

# 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.

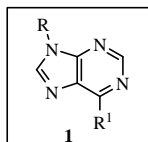
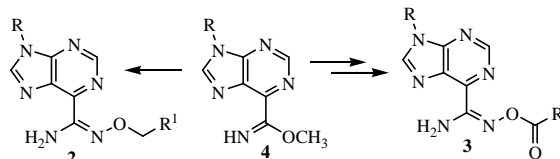


Figure 1



Scheme 1

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

- [1] A. Nayyarand R. Jain, *Curr. Med. Chem.* **2005**, 12, 1873-1886.
- [2] World Health Organization. **2009**. <[http://www.who.int/tb/publications/2009/factsheet\\_tb\\_2009update\\_dec09.pdf](http://www.who.int/tb/publications/2009/factsheet_tb_2009update_dec09.pdf) 2010> (accessed 03. 05. 10).
- [3] L. Nguyen, C.J. Thompson, *Trends Microbiol.* **2006**, 14, 304-312.
- [4] C. Correia, M. Alice Carvalho, M. Fernanda Proença, *Tetrahedron*, **2009**, 65, 6903-6911.