Since the discovery of benzodiazepines about five decades ago, as CNS active drugs, several studies have been undertaken to understand the SAR profile of 1,4-diazepines. Ring systems having fusion of carbo/heterocyclic rings to 1,4-diazepine at various positions and isolated 1,4-diazepines having different types of substituents at different positions have been synthesized and evaluated for various pharmacological activities. The multifarious activities of the diazepines are mainly governed by the position of the nitrogen atom atoms in the ring and the types of additional rings and substituents present in the seven membered ring. Hence, it would not be safe to make any generalization as far as the bioactivity of 1,4-diazepines are concerned. Comparatively, reports on monocyclic diazepines are scarce particularly with respect to biological activity. In this part of the thesis two types of monocyclic diazepines were synthesized and evaluated for anticancer and antiplatelet activity.

**2,3-diaryl-6,7-dihydro-5H-1,4-diazepines (A):** It was aimed to synthesize the unexplored and formidable syntheses of 6,7-dihydro monocyclic diazepines as observed in the chemical literature.

**5,7-diaryl-2,3-dihydro-1***H-1,4***-diazepines** (B): Unlike the earlier class of diazepines, 2,3-dihydro diazepines are chemically well explored but have received less attention with respect to pharmacological activity.