DESIGN AND REGIOSELECTIVE FUNCTIONALIZATION OF NEW 2,4-SUBSTITUED PYRIDO[1',2':1,5]PYRAZOLO[3,4-*d*]PYRIMIDINES AND 2,4- SUBSTITUED PYRIDO[1',2':1,5]PYRAZOLO[4,3-*d*]PYRIMIDINES VIA Pd-CATALYZED SEQUENTIAL ARYLATION

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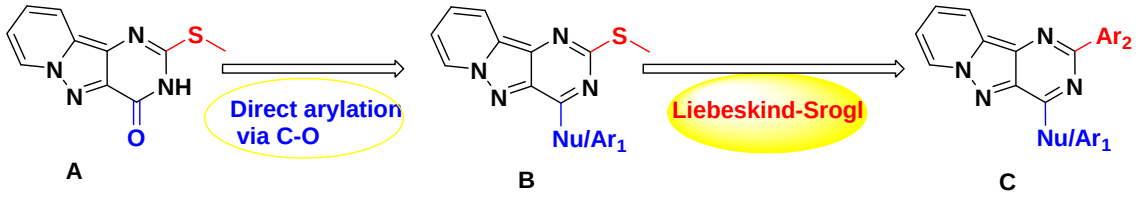
On the basis of our group's interest in rare heterocyclic structures and the results of our previous research[1], we present in this work the design of original scaffold using a strategy of *in situ* activation[2], and many types of reactions that have been developed so far.

Here we use the combination of *in situ* activation mediated by PyBroP[3] and cross-coupling reaction to afford a library of various mono- and bis-arylated compounds. To design platform A 2, 4-disubstituted, we developed a fully chemoselective synthesis that allowed us to obtain **A** in 8 steps with an overall yield of 20%. We first use the combination of *in situ* activation mediated by PyBroP and cross-coupling reaction to afford a library of various monoarylated compounds **B**. These are engaged in a second arylation *via* the Liebeskind-Srogl cross coupling reaction leading to rare 2,4-disubstituted-pyrido[1',2':1,5]pyrazolo[4,3-*d*]pyrimidine derivatives **C**.



A B C

[1] R. Belaroussi, A. El Bouakher, M. Marchivie, S. Massip, C. Jarry, A. El Hakmaoui, G. Guillaumet, S. Routier, M. Akssira, Synthesis 2013, 45, 2557-2566.

[2] F. A. Kang, Z. Sui, W. V. Murray, Eur. J. Org. Chem. 2009, 461-479.

[3] S. M. Li, J. Huang, G. J. Chen, F. S. Han, Chem. Commun. 2011, 47, 12840-12842.