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Graphical Abstract

Heterocyclic Letters 4: iss.-4 (2014), 481-486

One-pot Synthesis of Dihydroquinazolines via Condensation of α-Aminonitriles with 2-Aminoacetophenone

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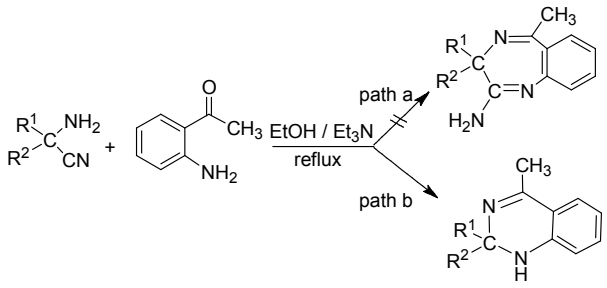
The one-pot condensation reaction of α-aminonitriles with 2-aminoacetophenone afforded the corresponding 2,2-disubstituted-4-methyl-1,2-dihydoquinazoline derivatives, rather than the anticipated 2-amino-1,4-benzodiazepines.



Heterocyclic Letters 4: iss.-4 (2014), 487-495

A convenient synthesis of quinazolines dimers derivatives.

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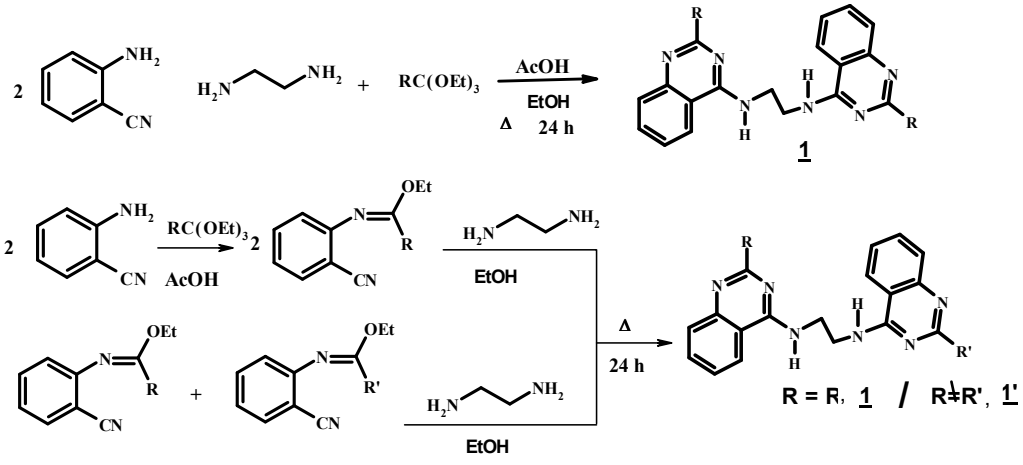
The quinazoline dimer derivatives were obtained by two methods. The first by using the sequential one-pot reaction. In the second method the dimer was synthesized in two steps. The structures of the products have been established with the help of spectral and analytical data.



Heterocyclic Letters 4: iss.-4 (2014), 497-502

Synthesis of novel chloro-3-ethoxy benzyl-piperidin-4-amino benzo[*d*] oxazole-5-sulphanamide

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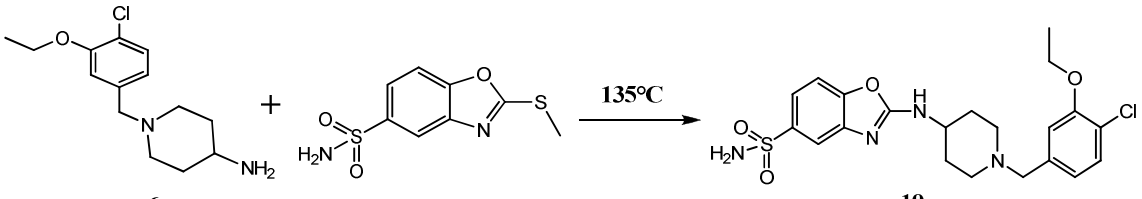
Novel 2-(1-(chloro-3-ethoxybenzyl)piperidin-4-amino)benzo[d]oxazole-5-sulphan amide (10) prepared from 1-(4-chloro-3-ethoxy benzyl)piperidin-4-amine (6) and 2-(methyl thio) benzo[d]oxazole-5-sulphanamide (9). The intermediates were prepared by simple and efficient methods in good yields. All structures of the newly synthesized compounds were confirmed by IR, NMR, mass spectral studies and elemental analysis.



Heterocyclic Letters 4: iss.-4 (2014), 503-514

Synthesis of polynuclear pyrimidine derivatives and their pharmacological activities

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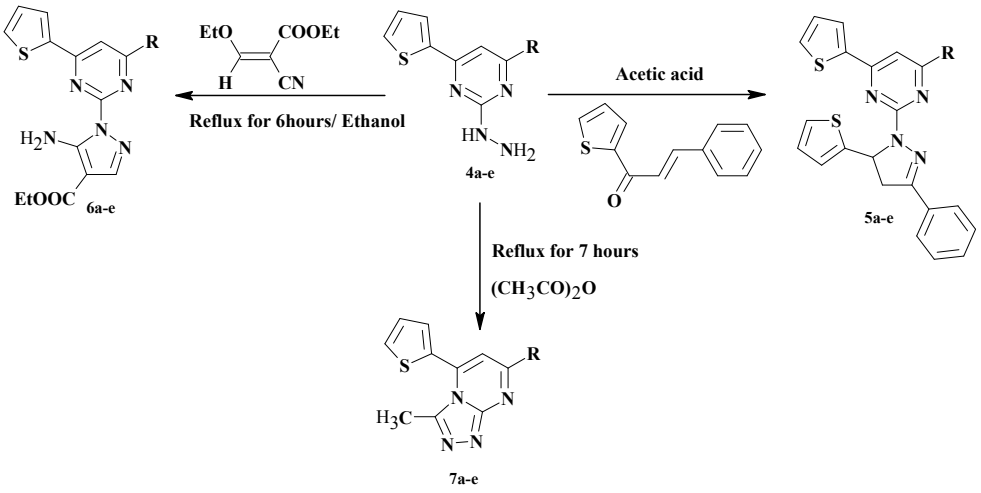
The synthesis of Pyrazole pyrimidine derivatives and Triazole pyrimidine derivatives has been reported. The synthesized compounds have been characterized by their elemental analyses and spectral characteristics.



Heterocyclic Letters 4: iss.-4 (2014), 515-518

An Improved Synthesis Of Raloxifene hydrochoride: A Selective Estrogen Receptor Modulator

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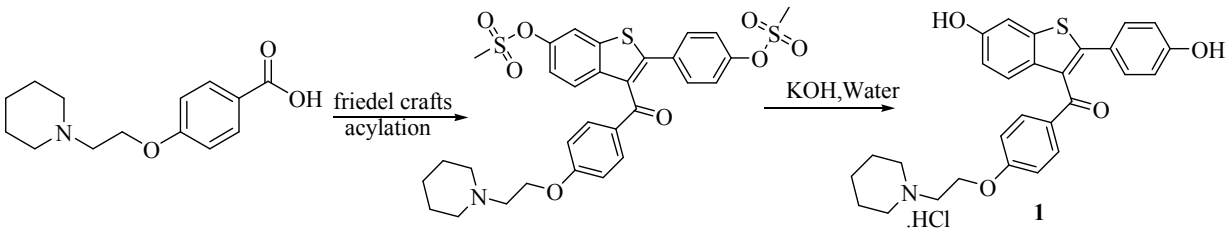
E-mail: prashanthrg@drreddys.com
(Dr Reddy's communication: IPDO-IPM-00317).

Raloxifene hydrochloride (**1**) is a selective estrogen receptor modulator (SERM), belongs to the family of benzothiophene class of compounds. The present work details the journey towards development of a simple, cost effective, environmentally friendly synthesis of raloxifene (**1**). The key feature of the improved synthesis is one pot synthesis and high yielding de-protection of sulfonyl group in water.



Heterocyclic Letters 4: iss.-4 (2014), 519-524

Synthesis of novel derivatives of piperidine

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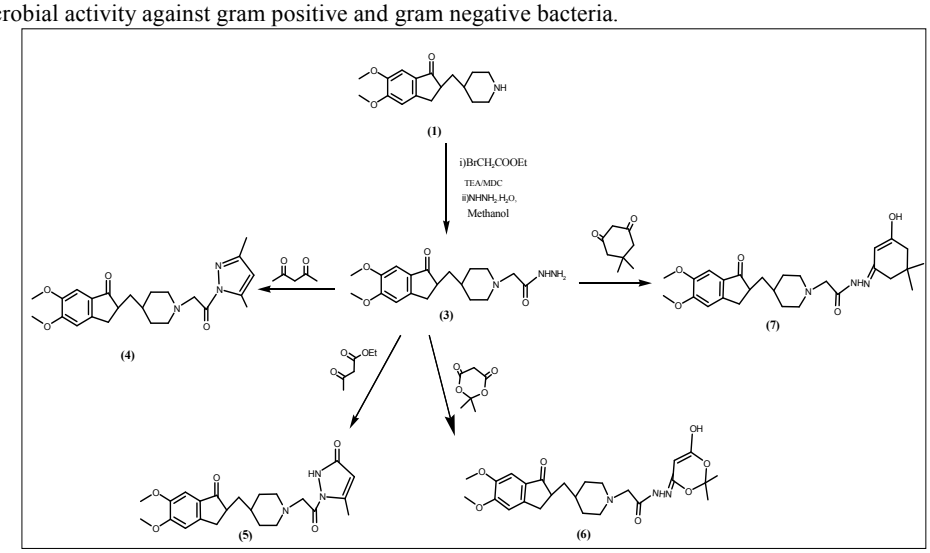
The compound **(2)** was synthesized from 5, 6-Dimethoxy-2-piperidin-4-yl-methyl-indan-1-one **(1)** by treatment with Ethyl bromo acetate and tri ethyl amine in dichloromethane, which on further converted to its hydrazone derivative **(3)** by the action of hydrazine hydrate. **(3)** on further reaction with active methylene groups to furnished respective pyrazoles, Oxadiazole, indazole & triazole. The structures of the synthesized compounds were confirmed by Physico-chemical test and spectral techniques. The representative samples were also screened for their anti-microbial activity against gram positive and gram negative bacteria.



Heterocyclic Letters 4: iss.-4 (2014), 525-535

Fluorescence Study of Zn (II), Cu (II), Ni (II) and La (III) complexes of 3-{(*E*)-[(4-iodophenyl)imino]methyl}quinolin-2-ol

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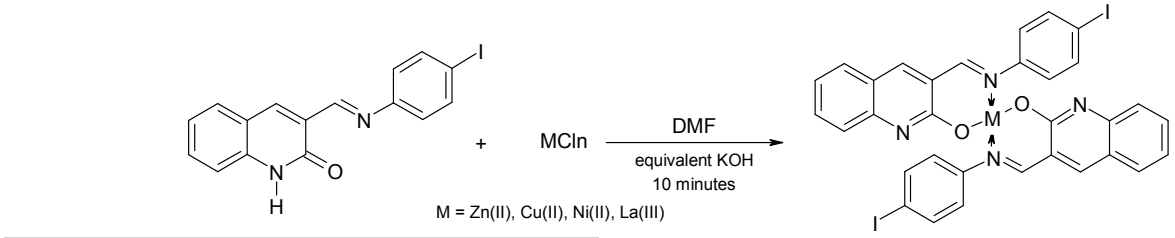
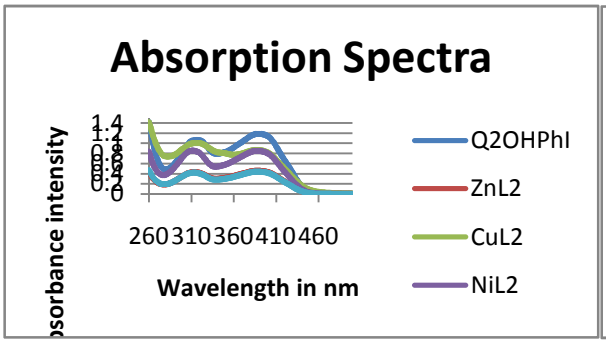
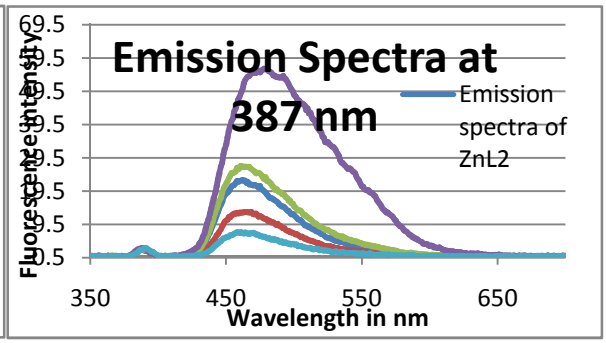
A simple and regioselective synthesis of 2-chloro-3-formylquinoline (**1**) by the cyclisation of N-arylacetamide has been reported by the Vilsmeier Haack reaction/cyclisation which is further undergoes hydroxylation to 3-formyl-2-hydroxyquinoline by using acetic acid. In 3-formyl-2-hydroxyquinoline, the formyl group shows condensation with p-iodoaniline and forming schiff base as 3-{(*E*)-[(4-iodophenyl)imino]methyl}quinolin-2-ol. It acts as 1,5-bidentate ligand and forming complex of the type [ML_2] where M is Zn, Cu, Ni and La which are further subjected to fluorescence study. The Schiff base shows weak emission at 461 nm (weak) for the absorption wavelength 387 nm whereas its complexes shows strong emission at 462 nm (moderate) [ZnL_2, at 387 nm], 478 nm (strong) [CuL_2, at 387 nm], 465 nm (moderate) [NiL_2, at 387 nm] and 461 nm (moderate) [LaL_2, at 387 nm]. The complexes having very high quantum efficiency than the schiff base.







Heterocyclic Letters 4: iss.-4 (2014), 537-547

Synthesis and pharmacological evaluation of novel spiro 4-thiazolinone derivatives as antimicrobial agents

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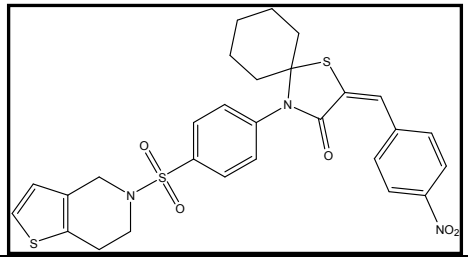
A novel series of heterocyclic compound 4-(4-(6,7-dihydro thieno[3,2-*c*]pyridin-5(4*H*)-ylsulfonyl)phenyl)-1-thia-4-aza spiro[4.5]decan-3-one 5 derivatives have been synthesized and evaluated for their antibacterial(MIC) activity and antifungal (MIC) activity against various bacteria and fungi. Many of the synthesized compounds showed good activity against the test bacteria and fungi.



Heterocyclic Letters 4: iss.-4 (2014), 549-558

Synthesis and screening of 2-(2-(3-(4-formyl-tetrahydro-2-phenylthiophene-3-yl)-1h-indol-1-yl)acetamido)-n[1]-(2-oxo-1-(piperidin-1-yl)methyl)indolin-3-ylidene)methylene)propane hydrazine

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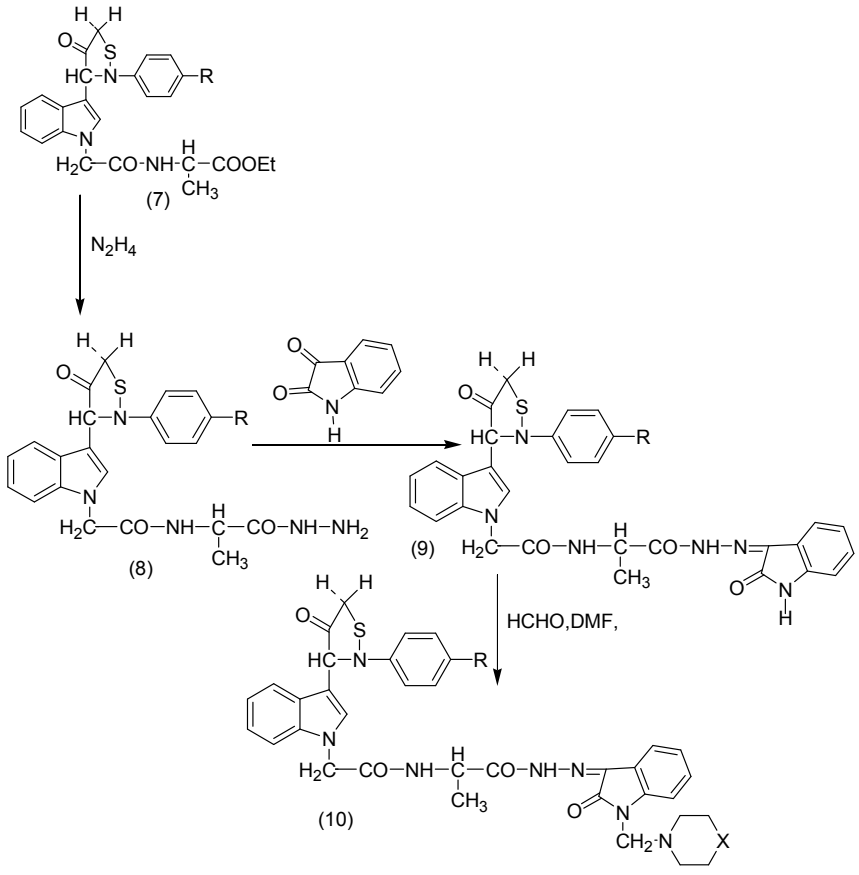
Synthesis of 2-(2-(3-(4-formyl-tetrahydro-2-phenylthiophene-3-yl)-1H-indol-1-yl)acetamido)-N^1-(2-oxo-1-(piperidin-1-yl)methyl)indolin-3-ylidene)methylene)propane hydrazine (10) have been reported.They have been prepared by using indole-3-carbaldihide treated with Schiff bases Mercapto acetic acid,DMF solvent. The compound 2-(2-(3-(4-oxo-2-phenyl isothiazolidin-3-yl)-1H-indol-1-yl)acetamido)propane hydrazide(8) condensed with isatin then 2-(2-(3-(4-formyl-tetrahydro-2-phenylthiophene-3-yl)-1H-indol-1-yl)acetamido)-N^1-(2-oxo-indolin-3-ylidene)methylene)propane hydrazine(9) is obtained.

Finally 9(a) compound treated with Mannich bases we obtained 2-(2-(3-(4-formyl-tetrahydro-2-phenylthiophene-3-yl)-1H-indol-1-yl)acetamido)-N^1-(2-oxo-1-(piperidin-1-yl)methyl)indolin-3-ylidene)methylene)propane hydrazine(10) target molecule. The structure of these newly synthesized compounds were characterised by ^{1}H NMR,^{13}CNMR ,Mass ,IR, and elemental analysis. The antimicriobial activity of the novel compounds was screened by agar disc diffusion method. The chemical structures of the newly synthesized compounds were elucidated by their IR, *1*H NMR and Mass spectral data analysis. Further the compounds are used to find out their ability towards anti microbial and nematicidal activity.



Heterocyclic Letters 4: iss.-4 (2014), 559-564

Effective and regioselective 5-iodination of pyrimidine bases and corresponding nucleosides by an inexpensive iodine-sodium nitrite reagent

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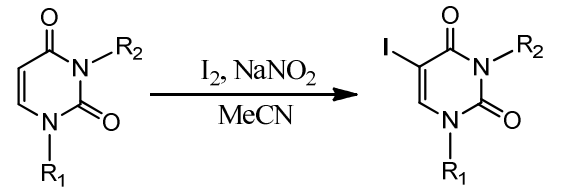
A new eco-friendly method for the regioselective 5-iodination of pyrimidine bases and the corresponding nucleosides at room temperature with iodine and sodium nitrite is developed. The method is simple and gives high yield in less reaction time under mild reaction conditions.



Pyrimidine 5-iodo-pyrimidine

Heterocyclic Letters 4: iss.-4 (2014), 565-569

A convenient microwave induced synthesis of some novel pyrazolines containing substituted benzyloxy phenyl ring system

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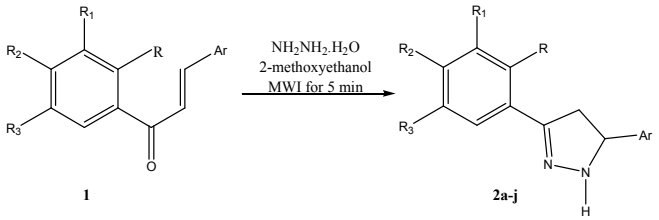
[2]*Laboratory of Organic Synthesis, Department of Studies in Chemistry, YeshwantMahavidylaya, Nanded-431602, India.*
[3]*Department of Chemistry, Maharashtra UdaigiriMahavidyalaya, Udgiri (MS) India.*



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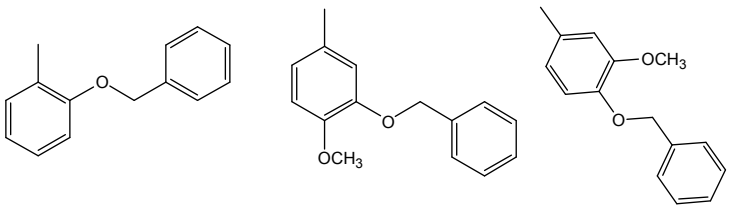

3a 3b 3c

Heterocyclic Letters 4: iss.-4 (2014), 571-585

Synthesis and anti-inflammatory evaluation of novel benzimidazole analogues containing triazole, thiazole, arylazetidinone and arylthiazolidinone moieties

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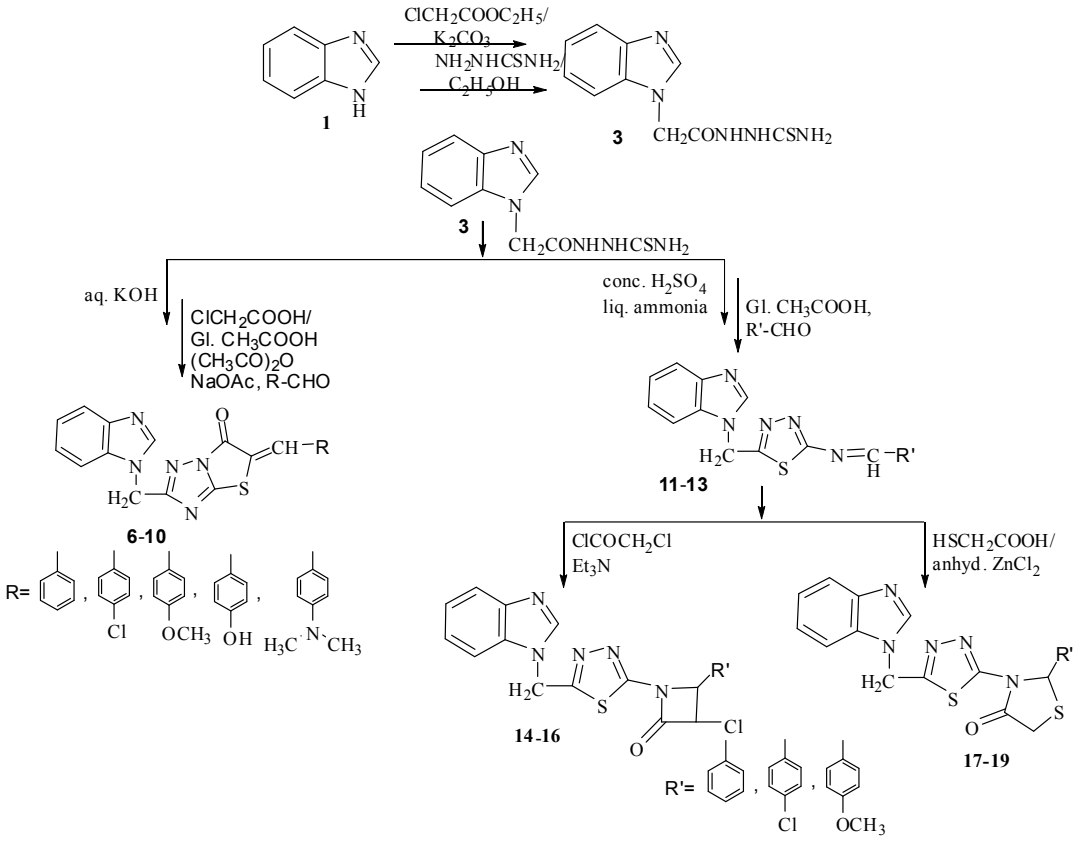
In search for new leads towards potent anti-inflammatory agents, an array of novel (Z)-2-((1*H*-benzo[d]imidazol-1-yl)methyl)-5-arylidenethiazolo[3,2-b][1,2,4]triazol-6(5*H*)-one (**6-10**) have been synthesized from 3-((1*H*-benzo[d]imidazol-1-yl)methyl)-1*H*-1,2,4-triazole-5(4*H*)-thione (**4**). Another potent anti-inflammatory agents 1-(5-((1*H*-benzo[d]imidazol-1-yl)methyl)-1,3,4-thiadiazol-2-yl)-3-chloro-4-arylazetidin-2-one (**14-16**) and 3-(5-((1*H*-benzo[d]imidazol-1-yl)methyl)-1,3,4-thiadiazol-2-yl)-2-arylthiazolidin-4-one (**17-19**) have also been synthesized from 5-((1*H*-benzo[d]imidazol-1-yl)methyl)-*N*-arylidene-1,3,4-thiadiazol-2-amine (**11-13**). Structures of all the compounds were confirmed by elemental and spectral data. Further, these compounds were subjected to screen for their toxicity profile, anti-inflammatory activity and ulcerogenic liability. Structure activity relationship results of the compounds indicates that 1-(5-((1*H*-benzo[d]imidazol-1-yl)methyl)-1,3,4-thiadiazol-2-yl)-3-chloro-4-(4-chlorophenyl)azetidin-2-one (**15**) displayed better anti-inflammatory activity.



Heterocyclic Letters 4: iss.-4 (2014), 587-596

Synthesis and screening of (e)-1-(4-(2-(((phenylamino)methyl) amino)acetyl)phenyl)-4-(2-phenylhydrazono)-3-(trichloromethyl)-1h-pyrazol-5(4h)-one

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Synthesis of (E)-1-(4-(2-(((phenylamino)methyl)amino)acetyl)phenyl)-4-(2phenylhydrazono)-3-(trichloromethyl)-1H-pyrazol-5(4H)-one achieved by reaction of E-2-(4-(5-oxo-4-(2-phenylhydrazono)-3-(trichloromethyl aceticacid (2)) in presence of DMF, aq $NaNO_3$, isobutyl formamide afforded corresponding compound (3) which was subjected to mannish reaction with cyclic secondary amines such as piper dine or morpholine or N-methyl piparazine in presence of formaldehyde in DMF to yield corresponding mannish base(E)-1-(4-(2-(((phenylamino)methyl)amino)acetyl)phenyl)-4-(2phenylhydrazono)-3-(trichloromethyl)-1H-pyrazol-5(4H)-one (4) in excellent yield. The structure of these newly synthesized compounds were characterised by H^1-NMR,C^{13}-NMR, Mass and IR elemental analysis