pyrimidine derivatives

Synthesis and Biological Evaluation of Azole Derivatives, Analogues of Bifonazole, with a Phenylisoxazolyl or Phenylpyrimidinyl Moiety. — A series of compounds of type (III), (V), (VI), (VIII), (X), and (XII) is prepared and tested for their antifungal and antibacterial activities. Only compound (IIIb) shows weak antimicrobial activity against Staphylococcus aureus and C. albicans. — (MENOZZI, GIULIA; MOSTI, LUISA; FOSSA, PAOLA; MUSIU, CHIARA; MURGIONI, CHIARA; LA COLLA, PAOLO; Farmaco 56 (2001) 9, 633-640; Dip. Sci. Farm., Univ. Studi Genova, I-16132 Genova, Italy; EN)

$$\begin{array}{c} \begin{array}{c} Ph \\ R^{1} \\ R^{1} \\ I \end{array} \begin{array}{c} 1. \ PBr_{3}. \ Et_{2}0, \ 25^{\circ}C \\ \hline 2. \ H_{N}^{\circ} \\ N \\ I \end{array} \begin{array}{c} (II), \ Et_{3}N, \ MeCN, \ 60^{\circ}C \end{array} \end{array} \xrightarrow{Ph} \begin{array}{c} Ph \\ N \\ R^{1} \\ N \\ Ph \\ N \\ R^{1} \\ N \\ Ph \\ N \end{array} \xrightarrow{Ph} \begin{array}{c} a \ R^{1}: -Me \\ b \ R^{1}: -Ph \\ 25\% \end{array}$$

$$Ib \xrightarrow{N \xrightarrow{P}} Ph \xrightarrow{P} Ph \xrightarrow{P}$$

A): toluene, reflux

$$\begin{array}{c} Ph & Ph \\ & & Ph \\ H & OH \\ R^2 & N & Ph \\ VII \\ \hline VII \\ \hline VII \\ \hline VII \\ \hline Ph \\ A \\ \hline Ph \\ N \\ A \\ \hline Ph \\ N \\ R^2 & N \\ \hline Ph \\ N \\ R^2 & N \\ \hline Ph \\ N \\ Ph \\ N \\ B \\ R^2 & -S - Me \\ 38\% \\ \hline VII \\ \hline VII \\ \hline \end{array}$$