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Convenient and robust catalyst/ligand-free synthesis of benzazole-2-ones through the reaction of aniline derivatives and sodium cyanate in aqueous medium

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ABSTRACT

A novel, practical and green synthesis of benzazole-2-ones by the reaction of anilines with sodium cyanate has been reported. Good to excellent yields of products have been obtained under catalyst- and ligand-free conditions in water as solvent. This procedure avoids the use of time-consuming and tedious column chromatography and the products were easily isolated by simple extraction followed by washing with dichloromethane.

Keywords: benzazole-2-ones, benzimidazolones, benzothiazolones, benzoxazolones, sodium cyanate, green chemistry, water, synthesis.

1. INTRODUCTION

Benzazole-2-ones (benzimidazolones, benzothiazolones, benzoxazolones) are important derivatives of benzazoles that exist widely in many biologically and pharmaceutically active molecules [1]. For example (Figure 1), Pimozide **1** with brand name of Orap is an orally active antipsychotic drug marketed worldwide for the treatment of schizophrenia and other psychotic illnesses in adults [2]. Newer drug Flibanserin **2** (Addyi) is a multifunctional serotonin agonist and antagonist which is used for the treatment of premenopausal women with hypoactive sexual desire disorder [3]. Tiaramide **3** with trade name Solantal is an analgesic and anti-inflammatory medicine available in a number of countries worldwide [4]. The drug used for the treatment of different pain and inflammatory disorders. Chlorzoxazone **4** is a benzoxazolone derivative sold under the trade name of Paraflex which is used to treat muscle spasms (pain). The drug works