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* 通讯联系人。

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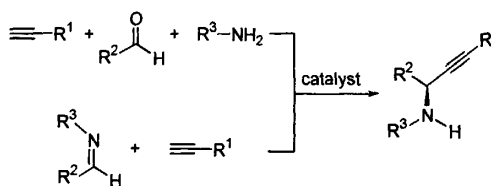
学术动态

金属氧化物固体碱在有机合成中的应用 裴 文* 董志刚 姚彩萍 陈 青 (1410)

亮点介绍 (1419)

CONTENTS

Advances of Enantioselective Addition of Terminal Alkynes to Imines and Their Derivatives



R^1, R^2, R^3 is aryl or alkyl

Recent advances of enantioselective additions of terminal alkynes to imines are reviewed in this paper. The asymmetric catalysts involve the homogeneous and immobilized ones. The homogeneous ones comprise copper complexes of Pybox, bisamines, quinap, Pinap and tosylated aminoimine, zirconium complexes of peptidic chiral ligands, zinc complexes of aminoalcohols and binols *etc.* The three-component addition reactions of terminal alkynes, aldehydes and amines are also discussed.

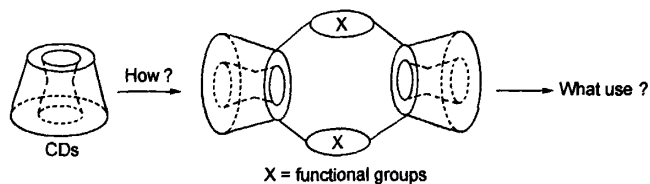
Bian, Qinghua; Zhong, Jiangchun; Hou, Shicong*; Wang, Min
Chin. J. Org. Chem. **2010**, 30(9), 1261

Application of Dimolybdenum Reagent $Mo_2(OAc)_4$ for Determination of the Absolute Configurations of *vic*-Diols

A straightforward method which employed a transition metal chelate reagent dimolybdenum tetraacetate [$Mo_2(OAc)_4$] for the assignment of the absolute configuration of cyclic and acyclic *vic*-diols has recently been studied. This proposed method involves the formation of chiral complexes *in situ* by mixing the chiral compounds with a solution of achiral reagent $Mo_2(OAc)_4$, without preparation and isolation of the complexes, and subsequent measurement of the induced CD (ICD) spectra. By use of a helicity rule that the correlation of the stereochemistry of *vic*-diols with the sign of the Cotton effect in ICD spectra, the absolute configuration of the *vic*-diols can be decided conveniently. In this review, the methodology including theory, procedures, relationships between the influencing factor and results, as well as the application examples will be presented.

Liu, Jing; Du, Dan; Si, Yikang*; Lü, Haining; Wu, Xianfu; Li, Yong; Liu, Yuanyan; Yu, Shishan
Chin. J. Org. Chem. **2010**, 30(9), 1270

Synthesis and Application of Doubly Bridged Cyclodextrins Dimer



The synthesis and application of doubly bridged cyclodextrins dimer are reviewed. The doubly bridged cyclodextrins dimer could be applied to the fields such as biological enzymes mimics, protein mimics, biological cell mimics, constructions of new functional materials *etc.*

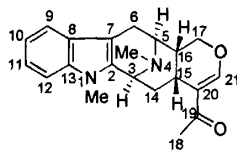
Zhang, Huacheng; Liu, Zhaona; An, Wei; Hao, Aiyu*; Sun, Lizhen
Chin. J. Org. Chem. **2010**, 30(9), 1279

Progress on Catalytic Asymmetric Addition of Alkynylzinc Reagents to Aldehydes

The direct enantioselective addition of terminal alkynes to aldehydes is a very effective way in the synthesis of chiral secondary propargylic alcohols and has gained considerable significance. Research developments in the asymmetric addition of zinc alkynylides to aldehydes are reviewed according to different structure types of chiral ligands.

Li, Gaowei; Wang, Xiaojuan; Zhao, Wenxian*; Guo, Baoguo; Zheng, Xin; Wang, Mincan*
Chin. J. Org. Chem. **2010**, 30(9), 1292

Progress of Synthetic Study of Mono-terpenoid Indole Alkaloid Alstonerine

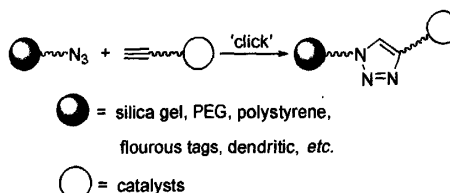


The synthetic methods are reviewed according to the key building reactions of the ring structures including sequential Pictet-Spengler reaction and Dieckmann condensation, ring-closing metathesis, phosphine-catalyzed [4+2] annulation/Friedel-Crafts cyclization, aza-Diels-Alder/intramolecular Heck reaction,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

through complicated steps. Hence, the simple and convenient methods are still needed to further study.

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

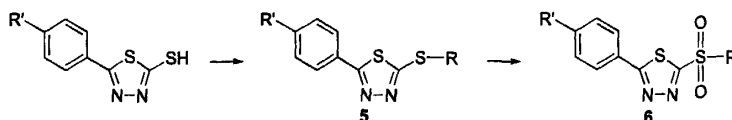
Recent Advances in Organic Reaction Catalyzed by Heterogeneous Catalyst Immobilized by Click Chemistry



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, fluororous tags, Dendritic, etc. And the 'Click' catalysts show high reactivity and stability in the organic heterogeneous process.

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

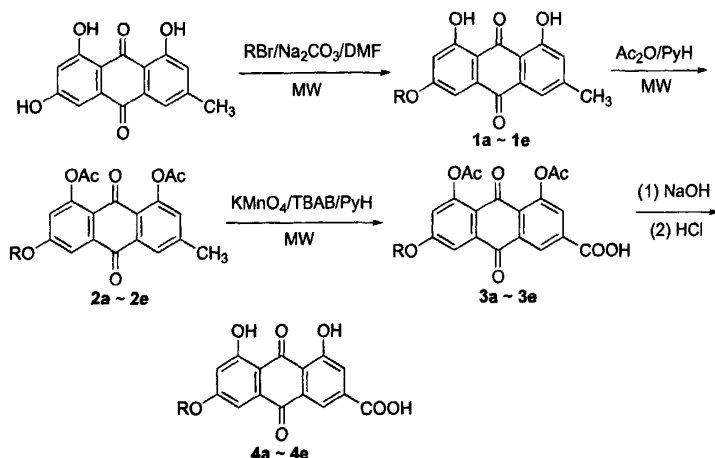
Synthesis and Antifungal Activity of 2-Substituted Sulfinyl (Sulfonyl)-5-(4-nitro or 4-methoxyphenyl)-1,3,4-thiadiazole Derivatives



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, ¹H NMR, ¹³C NMR spectra. Preliminary bioassay showed that some of them exhibited certain antifungal activities.

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

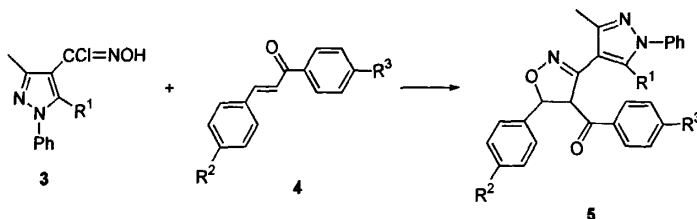
Microwave-Assisted Synthesis and Antibacterial Activity of 6-Alkoxy Rheins



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, ¹H NMR, MS and HRMS techniques. The minimal inhibitory concentration (MIC) assay indicated that antibacterial activity changes regularly, as the alkyl chain growth.

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

Synthesis and Configuration of Novel Isoxazole Derivatives Containing Pyrazole Moiety

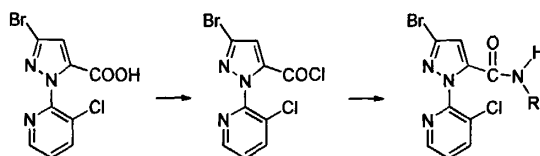


Sixteen new isoxazole derivatives containing pyrazole moiety **5** were synthesized simply and feasibly by chalcone **4** and substituted pyrazolohydroximinoyl chlorides **3** as starting materials through 1,3-dipolar cycloaddition reaction. The structures of compounds **5** were confirmed by IR, ^1H 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

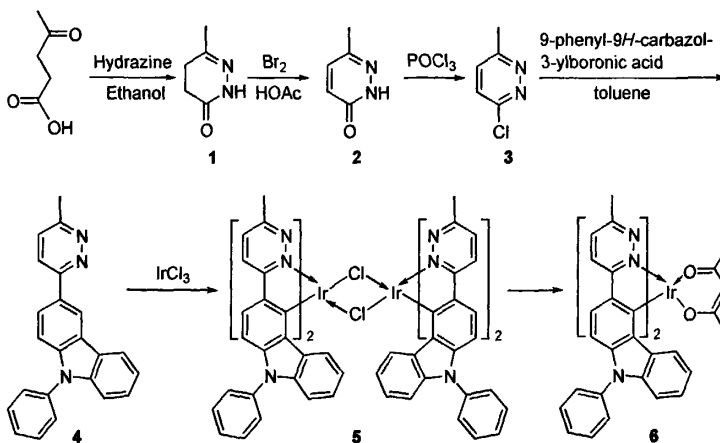


Liu, Jie; Xie, Huapeng; Song, Baoan*; Hu, Deyu; Yang, Song; Jin, Linhong; Xue, Wei; Wu, Jian; Xu, Weiming

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

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, ^1H NMR and ^{13}C NMR spectra. Preliminary bioassay showed that some of them exhibited certain insecticidal activities.

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

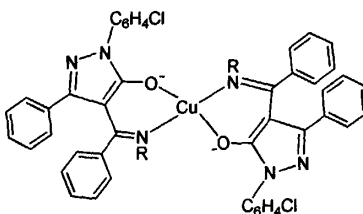


Zhang, Chunlin*; Zhang, Yuxiang; Hu, Lingfeng; Sun, Jun.

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

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

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



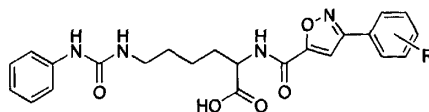
Yu, Zhigang*; Ding, Weimin; Ji, Hongrui; Zhu, Xueyou

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

ford cup plate assay method.

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, ^1H NMR and liquid chromatography-mass spectrometry, and their antibacterial activities were also investigated with the ox-

Synthesis and Herbicidal Activities of *N*^ε-Anilinoacarbonyl-*N*^α-{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*^ε-(isoxazol-5-ylcarbonyl)lysine of D1 protease inhibitors, a series of novel *N*^ε-anilinoacarbonyl-*N*^α-{3-[(un)substituted phenyl]isoxazol-5-carbonyl}-lysine derivatives were synthesized and their herbicidal activities were tested.

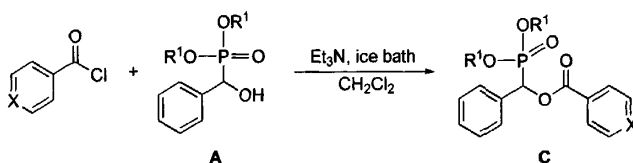
Synthesis of Macrolide Glucoside

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 ¹H NMR, ¹³C NMR and HRMS techniques.

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

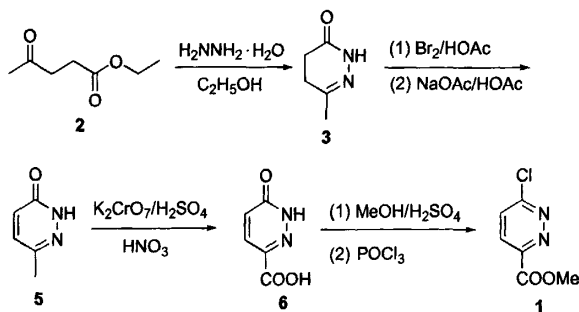


Fang, Hua; Wang, Dongdong; Chen, Weizhu; Zhao, Yufen; Fang, Meijuan*

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, ¹H NMR, ¹³C NMR, ³¹P 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

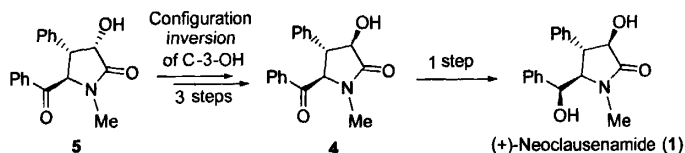


Lu, Xiuhong; Bao, Xuefei; Huang, Li; Dai, Wen; Wei, Wei; Chen, Guoliang*

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

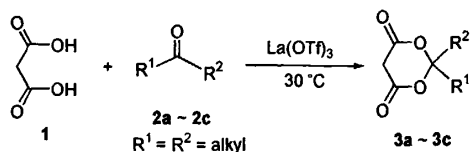


Yan, Zhaohua*; Liu, Yongjie; Tian, Weisheng*; Kang, Runhua

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

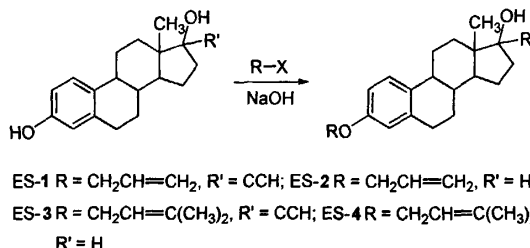


Three kinds of 1,3-dioxane-4,6-dione were synthesized from malonic acid and ketone using La(OTf)₃ as catalyst and acetic anhydride as condensing reagent. The effects of the molar ratio of malonic acid and ketone, reaction temperature, reaction time, catalyst dosage and its stability on the yield were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

Yan, Nan; Xiong, Bin; Liao, Weilin; Xu, Zhaohui*

Chin. J. Org. Chem. **2010**, 30(9), 1391

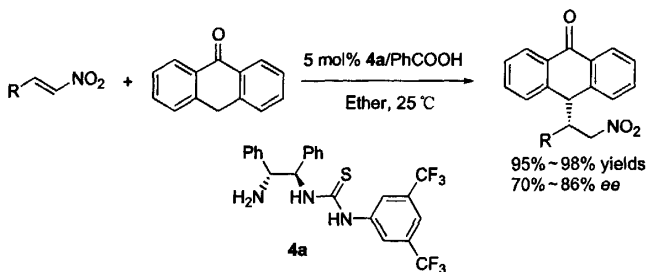
Synthesis of Novel Estrogen Derivatives & Biological Activities of Anti-radiation Promotion White Blood Cells



Four novel estrogen derivatives were synthesized by a one-step reaction with 17α-ethynylestradiol and 17β-estradiol as the initial materials, reacted with allyl bromide and isopentenyl bromide, respectively, obtained 17α-ethynylestradiol-3-allyl ether (ES-1), 17β-estradiol-3-allyl ether (ES-2), 17α-ethynylestradiol-3-isopentenyl ether (ES-3) and 17β-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, ¹³C 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

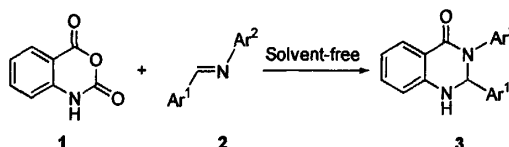


He, Tianxiong; Wu, Xinyan*

Chin. J. Org. Chem. **2010**, 30(9), 1400

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

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



Zhuang, Qiya; Fu, Yongchun; Tang, Dan; Zha, Yunyun; Rong, Liangce*; Tu, Shujing*

Chin. J. Org. Chem. **2010**, 30(9), 1405

A series of 2,3-diaryl-2,3-dihydroquinazolin-4(1H)-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.

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
