Synthesis of 6-amino or 6-carbamoylpurines for SAR studies on adenosine receptors

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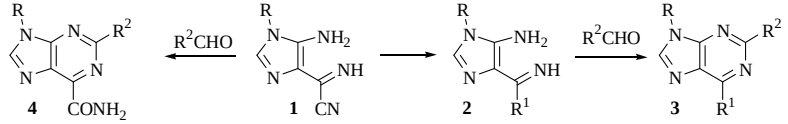
Adenosine receptors (ARs) are a family of G-protein coupled receptors of great interest as targets for therapeutic intervention due to their ubiquitous distribution throughout the body and their important modulatory effects on cell function[1]. Selective interaction with AR subtypes (A_1, A_{2A}, A_{2B} and A_3) offers a broad therapeutic potential, including the regulation of heart electrophysiological properties, kidney, and immune system functions, some central nervous system function and cell growth[2]. As a consequence, intensive efforts have been made to identify selective ligands for these receptor subtypes in order to facilitate pharmacological studies *in vitro* and *in vivo*.
Recently, in our research group, we identified compounds with activity on adenosine receptors A_1, A_{2A}, A_{2B} e A_3. Most of the active compounds were not selective and it was not possible to establish a relationship between structure and activity.
Herein we report the synthesis of new derivates **3** and **4** of the previously identified hits. Compound **1** was used as starting material. Reactions of compound **1** with secondary amines led to the new imidazole derivatives **2**. These imidazoles **2** were converted to the purine **3** by reaction with aldehydes. Derivatives **4** were generated by reaction of the imidazoles **1** with aldehydes under convenient reaction conditions.



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References

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