



Formulation and characterization of a 0.1% rapamycin cream for the treatment of Tuberous Sclerosis Complex-related angiofibromas

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Medicines for the treatment of rare diseases frequently do not attract the interest of the pharmaceutical industry, and hospital pharmacists are thus often requested by physicians to prepare personalized medicines. Tuberous Sclerosis Complex (TSC) is a rare disease that causes disfiguring lesions named facial angiofibromas. Various topical formulations of rapamycin (= sirolimus) have been proved effective in treating these changes in small case series. The present study provides for the first time characterization of a 0.1% rapamycin cream formulation presenting good rapamycin solubilisation. The first step of the formulation is solubilisation of rapamycin in Transcutol®, and the second step is the incorporation of the mixture in an oil-in-water cream.

Résumé en anglais

A HPLC stability-indicating method was developed. Rapamycin concentration in the cream was tested by HPLC and confirmed that it remained above 95% of the initial concentration for at least 85 days, without characteristic degradation peaks. The preparation met European Pharmacopoeia microbial specifications throughout storage in aluminum tubes, including when patient use was simulated. Odour, appearance and colour of the preparation were assessed and no change was evidenced during storage. The rheological properties of the cream also remained stable throughout storage.

To conclude, we report preparation of a novel cream formulation presenting satisfactory rapamycin solubilisation for the treatment of TSC cutaneous manifestations, with stability data. The cream is currently being used by our patients. Efficacy and tolerance will be reported later.

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