Abstract

This thesis comprises of four chapters. The first one is an introduction which consists of a brief literature survey of synthesis, pharmacological and cytotoxic activity of acridine derivatives and their analogues.

The second chapter deals with the aim of the work and schemes that had been carried out to obtain the new acridine derivatives and their analogues.

The third chapter clarifies the theoretical discussion of the experimental work concerning the preparation of 4-benzazolylanilines **Ia-c** and their acetyl derivatives **IIa-c**.

Condensation of **Ia-c** with *o*-chlorobenzoic acid gave **IIIa-c** which cyclized to **IVa-c** using polyphosphoric acid. Reacting **VI** with **IIa-c** yielded compounds **VIIa-c**, but esterification of **VI** gave **VIII** which reacted with hydrazine to afford the acid hydrazide **IX** that was reacted with aromatic aldehydes to yield compounds **Xa-h**. On the other hand, reaction of **XI** with different aromatic aldehydes gave the acridine analogues **XIIa-h**.

The fourth chapter consists of the experimental part of this work which contains the detailed procedures used for the synthesis of the starting compounds **Ia-c** and **IIa-c**. Also the methods of preparation of the intermediates **IIIa-c**, **V**, **VI**, **VIII, IX** and **XI**, were mentioned. In addition to the adopted methods for the synthesis of the target new acridine derivatives **IVa-c**, **VIIa-c** and **Xa-h** and acridine analogues **XIIa-h** were mentioned. The structure elucidation of the new compounds was supported by element analysis, IR, ^{1}H NMR, ^{13}C NMR in addition to mass spectral data. It also focused on the anticancer activity of all final synthesized compounds of

newly synthesized derivatives compared with doxorubicin as a standard cytotoxic agent using three cell lines (colon cancer, liver cancer and breast cancer).

Compound **Xh** showed the highest *in vitro* cytotoxic activity against colon cancer cell line HCT-116 but compound **Xb** had the highest *in vitro* cytotoxic activity against liver cancer cell line HepG-2. Also compounds **VIIa&b** & **Xh** showed nearly the same activity as doxorubicin against breast cancer cell line MCF-7.