

Green synthetic route to access functionalized bio-active organic scaffolds using tetragonal nano-ZrO₂ as reusable solid acid catalyst

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Over past decades, one-pot multicomponent reactions (MCRs) have been established as one of the important synthetic tool for the synthesis of bio-active organic scaffolds. As a part of our interest to develop green and efficient multicomponent protocol, we have demonstrated the role of nanoparticles (NPs) in MCRs in determining the selectivity and performance of the protocol. In this presentation, the role of ZrO₂ NPs in one-pot MCRs leading to fabrication of bio-active organic moieties such as, benzylpyrazolyl coumarin (I), pyrano[2,3-c]pyrazole (II), coumarin fused 4*H*-chromene (III) and 2-amino-chromene (IV), derivatives will be presented. In addition, the effect of phase (tetragonal/monoclinic/cubic), surface defect and size of the NPs as well as effect of solvent on the rate of the reaction will be discussed. We observed that the ZrO₂ NPs can be recycled up to ten times without any notable change in catalytic activity and morphology.

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Mechanochemical synthesis of functional pharmaceutical solids

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This is an exciting time for mechanochemistry: vast progress has been made in the last three decades, especially in academic research, and new areas of applications of such green technology will soon impact substantially in real world applications. With specific regard to pharmaceutical materials, the design of functional molecular solids has advanced tremendously through mechanochemistry. However, mechanochemistry is often performed somewhat superficially, while a good routine screening of new solid forms requires covering a wide crystallization space by using different experimental conditions. This presentation provides an overview of advances in the synthesis of new pharmaceutical forms, which have been provided through mechanochemical methods. Such techniques are able to cover a wide range of crystallization space thereby increasing the probability of discovering different crystalline forms.

Liquid-assisted grinding (LAG) remains the most explored mechanochemical technique for the synthesis of new pharmaceutical solid forms and its higher efficiency for generating new multicomponent solids has been demonstrated: the properties of the “catalyst” are of paramount importance for the discovery of new polymorphic forms. The most recent version of variable-amount LAG (VALAG) will possibly contribute to the development of practical methods for polymorph screening and selection of a proper liquid to industrially produce (via solution crystallization) the desired polymorphic form. Polymer-assisted grinding (POLAG) also represents a new efficient mechanochemical technique for the synthesis and screening of new solid forms. This method in particular eliminates the risk of by-products such as solvates during polymorph, salt and cocrystal screening. Other interesting, yet not explored, combinations of mechanochemical methods will be proposed. Overall, mechanochemistry should not be considered simply as an alternative method but rather as a key strategy in any fully effective solid form screen with reduced effort and time as well as the potential of requiring reduced amounts of available material.

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