

Summary

4,5,6,7-Tetrabromo-2-[4-(4-chloro-benzylidene)-5-oxo-4,5-dihydrooxazol-2-ylmethyl]-isoindole-1,3-dione (**1**) was obtained from the condensation of aromatic aldehydes with aroylglycine, via Erlenmeyer synthesis.

It reacts with primary amines namely, (propyl amine, pentyl amine, hexyl amine, p-nitroaniline, p-anizidine, p-phenylene-diamine) in DMF solution to give the corresponding 3-(4-Chloro-phenyl)-N-alkyl-2-[2-(4,5,6,7-tetrabromo-1,3-dioxo-1,3,3a,7a-tetrahydro-isoindol-2-ylacetyl-amino)]-acryl amide (**2a-f**).

The oxazolone (**1**) reacts with secondary amines namely, piperidine to yield N-[2-(4-Chloro-phenyl)-1-(piperidine-1-carbonyl)-vinyl]-2-(4,5,6,7-tetrabromo-1,3-dioxo-1,3,3a,7a-tetrahydro-isoindol-2-yl)-acetamide (**3**).

Compound (**1**) reacts with amino acids in DMF to give the corresponding {3-(4-Chloro-phenyl)-2-[2-(4,5,6,7-tetrabromo-1,3-dioxo-1,3,3a,7a-tetrahydro-isoindol-2-yl)-acetyl-amino]-acryloyl-amino}-acetic acid (**4,5**).

It reacts with hydrazine hydrate in DMF solution to give the corresponding N-[2-(4-Chloro-phenyl)-1-hydrazinocarbonyl-vinyl]-2-(4,5,6,7-tetrabromo-1,3-dioxo-1,3,3a,7a-tetrahydro-isoindol-2-yl)-acetamide (**6**). Similarly, it condensed with phenyl hydrazine in boiling DMF and yielded the corresponding N-[2-(4-Chloro-phenyl)-1-(N'-phenyl-hydrazino-carbonyl)-vinyl]-2-(4,5,6,7-tetrabromo-1,3-dioxo-1,3,3a,7a-tetrahydro-isoindol-2-yl)-acetamide (**7**).

Summary

N-[2-(4-Chloro-phenyl)-1-(N'-phenyl-hydrazino-carbonyl)-vinyl]-2-(4,5,6,7-tetrabromo-1,3-dioxo-1,3,3a,7a-tetrahydro-isoindol-2-yl)-acetamide (**7**) were readily cyclised by treatment with acetic acid and anhydrous sodium acetate to give the corresponding triazines (**8a**, **8b**).

4,5,6,7-Tetrabromo-2-[4-(4-chloro-benzylidene)-5-oxo-4,5-dihydrooxazol-2-ylmethyl]-isoindole-1,3-dione (**1**) reacts with hydroxylamine hydrochloride in boiling pyridine and DMF to give 4-arylidene-1-hydroxy-2-aryl-5-imidazolones (**9**).

1-hydroxy-5-imidazolone derivative (**9**) reacts with hydrazines in ethanol or acetic acid to give 1,2,4-triazine derivatives (**8a**).

Compound (**1**) reacts with sodium azide in acetic anhydride to give 3-(4-Chloro-phenyl)-2-[5-(4,5,6,7-tetrabromo-1,3-dioxo-1,3,3a,7a-tetrahydro-isoindol-2-ylmethyl)-tetrazol-1-yl]-acrylic acid (**10**).

2N-(pht-amino acid) and 2N-(tos-amino acid) imidazolones (**20a-d**), (**21a-d**) were prepared via the carbodiimide method. Imidazolone derivatives are dissolved in tetrahydrofuran (THF) and coupled with a solution of pht-and/or tos-amino acid in tetrahydrofuran and N,N-dicyclohexylcarbodiimide (DCC) added to yield the compounds (**20a-d**), (**21a-d**).

Synthesis of 2-(aminoacyl) imidazolones (**22a-d**) were performed by hydrazinolysis of the corresponding pht-amino acid imidazolones derivatives (**20a-d**).

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.
