분류번호	I-P-8

Synthesis of 6-(Arylamino)-7-Alkylthio-5,8-Quinolinediones for Evaluation of Antifungal Activities

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A series of 6-(N-arylamino)-7-alkylthio-5,8-quinolinedione derivatives(SQ 1-12) were newly synthesized for the evaluation of antifungal activities. 6-(N-Arylamino)-7-chloro-5,8-quinolinediones (RCKs) were treated with $Na_2S/(CH_3)_2SO_2$ in EtOH to give SQs. RCKs were prepared by regioselective nucleophilic substitution of 6,7-dichloro-5,8-quinolinediones with arylamines. In the presence of $CeCl_3$, the N-arylamino groups were introduced at the 6-position of 5,8-quinolinedione ring by the regioselective substitution.

These derivatives 1-12 were tested for antifungal and also antibacterial activities, *in vitro*, against *Candida sp., Aspergillus niger* and *Trichophyton mentagrophytes.* The MIC values were determined by the two-fold dilution method.

Newly obtained 6-(N-arylamino)-7-alkylthio-5,8-quinolinedione derivatives showed potent antifungal activities. Among these derivatives, **1, 2, 5, 7, 8** and 9 showed more potent antifungal activities than fluconazole and ketoconazole. 1 and 7 showed the most potent antifungal activities. 1 was the most effective in preventing the growth of *Candida sp., Aspergillus niger and Tricophyton mentagrophytes* at MIC 1.6 μg/ml.