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Unusual Reaction of Phenylation and New Appoach for the Synthesis of Tritium Labeled Biomarkers with Quaternary Heterocyclic Nitrogen Atom

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A simple glance at databases of approved pharmaceuticals reveals the structural significance of nitrogen-based heterocycles in the drug design and engineering of pharmaceuticals, with nearly 60% of unique small-molecule drugs containing a nitrogen heterocycle. The pyridine ring can be considered one of the most simple, but at the same time one of the most important heteroaromatic structure. Many biological processes in the organism involve participation of compounds containing a pyridine structure, such as nucleic bases, ferments and enzymes. Moreover, conducted biological studies showed that the most promising and, in some cases, unique, are quaternary pyridinium derivatives. A major synthetic obstacle to accessing variously substituted pyridines is the fact that in the classical organic chemistry reaction of direct phenylation of the heterocyclic nitrogen atom is unknown and the quaternary aryl derivatives may be prepared only through a cyclization reaction. New features offers elaborated by us nuclear chemical method, namely, the use of the revealed reaction of direct heterocyclic nitrogen atom phenylation by nucleogenic (generated via tritium beta decay processes in tritiated benzene) free substituted and unsubstituted phenyl cations in order to obtain both inaccessible and unknown in the classical organic chemistry phenyl substituted six membered heterocyclic structures with quaternized nitrogen atom; one-step production of tritiumlabeled biomarkers with fixed tritium label in N-phenyl ring; and the study of pharmacologicalaction of promising compounds with quaternary nitrogen scaffold by tritium label.

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