Synthesis and Radiosynthesis of 17α-[p-(Iodophenylethynyl)]estra-3,17β-diols

Jian Wang a, Masataka Watanabe a, Shuntaro Mataka b, Thies Thiemann b, Goreti Ribeiro Morais c, Fernanda Roleira c, Elisiario Tavares da Silva c, and Cristina Melo e Silva d

a Interdisciplinary Graduate School of Engineering Sciences and
b Institute of Advanced Material Study, Kyushu University, Fukuoka 816-8580, Japan
c Centro de Estudos Farmaceuticos, Faculdade de Farmacia, Universidade Coimbra, P-3000-295 Coimbra, Portugal
d Instituto Tecnologico e Nuclear, Estrada Nacional 10, P-2685 Sacavem, Portugal.
E-mail: cmelo@itn.mces.pt

Reprint requests to Dr. Th. Thiemann. E-mail: thies@cm.kyushu-u.ac.jp

Z. Naturforsch. 58b, 799 – 804 (2003); received April 9, 2003

Estrones have been subjected to an addition reaction with [(4-ethynylphenyl)azo]pyrrolidine to provide 17 α-{4-[(pyrrolidin-1-yl)-azo]phenylethynyl}estra-3,17 β-diols. A subsequent iodination with iodotrimethylsilane, produced in situ from chlorotrimethylsilane and NaI, leads to 17α-(p-iodophenylethynyl)estra-3,17 β-diols. This reaction can also be carried out with Na125I to give radiolabelled estra-3,17 β-diols, which are potentially useful radiodiagnostic agents for the detection of estrogen positive breast cancer. The stability of the radiolabelled compounds is exemplified in a stability study of 3-O-methyl 17 α-(p-[125I]iodophenylethynyl)estra-1,3,5(10),6-tetraene-17 β-ol in acetonitrile.

Key words: Steroids, Radioiodination, Triazenes