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** This work was supported by the Ministry of Science and Higher Education of the Russian Federation (FSNF-2020-0008), Russian Foundation for Basic Research (project № 17-43-590035).*

УДК 547.8

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SYNTHESIS OF PRACTICALLY VALUABLE FLUORINATED (HETERO) AROMATIC COMPOUNDS VIA ARYNE INTERMEDIATES*

Keywords: aryne intermediates, fluorinated aromatic compounds, carbon−carbon bonds, carbon−heteroatom bonds, C–H Activation.

The presence of fluorine atom into organic molecules has a strong influence on their physicochemical and biological properties [1]. This is particularly important in the development of new drugs and the design of materials in the area of bioorganic and medicinal chemistry [2]. The fluorine atom has unique and surprising properties, as well as indistinguishable in size, compare to a hydrogen atom, is gradually being used as a substituent in the synthesis of important pharmacologically active compounds.[3] Simultaneously, the fluoroarenes molecules formed by nitrogen-containing (hetero)aryl structural blocks get attention as the most valuable moieties in the field of life science and the design of advanced functional materials. It should be stated that heteroarene moieties attached directly to mono-, bi-, and polyfluoroarene ones appear as the most attractive natural and artificial compounds with a wide spectrum of biological activities (fig. 1) [4].

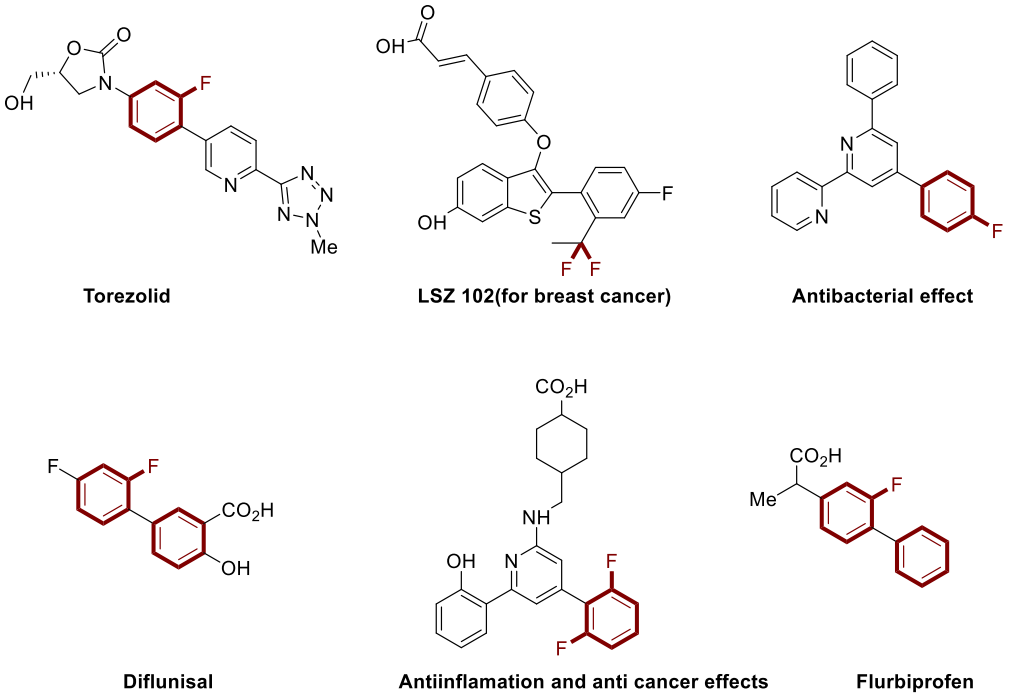

Figure 1. Representative biologically active and potential drug candidates containing fluoroarylated azaheterocyclic moieties

Therefore recently, there has been a dramatic increase in available methods for the fixing of fluorine and fluorine-containing functional groups in (hetero) aromatic molecules. Aryne chemistry has an interest in the last few decades for the synthesis of various benzofused heterocycles [5]. The high reactivity of arynes has attracted the attention of the synthetic chemists for pursuing the new pathway in chemistry. Arynes are one of the most beneficial and structurally uncommon intermediates in synthetic chemistry and they have been used as powerful tools for rapid constructing of complex bioactive aromatic molecules through the formation of multiple carbon−carbon and carbon−heteroatom bonds in a selective manner [6]. These methods are currently gaining considerable attention and applications in pharmacologically active compounds syntheses.

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** This work was supported by Russian Foundation for Basic Research (Grant № 19-53-55002) and Russian Science Foundation (Grant № 18-13-00365) and RFBR № 20-33-7007.*