Synthesis of new 6-carboximidamide purines with potential biological activity

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In the last decade, tuberculosis (TB) has resurfaced as a significant threat to public health as the microorganism accountable for this disease demonstrated resistance to the antibiotics previously used in the treatment [1]. This resistance is commonly referred as multi-drug resistant tuberculosis (MDR-TB). Among infectious diseases, MDR-TB strains are presently the second greatest contributor to adult mortality, causing approximately 1.7 million deaths a year worldwide [2]. This is due to the restrictive choice of antibiotics, the prolonged course of therapy, globalization and continuous patient noncompliance [3]. Hence, MDR-TB has stimulated research in prospect of novel antitubercular drugs.

Recently, in our research group, the 6-substituted purines of general structure 1 (Figure 1) were identified as a new class of compounds active against Mycobacterium Tuberculosis [4]. These results prompted the synthesis of novel compounds 2 and 3 having the carboxamidamide unit in C6 of the purine ring. In order to synthesize the new derivatives 2 and 3, an efficient and straightforward method was developed (Scheme 1). The target compounds were obtained from 9-aryl-6-imidatopurines 4 in one step or in two sequential steps, respectively. The products were isolated in moderate to excellent yields. These results will be presented.

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References