Graphical Abstract

Heterocycl. Commun. 1&2 (2008) 11 – 14

The reaction of alkyl benzyl ethers with dimethyldioxirane: Kinetics

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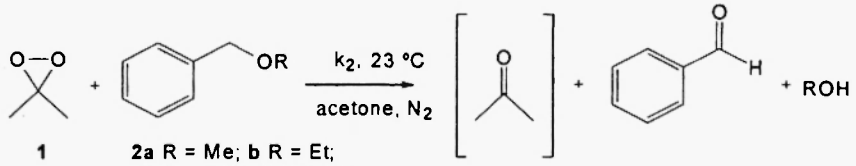
The oxidation of a series of alkyl benzyl ethers, **2a-e**, by dimethyldioxirane, **1** (in excess), in dried acetone at 23 °C (under N_2) produced benzaldehyde and the corresponding alcohols in excellent yields. The reaction was found to be of the second order, yielding k_2 values on the order of 10^{-2} M^{-1} s^{-1}. A decrease in the k_2 values was observed with increased alkyl group size yielding a Taft ρ^* value of 0.98.



1 **2a** R = Me; **b** R = Et; **c** R = *n*-Pr; **d** R = *i*-Pr; **e** R = *t*-Bu

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Synthesis of acridine based threading intercalators

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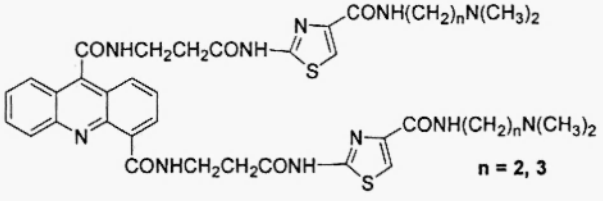
The multistep synthesis of two *N,N*-Bis[2[[4-[[*N*-(dimethylamino)alkyl]carbamoyl]thiazol-2-yl]carbamoyl]ethyl]acridine-4,9-dicarboxamides as novel threading intercalators is reported. Preliminary studies show that the molecules exhibit moderately strong DNA affinity.



Heterocycl. Commun. 1&2 (2008) 21 – 26

Selective synthesis of trioxapropellanes using manganese(III) acetate

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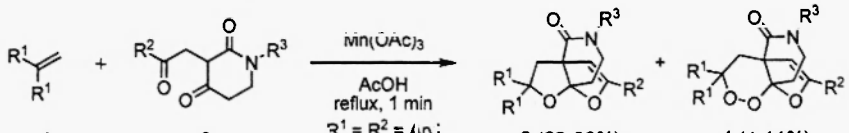
The aerobic oxidation of 2-oxoethyl-substituted cyclic 1,3-dicarbonyl compounds **2, 6, 8** with diarylethenes **1** was carried out in the presence of a catalytic amount of manganese(III) acetate to produce the structurally unique trioxa[4.4.3]propellanes **4, 7, 9**, selectively, in good yields.



1 **2** **3** (62-98%) **4** (4-11%)

Heterocycl. Commun. 1&2 (2008) 27 – 32

Influence of the 2-aryl group on the *ipso* electrophilic substitution process of 2-arylimidazo [1,2-*a*]pyridines

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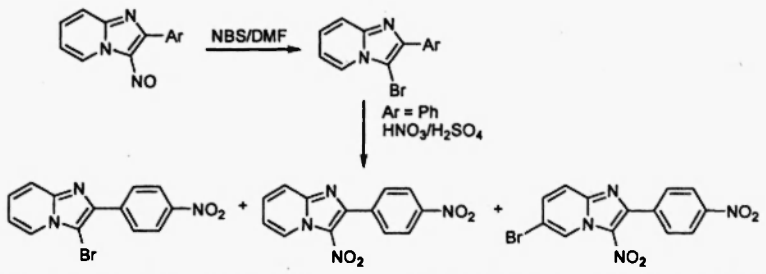
Departamento Química Orgánica. Escuela Nacional Ciencias Biológicas, I.P.N. Prolongación Carpio y Plan de Ayala S/N México 11340 D.F. [2] Área de Química. Universidad Autónoma Metropolitana (Azcapotzalco).México 02200 D.F.

A systematic study of electrophilic substitution reactions of 3-nitroso-2-arylimidazo[1,2-*a*]pyridine confirmed that the nitroso group may be *ipso*-substituted by bromine (NBS in DMF) and that bromine in turn may be substituted by the nitroso group. Electronic influence of the aryl sustituent at the imidazopyridine 2-position during the *ipso*-electrophilic process was experimentally assessed and confirmed by molecular orbital calculations. An *ipso* electrophilic substitution of bromine in 3-bromo-2-phenylimidazo[1,2-*a*]pyridine by a nitro group gave different nitro substituted imidazo[1,2-*a*]pyridine derivatives depending on the nitric acid concentration.



Heterocycl. Commun. 1&2 (2008) 33 – 38

Synthesis of 1-aryl-5-methyl-4-[4-aryl-6-(3-oxo-1,4-benzothiazin-6-yl)pyrid-2-yl]pyrazoles and 1-aryl-5-methyl-4-[2-amino-4-aryl-pyrimidin-6-yl]pyrazoles as antibacterial agents

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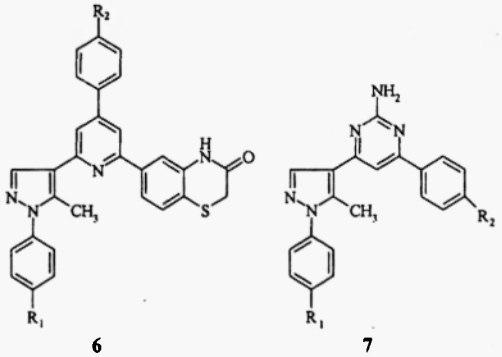
and
G. Jagath Reddy and K. Srinivasa Rao
R & D Laboratories, Dr. Jagath Reddy's Heterocyclics, 81, S.V.Co-op Industrial Estate, Balanagar, Hyderabad – 500 037, India.

A series of 1-Aryl-5-methyl-4-[4-aryl-6-3-oxo-1,4-benzothiazin-6-yl)pyrid-2-yl]pyrazoles (6a-g) and 1-Aryl-5-methyl-4-[2-amino-4-arylpyrimidin-6-yl]pyrazoles (7a-d) have been synthesized and tested for their antibacterial activity.



6 7

Heterocycl. Commun. 1&2 (2008) 39 – 44

Synthesis of two new heterocyclic ring systems: 2-aza-6-thia-10-azaspiro[4,5]decane-1,3,9-trione-7-ene-7-benzoyl and 2-aza-7-thia-11-azaspiro[5,5]undecane-1,3,10-trione-8-ene-8-benzoyl derivatives

Georgia Tsolomiti, Kyriaki Tsolomiti and Athanase Tsolomitis*
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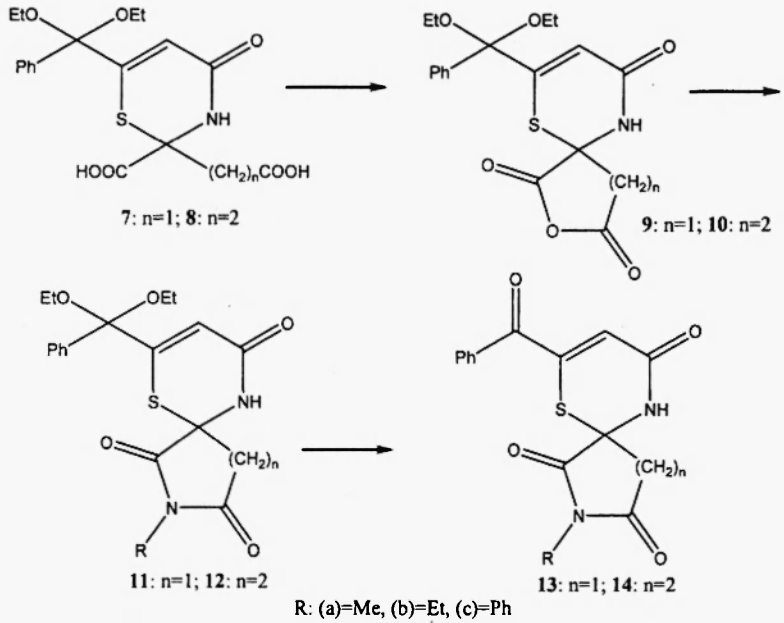
The synthesis of two new type heterocyclic derivatives, 2-aza-6-thia-10-azaspiro-[4,5]decane-1,3,9-trione-7-ene-7-benzoyl and 2-aza-7-thia-11-azaspiro[5,5]undecane-1,3,10-trione-8-ene-8-benzoyl, from 2,3-dihydro-1,3-thiazine-4-ones which in turn were obtained via a rearrangement reaction of 3(2H)-isothiazol-3-ones prepared from properly designed 3-benzoylpropionamides, is described.



Heterocycl. Commun. 1&2 (2008) 45 – 50

A convenient approach to novel pyrazolo[4,3-*e*]-1,2,4-triazolo[1,5-*c*]pyrimidines

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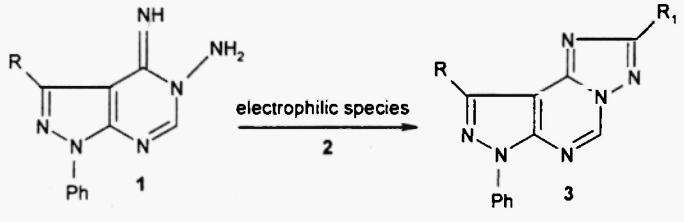
A series of new pyrazolo[4,3-*e*]-1,2,4-triazolo [1,5-*c*]pyrimidines **3a-i** have been synthesized through the condensation of 5-amino-4-iminopyrazolo-pyrimidines **1a-c** and several electrophilic species **2a-d**.



Heterocycl. Commun. 1&2 (2008) 51 – 54

Synthesis of condensed pyrmidines in one-pot reaction from pyranoquinolines and bmmas

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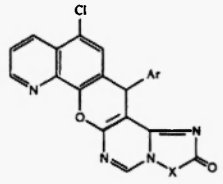
New pentacyclic heterocycles of the type 3a-e – 5a-e were synthesized by one-pot reaction of the amino-cyanopyranoquinolines 1a-e with BMMAs. Antifungal activity was also performed.



3a-e – 5a-e

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Microwave assisted synthesis of 6-aryl-2-methyl-3-[benzothiazinylpyridinyl / 2-aminopyrimidinyl / 2-aminothiazolyl / triazolothiadiazinyl]pyridines

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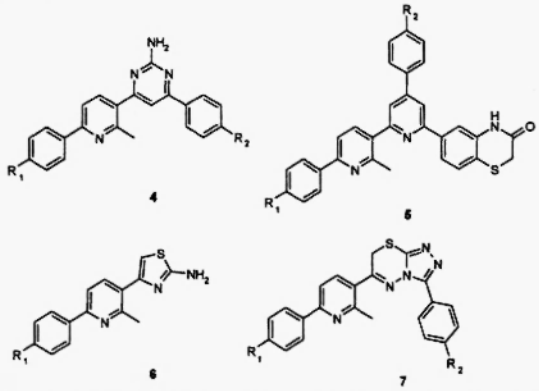
G. Jagath Reddy and K. Srinivasa Rao
R & D Laboratories, Dr. Jagath Reddy's Heterocyclics, 81, S.V.Co-op Industrial Estate, Balanagar, Hyderabad – 500 037, India.

A number of new 6-Aryl-2-methyl-3-[benzothiazinylpyridinyl / 2-amino pyrimidinyl / 2-aminothiazolyl and triazolothiadiazinyl] pyridines (4 - 7) have been synthesized under microwave irradiation conditions.



Heterocycl. Commun. 1&2 (2008) 63 – 68

A new route to synthesis of 2,5,7-substituted 1,5-benzothiazepin-4(5H)-ones from tertiary 3-benzoylpropionamides

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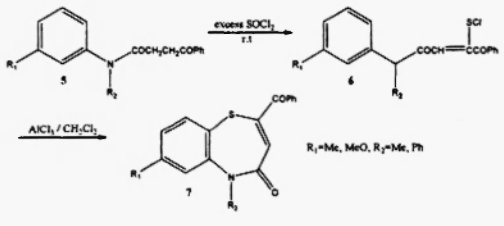
2,5,7-Substituted 1,5-benzothiazepin-4(5H)-ones have been prepared from the reaction of excess thionyl chloride on tertiary 3-benzoylpropionamides, followed by an intramolecular Friedel-Crafts reaction of the intermediacy 3-sulfenyl chlorides of 3-benzoylacrylamides.



Heterocycl. Commun. 1&2 (2008) 69 – 76

Antibacterial and fungicidal activities of 1,8-bis[(3-aryl)-s-triazolo[3,4-*b*]- [1,3,4]thiadiazole-6-yl]octanes

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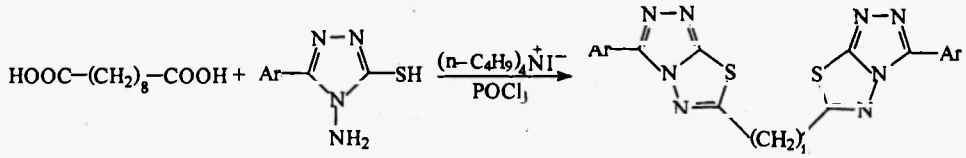
1,8-Bis[(3-aryl)-s-triazolo[3,4-*b*]-[1,3,4]thiadiazole-6-yl]octanes **2** were synthesized in high yields by reaction of 3-aryl 4-amino-5-mercapto-1,2,4-triazole **1** with sebacic acid in the presence of $POCl_3$ and tetrabutylammonium iodide as catalyst. The structures have been established on the basis of elemental analysis and spectral date. The preliminary antibacterial tests showed that most of them were effective against *S.aureus*, *E.coli* and *B.subtilis*. **2b, 2c, 2d, 2n** and **2o** exhibited good fungicidal activities against *Cerospora beticola sacc.*



1 **2**

Heterocycl. Commun. 1&2 (2008) 77 – 82

Comparison of clinoptilolite, analcime and yugawaralite for synthesis of unsaturated 5(4H)-oxazolones in solvent-free condition and microwave irrudiation

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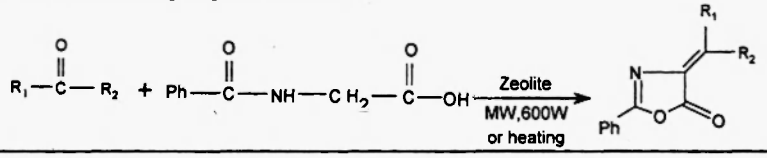
In view of the importance of azlactones as synthons, biological importance of the compounds and the advantages offered by coupling microwave activation with dry media reactions, we report here a solvent-free procedure for the synthesis of 2-phenyl-5(4H)-oxazolones from aldehydes or ketons and hippuric acid using clinoptilolite, analcime and yugawaralite under microwave irradiation according to green chemistry.



Heterocycl. Commun. 1&2 (2008) 83 – 88

Synthesis of methyl-(3-oxo-2*H*-[1,4]-benzoxa / thiazin-6-yl)-pyrazole-5-carboxylates & isoxazole-3-carboxylates as possible COX-2 / 5-LOX inhibitors

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K. N. Jayaveera[b], S. Sailaja[b], P. Reddanna[c] and D. Bharat Reddy[c]
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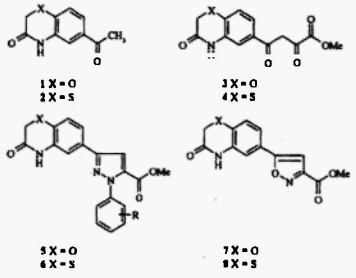
b. Oil Technological Research Institute, Jawaharlal Nehru Technological University, Anantapur 515 001
c. School of Life Sciences, University of Hyderabad, Hyderabad 500 046

A series of Methyl-(3-oxo-2H-[1,4]benzoxa/thiazin-6-yl)pyrazole-5-carboxylates (5 & 6) and isoxazole-3-carboxylates (7 & 8) have been synthesized and tested for their COX-2 / 5-LOX inhibitory activities.



Heterocycl. Commun. 1&2 (2008) 89 – 94

A new method for synthesizing 1H-1-benzazepine-2,5-dione derivatives from N,N-substituted maleamic acids

Georgia Tsolomiti, Kyriaki Tsolomiti and Athanase Tsolomitis*
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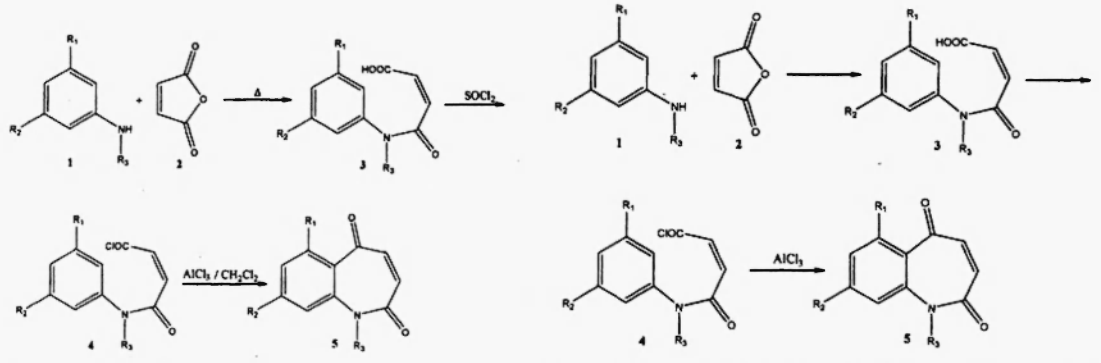
The National Technical University of Athens, Athens 157 80, Greece

N,N-Substituted maleamic acids have been found to be converted, via the corresponding acid chlorides, through an intramolecular Friedel-Crafts reaction to 1H-1-benzazepine-2,5-dione derivatives in good overall yields.



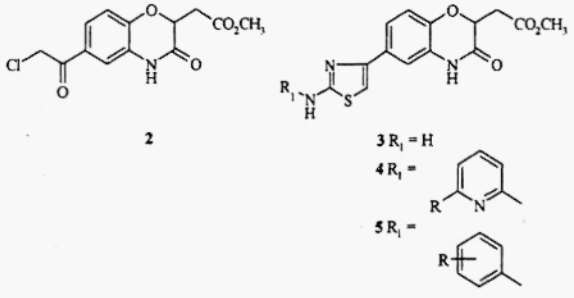
(a) R_1=H, R_2=R_3=Me; (b) R_1=H, R_2=Me, R_3=Ph; (c) R_1=H, R_2=MeO, R_3=Me; (d) R_1=H, R_2=MeO, R_3=Ph; (e) R_1 = R_2= R_3=Me; (f) R_1=R_2=Me, R_3=Ph; (g) R_1= R_2=MeO, R_3=Me; (h) R_1= R_2=MeO, R_3=Ph

Heterocycl. Commun. 1&2 (2008) 95 – 100

Synthesis of methyl [6-(2-amino-1,3-thiazol-4-yl)-3-oxo-1,4-benzoxazin-2-yl]acetates as possible COX-2 / 5-LOX inhibitors

G. Jagath Reddy*[a], K. Srinivasa Rao[a],
K. N. Jayaveera[b], S. Sailaja[b], P. Reddanna[c] and D. Bharat Reddy[c]
a. R & D Laboratories, Dr. Jagath Reddy's Heterocyclics, 81, S.V. Co-op. Indl. Estate, Balanagar, Hyderabad 500 037
b. Oil Technological Research Institute, Jawaharlal Nehru Technological University, Anantapur 515 001
c. School of Life Sciences, University of Hyderabad, Hyderabad 500 046

A series of methyl [6-(2-aminothiazol-4-yl)-3-oxo-1,4-benzoxazin-2-yl]acetates (**3-5**) have been synthesized and tested for COX-2 (Cyclooxygenase) / 5-LOX (Lipoxygenase) inhibitory activity. Some of the compounds exhibited notable 5-LOX inhibitory activity.



2

3 R_1 = H
4 R_1 =
5 R_1 =

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Synthesis and antibacterial activities of 1,3-bis[3-N-acetyl-2-aryl-1,3,4-oxadiazoline-5-yl]benzenes

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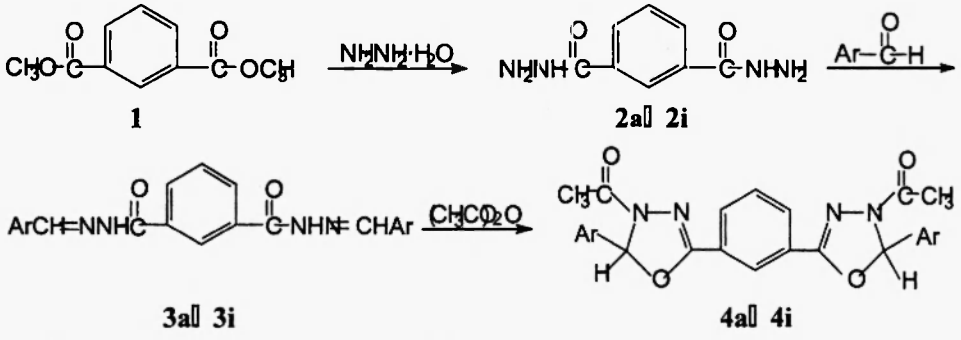
College of Chemistry and Life Science, China Three Gorges University, Yichang 443002, P. R. China[a] and Research Institute of Chemical Engineering, South China University of Technology, Guangzhou 510640, P. R. China[b]

Dimethyl isophthalate (1) was reacted with 80% hydrazine hydrate in refluxing ethanol for 16 h to give isophthalic dihydrazide (2). Condensation of 2 with aromatic aldehydes afforded corresponding hydrazones 3a-3i. Cyclization of 3a-3i with acetic anhydride in refluxing for 4-5 h afforded 1,3-Bis[3-N-acetyl-2-aryl-1,3,4-oxadiazoline-5-yl]benzenes (4a-4i). The structures of 4a-4i were characterized by elementary analyses, IR, ^{1}H NMR, and MS spectroscopy. The preliminary antibacterial tests showed that most of them were effective against *S.aureus*.

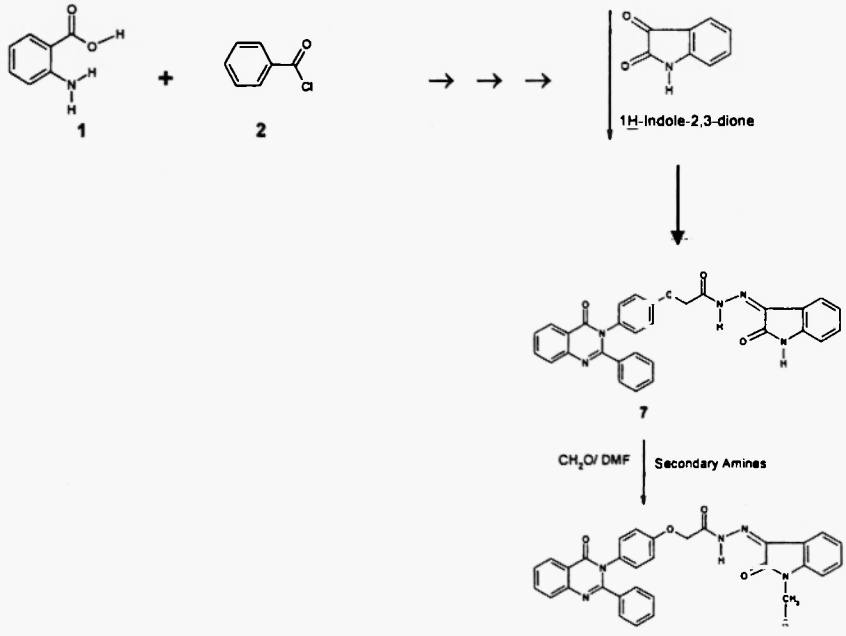


Heterocycl. Commun. 1&2 (2008) 107 – 114

Syntheses of some novel [4-(4-oxo-2-phenyl-4h-quinazolin-3-yl)-phenoxy]-acetic acid [1-substituted aminomethy 2-oxo-1,2-dihydro-indol-3-ylidene]-hydrazide derivatives and their potential biological activity

Freddy H. Havaldar* and Abhay R. Patil
Nadkarny-Sacasa Research Laboratory, Department of Chemistry, St. Xavier's College, Mumbai - 400 001

[4-(4-Oxo-2-phenyl-4H-quinazolin-3-yl)-phenoxy]-acetic acid [2-oxo-1,2-dihydro-indol-3-ylidene]-hydrazide (7) on reaction with formaldehyde and various secondary amines in N,N-dimethyl formamide afforded Mannich bases [4-(4-oxo-2-phenyl-4H-quinazolin-3-yl)-phenoxy]-acetic acid [1-substituted aminomethyl-2-oxo-1,2-dihydro-indol-3-ylidene]-hydrazides (8a-d). The structures of the newly synthesized compounds have been confirmed by IR, ^{1}H NMR and mass spectra. The compounds have also been screened for their biological activity.



Heterocycl. Commun. 1&2 (2008) 115 – 122

Studies on novel rearangement of benzoxazolethiol

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Department of Photochemistry[1] & Chemistry of Natural and Microbial Products[2] National Research Centre, Dokki, Cairo Egypt

A new chemoselective approach to synthesize a series of benzoimidazole **2a-c, 3, 4a,** b and benzoxazol-2-thiol derivatives **5a-c, 6, 7a,b.** Benzoxazol-2-thiol derivatives under action of N-nucleophiles, by using this methodology, two series of heterocyclic systems were synthesized. 7-Chloro-2-methyl/or aryl-benzo-[4,5]imidazo[1,2,4] thiadiazole derivatives **8,9** and **10a-c** were prepared via cyclocondensation and condensation elimination reaction.