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### 研究简报

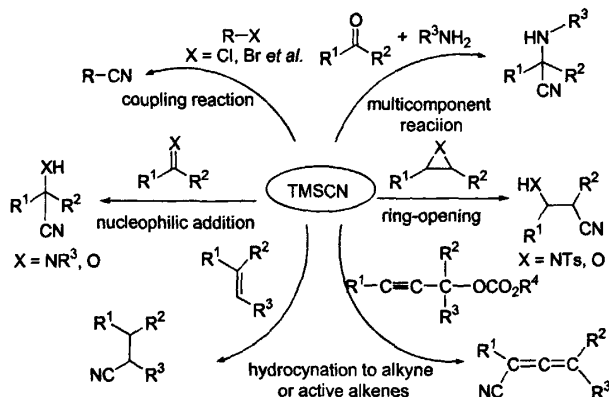
- 氢化铝锂一步还原  $\beta$ -烯胺酮合成 1,3-胺基醇 ..... 李爱军\* 冯 宝 刘倩春 (106)

\* 通讯联系人.

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# CONTENTS

## Advances in the Application of Trimethylsilyl Cyanide for Organic Synthesis



Several applications of trimethylsilyl cyanide as a nucleophile to organic synthesis are summarized in brief according to reaction type, especially the ring-opening reaction of aziridine and epoxide, nucleophilic addition to imine and aldehyde or ketone, multi-component reaction involved amine and aldehyde or ketone, coupling reaction, hydrocyanation to alkyne or active alkenes and so on.

Luo, Hainan

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

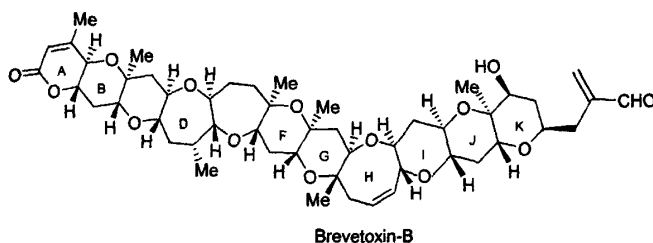
## Progress in the Study of 4-Hydroxy-2-pyridone Natural Alkaloids

Tang, Yumin; Li, Jing; Zhao, Shengyin\*

*Chin. J. Org. Chem.* **2011**, *31*(1), 9

4-Hydroxy-2-pyridones are embedded as common structural units of many natural products. They exhibited good or excellent biological activities such as antifungal, antibacterial, cytotoxic activity and so on. The recent progress in the isolation, structural elucidation and total synthesis of 4-hydroxy-2-pyridone natural alkaloids are reviewed.

## Progress on the Study and Application of Chiral Oxazaborolidines

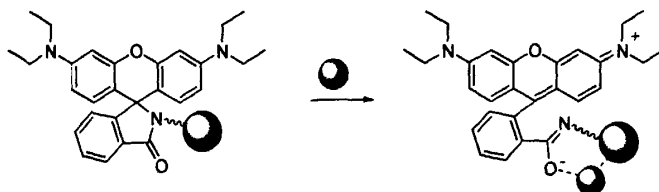


Wang, Yong; Li, Wenhong; Cao, Yuntao; Li, Yuan\*

*Chin. J. Org. Chem.* **2011**, *31*(1), 22

Progress on the study of chiral oxazaborolidines and their application in synthesizing natural or non-natural products and biological active compounds including VB<sub>12</sub> are reviewed.

## Recent Progress in Rhodamine-Based "OFF-ON" Fluorescent Probes

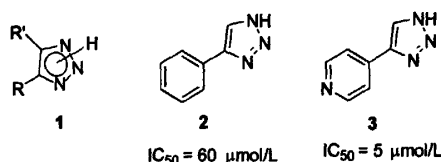


Li, Na; Liu, Meiling; Yin, Wenting; Yang, Zheng; Li, Jianli\*; Shi, Zhen

*Chin. J. Org. Chem.* **2011**, *31*(1), 39

The recent progress in the studies on rhodamines-based fluorescent probes is reviewed. The synthesis methods of rhodamine based "OFF-ON" chemosensors are generalized. The process of spirolactam (non-fluorescent/OFF) to ring-opened anthraquinone form (fluorescent/ON) and applications in ions and small molecules sense are discussed.

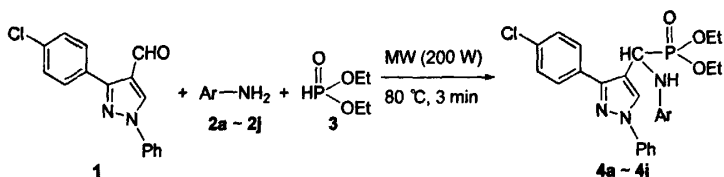
### Advances in the Synthesis of *NH*-1,2,3-Triazoles



Because of their potent applications in both synthetic organic chemistry and medicinal chemistry, the progress 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

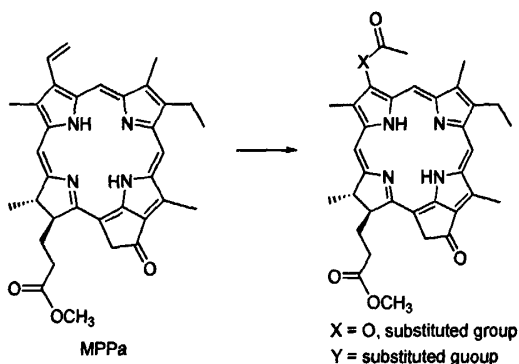
### Synthesis and Biological Activity of $\alpha$ -Aminophosphonate Derivatives Containing Novel Substituted Pyrazole



A series of novel  $\alpha$ -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  $^1\text{H}$  NMR,  $^{13}\text{C}$  NMR,  $^{31}\text{P}$  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

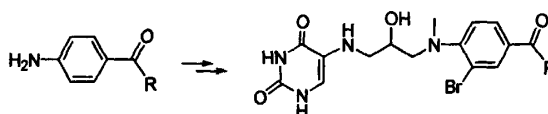
### Modification of C(3)-Vinyl Group and Synthesis of Oxygenic Group-Substituted Chlorophyll-a Derivatives



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 tetrapropylammonium perruthenate/*N*-methylmorpholine *N*-oxide as a mixing oxidant. The structures of new chlorophyll derivatives were characterized by UV, IR,  $^1\text{H}$  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

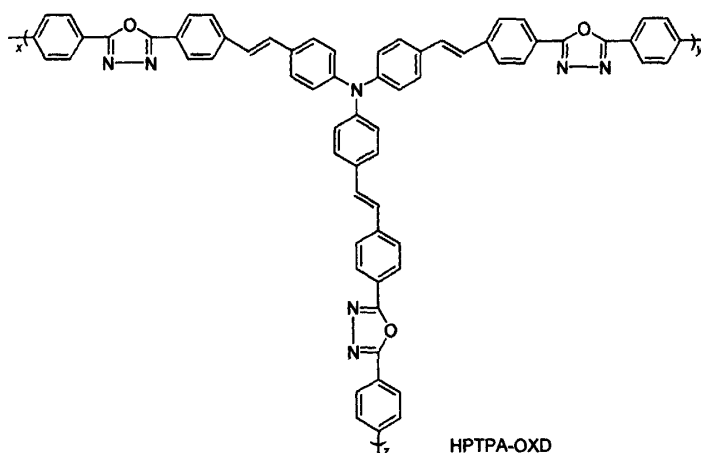
### Synthesis of Diethyl *N*-{4-[*N*-Methyl-*N*-(3-(2,4-dioxo-1,2,3,4-tetrahydropyrimidin-5-yl)amino-2-hydroxypropyl)amino]benzoyl}-*L*-glutamate and Its Derivatives



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



HPTPA-OXD

A novel hyperbranched fluorescence polymer (HPTPA-OXD) containing triphenylamine and 1,3,4-oxadiazole was synthesized through the Wittig reaction. The maximum emission wavelengths were 507, 522 and 547 nm in THF, CH<sub>2</sub>Cl<sub>2</sub> and DMF solution. The fluorescence lifetime were 1.24, 1.39 and 1.14 ns in THF, CH<sub>2</sub>Cl<sub>2</sub> and DMF, respectively. The HOMO and LUMO energy level of the hyperbranched fluorescence polymer HPTPA-OXD was  $-4.91$  and  $-2.44$  eV. The polymer HPTPA-OXD showed decomposition temperature  $440\text{ }^{\circ}\text{C}$  and exhibited good thermal stabilities.

Lü, Xinming; Qian, Ying\*

*Chin. J. Org. Chem.* **2011**, *31*(1), 82

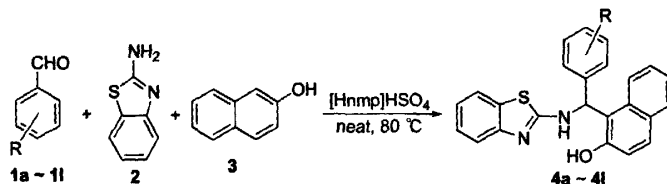
## Synthesis of Molecularly Defined (*L*)-Lactic Acid Oligomers and Its Tricarbonyl Rhenium Derivatives

Zhu, Hua; Huang, Liliang; Zhang, Yuanqing; Shen, Yumei\*

*Chin. J. Org. Chem.* **2011**, *31*(1), 87

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 lactide-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, <sup>1</sup>H NMR, <sup>13</sup>C NMR, HRMS, MALDI-HRMS or elemental analysis.

## One-Pot Synthesis of 2'-Aminobenzothiazolo-aryl-methyl-2-naphthols in Ionic Liquid of [Hnmp]HSO<sub>4</sub> under Solvent-Free Conditions

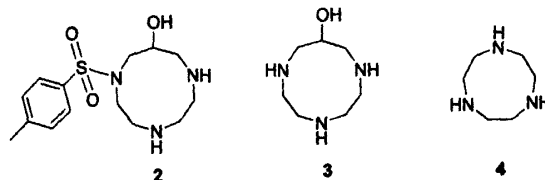


A series of 2'-aminobenzothiazolo-aryl-methyl-2-naphthols were synthesized via three-component reaction of aromatic aldehyde, 2-aminobenzothiazole and 2-naphthol in ionic liquid of [Hnmp]HSO<sub>4</sub> under solvent-free conditions. The method provided several advantages such as milder conditions, shorter 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

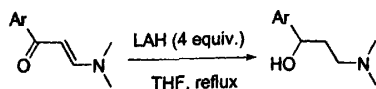


In this paper, 1-tosyl-3-hydroxyl-1,5,8-triazacyclodecane (2) was prepared in optimized conditions. The structure of title compound 2 was established on the basis of spectroscopic data. The binding of compounds 2, 3, 4 to DNA was investigated with melting temperature measurements and molecular-modeling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

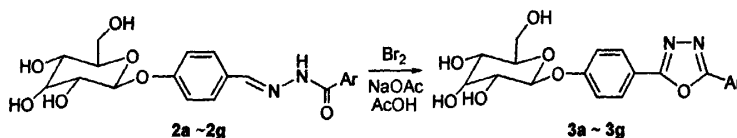
### Synthesis of 1,3-Aminoalcohols by One-Step Reduction of $\beta$ -Enaminoketones with Lithium Aluminium Hydride



$\beta$ -Enaminoketones are important building blocks in organic synthetic chemistry and 1,3-aminoalcohols can be obtained by reduction of  $\beta$ -enaminoketones. Up to now lithium aluminium hydride can only reduce  $\beta$ -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

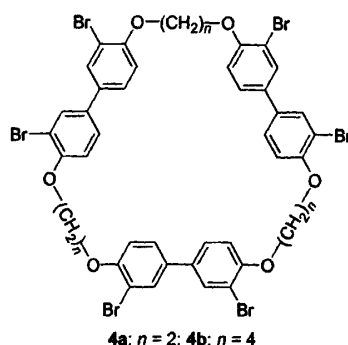
### Synthesis and Calm Activity of 2-(4- $\beta$ -D-Allopyranosyloxyphenyl)-5-substitutedaryl-1,3,4-oxadiazoles



A series of novel heliocid derivatives containing 1,3,4-oxadiazole **3a**~**3g** were successfully synthesized from the intermediates aryl-3-carbonyl (4- $\beta$ -D-allopyranoside-yl)-benzaldehyde hydrazone derivatives (**2a**~**2g**), which prepared starting from heliocid. The new compounds were characterized by  $^1\text{H}$  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

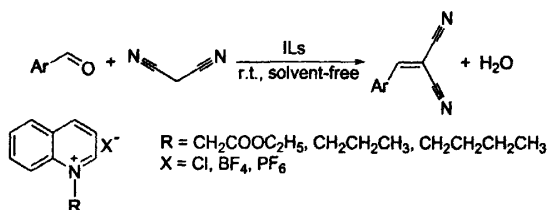
### Synthesis of Macrocyclic Biphenol Trimers



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

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

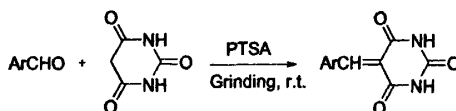
### Synthesis of Quinolinium Ionic Compounds and Their Promotion in Knoevenagel Reaction at Room Temperature



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

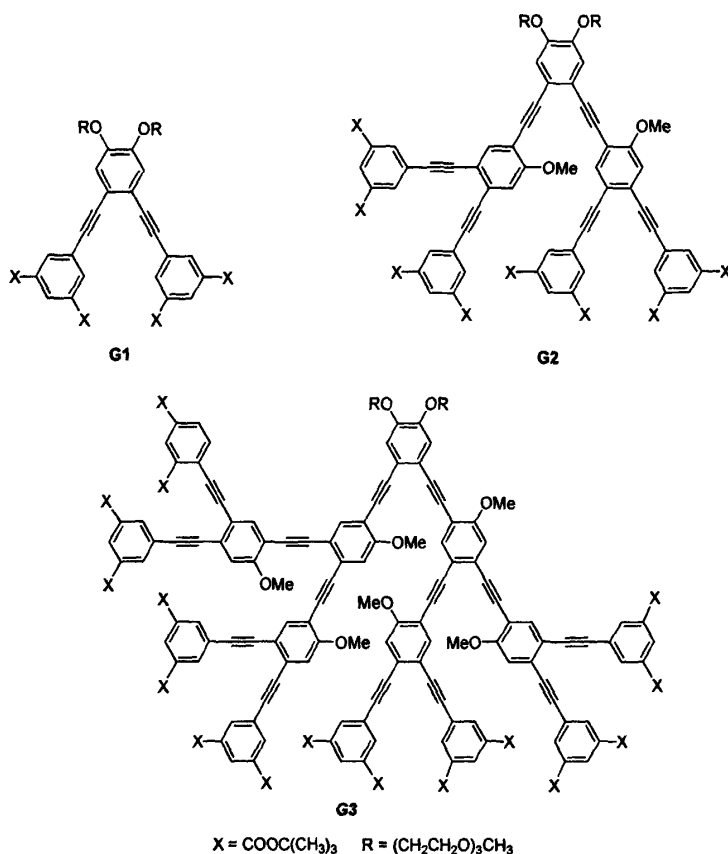
### Synthesis of 5-Arylmethylene Barbituric Acid Catalyzed by *p*-Toluene Sulfonic Acid Using Grinding Method



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

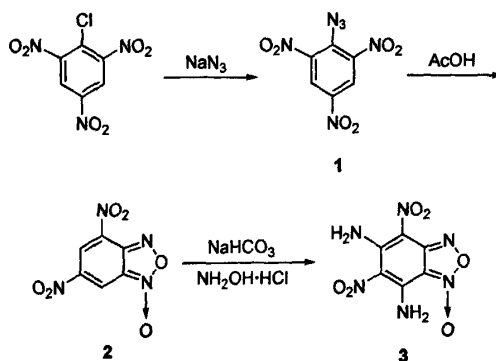
# Synthesis and Optical Properties of Unsymmetrical Conjugated Dendrons Terminated with Isophthalate Ester



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 <sup>1</sup>H NMR, <sup>13</sup>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

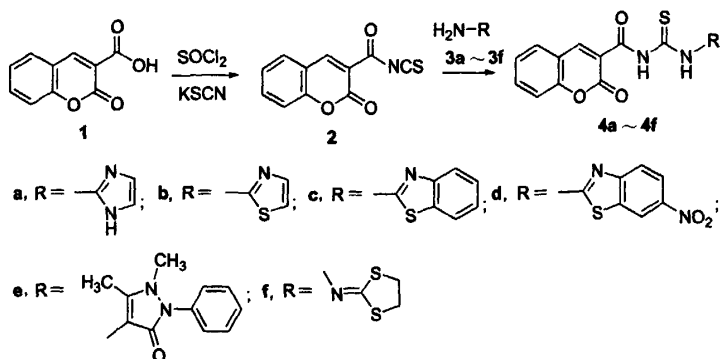
## Synthesis and Characterization of 4,6-Dinitro-5,7-diamino Benzenfuroxan (CL-14)



4,6-Dinitro-5,7-diamino benzenfuroxan (CL-14) was synthesized from 2,4,6-trinitrochlorobenzene through the process of azidation, 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

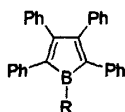
### Synthesis and Biological Activities of *N*-Coumarin-3-formacyl-*N'*-substituted Thioreas Derivatives



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

Liu, Zhichang\*; Liu, Xiaoxia; Wang, Ying-hong; Yang, Lu  
*Chin. J. Org. Chem.* **2011**, *31*(1), 136

### Advances in the Chemistry of Boroles



R = Ph, Fc, *p*-MeC<sub>6</sub>H<sub>4</sub>,  
*p*-Me<sub>3</sub>SiC<sub>6</sub>H<sub>4</sub>, *p*-FC<sub>6</sub>H<sub>4</sub>, Cl

Nie, Yong\*; Chen, Hongwei; Miao, Jinling;  
 Chen, Haiyan; Sun, Guoxin  
*Chin. J. Org. Chem.* **2011**, *31*(1), 141

With the  $4\pi$  electron anti-aromatic structure and high Lewis acidity, borole (H<sub>4</sub>C<sub>4</sub>BH) 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.

### Highlights

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