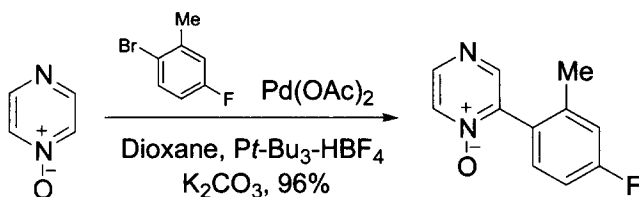


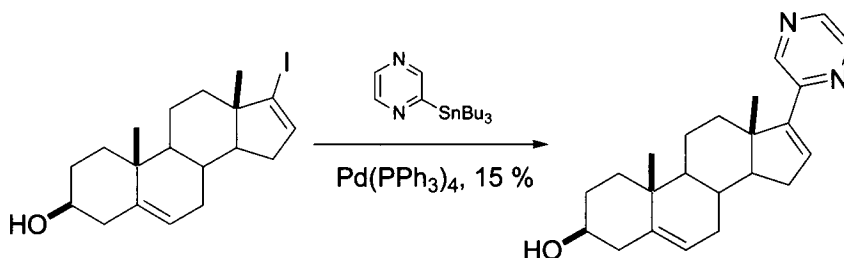
regioisomer. Coordination by the oxidized nitrogen to the palladium is no longer a possibility and, with the direct arylation, the organometallic reagent is no longer a requirement.



This reaction displays a diverse functional group tolerance. This reaction showed no significant differences in yields when comparing electron-donating groups and electron-withdrawing groups. The substitution pattern of the aryl halide displayed minimal effects on the isolated yields of the products.

After the coupling was completed, the oxidized nitrogen can be manipulated in many different ways to provide a variety of different structural motifs. These reactions display a high-degree of regioselectivity and moderate to high yields.

Steroidal pyrazine is a promising drug candidate to treat prostate cancer, which is the most common age-related malignancy cause of cancer death worldwide. It killed an estimated 23,000 men in the United States in 2004 and making it the second leading cause of death in American men. This pyrazine in the nanomolar concentration range competes effectively with labelled R1881 for binding with both types of androgen receptors in a dose dependent manner. Indeed it is 30-fold more potent than the clinically used anti-androgen, flutamide.<sup>61</sup> The electronic properties of the heterocycle coupled played a significant (however poorly understood) importance to the potency of the drug. The low yields of the coupling reactions were justified to the instability of the stannyl pyrazine under the conditions that were used.



DNA-damaging agents, such as cisplatin, irinotecan, gemcitabone, and radiation, represent a historic cornerstone for the treatment of tumors.<sup>62</sup> However, there are certain cancers for which these agents only provide a