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A MODIFIED PREPARATIVE METHOD FOR 5, 7-DIBROMO-8-HYDROXYQUINOLINE

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INTRODUCTION

Amoebisis is very common disease among the population of Pakistan. Apart from natural drugs, synthetic drugs such as 5, 7-dibromo-8-hydroxyquinoline (broxyquinoline) and 5, 7-diiodo-8-hydroxyquinoline (di-idoquin) are widely used for the effective treatment and control of the disease.

In literature broxyquinoline is generally prepared by the action of bromine on 8-hydroxyquinoline in different solvents such as water [1-2], ethanol [3], acetic acid [4], and chloroform [5]. While in others derivatives of 8-hydroxyquinoline such as 5-bromo [6], 7-bromo [7], 5-carboxy [8], 7-carboxy [9], and 5-sulphonic acid [10] have been used.

One of the disadvantages in the use of bromine for bromination with or without a solvent is its obnoxious vapour, while only half of the bromine is utilized towards formation of dibromo-8-hydroxyquinoline, and the rest is lost as hydrobromic acid.

Bromine being heavy, its substitution in the molecule contributes significantly towards the yield and weight of the final product. Loss of bromine is an economical disadvantage. The product obtained by molecular bromine is coloured, and its decolorization results in considerable loss of the product.

In our method sodium bromate/sodium bromide aqueous solution and 8-hydroxyquinoline hydrochloride solution were employed.

The advantage of our method is that no bromine vapour is evolved and bromine is fully consumed during the reaction for the bromination of 8-hydroxyquinoline. The product is pale yellow in colour, needing no decolorization.

EXPERIMENTAL

5, 7-Dibromo-8-hydroxyquinoline. 8-Hydroxyquinoline (4.35 g; 0.03 mole) was dissolved in concentrated hydrochloric acid (10 ml) by slight warming followed by the dilution with water (10 ml). A solution of sodium bromate (3.03 g; 0.03 mole) and sodium bromide (4.12 g; 0.04 mole) in water (50 ml) was added dropwise at room temperature with stirring. After the addition was completed, the contents of the reaction flask were stirred for further 1 hr. and the precipitate filtered off. The precipitate was dissolved in minimum amount of concentrated hydrochloric acid and reprecipitated by pouring into water (500 ml). The operation was repeated. The precipitate was washed well with water, dried (yield 8.18 g; 90 %) and crystallised from toluene as small yellow needles having m.p. 198° (lit [4]. m.p. 196°). Its IR spectrum was identical with the authentic sample of 5. 7-dibromo-8-hydroxyquinoline.

Key Words: Hydroxy quinoline, Sodium bromide, Sodium bromate.

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