
Synthesis and reactions of some nitrogen heterocyclic compounds of expected biological activities

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Reaction of phthalyl glycol with tryptophan yielded compound which cyclized in acetic anhydride to give 2-[4-(1H-Indol-3-ylmethyl)-5-oxo oxazolidin-2-ylmethyl]-isoindole-1,3-dione (1) via Erlenmeyer synthesis. The reactivity of oxazolone derivative towards nitrogen nucleophiles was investigated. It was found that the oxazolone (1) reacted with primary amines in DMF solution and yielded the corresponding 2-[2-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)- acetylami-no]-3-(1H-indol-3-yl)-aryl-propion-amide (2a-f). It also reacts with secondary amine -namely piperidine to yield 2-(1, 3-Dioxo-1, 3-dihydro-isoindol-2-yl)-N-[1-(1H indol-3-ylmethyl)-2-oxo-2-piperidin-1-yl-ethyl]-acetamide (3). Compound (1) also reacted with amino acids in DMF solution to give the corresponding [2-[2-(2,3-Dioxo --1,3-dihydro-isoindol-2-yl)-acetyl amino]-3-(1H-indol-3-yl)-propiony lamino]-(acetic/prop-ionic/-3-(1H-indol-3-yl)-propionic) acid (4a-c). The reaction of (1) -with hydrazine hydrate in DMF solution afforded 2-(1,3-Dioxo-1,3-dihydro isoindol-2-yl)-N-[2-(1H-indol-3-yl)-1-(N'-phenyl-hydrazinocarbonyl)-ethyl]-acetamide (5). Similarly, it condensed with phenyl hydrazine in DMF solution and afforded 2-(1,3 --Dioxo-1,3-dihydro-isoindol-2-yl)-N-[2-(1H-indol-3-yl)-1-(N'-phenyl -hydrazinocarbonyl)-ethyl]-acetamide (6). 2-(1,3-Dioxo-1,3-dihydro isoindol-2-yl)-N-[2-(1H-indol-3-yl)-1-(N'-phenyl-hydrazinocarbonyl)-ethyl]-acetamide (6) was cyclised by treatment with acetic acid and anhydrous sodium acetate to gave the corresponding triazines (7a,7b). Also oxazolone (1) reacted with hydroxyl -amine hydrochloride in boiling pyridine and DMF to gave 2-[1-Hydroxy-4-(1H indol-3-ylmethyl)-5-oxo-4,5-dihydro-1H-imidazol-2-ylmethyl]-isoindole-1,3-dione (8). The imidazolone derivative (8) reacted with hydrazine in ethanol or acetic acid and gave the corresponding triazine (7a). Also oxazolone (1) reacted with sodium azide in acetic anhydride to give the 2-[5-(1H-Indol-3-ylmethyl)-6-oxo-2-phenyl-1,2,5 ,6-tetrahydro-[1,2,4]triazin-3-ylmethyl]-isoindole-1,3-dione (9). The work was extended to study the behavior of imidazolone derivative (8) towards some nitrogen nucleophiles. Thus, dissolving imidazolone derivative (8) in THF followed by coupling with pht-and/or tos amino acids in THF and DCC gave compounds (19a-e), (20a-d) via carbodiimide method. Hydrazinolysis of pht-amino acid imidazolone derivatives (19a-e) yielded the corresponding 2-(aminoacyl) imidazolones (21a-e). The structure of all the synthesized derivatives is established by: (i) Elemental analysis, (ii) IR, (iii) NMR, (iv) Mass spectra. Biological activities of the synthesized compounds have

been investigated and the results are cited in test.