

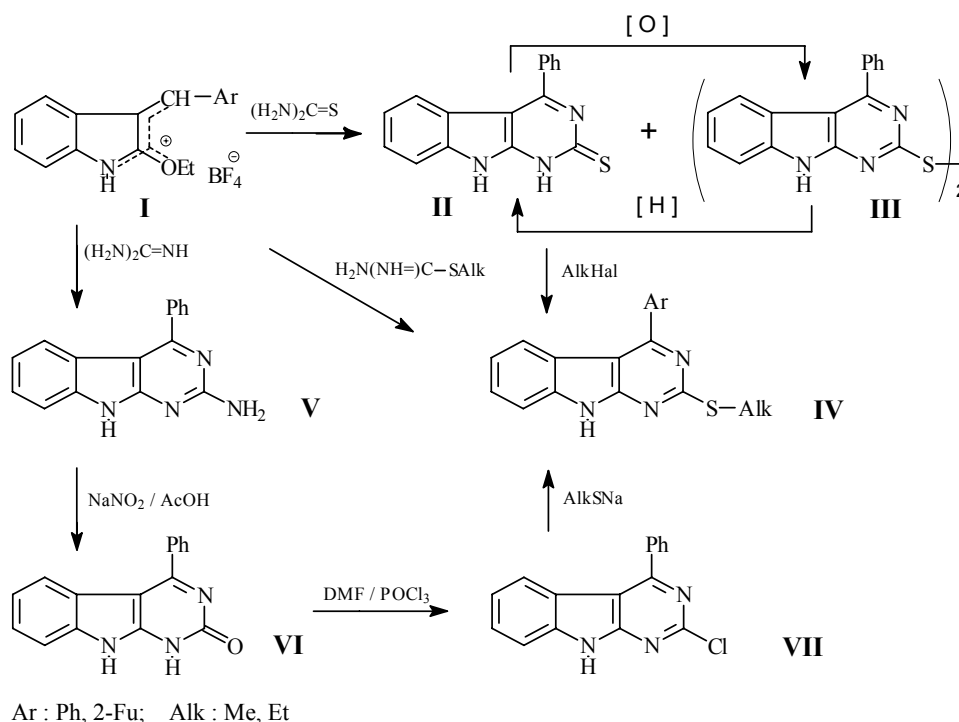
Synthesis of 2-Thioderivatives of 4-Aryl-9*H*-pyrimido[4,5-*b*]indoles

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The functional derivatives of 9*H*-pyrimido[4,5-*b*]indole possess diverse biological activities and are of interest for the design and synthesis of the next generation of pharmaceuticals.

Previously, we have developed a procedure for the synthesis of 2-substituted pyrimido[4,5-*b*]indoles, based on the reaction of 2-ethoxy-3-benzylidenindolenine tetrafluoroborate **I** with amidines, guanidines and thiourea. At present, we have found that reaction of tetrafluoroborate **I** with thiourea leads to 4-phenyl-9*H*-pyrimido[4,5-*b*]indole-2-thione **II** along with corresponding disulfide **III**, the ratio of these products depends on the reaction conditions.



Reaction of salts **I** with S-methyl- and S-ethylisothioureas affords 2-alkylthio derivatives of 4-Ar-pyrimidoindoles (Ar = phenyl, furyl) **IV**. These compounds were also prepared by alkylation of thione **II**, and by reaction of 2-chloro derivatives **VII** with sodium alkylmercaptides.