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Synthesis of 1*H*-Tetrazole Derivatives from Amine Compounds in the Presence of Copper Nanoparticles on Charcoal (Cu/C) as a Heterogeneous Catalyst

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Tetrazoles are a class of nitrogen-rich heterocycles. They have received considerable attention due to their wide range of application such as HIV inhibitors, anti-bacterial, anti-fungal,anti-inflammatoryand explosive agents. They can also be used as isosteric replacements in drug design[1].Due to such interesting properties, improving of known methods for their synthesis is needed.The general method for the synthesis of 1-substituted 1H-tetrazole is via cyclization reaction of primary amine, triethylorthoformate and sodium azide in the presence of a catalyst. Some common catalysts which were used are Ytterbium triflate,Cobalt-Yttrium, CuFe₂O₄, acidic ionic liquid and acetic acid[2]. Unfortunately, these methods have one or more drawbacks, for instance, using expensive metal catalysts, utilization of toxic organic solvents and harsh reaction conditions[3].Thus, using an inexpensive, benign catalyst and mild reaction condition is an important challenge that must be solved.For a greener process, it is preferable to choose a catalyst with reusability.

An efficient and straightforward synthesis of 1H-tetrazoles is achieved from primary amines, triethylorthoformate and sodium azide, through consecutive condensation, C–N and N–N bond formations that catalyzed by a novel heterogeneous Cu/C catalyst with reusability. Interestingly, the Cu/C exhibited good catalytic activity for various amines derivatives.

$$R \xrightarrow{II} V H_{2} + HC(OEt)_{3} + NaN_{3} \xrightarrow{Cu/C} N \xrightarrow{N \ge N} N \xrightarrow{N \longrightarrow N} N \xrightarrow{N$$

R= X(Hallogen), Alkyl

Figure 1. Scheme of the reaction.

References

- [1] Wittenberger s., "Recent developments in tetrazole chemistry", Org. prep. Proced. Int. 26(1994) 499.
- [2] Naeimi H., Kiani F., Moradian M., "Facile and mild synthesis of 1-substituted-1H-1,2,3,4-tetrazoles catalyzed by methanesulfonic acid under solvent-free conditions", Iran. J.Catal. 3 (2013) 243.
- [3] 3Darvish F., Khazraee S., "FeCl3 Catalyzed One Pot Synthesis of 1-Substituted 1H-1,2,3,4-Tetrazoles under Solvent-Free Conditions", Int. J. Org. Chem. 5 (2015) 75.