6-[(Halophenyl)amino]-7-Bromo-5,8-Quinolinediones Treatment of Candidiasis in Normal Mice

Sung-Hee Kim^o, Do-Hee Kim, In-Kyung Lee, Dong-Hyun Kim^{*} and Chung-Kyu Ryu,

College of Pharmacy, Ewha Womans University, *Kyung Hee University

A series of 6-[(N-Halophenyl)amino]-7-Bromo-5,8-quinolinediones(BQs) newly synthesized were tested for antifungal activities, *in vitro*, against *Candida sp., Aspergillus niger* and *Trichophyton mentagrophytes*. The MIC values were determined by the twofold dilution method. Among these derivatives, BQ4 and 7 showed more potent antifungal activities than fluconazole and ketoconazole.

BQ 4 and 7 were compared with fluconazole in the treatment of established systemic infections with *Candida albicans* in normal rats. Intraperitoneally administered BQs for 7 days and 14 days reduced *Candida albicans* colony count in kidneys and livers as well as fluconazole. The therapeutic potential of BQs has been assessed by evaluating their activities (survival rate) against systemic infections in normal mice with *Candida albicans*. These compounds, particularly showed activities comparable with fluconazole. BQ4 and 7 had about ED₅₀, 0.05, 0.06mg/Kg but fluconazole had ED₅₀, 6.0mg/Kg respectively.

Antifungal activities of BQs showed superior to those of ketoconazole and fluconazole. Intraperitoneally administered BQs at the ED₅₀ 0.05mg/Kg for 7 days and 14 days reduced *C. albicans* colony count in the kidneys and livers as well as fluconazole at these ED₅₀ 6.0mg/Kg.