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Synthesis of new carbazole ketomethyl-4-oxo-thiazolidines and their 5- arylidiene derivatives

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ABSTRACT

Several new 2-aryl-3-(carbazolyl ketomethyl amino)-1, 3-thiazolidin-4-ones and 5-arylidene-2-aryl-3(carbazoly ketomethyl amino)-1,3-thizolidin-4-ones have been synthesized and tested for their antibacterial and antifungal activities. Structures of the synthesized compounds have been elucidated on the basis of their elemental analyses and spectral data.

INTRODUCTION

Carbazole derivatives are associated with potent biological activities such as antiinflammatory[1], analgesic[2], insecticidal[3],fungicidal[4], bactericidal and trypanocidal[5], anticonvulsant[6], diuretic[7], 4-oxo-thiazolidines and their 5-arylidine derivatives posses variety of therapeutic activity. In the present study the 9th position in carbazole having a secondary anuino group by using carbonyl compounds used as the target for chemical modification.

Carbazole on treated with chloroacetyl chloride afforded carbazolyl ketomethyl chloride 1, which on reaction with hydrazine hydrate resulted in the formation of carbazolyl ketomethyl hydrazine 2. The compound 2 which on condensation with various substituted aromatic carbonyls yielded substituted aryl-2-amino carbazolyl ketomethyl 3. The compound 3 on reaction with thioglycolic aid underwent dehydrative annulations afforded 2-aryl-3 (carbazolyl ketomethyl amino)-1, 3 thiazolidin -4-ones 4. compound 4 on reaction with various substituted aromatic carbonyls produced 5-arylidene-2-aryl-3-(carbazolyl keomathyl amino) 1,3-thiazolidin-4-ones.

ANTIMICROBIAL ACTIVITY

The compound 4 and 5 were screened for their antibacterial activity against ***Escherichia coli,*** ***klebsilla pneumonia*** and ***shigella dysentriae*** at 25 and 50 ppm and for antifungal activity against ***Rizopus oryzae, Aspergillus niger*** and ***crysosporium panical*** by filter paper disc technique at 100 and 500 ppm concentrations. Standard antibacterial ***streptomycin*** and antifungal ***Griseofulvin*** were also screened under the similar conditions for comparison.

EXPERIMENTAL SECTION

Carbazolyl ketomethyl chloride 1. A mixture of carbazole (2.0ml) on electrophilic substitution by chloroacetyl chloride (0.2ml) was refluxed on a steam bath for about 10 hr. The solid thus obtained was dried, purified and crystallized form ethanol, (yield 65%), mp 140-42^0 c and Calcd, for $C_{14}H_{10}NOCI$:C,70.22;H, 5.48; N,4.28% found :C,70.00;H, 5.42; N,4.20%; IR: 1735(>C=0),2170 and 2238(>N-C=0),3035, 1550(carbazole nucleus).

Carbazolyl ketomethyl hydrazine 2. A mixture of 1 (0.3 ml) and hydrazine hydrate (0.3 ml) in methanol (40 ml) was refluxed on a steam bath for about 12 hr. Mathanol was then removed under reduced pressure and the solid thus obtained was dried, purified and crystallised from ethanol (yield 80%), mp 165-170^{0}C; Anal. Calcd. for $C_{14}H_{13}ON_3$. C,70.29; H,5.43; N,17.57% ; found: C,70.22; H,5.43N, 1750. IR 3030 and 1575 (Carbazole nucleus), 2175 and 2240 (>N-C=0), 3340 and 1340

(CH_2 NH and NH), 870 and 800 (benzene rings) and 1735 (>C=0).

Synthesis of substituted aryl-2- amino carbazolyl ketomethyl 3(a). A mixture of compound 2(2.0ml) and substituted aromatic (0.3ml) with 4-5 drops of glacial acetic acid was refluxed on a water bath for about 8 hr. cooled, evaporated to obtain a residue and was purified. The product was recrystallised from methanol (yield 82%) mp 140^0 -145^0C. Anal. Calcd. For $C_{21}H_{17}ON_3$-R1: C, 69.70; H, 4.42; N, 11.61%; found: C, 69.68; H,4.40; N,11.59, IR: 3035 and 1530 (carbazole nucleus) 868 and 805 Benzene ring), 2172 and 2235 (>N-C=0),3335 and 1345 (-CH_2NH and NH). Like wise (3b-h) were prepared by treating 2 with various aromatic carbonyls.

Synthesis of 2-aryl-3 (carbazolyl ketomethyl amino) 1.3 thizolidin-4-ones.(4a). A mixture of 3a (0.2mol) and thioglycolic acid (0.01 mol) with a pinch of anhydrous $ZnCl_2$ was refluxed for about 12 hr on a water bath. The separated solid was filterd purified and crystallised form methanol (yield 80%) mp 145-147^0C Anal Caled. For $C_{23}H_{18}O_2SN_3$-R1: C , 63.37;H, 4.13 ;N, 9.64%.found : C,63.37;H,4.13; N; 9.64% IR : 3537 and 1340 (-NH) , 1715 (C=0 cyclic) and 1660 (C=0 amido)

Similarly (4b-h) were prepared from (3b-h) using different aromatic carbonyls.

Synthesis of 5-arylidene 2-aryl-3 (carbazino ketomethyl amino) -1, 3- thiazolidin -4- ones (5a). A mixture of 4a (0.01mol) and benzaldehyde (0.01mol) in dioxane (20 ml) in the presence of C_2H_5ONa was refluxed for about 8 hr. The solvent was then removed under reduced pressure to get a solid which was fultered, dried, purified and crystallized from methanol (yield 78%) ; mp 150-52^0C, Anal Calcd. For $C_{30}H_{21}O_2SN_3$-R1R2 :C, 64.51; H, 3.76; N, 7.52% found: C, 64.49; H, 3.73; N, 7.50, IR : 3338 and 1342 (-NH), 1710 (C=0 cyclic) 1650 (C=0 amido) and 1630 (C=CHAr), Similarly (5b-h) were prepared from (4b-h) using different aromatic carbonyls.

RESULTS AND DISCUTION

This section includes the results and discution of antibacterial, antifungal antiinflammatory and diuretic activity of the synthesised products derived from carbazole. Some of the products exhibited pronounced biological activity.

Structure of the synthesized compounds has been elucidated on the basis of their elemental analyses and spectral data.

ACKNOWLEDGMENT

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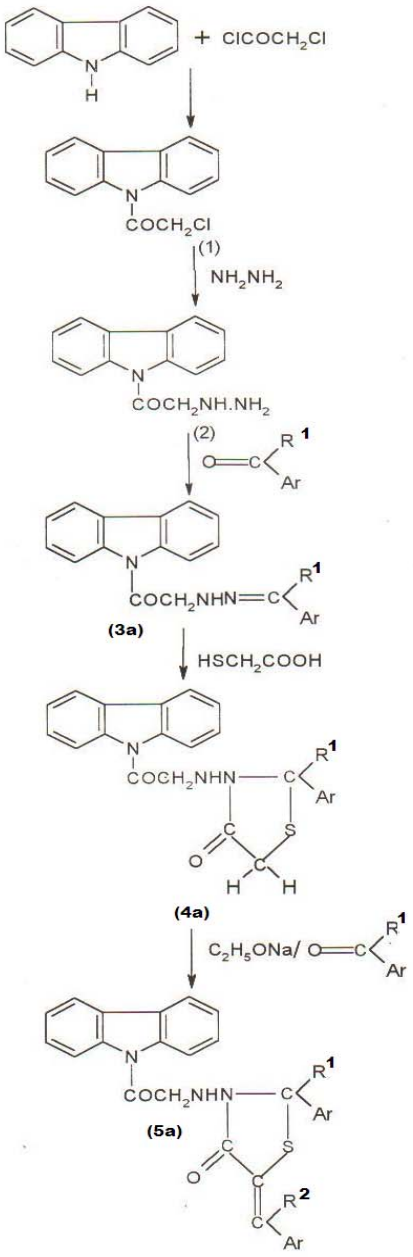
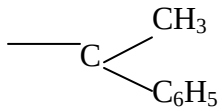
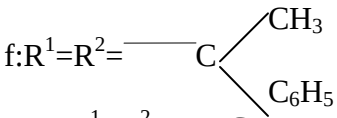
SCHEME-3



a : R^1= -C_6H_5
b: R^1=4 OH-C_6H_4
c: R^1=2 OH-C_6H_4
d: R^1=2 Cl-C_6H_4
e: R^1 =4 Cl-C_6H_4
f: R^1= 
g: R^1=2Br-C_6H_4
h: R^1=4Br-C_6H_4

a: R^1=R^2=-C_6H_5
b: R^1=R^2=4 OH-C_6H_4
c: R^1=R^2= 2 OH-C_6H_4
d: R^1=R^2=2 Cl-C6H4
e: R^1=R^2= 4Cl-C_6H_4
f:R^1=R^2= 
g: R^1=R^2=2Br-C_6H_4
h: R^1=R^2=4Br-C_6H_4