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 (α-diketone) condensed with urea and substituted urea in alkaline ethanolic medium yielded hydantion. Hydantion and its derivatives have been reported as herbicidal, fungicides, antidiabetic, show anti HIV activity, anticonvulsant, antinociceptive activity.

Substituted hydantion analogs as a novel class of antitumor agents, antimicrobial activity and anti arrhythmic activity.

EXPERIMENTAL

The melting points were taken in a capillary tube, IR spectra were recorded in Nijol, 1H NMR spectra were recorded in CDCl3 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-(α-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% NaHCO₃ solution and then with distilled water. It was then crystallized from ethanol to get 5-(2-hydroxy-3-nitro-5-chloro phenyl) 5-(α-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°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 (-NO₂ group symmetrical aromatic stretching).
  VI. 1340 (-NO₂ group unsymmetrical aromatic stretching).
  VII. 1251 (-NH bond stretching)
  VIII. 1060 (-CHOH group stretching).
  IX. 767 cm⁻¹ (C-Cl group stretching).

- ¹H NMR in CDCl₃ with TMS as an internal standard.
  I. 1.25 (s, 1H,-CH).
  II. 3.9 (s, 3H, Ar-OCH₃ group).
  III. 6.3-6.4 (broad, 1H -OH).
  IV. 6.8 (m, 6H, Ar-H).
  V. 6.9-7.8 δ (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- (α-hydroxy-4-methoxy benzyl)-2-hydantion.

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

![Chemical structure](image)

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

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<th>S. No.</th>
<th>Compounds</th>
<th>R₁</th>
<th>R₂</th>
<th>R₃</th>
<th>R₄</th>
<th>M.P. (°C)</th>
<th>Yield (%)</th>
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REFERENCES


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