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Mechanochemical synthesis of hydrazones and triazoles and evaluation of their biological activities

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PURPOSE OF THE ABSTRACT

Mechanochemistry has emerged as a successful approach to perform greener syntheses of organic molecules. In pharmaceutical and chemical area the use of mechanochemistry enables to synthesize organic molecules therapeutically interesting without or with a small amount of solvents.

The work presented is focused on the elaboration of three families of nitrogen-contained scaffolds, namely hydrazones, 1,2,4-triazoles and triazolophtalazines.
Hydrazones [1] and 1,2,4-triazoles [2] are known and extensively studied biologically active compounds while triazolophtalazines were recently developed in our group as antitubercular agents [3-4].

We will focus on mechanosynthesis of hydrazones, 1,2,4-triazoles obtained through intramolecular cyclisation of hydrazones, and 3-substituted triazolophtalazines. Hydrazones and triazoles derivatives were prepared using a planetary ball mill and reaction conditions were optimized starting from a model involving easily available reactants. We will also discuss the advantages of mechanosynthesis versus the conventional synthesis, demonstrating a greener route to produce bioactive compounds, with great purity, waste reduction and much less energy input. Finally, the biological activities of the compounds synthesized against M. tuberculosis and potentially other targets will be presented.

FIGURES

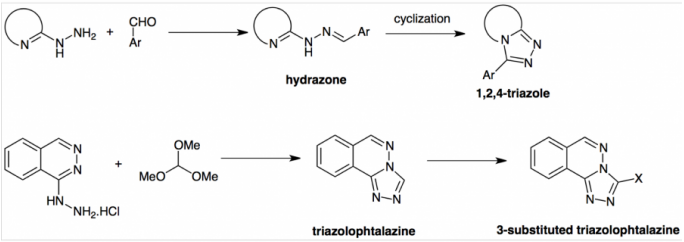

FIGURE 1

General syntheses

Syntheses of 1,2,4-triazoles and 3-substituted triazolophtalazines

FIGURE 2

KEYWORDS

hydrazones | triazoles | mechanochemical synthesis | biological activities

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