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A novel acrylic monomer containing 1,2,3-Triazole: Synthesized, characterized, and tested for antibacterial activity

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Abstract---Antibacterial, antifungal, hypoglycemic, antihypertensive, analgesic, anti-inflammatory, anti-tumor, anti-viral, urease inhibition, and many more pharmacological effects are related with the 1,2,4-triazole nucleus. Authors created a new series of 4-Amino-5-substituted-3,4-dihydro-2H-[1,2,4]triazole-3-thiols in response to the growing importance of 1,2,4-triazoles as powerful physiologically active agents. Two distinct 2- (5- Mercapto-3-substituted-1,5-dihydro-[1,2,4]triazol-4-yl) various Schiff bases and -isoindole-1,3-dione(6a-6e) 4-[(4-Dimethylamino-benzylidene)-amino] is a 4-[(4-Dimethylamino-benzylidene)-amino] -5-substituted-3,4-dihydro-2H-[1,2,4] Different 4-Amino-5-substituted-3,4-dihydro-2H-[1,2,4]triazole-3-thiols were produced and analyzed utilizing spectral techniques such as ¹H NMR, ¹³C NMR, FTIR, and mass spectrometry. Antimicrobial activity against Gram Positive, Gram Negative, and fungal stains was tested on all of these substances. The majority of these compounds have strong antibacterial properties. *P. aeruginosa*, *E. coli*, *B. subtilis*, and *B. cerus* are all susceptible to compound 6a, 6b, 7c, and 7a. Compounds 7d and 7e were discovered to be effective against *P. aeruginosa*. The typical drugs were Ciprofloxacin and Fluconazole.

Keywords---synthesis, characterization, antibacterial, antifungal.

Introduction

The problem of multidrug-resistant microbes has grown alarmingly in recent decades, and the development of new anti-infective compounds has become a pressing need for the treatment of microbial infections. The nucleus of the 1,2,4-triazole has been incorporated into a wide range of therapeutically important agents, the majority of which have antimicrobial properties [1,2]. Organic compounds with heterocyclic ring systems continue to pique researchers' interest due to their diverse biological properties. The structural features of many bioactive compounds are made up of 1,2,4-Triazoles and 1,3,4-Thiadiazoles and their derivatives, which are among the different five-membered heterocyclic systems. Triazole and Thiadiazole rings are known to be present in the structures of various drugs. The synthesis of new derivatives of 1,2,4-triazole-3-thiones and 2-amino-1,3,4- Thiadiazoles from these classes of heterocyclic compounds has gotten a lot of attention because of their biological properties like antibacterial, antifungal, anti-tubercular, antiviral, antioxidant, antitumoral, anti-inflammatory, anticonvul We designed and synthesized Triazole and Thiadiazole systems as antibacterial agents in light of these facts and as a continuation of our research on the biological features of 1,2,4-Triazole and 1,3,4-Thiadiazole containing derivatives.

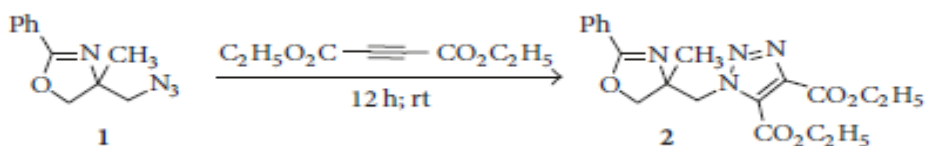
Nowadays coumarin are well known key group of organic compounds that are widely used as food additives, in cosmetics, optical brightening agents, dispersed putrescent and laser dyes. Coumarin derivatives are found usually as secondary metabolites present in seeds, roots and leaves of many plant species, although their function is not well understood yet, though suggestions include as waste products, plant growth regulators, fungi stats and bacterio stats. It is therefore the synthesis of coumarin and its derivatives became extremely important to be achieved by a simple and efficient synthetic method. Coumarins have already been synthesized by some different methods such as Von Pechman, Knoevenagel and Reformatsky reactions. Nevertheless, renewed interest in these antibiotics has arisen after finding that they are potent catalytic inhibitors of DNA gyrase enzyme. Furthermore these antibiotics have been shown to be active against Gram positive bacteria especially against Methicillin-resistant *Staphylococcus aureus* (MRSA). Further derivatisation of novobiocin, clorobiocin, and coumermycin A1 has produced novel coumarin antibiotics which shows excellent inhibition of DNA supercoiling by DNA gyrase B and superb antibacterial activity against vancomycin, teicoplanin and novobiocin-resistant *Enterococci* species. Apart from the biological significance, Schiff bases and their metal complexes find applications in various other fields.

Some aromatic Schiff bases have been used as stabilizers for a wide variety of compounds such as jet fuels, fuel oils, lubricating oils etc., Schiff bases have been combined in several polymers [3] to produce required characteristics final products, Such as includes super conducting property, resistance towards heat, light and oxidation, hardness and vulcanization. For the catalytic oxidation of ascorbic acid and cysteine some specific Schiff bases metal complex have been used, furthermore for the catalytic decomposition of hydrogen peroxide Some Schiff base complexes have been used [4]. Aromatic Schiff bases and their metal complexes are found to have strong catalytic influence [5] on reactions like

oxidation, decomposition and polymerization. Many Schiff base complexes can also be used as dyes and as electrographic materials. Several Schiff bases have been used as analytical reagents, corrosion inhibitors, flocculants, medicines and therapeutic agents [6]. Some Schiff bases shows obstruction in root development in detached cabbage leaves. Copper azomethane complexes found application as pigments. There is suggestive proof that, the visual pigment rhodopsin contains azomethane linkages. It is well known that chelation of metal ions with organic ligands acts synergistically to increase their biological activities.

Experimental details

El Hajji's technique was used to make the starting 2-phenyl-4-methyl-4-(azidomethyl) oxazoline 1 from an oxazoline derivative by reacting it with sodium azide in DMF reflux. After chromatography on a silica gel column, this intermediate azide chemical was produced as colourless oil with a 92 percent yield. In the absence of a solvent, compound 1 was subjected to a 1,3-dipolar cycloaddition reaction using diethyl but-2-ynedioate at room temperature.



Scheme 1: Synthesis of compound 2 with good yield using the 1,3-dipolar cycloaddition reaction of 4-(azidomethyl)-4-methyl-2-phenyl-4,5-dihydrooxazole and diethyl but-2-ynedioate in the absence of a solvent.

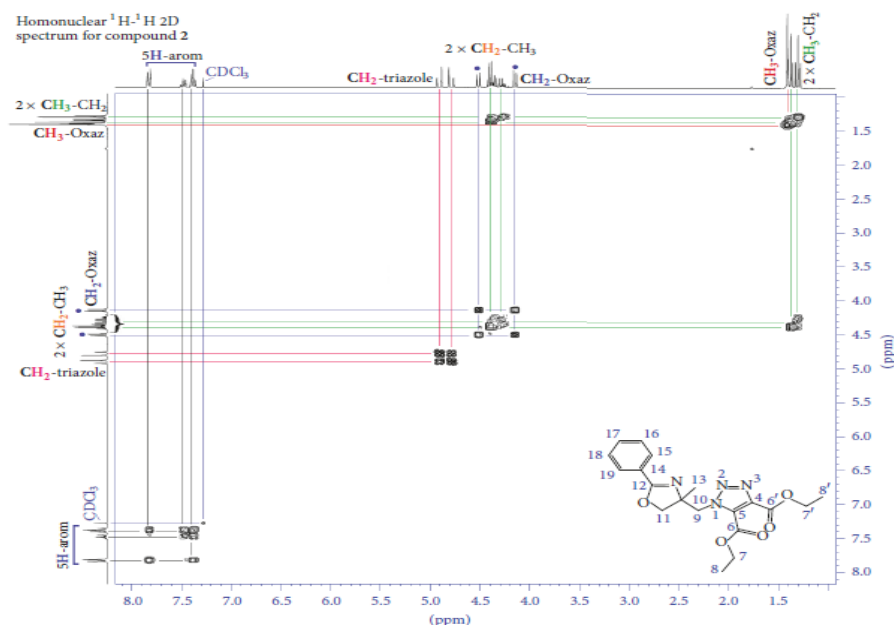


Fig. 1: $^1\text{H}-^1\text{H}$ correlation spectroscopy identifies coupling between protons in compound 2.

The reaction was monitored by thin-layer chromatography and after consumption of the starting material, stirring was stopped. The recrystallization of the crude mixture in ether/hexane (v/v) led to the cycloadduct 2 with a 75% yield (Scheme 1).

Biological Activity. The in vitro antibacterial activity of the synthesised chemical was determined using the liquid serial dilutions method against Gram-positive and Gram-negative bacteria: *Staphylococcus aureus* ATCC 29213 (*S. aureus*) and *Escherichia coli* ATCC 25922 (*E. coli*). According to the AntibioGram Committee of the French Society for Microbiology (CA-SFM), the latter is defined as the lowest concentration that inhibits observable bacterial growth.

Conclusion

The 1,3-dipolar cycloaddition reaction of 4-(azidomethyl)-4-methyl-2-phenyl-4,5-dihydrooxazole and diethyl but-2-ynedioate with diethyl but-2-ynedioate and diethyl but-2-ynedioate and diethyl but-2-ynedioate and diethyl but-2-yne NMR spectroscopy (¹H, ¹³C), X-ray crystallography, and MS data all validated the structure of the molecule.

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