### 有机化学(月刊)

# CHINESE JOURNAL OF ORGANIC CHEMISTRY (YOUJI HUAXUE)

## 第31卷 第2期 (总267期) 2011年2月\*

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#### CONTENTS

Bioactivities and Synthetic Methods of 2-Arylbenzo[b]furans

 $R^3$   $R^2$   $R^1$   $R^5$ 

2-Arylbenzo[b]furans, founded in variety of plants and herbal medicines, showed significant antiviral, anticancer, antifungal, and antioxidative activities. This paper reviews the current progress in the research of 2-arylbenzo[b]furans, with emphasizing on their bioactivities and synthetic methods, particularly in re-

Pu, Wenchen; Wang, Fei; Wang, Chun\* Chin. J. Org, Chem. 2011, 31(2), 155

gards to the formation of furan ring.

Progress in the Syntheses of N-Confused Porphyrins and Their Derivatives

Li, Xiaofang; Liu, Haochong; Zheng, Aiting; Yu, Xianyong\*; Yi, Pinggui\*
Chin. J. Org. Chem. 2011, 31(2), 166

According to the different synthetic methods of *N*-confused porphyrin, progress in the synthesis of *N*-confused porphyrins and their derivatives is described in detail.

Synthetic Methods and Biological Activities of Clitocine and Its Analogues

Guo, Xianghai\*; Kang, Hong; Zheng, Lanxi; Jiang, Shende\*
Chin. J. Org. Chem. 2011, 31(2), 176

Clitocine is a naturally occurring amino exocyclic nucleoside isolated from the mush-room Clitocybe inversa. It and its analogues have high bioactivities. In this review, the synthetic methods of clitocine, 2'-deoxy clitocine, aglycone-modified clitocine, 5'-deoxy clitocine, carbosugar clitocine and acyclic clitocine analogues are summarized. The application of clitocine and its analogues to study on insecticidal agent, adenosine kinase (AKase) inhibitor, antiviral and antitumor drugs is presented as well.

Recent Advance of Steroidal Hydrazone with Biological Activities

$$X = NNHCSNH_2$$
,  $HNN \longrightarrow N$ 
 $N - NH - \overset{S}{C} - CH_3$ 
 $N - NH - \overset{S}{C} - CH_3$ 

Chen, Sijing; Cui, Jianguo\*; Li, Ying; Fan, Lianghua

Chin. J. Org. Chem. 2011, 31(2), 187

The biological activity of steroidal hydrazones is reviewed according to different substituent group on steroidal nucleus in recent six years. The results of study *in vitro* showed that steroidal thiosemicarbazones and its derivatives were found to be more bioactivity among all three kinds of steroidal hydrazones.

Convenient Synthesis of Chiral β-Amino Alcohols and Their Asymmetric Catalytic Reactions

Pan, Shengqiang; Zhang, Conghai; Li, Ye; Yan, Shengjiao; Lin, Jun\*

Chin. J. Org. Chem. 2011, 31(2), 193

Chiral  $\beta$ -amino alcohols 5 and 6 were prepared efficiently from L-phenylglycine through esterification, N-alkylation and reduction reactions. By using these compounds as the chiral ligand, preliminary study on asymmetric allylation reactions of aromatic aldehyde was carried out, in which the moderate enantioselectivities were achieved.

Synthesis of Some Novel 1,8-Naphthalimides Bearing Dehydroabietyl Rosin Skeleton and Their Anion Recognition

Zhang, Ye; Feng, Shaobo; Pan, Yingming; Yi, Xianghui; Wang, Hengshan\* Chin. J. Org. Chem. 2010, 31(2), 197

Some novel 1,8-naphthalimide derivatives bearing dehydroabietyl skeleton were synthesized from dehydroabietylamine. The abilities of anion recognition by these 1,8-naphthalimide derivatives had been investigated. The compound 2b was characterized by X-ray diffraction method.

Synthesis of Ethyl 5-(4-tert-Butyloxycarbonyl-1-piperazinyl)benzofuran-2-carboxylate

Feng, Jing; Wang, Chengyun; Zhou, Changkai; Zuo, Hujin; Shen, Yongjia\* Chin. J. Org. Chem. 2011, 31(2), 203

Buchwald-Hartwig coupling reaction between ethyl 5-bromobenzofuran-2-carboxylate and tert-butyl piperazine-1-carboxylate was studied.

A Novel Synthetic Method for 6-Bromo-N-arylthieno[2,3-d]pyrimidin-4-amines

$$HO \longrightarrow S \longrightarrow OH \longrightarrow NCCH_2CN/Et_3N \longrightarrow NH_2 \longrightarrow NH_2$$

A novel synthetic method for 6-bromo-N-[3-chloro-4-(3-fluorobenzyloxy)phenyl]thieno[2,3-d]pyrimidin-4-amine was reported, which began from cheap 2,5-dihydroxy-1.4-dithiane and malononitrile, following Gewald reaction, aromatic ring bromonation, condensation, cyclization and then Dimroth rearrangement reaction. The over yield was 56.9%. The structures of synthesized compounds were determined by <sup>1</sup>H NMR, IR, MS and HRMS techniques.

Zhan, Dongmei; Li, Siyuan; Zhao, Hongli; Lan, Minbo\*

Chin. J. Org. Chem. 2011, 31(2), 207

A New Method for Synthesis of (R)-(+)and (S)-( - )-Benproperine Phosphate Enantiomers

(S)-(-)-benproperine

Wang, Xiaojuan; Guo, Linlin; Chen, Guanwei; Xu, Yonggang; Guo, Xiao; Xu, Haiwei: Liu, Hongmin' Chin. J. Org. Chem. 2011, 31(2), 212

A new and practical procedure is described for the preparation of benproperine phosphate enantiomers from the source of o-benzylphenol and (S)-epichlorohydrin. The improved method was suitable for manufacturing in commercial scale because of mild reaction conditions, simple operation and high yields.

Synthesis of  $\alpha$ -Monosubstituted Pyridinium Salts and Their Photochemical Reaction

Li, Gang; Gong, Weitao; Ye, Junwei; Lin, Yuan; Ning, Guiling\*
Chin. J. Org. Chem. 2011, 31(2), 216

 $\alpha$ -Monosubstituted pyridinium was synthesized via a novel reaction of 2,4,5-triphenyl-pyrylium with primary amines. Phenanthridinium was synthesized via photochemical reaction of  $\alpha$ -monosubstituted pyridinium. The effect of N-substituents and molecular structure on the fluorescence properties was studied.

Synthesis and Anti-leukemia Activity of 1-Substituted Piperidin-4-one Arylformylhydrazones

9a:  $R = 4\text{-MeC}_6H_4$ ; 9b:  $R = 4\text{-MeOC}_6H_4$ ; 9c:  $R = 4\text{-FC}_6H_4$ ; 9d:  $R = 4\text{-BrC}_6H_4$ ; 9e: R = 2-Tetrahydrofuryl; 9f:  $R = 4\text{-MeC}_6H_4$ ; 9g:  $R = 4\text{-MeOC}_6H_4$ ; 9h:  $R = 4\text{-FC}_6H_4$ ; 9l:  $R = 4\text{-BrC}_6H_4$ ; 9j: R = 2-Tetrahydrofuryl

Ten novel 1-substituted piperidin-4-one arylformylhydrazones 9a~9j were synthesized with substituted benzylamines or primary amines as raw materials via a series of Michael addition, Dieckmann condensation, hydrolytic decarboxylation reactions and hydrazone formation reactions. Their structures were elucidated and confirmed by <sup>1</sup>H NMR, IR, MS techniques and elemental analysis. The preliminary biologic activity tests indicate that some of the target compounds have good antiproliferative activities against K562 cells and have potential anti-leukemia bioactivity.

Ni, Zhenjie; Xue, Sijia\*; Wang, Jing; Meng, Weng

Chin. J. Org. Chem. 2011, 31(2), 222

Alkylimadazolium Chlorode: A Medium of Chlorine Absorption and Chlorination

$$H_3C$$
 $N 
\downarrow N 
\downarrow R$ 
 $R = n \cdot C_8 H_{13}, n \cdot C_8 H_{17}$ 
 $R = n \cdot C_8 H_{17}$ 
 $R = n \cdot C_8 H_{17}$ 

The ionic liquids containing trichloride ion, 1-methyl-3-n-hexylimadazolium trichloride ([HeMIM][Cl<sub>3</sub>]) and 1-methyl-3-n-octylimadazolium trichloride ([OcMIM][Cl<sub>3</sub>]), were synthesized by bubbling purified chlorine gas into the ionic liquids containing chloride ion, 1-methyl-3-n-hexylimadazolium chloride ([HeMIM]Cl) and 1-methyl-3-n-octylimadazolium chloride ([OcMIM]Cl), at room temperature and possess an excellent performance as chlorinating agent for the chlorination of some organic substrates including alkenes, ketones and arenes.

Shi, Shenyi; Kong, Aiguo; Shan, Yongkui\* Chin. J. Org. Chem. 2011, 31(2), 227

Synthesis and Calm Activity of Helicid-Pyrazoline Derivatives

Chen, Huafeng; Chen, Huawen; Bai, Xue; Li, Ying; Yin, Shufan\*
Chin. J. Org. Chem. 2011, 31(2), 231

Seven novel helicid-pyrazoline derivatives  $3a \sim 3g$  were designed and synthesized via condensation of helicid, substituted hypnone and 4-methoxy-phenylhydrazine. Their chemical structures were confirmed by <sup>1</sup>H NMR, IR and HRMS technique, and the calm activity was studied as well. The preliminary bioassay test showed that some of the compounds had good calm activity.

Coordination and Fluorescence of Chrysin-6-sulfonate with Al(III)

The mixture of sodium chrysin-6-sulfonate and chrysin-8-sulfonate was obtained by sulfonating chrysin and then reacted with ZnSO<sub>4</sub> to get the corresponding Zn derivatives, which were separated according to the difference of their solubility in hot water. The pure Zn chrysin-6-sulfonate was transfered to the corresponding pure sodium sulfonate by the coordination and depolymerization procedures. Sodium 5,7-bihydroxy-flavone-6-sulfonate (sodium chrysin-6-sulfonate) as a ligand reacted with Al(III) to afford a aluminium complex. And the fluorescence of the aluminium complex is explored as well.

Shi, Juan Chin. J. Org. Chem. 2011, 31(2), 235

Studies on the Reaction of  $\alpha$ -Ferrocenylalkyl Carbocations with Potassium Thioacetate

A convenient method for the preparation of  $\alpha$ -ferrocenylthioacetate derivatives is reported. Ferrocenylmethanol derivatives were treated with BF<sub>3</sub>\*Et<sub>2</sub>O in CH<sub>2</sub>Cl<sub>2</sub> to generate the corresponding carbocations, which reacted with potassium thioacetate to afford  $\alpha$ -ferrocenylthioacetate products.

Chen, Shufeng; Jiao, Lizhou; Li, Baoguo\*
Chin. J. Org. Chem. 2011, 31(2), 239

Synthesis of 5-Arylidene-2,3-diaryl-4thiazolidinones under Microwave Irradiation

Sun, Xiaojun\*; Zhou, Jianfeng; Zhu, Huiqin Chin. J. Org. Chem. 2011, 31(2), 242

Unexpected Substitution of Alkyloxynitrobenzene A series of new 5-arylidene-2,3-diaryl-4-thiazolidinone derivatives were synthesized by the reaction of aromatic aldehydes with 4-thiazolidinone using TBAB as catalyst in aqueous media under microwave irradiation. The procedure has the advantages of short reaction time, high yield, environmental benign and easy workup. Their structures were confirmed by <sup>1</sup>H NMR, IR, MS techniques and elemental analysis, and compound 4a was analyzed by X-ray single-crystal diffraction.

The unexpected product from reaction of 2-(2-nitrophenoxy)-1-bromoethane or 3-(2-nitrophenoxy)-1-bromopropane with N-Boc-4-hydroxypiperidine was obtained and the data of <sup>1</sup>H NMR, <sup>13</sup>C NMR and LC-MS of the product indicated that no Williamson reaction happened, instead, the alkyloxy in alkyloxy-nitrobenzene was substituted by N-Boc-4-hydroxypiperidine. Based on the fact, possible mechanism of the reaction was discussed.

Zhang, Pei; Tong, Yuanfeng; Wang, Dongmei; Wu, Song\*
Chin. J. Org. Chem. 2011, 31(2), 246

Synthesis of 2-(2,6-Dihalophenyl)-3-(4,5,6-trimethyl-pyrimidin-2-yl)-4-oxothiazolidin-5-yl Carboxylates by Pummerer Reaction

7a ~ 7g: X = Cl 8a ~ 8g: X = F

**a** R = CH<sub>3</sub>; **b** R = CH<sub>2</sub>CH<sub>3</sub>; **c** R = (CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub>; **d** R = (CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub>; **e** R = (CH<sub>2</sub>)<sub>6</sub>CH<sub>3</sub>; **f** R = (CH<sub>2</sub>)<sub>6</sub>CH<sub>3</sub>; **g** R = (CH<sub>2</sub>)<sub>10</sub>CH<sub>3</sub>

Chen, Hua\*; Guo, Zaihong; Yin, Qingmei; Li, Xiaoliu\* Chin. J. Org. Chem. 2011, 31(2), 249 A series of novel 2-(2,6-dihalophenyl)-3-(4,5,6-trimethyl-pyrimidin-2-yl)-4-oxothia-zolidin-5-yl carboxylates ( $7a \sim 7g$  and  $8a \sim 8g$ ) were synthesized by Pummerer reaction in good yields ( $62.2 \sim 85.5\%$ ) using the corresponding fatty acid as the reagent, catalyst, and solvent.

A Novel Synthetic Method of 2-Nitroimino-5-nitro-hexahydro-1,3,5-triazine (NNHT)

Li, Yongxiang; Wang, Jianlong\*; Wang, Yanhong; Cao, Duanlin; Li, Jiandong; Yu, Yanrong

Chin. J. Org. Chem. 2011, 31(2), 256

A novel synthetic method of 2-nitroimino-5-nitro-hexahydro-1,3,5-triazine (NNHT) was described. NNHT was synthesized using nitroguanidine, urotropine, concentrated hydrochloric acid as row material.

New Progress in Study of Organic

Solar Cell Materials

Zhang, Tianhui; Piao, Lingyu\*; Zhao, Suling\*; Xu, Zheng; Yang, Lei; Liu, Xiangzhi; Ju, Siting Chin. J. Org. Chem. 2011, 31(2), 260

The background, principle and sorts of organic solar cell have been reviewed. The organic solar cell materials are presented in detail, which includes small molecule solar cell material, macromolecule solar cell material, D-A material and inorganic/organic hybrid material.

Highlights

Chin. J. Org. Chem. 2011 31(2), 273