

## 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

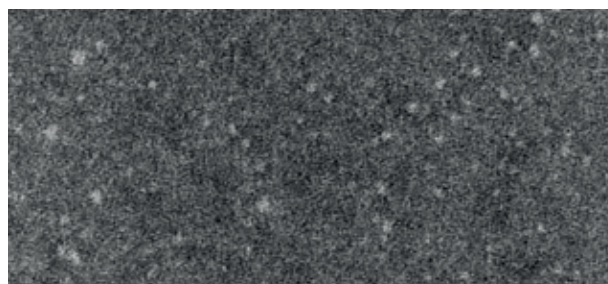
Further optimization of the microbicide formulation is underway.

### 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.



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