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Quinoline derivatives anchored with imidazole moiety: Synthesis, structure and biological activity

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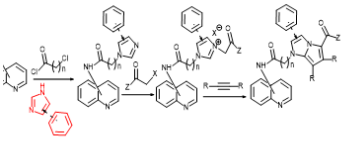
Quinoline and imidazole derivatives are invaluable scaffolds for medicinal chemistry. Pharmaceutical industry and modern medicinal chemistry pay a lot of effort in their combat with two aggressive life-threatening diseases: cancer and tuberculosis (TB). Both diseases are leading cause of death worldwide, millions of people dying every year, the incidence of both are continually increasing and the treatment became more and more complicated and sophisticated. The cancer chemotherapy is complex, expensive and often rather inefficient, because of the large variety of neoplasm types, high toxicity levels and non specificity of drugs, and the emergence of drug resistance and multi-drug-resistance (MDR). On the other hand, because of the *Mycobacterium tuberculosis* (*Mtb*) versatility, the treatment against TB became a challenging and difficult task and, the situation begin to be even worse because of the phenomena of drug resistance, MDR, extensively-drug-resistant (XDR), association of TB with AIDS, etc. As part of our ongoing research aiming the design and synthesis of novel anticancer and anti-TB derivatives with azaheterocycles skeleton, we report here the design, synthesis, structure and *in vitro* anticancer and anti-TB activity of some new quinoline derivatives bearing an imidazole moiety. The strategy adopted for synthesis is straight and efficient, involving a three step setup procedure: N-acylation, quaternization of nitrogen heterocycle and a [3+2] cycloaddition. The solubility in microbiological medium, anticancer and antimycobacterial activity of a selection of new synthesized compounds were evaluated. Some of the tested compounds have an excellent solubility in microbiological medium and exhibit a very good and selective antitumor activity against renal, breast and prostate cancer. (The assay was performed in a 60 human tumour cell line panel, representing leukemia, melanoma and cancers of lung, colon, brain, breast, ovary, kidney and prostate, in accordance with the protocol of the NCI). Some of the compounds shows very good antimycobacterial activity. SAR correlations have been performed.



Biography

Dumitrela Cucu is a PhD student at Alexandru Ioan Cuza University of Iasi, under the supervision of Prof. Ionel Mangalagiu.

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