From a benzene contaminant to an anti-TB candidate

New Delhi, Sep 24: ‘Ueber den Begleiter des BenzolsimSteinkohlenteer’ This translates as “On a substance that accompanies benzene in coal tar”. This is the title of a paper that was published way back in 1883 when thiophene was discovered as nothing more than a contaminant of the by then more popular benzene. Recent studies have found thiophene-based compounds to possess antimicrobial, analgesic, anti-inflammatory, antihypertensive and antitumor activity. Two teams at the Department of Biotechnology’s Translational Health Science and Technology Institute (DBT-THSTI) are exploring these compounds for their anti-tubercular activity.

The teams of infection biologist, Dr. Ramandeep Singh and synthetic biochemist, Dr. Dinesh Mahajan has reported synthesis and screening of a novel library of thiophene-based compounds for activity against Mycobacterium tuberculosis. They have identified few novel compounds that are more potent than isoniazid and also exhibit synergy with bedaquiline, an FDA-approved drug meant for individuals with multidrug resistance-TB. The compounds they identified also possess activity against intracellular bacteria in THP-1 macrophages.
The World Health Organization (WHO) reported nearly 1.2 million and 0.25 million deaths due to TB infection and HIV-TB co-infection, respectively in 2018. “The current efforts of TB control are focused on the development of potent chemotherapeutics, sensitive diagnostics and efficacious vaccines”, the authors note. The teams recognize the need of next-generation chemotherapeutics with a novel mechanism of action and compatibility with the current regimens. The new chemical entities or NCEs are expected to have low toxicological profile and be effective against drug-resistant *Mycobacterium tuberculosis*.

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