Violeta Mangalagiu et al., J Chemical Sci 2018, Volume: 9
DOI: 10.4172/2150-3494-C4-027

5th International Conference on

Organic and Inorganic Chemistry

July 12-13, 2018 | Paris, France

Azaheterocycles with antimycobacterial activity

Violeta Mangalagiu, Dorina Amariucai Mantu, Dumitrela Cucu, Vasilichia Antoci and **Ionel I Mangalagiu**
Alexandru Ioan Cuza University, Romania

Despite the progress achieved by modern medicinal science in tuberculosis (TB) therapy, TB remains seriously life-threatening disease among humans, annually being registered about nine million new cases and about 1.5 million people are dying from TB. Severely infectious diseases caused by *Mycobacterium tuberculosis* (*Mtb*), have substantially increased over the last few years mostly due to development of drug resistant TB, multi-drug resistant (MDR) TB, extensively drug resistant (XDR) TB and association with human immunodeficiency virus (HIV). Therefore, the search for new antituberculous drugs active against *Mtb* remains one of the priority tasks of medicinal chemistry. Nitrogen heterocyclic compounds, especially five and six membered ring derivatives, represent the most effective and administered class of drugs in TB therapy. As part of our ongoing research aiming the design and synthesis of novel anti-TB derivatives with azaheterocycles skeleton, we report here the design, synthesis, structure and *in vitro* antimycobacterial activity of new six membered ring with azaheterocyclic skeleton. The synthesis of compounds was straight and efficient and the antimycobacterial activity against *Mtb* was evaluated. Some of the compounds showed a very good to excellent anti-TB activity. SAR correlations have been done. The most active compounds passed the second stage of anti-TB testing. The assay demonstrating that our compounds are potent against both replicating and non-replicating *Mtb*, have a bactericidal mechanism of action, are active against drug-resistant *Mtb* strains, present a moderate to good activity against nontuberculous mycobacteria, a good intracellular activity and a moderate to low cytotoxicity. For the most promising compounds with anti-TB profile, a complete absorption, distribution, metabolism, excretion and toxicity (ADMET) study has been performed (including plasma protein binding, caco-2 permeability, cytochrome P450 inhibition and *in vitro* microsomal stability studies), the results being very promising.

Biography

Violeta Mangalagiu has completed her PhD at the University of Suceava (Romania) and Post-doctoral studies from the same university. Presently, she is a Senior Researcher at Alexandru Ioan Cuza University of Iasi and Lecturer at University of Suceava. She has published more than 25 papers in reputed journals and has been serving as an Editorial Board Member of repute.

violetaren@yahoo.com

Notes: