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Synthesis of Substituted Quinazolines Containing Pharmacophoric Groups

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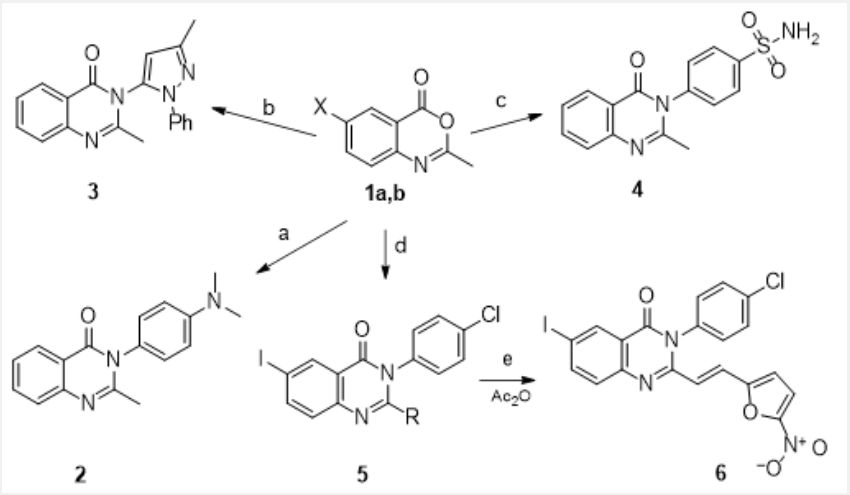
Short Communication

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-methylquinzolins 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-3,1-benzoxzin-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-nitrofurancarbaldehyde in acetic anhydride gave the substituted (5-nitrofuryl)ethenylquinazoline **6**.

References

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