Title:

6-Amidinopurines as convenient precursors to pyrimido[5,4-*d*]pyrimidines for sar studies on *Mycobacterium tuberculosis*

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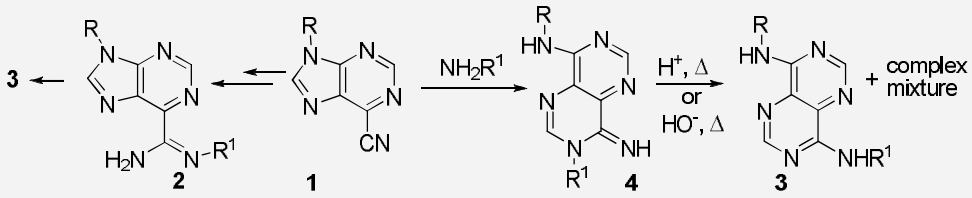
Abstract: (Your abstract must use **Normal style** and must fit in this box. Your abstract should be no longer than 300 words. The box will 'expand' over 2 pages as you add text/diagrams into it.)

Tuberculosis affects much of the world population and each year, it is estimated that 9.2 million new cases appear, of which many lead to death.[1] The emergence of multidrug resistant tuberculosis (MDR-TB) and extensively drug resistant tuberculosis (XDR-TB) caused urgency in the search for new antitubercular agents.[2]

Recently in our research group the pyrimido[5,4-*d*]pyrimidines **4** were identified as a promising new class of antitubercular agents[3] and a research program is under development in order to generate new derivatives **3** for SAR studies. Compounds **4** were obtained efficiently from the reaction of 6-cyanopurines **1** with hydrazides and were considered convenient precursors to generate the new target compounds **3** by Dimroth rearrangement**.**

When the rearrangement of compounds **4** was induced by acid or base treatment, compounds **3** were identified by ^{1}H NMR as major components in the reaction mixtures but could not be separated as pure products. In order to generate the target compounds **3** a new synthetic approach was designed from purines **2.** Compounds **2** may be obtained from **1** under rigorously controlled experimental conditions. A discussion of the reaction conditions to generate amidines **2**, the target compounds **3** and the mechanistic studies to generate **3** from **2** will be presented.



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1- H. D. Showalter, W.Denny, *Tuberculosis 88 (1)*, **2008**, S3-S17
2- M., Chhabria M. Jani and S. Patel, *Mini-Reviews Med. Chem*. **2009**, 401-430.
3- Ana H. Bacelar, M. Alice Carvalho, M. Fernanda Proença, *Eur. J. Med. Chem*. **2010**, 3234-3239

Thanks are due to University of Minho and *Fundação para a Ciência e Tecnologia* for financial support (project nºF-COMP-01-0124-FEDER-022716 (ref. FCT PEst-/QUI/UI0686/2011) FEDER-COMPETE, FCT-Portugal and PhD grant awarded to Ana Bacelar SRFH/BD/24959/2005). The NMR spectrometer (Bruker 400 Avance III) is part of the National NMR Network supported with funds from FCT.