NEW AMINO-, AND MERCAPTO-PYRIMIDINE DERIVATIVES WITH PLEIOTROPIC BIOLOGICAL ACTIVITIES

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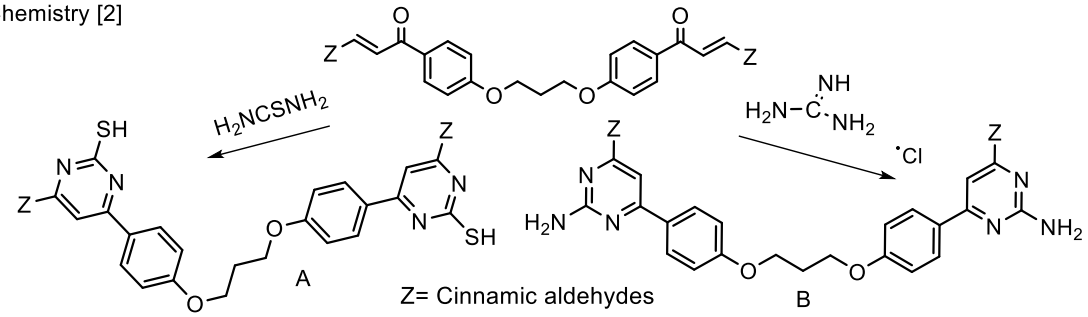
Enones are members of a group of small molecules, known as α, β-unsaturated ketones, possessing a very large number of biological activities [1]. Numerous published reviews underline the medicinal significance of the enone moiety. Changes in their structure have offered a high degree of diversity which has been extremely useful and highly advantageous for medicinal chemistry purposes aiming to develop new therapeutic agents with improved pharmacokinetic properties as well as a better therapeutic profile.

In the present study a series of compounds: 4-hydroxy-chalcones(a), 4-hydroxy-bis-chalcones(b), mercapto-pyrimidine(c)and bis-mercapto-pyrimidine(d) enone derivatives was designed and synthesized using modified methods and methods derived from the literature. The synthesis was based on a Claisen-Schmidt condensation [2], etherification and cyclization.The structures of the synthesized compounds were confirmed by spectral analysis.

Chemistry [2]



The compounds were tested in vitro for their ability to: a) inhibit in vitro AchE, b) inhibit lipid peroxidation of linoleic acid, c) inhibit soybean lipoxygenase, (d) inhibit COX-2, (e) interact with the cationic radical $ABTS^{+}$ and f) interact with DPPH. For all compounds in silico determination of their physicochemical properties was performed. The results were discussed in terms of structural characteristics and physicochemical properties of the molecules.

Ref: [1] Di Carlo, G.; Mascolo, N.; Izzo, A.A.; Capasso, F. Flavonoids: old and new aspects of a class of natural therapeutic drugs. Life Sci. 1999, 65, 337-353., [2] Liargkova T, Eleftheriadis N, Dekker F, Voulgari E, Avgoustakis C, Sagnou M, Mavroidi B, Pelecanou M, Hadjipavlou-Litina D.” Small Multitarget Molecules Incorporating the Enone Moiety”. Molecules. 2019 Jan 7; 24(1): 199

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