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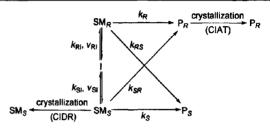
New Progress of the Application of Samarium Diiodide in Organic Synthesis

Gong, Hongju; Jia, Xueshun*; Zai, Hong-bin*

Chin. J. Org. Chem. 2010, 30(7), 939

Progress of Crystallization-Induced Asymmetric Transformation in Resolution of Chiral Compounds

The recent studies of the application of samarium diiodide to organic synthesis are reviewed, mainly focusing on some new application of samarium diiodide in the reductive coupling reactions as well as precatalyst.



Crystallization-induced dynamic resolution (CIDR) is a combination of racemization of enantiomers either as the free compounds or as diastereomeric salt with a chiral gegenion and selective crystallization. Crystallization-induced asymmetric transformation (CIAT) includes CIDR and other processes, which may include compounds with two or more diastereomeric centers and olefins. The progress of CIAT and CIDR in resolution of chiral compounds is summarized.

Xiong, Wenyue; Wang, Hong; Yi, Yu; Mei, Jianfeng; Ying, Guoqing*
Chin. J. Org. Chem. 2010, 30(7), 951

Progress in Application of Meldrum's Acid to Synthesis of Heterocyclic Compounds

Gao, Wentao*; Zheng, Meiru; Hou, Wenduan

Chin. J. Org. Chem. 2010, 30(7), 958

The applications of Meldrum's acid to synthesis of heterocyclic compounds in recent years are reviewed. All the heterocyclic compounds are related to pyranoid, pyridine, furan, pyrrole, oxazole and isoxazole rings. Most mentioned synthetic methods have the advantages of mild reaction conditions, easy operation and high yields.

Progress in Intramolecular Enyne-Metathesis

$$= \stackrel{R^1}{\longrightarrow} + \stackrel{R^2}{\longrightarrow} \stackrel{Cat.}{\longrightarrow} \stackrel{R^1}{\longrightarrow} + \stackrel{R^1}{\nearrow} \stackrel{R^1}{\longrightarrow} \stackrel{R^1}{\longrightarrow}$$
Intermolecular enyne-metathesis
$$= \underbrace{Cat.} \qquad = \underbrace{Cat.}$$

Intramolecular enyne-metathesis

Yang, Xiaoxia*; Zhang, Yong; Shao, Zhiyu Chin. J. Org. Chem. 2010, 30(7), 968

Progress in the Application of Basic Ionic Liquids to Organic Synthesis

Zhong, Tao; Le, Zhangao*; Xie, Zongbo; Cao, Xia; Lü, Xuexia Chin. J. Org. Chem. 2010, 30(7), 981

Enyne metathesis involves the transformation of alkene and alkyne to a 1,3-diene. Intramolecular enyne metathesis has been widely considered as one of the most powerful tools in synthesis of cylco-compound. The reaction mechanism, catalyst and the application of enyne metathesis are reviewed.

The classification and properties of basic ionic liquids are summarized. The advanced progress in the application of basic ionic liquids as reaction medium as well as catalyst to organic synthesis is reviewed, mainly including Michael addition, Mannich reaction, Knoevenagel condensation, Markovnikov addition, Henry reaction, Perkin reaction, Heck coupling reaction, alkylation, carbonylation and "interrupted" Feist-Benary reaction.

Progress in the Studies of Indanones

Indan ring frameworks are ubiquitous in a large number of natural products, bioactive and pharmaceutically interesting molecules. Indanones therefore are very useful molecules as starting building blocks for the synthesis of biologically active compounds and thus are of tremendous industrial interest. It is also very useful in organic light-emitting devices, dyes and photoremovable protecting groups. The synthetic methods and application of this kind of molecules are reviewed in this paper.

Duan, Yijie; Liu, Jianli*; Wang, Cuiling Chin. J. Org. Chem. 2010, 30(7), 988

Synthesis and Biological Activity of Dihydropyridine Calcium Antagonists

$$R = \begin{pmatrix} NO_2 & N$$

Chen, Guohua*; Wang, Li; Yao, Xiumei; Zhang, Mingliang; Wu, Feihua Chin. J. Org. Chem. 2010, 30(7), 997

Synthesis, Characterization and Crystal Structure of a Dicondensed Spiropyran

Sixteen new dihydropyridine calcium antagonists $4a \sim 41$ and $9a \sim 9d$ were designed and synthesized based on 5-(methoxycarbonyl)-2,6-dimethyl-4-(3-nitrophenyl)-1,4-dihydropyridine-3-carboxylic acid or diketene. The structures of the target compounds were confirmed by IR, ¹H NMR, ESI-MS techniques and elemental analysis. Preliminary pharmacological test revealed that five of them showed better antihypertensive activity than positive control (levoamlodipine besylate).

A compound of 4-(2-methylene-1-ethyl-3,3-dimethylindoline-2'-yl)-6-nitryl-1'-ethyl-3',3'-dimethylspiro[3,4-dihydro-2*H*-1-benzopyran-2,2'-indoline] has been synthesized by the reaction of excess indoline with 5-nitrosalicylaldehyde in refluxing ethanol. The molecular structure was characterized by ¹H NMR, IR spectra and elemental analysis. Meanwhile, the crystal of the compound was obtained and determined by X-ray diffraction study.

Jin, Dan*; Zhang, Feng; Zhang, Dechun Chin. J. Org. Chem. 2010, 30(7), 1005

Synthesis of Benzodiazepinonic Acid and **Application in Peptide Mimetics**

To synthesize two 1,4-benzodiazepine-2,5-dione intermediates 2a and 2b with free carboxyl, two methods were applied in this paper, in which isatoic acid was used as starting material and reacted with glutamic acid (L-Glu) and imino diacetic acid (Ida), respectively. Meanwhile, peptide mimetics 4 and 5 with 1,4-benzodiazepine-2,5-dione cycle were prepared by condensation of 2a or 2b and amino components 3. In addition, effect on the microwave-assisted synthesis of 1,4-benzodiazepine-2,5-dionic acid by solvent was discussed. All the new compounds were characterized by the MS and ¹H NMR techniques.

Yuan, Jianhai; Yang, Xiaoxiao; Lin, Hao; Wang, Dexin* Chin. J. Org. Chem. 2010, 30(7), 1010

Stereoselective Synthesis of 4-Acetoxyazetidione: the Key Intermediate of Penem and Carbapenem Antibiotics

Xu, Xiaobo; Yang, Yingbin; Deng, Qin; Xiang, Li; Xiang, Jiannan* Chin. J. Org. Chem. 2010, 30(7), 1017

Photoinduced Single Electron Transfer (SET) Cyclizations of N-Linked Biselectrondonor Chain with Dissimilar Leave **Groups Phthalimides Derivatives**

carbapenem antibiotics 4-acetoxyazetidione (4AA) was obtained from methyl 6,6-dibromo-penicillanate via oxidation, Grignard reac-

The key intermediate of penem and

tion, reduction, hydroxyl protection, ring opening reaction, methylation, deprotection and acetylation. This process avoided the separation of chiral isomers and the use of heavy metallic salt with an excellent stereoselectivity. The overall yield was 30%, The structures of the products were characterized by IR, MS, ¹H NMR and ¹³C NMR techniques.

Tan, Guanghui; Wei, Shuquan; Yue, Qunfeng; Zhao, Junming; Jin, Yingxue* Chin. J. Org. Chem. 2010, 30(7), 1021

Synthesis and Bioactivity of N-(Fatty acid)-O-aryloxyacetyl-ethanolamine

Han, Liang*; Li, Zhengming; Gao, Jianrong; Jia, Jianhong; Sheng, Weijian; Li, Yujing Chin. J. Org. Chem. 2010, 30(7), 1026

Phthalimide derivatives 1 could occur photoinduced single electron transfer (SET) cyclization reaction in methanol solvent (containing HClO₄) to yield cyclocompounds 2 which were participation constituted with the trimethylsily terminated polyethoxy chain in high regioselectivity and high yield.

 $R = H, \rho\text{-}CH_3, o\text{-}CH_3, \rho\text{-}CI, 2,4\text{-}CI_2$ the comparable activities with the 2,4-dichlorophenoxyacetic acid.

A series of N-(fatty acid)-O-aryloxyacetylethanolamines were synthesized. Most title compounds have better bioactivity for stimulating the hypocotyls elongation of rape than the parent compound, especially chloro-substituted benzene derivatives have

conventional plant growth regulator

Synthesis of 2,2'-Disubstituted Bis(3-arylquinazolin-4(3H)-one)s

Yang, Xuhong; Wu, Minghu*; Ding, Mingwu
Chin. J. Org. Chem. 2010, 30(7), 1032

Twenty eight 2,2'-disubstituted bis(3-arylquinazolin-4(3H)-one)s were synthesized by domino reaction of iminophosphorane with aromatic isocynates to give carbodiimides and subsequent reaction with diphenols in the presence of potassium carbonate.

Synthesis and Fluorescence Spectral Study of Triaryl Pyrazoline Oxadiazole Compounds

$$BrPh_{3}PH_{2}C \longrightarrow CH_{2}PPh_{3}Br + R^{1} \longrightarrow CHO$$

$$3 \qquad 6a \sim 6f$$

$$R^{1} \longrightarrow N \longrightarrow N$$

$$R^{2} \longrightarrow N \longrightarrow N$$

$$R^{2} \longrightarrow N$$

$$R^{3} \longrightarrow N$$

$$R^{4} \longrightarrow N$$

$$R^{2} \longrightarrow N$$

$$R^{2} \longrightarrow N$$

$$R^{3} \longrightarrow N$$

$$R^{4} \longrightarrow N$$

$$R^{4$$

Liu, Jifu; Li, Dongfeng*; Tang, Xin; Cai, Ran; Han, Xiao; Xie, Zhiyuan Chin. J. Org. Chem. 2010, 30(7), 1039

A new method of synthesizing 1,3,4-oxadiazole compound was described. The synthesized 1,3,4-oxadiazole compounds were connected with 1,3,5-triaryl-2-pyrazoline through Wittig reaction, and six new triaryl pyrazoline oxadiazole compounds were synthesized. Their structures were characterized by IR, MS, ^1H NMR techniques and elemental analysis. The fluorescence properties were measured by fluorometry, and the test results showed that the target compounds have good fluorescence and λ_{em} ranged from 437 to 511 nm, fluorescence quantum yield up to 0.36.

Synthesis, Characterization and Crystal Structure Study on 3,4-Bis(4'-azido-furazano-3'-yl)furoxan

Zhou, Yanshui; Wang, Bozhou*; Zhou, Cheng; Li, Jiankang; Chen, Zhiqun; Lian, Peng; Zhang, Zhizhong
Chin. J. Org. Chem. 2010, 30(7), 1044

3,4-Bis(4'-azidofurazano-3'-yl)furoxan (DAZTF), a novel energetic material, was devised for the first time and synthesized from 3-aminofurazan-4-carboxchloridoxime by the process of diazotization, azidation and dimerization.

Tandem Reaction of 5-Alkoxy-3,4-dihalo-5H-furan-2-one with Amino Acid Esters

Mo, Yangqing; Wang, Zhaoyang*; Li, Jianxiao; Hong, Wenkun Chin. J. Org. Chem. 2010, 30(7), 1051

Five amino acid esters, serving as nucleophiles, were reacted with 5-alkoxy-3,4-dihalo-5*H*-furan-2-one in the presence of triethylamine to give 17 new compounds. The chemical structures of the new compounds were confirmed via $[\alpha]$, UV, IR, ¹H NMR, ¹³C NMR, MS techniques, and elemental analysis.

Synthetic Mechanism for 1,3,5-Triacetyl-1,3,5-Triazacyclohexane Synthesized Using Small-Molecule Method

Lou, Zhongliang; Wang, Peng; Meng, Zi-hui*; Liu, Yue; Qin, Guangming; Ge, Zhong-xue; Wang, Bozhou

Chin. J. Org. Chem. 2010, 30(7), 1059

Preparation of 4-Oxo- β -ionone by Allylic Oxidation of β -Lonone with *N*-Bromosuccinimide in Aqueous Phase

$$3H_3C \longrightarrow N + O \longrightarrow H_2SO_4 \longrightarrow N \longrightarrow N \longrightarrow CH_3$$

1,3,5-Triacetyl-1,3,5-triazacyclohexane was synthesized from 1,3,5-trioxane with acetonitrile using concentrated sulfuric acid as a catalyst with a yield of 89% and the synthetic mechanisms was proposed.

Chen, Xiong*; Yang, Huawu; Li, Yanling; Zhu, Zhuoyue; Li, Yinhui; Xu, Xinhua* Chin. J. Org. Chem. 2010, 30(7), 1063

Synthesis of Dialkyl Diselenides Promoted by Cesium Hydroxide

 β -Ionone, β -methyl ionone, β -damascone and β -cyclocitral can be readily converted respectively to the 4-oxo products in moderate yields, when treated with N-bromosuc-cinimide in aqueous sodium hydroxide solution.

$$4Se + 4CsOH + N2H4 \xrightarrow{DMF, r.t.} 2Cs2Se2 + 4H2O + N$$

$$2RX + Cs2Se2 \xrightarrow{DMF, r.t.} RSeSeR + 2CsX$$

$$1$$

$$X = OTs, Br$$

Liu, Wenqi; Yin, Xianhong*; Cai, Xitian; Zhang, Zunying; Li, Ruoxin; Chen, Sihai; Xu, Xinhua*

Chin. J. Org. Chem. 2010, 30(7), 1066

Technological Improvement of Synthesis for Nabumetone

In the presence of cesium hydroxide, using dry N,N'-dimethylformamide (DMF) as solvent, hydrazine hydrate reduced selenium to give cesium diselenide, which reacted with functional alkyl halide or tosylates at room temperature to afford the corresponding diaryl diselenides in high yields.

Chen, Xiaoquan*; Zuo, Zhili; Qiu, Yuqin; Zhou, Xiuyan; Zhang, Changjun; Zhai, Hu; Shao, Huiying; Li, Baoqing Chin. J. Org. Chem. 2010, 30(7), 1069

Using 2-methoxy naphthalene as original material, nabumetone was synthesized via catalyst under ultrasonic irradiation, and structurally characterized by means of IR, MS, ¹H NMR techniques, the results of which show an agreement with the proposed structure.

Mg(NTf₂)₂ Catalyzed Efficient Synthesis of Quinoline Derivatives via Friedländer Condensation Reaction

Wang, Hongshe*; Zeng June Chin. J. Org. Chem. 2010, 30(7), 1072 Quinoline derivatives have been synthesized via Friedländer condensation reaction of 2-aminoaryl ketones with α -methylene ketones in the presence of 1 mol% of Mg(NTf₂)₂ at room temperature in high yields.

A New Ultrasonic Synthetic Method for Proton Transfer Compound of 2,6-Pyridinedicarboxylic Acid and 2,6-Pyridinediamine

Cai, Mengjun; Chen, Jianding*
Chin. J. Org. Chem. 2010, 30(7), 1076

Proton transfer compound [pyda•H₂]²⁺[pydc]²⁻ could be synthesized from 2,6-pyridine-dicarboxylic acid (pydc•H₂) and 2,6-pyridinediamine (pyda) in different types of solvents within a relatively short time under ultrasonic. The synthetic method was very convenient without catalyst and heating but short reaction time and high yield, and it showed a simple procedure in practice.

Synthesis of Pyrrole Derivatives

Han, Fugen; Lu, Ye; Ji, Xiaoming; Zhao, Mingqin*; Zhang, Xiaoyun; Liu, Yun Chin. J. Org. Chem. 2010, 30(7), 1080

Acetonylacetone was treated with amine (aminothiourea, thiourea, aniline, amino acid) to give six 2.5-dimethyl-N-substitute derivatives by Paal-Knorr reaction. Using the new N-pyrrole glycin and N-phenyl pyrrole compounds as materials, three N-(2.5-dimethyl pyrrole) glycin esters and two N-phenyl-2.5-dimethyl pyrrole derivatives were obtained via esterification reaction and Mannich, Friedel-Craft reactions, respectively.

Synthesis of 4-Anilinoquinazoline and Evaluation of Its Antileukemic Activity

JANEX-1 as a lead have been designed and synthesized. Their structures were confirmed by IR, ¹H NMR and elemental analyses. In addition, their *in*

Eight new compounds using

Liu, Yingxiang*; Zhang, Yang; Ma, Yuzhuo Chin. J. Org. Chem. 2010, 30(7), 1084

vitro antitumor activity against human leukemia cell line K562 shows that target compounds 6a, 6b and 6e are more potent than JANEX-1.

Synthesis and Bioactivities of 2-Cyanoalkoxycarbonylmethyleneimidazolidine

Zhu, Youquan*; Liu, Cui; Zhang, Jin; Yuan, Yanwei; Zhu, Ran; Zou, Xiaomao*; Hu, Fangzhong; Yang, Huazheng Chin. J. Org. Chem. 2010, 30(7), 1088

In order to find new kinds of herbicides or insecticides, 20 new title compounds were designed and synthesized. All of them have been confirmed by ¹H NMR, IR techniques and elemental analysis. Preliminary quantitative structure activity relationship analysis indicated that some compounds showed moderate herbicidal or insecticidal activity.

Synthesis, X-ray Structure and Antitumor Activity of 4-(1,3,4-Thiadiazole-2-ylthio)-benzo[4,5]furo[3,2-d]pyrimidine Derivatives

Zhao, Yun; Ouyang, Guiping*; Xu, Weiming; Jin, Linhong; Yuan, Kai Chin. J. Org. Chem. 2010, 30(7), 1093

A series of 4-(1,3,4-thiadiazole-2-ylthio)benzo[4,5]furo[3,2-d]pyrimidine derivatives were designed and synthesized, and their antitumor activity to PC3 cells was evaluated. The structures of the products were characterized by ¹H NMR, ¹³C NMR, IR and MS techniques. Compound 6a was investigated with X-ray crystallography.

Highlights

Chin. J. Org. Chem. 2010, 30(7), 1098