

Phenothiazine-based anti-TB drugs

Phenothiazine, a tricyclic organic compound with formula $S(C_6H_4)_2NH$, is well known for its use as antipsychotic and antihistamine. The compound has also been reported widely as having antimicrobial activity, notably anti-tubercular (anti-TB).

UCT researchers have developed chemically modified phenothiazine-based compounds, which separate the anti-TB activity from the psychotropic activity by increasing the polarity of the molecules and hence their solubility. This reduces their ability to cross the blood-brain barrier sufficiently suppressing the psychotic side effects.

Phenothiazines have also demonstrated synergistic interaction with a wide spectrum of anti-microbial or anti-bacterial agents. It has been proven that thioridazine is active against multi- and extremely drug resistant forms of tuberculosis (TB).

Benefits

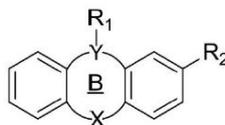
- It retains antimicrobial activity while excluding psychotic side effects
- It is non-toxic to macrophage cells with no negative impact on the patient's immunity

Market

TB sufferers worldwide, with specific focus on countries with a high incidence of TB. In 2012, 8.6 million people were diagnosed with TB globally and 1.3 million died from the disease. Patients being treated for psychosis with phenothiazine were found to be cured of their TB, if infected.

Technical Description

The invention is a tricyclic derivative of general formula,



where R_1 is an alkyl sulphonate or sulphonamide group; R_2 is hydrogen, a halogen, a substituted alkyl group, a thioether or an acetyl group; Y is N, or C; X is S, SO, SO₂, N, O, CH₂, C(O), CO₂, NHCO, and ring B is a 6, 7 or an 8 membered cycloalkyl ring. When R_1 is a phenothiazine derivative, then R_2 is not H.

Keywords:

MRI, patient tracking, MRI orientation, image correction

Intellectual Property Rights:

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Technology Readiness Level:

3 - Drug Discovery

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