SYNTHESIS OF 4-FLUORO-1,8-NAPHTHALIC ANHYDRIDE AND 4-FLUORO-1,8-NAPHTHALIMIDE FROM CORRESPONDING CHLORO AND BROMO DERIVATIVES

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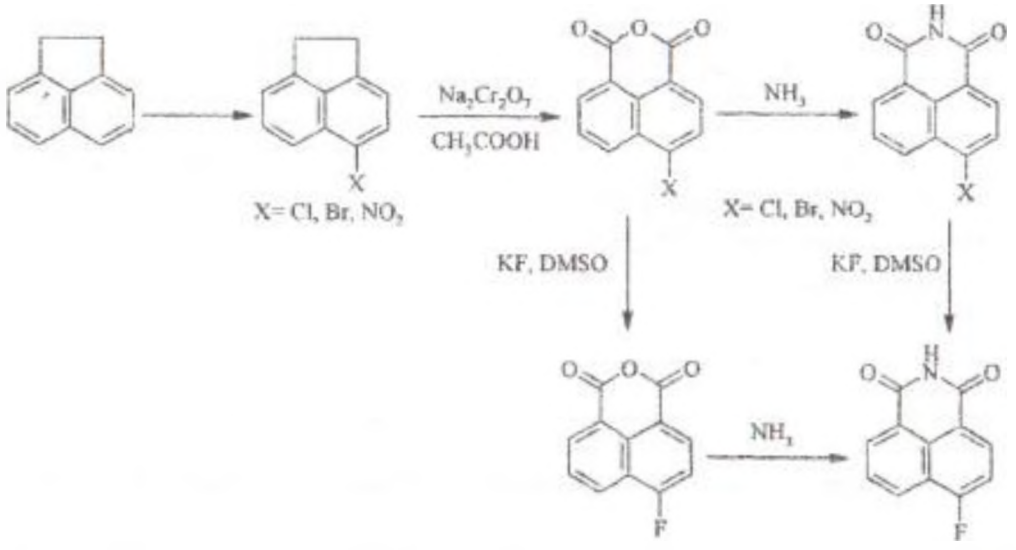
Anhydride and imide of 4-fluoronaphthalic acid are potent biologically active substances and fluorophores. They can be obtained by multistep synthesis consisting of fluorine introduction in position 5 of acenaphthene by Schiemann method and the further oxidation of 5-fluoroacenaphthene. The main disadvantage of this method is a very small yield of target product due to thermal decomposition stage of acenaphthene-5-diazonium tetrafluoroborate, which leads to the formation of diazonium group substitution by-products.

An alternative method of 4-fluoronaphthalic acid derivatives synthesis can be nucleophilic substitution of chlorine, bromine or nitro group in the corresponding 4-substituted derivatives of naphthalic acid by fluorine.

Substitution reaction of chlorine, bromine or nitro group by fluorine was carried out in dimethyl sulfoxide using a 10-fold excess of potassium fluoride as a nucleophile at 100-110 °C.



The yields of target 4-fluoronaphthalic acid derivatives obtained by this method are up to 75-80%.