3. Aims and Objectives

Syntheses of small molecules possessing vicinal diaryl scaffold as a privileged structural framework has gained substantial attention in the field of medicinal chemistry. It has been observed that vicinal diaryl heterocyclic scaffolds have shown wide range of biological activities especially as antiplatelet agents and COX inhibitors.

A review of literature has revealed the existence of a plenty of compounds as COX inhibitors possessing antiplatelet activity in the *in vitro* and *in vivo* models. Based on the previous findings from our lab, it was planned to combine the vicinal diaryl 1,2,4-triazine scaffold with an amino group at position 3, providing a cyclic guanidine moiety. Such a system could prove beneficial in thromboembolic disorders due to its antiplatelet as well as vasodilatory actions. The well documented antiplatelet activity associated with the 1,2,4-triazine pharmacophore motivated us to synthesize 1,2,4-triazines with concomitantly substituted vicinal diaryl group along with a flexible 3-morpholinoethylamino side chain (**Fig. 1**).

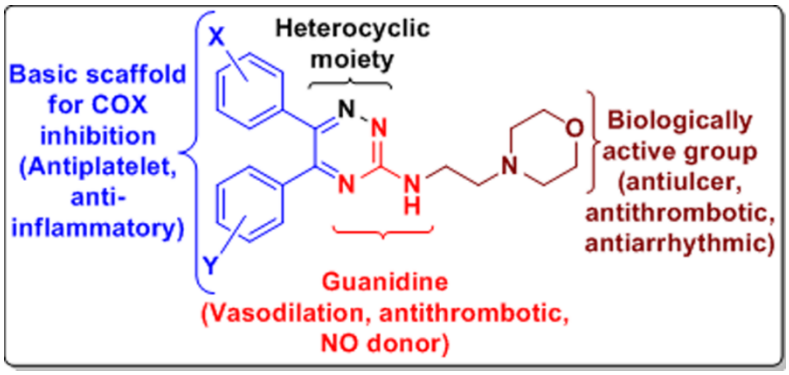

Fig. 1

As per the literature reports, fenflumizole possessed potent antiplatelet activity (**Fig. 2**) devoid of GI side effects. On the similar lines, inspiring from fenflumizole, it was also decided to synthesize suitably substituted triarylimidazoles, for effective antiplatelet activity.

In continuation of our research efforts for antiplatelet agents, we found in the literature that 1,3-benzoxazinones possessed potent antiplatelet activity. 2-Substituted benzoxazinones were found as inhibitors of serine proteases, thrombin and tissue factor VIIa, required for platelet aggregation and coagulation. However, different positions in bezoxazinone scaffold

have already been exploited (e.g. C-5, 6 or 7).

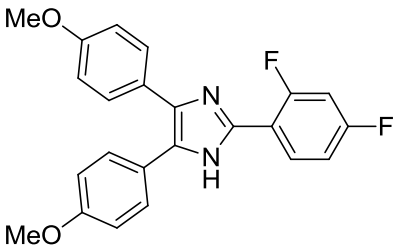

Fig. 2

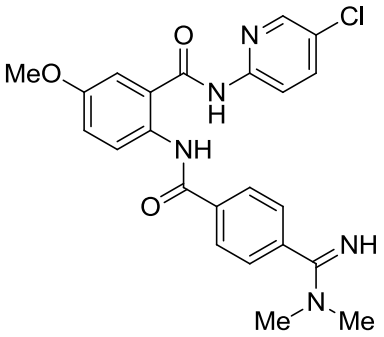

Fig. 3

Betrixaban (**Fig. 3**) has gained a lot of attention as potent antiplatelet agent and to explore the betrixaban-like molecules we decided to synthesize anthranilamide derivatives. It was also contemplated to introduce guanidino and pyridino group into anthranilamide scaffold.

It was envisaged to synthesize the designed three series of compounds and characterize them using spectral and elemental analysis. Since the aim of the project was to develop potent antiplatelet agents, it was also planned to evaluate the synthesized compounds for their antiplatelet activity.

The research work leading to the fulfillment of the above laid down aims and objective has been discussed in the following sections.