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Biological Activity Assessment of a Novel Contraceptive Antimicrobial Agent

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Microbicides are a new category of compounds being developed as a prophylactic approach for the prevention of transmission of sexually transmitted diseases (STDs), including the human immunodeficiency virus (HIV). These are primarily being developed as women-controlled methods, with the target of designing new compounds or formulations that can be used without the knowledge of a male partner. Microbicide screening can be initially based on their hyaluronidase-inhibiting (HI) activity, as this enzyme plays a major role in the sperm and microbe penetration into the substrate. Derivatives of hesperidin, a citrus flavonoid glycoside, have been reported in the literature for their HI effects. Hesperidin was thereby sulphonated under strictly controlled conditions and the active fraction isolated and characterized, based on its HI activity. This derivative was screened for antimicrobial and enzyme-inhibitory activities, specifically for the reproductive tract. Sulphonated hesperidin (SH) was found to completely inhibit the sperm enzymes hyaluronidase, giving an indication toward its contraceptive effects. It was also been found to inhibit various sexually transmitted pathogens, including Chlamydia trachomatis, Neisseria gonorrhoea, HIV, and Herpes Simplex virus type 2 (HSV-2). Its safety assessment was based on its noninterference in sperm motility and its penetration through the cervical mucus, and no effect on the growth of lactobacilli, the normal vaginal flora. It was also found to be nontoxic to the HIV substrate cells (MT2 cells). The study concludes that sulphonated hesperidin can be developed as a potential microbicide for a dual prophylaxis of contraception and transmission of STDs and AIDS.

Key words: Hesperidin, microbicides, hyaluronidase, STDs, HIV