

Study of dimerisation reactions of 4-hydroxy carbostyryl/ substituted 4-hydroxy carbostyryl

C.D. CHOUDHARY¹, R.R. DAS² and SHANKER CHOUDHARY³

¹Department of Chemistry, B.M.A. College, Bhaeri, Darbhanga
(A constituent unit of L.N.M.U., Darbhanga) (India)

²Department of Chemistry, Government Polytechnic, Darbhanga (India)

³Department of Chemistry, Rose Public School, Darbhanga (India)
(+2, Higher Secondary, Affiliated to CBSE, New Delhi)

(Received: January 06, 2008; Accepted: March 12, 2008)

ABSTRACT

Similarities in structures as well as reaction of 4-Hydroxy carbostyryl and 4-Hydroxy coumarin is well known. Keeping in mind the "Reported Facts" that the enhancement of cyclic rings enhances the potency in the latter encouraged us to synthesize the higher analogues of former. In this light of thought we have synthesized several dimerised products of 4-Hydroxy carbostyryl. Structures of products have been confirmed on the basis of elemental analysis, spectral studies and chemical reactions.

Key words: Dimerised products, potency, Higher Analogues.

INTRODUCTION

4-Hydroxy carbostyryl and 4-Hydroxy Coumarin have got resemblances in their structures as well as chemical reactions. The enhancement of cyclic rings increases the potency of the compounds observed in cases of 4-Hydroxy Coumarin (as reported by Alex Christakopoulos and *et al.*).

In the present case we have prepared several dimerised products of 4-Hydroxy Carbostyryl/ Substituted 4-Hydroxy Carbostyryl for screening of enhanced antimalarial potency with less toxicity.

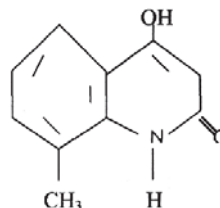
EXPERIMENTAL

Preparation of 8-Methyl-4-Hydroxy Carbostyryl

A mixture of o-Toluidine (5.0gm), Malonic Acid (4.8gm) and POCl₃ (12ml) was heated on boiling water bath for 5-6 hours with continuous stirring. Water was added to cool the reaction mixture. After cooling 8-Methyl 4-Hydroxy carbostyryl was separated out, filtered, washed with cooled

water thoroughly and dried and crystallized in aq. Methanol M.P. 305°C.

| | | | | | |
|---|---|--------|-------|----------------------|-------|
| Found | : | C-68.9 | H-6.0 | O 17.0 | N-7.9 |
| C ₁₀ H ₉ O ₂ N | : | C-68.6 | H 5.1 | O-18.3 | N-8.0 |
| Requires | | | | | |
| 1. | : | <C=O | | 1665cm ⁻¹ | |
| 2. | : | N-H | | 3360cm ⁻¹ | |
| 3. | : | O-H | | 3615cm ⁻¹ | |



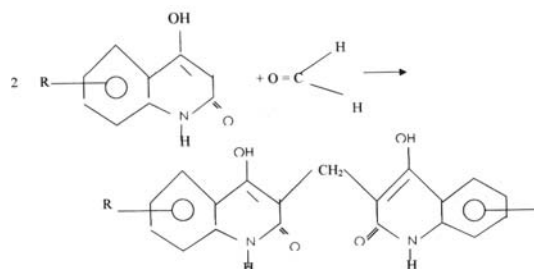
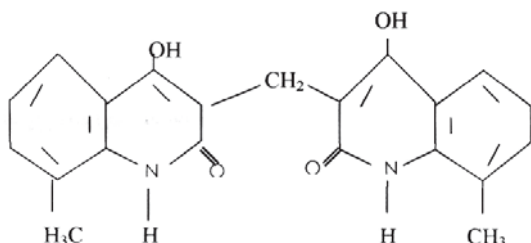
Preparation of 3,3-Methylene-Bis- (8-Methyl-4-Hydroxy Carbostyryl)

Formaldehyde Soln (0.2ml)/40% was dropped out drop wise to hot alcoholic soln of 8-methyl-4-Hydroxy carbostyryl (0.1gm) was heated on water-bath for half an hour. The reaction mixture

was allowed to cool, filtered and dried. This Bis-compound was crystallized in ethyl acetate. M.P. 315°C.

Found : C-70.0 H-5.3 N 7.5 O 17.2
 $C_{21}H_{18}O_2N_4$: C-69.6 H 5.0 N-7.7 O-17.7
 Requires

1. : <C=O 1666 cm^{-1}
2. : N-H 3380 cm^{-1}
3. : O-H 3625 cm^{-1}



DISCUSSION

4-Hydroxy carbostyryl possesses labile hydrogen or active hydrogen at 3 position. In presence of aldehydes such as formaldehyde two labile hydrogens of two molecules of 4-Hydroxy carbostyryl condenses with carbonyl oxygen of aldehyde and dimer is obtained. General reaction can be presented.

Table 1:

| S.No. | Name of Compound | M.P. (°C) | I.R. |
|-------|---|-----------|---|
| 1. | 3,3'-methylene-Bis (6-methyl 4-Hydroxy carbostyryl) | 305 | >C=O 1630 cm^{-1} O-H 3620 cm^{-1} N-H 3330 cm^{-1} |
| 2. | 3,3'-methylene-Bis(8-ethoxy 4-Hydroxy carbostyryl) | 298 | >C=O 1670 cm^{-1} O-H 3340 cm^{-1} N-H 3610 cm^{-1} |
| 3. | 3,3'-methylene-Bis(6-ethyl 4-Hydroxy carbostyryl) | 303 | >C=O 1650 cm^{-1} O-H 3615 cm^{-1} N-H 3320 cm^{-1} |
| 5. | 3,3'-methylene-Bis(7-bromo 4-Hydroxy carbostyryl) | 310 | >C=O 1655 cm^{-1} O-H 3615 cm^{-1} N-H 3490 cm^{-1} |
| 5. | 3,3'-methylene-Bis(7-chloro 4-Hydroxy carbostyryl) | 305 | >C=O 1670 cm^{-1} O-H 3630 cm^{-1} N-H 3358 cm^{-1} |
| 6. | 3,3'-methylene-Bis(8-iodo 4-Hydroxy carbostyryl) | 298 | >C=O 1650 cm^{-1} O-H 3615 cm^{-1} N-H 3390 cm^{-1} |

REFERENCES

1. Shah, V.R. and Bose, J.L., *J. Sci. Ind. Res.*, **198**: 176 (1960).
2. Rout, M.K. and *et al.*, (*J. Ind. Chem. Soc.*), **44**: 1001 (1969).
3. Grundon, M.F. and *et al.*, *J. Chem. Soc.*, 438 (1964).
4. Ronald, G. Harvey and *et al.*, (*J Amer Soc.*), (1986).
5. Alex Christakopoulos, *Jour of Agri Food Chem.*, **40**: 1358,1361 (1992).
6. Mason's, F. (*J. Chem. Soc.*), 4874 (1957).
7. Fucik, K. (*Chem. Abst*) **51**: 1293 (1957).