

Synthesis, Spectral and biological studies of thiazole Schiff base derived from 4-(2'-fluorophenyl)-2-aminothiazole.

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ABSTRACT: A Schiff base ligand has been synthesized by reacting 4-(2'-fluorophenyl)-2-aminothiazole and 2-hydroxynaphthaldehyde. The ligand was characterized by elemental, spectral and XRD analysis. The ligand was evaluated for their in vitro nematocidal and molluscicidal activities. It is active in very low concentration on plant parasitic nematode *Meloidogyne javanica* and freshwater helminthiasis vector snail *Lymnea auricularia*. X-ray diffraction studies suggested a triclinic crystal system for the ligand.

KEYWORDS: Thiazole Schiff base, Nematicidal and Molluscicidal activities

I. INTRODUCTION

Schiff's base show greater flexibility and diverse structural aspects, a wide range of these compounds have been synthesized and their complexation studied [1]. Thiazoles are well known as biologically active substances and they exhibit a wide spectrum of antitubercular, antibacterial, antifungal, hypotensive and hypodermic, anticancer and quorum sensing activity. Hence the Schiff bases derived from thiazoles are expected to be biologically active compounds. Microwave assisted synthesis of chemical compounds is an efficient and eco-friendly synthetic strategy and has now become a powerful tool for green chemistry. Microwave-assisted organic reaction is a well-established technique for the synthesis of various heterocyclic compounds [2,3]. So, in view of these facts, and as part of our ongoing studies in developing new anti microbial agents, it was envisaged to synthesize microwave-assisted synthesis of Schiff's base of 2-aminothiazole and explore its novel functionality as nematocidal and Molluscicidal active molecules as well, which is hitherto un-attempted.

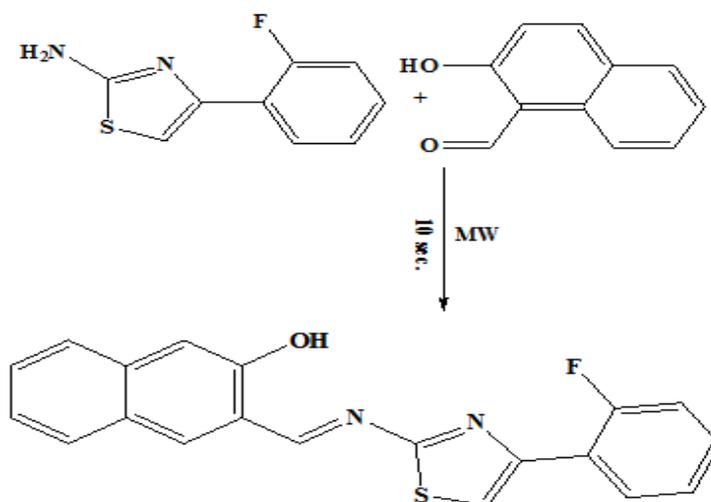
Most vegetable crops are attacked by one or more species of nematodes. The root-knot nematode (*Meloidogyne javanica*) is the most important species associated with tomato (*Lycopersicon esculentum*) [4]. This phytonematode species causes chlorosis, premature leaf drop and stunting. The disease is becoming one of the most serious calamities for the successful cultivation of tomato crop. These nematodes cause up to 70- 90% yield losses in tomatoes and brinjal. In India, yield loss of tomato due to root-knot nematodes (*Meloidogyne* spp.) ranges from 39.7% to 46.0%. The present investigation was made to study the nematocidal activity of newly synthesized thiazole Schiff base ligand on *M. javanica*.

The fresh water snails *Lymnea auricularia* family Lymnaeidae are familiar members of the fauna of ponds, lakes, ditches and other kind of standing waters throughout the World. It is an intermediate host of liver fluke. The Fasciola spp. causes great damage to live stock throughout the world. It is responsible not only for liver rot, the uncomplicated Fascioliasis, but also the notorious 'black disease'. The considerations of the family Lymnaeidae and of species of snails which act as intermediate host [5] for *F. hepatica* and *F. Gigantia*. The present investigation was made to study the Molluscicidal activity of newly synthesized thiazole Schiff base ligand on *Lymnea auricularia*.

II. EXPERIMENTAL

Microwave assisted synthesis of Schiff base ligand

4-(2'-fluorophenyl)-2-aminothiazole (1mmole) and 2-hydroxynaphthaldehyde (1mmole) were mixed with each other in mortar-pestle and the reaction mixture was placed in small conical flask at room temperature, then 1 ml alcohol was added. The mixture was then exposed to microwave irradiation at 90W power for 10 sec. (Reaction 1). Completion of the reaction was tested by TLC. The reaction mixture was then cooled to room temperature. The yellow coloured Schiff base was obtained, which was recrystallized from ethanol and dried under reduced pressure.



Reaction 1: Synthesis of ligand

Nematicidal activity

For the toxicity and efficacy ratio of thiazole Schiff base ligand on root-knot nematode *M. javanica*, they were isolated from roots of tomato plants (*Lycopersicon esculentum*) for *in vitro* study by using sieve plate method [6]. More eggs were recovered by repeated sieving and rinsing. The number of nematodes in an aqueous suspension was determined by using a counting dish.

The newly synthesized thiazole Schiff base ligand was tested *in vitro* nematicidal activity against root-knot nematodes *M. javanica* isolated from roots of tomato plants. The infected roots were macerated in 2% sodium hypochloride solution for 5 min. to extract eggs and centrifuge at 1000 rpm for 4 min. The eggs were laid on wet filter paper over water in pans for 3-4 days to hatch second stage and third stages of juveniles (J_2 , J_3). For *in vitro* nematicidal activity, the method described by Dama [7] is used for present study. The test animals are divided in to 9 groups, each group contains 10 phytonemates, with test compound concentration of 2 μ l to 10 μ l. The flask that contained distilled water and DMSO serves as control for first group. Each treatment was replicated for three times. Data on Juvenile mortality was recorded after 6h, 12h and 24h exposure of test compound under compound and stereomicroscope and then determined the percentage of efficacy.

Molluscicidal activity

Snails were collected from natural habitats and reared in the laboratory in glass aquaria and/or plastic containers by following appropriate technique [8]. The fresh water snails *Lymnea auricularia* were taken from laboratory culture maintained in enamel bowls filled with dechlorinated water at room temperature 28 ± 2 °C and relative humidity more than 70%. Adults (more than 12-mm) were used for the toxicity studies. Snails of particular species were taken in large petridishes. Snails were submerged in distilled water.

The test animals are divided in to 9 groups, each group contains 10 snails (*Lymnea auricularia*), with test compound concentration of 2 μ l to 10 μ l. The flask that contained distilled water and DMSO serves as control for first group. Each treatment was replicated for three times. Data on Juvenile mortality was recorded after 6h, 12h and 24h exposure of test compound under compound and stereomicroscope and then determined the percentage of efficacy.

III. RESULT AND DISCUSSION

Chemistry

The Schiff base ligand is yellow crystalline solid having sharp melting point. It is soluble in common organic solvents and gives satisfactory elemental (C, H and N) analyses.

Spectral analysis

UV-visible spectra of the Schiff base ligand in chloroform exhibit an intense band at ~ 430 nm. Infrared spectra of the Schiff base ligand in KBr pellets exhibit $\nu(\text{O-H})$, $\nu(\text{C=N})$, $\nu(\text{C-O})$ and $\nu(\text{C-S-C})$ modes at ~ 3400 , ~ 1630 , ~ 1280 and ~ 665 cm^{-1} respectively. These values are in accordance with the earlier reported values. ^1H NMR data of the Schiff base is represented (Fig. 1) as (δ ppm): 14.33 (1H, s, Ar-OH), 10.235 (1H, s, benzylidenimin), 7.133-8.361 (11H, m, thiazole and Ar-H).

XRD- analysis

The powder x-ray diffractogram of ligand (Fig. 2) is depicted 25 reflection (2θ) between 20.82° to 50.96° with maximum at $2\theta = 21.46^\circ$ and $d = 4.1373\text{Å}$. The cell parameter calculated are mentioned in parenthesis ($a=13.8414\text{Å}$, $b= 4.7817\text{Å}$, $c= 4.5918\text{Å}$, $\alpha =116.765^\circ$, $\beta = 93.955^\circ$, $\gamma = 91.019^\circ$) and these values are found to be in agreement with those required for a triclinic crystal system where $a \neq b \neq c$ and $\alpha \neq \beta \neq \gamma$. Therefore it may be concluded that the crystal system of the ligand is triclinic [10]. The volume of unit cell is 270.30Å^3 .

IV. BIOLOGICAL ACTIVITY

Nematicidal Activity

Direct contact toxicity of newly synthesized thiazole Schiff base ligand at different dose were analyzed by exposing 100 freshly hatched J_2 and J_3 of *M. javanica* for 24 h. The result indicates that, thiazole Schiff bases ligand are very effective to controlling *M. javanica*. They show highest percentage efficiency in the range of 6 μl to 8 μl .

Molluscicidal Activity

Thiazole Schiff base ligand at different dose was analyzed by exposing 100 fresh water snails *Lymnea auricularia*. The result indicates that, thiazole Schiff base ligand is very effective to controlling *Lymnea auricularia*. It shows highest percentage efficiency in the range of 6 μl to 8 μl .

V. CONCLUSION

The Schiff base ligand is yellow crystalline solid having sharp melting point .The spectral analyses (Uv-Visible, IR and ^1H NMR) data confirms the structure proposed for the Schiff base ligand. X-ray diffraction studies suggested a triclinic crystal system for the Schiff base ligand.

Although observations suggested that the newly synthesized thiazole Schiff base ligand exerts their biological effects by common mode of action, this ligand is active compound for nematicidal and molluscicidal activity with low concentration. Schiff base ligand is promising alternative compound to the hazardous pesticides now used in agriculture for the controlling nematodes.

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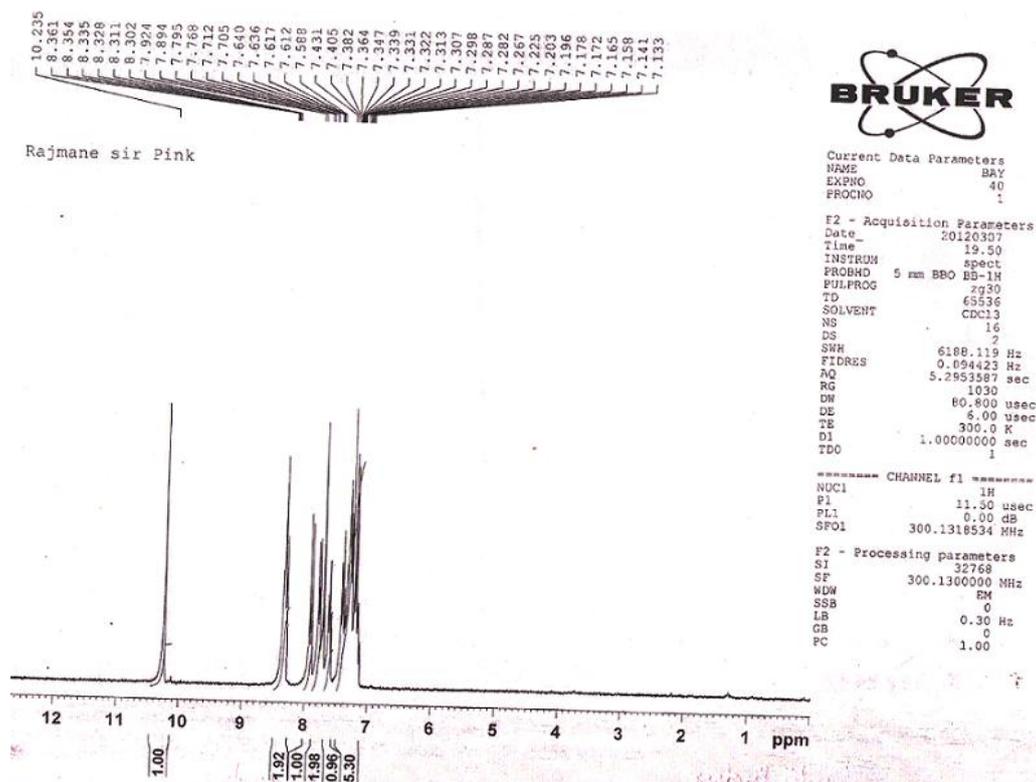


Figure 1: ¹H NMR of Schiff base Ligand

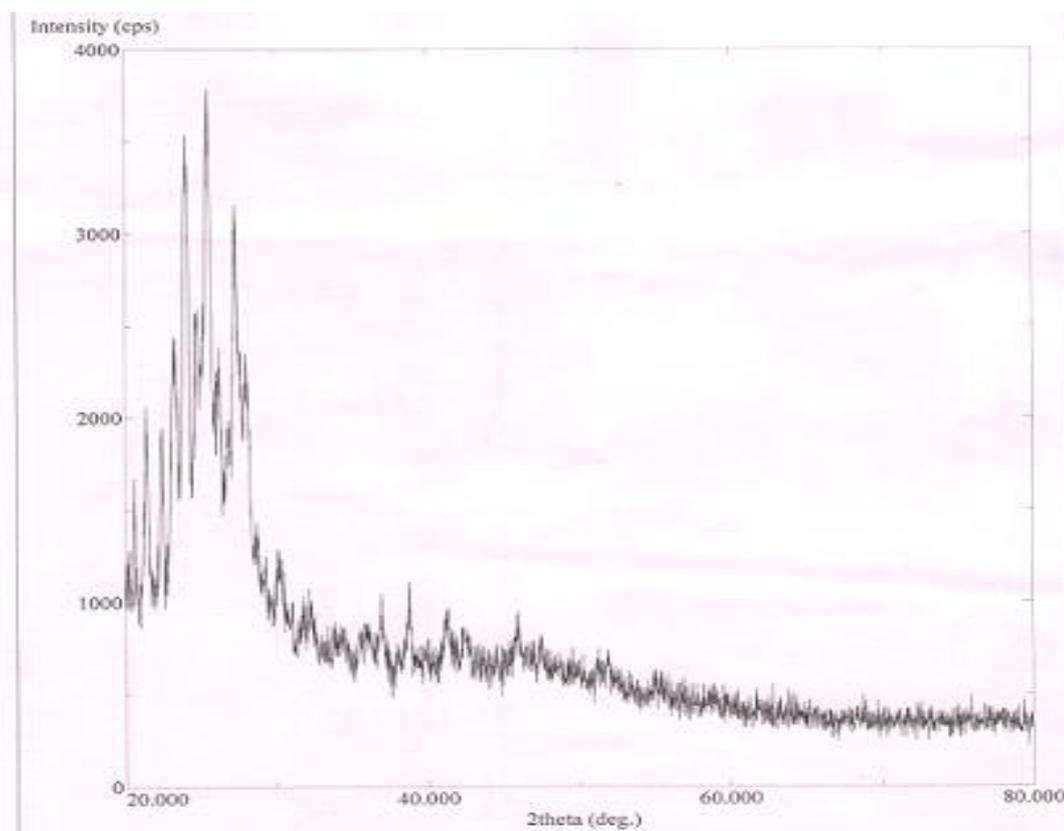


Figure 2: XRD of Schiff base ligand