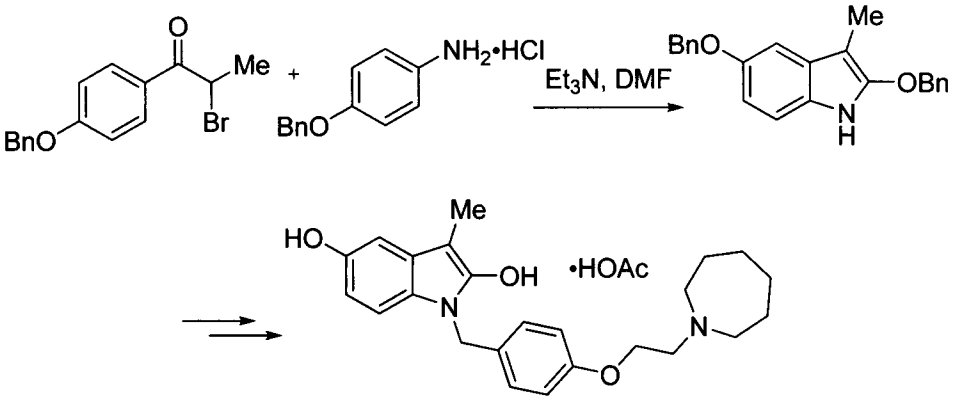
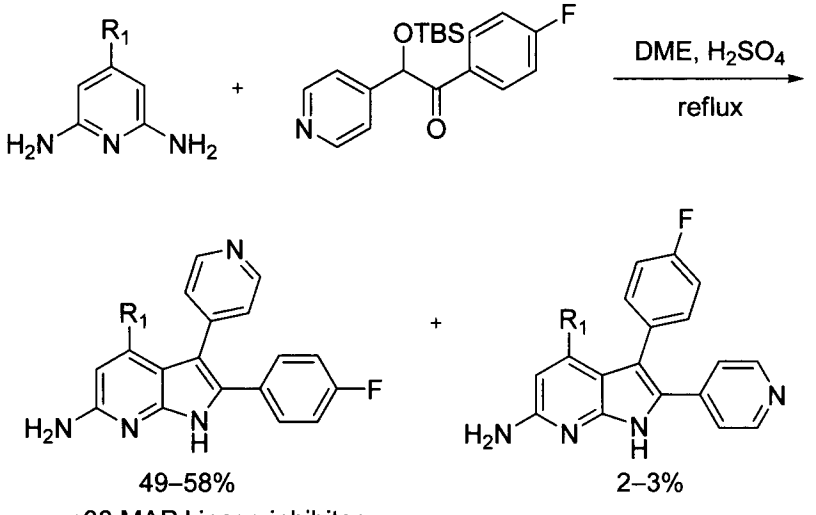
that the yields and reproducibility of this reaction could be increased by conducting the reaction in two steps but in one pot. Therefore, condensation between α-bromopropiophenone and 4-(benzyloxy)-aniline hydrochloride yielded the 3-methylindole core.[32,33] Installation of the side chain was followed by additional functional group manipulations to deliver bazedoxifene as its acetic acid salt.



bazedoxifene (Viviant)

Instead of using α-haloketones, an unsymmetrical benzoin can be utilized as starting material for the Bischler–Möhlau indole synthesis. RWJ 68354, a potent inhibitor of the p38 MAP kinase, was prepared via a variation of the Bischler–Möhlau indole synthesis under mild conditions with 55% isolated yield; only 2–3% regioisomer could be isolated from the mother liquor.[34]



49–58%

p38 MAP kinase inhibitor

2–3%