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NOTE

Synthesis and Antifungal Activity of 7-Alkyl/aryl-amino-6-fluoro-2-(4-chloro phenyl)carboxamido(1,3)benzothiazole

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The compounds 7 alkyl /aryl amino-6-fluoro-2-(4-chloro phenyl)carboxamido(1,3)benzothiazoles were synthesized. The newly synthesized compounds shows antifungal activity against *Candida albicans* and *Aspergillus flavus*.

Key Words: Synthesis, Benzothiazoles derivatives Antifungal activities.

Benzothiazole and several of their derivatives have been reported to have bactericidal[1], fungicidal[2], antitumour[3] and antiinflammatory[4] activities. Similarly 2-substituated benzothiazole derivatives with cardiovascular[5], antitubercular[6] properties have been reported.

Melting point was determined in open capillaries and are uncorrected. IR (KBR) spectra were recorded on Shimadzu FT-IR-8400S Spectrophotometer and ^{1}H NMR were recorded on Advance 300 MHz spectrophotometer. The purity of synthesized compound was checked by TLC using silica gel-G and spot were exposed in iodine vapour.

Synthesis of 7-chloro-6-fluoro-2-(4-chloro phenyl)carboxamido-(1,3)benzothiazole (III): A solution of triethylamine (0.101 g, 0.001 mol) and 2-amino-7-chloro-6-fluoro benzothiazole (**II**) (0.203 g, 0.001 mol) in 10 mL of 1,4-dioxane was stirred on a magnetic stirrer at 50-60 ºC for 50-60 min. To this, added dropwise a solution of *p*-chloro benzoyl chloride (0.001 mol) in the 10 mL dry 1,4-dioxane at the same temperature. After the addition, reaction mass was stirred for 3 h. It was then poured in crushed ice. The solid separate out was filtered and washed with 1 % potassium bicarbonate solution and water. Recrystallized with suitable solvent.

III: m.p. 270 °C, IR (KBr, ν_{max}, cm^{-1}): 3095 (NH); 1675 (C=O) ; 1605 (C=N), 1160 (C-F), 680 (C-Cl), ^{1}H NMR: (in $CDCl_3$, δ ppm) 7.4-8.2 (m, 6H, Ar-H); 11.50 (S, 1H, CONH). MS: m/2 340 (M^+). This happens to be agreement with mass number of assigned structure.

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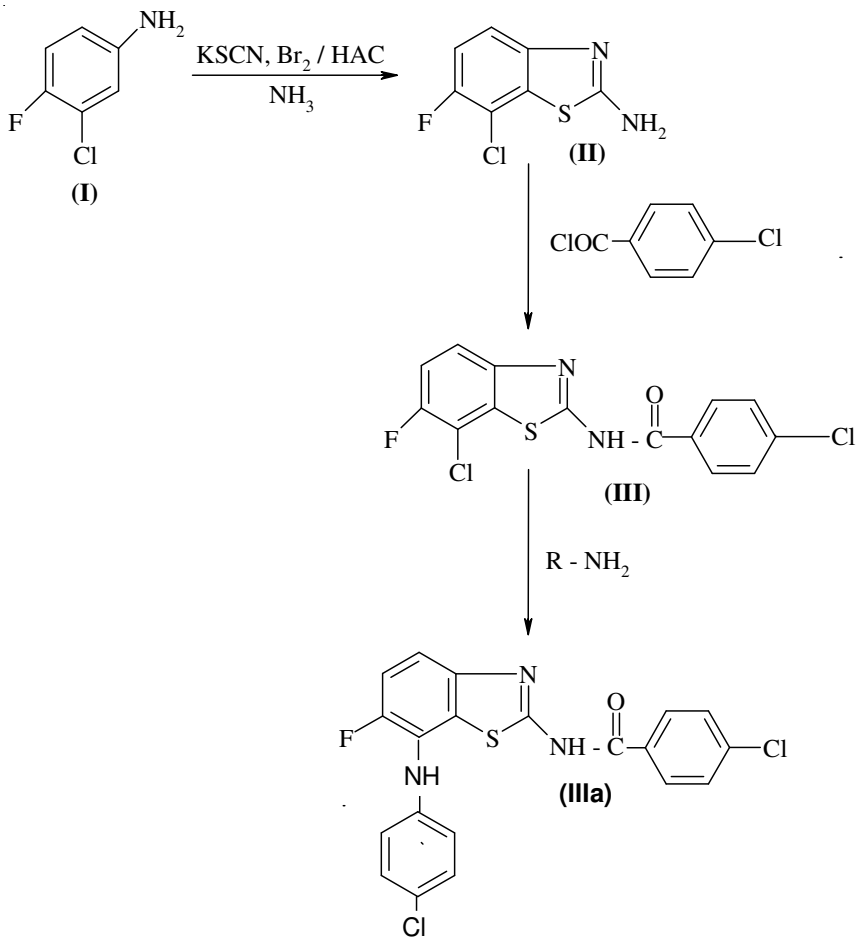
Synthesis of 7-(4-chloro anilino)-6-fluoro-2-(4-chloro phenyl)-carboxamido(1,3)benzothiazole (IIIa): A mixture of 7-chloro-6-fluoro-2-(4-chloro phenyl)carboxamido(1,3)benzothiazole (0.01 mol) and *p*-chloro aniline (0.002 mol) in equimolar quantities in dimethyl formamide (20 mL) refluxed for 2-4 h in oil bath. The reaction mixture was cooled and poured over crushed ice. The solid separated out was filtered and recrystallized with suitable solvent (**Scheme-I**). The physical characteristics of the synthesized compounds (**IIIa-c**) is given in Table-1.

IIIb: IR KBr, ν_{max}, cm^{-1}): 650 (C=O), 3097 (NH), 1608 (C=N), 1174 (C-F), 710 (C-Cl). ^1H NMR spectra (in $CDCl_3$, δ ppm) 7.1-8.2 (m, 9H, Ar-H); 11.30 (S, 2H, NH).



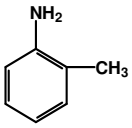
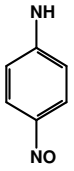
Scheme-I

TABLE-1
PHYSICAL AND ELEMENTAL ANALYSIS DATA OF COMPOUNDS **IIIa-c**

Compd.	m.f.	m.p. (ºC)	Yield (%)	Elemental analysis (%)		
				C	H	N
IIIa	$C_{20}H_{12}N_3OSFCl_2$	174	71.06	60.60	3.03	10.60
IIIb	$C_{21}H_{15}N_3OSFCl$	218	77.94	61.31	3.64	10.21
IIIc	$C_{20}H_{12}N_4O_3SFCl$	180	68.81	54.29	2.71	12.66

Antifungal activity: All the newly synthesized compounds **IIIa-c** were screened for antifungal activity against *Candida albicans* and *Aspergillus flavus*. The results were showed in Table-2. The results are the mean value of zone of inhabition measured in millimeter.

TABLE-2
ANTIFUNGAL ACTIVITY OF COMPOUNDS **IIIa-c**

Compd.	R	Zone on inhibition (%)			
		50 µg	100 µg	50 µg	100 µg
IIIa		12	14	11	15
IIIb		10	15	12	16
IIIc		11	16	12	14

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