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Identity of Immunosuppressant FR-900520 with Ascomycin*

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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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