Short Communication

Continuing research on the synthesis of biologically active quinazoline derivatives [1,2] in this report we have described the synthesis of previously unknown substituted 2-methylquinazolines and 2-[2-aryl(hetaryl)vinyl]quinazolines, which contain pharmacophore groups at different positions of the ring. Synthesis was carried out by the interaction of 2-methyl-4H-1,3-benzoxazin-4-ones 1a, b with aromatic and heterocyclic amines, according to the Scheme 1.

Scheme 1.

1a, b: X = H (a), I (b). a) 4-N,N-dimethylaminoaniline, b) 5-amino-3-methyl-1-phenyl-1H-pyrazole, c) 4-aminobenzenesulfonamide, d) 4-chloroaniline, e) 5-nitrofuran-2-carbaldehyde.

Fragments of biologically active compounds are introduced into the target compounds:

4-N,N-dimethylaminoaniline, 3-methyl-1-phenylpyrazole, as well as fragments of antibacterial preparations of 4-aminobenzenesulfonamide and 5-nitrofuran. In the preparation of quinazolines 2-5, the best results are obtained when the reaction is carried out under the conditions of co-heating of benzoxazines 1a, b with the corresponding amines, and quinazoline 3 in polyphosphoric acid. Heating of 2-methylquinazoline 5 with 5-nitrofuran-carbaldehyde in acetic anhydride gave the substituted (5-nitrofuryl)ethenylquinazoline 6.

References
