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Synthesis of Mannich Bases of 2, 3-Diphenyl 7(H) Oxofuro (3, 2-g) (1) Benzopyrones as Possible Biological Active Agents

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Synthesis of 2, 3-diphenyl 7(H) oxofuro (3, 2-g) (1) benzopyran (III), 6(alkyl/aryl aminomethyl)-5-hydroxy 7(H) oxofuro (3, 2-g) (1) benzopyran (IV a-f) and its Mannich bases is reported as possible biologically active compounds.

INTRODUCTION

Mannich bases of chromones[1,2], coumarins[3], xanthones[4] and flavones[3] are well known for their biological activities. Furano compounds like benzofuran, furano-coumarins, furano-chromones, furano-xanthones are reported to be biologically active structures. Especially, we have observed that basic ethers of 2, 3-diphenyl furano coumarins[5–7] have exhibited antifertility activity in rats at 10 mg/kg/rat/day dose level. In the present work have undertaken the synthesis of 2, 3-diphenyl furano coumarins, and their mannich bases to evaluate their biological activity.

5-Acetyl 2, 3-diphenyl-6-hydroxy-benzofuran[8] (I) was condensed with diethyl-carbonate (II) in presence of sodium metal to get 2, 3-diphenyl-5-hydroxy 7(H) oxofuro (3, 2-g) (1) benzopyran (III). The above hydroxyfurano benzopyran (III) in absolute alcohal was subjected to mannich reaction by treating it with various amines like ethylamine, 4-chloroaniline, 2-aminopyridine to get 6(alkyl/arylamino methyl)-5-hydroxy 7(H) oxofuro (3, 2-g) (1) benzopyrans [(IV a-f) scheme I] as Mannich bases.

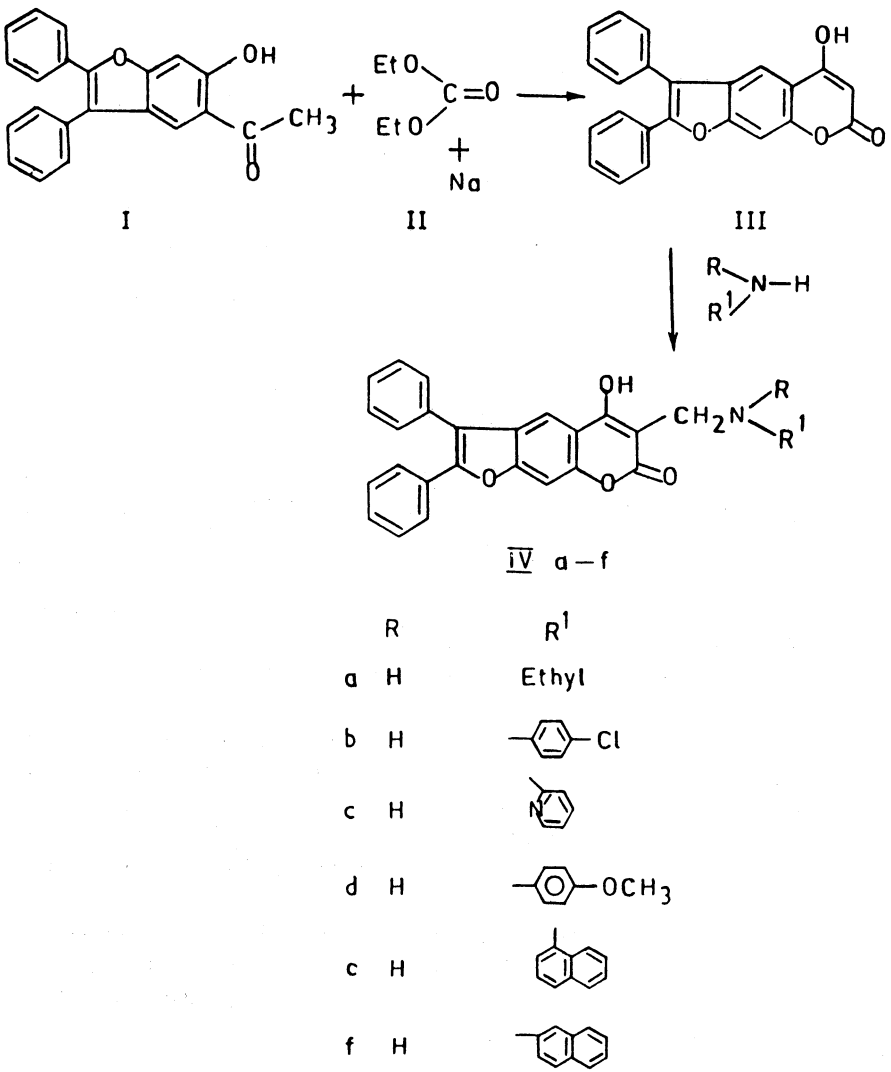
The furanocoumarin (III) and its Mannich bases (IV a-f) have been characterised by UV and elemental analysis. The purity of the above compounds ascertained by TLC on Silica gel. The physical data of the compounds is tabulated in Table-1. The Mannich bases will be screened for CNS activity in due course.

EXPERIMENTAL

2, 3-Diphenyl 5-Hydroxy 7(H) Oxofuro (3, 2-g) (1) Benzopyran (III)

A mixture of 2, 3-diphenyl 6-hydroxy-5-acetylbenzofuran (0.05 mol), diethyl carbonate (70 ml), sodium metal (8g) was heated on a steam bath for 4 h. After cooling the solid obtained was dissolved in water and extracted with ether. The aqueous layer was acidified with dil hydrochloric acid. The precipitate, 2-3-

Scheme - I



I II III

IV a – f

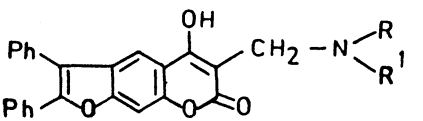
	R	R^1
a	H	Ethyl
b	H	
c	H	
d	H	
e	H	
f	H	

diphenyl 5-hydroxy 7(H) oxofuro (3, 2-g) (1) benzopyran (III), was crystallised from ethanol. m.p. 281°C.

6(alkyl/aryl amino methyl) 2, 3-Diphenyl-5-hydroxy-7(H)-oxofuro (3, 2-g) (1) benzopyrans (Mannich reaction)

To a well stirred mixture of the amine (0.0125M) and formaldehyde (0.01M) kept at room temperature, was added drop wise to a slution of furano pyrane(III) (0.01M) in absolute alcohol (5 ml.) The mixture was stirred for 1 h, and allowed

to stand for 4 h. at 5°C and the solid thus separated was filtered, washed with dry ether and recrystallised from chlorobenzene.



IV a – f

TABLE 1
PHYSICAL AND SPECTRAL DATA OF VARIOUS AMINOFURANO PYRONES

Compound		R	R^1	m.p. (°C)	Formula	Yield %	U.V. max EtOH	Log E
IV	a	H	Ethyl	159	$C_{26}H_{21}NO_4$	70	—	—
	b	H	4'chlorophenyl	167	$C_{30}H_{20}NO_4Cl$	80	240	4.07
							273	4.13
							300	4.17
	c	H	2-pyridyl	170	$C_{29}H_{20}N_2O_4$	70	235	4.06
							278	4.13
							300	4.16
	d	H	4'-anisoyl	157	$C_{31}H_{23}NO_5$	80	240	4.04
							270	4.09
							303	4.14
	e	H	1-naphthyl	150	$C_{34}H_{23}NO_4$	65	237	4.08
							272	4.14
							301	4.18
	f	H	2-naphthyl	201	$C_{34}H_{23}NO_4$	65	240	4.08
							271	4.14
							301	4.18

IV a-f Crystallised from chlorobenzene
All these compounds exhibited satisfactory C, H and N elemental analysis (±0.3%)

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