

Novel Symmetrical Marinopyrrole Derivative as a Therapeutic against Resistant Bacterial Strains



This technology is a new synthetic derivative of the natural marine antibiotic, marinopyrrole A. Infections caused by drug-resistant pathogens including methicillin-resistant Staphylococcus and vancomycin-resistant Enterococci strains are on the rise, exemplifying the urgent need for new antibiotics. Over the past 40 years very few new antibiotic agents have been approved for drug-resistant infections, with some strains already showing increasing resistance to therapies such as linezolid (Pfizer) and daptomycin (Cubist). Our lead compound exhibits in vitro potency greater than multiple antibiotics against various drug-resistant bacterial strains.

COMMERCIAL OPPORTUNITY

- Methicillin-resistant strains of *Staphylococcus aureus* (MRSA) and *Staphylococcus epidermidis* (MRSE), as well as vancomycin-resistant *Enterococci* (VRE) present great challenges to treating the >2 million cases of hospital and community acquired infections occurring annually in the US.
- Total US sales for MRSA antibiotics grew from \$698M in 2005 to \$1.7B in 2010. Since then, linezolid (Pfizer) had worldwide sales of \$1.3B, and daptomycin (Cubist) generated nearly \$800M in the US market in 2012 alone, demonstrating the exponential growth in this market.
- Trius Therapeutics has a second-generation antibiotic similar to Pfizer's antibiotic in Phase II and III clinical development for skin, lung, and blood infections (tedizolid phosphate) that has shown improved safety and efficacy over linezolid.
- Over the last several decades only linezolid, daptomycin, ceftaroline (Forest Labs), telavancin (Theravance), and tigecycline (Pfizer) have been approved to treat these drug-resistant infections, and incidence of daptomycin and linezolid-resistant strains are on the rise.
- Our lead compound could be a valuable addition to the available arsenal of antibiotics as it shows *in vitro* efficacy superior to reported potencies for daptomycin, linezolid, tigecycline, and vancomycin against hospital-acquired MRSA, MRSE, and VRE strains.

TECHNOLOGY

Our lead compound is a novel synthetic symmetrical derivative of marinopyrrole A, a natural product with anti-infective properties isolated from a marine *Streptomyces*. The compound has a minimum inhibitory concentration of less than 0.008 µg/ml against eight methicillin-resistant *Streptococcus epidermidis* (MRSE) strains derived from hospitals in China. It also has minimum inhibitory concentrations of 0.125-0.25 µg/ml against four methicillin-resistant *Staphylococcus aureus* (MRSA) strains and 0.5 µg/ml against the vancomycin-resistant *Enterococci faecalis* (VRE) strain, WHO-3. In side by side comparisons, the lead compound is 63-fold, 2-fold, and 256-fold more potent than vancomycin against MRSE, MRSA, and VRE, respectively.

PUBLICATION/PATENT

- US Provisional Patent application filed 1/22/2013 for Dr. Rongshi Li, Yong Qin, Chunwei Cheng, and Hao Song

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