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Novel Bacteriostatic Organic Compounds for the Treatment of Tuberculosis

Background

Tuberculosis (TB) is an infectious disease caused by mycobacteria, most commonly *Mycobacterium tuberculosis*. Pulmonary TB, the most common type of the disease is acquired by inhalation of the bacterium from infected patients. About one-third of the world's population is currently infected with *M. tuberculosis*, 10% of those infected will develop clinical symptoms. With the discovery of effective anti-mycobacterial agents there was a dramatic decline in TB cases, especially in developed nations. However, since the late 1980s, the number of TB cases throughout the world has been increasing rapidly partly due to the emergence of multi-drug resistant *M. tuberculosis*. Existing therapies are also expensive and can cause severe side effects (i.e., isoniazid causes liver dysfunction and poisoning). Thus, the TB problem requires urgent attention. This invention discloses bacteriostatic agents, chemical agents that stop or inhibit the multiplication of bacteria. The heterocyclic 2-oxo-1,4-benzoxazine and methyl esters of substituted 4-oxo-2-butenoic acid compounds of this invention which are unique in their anti-tubercular activity can be used in a pharmaceutical composition for administration to patients. These new compounds show minimal toxicity as compared to current TB drugs such as isoniazid and are effective against those strains of *Mycobacterium tuberculosis* that may have become resistant.

Invention

Novel bacteriostatic organic compounds for the treatment of tuberculosis (TB).

Application

Compounds and methods for the treatment and/or prophylaxis of Mycobacterium tuberculosis and related mycobacteria using methyl esters of substituted 4-oxo-2-butenoic acids and their close derivatives.

Advantages

- The compounds of this invention exhibit at least 10 times lower acute toxicity than the currently used anti-tuberculosis drug, isoniazid
- The patented compounds also exhibit bacteriostatic activity values ranging from 81-98% against Mycobacterium tuberculosis

Lead Inventor

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Selected References

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