Synthesis and antimicrobial study of some novel 1,2,3-triazol derivatives

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Background and Aims: Huisgen 1,3-dipolar cycloaddition of azides and alkynes to afford 1,2,3 triazole rings. K. B. Sharpless and M. Meldal showed that the rate of this coupling is dramatically accelerated under copper (I) catalysis, and that only one specific regioisomers of 1,4-disubstituted 1,2,3-triazole could be obtained. The use of transition metals (copper powder, copper salts, or ruthenium salts) and ligands has led to the problem of waste disposal.

Methods: In this work, we developed melamine formaldehyde resin copper (I) (MFR-Cu(I)) catalyst as a heterogeneous catalyst for Huisgen cycloaddition (scheme 1). We investigated the antibacterial activity of triazole derivatives against gram negative and gram positive bacteria using disc plate method and MIC to attain minimum inhibitory concentration.

Results: Melamine formaldehyde resin copper (I) (MFR-Cu(I)) catalyst can have several advantages such as fast and simple isolation of the reaction products by filtration as well as recyclable of the catalyst. This well-known polymer can act as appropriate solid support for copper salts. Meanwhile, the impact of some important factors such as reaction time, base, and structurally diverse and kind of azides and alkynes on the efficiency of this method was studied.

Conclusions: We prepared Cu(I) supported on melamine-formaldehyde resin (MFR-Cu) as a highly efficient heterogeneous catalyst for Huisgen 1,3-dipolar cycloaddition. Cu-MFR demonstrate to be highly efficient, stable and low cost, recyclable catalysis. These compounds were test against some pathogenic bacteria and it is demonstrated that they have remarkable activity against these bacteria which are similar to standard drugs used in this research. We found that these compounds are effective on selected bacteria. The pharmaceutical properties of these compounds are anti fungal, antibacterial, antiprotozoal, anticancer, antihistamine and anti-allergic activities.

Keywords: Huisgen; 1,2,3-Triazols; Biological; Antibiotic