From Suzuki-Miyaura cross coupling reactions of 2-/4-haloestranes to fluorinated benzofuranoestranes

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16,17-areno annelated estrane derivatives, previously prepared by the group. Applications are found as ligands to the estrogen receptor and as chiral dopants in organic materials.
Figure 2

Target structures of this work

Figure 3

A-ring furano-annelated estranes, published by other groups
Selective bromination of the estradiol derivative at C4 with NBS, DMF is followed by an arylation through a Suzuki-Miyaura reaction utilizing arylboronic acids bearing a 2-fluoro substituent. Subsequent ipso-substitution of the 2-fluoro substituent by the phenoxy group gives the benzofuranoestranes 14.
The authors were not able to carry out iodination selectively at C2 or C4. Rather, iodination of 3-OH non-protected estranes led to double iodination at C2 and at C4, such as found in 15. The Suzuki coupling, however, could be performed with 15 selectively at C2. At the same time, deiodination occurred at C4 to give compounds 17. These could also be produced by reaction with 2-bromoestrone/estradiol. Cyclization led to benzofuranoestranes 18.


Literature