

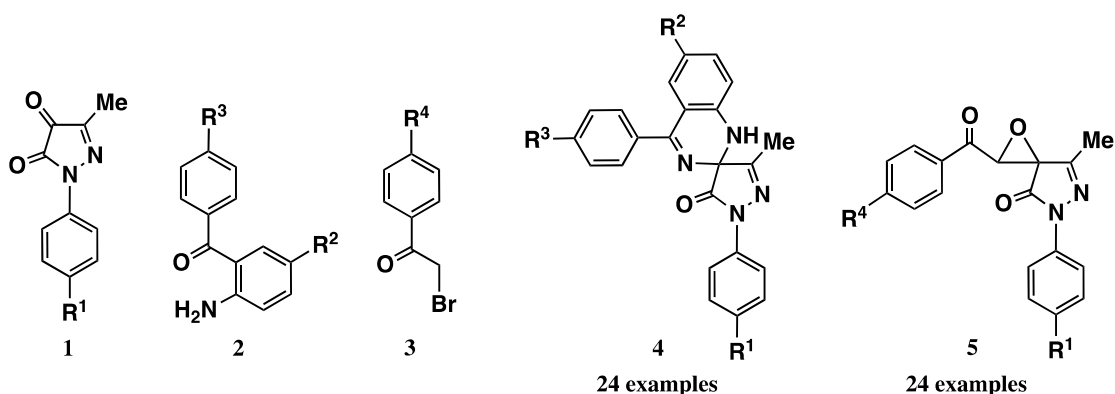
SYNTHETIC STUDIES OF NOVEL SPIRO PYRAZOL-3-ONES CONTAINING QUINAZOLINE AND/OR OXIRANE MOIETY

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As a part of systematic investigation of synthesis and biological activities of substituted pyrazole derivatives [1], a novel series of spiro pyrazol-3-one derivatives containing quinazoline and/or oxirane moiety were synthesized. Quinazoline and its derivatives are an important class of heterocycles found in a wide range of natural products and pharmaceuticals. Therefore, the development of quinazoline-based drugs has renewed the interest in developing new synthetic strategies for the synthesis of quinazoline derivatives [2]. Epoxides, especially spiro epoxide-heterocycles, are also versatile building blocks for the synthesis of many bioactive natural products. Spiro epoxide derivatives are well-known carbon electrophiles and their ability to undergo regioselective ring-opening reactions contributes to their synthetic value [3]. In this work, we wish to report the preparation of spiro pyrazol-3-one derivatives [4] containing quinazoline and/or oxirane moiety.

Treatment of pyrazole-4,5-diones **1** with 2-aminobenzophenones **2** and ammonium acetate in boiling EtOH for 1 h under catalyst-free conditions caused three-component reaction to give the corresponding spiro pyrazol-3-one derivatives **4** containing quinazoline moiety in moderate to good yields. On the other hand, compounds **1** were reacted with phenacyl bromides **3** in the presence of Et₃N in EtOH at room temperature for 1 h to afford the corresponding spiro pyrazol-3-one derivatives **5** containing oxirane moiety in moderate to good yields. All the synthesized compounds were characterized by spectroscopic analysis.



- [1] H. Maruoka *et al.*, *Heterocycles*, **2016**, 93, 362.
[2] T. Gupta *et al.*, *Synth. Commun.*, **2018**, 48, 1099.
[3] J. He *et al.*, *Chem. Rev.*, **2014**, 114, 8037.
[4] E. Masumoto *et al.*, *Heterocycles*, **2018**, 96, 1289.