon-carbon unsaturated compounds, asymmetric hydrophosphorylation reactions, and oxidative couplings of P(O)—H bonds with C—H and heteroatom—H bonds are reviewed by Xu, Zhao, Zhou, Yin, and Han on page 1761. These reactions provide efficient and atom economic, general and green ways for the preparation of the versatile organophosphorus compounds.

REVIEWS

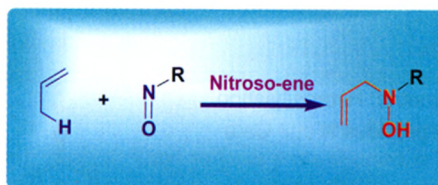
Transition Metal-Catalyzed Transformations of P(O)—H Bonds



Organophosphorus compounds are of high importance in organic synthesis, catalysis, biochemistry, pharmaceuticals, and material science. In this account, our recent studies on transition metal-catalyzed transformations of P(O)—H compounds, mainly the regio- and stereo-selective additions of P(O)—H bonds to carbon-carbon unsaturated compounds, asymmetric hydrophosphorylation reactions, and oxidative/dehydrogenative cross-couplings of P(O)—H bonds with C—H and heteroatom—H bonds are summarized.

Xu, Qing; Zhao, Changqiu; Zhou, Yongbo; Yin, Shuangfeng; Han, Libiao*
Chin. J. Org. Chem. **2012**, 32(10), 1761

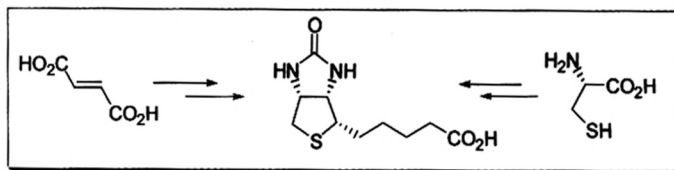
Research Progress on Nitroso-ene Reaction



Nitroso-ene reaction is one of the most efficient methods to construct allylamines which are the versatile building block in synthetic organic chemistry. The reaction has been embedded as the key step in the total synthesis of natural products and pharmaceuticals. This review focuses on the development, application and mechanistic study of nitroso-ene reaction.

Huang, Shahua*; Huo, Huaxing; Li, Wenhua; Hong, Ran*
Chin. J. Org. Chem. **2012**, 32(10), 1776

Recent Progresses in Total Synthesis of (+)-Biotin



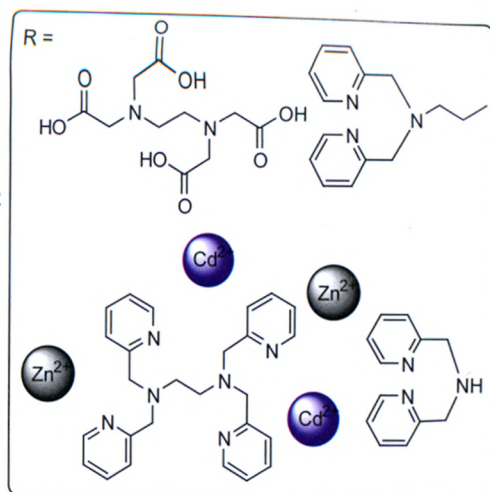
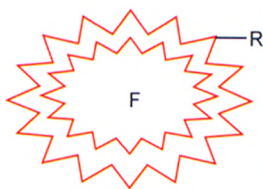
The recent progress in the total synthesis of (+)-biotin is reviewed. The Hoffmann-La-Roche's lactone-thiolactone approach was further developed as enantioselective syntheses, and *L*-cysteine or cystine was chosen as more logical starting material as chiron strategy.

Zhong, Zheng*; Wu, Xuefen; Chen, Fener*
Chin. J. Org. Chem. **2012**, 32(10), 1792

CONTENT

Recent Progress in Fluorescent Probes for $\text{Zn}^{2+}/\text{Cd}^{2+}$ Based on Small Organic

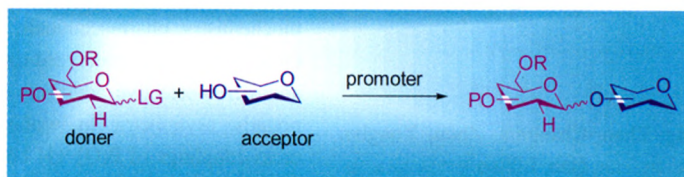
Molecules



The recent progress in $\text{Zn}^{2+}/\text{Cd}^{2+}$ fluorescent probes based on small organic molecules is reviewed. The review summarizes the effects of receptors (R) structures on detecting $\text{Zn}^{2+}/\text{Cd}^{2+}$, and highlights the principles and applications of one fluorescent probe molecule for detecting both Zn^{2+} and Cd^{2+} . The future developing prospects of $\text{Zn}^{2+}/\text{Cd}^{2+}$ fluorescent probes are also discussed.

Jia, Jia; Tang, Xi; He, Yingfang; Zhang, Mengyu; Xing, Guowen*
Chin. J. Org. Chem. **2012**, 32(10), 1803

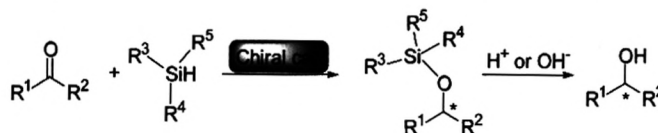
Progress in the Chemical Synthesis of 2-Deoxy-glycosides



Li, Zhongzhen; Ding, Ning*; Zhang, Wei; Wang, Peng; Li, Ming; Li, Yingxia
Chin. J. Org. Chem. **2012**, 32(10), 1812

The recent advances in organic synthesis of 2-deoxy-glycosides are summarized mainly focusing on direct etherification, direct glycosidic bond formation, temporary protecting groups, synthesis from non-sugar and so on.

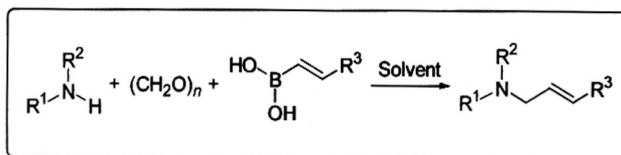
Progress in Asymmetric Hydrosilylation of Ketones by Non-precious Metal Catalyst



As important intermediates for the development of biologically active molecules and fragrance *etc.*, chiral secondary alcohols are synthesized through asymmetric hydrogenation. Recently, the asymmetric hydrosilylation process has been attracted because of its mild reaction conditions as well as inexpensive and safer reagent system. In this paper, some information about the recent development of the catalysts of the non-precious metal (Zn, Cu and so on) for asymmetric hydrosilylation reaction of prochiral ketones is briefly reviewed.

Liu, Shuai; Peng, Jiajian*; Li, Jiayun; Bai, Ying; Xiao, Wenjun; Lai, Guoqiao*
Chin. J. Org. Chem. **2012**, 32(10), 1827

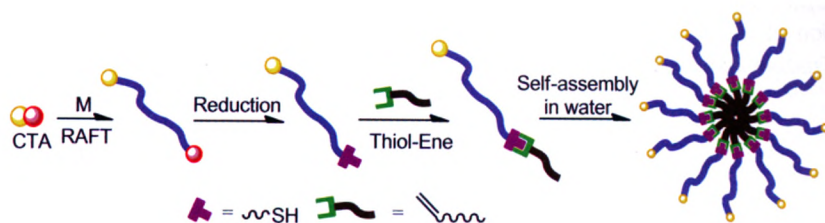
Progress in Petasis Reaction



Petasis reaction is a powerful method for the synthesis of α -amino acids, β -amino alcohols and their derivatives. Chiral Petasis reaction has been applied in synthesis of nature products and drugs. This review describes the mechanism, reaction components and reaction conditions of Petasis reaction, and the applications of Petasis reaction were also discussed.

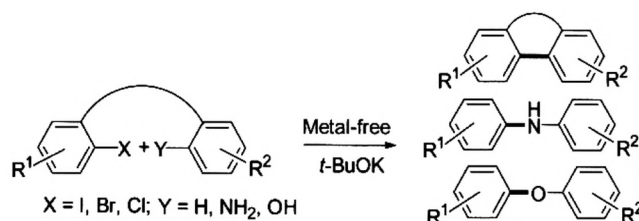
Yu, Tao; Li, Hui; Wu, Xinyan*; Yang, Jun*
Chin. J. Org. Chem. **2012**, 32(10), 1836

Progress in Thiol-Ene/Yne Click Chemistry



This review highlights the recent research on the preparation of functional polymeric microsphere, amphipathic block well-defined polymer, materials employed in the molecular device, desired dendritic polymers and chemistry modification via thiol-ene/yne click chemistry. Moreover, the problems and solutions are given for the future development of thiol-ene/yne click chemistry.

Liu, Qing; Zhang, Qiuyu*; Chen, Shaojie;
Zhou, Jian; Lei, Xingfeng
Chin. J. Org. Chem. **2012**, 32(10), 1846

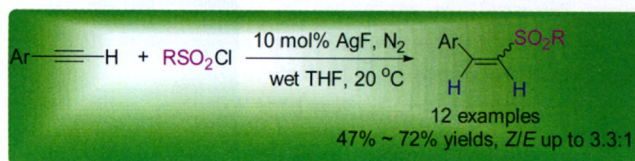
Recent Progress in the Research of the *t*-BuOK-Mediated Coupling Reactions to Form Carbon-Carbon and Carbon-Heteroatom Bonds

Wang, Lianggui; Yan, Guobing*; Zhang, Xinyan
Chin. J. Org. Chem. **2012**, 32(10), 1864

The recent development of the *t*-BuOK-mediated cross-coupling reactions is reviewed, which includes the formation of carbon-carbon, carbon-nitrogen and carbon-oxygen bonds.

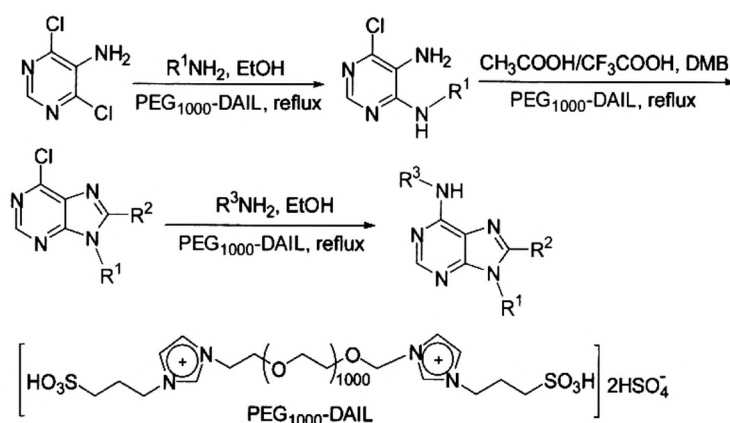
ARTICLES

A Novel Silver(I)-Catalyzed Reaction of Terminal Arylacetylenes with Sulfonyl Chlorides



Deng, Guisheng*; Sun, Tengfei; Zhou, Jia
Chin. J. Org. Chem. **2012**, 32(10), 1872

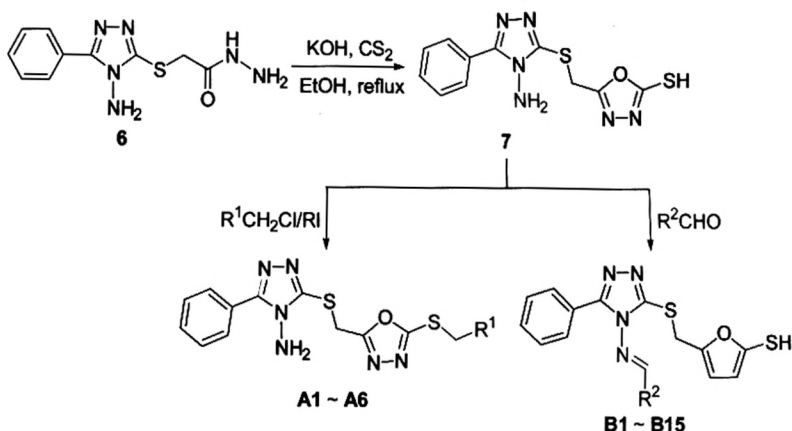
A novel reaction of terminal arylacetylenes with sulfonyl chlorides was performed in the presence of a silver(I) catalyst. Vinyl sulfones were obtained in 47%~72% yields. A free radical mechanism for the catalytic cycle has been proposed to account for the formation of products and the stereochemical outcome of vinyl sulfones.

Synthesis of 6-Amino-purine Derivatives Catalyzed by Polyethylene Glycol₁₀₀₀-Dicationic Acidic Ionic Liquid

Lu, Hongfei*; Sun, Leilei; Wu, Dingming;
Gao, Yuhua; Shi, Yali; Xue, Qin
Chin. J. Org. Chem. **2012**, 32(10), 1880

A series of 6-amino-purine derivatives were synthesized from 4,6-dichloro-5-pyrimidine via three step reactions: *N*-alkylation, cyclization and *N*-alkylation of purine. All the reactions were catalyzed by the polyethylene glycol₁₀₀₀-dicationic acidic ionic liquid (PEG₁₀₀₀-DAIL).

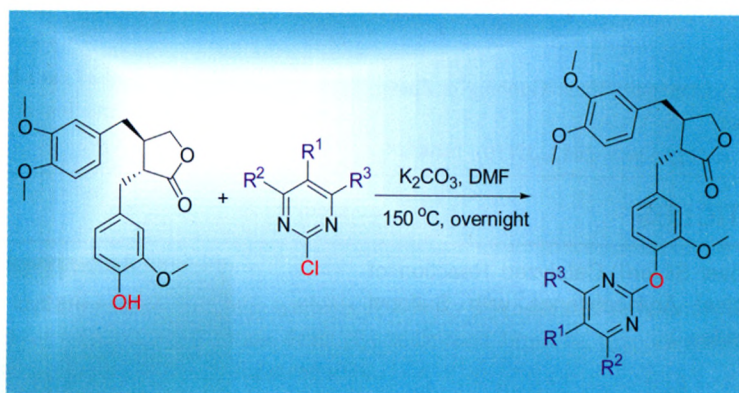
Synthesis and Structure Characterization of Sulfur Ethers Containing 1,2,4-Triazole and 1,3,4-Oxadiazole



A series of new compounds which are six 3-[5-((un)substituted-benzylsulfanyl)-1,3,4-oxadiazol-2-ylmethylsulfanyl]-5-phenyl-1,2,4-triazol-4-ylamine (**A1** ~ **A6**) were obtained by reaction of compound **7** with substituted benzyl chloride. And compounds **B1** ~ **B15** were synthesized by reaction of compound **7** with (un)substituted benzaldehyde.

Wang, Haiwei; Zhu, Wenjuan; Yu, Zhiran; Li, Jing; Dong, Baodong; Liao, Xincheng*
Chin. J. Org. Chem. **2012**, 32(10), 1888

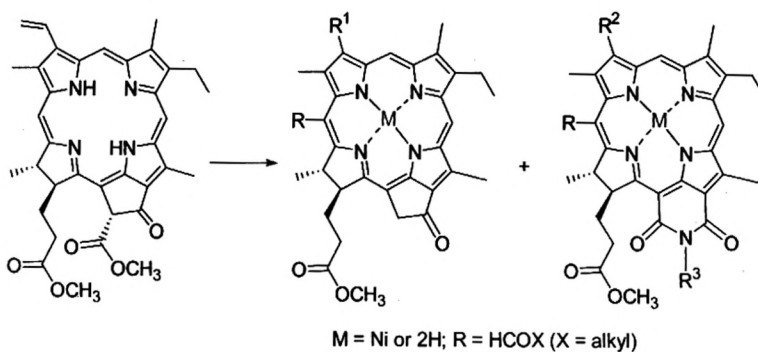
Modify a Fragment of Arctigenin with Pyrimidine Derivatives



Wang, Huanhuan; Wu, Ping; Kang, Hong; Xu, Liang; Zhu, Ruixin*; Kang, Tingguo*
Chin. J. Org. Chem. **2012**, 32(10), 1894

A series of pyrimidine derivatives were synthesized and used to modify a fragment of arctigenin in order to enhance the anti-tumor activity of the arctigenin and reduce the side effects of pyrimidine.

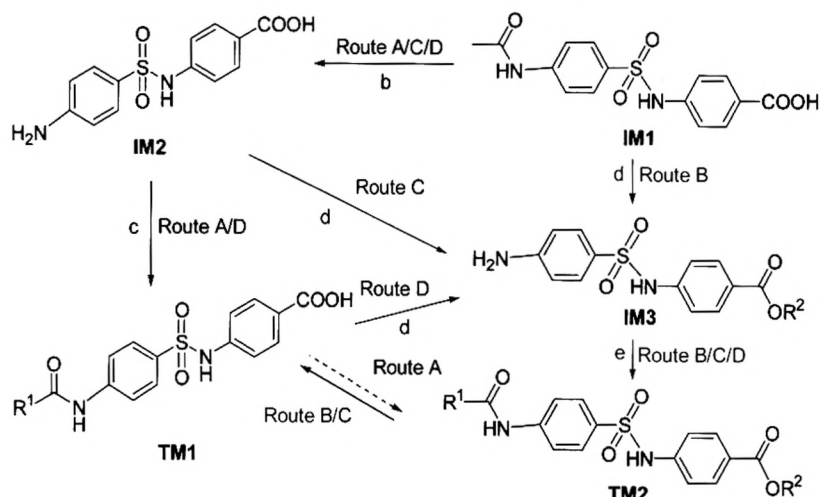
Acetylation of Chlorophyll-a Degradation Products and Synthesis of Chlorin Derivatives



Wang, Lumin; Yao, Nannan; Yang, Ze; Wang, Zhen; Shim, Yongkey; Wang, Jinjun*
Chin. J. Org. Chem. **2012**, 32(10), 1899

From methyl pheophorbide-a (MPa) the chlorins with formylalkyl group were synthesized by oxidation with thallium nitrate or tetrapropylammonium perruthenate and Vilsmerier reaction with phosphoryl chloride and 3-(dimethylamino)-acrolein or *N,N*-dimethylformamide. The possible mechanisms about corresponding reactions were tentatively proposed.

Synthesis and Antidiabetic Activity of Novel Molecules Containing *p*-Amino-benzoic Acid and Benzenesulfonamide Moiety

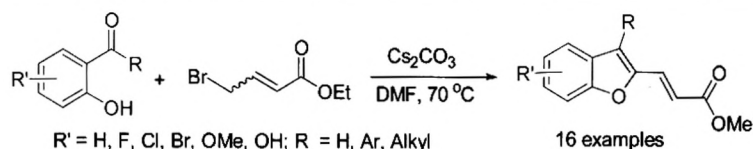


Based on the previously synthesized compounds with strong biological activities by the group, the authors designed the new class of target molecules containing *p*-amino-benzoic acid and benzene-sulfonamide moiety, and the facile preparative routes and practical procedures of both the intermediates of IM1~IM3 and target molecules of TM1 and TM2 were established. A total of 26 designed compounds exhibited low antidiabetic activity.

Yang, Long; Yan, Jufang; Fan, Li; Chen, Xin; Shangguan, Ruiyan; Wang, Linfa; Yang, Dacheng*

Chin. J. Org. Chem. **2012**, 32(10), 1908

A Novel Strategy for the Synthesis of Benzofuran Derivatives

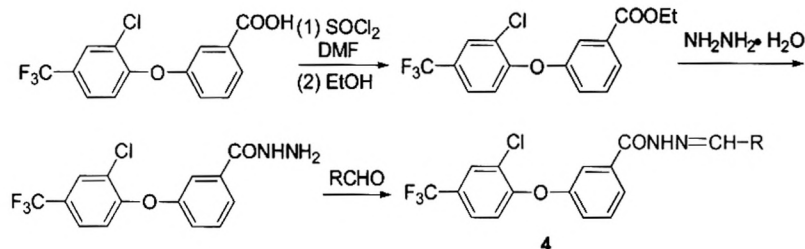


A novel method for the synthesis of benzofuran analogues via condensation of 2-hydroxybenzaldehyde or 2-hydroxyphenyl ketone with methyl 4-bromocrotonate was reported. Moderate to good yield was obtained with cesium carbonate as base in DMF at 70 °C. The new-generated double bond was (*E*)-configuration determined by X-ray diffraction.

Zhao, Yunhui; Liu, Wenjie; Sun, Xingwen*; Lin, Guoqiang*

Chin. J. Org. Chem. **2012**, 32(10), 1919

Synthesis and Pesticidal Activity of 3-(2-Chloro-4-trifluoromethylphenoxy) Benzoylhydrazones

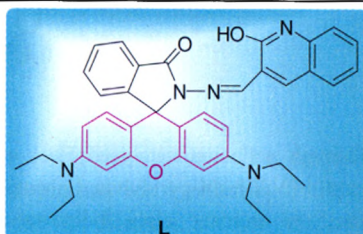


A series of new substituted benzaldehyde (or 2-furaldehyde) 3-(2-chloro-4-trifluoromethylphenoxy) benzoylhydrazones **4** have been designed and synthesized by the reactions of substituted aldehydes with intermediate **3** in 64%~89% yields. The results of preliminary bioassay indicated that some compounds possess good fungicidal activities and moderate herbicidal activity.

Liu, Jianchao; Cui, Zeping; He, Hongwu*

Chin. J. Org. Chem. **2012**, 32(10), 1925

Synthesis and Properties of Rhodamine B Derivative for Recognition of Cu²⁺ and Hg²⁺



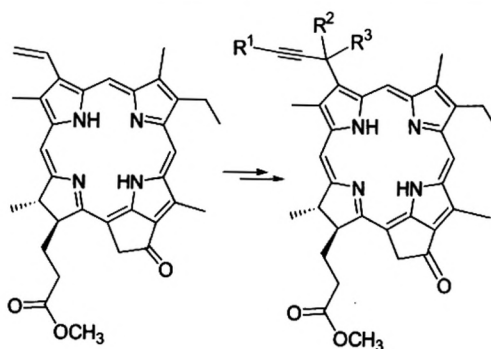
A novel rhodamine B derivative **L** was synthesized. The recognition properties of the probe **L** with metal ions had been investigated. Probe **L** exhibited the selective colorimetric recognition of Cu²⁺ and the fluorogenic recognition of Hg²⁺.

Chen, Jiaxuan; Tian, Yi; Xiang, Qingxiang*; Zhang, Liqun; Xiong, Junru

Chin. J. Org. Chem. **2012**, 32(10), 1930

CONTENT

Alkynylation of Pyropheophorbide-a and Synthesis of Chloryphyllous Chlorin Derivatives



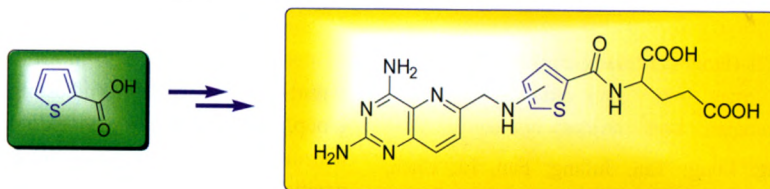
Yin, Jungang; Wang, Zen; Yang, Ze; Jin, Yingxue; Wang, Jinjun*

Chin. J. Org. Chem. **2012**, 32(10), 1936

tions and oxidations produced eneyne and ketyne substituted chlorins.

Methyl pyropheophorbide-a was used as starting material and converted into 3-formyl or 3-acetyl substituted and E-ring protected reactive precursors. The Grignard reactions of these carbonyl groups introduced alkylnyl groups at 3-position to construct the *tert*-alcohol or *sec*-alcohol structures. Further dehydra-

Synthesis and Bioactivity of 8-Deaza Folic Acid Analogues of Thiophene

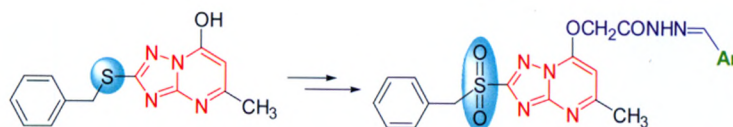


Zhou, Shouxin; Tian, Chao; Guo, Ying; Wang, Xiaowei; Liu, Junyi; Zhang, Zhili*

Chin. J. Org. Chem. **2012**, 32(10), 1944

Thiophene derivatives of 8-deaza folic acid as dual-target inhibitors were synthesized. The inhibitory activities against methionine synthase and recombinant human dihydrofolate reductase were determined.

Synthesis and Fungicidal Activities of 2-Benzylsulfonyl-5-methyl-1,2,4-triazolo-[1,5-*a*]pyrimidine-7-oxoacetohydrazone Derivatives



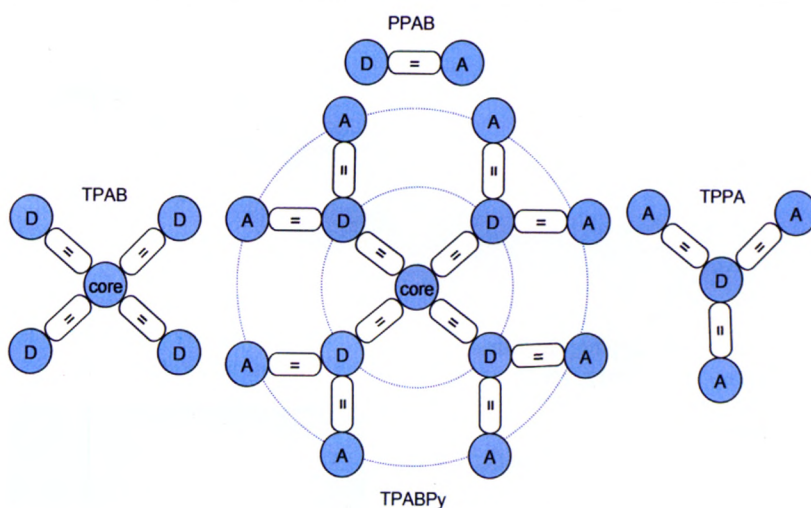
Xiong, Qizhong; Liu, Junhu; Lin, Xuanfu; Bao, Xiaoping*

Chin. J. Org. Chem. **2012**, 32(10), 1951

A series of novel 2-benzylsulfonyl-5-methyl-1,2,4-triazolo[1,5-*a*]pyrimidine-7-oxoacetohydrazone derivatives were synthesized through sequential reactions of etherification, oxidation, hydrazinolysis and condensation. The preliminary bioassay indicated that some compounds exhibited good fungicidal activities against *Botrytis cinerea*.

NOTES

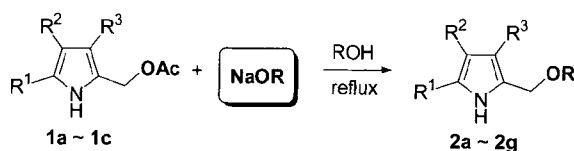
Synthesis and Fluorescence Properties of a Novel Dendrimer



Luo, Manli; Qian, Ying*

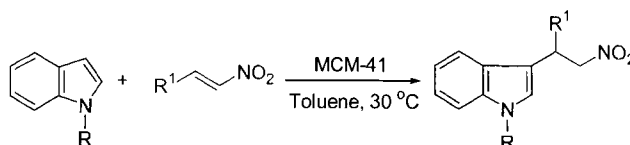
Chin. J. Org. Chem. **2012**, 32(10), 1958

Through palladium-catalyzed Heck reaction, a novel fluorescent dendrimer 1,2,4,5-tetra{4-[*N,N*-bis(4-pyridylvinyl)phenylamino]styryl}benzene (TPABPy) was synthesized by aromatic eight iodine-substituted 1,2,4,5-tetra{4-[*N,N*-bis(4-iodine-phenylamino)styryl]}benzene (TPABI) and 4-vinylpyridine.

Etherification of 2-Acetoxyethylpyrrole
Derivative with Sodium Alkoxide

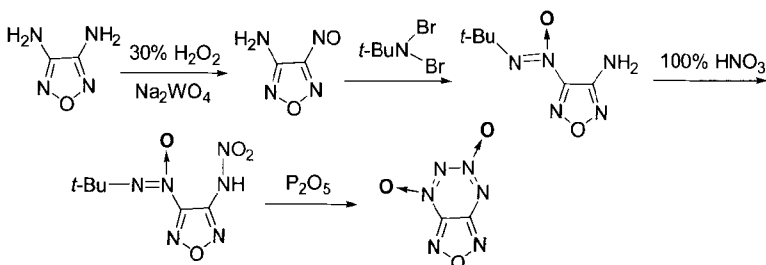
Etherification of 2-acetoxyethylpyrrole derivative with sodium alkoxide at reflux was investigated in details resulting in the smooth formation of the corresponding 2-alkoxyethylpyrrole derivative in excellent yield. A plausible mechanism involving the generation of highly reactive azafulvene intermediate **3** was proposed to explain the observed phenomena.

Yan, Zhaohua*; Yu, Zhangxin; Liu, Yongjie;
Hu, Wei
Chin. J. Org. Chem. **2012**, 32(10), 1965

Friedel-Crafts Reaction of Indoles with
Nitroalkenes Catalyzed by Mesoporous
Material MCM-41

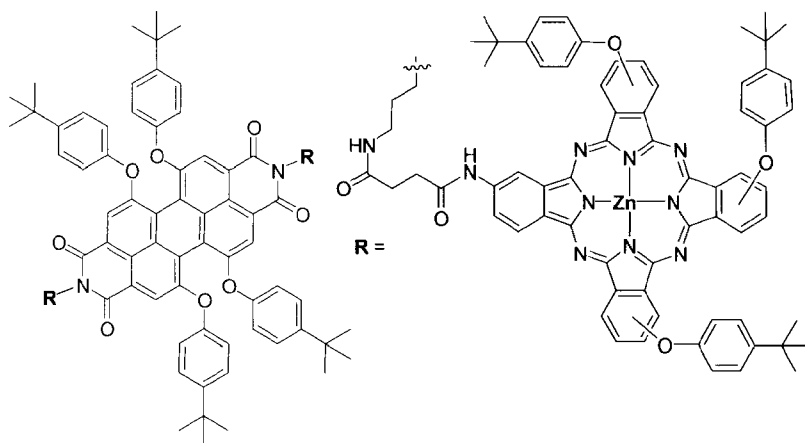
Under microwave irradiation, the three-component reaction of aromatic aldehydes, substituted acetophenones and urea in DMF resulted in 4,6-diaryl-3,4-dihydro-pyrimidin-2(1H)-ones in 68%~84% yields. In the presence of chlorotrimethylsilane, the three-component reactions gave the corresponding dehydrogenated 4,6-diaryl-pyrimidin-2(1H)-ones in satisfactory yields (66%~87%).

Chen, Yongcheng; Xie, Shaolei; Xie, Zheng-
feng*
Chin. J. Org. Chem. **2012**, 32(10), 1970

Novel Synthetic Route and Characteriza-
tion of [1,2,5]oxadiazolo[3,4-e][1,2,3,4]-
tetrazine 4,6-Di-N-oxide (FTDO)

Taking 3,4-diaminofurazan as a primary material, a new energetic material [1,2,5]oxadiazolo[3,4-e][1,2,3,4]tetrazine 4,6-di-N-oxide (FTDO) was synthesized via the reaction of oxidation, condensation, nitration and cyclization, and the title compound and its intermediates were characterized by means of NMR, IR, MS and elemental analysis *etc.*

Li, Xiangzhi; Wang, Bozhou*; Li, Hui; Li,
Yanan; Bi, Fuqiang; Huo, Huan; Fan, Xu-
ezhong
Chin. J. Org. Chem. **2012**, 32(10), 1975

Synthesis and Photovoltaic Perfor-
mances of a Novel Phthalocyanine-
perylene Molecular Heterojunction

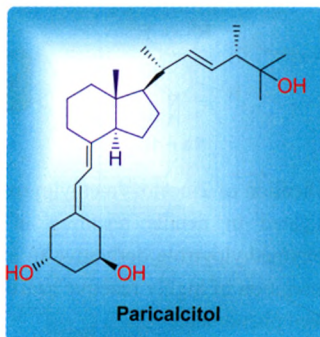
Yu, Xiaowei; Zhang, Shanlin; He, Weiwei;
Zhang, Zhigang; Guo, Fengqi*; Zhan,
Chuanlang; Huang, Yan*
Chin. J. Org. Chem. **2012**, 32(10), 1981

A novel phthalocyanine (Pc)-perylene diimide (PDI)-Pc donor-acceptor molecular heterojunction was synthesized through amidation of an asymmetric Pc-carboxylic acid and PDI-diamines, and it is well soluble in common solvent such as dichloromethane, chloroform, and tetrahydrofuran.

CONTENT

Novel Synthesis of Paricalcitol by Chemobiotransformation

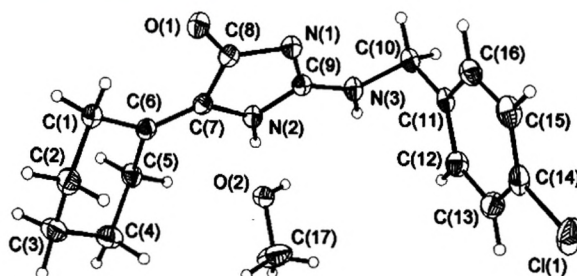
Wan, Yang; Wen, Peng; Zhang, Pan; Lu, Qun*
Chin. J. Org. Chem. **2012**, 32(10), 1988



Paricalcitol was obtained from Vitamin D₂ via a route of the combination of seven-step organic reaction and biotransformation. The overall yield was 3.24%, which was higher as compared with the reported methods. The structures of all intermediates and product were confirmed by ¹H NMR, ¹³C NMR and MS techniques.

Synthesis and Fungicidal Activity of 5-Cyclohexylidene-2-aminoimidazolin-4-one Derivatives

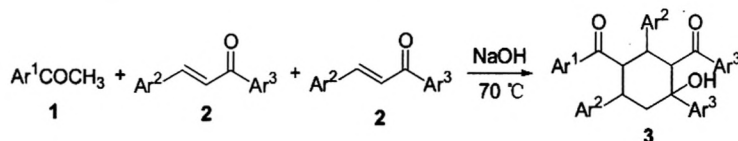
Lei, Jianping; Han, Jintao; Xu, Zhihong; Dong, Hongbo; Wang, Mingan*
Chin. J. Org. Chem. **2012**, 32(10), 1993



New 5-cyclohexylidene-2-aminoimidazolin-4-one derivatives **3** were synthesized via Knoevenagel condensation, methylation and substitution reaction using cyclohexanone and 2-thiohydantoin as starting material. Their structures of compounds **3** were confirmed by ¹H NMR, IR, MS techniques and X-ray diffraction. The EC₅₀ value of compound **3p** against *Sclerotinia sclerotiorum* is 24.37 µg/mL, and **3q** against *Phytophthora capsici* is 28.68 µg/mL, respectively.

A Facile and Efficient Synthesis of Polysubstituted Cyclohexanol Derivatives under Solvent-Free Conditions

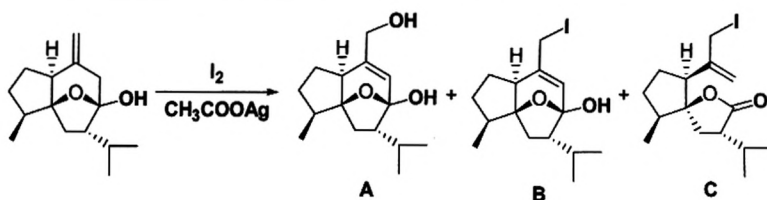
Rong, Liangce*; Wei, Xianrong*; Lu, Yao; Zong, Zhimin
Chin. J. Org. Chem. **2012**, 32(10), 1999



A facile and efficient synthesis of polysubstituted cyclohexanol derivatives by the addition and cyclization reaction of substituted acetophenone and chalcone in the presence of NaOH under solvent-free conditions is reported. This protocol has the advantages of shorter reaction time, mild conditions, easy work-up, and environmental friendliness. The products were identified by IR, ¹H NMR and elemental analysis. The solvent-free method is the efficient approach for the synthesis of these compounds.

Some Products of Curcumin under the Woodward-Prévost Conditions

Guo, Ping; Liu, Jianmin; Ye, Faqing*; Li, Xiaokun; Yao, Qizheng
Chin. J. Org. Chem. **2012**, 32(10), 2003



Curcumin reacts under the Woodward-Prévost conditions providing not usual products but several unexpected derivatives A~C. The structures of compounds A~C were determined by MS, NMR and X-ray diffraction analysis. A plausible mechanism involved in their formation was discussed.

HIGHLIGHTS

Chin. J. Org. Chem. **2012**, 32(10), 2007