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## 学术动态

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**(YOUJI HUAXUE)**

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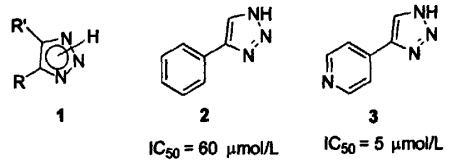
# CONTENTS

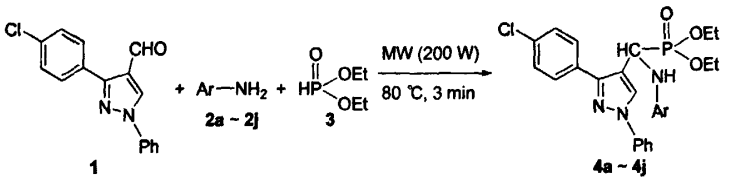
Advances in the Synthesis of *NH*-1,2,3-Triazoles




Because of their potent applications in both synthetic organic chemistry and medicinal chemistry, the progess in the synthesis of *NH*-1,2,3-triazoles, especially those reported in the past decade, is surveyed according to the research by our group and other groups. Some classical reaction mechanics are also reviewed.

Zhang, Wensheng*; Kuang, Chunxiang*; Yang, Qing
*Chin. J. Org. Chem.* **2011**, *31*(1), 54

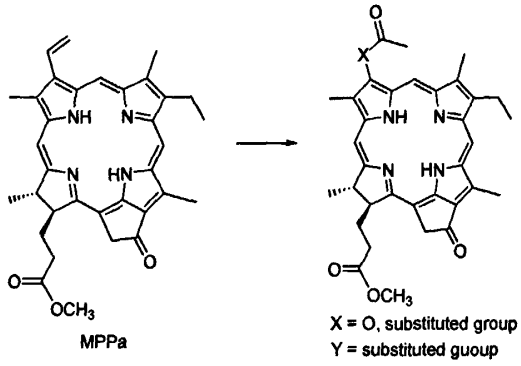
Synthesis and Biological Activity of α-Aminophosphonate Derivatives Containing Novel Substituted Pyrazole




A series of novel α-aminophosphonate derivatives containing substituted pyrazole were synthesized with substituted pyrazolyl aldehyde, arylamine and diethylphosphone under microwave-assisted without using any catalysts and solvent. And the structures of the compounds were confirmed by $^1$H NMR, $^{13}$C NMR, $^{13}$P NMR and MS techniques. Preliminary bioassay indicated that some of them showed good fungicidal activities.

Qiu, Jikuan; Liu, Guosheng; Li, Jianping*; Zhao, Yuling; Li, Huijuan; Zhang, Guisheng
*Chin. J. Org. Chem.* **2011**, *31*(1), 63

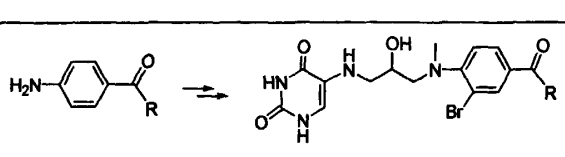
Modification of C(3)-Vinyl Group and Synthesis of Oxygenic Group-Substituted Chorophyll-a Derivatives




The vinyl group at 3-position of methyl pyropheophorbide-a, used as starting material, was converted into hydroxyethyl, bromoethyl, dihydroxyethyl, dibromoethyl and bromo-hydroxyethyl groups by addition and oxidation reactions. The multi-keto groups-substituted chlorin derivatives were obtained by oxidations with dimethyl sulfoxide/acetic anhydride or tetrappropylammonium perruthenate/*N*-methylmorpholine *N*-oxide as a mixing oxidant. The structures of new chlorophyll derivatives were characterized by UV, IR, $^1$H NMR spectra and elemental analysis. The possible mechanisms about corresponding oxidation, substitution and rearrangement reactions were tentatively proposed.

Wang, Jinjun*; Li, Fuguo; Li, Yunwei
*Chin. J. Org. Chem.* **2011**, *31*(1), 68

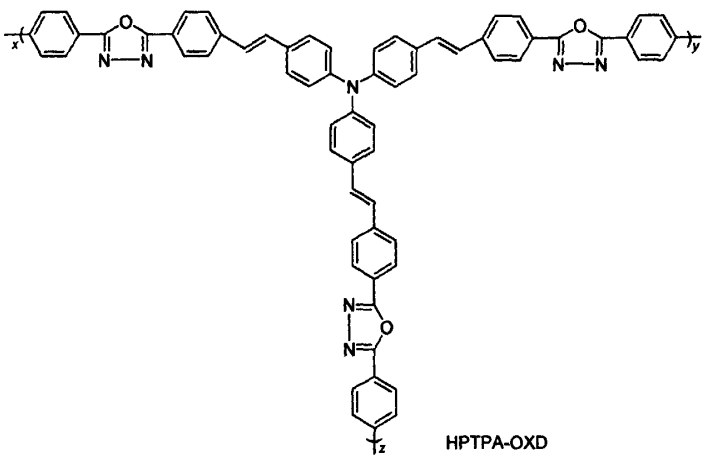
Synthesis of Diethyl *N*-{4-[*N*-Methyl-*N*-(3-(2,4-dioxo-1,2,3,4-tetrahydropyrimidin-5-yl)amino-2-hydroxypropyl)amino]-benzoyl}-*L*-glutamate and Its Derivatives



Diethyl *N*-{4-[*N*-methyl-*N*-(3-(2,4-dioxo-1,2,3,4-tetrahydropyrimidin-5-yl)amino-2-hydroxypropyl)amino]benzoyl}-*L*-glutamate and its derivatives, as the key intermediates in the synthesis of new anticancer reagents, were designed and successfully synthesized for the first time.

Deng, Xiling; Liu, Weidong; Li, Chao; Zhang, Zhili; Wang, Xiaowei; Liu, Junyi*
*Chin. J. Org. Chem.* **2011**, *31*(1), 75

Synthesis and Photophysical Properties of a Novel Hyperbranched Fluorescence Polymer




A novel hyperbranched fluorecence polymer (HPTPA-OXD) containing triphenyamine and 1,3,4-oxadiazole was synthesized through the Wittig reaction. The maximum emission wavelengths were 507, 522 and 547 nm in THF, $CH_2Cl_2$ and DMF solution. The fluorecence lifetime were 1.24, 1.39 and 1.14 ns in THF, $CH_2Cl_2$ and DMF, respectively. The HOMO and LUMO energy level of the hyperbranched fluorecence polymer HPTPA-OXD was −4.91 and −2.44 eV. The polymer HPTPA-OXD showed decomposition temperature 440 ℃ and exhibited good thermal stabilities.

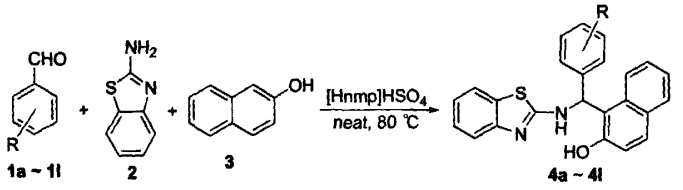
Lü, Xinming; Qian, Ying*
*Chin. J. Org. Chem.* **2011**, *31*(1), 82

Synthesis of Molecularly Defined (*L*)-Lactic Acid Oligomers and Its Tricarbonyl Rhenium Derivatives

Modification of the (*L*)-lactide hydroxyl and carboxylic acid groups was conducted by careful selection of orthogonal protective groups. Three series of lactide oligomers were synthesized for potential industrial production. Starting from latide-hexamer, synthesis of hydroxyl tailored biodegradable LA-PEG oligomers, and chelating to tricarbonyl rhenium(I) for medicinal applications were described. The structures of final products and the intermediates were confirmed by IR, $^1H$ NMR, $^{13}C$ NMR, HRMS, MALDI-HRMS or elemental analysis.

Zhu, Hua; Huang, Liliang; Zhang, Yuanqing; Shen, Yumei*
*Chin. J. Org. Chem.* **2011**, *31*(1), 87

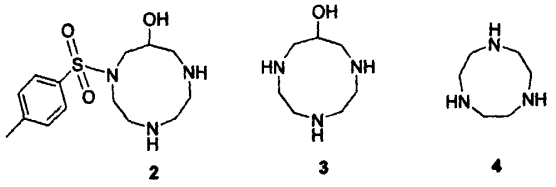
One-Pot Synthesis of 2'-Aminobenzothiazolo-arylmethyl-2-naphthols in Ionic Liquid of [Hnmp]$HSO_4$ under Solvent-Free Conditions




A series of 2'-aminobenzothiazolo-arylmethyl-2-naphthols were synthesized via three-component reaction of aromatic aldehyde, 2-aminobenzothiazole and 2-naphthol in ionic liquid of [Hnmp]$HSO_4$ under solvent-free conditions. The method provided several advantages such as milder conditions, shorter reaction time, high yields and environmentally benign procedure. Moreover, the catalyst could be recovered conveniently and reused for at least four times without evident loss of activity.

Yu, Yi; Guo, Hongyun*
*Chin. J. Org. Chem.* **2011**, *31*(1), 96

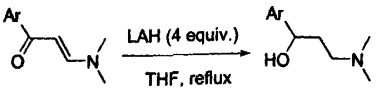
Synthesis of 1-Tosyl-3-hydroxyl-1,5,8-triazacyclodecane and Its Interaction with DNA




In this paper, 1-tosyl-3-hydroxyl-1,5,8-triazacyclodecane (**2**) was prepared in optimized conditions. The structure of title compound **2** was established on the basis of spectroscopic data. The binding of compounds **2**, **3**, **4** to DNA was investigated with melting temperature measurements and molecular-modeling calculations. The results showed that the introduction of hydroxyl and tosyl groups into triazacyclicamines may enhance the interaction between the compound **2** and DNA.

Li, Tao; Wu, Xiaojun; Liang, Feng*; Xiong, Xiaoqin; Yang, Li; Zhou, Yangyang; Wu, Chengtai
*Chin. J. Org. Chem.* **2011**, *31*(1), 101

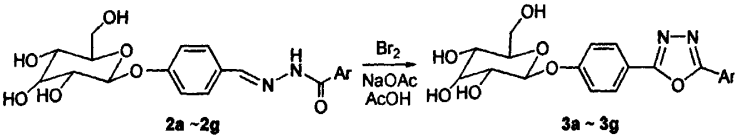
## Synthesis of 1,3-Aminoalcohols by One-Step Reduction of β-Enaminoketones with Lithium Aluminium Hydride




β-Enaminoketones are important building blocks in organic synthetic chemistry and 1,3-aminoalcohols can be obtained by reduction of β-enaminoketones. Up to now lithium aluminium hydride can only reduce β-enaminoketones to 1,3-aminoalcohols by two-step reduction. Here, a new method for one-step reduction of 3-dimethylamino-1-arylprop-2-en-1-ones to 3-dimethylamino-1-aryl-1-propanols by lithium aluminium hydride with good yield is described.

Li, Aijun*; Feng, Bao; Liu, Qianchun
*Chin. J. Org. Chem.* **2011**, *31*(1), 106

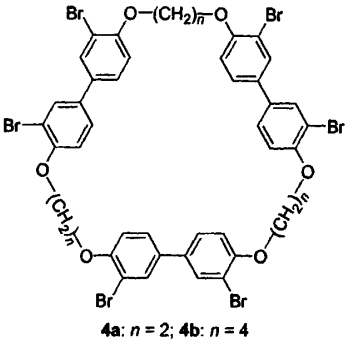
## Synthesis and Calm Activity of 2-(4-β-D-Allopyranosyloxyphenyl)-5-substitutedaryl-1,3,4-oxadiazoles




A series of novel helicid derivatives containing 1,3,4-oxadiazole **3a**～**3g** were successfully synthesized from the intermediates aryl-3-carbonyl (4-β-D-allopyranoside-yl)-benzaldehyde hydrazone derivatives (**2a**～**2g**), which prepared starting from helicid. The new compounds were characterized by $^1$H NMR, IR and HR-MS spectra. The sedative-hypnotic activities of the target compounds **3a**～**3g** were evaluated by testing the spontaneous locomotor activity in mice.

Li, Jialin; Fan, Bo; Luo, Hualing; Li, Ying; Yin, Shufan*
*Chin. J. Org. Chem.* **2011**, *31*(1), 110

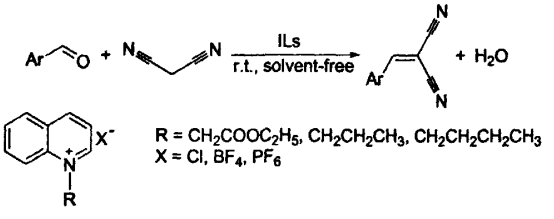
## Synthesis of Macrocyclic Biphenol Trimers




Two annulated biphenol trimers **4a** and **4b** were synthesized via two steps using 3,3'-dibromo-4,4'-biphenol and 1,2-dibromoethane or 1,4-dibromobutane as starting materials. The structures of intermediates and products were determined by $^1$H NMR, $^{13}$C NMR and HRMS techniques.

Wang, Changqing; Zhuang, Jing; Yang, Guoqiang; Zhang, Wanbin*
*Chin. J. Org. Chem.* **2011**, *31*(1), 115

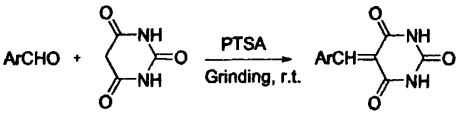
## Synthesis of Quinolinium Ionic Compounds and Their Promotion in Knoevenagel Reaction at Room Temperature




Nine kinds of quinolinium ionic compounds were synthesized using quinolin as starting material. Their promotions in Knoevenagel condensation reaction of aromatic aldehydes and malononitrile were also discussed.

Wu, Kun; Li, Cunxiong*
*Chin. J. Org. Chem.* **2011**, *31*(1), 119

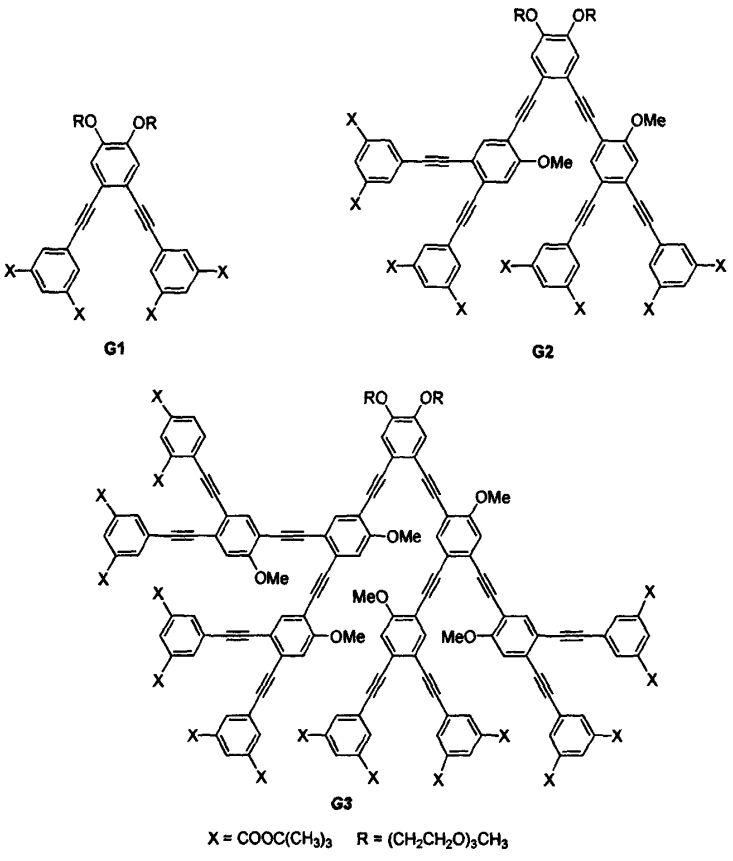
## Synthesis of 5-Arylmethylene Barbituric Acid Catalyzed by p-Toluene Sulfonic Acid Using Grinding Method




Condensation of aromatic aldehydes with barbituric acid catalyzed by *p*-toluene sulfonic acid (PTSA) was carried out at room temperature to afford 5-arylmethylene barbituric acid in high yields within 5～20 min by grinding, providing a simple and efficient protocol for the synthesis of analogous compounds.

Li, Jitai*; Sun, Mingxuan; He, Genye
*Chin. J. Org. Chem.* **2011**, *31*(1), 123

**Synthesis and Optical Properties of Unsymmetrical Conjugated Dendrons Terminated with Isophthalate Ester**

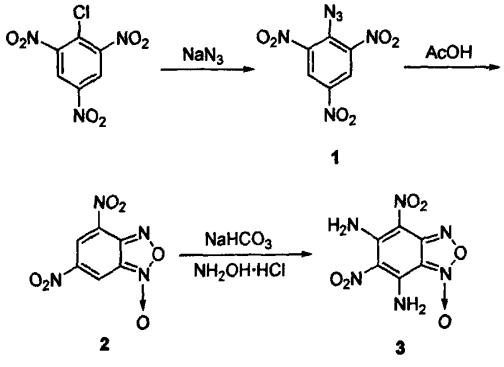



Unsymmetrical conjugated dendrons up to the third generation terminated with isophthalate ester were synthesized by the palladium-catalyzed Sonogashira coupling reaction, and the structures of the products were characterized by $^1$H NMR, $^{13}$C NMR, mass spectra, and elemental analysis. Their optical properties were preliminarily studied by UV/vis and fluorescence spectroscopy.

Ji, Xianyong; Zhang, Jing; Tang, Canling; Wang, Jie*

*Chin. J. Org. Chem.* **2011**, *31*(1), 126

**Synthesis and Characterization of 4,6-Dinitro-5,7-diamino Benzenfuroxan (CL-14)**

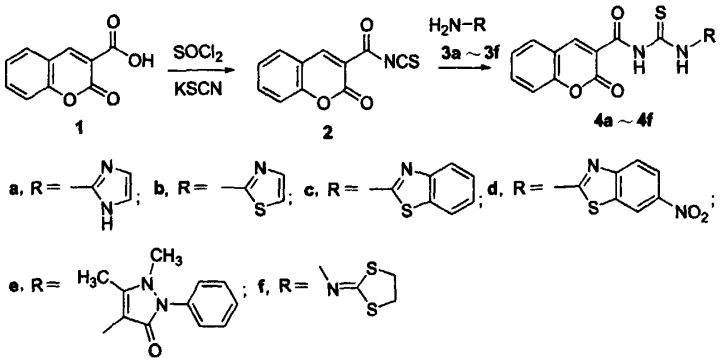



4,6-Dinitro-5,7-diamino benzenfuroxan (CL-14) was synthesized from 2,4,6-trinitrchlorobenzene through the process of azidcation, denitrogenation and vicarious nucleophilic substitution (VNS) reaction with total yield of 50.3%, and the structures of CL-14 and main intermediates were characterized by IR, NMR spectra and elemental analysis. The conditions of VNS reaction were optimized, and the optimal reactive conditions were obtained.

Wang, Bozhou; Huo, Huan; Li, Jizhen*; Fan, Xuezhong; Liu, Qian

*Chin. J. Org. Chem.* **2011**, *31*(1), 132

## Synthesis and Biological Activities of *N*-Coumarin-3-formacyl-*N'*-substituted Thioreas Derivatives

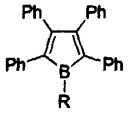



The *N*-coumarin-3-formacyl-*N'*-substituted thiorea derivatives **4a**~**4f** were synthesized by the reactions of different arylamine with coumarin-3-carbonyl isothiocynates which were prepared from coumarin-3-formacyl chloride and potassium thiocyanate. The structures of compounds **4a**~**4f** were characterized by IR, $^1$H NMR, MS techniques and elemental analysis, and biological activities were also originally studied.

Liu, Zhichang*; Liu, Xiaoxia; Wang, Yinghong; Yang, Lu

*Chin. J. Org. Chem.* **2011**, *31*(1), 136

## Advances in the Chemistry of Boroles



R = Ph, Fc, *p*-$MeC_6H_4$, *p*-$Me_3SiC_6H_4$, *p*-$FC_6H_4$, Cl

With the 4π electron anti-aromatic structure and high Lewis acidity, borole ($H_4C_4BH$) derivatives have received much interest in both theory and various potential applications. In this review, the most recent advances of the synthesis, structure and reactivity of borole derivatives, as well as the synthetic methods and structural types of borol(yl) metal complexes are summarized.

Nie, Yong*; Chen, Hongwei; Miao, Jinling; Chen, Haiyan; Sun, Guoxin

*Chin. J. Org. Chem.* **2011**, *31*(1), 141

## Highlights

*Chin. J. Org. Chem.* **2011** *31*(1), 148