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SYNTHESIS AND EVALUATION OF ANTI-HELMINTHIC ACTIVITY OF N-PHENYLPIPERAZIN-1-AMINE DERIVATIVES

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ABSTRACT

In the present work to the synthesis of three piperazine derivatives by using different aldehydes. The physical characterizations like molecular weight, melting point, R_f value and solubility of the synthesized compounds will be determined. The synthesized compounds will be subjected for Anti- helminthic evaluation.

KEYWORDS

Synthesis, Physical characterizations, Piperazine derivatives and Anti- helminthic evaluation.

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INTRODUCTION

Anthelmintics or antihelminthics are drugs that drive out parasitic worms and other internal parasites from the body by either dramatic or killing them and without causing significant hurt to the host. They may also be called vermifuges (those that stun) or vermicides (those that kill). They are used to treat people or animals who are infected by helminths - a situation called helminthiasis[1].

Drugs that are specifically targeting Ascaris worms are called ascaricides.

Benzimidazoles: Albendazole - effective against threadworms, roundworms, whipworms, Tapeworms and hookworms.

Mebendazole - effective against pinworms, roundworms and hookworms.

Thiabendazole-effective against round worms and hookworms.
Fenbendazole-effective against gastro intestinal parasites.
Triclabendazole-effective against liver flukes.
Flubendazole-effective against most intestinal parasites.

MATERIALS AND METHOD

Materials

The following materials are used. Earthworm, Petriplates, Standard conical flasks, Beakers, 0.9% Nacl, Piperazine hexahydrate.

Method[2,3]

Preparation of Compound

Synthesis of compound-1

A mixture of 12 gm of chloro aniline and 10.64 gm of aldehyde dissolved in sufficient quantity of ethanol. The preparation is boiled at 300 volts for 5-7 min in the microwave oven. After heating it is cooled in ice bath and water was added continuously till it becomes precipitate. The precipitate is filtered and dried at room temperature.

Synthesis of compound-2

A mixture of compound 1 is dissolved in 10 ml of DMF and Morpholine was dissolved in 5ml of DMF. The preparation is boiled at 300 volts for 3-5 min in the microwave oven. After microwave heating it is cooled at room temperature and mixed with ice water and stirred continuously until the precipitate should be formed the precipitate is filtered and dried.

Synthesis of compound-3

A mixture of compound 2 and sufficient quantity of Hydrazine hydrate dissolved in 25 ml of ethanol. It was heated at microwave at 100 volts 3-5 mins. The mixture was cooled and poured in ice water by continuous stirring until precipitate should be formed it is filtered and dried. The product was recrystallized from ethanol[4].

Anti-helminthic activity

The Anti-helminthic activity[5] was carried out as per the method of Ajaiyeoba *et al*., The assay was performed in *in-vitro* using adult Indian earthworm and *pheretimaposthuma* owing to its anatomical and physiological resemblance with the intestinal roundworm parasites of human beings for preliminary evaluation of anti-helminthic activity.

Procedure

Test samples of drug was prepared at the concentrations 100, 200, 500µg/ml in distilled water and six worms i.e. *pheretimaposthuma* approximately equal size (same type) were placed in each 9 cm petri dish containing 25ml of above test solutions of drugs. Piperazine hexahydrate was used as reference standard and Normal saline water used as control. This procedure was adopted for earthworms. All the test solution and standard solution were prepared freshly before starting experiment. Observations were made for the time taken for paralysis was noted when no movement of any sort could be observed except when the worms were shaken vigorously. Time for death of worms were recorded after ascertaining that worms neither moved when shaken vigorously nor when dipped in warm water (50°C).

RESULTS AND DISCUSSION

Characterization Data of Synthesized Compounds $3a_1$- $3a_3$

The physical characterization like, molecular weight, melting point, R_f value and solubility of the synthesized compounds will be determined (Table No.1).

Anti-helminthic activity

Anti-helminthic activity was predicted for synthesized compounds using earthworms. In assessing the anti-helminthic activity piperazine hexahydrate was used as a standard drug. The anti-helminthic activity of test solutions was evaluated by preparing various concentrations of standard and test solutions such as 100µg/ml, 200µg/ml and 500µg/ml.

The obtained results in assessing the anti-helminthic activity were tabulated in above Table No.2 and Figure No.1. The obtained N-Phenylpiperazin-1-amine derivatives were observed to have significant anti-helminthic activity when compared with that the standard drug.

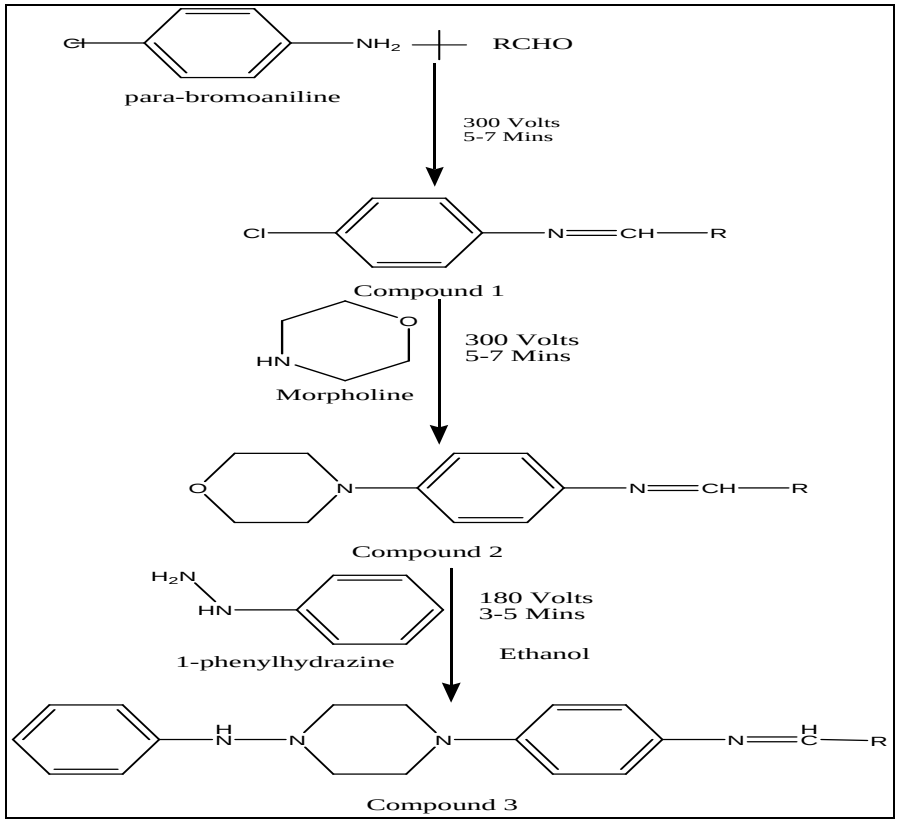
Table No.1: Characterization Data of Synthesized Compounds $3a_1$- $3a_3$

S.No	Compound code	Molecular formula	Molecular weight	Melting point	Rf value	Percentage yield	λmax	Elemental analysis			
								C	N	O	H
1	$3a_1$	$C_{24}H_{26}N_4O$	386.49	272	0.5	69	210	74.58	14.50	4.14	6.78
2	$3a_2$	$C_{23}H_{24}N_4O$	372.46	270	0.4	68	210	74.17	15.04	4.30	6.49
3	$3a_3$	$C_{25}H_{29}N_5$	399.53	200	0.5	75	210	75.15	17.53	-	7.32

Table No.2: Results of anti-helminthic activity

S.No	Code	Dose(µg/ml)	Time Taken for paralysis (Min)	Time Taken For Death (Min)
1	$3a_1$	100µg/ml 200µg/ml 500µg/ml	12 min 10sec 10 min 20sec 9 min 46sec	15 min 45sec 11 min 15sec 10 min 10 sec
2	$3a_2$	100µg/ml 200µg/ml 500µg/ml	9 min 25sec 8 min 20 sec 7 min 46sec	11 min 30sec 9 min46sec 8 min 17sec
3	$3a_3$	100µg/ml 200µg/ml 500µg/ml	9 min 30sec 8 min 10 sec 7 min 20sec	11 min 40sec 9 min 5sec 8 min 30sec
4	Standard	100µg/ml 200µg/ml 500µg/ml	12 min 25sec 10 min 20sec 9 min 46sec	15 min 10 sec 11 min 15sec 10 min 10 sec

SCHEME I



Various substitutions of the synthesized compounds $3a_1$ to $3a_3$

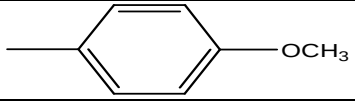
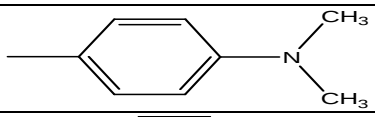
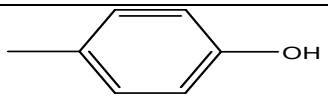
S.No	Compound code	R
1	$3a_1$	
2	$3a_2$	
3	$3a_3$	

Figure No.1: Evaluation of Anti-helminitic activity

CONCLUSION

From the result concluded that the all compounds $3a_1$, $3a_2$ and $3a_3$ shows good anthelminthic activity. In that $3a_1$ compounds possess high anti-helminthic activity, remaining compounds shows mild to moderate activity.

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CONFLICT OF INTEREST

We declare that we have no conflict of interest.

REFERENCES

1. https://en.wikipedia.org/wiki/Anthelmintic.
2. Ramesh Chandraa, Preeti Chaudhary, Rupesh Kumar A, Akhilesh A, Verma K, Devendersingh A, Vibha Yadav A, Aanil K, Chhillar B, Sharmab B G L. Synthesis and antimicrobial activity of n-alkyl and n-aryl piperazine derivatives, *Bio-organic and medicinal chemistry*, 14(6), 2006, 1819-1826.
3. Hadizadeh F and Mehrparvar A. Synthesis of some new 1-[2-(alkylthio-1-benzyl-5-imidazolyl) carbonyl]-4-[3-(isopropylamino) -2-pyridyl] piperazines as anti-HIV, *Journal of sciences, Islamic Republic of Iran*, 15(2), 2004, 131-134.
4. Mistry B, Dipti Medhane, Krihna Priya, Mohan Raj and Sanjeevani A, Gone. Microwave assisted synthesis of an important intermediate of Benzopril, *Indian journal of Pharmaceutical Sciences*, 72(3), 2010, 283-289.
5. Tolaro K, Tolaro A. Foundation of Microbiology, *W.C. Brown Publisher, Dubuque*, 3rd edition, 1993.

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