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Modified release studies of the new antitubercular compound, 1,1'-[4,4'-[tricyclo [3.3.1.13,7] decane-2,2-diyl] bis (phenoxyethyl] dipyrrolidine, bis-hydrochloride from solid pharmaceutical formulations

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The resurgence of Tuberculosis (TB) is one of the most serious public health concerns worldwide. The causative pathogen Mycobacterium tuberculosis (Mtb) is observed more frequently in immune-compromised individuals. The present work describes the attempts made to investigate the sustained release profile of a new aminoadamantane derivative, compound 1 (Figure 1), by designing suitable controlled release systems. The design of this analogue was based on the adamantane derivative, adamantane-2-yl-N'-[(E)-3,7-dimethyl-octa 2,6-dienyl]ethane-1,2-diamine (SQ109), which affects the composition of the cell wall of Mtb. SQ109 was chosen as the best compound 1 bears in its skeletal arrangement the adamantane ring of compound SQ109 and analogous amino ether side chains and has remarkable activity against tuberculosis. Recently, it has been realized that compounds containing the pyrrolidine and morpholine moieties have immediate relevance in binding to various biological targets and possess clinical applications in the therapy of functional diseases. The results obtained from the present release studies, suggest that the qualitative differentiation of the excipient affects not only the release rate, but also the amount of the active substance released. Lactose, due to its aqueous solubility and hydrophilic nature, shortens the penetration time of the dissolution medium into the matrix. Moreover, this water soluble substance acts as a channeling agent by rapidly dissolving and easily diffusing outward, decreasing thus the tortuosity and/or increasing the matrix porosity. Polyvinylpyrrolidone (PVP), having strong adhesive properties, functions as a binder and therefore, it was observed that as the amount of PVP increased, the release rate of the active substance became slower.

Biography

Angeliki Siamidi completed his MPharm Degree at University of Sunderland, UK and MSc in Industrial Pharmacy at National and Kapodistrian University of Athens, Greece. Currently, he is pursuing his PhD at National and Kapodistrian University of Athens, Greece. Her research focuses on "Modified drug release from solid pharmaceutical dosage forms".

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