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### 综述与进展



### 研究论文




* 通讯联系人.

## 研究简报



## 学术动态

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(YOUJI HUAXUE)

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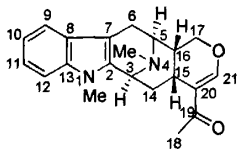
# CONTENTS

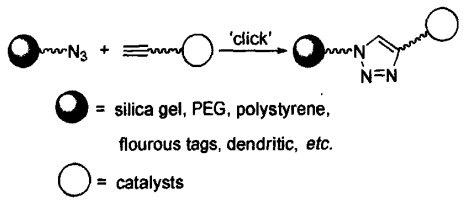
**Progress of Synthetic Study of Monoterpenoid Indole Alkaloid Alstonerine**



Zhou, Huafeng; Liu, Jianli*; Wang, Cuiling
*Chin. J. Org. Chem.* **2010**, *30*(9), 1305

The synthetic methods are reviewed according to the key building reactions of the ring structures including sequential Pictet-Spengler reaction and Dieckmann condensation, ring-closing metathesis, phosphine-catalyzed [4+2] annulation/Friedel-Crafts cyclization, aza-Diels-Alder/intramolecular Heck reaction, Pauson-Khand reaction. Most routes to alstonerine are through complicated steps. Hence, the simple and convenient methods are still needed to further study.

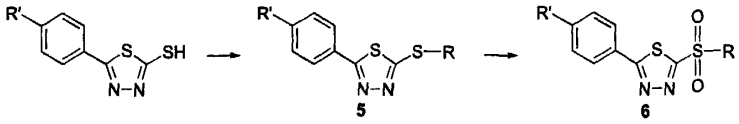
**Recent Advances in Organic Reaction Catalyzed by Heterogeneous Catalyst Immobilized by Click Chemistry**




Ding, Chengrong; Wang, Yong; Zhang, Guofu*; Weng, Jianquan; Tan, Chengxia
*Chin. J. Org. Chem.* **2010**, *30*(9), 1314

In recent years, click chemistry has been proven to be an efficient and versatile protocol to covalently graft catalyst onto supports such as silica gel, PEG, polystyrene, flourous tags, Dendritic, *etc.* And the 'Click' catalysts show high reactivity and stability in the organic heterogeneous process.

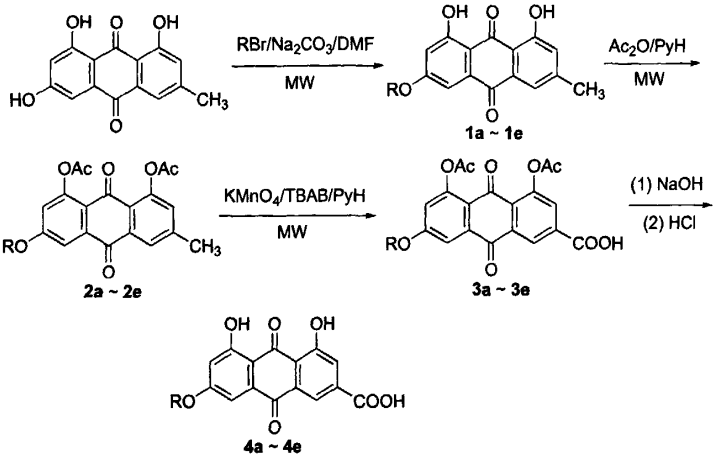
**Synthesis and Antifungal Activity of 2-Substituted Sulfinyl (Sulfonyl)-5-(4-nitro or 4-methoxyphenyl)-1,3,4-thiadiazole Derivatives**




Yang, Chao; Yang, Song*; Song, Baoan; Hu, Deyu; Chen, Hongjun; Xue, Wei; Jin, Linhong; Wu, Jian; Xu, Weiming; Bai, Song
*Chin. J. Org. Chem.* **2010**, *30*(9), 1327

Seven novel 2-substituted sulfinyl-5-(4-nitro or 4-methoxyphenyl)-1,3,4-thiadiazole **5** and ten novel 2-substituted sulfonyl-5-(4-nitro or 4-methoxyphenyl)-1,3,4-thiadiazole **6** were synthesized and characterized by elemental analysis, IR, $^1$H NMR, $^{13}$C NMR spectra. Preliminary bioassay showed that some of them exhibited certain antifungal activities.

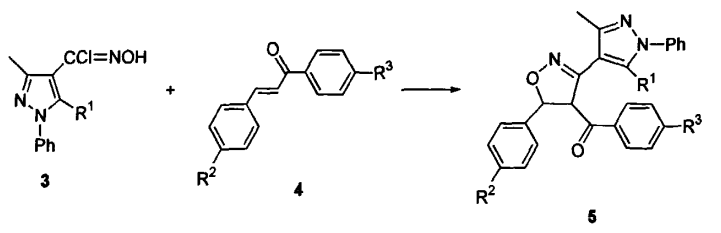
**Microwave-Assisted Synthesis and Antibacterial Activity of 6-Alkoxy Rheins**




Zhu, Xiaokang; Ye, Xiaoli; Yuan, Lüjiang; Zhu, Jiaying; Ding, Yangping; Li, Xuegang*
*Chin. J. Org. Chem.* **2010**, *30*(9), 1335

Five new 6-alkoxy rheins have been designed and synthesized through Williamson reaction, acetyl protection, oxidation reaction and deprotection. The effects of microwave and conventional method on the yield of 3-alkyl emodin derivatives were compared. The effects of different oxidants in the synthesis of **3a**~**3e** were studied and the best oxidation conditions were found. All the structures have been confirmed by IR, $^1$H NMR, MS and HRMS techniques. The minimal inhibitory concentration (MIC) assay indicated that antibacterial activity changes regularly, as the alkyl chain growth.

Synthesis and Configuration of Novel Isoxazole Derivatives Containing Pyrazole Moiety

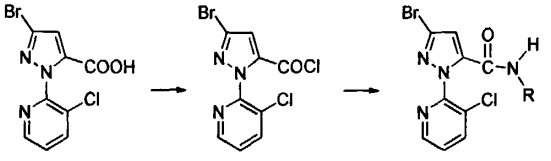


Sixteen new isoxazole derivatives containing pyrazole moiety **5** were synthesized simply and feasibly by chalcone **4** and substituted pyrazolohydroximinoyl chlorides **3** as stating materials through 1,3-dipolar cycloaddition reaction. The structures of compounds **5** were confirmed by IR, $^1$H NMR, MS techniques and elemental analysis. Compound **5g** was subjected to X-ray single crystal diffraction analysis to determine crystallographic structure.

Zhou, Yinglei; Shen, Songwei; Liu, Fangming*

*Chin. J. Org. Chem.* **2010**, *30*(9), 1342

Synthesis and Insecticidal Activity of 5-Pyrazolecarboxamide Derivatives

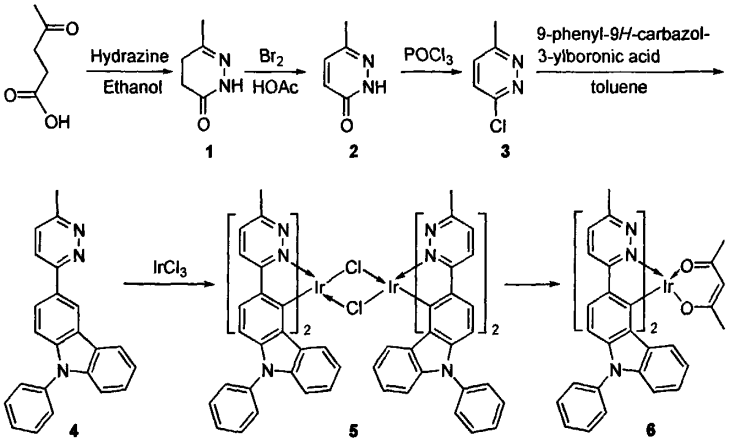


Ten 5-pyrazolecarboxamide derivatives were synthesized by the reaction of amine and intermediate **6** starting from 2,3-dichloropyridine and diethyl maleate. Their structures were identified by elemental analysis, IR, $^1$H NMR and $^{13}$C NMR spectra. Preliminary bioassay showed that some of them exhibited certain insecticidal activities.

Liu, Jie; Xie, Huapeng; Song, Baoan*; Hu, Deyu; Yang, Song; Jin, Linhong; Xue, Wei; Wu, Jian; Xu, Weiming

*Chin. J. Org. Chem.* **2010**, *30*(9), 1347

Synthesis and Properties of A New Metalated Iridium Electrophosphorescent Material Containing Carbazole-pyridazole

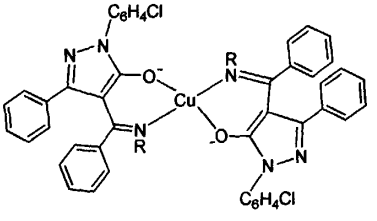


A new bis-cyclometalated iridium(III) complex, Ir(pcpd)$_2$(acac), was synthesized and used as an emitter in organic light-emitting diodes (OLEDs).

Zhang, Chunlin*; Zhang, Yuxiang; Hu, Lingfeng; Sun, Jun.

*Chin. J. Org. Chem.* **2010**, *30*(9), 1354

Synthesis and Antibacterial Activity of the Schiff Bases Derived from 1-(*p*-Chlorophenyl)-3-phenyl-4-benzoyl-pyrazolone-5 and Amine Compounds and Their Transitional Metallic Copper Complexes

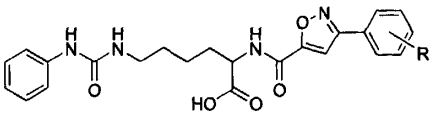


Seven novel copper complexes of Schiff bases derived from acyl pyrazolone and amine compound have been synthesized. Their molecular structures were characterized by means of IR, TG, $^1$H NMR and liquid chromatography-mass spectrometry, and their antibacterial activities were also investigated with the oxford cup plate assay method.

Yu, Zhigang*; Ding, Weimin; Ji, Hongrui; Zhu, Xueyou

*Chin. J. Org. Chem.* **2010**, *30*(9), 1358

## Synthesis and Herbicidal Activities of $N^{\varepsilon}$-Anilinocarbonyl-$N^{\alpha}$-{3-[(un)substituted phenyl]isoxazol-5-ylcarbonyl}lysine Derivatives



Target compounds

Hu, Dejin; Liu, Sufang; Huang, Tonghui; Tu, Haiyang*; Li, Weiguo; Zhu, Xiaolei; Zhang, Aidong*

*Chin. J. Org. Chem.* **2010**, *30*(9), 1366

Based on one lead hit $N^{\alpha}$-(isoxazol-5-ylcarbonyl)lysine of D1 protease inhibitors, a series of novel $N^{\varepsilon}$-anilinocarbonyl-$N^{\alpha}$-{3-[(un)substituted phenyl]isoxazol-5-carbonyl}-lysine derivatives were synthesized and their herbicidal activities were tested.

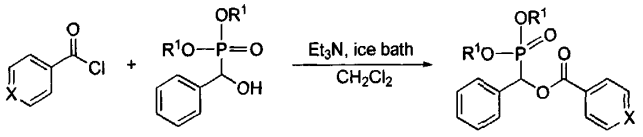
## Synthesis of Macrolide Glucoside

Wu, Rong; Sun, Jiansong*; Li, Yan*

*Chin. J. Org. Chem.* **2010**, *30*(9), 1372

In terms of the structure of macrolide glucoside in radix clematides, model dimer was synthesized from 2,3,4-tri-*O*-benzyl-6-*O*-acetyl-*D*-glucopyranose with our originate fractional polymerization. The products were identified by $^{1}$H NMR, $^{13}$C NMR and HRMS techniques.

## Synthesis, Crystal Structure and Fragmentation Pathway of Arylcarboxy Ester of α-Hydroxyphosphonate



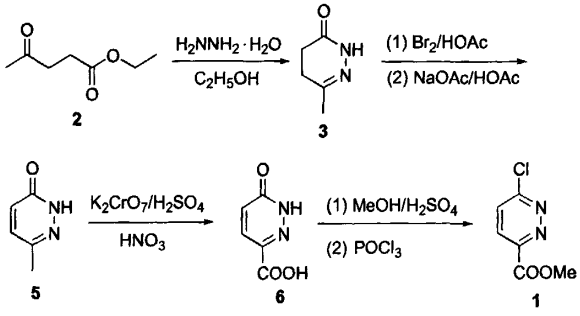
A C

Fang, Hua; Wang, Dongdong; Chen, Weizhu; Zhao, Yufen; Fang, Meijuan*

*Chin. J. Org. Chem.* **2010**, *30*(9), 1377

Some novel arylcarboxy esters of α-hydroxyphosphonate were synthesized. Their structures were confirmed by elemental analysis, IR, $^{1}$H NMR, $^{13}$C NMR, $^{31}$P NMR and mass spectra. The crystal structure of compound **C1** was determined by X-ray diffractometer. A possible rearrangement mechanism was proposed.

## Synthesis of Methyl 6-Chloropyridazine-3-carboxylate



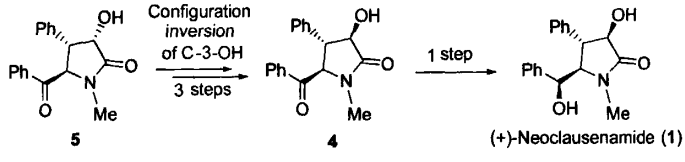
2 3 5 6 1

Lu, Xiuhong; Bao, Xuefei; Huang, Li; Dai, Wen; Wei, Wei; Chen, Guoliang*

*Chin. J. Org. Chem.* **2010**, *30*(9), 1383

Methyl 6-chloropyridazine-3-carboxylate (**1**) is a key intermediate in the researches of anti-tumor agents, blood-lipid lowering agents and insecticides. In this article, it is synthesized by using ethyl levulinate as starting material via a six-step process in the overall yield of 42% with low cost.

## A Concise Total Synthesis of (+)-Neoclausenamide



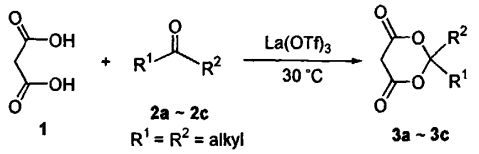
5 4 (+)-Neoclausenamide (1)

Yan, Zhaohua*; Liu, Yongjie; Tian, Weisheng*; Kang, Runhua

*Chin. J. Org. Chem.* **2010**, *30*(9), 1387

(+)-Neoclausenamide was synthesized through a concise route which comprises the configuration inversion of C-3 hydroxy group in intermediate **5** and subsequent reduction of carbonyl group.

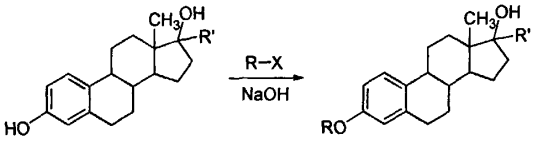
Catalytic Synthesis of 1,3-Dioxane-4,6-dione by $La(OTf)_3$




Three kinds of 1,3-dioxane-4,6-dione were synthesized from malonic acid and ketone using $La(OTf)_3$ as catalyst and acetic anhydride as condensing regent. The effects of the molar ratio of malonic acid and ketone, reaction temperature, reaction time, catalyst dosage and its stability on the yield were investigated.

Yan, Nan; Xiong, Bin; Liao, Weilin; Xu, Zhaohui*
*Chin. J. Org. Chem.* **2010**, *30*(9), 1391

Synthesis of Novel Estrogen Derivatives & Biological Activities of Anti-radiation Promotion White Blood Cells

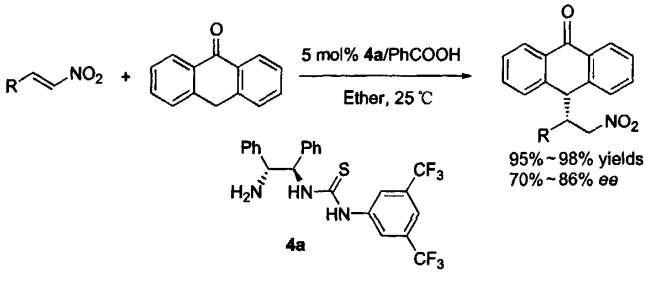



ES-1 R = $CH_2CH{=}CH_2$, R' = CCH; ES-2 R = $CH_2CH{=}CH_2$, R' = H
ES-3 R = $CH_2CH{=}C(CH_3)_2$, R' = CCH; ES-4 R = $CH_2CH{=}C(CH_3)_2$, R' = H

Four novel estrogen derivatives were synthesized by a one-step reaction with 17$\alpha$-ethynylestradiol and 17$\beta$-estradiol as the initial materials, reacted with allyl bromide and isopentenyl bromide, respectively, obtained 17$\alpha$-ethynylestradiol-3-allyl ether (ES-**1**), 17$\beta$-estradiol-3-allyl ether (ES-**2**), 17$\alpha$-ethynylestradiol-3-isopentenyl ether (ES-**3**) and 17$\beta$-estradiol-3-isopentenyl ether (ES-**4**), in yields of 92.2%, 73.7%, 65.9% and 67.6%. And the structures of products were conformed by $^1H$ NMR, $^{13}C$ NMR, MS, IR techniques and elemental analysis. The four compounds are novel estrogens without paper reported. The initial research of biological activities on anti-radiation promotion white blood cells (WBC) was carried out.

Zhou, Zewei*; Shen, Xiu; Tang, Weisheng; Wu, Xiaoxia; Gao, Jianfang; Gong, Weimin
*Chin. J. Org. Chem.* **2010**, *30*(9), 1395

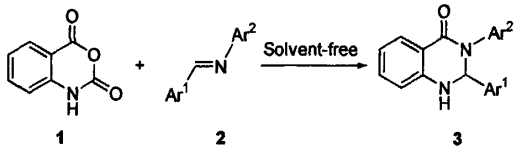
Enantioselective Organocatalytic Michael Addition of Anthrone to Nitroalkenes




The enantioselective organocatalytic Michael addition of anthrone to nitroalkenes was investigated using chiral primary amine thiourea.

He, Tianxiong; Wu, Xinyan*
*Chin. J. Org. Chem.* **2010**, *30*(9), 1400

Synthesis of 2,3-Diaryl-2,3-dihydroquinazolin-4(1*H*)-one under Solvent-Free Conditions




A series of 2,3-diaryl-2,3-dihydroquinazolin-4(1*H*)-one derivatives were synthesized from isatiocanhydride and Schiff base in the presence of sodium hydroxide under solvent-free conditions. The advantages of this procedure are simple protocol and high yields. Their structures were determined by IR, $^1H$ NMR and HRMS techniques.

Zhuang, Qiya; Fu, Yongchun; Tang, Dan; Zha, Yunyun; Rong, Liangce*; Tu, Shujiang*
*Chin. J. Org. Chem.* **2010**, *30*(9), 1405

Application of Solid Base Catalysts of Metal Oxide in Organic Synthesis

Pei, Wen*; Dong, Zhigang; Yao, Caiping; Chen, Qing

*Chin. J. Org. Chem.* **2010**, *30*(9), 1410

The development of solid base catalysts of metal oxide in recent years is summarized. The variances and progress on application of solid base catalysts of metal oxide in organic synthesis are reviewed. At last the direction of solid base catalysts in the future is presented.

Highlights

*Chin. J. Org. Chem.* **2010**, *30*(9), 1419