PR-27. S_N^H METHODOLOGY IN THE SYNTHESIS OF QUINAZOLINAP PRECURSORS AND ANALOGS

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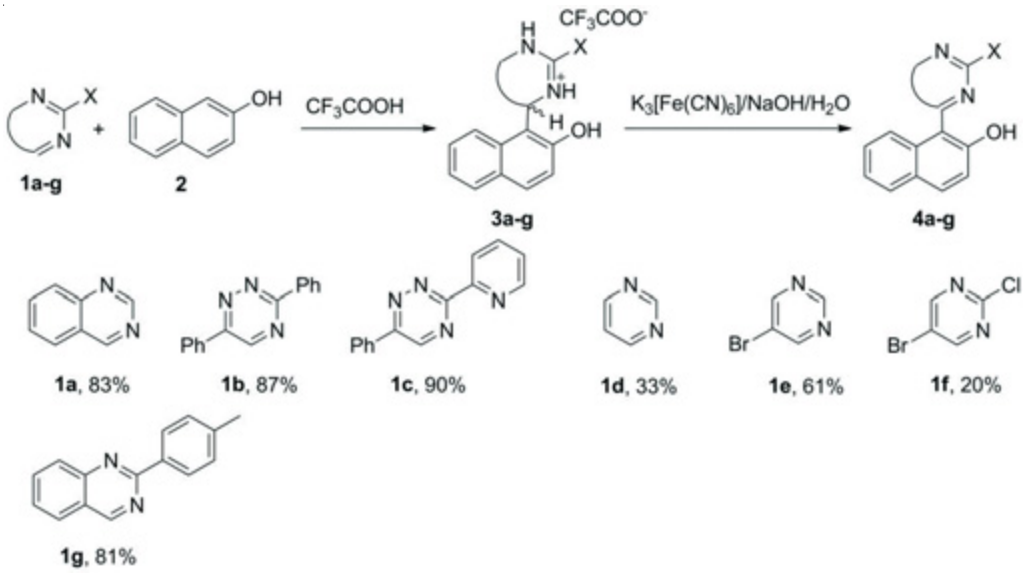
Atropoisomeric ligands are useful as chiral inductors in metal-catalyzed asymmetric synthesis. Bidentate binaphthyl structures like BINAP, BINOL, NOBIN, and BINAM are most known. QUINAP is first example of successful azinyl-naphthyl axial ligand. ((*R*) or (*S*) diphenyl[1(quinazolin4yl)(2naphthyl)] phosphine) (QUINAZOLINAPs) are analogs of QUINAP.

The methodology of nucleophilic aromatic substitution of hydrogen ($S_N^H Ar$) is an attractive approach to solve the problem of direct formation of C–C bond between azine and naphthalene. We have found that synthesis of **4** is easily implemented by the direct coupling azines **1 a – g** and 2-naphthol in absence of metal catalysis. The process proceeds in two steps. The first step involves an addition of nucleophile to electron-deficient arenes **1** to give the σ^H-adducts **3** followed by oxidation into the corresponding compounds **4**.



Direct C–C coupling azines **1 a – g** and 2-naphthol

Structure of new compounds was confirmed by NMR, IR-spectroscopy, mass-spectrometry, elemental analysis.

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