

BI-SP: A BROAD-SPECTRUM BISMUTH-BASED MICROBICIDE

Bi-SP microbicide contains a highly water-soluble Bismuth (III) complex, with low mucosal toxicity and extremely broad microbicidal activity

BACKGROUND

In Sub-Saharan Africa, HIV infections are predominantly acquired via heterosexual contact and women are at greatest risk of being infected, accounting for 60% of HIV infections. Currently there is no effective vaccine against HIV and therefore the use of topical microbicides for the prevention of viral entry at the cervico-vaginal surface is an important alternative means of preventing HIV infection that is woman-controlled. Other sexually-transmitted infections (STIs) are also highly prevalent in African women and there is an urgent requirement for broad-spectrum microbicides that can be self-administered by women, alone or in combination with anti-retrovirals, that are active against both HIV and other STIs.

TECHNOLOGY DESCRIPTION

The technology involves a novel bismuth (III) complex that can be formulated into a microbicide which is (1) broadly microbicidal, with activity against HIV-1, HSV-2, HPV-16, and *C. trachomatis*, and demonstrates inhibition of *N. gonorrhoea* and toxicity towards *Trichomonas vaginalis*; (2) economical to produce, requiring few synthetic and purification steps and synthesized from non-expensive materials, (3) highly water soluble and rapidly solubilized (and stable over a broad pH range), thus making it compatible with a variety of delivery strategies; and (4) has low toxicity both towards mammalian cells and vaginal *Lactobacillus* clinical strains.

VALUE PROPOSITION

Bi-SP complex is a low-cost, stable, rapidly solubilized active pharmaceutical ingredient that can be formulated into a microbicide with low toxicity towards mammalian cells and vaginal *Lactobacillus* clinical strains and broad microbicidal activity against most sexually transmitted pathogens, including HIV.

CURRENT STATUS

Pre-clinical studies have demonstrated:

- Low toxicity towards mammalian cells and vaginal lactobacilli and no mucosal toxicity in mice
- In vitro activity against HIV-1, HSV-2, HPV-16, *C. trachomatis*, *N. gonorrhoea* and *Trichomonas vaginalis*
- In vivo protection against HSV-2 infection in mice

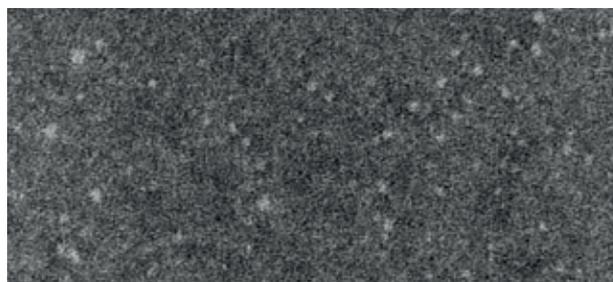
Further optimization of the microbicide formulation is underway.

INTELLECTUAL PROPERTY STATUS & PUBLICATIONS

Patent applications will be filed once the structural characterization and in vivo studies have been completed.

OPPORTUNITIES

The technology developers are seeking funding for optimization of the formulation and further pre-clinical evaluation of the optimized compound in preparation for first-in-man studies.



FOR MORE INFORMATION PLEASE CONTACT:
info.ship@mrc.ac.za
 +27 (0)21 938 0991