

Summary

Reaction of 2-[4-(4-chlorobenzylidene)-5-oxo-4,5-dihydroazol-2-ylmethyl]isoindole-1,3-dione (**1**) with 4-aminoacetophenone gave the imidazole derivative(**2**) , which treatment with 4-chlorobenzaldehyde in alcoholic potassium hydroxide afforded 2-(4-(4-chlorobenzylidene)-1-(4-[4-chlorophenyl)-but-2-enoyl]phenyl)-5-oxo-4,5-dihydro- *1H*-imidazol-2-ylmethyl)isoindole-1,3-dione(**3**). The reactivity of compound **3** towards nitrogen nucleophiles was investigated.

Thus, the reaction of compound (**3**) with hydrazine hydrate or/ semicarbazide afforded the pyrazole derivatives (**4a,b**). Meanwhile, the reaction of (**3**) with hydroxylamine hydrochloride in refluxing pyridine gave the isoxazole derivative (**5**). Treatment of (**3**) with urea or/ thiourea in refluxing sodium ethoxide solution gave the pyrimidine derivatives **6(a,b)**. The reaction of (**3**) with aromatic amines namely, aniline, *p*-toluidine and *p*-anisidine gave the imidazole derivatives **7(a-c)** respectively. Also, the reaction of (**3**) with active methylene compounds viz ethyl cyanoacetate and malononitrile gave pyridine derivatives **8(a,b)**.

Moreover, treatment of (**3**) with hydrogen peroxide in presence of methanol gave 2-(4-(4-chlorobenzylidene)-1-(4-[3-chlorobenzyl)oxirane carbonyl]benzyl)-5-oxo-4,5-dihydro- *1H*-imidazol-2-ylmethyl)isoindole - 1,3-dione (**9**) .

The work was extended to study the behavior of oxarine derivative **(9)** toward some nitrogen nucleophiles. Thus, reaction of **(9)** with hydrazine hydrate afforded the pyrazole derivatives **10(a,b)**,

while treatment of **(9)** with hydroxylamine hydrochloride gave isoxazole derivative **(11)**. Furthermore, refluxing of **(9)** with thiourea in DMF gave 2-{1-[4-[4-(4-chlorobenzyl)-2-thioxoxazolidine-5-carbonyl]benzyl]-4-[2-(4-chlorophenyl)ethylidene]-5-oxo-4,5-dihydro-1*H*-imidazol-2-ylmethyl}isoindole-1,3-dione **(12)**. Treatment of **(9)** with glycine in DMF gave the morpholine derivative **(13)**.

Condensation of **(1)** with glycine yielded imidazolyglycine **(14)** which on treated with thionyl chloride followed by addition of ammonium thiocyanate afforded [4-(4-chlorobenzylidene)-2-(1,3-dioxo-1,3-dihydroisoindol-2-ylmethyl)-5-oxo-4,5-dihydroimidazol-1-yl]acetylthiocyanate **(15)**.

Reaction of **(15)** with phenylhydrazine in dry acetone gave triazole derivative **(16)**, whereas reaction of **(15)** with o-aminophenol gave thiourea derivative **(17)**, which upon heating in acetic anhydride afforded 2-[4-(4-chlorobenzylidene)-2-(1,3-dioxo-1,3-dihydroisoindol-2-ylmethyl)-5-oxo-4,5-dihydroimidazol-1-yl]-*N*-(3*H*-indol-2-yl)]acetamide **(18)**. Also, reaction of **(15)** with anthranilic acid in dry acetone gave thiourea derivative **(19)**, which was boiled in acetic anhydride gave quinazoline derivative **(20)**.

Finally, oxadiazine derivatives **(21)**, **22(a-c)** were obtained in good yields from the cyclocondensation of **(15)** with phenylisothiocyanate and Schiff bases, respectively.

Also, compound **(15)** was reacted with active methylene compounds gave **23(a,b)** and **(24)**. It was reacted with thioglycolic acid gave compound **(25)** which cyclized in acetic anhydride gave **(26)**. Compound **(14)** was reacted with hydrazine hydrate gave compound **(27)**.

Synthesis of 2-(*N*-phthalimidomethyl)-4-phthalidene-5(4)-oxazolone(**28**) and its chemical character towards base catalysed or/acid catalysed ring opening reaction was studied. It was found that **(28)** reacted with aromatic amine and amino carboxylic acid, amino derivatives gave imidazolone derivatives.

Compound **(28)** reacted also with hydrazine hydrate gave a mixture of 1,4-phthalazindione (**32**) and cinnamic acid hydrazide derivative(**33**) and with phenyl hydrazine gave mixture of *N*-anilinophthalimide (**34**) and cinnamic acid hydrazide derivative (**35**) and with hydroxyl amine hydrochloride gave **(36)**.

The reaction of **(28)** with aluminum chloride in presence of reactive aromatic substrates namely, toluene, o-xylene, m-xylene gave *N*-phthalimidoacetamidomethylarylketone **37(a-c)** were also investigated.

The structure of all the synthesized derivatives is established by: (i) elemental analysis, (ii) IR, (iii) NMR, (iv) Mass spectra.

Biological activity of the synthesized compounds have been investigated and the result are cited in test.
