

# Synthesis and Radiosynthesis of 17 $\alpha$ -[*p*-(Iodophenylethynyl)]estra-3,17 $\beta$ -diols

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Estrones have been subjected to an addition reaction with [(4-ethynylphenyl)azo]pyrrolidine to provide 17  $\alpha$ -{4-[(pyrrolidin-1-yl)-azo]phenylethynyl}estra-3,17  $\beta$ -diols. A subsequent iodination with iodotrimethylsilane, produced *in situ* from chlorotrimethylsilane and NaI, leads to 17 $\alpha$ -(*p*-iodophenylethynyl)estra-3,17  $\beta$ -diols. This reaction can also be carried out with Na<sup>125</sup>I to give radiolabelled estra-3,17  $\beta$ -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  $\alpha$ -(*p*-[<sup>125</sup>I]iodophenylethynyl)estra-1,3,5(10),6-tetraene-17  $\beta$ -ol in acetonitrile.

*Key words:* Steroids, Radioiodination, Triazenes