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Sub Title	
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Publisher	共立薬科大学
Publication year	1992
Jtitle	共立薬科大学研究年報 (The annual report of the Kyoritsu College of Pharmacy). No.37 (1992.) ,p.97- 97
JaLC DOI	
Abstract	
Notes	抄録
Genre	Technical Report
URL	https://koara.lib.keio.ac.jp/xoonips/modules/xoonips/detail.php?koara_id=AN00062898-00000037-0097

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_2$0); mp 148-152℃ $[\alpha]_D^{25}$-96.2° (c 0.5, $CHCl_3$). ^{1}H 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 Rf 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, Rt 9.1 min). These HPLC revealed a small peak (approximately 3% of ascomycin and FR-900520) at a Rt 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 immunosuppresive macrocyclic peptide cyclosporin had originally been described as antifungal antibiotics.

* 本報告は J. Antibiotics, **45**, 126—128 (1992) に報告

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