Graphical Abstract

Heterocycl. Commun. 2 (2006) 89-92

Synthesis and biophysical testing of a novel pyrrole-containing Polyamide-benzamidine hybrid

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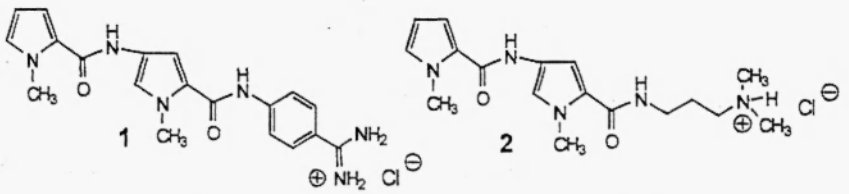
The synthesis of a pyrrole-containing polyamide-benzamidine hybrid (1) and its dimethylaminopropyl-counterpart (2) is described. The benzamidine hybrid 1 was found to have superior DNA binding affinity for its AATTT cognate sequence over its analog 2.



Heterocycl. Commun. 2 (2006) 93-98

A stereoselective synthesis of 2-benzylidenepyrrolidin-5-ones from the amides of 4-oxo-5-phenylpentanoic acid or their tautomers, (2-benzyl-2-hydroxypyrrolidin-5-ones).

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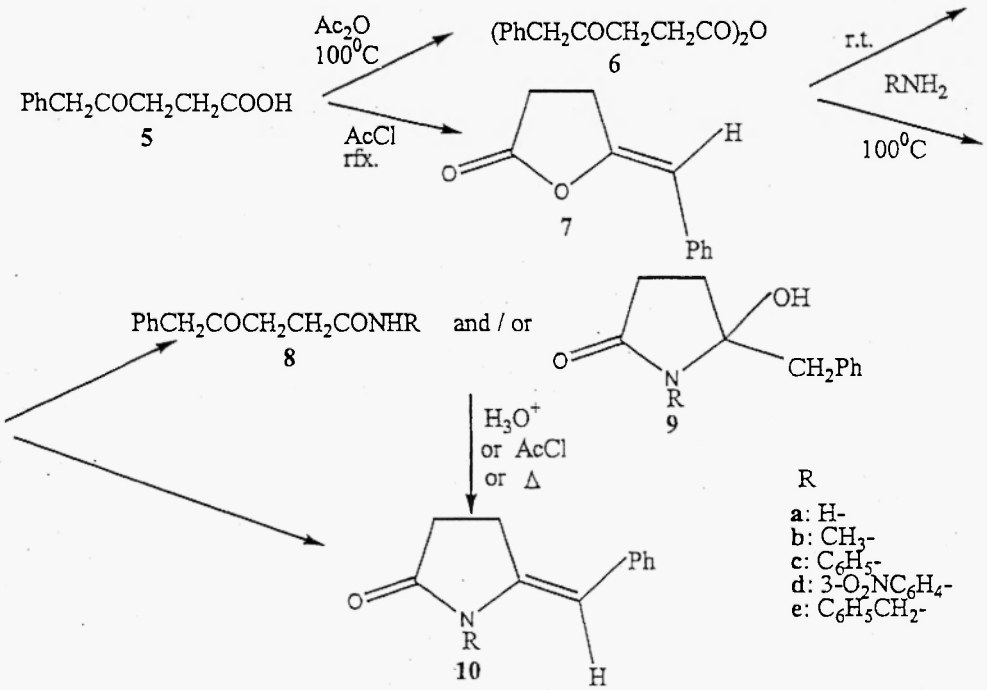
The Laboratory of Organic Chemistry, The School of Chemical Engineering, The National Technical University of Athens, Athens 157 80, Greece.

The synthesis of 2-benzylidenepyrrolidin-5-ones **10** stereoselectively, from the γ-keto amides **8** or their tautomers, 2-benzyl-2-hydroxypyrrolidin-5-ones **9**, which were prepared from the activated derivatives **6** and **7** of the 4-oxo-5-phenylpentanoic acid (**5**), is described here.



Heterocycl. Commun. 2 (2006) 99-102

Hetaryl displacement in 3,6-disubstituted 1,2,4,5-tetrazines with anhydro bases of *n*-methylquinaldiniums

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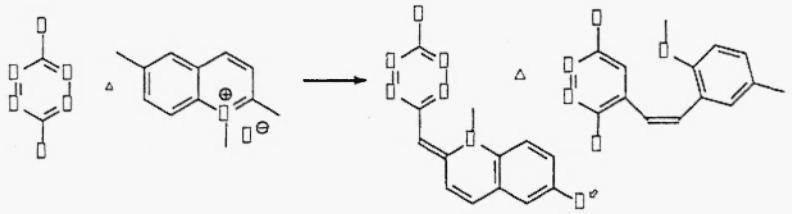
3,6-Bis(4-R-3,5-dimethylpyrazol-1-yl)-s-tetrazine, 3,6-bis(imidazol-1-yl)-s-tetrazine, 3,6-bis(benzotriazol-1-yl)-s-tetrazine,3,6-bis(4-methylimidazol-1-yl)-s-tetrazine react with anhydro bases of 1-methylquinaldium and 1,6-dimethylquinaldium to form products of *C*-nucleophilic substitution of azolyl fragment or Carboni-Lindsey reaction followed by subsequent pyridine ring cleavage in the quinoline moiety.



Heterocycl. Commun. 2 (2006) 103-106

Synthesis of 3-[3-(aryl)-1-(1,3-diarylpyrazol-4-yl)-3-oxopropyl]-4- hydroxy-2*H*-1-benzo-pyran-2-ones as possible anticoagulant agents

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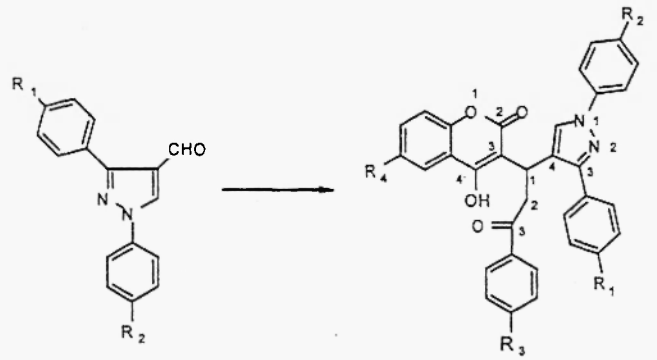
A series of 3-[3-(aryl)-1-(1,3-diarylpyrazol-4-yl)-3-oxopropyl]-4-hydroxy-2H-1-benzopyran-2-ones (**4** and **5**) have been synthesized as possible anticoagulant agents under classical heating and microwave irradiation conditions.



Heterocycl. Commun. 2 (2006) 107-110

New four-component ugi-type reaction. synthesis of 3-methyl-1-oxo-1,3,4,6,11,11a-hexahydro-2h-pyrazino [1,2-b]isoquinoline-3-carboxamides

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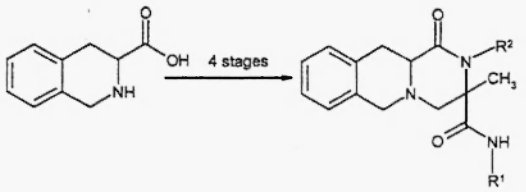
A small-sized library of novel 3,4,11,11*a*-tetrahydro-2*H*-pyrazino[1,2-*b*]isoquinolin-1(6*H*)-ones is synthesized. Key synthetic step is based on a new variant of Ugi four component reaction using bifunctional keto acids, amine and isocyanide as starting materials. The reaction leads to small molecule peptidomimetics with promising pharmacological potential and is readily amenable to high-throughput combinatorial library production.



Heterocycl. Commun. 2 (2006) 111-114

An improved methodology for the preparation of 4,7-dimethoxy-*1h*-benzimidazole, a key intermediate in the synthesis of 1-alkyl-*1h*-benzimidazole-4,7-diones

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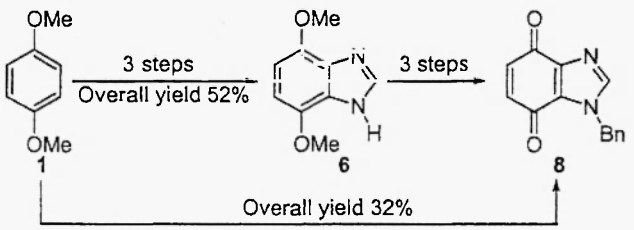
We reported an optimized process for the preparation of key intermediate, 4,7-dimethoxy-*1H*-benzimidazole, from commercially available 1,4-dimethoxybenzene (Overall yield 52%). We successfully applied this methodology to an improved synthesis of 1-benzyl-*1H*-benzimidazole-4,7-dione (Overall yield 32% from 1,4-dimethoxybenzene).



Heterocycl. Commun. 2 (2006) 115-118

A New Synthesis of (±)methyl-(hydrobenzo[*b*]furan-5-yl)-3-methylbutanoate

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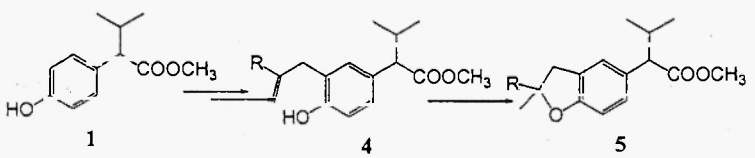
(±)Methyl-2-(2-methyl-2,3-dihydrobenzo[*b*]furan-5-yl)-3-methylbutanoate and (±)methyl-2-(2,2-dimethyl-3-hydrobenzo[*b*]furan-5-yl)-3-methylbutanoate have been synthesized from methyl-2-(4-hydroxyphenyl)-3-methylbutanoate.



Heterocycl. Commun. 2 (2006) 119-122

A synthesis of choline salts of prazole derivatives

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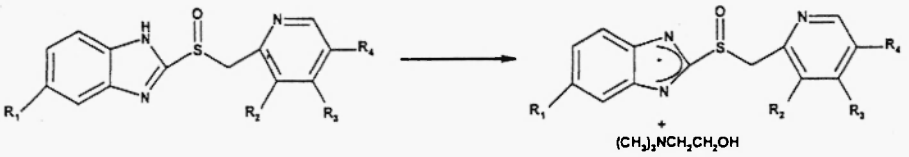
Synthesis of new choline salt of prazole derivatives was described starting from sodium salts with choline chloride.



(1a) R_1,R_3=OCH_3; R_2,R_4=CH_3
(1b) R_1=CHF_2; R_2,R_3=OCH_3; R_4=H
(1c) R_1,R_4=H: R_2=CH_3; R_3=OCH_2CF_3

(2a)-(2c)

Heterocycl. Commun. 2 (2006) 123-128

Synthesis of 5-methyl-2-[2-methyl/phenylimino-3-(3-methyl-5-styryl-isoxazol-4-yl)-2,3-dihydro-thiazole-4-carbonyl]-2,4-dihydro-pyrazol-3-ones, 1,3,4-oxadiazoles and 4-oxo-thiazolin-5-ylidene-acetic acid methyl esters

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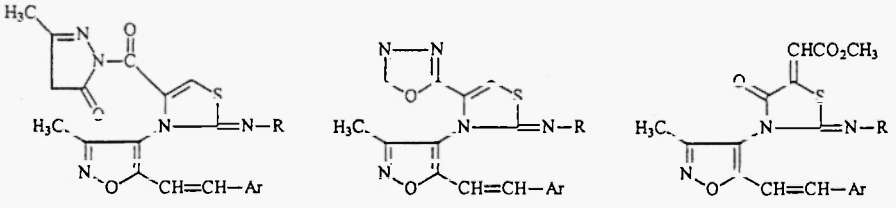
The synthesis of 5, 6 and 7 have been accomplished. All the compounds are characterized by IR, ^{1}H NMR and mass spectral data.



5 6 7

Heterocycl. Commun. 2 (2006) 129-134

Analysis of N-H...O and O-H...O hydrogen bonding in 4-(2-hydroxy-phenylamino)-pent-3-en-2-one

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D.H. Purohit, H.S. Joshi
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B. B. Parekh and M.J. Joshi
Department of Physics, Saurashtra University, Rajkot-360 005, India

The paper reports synthesis and crystal structure of 4-(2-hydroxy-phenylamino)-pent-3-en-2-one and the analysis of hydrogen bonding present in it. The crystal exists in orthorhombic symmetry with unit cell parameters a = 8.839(3), b = 10.517(2), c = 11.223(3) Å, Z=4 and space group is $P2_12_12_1$. The final reliability index is 0.0425 for 1079 observed reflections. The molecules are linked up by a combination of O-H...O intermolecular and N-H...O intramolecular interaction. The intramolecular N-H...O interaction is responsible for making carbonyl and amino group as a virtual six-membered ring whereas the intermolecular O-H...O interaction depicts a parallel two-dimensional array.

Heterocycl. Commun. 2 (2006) 135-140

First synthesis, rotamerism and herbicidal evaluation of substituted *s*-triazines with Serinolic fragment

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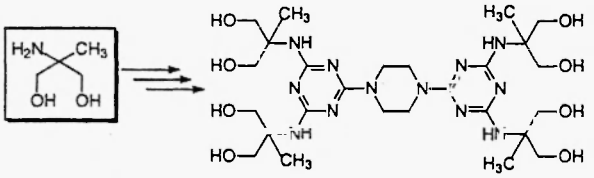
[a]"Babes-Bolyai" University, Department of Organic Chemistry, 11 Aranyi Jànos str., RO-400028 Cluj-Napoca, Romania
[b]Université de Rouen, Institut de Recherche en Chimie Organique Fine (I.R.C.O.F.), BP-08, F-76131, Mont Saint-Aignan, Cedex France
[c]University of Agricultural Sciences and Veterinary Medicine, 3-5 Manastur str., RO-400375 Cluj-Napoca, Romania

First example of melamines and precursors, based on commercially *C*-substituted-2-amino-1,3-propanediols (pharmaceutical chemistry nomenclature as *serinols*) in reaction with cyanuryl chloride is reported, *e.g.* starting from 2-amino-2-methyl-1,3-propanediol. The diastereomerism generated by the more or less restricted rotation about the C^{sp2}(*s*-triazine) -N< (*serinol*) bond in this series is for the first time discussed along with a preliminary herbicidal evaluation of a representative term.



Heterocycl. Commun. 2 (2006) 141-150

Synthesis of n'-allyl-2-styrylchromones by a Baker Venkataraman transformation

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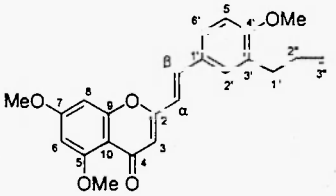
n'-Allyl-2-styrylchromones have been prepared by the Baker-Venkataraman method, by two different synthetic routes.



Heterocycl. Commun. 2 (2006) 151-156

First synthesis, rotamerism and herbicidal evaluation of substituted *s*-triazines with amino-1,3-dioxane groups

Marijana Fazekas,[a] Mircea Darabantu,[a,b] Monica Pintea,[a] Pedro Lameiras,[b] Constantin Bele,[c]
Camelia Berghian[a,b] and Nelly Plé[b]
[a]"Babes-Bolyai" University, Department of Organic Chemistry, 11 Aranyi Jànos str., RO-400028 Cluj-Napoca, Romania
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[c]University of Agricultural Sciences and Veterinary Medicine, 3-5 Manastur str., RO-400375 Cluj-Napoca, Romania

First pure enantiomeric 5-amino-1,3-dioxane, obtained by total diastereospecific ring closure of (1*S*,2*S*)-2-amino-1-(4-nitrophenyl)-1,3-propanediol ("*nitrophenylserinol*") reacted with cyanuryl chloride to afford *N*-substituted amino-*s*-triazines and melamine. Their rotameric behaviour around the C^{sp2}(*s*-triazine)-N(1,3-dioxane) bond is discussed in terms of NMR, as steric and electronic influence of the substituents. The herbicidal evaluation of one of the new compounds is also described.