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DESIGN, SYNTHESIS AND BIOLOGICAL SCREENING OF NOVEL 4-THIAZOLIDINONES AGAINST PHERITIMA POSTHUMA AS HELMINITHESIS MODEL

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ABSTRACT

The derivatives of 4-Thiazolidinone showed biological activity like antibacterial activities, antifungal, anticancer activity. In view of potential anthelmintic activities of 4-Thiazolidinone, derivative were prepared by Schiff's base technique. The compound were screened by anthelmintic activity, 4-Thiazolidinone also showed pharmacological activities, like antifungal, hypoglycemic activity, anti-convulsant activity, analgesic activity, anti-tubercular activity and anti-inflammatory activity. 4-Thiazolidinone also related five member rings, they are containing heterocyclic ring with nitrogen, oxygen and sulphur atom as well as thiazolidine ring.

KEYWORDS: Sulphanilamide, Schiffs base, Thiazolidinone, Anthelmintic Activity.

INTRODUCTION

The development anthelmintic agents has been a very important step for research, most of the research programme efforts are directed toward the design of new drugs, because of the unsatisfactory status of present drugs side effects and the acquisition of resistance by the infecting organism to present drugs. The resistance of common pathogens to standard anthelmintic drugs therapy is rapidly becoming a major health problem throughout the world. These are real perceived need for the discovery of new compounds endowed with anthelmintic property. Syntheses of 4-Thiazolidinone derivatives were reported to have potential anthelmintic activity. The presence of reactive unsaturated ketone group in 4-Thiazolidinone is responsible for their anthelmintic activity, analgesic activity, anti- convulsant activity, anti-tubercular activity, and analgesic activity, anticonvulsant activity, antibacterial activity, important molecule also reported hypoglycemic activity, antibacterial activity, antiparkinsonism activity, antioxidant activity, non- narcotic analgesic activity, anticonvulsant activity, have played an important role in medicinal chemistry.

MATERIALS AND METHODS

Melting points were determined by open capillary method and are uncorrected. The purity was confirmed by using TLC plate with suitable solvent system4-Thiazolidinone was prepared as the method of Schiff's base as the synthetic procedures involved the two steps. I used sulphanilamide salt with different aromatic aldehydes it well give Schiff's base treated with merctoacetic acid than prepared 4-Thiazolidinone.

STEP-I: Synthesis of schiffs base from sulphanilamide.

To a mixture of Sulphanilamide 0.01 mol and aromatic aldehyde 0.01mol in a 50 ml round bottamed flask, add 25 ml Ethanol, few drops of 20% KOH solution were added and the reaction mixture was refluxed for 18-20 hrs. The reaction mixture was kept a side for cooling and then poured in to crushed ice with vigorous stirring. The solution of reaction mixture was acidified with 10% HCl to remove unreacted amines. Then the product was recrystalized from appropriate solvent and dried.

STEP-II: Synthesis of 4-Thiazolidinone using mercapto acetic acid.

The equimolar quantities of schiffs base and mercapto acetic acid were taken in a 50 ml round bottomed flask containing 25 ml THF and small quantity of anhydrous Zncl2. The content of the flask were refluxed on a water bath for 10-12 hrs. Solvent was evaporated to small volume and cooled, and then the concentrated reaction mixture was triturated with 20% sodium bicarbonate solution to remove unreacted acids. Solution was filtered to collect solid. The solide thus obtained was recrystalized using appropriate solvent and dried.

Anti-helmintic activity

Anti-helmintic activity of all synthesized compound was determined by the earthworm. I conformed paralysis

time and death time, at 25mg, 50mg, 75mg and 100mg. Standard anthelmintic drug albendazole at 100mg/ml concentration was also increased under similar conditions.

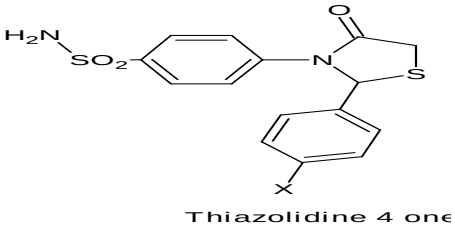
RESULTS AND DISCUSSION

Anthelmintic activity

The synthesized 5 compounds were screened for the anthelmintic activity was determined with the earthworm, have conformd paralysis time and death time, at 25mg, 50mg, 75mg and 100mg. Standard anthelmintic drug was also increased under similar conditions used for the study. Data table no.1 clearly indicates that compound exhibits anthelmintic activity. The paralysis time death time, synthesized compounds were given excellent anthelmintic activity at 25mg, 50mg, 75mg and 100mg concentration.

Physical characterization data of compounds (B_1-B_5)

R= OH, OCH3, Cl, NO2, OH.



Thiazolidine 4 one

Table 1: Anthelmintic activity of newly synthesized 4-Thiazolid inone derivatives.

TEST DRUG	CONC. (mg/ml)	PARALYSIS TIME (min.)	DEATH TIME (min.)
Synthesized compounds (T)	25	07-15	16-15
	50	04-10	11-10
	75	02-40	06-50
	100	01-50	03-55
Albendazole (S)	25	04-40	06-20
	50	03-25	05-23
	75	01-55	03-12
	100	01-30	01-50

Result expresses as mean ± SEM from six observations

CONCLUSION

4-Thiazolidinone derivatives exhibited Anthelmintic activity in which some are good and moderately active like standard employed for comparison therefore further a detailed study of toxicity is necessary, and showed Anthelmintic activity against albendazole.

All the synthesized compounds were characterized by using FT-IR, ^{1}H-NMR spectral techniques. The synthesized molecules were screened for antihelmintic activity. Among the synthesized compounds B1 and B3 showed significant activity when compared to standard.

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