



SYNTHESIS AND SCREENING EFFECT OF N-PHENYL-9H-CARBAZOLE-3-CARBOXAMIDE

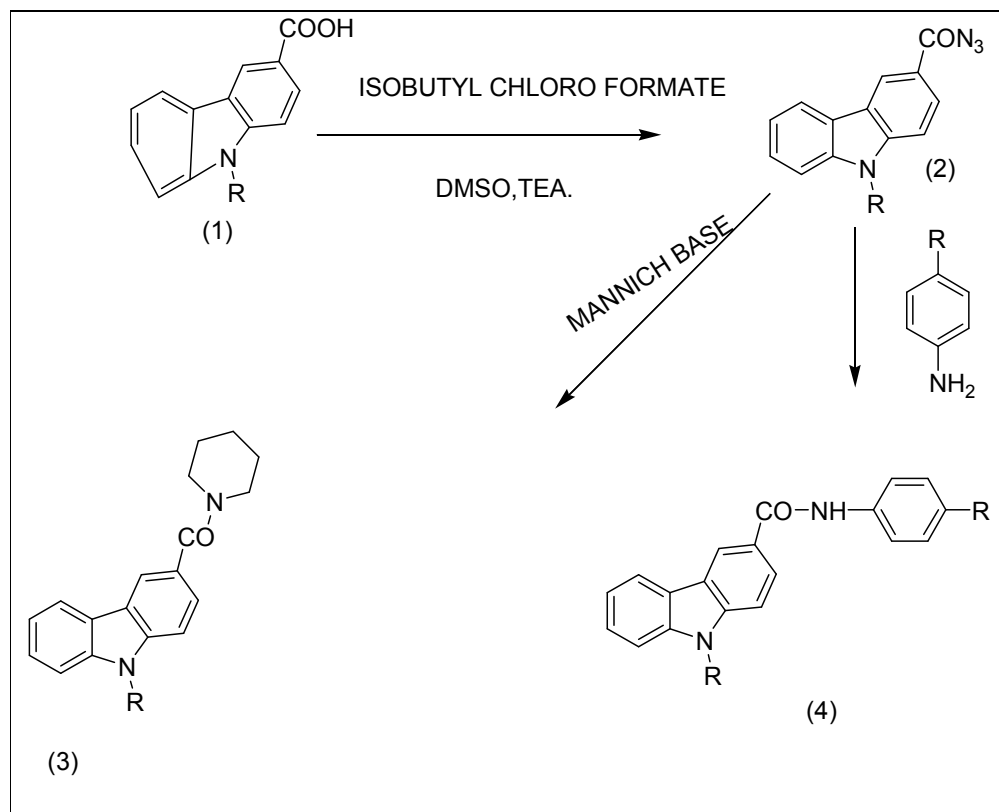
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Abstract: Synthesis of N-phenyl-9H-carbazole-3-carboxamide derivative by using carbazole. Synthesis of carbazole derivatives by carboxylic acids with isobutyl chloroformate and suitable solvents gives Curtius reaction and finally obtained by Mannich base derivatives and n-substituted amides. These are characterised by IR, NMR, MASS spectroscopy and these are screened for biological activity and anti-inflammatory activity and its contain many medicinal applications as like as fex

Keywords: Antibacterial activity, Antifungal activity, carbazole carboxylic acid, Mannich base.

INTRODUCTION: Heterocyclic compounds represent an important class of biological molecules. The heterocyclic molecules which possess carbazole moieties exhibit a wide range of biological activities. Carbazoles are one of the most important alkaloid molecules found extensively in biological systems, which play a vital role in many of the biochemical processes. The carbazole ring constitutes an important basic skeleton and development of the drug. The classical carbazole drugs. Carbazole derivatives are found to possess a high spectrum of biological activities which includes antibacterial [1,2], analgesic [1], antipyretic [2], antifungal [3], anti-inflammatory [4,8], anthelmintic [7], cardiovascular [8], anticonvulsant [9], and selective COX-2 inhibitory activities [13,16]. The chemistry of carbazole and its derivatives were found to play an important role in medicinal chemistry: herbicidal [10], fungicidal [11], bactericidal [12], anti-inflammatory [13], antipyretic [14], antiviral [15], blood pressure [16] lowering [10] and protease inhibitors [17] agents.



COMPOUND	4(A)	4(B)	4(C)	4(D)	4(E)	4(F)
R	H	CH ₃	OCH ₃	Cl	NO ₂	CF ₃
X	-O-	-O-	-O-	-O-	-O-	-O-

MATERIALS AND METHODS: Melting points were determined on open capillaries using a cintex melting point apparatus. T.L.C. analysis were performed on precoated silicagel (E-Merck Kieselgel 60 F254) plates and visualisation was done by exposing to iodine vapour. Solvent were purified by standard procedures before use. Column chromatography was conducted by using Silica gel with different solvent systems as elutes. IR Spectra were recorded KBr on perkin-elmer spectrum BX series FTIR spectrometer. H¹-NMR spectrum were recorded on varian zemini 300MHz and 200MHz spectrometers using TMS as internal standard (chemical shifts in δ ppm). C¹³NMR spectra were recorded on a brucker 75MHz spectrometer. Mass spectra were scanned on a varian MATCH -7 and jeol JMDS-300 mass spectrometer at 70 eV. Elemental analysis were carried out on carloerba 106 and perkin-analyser. All the chemicals used in the present investigation were purchased from Aldrich chemicals; U.S.A.

Synthesis of (9H-carbazol-6-yl)(piperidin-1-yl)methanone(3)

A solution of (2) (0.01mol) and mannich base (0.018mol) in ethanol(20ml) was refluxed for 5hrs. The reaction mixture was cooled and poured in to ice cold water with stirring. The separated solid was filtered, washed with water and recrystallised from ethanol to afford (9H-carbazol-6-yl)(piperidin-1-yl)methanone (3)

Synthesis of N,1-diphenyl-1H-indole-3-carbN-phenyl-9H-carbazole-3-carboxamide(4).

To a mixture of pure of (9H-carbazol-6-yl)(piperidin-1-yl)methanone(3)(1eq), in benzene (1eq) was added and refluxed for 16hrs. Progress of the reaction was monitored by TLC with acetone. Ethyl acetate (6:4) as mobile phase. After completion of reaction solvent was evaporated under vacuum to give crude residue, purified by column chromatography 60-120 mesh silica gel to give N,1-diphenyl-1H-indole-3-carbN-phenyl-9H-carbazole-3-carboxamide(4).

The structures of this newly synthesized compounds 4(a-f) were characterized by¹H-NMR and IR spectral data.

¹H NMR spectra (300MHZ, (CD)₂SO,TMS): 8.55 (S, 1H, due to the-NH attached to keto group), 6.75-7.75 (m,5H attached to the carbazole ring),6.55-7.45(s,4H attached to aniline ring),6.33-7.33(m,7H due to carbazole ring).

IR spectra: The compound (4) shows signals at, 1690 (C=N), 1720 (-C=O), 3150(-NH)

Anti-Bacterial Activity

The anti bacterial activity of synthesized compounds was studied by the disc diffusion method against the following pathogenic organisms. The gram-positive bacteria screened were staphylococcus aureas nccs 2079 and bacillus cereus nccs 2106. The gram negative bacteria.screened were Escherichia chia coli nccs 2065 and pseudomonas argunisaNCCS 2200.

The synthesized compounds were used at the concentration of 250 ug/ml and 500ug/ml using DMSO as a solvent **Chloromphenicol(5)** disc was used as a standard .(himedia laboratories ltd, Mumbai)

The test results presented in the table -1, suggest that exhibit high activity against the tested bacteria, the rest of the compounds were found to be moderate active against the tested microorganisms.

Antifungal activity

The antifungal activity of synthesized compounds were studied by disc diffusion against the organisms of aspergillus niger NCCS1196 and cadida albicas NCCS34471 Compounds were treated at the counteractions of 500ug/ml and 1000ug/ml using DMSO as solicit. The standard used was clot rigmarole 50ug/ml against both organisms.

Compound	Zone of inhibition (mm)			
	Staphylococcus aureus	Bacillus cereus	Escherichia coli	Pseudomonas aeruginosa
4a	15	15	12	17
4b	14	12	18	10
4c	12	12	10	09
4d	16	17	12	11
4e	18	19	18	12
4f	14	15	13	16
Chloromphenicol(5)	28	29	25	17

Compound	Zone of inhibition (mm)	
	Aspergillums Niger	Candida alb cans
4a	14	16
4b	15	13
4c	17	15
4d	18	17
4e	23	21
4f	15	13
Ketocanazole(50)	21	19

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