Asian Journal of Chemistry Vol. 15, No. 1 (2003), 47–48

Synthesis and Evaluation of Antibacterial Activity of Hydrazones of 1,4-Quinoxaline Derivatives

ANEES A. SIDDIQUI*, SUROOR A. KHAN and ZIA UR REHMAN
Department of Pharmaceutical Chemistry, Faculty of Pharmacy
Jamia Hamdard, New Delhi-110 062, India

Hydrazones of 1,4-quinoxaline derivatives are synthesized by condensing substituted quinoxalines and hydrazine hydrate, followed by reaction with respective 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: 1,4-Quinoxaline, Hydrazones, Antibacterial activity.

INTRODUCTION

The quinoxaline or benzopyrazine is the product formed by the spontaneous condensation of aromatic *o*-diamines and 1,2-dicarbonyl compounds[1]. These compounds are found to possess good anticancer[2], anti-HIV[3] and antibacterial[4] activity. In continuation to our previous work on quinoxaline derivatives[5], more compounds of similar nature are synthesized and evaluated for antibacterial activity.

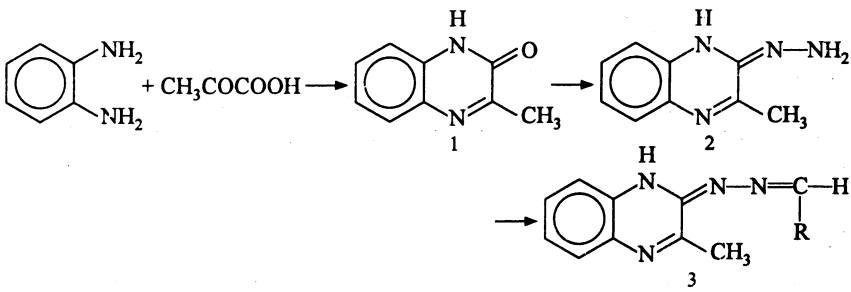
EXPERIMENTAL

Synthesis of 3-methyl 2-oxo 1,4-quinoxaline (1) (Gen. Procedure): Equimolar quantities of pyruvic acid and *o*-phenylene diamine were refluxed in alcohol for 2 h. The contents were cooled down to separate out the solid. The compound was filtered out and recrystallized with ethanol, yield 70%, m.p. 204°C.

Synthesis of 3-methyl 1,4-quinoxaline 2-hydrazide (2): The compound **2** and hydrazine hydrate were refluxed in an equimolar ratio in alcohol for 16 h. The reaction mixture was concentrated and allowed to cool. The resultant product was filtered out and recrystallised from ethanol, yield 60%, m.p. 228°C.

Synthesis of 3-methyl-2-hydrazono 1,4-quinoxaline (3): The compound **2** and respective aldehyde (Table-1) were refluxed for 8 h. The contents were concentrated and cooled down. The resultant product was filtered out and recrystallised with ethanol, yield 60%.

TABLE-1



Scheme-1

CHARACTERISATION DATA OF HYDRAZONES OF 1,4-QUINOXALINE DERIVATIVES (3)

Compd.	R	m.f.	m.p. (°C)
1a	*p*-dimethylamino phenyl	$C_{18}H_{19}N_5$	196
1b	*o*-methoxy phenyl	$C_{17}H_{16}N_4O$	182
1c	*o*-hydroxy phenyl	$C_{16}H_{14}N_4O$	212
1d	*o*-chloro phenyl	$C_{16}H_{13}N_4Cl$	199
1e	*p*-chloro phenyl	$C_{16}H_{13}N_4Cl$	202
1f	3,5-dichloro phenyl	$C_{16}H_{12}N_4Cl_2$	218

Characterisation of 3-methyl-2-(*p*-dimethyamino phenyl)-hydrazono-1,4-quinoxaline (1a): IR (cm^{-1}): 3350 ν(NH), 1620 ν(C=C), 1410 ν(C=N); ^1H NMR (δ): 3.028 (6H, s, $N(CH_3)_2$), 3.065 (3H, s, CH_3), 6.715 (2H, m. Ar—H), 6.74 (2H, m, Ar—H), 7.23 (1H, m, =CH), 7.70 (2H, m, Ar—H), 7.84 (2H, m, Ar—H), 9.5 (1H, s, NH); MS : 305 (M^+), 261, 246, 136, 135, 100.

Antibacterial activity: The final compounds were evaluated for antibacterial activity by cup-plate method at a concentration of 100 and 500 μg/mL against the test organism, *Staphylococcus aureus.* The zone of inhibition was compared with standard ofloxacin (30 μg/mL). The results so obtained are recorded in Table-2.

TABLE-2
ANTIBACTERIAL ACTIVITY OF HYDRAZONES OF 1,4-QUINOXALINE DERIVATIVES

Compound	Diameter of zone of inhibition (mm)	
	100 μg/mL	500 μg/mL
1a	21	19
1b	19	18
1c	18	15
1d	15	13
1e	17	16
1f	17	16

Test organism = *S. aureus;* solvent = N,N-dimethylformamide;
standard ofloxacin = 30 μg/mL (zone of inhibition = 27 mm).

REFERENCES

1. Hinsberg, *Ber.*, 17, 318 (1884).
2. H.W. Yoo, and S.W. Park, *J. Med. Chem.*, **41**, 4716 (1998).
3. G. Campiani, F. Aiello, M. Fabbrini, E. Morelli, S. Armaroli, V. Nacci, A. Garofalo and A. Ventura, *J. Med. Chem.*, **44**, 305 (2001).
4. J. Mohan and V. Kumar, *Indian J. Chem.*, **37B**, 95 (1998).
5. Anees A. Siddiqui, S.A. Khan and S. Bhatt, *Asian J. Chem.*, **14**, 1111 (2002)

(*Received*: 11 April 2002; *Accepted*: 1 July 2002) AJC-2791