SEARCHING FOR NEW BIOLOGICALLY ACTIVE SUBSTANCES AMONG 2-R-IMINOTHIAZOLE DERIVATIVES

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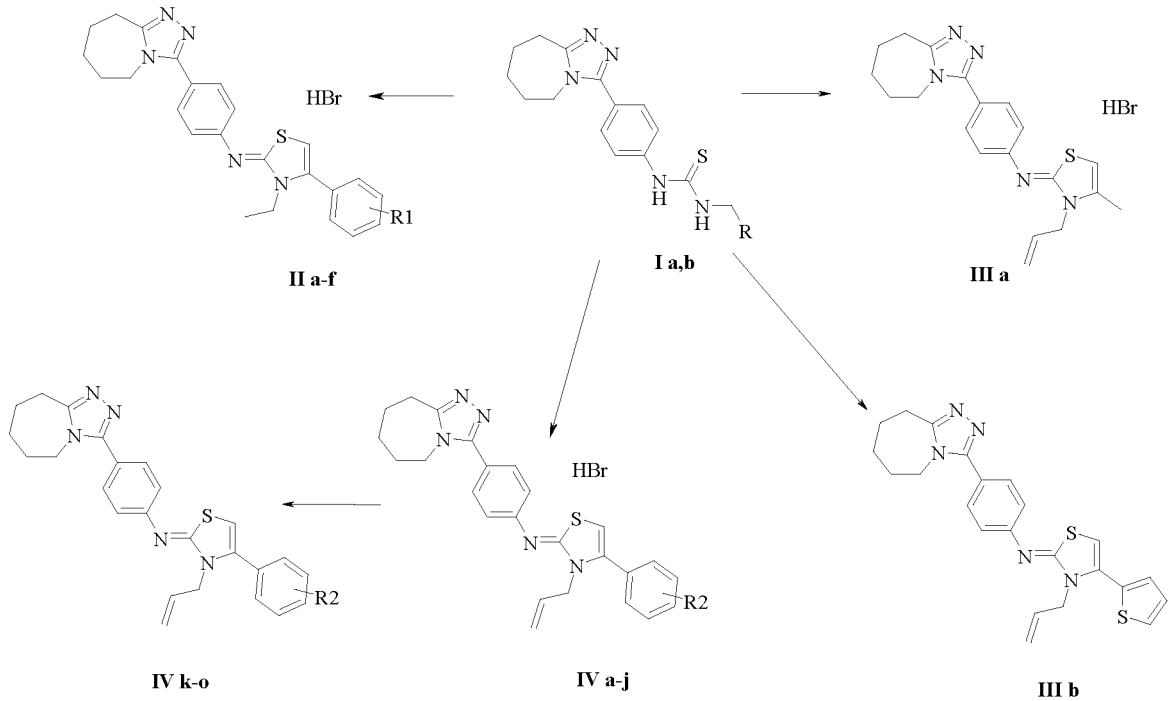
Nitrogen-containing five- and six-membered heterocyclic compounds and their derivatives, which can be easily synthesized in laboratories are particularly important and often found in natural sources. Synthetic thiazole derivatives possess various biological activities such as anti-inflammatory, antipyretic, antiviral, anti-microbial, antifungal and anticancer properties. The 2-iminothiazole structure provides herbicidal and antioxidant activities. One of the most convenient methods of thiazole synthesis is the Hantzsch synthesis, which is based on condensation of б-haloketones or aldehydes with thioamides or thiourea.

In view of this, we focused our efforts on the expansion of our 2-iminothiazole chemistry. So, the aim of the present study was to synthesize new potentially biologically active 3-ethyl(allyl)-4-aryl(alkyl, heteryl)-N-[4-(6,7,8,9-tetrahydro-5H-[1,2,4]triazolo[4,3-a]azepin-3-yl)phenyl]-1,3-thiazol-2(3H)-imine derivatives, to evaluate their chemical properties and to estimate biological activity spectra of synthesized compounds using PASS-online programm.

The synthesis of 3-ethyl(allyl)-4-R-5-R'-N-[4-(6,7,8,9-tetrahydro-5H-[1,2,4]triazolo[4,3-a]azepin-3-yl)phenyl]-1,3-thiazol-2(3H)-imine derivatives II-IV have been carried out by the interaction of 1-R-3-[4-(6,7,8,9-tetrahydro-5H-[1,2,4]triazolo[4,3-a]azepin-3-yl)phenyl]thiourea and apropriate bromoketones (Scheme 1):

Scheme 1



where R = a) H, b) 4-CH_3. R_1 = a) H, b) 4-CH_3, c) 4-EtO, d) 3,4-OCH_2O-, e) 4-**Br**, f) 4-NO_2.
R_2 = a) H, b) 4-CH_3, c) 4-EtO, d) 3,4-OCH_2O-, e) 4-**Br**, f) 4-NO_2, g) 4-Ph, h) 3,4-Cl_2,
i) 3,4-OCH_2CH_2O-, j) 2-CHF_2O, k) 4-MeO, l) 3,4-MeO_2, m) 4-F, n) 4-Cl, o) 3-NO_2.

The structures and purity of synthesized compounds II-IV were confirmed by x-ray diffraction analysis, ^{1}H NMR-spectroscopy, thin layer chromatography and elemental analysis.

According to PASS-online prognosis, synthesized compounds II-IV may posesses antiinflammatory, analgesic, antidiabetic, anticonvulsant, antiviral activity and may have properties K(ir) 6.2 channel activators.