International Journal of Advances in Engineering and Management (IJAEM)
Volume 3, Issue 8 Aug 2021, pp: 813-815 www.ijaem.net ISSN: 2395-5252

Pharmocological studies of organo synthesized thiol derivative and their effect with citrus maxima

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Submitted: 01-08-2021 Revised: 10-08-2021 Accepted: 15-08-2021

ABSTRACT:

Thiophene is one of the most important heterocyclic compound which containssulphur as the hetero atom. In the present analysis, ethyl-2 amino-4,5,6,7-tetrahydro benzothiophene-3-carboxyhydrazide (B) was synthesized using hydrazine hydrate and citrus maxima extract was added to enhance the biological activity. The sample was under study for characterization using FT-IR followed by pharmacological studies such as antibacterial, antifungal, antioxidant and anti-diabetic assay. The analytical and other informational facts, available in the present investigation have rendered thiophene derivative with the effect of maxima have wide range of applications in ever challenging chemotherapy of various diseases and infections.

Key words: Thiophene,maxima, synthesis, antimicrobial, antioxidant and antidiabetic activity.

I. INTRODUCTION

Natural fruits have a superficial effect on human health by both direct and indirect means and also possess massive medicinal properties. Early medicine revolved around the drug of foods for certain disorders. The design of drug molecules arguably offers some of the greatest hopes for success in present and future era. Heterocyclic compounds are widely distributed in nature and are essential for life. Chemists has proved that thiophene derivatives has a wide range of biological activities anti-bacterial, anti-allergic, antifungal, cytotoxic, anti-inflammatory, analgesic, anti-diabetics, anticancer activities etc.Grapefruit seed extract can decrease constipation, gas, and stomach discomfort in people with eczema. This benefit may be due to the effect of grapefruit on intestinal bacteria. High cholesterol. Early research suggest that taking grapefruit pectin daily for 16 weeks decreases total cholesterol and the ratio of low-density lipoprotein (LDL or "bad") cholesterol to high-density lipoprotein (HDL or "good") cholesterol compared to baseline. High levels of fats called triglycerides in the blood (hypertriglyceridemia). Eating one grapefruit per day appears to reduce total cholesterol, low-density lipoprotein (LDL or "bad") cholesterol, and triglyceride levels in people with high triglyceride levels.

II. EXPERIMENTAL METHOD

Synthesis of ethyl-2 amino-4,5,6,7-tetrahydro benzothiophene-3-carboxylate (S-I)

An equal molar (0.1 mol) mixture of cyclohexanone, sulphur, ethyl cyanoacetate, and triethylamine in ethanol was taken in 500 mL round-bottomed flask. The mixture was stirred for 1.5 hours and poured into ice water with constant stirred and stand for 3 hours at room temperature. The solid particles were then separated by filtration, dried, and recrystallized with the help of ethanol.

Preparation of C. maximafruit extract (P)

Citrus fruit extracts were used as a catalyst to enhance the efficacy of synthesized compounds. C. maxima was extracted using ethanolic solvent by percolation method. About 50 g of each crushed fruit was taken in a 500 mL round bottom flask with 250 mL ethanol. The mixture was heated at 80 ºC for 8 hours for the release of bioactive phytoconstituents. After extraction, the fruit extract was filtered and concentrated using a distillation process. The extract was taken for further conjugation approaches with synthesized derivatives.

Preparation of ethyl-2 amino-4,5,6,7-tetrahydro benzothiophene-3-carboxyhydrazide using maximafruit ethanolic extracts (B)

The synthesized ethyl-2 amino-4,5,6,7-tetrahydrobenzothiophene-3-carboxylate (S-I) derivative was mixed with 5 mL of fruit extract in 20 mL ethanol and stirred magnetically for 30 minutes. Then hydrazine hydrate was added to the reaction mixture and heated under reflux on the water bath for 4 hours. After that, each preparative

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was poured onto ice and colorless crystalline solids were separated to re-crystallize from ethanol. The entire above synthesized chemical and plants based derivatives were processed for further spectral characterization studies.

III. RESULT AND DISCUSSION

The synthesized thiophene derivative is characterized from FT-IR spectral study.

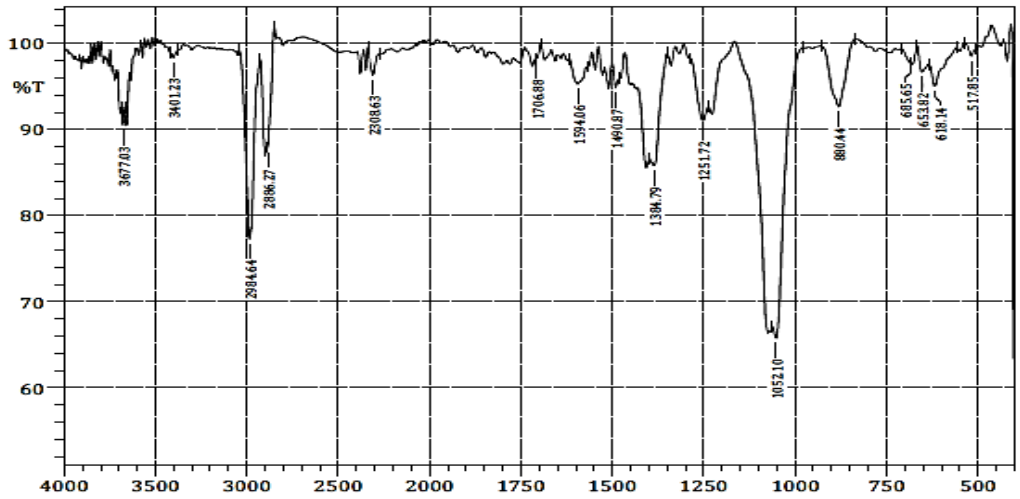

Figure 1:- FT-IR spectral studies of derivative (B)

Antimicrobial activity

Antibiotic susceptibility tests were determined by agar disc diffusion (Kirby–Bauer) method. 1mg in 1ml (0.1g in 1ml) of the sample was diluted using the solvent. In the present study a gram positive bacteria (B.subtilliis), two gram negative bacteria (K.pneumonia and E.coli) and three fungi (A.flavus,C.albicans and R.stolonifer) were selected. The below table shows that all the compounds have good activity against B.subtillis. The compounds prepared by using citrus fruit extracts have very good activity comparing to all the other compounds and they have high activity against E.coli comparing to standard positive control.

Sample code	E.coli	K.pneumonia	B.subtilis	C.albicans	R.stolonifer	A.flavus
S1	7	7	9	7	6	5
P	7	8	8	6	-	6
B	16	13	17	13	15	8
PC	15	16	17	16	13	15

Table: 1 antimicrobial activity on thiophene derivatives

Antioxidant assay

1mg of sample was dissolved in 1ml of chloroform and ethanol then mixed well. Standard ascorbic acid was also prepared in a same way as positive control. And DPPH with chloroform and ethanol was taken as a negative control. If sample has an antioxidant activity deep violet becomes pale violet. The compound S3a, S1, S3b has high antioxidant activity. The compounds prepared using citrus fruits extracts (B) also possess very good antioxidant activity (83.2, 88.4, 85 and 80.1) when comparing to other compounds.

Sample code	**S1**	**P**	**B**
% of inhibition	47.1	48.2	85
Standard	17.3	53	53

Table: 2 Inhibition Percentage of Antioxidant Potential

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Antidiabetic assay

Acarbose was used as positive control of α -glucosidase inhibitor and α – Amylase inhibitor. The sample (B) showed good activity against both α -glucosidase andα – Amylase. theThe inhibitory percentage of sample (B) showed high activity against α – Amylase comparing with α -glucosidase.

S.no	Sample	% of inhibition of α – amylase (1mg/ml)	% of inhibition of α – glucosidase (1mg/ml)
1.	A.bilimbi	13.21 ± 0.20	14.23 ± 0.18
2.	Acarbose	11. 02 ± 0.19	13.67 ± 0.16

Table:3Inhibition percentage of α – amylaseand α - glucosidasae

IV. CONCLUSION

In conclusion, we have achieved a convenient procedure for the synthesis ofethyl-2 amino-4,5,6,7-tetrahydro benzothiophene-3-carboxyhydrazide using maximafruit ethanolic extracts (B) in good yield and evaluated their antimicrobial activity, in vitro antioxidant activity and antidiabetic assay. Our antioxidant screening results indicate that exciting DPPH radical scavenging activity was observed in compounds in comparison with standard ascorbic acid. From the present investigation, so far, we were able to prove that thiophenes are significantly important class of heterocyclic compounds and they have a wide range of applications in ever challenging chemotherapy of various diseases, infections.

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