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Author	森崎, 益雄(Morisaki, Masuo) 新井, 正(Arai, Tadashi)
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## Identity of Immunosuppressant FR-900520 with Ascomycin\*

Masuo MORISAKI and Tadashi ARAI\*\*

森崎益雄, 新井 正

Ascomycin is an antifungal antibiotic isolated from *Streptomyces hygroscopicus* var. *ascomyceticus* (ATCC 14891), and its physico-chemical and biological properties were reported by Arai et al in 1962 and 1963. The immunosuppressive activity of ascomycin together with immunomycin was later described by a Merck group. FR-900520 was described as a member of the immunosuppressive 23-membered macrolide antibiotics by a Fujisawa group in 1988. In this paper, are reported additional physico-chemical data and data on the antifungal activity of ascomycin, and the identity of FR-900520 with ascomycin.

Ascomycin was crystallized as colorless plates from acetonitrile :  $C_{43}H_{69}NO_{12}$ ; FAB-MS,  $m/z$  830 (M + K), 814 (M + Na), 774 (M - OH), 756 is (M - OH -  $H_2O$ ); mp 148-152°C  $[\alpha]_D^{25}$  -96.2° (c 0.5,  $CHCl_3$ ).  $^1H$  NMR and  $^{13}C$  NMR spectra were found to be superimposable with those of FR-900520. These physical data of ascomycin are fully consistent with the proposed structure of FR-900520.  $^{13}C$  NMR also indicated ascomycin to occur in solution as a mixture of two conformational isomers (approximately 2 : 1), as observed with other macrolide immunosuppressants FK-506 and rapamycin. The  $R_f$  values of ascomycin on silica gel TLC developed with ethyl acetate, dichloromethane-isopropanol (9 : 1) and chloroform-methanol (9 : 1) were 0.44, 0.62 and 0.50, respectively, and were identical with those of FR-900520. Further, ascomycin and FR-900520 comigrated on HPLC (Zorbax SB CN column, 4.6 x 250 mm, methanol-water (7 : 3), 1.0 ml/minute,  $R_t$  9.1 min). These HPLC revealed a small peak (approximately 3% of ascomycin and FR-900520) at a  $R_t$  of 8.2 minutes, which was probably due to FR-900523.

From all of these data, FR-900520 should be identical with ascomycin. In this connection, it is interesting to note that the 29-membered macrolide immunosuppressant rapamycin, as well as the immunosuppressive macrocyclic peptide cyclosporin had originally been described as antifungal antibiotics.

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\*\* 生物学療法研究会