

6. CONCLUSION

In the present study, three different series of novel 2-(3-substituted propylthio)-3-(substituted phenyl) quinazolin-4-(3*H*)-ones were synthesized

Wherein,

The series 1 contains: Phenyl group with electron donating (methyl) group at N-3 of quinazoline ring

The series 2 contains: Phenyl group with electron withdrawing (chloro) group at N-3 of quinazoline ring

The series 3 contains: Phenyl group at N-3 of quinazoline ring

The results of the *in vivo* antihistaminic activity study indicates that phenyl series showed significant activity, the electron withdrawing group (chloro substituent) on the phenyl ring enhanced the biological activity, whereas electron releasing group (methyl) on the phenyl ring made the compounds less active.

From the SAR studies it is also revealed that among the C-2 propylthio substituents, the presence of *N*-methyl piperazinyl group showed most significant activity.

The results of sedative-hypnotic activity indicate that the test compounds were found to exhibit only negligible sedation (6-12%), whereas the reference standard chlorpheniramine maleate showed 33% sedation.

Among the test compounds, **2-(3-(4-methyl piperazin-1-yl)propylthio)-3-(4-chloro phenyl) quinazolin-4(3H)-one (PC-5)** exhibited the most potent activity of the series with the percentage protection of 77.53 % which is more potent than that of standard chlorpheniramine maleate (percentage protection 70.09 %), interestingly this compound showed the less sedative potential (7.3 %) compared to the reference standard chlorpheniramine maleate (33%). Therefore, compound **PC-5** can serve as the lead molecule of the present study for further development into a new class of H₁-antihistaminic agents.