

Graphical Abstract

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Heterocycl. Commun. 13 (2007) 335 – 342

Substituent effects using ^{13}C chemical shifts for a series of substituted 2-phenyl-3-(pyridin-2-yl)-1,3-thiazolidin-4-ones with a comparison to similarly substituted 1,3-thiazolidin-4-ones

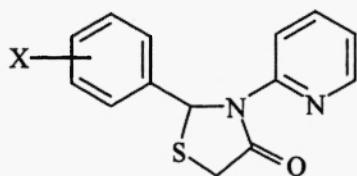
John Tierney,* Neon Colasante, Jill Eagles, Stacey Kelly, Gabrielle Lehmicke, Omar Lucas, Chino Mannikarottu, Osama Mehmood, Minh-Luan D. Nguyen, Vaibhav Rai, Jack Tsai and Vladislav Koyfman.

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Substituted 2,3-diphenyl-1,3-thiazolidin-4-ones and substituted 3-benzyl-2-phenyl-1,3-thiazolidin-4-ones have been shown to exhibit good correlations using ^{13}C chemical shift measurements (substituents chemical shifts or scs) for substituents placed on the phenyl and benzyl moieties versus Hammett σ constants; the chemical shifts for C(2), C(4) and C(5) in the thiazolidinone ring have been the focus of interest. In some instances the correlations can be further improved by using dual substituent parameters. In this study the effects of substituents on ^{13}C chemical shifts in the thiazolidinone ring at C(2), C(4) and C(5) were measured for a series of substituted 2-phenyl-3-pyridin-2-yl-1,3-thiazolidin-4-ones. The chemical shift changes were compared to the two aforementioned series of thiazolidin-4-ones.



X = $p\text{-NO}_2$, $m\text{-NO}_2$, $p\text{-F}$, $m\text{-F}$, $p\text{-Cl}$, $p\text{-Br}$, $m\text{-Br}$, H, $p\text{-CH}_3$, $m\text{-CH}_3$, $p\text{-OCH}_3$, $m\text{-OCH}_3$

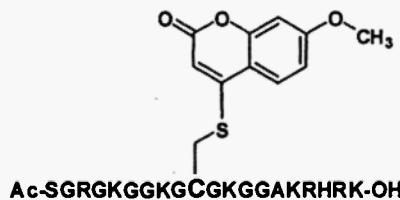
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Synthesis of a coumarin-histone conjugate for HAT fluorescent assay

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To synthesize molecular reporters of histone acetyltransferases (HATs), we studied the on-resin modifications of the histone H4 peptide with the fluorescent coumarin motif. The compound was synthesized using the solid phase Fmoc chemistry. The efficacy of *tert*-butylthio and *para*-methoxytrityl as Cys protecting groups was investigated. Different reaction conditions were tested for deprotection efficiency. Following deprotection, the fluorescent chromophore coumarin was coupled to the peptide at the Cys site. This work is important for developing new fluorescent assays to study the enzymatic activities of HATs and to screen HAT inhibitors in a high-throughput fashion.

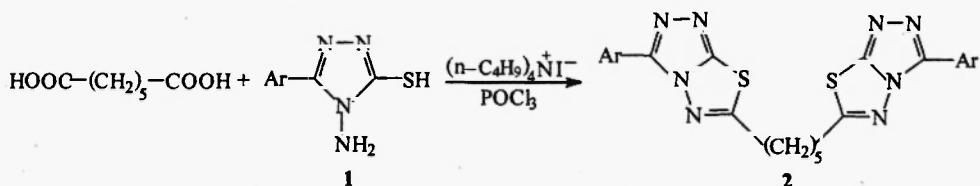


Synthesis and antibacterial activities of 1,5-bis[(3-aryl)-1,2,4-triazolo[3,4-b]-[1,3,4]thiadiazole-6-yl]pentanes

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A series of 1,5-bis[(3-aryl)-1,2,4-triazolo[3,4-b]-[1,3,4]thiadiazole-6-yl]pentanes were synthesized in high yields by reaction of 3-aryl-4-amino-5-mercapto-1,2,4-triazole with heptanedioic acid in the presence of POCl_3 and tetrabutylammonium iodide as catalyst. The newly synthesized compounds were characterized by elemental analysis, IR, ¹H NMR and MS. The preliminary antibacterial tests showed that most of them were effective against *S. aureus*, *E. coli* and *B. subtilis*.



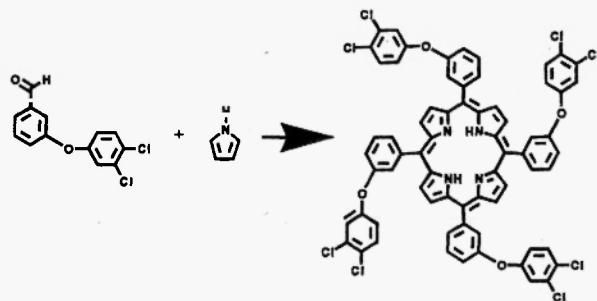
Synthesis and characterization of 5,10,15,20-tetrakis[3-(3,4 dichlorophenoxy)]porphyrin and some of its metal complexes

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The newly prepared 5,10,15,20-Tetrakis[3-(3,4-dichlorophenoxy)] porphyrin, TDCIPP, was characterized by ¹H NMR, ¹³C NMR, electronic absorption spectroscopy, and MALDI-TOF mass spectrometry. The porphyrin exhibited a Soret band at 419 nm and Q bands at 515, 549, 589, 646 nm with corresponding extinction coefficients of 2×10^5 , 1×10^4 , 4×10^3 , 3×10^3 , $2 \times 10^3 \text{ cm}^{-1} \text{ M}^{-1}$. Excitation at 419 nm gave an emission line at 650 nm. The quantum yield was determined to be 0.07. The zinc, copper, cobalt, and nickel complexes of this porphyrin have been synthesized and characterized by UV-Vis and MALDI-TOF mass spectroscopy. Details of these spectra are reported in this paper.

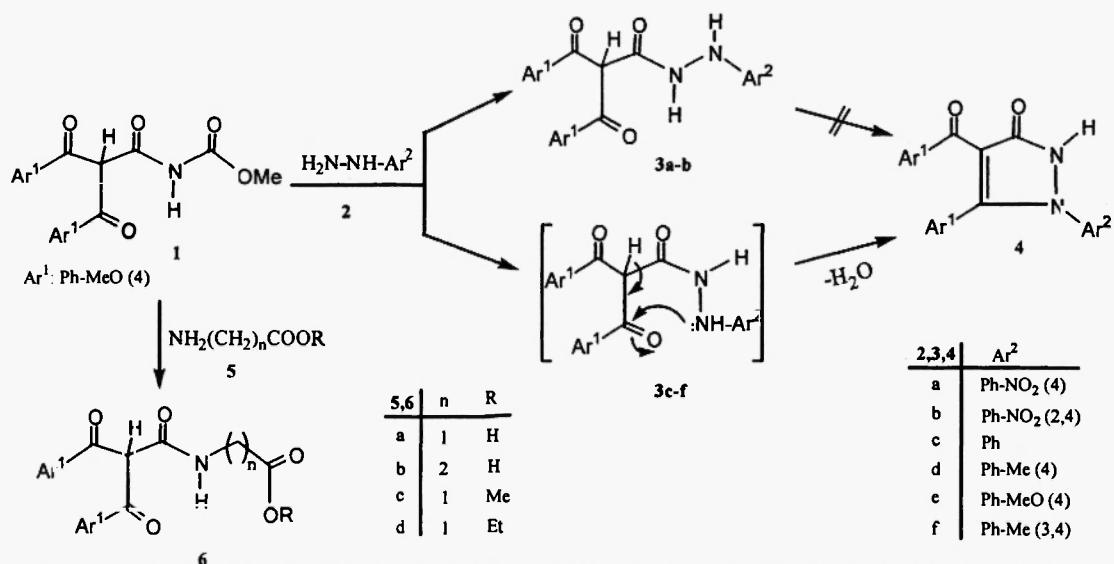


Reactions of β -tricarbonyl compound with some aromatic hydrazines and amino acids-esters

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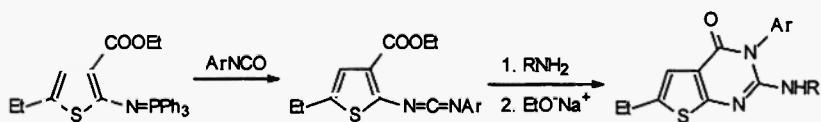
Methyl 2-(4-methoxybenzoyl)-3-(4-methoxyphenyl)-3-oxopropanoylcarbamate **1** which is a new β -tricarbonyl compound reacted with some aromatic hydrazines **2a-f** and amino acids-esters **5a-d** to give oxopropanohydrazides **3a-b** and pyrazolones **4c-f** the together with oxopropanoyl-amino acids-esters **6a-d**. These new compounds were obtained in moderate to excellent yields (48–78%). The structures of all new synthesized compounds were determined with the ^1H and ^{13}C NMR, IR spectroscopic data and elemental analyses. Most of them were compared with their previously obtained analogues.

A selective synthesis of 2-alkylamino thieno[2,3-*d*]pyrimidin-4(3*H*)-ones

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2-Alkylamino-thieno[2,3-*d*]pyrimidin-4(3*H*)-ones **6** were synthesized by a selective synthetic method, which includes aza-Wittig reaction of iminophosphorane **3** with aromatic isocyanate to give carbodiimide **4** and subsequent reaction of **4** with various aliphatic primary amine in the presence of sodium ethoxide.



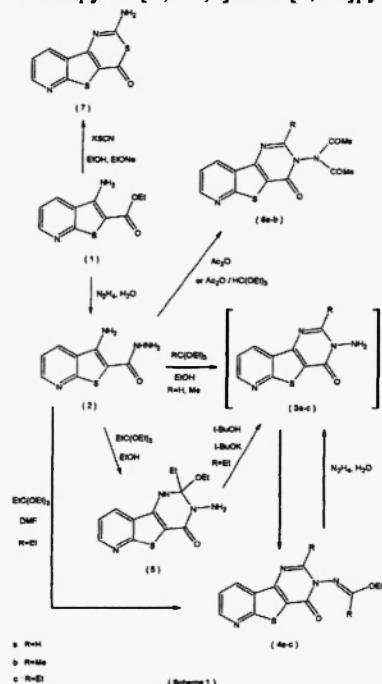
Reaction of ethyl 3-aminothieno[2,3-b]pyridine-2-carboxylate: Synthesis of new functionalized thieno[2,3-b]pyridine, pyrido[3',2':4,5]thieno[3,2-d][1,3]thiazine and pyrido[3',2':4,5]thieno[3,2-d]pyrimidines

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3-Amino[2,3-b]pyridine-2-carboxylate was reacted with both nucleophilic and electrophilic reagents such as hydrazine hydrate, potassium thiocyanide, acetic anhydride, etc. to obtain new functionalized derivatives of thieno[2,3-b]pyridine, pyrido[3',2':4,5]thieno[3,2-d][1,3]thiazine and pyrido[3',2':4,5]thieno[3,2-d]pyrimidines.

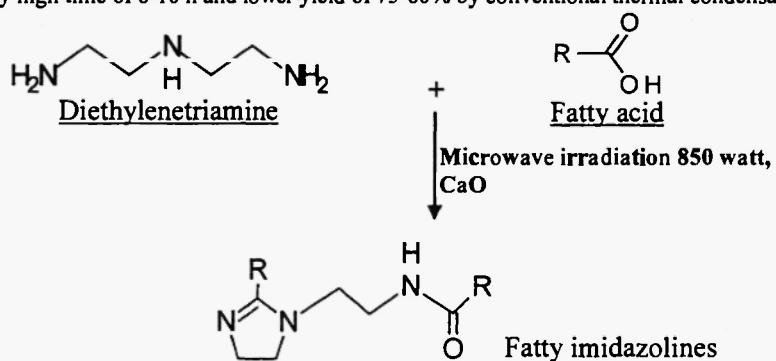


Synthesis of fatty imidazolines based on palm fatty acids and diethylenetriamine through microwave irradiation and their characterization

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This paper describes solvent free microwave synthesis of long chain imidazolines based on palm fatty acid and diethylenetriamine (DETA). This is carried out in an open vessel and the products obtained by this method were found to be in good yields and of high purity. This method produced imidazolines in very less time of 5-10 min and gave yield of 89-91% as compared to very high time of 8-10 h and lower yield of 75-80% by conventional thermal condensation method.

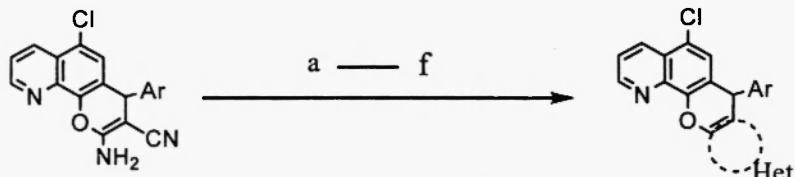


A simple and efficient synthesis of fused heterocyclic quinoline derivatives

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The reaction of arylidenemalononitriles (2a-e) with 5-chloro-8-quinolinol 1 gave the corresponding pyrane derivatives (3a-e). Their cyclization with acetic anhydride 1 pyridine, formamide, triethylorthoformate, ethyl cyanoacetate and nitrous acid affected a series of poly cyclic heterocyclic quinoline derivatives



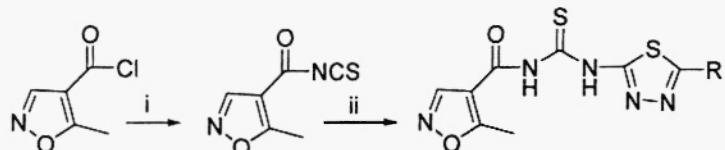
Het. = Heterocyclic ring.

a) AC_2O /pyridine; b) HCONH_2 ; c) $\text{HCONH}_2/\text{HCOOH}$; d) $\text{CH}(\text{OEt})_3$; e) HNO_2 ; f) $\text{CNCH}_2\text{COOEt}$ **Phase transfer catalysts promoting the one-pot synthesis under ultrasonic irradiation and biological activity of n-(5-substituted-1,3,4-thiadiazole-2-yl)-n'-(5-methylisoxazoyl)-thiourea derivatives**

Yang Xiaodong*

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Reaction of 2-amino-5-substituted-1,3,4-thiadiazoles with 5-methylisoxazoyl chloride and ammonium thiocyanate under the condition of solid-liquid phase-transfer catalysis using polyethylene glycol-600 (PEG-600) as the catalyst under ultrasonic irradiation yielded N-(5-substituted-1,3,4-thiadiazole-2-yl)-N'-(5-methylisoxazoyl)-thiourea derivatives 3a-l in good-to-excellent yield. The chemical structure of all compounds was established by ^1H NMR, FTIR, MS, and elemental analysis studies. Some of the compounds were investigated for fungicidal activity. The bioassay results indicated that some of these compounds exhibit moderate fungicidal activities.

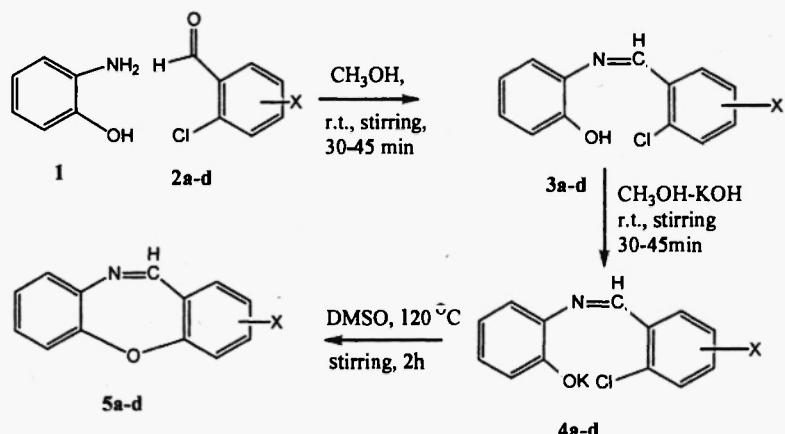


A simple, convenient and effective method for the synthesis of dibenz(b,f) 1,4-oxazepines(CR); a new generation riot control agent and its analogues

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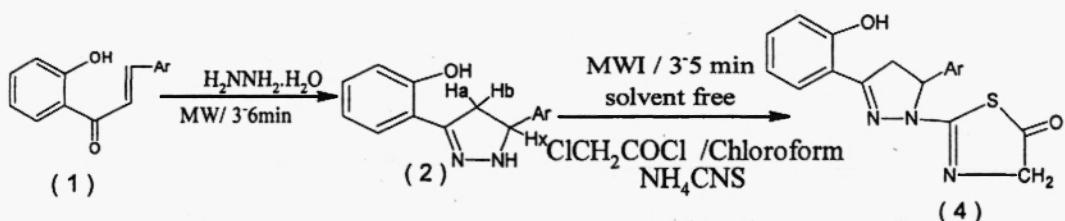
A new synthetic route has been developed for the synthesis of seven membered heterocyclic ring dibenz (b, f) 1,4-oxazepine (CR) starting with condensation of *o*-aminophenol and *o*-chlorobenzaldehyde followed by salt formation and cyclisation in DMSO at 120°C. The method has been extended for the preparation of other substituted dibenzoxazepines.



Microwave induced synthesis and anti microbial activities of some [3-(2-hydroxyphenyl)-5-aryl-2-pyrazolinyl]-4-thiazolidenones.

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An efficient synthesis of some Thiazolidenone derivatives has been carried out using microwave assisted method the antimicrobial activity of synthesized compounds has been reported.

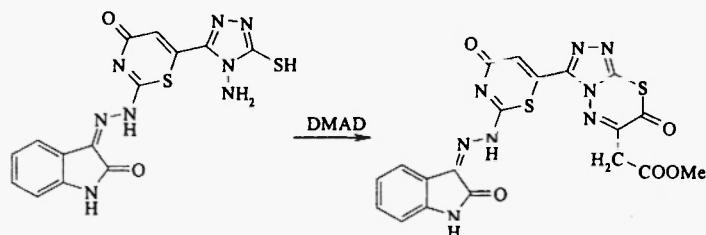


Synthesis of some isatin-3-substituted derivatives

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The reaction of isatin-3-(6-methoxycarbonyl-1,3-thiazin-4-one-2-yl)hydrazone **2** with hydrazine hydrate in methanol afforded isatin-3-(6-hydrazincarbonyl-1,3-thiazin-4-one-2-yl)hydrazone **3**. Carbon disulfide and then hydrazine hydrate with **3** afforded isatin-3-[6-(4-amino-1,2,4-triazolo-5-thiol)-1,3-thiazin-4-one-2-yl]hydrazone **5**. The latest reacted with DMAD to give isatin-3-[6-(8-methoxycarbonylmethylen-1,2,4-triazolo[3,4-*b*]-1,3,4-thiadiazin-7-one)-1,3-thiazin-4-one-2-yl]hydrazone **6**.

**Synthesis and antibacterial activities of 1,7-bis[(3-aryl)-1,2,4-triazolo[3,4-*b*]-[1,3,4]thiadiazole-6-yl]heptanes**De-Jiang Li* ^A, He-Qing Fu^BCollege of Chemistry and Life Science, China Three Gorges University, Yichang 443002, P. R. China^a and Research Institute of Chemical Engineering, South China University of Technology, Guangzhou 510640, P. R. China^b

Fifteen new 1,7-bis[(3-aryl)-1,2,4-triazolo[3,4-*b*]-[1,3,4]thiadiazole-6-yl]heptanes were synthesized in high yields by reaction of 3-aryl-4-amino-5-mercaptop-1,2,4-triazole with nonanedioic acid in the presence of POCl_3 and tetrabutylammonium iodide as catalyst. The newly synthesized compounds were characterized by elemental analysis, IR, ^1H NMR and MS. The preliminary antibacterial tests showed that most of them were effective against *S. aureus*, *E. coli* and *B. subtilis*.

