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Actual Problems of the Chemistry of Natural Compounds

ABSTRACTS

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SYNTHESIS OF NOVEL THIENOPYRIMIDINE-BENZIMIDAZOLE HYBRIDE MOLECULES

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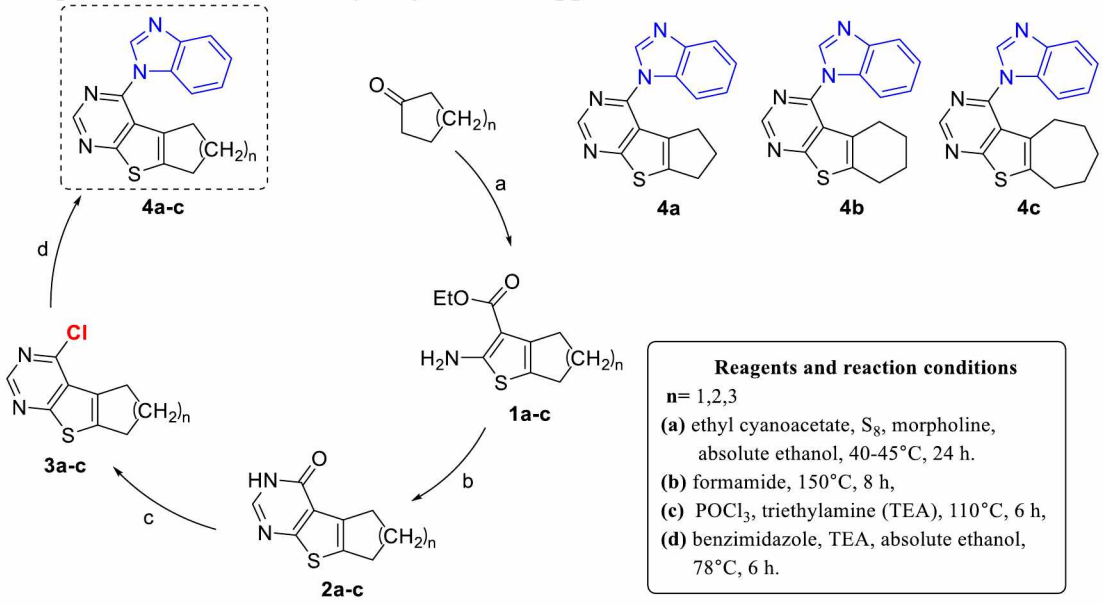
Thieno[2,3-d]pyrimidines (TPs) derived molecules have been reported to possess multiple biological activities, including inhibitory activity against the interaction between DNA repair proteins Rev7 and Rev3L, HCVreplication, the transcription factor Nrf2 and kinases. Therefore, the construction of the TP backbone has drawn attention in the organic synthesis community.

Currently, the best reported synthesis of TPs requires stoichiometric catalysts and multiple steps, including a Knoevenagel condensation, followed by a Gewald reaction, and heat-promoted cyclization.In subsequently experiments, the reaction of the obtained TPs with phosphorus oxychloride ($POCl_3$) in the presence of tertiary amines (TEA) replaced the oxygen of the carbonyl group in the position 4th with a chlorine atom. Their susceptibility to nucleophilic substitution reactions suggests that they are one of the most important synthons for modern organic synthesis.

Literature survey revealed that incorporation of different groups in TP heterocyclic ring enhanced antibacterial and antifungal activity. Encouraged by the diverse biological activities of novel TP derivatives, it was decided to prepare a new series of derivatives of TP core. In the present work 5,6-polymethylene-4-chloro TPs **(3a-c)** were reacted with relevant heterocyclic amine in absolute ethanol to form 4-heteryl TPs **(4a-c)**, which were synthesized by nucleophilic substitution of different multisubstituted 4-chloro TPs with benzimidazole to get target compounds. The synthesis of respective compounds was achieved by a systematic approach is outlined in the follow:



Structure of all synthesized compounds was confirmed by IR, ^{1}H and ^{13}C NMR spectroscopy. Further these compounds are subject for biological activity.