**ABSTRACT**

In the heterocyclic chemistry N, O, S containing compounds are of prime area of interest due to wide range of activities associated with it. Moreover, many indole and thiazole derivatives had been reported to exhibit anticancer activity. By considering all these facts, we projected to synthesize new derivatives of N & S containing nucleus 4*H*-[1,3]-thiazolo-[5,4-*b*]-indole. In this project we synthesized 4*H*-[1,3]-thiazolo-[5,4-*b*]-indol-2-amine [IV] via series of reactions. In the first step of scheme, we carried out aromatic electrophilic substitution reaction of indole which resulted into formation of 3-bromo indole [II] which on aromatic nucleophilic substitution reaction with nucleophile was converted into 3-amino indole [III]. [III] was converted into 4*H*-[1,3]-thiazolo-[5,4-*b*]-indol-2-amine [IV] by reacting with ammonium thio cyanate in appropriate reaction conditions which involves firstly aromatic electrophilic substitution reaction to form 2-mercapto-3-amino indole [intermediate] & from intermediate electron deficient carbon atom pulls the electrons from electron rich center and rearranges to form 4*H*-[1,3]-thiazolo-[5,4-*b*]-indol-2-amine [IV]. At the end we synthesized twelve different Schiff bases [V(a-l)] by the interaction between different aromatic aldehydes and free amino group of [IV]. All the synthesized compounds were evaluated for in vivo anticancer activity on Swiss albino mice using EAC cell lines and considering 5-Fluorouracil as standard. Among all the synthesized compounds, V(f) shows good tumor bearing control when compared to standard due to the presence of electron withdrawing character in the compound.

**Key words:** Thiazolo indole, Schiff bases, Antineoplastic screening, 3-Aminoindole.