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One-pot, Two-step, Three-Component Synthesis of 2,4-Diamino-3-cyano-5-benzoyl-thiophenes Using Cyanoacetamides and Evaluation of their Biological Activity

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ABSTRACT This study describes the utility of cyanoacetamides in the synthesis of library of 2,4-diamino-3-cyano-5-benzoyl-thiophenes. Reaction of phenyl isothiocyanate with cyanoacetamide under basic condition gave α-oxo-thioamide, which under acidic condition reacted with phenacyl bromide to give 2,4-diamino-3-cyano-5-benzoyl-thiophene. This two-step three-component reaction was realized in one pot. A library of 12 derivatives was synthesized. We foresee that these previously unknown derivatives becoming a valuable tool in studying biological activity.

KEY WORDS Cyanoacetamide, 2,4-Diamino-3-cyano-5-benzoyl-thiophene, Phenacyl bromide, Phenyl isothiocyanate.

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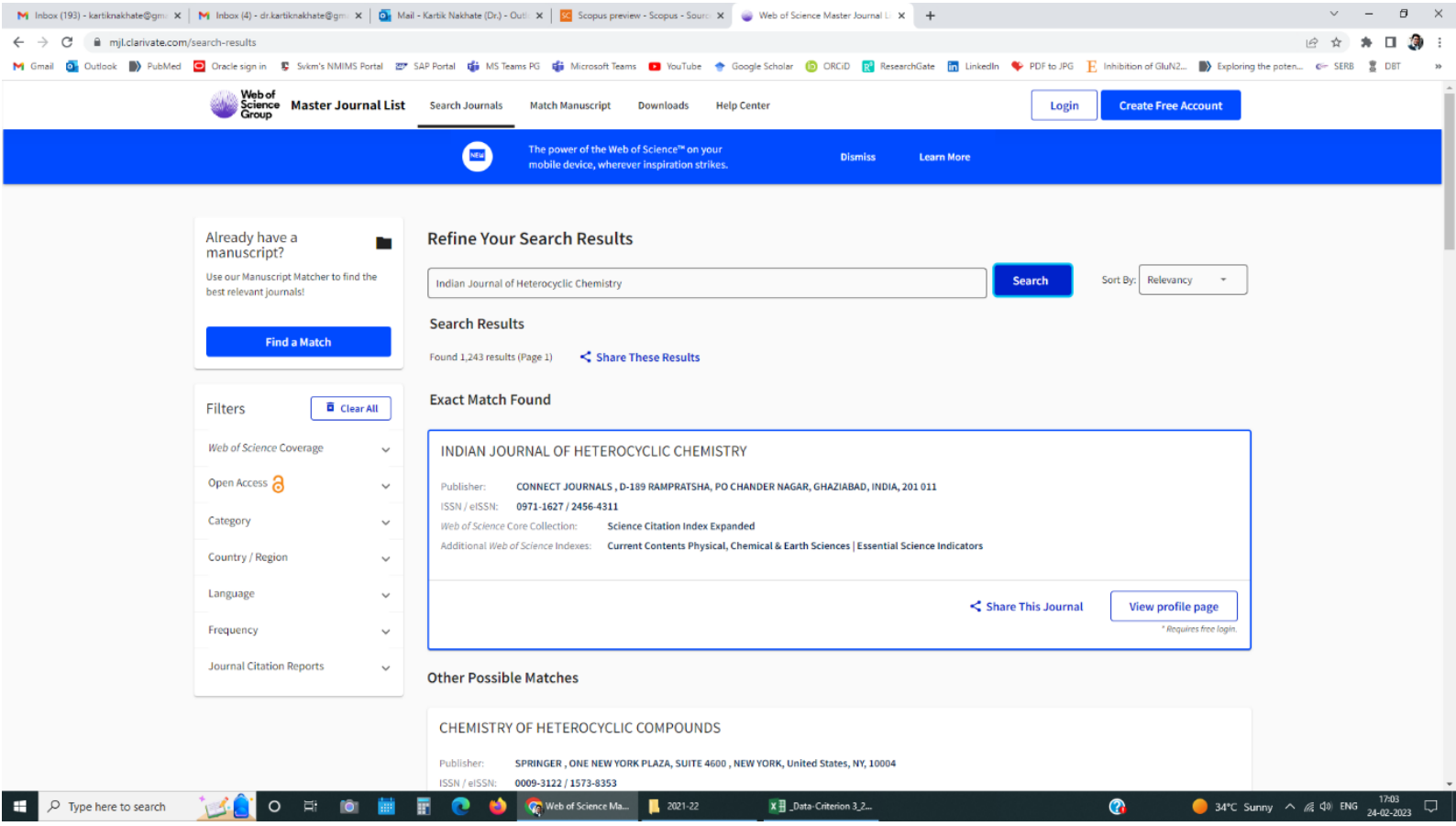
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