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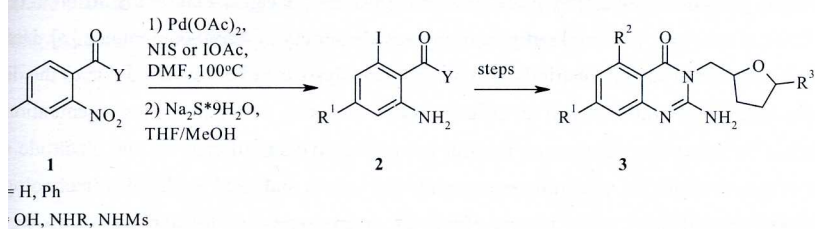
Program and Abstract Book

APPLICATION FOR THE SYNTHESIS OF 2-AMINOQUINAZOLINONES.

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We have recently disclosed 2-aminoquinazolinones **3** as inhibitors of malarial proteases, pepsins¹. For SAR studies, 5,7-substituted-2-aminoquinazolinones **3** were required. These could be obtained from the corresponding 4,6-anthranilic acids **2** as principal building blocks. To introduce the substituent at 6th position of the anthranilic acid we investigated Pd catalyzed iodination *via* C-H activation of nitrobenzoic acid derivatives **1**.



In the case of carboxylic acid (Y = OH) as directing group undesired decarboxylation was observed and 1-iodo-3-nitrobenzenes were isolated as the main products. Using amide (Y = R, NHMs) as directing group, *ortho*-iodination proceeded with good yields. Products **2** were further transformed to a series of 5,7-substituted-2-aminoquinazolinones **3**.

References:

1) Rasina, A. Jirgensons, K. Jaudzems, M. Otikovs, I. Domraceva, I. Kanepė-Lapsa. PCT/013/059782, **2013**.