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NOTE

Synthesis and Antimicrobial Evaluation of Fluorinated Chalcones

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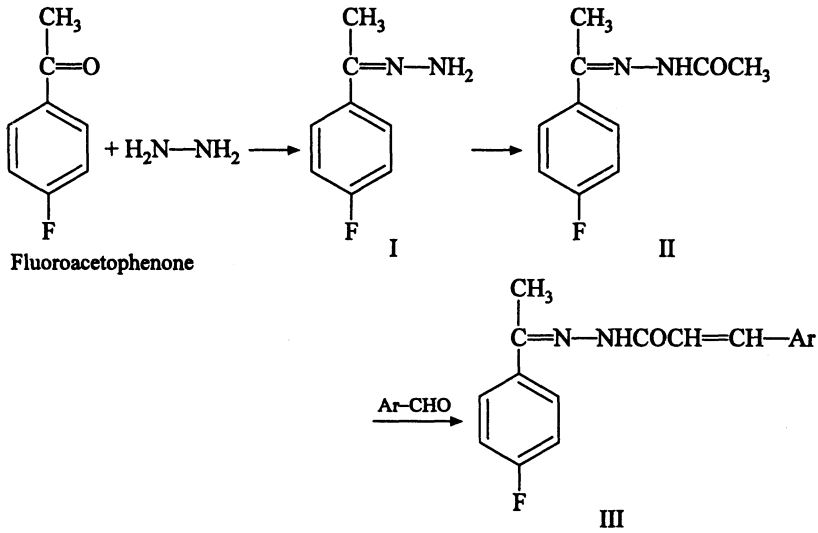
In the present paper some fluorinated chalcones were synthesized and were screened for their antibacterial activities. All the compounds exhibited antibacterial activity.

Key Words: Fluorinated chalcones, Fluoroacetophenone, Antimicrobial activity.

During the last two decades, much more attention has been given to the synthesis of fluoroquinolones (norfloxacin, ciprofloxacin, ofloxacin, enoxacin, pefloxacin, grepafloxacin) as potential antibacterial agents and phenyl styryl ketones show a wide range of pharmacological activities such as anti-inflammatory, anti-carcinogenic, analgesic, antibacterial, antifungal and antidiabetic[1-3]. Keeping in view these valid observations and in continuation of our research for biologically active fluorine derivatives[4-6], it was planned to synthesise some new fluorinated chalcones.

Melting points were determined in an open capillary tube and are uncorrected.



Elemental analysis and spectral data have confirmed structures the of all compounds. The IR values were recorded on Perkin-Elmer 783 spectrophotometer. The ^1H NMR spectra were recorded on Bruker AXR 500 MHz spectrometer in DMSO, using TMS as internal standard.

Preparation of 4-Fluoroacetophenonehydrazone (I)

An equimolar mixture of 4-fluoroacetophenone and hydrazine hydrate was refluxed in alcohol for 3 h at 100°C. The reaction mixture was concentrated and allowed to cool. The resultant product was filtered out and recrystallised from ethanol.

Synthesis of N-acetyl-4-fluoroacetophenonehydrazone (II)

To a solution of **(I)** in chloroform (dry, 50 mL), acetyl chloride was added dropwise with constant stirring at 0–5°C. The reaction mixture was stirred for 8 h, the excess solvent was distilled off and the separated solid thus obtained was poured into ice water, dried and recrystallised from petroleum ether to give **(II)**.

Synthesis of N-chaconyl-4-fluoroacetophenonehydrazones (III)

To a solution of compound **(II)** (0.01 mole) in ethanol, different aromatic aldehydes, *e.g.*, benzaldehyde, salicylaldehyde, 4-N,N′-dimethyl benzaldehyde, 4-methoxybenzaldehyde and 4-nitrobenzaldehyde (0.01 mole) and 5 mL of 2% sodium hydroxide solution were added. The reaction mixture was refluxed for 5 h and then poured into ice water. The resulting mass thus separated was filtered and washed with water, dried and recrystallized from ethanol to give **(III).**

All the chalcones were obtained in moderate to good yields in the range of 54–71%. The structures of all the new compounds were established by spectral and analytical data. Compound **3A**: IR (KBr) (cm^{-1}); 1210 ν(C—F); 1670 ν(C=O of NHCO); 1630 ν(—CH=CH—), 1580 ν(—C=C—, aromatic). ^1H NMR (DMSO) δ ppm = 3.96 (s, 9H), 2.25 (s, 3H, CH_3) and 6.80 (d, 2H, OC—CH=CH). The melting points of the products are as follows: Ar = —C_6H_5 140, —C_6H_4 (-2-OH) 173, —C_6H_4(-4-N(CH_3)$_2$) 126, —C_6H4 (-4-OCH_3) 98, —C_6H_4 (-4-NO_2) 234°C.

Antimicrobial study

The activity assay was carried out using the cup-plate agar diffusion method by measuring the zones of inhibition in mm. All the compounds prepared were screened *in vitro* for their antimicrobial activity against *Staphylocccus aureus* at a concentration of 100 μg/mL. The results were compared with known standard drug, *viz.*, ampicillin.

Some new fluorinated chalcone derivatives have been prepared and IR and ^1H NMR studies have supported the constitution. The products have been screened for their antimicrobial activity (Table-1). Some of the compounds showed significant activity. Other compounds showed moderate activity. The compound containing dimethylamino group at C-4 of the phenyl ring exhibited remarkable activity against *Staphylococcus aureus.* It was concluded that the fluorophenyl styryl ketones could be able to be used as potential antimicrobial agents

TABLE-1
ANTIBACTERIAL ACTIVITIES OF FLUORINATED CHALCONES

Compound (100 μg/mL)	—Ar	Zone of inhibition (mm) *S. aureus*
Ampicillin (Standard)		24.00
IIIA	C_6H_5	10.00
IIIB	C_6H_4(-2-OH)	14.00
IIIC	C_6H_4(-4-N$(CH_3)_2$)	18.00
IIID	C_6H_4(4-OCH_3)	12.00
IIIE	C_6H_4(4-NO_2)	11.00

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