

SINTEZA, CARACTERIZAREA ȘI EVALUAREA FITOBIOLOGICĂ A UNEI NOI 2-[4-(4-BROMOFENILSULFONIL)FENIL]-4-METILOXAZOL- 5(4H)-ONE ȘI A UNOR NOI 5-ARIL-2-[4-(4-BROMOFENILSULFONIL)FENIL]- 4-METILOXAZOLI

SYNTHESIS, CHARACTERIZATION AND PHYTOBIOLOGICAL EVALUATION OF NEW
2-[4-(4-BROMOPHENYLSULFONYL)PHENYL]-4-METHYLOXAZOL-5(4H)-ONE AND SOME
NEW 5-ARYL-2-[4-(4-BROMOPHENYLSULFONYL)PHENYL]-4-METHYLOXAZOLES

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Purpose. Heterocyclic compounds containing 1,3-oxazol-5(4H)-one and 1,3-oxazole ring are important targets in synthetic and medicinal chemistry, because of their applications as potentially active compounds. Thus, some 1,3-oxazol-5(4H)-ones have been reported to present antimicrobial and antitumor activity. 1,3-Oxazoles are frequent substructures in various biologically active compounds used in therapeutics as anti-inflammatory (e.g. Oxaprozin, Romazarit, Ditalzol, Isamoxole), analgesic (e.g. Oxaprozin), antibacterial, antifungal (e.g. Sulfamoxole, Sulfaguanole), muscle relaxant (e.g. Azumolene) drugs. Therefore, there is considerable interest to synthesize new 1,3-oxazole-5(4H)-ones and 1,3-oxazoles which contain 4-(4-bromophenylsulfonyl)phenyl moiety in 2 position with a hope to obtain potent biologically active compounds. The plant growth regulatory effects of the new compounds were examined.

Material and Methods. By Steiger *N*-acylation of α -alanine with 4-(4-bromophenylsulfonyl)benzoyl chloride at cool afforded 2-[4-(4-bromophenylsulfonyl)benzamido] propanoic acid. This compound underwent intramolecular cyclization in the presence of *N*-methylmorpholine and ethyl chloroformate or acetic anhydride to the corresponding saturated azlactone. Then, acylaminoacylation of dry aromatic hydrocarbons (benzene, toluene, *m*-xylene, mesity-

lene) with 2-[4-(4-bromophenylsulfonyl)phenyl]-4-methyloxazol-5(4H)-one or 2-[4-(4-bromophenylsulfonyl)benzamido]propanoyl chloride in the presence of anhydrous aluminum chloride led to *N*-(1-aryl-1-oxopropan-2-yl)-4-(4-bromophenylsulfonyl)benzamidines. These new intermediates were heterocyclized under the action of phosphorus oxychloride or concentrated sulfuric acid in the presence of acetic anhydride in ethyl acetate to the corresponding 5-aryl-2-[4-(4-bromophenylsulfonyl)phenyl]-4-methyloxazoles. The structure of new compounds was confirmed by elemental analysis and different spectral methods (FT-IR, UV, MS, ¹H- and ¹³C-NMR). The purity of the compounds was evaluated by RP-HPLC. The new compounds have been investigated for their biological activities in the growth regulation of wheat, using the phytobiological method, known as the Constantinescu bioassay – *Triticum* test.

Results. Ten new compounds were synthesized and characterized in order to evaluate their biological activity. The preliminary results indicated that the tested compounds exhibited a weak stimulatory activity, except of α -acylaminoketones.

Conclusions. In conclusion, in this paper we described the synthesis, characterization and phytobiological activity of ten new compounds possessing the 4-(4-bromophenylsulfonyl)phenyl moiety.

Reference

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