



PROP-2-EN-1-ONE DERIVATIVES AS POTENTIAL ANTIFUNGAL AGENT

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ABSTRACT

A review of the literature reveals that chalcones have a wide range of pharmacological and physiological functions and are good starting materials for the synthesis of many valuable heterocyclic compounds. Chalcones are a useful intermediate in the synthesis of numerous kinds of compounds of biological and physical interest due to the presence of prop-2-en-1-one, also known as the reactive α,β -unsaturated carbonyl moiety. Due to their extensive variety of bioactivities in both *in vitro* and *in vivo* contexts, chalcone derivatives have recently attracted the interest of the scientific community worldwide. So we thought interesting to undertake the antifungal assay of titled compounds against some pathogens viz *Candida albicans*, *Trichophyton rubrum*, *Aspergillus niger*, and *Penicillin* which are mainly responsible for the diseases in ornamental plants.

KEYWORDS: Antifungal, *Aspergillus niger*, *Candida albicans*, Chalcone, *Penicillin*, Prop-2-en-1-one, *Trichophyton rubrum*.

INTRODUCTION

The study of the aetiology, development, and control of plant diseases is known as plant pathology. Environmental variables or pathogenic organisms like fungi, bacteria, viruses, etc. can cause plant illnesses. These illnesses can cause plants to grow more slowly or even perish. The first class of agents found to cause plant diseases were fungi.

However, a review of the literature reveals that prop-2-en-1-one, also known as the α,β -unsaturated carbonyl system of chalcones^[1-5] is a natural and synthetic substance that has been evaluated for its wide variety of biological activities^[6-8] as antibacterial, anti-microbial^[9-12], anticancer^[13-17], anti-tumor, analgesic, antioxidant^[18,19], anti-inflammatory^[20], Alzheimer Disease^[21], tubulin polymerisation inhibitors, potent antitumor activity, antimalarial agent, antitubercular, anti-infective properties *in-vivo* as well as *in-vitro*.^[22] The antifungal^[23-29] characteristics of natural and synthesised chalcones are among the most researched bioactivities.

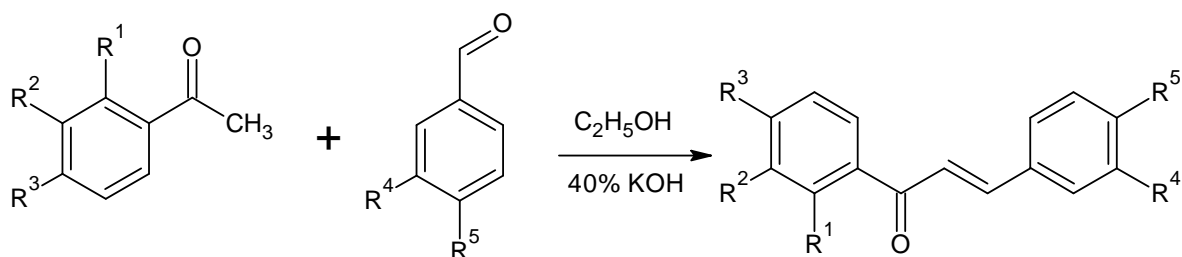
MATERIALS AND METHODS

The antifungal activity of previously developed 1,3-phenylprop-2-en-1-one derivatives was assessed against a variety of pathogens, including *Candida albicans*, *Trichophyton rubrum*, *Aspergillus niger*, and *Penicillin*.

Synthesis of 3-(2-Chlorophenyl)-1-(4-fluorophenyl)prop-2-en-1-one (Compound 1).

4-Fluoro acetophenone (0.01M) dissolved in ethanol (15ml) was treated with 2-chloro benzaldehyde (0.01M) with constant stirring and aqueous KOH (40%, 10 ml) was added drop wise. The reaction mixture was held overnight while being stirred at room temperature. Water was used to dilute the reaction mixture, and 10% HCl was added to make it acidic. The solid thus separated was filtered and crystallized from acetic acid to get 3-(2-Chlorophenyl)-1-(4-fluorophenyl)prop-2-en-1-one.

Similar to compound 1, compounds 2-10 were similarly synthesized using various acetophenones and aldehydes while following the same procedure. Chemical tests, melting points, TLC and elemental analyses were used to characterise the synthesised compounds.



$R_1/R_2/R_3/R_4/R_5 = -OH/ -Cl/ -F/ -NMe_2/ -NH_2/ -Br/ -H$

Fig 1: Scheme of synthesis of prop-2-en-1-one derivatives.

Table 1: List of Synthesized Chalcones.

Sr No	Code	Synthesized Chalcones	MP
1	A	3-(2-Chlorophenyl)-1-(4-fluorophenyl)prop-2-en-1-one	86-90
2	B	3-[4-(Dimethylamino)phenyl]-1-phenylprop-2-en-1-one	118-120
3	C	1-(3-Aminophenyl)-3-(3-fluorophenyl)prop-2-en-1-one	90-92
4	D	1-(3-Aminophenyl)-3-(3-bromophenyl)prop-2-en-1-one	173-175
5	E	3-(4-Chlorophenyl)-1-(2-Hydroxyphenyl)prop-2-en-1-one	154-156
6	F	3-(3-Bromophenyl)-1-(2-chlorophenyl)prop-2-en-1-one	81-83
7	G	3-(4-Chlorophenyl)-1-(4-hydroxyphenyl)prop-2-en-1-one	130-133
8	H	3-Phenyl-1-(4-hydroxyphenyl)prop-2-en-1-one	160-163
9	I	3-(2-Chlorophenyl)-1-(4-hydroxyphenyl)prop-2-en-1-one	174-176
10	J	3-Phenyl-1-(4-fluorophenyl)prop-2-en-1-one	79-80

RESULTS

The synthesized chalcone derivatives were screened for their antifungal assay against some plant pathogens viz

C. albicans, *T. rubrum*, *A. niger* and *Penicillin*. The result of antifungal activity after 24 hours at room temperature is depicted in Table 2.

Table 2: Impact of test compounds against plant pathogens (*Fungi*).

Test Compound	<i>C. albicans</i>	<i>T. rubrum</i>	<i>A. niger</i>	<i>Penicillin</i>
Compound 1	14 mm	14 mm	12 mm	14 mm
Compound 2	-	-	14 mm	-
Compound 3	11 mm	13 mm	-	13 mm
Compound 4	13 mm	12 mm	-	10 mm
Compound 5	-	11 mm	11 mm	-
Compound 6	12 mm	13 mm	11 mm	10 mm
Compound 7	13 mm	-	12 mm	10 mm
Compound 8	11 mm	11 mm	-	12 mm
Compound 9	10 mm	12 mm	12 mm	11 mm
Compound 10	-	14 mm	12 mm	11 mm
Reference Antibiotic Co-Trimoxazole	12 mm	12 mm	13 mm	12 mm

(Diameter of inhibition zone in mm)

DISCUSSION

The synthesized compounds listed in Table 1, when assayed against the ornamental plant pathogens (fungi) viz. *C.albicans*, *T.rubrum*, *A.niger*, and *Penicillin* showed moderate to excellent activities.

antifungal actions than reference antibiotic Co-Trimoxazole.

In general, compounds in Table 2 showed good antifungal actions against all the test organisms viz. *C.albicans*, *T.rubrum*, *A.niger*, and *Penicillin*. In general, test compound 1 showed very good activities against all the experimented organisms viz *C. albicans*, *T. rubrum*, *A. niger*, and *Penicillin*. All the test compounds had shown comparatively excellent inhibitory activities against *T.rubrum*. Some test compounds showed higher



Fig.1: Pictorial presentation of the Impact of test compounds against experimented organisms.

CONCLUSION

Most of the test compounds were found to be highly active against the experimented fungi viz. *C.albicans*, *T.rubrum*, *A.niger* and *Penicillin*. Consequently, all of

these test substances can be used to treat diseases in ornamental plants caused by these pathogens. However, in light of agricultural sciences, it is advisable to do a more thorough study.

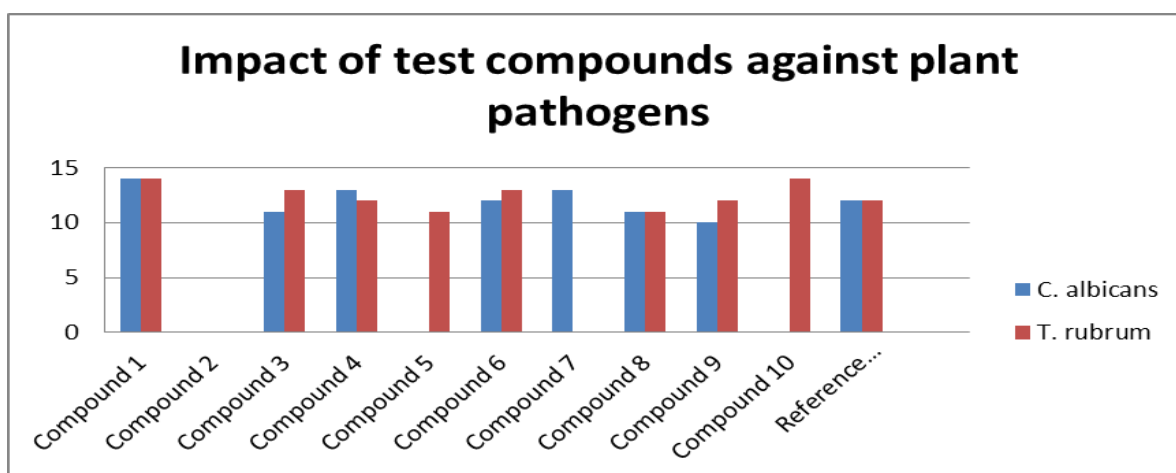


Fig.2: Graphical representation of the Impact of test compounds against plant pathogens viz *C. albicans* and *T. rubrum*.

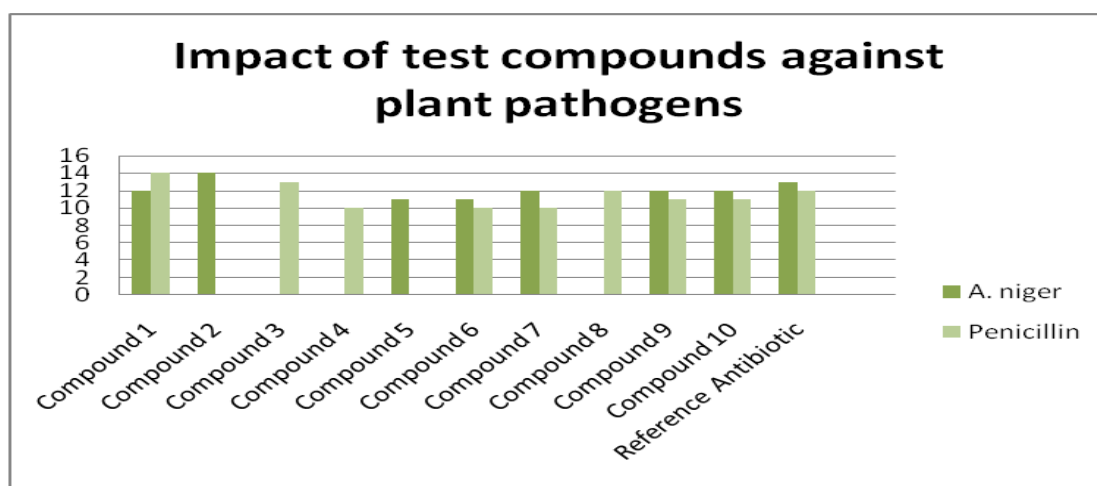


Fig.3: Graphical representation of the Impact of test compounds against plant pathogens viz *A. niger* and *Penicillin*.

Conflict of Interest: None.

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