Calcipotriol
A Review of its Pharmacological Properties and Therapeutic Efficacy in the Management of Psoriasis

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Synopsis

Calcipotriol (calcipotriene) is a vitamin D₃ analogue which inhibits cell proliferation, enhances cell differentiation and appears to influence immunological factors which may play a role in the origins of psoriasis. In patients with chronic
Calcipotriol: A Review

Overview of Pharmacological Properties

In various in vitro and ex vivo models, calcipotriol at concentrations greater than $1.0 \times 10^{-10}$ mol/L, markedly inhibits cell proliferation and enhances cell differentiation. In patients with psoriasis, calcipotriol 50 µg/g reduces cell proliferation and increases cell differentiation of psoriatic skin.

Both in vitro and in patients with psoriasis, calcipotriol, has been shown to influence several immunological and inflammatory mediators, which may be linked to the cause of psoriasis, including the down-regulation of various interleukins, T cells, cell adhesion molecules and the plasminogen system, and up-regulation of nerve growth factor, transforming growth factor-β and calcitriol receptors. Furthermore, the drug binds to calcitriol receptors with an affinity similar to that of calcitriol.

In patients with psoriasis, 1 to 6% of topically applied calcipotriol is systematically absorbed. The drug is rapidly metabolised by a variety of cell types, including hepatocytes and human keratinocytes, to less active metabolites.

Therapeutic Efficacy

In patients with non-scalp psoriasis, twice-daily calcipotriol 50 µg/g ointment or cream was superior to vehicle alone in reducing the severity of psoriasis and was associated with a larger percentage of responders. After 2 weeks of treatment, severity scores for erythema, infiltration and desquamation were reduced in comparison with vehicle. This short-term improvement was continued in long-term noncomparative studies which lasted for up to 12 months.

Calcipotriol 50 µg/g ointment applied twice daily for 4 to 8 weeks was more effective in the treatment of non-scalp psoriasis, than twice daily topical applications of ointments containing either betamethasone 0.1%, fluocinonide 0.05%, short-contact dithranol 0.1 to 2%, or coal tar 15%. However, betamethasone 0.1% solution was superior to calcipotriol 50 µg/g solution in the treatment of scalp psoriasis in a short-term study. Calcipotriol 50 µg/g was better accepted cosmetically than short-contact dithranol. In patients with severe psoriasis, calcipotriol in combination with oral cyclosporin or psoralen ultraviolet A (PUVA) yielded greater results than each regimen alone. In combination, the percentages of patients responding were 84 and 87%, whereas cyclosporin and PUVA alone pro-