THE ACID-CATALYSED SYNTHESIS OF 7-AZAINDOLES FROM 3-ALKYNYL-2-AMINOPYRIDINES AND THEIR ANTIMICROBIAL ACTIVITY

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The synthesis of 7-azaindoles from 3-alkynyl-2-aminopyridines using acidic conditions, namely, a mixture of trifluoroacetic acid (TFA) and trifluoroacetic anhydride (TFAA), is described. This methodology resulted in the synthesis of fifteen 7-azaindoles, with most containing substituents at the 2- and 5-positions. The majority of these were tested for antimicrobial activity against a range of bacteria and yeasts. The 7-azaindoles displayed the best activity against the yeasts, particularly against *Cryptococcus neoformans*, where activities as low as 3.9 μg ml^{-1} were observed. On the other hand, *Enterococcus faecalis*, a gram-positive bacterium was the most resistant microbe towards the synthesized 7-azaindoles where the best activity achieved was 63 μg ml^{-1}. In general, the synthesized 7-azaindole derivatives were more effective against yeasts and less effective against bacteria [1].

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[1] Tlabo C. Leboho, Sandy F. van Vuuren, Joseph P. Michael and Charles B. de Koning; Org. Biomol. Chem., **2014**, 12, 307.