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Abstract

Aryl Sulfonamides as a New Antitubercular Series: Discovery, Optimization and Target Identification †

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Tuberculosis (TB) remains a major global health problem. An estimated one-third of the world's population is infected with *Mycobacterium tuberculosis* (*Mtb*), the causative agent of tuberculosis. In 2015, 1.8 million people died from the disease. The development of new anti-TB therapeutics is urgently needed due to the emergence of multi-drug resistant strains (MDR and XDR-TB) as well as the co-infection with other pathogens (e.g., VIH).

World Health Organization (http://www.who.int/tb/publications/global_report/en/).

A Whole Cell HTS with GSK´s two million compound collection using *Mycobacterium bovis* BCG as a surrogate of *Mycobacterium tuberculosis* and subsequent confirmation of the obtained hits in *Mtb* was performed. As a result, several families with interesting antitubercular features were identified. An aryl sulfonamide series presenting a particularly promising profile was prioritized for optimization.

Details of the phenotypic screen, the initial Hit profile, preliminary SAR, Medicinal Chemistry activities and identification of the biological target will be presented.

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