SECTION-I

CHAPTER 2.
RESEARCH ENVISAGED

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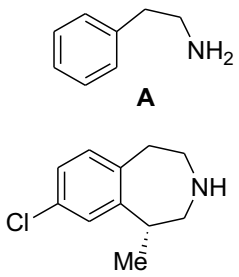
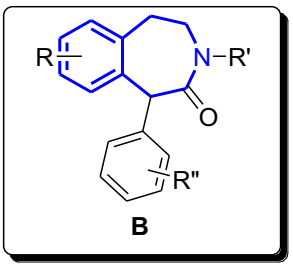
The α-phenethylamine (**A**) pharmacophore is present in numerous biologically active compounds either in its acyclic form or embodied in polycyclic frameworks. 3-Benzazepine has α-phenethylamine pharmacophore in the basic skeleton and is an important scaffold present abundantly in natural products and synthetic bioactive compounds. This is one of the emerging classes of biologically active heterocyclic scaffolds as it shows many important activities like anti-psychotic, anti-obesity, antidiuretic, anti-ischemic, anthelmentic, anti-bacterial etc. While considering dopaminergic and serotonergic system, 3-benzazepine fits into the receptor requirements. The search for potent and selective 5-HT_{2C} receptor agonists has identified lorcaserin as a promising compound recently approved by US-FDA for the treatment of obesity. Substituents at C-1 position in 3-benzazepine scaffold play a



Lorcaserin



vital role affecting biological activity of the derivatives. As lorcaserin contains 3-benzazepine skeleton with methyl substituent on C-1 position, it was planned to substitute C-1 position in 3-bezazepin-2-one scaffold (**B**) with aryl substituents. Substituting C-1 position with aryl substituents may lead to more potent compounds as far as serotonin and/or dopamine receptor modulating activity is concerned.