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Novel Synthesis of 2, 3 Disubstituted Methylene Furan Quinoxaline From Disubstituted Acetophenone and Its Biological Activity

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Abstract

Quinoxaline well knew for their antibactrial[1], antitumor and antiviral[2] properties. Earlier workers have reported various aziridinyl ketones and their cyclic anils by reaction of chalcone dibromide with benzene1, 2- diamine in presence of triethyl amine and their subsequent acid catalyzed isomerisation to quinoxaline[3].Similarly 2-monoalkylamino and 2-dialkylamino-4-phenyl benzodiazepines are also reported[4].Fpormation of novel Schiff base containing tricyclic (7+12+7) inner ring system has also been reported[5] in this reaction.Chalcone dibromide condenced with hydrogen peroxide in alkaline methanol or dioxane gives aurone epoxide which on ring opening gives 2,β aurone isomeric with 1,2 diketone structure[6] which condensed with BDA give 2,3 disubstituted quinoxaline.

Introduction

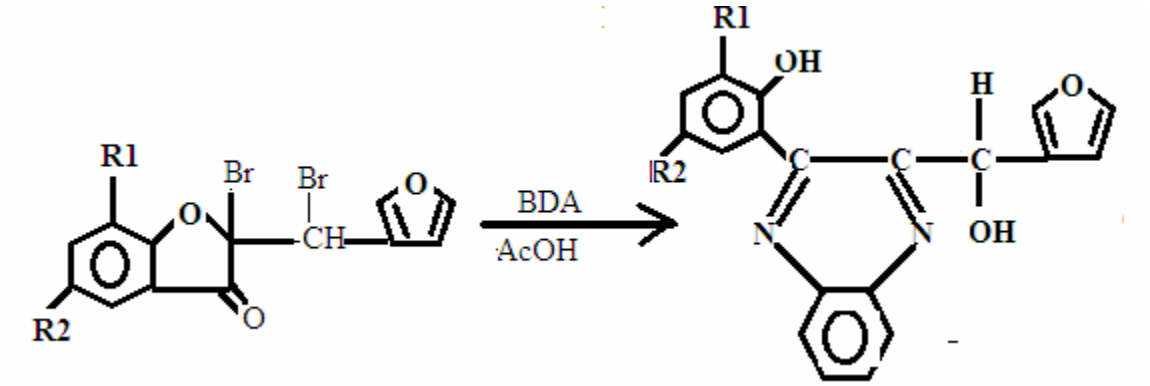
1,2-dihydro-quinoxaline-2-one and its derivatives represent quite large group of quinoxaline derivatives. The review of its chemistry has not been published yet. Some information's about 1,2-dihydro-quinoxaline-2-one and its derivatives can be found in summary reviews of the quinoxaline chemistry[7]

Numerous methods are available for the synthesis of quinoxaline derivatives which Extensive researches have generated numerous synthetic approaches for the construction of the skeleton of such heterocycles. Among these methods, the most widely used one relies on the condensation ofaryl-1, 2- diamines with aryl ketones, usually α-dicarbonyl compounds or their equivalents [12].Recent improvements on these conditions were reported via solid-phase [13], oxidative coupling of epoxides with ene-1, 2-diamines [14]. Improved methods have been reported via a condensation process catalyzed by CAN [15], molecular iodine as a catalyst [16], manganeseoctahedral molecular sieves[17], task-specific ionic liquid[18], from PEG [19], from IBX[20],from PbO [21], from ZrO2 [22],from galactose [23].Recently, a number of catalysts have been reported for the synthesis of quinoxalines. Considering the significant applications in the fields of medicinal, industrial and synthetic organic chemistry, there has been tremendous interest in developing efficient methods for the synthesis of quinoxalines.[8]

Experimental Method

2-α-dibromo-2-(2'-methylene furan)-5, 7 substituted coumaran-3-one(Ia),(0.01 mole) and benzene1,2 diamine (0.01 mole) was dissolved in 25ml methanol few drops of concentrated H_2SO_4 was added to it. The mixture was refluxed for 3Hr allow to cool diluted with cold water with constant stirring.Resulting

solid was extract with diethyl ether to affords 2-(2'hydroxy-3'-nitro-5'chloro phenyl)-3-(α"-hydroxy-2"-methylene furan) quinoxaline. (IIa) All melting points were measured in melting point apparatus and were uncorrected. The structural elucidations of compounds were done on the basis of analytical and spectral data.



(Ia) (IIa)

R_1= NO2 & R_2= Cl

Properties of the compound (IIa):-

It is yellowish green crystalline solid, m.p 143^{0}c. It shows positive ferric chloride test, indicating the presence of phenolic hydroxyl group. IR spectrum was recorded on Perkin-Elmer 557 spectrophotometer; 3500-3400(broad-OH group stretching); 1645 (singlet –C=N stretching); 1589 (symmetrical aromatic –NO_2 group); 1348(unsymmetrical aromatic –NO_2 group); 1022(-OCH_3 group stretching); 764 cm-1 (-C-Cl group stretching).

The PMR was recorded in $CDCl_3$ with TMS as an internal standard; 0.99 □(1H, -OH); 1.15 □(1H, -OH); 3.51□(3H,aromatic –OCH_3);4.86□(1H,-CH); 7.23 – 7.80□(1OH,aromatic H).

This chemical and spectral data shows that the compound (IIa) is 2-(2'hydroxy-3'-nitro-5'chloro phenyl)-3-(α"-hydroxy-2"- methylene furan) quinoxaline.

Similarly other compounds (IIa-d) were prepared by above method and reported in the Table.

Synthesis,m.p. and yields of disubstituted quionxaline

Comp.No.	R_1	R_2	M.P.(^{0}c)	% yield
IIa	NO_2	Cl	143	76
IIb	Cl	Cl	156	68
IIc	Br	Cl	138	81
IId	H	Cl	110	70

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