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NOTE

Synthesis and Antimicrobial Activity of 2-(Substituted phenyl)-5-carboxy methyl-4-thiozolidinone Derivatives of Pyrimidine Benzene Sulfanamide (Sulphadiazine)

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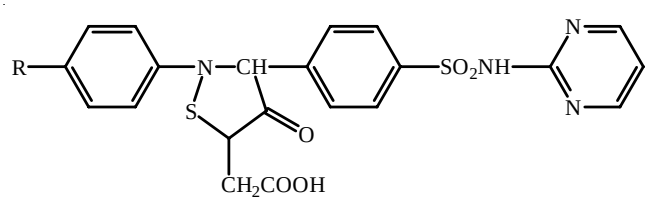
Pyrimidine benzenes sulfanamide (sulphadiazine) has been synthesized by condensing with various aromatic aldehydes with thiomalic acid. All the compounds have been screened for their antimicrobial activity.

Key Words: Synthesis, 4-Thiazolidinone, Antimicrobial activity.

4-Thiazolidinone derivatives[1] have been reported to possess various biological activities. They are well-known for their anti-convulsant[2], hypnotic[3] and chleratic[4] properties. This paper describes the synthesis of 4-thiazolidinone and antimicrobial activities of synthesized compound.

Preparation of (substituted phenyl)pyrimidine benzene sulfanamide: Sulfanamide (0.01 mol) and aromatic aldehyde (0.01 mol) in methanol (30 mL) were refluxed at 70-80ºC for 6 h. The product was filtered, washed with methanol and recrystallized with DMF.



R = C_6H_5, 4-$CH_3 \cdot C_6H_4$; 4-ClC_6H_4; 2-furyl; 4-OH-3-$OCH_3 \cdot C_6H_3$

Preparation of 2-(substituted phenyl)-5-carboxy methyl-4-thiazolidinone pyrimidine benzene sulfanamide: The mixture of (substituted phenyl)pyrimidine benzene sulfanamide (0.01 mol) and thiomalic acid (0.01 mol) were refluxed 220ºC for 6 h. The product was dissolved in ice cold sodium bicarbonate solution. Finally, the product was filter, washed with water and recrystallized with DMF.

Antimicrobial activity

The compounds prepared have been screened for antimicrobial activity using filter paper disc diffusion technique[5]. The activities to be determined by using 4 % solution of standard drug. Most of the compounds were showed moderate activity (14-26 mm zone of inhibition) with 4 % concentration solution when R = phenyl (19 mm) and 4-chloro phenyl (22 mm) against *Tricodermis* sp. R = 4-methoxy phenyl (24 mm) and phenyl (21 mm) against *Aspergillus niger* 2-furyl (20 mm), 4-chloro phenyl (21 mm) and phenyl (21 mm) against *E. coli*: R = phenyl (25 mm) and 4-methoxy phenyl (20 mm) against *B. subtilis*. The activities of the compounds were compared with streptomycin (for bacteria) greseofulvin (for fungi) 4 % solution with has shown inhabitation zones *E. coli* (10 mm), *B. subtillus* (8 mm) *Tricoderma* sp. (9 mm) and *Aspergillus niger* (11 mm).

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