

Interconversions (Isatins-Indoles-Indolones): Synthetic Applications

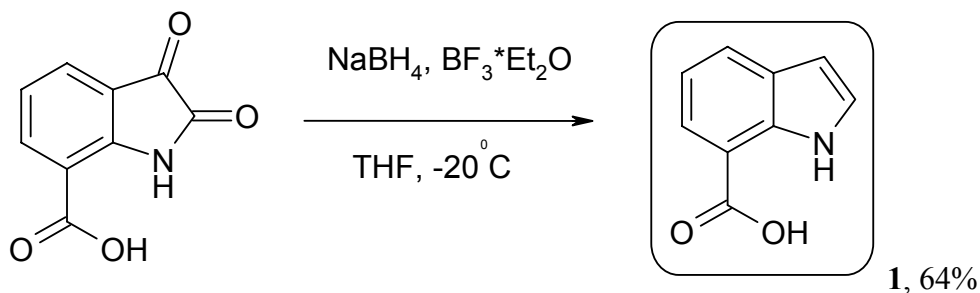
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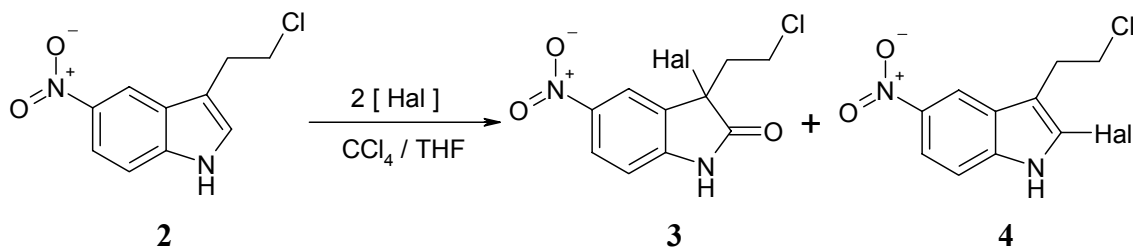
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Indoles represent "privilege structures" and methods for its synthesis are often challenging tasks. Despite of a plenty of synthetic strategies of indole synthesis there are cases when its "oxidized" derivatives – isatins – are readily available. We have developed a procedure for transformation of isatins to indoles by *in situ* generated borane. Depending on the reaction conditions it is possible to preserve other reducible functions in the indole molecule, for example:



Developing the synthesis of 2-halogenated derivatives of 5-methoxycarbonylamino-N-acetyltryptamine (5-MCA-NAT), the selective melatonin MT3 receptor agonist, we have examined halogenation of 3-(2-chloroethyl)-5-nitroindole **2** under reported in the literature conditions and isolated 3-haloindoles **3** as the major products of the reactions:



N-chlorosuccinimide, Hal = Cl

50%

34%

Py*HBr*Br₂, Hal = Br

44%

16%

Oxindoles were also obtained under halogenation of other 3-substituted indoles.