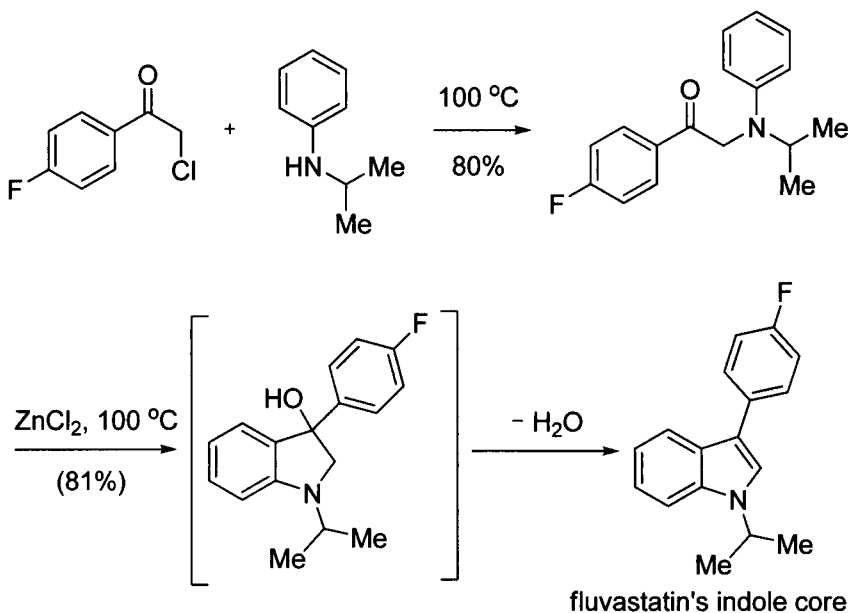


3.3.4 Bischler–Möhlau Indole Synthesis

The Bischler–Möhlau indole synthesis, also known as the Bischler indole synthesis, is the formation of a 2-arylindole from an α -bromoacetophenone and excess aniline.³⁰ Although not widely used in making indoles, one of the syntheses of fluvastatin sodium (Lescol) took advantage of the Bischler–Möhlau indole synthesis to assemble its indole core. As shown below, reaction of α -chloroacetone with *N*-*i*-Pr-aniline at elevated temperature generated the tertiary amine. The resulting *N*-*i*-Pr-aniline underwent a ZnCl₂-mediated Bischler–Möhlau indole synthesis also at an elevated temperature to afford the indole core structure of fluvastatin.³¹



A Bischler–Möhlau indole synthesis was also pivotal in constructing the indole ring of bazedoxifene acetate (Viviant), Wyeth's novel and highly selective indole estrogen. It is a selective estrogen receptor modulator (SERM) for the treatment of and prevention of osteoporosis. It was found