Asian Journal of Chemistry Vol. 20, No. 6 (2008), 4946-4948

NOTE

Synthesis and Antibacterial Activity of N^9-(Phenylidenehydrazidomethyl)carbazoles

R. VALARMATHI*, S. AKILANDESWARI, A.SUMATHI†,
S. KARPAGAM KUMARA SUNDARI and P. PANNEERSELVAM‡
Department of Pharmaceutical Chemistry, Periyar College of Pharmaceutical Sciences for Girls, Tiruchirappalli-620 021, India
Tel: (91)9443765216; E-mail: vspharma@yahoo.com

N^9-(Phenylidenehydrazidomethyl)carbazole derivatives are synthesized by condensing various aromatic aldehydes. These are characterized on the basis of IR, NMR and mass spectral data. The final compounds were evaluated for antibacterial activity by taking *Staphylococcus aureus* as test organism.

Key Words: Synthesis, N^9-(Phenylidenehydrazidomethyl)-carbazoles, Antibacterial activity.

Dibenzopyrrole or carbazole is the product formed by the spontaneous condensation of phenyl hydrazine and cyclohaxanone[1]. These derivatives are widely used because their synthesis easily takes place in good yield. Carbazole derivatives were reported to possess a wide range of CNS activity *e.g.*, antidepressant[2], anticonvulsant[3] and antiinflammatory[4] and other biological activities such as fungicidal[5], diuretic[6], antidiabetic[7] and neuroleptic[8]. In the present communication, carbazole derivatives are prepared and evaluated for antibacterial activity.

Synthesis of ethyl N^9-carbazolylacetate (1): Equimolar solution of carbazole (0.1 mol) in dry acetone (60 mL) and ethyl chloroacetate (0.1 mol) in the presence of anhydrous potassium carbonate (5 g) was refluxed on a water bath for *ca.* 12 h, cooled and the solid thus obtained was filtered, dried and crystallized from ethanol to give **1**, yield 89 % m.p. 97-98 ºC [Found: C, 75.80; H, 5.35; N, 5.51. $C_{16}H_{15}NO_2$ requires C, 75.89; H, 5.53; N, 5.53 %].

†Department of Pharmacognosy, JKKMMRF College of Pharmacy, B. Komarapalayam, Namakkal-638 138, India.

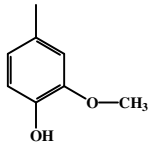
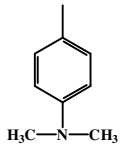
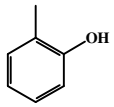
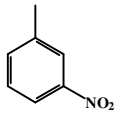
‡Department of Pharmaceutical Chemistry, C.L. Baidmetha College of Pharmacy, Thoraipakkam, Chennai-600 096, India.

Synthesis of N^9-carbazoleacetylhydrazine (2): A mixture of compound **1** (0.08 mol) and hydrazine hydrate (0.08 mol) in 1,4-dioxane (50 mL) was refluxed on a water bath for *ca.* 18 h. It was cooled and filtered when a light brown coloured substance separated out which was crystallized from methanol to give **2**, yield 85 %, m.p. 86 ºC [Found: C, 70.25; H, 5.40; N, 17.52. $C_{14}H_{13}N_3O$ requires C, 70.29; H, 5.48; N, 17.57 %].

Synthesis of N^9-(phenylidenehydrazidomethyl)carbazole (3a): A solution of compound **2** (0.05 mol) in chloroform (30 mL), hydrazine (0.05 mol) and 4-5 drops of glacial acetic acid was refluxed on a water bath for *ca.* 8 h, cooled and evaporated to obtain a residue which was crystallized from ethanol to give **3a**, yield 85 % m.p. 110-111 ºC [Found : C, 77.01; H, 5.12; N, 12.78 %. $C_{21}H_{19}N_3O$ requires C, 77.06; H, 5.19; N, 12.84 %].

Likewise other N^9-arylidenehydrazidomethyl carbazoles, **3b-e** were prepared by treating **2** with various aldehydes and their physical data are given in Table-1.

TABLE-1
CHARACTERIZATION DATA AND ANTIBACTERIAL ACTIVITY OF CARBAZOLE DERIVATIVES

Compd.	R_1	m.f.	m.p. (ºC)	Diameter of zone of inhibition (mm)	
				50 µg/mL	100 µg/mL
3a		$C_{22}H_{19}N_3O_3$	134-135	9	12
3b		$C_{23}H_{22}N_4O$	156-157	12	15
3c		$C_{19}H_{15}N_3O_2$	172-173	15	18
3d		$C_{21}H_{17}N_3O_2$	126-127	6	9
3e		$C_{21}H_{16}N_4O_3$	142-143	12	15

Test organism: *S. aureus*, Solvent: DMF, Standard ciprofloxacin: 100 µg/mL (zone of inhibition = 20 mm).

Characterization of ethyl N^9-carbazolylacetate (1): IR (KBr, ν_{max}, cm^{-1}): 1730 (>C=O ester); 1460 (-N-CH_2-), ^{1}H NMR; 1.20 (t, 3H, -COOCH_2-CH_3), 3.60 (s, 2H-N-CH_2-), 4.10 (q, 2H, -COO-CH_2-CH_3), 7.20-7.90 (m, 8H, Ar-H).

Characterization of N^9-carbazole acetyl hydrazine (2): IR (KBr, ν_{max}, cm^{-1}): 3340 (-NH -NH_2), 1670 (>C=O amido), ^{1}H NMR: 2.50 (s, 2H-NH_2), 3.65 (s, 2H, -N-CH_2), 7.10-7.80 (m, 8H, Ar-H), 7.90 (s, 1H-CONH-).

Characterization of N^9-(phenylidene hydrazido methyl) carbazole (3a): IR (KBr, ν_{max}, cm^{-1}): 3330 (-NH-), 1660 (>CO amido), 1620 (-CH=N-); ^{1}H NMR: 3.70 (s, 2H, N-CH_2), 4.40 (S, 1H, -N=NH-), 7.00-7.90 (m, 13H, Ar-H), 8.00 (s, 1H, CO-NH-).

Antibacterial activity: The synthesized compounds were evaluated for antibacterial activity by filter paper disc method at a concentration of 50 and 100 µg/mL against the test organism, *Staphylococcus aureus*. The zone of inhibition was compared with standard drug ciprofloxacin (100 µg/mL). The results so obtained are recorded in Table-1.

REFERENCES

1. R.K. Bansal, Department of Chemistry, Heterocyclic Chemistry, edn. 3, pp. 301-304 (2001).
2. N.I. Andreeva, T.V. Akalaeva and A.I. Bokanor, *Khim.-Farm. Zh.*, **25**, 27 (1991).
3. S.K. Srivastava and S. Srivastava, *Indian J. Chem.*, **38B**, 183 (1999).
4. H.-S. Lin and M.E. Richett, Pct Int. Appl. Wo 2001049662 A2, pp. 147, 12 July (2001).
5. M. Sekar and K.J.R. Prasad, *Indian J. Chem*, **34B**, 731 (1995).
6. Y.K. Shukla and S.D. Srivastava, *Indian J. Pharm. Sci.*, **56**, 30 (1994).
7. P.S. Burry, J.P. Mogensen, K. Wassermann and C.L. Brand, *J. Med. Chem.*, **45**, 789 (2002).
8. H. Reder, T.B. Lowinger and D.R. Brittelli, US 6013646 A, pp. 23, 11 Jan (2000).

(*Received*: 20 August 2007; *Accepted*: 15 March 2008) AJC-6481