INTRODUCTION

Oxazolone is a class of small heterocycles which are important intermediates in the synthesis of several small molecules, including amino acids, peptides, antimicrobial or antitumor compounds, heterocyclic precursors as well as biosensors coupling and photosensitive composition devices for proteins. Some oxazolones have shown a wide range of pharmaceutical properties. 5-oxazolone derivatives are synthesized by the Erlenmeyer condensation as reported in the literature.

Literature survey reveals that various imidazolinone derivatives possess a broad spectrum of activities which are reflected by their use as anticonvulsant and anti-Parkinsonian agents. Some novel imidazolines have been synthesized by using different oxazolones and condensing them with aliphatic and aromatic amines and with sulphonamide as anticonvulsant agents.

SYNTHESIS, CHARACTERIZATION AND ANTIBACTERIAL SCREENING OF SOME NOVEL BIPHENYL-4-CARBOXYLIC ACID (4-BENZYLIDENE-5-OXO-2-SUBSTITUTED PHENYL-4,5-DIHYDRO-IMIDAZOL-1-YL)-AMIDE

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(Received: March 20, 2009; Accepted: May 03, 2009)

ABSTRACT

Imidazolinone derivatives of 4a-l have been prepared by the condensation of biphenyl-4-carboxylic acid hydrazide with 5-oxazolone derivatives, which were prepared by Erlenmeyer condensation of benzoyl glycine with different aldehydes in the presence of sodium acetate and acetic anhydride. The compounds 3a-l was further reacted with biphenyl-4-carboxylic acid hydrazide to give 4a-l in basic condition. The constitution of the products has been supported by IR, 1H-NMR, 13C-NMR Mass spectra and Elemental analysis data.

Key words: Imidazolinone derivatives, synthesis, antibacterial screening.
synthesis of the key intermediate biphenyl carboxylic acid hydrazide has been synthesized from ester by the method of Bernstein. The author have also shown the synthesis of biphenyl-4-carboxylic acid hydrazide and various 5-oxazolones to give novel imidazolinones.

Commercially available biphenyl was heated with acetic anhydride in CS$_2$ in the presence of anhydrous AlCl$_3$ at 45-50°C (Friedel Craft acylation). This yielded p-phenyl acetophenone. p-Phenylacetophenone for the preparation of biphenyl carboxylic acid from Hechenbleikner (28) method was somewhat modified. Powdered p-phenyl acetophenone was directly added to sodium hypobromite solution in portions with in 15 minutes and stirred vigorously for ½ hr then 70 ml dioxane was added. Stirring was continued for further 4 hrs. Temperature of the reaction mixture increases up to 45°C due to exothermic reaction. After completion of reaction, sodium dithionate or sodium metabisulphite solution was added to remove the excess hypobromite then water was added. About 70% of added water was distilled off, then the solution was acidified with concentrated HCl and purified with acetic acid.

**EXPERIMENTAL**

All the recorded melting points were determined in open capillary tubes and are uncovered. All the chemicals and solvents used are of Laboratory Grade and solvents were purified. Completion of the reaction was monitored by TLC (silica gel GF254 (E. Merck), Toluene: Methanol= 8:2). The final products were purified by column chromatography using silica gel in increasing percentage of ethyl acetate in carbon tetrachloride. I.R (Infrared Spectrum) (KBr, cm$^{-1}$) were recorded on a Shimadzu-8400 FT-IR spectrometer. $^1$H NMR spectra on a Brucker spectrometer (300MHz) using TMS as a internal standard (chemical shift in $\delta$, ppm) in CDCl$_3$ and DMSO d6 and mass spectrum was recorded on Hewlett-Packard 5989, a Quadrupole Mass Spectrum and LC-MS on Perkin Elmer API 165. All the synthesized compounds gave satisfactory C, H, N analyses on Perkin Elmer (U.S.A) 2400 Series.

![Scheme 1](image-url)
4-Arylidene-2-phenyl-5-(4H)-oxazolones were prepared according to the reported method 11.

Preparation of biphenyl-4-carboxylic acid hydrazide 2

Hydrazine hydrate (80%) (0.4 mol) and 20 ml methanol were taken in a three necked flask,
Fig. 2: 4a-White

Fig. 3.
fitted with mechanical stirrer and reflux condenser. The methyl 1,1'-biphenyl-4-carboxylate (0.2 mol) was added slowly in portions with in 15 min. Refluxing was continued for 3 hrs. Excess methanol was distilled off. Reaction mixture was cooled and solid mass obtained was isolated by filtration, dried and recrystallized from ethanol. Purity of white crystals of biphenyl-4-carboxylic acid hydrazide having MP 185-190°C was checked by TLC.
General procedure for the preparation of Biphenyl-4-carboxylic acid (4-benzylidene-5-oxo-2-substituted phenyl-4,5-dihydro-imidazol-1-yl)-amide (4a-l)

2-Phenyl-4-benzylidene-5-oxazolone (2.49 g, 0.01 mol) was heated with an equimolar quantity of biphenyl-4-carboxylic acid hydrazide 2 (0.01 mole) in pyridine on oil bath at 140 °C for 4 hours. The resulting jelly-like mass was taken in an organic solvent and refluxed for 6 hours with continuous removal of water, cooled, excess solvent removed under vacuum and the resultant solid was worked up and purified over a column of silica gel, and the solid recrystallised from light petroleum to get 5-imidazolinone and was found chromatographically homogeneous.

RESULTS AND DISCUSSION

Imidizoline derivatives are useful intermediates in the heterocyclic chemistry. The synthesis of the compound is performed by the literature and the novel biphenyl derivative prepared is having good stability. The C NMR Signal is also supporting the presence of group attached. IR Spectra is also absolute clear and showing the condensation successfully. Activity photographs is quite clear and it shows good antibacterial activities. Thus the route and the molecules is right and it is having further scope to develop.

ACKNOWLEDGMENTS

I am thankful to the research student Dr. Pralav bhatt and Mr. Shailesh shah who gave me support to prepare this paper.
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