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**Research Article**


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# Studies on synthesis of some novel derivatives of Azlactone and their Antimicrobial activity

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## Abstract

Azlactones have recently attracted a great deal of research efforts from the synthetic community. A Novel series of 1-((E)-2-hydroxy-3-((Z)-(5-oxo-2-phenyloxazol-4(5H)-ylidene)methyl)benzylidene)-3-((substituted 2-hydroxy-3-((Z)-(5-oxo-2-phenyloxazol-4(5H)-ylidene)methyl)phenyl)(4-methoxy-3-((E)-(5-oxo-2-phenyloxazol-4(5H)-ylidene)methyl)phenyl)methyl)urea/thiourea and 1-((E)-2-hydroxy-3-((Z)-(5-oxo-2-((E)-styryl)oxazol-4(5H)-ylidene)methyl)benzylidene)-3-((substituted2-hydroxy-3-((Z)-(5-oxo-2-((E)-styryl)oxazol-4(5H)-ylidene)methyl)phenyl)(4-methoxy-3-((E)-(5-oxo-2-((E)-styryl)oxazol-4(5H)-ylidene)methyl)phenyl)methyl)urea/thiourea has been synthesized. IR studies established the structures of the newly synthesized compounds. The antimicrobial activity of the synthesised compounds has been studied against the cultures "*Staphylococcus aureus*" and "*Candida albicans*".

**Keywords:** Oxazolone**,** Erlenmeyer Plöchl reaction, antimicrobial activity.

## Introduction

Azlactone is due to its essential structural framework and important contributions to drug properties. Azlactones contain an oxazolone moiety and consist of lactone-based functional groups that can undergo ring-opening reactions when interacting with nucleophiles like primary amines, alcohols, or thiols [1-3].

The Erlenmeyer Plöchl reaction, which uses acetic chloride as a dehydrating agent, is the process by which aromatic aldehydes and hippuric acid condense with a stoichiometric amount of fused sodium acetate to create oxazolone.

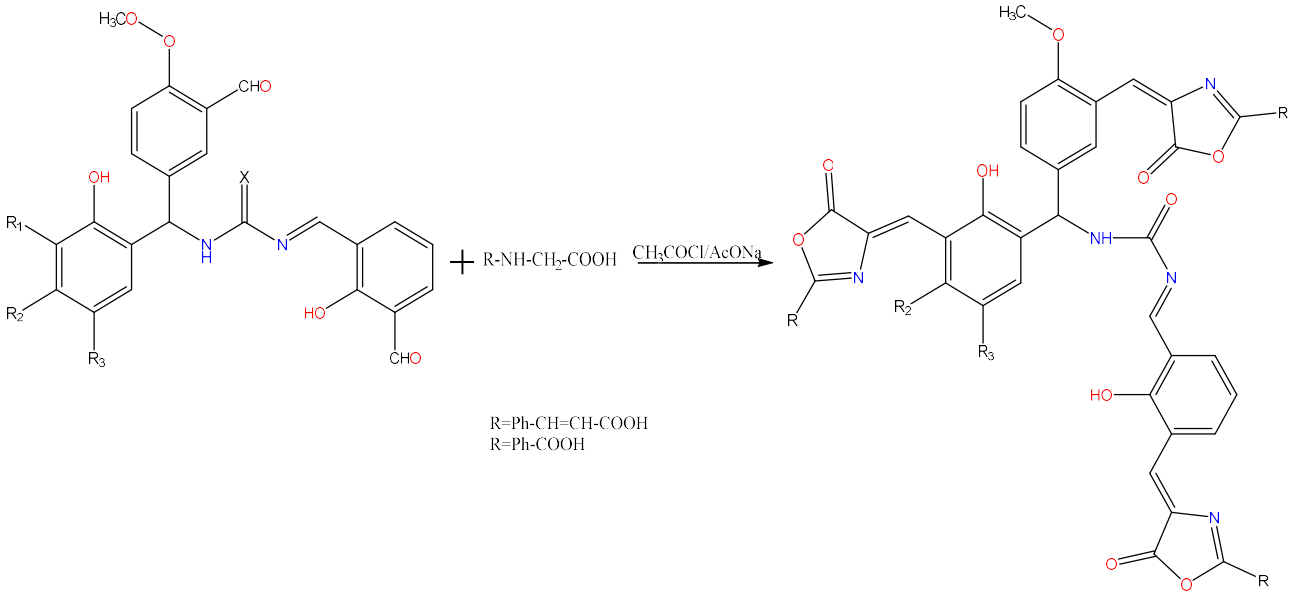
Their adaptability arises from the presence of an acidic hydrogen (pKa 9)[4-5]. The notable importance of this heterocycle is its reactivity, allowing it to function as a substrate for various reactions, mainly producing selective C-C and C-X bonds (where X denotes a heteroatom)[6,7].

Azlactones are also noted for their antifungal, antibacterial, and anti-inflammatory activities. These insights, along with the essential role of heterocyclic azlactone derivatives in specific biological reactions, have inspired us to synthesize these heterocyclic derivatives.

## Methodology

Condensation of an equimolar quantity of substituted amino acid and substituted aldehyde in the presence of acetyl chloride and fused sodium acetate was carried out in a round-bottom flask fitted with a reflux condenser. The contents were heated in a steam bath for about 2 hours and then cooled. This content is treated with aqueous ethanol, and the solid is filtered. The product was recrystallized from aqueous ethanol.

### Synthesis pathway of the compound:




### Physical Data:

| Compound code | Chemical formula | Molecular weight | Appearance | Melting point | Yield (%) |
|---|---|---|---|---|---|
| I | $C_{52}H_{34}N_6O_{11}S$ | 950.94 | Maroon Red | 270°C | 57% |
| II | $C_{52}H_{35}N_5O_{11}$ | 905.88 | Brick-Red | 260°C | 62% |

## Results and Discussion

**Compound code I** 1-((E)-2-hydroxy-3-((Z)-(5-oxo-2-phenyloxazol-4(5H)-ylidene)methyl)benzylidene)-3-((2-hydroxy-5-nitro-3-((Z)-(5-oxo-2-phenyloxazol-4(5H)-ylidene)methyl)phenyl)(4-methoxy-3-((E)-(5-oxo-2-phenyloxazol-4(5H)-ylidene)methyl)phenyl)methyl)thiourea

**IR ($cm^{-1}$):** 3386 O–H/N–H, 1700 C=O, 1650–1590 C=N/ C=C, 1520/1388 $NO_2$ asymmetric/symmetric stretch, 1250–1100 C–O/C–S, 1000–650 Aromatic C–H bending and fingerprint.

**Compound code II** 1-((2,4-dihydroxy-3-((Z)-(5-oxo-2-phenyloxazol-4(5H)-ylidene)methyl)phenyl)(4-methoxy-3-((E)-(5-oxo-2-phenyloxazol-4(5H)-ylidene)methyl)phenyl)methyl)-3-((E)-2-hydroxy-3-((Z)-(5-oxo-2-phenyloxazol-4(5H)-ylidene)methyl)benzylidene)urea

**IR ($cm^{-1}$):** 3380-3340 (O-H), 3026.86 (aromatic C-H), 2917.37 (aliphatic C-H/-OCH3), 1713.85 (C=O), 1637.96 (C=N/C=C/C=O mixed region), 1594.40-1450.69 (aromatic region/C=N), 1385.97-1247.84 (C-N/C-O/OCH3), 698.90-659.84 (aromatic C-H out of plane).

## Antimicrobial activity:

### Methodology in brief:

- Before starting the experiment, the bacteria *Staphylococcus aureus* (ATCC 25923) and *Candida albicans* (ATCC 10231) were revived in nutrient broth and PD broth (HiMedia) and maintained up to a 0.5 McFarland standard.
- For antimicrobial activity, Muller-Hinton agar plates and potato dextrose agar plates were prepared for working with bacteria and fungi, respectively.
- The given samples were dissolved in a 5% DMSO solution with a concentration of 10mg/mL.
- Bacterial and fungal inoculum were taken from broth-revived cultures using a sterile swab and seeded onto their respective media, followed by punching 5 wells of 6mm diameter.
- 20µL of each sample was poured into each 5 different wells of pre-inoculated culture plates, separated with different microbial species.
- The culture plates with bacterial species were incubated at 37°C for 24 hours, while the plates with fungal and yeast species were incubated at 25°C for 24 hours in the case of yeast and 5 days in the case of fungal species, respectively.
- Observations were taken in the form of a zone of inhibition after incubation.

Results of in vitro antimicrobial activity (sensitivity of MIC) of the test sample at 5 different concentrations against ATCC cultures of bacteria and fungi

| S.No. | Test microbes | Diameter of zone of inhibition (in mm) at different sample concentrations | | | | |
|---|---|---|---|---|---|---|
| | | 5 mg/mL | 2.5 mg/mL | 1.25 mg/mL | 0.625 mg/mL | 0.313mg/mL |
| 1. | *S. aureus* | 17mm | 15mm | 12mm | Nil | Nil |
| 2. | *C. albicans* | 25mm | 16mm | Nil | Nil | Nil |

Results of in vitro antimicrobial activity (sensitivity of MIC) of the test sample at 5 different concentrations against ATCC cultures of bacteria and fungi

| S.No. | Test microbes | Diameter of zone of inhibition (in mm) at different sample concentrations | | | | |
|---|---|---|---|---|---|---|
| | | 5 mg/mL | 2.5 mg/mL | 1.25 mg/mL | 0.625 mg/mL | 0.313mg/mL |
| 1. | *S. aureus* | 17mm | 13mm | 06mm | Nil | Nil |
| 2. | *C. albicans* | 15mm | 2mm | Nil | Nil | Nil |

## Conclusion

In conclusion, we have reported an efficient procedure, which can be applied to the synthesis of aromatic azlactones in good yields.

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