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SYNTHESIS OF -5-(SUBSTITUTED PHENYL)-5-(SUBSTITUTED BENZYL)-2-SUBSTITUTED HYDANTION

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ABSTRACT

2-hydroxy-3-substituted acetophenone were refluxed in DMSO medium in presence of mercuric acetate to get substituted coumaran-3-ones. The resulting substituted coumaran-3-ones is refluxed with urea in alkaline medium and alcohol gives 5-(substituted phenyl)-5-(substituted benzyl)-2-substituted hydantion.

Key words: Substituted coumaran-3-one, Urea, Mercuric acetate, Substituted hydantion.

INTRODUCTION

Hydantion is an imidazole. Many of the physiologically compounds used in medicinal chemistry are imadazole derivatives.

Benzil (ά-diketone) condensed with urea[1] and substituted urea[2,3] in alkaline ethanolic medium yielded hydantion. Hydantion and its derivatives have been reported as herbicidal, fungicides[4], antidiabetic[5], show anti HIV activity[6], anticonvulsant[7], antinociceptive activity[8].

Substituted hydantion analogs as a novel class of antitumor agents[9], antimicrobial activity[10] and anti arrhythmic activity[11].

EXPERIMENTAL

The melting points were taken in a capillary tube, IR spectra were recorded in Nijol, ^{1}H NMR spectra were recorded in $CDCl_3$ with TMS as an internal slandered. The purity of synthesized compounds was check by TLC. The structural elucidation of compound was done on the basis of chemical and spectral data.

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Preparation of 5-(2-hydroxy-3-nitro-5-chloro phenyl) 5-(ά-hydroxy-4-methoxy benzyl)-2-hydantion (II a)

2-(4'methoxy benzylidene)-5- chloro-7-nitro coumaran-3-one (I a) (0.01 mole) and urea (0.01 mole) were dissolved in 40 mL of ethanol. To this mixture 10 mL of 10% KOH was added drop wise with constant stirring, allowed to stand for 2 to 3 hours. The reaction mixture was refluxed for 3 hrs. Cooled and then diluted with ice cold water washed several time with 1% $NaHCO_3$ solution and then with distilled water. It was then crystallized from ethanol to get 5-(2-hydroxy-3-nitro-5-chloro phenyl) 5-(ά-hydroxy-4-methoxy benzyl)-2-hydantion **(II a)**.

The structure of compound **(II a)** has been supported by chemical and spectral data.

Properties of the compound (II a)

- Deep buff color crystalline solid m.p. 126^{o}C.
- It shows positive ferric chloride indicating non-involvement of phenolic –OH group.
- An IR spectrum was recorded in Nijol.

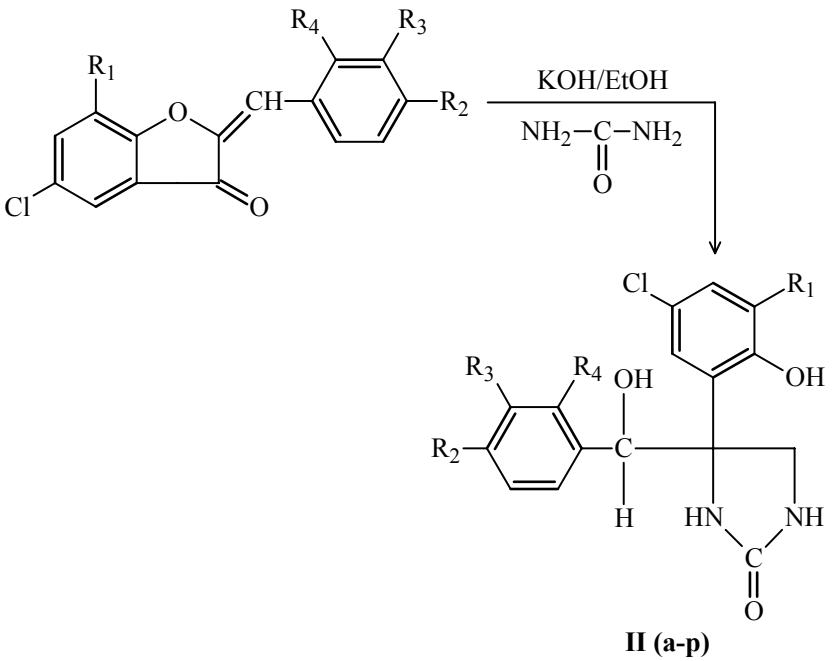
I.	3852	(-N-H, stretching).
II.	3853	(-N-H, stretching).
III.	3815-3801	(-OH group stretching).
IV.	1705	(Lactum cyclic C=O group stretching).
V.	1511	(-NO_2 group symmetrical aromatic stretching).
VI.	1340	(-NO_2 group unsymmetrical aromatic stretching).
VII.	1251	(-NH bond stretching)
VIII.	1060	(-CHOH group stretching).
IX.	767 cm^{-1}	(C-Cl group stretching).

- ^{1}H NMR in $CDCl_3$ with TMS as an internal standard.

I.	1.25	(s, 1H,-CH).
II.	3.9	(s, 3H, Ar-OCH_3 group).
III.	6.3-6.4	(broad, 1H -OH).
IV.	6.8	(m, 6H, Ar-H).
V.	6.9-7.8δ	(s, 1H, Ar-OH).

These chemical and spectral data shows that compound **(II a)** is get 5-(2-hydroxy-3-nitro-5-chloro phenyl) 5- (ά-hydroxy-4-methoxy benzyl)-2-hydantion.

Similarly other compounds **(II b–II p)** were prepared by above method.



II (a-p)

Table 1: Synthesized compounds, M.P.'s and yields

S. No.	Compounds	R_1	R_2	R_3	R_4	M.P. (^oC)	Yield (%)
1	**II a**	NO_2	OCH_3	H	H	126	76
2	**II b**	NO_2	H	H	H	155	72
3	**II c**	NO_2	H	H	OH	145	83
4	**II d**	NO_2	H	NO_2	H	128	78
5	**II e**	H	H	H	H	109	69
6	**II f**	H	OCH_3	H	H	98	82
7	**II g**	H	H	H	OH	129	75

Cont…

S. No.	Compounds	R_1	R_2	R_3	R_4	M.P. (^oC)	Yield (%)
8	**II h**	H	H	NO_2	H	105	78
9	**II i**	Br	H	H	H	121	84
10	**II j**	Br	OCH_3	H	H	171	73
11	**II k**	Br	H	H	OH	286	78
12	**II l**	Br	H	NO_2	H	242	76
13	**II m**	Cl	H	H	H	197	82
14	**II n**	Cl	OCH_3	H	H	137	86
15	**II o**	Cl	H	H	OH	142	78
16	**II p**	Cl	H	NO_2	H	124	81

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