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Synthesis and Characterization of Some Biologically Significant Thiazolidinones

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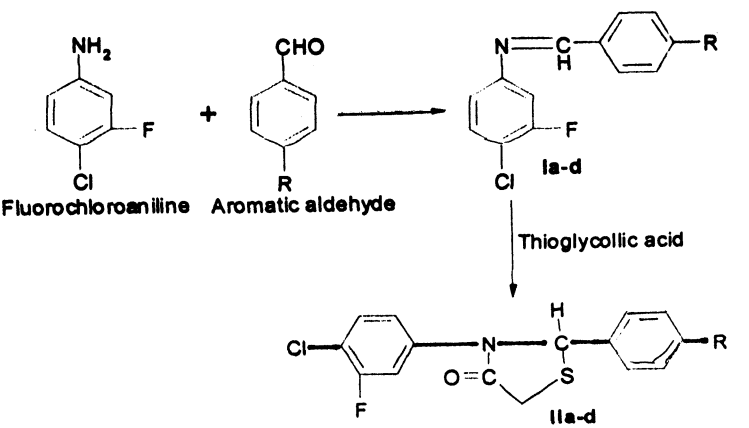
Some new 2-(aryl or substituted aryl)-3-(3′-fluoro-4′-chloro phenyl) thiazolidinones were synthesized by cyclocondensation of anils with thioglycollic acid. The synthesized compounds were established by spectral analysis and evaluated for antimicrobial properties.

Key Words: Fluorochloroaniline, Thioglycollic acid, Schiff bases, Antimicrobial activity.

Thiazolidinones have been found to be biologically versatile compounds which possess a broad spectrum of biological activities[1–3], *viz.*, antiinflammatory, antiparkinsonian, anthelmintic, antibacterial, anticonvulsant and hypotensive in animals as well as human beings. Thiazolidinones were synthesized by the cyclocondensation of anils of aromatic or heterocyclic systems by the action of thioglycollic acid[4]. In addition fluorine-containing compounds have widely spread into our modern life from the kitchen utensils to steroids, with quite broad applications in electronic, agricultural and medicinal industries. Keeping in view these valid observations and in continuation of our research for biologically active fluorine derivatives[5–7], it was planned to synthesise some new fluorinated chalcones.



Preparation of Schiff bases (I)

3-Fluoro-4-chloroaniline (0.01 mol) was refluxed with benzaldehyde (0.01 mole) in ethanol (30 mL) at 80°C for 2 h. The liquid obtained was poured over crushed ice; the solid obtained was filtered off, dried and recrystallised from DMF. Similarly, other substituted Schiff bases were prepared with different aromatic aldehydes (salicylaldehyde, nitrobenzaldehyde and *p*-dimethylaminobenzaldehyde).

Syntheis of 2-(aryl or substituted aryl)-3-(-3′-fluoro-4′-chloro phenyl) thiazolidinones

The mixture of Schiff base (I) (0.01 mole) and thioglycollic acid (0.02 mole) in dry DMF with a pinch of zinc dust was refluxed on oil bath for 8 h. The excess solvent was distilled off and the reaction mixture was poured on to ice. The separated solid was filtered off, washed with distilled water, dried and recrystallized from DMF. Similarly, other thiazolidinones were synthesized and melting points were determined in open capillaries in a liquid paraffin bath and are uncorrected. IR spectra (KBr) were recorded on a Perkin-Elmer 783 spectrophotometer. The structures of the compounds were established on the basis of their elemental analysis and IR spectra. The IR spectra of the compound **3a** show characteristic bands (cm^{-1}) at: 3040 ν(aromatic C—H), 2250 ν(CH_2 of thiazolidinone ring), 1140 ν(C—S) and 1760 ν(C=O of thiazolidinone ring). The yields, melting points and elemental analysis data are shown in Table-1.

TABLE-1
ANALYTICAL AND PHYSICAL DATA OF THE SYNTHESISED COMPOUNDS

Compd. No.	R	m.f.	m.w.	Yield (%)	Elemental analysis (%) Found (Calcd.)		
					C	H	N
IIa	—C_6H_5	$C_{15}H_{11}ClFNOS$	307.77	82	58.50 (58.54)	3.60 (3.58)	4.55 (4.50)
IIb	-2-OH—C_6H_4	$C_{15}H_{11}ClFNO_2S$	323.77	70	55.64 (55.50)	3.42 (3.30)	4.33 (4.30)
IIc	-4-NO_2—C_6H_4	$C_{15}H_{10}ClFNO_3S$	352.77	65	57.07 (57.00)	2.86 (2.75)	7.94 (7.80)
IId	-4-N[$(CH_3)_2$]—C_6H_4	$C_{17}H_{16}ClFN_2OS$	350.84	68	58.19 (56.78)	4.59 (4.60)	7.98 (7.20)

Antibacterial activity

The final compounds were evaluated for antibacterial activity by cup-plate method at a concentration of 100 μg/mL against the test organism, *Staphylococcus aureus*. The zone of inhibition was compared with standard ampicillin (100 μg/mL). The results obtained are recorded in Table-2.

TABLE-2
ANTBACTERAL ACTIVITIES OF FLUORINATED THIAZOLIDINONES

Compound (100 μg/mL)	Zone of Inhibition (mm) *S. aureus*
Ampicillin (Standard)	25.00
IIIa	10.00
IIIb	14.00
IIIc	10.00
IIId	16.00

Some new fluorinated thiazolidinones have been prepared and IR studies have supported the constitution. The products have been screened for their antimicrobial activity. Compound **IId** showed significant activity against *Staphylococcus aureus*. Compound **IIb** showed moderate activity whereas compounds **IIa** and **IIc** were less active against the same bacteria.

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