

Synthesis and Novel 4-(1H-Benzo[d] Imidazol-2-yl)-2H-benzo[b][1,4]oxazin-3(4H)-one and their Anti Microbial Activities

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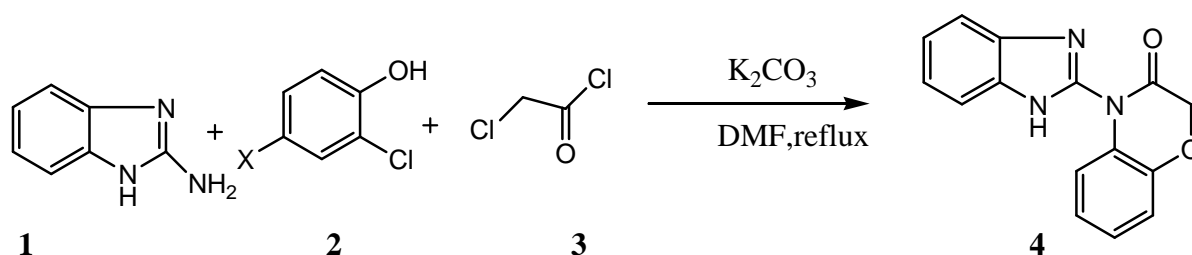
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Abstract

Multi-component reactions (MCRs) have been frequently used by synthetic chemists as a facile mean to generate molecular diversity from bifunctional substrates that react sequentially in an intramolecular fashion. Designing such types of MCRs that achieve the formation of multiple bonds in a single operation is one of the major challenges in modern organic synthesis. As such processes avoid time consuming and costly purification processes, as well as protection-deprotection steps, they are inherently more environmentally benign and atom economic. They provide a powerful tool towards the one-pot synthesis of diverse and complex compounds as well as small and drug-like heterocycles¹.

Benzo[b][1,4]oxazin-3(4H)-one derivatives are shown to exhibit a wide range of pharmacological activities such as anti-microbial, antiulcer, antipyretic, antihypertensive and antirheumatic²⁻³. Here, we report, a one-pot synthesis of 4-(1H-Benzo[d] Imidazol-2-yl)-2H-benzo[b][1,4]oxazin-3(4H)-one via Smiles rearrangement. The three component reaction of 2-amino Benzimidazole **1**, o-chlorophenols **2**, and chloroacetyl chloride **3** in the presence of K₂CO₃ in dry DMF at 100 °C afforded 4-(1H-Benzo[d] Imidazol-2-yl)-2H-benzo[b][1,4]oxazin-3(4H)-one **4** in 76-88% yields by Smiles rearrangement.



The structure of realized product (**4**) has been established on the basis of spectral (IR, ¹HNMR, ¹³CNMR, MS) and by analytical data. In conclusion an efficient atom-economical and simple method for the preparation of library of 4-(1H-Benzo[d] Imidazol-2-yl)-2H-benzo[b][1,4]oxazin-3(4H)-one (**4**) has been described using readily available starting materials.

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