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Synthesis and Application of Novel Heterocyclic Drugs Based on Some Schiff base

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ABSTRACT

Schiff bases have their own importance in biological field. A new series of heterocyclic Schiff base derived from the refluxes method of quinazolin in presence of ethanol with different aldehydes is developed. The chemical structures of the products are confirmed by their percentage yield and melting points. All the compounds are tested for their antimicrobial activities by the cup plate method.

Key-words: Schiffbase, quinazolin, aldehydes, recrystallization.

INTRODUCTION

Schiff bases [1] are the important compound owing to their wide range of biological activities and industrial application. They have been found to posses the pharmacological activities such as antimalarial[2], anticancer[3], antibacterial[4], antifungal[5], antitubercular[6], antiinflammetery, antimicrobial[7] and antiviral[8] etc. They also serve as a back bone for the synthesis of various heterocyclic compounds.

In view of these above biological importance of Schiff bases. We plan to synthesis of some novel Schiff bases by Schiff reaction.

MATERIALS AND METHODS

Experimental

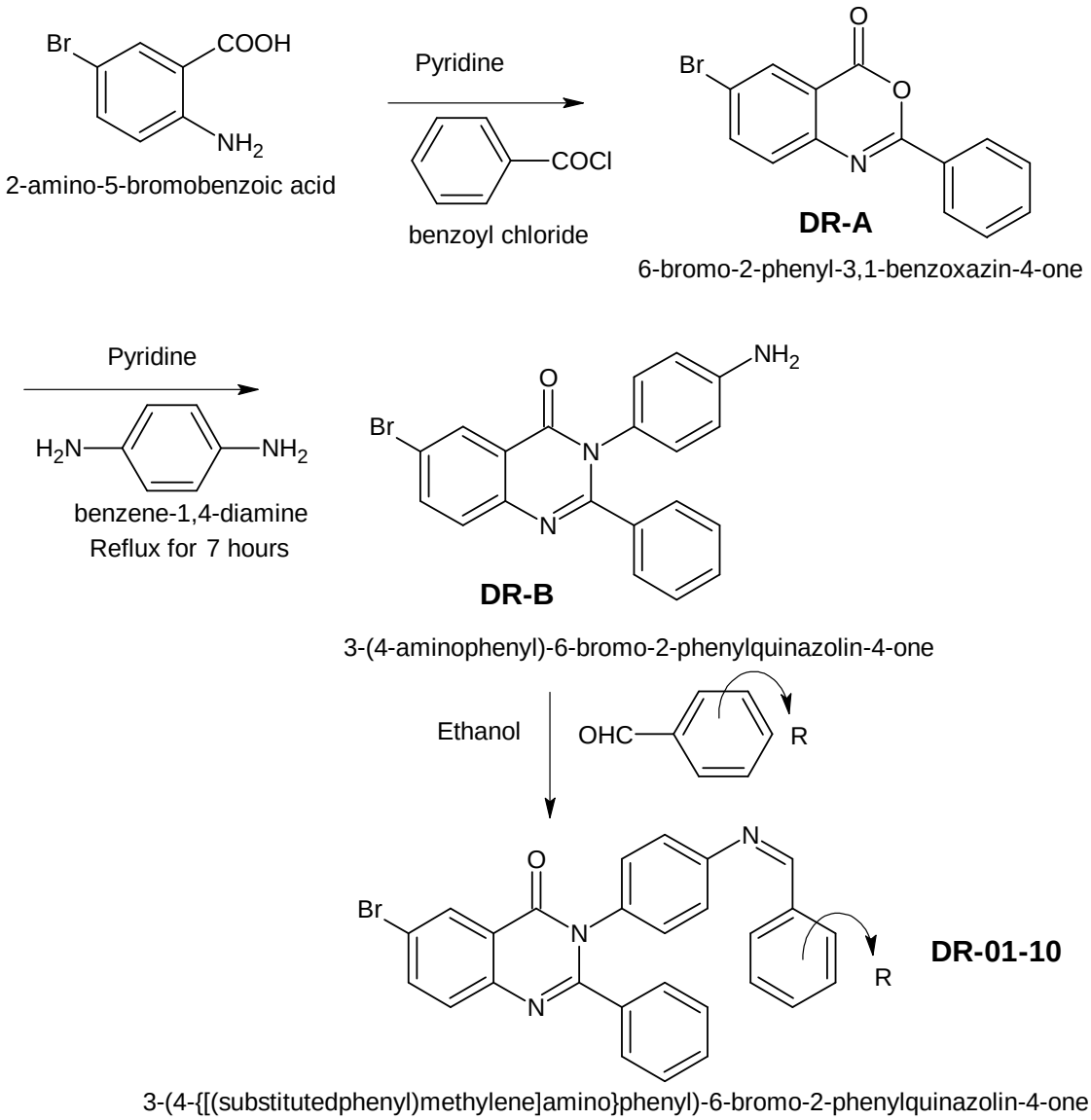
The IR spectra were recorded on IR affinity-1, DRS-8000A, Shimadzu, Ptc. Ltd., Japan spectrophotometer. The ^{1}H-NMR was recorded in DMSO on Bruker Advance II 400 MHz spectrometer using TMS as an internal standard. Melting points were determined in open capillary tubes and are uncorrected. The purity of the compounds was checked by TLC-using Silica gel-G (Merck). Column chromatography was performed on silica gel.

Preparation of 6-Bromo-2-phenyl-3-1-benzoxin-4-one (DR-A)

To a solution of 2-amino-5-bromobenzoic acid (0.01m) in 30 ml pyridine was added benzoylchloride (0.02m) and the mixture was shaken for 5 min and then kept aside room temperature for further 25 minute with occasional

shaking. The reaction mixture was treated with 15 ml 5% $NaHCO_3$, filtered, washed with water,dried and the crude product was recrystalized from absolute ethanol. The yield of the product was 58% and the product melts at 180^0c. Found: C(55.63%) H(2.64%) N(4.62%), Calcd. for $C_{14}H_8BrNO_2$: C(55.66%) H(2.67%) N(4.64%), IR (KBr) ; (cm^{-1}) : 3090(=C-H, aromatic), 1700(>C=O), 1650(>C=N-), 1520(>C=C<, aromatic ring), 560(C-Br).

Reaction Scheme



Preparation of 3-(4-aminophenyl)- 6-bromo-2-phenylquinazolin-4-one (DR-B).

In a 250 ml conical flask (equipped with a reflux condenser) a mixture of 6-bromo-2-phenyl 3,1-benzoxazin-4-one (0.1M), benzene-1,4-diamine (0.1M), 25 ml pyridine and about one pellet of KOH was placed and was heated on sand bath for 7-8 hours. The mixture was then poured in ice. The precipitates were collected, washed with 10% HCl and re-crystallized from ethanol. The yield of the product was72% and the product melts at 210^0C. Found: C(61.20%) H(3.55%) N(10.65%), Calcd. for $C_{20}H_{14}BrN_3O$: C(61.24%) H(3.60%) N(10.71%), IR (KBr) ; (cm^{-1}) :

3091(=C-H, aromatic), 1693(>C=O), 1647(>C=N-), 1514(>C=C<, aromatic ring), 1315(C-N), 558(C-Br). ^{1}H NMR (DMSO); 3.9330, singlate (2H)(-NH_2), 7.0475-8.4688 , multiplate (12H)(Ar-H).

Preparation of 3-(4-{[(substitutedphenyl)methylene]amino}phenyl)-6-bromo-2-phenylquinazolin-4-one (DR-01-10).

To a solution of 3-(4-aminophenyl)- 6-bromo-2-phenylquinazolin-4-one (0.01M) in absolute ethanol (60 ml), substitutedbenzaldehyde (0.01M) and a few drops of glacial acetic acid were added and the mixture refluxed for 10 h. It was then cooled, concentrated and poured into crushed ice and filtered. The product thus obtained was purified by recrystallization from methanol to get compound 3-(4-{[(substitutedphenyl)methylene]amino}phenyl)-6-bromo-2-phenylquinazolin-4-one. IR (KBr) ; DR-05 (cm^{-1}) : 3288(-OH), 3068(=C-H, aromatic), 1674(>C=O), 1620(>C=N-), 1558(>C=C<, aromatic ring), 1317(C-N), 1261(-C-O-), 561(C-Br). ^{1}H NMR (DMSO); DR-08: 2.8898, singlate (6H) (-$N(CH_3)_2$), 8.4797, singlate (1H) (-N=CH-Ar), 6.4880-8.8299, multiplate (16H) (Ar-H).

Table-1 Physical constant of 3-(4-{[(substitutedphenyl)methylene]amino}phenyl)-6-Bromo-2-phenylquinazolin-4-one

No.	Sub. No.	R	Molecular Formula	Mol. Wt. (g/m)	Yield (%)	M. P. ^{0}C	Carbon (%)		Hydrogen (%)		Nitrogen (%)	
							Found	required	Found	required	Found	required
1	DR-01	-2-Cl	$C_{27}H_{17}BrClN_3O$	514.80	71	240	62.97	62.99	3.30	3.33	8.14	8.16
2	DR-02	-4-Cl	$C_{27}H_{17}BrClN_3O$	514.80	77	232	62.93	62.99	3.31	3.33	8.15	8.16
3	DR-03	-3-OCH_3,-4-OCH_3	$C_{29}H_{22}BrN_3O_3$	540.40	83	192	64.41	64.45	4.05	4.10	7.75	7.78
4	DR-04	-H	$C_{27}H_{18}BrN_3O$	480.35	75	212	67.50	67.51	3.75	3.78	8.70	8.75
5	DR-05	-2-OH	$C_{27}H_{18}BrN_3O_2$	496.35	80	225	65.30	65.33	3.64	3.66	8.43	8.47
6	DR-06	-3-OCH_3,-4-OH	$C_{28}H_{20}BrN_3O_3$	526.38	76	198	63.85	63.89	3.80	3.83	7.95	7.98
7	DR-07	-4-OH	$C_{27}H_{18}BrN_3O_2$	496.35	78	204	65.31	65.33	3.65	3.66	8.43	8.47
8	DR-08	-4-$N(CH_3)_2$	$C_{29}H_{23}BrN_4O$	523.42	79	198	66.50	66.54	4.41	4.43	10.65	10.70
9	DR-09	-4-OCH_3	$C_{28}H_{20}BrN_3O_2$	510.38	81	220	65.85	65.89	3.93	3.95	8.21	8.23
10	DR-10	-3-NO_2	$C_{27}H_{17}BrN_4O_3$	525.35	79	185	61.70	61.73	3.23	3.26	10.62	10.66

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