# Partially Fluorinated Isatins As Starting Materials For Synthesis of Potentially Bioactive Heterocyclic Derivatives

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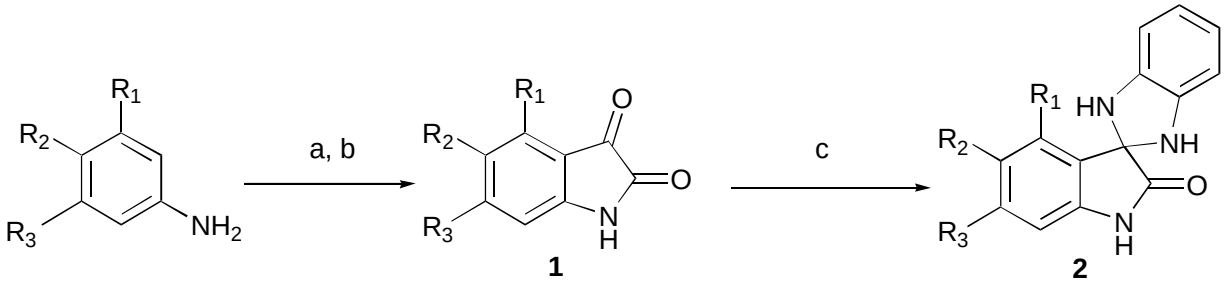
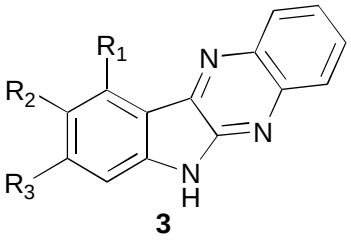
Isatin are versatile starting material for the synthesis of efficient drug. On the other hand, in many cases fluorine substitution increases pharmacophoric properties. We report here the preparative synthesis of partially fluorinated isatins and condensation one with o-phenylenediamine.




a) $CCl_3CH(OH)_2$, $NH_2OH \cdot HCl$; b) $H_2SO_4$; c) o-$C_6H_4(NH_2)_2$




$R_1 = R_3 = F$, $R_2 = H$; $R_1 = R_2 = R_3 = F$

3,5-Difluoro- and 3,4,5-trifluoroanilines react with chloralhydrat and hydroxylamine hydrochloride in presence of sodiun sulfate to produce the corresponding fluorinated isatins **1** in high yields.

When isatins **1** were allowed to react with o-phenylenediamine in boiling acetic acid, *spiro*-derivatives **2** was obtained in good yields. It is interesting, that non-fluorinated isatins in the same conditions produce indoloquinoxaline. The attempts to make rearrangement of *spiro*-derivatives **2** to fluorinated indolo-quinoxaline **3** in presence of sulfuric acid have appeared unsuccessful.