SYNTHESIS OF NOVEL 4-(4-THIAZOLYL)- AND 4-(5-THIAZOLYL)-2-PYRIMIDINAMINES

Marko Sušnik, Michael Schnürch, and Peter Stanetty

Institute of Applied Synthetic Chemistry – Vienna University of Technology
Getreidemarkt 9/163- OC, A-1060 Vienna, Austria
pstanett@pop.tuwien.ac.at

It is known from preliminary results of the biological screening that the title compounds of the general formulas I and IV show fungicidal activities and can act as new leads for structural variations, hopefully leading to products useful as fungicides.

As outlined in the retrosynthetic scheme below, suitable phenyl-pyrimidinyl-ethanones were envisaged as key-intermediates in both cases. Both of these ethanones were synthesized by exploiting the Weinreb-methodology. Despite similarities, these ketones showed amazing differences in reactivity, which will be shown in a description of the synthetic pathway. Whereas 1-(2-methylthio-4-pyrimidinyl)-2-phenylethanone (II) exists only in the keto-form, the 2-(2-methylthio-4-pyrimidinyl)-1-phenylethanone (V) shows a tautomeric equilibrium with variable ratios depending on the substitution pattern as well as the solvent. After bromination, the -bromoethanones were reacted with selected thioureas, affording thiazoles in a classical Hantzsch synthesis. In some cases within the 4-(5-thiazolyl)-2-pyrimidinamine series, the cyclization step caused serious problems. These difficulties will be described in the poster presentation.